

# **Epigenetics**

Epigeneics include any process that alters gene activity without changing the DNA sequence, and leads to modifications that can be transmitted to daughter cells. Many types of epigenetic processes have been identified—they include DNA methylation, alteration in the structure of histone proteins and gene regulation by small noncoding microRNAs.

Many different DNA and histone modifications have been identified to determine the epigenetic landscape. DNA methylation is mainly mediated by DNA-methyl transferase (DNMT), there are two known types of DNMT, namely DNMT1, which preserves preexisting pattern of methylation after cell replication, and DNMT3A/B, so-called "de novo" DNMT, which methylate previously unmethylated DNA. Histone modifications mainly include acetylation, methylation, phosphorylation, and ubiquitination. The acetylation of histones can be mediated by histone acetyltransferases (HATs) and histone deacetyltransferases (HDACs), while Histhone demethylation is performed by two classes of histone demethylases: lysine-specific demethylase (LSD) family proteins (LSD1 and LSD2) and JmjC domain containing histone demethylase (JHDM). Furthermore, enzymes involved in epigenetic modifications can also be governed by miRNAs. For example, miR-34a can directly inhibit the activities of SIRT1 to regulate cholesterol homeostasis.

The accumulated evidence indicates that many genes, diseases, and environmental substances are part of the epigenetics picture. At the FDA, scientists are investigating many drugs that function through epigenetic mechanisms. Drugs that inhibit DNA methylation or histone deacetylation have been studied for the reactivation of tumor suppressor genes and repression of cancer cell growth. Epigenetic inhibitors can also work alone or in combination with other therapeutic agents.

# References:

- [1] Bob Weinhold. Environ Health Perspect. 2006 Mar; 114(3): A160-A167.
- [2] Xu W, et al. Genet Epigenet. 2016 Sep 25;8:43-51.
- [3] Biswas S, et al. Pharmacol Ther. 2017 May;173:118-134.
- [4] Perri F, et al. Crit Rev Oncol Hematol. 2017 Mar;111:166-172.



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# **AMPK**

# AMP-activated protein kinase

AMPK (AMP-activated protein kinase) is an enzyme that plays a role in cellular energy homeostasis. It consists of three proteins (subunits) that together make a functional enzyme. The net effect of AMPK activation is stimulation of hepatic fatty acid oxidation and ketogenesis, inhibition of cholesterol synthesis, lipogenesis, and triglyceride synthesis, inhibition of adipocyte lipolysis and lipogenesis, stimulation of skeletal muscle fatty acid oxidation and muscle glucose uptake by pancreatic beta-cells. AMPK acts as a metabolic master switch regulating several intracellular systems including the cellular uptake of glucose, the  $\beta$ -oxidation of fatty acids and the biogenesis of glucose transporter 4 (GLUT4) and mitochondria.

# **AMPK Inhibitors & Activators**

## 10Z-Hymenialdisine

((Z)-Hymenialdisine; Hymenialdisine)

Cat. No.: HY-N6794 10Z-Hymenialdisine ((Z)-Hymenialdisine) is a

natural bioactive pyrrole alkaloid. 10Z-Hymenialdisine is a pan kinase inhibitor, and has anticancer activities.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# 3a-Hydroxymogrol

3α-Hydroxymogrol is a triterpenoid isolated from Siraitia grosvenorii Swingle, acts as a potent AMPK activator, and enhances AMPK phosphorylation.



Cat. No.: HY-N6913

98 47% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# 7-Methoxyisoflavone

Cat. No.: HY-N6631

7-Methoxyisoflavone is an isoflavone derivative and also an activator of adenosine monophosphate-activated protein kinase (AMPK).



**Purity:** 99 76%

Clinical Data: No Development Reported 10 mM × 1 mL, 100 mg

## A-769662

A-769662 is a potent, reversible AMPK activator

with  $EC_{50}$  of 0.8  $\mu$ M.



Cat. No.: HY-50662

**Purity:** 98 97%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

#### **AICAR**

(Acadesine; AICA Riboside)

Cat. No.: HY-13417

AICAR (Acadesine) is an adenosine analog and a AMPK activator. AICAR regulates the glucose and lipid metabolism, and inhibits proinflammatory cytokines and iNOS production. AICAR is also an autophagy, YAP and mitophagy inhibitor.



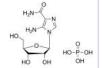
Purity: 99 92% Clinical Data: Phase 3

Size: 50 mg, 100 mg, 200 mg, 500 mg

# AICAR phosphate

(Acadesine phosphate; AICA Riboside phosphate) Cat. No.: HY-13417A

AICAR phosphate (Acadesine phosphate) is an adenosine analog and a AMPK activator. AICAR phosphate regulates the glucose and lipid metabolism, and inhibits proinflammatory cytokines and iNOS production. AICAR phosphate is also an autophagy, YAP and mitophagy inhibitor.



99.49% **Purity:** Clinical Data: Phase 3

10 mM × 1 mL, 50 mg, 100 mg, 200 mg, 500 mg

# Amarogentin

Cat. No.: HY-N2447

Amarogentin is a secoiridoid glycoside that is mainly extracted from Swertia and Gentiana roots. Amarogentin exhibits many biological effects, including anti-oxidative, anti-tumour, and anti-diabetic activities.



98.96% Purity:

Clinical Data: No Development Reported

Size 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# AMPK activator 1

Cat. No.: HY-U00292

AMPK activator 1 is an AMPK activator extracted from patent WO2013116491A1, compound No.1-75, has an  $EC_{so}$  of <0.1 $\mu$ M.



98.53% Purity:

Clinical Data: No Development Reported

Size

# **AMPK activator 4**

Cat. No.: HY-131334

AMPK activator 4 is a potent AMPK activator without inhibition of mitochondrial complex I. AMPK activator 4 selectively activates AMPK in the muscle tissues.



Purity: 99.42%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### **Ampkinone**

Cat. No.: HY-12831

Ampkinone is an indirect AMP-activated protein kinase (AMPK) activator.



99.31%

Clinical Data: No Development Reported 10 mM × 1 mL, 2 mg, 5 mg, 10 mg

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#### ASP4132

ASP4132 is an orally active, potent AMPK activator with an  $\mathrm{EC}_{50}$  of 18 nM. ASP4132 has anti-cancer activity and makes tumor regression in breast cancer xenograft mouse models.

"sportato+

Cat. No.: HY-136447

Purity: 98.85% Clinical Data: Phase 1

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# BC1618

BC1618, an orally active **Fbxo48** inhibitory compound, stimulates Ampk-dependent signaling (via preventing activated pAmpkα from Fbxo48-mediated degradation). BC1618 promotes mitochondrial fission, facilitates autophagy and improves hepatic insulin sensitivity.

FF OOH N

Cat. No.: HY-134656

**Purity:** 99.83%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# Bempedoic acid

(ETC-1002; ESP-55016) Cat. No.: HY-12357

Bempedoic acid (ETC-1002) is an ATP-citrate lyase (ACL) inhibitor. Bempedoic acid (ETC-1002) activates AMPK.

HO X

Purity: ≥98.0% Clinical Data: Launched

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## **Buformin**

(1-Butylbiguanide)

Buformin (1-Butylbiguanide), a potent AMPK activator, acts as an orally active biguanide antidiabetic agent. Buformin decreases hepatic gluconeogenesis and lowers blood glucose production in vivo.

NH NH NH2

Cat. No.: HY-B2099

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# Buformin hydrochloride

(1-Butylbiquanide hydrochloride) Cat. No.: HY-B2099A

Buformin hydrochloride (1-Butylbiguanide hydrochloride), a potent AMPK activator, acts as an orally active biguanide antidiabetic agent. Buformin hydrochloride decreases hepatic gluconeogenesis and lowers blood glucose production in vivo.

H-CI

**Purity:** 98.62%

Clinical Data: No Development Reported

**Size**: 250 mg, 500 mg

# Buformin-d9 hydrochloride

(1-Butylbiquanide-d9 hydrochloride) Cat. No.: HY-B2099S

Buformin-d9 (1-Butylbiguanide-d9) hydrochloride is the deuterium labeled Buformin. Buformin (1-Butylbiguanide), a potent AMPK activator, acts as an orally active biguanide antidiabetic agent. Buformin decreases hepatic gluconeogenesis and lowers blood glucose production in vivo.

D D D NH NH

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# Chitosan oligosaccharide

(COS) Cat. No.: HY-112108

Chitosan oligosaccharide (COS) is an oligomer of  $\beta$ -(14)-linked D-glucosamine. Chitosan oligosaccharide (COS) activates AMPK and inhibits inflammatory signaling pathways including NF- $\kappa$ B and MAPK pathways.

Chitosan oligosaccharide

**Purity:** ≥91.0%

Clinical Data: No Development Reported

Size: 10 mg(10 mg  $\times$  mL in Water), 500 mg, 1 g, 5 g

# Cimiracemoside C

(Cimicifugoside M)

Cimiracemoside C is an active component of Cimicifuga racemosa, activates AMPK, has the potential activity against diabetes.



Cat. No.: HY-N6971

Purity: 99.55%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg

# D942

Cat. No.: HY-131958

D942 is a cell penetrant **AMPK** activator and partially inhibits the mitochondrial complex I. In multiple myeloma cells, D942 inhibits cell growth.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# COH-SR4

Cat. No.: HY-124822

COH-SR4 is an AMPK activator. COH-SR4 shows potent anti-proliferative activities against leukemia, melanoma, breast and lung cancers. COH-SR4 inhibits adipocyte differentiation via AMPK activation.



**Purity:** 99.73%

Clinical Data: No Development Reported Size: 25 mg, 50 mg, 100 mg

#### **Danthron**

(Dantron; Chrysazin; 1,8-Dihydroxyanthraquinone)

Danthron is a natural product extracted from the traditional Chinese medicine rhubarb. Danthron functions in regulating glucose and lipid metabolism by activating AMPK.

Cat. No.: HY-B0923

Purity: 98.70% Clinical Data: Launched

Size: 10 mM × 1 mL, 100 mg

#### Danthron-d6

(Dantron-d6; Chrysazin-d6; 1,8-Dihydroxyanthraquinone-d6) Cat. No.: HY-B0923S

Danthron-d6 (Dantron-d6) is the deuterium labeled Danthron. Danthron is a natural product extracted from the traditional Chinese medicine rhubarb. Danthron functions in regulating glucose and lipid metabolism by activating AMPK.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 10 mg

# Demethyleneberberine

Cat. No.: HY-N0592

Demethyleneberberine is a natural mitochondria-targeted antioxidant. Demethyleneberberine alleviates mice colitis and inhibits the inflammatory responses by inhibiting NF-κB pathway and regulating the balance of Th cells.



Purity: 98.09%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

# Dorsomorphin

(Compound C; BML-275)

Dorsomorphin (Compound C) is a selective and ATP-competitive AMPK inhibitor (K<sub>1</sub>=109 nM in the absence of AMP). Dorsomorphin (BML-275) selectively inhibits BMP type I receptors ALK2, ALK3, and ALK6. Dorsomorphin induces autophagy.



Cat. No.: HY-13418A

Purity: 99.91%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## Dorsomorphin dihydrochloride

(Compound C dihydrochloride; BML-275 dihydrochloride) Cat. No.: HY-13418

Dorsomorphin dihydrochloride (BML-275 dihydrochloride; Compound C dihydrochloride) is a potent, selective and ATP-competitive **AMPK** inhibitor, with a **K**, of 109 nM.



**Purity:** 99.91%

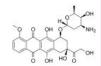
Clinical Data: No Development Reported

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 50 mg, 100 mg

#### Doxorubicin

(Hydroxydaunorubicin)

Doxorubicin (Hydroxydaunorubicin), a cytotoxic anthracycline antibiotic, is an anti-cancer chemotherapy agent. Doxorubicin inhibits topoisomerase II with an  $IC_{so}$  of 2.67  $\mu$ M, thus stopping DNA replication.



Cat. No.: HY-15142A

Purity: >98%
Clinical Data: Launched

Size: 5 mg, 10 mg, 25 mg

# Doxorubicin hydrochloride

(Hydroxydaunorubicin hydrochloride) Cat. No.: HY-15142

Doxorubicin (Hydroxydaunorubicin) hydrochloride, a cytotoxic anthracycline antibiotic, is an anti-cancer chemotherapy agent. Doxorubicin hydrochloride is a potent human **DNA topoisomerase I** and **topoisomerase II** inhibitor with  $IC_{so}$ s of 0.8  $\mu$ M and 2.67  $\mu$ M, respectively.



Purity: 99.47%
Clinical Data: Launched

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 50 mg, 100 mg, 200 mg, 500 mg, 1 g

# EB-3D

EB-3D is a potent and selective **choline kinase**  $\alpha$  (**ChoK** $\alpha$ ) inhibitor, with an IC $_{s0}$  of 1  $\mu$ M for ChoK $\alpha$ 1. EB-3D exerts effects on ChoK $\alpha$  expression, AMPK activation, **apoptosis**, endoplasmic reticulum stress and lipid metabolism.



Cat. No.: HY-115463

**Purity:** 98.78%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

## **Etilefrine**

Cat. No.: HY-A0144

Etilefrine

(3-[2-(ethylamino)-1-hydroxyethyl]phenol) is an  $\alpha$  adrenergic agonist. Etilefrine also is an AMPK activator. Etilefrine can be used for the research of postural hypotension.



Purity: >98%
Clinical Data: Launched
Size: 1 mg, 5 mg

# Euphorbiasteroid

Euphorbiasteroid is a tricyclic diperpene of Euphorbia lathyris L., inhibits tyrosinase, and increases the phosphorylation of AMPK, with anti-cancer, anti-virus, anti-obesity and multidrug resistance-modulating effect.



Cat. No.: HY-N2032

**Purity:** 99.76%

Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 20 mg

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

#### EX229

EX229, a Benzimidazole derivative, is a potent and

allosteric activator of AMP-activated protein kinase (AMPK), with  $K_{\text{d}}s$  of 0.06  $\mu\text{M}$ , 0.06  $\mu\text{M}$  and 0.51  $\mu\text{M}$  for  $\alpha1\beta1\gamma1$ ,  $\alpha2\beta1\gamma1$  and  $\alpha1\beta2\gamma1$  in biolayer interferometry, respectively.

Cat. No.: HY-112769

Purity: 98.45%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

# Flufenamic acid

Flufenamic acid is a non-steroidal anti-inflammatory agent, inhibits cyclooxygenase (COX), activates AMPK, and also modulates ion channels, blocking chloride channels and L-type Ca<sup>2+</sup> channels, modulating non-selective cation channels (NSC), activating...

O OH F

Cat. No.: HY-B1221

Purity: 99.85% Clinical Data: Launched

Size: 10 mM × 1 mL, 100 mg

# Flufenamic acid-d4

Cat. No.: HY-B1221S

Flufenamic acid-d4 is deuterium labeled Flufenamic acid

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# Galegine hydrochloride

Cat. No.: HY-N0930B

Galegine hydrochloride, a guanidine derivative, contributes to weight loss in mice. Guanidine hydrochloride is the compound derived from G. officinalis, which gave rise to the biguanides, metformin and phenformin.

H-CI

**Purity:** >98%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg

# Ginkgolide C

(BN-52022; Ginkgolide-C)

Ginkgolide C is a flavone isolated from Ginkgo biloba leaves, possessing multiple biological functions, such as decreasing platelet aggregation and ameliorating Alzheimer disease.



Cat. No.: HY-N0785

**Purity:** ≥98.0%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

# Gomisin J

Cat. No.: HY-N0385

Gomisin J is a small molecular weight lignan found in Schisandra chinensis and has been demonstrated to have vasodilatory activity.



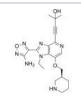
**Purity:** 99.67%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

# GSK-690693

Cat. No.: HY-10249

GSK-690693 is an ATP-competitive <code>pan-Akt</code> inhibitor with  $\rm IC_{50}$ s of 2 nM, 13 nM, 9 nM for Akt1, Akt2 and Akt3, respectively. GSK-690693 is also an AMPK inhibitor, affects Unc-51-like autophagy activating kinase 1 (ULK1) activity and robustly inhibits STING-dependent IRF3 activation.



Purity: 98.40% Clinical Data: Phase 1

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# GSK621

Cat. No.: HY-100548

GSK621 is a specific AMPK activator, with  $IC_{s0}$  values of 13-30  $\mu$ M for AML cells. GSK621 induces autophagy and apoptosis. GSK621 induces eiF2 $\alpha$  phosphorylation-a hallmark of UPR activation.



**Purity:** 98.82%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg

HL271

# (IM156 hydrochloride; HL156A hydrochloride) Cat. No.: HY-136093

HL271 (IM156 hydrochloride; HL156A hydrochloride), a chemical derivative of Metformin (HY-B0627), is a potent AMPK activator that increases AMPK phosphorylation. HL271 attenuates aging-associated cognitive impairment in animal model.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# HTH-01-015

HTH-01-015 is a selective NUAK1/ARK5 inhibitor (IC $_{50}$  is 100 nM), HTH-01-015 inhibits NUAK1 with >100-fold higher potency than NUAK2 (IC50 of

 $>10 \ \mu M)$ .

Ourity: 99.18%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg



Cat. No.: HY-12334

#### IM156

(HL156A; HL271 acetate) Cat. No.: HY-136093A

IM156 (HL156A; HL271 acetate), a chemical derivative of Metformin (HY-B0627), is a potent and orally active AMPK activator that increases AMPK phosphorylation. IM156 attenuates aging-associated cognitive impairment in animal model.

JOH JOH

Purity: 99.80% Clinical Data: Phase 1

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# IQZ23

IQZ23 inhibits adipocyte differentiation via AMPK pathway activation. IQZ23 exerts a high efficacy in decreasing the triglyceride level ( $\mathrm{EC}_{50}$ =0.033  $\mu$ M) in 3T3-L1 adipocytes. IQZ23 could be used for the research of obesity and related metabolic disorders.



Cat. No.: HY-133556

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### Kahweol

Cat. No.: HY-N6258

Kahweol is one of the consituents of the coffee from Coffea Arabica with anti-inflammatory anti-angiogenic, and anti-cancerous activities. Kahweol inhibits adipogenesis and increase glucose uptake by AMP-activated protein kinase (AMPK) activation. Kahweol induces apoptosis.



Purity: ≥98.0%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 20 mg

# Karanjin

Karanjin is a major active furanoflavonol constituent of Fordia cauliflora. Karanjin induces GLUT4 translocation in skeletal muscle cells by increasing AMPK activity. Karanjin can induce cancer cell death through cell cycle arrest and enhance apoptosis.

**Purity:** >98%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg



Cat. No.: HY-N2534

# **Kazinol B**

Cat. No.: HY-N3426

Kazinol B, a prenylated flavan with a dimethyl pyrane ring, is an inhibitor of **nitric oxide (NO)** production. Kazinol B improves insulin sensitivity by enhancing glucose uptake via the insulin-Akt signaling pathway and AMPK activation. Kazinol B has the potential for diabetes mellitus research.

FO OH

**Purity:** >98%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg

#### Kazinol U

Kazinol U inhibits melanogenesis through the inhibition of tyrosinase-related proteins via AMPK activation.



Cat. No.: HY-N3425

**Purity:** >98%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg

# Malvidin-3-O-arabinoside chloride

Cat. No.: HY-N9349

Malvidin-3-O-arabinoside chloride ameliorates ethyl carbamate-induced oxidative damage by stimulating AMPK-mediated **autophagy**.



**Purity:** > 98%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg

# Marein

Marein has the neuroprotective effect due to a reduction of damage to mitochondria function and activation of the AMPK signal pathway.

Cat. No.: HY-N7676

**Purity:** 99.49%

Clinical Data: No Development Reported

Size: 5 ma

# MARK-IN-1

Cat. No.: HY-101933

MARK-IN-1 is a potent microtubule affinity regulating kinase (MARK) inhibitor with an  $IC_{50}$  of <0.25 nM.



**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# MARK-IN-4

Cat. No.: HY-112266

MARK-IN-4 is a potent microtubule affinity regulating kinase (MARK) inhibitor with an  $\rm IC_{50}$  of 1 nM. Inhibition of microtubule affinity regulating kinase (MARK) represents a potentially attractive means of arresting neurofibrillary tangle pathology in Alzheimer's disease.

kinase (MARK) represents a potentially means of arresting neurofibrillary chology in Alzheimer's disease.

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### MARK4 inhibitor 1

MARK4 inhibitor 1 is a potent microtubule affinity-regulating kinase 4 (MARK4) inhibitor, with an  $IC_{50}$  of 1.54  $\mu$ M. MARK4 inhibitor 1 inhibits cancer cell proliferation, metastasis and induces apoptosis.

NEW NO

Cat. No.: HY-114317

**Purity:** 98.29%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# Metformin

#### (1,1-Dimethylbiguanide)

Metformin (1,1-Dimethylbiguanide) inhibits the mitochondrial respiratory chain in the liver, leading to activation of AMPK, enhancing insulin sensitivity for type 2 diabetes research. Metformin can cross the blood-brain barrier and triggers autophagy.



Cat. No.: HY-B0627

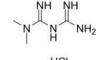
Purity: 99.64% Clinical Data: Launched

Size: 10 mM × 1 mL, 10 mg, 25 mg

# Metformin hydrochloride

#### (1,1-Dimethylbiquanide hydrochloride)

Metformin hydrochloride (1,1-Dimethylbiguanide hydrochloride) inhibits the **mitochondrial respiratory chain** in the liver, leading to activation of AMPK, enhancing insulin sensitivity for type 2 diabetes research. Metformin hydrochloride triggers **autophagy**.



Cat. No.: HY-17471A

Purity: 99.89%
Clinical Data: Launched

Size: 10 mM × 1 mL, 10 mg, 25 mg

# Metformin-d6 hydrochloride

#### (1,1-Dimethylbiguanide-d6 hydrochloride)

Metformin D6 hydrochloride is a deuterium labeled Metformin hydrochloride. Metformin hydrochloride inhibits the mitochondrial respiratory chain in the liver, leading to activation of AMPK, enhancing insulin sensitivity for type 2 diabetes research.



Cat. No.: HY-110228

Purity: ≥98.0%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# Methyl cinnamate

## (Methyl 3-phenylpropenoate)

Methyl cinnamate (Methyl 3-phenylpropenoate), an active component of Zanthoxylum armatum, is a widely used natural flavor compound. Methyl cinnamate (Methyl 3-phenylpropenoate) possesses antimicrobial activity and is a **tyrosinase** inhibitor that can prevent food browning.



Cat. No.: HY-W017212

Purity: 99.99%

Clinical Data: No Development Reported Size: 10 mM × 1 mL, 500 mg

## MK-3903

MK-3903 is a potent and selective AMP-activated protein kinase (AMPK) activator with an  $EC_{50}$  of 8 nM.



Cat. No.: HY-107988

Purity: 98.13%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# MK8722

#### Cat. No.: HY-111363

MK8722 is a potent and systemic **pan-AMPK** activator.



**Purity:** 99.37%

Clinical Data: No Development Reported

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 50 mg, 100 mg

# MOTS-c(human) acetate

Cat. No.: HY-P2048A

MOTS-c(human) acetate is a mitochondrial-derived peptide. MOTS-c(human) acetate induces the accumulation of AMP analog AICAR, increases activation of AMPK and expression of its downstream GLUT4.

MRWQEMGYIFYPRKLR (acetate sal

**Purity:** 99.57%

Clinical Data: No Development Reported Size: 10 mg, 50 mg, 100 mg

# MRT199665

### Cat. No.: HY-120877

MRT199665 is a potent and ATP-competitive, selective MARK/SIK/AMPK inhibitor with  $IC_{50}$ S of 2/2/3/2 nM, 10/10 nM, and 110/12/43 nM for MARK1/MARK2/MARK3/MARK14, AMPK $\alpha$ 1/AMPK $\alpha$ 2, and



SIK1/SIK2/SIK3, respectively.

Purity: 99.73%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg

## MT 63-78

MT 63-78 is a specific and potent direct AMPK activator with an EC  $_{s0}$  of 25  $\mu\text{M}$ . MT 63–78 also induces cell mitotic arrest and apoptosis. MT 63-78 blocks prostate cancer growth by inhibiting the lipogenesis and mTORC1 pathways. MT 63-78 has antitumor effects.



Cat. No.: HY-W058849

Purity: 98.22%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg

### Nepodin

(Musizin) Cat. No.: HY-N5018

Nepodin (Musizin) is a quinone oxidoreductase (PfNDH2) inhibitor isolate from Rumex crispus.Nepodin (Musizin) stimulates the translocation of GLUT4 to the plasma membrane by activation of AMPK.Nepodin (Musizin) has antidiabetic and antimalarial activities.



Purity: 99 50%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg

# O-304

O-304 is a first-in-class, orally available pan-AMPK activator, which increases AMPK activity by suppressing the dephosphorylation of pAMPK. O-304 exhibits a great potential as a drug to treat type 2 diabetes (T2D) and associated cardiovascular complications .



Cat. No.: HY-112233

99 53% Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# ON123300

Cat. No.: HY-12624

ON123300, a strong and brain-penetrant multi-kinase inhibitor, inhibits CDK4 (IC<sub>50</sub>=3.9 nM), Ark5 ( $IC_{50}$ =5 nM), PDGFR $\beta$  ( $IC_{50}$ =26 nM), FGFR1 ( $IC_{50}$ =26 nM), RET ( $IC_{50}$ =9.2 nM), and FYN  $(IC_{50}=11 \text{ nM}).$ 

Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

#### Palmitelaidic Acid

(9-trans-Hexadecenoic acid; trans-Palmitoleic acid) Cat. No.: HY-N2341

Palmitelaidic Acid (9-trans-Hexadecenoic acid) is the trans isomer of palmitoleic acid. Palmitoleic acid is one of the most abundant fatty acids in serum and tissue.

**Purity:** >98.0%

Clinical Data: No Development Reported

10 mg (393 mM \* 100 μL in Ethanol),

## Palmitelaidic acid-d13

Cat. No.: HY-N2341S

Palmitelaidic acid-d13 is the deuterium labeled Palmitelaidic Acid. Palmitelaidic Acid (9-trans-Hexadecenoic acid) is the trans isomer of palmitoleic acid. Palmitoleic acid is one of the most abundant fatty acids in serum and tissue.



PF-06409577

PF-06409577 is a potent and selective allosteric activator of AMPK  $\alpha1\beta1\gamma1$  isoform with an EC<sub>50</sub> of 7 nM.



Cat. No.: HY-103683

99 46% Purity: Clinical Data: Phase 1

 $10~\text{mM}\times1~\text{mL},\,5~\text{mg},\,10~\text{mg},\,25~\text{mg},\,50~\text{mg},\,100~\text{mg}$ 

>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# PF-06679142

Cat. No.: HY-120270

PF-06679142 (Compound 10) is a potent, orally active **AMPK** activator with an **EC**<sub>50</sub> of 22 nM against α1β1γ1-AMPK. PF-06679142 can be used for diabetic nephropathy research.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# PF-06685249

(PF-249) Cat. No.: HY-117623

PF-06685249 (PF-249) is a potent and orally active allosteric AMPK activator with an EC<sub>50</sub> of 12 nM for recombinant AMPK α1β1γ1. PF-06685249 can be used for diabetic nephropathy research.



>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# Phenformin hydrochloride

(Phenethylbiguanide hydrochloride) Cat. No.: HY-16397A

Phenformin hydrochloride is an anti-diabetic drug from the biguanide class, can activate AMPK activity.

Purity: 98.12% Clinical Data: Launched

Size: 10 mM × 1 mL, 500 mg, 1 g, 5 g

#### Platycodin D

Platycodin D is a saponin isolated from Platycodi Radix, acts as an activator of  $AMPK\alpha$ , with

anti-obesity property.



Cat. No.: HY-N1411

98.34%

Clinical Data: No Development Reported 5 mg, 10 mg, 20 mg

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

#### PT1

PT1 is an AMPK $\alpha$ 1 activator that directly activates the inactive truncated forms of AMPK $\alpha$ 1 monomers.

THE THE

Cat. No.: HY-103239

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# RSVA405

RSVA405 is a potent, orally active activator of AMPK, with an EC  $_{so}$  of 1  $\mu M.$  RSVA405 facilitates CaMKKβ-dependent activation of AMPK, inhibits mTOR, and promotes autophagy to increase Aβ degradation.



Cat. No.: HY-103238

Purity: 99.58%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### **SAMS**

Cat. No.: HY-P0136

SAMS peptide is a specific substrate for the AMP-activated protein kinase (AMPK).

HMRSAMSGLHLVKRR-NH2

**Purity:** >98%

Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg

#### SMTIN-T140

Cat. No.: HY-147696

SMTIN-T140 (compound 6a) is a potent **TRAP1** (tumor-necrosis-factor-receptor associated protein 1) inhibitor, with an  $\rm IC_{50}$  of 1.646  $\mu$ M. SMTIN-T140 shows anticancer activity. SMTIN-T140 leads to mitochondrial dysfunction, increases mitochondrial ROS production and activates **AMPK**.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



## STO-609

Cat. No.: HY-19805

STO-609 is a selective and cell-permeable inhibitor of the  $\text{Ca}^{2*}/\text{calmodulin-dependent}$  protein kinase kinase (CaM-KK), with K<sub>1</sub> values of 80 and 15 ng/mL for recombinant CaM-KK $\alpha$  and CaM-KK $\beta$ , respectively.

NN OH

Purity: 98.13%

Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### ULK1-IN-2

Cat. No.: HY-143466

ULK1-IN-2 (compound 3s) is a potent **ULK1** inhibitor. ULK1-IN-2 shows highest cytotoxic effect against cancer cell lines, with  $\rm IC_{50}$  of 1.94  $\mu M$  in A549. ULK1-IN-2 can induce apoptosis and simultaneously block autophagy, and can be used to study NSCLC (Non-small cell lung cancer).



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# Urolithin B

Cat. No.: HY-126307

Urolithin B is one of the gut microbial metabolites of ellagitannins, and has anti-inflammatory and antioxidant effects.



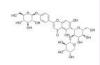
Purity: 99.92%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

# Vaccarin

Vaccarin is an active flavonoid glycoside associated with various biological functions. Vaccarin significantly promote wound healing and endothelial cells and fibroblasts proliferation in the wound site.



Cat. No.: HY-N1419

**Purity:** 99.35%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 20 mg

# WZ4003

Cat. No.: HY-15802

WZ4003 is the first potent and highly specific NUAK kinase inhibitor with IC $_{50}$  of 20 nM/100 nM for NUAK1 (ARK5)/NUAK2, without significant inhibition on other 139 kinases.



Purity: 98.88%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

#### Xanthoangelol

Cat. No.: HY-111588

Xanthoangelol, extracted from Angelica keiskei, suppresses obesity-induced inflammatory responses. Xanthoangelol possesses antibacterial activity. Xanthoangelol inhibits monoamine oxidases. Xanthoangelol induces apoptosis in neuroblastoma and leukemia cells.

Purity: 98.36%

Clinical Data: No Development Reported

Size: 1 mg



#### YLF-466D

(C24)Cat. No.: HY-15840

YLF-466D is a newly developed AMPK activator, which inhibits platelet aggregation.

Purity: 99.54%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# **ZLN024**

ZLN024 is an AMPK allosteric activator. ZLN024 directly activates recombinant AMPK α1β1γ1, AMPK  $\alpha 2\beta 1\gamma 1,$  AMPK  $\alpha 1\beta 2\gamma 1$  and AMPK  $\alpha 2\beta 2\gamma 1$  heterotrimer with  $EC_{s0}s$  of 0.42  $\mu M,\,0.95~\mu M,\,1.1~\mu M$  and 0.13 μM, respectively.



Cat. No.: HY-16708

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# [6]-Gingerol

((S)-(+)-[6]Gingerol; 6-Gingerol)

-Gingerol is an active compound isolated from Ginger (Zingiber officinale Rosc), exhibits a variety of biological activities including anticancer, anti-inflammation, and anti-oxidation.

Cat. No.: HY-14615

Purity: 99.54%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

ZLN024 hydrochloride

Cat. No.: HY-16708A

ZLN024 hydrochloride is an AMPK allosteric activator. ZLN024 directly activates recombinant AMPK  $\alpha$ 1 $\beta$ 1 $\gamma$ 1, AMPK  $\alpha$ 2 $\beta$ 1 $\gamma$ 1, AMPK  $\alpha$ 1 $\beta$ 2 $\gamma$ 1 and AMPK  $\alpha 2\beta 2\gamma 1$  heterotrimer with  $EC_{s0}s$  of 0.42  $\mu M,\,0.95$  $\mu$ M, 1.1  $\mu$ M and 0.13  $\mu$ M, respectively.

Purity: 98.54%

Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

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# **Aurora Kinase**

The Aurora kinases comprise a family of evolutionary conserved serine/threonine kinases (Aurora-A, Aurora-B, and Aurora-C). Aurora kinases control multiple events during cell cycle progression and are essential for mitotic and meiotic bipolar spindle assembly and function.

Aurora-A, Aurora-B, and Aurora-C share a highly conserved kinase domain but have quite different subcellular localizations and functions during mitosis. Aurora-A mostly controls centrosome maturation and bipolar spindle assembly, while Aurora-B and Aurora-C are required for condensation, attachment to kinetochores, and alignment of chromosomes during (pro-)metaphase and cytokinesis. In human tumors, all Aurora kinase members play oncogenic roles related to their mitotic activity and promote cancer cell survival and proliferation. Inhibitors targeting Aurora kinases have attracted attention in cancer research.

# **Aurora Kinase Inhibitors & Modulators**

# AAPK-25

Cat. No.: HY-126249

AAPK-25 is a potent and selective Aurora/PLK dual inhibitor with anti-tumor activity, which can cause mitotic delay and arrest cells in a prometaphase, reflecting by the biomarker histone H3<sup>Ser10</sup> phosphorylation and followed by a surge in apoptosis.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

(MLN 8237 sodium)

Alisertib (MLN 8237) sodium is an orally active and selective Aurora A kinase inhibitor (IC<sub>so</sub>=1.2 nM), which binds to Aurora A kinase resulting in mitotic spindle abnormalities, mitotic accumulation.

**AKI603** 

AKI603 is an inhibitor of Aurora kinase A (AurA), with an  $IC_{so}$  of 12.3 nM. AKI603 is developed to overcome resistance mediated by BCR-ABL-T315I mutation. AKI603 exhibits strong anti-proliferative activity in leukemic cells.



Cat. No.: HY-123159

Purity: 98.05%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# Alisertib

(MLN 8237) Cat. No.: HY-10971

Alisertib (MLN 8237) is an orally active and selective Aurora A kinase inhibitor (IC<sub>50</sub>=1.2 nM), which binds to Aurora A kinase resulting in mitotic spindle abnormalities, mitotic accumulation.



99.84% Purity: Clinical Data: Phase 3

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg Size:

# Alisertib sodium

Cat. No.: HY-10971A

**Purity:** >98%

Clinical Data: No Development Reported

1 mg, 5 mg

#### **AMG 900**

Cat. No.: HY-13253

AMG 900 is a potent and highly selective pan-Aurora kinases inhibitor with IC<sub>50</sub> of 5 nM, 4 nM and 1 nM for Aurora A, B and C, respectively.



Purity: 99 29% Clinical Data: Phase 1

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

#### AT9283

AT9283 is a multi-targeted kinase inhibitor with

potent activity against Aurora A/B, JAK2/3, Abl (T315I) and Flt3 (IC<sub>so</sub>s ranging from 1 to 30 nM). AT9283 inhibits growth and survival of multiple solid tumors in vitro and in vivo.



Cat. No.: HY-50514

**Purity:** 99 70% Clinical Data: Phase 2

Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

# Aurora A inhibitor 1

Cat. No.: HY-143713

Aurora A inhibitor 1 is a potent and selective inhibitor of Aurora A. Aurora A has been implicated in cancers of diverse histological origin and may possess oncogenic properties when overexpressed.



>98% Purity:

Clinical Data: No Development Reported

Size: 1 ma, 5 ma

# Aurora A inhibitor 2

Aurora A inhibitor 2 (Compound 16h) is a potent Aurora A kinase inhibitor with an IC<sub>50</sub> of 21.94 nM. Aurora A inhibitor 2 induces caspase-dependent apoptosis in MDA-MB-231 cells.



Cat. No.: HY-146037

>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# Aurora A/PKC-IN-1

Cat. No.: HY-144307

Aurora A/PKC-IN-1 (Compound 2e) is a potent dual inhibitor of Aurora A (AurA) and PKC (α, β1,  $\beta$ 2, and  $\theta$ ) kinases with IC<sub>so</sub>s of 6.9 nM and 16.9 nM for AurA and PKCα, respectively. Aurora A/PKC-IN-1 has antiproliferative activity in breast cancer cells and antimetastatic activity.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# Aurora B inhibitor 1

Aurora B inhibitor 1 is an Aurora B (Aurora-1) inhibitor extracted from patent WO2007059299A1, compound 1-3, has a K<sub>i</sub> value of <0.010 uM.



Cat. No.: HY-U00304

Purity: >98%

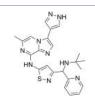
Clinical Data: No Development Reported

1 mg, 5 mg

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

# Aurora inhibitor 1

Aurora inhibitor 1 is a potent Aurora inhibitor with an  $IC_{50}$  of  $\leq 4$  nM and  $\leq 13$  nM for Aurora A and Aurora B kinase, respectively.



Cat. No.: HY-111506

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# Aurora kinase inhibitor-2

Aurora kinase inhibitor-2 is a selective and ATP-competitive **Aurora kinase** inhibitor with  $\rm IC_{50}S$  of 310 nM and 240 nM for **Aurora A** and **Aurora B**, respectively.



Cat. No.: HY-112355

**Purity:** 99.19%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### Aurora kinase inhibitor-3

Cat. No.: HY-112373

Aurora kinase inhibitor-3 is a strong and selective Aurora A kinase inhibitor with an  $IC_{s0}$  of 42 nM, and weakly inhibits EGFR with an  $IC_{s0}$  of >10  $\mu\text{M}.$ 



Purity: 99.34%

Clinical Data: No Development Reported Size: 10 mM × 1 mL, 1 mg, 5 mg

## Aurora kinase inhibitor-8

Cat. No.: HY-144991

Aurora kinase inhibitor-8 is a highly selective inhibitor of the **Aurora kinases**.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# Aurora kinase inhibitor-9

Cat. No.: HY-147703

Aurora kinase inhibitor-9 (compound 9d) is a potent AURKA/B dual aurora kinase inhibitor with  $IC_{50}$ s of 0.093, 0.09  $\mu$ M for Aurora A, Aurora B, respectively. Aurora kinase inhibitor-9 shows broad spectrum anti-proliferative activity.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# Aurora kinase-IN-1

Cat. No.: HY-115932

Aurora kinase-IN-1 (Compound 9) is a potent inhibitor of aurora kinase.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# Aurora/LIM kinase-IN-1

Cat. No.: HY-144438

Aurora/LIM kinase-IN-1 (Compound F114) is a potent and dual inhibitor of aurora and lim kinase. Aurora kinases and lim kinases are involved in neoplastic cell division and cell motility, respectively. Aurora/LIM kinase-IN-1 inhibits GBM proliferation and invasion.



Purity: >98%

Clinical Data: No Development Reported

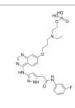
Size: 1 mg, 5 mg

# Barasertib (AZD1152)

Barasertib (AZD1152), a pro-drug of Barasertib-hQPA, is a highly selective **Aurora B** inhibitor with an  $\rm IC_{50}$  of 0.37 nM in a cell-free assay. Barasertib (AZD1152) induces growth arrest and apoptosis in cancer cells.



Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg



Cat. No.: HY-18955

Cat. No.: HY-10127

## Barasertib-HQPA

(AZD2811; INH-34; AZD1152-HQPA) Cat. No.: HY-10126

Barasertib-HQPA (AZD2811) is a highly selective Aurora B inhibitor with an  $\rm IC_{50}$  of 0.37 nM in a cell-free assay. Barasertib-HQPA (AZD2811) induces growth arrest and apoptosis in cancer cells.



Purity: 99.47% Clinical Data: Phase 2

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 50 mg, 100 mg

# BI-847325

BI-847325 is an ATP competitive dual inhibitor of MEK and aurora kinases (AK) with IC $_{50}$  values of 4 and 15 nM for human MEK2 and AK-C, respectively.



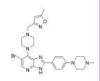
Purity: 98.66% Clinical Data: Phase 1

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### **CCT 137690**

Cat. No.: HY-10804

CCT 137690 is a potent and orally available aurora kinase inhibitor with IC<sub>so</sub>s of 15, 25, and 19 nM for aurora A, B and C, respectively.



99 54% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# CCT129202

CCT129202 is an aurora kinase inhibitor with IC<sub>so</sub>s of 42, 198, and 227 nM for aurora A, B and C, respectively.



Cat. No.: HY-12049

98 24% Purity:

Clinical Data: No Development Reported

Size: 5 mg, 10 mg, 50 mg, 100 mg

# CCT241736

Cat. No.: HY-18161

CCT241736 is a potent and orally bioavailable dual FLT3 and Aurora kinase inhibitor, which inhibits Aurora kinases (Aurora-A $K_{d}$ , 7.5 nM,  $IC_{50}$ , 38 nM; Aurora-B K<sub>d</sub>, 48 nM), FLT3 kinase (K<sub>d</sub>, 6.2 nM), and FLT3 mutants including FLT3-ITD (K<sub>at</sub> 38 nM) and FLT3(D835Y) (K<sub>d</sub>, 14 nM).

Purity: 98 09%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

#### CD532

CD532 is a potent Aurora A kinase inhibitor with an IC<sub>50</sub> of 45 nM. CD532 has the dual effect of blocking Aurora A kinase activity and driving degradation of MYCN. CD532 also can directly

interact with AURKA and induces a global conformational shift.

**Purity:** 

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



Cat. No.: HY-112273

# CD532 hydrochloride

Cat. No.: HY-112273A

CD532 hydrochloride is a potent Aurora A kinase inhibitor with an IC<sub>50</sub> of 45 nM. CD532 hydrochloride has the dual effect of blocking Aurora A kinase activity and driving degradation of MYCN.

Purity: 99.31%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg

#### Cenisertib

(AS-703569; R-763)

Cenisertib (AS-703569) is an ATP-competitive multi-kinase inhibitor that blocks the activity of Aurora-kinase-A/B, ABL1, AKT, STAT5 and FLT3.



Cat. No.: HY-13072

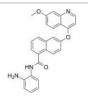
99.64% Purity: Clinical Data: Phase 1

Size  $10~\text{mM}\times1~\text{mL},\,5~\text{mg},\,10~\text{mg},\,50~\text{mg},\,100~\text{mg}$ 

# Chiauranib

(CS2164) Cat. No.: HY-124526

Chiauranib (CS2164) is an orally active multi-target inhibitor against tumor angiogenesis.



99.28% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# CYC-116

Cat. No.: HY-10558

CYC-116 is a potent aurora A and aurora B inhibitor with K,s of 8 and 9 nM, respectively.



Purity: 98.17% Clinical Data: Phase 1

Size: 10 mg, 50 mg, 100 mg

# Danusertib

(PHA-739358) Cat. No.: HY-10179

Danusertib is a pyrrolo-pyrazole and aurora kinase inhibitor with IC<sub>so</sub> of 13, 79, and 61 nM for Aurora A, B, and C, respectively.



Purity: 99.44% Clinical Data: Phase 2

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# dAURK-4

Cat. No.: HY-137344

dAURK-4, an Alisertib derivative, is a potent and selective AURKA (Aurora A) degrader. dAURK-4 has anticancer effects.



>98%

Clinical Data: No Development Reported

1 mg, 5 mg Size:

# dAURK-4 hydrochloride

Cat. No.: HY-137344A

dAURK-4 hydrochloride, an Alisertib derivative, is a potent and selective AURKA (Aurora A) degrader. dAURK-4 hydrochloride has anticancer effects.



>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

ENMD-2076 Tartrate is a multi-targeted kinase inhibitor with IC<sub>50</sub>s of 1.86, 14, 58.2, 15.9, 92.7, 70.8, 56.4 nM for Aurora A, Flt3, KDR/VEGFR2, Flt4/VEGFR3, FGFR1, FGFR2, Src,

PDGFRα, respectively.

**Purity:** 98.87% Clinical Data: Phase 2

10 mM × 1 mL, 5 mg, 10 mg

# **ENMD-2076**

Cat. No.: HY-10987A

ENMD-2076 is a multi-targeted kinase inhibitor with IC<sub>50</sub>s of 1.86, 14, 58.2, 15.9, 92.7, 70.8, 56.4 nM for Aurora A, Flt3, KDR/VEGFR2, Flt4/VEGFR3, FGFR1, FGFR2, Src, PDGFRα, respectively.

Purity: 99 12% Clinical Data: Phase 2

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg



# Glycyl H-1152 hydrochloride

Cat. No.: HY-15720B

Glycyl H-1152 hydrochloride (compound 18) is a glycyl derivative of Rho-kinase inhibitors H-1152 dihydrochloride. Glycyl H-1152 hydrochloride inhibits ROCKII, Aurora A, CAMKII and PKG, with  $IC_{50}$ s of 0.0118, 2.35, 2.57 and 3.26  $\mu M$ respectively.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



# GSK2646264

Cat. No.: HY-112809

GSK2646264 (Compound 44) is a potent and selective spleen tyrosine kinase (SYK) inhibitor with a  $pIC_{so}$  of 7.1.

>98% Purity:

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Size:

#### Hesperadin

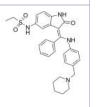
Cat. No.: HY-12054

Hesperadin is an ATP competitive indolinone inhibitor of Aurora A and B. Hesperadin inhibits Aurora B with an IC<sub>50</sub> of 250 nM. Hesperadin inhibits the growth of Trypanosoma brucei by blocking nuclear division and cytokinesis.

Purity: ≥98.0%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg Size:



#### Derrone

Derrone, a prenylated isoflavones, is an Aurora kinase inhibitor, with  $IC_{so}$  values of 6 and 22.3  $\mu M$ against Aurora B and Aurora A, respectively. Derrone shows anti-tumor activity.

Cat. No.: HY-N3737

>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### **ENMD-2076 Tartrate**

Cat. No.: HY-10987

Cat. No.: HY-70044

# GSK-1070916

(GSK-1070916A)

GSK-1070916 is a potent and selective ATP-competitive inhibitor of aurora B and aurora C with K<sub>s</sub> of 0.38 and 1.5 nM, respectively, and is >250- fold selective over

99.55% Purity: Clinical Data: Phase 1

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

# GW779439X

Cat. No.: HY-103645

GW779439X is a pyrazolopyridazine identified in an inhibitor of the S. aureus PASTA kinase Stk1. GW779439X potentiates the activity of  $\beta$ -lactam antibiotics against various MRSA and MSSA isolates, some even crossing the breakpoint from resistant to sensitive.

99.85% Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

# Hesperadin hydrochloride

Cat. No.: HY-12054A

Hesperadin hydrochloride is an ATP competitive indolinone inhibitor of Aurora A and B. Hesperadin hydrochloride inhibits Aurora B with an IC<sub>50</sub> of 250 nM.

>98%

Clinical Data: No Development Reported

1 mg, 5 mg

#### Ilorasertib

(ABT-348) Cat. No.: HY-16018

Ilorasertib (ABT-348) is a potent and ATP-competitive multitargeted kinase inhibitor, which inhibits **Aurora C**, **Aurora B**, and **Aurora A** with  $IC_{50}$ S of 1 nM, 7 nM, 120 nM, respectively.

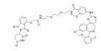


Purity: ≥98.0% Clinical Data: Phase 2 Size: 50 mg, 100 mg

# JB170

Cat. No.: HY-141512

JB170 is a potent and highly specific PROTAC-mediated AURORA-A (Aurora Kinase) degrader (DC<sub>50</sub>=28 nM) by linking Alisertib, to the Cereblon-binding molecule Thalidomide. JB170 preferentially binds AURORA-A (EC<sub>50</sub>=193 nM) over AURORA-B (EC<sub>50</sub>=1.4  $\mu$ M).



Purity: 98.40%

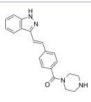
Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# KW-2449

Cat. No.: HY-10339

KW-2449 is a multi-targeted kinase inhibitor of FLT3, ABL, ABL $^{73151}$  and Aurora kinase with  $IC_{50}$ s of 6.6, 14, 4 and 48 nM, respectively.



Purity: 99.85% Clinical Data: Phase 1

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 50 mg, 100 mg

# MK-5108

(VX-689) Cat. No.: HY-13252

MK-5108 is a highly potent and specific inhibitor of Aurora A kinase with an  $IC_{so}$  value of 0.064 nM.



Purity: 99.89% Clinical Data: Phase 1

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# MLN8054

Cat. No.: HY-10180

MLN8054 is a potent, selective and orally available **aurora** A kinase inhibitor with an  $IC_{50}$  of 4 nM.



Purity: 99.43% Clinical Data: Phase 1

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### Ilorasertib hydrochloride

(ABT-348 hydrochloride)

Ilorasertib (ABT-348 hydrochloride) is a potent and ATP-competitive multitargeted kinase inhibitor, which inhibits **Aurora C**, **Aurora B**, and **Aurora A** with  $IC_{50}$ s of 1 nM, 7 nM, 120 nM, respectively.

Purity: 99.67% Clinical Data: Phase 2

Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg



Cat. No.: HY-16018A

## JNJ-7706621

Cat. No.: HY-10329

JNJ-7706621 is a potent **aurora kinase** inhibitor, and also inhibits **CDK1** and **CDK2**, with  $IC_{50}$ s of 9 nM, 3 nM, 11 nM, and 15 nM for **CDK1**, **CDK2**, **aurora-A** and **aurora-B**, respectively.



**Purity:** 99.96%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

# LY3295668

(AK-01) Cat. No.: HY-114258

LY3295668 (AK-01) is a potent, orally active and highly specific **Aurora-A kinase** inhibitor, with  $\mathbf{K}_{i}$  values of 0.8 nM and 1038 nM for AurA and AurB, respectively.



Purity: 98.88% Clinical Data: Phase 2

Size: 5 mg, 10 mg, 50 mg, 100 mg

# MK-8745

Cat. No.: HY-13819

MK-8745 is an **aurora A** kinase inhibitor with an  $IC_{50}$  of 0.6 nM.



Purity: 99.49%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

## NU6140

Cat. No.: HY-107419

NU6140 is a selective CDK2-cyclin A inhibitor (IC $_{50'}$  0.41  $\mu$ M), exhibits 10- to 36-fold selectivity over other CDKs. NU6140 also potently inhibits Aurora A and Aurora B, with IC $_{50}$ s of 67 and 35 nM, respectively. Enhances the apoptotic effect, with anti-cancer activity.



urity: 99.51%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# PF 477736

(PF 00477736) Cat. No.: HY-10032

PF 477736 (PF 00477736) is a potent, selective and ATP-competitive inhibitor of Chk1, with a K, of 0.49 nM, it is also a Chk2 inhibitor, with a K, of 47 nM.



99 21% Purity:

Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

# PF-03814735

PF-03814735 is a potent, orally available, ATP-competitive and reversible aurora A and aurora B inhibitor with IC<sub>50</sub>s of 0.8 and 0.5 nM, respectively.



Cat. No.: HY-14574

99 82% Purity: Clinical Data: Phase 1

10 mM × 1 mL, 5 mg, 10 mg, 50 mg

# PHA-680632

Cat. No.: HY-10178

PHA-680632 is an aurora kinase inhibitor with IC<sub>so</sub>s of 27, 135 and 120 nM for aurora A, B and C, respectively.



Purity: 98 48%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# Phthalazinone pyrazole

Cat. No.: HY-12564

Phthalazinone pyrazole is a potent, selective, and orally active inhibitor of Aurora-A kinase with an IC<sub>so</sub> of 0.031 μM. Phthalazinone pyrazole can arrests mitosis and subsequently inhibit tumor growth via apoptosis of proliferating cells.



Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg

#### Retreversine

Cat. No.: HY-113894

Retreversine is an inactive control for Reversine. Reversine is a novel class of ATP-competitive Aurora kinase inhibitor.



>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# Reversine

Cat. No.: HY-14711

Reversine is a novel class of ATP-competitive Aurora kinase inhibitor with IC<sub>50</sub>s of 400, 500 and 400 nM for Aurora A, Aurora B and Aurora C, respectively.



99.40% Purity:

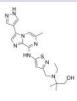
Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg Size:

# SCH-1473759

Cat. No.: HY-10482

SCH-1473759 is an aurora inhibitor with  $IC_{50}$ s of 4 and 13 nM for aurora A and B, respectively.



Purity: 98.20%

Clinical Data: No Development Reported Size: 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

# SCH-1473759 hydrochloride

Cat. No.: HY-10483

SCH-1473759 hydrochloride is an aurora inhibitor with IC<sub>so</sub>s of 4 and 13 nM for aurora A and B, respectively.



Purity: 99.79%

Clinical Data: No Development Reported

10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

# **SNS-314**

Cat. No.: HY-108344

SNS-314 is a potent and selective aurora kinase inhibitor with IC<sub>50</sub>s of 9, 31, and 6 nM for aurora A, B and C, respectively.



Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg Size:

#### SNS-314 mesylate

Cat. No.: HY-12003

SNS-314 mesylate is a potent and selective aurora kinase inhibitor with IC<sub>50</sub>s of 9, 31, and 6 nM for aurora A, B and C, respectively.



99.90% **Purity:** Clinical Data: Phase 1

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

#### **SP-96**

Cat. No.: HY-131339

SP-96 is a highly potent, selective and non-ATP-competitive Aurora B (IC<sub>50</sub>=0.316 nM) inhibitor and shows >2000 fold selectivity against FLT3 and KIT. SP-96 shows selective growth inhibition in NCI60 screening, incluing MDA-MD-468  $(GI_{50}=107 \text{ nM}).$ 



Purity: 98.03%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# TAK-901-d3

TAK-901 is a multi-targeted aurora inhibitor with IC<sub>50</sub>s of 21 and 15 nM for aurora A and B, respectively.

Cat. No.: HY-12201

Purity: 99 80% Clinical Data: Phase 1

5 mg, 10 mg, 50 mg, 100 mg

# 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

Purity:

BRAFWT, respectively.

**TAK-632** 

Cat. No.: HY-12201S

TAK-901-d3 is the deuterium labeled TAK-901. TAK-901 is a multi-targeted aurora inhibitor with IC<sub>so</sub>s of 21 and 15 nM for aurora A and B, respectively.

TAK-632 is a potent pan-RAF inhibitor with IC<sub>so</sub>

of 1.4, 2.4 and 8.3 nM for CRAF, BRAFV600E,

98 46%

Clinical Data: No Development Reported

Cat. No.: HY-15767

Purity: >98% Clinical Data

1 mg, 10 mg

## **TAS-119**

**TAK-901** 

Cat. No.: HY-137377

TAS-119 is a potent, selective and orally active Aurora A inhibitor with an IC<sub>50</sub> of 1.0 nM. TAS-119 shows high selectivity for Aurora A over other protein kinases, including Aurora B (IC<sub>50</sub> of 95 nM). TAS-119 has potent antitumor activites.

98.27% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# TC-A 2317 hydrochloride

Cat. No.: HY-103266

TC-A 2317 hydrochloride is an orally active Aurora A kinase inhibitor (K<sub>i</sub>=1.2 nM). TC-A 2317 hydrochloride exhibits excellent selectivity to Aurora B kinase (K<sub>i</sub>=101 nM) and other 60 kinases, good cell permeability and good PK profile. Antitumor activity.



>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# TCS7010

Cat. No.: HY-70061

TCS7010 is a potent and highly selective Aurora A inhibitor with with an IC<sub>50</sub> of 3.4 nM.



99.22% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# **Tinengotinib**

Tinengotinib is the modulator of one or more protein kinases such as Aurora kinase and VEGFR kinase. Tinengotinib has the potential for the research of these kinase abnormalities diseases mediated, especially cancer-related diseases (extracted from patent WO2018108079A1).

Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



Cat. No.: HY-145601

## **Tozasertib**

(VX 680; MK-0457) Cat. No.: HY-10161

Tozasertib (VX 680; MK-0457) is an inhibitor of Aurora A/B/C kinases with K,s of 0.6, 18, 4.6 nM, respectively.



Purity: 99.94% Clinical Data: Phase 2

Size: 10 mM × 1 mL, 50 mg, 100 mg, 250 mg

# Tripolin A

((E)-Tripolin A)

Tripolin A ((E)-Tripolin A) is a specific non-ATP competitive Aurora A kinase inhibitor, with IC<sub>50</sub> values of 1.5 μM and 7 μM for Aurora A and Aurora B, respectively. < br/>>.



Cat. No.: HY-124330

Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg

Tel: 609-228-6898 Fax: 609-228-5909

Email: sales@MedChemExpress.com

# XL228

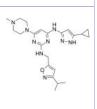
Cat. No.: HY-15749

XL228 is a multi-targeted tyrosine kinase inhibitor with  $\rm IC_{50}$ s of 5, 3.1, 1.6, 6.1, 2 nM for Bcr-Abl, Aurora A, IGF-1R, Src and Lyn, respectively.

99.58% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg



# ZM-447439

ZM-447439 is an aurora kinase inhibitor with  $\rm IC_{so}$ s of 110 and 130 nM for aurora A and B, respectively.

وباورد

Cat. No.: HY-10128

99.19% Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg



# **DNA Methyltransferase**

**DNMTs**; **DNA MTases** 

DNA methyltransferases (DNMTs) are a family of "writer" enzymes responsible for DNA methylation that is the addition of a methyl group to the carbon atom number five (C5) of cytosine. Mammalians encode five DNMTs: DNMT1, DNMT3A-DNMT3B (de novo methyltransferases), and DNMT1. DNMT1, DNMT3A, and DNMT3B are the three active enzymes that maintain DNA methylation. DNMT3L has no catalytic activity and functions as a regulator of DNMT3A and DNMT3B, whereas DNMT2 acts as a tRNA transferase rather than a DNA methyltransferase.

DNA methylation is a vital modification process in the control of genetic information, which contributes to the epigenetics by regulating gene expression without changing the DNA sequence. In prokaryotes, DNA methylation is essential for transcription, the direction of post-replicative mismatch repair, the regulation of DNA replication, cell-cycle control, bacterial virulence, and differentiating self and non-self DNA. In mammalians, DNA methylation is crucial in many key physiological processes, including the inactivation of the X-chromosome, imprinting, and the silencing of germline-specific genes and repetitive elements.

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

# **DNA Methyltransferase Inhibitors**

# (R)-GSK-3685032

(R)-GSK-3685032 is the R-enantiomer of GSK-3685032. GSK-3685032 is a non-time-dependent, noncovalently, first-in-class reversible DNMT1-selective inhibitor, with an ICso of  $0.036 \mu M.$ 

Purity: 98.02%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### Cat. No.: HY-139664A

2',3',5'-Triacetyl-5-azacytidine is an orally

2',3',5'-Triacetyl-5-azacytidine

active prodrug of 5-Azacytidine. 5-Azacytidine is an inhibitor of DNA methyltransferase.

Cat. No.: HY-112551

>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# 2'-Deoxy-5-nitrocytidine

#### Cat. No.: HY-145950

2'-Deoxy-5-nitrocytidine is a DNA Methyltransferase inhibitor extracted from patent CN108498529A. 2'-Deoxy-5-nitrocytidine can be used for the research of cancer.

Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg

# 5-Azacytidine

### (Azacitidine; 5-AzaC; Ladakamycin)

5-Azacytidine (Azacitidine; 5-AzaC; Ladakamycin) is a nucleoside analogue of cytidine that specifically inhibits DNA methylation.



Cat. No.: HY-10586

**Purity:** 99 40% Clinical Data: Launched

10 mM × 1 mL, 100 mg, 200 mg, 500 mg

# 5-Fluoro-2'-deoxycytidine

#### Cat. No.: HY-116217

5-Fluoro-2'-deoxycytidine, a fluoropyrimidine nucleoside analogue, is a DNA methyltransferase (DNMT) inhibitor. 5-Fluoro-2'-deoxycytidine is a tumor-selective prodrug of the potent thymidylate synthase inhibitor 5-fluoro-2'-dUMP.

Purity: 99.10%

Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg

# 5-Methyl-2'-deoxycytidine

#### (5-Methyldeoxycytidine)

5-Methyl-2'-deoxycytidine in single-stranded DNA can act in cis to signal de novo DNA methylation.

Cat. No.: HY-W012078

98.15% Purity:

Clinical Data: No Development Reported Size 10 mM × 1 mL, 100 mg

# 6-Methyl-5-azacytidine

# Cat. No.: HY-111644

6-Methyl-5-azacytidine is a potent DNMT inhibitor.

>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# 6-Thioguanine

# (Thioguanine; 2-Amino-6-purinethiol)

6-Thioguanine (Thioguanine; 2-Amino-6-purinethiol) is an anti-leukemia and immunosuppressant agent, acts as an inhibitor of SARS and MERS coronavirus papain-like proteases (PLpros) and also potently inhibits USP2 activity, with  $IC_{50}s$  of 25  $\mu M$  and 40 µM for Plpros and recombinant human...

Purity: ≥99.0% Clinical Data: Launched

10 mM × 1 mL, 100 mg, 500 mg



Cat. No.: HY-13765

# AA-CW236

### Cat. No.: HY-119390

AA-CW236 is a MGMT (O6-methylguanine DNA methyltransferase) inhibitor. AA-CW236 targets MGMT active site Cys145 for covalent modification.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### Benzyl selenocyanate

### Cat. No.: HY-131991

Benzyl selenocyanate is a chemopreventive agent for various chemically induced tumors in animal models at both the initiation and postinitiation stages. Benzyl selenocyanate is an inhibitor of DNA (cytosine-5)-methyltransferase (Mtase), with an with an  $IC_{50}$  of 8.4  $\mu M$ .



>98%

Clinical Data: No Development Reported

1 mg, 5 mg

#### Bobcat339

Cat. No.: HY-111558

Bobcat339 is a potent and selective cytosine-based inhibitor of TET enzyme, with IC  $_{s0}s$  of 33  $\mu M$  and 73  $\mu M$  for TET1 and TET2, respectively.

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# Bobcat339 hydrochloride

Bobcat339 hydrochloride is a potent and selective cytosine-based inhibitor of TET enzyme, with the  $IC_{50}S$  of 33  $\mu M$  and 73  $\mu M$  for TET1 and TET2, respectively.

**Purity:** 99.02%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg



Cat. No.: HY-111558A

#### CM-272

Cat. No.: HY-101925

CM-272 is a first-in-class, potent, selective, substrate-competitive and reversible dual G9a/DNA methyltransferases (DNMTs) inhibitor with antitumor activities.

**Purity:** 99.27%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## CM-579

CM-579 is a first-in-class reversible, dual inhibitor of G9a and DNMT, with  $IC_{so}$  values of

16 nM, 32 nM for G9a and DNMT, respectively. Has potent in vitro cellular activity in a wide range of

cancer cells.

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



Cat. No.: HY-117421

# CM-579 trihydrochloride

Cat. No.: HY-117421A

CM-579 trihydrochloride is a first-in-class reversible, dual inhibitor of G9a and DNMT, with  $\rm IC_{50}$  values of 16 nM, 32 nM for G9a and DNMT, respectively. Has potent in vitro cellular activity in a wide range of cancer cells.



**Purity:** 98.03%

Clinical Data: No Development Reported

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 50 mg, 100 mg

#### DC-05

DC-05 is a DNA methyltransferase 1 (DNMT1) inhibitor, with an IC  $_{50}$  and a  $\rm K_d$  of 10.3  $\mu M$  and

1.09 μM, respectively.

Cat. No.: HY-12746

**Purity:** 99.08%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

# DC\_517

Cat. No.: HY-12747

DC\_517 is a DNA methyltransferase 1 (DNMT1) inhibitor, with an IC  $_{50}$  and a  $K_{d}$  of 1.7  $\mu\text{M}$  and 0.91  $\mu\text{M}$ , respectively.



Purity: 99.41%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

# Decitabine

(5-Aza-2'-deoxycytidine; 5-AZA-CdR; NSC 127716) Cat. No.: HY-A0004

Decitabine (NSC 127716) is an orally active deoxycytidine analogue antimetabolite and a DNA methyltransferase inhibitor.



Purity: 99.97%
Clinical Data: Launched

Size: 10 mM × 1 mL, 100 mg, 500 mg, 1 g, 2 g

# Dihydro-5-azacytidine

(DHAC; NSC 264880) Cat. No.: HY-106689

Dihydro-5-azacytidine (DHAC), the nucleoside analog, is incorporated into DNA and inhibits **DNA methylation**. Dihydro-5-azacytidine has an antitumor activity.

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# DNMT3A-IN-1

Cat. No.: HY-144433

DNMT3A-IN-1 is a potent and selective <code>DNMT3A</code> inhibitor. <code>DNMT3A-IN-1</code> shows inhibitor activities against <code>DNMT3A</code> with  $k_l$  values range from 9.16-18.85  $\mu$ M (AdoMet) and 11.37-23.34  $\mu$ M (poly dI-dC) .



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### GSK-3484862

GSK-3484862 is a non-covalent inhibitor for Dnmt1. GSK-3484862 induces DNA hypomethylation to against cancer. GSK-3484862 mediates global demethylation in murine embryonic stem cells.

N N NH<sub>2</sub>

Cat. No.: HY-135146

**Purity:** 99.94%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

# GSK-3685032

GSK-3685032 is a non-time-dependent, noncovalently, first-in-class reversible DNMT1-selective inhibitor, with an  $IC_{50}$  of 0.036  $\mu$ M. GSK-3685032 induces robust loss of DNA methylation, transcriptional activation, and cancer cell growth inhibition.

**Purity:** 99.95%

Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg



Cat. No.: HY-139664

## Guadecitabine

(SGI-110) Cat. No.: HY-13542

Guadecitabine (SGI-110) is a second-generation DNA methyltransferases (DNMT) inhibitor for research of acute myeloid leukemia (AML) and myelodysplastic syndromes (MDS).

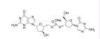


Purity: 98.0%
Clinical Data: Phase 3
Size: 1 mg, 5 mg

#### Guadecitabine sodium

(SGI-110 sodium; S-110 sodium)

Guadecitabine sodium (SGI-110 sodium) is a second-generation DNA methyltransferases (DNMT) inhibitor for research of acute myeloid leukemia (AML) and myelodysplastic syndromes (MDS).



Cat. No.: HY-15229

Purity: 98.05% Clinical Data: Phase 3 Size: 5 mg, 10 mg

### Hinokitiol

(β-Thujaplicin) Cat. No.: HY-B2230

Hinokitiol is a component of essential oils isolated from Chymacyparis obtusa, reduces Nrf2 expression, and decreases DNMT1 and UHRF1 mRNA and protein expression, with anti-infective, anti-oxidative, and anti-tumor activities.



Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 50 mg, 100 mg

98.24%

#### Isofistularin-3

Isofistularin-3 is a direct, DNA-competitive DNMT1 inhibitor, with an  $IC_{50}$  of 13.5  $\mu$ M. Isofistularin-3, as a DNA demethylating agent, induces cell cycle arrest and sensitization to TRAIL in cancer cells. Isofistularin-3 can be used

as an ADC cytotoxin.

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



Cat. No.: HY-19826

# Levetiracetam

Purity:

(UCB L059) Cat. No.: HY-B0106

Levetiracetam, an antiepileptic agent, binds the synaptic vesicle protein SV2A. Levetiracetam enhances Temozolomide effect on glioblastoma stem cell proliferation and apoptosis.



Purity: 99.99%
Clinical Data: Launched

Size: 10 mM × 1 mL, 50 mg, 100 mg, 500 mg

# Lomeguatrib

(PaTrin-2) Cat. No.: HY-13668

Lomeguatrib is a O $^6$ -methylguanine-DNA methyltransferase (MGMT) inhibitor, with IC $_{s0}$ S of 9 nM in cell-free assay and 6nM in MCF-7 cells.



**Purity:** 99.70%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# Nanaomycin A

Cat. No.: HY-103397

Nanaomycin A is the first selective <code>DNMT3B</code> inhibitor with an  $\rm IC_{50}$  of 500 nM. Nanaomycin A, a quinone antibiotics, reactivates silenced tumor suppressor genes in human cancer cells.

**Purity:** 98.18%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg

# O6BTG-octylglucoside

(Glucose-conjugated MGMT inhibitor)

O6BTG-octylglucoside is a potent O6-methylguanine-DNAmethyl-transferase (MGMT) inhibitor, with IC $_{50}$ S of 32 nM in vitro (cell extracts) and 10 nM in HeLa S3 cells.



Cat. No.: HY-13057

**Purity:** ≥95.0%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

#### Procainamide

(Procaine amide; SP 100) Cat. No.: HY-A0084A

Procainamide is a specific and potent inhibitor of DNA methyltransferase 1 (DNMT1). Procainamide is a Class 1A antiarrhythmic agent. Procainamide has the potential for the research of cancer and arrhythmias.

Purity: 95 31% Clinical Data: Launched 100 mg Size:

# **RSC133**

RSC133 exhibits dual activity by inhibiting histone deacetylase and DNA methyltransferase. RSC133 effectively facilitates reprogramming of human somatic cells to pluripotent stem cells and supports the maintenance of an undifferentiated state of human pluripotent stem cells.

Clinical Data: No Development Reported

1 mg, 5 mg

# Psammaplin A

Psammaplin A, a marine metabolite, is a potent inhibitor of HDAC and DNA methyltransferases. Psammaplin A ia a highly potent and selective DAC1 inhibitor with an IC<sub>50</sub> of 0.9 nM.



Cat. No.: HY-12310

Cat. No.: HY-N2150

Purity: >98%

Clinical Data: No Development Reported

Size: 100 μg

#### **RG108**

#### (N-Phthalyl-L-tryptophan)

RG108 (N-Phthalyl-L-tryptophan) is a non-nucleoside DNA methyltransferases (DNMTs) inhibitor (IC<sub>so</sub>=115 nM) that blocks the DNMTs active site.



Cat. No.: HY-13642

Purity: 99 81%

Clinical Data: No Development Reported 10 mM × 1 mL, 10 mg, 50 mg

SW155246

## Cat. No.: HY-123346

SW155246 is a DNA methyltransferase (DNMT1) selective inhibitor with  $IC_{so}$ s of 1.2 and 38  $\mu M$ for hDNMT1 and mDNMT3A, respectively. SW155246 can be used for the research of cancer and other



Purity: >98%

Clinical Data: No Development Reported

Size 1 mg, 5 mg

# SGI-1027

# Cat. No.: HY-13962

SGI-1027 is a DNA methyltransferase (DNMT) inhibitor, with  $IC_{50}$ s of 7.5  $\mu$ M, 8  $\mu$ M, and 12.5  $\mu$ M for DNMT3B, DNMT3A, and DNMT1 with poly(dI-dC) as substrate.

Purity: 99.35%

Clinical Data: No Development Reported Size: 10 mM × 1 mL, 10 mg, 50 mg

# TFMB-(S)-2-HG

# Cat. No.: HY-129079A

TFMB-(S)-2-HG is a potent inhibitor of the 5'-methylcytosine hydroxylase TET2. TFMB-(S)-2-HG also inhibits the EgIN prolyl hydroxylases. TFMB-(S)-2-HG has the potential for the research of acute myeloid leukemia (AML).

>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# Zebularine

# (NSC309132; 4-Deoxyuridine)

Zebularine (NSC309132; 4-Deoxyuridine) is a DNA methyltransferase inhibitor. Zebularine also inhibits cytidine deaminase with a K, of 0.95



Cat. No.: HY-13420

99.62% Purity:

Clinical Data: No Development Reported 10 mM × 1 mL, 10 mg, 50 mg Size

#### γ-Oryzanol

# Cat. No.: HY-B2194

y-Oryzanol is a potent DNA methyltransferases (DNMTs) inhibitor in the striatum of mice. γ-Oryzanol significantly inhibits the activities of DNMT1 (IC<sub>50</sub>=3.2  $\mu$ M), DNMT3a (IC<sub>50</sub>=22.3 μM).



Purity: ≥95.0% Clinical Data: Launched

Size:  $10 \text{ mM} \times 1 \text{ mL}, 500 \text{ mg}, 1 \text{ g}$ 



# **Epigenetic Reader Domain**

Epigenetic regulators of gene expression and chromatin state include so-called writers, erasers, and readers of chromatin modifications. Well-characterized examples of reader domains include bromodomains typically binding acetyllysine and chromatin organization modifier (chromo), malignant brain tumor (MBT), plant homeodomain (PHD), and Tudor domains generally associating with methyllysine. Research on epigenetic readers has been tremendously influenced by the discovery of selective inhibitors targeting the bromodomain and extraterminal motif (BET) family of acetyl-lysine readers. The human genome encodes 46 proteins containing 61 bromodomains clustered into eight families. Distinct experimental approaches are used to identify the first BET inhibitors, GSK 525762A and (+)-JO-1.

The Polycomb group (PcG) protein, enhancer of zeste homologue 2 (EZH2), has an essential role in promoting histone H3 lysine 27 trimethylation (H3K27me3) and epigenetic gene silencing. This function of EZH2 is important for cell proliferation and inhibition of cell differentiation, and is implicated in cancer progression. Cyclin-dependent kinases regulate epigenetic gene silencing through phosphorylation of EZH2. In many types of cancers including lymphomas and leukemia, EZH2 is postulated to exert its oncogenic effects via aberrant histone and DNA methylation, causing silencing of tumor suppressor genes.

p300/CBP is not only a transcriptional adaptor but also a histone acetyltransferase.

# **Epigenetic Reader Domain Inhibitors & Modulators**

(+)-JQ-1

(JQ1) Cat. No.: HY-13030

(+)-JQ-1 (JQ1) is a potent, specific, and reversible BET bromodomain inhibitor, with  $IC_{50}$ s of 77 and 33 nM for the first and second bromodomain (BRD4(1/2)). (+)-JQ-1 also activates autophagy.

Purity: 99.90%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

(+)-JQ-1-aldehyde

(+)-JQ-1-aldehyde is the aldehyde form of (+)-JQ1. (+)-JQ-1-aldehyde can be uesd as a precursor to synthesize PROTACs, which targets **BET bromodomains**.



Cat. No.: HY-131633A

**Purity:** 98.07%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

(+)-JQ1 PA

Cat. No.: HY-112789

(+)-JQ1 PA is a derivative of the Bromodomain and extra-terminal (BET) inhibitor JQ1, with an  $IC_{50}$  of 10.4 pM

Purity: 98.87%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

(2S,3R)-LP99

(2S,3R)-LP99 is a potent and selective **BRD7** and **BRD9** inhibitor with an  $K_D$  of 99 nM for BRD9. (2S,3R)-LP99 inhibits the association of BRD7 and BRD9 to acetylated histones in vitro and in cells.

(2S,3R)-LP99 demonstrates that BRD7/9 plays a role in regulating pro-inflammatory cytokine secretion.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

OF SOUN

Cat. No.: HY-116227

(E/Z)-ZL0420

Cat. No.: HY-112149A

(E/Z)-ZL0420 is a racemic compound of (Z)-ZL0420 and (E)-ZL0420 isomers. (E)-ZL0420 is a potent and selective bromodomain-containing protein 4 (BRD4) inhibitor with IC $_{50}$  values of 27 nM against BRD4 BD1 and 32 nM against BRD4 BD2.

No. No.

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

(R)-(-)-JQ1 Enantiomer

Cat. No.: HY-13030A

(R)-(-)-JQ1 Enantiomer is the stereoisomer of (+)-JQ1. (+)-JQ1 potently decreases expression of both BRD4 target genes, whereas (R)-(-)-JQ1 Enantiomer has no effect.



**Purity:** 99.66%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

(R)-BAY1238097

Cat. No.: HY-112316A

(R)-BAY1238097 is the R-isomer with lower activity of BAY1238097.



**Purity:** 99.61%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

(Rac)-BAY1238097

Cat. No.: HY-112316B

(Rac)-BAY1238097 is a BET inhibitor, with an IC  $_{\rm 50}$  of 1.02  $\mu M$  for BRD4. Used in cancer research.



**Purity:** 98.60%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

(S)-GNE-987

Cat. No.: HY-129937

(S)-GNE-987 (compound 4), the GNE-987 (a chimeric BET degrader) hydroxy-proline epimer, abrogates binding to **von Hippel-Lindau** and does not degrade BRD4 protein.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

(S)-JQ-35 (TEN-010)

(S)-JQ-35 (TEN-010) is an inhibitor of the Bromodomain and Extra-Terminal (BET) family bromodomain-containing proteins with potential antineoplastic activity.



Cat. No.: HY-117286

Purity: 98.98%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

#### 653-47

Cat. No.: HY-134598

653-47, a potentiator, significantly potentiates the cAMP-response element binding protein (CREB) inhibitory activity of 666-15. 653-47 is also a very weak CREB inhibitor with  $IC_{50}$  of 26.3  $\mu$ M.



>98% Purity:

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# 653-47 hydrochloride

653-47 hydrochloride, a potentiator, significantly potentiates the cAMP-response element binding protein (CREB) inhibitory activity of 666-15. 653-47 hydrochloride is also a very weak CREB



Cat. No.: HY-134598A

98.01% Purity:

inhibitor with  $IC_{50}$  of 26.3  $\mu M$ .

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### 666-15

Cat. No.: HY-101120

666-15 is a potent and selective CREB inhibitor with an IC<sub>50</sub> of 81 nM. 666-15 suppresses tumor growth in a breast cancer xenograft model.



Purity: 99 74%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg Size:

## A-366

Cat. No.: HY-12583

A-366 is a potent, highly selective, peptide-competitive histone methyltransferase G9a inhibitor with IC<sub>50</sub>s of 3.3 and 38 nM for G9a and GLP (EHMT1), respectively. A-366 shows >1000-fold selectivity over 21 other methyltransferases.



**Purity:** 

Clinical Data: No Development Reported 10 mM × 1 mL, 5 mg, 10 mg, 50 mg Size:

## A-485

Cat. No.: HY-107455

A-485 is a potent and selective catalytic inhibitor of p300/CBP with IC<sub>50</sub>s of 9.8nM and 2.6nM for p300 and CBP histone acetyltransferase (HAT), respectively.



99.90% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

# A1874

Cat. No.: HY-114305

A1874 is a nutlin-based (MDM2 ligand) and BRD4-degrading PROTAC with a DC<sub>50</sub> of 32 nM (induce BRD4 degradation in cells). Effective in inhibiting many cancer cell lines proliferation.



Cat. No.: HY-128359

99.28% Purity:

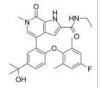
Clinical Data: No Development Reported Size

5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# ABBV-744

Cat. No.: HY-112090

ABBV-744 is a first-in-class, orally active and selective inhibitor of the BDII domain of BET family proteins with IC<sub>so</sub> values ranging from 4 to 18 nM for BRD2, BRD3, BRD4 and BRDT.



99.97% Purity: Clinical Data: Phase 1

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# ACBI1

ACBI1 is a potent PROTAC degrader of BAF ATPase subunits SMARCA2 and SMARCA4, also degrades the polybromo-associated BAF (PBAF) complex member PBRM1, with DC<sub>so</sub>s of 6 nM, 11 nM and 32 nM for SMARCA2, SMARCA4 and PBRM1 in MV-4-11 cells, respectively.



98.21% Purity:

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# AGB1

Cat. No.: HY-145227

AGB1 is a fast, highly selective, and potent bump-and-hole (B&H)-PROTAC degrader for BromoTag. AGB1 exhibits degradation for Ab:Brd4BD2L387A and Ab: BromoTag-Brd2 with pDC<sub>50</sub>s of 7.8 and 7.9. AGB1 exhibits binary affinity to VHL (K<sub>d</sub>=125 nM).



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# **Alobresib**

(GS-5829)

Alobresib (GS-5829) is a BET bromodomain inhibitor, which represents a highly effective therapeutics agent against recurrent/chemotherapy resistant uterine serous carcinoma (USC) overexpressing c-Myc.



Cat. No.: HY-109050

98.07% Clinical Data: Phase 2

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### Amredobresib

Cat. No.: HY-145550 Amredobresib is a potent inhibitor of BET. Amredobresib inhibits the binding of bromodomains

to acetylated lysines on histone H3 and H4 and thus acts as important regulators of gene

transcription.

>98% Purity:

Clinical Data: No Development Reported

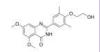
Size: 1 mg, 5 mg



# **Apabetalone**

(RVX-208; RVX000222) Cat. No.: HY-16652

Apabetalone (RVX-208) is an inhibitor of BET transcriptional regulators with selectivity for the second bromodomain. The  $IC_{so}$ s are 87  $\mu M$  and  $0.51 \mu M$  for **BD1** and **BD2**, respectively.



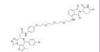
Purity: 99 47% Clinical Data: Phase 3

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### **ARV-825**

Cat. No.: HY-16954

ARV-825 is a PROTAC connected by ligands for Cereblon and BRD4. ARV-825 binds to BD1 and BD2 of BRD4 with K<sub>4</sub>s of 90 and 28 nM, respectively.



99.32% Purity:

Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

# AZ13824374

Cat. No.: HY-136521

AZ13824374 is a highly potent and selective ATAD2 bromodomain inhibitor which shows cellular target engagement and antiproliferative activity in a range of breast cancer models. AZ13824374 inhibits ATAD2 with pIC<sub>so</sub>s of 8.2 and 6.2 in ATAD2 FRET assay and ATAD2 NanoBRET assay, respectively.

Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



## **BAY-299**

Cat. No.: HY-107424

BAY-299 is a very potent, dual inhibitor with IC<sub>so</sub>s of 67 nM for BRPF2 bromodomains (BD), 8 nM for TAF1 BD2, and 106 nM for TAF1L BD2.



Purity: 99.24%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### **Anacardic Acid**

(Hydroginkgolic acid; Ginkgolic Acid C15:0)

Anacardic Acid, extracted from cashew nut shell liquid, is a histone acetyltransferase inhibitor. inhibits HAT activity of p300 and PCAF, with IC<sub>50</sub>s of 8.5 μM and 5 μM, respectively.



Cat. No.: HY-100972

All market

Cat. No.: HY-145388

Cat. No.: HY-100653A

Cat. No.: HY-N2020

98.07% Purity:

Clinical Data: No Development Reported 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

## **ARV-771**

ARV-771 is a potent BET PROTAC based on E3 ligase von Hippel-Lindau with K<sub>d</sub>s of 34 nM, 4.7 nM, 8.3 nM, 7.6 nM, 9.6 nM, and 7.6 nM for BRD2(1), BRD2(2), BRD3(1), BRD3(2), BRD4(1), and

BRD4(2), respectively.

**Purity:** 99 02%

Clinical Data: No Development Reported

10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

# AU-15330

AU-15330 is a proteolysis-targeting chimera (PROTAC) degrader of the SWI/SNF ATPase subunits, SMARCA2 and SMARCA4. AU-15330 induces potent inhibition of tumour growth in xenograft models of prostate cancer and synergizes with the AR antagonist enzalutamide.

Purity: 99 57%

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# AZD5153 6-Hydroxy-2-naphthoic acid

(AZD-5153 HNT salt)

AZD5153 6-Hydroxy-2-naphthoic acid is the 6-Hydroxy-2-naphthoic acid of AZD5153. AZD5153 is a potent, selective, and orally available BET/BRD4 bromodomain inhibitor; disrupts BRD4

with an IC<sub>50</sub> of 1.7 nM.

Purity: 99.95% Clinical Data: Phase 1

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## **BAY-850**

BAY-850 is a potent and isoform selective ATPase family AAA domain-containing protein 2 (ATAD2) inhibitor, with an IC<sub>so</sub> of 166 nM.

Cat. No.: HY-119254

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

99.83%

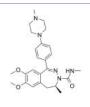
#### BAY1238097

BAY1238097 is a potent and selective inhibitor of BET binding to histones and has strong anti-proliferative activity in different AML (acute myeloid leukemia) and MM (multiple myeloma) models through down-regulation of c-Myc levels and its downstream transcriptome (IC<sub>50</sub> <100 nM).

Purity: 98.55%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg



Cat. No.: HY-112316

# BAZ1A-IN-1

BAZ1A-IN-1 is a potent inhibitor of BAZ1A (bromodomain-containing protein), BAZ1A-IN-1 shows a K<sub>p</sub> value of 0.52 μM against BAZ1A bromodomain.

Cat. No.: HY-141890

Purity: 99 84%

Clinical Data: No Development Reported

5 mg, 10 mg, 50 mg, 100 mg

## **BAZ2-ICR**

Cat. No.: HY-19336

BAZ2-ICR is a potent, selective, cell active and orally active BAZ2A/B bromodomains inhibitor with IC<sub>so</sub>s of 130 nM and 180 nM, and K<sub>d</sub>s of 109 nM and 170 nM, respectively.

Purity: 98 53%

Clinical Data: No Development Reported

5 mg, 10 mg, 25 mg, 50 mg, 100 mg, 200 mg, 500 mg, 1 g, 2 g

## BET bromodomain inhibitor

Cat. No.: HY-103036

BET bromodomain inhibitor is a potent BET inhibitor extracted from patent WO/2015/153871A2, compound example 11.



**Purity:** 99 87%

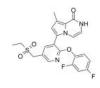
Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# BET bromodomain inhibitor 1

Cat. No.: HY-131061

BET bromodomain inhibitor 1 is an orally active, selective bromodomain and extra-terminal (BET) bromodomain inhibitor with an IC<sub>50</sub> of 2.6 nM for BRD4.



Purity: 99.91%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### BET bromodomain inhibitor 2

Cat. No.: HY-146709

BET bromodomain inhibitor 2 is a potent BET bromodomain inhibitor with an  $IC_{50}$  of 14.1  $\mu M$ .



>98% Purity:

Clinical Data: No Development Reported

Size 1 mg, 5 mg

# **BET-BAY 002**

Cat. No.: HY-12421

BET-BAY 002 is a potent BET inhibitor; shows efficacy in a multiple myeloma model.



99.52% Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg Size:

# BET-BAY 002 (S enantiomer)

Cat. No.: HY-12421B

BET-BAY 002 S enantiomer is the S-enantiomer of BET-BAY 002. BET-BAY 002 is a BET inhibitor.



>98% Purity:

Clinical Data: No Development Reported 10 mM × 1 mL, 2 mg, 5 mg Size

# BET-IN-1

Cat. No.: HY-115727

BET-IN-1 is a potent BET inhibitor that has excellent brain penetration and reasonable metabolic stability.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# BET-IN-10

Cat. No.: HY-147572

BET-IN-10 is a BET inhibitor with anticancer effects. BET-IN-10 inhibits the cell growth of MV4-11 cells with an IC<sub>so</sub> of 26.5 nM (WO2022012456A1; example 6).



Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg

#### BET-IN-2

Cat. No.: HY-102044

BET-IN-2 is a BET inhibitor with an IC<sub>so</sub> of 52 nM for BRD4-BD1.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# BET-IN-6

BET-IN-6 is a potent and high affnity BRD2/BRD4 inhibitor. BET-IN-6 is the ligand for target

protein BRD2/4, and is used for the systhesis of PROTAC BRD2/BRD4 degrader-1 (HY-130612).

>98% Purity:

Clinical Data: No Development Reported

1 mg, 5 mg



Cat. No.: HY-130813

#### BET-IN-7

Cat. No.: HY-146291

BET-IN-7 (Compound 1) is a potent inhibitor of **BET** with a  $K_i$  and  $K_d$  of 12.27 and 89.3  $\mu$ M, respectively. BET-IN-7 has the potential for the research of sepsis.



Purity: >98%

Clinical Data: No Development Reported

Size 1 mg, 5 mg

#### BET-IN-8

BET-IN-8 (Compound 27) is a potent inhibitor of BET with a  $K_i$  and  $K_d$  of 0.83 and 0.571  $\mu$ M, respectively. BET-IN-8 ameliorates LPS-induced sepsis in vivo. BET-IN-8 has the potential for the

research of sepsis.

Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg



Cat. No.: HY-146292

#### BET-IN-9

Cat. No.: HY-147571

BET-IN-9 is a BET inhibitor extracted from patent WO2022012456A1, compound example 1.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# BETd-246

Cat. No.: HY-115568

BETd-246 is a second-generation and PROTAC-based BET bromodomain (BRD) inhibitor connected by ligands for Cereblon and BET, exhibiting superior selectivity, potency and antitumor activity.



98.04% Purity:

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg Size

# **BETd-260**

(ZBC 260) Cat. No.: HY-101519

BETd-260 (ZBC 260) is a PROTAC connected by ligands for Cereblon and BET, with as low as 30 pM against BRD4 protein in RS4;11 leukemia cell line. BETd-260 potently suppresses cell viability and robustly induces apoptosis in hepatocellular carcinoma (HCC) cells.



Purity: 99.01%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg

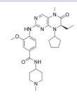
# BI 2536

BI 2536 is a dual PLK1 and BRD4 inhibitor with IC<sub>so</sub>s of 0.83 and 25 nM, respectively. BI-2536 suppresses IFNB (encoding IFN- $\beta$ ) gene

transcription.

99.95% Purity:

10 mM × 1 mL, 5 mg, 25 mg, 50 mg, 100 mg



Cat. No.: HY-50698

Clinical Data: Phase 2

## BI-7273

Cat. No.: HY-100351

BI-7273 is a selective, and cell-permeable BRD9 inhibitor, with an  $IC_{50}$  and a  $K_d$  of 19 and 0.75 nM; also shows high effect on BRD7, with an IC<sub>so</sub> and a  $K_d$  of 117 nM and 0.3 nM.



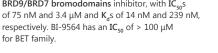
Purity: 99.83%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg Size:

# BI-9564

BI-9564 is a potent, selective and cell-permeable BRD9/BRD7 bromodomains inhibitor, with IC<sub>so</sub>s of 75 nM and 3.4  $\mu$ M and  $K_a$ s of 14 nM and 239 nM, respectively. BI-9564 has an  $IC_{so}$  of > 100  $\mu M$ 



99.86% **Purity:** 

Clinical Data: No Development Reported 5 mg, 10 mg, 50 mg, 100 mg Size:



Cat. No.: HY-100352

# Biotinylated-JQ1

(Biotin-JQ1) Cat. No.: HY-145667

Biotinylated-JQ1 (Biotin-JQ1) is a biotinylated derivative of JO1 with high affinity for the bromodomain of BRD4. Biotinylated-JQ1 inhibits MM1.S multiple myeloma cells proliferation with the EC<sub>so</sub> of 0.4  $\mu$ M.



>98% Purity:

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### BMS-986158

Cat. No.: HY-101567

BMS-986158 is a potent BET inhibitor with IC. as of 6.6 and 5nM in NCI-H211 small cell lung cancer (SCLC) cells and MDA-MB231 triple negative breast cancer (TNBC) cells, respectively.



Purity: 99 95% Clinical Data: Phase 2

1 mg, 5 mg, 10 mg

# BPTF-IN-1

Birabresib

to 112 nM.

Purity:

(OTX-015; MK-8628)

Clinical Data: Phase 2

BPTF-IN-1 (compound AU1) is a selective bromodomain and PHD finger containing transcription factor (BPTF) bromodomain inhibitor with a  $K_d$  of 2.8  $\mu$ M. BPTF-IN-1 shows to be selective for BPTF over BRD4 bromodomain.

Birabresib (OTX-015) is a potent bromodomain

(BRD2/3/4) inhibitor with IC<sub>so</sub>s ranging from 92

BPTF-IN-1 shows antimalarial activity.

99 81%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

# BPTF-IN-BZ1

Cat. No.: HY-132889

BPTF-IN-BZ1, a BPTF inhibitor, possesses a high potency ( $K_d = 6.3 \text{ nM}$ ).



97.13% Purity:

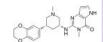
Clinical Data: No Development Reported

Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# BRD-IN-3

BRD-IN-3 ((R,R)-36n) is a highly potent PCAF bromodomain (BRD) inhibitor, with an  $IC_{50}$  of 7 nM. BRD-IN-3 also exhibits activity against GCN5

and FALZ.



Cat. No.: HY-128597

Cat. No.: HY-15743

Cat. No.: HY-145431

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# BRD4 D1-IN-1

Cat. No.: HY-142704

BRD4 D1-IN-1 is a selective BRD4 D1 inhibitor  $(IC_{50}$ <0.092 µM). BRD4 D1-IN-1 has 18 nM affinity against BRD4 D1 and over 500-fold selectivity against BRD2 D1 and BRD4 D2 via ITC.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# BRD4 D1-IN-2

BRD4 D1-IN-2 (compound 26) is a potent and selective BRD4 D1 inhibitor (IC $_{50}$ <0.092  $\mu$ M). BRD4 D1-IN-2 has 15 nM affinity against BRD4 D1 and over 500-fold selectivity against BRD2 D1 and

BRD4 D2 via ITC.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

Cat. No.: HY-142705

# BRD4 degrader AT1

Cat. No.: HY-111433

BRD4 degrader AT1 is a PROTAC connected by ligands for von Hippel-Lindau and BRD4 as a highly selective Brd4 degrader, with a K<sub>d</sub> of 44 nM for Brd4<sup>BD2</sup> in cells.



Purity: 98.90%

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg Size:

# **BRD4 Inhibitor-10**

Cat. No.: HY-117491

BRD4 Inhibitor-10 is a potent BRD4-BD1 inhibitor extracted from patent WO2015022332A1, Compound II-25, has an  $IC_{so}$  of 8 nM.



Purity: 99.62%

Clinical Data: No Development Reported

5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### **BRD4 Inhibitor-16**

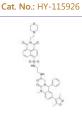
BRD4 Inhibitor-16 (Compound 4) is a potent inhibitor of bromodomain 4 (BRD4). Overexpression of bromodomain 4 (BRD4) is closely correlated with

of bromodomain 4 (BRD4). Overexpression of bromodomain 4 (BRD4) is closely correlated with a variety of human cancers by regulating the histone post-translational modifications.

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



# BRD4 Inhibitor-18

Cat. No.: HY-146660

BRD4 Inhibitor-18 is a highly potent BRD4 inhibitor with an  $\rm IC_{50}$  value of 110 nM. BRD4 Inhibitor-18 has a hydrophobic acetylcyclopentanyl side chain. BRD4 Inhibitor-18 can significantly suppress the proliferation of MV-4-11 cells with high BRD4 level.

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



#### **BRD4 Inhibitor-23**

Cat. No.: HY-147573

BRD4 Inhibitor-23 is a potent and orally active BRD4 inhibitor with  $IC_{50}$ s of 6.21 nM and 1.44 nM for BRD4 BD-1 and BRD4 BD-2, respectively (WO2022033542A1; Example 1).

O.S. NO

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# BRD4-BD1/2-IN-2

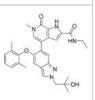
BRD4-BD1/2-IN-2 is a potent BRD4 BD2 inhibitor with IC $_{so}$ S of <0.5 nM and <300 nM for BRD4 BD2 and BRD4 BD1, respectively (WO2021233371A1,

compound 2).

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



Cat. No.: HY-142675

# BRD4/CK2-IN-1

Cat. No.: HY-145260

BRD4/CK2-IN-1 is the first highly effective and oral active dual-target inhibitor of BRD4/CK2 (bromodomain-containing protein 4/casein kinase 2), with  $IC_{50}$ S of 180 nM and 230 nM for BRD4 and CK2, respectively.

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### **BRD4 Inhibitor-17**

BRD4 Inhibitor-17 (Compound 5i) is a potent inhibitor of BRD4 with an IC $_{50}$  of 0.33  $\mu$ M. BRD4 Inhibitor-17 plays crucial role in regulating transcription of inflammatory, proliferation and cell cycle genes. BRD4 Inhibitor-17 serves as potential antidotes for arsenicals.

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# BRD4 Inhibitor-19

Cat. No.: HY-146739

BRD4 Inhibitor-19 is a **BET** inhibitor with an  $IC_{50}$  of 55 nM for BRD4-BD1. BRD4 Inhibitor-19 can be used for multiple myeloma research

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# BRD4-BD1/2-IN-1

BRD4-BD1/2-IN-1 is a potent BRD4 inhibitor with IC $_{50}$ S of <100 nM for BRD4 BD-1 and BRD4 BD-2, respectively (US20150148375A1, compound 5).

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# BRD4-IN-2

BRD4-IN-2 is a bromodomain BRD4 inhibitor with an

IC<sub>50</sub> value of 9.9 nM.

. Spanish

Cat. No.: HY-141843

Cat. No.: HY-142674

Cat. No.: HY-145909

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# BRD7-IN-1

Cat. No.: HY-111905

BRD7-IN-1, a modified derivative of BI7273 (BRD7/9 inhibitor), binds to a VHL ligand via a linker to form a **PROTAC** VZ185 (VZ185 against BRD7/9 with DC $_{50}$ S of 4.5 and 1.8 nM, respectively).

**Purity:** 98.28%

Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg



Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

# BRD7-IN-1 free base

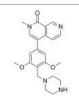
Cat. No.: HY-111905A

BRD7-IN-1 free base, a modified derivative of BI7273 (BRD7/9 inhibitor), binds to a VHL ligand via a linker to form a PROTAC VZ185 (VZ185 against BRD7/9 with DC<sub>50</sub>s of 4.5 and 1.8 nM, respectively).

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



# BRM/BRG1 ATP Inhibitor-2

Cat. No.: HY-145946

BRM/BRG1 ATP Inhibitor-2 is a BRG1/BRM ATPase inhibitor for the treatment of BAF-related disorders

Purity:

Clinical Data: No Development Reported

5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# BRM/BRG1 ATP Inhibitor-1

BRM/BRG1 ATP Inhibitor-1 is an allosteric dual brahma homolog (BRM)/SWI/SNF related matrix associated actin dependent regulator of chromatin subfamily A member 2 (SMARCA2) and brahma related gene 1 (BRG1)/SMARCA4 ATPase activity inhibitor, both  $IC_{so}$ s are below 0.005  $\mu$ M.

Purity: 98 49%

Clinical Data: No Development Reported Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

Cat. No.: HY-119374

## Bromodomain IN-1

Cat. No.: HY-116349

Bromodomain IN-1 is a Bromodomain inhibitor extracted from patent WO2016069578A1, compound 4.



**Purity:** >98%

Clinical Data: No Development Reported

1 mg, 5 mg

# Bromodomain inhibitor-8

Cat. No.: HY-128703

Bromodomain inhibitor-8 (Intermediate 21) is a BET bromodomain inhibitor for treating autoimmune and inflammatory diseases.



Purity: 98.02%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# **Bromosporine**

Cat. No.: HY-15815

Bromosporine is a broad spectrum inhibitor for bromodomains with IC50 of 0.41  $\mu$ M, 0.29  $\mu$ M, 0.122 μM and 0.017 μM for BRD2, BRD4, BRD9 and CECR2, respectively.



Purity: 99.60%

Clinical Data: No Development Reported Size 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

# **BY27**

Cat. No.: HY-126325

BY27 is a potent and selective BET BD2 inhibitor, shows 38, 5, 7, and 21-fold BD1/BD2 selectivity for BRD2, BRD3, BRD4, and BRDT. Anti-cancer activity.



>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# C646

C646 is a selective and competitive histone acetyltransferase p300 inhibitor with K, of 400

nM, and is less potent for other acetyltransferases.





≥98.0% Purity:

Clinical Data: No Development Reported 10 mM × 1 mL, 10 mg, 50 mg Size:

Cat. No.: HY-13823

# CBP/p300-IN-1

Cat. No.: HY-111420

CBP/p300-IN-1 is a CBP/EP300 bromodomain inhibitor.



Purity: 99.45%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# CBP/p300-IN-12

Cat. No.: HY-132197

CBP/p300-IN-12 is a potent and selective covalent histone acetyltransferases p300 (IC $_{\rm 50}$  of 166 nM) and CBP inhibitor. CBP/p300-IN-12 decreases the levels of H3K27Ac of PC-3 cells (EC $_{50}$  of 37 nM). CBP/p300-IN-12 forms a covalent adduct with C1450.



Purity: >98%

Clinical Data: No Development Reported 5 mg, 10 mg, 50 mg, 100 mg

# CBP/p300-IN-14

Cat. No.: HY-139861

CBP/p300-IN-14 is a potent inhibitor of CBP/EP300 (lysine acetyltransferase) with an IC<sub>so</sub> of 3.3 nM (extracted from patent WO2021213521A1, compound 27).



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# CBP/p300-IN-8

Cat. No.: HY-136920

CBP/p300-IN-8 is a potent inhibitor of the CBP/P300 family of bromodomains. CBP/p300-IN-8 inhibits CBP ( $IC_{50}$ =0.01-0.1  $\mu$ M) and BRD4  $(IC_{50}=1-1000 \mu M)$  activity.



**Purity:** 99.88%

Clinical Data: No Development Reported 5 mg, 10 mg, 50 mg Size:

Purity:

Size:

CD161

(NKR-P1A)

CD161 (NKR-P1A) is a potent, selective and orally bioavailable bromodomain and extra-terminal (BET) bromodomain inhibitor with an IC<sub>so</sub>s of 28.2 nM and 7.2 nM for BRD4 BD1 and BRD4 BD2, respectively. CD161 has good anticancer activity.

CBP/EP300-IN-2 is an inhibitor of CBP/EP300 with

IC<sub>so</sub> values of 1.07 nM and 5.96 nM for CBP/HTRF and Myc, respectively. CBP/EP300-IN-2, example 25,

is extracted from patent WO2017205538A1.

Clinical Data: No Development Reported

1 mg, 5 mg

>98%

Cat. No.: HY-124596

Cat. No.: HY-128761

>98% Purity:

CBP/p300-IN-2

Clinical Data: No Development Reported

1 mg, 5 mg

# **CD235**

Cat. No.: HY-128977

CD235 is a structurally similar analogue of CD161. CD161 is a potent and orally bioavailable BET bromodomain inhibitor.



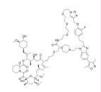
>98% Purity:

Clinical Data: No Development Reported

1 mg, 5 mg Size:

# **CEM114**

CEM114 is an effective chemical epigenetic modifier (CEM) that recruits endogenous chromatin machinery through CRISPR-Cas9 systems.



Cat. No.: HY-136572

>98% Purity:

Clinical Data: No Development Reported

Size 1 mg, 5 mg

# CeMMEC1

Cat. No.: HY-111445

CeMMEC1 is an inhibitor of BRD4, and also has high affinity for TAF1, with an  $IC_{so}$  of 0.9  $\mu M$ for TAF1, and a  $K_d$  of 1.8  $\mu$ M for TAF1 (2).



Purity: 99.69%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

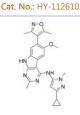
# CF53

CF53 is a highly potent, selective and orally active inhibitor of BET protein, with a K, of <1 nM,  $K_d$  of 2.2 nM and an  $IC_{50}$  of 2 nM for

98.94% Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg



# CFT8634

Cat. No.: HY-145925B

CFT8634 is a degrader targeting BRD9 extracted from patent WO2021178920A1 compound 173. CFT8634 can be used for the research of synovial sarcoma and SMARCB1-deleted solid tumors.



Purity: >98%

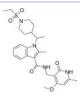
Clinical Data: No Development Reported

1 mg, 5 mg Size

## CPI-169 racemate

Cat. No.: HY-15956

CPI-169 racemate is the racemate of CPI-169. CPI-169 is a novel and potent EZH2 inhibitor.



98.52% **Purity:** 

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# **CPI-203**

CPI-203 is a novel potent, selective and cell permeable inhibitor of BET bromodomain, with an IC<sub>so</sub> value of appr 37 nM (BRD4 α-screen assay).



Cat. No.: HY-15846

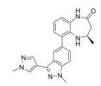
98.07% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg

# **CPI-637**

CPI-637 is a selective and potent CBP/EP300 bromodomain inhibitor with  $IC_{so}$  values of 0.03  $\mu M$ ,  $0.051~\mu\text{M}$  and  $11.0~\mu\text{M}$  for CBP, EP300 and BRD4 BD-1, respectively, and an EC<sub>so</sub> of 0.3 µM for CBP.



Cat. No.: HY-100482

99 94% Purity:

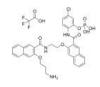
Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# CREB-IN-1 TFA

Cat. No.: HY-144318

CREB-IN-1 TFA is a potent, orally active CREB inhibitor (IC $_{50}$ =0.18  $\mu$ M). CREB-IN-1 TFA inhibits breast cancer cell growth.



Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg

# Curcumin

(Diferuloylmethane; Natural Yellow 3; Turmeric yellow)

Curcumin (Diferuloylmethane), a natural phenolic compound, is a p300/CREB-binding protein-specific inhibitor of acetyltransferase, represses the acetylation of histone/nonhistone proteins and histone acetyltransferase-dependent chromatin transcription.



Cat. No.: HY-N0005

Purity: ≥96.0% Clinical Data: Phase 4

10 mM × 1 mL, 100 mg, 500 mg

# Curcumin-d6 (Diferuloylmethane-d6; Natural Yellow 3-d6;

Turmeric yellow-d6) Cat. No.: HY-N0005S

Curcumin D6 (Diferuloylmethane D6) is a deuterium labeled Curcumin (Turmeric yellow). Curcumin (Turmeric yellow) is a natural phenolic compound with diverse pharmacologic effects including anti-inflammatory, antioxidant, antiproliferative and antiangiogenic activities.



Purity: >98%

Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg

# dBET1

Cat. No.: HY-101838

dBET1 is a PROTAC connected by ligands for Cereblon and BRD4 with an EC<sub>50</sub> of 430 nM. dBET1 is a PROTAC that composes of (+)-JQ1 (HY-13030) linked to NSC 527179 (HY-14658) with a linker.



99.24% Purity:

Clinical Data: No Development Reported

Size  $10 \text{ mM} \times 1 \text{ mL}$ , 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# dBET23

dBET6

Cat. No.: HY-123911

dBET23 is a highly effective and selective PROTAC BRD4 degrader with a  $DC_{50/5h}$  of ~ 50 nM for BRD4<sub>BD1</sub> protein.

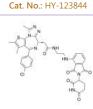


99.33%

Purity: Clinical Data: No Development Reported 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

# dBET57

dBET57 is a potent and selective degrader of BRD4<sub>BD1</sub> based on the PROTAC technology. dBET57 mediates recruitment to the CRL4<sup>Cereblon</sup> E3 ubiquitin ligase, with a  $DC_{50/5h}$  of 500 nM for BRD4<sub>BD1</sub>, and is inactive on BRD4<sub>BD2</sub>.



Purity: 99.66%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 50 mg, 100 mg

# Size:

Cat. No.: HY-112588

dBET6 is a highly potent, selective and cell-permeable PROTAC connected by ligands for Cerebion and BET, with an IC<sub>so</sub> of 14 nM, and has antitumor activity.



Purity: 99.73%

No Development Reported Clinical Data:

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Size:

# dCBP-1

dCBP-1 is a potent and selective

heterobifunctional degrader of p300/CBP based on Cerebion ligand. dCBP-1 is exceptionally potent at killing multiple myeloma cells and ablates oncogenic enhancer activity driving MYC expression.



Cat. No.: HY-134582

**Purity:** 99.52%

Clinical Data: No Development Reported

5 mg, 10 mg

# dTRIM24

Cat. No.: HY-111519

dTRIM24 is a selective bifunctional degrader of TRIM24 based on PROTAC, consists of ligands for von Hippel-Lindau and TRIM24.

tolor-uspeop

**Purity:** 99.69%

Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

E-7386

E-7386 is an orally active CBP/beta-catenin

modulator.



Cat. No.: HY-111386

Purity: 99.70% Clinical Data: Phase 1

Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg

# EML 425

Cat. No.: HY-110263

EML425 is a potent and selective CREB binding protein (CBP)/p300 inhibitor with IC $_{50}$ s of 2.9 and 1.1  $\mu$ M, respectively.

HOLOLOLO

Purity: 98.45%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mq, 10 mq, 25 mq

# FHD-286

Cat. No.: HY-144835

FHD-286 is a **BRG1/BRM ATPase** inhibitor for the treatment of BAF-related disorders such as acute myeloid leukemia.

**Purity:** 99.06%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# FHT-1015

Cat. No.: HY-144896

FHT-1205 is a potent **SMARCA4/SMARCA2 ATPase** (BRG1 and BRM) inhibitor with  $IC_{50}$ S of  $\leq 10$  nM (WO2020160180A1; compound 67).



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# FHT-1204

Cat. No.: HY-144897

FHT-1204 is a potent SMARCA4/SMARCA2 ATPase (BRG1 and BRM) inhibitor with  $IC_{so}$ s of  $\leq$ 10 nM (WO2020160180A1; compound 70).



**Purity:** >98%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg

# FKBP12 PROTAC dTAG-7

(dTAG-7) Cat. No.: HY-123941

FKBP12 PROTAC dTAG-7 (dTAG-7) is a heterobifunctional degrader. FKBP12 PROTAC dTAG-7 (dTAG-7) is a degrader of FKBP12<sup>F36V</sup> with expression of FKBP12<sup>F36V</sup> in-frame with a protein of interest.



Purity: 99.88%

Clinical Data: No Development Reported

Size: 5 mg

# FL-411

(BRD4-IN-1)

FL-411 is a potent and selective BRD4 inhibitor with an IC  $_{50}$  of 0.43  $\pm 0.09~\mu M$  for BRD4(1).



Cat. No.: HY-111102

Purity: 98.02%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# GNE-049

Cat. No.: HY-108435

GNE-049 is a highly potent and selective CBP inhibitor with an  $\rm IC_{so}$  of 1.1 nM in TR-FRET assay. GNE-049 also inhibits BRET and BRD4(1) with  $\rm IC_{so}$  of 12 nM and 4200 nM, respectively.



Purity: 98.00%

Clinical Data: No Development Reported

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 50 mg, 100 mg

# **GNE-207**

Cat. No.: HY-120028

GNE-207 is a potent, selective and orally bioavailable inhibitor of the bromodomain of CBP, with an IC $_{50}$  of 1 nM, exhibits a selectively index of > 2500-fold against BRD4 (1). GNE-207 shows excellent CBP potency, with an EC $_{50}$  of 18 nM for MYC expression in MV-4-11 cells.

**Purity:** 98.10%

Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg



# **GNE-272**

Cat. No.: HY-100726

GNE-272 is a potent and selective CBP/EP300 inhibitor with  $\rm IC_{50}$  values of 0.02, 0.03 and 13  $\mu M$  for CBP, EP300 and BRD4, respectively. GNE-272 is also a selective in vivo probe for CBP/EP300.



**Purity:** 99.74%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

# GNE-375

GNE-375 is a potent and highly selective BRD9 inhibitor with an  $\rm IC_{50}$  of 5 nM. GNE-375 shows >100-fold selective for BRD9 over BRD4, TAF1, and CECR2. GNE-375 decreases BRD9 binding to chromatin.

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

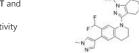


Cat. No.: HY-123621

# **GNE-781**

Cat. No.: HY-108696

GNE-781 is an orally active, highly potent and selective CBP inhibitor with an  $IC_{50}$  of 0.94 nM in TR-FRET assay. GNE-781 also inhibits BRET and BRD4(1) with  $IC_{50}$  s of 6.2 nM and 5100 nM, respectively. GNE-781 displays antitumor activity in an MOLM-16 AML xenograft model.



Purity: 98.21%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# **GNE-987**

GNE-987 is a PROTAC connected by ligands for **von Hippel-Lindau** and **BRD4**. GNE-987 exhibits picomolar cell **BRD4** degradation activity (DC<sub>50</sub>=0.03 nM

for EOL-1 AML cell line).

Purity: 98.90%

Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg



Cat. No.: HY-129937A

GS-626510

Cat. No.: HY-114416

GS-626510 is a potent, and orally active BET family bromodomains inhibitor, with  $K_d$  values of 0.59-3.2 nM for BRD2/3/4, with  $IC_{50}$  values of 83 nM and 78 nM foe BD1 and BD2, respectively.



**Purity:** 99.86%

Clinical Data: No Development Reported

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# **GSK 4027**

GSK 4027 is a chemical probe for the PCAF/GCN5 bromodomain with an  $pIC_{50}$  of 7.4±0.11 for PCAF in a time-resolved fluorescence resonance energy transfer (TR-FRET) assay.



Cat. No.: HY-101027

**Purity:** 98.80%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

GSK-5959

Cat. No.: HY-18665

GSK-5959 is a potent, selective and cell permeable BRPF1 bromodomain inhibitor with an  $IC_{s0}$  of  $\sim 80$  nM.



Purity: 98.29%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

# **GSK040**

GSK040 is a potent and highly selective BET BD2 inhibitor, with a  $\mathrm{pIC}_{50}$  of 8.3. GSK040 shows more than 5000-fold selectivity for BET BD2 over BET BD1 ( $\mathrm{pIC}_{50}$ =4.6). GSK040 can be used for the research of oncology and immunology diseases.



Clinical Data: No Development Reported

Size: 1 mg, 5 mg

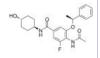


Cat. No.: HY-132230

GSK046

(iBET-BD2) Cat. No.: HY-136571

GSK046 (iBET-BD2) is a potent, selective and orally active BD2 bromodomain inhibitor of the BET proteins, with IC<sub>50</sub>s of 264 nM (BRD2 BD2), 98 nM (BRD3 BD2), 49 nM (BRD4 BD2) and 214 nM (BRDT BD2), respectively. GSK046 has immunomodulatory activity.



Purity: 98.15%

Clinical Data: No Development Reported

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# GSK097

GSK097 is a potent and selective Inhibitor of the second bromodomain (BD2) of the bromodomain and extra-terminal domain (BET) proteins. GSK097 displays 2000-fold selective for BD2 over BD1 (BRD4 data) with >1 mg/mL solubility in FaSSIF media.



Clinical Data: No Development Reported

Size: 1 mg, 5 mg



Cat. No.: HY-132232

# GSK1324726A

(I-BET726) Cat. No.: HY-13960

GSK1324726A is a novel, potent, and selective inhibitor of BET proteins with high affinity to BRD2 ( $IC_{50}$ =41 nM), BRD3 ( $IC_{50}$ =31 nM), and BRD4 (IC<sub>50</sub>=22 nM).



98 21% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# **GSK232** Cat. No.: HY-145347

GSK232 is a highly selective, cellularly penetrant CECR2 inhibitor with excellent physicochemical properties.

Purity: >98%

GSK4028

Clinical Data: No Development Reported

Size 1 mg, 5 mg

# Cat. No.: HY-101027A

GSK4028 is the enantiomeric negative control of GSK4027, which is a PCAF/GCN5 bromodomain chemical probe, the  $pIC_{so}$  of GSK4028 is 4.9 in a time-resolved fluorescence resonance energy transfer (TR-FRET) assay.

98.55% Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Size:

# GSK6853

# Cat. No.: HY-100220

GSK6853 is a potent and selective inhibitor of the BRPF1 bromodomain. GSK6853 shows excellent BRPF1 potency (pK<sub>4</sub>=9.5) and greater than 1600-fold selectivity over all other bromodomains.

Purity: 99.40%

Clinical Data: No Development Reported

10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg Size:

# GSK852

# Cat. No.: HY-115867

GSK852 is a highly potent, second bromodomain (BD2)-selective, bromo and extra-terminal domain (BET) inhibitor (pIC $_{50} = 7.9$ ).

Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg Size:

# GSK1379725A

GSK1379725A is a selective BPTF ligand with a K<sub>d</sub> of 2.8 uM, showing no binding activity for Brd4.



Cat. No.: HY-112398

98.06% Purity:

Clinical Data: No Development Reported 10 mM × 1 mL, 5 mg, 10 mg

# GSK2801

GSK2801 is a potent, selective, orally active and cell active acetyl-lysine competitive BAZ2A and BAZ2B bromodomains inhibitor with K<sub>d</sub> values of 136 nM and 257 nM, respectively. GSK2801 shows >50-fold selectivity for BAZ2A/B over BRD4.

Cat. No.: HY-137892

Cat. No.: HY-136570

Cat. No.: HY-15658

**Purity:** 99.93%

Clinical Data: No Development Reported 10 mM × 1 mL, 5 mg, 10 mg, 50 mg Size:

# GSK620

GSK620 is a potent and orally active pan-BD2 inhibitor with excellent broad selectivity, developability and in vivo oral pharmacokinetics. GSK620 is highly selective for the BET-BD2 family of proteins, with >200-fold selectivity over all other bromodomains.

**Purity:** 99.86%

Clinical Data: No Development Reported

5 mg, 10 mg, 25 mg, 50 mg, 100 mg Size:

# **GSK778**

# (iBET-BD1)

GSK778 (iBET-BD1) is a potent and selective BD1 bromodomain inhibitor of the BET proteins, with IC<sub>so</sub>s of 75 nM (BRD2 BD1), 41 nM (BRD3 BD1), 41 nM (BRD4 BD1), and 143 nM (BRDT BD1), respectively. GSK778 phenocopies the effects of pan-BET inhibitors in cancer models.

Purity: 99.25%

Clinical Data: No Development Reported

5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# GSK8573

# Cat. No.: HY-107477

GSK8573 (compound 23) is an inactive control compound for GSK2801. GSK8573 has binding activity to BRD9 with a K<sub>d</sub> value of 1.04 µM and is inactive against BAZ2A/B and other bromodomain familiy.



98.01% **Purity:** 

Clinical Data: No Development Reported

10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

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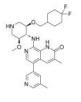
# GSK8814

GSK8814 is a potent, selective, and ATAD2/2B bromodomain chemical probe and inhibitor, with a binding constant  $\mathbf{pK_d} \! = \! 8.1$  and a  $\mathbf{pK_i} \! = \! 8.9$  in BROMOscan. GSK8814 binds to ATAD2 and BRD4 BD1 with pIC<sub>50</sub>s of 7.3 and 4.6, respectively.

98 65% Purity:

Clinical Data: No Development Reported

Size: 5 mg, 10 mg



Cat. No.: HY-114204

# GSK9311

GSK9311, a less active analogue of GSK6853, can be used as a negative control, GSK9311 inhibits BRPF bromodomain with pIC<sub>so</sub> values of 6.0 and 4.3 for BRPF1 and BRPF2, respectively.

99 23% Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg



Cat. No.: HY-100729

# GSK9311 hydrochloride

Cat. No.: HY-100729A

GSK9311 hydrochloride, a less active analogue of GSK6853, can be used as a negative control. GSK9311 hydrochloride inhibits BRPF bromodomain with pIC<sub>50</sub> values of 6.0 and 4.3 for BRPF1 and BRPF2, respectively.

>98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



# **GSK973**

GSK973 is a highly selective, orally bioavailable

inhibitor of the BD2s (second bromodomains) of the BET family, with a  $pIC_{50}$  of 7.8 and a  $pK_d$  of 8.7 for BRD4 BD2. GSK973 displays a 1600-fold selectivity for BRD4 BD2 over BRD4 BD1.

**Purity:** >98%

Clinical Data: No Development Reported

1 mg, 5 mg



Cat. No.: HY-138563

# **HJB97**

Purity:

Cat. No.: HY-112429

HJB97 is a high-affinity BET inhibitor with K.s. of 0.9 nM (BRD2 BD1), 0.27 nM (BRD2 BD2), 0.18 nM (BRD3 BD1), 0.21 nM (BRD3 BD2), 0.5 nM (BRD4 BD1), 1.0 nM (BRD4 BD2), respectively. HJB97 is employed for the design of potential PROTAC BET degrader and has antitumor activity.

Purity: 98.24%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg Size:

# I-BET151

# (GSK1210151A)

I-BET151 (GSK1210151A) is a BET bromodomain inhibitor which inhibits BRD4, BRD2, and BRD3 with pIC<sub>so</sub> of 6.1, 6.3, and 6.6, respectively.

Cat. No.: HY-13235

99.81% Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg Size:

# I-BET151 dihydrochloride

# (GSK1210151A dihydrochloride)

I-BET151 dihydrochloride (GSK1210151A dihydrochloride) is a BET bromodomain inhibitor which inhibits BRD4, BRD2, and BRD3 with pIC<sub>50</sub> of 6.1, 6.3, and 6.6, respectively.

H-CI

Cat. No.: HY-110106

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# I-BET282

I-BET282 is a pan-inhibitor of all eight BET bromodomains, and selectivity over other representative bromodomain-containing proteins. I-BET282 shows pIC<sub>so</sub>s ranging 6.4-7.7 for BRD2 (BD1/BD2), BRD2 (BD1/BD), BRD3 (BD1/BD), and BRD4 (BD1/BD).

99.12% Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg



Cat. No.: HY-19760

# I-BET282E

# Cat. No.: HY-19760B

I-BET282E is a pan-inhibitor of all eight BET bromodomains, and selectivity over other representative bromodomain-containing proteins. I-BET282E shows pIC<sub>s0</sub>s ranging 6.4-7.7 for BRD2 (BD1/BD2), BRD2 (BD1/BD), BRD3 (BD1/BD), and BRD4 (BD1/BD).

Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg Size:

# I-BET567

I-BET567 is a potent and orally active inhibitor of pan-BET candidate with  $\mathrm{pIC}_{\mathrm{50}}\mathrm{s}$  of 6.9 and 7.2 for BRD4 BD1 and BD2, respectively. I-BET567 has been demonstrated efficacy in mouse models of oncology and inflammation.

99.68%

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg



Cat. No.: HY-142520

# I-BET762 carboxylic acid (Molibresib carboxylic acid;

GSK525762A carboxylic acid; PROTAC BRD4-binding moiety 2)Cat. No.: HY-107443

I-BET762 carboxylic acid (Molibresib carboxylic acid) is an I-BET762-based warhead ligand for conjugation reactions of PROTAC targeting on BET. I-BET762 carboxylic acid (Molibresib carboxylic acid) is a BRD4 inhibitor with a  $\mathrm{pIC}_{50}$  of 5.1.

Purity: 98.64%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg

# I-BRD9

I-BRD9 is the first selective cellular chemical probe for BRD9 (pIC50=7.3). IC50 value: 7.3 (pIC50) Target: BRD9 in vitro: I-BRD9 is a selective cell active chemical probe for bromodomain containing protein 9 inhibition.



Cat. No.: HY-18975

**Purity:** 99.79%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# I-CBP112

#### Cat. No.: HY-19541

I-CBP112 is a specific and potent acetyl-lysine competitive protein-protein interaction inhibitor, that inhibits the CBP/p300 bromodomains, enhances acetylation by p300.



Purity: 98.46%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

# I-CBP112 hydrochloride

#### Cat. No.: HY-19541A

I-CBP112 hydrochloride is a selective inhibitor of CBP/P300 that directly binds their bromodomains ( $K_a$ s = 142 and 625 nM, respectively).



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# IACS-9571

# (ASIS-P040) Cat. No.: HY-102000

IACS-9571 is a potent and selective inhibitor of TRIM24 and BRPF1, with IC $_{50}$  of 8 nM for TRIM24, and K $_{d}$ s of 31 nM and 14 nM for TRIM24 and BRPF1, respectively.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# IACS-9571 hydrochloride

# (ASIS-P040 hydrochloride)

IACS-9571 (ASIS-P040) hydrochloride is a potent and selective inhibitor of **TRIM24** and **BRPF1**, with an  $\rm IC_{50}$  of 8 nM for TRIM24, and  $\rm K_{d}s$  of 31 nM and 14 nM for TRIM24 and BRPF1, respectively.



Cat. No.: HY-102000B

Purity: 99.28%

Clinical Data: No Development Reported

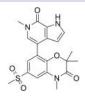
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

# INCB-057643

# Cat. No.: HY-111485

INCB-057643 is a novel, orally bioavailable BET

inhibitor.



**Purity:** 98.21%

Clinical Data: No Development Reported

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 50 mg, 100 mg

# INCB054329

# Cat. No.: HY-112504

INCB054329 is a potent BET inhibitor.



**Purity:** 98.19%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# INCB054329 Racemate

# Cat. No.: HY-112504A

INCB054329 Racemate is a  $\ensuremath{\mathsf{BET}}$  protein inhibitor.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# Inobrodib

# (CCS1477)

Inobrodib (CCS1477) is an orally active, potent, and selective inhibitor of the p300/CBP bromodomain. Inobrodib binds to p300 and CBP with  $K_d$  values of 1.3 and 1.7 nM, respectively, and with 170/130-fold selectivity compared with BRD4 with a  $K_d$  of 222 nM.

Purity: 99.53% Clinical Data: Phase 2

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

Cat. No.: HY-111784

# JQ-1 (carboxylic acid)

JQ-1 carboxylic acid is a (+)-JQ1 derivative (a N-N

BET bromodomain inhibitor). JQ-1 carboxylic acid can be used as a precursor to synthesize PROTACs, which targets BET bromodomains.

s, s N

Purity: 99.49%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

# KB02-JQ1

KB02-JQ1 is a highly selective and PROTAC-based BRD4 degrader (molecular glue), but does not degrade BRD2 or BRD3. KB02-JQ1 promotes BRD4 degradation by covalently modifying DCAF16 (E3 ligase) and can improve the durability of protein degradation in biological systems.

**Purity:** 98.29%

Clinical Data: No Development Reported

**Size:** 5 mg, 10 mg



Cat. No.: HY-129917

# KG-501

# (Naphthol AS-E phosphate) Cat. No.: HY-103299

KG-501 is a CREB inhibitor, with an  $IC_{50}$  of 6.89

Purity: 99.59%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

# L-Moses

# (L-45) Cat. No.: HY-101125

L-Moses (L-45) is the first potent, selective, and cell-active p300/CBP-associated factor (PCAF) bromodomain (Brd) inhibitor with a  $\rm K_d$  of 126  $\rm ^{DM}$ 

HN N

**Purity:** 99.97%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg

# L-Moses dihydrochloride

# (L-45 dihydrochloride) Cat. No.: HY-101125A

L-Moses (L-45) dihydrochloride is the first potent, selective, and cell-active p300/CBP-associated factor (PCAF) bromodomain (Brd) inhibitor with a  $\rm K_d$  of 126 nM.

H-G H-G

99.38%

Clinical Data: No Development Reported

**Size:** 5 mg, 10 mg

# LP99

LP99, an epigenetic probe, is a potent and selective inhibitor of the BRD7 and BRD9 bromodomains with a  $\rm K_a$  of 99 nM against BRD9. LP99 disrupts the binding of BRD7 and BRD9 to chromatin in cells.

O NH OCI

Cat. No.: HY-19553

**Purity:** >98%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg

# LT052

Purity:

# Cat. No.: HY-130622

LT052 is a highly selective **BET BD1** inhibitor with an IC $_{50}$  of 87.7 nM. LT052 exhibits nanomolar BRD4 BD1 potency and 138-fold selectivity over BRD4 BD2 (IC $_{50}$ =12.130  $\mu$ M). LT052 has anti-inflammatory activity and can be used for acute gout arthritis research.



Purity: 98.49%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# M-1211

M1121 is a covalent and orally active inhibitor of the menin-MLL interaction capable of achieving complete and persistent tumor regression.



Cat. No.: HY-132234

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# M-808

# Cat. No.: HY-133738

M-808 is a highly potent and efficacious covalent **Menin-MLL** interaction inhibitor, with a binding  $IC_{En}$  value of 2.6 nM.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# M-525

# Cat. No.: HY-124069

M-525 is a first-in-class, highly potent, irreversible and covalent **menin-MLL** protein-protein interaction inhibitor.



**Purity:** > 98%

Clinical Data: No Development Reported

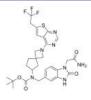
Size: 1 mg, 5 mg

# Menin-MLL inhibitor 19

Menin-MLL inhibitor 19, a potent exo-aza spiro inhibitor of menin-mll interaction, example A17, extracted from patent WO2019120209A1. Menin-MLL inhibitor 19 can be used for the research of various diseases, such as cancer, myelodysplastic syndrome (MDS) and diabetes.

Purity: 98.07%

Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg



Cat. No.: HY-139076

# Menin-MLL inhibitor 20

Menin-MLL inhibitor 20 is an irreversible menin-MLL interaction inhibitor with antitumor activities (WO2020142557A1, compound 6).



Cat. No.: HY-128798

**Purity:** 97.12%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# Menin-MLL inhibitor 4

Cat. No.: HY-129167

Menin-MLL inhibitor 4 is an inhibitor of Menin-MLL (mixed-lineage leukemia protein) interaction extracted from patent WO2017214367, compound example 1. Menin-MLL inhibitor 4 has antitumor activity.



**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# Menin-MLL inhibitor MI-2

Cat. No.: HY-15222

Menin-MLL inhibitor MI-2 is a Menin-MLL interaction inhibitor with  $IC_{50}$  of 446±28 nM.



Purity: 99.89%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

# MG 149

(Tip60 HAT inhibitor) Cat. No.: HY-15887

MG149 (Tip60 HAT inhibitor) is a selective and potent **Tip60** inhibitor with  $IC_{50}$  of 74 uM, similar potentcy for **MOF** ( $IC_{50}$  = 47 uM); little potent for PCAF and p300 ( $IC_{50}$  > 200 uM).



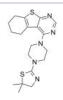
Purity: 99.86%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mq, 10 mq, 50 mq

# MI-1

Cat. No.: HY-111937

MI-1 inhibits  $\mbox{Menin-MLL}$  interaction with an IC  $_{so}$  of 1.9  $\mu\mbox{M}.$ 



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# MI-136

Cat. No.: HY-19319

MI-136 is an inhibitor of the <code>menin-MLL</code> <code>protein-protein</code> interaction (PPI), with an IC $_{50}$  of 31 nM and a K $_{\rm d}$  of 23.6 nM. MI-136 shows to block AR signaling and has the potential for the study in castration-resistant tumors.



**Purity:** 99.71%

Clinical Data: No Development Reported

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 50 mg, 100 mg

# MI-2-2

Cat. No.: HY-108350

MI-2-2 is a potent menin-MLL inhibitor. MI-2-2 binds to menin with low nanomolar affinity ( $K_a$ =22nM) and very effectively disrupts the bivalent protein-protein interaction between menin and MLL.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg

# MI-3

Purity:

# (Menin-MLL inhibitor 3) Cat. No.: HY-15223

MI-3 (Menin-MLL inhibitor 3) is a potent and high affinity menin-MLL inhibitor with an  $IC_{50}$  of 648 nM and a  $K_{a}$  of 201 nM.



99.51%

Clinical Data: No Development Reported

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 50 mg, 100 mg

# MI-3454

Cat. No.: HY-136360

MI-3454 is an orally active, highly potent and selective menin-MLL1 interaction inhibitor with an  $IC_{s_0}$  of 0.51 nM.



Purity: 99.79%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# MI-463

Cat. No.: HY-19809

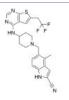
MI-463 is a highly potent and orally bioavailable small molecule inhibitor of the menin-mLL interaction.



99 82% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg



# MI-538

Cat. No.: HY-19810

MI-538 is an inhibitor of the interaction between menin and MLL fusion proteins with an IC<sub>50</sub> of 21 nM.



Purity: 99.01%

Clinical Data: No Development Reported

10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Size

# Molibresib

(I-BET762; GSK525762; GSK525762A)

bromodomain inhibitor with IC<sub>50</sub> of 32.5-42.5

Cat. No.: HY-13032 Molibresib (I-BET762; GSK525762) is a BET



Purity: 99.85% Clinical Data: Phase 2

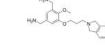
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

# MS31

nM.

Cat. No.: HY-125837

MS31 is a potent, highly affinity and selective fragment-like methyllysine reader protein spindlin 1 (SPIN1) inhibitor. MS31 potently inhibits the interactions between SPIN1 and H3K4me3 (IC<sub>50</sub>=77 nM, AlphaLISA; 243 nM, FP). MS31 selectively binds Tudor domain II of SPIN1 (K<sub>d</sub>=91 nM).



>98% Purity:

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 50 mg, 100 mg

# MS402

Cat. No.: HY-120000

MS402 is a BD1-selective BET BrD inhibitor with K,s of 77 nM, 718 nM, 110 nM, 200 nM, 83 nM, and 240 nM for BRD4(BD1), BRD4(BD2), BRD3(BD1), BRD3(BD2), BRD2(BD1) and BRD2(BD2), respectively. MS402 blocks Th17 cell differentiation and ameliorates colitis in mice.



Purity: 98.98%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# MI-503

MI-503 is a highly potent and orally bioavailable small molecule inhibitor of the menin-mLL interaction.



Cat. No.: HY-16925

99 81% **Purity:** 

Clinical Data: No Development Reported

10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg

# Mivebresib

(ABBV-075) Cat. No.: HY-100015

Mivebresib (ABBV-075) is a potent and orally active bromodomain and extraterminal domain (BET) bromodomain inhibitor. Mivebresib binds to BRD4 with a K, of 1.5 nM.



**Purity:** 99 42% Clinical Data: Phase 1

10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# Molibresib besylate

(GSK 525762C; I-BET 762 besylate)

Molibresib besylate (GSK 525762C; I-BET 762 besylate) is a BET bromodomain inhibitor with IC<sub>so</sub> of 32.5-42.5 nM.



Cat. No.: HY-13032B

Purity: 99.64%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg Size:

# MS31 trihydrochloride

Cat. No.: HY-125837A

MS31 trihydrochloride is a potent, highly affinity and selective fragment-like methyllysine reader protein spindlin 1 (SPIN1) inhibitor. MS31 trihydrochloride potently inhibits the interactions between SPIN1 and H3K4me3 (IC<sub>50</sub>=77 nM, AlphaLISA; 243 nM, FP).



Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# MS417

(GTPL7512)

MS417 is a selective BET-specific BRD4 inhibitor, binds to BRD4-BD1 and BRD4-BD2 with IC<sub>so</sub>s of 30, 46 nM and K<sub>s</sub> of 36.1, 25.4 nM, respectively, with weak selectivity at CBP BRD (IC<sub>50</sub>, 32.7  $\mu$ M).



Cat. No.: HY-111139

Clinical Data: No Development Reported 5 mg, 10 mg, 50 mg, 100 mg

# MS436

Cat. No.: HY-13959

MS436 is a new class of **bromodomain** inhibitor, exhibits potent affinity of an estimated  $K_i$ =30-50 nM for the BRD4 BrD1 and a 10-fold selectivity over the BrD2.



**Purity:** 99.13%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# MS645

MS645 is a bivalent BET bromodomains (BrD) inhibitor with a  $K_i$  of 18.4 nM for BRD4-BD1/BD2. MS645 spatially constrains bivalent inhibition of BRD4 BrDs resulting in a sustained repression of BRD4 transcriptional activity in solid-tumor cells.



Cat. No.: HY-125232

**Purity:** 98.03%

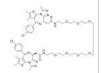
Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# MT1

Cat. No.: HY-111976

MT1 is a bivalent chemical probe of BET bromodomains, with an  $IC_{50}$  of 0.789 nM for BRD4(1).<br/>
br/>.



**Purity:** 98.37%

Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg

# MZ 1

MZ 1 is a PROTAC connected by ligands for **von Hippel-Lindau** and **BRD4**. MZ 1 potently and rapidly induces reversible, long-lasting, and selective

removal of BRD4 over BRD2 and BRD3. K<sub>d</sub>s of 382/120, 119/115, and 307/228 nM for BRD4 BD1/2,

BRD3 BD1/2, and BRD2 BD1/2, respectively.

Purity: 99.43%

Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg, 50 mg



Cat. No.: HY-107425

MZP-54

Cat. No.: HY-112376

MZP-54 is a PROTAC connected by ligands for von Hippel-Lindau and BRD3/4, with a  $\rm K_d$  of 4 nM for Brd4 $\rm ^{BD2}$ .



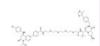
Purity: 98.16%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg

# MZP-55

MZP-55 is a PROTAC connected by ligands for von Hippel-Lindau and BRD3/4, with a  $K_{\rm d}$  of 8 nM for

Brd4BD2.



Cat. No.: HY-112377

Purity: 99.13%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg

# M89

Cat. No.: HY-128347

M-89 is a highly potent and specific menin inhibitor, with a  $\rm K_d$  of 1.4 nM for binding to menin. M-89 inhibits the menin-mixed lineage leukemia (Menin-MLL) protein-protein interaction and has potential to treat MLL leukemia.



Purity: 98.91%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg

# Naphthol AS-E

Naphthol AS-E is a potent and cell-permeable inhibitor of KIX-KID interaction. Naphthol AS-E directly binds to the KIX domain of CBP ( $K_d$ :8.6  $\mu$ M), blocks the interaction between the KIX domain and the KID domain of CREB with IC $_{50}$  of 2.26  $\mu$ M. Naphthol AS-E can be used for cancer research.



Cat. No.: HY-104068

**Purity:** ≥98.0%

Clinical Data: No Development Reported Size: 10 mM × 1 mL, 100 mg

# NEO2734

(EP31670) Cat. No.: HY-136938

NEO2734 (EP31670) is an orally active dual p300/CBP and BET bromodomain selective inhibitor, with  $IC_{50}$  values of <30 nM for both p300/CBP and BET bromodomains. NEO2734 is active in SPOP mutant and wild-type prostate cancer.



**Purity:** 99.79%

Clinical Data: No Development Reported

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 50 mg, 100 mg

# NHWD-870

NHWD-870 is a potent, orally active and selective **BET family bromodomain** inhibitor and only binds bromodomains of BRD2, BRD3, BRD4 ( $IC_{50}$ =2.7 nM), and BRDT. NHWD-870 has potent tumor suppressive efficacies and suppresses cancer cell-macrophage interaction.

**Purity:** 99.36%

Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg



Cat. No.: HY-134463

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

# NI-42

NI-42 (compound 13-d), a structurally orthogonal chemical probe for the BRPFs, is a biased, potent inhibitor of the BRD of the BRPFs ( $IC_{50}$ s of BRPF1/2/3=7.9/48/260 nM; K<sub>a</sub>s of BRPF1/2/3=40/210/940 nM) with excellent selectivity over nonclass IV BRD proteins.

Cat. No.: HY-101121

99.79% Purity:

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

NI-57 is an inhibitor of bromodomain and plant homeodomain finger-containing (BRPF) familily of proteins, with IC<sub>50</sub>s of 3.1, 46 and 140 nM for BRPF1, BRPF2 (BRD1) and BRPF3, respectively.



Cat. No.: HY-19537

99 93% Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# NSC 228155

Cat. No.: HY-101084

NSC 228155 is an activator of EGFR, binds to the extracellular region of EGFR and enhance tyrosine phosphorylation of EGFR.



≥98.0% Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# **NVS-BET-1**

NI-57

Cat. No.: HY-142265

NVS-BET-1 is a BET bromodomain inhibitor that regulates keratinocyte plasticity.



>98% Purity:

Clinical Data: No Development Reported

1 mg, 5 mg

# NVS-CECR2-1

Cat. No.: HY-110374

NVS-CECR2-1, a non-BET family Bromodomain (BRD) inhibitor, is a potent and selective cat eye syndrome chromosome region, candidate 2 (CECR2) inhibitor. NVS-CECR2-1 binds to CECR2 BRD with high affinity (IC<sub>50</sub>=47 nM;  $K_p$ =80 nM).



≥99.0% Purity:

Clinical Data: No Development Reported

Size: 5 mg

# **OARV-771**

Cat. No.: HY-145264

OARV-771 is a VHL-based BET degrader (PROTAC) with improved cell permeability. OARV-771 shows DC<sub>so</sub>s of 6, 1, and 4 nM for Brd4, Brd2 and Brd3, respectively.



>98% Purity:

Clinical Data: No Development Reported

Size 1 mg, 5 mg

# **ODM-207**

(BET-IN-4) Cat. No.: HY-111916

ODM-207 (BET-IN-4) is a potent BET bromodomain protein (BRD4) inhibitor, with an  $IC_{50}$  of  $\leq 1 \mu M$ .



Purity: 99.71%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# OF-1

Cat. No.: HY-12518

OF-1 is a potent pan-BRPF bromodomain (BRD) inhibitor, with  $\text{IC}_{\text{50}}$  values of 270 nM, 1.2  $\mu\text{M}$  for TRIM24 and BRPF1B, respectively.



98.09% Purity:

Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

# Olinone

Cat. No.: HY-100670

Olinone is a selective BRD4 BrD1 inhibitor. Olinone accelerates the progression of mouse primary oligodendrocyte progenitors toward differentiation.



Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg Size:

# OXFBD02

Cat. No.: HY-103297

OXF BD 02 is a selective inhibitor of BRD4(1) (the first bromodomain of BRD4) with  $IC_{50}$  value of 382 nM.



>98% Purity:

Clinical Data: No Development Reported

1 mg, 5 mg Size:

# OXFBD04

OXFBD04 is a potent and selective BRD4 inhibitor with an  $\rm IC_{50}$  of 166 nM. OXFBD04 is a potent BET bromodomain ligand with additional modest affinity for the CREBBP bromodomain. OXFBD04 has anti-cancer activity.

N OH

Cat. No.: HY-135236

Purity: 99.19%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mq, 10 mq, 50 mq

# P300 bromodomain-IN-1

P300 bromodomain-IN-1 (Compoun 1u) is a potent p300 (EP300) bromodomain inhibitor with an IC $_{50}$  of 49 nM. P300 bromodomain-IN-1 suppresses the expression of c-Myc and induces G1/G0 phase arrest and apoptosis in OPM-2 cells.

resses hase

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



Cat. No.: HY-146445

# PARP1/BRD4-IN-1

Cat. No.: HY-144338

PARP1/BRD4-IN-1 is a potent and high selective **PARP1/BRD4** inhibitor (IC $_{50}$ S of 49 and 202 nM in PARP1 and BRD4, respectively). PARP1/BRD4-IN-1 represses the expression and activity of PARP1 and BRD4 to synergistically inhibit the malignant growth of pancreatic cancer cells.



**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# PF-CBP1 hydrochloride

Cat. No.: HY-19999A

PF-CBP1 hydrochloride is a highly selective inhibitor of the CREB binding protein bromodomain (CBP BRD). PF-CBP1 inhibits CREBBP and EP300 bromodomains with IC $_{50}$  of 125 nM and 363 nM respectively.



Purity: 95.95%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# PFI-1

Cat. No.: HY-16586

PFI-1 is a selective BET (bromodomain-containing protein) inhibitor for BRD4 with  $IC_{s0}$  of 0.22  $\mu\text{M}$  in a cell-free assay.

Purity: 99.88%

Clinical Data: No Development Reported

Size:  $10 \text{ mM} \times 1 \text{ mL}, 10 \text{ mg}, 50 \text{ mg}, 100 \text{ mg}$ 

# PFI-3

Cat. No.: HY-12409

PFI-3 is a selective, potent and cell-permeable SMARCA2/4 bromodomain inhibitor with a  $\rm K_d$  of 89 nM.



Purity: 98.42%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

# PFI-4

Cat. No.: HY-18664

PFI-4 is a potent and selective and cell permeable BRPF1 bromodomain inhibitor (IC50 = 80 nM). Exhibits >100-fold selectivity for BRPF1 over a panel of other bromodomains including BRPF2 (BRD1), BRPF3 and BRD4.



Purity: 98.24%

Clinical Data: No Development Reported

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 50 mg, 100 mg

# PLK1/BRD4-IN-1

Cat. No.: HY-143471

PLK1/BRD4-IN-1 (9b) is an orally active dual PLK1 and BRD4 inhibitor with  $IC_{so}$  values of 22 nM and 109 nM against PLK1 and BRD4, respectively.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# PLX51107

Cat. No.: HY-111422

PLX51107 is a potent and selective BET inhibitor, with  $K_a$ s of 1.6, 2.1, 1.7, and 5 nM for BD1 and 5.9, 6.2, 6.1, and 120 nM for BD2 of BRD2, BRD3, BRD4, and BRDT, respectively; PLX51107 also interacts with the bromodomains of CBP and EP300 ( $K_{dr}$  in the 100 nM range).



Purity: 99.81% Clinical Data: Phase 2

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

# PNZ5

Cat. No.: HY-100696

PNZ5 is a potent and isoxazole-based pan-BET inhibitor with high selectivity and potency similar to the well-established (+)-JQ1, with a  $\rm K_D$  of 5.43 nM for BRD4(1).



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

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# PROTAC BET Degrader-1

Cat. No.: HY-103633

PROTAC BET Degrader-1 is a PROTAC connected by ligands for Cereblon and BET, decreasing BRD2. BRD3, and BRD4 protein levels at low concentration



Purity: 98 30%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg

PROTAC BET degrader-2



# Cat. No.: HY-114228

PROTAC BET degrader-2 is a PROTAC connected by ligands for Cerebion and BET with an IC<sub>so</sub> value of 9.6 nM in cell growth inhibition in the RS4;11 cells and capable of achieving tumor regression.



Purity: 98 21%

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg

# PROTAC BRD2/BRD4 degrader-1

Cat. No.: HY-130612

PROTAC BRD2/BRD4 degrader-1 (compound 15) is a potent and selective BET protein BRD4 and BRD2 degrader, connected by ligands for Cereblon and BET. PROTAC BRD2/BRD4 degrader-1 rapidly induces reversible, long-lasting, and unexpectedly selective removal of BRD4 and BRD2 over BRD3.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# PROTAC BRD4 Degrader-10

Cat. No.: HY-138633

PROTAC BRD4 Degrader-10 (compound 8b) is a PROTAC connected by ligands for von Hippel-Lindau and BRD4. PROTAC BRD4 Degrader-10 can be conjugated with STEAP1 and CLL1 antibodies to degrade the BRD4 protein in PC3 prostate cancer cells, with a DC<sub>so</sub> of 1.3 nM and 18 nM, respectively.



Purity: >98%

Clinical Data: No Development Reported

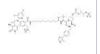
Size: 1 mg, 5 mg



# PROTAC BRD4 Degrader-12

Cat. No.: HY-138635

PROTAC BRD4 Degrader-12 (compound 9c) is a PROTAC connected by ligands for von Hippel-Lindau and BRD4. PROTAC BRD4 Degrader-12 can be conjugated with STEAP1 and CLL1 antibodies to degrade the BRD4 protein in PC3 prostate cancer cells, with a DC<sub>50</sub> of 0.39 nM and 0.24 nM, respectively.



Purity: >98%

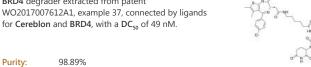
Clinical Data: No Development Reported

1 mg, 5 mg

# PROTAC BET Degrader-10

PROTAC BET Degrader-10 is a potent BET protein BRD4 degrader extracted from patent

for Cereblon and BRD4, with a DC<sub>so</sub> of 49 nM.



Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# PROTAC BET degrader-3

Cat. No.: HY-114229

Cat. No.: HY-112718

PROTAC BET Degrader-3 is a PROTAC connected by ligands for von Hippel-Lindau and BET.



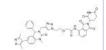
**Purity:** 98 64%

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg

# PROTAC BRD4 Degrader-1

Cat. No.: HY-133131

PROTAC BRD4 Degrader-1 is a PROTAC connected by ligands for Cereblon and BRD4 with an IC<sub>so</sub> of 41.8 nM against BRD4 BD1. PROTAC BRD4 Degrader-1 can effectively degrade BRD4 protein and suppress c-Myc expression.



>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# PROTAC BRD4 Degrader-11

Cat. No.: HY-138634

PROTAC BRD4 Degrader-11 (compound 9a) is a PROTAC connected by ligands for von Hippel-Lindau and BRD4. PROTAC BRD4 Degrader-11 can be conjugated with STEAP1 and CLL1 antibodies to degrade the BRD4 protein in PC3 prostate cancer cells, with a DC<sub>so</sub> of 0.23 nM and 0.38 nM, respectively.



Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# PROTAC BRD4 Degrader-13

Cat. No.: HY-138636

PROTAC BRD4 Degrader-13 (compound 9d) is a PROTAC connected by ligands for von Hippel-Lindau and BRD4. PROTAC BRD4 Degrader-13 can be conjugated with STEAP1 and CLL1 antibodies to degrade the BRD4 protein in PC3 prostate cancer cells, with a DC<sub>50</sub> of 0.025 nM and 6.0 nM, respectively.



Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg

# PROTAC BRD4 Degrader-14

Cat. No.: HY-138637

PROTAC BRD4 Degrader-14 is a PROTAC connected by ligands for von Hippel-Lindau and BRD4, with IC<sub>so</sub>s of 1.8 nM and 1.7 nM for BRD4 BD1 and BD2, respectively. PROTAC BRD4 Degrader-14 is capable of potently degrading the BRD4 protein in PC3 prostate cancer cells.



Purity: >98%

Clinical Data: No Development Reported

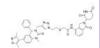
Size: 1 mg, 5 mg



# PROTAC BRD4 Degrader-2

Cat. No.: HY-133136

PROTAC BRD4 Degrader-2 is a PROTAC connected by ligands for Cereblon and BRD4 with an  $IC_{50}$  of 14.2 nM against BRD4 BD1.



Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg

# PROTAC BRD4 Degrader-3

>98%

Clinical Data: No Development Reported

1 mg, 5 mg

PC3 prostate cancer cells.

Purity:

Size:

PROTAC BRD4 Degrader-15

PROTAC BRD4 Degrader-3 (compound 1004.1) is an efficacious PROTAC connected by ligands for von Hippel-Lindau and BRD4.

PROTAC BRD4 Degrader-15 is a PROTAC connected by

ligands for von Hippel-Lindau and BRD4, with

IC<sub>so</sub>s of 7.2 nM and 8.1 nM for BRD4 BD1 and

BD2, respectively. PROTAC BRD4 Degrader-15 is

capable of potently degrading the BRD4 protein in



Cat. No.: HY-135558

Cat. No.: HY-139294

Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg

# PROTAC BRD4 Degrader-5

Cat. No.: HY-133737

PROTAC BRD4 Degrader-5 is a PROTAC connected by ligands for von Hippel-Lindau and BRD4. PROTAC BRD4 Degrader-5 can potent degrade BRD4 in HER2 positive and negative breast cancer cell lines.



99.51% Purity:

Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg

# PROTAC BRD4 Degrader-7

Cat. No.: HY-136857

PROTAC BRD4 Degrader-7 is a potent bromodomain BRD4 degrader extracted from patent WO2020055976A1, example 1a, has IC<sub>50</sub>s of 15.5 and 12.3 nM for BRD4-BD1 and BRD4-BD2, respectively.



>98% Purity:

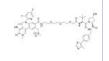
Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# PROTAC BRD4 Degrader-8

Cat. No.: HY-138555

PROTAC BRD4 Degrader-8 is a PROTAC connected by ligands for von Hippel-Lindau and BRD4, with IC<sub>so</sub>s of 1.1 nM and 1.4 nM for BRD4 BD1 and BD2, respectively. PROTAC BRD4 Degrader-8 is capable of potently degrading the BRD4 protein in PC3 prostate cancer cells.



Purity: 98.06%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# PROTAC BRD4 Degrader-9

Cat. No.: HY-138632

PROTAC BRD4 Degrader-9 (compound 8a) is a PROTAC connected by ligands for von Hippel-Lindau and BRD4. PROTAC BRD4 Degrader-9 can be conjugated with STEAP1 and CLL1 antibodies to degrade the BRD4 protein in PC3 prostate cancer cells, with a DC<sub>so</sub> of 0.86 nM and 7.6 nM, respectively.



Purity: 98.23%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg

# PROTAC BRD4-binding moiety 1

Cat. No.: HY-107442

PROTAC BRD4-binding moiety 1 is a ligand for BRD4. PROTAC BRD4-binding moiety 1 binds to cereblon ligand via a linker to form PROTAC to degrade BRD4 (HY-133136).



Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg

# PROTAC BRD4 ligand-1

Cat. No.: HY-129939

PROTAC BRD4 ligand-1 is a potent BET inhibitor and a ligand for target BRD4 protein for PROTACT GNE-987 (HY-129937A).



Purity: 99.50%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 50 mg, 100 mg

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# PROTAC BRD9 Degrader-1

Cat. No.: HY-103632

PROTAC BRD9 Degrader-1 is a PROTAC connected by ligands for Cereblon and BRD9 (IC<sub>so</sub>=13.5 nM), which can be used as a selective probe useful for the study of BAF complex biology.



98 30% Purity:

Clinical Data: No Development Reported

Size: 5 mg, 10 mg

cancer cell lines.

Cat. No.: HY-114504

respectively. RVX-297 suppresses inflammatory gene expression in multiple immune cell types.

# **RVX-297**

Purity:

RVX-297 is a potent, orally active BET bromodomain inhibitor with selectivity for BD2. RVX-297 shows IC<sub>50</sub>s of 0.08, 0.05, and 0.02 μM for BRD2(BD2), BRD3(BD2), and BRD4(BD2),

PROTAC CBP/P300 Degrader-1

99 18%

Clinical Data: No Development Reported

PROTAC CBP/P300 Degrader-1 is a potent PROTAC

CBP/P300 degrader. PROTAC CBP/P300 Degrader-1 potently inhibited cell viability of multiple

5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Size:

# **QCA570**

Cat. No.: HY-112609

QCA570 is a PROTAC connected by ligands for Cerebion and BET, with an IC<sub>50</sub> of 10 nM for BRD4 BD1 Protein.



Purity: 99 69%

Clinical Data: No Development Reported

5 mg, 10 mg Size

# SDR-04

Cat. No.: HY-146741

SDR-04 is a **BET** inhibitor and exhibits strong BRD4-BD1 affinity and inhibition activity. SDR-04 potently suppresses MV4;11 cancer cell line proliferation.

>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# SF2523

SF2523 is a highly selective and potent inhibitor of PI3K with  $IC_{50}$ s of 34 nM, 158 nM, 9 nM, 241 nM and 280 nM for PI3Kα, PI3Kγ, DNA-PK, BRD4 and mTOR,

respectively.

97.32% Purity:

Clinical Data: No Development Reported

Size 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# SGC-CBP30

Cat. No.: HY-15826

SGC-CBP30 is a potent and highly selective CBP/p300 bromodomain (K<sub>d</sub>s of 21 nM and 32 nM for CBP and p300, respectively) inhibitor, displaying 40-fold selectivity over the first bromodomain of BRD4 [BRD4(1)] bound.



Purity: 99.83%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# SGC-iMLLT

Cat. No.: HY-112804

SGC-iMLLT is a first-in-class chemical probe and a potent, selective inhibitor of MLLT1/3-histone interactions with an IC<sub>so</sub> of 0.26 μM. SGC-iMLLT shows high binding activity towards MLLT1 YEATS domain (YD) and MLLT3 YD (AF9/YEATS3) with K\_s of 0.129 and 0.077  $\mu$ M, respectively.

Purity: ≥95.0%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg Size:

# SGC-SMARCA-BRDVIII

Cat. No.: HY-145446

SGC-SMARCA-BRDVIII is a potent and selective inhibitor of SMARCA2/4 and PB1(5), with K<sub>a</sub>s of 35 nM, 36 nM, and 13 nM, respectively. SGC-SMARCA-BRDVIII also inhibits PB1(2) and PB1(3), with  $K_d$ s of 3.7 and 2.0  $\mu$ M, respectively.



Purity: 99.14%

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Size:

# SIM1

SIM1 is a potent von Hippel-Lindau (VHL)-based trivalent PROTAC capable of degradation for all BET family members, with preference for BRD2 degradation (IC<sub>50</sub>=1.1 nM; Kd=186 nM). SIM1 shows

sustained anti-cancer activity.

99.72%

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg



Cat. No.: HY-138536



Cat. No.: HY-101146



Cat. No.: HY-141438

# SNDX-5613

Cat. No.: HY-136175

SNDX-5613 is a potent and specific Menin-MLL inhibitor with a binding K, of 0.149 nM and a cell based  $IC_{50}$  of 10-20 nM. SNDX-5613 can be used for the research of MLL-rearranged (MLL-r) acute leukemias, including acute lymphoblastic leukemia (ALL) and acute myeloid leukemia (AML).



Purity: 98 59% Clinical Data: Phase 2

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# SNIPER(BRD)-1

SNIPER(BRD)-1, consists of an IAP antagonist LCL-161 derivative and a BET inhibitor. (+)-JO-1. connected by a linker. SNIPER(BRD)-1 induces the degradation of BRD4 via the ubiquitin-proteasome



Cat. No.: HY-111875

98 40% Purity:

Clinical Data: No Development Reported

Size: 1 mg

# SR-0813

Cat. No.: HY-145409

SR-0813 is a potent and selective ENL/AF9 YEATS domain inhibitor. SR-0813 has  $IC_{50}$  and  $EC_{50}$ values of 25 nM and 205 nM for ENL YEATS domain, respectively. SR-0813 has  $IC_{50}$  and  $EC_{50}$  values of 311 nM and 76 nM (CETSA) for AF9 YEATS domain, respectively.



Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg

# TD-428

Cat. No.: HY-114407

TD-428 is a PROTAC connected by ligands for Cereblon and BRD4. TD-428 is a highly specific BRD4 degrader with a DC<sub>so</sub> of 0.32 nM. TD-428 is a **BET PROTAC**, which comprises TD-106 (a CRBN ligand) linked to JQ1 (a BET inhibitor). TD-428 efficiently induce BET protein degradation.



Clinical Data: No Development Reported

1 mg, 5 mg



# Thalidomide-NH-CBP/p300 ligand 2

Cat. No.: HY-139707

Thalidomide-NH-CBP/p300 ligand 2 (P-007) is a PROTAC-based CBP and p300 degrader (extracted from patent WO2020173440).



99.85% Purity:

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Size:

# TP-238

Cat. No.: HY-114205

TP-238 is a potent and selective dual CECR2/BPTF probe with IC<sub>50</sub> values of 30 nM and 350 nM, respectively. TP-238 also inhibits BRD9 with a pIC<sub>50</sub> of 5.9 and is less active against other 338



>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# TP-238 hydrochloride

Cat. No.: HY-114205A

TP-238 hydrochloride is a potent and selective dual CECR2/BPTF probe with  $\rm IC_{50}$  values of 30 nM and 350 nM, respectively. TP-238 hydrochloride also inhibits BRD9 with a pIC<sub>so</sub> of 5.9 and is less active against other 338 kinases.



Purity: ≥96.0%

Clinical Data: No Development Reported

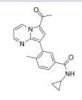
Size: 10 ma

# TP-472

Cat. No.: HY-100517

TP-472 is a selective BRD7/9 inhibitor, with  $K_p$ s of 0.34  $\mu$ M and 33 nM for BRD7 and BRD9,

respectively.



>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# **TPOP146**

Cat. No.: HY-100697

TPOP146 is a selective CBP/P300 benzoxazepine bromodomain inhibitor with K<sub>d</sub> values of 134 nM and 5.02 µM for CBP and BRD4.



Purity: 99.66%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# **Trotabresib**

(CC-90010)

CC-90010 (compound 1) is a reversible and orally active BET inhibitor. CC-90010 is applied in the study for advanced solid tumors.



Cat. No.: HY-137573

99.57% Clinical Data: Phase 2

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# **UMB-32**

UMB-32, a potent, selective BRD4 inhibitor, binds BRD4 with the  $\rm K_d$  of 550 nM, and IC $_{\rm 50}$  of 637 nM. UMB-32 also shows potency against TAF1, a bromodomain-containing transcription factor.

Cat. No.: HY-117997

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# UMB298

UMB298 is a potent and selective CBP/P300

bromodomain inhibitor.



Cat. No.: HY-139148

**Purity:** 99.11%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# **UNC 669**

Cat. No.: HY-15839

UNC 669, a ligand for a methyl-lysine binding domain, is a potent L3MBTL1 (IC $_{50}$ =4.2 uM) and L3MBTL3 (3.1 uM) inhibitor.

Purity: 99.88%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 500 mg

# UNC6212 (Kme2)

Cat. No.: HY-142954

UNC6212 (Kme2), a dimethyllysine (Kme2)-containing

ligand, has a  $K_p$  for CBX5 of 5.7  $\mu$ M.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# UNC6349 (Ket2)

Cat. No.: HY-142953

UNC6349 (Ket2), a diethyllysine (Ket2)-containing ligand, binds to wild-type CBX5, with a  $K_{\text{\tiny D}}$  of 3.2  $\mu M.$ 



**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# UNC6864 (Kei)

Cat. No.: HY-142952

UNC6864 (Kei), an ethylisopropyllysine (Kei)-containing ligand, binds to wild-type CBX5, with a  $\rm K_D$  of 3.3  $\rm \mu M$ .



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# **UNC926**

Cat. No.: HY-16510

UNC926 is a methyl-lysine (Kme) reader domain inhibitor that inhibits L3MBTL1 with an IC  $_{sn}$  of 3.9  $\mu$ M.

**Purity:** 98.05%

Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# UNC926 hydrochloride

Cat. No.: HY-16510A

UNC926 hydrochloride is a **methyl-lysine (Kme)** reader domain inhibitor that inhibits L3MBTL1 with an  $IC_{sn}$  of 3.9  $\mu$ M.

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# VTP50469

Cat. No.: HY-114162

VTP50469 is a potent, highly selective and orally active Menin-MLL interaction inhibitor with a  $\rm K_i$  of 104 pM. VTP50469 has potently anti-leukemia activity.



**Purity:** 99.41%

Clinical Data: No Development Reported

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 50 mg, 100 mg

# VTP50469 fumarate

Cat. No.: HY-114162A

VTP50469 fumarate is a potent, highly selective and orally active Menin-MLL interaction inhibitor with a  $K_{i}$  of 104 pM. VTP50469 fumarate has potently anti-leukemia activity.

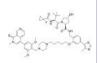


Purity: 98.84%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 50 mg, 100 mg

# VZ185

VZ185 is a potent, fast, and selective von Hippel-Lindau based dual degrader probe of BRD9 and BRD7 with DC $_{50}$ s of 4.5 and 1.8 nM, respectively. VZ185 is cytotoxic in EOL-1 and A-402 cells, with EC $_{50}$ s of 3 nM and 40 nM, respectively.



Cat. No.: HY-114322

Purity: 98.34%

XMD8-92

Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# XD14

XD14 is a potent BET inhibitor with antitumor effect. It binds to BRD2, BRD3, and BRD4 with  $\rm K_ds$  of 170, 380, and 160 nM, respectively.



Cat. No.: HY-110215

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

XMD8-92 is a potent ERK5 (BMK1)/BRD4 inhibitor with  $\rm K_a$ s of 80 and 190 nM, respectively. XMD8-92 inhibits DCAMKL2, PLK4 and TNK1 with  $\rm K_a$ s of 190, 600 and 890 nM, respectively. Anti-cancer activity.



Cat. No.: HY-14443

**Purity:** 99.93%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

# XP-524

Cat. No.: HY-147008

XP-524 is a potent **BET** and **EP300** inhibitor. XP-524 shows great tumoricidal activity in vivo. XP-524 prevents KRAS-induced, neoplastic transformation in vivo and extends survival in two transgenic mouse models of aggressive PDAC.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# XY-06-007

Cat. No.: HY-145226

XY-06-007 is a selective and potent bump-and-hole (B&H)-PROTAC BRD4<sub>BD1</sub>L94V degrader. XY-06-007 shows a  $DC_{50,6\,h}$  of 10 nM against BRD4<sub>BD1</sub>L94V with no degradation of off-targets. XY-06-007 demonstrates suitable pharmacokinetics for in vivo studies.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# Y06036

Cat. No.: HY-111502

Y06036 is a potent and selective BET inhibitor, which binds to the BRD4(1) bromodomain with  $\rm K_d$  value of 82 nM. Antitumor activity.



**Purity:** 98.96%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# Y06137

Cat. No.: HY-111503

Y06137 is a potent and selective BET inhibitor for treatment of castration-resistant prostate cancer (CRPC). Y06137 binds to the BRD4(1) bromodomain with a  $\rm K_d$  of 81 nM.



Purity: 99.90%

Clinical Data: No Development Reported

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 50 mg, 100 mg

# Y08175

Cat. No.: HY-142743

Y08175 is a potent CBP bromodomain inhibitor. Y08175 exhibits considerable inhibitory effect with  $IC_{so}$ s of 37 and 178.15 nM against CBP bromodomain in AlphaScreen assay and HTRF assay, respectively. Y08175 can be used for the research of prostate cancer.

**Purity:** >98%

Clinical Data: No Development Reported

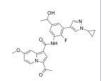
Size: 1 mg, 5 mg



# Y08284

Cat. No.: HY-142772

Y08284 is a potent, selective, oral active CBP bromodomain inhibitor with an IC50 of 4.21 nM. Y08284 suppresses the proliferation of prostate cancer cell lines LNCaP, C4-2B, and 22Rv1. Antitumor activity.



**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# YM458

Cat. No.: HY-146999

YM458 is a potent EZH2 and BRD4 dual inhibitor with  $IC_{so}$ s of 490 nM and 34 nM, respectively. YM458 inhibits cell proliferation and colony formation and induces cell cycle arrest and apoptosis in solid cancer cells. YM458 can be used for researching anticancer.

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



# ZEN-3219

ZEN-3219 is a BET inhibitor with IC $_{50}$ S of 0.48, 0.16 and 0.47  $\mu$ M for BRD4(BD1), BRD4(BD2) and BRD4(BD1BD2), respectively. ZEN-3219 can be used to form PROTACs to induce degradation of BRD4.

Cat. No.: HY-111977

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# ZEN-3411

ZEN-3411 is a BET inhibitor with  $IC_{50}$ s of 0.05, 0.05 and 0.06  $\mu$ M for BRD4(BD1), BRD4(BD2) and BRD4(BD1BD2), respectively. ZEN-3411 can be used to form PROTACs to induce degradation of BRD4.



Cat. No.: HY-111979

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# ZEN-3862

Cat. No.: HY-111978

ZEN-3862 is a BET inhibitor with  $IC_{sp}$ s of 0.16 and 0.13  $\mu$ M for BRD4(BD1) and BRD4(BD2) , respectively. ZEN-3862 can be used to form PROTACs to induce degradation of BRD4.



**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# **Ziftomenib**

(KO-539) Cat. No.: HY-132001

Ziftomenib (KO-539) is a menin-MLL interaction inhibitor with antitumor activities (WO2017161028A1, compound 151).



**Purity:** 99.67%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg

# ZL0420

Cat. No.: HY-112149

ZL0420 is a potent and selective bromodomain-containing protein 4 (BRD4) inhibitor with  $\rm IC_{so}$  values of 27 nM against BRD4 BD1 and 32 nM against BRD4 BD2.



**Purity:** ≥98.0%

Clinical Data: No Development Reported

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 25 mg, 50 mg

# ZL0454

Cat. No.: HY-112150

ZL0454 is a potent and selective Bromodomain-containing protein 4 (BRD4) inhibitor with an  $\rm IC_{50}$  of 49 and 32 nM for BD1 and BD2.



**Purity:** ≥95.0%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# ZL0580

Cat. No.: HY-126428

ZL0580, a structurally close analog of ZL0590, induces epigenetic suppression of **HIV** via selectively binding to BD1 domain of **BRD4**.



Purity: 99.48%

Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# ZL0590

ZL0590 is a potent, orally active BRD4 BD1-selective inhibitor with an  $\rm IC_{50}$  of 90 nM for human BRD4 BD1. ZL0590 exhibits significant anti-inflammatory activities.



Cat. No.: HY-145310

**Purity:** >98%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# **ZLD2218**

Cat. No.: HY-144236

Considerable studies confirmed that BRD4 inhibition ameliorated kidney injury and fibrosis and ZLD2218 exhibited the most potent inhibitory activity against BRD4, with the  $\rm IC_{50}$  value of 107 nM.



**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# ZXH-3-26

Cat. No.: HY-122826

ZXH-3-26 is a PROTAC connected by ligands for **Cereblon** and **BRD4** with a  $DC_{50/5h}$  of 5 nM. The  $DC_{50/5h}$  refers to half-maximal degradation after 5 hours of treatment of  $\sim$  5 nM.



**Purity:** 98.61%

Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

# β-NF-JQ1

Cat. No.: HY-130256

 $\beta\text{-NF-JQ1}$  is a PROTAC that recruits Aryl Hydrocarbon Receptor E3 ligase to target proteins.

>98% Purity:

Clinical Data: No Development Reported

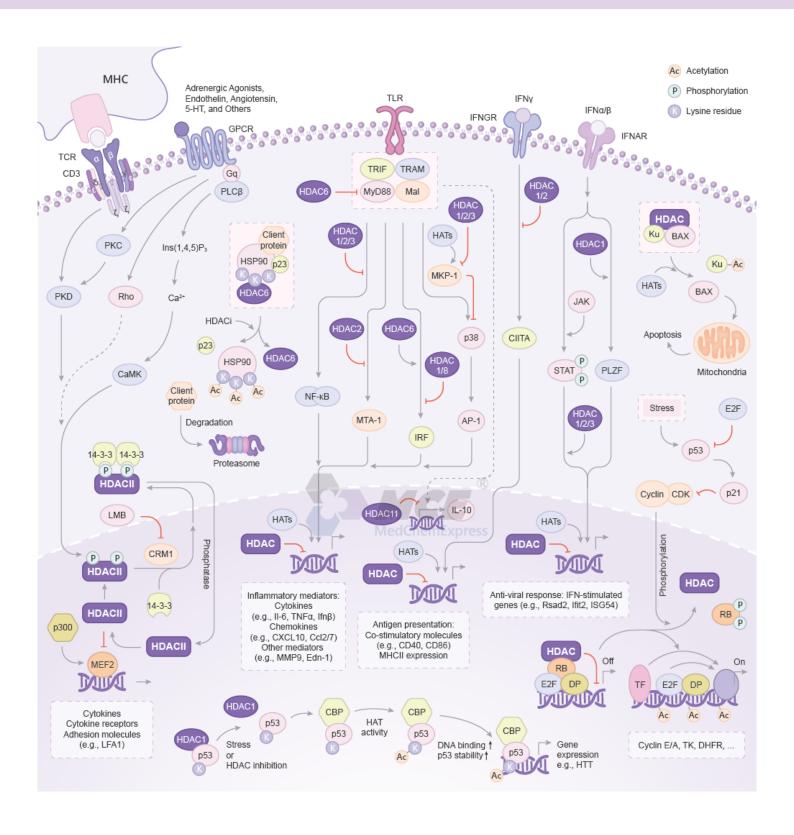
Size: 1 mg, 5 mg



# **HDAC**

# Histone deacetylases

HDAC (Histone deacetylases) are a class of enzymes that remove acetyl groups (O=C-CH3) from an  $\epsilon$ -N-acetyl lysine amino acid on ahistone, allowing the histones to wrap the DNA more tightly. This is important because DNA is wrapped around histones, and DNA expression is regulated by acetylation and de-acetylation. Its action is opposite to that of histone acetyltransferase. HDAC proteins are now also called lysine deacetylases (KDAC), to describe their function rather than their target, which also includes non-histone proteins. Together with the acetylpolyamine amidohydrolases and the acetoin utilization proteins, the histone deacetylases form an ancient protein superfamily known as the histone deacetylase superfamily.





# **Histone Acetyltransferase**

HATs; HAT

Histone acetyltransferases (HATs) are epigenetic enzymes that install acetyl groups onto lysine residues of cellular proteins such as histones, transcription factors, nuclear receptors, and enzymes. HATs are crucial for chromatin restructuring and transcriptional regulation in eukaryotic cells. HATs have been shown to play a role in diseases ranging from cancer and inflammatory diseases to neurological disorders, both through acetylations of histone proteins and non-histone proteins.

HATs can be grouped into at least five different subfamilies (HAT1, Gcn5/PCAF, MYST, p300/CBP, and Rtt109). HATs mediate many different biological processes including cell-cycle progression, dosage compensation, repair of DNA damage, and hormone signaling. Aberrant HAT function is correlated with several human diseases including solid tumors, leukemias, inflammatory lung disease, viral infection, diabetes, fungal infection, and drug addiction.

# Histone Acetyltransferase Inhibitors & Activators

# A-485

Cat. No.: HY-107455

A-485 is a potent and selective catalytic inhibitor of p300/CBP with IC<sub>so</sub>s of 9.8nM and 2.6nM for p300 and CBP histone acetyltransferase (HAT), respectively.



Purity: 99 90%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

# Acetaminophen-d3 (Paracetamol-d3; 4-Acetamidophenol-d3;

4'-Hydroxyacetanilide-d3)

Acetaminophen-d3 (Paracetamol-d3) is the deuterium labeled Acetaminophen. Acetaminophen (Paracetamol) is a selective cyclooxygenase-2 (COX-2) inhibitor with an  $IC_{50}$  of 25.8  $\mu$ M; is a widely used antipyretic and analgesic agent.

Cat. No.: HY-66005S1

**Purity:** >98%

Clinical Data: No Development Reported

# **Anacardic Acid**

(Hydroginkgolic acid; Ginkgolic Acid C15:0) Cat. No.: HY-N2020

Anacardic Acid, extracted from cashew nut shell liquid, is a histone acetyltransferase inhibitor, inhibits HAT activity of p300 and PCAF, with IC sos of 8.5 µM and 5 µM, respectively.

Purity: 98.07%

Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

# C646

Cat. No.: HY-13823

C646 is a selective and competitive histone acetyltransferase p300 inhibitor with K, of 400 nM, and is less potent for other acetyltransferases.



≥98.0% Purity:

Clinical Data: No Development Reported 10 mM  $\times$  1 mL, 10 mg, 50 mg Size:

# CBP/p300-IN-14

Cat. No.: HY-139861

CBP/p300-IN-14 is a potent inhibitor of CBP/EP300 (lysine acetyltransferase) with an IC<sub>50</sub> of 3.3 nM (extracted from patent WO2021213521A1, compound



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# Acetaminophen

(Paracetamol; 4-Acetamidophenol; 4'-Hydroxyacetanilide)

Acetaminophen (Paracetamol) is a selective cyclooxygenase-2 (COX-2) inhibitor with an IC<sub>50</sub> of 25.8 µM; is a widely used antipyretic and analgesic agent. Acetaminophen is a potent hepatic N-acetyltransferase 2 (NAT2) inhibitor.



Cat. No.: HY-66005

Purity: 99 96% Clinical Data: Launched Size: 500 mg, 5 g, 10 g

# Acetaminophen-d4

Cat. No.: HY-66005S

Acetaminophen-d4 is the deuterium labeled Acetaminophen. Acetaminophen (Paracetamol) is a selective cyclooxygenase-2 (COX-2) inhibitor with an  $IC_{50}$  of 25.8  $\mu M$ ; is a widely used antipyretic and analgesic agent. Acetaminophen is a potent hepatic N-acetyltransferase 2 (NAT2) inhibitor.

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg

# **Butyrolactone 3**

(MB-3) Cat. No.: HY-129039

Butyrolactone 3 (MB-3) is a specifical small-molecule inhibitor of the histone acetyltransferase Gcn5 (IC $_{so}$ =100  $\mu$ M), which has a high affinity to the Gcn5 enzyme comparable to that of its natural substrate, histone H3.



99.58% Purity:

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg

# CBP/p300-IN-12

CBP/p300-IN-12 is a potent and selective covalent histone acetyltransferases p300 (IC<sub>50</sub> of 166 nM) and CBP inhibitor. CBP/p300-IN-12 decreases the levels of H3K27Ac of PC-3 cells (EC<sub>so</sub> of 37 nM). CBP/p300-IN-12 forms a covalent adduct with C1450.



Cat. No.: HY-132197

>98% Purity:

Clinical Data: No Development Reported 5 mg, 10 mg, 50 mg, 100 mg

# CBP/p300-IN-16

CBP/p300-IN-16 (compound 1) is a potent EP300/CBP HAT inhibitor with  $IC_{50}$ s of 0.61, 2.24  $\mu$ M for HAT

EP300 and LK2 H3K27, respectively.

Cat. No.: HY-143440

>98%

Clinical Data: No Development Reported

1 mg, 5 mg

# CBP/p300-IN-17

CBP/p300-IN-17 (compound 7) is a potent EP300/CBP

HAT inhibitor with IC $_{50}$ s of 0.18, 0.69  $\mu$ M for HAT EP300 and LK2 H3K27, respectively.

Cat. No.: HY-143441

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# CBP/p300-IN-18

Cat. No.: HY-143442

CBP/p300-IN-18 (compound 8) is a potent EP300/CBP HAT inhibitor with IC  $_{\rm so}$ s of 0.056, 0.46  $\mu$ M for HAT EP300 and LK2 H3K27, respectively.



**Purity:** >98%

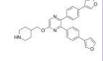
Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# CBP/p300-IN-19

Cat. No.: HY-146277

CBP/p300-IN-19 is a potent p300/CBP HAT inhibitor with  $\rm IC_{50}$ s of 1.4, 2.2, >100, >100  $\rm \mu M$  for p300-HAT, CBP-HAT, PCAF, Myst3, respectively. CBP/p300-IN-19 shows antitumor activity.



**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# CBP/p300-IN-19 hydrochloride

Cat. No.: HY-146277A

CBP/p300-IN-19 hydrochloride is a potent and selective p300/CBP HAT inhibitor with IC $_{so}$ s of 1.4, 2.2, >100, >100  $\mu$ M for p300-HAT, CBP-HAT, PCAF, Myst3, respectively. CBP/p300-IN-19 hydrochloride shows antitumor activity.

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**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# CBP/p300-IN-3

Cat. No.: HY-128876

CBP/p300-IN-3, a p300/CBP histone acetyltransferase inhibitor, Compound 6, is sourced from patent WO 2019049061 A1.



**Purity:** 98.23%

Clinical Data: No Development Reported

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 50 mg, 100 mg

# CBP/p300-IN-5

Cat. No.: HY-100132

P300/CBP-IN-5 is a potent **p300/CBP histone acetyltransferase** inhibitor extracted from patent WO2016044770A1, Example 715, has an IC<sub>50</sub> of 18.8 nM.



**Purity:** >98%

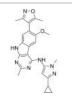
Clinical Data: No Development Reported

Size: 5 mg

# CF53

Cat. No.: HY-112610

CF53 is a highly potent, selective and orally active inhibitor of BET protein, with a  $\rm K_i$  of <1 nM,  $\rm K_a$  of 2.2 nM and an  $\rm IC_{50}$  of 2 nM for BRD4 BD1.



Purity: 98.94%

Clinical Data: No Development Reported

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 50 mg, 100 mg

# Coumarin-SAHA

Cat. No.: HY-126829

Coumarin-SAHA is a **fluorescent probe** for determining the binding affinities ( $k_d$ ) and the dissociation off-rates ( $k_{off}$ ) of the HDAC8-inhibitor complexes.

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# CPI-1612

Cat. No.: HY-136285

CPI-1612 is a highly potent, orally active EP300/CBP histone acetyltransferase (HAT) inhibitor with an IC $_{\rm 50}$  of 8.1 nM for EP300 HAT. CPI-1612 has an anticancer activity.



Purity: 99.85%

Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# **CPI-637**

Cat. No.: HY-100482

CPI-637 is a selective and potent CBP/EP300 bromodomain inhibitor with IC $_{50}$  values of 0.03  $\mu$ M, 0.051  $\mu$ M and 11.0  $\mu$ M for CBP, EP300 and BRD4 BD-1, respectively, and an EC $_{50}$  of 0.3  $\mu$ M for CBP.



Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# CPTH2

Cat. No.: HY-W013274

CPTH2 is a potent histone acetyltransferase (HAT) inhibitor. CPTH2 selectively inhibits the acetylation of histone H3 by Gcn5. CPTH2 induces apoptosis and decreases the invasiveness of a clear cell renal carcinoma (ccRCC) cell line through the inhibition of acetyltransferase p300 (KAT3B).

N NH

**Purity:** 99.79%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

# CPTH2 hydrochloride

CPTH2 hydrochloride is a potent histone acetyltransferase (HAT) inhibitor. CPTH2 hydrochloride selectively inhibits the acetylation of histone H3 by Gcn5.

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Cat. No.: HY-W013274A

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# СТВ

Cat. No.: HY-134964

CTB is a potent p300 histone acetyltransferase activator. CTB can effectively induce apoptosis in MCF-7 cells.

**Purity:** 99.76%

Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# СТРВ

Cat. No.: HY-124960

CTPB is a good activator of p300 histone acetyl transferase (HAT) enzyme.



**Purity:** ≥99.0%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

#### Curcumin

(Diferuloylmethane; Natural Yellow 3; Turmeric yellow) Cat. No.: HY-N0005

Curcumin (Diferuloylmethane), a natural phenolic compound, is a p300/CREB-binding protein-specific inhibitor of acetyltransferase, represses the acetylation of histone/nonhistone proteins and histone acetyltransferase-dependent chromatin transcription.

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Purity: ≥96.0% Clinical Data: Phase 4

Size: 10 mM × 1 mL, 100 mg, 500 mg

# Curcumin-d6 (Diferuloylmethane-d6; Natural Yellow 3-d6;

Turmeric yellow-d6) Cat. No.: HY-N0005S

Curcumin D6 (Diferuloylmethane D6) is a deuterium labeled Curcumin (Turmeric yellow). Curcumin (Turmeric yellow) is a natural phenolic compound with diverse pharmacologic effects including anti-inflammatory, antioxidant, antiproliferative and antiangiogenic activities.

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**Purity:** >98%

Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

# DCH36\_06

Cat. No.: HY-139108

DCH36\_06 is a potent and selective p300/CBP inhibitor with IC $_{50}$ s of 0.6  $\mu$ M and 3.2  $\mu$ M for p300 and CBP, respectively. DCH36\_06 mediated p300/CBP inhibition leading to hypoacetylation on H3K18 in leukemic cells. Anti-tumor activity.

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**Purity:** 99.22%

Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# DS17701585

Cat. No.: HY-143443

DS17701585 (Compound 11) is a highly selective, orally active EP300 and CBP inhibitor with  $IC_{50}$  values of 0.040, 0.15, 0.45 and 0.70  $\mu\text{M}$  against CBP, EP300, H3K27 and SOX2. DS17701585 can be used for cancer research.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# **EML 425**

Cat. No.: HY-110263

EML425 is a potent and selective CREB binding protein (CBP)/p300 inhibitor with  $IC_{50}$ s of 2.9 and 1.1  $\mu$ M, respectively.

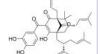
**Purity:** 98.45%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

# Garcinol

Cat. No.: HY-107569

Garcinol, a polyisoprenylated benzophenone harvested from Garcinia indica, exerts anti-cholinesterase properties towards acetyl cholinesterase (AChE) and butyrylcholinesterase (BChE) with IC $_{50}$ s of 0.66  $\mu$ M and 7.39  $\mu$ M, respectively.



Purity: 98.85%

Clinical Data: No Development Reported Size: 10 mM × 1 mL, 1 mg, 5 mg

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

# **GNE-049**

GNE-049 is a highly potent and selective CBP inhibitor with an  $IC_{50}$  of 1.1 nM in TR-FRET assay. GNE-049 also inhibits BRET and BRD4(1) with IC<sub>so</sub>s of 12 nM and 4200 nM, respectively.

Cat. No.: HY-108435

Purity: 98.00%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# **GNE-272**

Cat. No.: HY-100726

GNE-272 is a potent and selective CBP/EP300 inhibitor with  $IC_{50}$  values of 0.02, 0.03 and 13  $\mu M$ for CBP, EP300 and BRD4, respectively. GNE-272 is also a selective in vivo probe for CBP/EP300.



Purity: 99 74%

Clinical Data: No Development Reported

10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

# **GSK 4027**

Cat. No.: HY-101027

GSK 4027 is a chemical probe for the PCAF/GCN5 bromodomain with an pIC<sub>50</sub> of 7.4±0.11 for PCAF in a time-resolved fluorescence resonance energy transfer (TR-FRET) assay.

Purity: 98.80%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# HAT-IN-1

Cat. No.: HY-103669

HAT-IN-1 is an inhibitor of HAT, used in the research of cancer.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# I-CBP112

Cat. No.: HY-19541

I-CBP112 is a specific and potent acetyl-lysine competitive protein-protein interaction inhibitor, that inhibits the CBP/p300 bromodomains, enhances acetylation by p300.



Purity: 98.46%

No Development Reported Clinical Data:

10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg Size:

# **GNE-207**

GNE-207 is a potent, selective and orally bioavailable inhibitor of the bromodomain of CBP. with an IC<sub>so</sub> of 1 nM, exhibits a selectively index of > 2500-fold against BRD4 (1). GNE-207 shows excellent CBP potency, with an  $EC_{50}$  of 18 nM for MYC expression in MV-4-11 cells.

**Purity:** 98 10%

Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg

Cat. No.: HY-120028

# **GNE-781**

Cat. No.: HY-108696

GNE-781 is an orally active, highly potent and selective CBP inhibitor with an IC<sub>50</sub> of 0.94 nM in TR-FRET assay. GNE-781 also inhibits BRET and BRD4(1) with IC<sub>50</sub>s of 6.2 nM and 5100 nM, respectively. GNE-781 displays antitumor activity in an MOLM-16 AML xenograft model.



Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg



# GSK4028

Cat. No.: HY-101027A

GSK4028 is the enantiomeric negative control of GSK4027, which is a PCAF/GCN5 bromodomain chemical probe, the  $pIC_{so}$  of GSK4028 is 4.9 in a time-resolved fluorescence resonance energy transfer (TR-FRET) assay.



98.55% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# Histone Acetyltransferase Inhibitor II

Cat. No.: HY-100734

Histone Acetyltransferase Inhibitor II (compound 2c) is a potent, selective and cell permeable p300 histone acetyltransferase inhibitor, with an IC<sub>so</sub> of 5 μM. Histone Acetyltransferase Inhibitor II shows anti-acetylase activity in mammalian cells.

Purity: 99.11%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Size:

# L002

Cat. No.: HY-100671

L002 is a potent, cell permeable, reversible and specific acetyltransferase p300 (KAT3B) inhibitor with an IC<sub>so</sub> of 1.98 μM.



98.80%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# Lys-CoA TFA

Lys-CoA TFA is a selective p300 histone acetyltransferase (HAT) inhibitor (IC<sub>so</sub>=50-500 nM). Lys-CoA TFA displays >100-fold selectivity for p300 over PCAF (IC  $_{\!so}\!=\!200~\mu\text{M}$  ). Lys-CoA TFA inhibits p300 HAT activity-dependent transcriptional activation.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



Cat. No.: HY-131035

# MOZ-IN-2

Cat. No.: HY-102059

MOZ-IN-2 is an inhibitor of protein MOZ, a member of histone acetyltransferases, with an IC<sub>50</sub> of 125  $\mu$ M.

Purity: 98 40%

Clinical Data: No Development Reported 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

# NEO2734

(EP31670) Cat. No.: HY-136938

NEO2734 (EP31670) is an orally active dual p300/CBP and BET bromodomain selective inhibitor, with IC<sub>so</sub> values of <30 nM for both p300/CBP and BET bromodomains. NEO2734 is active in SPOP mutant and wild-type prostate cancer.

99.79% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# NSC 228155

Cat. No.: HY-101084

NSC 228155 is an activator of EGFR, binds to the extracellular region of EGFR and enhance tyrosine phosphorylation of EGFR.



≥98.0% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# P300 bromodomain-IN-1

Cat. No.: HY-146445 P300 bromodomain-IN-1 (Compoun 1u) is a potent

p300 (EP300) bromodomain inhibitor with an IC<sub>so</sub> of 49 nM. P300 bromodomain-IN-1 suppresses the expression of c-Myc and induces G1/G0 phase arrest and apoptosis in OPM-2 cells.

>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# MG 149

(Tip60 HAT inhibitor)

MG149 (Tip60 HAT inhibitor) is a selective and potent Tip60 inhibitor with IC<sub>50</sub> of 74 uM, similar potentcy for MOF (IC<sub>s0</sub>= 47 uM); little potent for PCAF and p300 ( $IC_{50} > 200 \text{ uM}$ ).



Cat. No.: HY-15887

99.86% Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg

# Naphthol AS-E

Naphthol AS-E is a potent and cell-permeable inhibitor of KIX-KID interaction. Naphthol AS-E directly binds to the KIX domain of CBP (K<sub>d</sub>:8.6  $\mu$ M), blocks the interaction between the KIX domain and the KID domain of CREB with IC<sub>50</sub> of 2.26 μM.

Naphthol AS-E can be used for cancer research.

**Purity:** 

Clinical Data: No Development Reported 10 mM × 1 mL, 100 mg Size:



Cat. No.: HY-104068

NiCur

NiCur is a potent and selective CBP histone acetyltransferase (HAT) inhibitor with an ICs value of 0.35 μM. NiCur, which blocks CBP HAT

genotoxic stress.

99.09% Purity:

Clinical Data: No Development Reported Size

activity and downregulates p53 activation upon

Cat. No.: HY-139149

5 mg, 10 mg, 25 mg, 50 mg

# NU9056

NU9056 is a potent and selective Tip60 (KAT5) histone acetyltransferase inhibitor with an of 2

μΜ. NU9056 shows >16-fold selectivity for **Tip60** over PCAF, p300 and GCN5. NU9056 induces apoptosis

of prostate cancer cells.

98.81% Purity:

Clinical Data: No Development Reported 10 mM × 1 mL, 5 mg, 10 mg Size:



Cat. No.: HY-110127

PF-9363

(CTx-648) Cat. No.: HY-132283

PF-9363 (CTx-648) is a first-in-class potent and high selective KAT6A/KAT6B inhibitor. PF-9363 can be used for the research of cancer.



99.53%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# PF-CBP1 hydrochloride

PF-CBP1 hydrochloride is a highly selective inhibitor of the CREB binding protein bromodomain

(CBP BRD). PF-CBP1 inhibits CREBBP and EP300 bromodomains with IC<sub>50</sub> of 125 nM



Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Cat. No.: HY-19999A

# PU141

PU141 is a selected pyridoisothiazolone HAT inhibitor. PU141 is selective toward CBP and p300. PU141 induces cellular histone hypoacetylation and inhibits growth of several neoplastic cell lines originating from different tissues. Anticancer activity.

**Purity:** 

Clinical Data: No Development Reported

1 mg, 5 mg



Cat. No.: HY-120290

Cat. No.: HY-16706A

Cat. No.: HY-N2345

**Purity:** Size:

Clinical Data: No Development Reported

Procyanidin B3 is a natural product, acts as a

specific HAT inhibitor, binds to the other site

of p300 instead of the active site, selectively

inhibits p300-mediated androgen receptor acetylation. Procyanidin B3 has no effect on HDAC

or HMT (histone methyltransferase).

99 63%

1 mg, 5 mg

Procyanidin B3

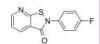
# PU139

Cat. No.: HY-124696

PU139 is a potent pan-histone acetyltransferase (HAT) inhibitor. PU139 blocks the HATs Gcn5, p300/CBP-associated factor (PCAF), CREB (cAMP response element-binding) protein (CBP) and p300 with IC<sub>50</sub>s of 8.39, 9.74, 2.49 and 5.35 μM, respectively.

**Purity:** >98%

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg



# Remodelin

Cat. No.: HY-16706

Remodelin is a novel potent and selective inhibitor of the acetyl-transferase protein NAT10.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# Remodelin hydrobromide

Remodelin, a specific inhibitor of N-acetyltransferase NAT10, can ameliorate Hutchinson-Gilford Progeria Syndrom (HGPS) cellular phenotypes. Remodelin acts in a progerinand FTI-independent pathway, by targeting and

inhibiting NAT10.

**Purity:** 99.49%

Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

# SGC-CBP30

Cat. No.: HY-15826

SGC-CBP30 is a potent and highly selective CBP/p300 bromodomain (K<sub>d</sub>s of 21 nM and 32 nM for CBP and p300, respectively) inhibitor, displaying 40-fold selectivity over the first bromodomain of BRD4 [BRD4(1)] bound.

99.83% Purity:

TH1834

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg Size:

# SYY-B085-1

SYY-B085-1 is a histone acetyltransferase (HAT) inhibitor extracted from patent WO2019201291A1.



Cat. No.: HY-138945

>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# TH1834 dihydrochloride

TH1834 is a specific Tip60 (KAT5) histone acetyltransferase (HAT) inhibitor. TH1834 induces apoptosis and increases DNA damage in breast cancer. TH1834 does not affect the activity of related histone acetyltransferase MOF. Anticancer activity.



Cat. No.: HY-123604

Purity: 98.86%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 50 mg, 100 mg TH1834 dihydrochloride is a specific Tip60 (KAT5) histone acetyltransferase inhibitor. TH1834 dihydrochloride induces apoptosis and increases DNA damage in breast cancer. TH1834 dihydrochloride does not affect the activity of related histone acetyltransferase MOF. Anticancer activity.

Purity: 99.68%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Cat. No.: HY-123604A

# **TPOP146**

TPOP146 is a selective CBP/P300 benzoxazepine bromodomain inhibitor with K<sub>a</sub> values of 134 nM and 5.02  $\mu M$  for CBP and BRD4.



Cat. No.: HY-100697

Purity: 99 66%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# WM-1119

Cat. No.: HY-102058

WM-1119 is a highly potent and selective KAT6A inhibitor, with an  $IC_{50}$  of 0.25  $\mu M$  for KAT6A in lymphoma cells, the binding  $K_p$  values of WM-1119 with KAT6A, KAT5 and KAT7 are 2 nM, 2.2  $\mu$ M, 0.5  $\mu$ M , respectively.

Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# WM-8014

Cat. No.: HY-102060

WM-8014 is an inhibitor of MOZ, a member of histone acetyltransferases, with an IC<sub>50</sub> of 55 nM.

Purity: 99.64%

Clinical Data: No Development Reported

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# YF-2 hydrochloride

Cat. No.: HY-16531A

YF-2 hydrochloride is a highly selective, blood-brain-barrier permeable histone acetyltransferase activator, acetylates H3 in the hippocampus, with  $EC_{so}$ s of 2.75  $\mu$ M, 29.04  $\mu$ M and 49.31 µM for CBP, PCAF, and GCN5, respectively, shows no effect on HDAC.

Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# **TTK21**

TTK21 is an activator of the histone acetyltransferases CBP/p300, TTK21 passes the blood-brain barrier, induces no toxicity, and reaches different parts of the brain when conjugated to glucose-based carbon nanosphere (CSP).

Cat. No.: HY-116673

**Purity:** 99 43%

Clinical Data: No Development Reported

5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# WM-3835

Cat. No.: HY-134901

WM-3835 is a potent and high-specific HBO1 (KAT7 or MYST2) inhibitor and binds directly to the acetyl-CoA binding site of HBO1 33. WM-3835 activates apoptosis while inhibits osteosarcoma (OS) cell proliferation, migration and invasion.

**Purity:** 98.10%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Size:

# YF-2

Cat. No.: HY-16531

YF-2 is a highly selective, blood-brain-barrier permeable histone acetyltransferase activator, acetylates H3 in the hippocampus, with EC<sub>50</sub>s of 2.75 μM, 29.04 μM and 49.31 μM for CBP, PCAF, and GCN5, respectively, shows no effect on HDAC. Anti-cancer and anti-Alzheimer's disease.



99.44% Purity:

Clinical Data: No Development Reported

10 mM  $\times$  1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Size:



# **Histone Demethylase**

There are two classes of enzymes involved in histone methylation: methyltransferases and demethylases. While methyltransferases are responsible for establishing methylation patterns, demethylases are capable of removing methyl groups not only from histones but other proteins as well. Histone demethylases not only target methylated sites on histone tails but also interact with methylated sites on non-histone proteins, such as p53.

Histone lysine demethylases (KDMs) are of interest as drug targets due to their regulatory roles in chromatin organization and their tight associations with diseases including cancer and mental disorders.

JMJD1A (also named KDM3A) is a demethylasethat removes methyl from histone lysine H3K9. It plays important roles in various cellular processes, including spermatogenesis, energy metabolism, regulation of stem cell and gender display.

Jumonji domain-containing 3 (Jmjd3) has been identified as a histone demethylase, which specifically catalyzes the removal of methylation from H3K27me3.

# Histone Demethylase Inhibitors, Antagonists & Activators

# (rel)-Tranylcypromine D5 hydrochloride

(2-Phenylcyclopropylamine D5 hydrochloride)

Cat. No.: HY-17447SA

(rel)-Tranylcypromine D5 hydrochloride (2-Phenylcyclopropylamine D5 hydrochloride) is a deuterium labeled (rel)-Tranylcypromine hydrochloride.

H-CI

**Purity:** >98%

Clinical Data: No Development Reported

Size: 5 mg

Relative stereochemistry

# 2,4-PDCA

2,4-PDCA (2,4 pyridine dicarboxylic acid) is a broad-spectrum inhibitor of 2OG oxygenase, including JmjC domain-containing family of histone demethylases (JHDMs). 2,4-PDCA is a target chemical in the field of bio-based plastics.

iastics.

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# Ю

Cat. No.: HY-W017132

# AS8351

(NSC51355) Cat. No.: HY-100744

AS8351 (NSC51355) is a **KDM5B** inhibitor, which can induce and sustain active chromatin marks to facilitate the induction of cardiomyocyte-like cells.

HN OH

Purity: 99.89%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# Bizine

Bizine, a Phenelzine analogue, is a potent and selective **LSD1** inhibitor, with a  $b > K_i$  of 59 nM. Bizine can modulate bulk histone methylation in cancer cells. Bizine shows neuroprotective

effects.

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# ali, O'H

Cat. No.: HY-121095

# **Bomedemstat**

(IMG-7289) Cat. No.: HY-109169

Bomedemstat (IMG-7289) is an orally active and irreversible inhibitor of the epigenetically active lysine-specific demethylase 1 (LSD1) in mouse models of myeloproliferative neoplasms (MPNs).

**Purity:** > 98%

Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# Bomedemstat ditosylate

(IMG-7289 ditosylate)

Bomedemstat (IMG-7289) ditosylate is an oral and irreversible inhibitor of the epigenetically active lysine-specific demethylase 1 (LSD1) in mouse models of myeloproliferative neoplasms (MPNs)



Cat. No.: HY-109169A

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# CBB1003

Cat. No.: HY-15774

CBB1003 is a novel histone demethylase LSD1 inhibitor with IC50 of 10.54 uM. IC50 value: 10.54 uM Target: LSD1 inhibitor in vitro: Treatment of F9 cells with CBB1003 led to the activation of CHRM4 and SCN3A expression.

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# CBB1003 hydrochloride

CBB1003 Hcl is a novel histone demethylase LSD1 inhibitor with IC50 of 10.54 uM. IC50 value: 10.54 uM Target: LSD1 inhibitor in vitro: Treatment of F9 cells with CBB1003 led to the activation of CHRM4 and SCN3A expression.

Cat. No.: HY-15774A

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# **CBB1007**

Cat. No.: HY-15313

CBB1007 is a cell-permeable amidino-guanidinium compound that acts as a potent, reversible and substrate competitive LSD1 selective inhibitor (IC50 =  $5.27~\mu M$  for hLSD1).

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# CBB1007 hydrochloride

Cat. No.: HY-15313B

CBB1007 Hcl is a cell-permeable amidino-guanidinium compound that acts as a potent, reversible and substrate competitive LSD1 selective inhibitor (IC50 = 5.27 µM for hLSD1).

Purity: ≥98.0%

Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

# CBB1007 trihydrochloride

CBB1007 trihydrochloride is a cell-permeable amidino-guanidinium compound that acts as a potent, reversible and substrate competitive LSD1 selective inhibitor (IC50 = 5.27 µM for hLSD1).

HAT H-CI

Cat. No.: HY-15313C

Purity: 96.58%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# Corin

Corin is a dual inhibitor of histone lysine specific demethylase (LSD1) and histone deacetylase (HDAC), with a  $K_s$ (inact) of 110 nM for LSD1 and an  $IC_{so}$  of 147 nM for HDAC1.



Cat. No.: HY-111048

**Purity:** 98.75%

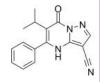
Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# CPI-455

Cat. No.: HY-100421

CPI-455 is a specific, pan-KDM5 inhibitor with an  $IC_{so}$  of 10 nM for KDM5A.



Purity: 98.95%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# Daminozide

Cat. No.: HY-13643

Daminozide, a plant growth regulator, is a selective inhibitor of the human KDM2/7 histone demethylases, with IC $_{50}$ S of 0.55, 1.5 and 2.1  $\mu$ M for PHF8, KDM2A, and KIAA1718, respectively.



**Purity:** ≥98.0%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 500 mg, 1 g, 5 g

# DDP-38003 dihydrochloride

Cat. No.: HY-19612A

DDP-38003 dihydrochloride is an novel, orally available inhibitor of histone lysine-specific demethylase 1A (KDM1A/LSD1) with an  $\rm IC_{50}$  of 84 nM



**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# DDP-38003 trihydrochloride

Cat. No.: HY-19612B

DDP-38003 trihydrochloride is an novel, orally available inhibitor of histone lysine-specific demethylase 1A (KDM1A/LSD1) with an  $\rm IC_{50}$  of 84 nM.



**Purity:** 96.77%

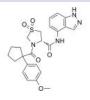
Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

# DS17701585

Cat. No.: HY-143443

DS17701585 (Compound 11) is a highly selective, orally active EP300 and CBP inhibitor with IC $_{50}$  values of 0.040, 0.15, 0.45 and 0.70  $\mu$ M against CBP, EP300, H3K27 and SOX2. DS17701585 can be used for cancer research.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# Eicosapentaenoic Acid

(EPA; Timnodonic acid)

Eicosapentaenoic Acid (EPA) is an orally active Omega-3 long-chain polyunsaturated fatty acid (ω-3 IC-PIJFA)

LC-PUFA)

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Cat. No.: HY-B0660

Purity: ≥95.0%
Clinical Data: Launched

Size: 10 mM × 1 mL, 50 mg, 100 mg, 500 mg

# Eicosapentaenoic Acid sodium

(EPA sodium; Timnodonic acid sodium)

Eicosapentaenoic Acid (EPA)sodium is an orally active Omega-3 long-chain polyunsaturated fatty acid ( $\omega$ -3 LC-PUFA).



Cat. No.: HY-W011269

Purity: >98%
Clinical Data: Launched
Size: 1 mg, 5 mg

# **GSK 690 Hydrochloride**

Cat. No.: HY-117226A

GSK 690 (Hydrochloride) is a reversible inhibitor of lysine specific demethylase 1 (LSD1), with a  $\rm K_d$  value of 9 nM and a biochemical  $\rm IC_{50}$  of 37 nM.

HN O HCI

Purity: 99.16%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# GSK-J1

GSK-J4

Cat. No.: HY-15648

GSK-J1 is a potent inhibitor of H3K27me3/me2-demethylases JMJD3/KDM6B and UTX/KDM6A, with IC<sub>so</sub> of 60 nM towards KDM6B..



99 76% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 10 mg, 25 mg, 50 mg, 100 mg

# Cat. No.: HY-15648B

Purity:

GSK-J4 hydrochloride is a potent dual inhibitor of H3K27me3/me2-demethylases JMJD3/KDM6B and UTX/KDM6A with  $IC_{50}$ s of 8.6 and 6.6  $\mu$ M, respectively. GSK-J4 hydrochloride inhibits LPS-induced TNF-α production in human primary macrophages with an IC $_{so}$  of 9  $\mu$ M.

**Purity:** 

Clinical Data: No Development Reported 10 mM × 1 mL, 10 mg, 50 mg

# GSK-J4 hydrochloride

>98%

Clinical Data: No Development Reported

**GSK-J1 lithium salt** 

GSK-J1 lithium salt is a potent inhibitor of

H3K27me3/me2-demethylases JMJD3/KDM6B and UTX/KDM6A, with IC<sub>so</sub> of 60 nM towards KDM6B.

10 mg, 25 mg, 50 mg, 100 mg

Cat. No.: HY-15648F

Cat. No.: HY-15648D



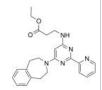
 $IC_{50}$  of 9  $\mu M$ . Purity:

Clinical Data: No Development Reported 10 mM × 1 mL, 10 mg, 50 mg

H3K27me3/me2-demethylases JMJD3/KDM6B and

production in human primary macrophages with an

respectively. GSK-J4 inhibits LPS-induced TNF- $\alpha$ 



# GSK-LSD1 dihydrochloride

GSK-J4 is a potent dual inhibitor of

UTX/KDM6A with IC<sub>so</sub>s of 8.6 and 6.6  $\mu$ M,

Cat. No.: HY-100546A

GSK-LSD1 dihydrochloride is a potent, selective and irreversible lysine specific demethylase 1 (LSD1) inhibitor with an IC<sub>50</sub> of 16 nM.

≥98.0% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

# GSK-LSD1-d4 dihydrochloride

GSK-LSD1-d4 dihydrochloride is the deuterium labeled GSK-LSD1 dihydrochloride. GSK-LSD1 dihydrochloride is a potent, selective and irreversible lysine specific demethylase 1 (LSD1) inhibitor with an IC<sub>50</sub> of 16 nM.

2 HCI

Cat. No.: HY-100546AS

>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# GSK2879552

Cat. No.: HY-18632

GSK2879552 an orally active, selective and irreversible inhibitor of lysine specific demethylase 1 (LSD1/ KDM1A), with potential antineoplastic activity.

>98% Purity: Clinical Data: Phase 2

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# GSK2879552 dihydrochloride

Cat. No.: HY-18632A

GSK2879552 dihydrochloride an orally active, selective and irreversible inhibitor of lysine specific demethylase 1 (LSD1/KDM1A), with potential antineoplastic activity.

99.75% Purity: Clinical Data: Phase 2

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# **GSK467**

Cat. No.: HY-116761

GSK467 is a cell penetrant and selective KDM5B (JARID1B or PLU1) inhibitor with a K, of 10 nM, shows 180-fold selectivity for KDM4C and no measurable inhibitory effects toward KDM6 or other Jumonji family members.

Purity: 98.14%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# HDAC6-IN-3

Cat. No.: HY-145259

HDAC6-IN-3 (Compound 14), an antiprostate cancer agent, is a potent, orally active HDAC6 inhibitor with IC<sub>so</sub>s ranging from 0.02-1.54 μM for HDAC1/2/3/6/8/10. HDAC6-IN-3 is also an effective MAO-A ( $IC_{so}$ =0.79  $\mu$ M) and LSD1 inhibitor.

~ LOUIS COM

>98%

Clinical Data: No Development Reported

1 mg, 5 mg

Iadademstat dihydrochloride (ORY-1001 dihydrochloride; RG6016

dihydrochloride; RO 7051790 dihydrochloride) Cat. No.: HY-12782T

Iadademstat (ORY-1001) dihydrochloride is a selective irreversible lysine (K)-specific demethylase 1A (KDM1A/LSD1) inhibitor.

Purity: 98.30% Clinical Data: Phase 1

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# INCB059872

INCB059872 is a potent, orally active, selective and irreversible Lysine-Specific Demethylase 1 (LSD1) inhibitor. INCB059872 can be used for the research of myeloid leukemia.



Cat. No.: HY-141677

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# IOX1

Cat. No.: HY-12304

IOX1, 5-Carboxy-8-hydroxyquinoline, is a potent broadspectrum inhibitor of **2OG** oxygenases, including the **JmjC** demethylases. IOX1 inhibits KDM4C, KDM4E, KDM2A, KDM3A and KDM6B with **IC**<sub>50</sub> values of 0.6  $\mu$ M, 2.3  $\mu$ M, 1.8  $\mu$ M, 0.1  $\mu$ M and 1.4  $\mu$ M, respectively. IOX1 also inhibits ALKBH5.

**Purity:** 99.72%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mq, 10 mq, 50 mg

# JIB-04

Cat. No.: HY-13953

JIB-04 is a pan-selective **Jumonji histone demethylase** inihibitor with **IC**<sub>so</sub>s of 230, 340, 855, 445, 435, 1100, and 290 nM for JARID1A, JMJD2E, JMJD3, JMJD2A, JMJD2B, JMJD2C, and JMJD2D, respectively.

**Purity:** 98.12%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

# JMJD7-IN-1

Cat. No.: HY-132198

JMJD7-IN-1 is a potent <code>JMJD7</code> inhibitor, with an  $IC_{50}$  of 6.62  $\mu$ M. JMJD7-IN-1 shows good inhibitory activity against cells expressing a high level of JMJD7.

N 0 C

**Purity:** 98.07%

Clinical Data: No Development Reported

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# JQKD82

(JADA82; PCK82) Cat. No.: HY-138691

JQKD82 (JADA82) is a cell-permeable and selective KDM5 inhibitor. JQKD82 increases H3K4me3 and can be used for the research of multiple myeloma.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# KDM2/7-IN-1

Cat. No.: HY-107573

KDM2/7-IN-1 (TC-E 5002) is a selective histone demethylase KDM2/7 subfamily inhibitor (IC<sub>so</sub> values are 0.2, 1.2, 6.8, 55, 83, >100 and >120 µM for KDM7A, KDM7B, KDM2A, KDM5A, KDM6A, KDM6A and KDM4A respectively).

QH QH

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# KDM2A/7A-IN-1

KDM2A/7A-IN-1 is a first-in-class, selective and cell-permeable inhibitor of histone lysine demethylases KDM2A/7A, with an IC $_{so}$  of 0.16  $\mu$ M for KDM2A, exhibits 75 fold selevtivity over other JmjC lysine demethylases, and is inactive on methyl transferases, and histone...

**Purity:** 99.57%

Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg



Cat. No.: HY-108706

# KDM2B-IN-3 KDM

KDM2B-IN-3 is a histone demethylase KDM2B inhibitor extracted from patent WO2016112284A1, compound 183c. KDM2B-IN-3 can be used for the research of cancer.

ondos.

Cat. No.: HY-139600

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# KDM2B-IN-4

Cat. No.: HY-139601

KDM2B-IN-4 is a **histone demethylase KDM2B** inhibitor extracted from patent WO2016112284A1, compound 182b. KDM2B-IN-4 can be used for the research of cancer.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# KDM4-IN-2

Cat. No.: HY-128343

KDM4-IN-2 (Compound 19a) is a potent and selective KDM4/KDM5 dual inhibitor with  $K_is$  of 4 and 7 nM for KDM4A and KDM5B, respectively.

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# KDM4-IN-3

KDM4-IN-3 is a **KDM4** inhibitor that exhibits improved potency in biochemical assays, is cell-permeable, and kills prostate cancer cells at low micromolar concentrations.



Cat. No.: HY-132896

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# KDM4D-IN-1

Cat. No.: HY-101928

KDM4D-IN-1 is a new histone lysine demethylase 4D (KDM4D) inhibitor with an  $IC_{so}$  value of  $0.41\pm0.03~\mu M.$ 



Purity: 99.91%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

# KDM5-C70

Cat. No.: HY-120400

KDM5-C70 is an ethyl ester derivative of KDM5-C49 and a potent, cell-permeable and pan-KDM5 histone demethylase inhibitor. KDM5-C70 has an antiproliferative effect in myeloma cells, leading to genome-wide elevation of H3K4me3 levels.



Purity: 99.12%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 25 mg, 100 mg, 250 mg

# KDM5-IN-1

Cat. No.: HY-100422

KDM5-IN-1 is a potent, selective and orally bioavailable KDM5 inhibitor with an  $IC_{50}$  of 15.1 nM.



Purity: 99.75%

Clinical Data: No Development Reported

Size:  $10 \text{ mM} \times 1 \text{ mL}, 1 \text{ mg}, 5 \text{ mg}, 10 \text{ mg}, 50 \text{ mg}, 100 \text{ mg}$ 

# KDM5A-IN-1

Cat. No.: HY-100014

KDM5A-IN-1 is a potent, orally bioavailable pan-histone lysine demethylases 5 (KDM5) inhibitor with IC $_{\rm so}$ S of 45 nM, 56 nM and 55 nM for KDM5A, KDM5B and KDM5C, respectively, and with an EC $_{\rm so}$  value of 960 nM for PC9 H3K4Me3.



**Purity:** 95.71%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

# KDOAM-25

Cat. No.: HY-102047

KDOAM-25 is a potent and highly selective **histone lysine demethylases 5 (KDM5)** inhibitor with  $\rm IC_{50}S$  of 71 nM, 19 nM, 69 nM, 69 nM for KDM5A, KDM5B, KDM5C, KDM5D, respectively.

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 5 mg

# KDOAM-25 citrate

Cat. No.: HY-102047B

KDOAM-25 citrate is a potent and highly selective histone lysine demethylases 5 (KDM5) inhibitor with IC $_{50}$ S of 71 nM, 19 nM, 69 nM, 69 nM for KDM5A, KDM5B, KDM5C, KDM5D, respectively.

95.46%

Purity: 95.46%
Clinical Data: No Development Reported

Cimical Data. No Development Reported

iize: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

# KDOAM-25 trihydrochloride

Cat. No.: HY-102047A

KDOAM-25 trihydrochloride is a potent and highly selective histone lysine demethylases 5 (KDM5) inhibitor with  $\rm IC_{50}S$  of 71 nM, 19 nM, 69 nM, 69 nM for KDM5A, KDM5B, KDM5C, KDM5D, respectively.

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# L-2-Hydroxyglutaric acid

((S)-2-Hydroxyglutaric acid)

L-2-Hydroxyglutaric acid is an epigenetic modifier

and putative oncometabolite in renal cancer. L-2-Hydroxyglutaric acid can inhibit **histone demethylases** and hence promote histone methylation.



Cat. No.: HY-113039

**Purity:** >98%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

### L-2-Hydroxyglutaric acid disodium

((S)-2-Hydroxyglutaric acid disodium)

L-2-Hydroxyglutaric acid disodium is an epigenetic modifier and putative oncometabolite in renal cancer. L-2-Hydroxyglutaric acid disodium can inhibit histone demethylases and hence promote histone methylation.

Cat. No.: HY-W015114

**Purity**: ≥95.0%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

### LSD1-IN-12

LSD1-IN-12 (compound 2) is a potent LSD1 inhibitor, with K<sub>1</sub> values of 1.1  $\mu$ M (LSD1), 61  $\mu$ M (LSD2), 2.3  $\mu$ M (MAO-A), and 3.5  $\mu$ M (MAO-B), respectively.



Cat. No.: HY-144673

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### LSD1-IN-13

Cat. No.: HY-144675

LSD1-IN-13 (compound 7e) is an orally active and potent LSD1 inhibitor, with an  $IC_{50}$  of 24.43 nM. LSD1-IN-13 can activate CD86 expression, with an  $EC_{50}$  of 470 nM. LSD1-IN-13 induces differentiation of AML (acute myeloid leukemia) cell lines.



**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### LSD1-IN-14

LSD1-IN-14 is a potent and selective LSD1

inhibitor ( $IC_{50}$ =0.89  $\mu$ M). LSD1-IN-14 can significantly inhibit the proliferation of A549 and THP-1 cells and induce the **apoptosis** of tumor cells

Dallo,

Cat. No.: HY-145861

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### LSD1-IN-15

Cat. No.: HY-144756

LSD1-IN-15 (compound 1b) is a potent LSD1 inhibitor. LSD1-IN-15 can inhibit LSD1-COREST, MAO-A and MAO-B, with IC $_{50}$  values of 0.149, 0.028, and 0.327  $\mu$ M, respectively. LSD1-IN-15 displays cell growth arrest in prostate cancer LNCaP cells, with an IC $_{50}$  of 9.9  $\mu$ M.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### LSD1-IN-16

Cat. No.: HY-144757

LSD1-IN-16 (compound 4b) is a potent LSD1 inhibitor. LSD1-IN-16 can inhibit LSD1-CoREST, MAO-A and MAO-B, with  $IC_{50}$  values of 0.015, 0.024, and 0.366  $\mu$ M, respectively. LSD1-IN-16 displays cell growth arrest in prostate cancer LNCaP cells, with an  $IC_{50}$  of 15.2  $\mu$ M.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### LSD1-IN-17

Cat. No.: HY-144758

LSD1-IN-17 (compound 5b) is a potent LSD1 inhibitor. LSD1-IN-17 can inhibit LSD1-CoREST, MAO-A and MAO-B, with  $IC_{50}$  values of 0.005, 0.028, and 0.820  $\mu$ M, respectively. LSD1-IN-17 displays cell growth arrest in prostate cancer LNCaP cells, with an  $IC_{50}$  of 17.2  $\mu$ M.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### LSD1-IN-18

LSD1-IN-18 (compound 7) is a potent, non-covalent and selective LSD1 inhibitor, with  $\rm K_i$  of 0.156  $\rm \mu M$  and  $\rm K_D$  of 0.075  $\rm \mu M$ , respectively. LSD1-IN-18 shows antiproliferative activity in THP-1 leukemia cells and MDA-MB-231 breast cancer cells, with IC $_{\rm SD}$  (72 h) of 0.16 and 0.21  $\rm \mu M$ , respectively.



Cat. No.: HY-146283

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### LSD1-IN-19

Cat. No.: HY-146284

LSD1-IN-19 (compound 29) is a potent, non-covalent and selective LSD1 inhibitor, with K, of 0.108  $\mu\text{M}$  and K, of 0.068  $\mu\text{M}$ , respectively. LSD1-IN-19 shows antiproliferative activity in THP-1 leukemia cells and MDA-MB-231 breast cancer cells, with IC, of 10 of 0.17 and 0.40  $\mu\text{M}$ , respectively.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### LSD1-IN-20

Cat. No.: HY-146285

LSD1-IN-20 (compound 1) is a potent dual non-covalent LSD1/G9a inhibitor, with  $K_1$  values of 0.44 and 0.68  $\mu$ M, respectively. LSD1-IN-20 shows antiproliferative activity in THP-1 leukemia cells and MDA-MB-231 breast cancer cells, with IC  $_{50}$  (72 h) of 0.51 and 1.60  $\mu$ M, respectively.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

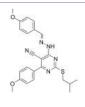
### LSD1-IN-21

LSD1-IN-21 (compound 5a) is a potent and BBB-penetrated LSD1 (Lysine specific demethylase-1) inhibitor, with an IC $_{50}$  of 0.956  $\mu$ M. LSD1-IN-21 significantly reduces the pro-inflammatory cytokine TNF- $\alpha$ . LSD1-IN-21 shows good anticancer and anti-inflammatory activity.

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



Cat. No.: HY-100860

Cat. No.: HY-147697

# LSD1-IN-5

LSD1-IN-5 (Compound 4e) is a potent and reversible inhibitor of lysine-specific demethylase 1 (LSD1), with an  $\rm IC_{50}$  of 121 nM. LSD1-IN-5 increases dimethylated Lys4 of histone H3, shows no effect on expression of LSD1.

HO Br N-OH

Cat. No.: HY-100859

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### LSD1-IN-6

LSD1-IN-6 (Compound 4m) is a potent and reversible inhibitor of lysine-specific demethylase 1 (LSD1), with an  $\rm IC_{50}$  of 123 nM. LSD1-IN-6 increases dimethylated Lys4 of histone H3, shows

no effect on expression of LSD1.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### LSD1/ER-IN-1

Cat. No.: HY-146440

LSD1/ER-IN-1 (compound 11g) is a potent ER and LSD1 inhibitor, with an IC $_{50}$  of 1.55  $\mu$ M (LSD1). LSD1/ER-IN-1 has high affinity selectivity for ER $\alpha$  protein, with  $\alpha$ / $\beta$  ratio of 7.11.

W. FOR

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### LSD1/HDAC6-IN-1

Cat. No.: HY-131970

LSD1/HDAC6-IN-1 is an orally active dual inhibitor of lysine specific demethylase 1(LSD1)/Histone deacetylase 6 (HDAC6), with anti-tumor activity. LSD1/HDAC6-IN-1 can be used for the research of multiple myeloma (MM).

a rower

**Purity:** >98%

Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### MC2652

Cat. No.: HY-144755

MC2652 (compound 1a) is a potent LSD1 inhibitor. MC2652 displays high inhibiting effects in MV4-11 and NB4 leukaemia cells. MC2652 shows antiproliferative activity against prostate cancer LNCaP cells.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### MC4355

Cat. No.: HY-144905

MC4355 is a dual inhibitor of **EZH2** and histone deacetylase (HDAC).



**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### ML324

Cat. No.: HY-12725

ML324 is a potent JMJD2 demethylase inhibitor with antiviral activity. ML324 also exhibits inhibition for the histone demethylase KDM4B, with an IC  $_{so}$  of 4.9  $\mu M$ .



**Purity:** 98.60%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

### Namoline

Cat. No.: HY-115747

Namoline, a  $\gamma$ -pyrone, is a selective and reversible **Lysine-specific demethylase 1 (LSD1)** inhibitor with an IC50 of 51  $\mu$ M in a HRP-coupled enzymatic assay. Namoline impairs LSD1 demethylase activity and blocks cell proliferation.

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### NCDM-32B

Cat. No.: HY-120766

NCDM-32B is a potent and selective **KDM4** inhibitor that impaires viability and transforming phenotypes of breast cancer.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### NCGC00244536

(KDM4B Inhibitor B3) Cat. No.: HY-101799

NCGC00244536 is a potent KDM4B inhibitor with an IC<sub>so</sub> of 10 nM.

Purity: 98.02%

NSC636819

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

NSC636819 is a competitive and selective inhibitor of KDM4A/KDM4B. KDM4A/KDM4B are potential progression factors for prostate cancer. NSC636819 has the potential for the research of cancer diseases, especially prostate cancer.

Cat. No.: HY-110154

Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg Size:

OG-L002 is a potent and highly selective LSD1 inhibitor with an  $IC_{50}$  of 0.02  $\mu$ M. OG-L002 is a potent monoamine oxidases (MAO) inhibitor with  $IC_{50}$ s of 1.38  $\mu$ M and 0.72  $\mu$ M for MAO-A and MAO-B, respectively. OG-L002 potently inhibits the expression of HSV IE genes.

NCGC00247743 is a histone lysine demethylase

96 17%

Clinical Data: No Development Reported

5 mg, 10 mg, 25 mg

**Purity:** 

NCGC00247743

KDM4 inhibitor.

Purity:

Size:

OG-L002

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg



### Cat. No.: HY-101451

PBIT is a specific inhibitor of the Jumonji AT-rich Interactive Domain 1 (JARID1) enzymes. PBIT inhibits JARID1B (KDM5B or PLU1) histone demethylase with an  $\mbox{IC}_{\mbox{\scriptsize 50}}$  of about 3  $\mu\mbox{\scriptsize M}$  . PBIT also inhibits JARID1A and JARID1C with ICsos of 6 µM and 4.9 μM, respectively.

Purity: 99.57%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### PFI-90

PFI-90 is a selective inhibitor of histone demethylase (KDM3B) that inhibits PAX3-FOXO1 action. PFI-90 induces apoptosis and myogenic differentiation, resulting in the cell death increased. PFI-90 has the potential for the antitumor activity. (patent WO2021101929A1).

95.54% Purity:

Clinical Data: No Development Reported

5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**Procaine** 

### Cat. No.: HY-B0546

Procaine is a DNA-demethylating agent. Procaine acts through multiple targets and has a slow onset and a short duration of action.

99.07% Purity: Clinical Data: Launched 500 mg, 1 g, 5 g Size:

### Procaine hydrochloride

Procaine hydrochloride is a DNA-demethylating agent. Procaine hydrochloride acts through multiple targets and has a slow onset and a short duration of action.

Cat. No.: HY-B0546A

Cat. No.: HY-112308

Cat. No.: HY-19333

Cat. No.: HY-139348

99.94% Purity: Clinical Data: Launched 500 mg, 1 g, 5 g Size

### Procaine-d4 hydrochloride

### Cat. No.: HY-B0546AS

Procaine-d4 hydrochloride is the deuterium labeled Procaine hydrochloride. Procaine hydrochloride is a DNA-demethylating agent. Procaine hydrochloride acts through multiple targets and has a slow onset and a short duration of action.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### **Pulrodemstat**

### (CC-90011; LSD1-IN-7)

Pulrodemstat (CC-90011) is a potent, selective, reversible and orally active inhibitor of lysine specific demethylase-1 (LSD1) with an IC<sub>so</sub> of 0.25 nM. Pulrodemstat is less enzymatic inhibition against LSD2, MOA-A, and MAO-B.



Cat. No.: HY-129388A

Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg

### Pulrodemstat benzenesulfonate

(CC-90011 benzenesulfonate; LSD1-IN-7 benzenesulfonate) Cat. No.: HY-129388B

CC-90011 benzenesulfonate is a potent, selective, reversible and orally active inhibitor of lysine specific demethylase-1 (LSD1) with an IC<sub>so</sub> of 0.25 nM. CC-90011 benzenesulfonate is less enzymatic inhibition against LSD2, MOA-A, and MAO-B.

Purity: 99.39% Clinical Data: Phase 2

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg



# Pulrodemstat Methylbenzenesulfonate (CC-90011

Methylbenzenesulfonate; LSD1-IN-7 Methylbenzenesulfonate)Cat. No.: HY-129388

CC-90011 Methylbenzenesulfonate is a potent, selective, reversible and orally active inhibitor of lysine specific demethylase-1 (LSD1) with an IC<sub>50</sub> of 0.25 nM. CC-90011 Methylbenzenesulfonate is less enzymatic inhibition against LSD2, MOA-A, and MAO-B.

Purity: >98% Clinical Data: Phase 2 Size: 1 mg, 5 mg



### QC6352

Cat. No.: HY-104048

QC6352 is an orally available, selective and potent KDM4C inhibitor with an  $IC_{50}$  of 35 nM.

Purity: > 98.0%

Clinical Data: No Development Reported

10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Size:

### RN-1 dihydrochloride

Cat. No.: HY-110130

RN-1 dihydrochloride is a potent, brain-penetrant, irreversible and selective lysine-specific demethylase 1 (LSD1) inhibitor with an IC<sub>50</sub> of 70 nM. RN-1 dihydrochloride exhibits selectivity for LSD1 over MAO-A and MAO-B with IC<sub>50</sub> values of 0.51

 $\mu M$  and 2.785  $\mu M$  respectively.

Purity:

Clinical Data: No Development Reported 5 mg, 10 mg, 50 mg, 100 mg Size:



### S2101

Cat. No.: HY-110277

S2101 is a lysine-specific demethylase 1 (LSD1) inhibitor with an  $IC_{so}$  of 0.99  $\mu M$ ,  $K_i$  of 0.61  $\mu M$ and K<sub>inact</sub>/K<sub>i</sub> of 4560 M/s.

Relative stereochemistry

Purity: >98% Clinical Data: No Development Reported

Size: 5 mg, 10 mg

### S2116

Cat. No.: HY-136522

S2116, a N-alkylated tranylcypromine (TCP) derivative, is a potent lysine-specific demethylase 1 (LSD1) inhibitor. S2116 increases H3K9 methylation and reciprocal H3K27 deacetylation at super-enhancer regions.

>98% Purity:

Clinical Data: No Development Reported

5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### S2157

Cat. No.: HY-136523

S2157, a N-alkylated tranylcypromine (TCP) derivative, is a potent lysine-specific demethylase 1 (LSD1) inhibitor. S2157 increases H3K9 methylation and reciprocal H3K27 deacetylation at super-enhancer regions.

H-CI ative stereochemistry

>98% Purity:

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Seclidemstat

(SP-2577) Cat. No.: HY-103713

Seclidemstat is a potent noncompetitive and reversible KDM1A (LSD1) inhibitor (K,=31 nM,

 $IC_{so} = 13 \text{ nM}$ ).

99.62% Purity: Clinical Data: Phase 2

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Seclidemstat mesylate

(SP-2577 mesylate)

Cat. No.: HY-103713A

Seclidemstat (SP-2577) mesylate is a potent noncompetitive and reversible KDM1A (LSD1) inhibitor (K<sub>i</sub>=31 nM, IC<sub>so</sub>=13 nM).

Purity: 99.86%

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Size

### SKLB325

Cat. No.: HY-139782

SKLB325 is a Jumonji domain-containing 6 (JMJD6) inhibitor with a binding affinity  $(K_p)$  value of 0.755 $\mu$ M, and the  $IC_{so}$  value of 0.7797μM. SKLB325 exhibits antitumor effects on ovarian cancer in vivo and in vitro. SKLB325 induces apoptosis.

Purity: 99.79%

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Email: sales@MedChemExpress.com Tel: 609-228-6898 Fax: 609-228-5909

### SP2509

T-448

Cat. No.: HY-12635

SP2509 is a potent and selective antagonist of lysine specific demethylase 1 (LSD1) with an IC<sub>so</sub> of 13 nM.

99 90% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### T-448 free base

Purity:

**Purity:** 

Cat. No.: HY-122635A

T-448 is a specific, orally active and irreversible inhibitor of lysine-specific demethylase 1 (LSD1, an H3K4 demethylase), with an IC<sub>so</sub> of 22 nM. T-448 enhances H3K4 methylation in primary cultured rat neurons.

Purity: 98.86%

Clinical Data: No Development Reported 1 mg, 5 mg, 10 mg

### **TAK-418**

Cat. No.: HY-138830

TAK-418 is a selective, orally active LSD1 (KDM1A) enzyme inhibitor with an IC<sub>50</sub> of 2.9 nM. TAK-418 unlocks aberrant epigenetic machinery and improves autism symptoms in neurodevelopmental disorder models.

Purity: 98 64% Clinical Data: Phase 1

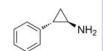
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# Tranylcypromine hemisulfate (dl-Tranylcypromine hemisulfate;

trans-2-Phenylcyclopropylamine hemisulfate salt) Cat. No.: HY-B1496

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Tranylcypromine hemisulfate (dl-Tranylcypromine hemisulfate) is an irreversible, nonselective monoamine oxidase (MAO) inhibitor used in the treatment of depression.



0.5H2SO4

Cat. No.: HY-103085

Cat. No.: HY-122635

99.94% Purity: Clinical Data: Launched

T-3775440 hydrochloride

T-3775440 (hydrochloride) is an irreversible

lysine-specific histone demethylase (LSD1)

inhibitor with an IC<sub>50</sub> value of 2.1 nM.

99 13%

Clinical Data: No Development Reported

T-448 free base is a specific, orally active and irreversible inhibitor of lysine-specific

methylation in primary cultured rat neurons.

Clinical Data: No Development Reported

1 mg, 5 mg

>98%

demethylase 1 (LSD1, an H3K4 demethylase), with

an IC<sub>so</sub> of 22 nM. T-448 free base enhances H3K4

10 mM × 1 mL, 100 mg Size

### Vafidemstat

(ORY-2001) Cat. No.: HY-112623

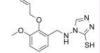
Vafidemstat (ORY-2001) is an oral, brain penetrant, dual lysine-specific histone demethylase (LSD1)/MAO-B inhibitor.

98.57% Purity: Clinical Data: Phase 2

Size: 5 mg, 10 mg, 50 mg, 100 mg

# YUKA1

YUKA1 is a potent and cell permeable Lysine demethylase 5A (KDM5A) inhibitor, with an IC<sub>50</sub> of 2.66  $\mu$ M, less active on KDM5C (IC<sub>50</sub>, 7.12  $\mu$ M), and is inactive on KDM5B, KDM6A or KDM6B. YUKA1 increases H3K4me3 levels in human cells with anti-cancer activity.



Cat. No.: HY-100764

Purity: >98%

Clinical Data: No Development Reported

Size: 5 mg

### α-Hydroxyglutaric acid (2-Hydroxyglutarate; 2-Hydroxyglutaric

acid; 2-Hydroxypentanedioic acid) Cat. No.: HY-113038B

α-Hydroxyglutaric acid (2-Hydroxyglutarate) is an  $\alpha$ -hydroxy acid form of glutaric acid.

Purity: ≥98.0%

Clinical Data: No Development Reported Size: 10 mg (67.5 mM \* 1 mL in Ethanol),



# **Histone Methyltransferase**

Histone modifications play critical roles in regulating both global and stage-specific gene expression. Methylation on histones H3K4, H3K36 and H3K79 is generally associated with gene activation, whereas methylation on histones H3K9 and H3K27 is generally associated with gene repression. Histone lysine methylation is dynamically regulated by site-specific methyltransferases and demethylases. EZH2 (the catalytic subunit of PRC2) is responsible for the methylation of H3K27 in cells.

DOT1L is a histone H3 lysine 79 methyltransferase whose inhibition increases the yield of induced pluripotent stem cells (iPSCs). EPZ-5676 is a potent and selective DOT1L inhibitor.

Crucial to PRC2 activity, the histone methyltransferase enhancer of zeste homolog 2 (EZH2) tri-methylates lysine 27 of histone 3 (H3K27me3), leading to chromatin condensation and transcriptional repression.

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

# Histone Methyltransferase Inhibitors, Antagonists & Chemicals

(R)-HH2853

Cat. No.: HY-144882

(R)-HH2853 is a **mutant EZH2** inhibitor with an  $IC_{so}$  of <100 nM for **EZH2-Y641F**. (R)-HH2853 can be used for cancer and autoimmune diseases (WO2018045971A1; compound 201).

Cat. No.: HY-10442

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

(S)-HH2853

(S)-HH2853 (compound 200), a PYRIDINO five membered aromatic ring compound, is a potent EZH1/2 dual inhibitor with an IC $_{\rm s0}$  of <100 nM for EZH2\_Y641F. (S)-HH2853 has the potential to be used in the research of anti-tumor or autoimmune diseases.



Cat. No.: HY-144881

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

3-Deazaneplanocin A

(DZNep; 3-Deazaneplanocin)

3-Deazaneplanocin A (DZNep) is a potent histone methyltransferase EZH2 inhibitor. 3-Deazaneplanocin A is a potent S-adenosylhomocysteine hydrolase (AHCY)

S-adenosylhomocysteine hydrolase (AHCY) inhibitor.

**Purity:** 98.12%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

3-Deazaneplanocin A hydrochloride (DZNep hydrochloride; NSC

617989 hydrochloride; 3-Deazaneplanocin hydrochloride) Cat. No.: HY-12186

3-Deazaneplanocin A hydrochloride (DZNep hydrochloride) is a potent histone methyltransferase EZH2 inhibitor. 3-Deazaneplanocin A hydrochlorideis a potent S-adenosylhomocysteine hydrolase (AHCY)

inhibitor.

**Purity:** 99.98%

Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg, 25 mg HO OH

A-196

Cat. No.: HY-100201

A-196 is a potent and selective inhibitor of SUV420H1 and SUV420H2 with  $\rm IC_{50}$  values of 25 nM and 144 nM, respectively. A-196 inhibits SUV4-20 biochemically in a substrate-competitive manner.

CI N. Z.

Purity: 99.73%

Clinical Data: No Development Reported

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 50 mg, 100 mg

A-366

A-366 is a potent, highly selective, peptide-competitive histone methyltransferase G9a inhibitor with  $IC_{sn}$ s of 3.3 and 38 nM for G9a and

GLP (EHMT1), respectively. A-366 shows >1000-fold selectivity over 21 other methyltransferases.

Purity: 98.02%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

CN OFF NH

Cat. No.: HY-12583

A-395

Cat. No.: HY-101512

A-395 is an antagonist of polycomb repressive complex 2 (PRC2) protein-protein interactions that potently inhibits the trimeric PRC2 complex (EZH2-EED-SUZ12) with an  ${\rm IC_{50}}$  of 18 nM.



Purity: 99.31%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

A-893

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A-893 is a cell-active inhibitor of Methyltransferase SMYD2, with an  $\rm IC_{50}$  of 2.8

nM.

Driving.

Cat. No.: HY-19563

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

AMI-1

Cat. No.: HY-18962

AMI-1 is a potent, cell-permeable and reversible inhibitor of protein arginine N-methyltransferases (PRMTs), with IC $_{so}$ S of 8.8  $\mu$ M and 3.0  $\mu$ M for human PRMT1 and yeast-Hmt1p, respectively. AMI-1 exerts PRMTs inhibitory effects by blocking peptide-substrate binding.



**Purity:** ≥98.0%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

AMI-1 free acid

Cat. No.: HY-18962A

AMI-1 free acid is a potent, cell-permeable and reversible inhibitor of protein arginine N-methyltransferases (PRMTs), with IC  $_{\rm So}$ S of 8.8  $\mu$ M and 3.0  $\mu$ M for human PRMT1 and yeast-Hmt1p, respectively.



**Purity:** ≥98.0%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 25 mg

### **Amodiaquine**

(Amodiaquin) Cat. No.: HY-B1322A

Amodiaquine (Amodiaquin), a 4-aminoquinoline class of antimalarial agent, is a potent and orally active histamine N-methyltransferase inhibitor.



Purity: >98% Clinical Data: Launched Size: 1 mg, 5 mg

### Amodiaquine dihydrochloride

(Amodiaquin dihydrochloride)

Amodiaguine dihydrochloride (Amodiaguin dihydrochloride), a 4-aminoquinoline class of antimalarial agent, is a potent and orally active histamine N-methyltransferase inhibitor with a K, of 18.6 nM.

≥98.0% Purity: Clinical Data: Launched

10 mM × 1 mL, 100 mg Size:

### Amodiaquine dihydrochloride dihydrate

(Amodiaguin dihydrochloride dihydrate)

Amodiaguine dihydrochloride dihydrate (Amodiaguin dihydrochloride dihydrate), a 4-aminoquinoline class of antimalarial agent, is a potent and orally active histamine N-methyltransferase inhibitor.

Cat. No.: HY-B1322

HCI HCI H<sub>2</sub>O H<sub>2</sub>O

Clinical Data: Launched

99 73% 10 mM × 1 mL, 100 mg Size:

### Amodiaquine-d10

Amodiaguine-d10 is the deuterium labeled Amodiaquine. Amodiaquine (Amodiaquin), a 4-aminoquinoline class of antimalarial agent, is a potent and orally active histamine

N-methyltransferase inhibitor.

**Purity:** >98%

Clinical Data: No Development Reported

1 mg, 10 mg



Cat. No.: HY-B1322AS

Cat. No.: HY-B1322B

### AS-85

Purity:

Cat. No.: HY-141430

AS-85 is a potent ASH1L histone methyltransferase inhibitor (IC $_{50}$ =0.6  $\mu$ M) with anti-leukemic activity. AS-85 strongly binds to the ASH1L SET domain, with the  $K_d$  value of 0.78µM.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### AS-99

AS-99 is a first-in-class, potent, and selective ASH1L histone methyltransferase inhibitor  $(IC_{so} = 0.79 \mu M, K_d = 0.89 \mu M)$  with anti-leukemic activity.

>98% Purity:

Clinical Data: No Development Reported

Size 1 mg, 5 mg



Cat. No.: HY-141429C

### AS-99 free base

Cat. No.: HY-141429

AS-99 is a first-in-class, potent and selective ASH1L histone methyltransferase inhibitor  $(IC_{50}=0.79\mu M, K_d=0.89\mu M)$  with anti-leukemic activity.



>98% Purity:

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Size:

### AS-99 TFA

AS-99 TFA is a first-in-class, potent and selective ASH1L histone methyltransferase inhibitor ( $IC_{50} = 0.79 \mu M, K_d = 0.89 \mu M$ ) with anti-leukemic activity.



Cat. No.: HY-141429A

98.89% Purity:

Clinical Data: No Development Reported Size:

5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### AZ505

Cat. No.: HY-15226

AZ505 is a potent and selective SMYD2 inhibitor with an  $IC_{50}$  of 0.12  $\mu$ M.



Purity: 99.99%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### AZ505 ditrifluoroacetate

Cat. No.: HY-15226A

AZ505 ditrifluoroacetate is a potent and selective SMYD2 inhibitor with  $IC_{50}$  of 0.12  $\mu M$ .



99.99%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### AZ506

Cat. No.: HY-134828

AZ506 is a potent SMYD2 inhibitor with an IC<sub>50</sub> of 17 nM. AZ506 inhibits SMYD2 methyltransferase activity in cells, leading to a decrease in the SMYD2-mediated methylation signal.



99 74% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### **BAY-6035** Cat. No.: HY-112080

BAY-6035 is a potent, selective and substrate-competitive inhibitor of SMYD3. BAY-6035 inhibits methylation of MEKK2 peptide with an IC<sub>so</sub> of 88 nM.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# BCI-121

Purity:

**BAY-598** 

Cat. No.: HY-21972

Cat. No.: HY-19546

BCI-121 is a SMYD3 inhibitor that impairs the proliferation of cancer cell.

BAY-598 is selective small molecule inhibitor of

SMYD2 with an IC<sub>sn</sub> of 27 nM.

99 91%

Clinical Data: No Development Reported

**Purity:** 99 45%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### BI-9321

Cat. No.: HY-114208

BI-9321 is a potent, selective and cellular active nuclear receptor-binding SET domain 3 (NSD3)-PWWP1 domain antagonist with a K<sub>d</sub> value of 166 nM. BI-9321 is inactive against NSD2-PWWP1 and NSD3-PWWP2.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### BI-9321 trihydrochloride

Cat. No.: HY-114208A

BI-9321 trihydrochloride is a potent, selective and cellular active nuclear receptor-binding SET domain 3 (NSD3)-PWWP1 domain antagonist with a K<sub>d</sub> value of 166 nM. BI-9321 trihydrochloride is inactive against NSD2-PWWP1 and NSD3-PWWP2.



H-CI H-CI H-CI

98.89% Purity:

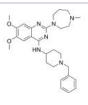
Clinical Data: No Development Reported

 $10~\text{mM}\times1~\text{mL},\,5~\text{mg},\,10~\text{mg},\,25~\text{mg},\,50~\text{mg},\,100~\text{mg}$ Size:

### BIX-01294

Cat. No.: HY-10587

BIX-01294 is a reversible and highly selective G9a and GLP Histone Methyltransferase inhibitor, with IC<sub>so</sub>s of of 1.7  $\mu$ M and 0.9  $\mu$ M, respectively.



99.59% Purity:

Clinical Data: No Development Reported 10 mM  $\times$  1 mL, 10 mg, 50 mg Size:

### BIX-01294 trihydrochloride

Cat. No.: HY-108239

BIX-01294 trihydrochloride is a reversible and highly selective G9a and GLP Histone Methyltransferase inhibitor, with IC<sub>50</sub>s of of  $1.7 \mu M$  and  $0.9 \mu M$ , respectively.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### BIX-01338 hydrate

(BIX01338 hydrate; BIX 01338 hydrate)

BIX-01338 hydrate is a histone lysine methyltransferase inhibitor.



Cat. No.: HY-12991A

Purity: >98%

No Development Reported Clinical Data:

1 mg, 5 mg Size:

### **BRD0639**

Cat. No.: HY-132309

BRD0639 is a first-in-class inhibitor of the PRMT5-substrate adaptor interaction. BRD0639 is a PRMT5 binding motif (PBM)-competitive agent that can support studies of PBM dependent PRMT5 activities.



99.17% Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### **BRD4770**

Cat. No.: HY-16705

BRD4770 is a histone methyltransferase G9a inhibitor, BRD4770 reduces di- and trimethylation of lysine 9 on histone H3 (H3K9) with an EC<sub>so</sub> of 5 μM, and has less or little effect toward H3K27me3, H3K36me3, H3K4me3, and H3K79me3.



99 77% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 500 mg

### **BVT948**

Cat. No.: HY-100625

BVT948 is a protein tyrosine phosphatase (PTP) inhibitor which can also inhibit several cytochrome P450 (P450) isoforms and lysine methyltransferase SETD8 (KMT5A).



Purity: 98 66%

Clinical Data: No Development Reported 10 mM × 1 mL, 5 mg

### BRD9539

BRD9539 is a histone methyltransferase G9a inhibitor with an  $IC_{50}$  of 6.3  $\mu M$ . BRD9539 also

SUV39H1, NSD2 and DNMT1.

inhibits PRC2 activity and is inactive against



Cat. No.: HY-15647

99 20% Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

### C-7280948

Cat. No.: HY-15890

C-7280948 is a selective and potent protein methyltransferase1 (PRMT1) inhibitor with an  $IC_{50}$  value of 12.75  $\mu$ M.

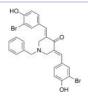
Purity: 98 31%

Clinical Data: No Development Reported 10 mM × 1 mL, 10 mg, 50 mg

### CARM1-IN-1

Cat. No.: HY-12759

CARM1-IN-1 is a potent and specific CARM1(Coactivator-associated arginine methyltransferase 1) inhibitor with IC50 of 8.6 uM; shows very low activity against PRMT1 and SET7(IC50 > 600 uM).



≥95.0% Purity:

Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

### CARM1-IN-1 hydrochloride

Cat. No.: HY-12759A

CARM1-IN-1 hydrochloride is a potent and specific CARM1(Coactivator-associated arginine methyltransferase 1) inhibitor with IC50 of 8.6 uM; shows very low activity against PRMT1 and SET7(IC50 > 600 uM).



95.16% Purity:

Clinical Data: No Development Reported Size 5 mg, 10 mg, 50 mg

### Chaetocin

Cat. No.: HY-N2019

Chaetocin is a specific inhibitor of the histone methyltransferase (HMT) SU(VAR)3-9 with an IC<sub>50</sub> of 0.6  $\mu$ M for SU(VAR)3-9. It also inhibits thioredoxin reductase (TrxR) with an IC<sub>so</sub> of 4 μM.



99.95% Purity:

Clinical Data: No Development Reported  $10 \text{ mM} \times 1 \text{ mL}, 1 \text{ mg}, 5 \text{ mg}, 10 \text{ mg}$ Size:

### CM-272

Cat. No.: HY-101925

CM-272 is a first-in-class, potent, selective, substrate-competitive and reversible dual G9a/DNA methyltransferases (DNMTs) inhibitor with antitumor activities.



99.27% Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

CM-579

Cat. No.: HY-117421

CM-579 is a first-in-class reversible, dual inhibitor of G9a and DNMT, with IC50 values of 16 nM, 32 nM for G9a and DNMT, respectively. Has potent in vitro cellular activity in a wide range of cancer cells.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# CM-579 trihydrochloride

Cat. No.: HY-117421A

CM-579 trihydrochloride is a first-in-class reversible, dual inhibitor of G9a and DNMT, with IC<sub>so</sub> values of 16 nM, 32 nM for G9a and DNMT, respectively. Has potent in vitro cellular activity in a wide range of cancer cells.



98.03%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### CMP-5

CMP-5 is a potent, specific, and selective PRMT5 inhibitor, while displays no activity against PRMT1, PRMT4, and PRMT7 enzymes. CMP-5 selectively blocks S2Me-H4R3 by inhibiting PRMT5 methyltransferase activity on histone preparations.

Purity: 98 69%

Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Cat. No.: HY-120137

CMP-5 hydrochloride

CMP-5 hydrochloride is a potent, specific, and selective PRMT5 inhibitor, while displays no activity against PRMT1, PRMT4, and PRMT7 enzymes. CMP-5 hydrochloride selectively blocks S2Me-H4R3 by inhibiting PRMT5 methyltransferase activity on histone preparations.



Cat. No.: HY-113846

Purity: >98%

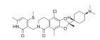
Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### **CPI-1328**

Cat. No.: HY-134899

CPI-1328 is an EZH2 inhibitor with a K, value of 63 fM



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### **CPI-169**

(CPI 169 R-enantiomer)

CPI-169 (CPI 169 R-enantiomer) is a novel and potent EZH2 inhibitor, with IC<sub>50</sub>s of 0.24 nM, 0.51 nM, and 6.1 nM for EZH2 WT, EZH2 Y641N, and EZH1, respectively.



Cat. No.: HY-15956A

**Purity:** 98 17%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### **CPI-360**

Cat. No.: HY-15955

CPI-360 is a highly selective EZH2 inhibitor with IC<sub>so</sub> values of 0.5 nM and 2.5 nM for wt EZH2 and Y641N EZH2, repectively. CPI-360 increases EZH2 protein stability at 52°C in a time-dependent manner.

Purity: 99.43%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### CPUY074020

CPUY074020 is a potent and oral bioavailable inhibitor of histone methyltransferase G9a, with an  $IC_{s0}$  of 2.18  $\mu$ M. CPUY074020 possesses anti-proliferative activity.



Cat. No.: HY-100757

Purity: >98%

Clinical Data: No Development Reported

Size 1 mg, 5 mg

### CSV0C018875

Cat. No.: HY-133031

CSV0C018875 is a quinoline-based EHMT2/G9a inhibitor. CSV0C018875 exhibits lesser cytotoxicity than BIX-01294.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### DCLX069

DCLX069 is a selective protein arginine methyltransferase 1 (PRMT1) inhibitor with an  $IC_{so}$  value of 17.9  $\mu$ M. DCLX069 shows less active against PRMT4 and PRMT6. DCLX069 has anticancer effects



Cat. No.: HY-122096

Purity: 98.38%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 50 mg, 100 mg

# DC\_C66

Cat. No.: HY-100855

DC\_C66 is a cell-permeable, selective coactivator associated arginine methyltransferase 1 (CARM1) inhibitor with an  $\text{IC}_{\text{50}}$  of 1.8  $\mu\text{M}.$  DC\_C66 has a good selectivity for CARM1 against PRMT1 (IC<sub>50</sub>=21  $\mu$ M), PRMT6 (IC<sub>so</sub>= 47 $\mu$ M), and PRMT5.



>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### **DDO-2093**

Cat. No.: HY-132233

DDO-2093 is a potent MLL1-WDR5 protein-protein interaction inhibitor (IC<sub>50</sub>=8.6 nM; K<sub>d</sub>=11.6 nM) with antitumor activity. DDO-2093 selectively inhibits the catalytic activity of MLL complex.



Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg

### DM-01

DM-01 is a powerful and selective EZH2 inhibitor for the research of diffuse large B-cell lymphoma (DLBCL), follicular lymphoma (FL), and SNF5/INI-1/SMARCB1 genetically defined solid tumors

Cat. No.: HY-131246

Purity: 98.03%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### Dot1L-IN-1

Dot1L-IN-1 is a highly potent, selective and structurally novel Dot1L inhibitor with a K, of 2



Cat. No.: HY-101520

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### Dot1L-IN-2

Cat. No.: HY-111390

Dot1L-IN-2 is a potent, selective and orally bioavailable inhibitor of Dot1L (a histone methyltransferase), with an  $IC_{50}$  and  $K_i$  of 0.4 nM and 0.08 nM, respectively.



Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg

### Dot1L-IN-4

Cat. No.: HY-135127

Dot1L-IN-4 is a potent disruptor of telomeric silencing 1-like protein (DOT1L) inhibitor with an IC<sub>50 SPA DOT1L</sub> of 0.11 nM.



**Purity:** 99 60%

Clinical Data: No Development Reported

5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Dot1L-IN-5

Cat. No.: HY-135128

Dot1L-IN-5 is a potent disruptor of telomeric silencing 1-like protein (DOT1L) inhibitor with an IC<sub>50 SPA DOT1L</sub> of 0.17 nM.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# Dot1L-IN-6

Cat. No.: HY-135129

Dot1L-IN-6 is a potent disruptor of telomeric silencing 1-like protein (DOT1L) inhibitor with an  $IC_{50 \text{ SPA DOT1L}}$  of 0.19 nM.



>98% Purity:

Clinical Data: No Development Reported

Size 1 mg, 5 mg

### Dot1L-IN-7

Cat. No.: HY-146724

Dot1L-IN-7 (compound 25) is a potent and selective disruptor of telomeric silencing 1-like protein (DOT1L) inhibitor with an IC50 of 1.0  $\mu$ M. Dot1L-IN-7 selectively killed Mixed Lineage Leukemia (MLL)-AF9 without showing any effect on the growth of E2A-HLF cells.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### DS-437

Cat. No.: HY-124131

DS-437 is a dual PRMT5/7 inhibitor (IC<sub>50</sub>s of PRMT5/7=6 μM). DS-437 is selective for PRMT5 and PRMT7 over 29 other human protein-, DNA-, and RNA-methyltransferases. DS-437 is a S-adenosylmethionine (SAM)-competitive inhibitor

of PRMT5.

Purity: 99.61%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Size:

### DW14800

Cat. No.: HY-128579

DW14800 is a protein arginine methyltransferase 5 (PRMT5) inhibitor, with an  $IC_{so}$  of 17 nM. DW14800 reduces H4R3me2s levels and enhances the transcription of HNF4 $\alpha$ , but does not alter PRMT5 expression. Anti-cancer activity.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### F67-2

Cat. No.: HY-122746

E67-2, as the E67 derivative, is a low-toxicity, selective KIAA1718 Jumonji domain inhibitor with an IC<sub>so</sub> value of 3.4 μM. E67-2 selectively inhibits histone H3 lysine 9 (H3K9) Jumonji demethylase as well as histone H3 lysine 4 (H3K4) demethylase.



Purity: >98%

Clinical Data: No Development Reported 5 mg, 10 mg, 50 mg, 100 mg

### EBI-2511

Cat. No.: HY-111418

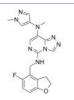
EBI-2511 is a highly potent and orally active EZH2 inhibitor, with an IC<sub>so</sub> of 6 nM in Pfeffiera cell lines, respectively.

99 41% Purity:

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### EED ligand 1

EED ligand 1 is a diverse, potent, and efficacious inhibitor that target the EED subunit of the polycomb repressive complex 2 (PRC2) methyltransferase.



Cat. No.: HY-132970

>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### **EED226**

Cat. No.: HY-101117

EED226 is a polycomb repressive complex 2 (PRC2) inhibitor, which binds to the K27me3-pocket on embryonic ectoderm development (EED) and shows strong antitumor activity in xenograft mice model. EED226 is a potent, selective, and orally bioavailable EED inhibitor.

**Purity:** 

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Size:

### EEDi-5273

EEDi-5273 is an exceptionally potent and orally efficacious **EED** inhibitor ( $IC_{50} = 0.2 \text{ nM}$ ) capable of achieving complete and persistent tumor regression.



Cat. No.: HY-132922

Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg

### EEDi-5285

Cat. No.: HY-136977

EEDi-5285 is an exceptionally potent and orally active embryonic ectoderm development (EED) inhibitor with an IC<sub>so</sub> value of 0.2 nM for binds to the EED protein. EEDi-5285 has anti-cancer activity.



>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### EHMT2-IN-1

EHMT2-IN-1 is a potent EHMT inhibitor, with IC<sub>so</sub>s of all <100 nM for EHMT1 peptide, EHMT2 peptide and cellular EHMT2. Used in the research of blood disorder or cancer.



Cat. No.: HY-111778

99.90% Purity:

Clinical Data: No Development Reported Size 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

### EHMT2-IN-2

Cat. No.: HY-111904

EHMT2-IN-2 is a potent EHMT inhibitor, with IC<sub>so</sub>s of all <100 nM for EHMT1 peptide, EHMT2 peptide and cellular EHMT2. Used in the research of blood disease or cancer.



Purity: ≥99.0%

Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

### EI1

(KB-145943)

EI1 (KB-145943) is a potent and selective EZH2 inhibitor with IC<sub>so</sub> of 15 nM and 13 nM for EZH2 (WT) and EZH2 (Y641F), respectively.



Cat. No.: HY-15573

Purity: 99.18%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### EML741

Cat. No.: HY-111544

EML741 is a histone lysine methyltransferase G9a/GLP inhibitor, with an IC<sub>50</sub> of 23 nM, K<sub>d</sub> of 1.13 μM for G9a. EML741 also inhibits DNMT1 (IC<sub>50</sub>, 3.1  $\mu$ M), with no effect on DNMT3a or DNMT3b. EML741 exhibits low cell toxicity, and is membrane permeable and blood-brain barrier penetrated.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### FP7-719

EPZ-719 is a novel and potent SETD2 inhibitor (

 $IC_{so} = 0.005 \mu M$ ) with a high selectivity over other histone methyltransferases.



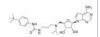
Cat. No.: HY-139626

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### EPZ004777

Cat. No.: HY-15227

EPZ004777 is a potent, selective DOT1L inhibitor with an IC<sub>so</sub> of 0.4 nM.



98 24% Purity:

Clinical Data: No Development Reported Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg

### EPZ004777 hydrochloride

EPZ004777 hydrochloride is a potent, selective

DOT1L inhibitor with an IC<sub>so</sub> of 0.4 nM.



Cat. No.: HY-15227A

98 21% Purity:

Clinical Data: No Development Reported

5 mg, 10 mg

### EPZ005687

Cat. No.: HY-15555

EPZ005687 is a potent and selective inhibitor of EZH2 with K, of 24 nM, and has 50-fold selectivity against EZH1 and 500-fold selectivity against 15 other protein methyltransferases.



Purity: 99 79%

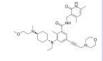
Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### EPZ011989

EPZ011989 is a potent, selective orally

bioavailable EZH2 inhibitor with Ki < 3 nM for EZH2 wt and EZH2 Y646; 15-fold selectivity over EZH1 and >3000-fold selectivity over other HMTase.



Cat. No.: HY-16986

**Purity:** 99 00%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### EPZ011989 trifluoroacetate

(EPZ-011989 trifluoroacetate) Cat. No.: HY-16986A

EPZ011989 trifluoroacetate is a potent, selective orally bioavailable EZH2 inhibitor with Ki < 3 nM for EZH2 wt and EZH2 Y646; 15-fold selectivity over EZH1 and >3000-fold selectivity over other HMTase.



Purity: 98.71%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 50 mg

### EPZ011989-d8

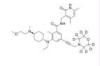
Cat. No.: HY-16986S

EPZ011989-d8 is the deuterium labeled EPZ011989. EPZ011989 is a potent, selective orally bioavailable EZH2 inhibitor with Ki < 3 nM for EZH2 wt and EZH2 Y646; 15-fold selectivity over EZH1 and >3000-fold selectivity over other HMTase.

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



### EPZ015666

(GSK3235025) Cat. No.: HY-12727

EPZ015666 (GSK3235025) is an orally available inhibitor of PRMT5 with an IC<sub>so</sub> of 22 nM.



99.83% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### EPZ020411

Cat. No.: HY-12970

EPZ020411 is a potent and selective inhibitor of PRMT6 with IC50 of 10 nM, has 10 fold selectivity for PRMT6 over PRMT1 and PRMT8. IC50 value: 10 nM Target: PRMT6 in vitro: EPZ020411 inhibits methylation of PRMT6 substrates in cells.



>98% Purity:

Clinical Data: No Development Reported

1 mg, 5 mg



### EPZ020411 hydrochloride

Cat. No.: HY-12970A

EPZ020411 hydrochloride is a potent and selective inhibitor of PRMT6 with  $IC_{so}$  of 10 nM, has >10 fold selectivity for PRMT6 over PRMT1 and PRMT8. IC50 value: 10 nM Target: PRMT6 in vitro: EPZ020411 inhibits methylation of PRMT6 substrates in cells.



Purity: 98.54%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### EPZ031686

Cat. No.: HY-19324

EPZ031686 is an orally available SMYD3 inhibitor with an IC<sub>50</sub> of 3 nM in cell-free assay.



99.71%

Clinical Data: No Development Reported

10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

### EZH2-IN-12

EZH2-IN-12 (Compound 5) is a potent inhibitor of EZH2. EZH2-IN-12 has the potential for the

research of central nervous system malignancies.

Cat. No.: HY-144330

Purity: >98%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 50 mg, 100 mg

### EZH2-IN-2

EZH2-IN-2 is a EZH2 inhibitor extracted from patent WO2018133795A1, Compound Example 69, with an  $IC_{50}$  of 64 nM. EZH2-IN-2 can be used for the research of cancer or precancerous condition related to EZH2 activity.



Cat. No.: HY-A0298

Purity: 98.06%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

# EZH2-IN-4

Cat. No.: HY-139150

EZH2-IN-4 is an orally active, potent EZH2 inhibitor with IC<sub>50</sub>s of 0.923 nM and 2.65 nM against wild type (WT) 5-membered (5-mer) EZH2 and mutant 5-mer EZH2, respectively. EZH2-IN-4 has anti-cancer activity.



Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg Size:

### EZH2-IN-5

Cat. No.: HY-141566

EZH2-IN-5 is a potent EZH2 inhibitor with IC<sub>50</sub> values of 1.52 nM and 4.07 nM for wild-type and mutant Tyr641 EZH2, respectively.



**Purity:** >98%

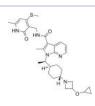
Clinical Data: No Development Reported

1 mg, 5 mg

### EZH2-IN-6

Cat. No.: HY-145333

EZH2-IN-6 is an EZH2 inhibitor with enhanced antitumor activity.



Purity: >98%

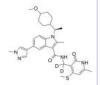
Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### EZH2-IN-7

Cat. No.: HY-143616

EZH2-IN-7 is a potent inhibitor of EZH2.



>98% Purity:

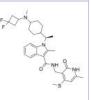
Clinical Data: No Development Reported

Size 1 mg, 5 mg

### EZH2-IN-8

Cat. No.: HY-142951

EZH2-IN-8 is a potent inhibitor of EZH2.



>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### EZH2-IN-9

Cat. No.: HY-144094

EZH2-IN-9 is a potent inhibitor of EZH2.



Purity: >98%

Clinical Data: No Development Reported

Size 1 mg, 5 mg

### EZM 2302

Cat. No.: HY-111109

EZM 2302 is an inhibitor of coactivator-associated arginine methyltransferase 1 (CARM1) with an IC<sub>so</sub> of 6nM.



Purity: 99.49%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### EZM0414

Cat. No.: HY-144858

EZM0414 is a potent, selective, orally bioavailable inhibitor of SETD2 (IC<sub>50</sub>=18 nM in SETD2 biochemical assay; IC<sub>so</sub>=34 nM in cellular assay). EZM0414 can be used for the research of relapsed or refractory multiple myeloma and diffuse large B-cell lymphoma.

>98% Purity:

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg



### EZM0414 TFA

(SETD2-IN-1 TFA) Cat. No.: HY-136328

EZM0414 TFA is a potent, selective and orally active inhibitor of SETD2 which is a human histone methyltransferase. EZM0414 TFA has anti-proliferative effects.



Purity: 99 42%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# FTX-6058

FTX-6058 is a potent and orally active inhibitor of Embryonic Ectoderm Development (EED). FTX-6058 can induce HbF protein expression in cell and murine models. FTX-6058 can be used for the research of select hemoglobinopathies, including sickle cell disease and β-thalassemia.



Cat. No.: HY-139400

99 97% Purity:

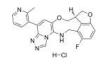
Clinical Data: No Development Reported

Size: 5 mg, 10 mg

### FTX-6058 hydrochloride

Cat. No.: HY-139400A

FTX-6058 hydrochloride is a potent and orally active inhibitor of Embryonic Ectoderm Development (EED). FTX-6058 hydrochloride can induce HbF protein expression in cell and murine models.



Purity: 99.83%

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### **Furamidine**

(DB75; NSC 305831)

Furamidine (DB75) is a selective protein arginine methyltransferase 1 (PRMT1) inhibitor with an  $IC_{50}$  of 9.4  $\mu$ M. Furamidine is selective for PRMT1 over PRMT5, PRMT6, and PRMT4 (CARM1) (IC<sub>so</sub>s of 166  $\mu$ M, 283  $\mu$ M, and >400  $\mu$ M, respectively).



Cat. No.: HY-110137A

**Purity:** >98%

Clinical Data: No Development Reported

1 mg, 5 mg

### Furamidine dihydrochloride

(DB75 dihydrochloride; NSC 305831 dihydrochloride) Cat. No.: HY-110137

Furamidine dihydrochloride (DB75 dihydrochloride) is a selective protein arginine methyltransferase 1 (PRMT1) inhibitor with an IC<sub>50</sub> of 9.4  $\mu$ M.

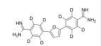
Purity: ≥98.0%

Clinical Data: No Development Reported

Size: 5 mg

# Furamidine-d8

Furamidine-d8 (DB75-d8) is the deuterium labeled Furamidine. Furamidine (DB75) is a selective protein arginine methyltransferase 1 (PRMT1) inhibitor with an  $IC_{50}$  of 9.4  $\mu M$ .



Cat. No.: HY-110137AS

>98% Purity: Clinical Data:

Size: 1 mg, 10 mg

### G9a-IN-1

Cat. No.: HY-44062

G9a-IN-1 (Compound 113) is a G9a protein inhibitor. G9A/EHMT2 is a nuclear histone lysine methyltransferase that catalyzes histone H3 lysine 9 dimethylation (H3K9me2), which is a reversible modification generally associated with transcriptional gene silencing.



>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### Gambogenic acid

Gambogenic acid is an active ingredient in gamboge, with anticancer activity. Gambogenic acid acts as an effective inhibitor of EZH2. specifically and covalently binds to Cys668 within

the EZH2-SET domain, and induces EZH2 ubiquitination.

Purity: 99.91%

Clinical Data: No Development Reported 10 mM  $\times$  1 mL, 5 mg, 10 mg



Cat. No.: HY-N5024

### **GNA002**

Cat. No.: HY-101508

GNA002 is a highly potent, specific and covalent EZH2 (Enhancer of zeste homolog 2) inhibitor with an  $IC_{50}$  of 1.1  $\mu$ M.



Purity: 98.05%

Clinical Data: No Development Reported

Size: 5 mg

# **GSK126**

Size

(GSK2816126A)

GSK126 (GSK2816126A) is a potent, highly selective inhibitor of EZH2 methyltransferase with an IC<sub>so</sub> of 9.9 nM.



Cat. No.: HY-13470

99.98%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

### **GSK2807 Trifluoroacetate**

Cat. No.: HY-104009A

GSK2807 Trifluoroacetate is a potent, selective and SAM-competitive inhibitor of SMYD3, with a  $K_i$  of 14 nM and an  $IC_{50}$  of 130 nM.



98 11% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### GSK3368715

(EPZ019997) Cat. No.: HY-128717

GSK3368715 (EPZ019997) is an orally active, reversible, and S-adenosyl-L-methionine (SAM) uncompetitive type I protein arginine methyltransferases (PRMTs) inhibitor (IC<sub>50</sub>=3.1 nM (PRMT1), 48 nM (PRMT3), 1148 nM (PRMT4), 5.7 nM (PRMT6), 1.7 nM (PRMT8)).



**Purity:** >98% Clinical Data: Phase 1

Size: 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# GSK3326595

(EPZ015938) Cat. No.: HY-101563

GSK3326595 (EPZ015938) is a potent, selective, reversible inhibitor of protein arginine methyltransferase 5 (PRMT5) with an IC<sub>50</sub> of 6.2



99 64% Purity: Clinical Data: Phase 2

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

### GSK3368715 dihydrochloride

(EPZ019997 dihydrochloride)

GSK3368715 dihydrochloride (EPZ019997 dihydrochloride) is an orally active, reversible, and S-adenosyl-L-methionine (SAM) uncompetitive type I protein arginine methyltransferases (PRMTs) inhibitor (IC<sub>so</sub>=3.1 nM (PRMT1), 48 nM (PRMT3), 1148 nM (PRMT4), 5.7 nM (PRMT6), 1.7...



Cat. No.: HY-128717A

99 94% Clinical Data: Phase 1

Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

GSK343

Cat. No.: HY-13500

GSK343 is a highly potent and selective EZH2 inhibitor with an IC<sub>50</sub> of 4 nM.



99.45% Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Size:

GSK503

Cat. No.: HY-12856

GSK503 is a potent and specific inhibitor of EZH2 methyltransferase with  $K_i^{app}$  values of 3 to 27 nM.



99.73% Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg Size

GSK591

(EPZ015866; GSK3203591) Cat. No.: HY-100235

GSK591 (EPZ015866) is a potent and selective inhibitor of protein methyltransferase 5 (PRMT5) with an IC<sub>50</sub> of 4 nM.



99.87% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg **HLCL-61** hydrochloride

Cat. No.: HY-100025A

HLCL-61 hydrochloride is a first-in-class inhibitor of protein arginine methyltransferase 5 (PRMT5).

99.95% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

JNJ-64619178

(Onametostat) Cat. No.: HY-101564

JNJ-64619178 (Onametostat) is a selective, orally active and pseudo-irreversible protein arginine methyltransferase 5 (PRMT5) inhibitor with an IC<sub>50</sub> of 0.14 nM. JNJ-64619178 has potent activity In lung cancer.



Purity: 99.79% Clinical Data: Phase 1

10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg Size:

JQEZ5

Cat. No.: HY-100846

JQEZ5 is a potent and selective EZH2 lysine methyltransferase inhibitor. JQEZ5 SAM-competitive inhibition of polycomb repressive complex 2 (PRC2) with an IC<sub>50</sub> of 80 nM. JQEZ5 has anti-tumor effects.



98.19%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### LEM-14

Cat. No.: HY-114340

LEM-14 is a potent NSD2 inhibitor with an IC<sub>so</sub> of  $132\ \mu\text{M}.$  LEM-14 has the potential for the research of multiple myeloma.

>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### LLY-283

LLY-283 is a potent, selective and oral protein arginine methyltransferase 5 (PRMT5) inhibitor. with an  $IC_{50}$  of 22 nM and a  $K_d$  of 6 nM for PRMT5:MEP50 complex, and shows antitumor activity.



Cat. No.: HY-107777

Purity: 99 04%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### LLY-507

Cat. No.: HY-19313

LLY-507 is a potent and selective inhibitor of protein-lysine methyltransferase SMYD2. LLY-507 potently inhibits the ability of SMYD2 to methylate p53 peptide with an  $IC_{so}$  <15 nM.



Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

### LSD1-IN-20

LSD1-IN-20 (compound 1) is a potent dual non-covalent LSD1/G9a inhibitor, with K, values of 0.44 and 0.68 µM, respectively. LSD1-IN-20 shows antiproliferative activity in THP-1 leukemia cells and MDA-MB-231 breast cancer cells, with

 $IC_{50}$  (72 h) of 0.51 and 1.60  $\mu$ M, respectively.

**Purity:** Clinical Data: No Development Reported

1 mg, 5 mg



Cat. No.: HY-146285

### **MAK683**

Cat. No.: HY-103663

MAK683 is an embryonic ectoderm development (EED) inhibitor extracted from patent US20160176882 A1, compound example 2. MAK683 exhibits IC<sub>so</sub>s of 59, 89, 26 nM in EED Alphascreen binding, LC-MS and ELISA assay.



Purity: 99 27% Clinical Data: Phase 2

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### MAK683 hydrochloride

MAK683 hydrochloride is an embryonic ectoderm development (EED) inhibitor extracted from patent US20160176882 A1, compound example 2. MAK683 exhibits IC<sub>so</sub>s of 59, 89, 26 nM in EED Alphascreen binding, LC-MS and ELISA assay.

>98% Purity:

Clinical Data: No Development Reported

Size 1 mg, 5 mg



Cat. No.: HY-103663A

### MAK683-CH2CH2COOH

Cat. No.: HY-130815

MAK683-CH2CH2COOH binds to EED (embryonic ectoderm

development protein). MAK683-CH2CH2COOH and a VHL ligand for the E3 ubiquitin ligase have been used to design PROTAC EED degrader-1 (HY-130614) and PROTAC EED degrader-2 (HY-130615).



Purity:

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Size:

### MC4355

MC4355 is a dual inhibitor of EZH2 and histone

deacetylase (HDAC).



Cat. No.: HY-144905

>98% Purity:

Clinical Data: No Development Reported

Size 1 mg, 5 mg

### Metoprine

(BW 197U) Cat. No.: HY-129441

Metoprine (BW 197U) is a potent histamine N-methyltransferase (HMT) inhibitor. Metoprine, a diaminopyrimidine derivative, can cross the blood-brain barrier and increase brain histamine levels by inhibiting HMT. Metoprine is an antifolate and antitumor agent.



Purity: 99.04%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

# MM-102

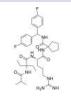
(HMTase Inhibitor IX)

MM-102 (HMTase Inhibitor IX) is a potent WDR5/MLL interaction inhibitor, achieves IC<sub>so</sub>= 2.4 nM with an estimated K<sub>1</sub>< 1 nM in WDR5 binding assay, which is >200 times more potent than the ARA peptide.

>98% Purity:

Clinical Data: No Development Reported

1 mg, 5 mg



Cat. No.: HY-12220

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

### **MM-102 TFA**

(HMTase Inhibitor IX TFA) Cat. No.: HY-12220A

MM-102 TFA (HMTase Inhibitor IX TFA) is a potent WDR5/MLL interaction inhibitor, achieves IC50 = 2.4 nM with an estimated Ki < 1 nM in WDR5 binding assay, which is >200 times more potent than the ARA peptide.



99 77% Purity:

Clinical Data: No Development Reported

Size:  $10 \text{ mM} \times 1 \text{ mL}, 2 \text{ mg}, 5 \text{ mg}, 10 \text{ mg}, 50 \text{ mg}$ 

### MM-401

MM-401 is a potent inhibitor for the MLL1-WDR5 interaction with the IC<sub>so</sub> of 0.9 nM in disrupting WDR5-MLL1 interaction. MM-401 maintains high binding affinity to WDR5 (K<sub>.</sub><1 nM).



Cat. No.: HY-19554

>98% Purity:

Clinical Data: No Development Reported

1 mg, 5 mg

### MM-589

Cat. No.: HY-100869

MM-589 is a potent inhibitor of WD repeat domain 5 (WDR5) and mixed lineage leukemia (MLL) protein-protein interaction. MM-589 binds to WDR5 with an  ${\rm IC}_{\rm so}$  of 0.90 nM and inhibits the MLL H3K4 methyltransferase activity with an IC<sub>50</sub> of 12.7 nM.

Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg Size:

### **MM-589 TFA**

MM-589 TFA is a potent inhibitor of WD repeat domain 5 (WDR5) and mixed lineage leukemia (MLL) protein-protein interaction. MM-589 binds to WDR5 with an  $IC_{50}$  of 0.90 nM and inhibits the MLL H3K4 methyltransferase activity with an IC<sub>50</sub>

of 12.7 nM.

**Purity:** 

Clinical Data: No Development Reported

1 mg, 2 mg



Cat. No.: HY-100869A

### MR837

Cat. No.: HY-138283

MR837 is an inhibitor of NSD2-PWWP1. MR837 can bind with human nuclear receptor binding SET domain protein 2 (PWWP domain).

Purity: 99.93%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# MRK-740

Cat. No.: HY-114209

MRK-740 is a potent, selective and substrate-competitive PRDM9 histone methyltransferase inhibitor with an IC<sub>so</sub> of 80nM. MRK-740 is more selective for PRDM9 than other histone methyltransferases and other non-epigenetic targets.

99.21% **Purity:** 

Clinical Data: No Development Reported

5 mg, 10 mg Size



### MRTX-1719

Cat. No.: HY-139611

MRTX-1719 is a potent first-in-class selective inhibitor of the PRMT5/MTA complex, with an IC<sub>so</sub> of less than 10 nM in PRMT5/MTA MTAPDEL SDMA cells.



>98% Purity:

Clinical Data: No Development Reported

Size: 5 ma

# **MRTX9768**

Cat. No.: HY-138684

MRTX9768 is a potent, selective, orally active, first-in-class PRMT5-MTA complex inhibitor.



Purity: 99.60%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg, 25 mg, 50 mg



# MRTX9768 hydrochloride

Cat. No.: HY-138684A

MRTX9768 hydrochloride is a potent, selective, orally active, first-in-class PRMT5-MTA complex inhibitor.



Purity: 99.68%

No Development Reported Clinical Data: 5 mg, 10 mg, 25 mg, 50 mg Size:

### MS0124

MS0124 is a potent selective G9a-like protein

(GLP) inhibitor with IC<sub>50</sub> values of 13±4 nM and 440±63 nM for GLP and G9a, respectively.



Cat. No.: HY-120444

>98%

Clinical Data: No Development Reported

1 mg, 5 mg

### MS023

Cat. No.: HY-19615

MS023 is a potent, selective, and cell-active inhibitor of human type I protein arginine methyltransferases (PRMTs) inhibitor, with IC<sub>so</sub>s of 30, 119, 83, 4 and 5 nM for PRMT1, PRMT3, PRMT4, PRMT6, and PRMT8, respectively.



99.12% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### MS049 MS049 dihydrochloride

MS049 is a potent, selective, and cell-active dual inhibitor of PRMT4 and PRMT6 with  $IC_{50}$ s of 34 nM and 43 nM, respectively. MS049 reduces levels of Med12me2a and H3R2me2a in HEK293 cells. MS049 is not toxic and does not affect the growth of HEK293 cells.

Cat. No.: HY-100360

Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Size:

### MS117

### Cat. No.: HY-133740

MS117 is a first-in-class and cell-active irreversible protein arginine methyltransferase 6 (PRMT6) covalent inhibitor, with an IC<sub>50</sub> of 18 nM.



≥98.0% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### **MS33**

### Cat. No.: HY-141797

MS33 is a potent WDR5 degrader, with K<sub>d</sub>s of 870 nM and 120 nM for VCB and WDR5, respectively. MS33 induces WDR5 degradation in an E3 ligase VHL, and proteasome-dependent manner. MS33 can be used for the research of acute myeloid leukemia.



Purity: >98%

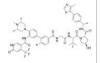
Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### **MS67**

### Cat. No.: HY-141796

MS67 is a potent and selective WD40 repeat domain protein 5 (WDR5) degrader with a K<sub>d</sub> of 63 nM. MS67 is inactive against other protein methyltransferases, kinases, GPCRs, ion channels, and transporters. MS67 shows potent acticancer effects.



Purity: >98%

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Size:

### MS023 dihydrochloride

MS023 dihydrochloride is a potent, selective, and cell-active inhibitor of human type I protein arginine methyltransferases (PRMTs) inhibitor, with IC<sub>so</sub>s of 30, 119, 83, 4 and 5 nM for PRMT1, PRMT3, PRMT4, PRMT6, and PRMT8, respectively.

99 78% Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# HCI HCI

Cat. No.: HY-19615B

Cat. No.: HY-100360A

MS049 dihydrochloride is a potent, selective, and cell-active dual inhibitor of PRMT4 and PRMT6 with IC<sub>so</sub>s of 34 nM and 43 nM, respectively. MS049 dihydrochloride reduces levels of Med12me2a and H3R2me2a in HEK293 cells.

**Purity:** >98%

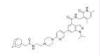
Clinical Data: No Development Reported

1 mg, 5 mg

### MS1943

# Cat. No.: HY-133129

MS1943 is a first-in-class, orally bioavailable EZH2 selective degrader, with an IC<sub>50</sub> of 120 nM. MS1943 significantly reduces EZH2 protein levels in numerous triple-negative breast cancer (TNBC) and other cancer and noncancerous cell lines.



98.18% Purity:

Clinical Data: No Development Reported

Size 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### MS37452

# Cat. No.: HY-119344

MS37452 is a potent inhibitor of CBX7 chromodomain binding to H3K27me3, with a K<sub>d</sub> of 27.7 µM. MS37452 can derepress transcription of polycomb repressive complex target gene p16/CDKN2A by displacing CBX7 binding to the INK4A/ARF locus in prostate cancer cells.



99.22% Purity:

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### MU1656

# Cat. No.: HY-145813

MU1656 is a potent and selective inhibitor of histone methyltransferase DOT1L, with an IC<sub>50</sub> of 2 nM. MU1656 can be used for the research of hematological malignancies.



>98%

Clinical Data: No Development Reported

1 mg, 5 mg

Email: sales@MedChemExpress.com Tel: 609-228-6898 Fax: 609-228-5909

### NSC 663284

(DA-3003-1) Cat. No.: HY-100034

NSC 663284 (DA-3003-1) is a potent, cell-permeable, and irreversible Cdc25 dual specificity phosphatase inhibitor, has an IC<sub>50</sub> for Cdc25B2 of 0.21 μM.

99 87% Purity:

NV03

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

NV03 is a potent and selective antagonist of UHRF1 (Ubiquitin-like with PHD and RING finger domains 1)- H3K9me3 interaction by binding to UHRF1 tandem tudor domain, with a K<sub>d</sub> of 2.4 µM. NV03 has anticancer activity.

Cat. No.: HY-125292

Purity: >98%

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### OTS186935

Cat. No.: HY-122181

OTS186935 is a potent protein methyltransferase SUV39H2 inhibitor with an IC<sub>50</sub> of 6.49 nM. OTS186935 shows significant inhibition of tumor growth in mouse xenograft models without any detectable toxicity. OTS193320 regulates the production of y-H2AX in cancer cells.

>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### OTS186935 trihydrochloride Cat. No.: HY-122181A

OTS186935 trihydrochloride is a protein methyltransferase SUV39H2 inhibitor with an IC<sub>50</sub> of 6.49 nM. OTS186935 trihydrochloride shows significant inhibition of tumor growth in mouse xenograft models without any detectable toxicity.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### PF-06726304

Cat. No.: HY-103682

PF-06726304 is a potent and selective EZH2 inhibitor. PF-06726304 inhibits wild-type and Y641N mutant EZH2 with K<sub>i</sub>s of 0.7 and 3.0 nM, respectively. PF-06726304 displays robust antitumor growth activity.



Purity: 99.64%

Clinical Data: No Development Reported

 $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 25 mg, 50 mgSize

### NSC745885

NSC745885 an effective anti-tumor agent, shows

selective toxicity against multiple cancer cell lines but not normal cells. NSC745885 is an effective down-regulator of EZH2 via proteasome-mediated degradation.

Purity: >98.0%

Clinical Data: No Development Reported 5 mg, 10 mg, 50 mg, 100 mg



Cat. No.: HY-119198

### **OICR-9429**

OICR-9429 is a novel small-molecule antagonist of the Wdr5-MLL interaction with IC50 of 5 uM. inhibit proliferation and induce differentiation. target: Wdr5 IC 50: 5 uM In vitro: OICR-9429

inhibit proliferation and induce differentiation in p30-expressing human AML cells.

**Purity:** 

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Cat. No.: HY-16993

### OTS186935 hydrochloride

Cat. No.: HY-122181B

OTS186935 hydrochloride is a potent protein methyltransferase SUV39H2 inhibitor with an ICso of 6.49 nM. OTS186935 hydrochloride shows significant inhibition of tumor growth in mouse xenograft models without any detectable toxicity.



99.86% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### PARP/EZH2-IN-1

Cat. No.: HY-132885

PARP/EZH2-IN-1 is a first-in-class dual PARP (IC<sub>50</sub> 6.87 nM) and EZH2 (IC<sub>50</sub> 36.51 nM) inhibitor for triple-negative breast cancer with wild-type



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### PF-06726304 acetate

PF-06726304 acetate is a potent and selective

EZH2 inhibitor. PF-06726304 acetate inhibits wild-type and Y641N mutant EZH2 with K,s of 0.7 and 3.0 nM, respectively. PF-06726304 acetate displays robust antitumor growth activity.



Cat. No.: HY-103682A

>98% Purity:

Clinical Data: No Development Reported

1 mg, 5 mg

PFI-2

((R)-PFI-2) Cat. No.: HY-18627

PFI-2 is a a first-in-class, potent, highly selective, and cell-active inhibitor of the methyltransferase activity of SETD7 with IC50 of 2 nM, 500 fold active than (S)-PFI-2.

F F NH

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

PFI-2 hydrochloride

((R)-PFI-2 hydrochloride)

PFI-2 hydrochloride is a a first-in-class, potent, highly selective, and cell-active inhibitor of the methyltransferase activity of SETD7 with IC50 of 2 nM, 500 fold active than (S)-PFI-2.

Purity: 99.80%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

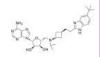
F F N

Cat. No.: HY-18627A

Pinometostat

(EPZ-5676) Cat. No.: HY-15593

Pinometostat (EPZ-5676) is a potent **DOT1L histone** methyltransferase inhibitor with a  $K_i$  of 80 pM.



Purity: 99.99% Clinical Data: Phase 2

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

PR5-LL-CM01

PR5-LL-CM01 is a potent protein arginine methyltransferase 5 (PRMT5) inhibitor ( $IC_{50}$ =

7.5 μM). Anti-tumor activies.

Cat. No.: HY-109963

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

PRMT1-IN-1

Cat. No.: HY-115758

PRMT1-IN-1 is a PRMT1 inhibitor.

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

PRMT5-IN-1

PRMT5 IN-1, a hemiaminal, is a covalent **protein arginine methyltransferase 5 (PRMT5)** inhibitor with an IC $_{50}$  of 11 nM for PRMT5/MEP50. PRMT5 IN-1 can be converted to aldehydes and react with C449 to form covalent adducts under physiological conditions.

**Purity:** >98%

PRMT5-IN-11

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg SN N HO OH

Cat. No.: HY-126256

PRMT5-IN-10

Cat. No.: HY-139823

PRMT5-IN-10 has promising structure-dependent inhibition of the protein methyltransferase PRMT5:MEP50 complex.

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

PRMT5-IN-11 is a promising structure-dependent inhibition of the protein methyltransferase PRMT5:MEP50 complex in the (sub)micromolar

range.

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

HO OH OH

Cat. No.: HY-139823A

PRMT5-IN-12

Cat. No.: HY-141874

PRMT5-IN-12 shows remarkable inhibitory activity on PRMT5.

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

PRMT5-IN-13

**Cat. No.**: HY-141875

PRMT5-IN-13 is a selective inhibitor of **protein** arginine methyltransferase 5 (prmt5).

CI N N H

**Purity:** >98%

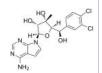
Clinical Data: No Development Reported

Size: 1 mg, 5 mg

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

### PRMT5-IN-14

PRMT5-IN-14 is a PRMT5 inhibitor to treat cancer, sickle cell, and hereditary persistence of foetal hemoglobin (HPFH) mutations.



Cat. No.: HY-141876

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### PRMT5-IN-15

PRMT5-IN-15 is a PRMT5 inhibitor with an IC<sub>so</sub> value of 0.84 nM.



Cat. No.: HY-142211

>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### PRMT5-IN-2

Cat. No.: HY-112165

PRMT5-IN-2 is a rotein arginine methyltransferase 5 (PRMT5) inhibitor extracted from patent WO2018130840A1, compound 3.



Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg

### PRMT5-IN-3

Cat. No.: HY-131493

PRMT5-IN-3 is a PRMT5 inhibitor that exhibits synthetic lethality to tumor cells but produce few side effects combined with DNA damaging agents.



**Purity:** >98%

Clinical Data: No Development Reported

1 mg, 5 mg

### PRMT5-IN-4

Cat. No.: HY-134883

PRMT5-IN-4 (compound AAA-1) is a PRMT5 inhibitor

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### PRMT5-IN-9

Cat. No.: HY-132937

PRMT5-IN-9 is a novel PRMT5 inhibitor for treating cancer, with an  $IC_{50}$  of 0.01  $\mu$ M.



>98% Purity:

Clinical Data: No Development Reported

Size 1 mg, 5 mg

### PROTAC EED degrader-1

Cat. No.: HY-130614

PROTAC EED degrader-1 is a von Hippel-Lindau-based **PROTAC** targeting **EED** with a  $pK_p$  of 9.02. PROTAC EED degrader-1 is a polycomb repressive complex 2 (PRC2) inhibitor (pIC<sub>so</sub>=8.17) targeting the EED subunit.



99.56% Purity:

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg Size:

### PROTAC EED degrader-2

Cat. No.: HY-130615

PROTAC EED degrader-2 is a von Hippel-Lindau-based **PROTAC** targeting **EED** with a **pK**<sub>D</sub> of 9.27. PROTAC EED degrader-2 is a polycomb repressive complex 2 (PRC2) inhibitor (pIC<sub>so</sub>=8.11) targeting the EED subunit.



98.64% Purity:

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg Size:



### SETDB1-TTD-IN-1

Cat. No.: HY-141539

SETDB1-TTD-IN-1 is a potent, selective and endogenous binder competitive inhibitor of SET domain bifurcated protein 1 tandem tudor domain (SETDB1-TTD), with a  $K_d$  of 88 nM.



Purity: >98%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg

### SETDB1-TTD-IN-1 TFA

Cat. No.: HY-141539A

SETDB1-TTD-IN-1 TFA is a potent, selective and endogenous binder competitive inhibitor of SET domain bifurcated protein 1 tandem tudor domain (SETDB1-TTD), with a  $K_d$  of 88 nM.



Purity: 98.79%

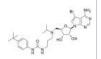
Clinical Data: No Development Reported

5 mg, 10 mg

### SGC0946

Cat. No.: HY-15650

SGC0946 is a highly potent and selective DOT1L methyltransferase inhibitor with IC50 of 0.3 nM; selectively kill mixed lineage leukaemia cells.



Purity: 99.68%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mq, 10 mq, 50 mq

### SGC2085

SGC2085 is a potent and selective coactivator associated arginine methyltransferase 1 (CARM1) inhibitor with an  $IC_{50}$  of 50 nM.



Cat. No.: HY-100565

**Purity:** 99.45%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

### SGC3027

Cat. No.: HY-112445

SGC3027 is a histone methyltransferase inhibitor. SGC3027 is the first potent, selective and cell active chemical probe for PRMT7.



Purity: 98.52%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### SGC707

Cat. No.: HY-19715

SGC707 is a first-in-class PRMT3 chemical probe which is a potent, selective, and cell-active allosteric inhibitor of PRMT3 with IC50 of 31 nM. IC50 value: 31 nM Target: PRMT3 in vitro: SGC707 is the first PRMT3 chemical probe.



Purity: 99.39%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 500 mg

### Sinefungin

(Adenosyl-Ornithine; A-9145; Antibiotic 32232RP) Cat. No.: HY-101938

Sinefungin is a potent inhibitor of virion mRNA(guanine-7-)-methyltransferase, mRNA(nucleoside-2'-)-methyltransferase, and viral multiplication. Sinefungin, a SET7/9 inhibitor, ameliorates renal fibrosis by inhibiting H3K4 methylation.



**Purity:** ≥95.0%

Clinical Data: No Development Reported

Size: 1 mg

### SMYD2-IN-1

Cat. No.: HY-111810

SMYD2-IN-1 is a SMYD2 inhibitor extracted from patent WO2016166186A1, compound example 1.1, has an  $\rm IC_{50}$  of 4.45 nM.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### SMYD3-IN-1

Cat. No.: HY-128352

SMYD3-IN-1 (compound 29) is an irreversible and selective inhibitor of SMYD3 (SET and MYND domain containing 3), with an  $\rm IC_{50}$  of 11.7 nM.



**Purity:** >98%

Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

### SW2\_110A

SW2\_110A is a selective **chromobox 8 chromodomain (CBX8 ChD)** inhibitor with a **K**<sub>d</sub> of

800 nM. SW2\_110A shows minimal 5-fold selectivity for CBX8 ChD over all other CBX paralogs in vitro.



Cat. No.: HY-141716

**Purity:** 99.16%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### SW2\_152F

Cat. No.: HY-147058

SW2\_152F is a potent, selective <code>chromobox 2</code> <code>chromodomain (CBX2 ChD)</code> inhibitor with a  $\rm K_d$  of 80 nM. SW2\_152F displays 24-1000-fold selectivity for CBX2 ChD over other CBX paralogs in vitro.



**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### **Tazemetostat**

(EPZ-6438; E-7438)

Tazemetostat (EPZ-6438) is a potent, selective and orally available EZH2 inhibitor. Tazemetostat (EPZ-6438) inhibits the activity of human polycomb repressive complex 2 (PRC2)-containing wild-type EZH2 with a K<sub>i</sub> value of 2.5 nM.



Cat. No.: HY-13803

Purity: 99.93% Clinical Data: Launched

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

### Tazemetostat hydrobromide

(EPZ-6438 hydrobromide; E-7438 hydrobromide)

Tazemetostat hydrobromide (EPZ-6438 hydrobromide) is a potent, selective and orally available EZH2 inhibitor. Tazemetostat hydrobromide inhibits the activity of human polycomb repressive complex 2 (PRC2)-containing wild-type EZH2 with a K, value of 2.5 nM.

**Purity:** 99 61%

Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg



Cat. No.: HY-13803S

Cat. No.: HY-13803C

### TC-E 5003

Purity:

Size:

TC-E 5003 is a selective **PRMT1** inhibitor with an  $IC_{so}$  of 1.5  $\mu M$  against hPRMT1. TC-E 5003 has anti-inflammatory properties in TLR4 signaling.

Cat. No.: HY-114965

Cat. No.: HY-10929

Cat. No.: HY-107574

Cat. No.: HY-13803A

**Purity:** 99 45%

Clinical Data: No Development Reported 25 mg, 50 mg, 100 mg

Tazemetostat trihydrochloride

Tazemetostat trihydrochloride (EPZ-6438

trihydrochloride) is a potent, selective and

wild-type EZH2 with a K, of 2.5 nM.

>98%

1 mg, 5 mg

Clinical Data: Launched

orally available EZH2 inhibitor. Tazemetostat

trihydrochloride inhibits the activity of human

polycomb repressive complex 2 (PRC2)-containing

(EPZ-6438 trihydrochloride; E-7438 trihydrochloride)

### Tazemetostat-d8

(EPZ-6438-d8; E-7438-d8)

Tazemetostat-d8 is deuterium labeled Tazemetostat. Tazemetostat (EPZ-6438) is a potent, selective and orally available EZH2 inhibitor. Tazemetostat (EPZ-6438) inhibits the activity of human polycomb repressive complex 2 (PRC2)-containing wild-type EZH2 with a Ki value of 2.5 nM.

**Purity:** 

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### TM2-115

Cat. No.: HY-121493

TM2-115 inhibits malaria parasite histone methyltransferases, resulting in rapid and irreversible parasite death.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# TP-064

TP-064 is a potent and selective proteinarginine methyltransferase 4 (PRMT4; CARM1) inhibitor (IC<sub>so</sub> <10 nM). TP-064 inhibits dimethylation of BAF155 (IC<sub>50</sub> of 340 nM) and MED12 (IC<sub>50</sub> of 43 nM).

TP-064 is inactive against the other family members except for PRMT6 (IC $_{50}$  of 1.3  $\mu$ M).

Purity: 98.35%

Clinical Data: No Development Reported Size 5 mg, 10 mg, 50 mg

### **UNC 0631**

Cat. No.: HY-13808

UNC 0631 is a potent histone methyltransferase G9a inhibitor with an  $IC_{50}$  of 4 nM. UNC 0631 potently reduces H3K9me2 levels in MDA-MB-231 cells with an  $IC_{50}$  of 25 nM.

99.35% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

### UNC0224

UNC0224 is a potent and selective histone methyltransferase G9a inhibitor with a K, of 2.6 nM, an IC<sub>so</sub> of 15 nM and a K<sub>d</sub> of 23 nM. UNC0224 also potently inhibits b>GLP with assay-dependent IC<sub>50</sub> values of 20-58 nM.

Purity: 99.31%

Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

### UNC0321

Cat. No.: HY-10930

UNC0321 is a potent and selective histone methyltransferase G9a inhibitor with a K, of 63 pM and with assay-dependent  $IC_{so}$  values of 6-9 nM. UNC0321 also inhibits **GLP** with assay-dependent IC<sub>so</sub> values of 15-23 nM. UNC0321 is inactive against SET7/9, SET8/PreSET7, PRMT3 and JMJD2E.



Purity: 99.43%

Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

### **UNC0379**

UNC0379 is a selective, substrate-competitive inhibitor of lysine methyltransferase SETD8 (KMT5A) with an IC<sub>so</sub> of 7.3 μM; selective over 15 other methyltransferases.

Cat. No.: HY-12335

Purity: 99.75%

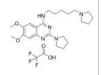
Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### UNC0379 TFA

Cat. No.: HY-12335A

UNC0379 TFA is a selective, substrate-competitive inhibitor of lysine methyltransferase SETD8 (KMT5A) with an IC $_{50}$  of 7.3  $\mu$ M; selective over 15 other methyltransferases.



Purity: 99.91%

Clinical Data: No Development Reported

Size: 2 mg, 5 mg

# UNC0638

UNC0638 selectively inhibits G9a and GLP histone methyltransferase activity with IC $_{\rm so}$ s of less than 15 nM and 19 nM, respectively. UNC0638 has anti-FMDV (foot-and-mouth disease virus) and anti-VSV (vesicular stomatitis virus) activities.



Cat. No.: HY-15273

**Purity:** 99.73%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### UNC0642

Cat. No.: HY-13980

UNC0642 is a potent and selective lysine methyltransferases G9a and GLP inhibitor, with an  $\rm IC_{50}$  of <2.5 nM for G9a.



Purity: 99.86%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### **UNC0646**

Cat. No.: HY-13807

UNC0646 is a potent and selective histone methyltransferase G9a inhibitor with an  $\rm IC_{50}$  of 6 nM. UNC0646 is also a potent GLP inhibitor (IC $_{50}$  <15 nM) and highly selective for G9a/GLP over SETD7, SUV39H2, SETD8 and PRMT3.



Purity: 99.82%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

### UNC1215

Cat. No.: HY-15649

UNC1215 is a potent and selective inhibitor for the methyllysine (Kme) reading domain function of L3MBTL3 with a  $\rm K_d$  value of 120 nM and an IC $_{50}$  of 40 nM. UNC1215 has the potential to treat malignant brain tumor.



Purity: 98.47%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mq, 10 mq, 50 mq

### UNC1999

Cat. No.: HY-15646

UNC1999 is a SAM-competitive, potent and selective inhibitor of EZH2/1 with  $IC_{50}$ s of <10 nM and 45 nM, repectively.



**Purity:** 99.85%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### UNC2327

Cat. No.: HY-110158

UNC2327 is an allosteric inhibitor of protein arginine methyltransferase 3 (PRMT3).



**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### UNC2399

Cat. No.: HY-136188

UNC2399, a biotinylated UNC1999, is a selective EZH2 degrader, maintaining high in vitro potency for EZH2, with an  $IC_{sn}$  of 17 nM.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg

### UNC2400

Cat. No.: HY-12845

UNC2400 is a close analog of UNC1999 with >1,000-fold lower potency than UNC1999 as a negative control for cell-based studies.



**Purity:** > 98%

Clinical Data: No Development Reported

Size: 5 mg

# UNC3866

Cat. No.: HY-100832

UNC3866 is a potent antagonist of the CBX7-H3 interaction as determined by AlphaScreen (IC $_{50}$ =66±1.2 nM) and is more than 100-fold selective for CBX7 over the other nine members of this methyl-lysine (Kme) reader panel.



Purity: 97.14%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### **UNC4976**

UNC4976 is a positive allosteric modulator (PAM) peptidomimetic of CBX7 chromodomain binding to nucleic acids. UNC4976 simultaneously antagonizes H3K27me3-specific recruitment of CBX7 to target genes while increasing non-specific binding to DNA and RNA.

Cat. No.: HY-126327

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

UNC6852

Purity:

Size:

IC<sub>50</sub> of 247 nM for EED.

Valemetostat

(DS-3201) Cat. No.: HY-109108

Valemetostat (DS-3201) is a first-in-class EZH1/2 dual inhibitor, used in the research of relapsed/refractory peripheral T-cell lymphoma.

UNC6852 is a selective polycomb repressive

complex 2 (PRC2) degrader based on PROTAC and

ligand and a von Hippel-Lindau ligand, with an

98.68%

Clinical Data: No Development Reported

contains an **EED** (embryonic ectoderm development)

5 mg, 10 mg, 25 mg, 50 mg, 100 mg

99 65%

**Purity:** Clinical Data: Launched 5 mg, 10 mg

### **UNC6934**

Cat. No.: HY-145103

UNC6934, a chemical probe targeting the PWWP domain, alters NSD2 nucleolar localization.

Purity: 98 51%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Valemetostat tosylate

(DS-3201 tosylate) Cat. No.: HY-109108A

Valemetostat tosylate (DS-3201 tosylate), a first-in-class EZH1/2 dual inhibitor, has the potential in the research of relapsed/refractory peripheral T-cell lymphoma.



98 14% Purity: Clinical Data: Launched

Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### WDR5-0103

(WD-Repeat Protein 5-0103)

WDR5-0103 is a potent and selective WD repeat-containing protein 5 (WDR5) antagonist with Kd of 450 nM.



Cat. No.: HY-19347

Cat. No.: HY-130708

99.93% Purity:

Clinical Data: No Development Reported

Size 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### WDR5-0103-d3

(WD-Repeat Protein 5-0103-d3) Cat. No.: HY-19347S

WDR5-0103-d3 (WD-Repeat Protein 5-0103-d3) is the deuterium labeled WDR5-0103. WDR5-0103 is a potent and selective WD repeat-containing protein 5 (WDR5) antagonist with K<sub>d</sub> of 450 nM.



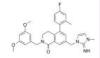
Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### WDR5-IN-1

WDR5-IN-1 is a potent and selective WD repeat domain 5 (WDR5) inhibitor, with a K<sub>d</sub> of <0.02 nM. WDR5-IN-1 inhibits MLL1 histone methyltransferase (HMT) activity with an IC<sub>so</sub> of 2.2 nM.



Cat. No.: HY-133121

Purity: 98.71%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Size:

### WDR5-IN-4

Cat. No.: HY-111753

WDR5-IN-4 is an inhibitor of the WIN site of chromatin-associated WD repeat-containing protein 5 (WDR5), with a K<sub>d</sub> of 0.1 nM.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### WDR5-IN-4 TFA

Cat. No.: HY-111753A

WDR5-IN-4 TFA is an inhibitor of the WIN site of chromatin-associated WD repeat-containing protein 5 (WDR5), with a K<sub>d</sub> of 0.1 nM.



Purity: 98.43%

Clinical Data: No Development Reported  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 50 mg

### XY1

Cat. No.: HY-19714

XY1 is a very close analogue of SGC707 (a potent, selective, and non-competitive inhibitor of PRMT3 with IC50 of 31 nM), but XY1 is completely inactive.



Purity: 99.10%

Clinical Data: No Development Reported 5 mg, 10 mg, 50 mg, 100 mg Size:

YM281

YM281 is a potent EZH2 inhibitor. YM281 induces cell apoptosis and cell cycle arrest at the G0/G1 phase. YM281 shows antitumor effects in vivo. YM281 has the potential for the research of lymphoma.



Cat. No.: HY-145762

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### YM458

Cat. No.: HY-146999

YM458 is a potent EZH2 and BRD4 dual inhibitor with IC<sub>50</sub>s of 490 nM and 34 nM, respectively. YM458 inhibits cell proliferation and colony formation and induces cell cycle arrest and apoptosis in solid cancer cells. YM458 can be used for researching anticancer.



>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### ZLD1039

Cat. No.: HY-116804

ZLD1039 is a potent, highly selective, and orally

bioavailable EZH2 inhibitor.



Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg



# **JAK**

### Janus kinase

Janus kinase (JAK) is a family of intracellular, nonreceptor tyrosine kinases that transduce cytokine-mediated signals via the JAK-STAT pathway. Since members of the type I and type II cytokine receptor families possess no catalytic kinase activity, they rely on the JAK family of tyrosine kinases to phosphorylate and activate downstream proteins involved in their signal transduction pathways. The receptors exist as paired polypeptides, thus exhibiting two intracellular signal-transducing domains. JAKs associate with a proline-rich region in each intracellular domain, which is adjacent to the cell membrane and called a box1/box2 region. After the receptor associates with its respective cytokine/ligand, it goes through a conformational change, bringing the two JAKs close enough to phosphorylate each other. The JAK autophosphorylation induces a conformational change within itself, enabling it to transduce the intracellular signal by further phosphorylating and activating transcription factors called STATs. The activated STATs dissociate from the receptor and form dimers before translocating to the cell nucleus, where they regulate transcription of selected genes.

# **JAK Inhibitors, Agonists & Activators**

### (2R,5S)-Ritlecitinib

((2R,5S)-PF-06651600)

(2R,5S)-Ritlecitinib ((2R,5S)-PF-06651600) is a potent and selective JAK3 inhibitor (IC<sub>so</sub>=144.8 nM) extracted from patent US20150158864A1, example



Cat. No.: HY-100754B

Purity: 98 83%

Clinical Data: No Development Reported

Size:



### (3S,4R)-Tofacitinib

Cat. No.: HY-40354B

(3S,4R)-Tofacitinib is an less active enantiomer of Tofacitinib. Tofacitinib inhibits JAK3 with IC<sub>so</sub> of 1 nM.



Purity: >98% Clinical Data: Launched 1 mg, 5 mg

# (3S,4S)-Tofacitinib

Clinical Data: Launched

(3R,4S)-Tofacitinib

 $IC_{so}$  of 1 nM.

Purity:

Size:

Cat. No.: HY-40354C

Cat. No.: HY-40354D

(3S,4S)-Tofacitinib is the less active S-enantiomer of Tofacitinib. Tofacitinib inhibits JAK3 with IC<sub>50</sub> of 1 nM.

(3R,4S)-Tofacitinib is an less active enantiomer

of Tofacitinib. Tofacitinib inhibits JAK3 with

>98%

1 mg, 5 mg



Purity: 99 24%

Clinical Data: No Development Reported

### (E/Z)-AG490

((E/Z)-Tyrphostin AG490; (E/Z)-Tyrphostin B42) Cat. No.: HY-107459

(E/Z)-AG490 ((E/Z)-Tyrphostin AG490) is a racemic compound of (E)-AG490 and (Z)-AG490 isomers. (E)-AG490 (HY-12000) is a tyrosine kinase inhibitor that inhibits EGFR, Stat-3 and JAK2/3.

Purity: ≥96.0%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# (E/Z)-Zotiraciclib

((E/Z)-TG02; (E/Z)-SB1317)

(E/Z)-Zotiraciclib ((E/Z)-TG02) is a potent inhibitor of CDK2, JAK2, and FLT3. (E/Z)-Zotiraciclib ((E/Z)-TG02) can be used for the research of cancer.



Cat. No.: HY-15166

Purity: 99.96% Clinical Data: Phase 2

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### (E/Z)-Zotiraciclib citrate

((E/Z)-TG02 citrate; (E/Z)-SB1317 citrate) Cat. No.: HY-15166B

(E/Z)-Zotiraciclib citrate is a potent CDK2, JAK2, and FLT3 inhibitor.



>98% Purity:

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 50 mg, 100 mg

### (E/Z)-Zotiraciclib hydrochloride

((E/Z)-TG02 hydrochloride; (E/Z)-SB1317 hydrochloride)

(E/Z)-Zotiraciclib ((E/Z)-TG02) hydrochloride is a potent CDK2, JAK2, and FLT3 inhibitor.



Cat. No.: HY-15166A

99.45% Purity:

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 50 mg, 100 mg

# (Rac)-Ruxolitinib-d9

((Rac)-INCB18424-d9) Cat. No.: HY-W062703S

(Rac)-Ruxolitinib D9 ((Rac)-INCB18424 D9) is the deuterium labeled (Rac)-Ruxolitinib. (Rac)-Ruxolitinib is a JAK2 inhibitor.



Purity: >98% No Development Reported Clinical Data:

Size: 1 mg, 5 mg

### 2,6-Dichloro-N-(2-(cyclopropanecarboxamido)pyridin-4-yl)benz Cat. No.: HY-120469

amide

GDC-046 is a potent, selective, and orally bioavailable TYK2 inhibitor with K,s of 4.8, 0.7, 0.7, and 0.4 nM for TYK2, JAK1, JAK2, and JAK3, respectively.



98.78%

Clinical Data: No Development Reported 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

### Abrocitinib

(PF-04965842) Cat. No.: HY-107429

Abrocitinib (PF-04965842) is a potent, orally active and selective JAK1 inhibitor, with  $\rm IC_{50}s$  of 29 and 803 nM for JAK1 and JAK2, respectively.



Purity: 99.26% Clinical Data: Launched

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### AG490

(Tyrphostin AG490; Tyrphostin B42)

AG490 (Tyrphostin AG490) is a tyrosine kinase inhibitor that inhibits EGFR, Stat-3 and JAK2/3.



Cat. No.: HY-50514

Cat. No.: HY-12000

Purity: 99.92%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg

### AMG-47a

Cat. No.: HY-18303

AMG-47a is a potent and orally active lymphocyte-specific protein tyrosine kinase (Lck) inhibitor, with an IC $_{50}$  of 0.2 nM. AMG-47a also inhibits VEGF2, p38 $\alpha$ , Jak3 and MLR and IL-2 with IC $_{50}$ s of 1 nM, 3 nM, 72 nM, 30 nM and 21 nM, respectively.

galactic

Purity: 98.72%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

### AT9283

AT9283 is a multi-targeted kinase inhibitor with potent activity against Aurora A/B, JAK2/3, AbI (T315I) and Flt3 ( $IC_{50}$ s ranging from 1 to 30 nM). AT9283 inhibits growth and survival of multiple

solid tumors in vitro and in vivo.

Purity: 99.70% Clinical Data: Phase 2

Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

### Atractylenolide I

Cat. No.: HY-N0201

Atractylenolide I is a sesquiterpene derived from the rhizome of Atractylodes macrocephala, possesses diverse bioactivities, such as neuroprotective, anti-allergic, anti-inflammatory and anticancer properties.

O O

**Purity:** 99.83%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mq, 10 mq, 50 mq

### AZ-3

Cat. No.: HY-112442

AZ-3 is a potent and selective **JAK1** inhibitor with an  $IC_{so}$  of 34 nM.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### AZ960

Cat. No.: HY-10411

AZ960 is a potent and specific inhibitor of the JAK2 kinase with a **K**, of 0.45 nM.



Purity: 97.15%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

### AZD-1480

Cat. No.: HY-10193

AZD-1480 is an ATP-competitive inhibitor of JAK1 and JAK2 with  $\rm IC_{50} s$  of 1.3 nM and

<0.4nM, respectively.



Purity: 99.37% Clinical Data: Phase 1

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

### AZD4604

(JAK1-IN-7) Cat. No.: HY-126294

AZD4604 (JAK1-IN-7) is a Janus-associated kinase 1 (JAK1) inhibitor extracted from patent WO2018134213A1, Example 63, has an anti-inflammatory effect.



**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### Baricitinib

(LY3009104; INCB028050)

Baricitinib (LY3009104; INCB028050) is a selective and orally bioavailable JAK1 and JAK2 inhibitor with  $IC_{s_0}$ s of 5.9 nM and 5.7 nM, respectively.



Cat. No.: HY-15315

Purity: 99.97% Clinical Data: Launched

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### Baricitinib phosphate

(LY3009104 phosphate; INCB028050 phosphate)

Baricitinib phosphate (LY3009104 phosphate; INCB028050 phosphate) is a selective orally bioavailable JAK1/JAK2 inhibitor with IC50 of 5.9 nM and 5.7 nM, respectively.

Cat. No.: HY-15315A

99 91% Purity: Clinical Data: Launched

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 50 mg, 100 mg

### Baricitinib-d3

(LY3009104-d3; INCB028050-d3)

Baricitinib-d3 (LY3009104-d3) is the deuterium labeled Baricitinib, Baricitinib (LY3009104: INCB028050) is a selective and orally bioavailable JAK1 and JAK2 inhibitor with IC50s of 5.9 nM and 5.7 nM, respectively.

>98% Purity:

Clinical Data: No Development Reported

1 mg, 5 mg



Cat. No.: HY-131140

Cat. No.: HY-15315S1

### Baricitinib-d5

(LY3009104-d5; INCB028050-d5)

Baricitinib-d5 (LY3009104-d5) is the deuterium labeled Baricitinib. Baricitinib (LY3009104; INCB028050) is a selective and orally bioavailable JAK1 and JAK2 inhibitor with IC<sub>s0</sub>s of 5.9 nM and 5.7 nM, respectively.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg Cat. No.: HY-15315S

BD750, an effective immunosuppressant and a JAK3/STAT5 inhibitor, inhibits IL-2-induced JAK3/STAT5-dependent T cell proliferation, with  $IC_{50}$  values of 1.5  $\mu M$  and 1.1  $\mu M$  in mouse and human

T cells, respectively.

**BD750** 

Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**BMS-066** 

Cat. No.: HY-18710

BMS-066 is an IKKB/Tyk2 pseudokinase inhibitor, with IC<sub>50</sub>s of 9 nM and 72 nM, respectively.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg BMS-911543

BMS-911543 is a selective JAK2 inhibitor, with

IC<sub>so</sub>s of 1.1 nM, less selective at JAK1, JAK3 and TYK2 (IC<sub>50</sub>, 75, 360, 66 nM, respectively).

Cat. No.: HY-15270

98.05% Purity: Clinical Data: Phase 2

 $10~\text{mM}\times1~\text{mL},\,2~\text{mg},\,5~\text{mg},\,10~\text{mg},\,50~\text{mg},\,100~\text{mg}$ Size

BMS-986202

Cat. No.: HY-131968

Cat. No.: HY-112708A

BMS-986202 is a potent, selective and orally active Tyk2 inhibitor that binds to Tyk2 JH2 with an  $IC_{50}$  of 0.19 nM and a  $K_i$  of 0.02 nM. BMS-986202 is remarkably selective over other kinases including Jak family members.

99.46% Purity: Clinical Data: Phase 1

Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg **Brepocitinib** 

(PF-06700841) Cat. No.: HY-112708

Brepocitinib (PF-06700841) is a potent dual Janus kinase 1 (JAK1) and TYK2 inhibitor with IC<sub>so</sub>s of 17 nM and 23 nM, respectively. Brepocitinib also inhibits JAK2 and JAK3 with  $IC_{50}$ s of 77 nM and 6.49  $\mu$ M, respectively.

>98%

Purity: Clinical Data: Phase 2 Size: 1 mg, 5 mg

**Brepocitinib P-Tosylate** 

(PF-06700841 P-Tosylate)

Brepocitinib (PF-06700841) P-Tosylate is a potent dual Janus kinase 1 (JAK1) and TYK2 inhibitor with IC<sub>so</sub>s of 17 nM and 23 nM, respectively. Brepocitinib P-Tosylate also inhibits JAK2 and JAK3 with  $IC_{50}$ s of 77 nM and 6.49  $\mu$ M, respectively.

Purity: 99.69% Clinical Data: Phase 2

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg Size:

Brevilin A

Brevilin A is a sesquiterpene lactone isolated from Centipeda minima with anti-tumor activity. Brevilin A is a selective inhibitor of JAK-STAT signal pathway by attenuating the JAKs activity and blocking STAT3 signaling (IC $_{50}$  = 10.6  $\mu$ M) in

Cancer Cells.

99.77%

Clinical Data: No Development Reported

5 mg, 10 mg

Cat. No.: HY-N2959

Email: sales@MedChemExpress.com Tel: 609-228-6898 Fax: 609-228-5909

### CEP-1347

(KT7515) Cat. No.: HY-10412

CEP-1347 is an inhibitor of the JNK/SAPK pathway with neuroprotective effects.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg

(PRT062070; PRT2070)

Cerdulatinib

# Cat. No.: HY-15999

Cerdulatinib (PRT062070) is a selective Tyk2 inhibitor with an IC<sub>50</sub> of 0.5 nM. Cerdulatinib (PRT062070) also is a dual JAK and SYK inhibitor with  $IC_{50}$ s of 12, 6, 8 and 32 for JAK1, 2, 3 and SYK, respectively.



Purity: 99.0% Clinical Data: Phase 3

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

### **CHZ868**

Cat. No.: HY-18960

CHZ868 is a type II JAK2 inhibitor with an IC<sub>so</sub> of 0.17  $\mu M$  in EPOR JAK2 WT Ba/F3 cell.



99.22% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Cucurbitacin I

(Elatericin B; JSI-124; NSC-521777)

Cucurbitacin I is a natural selective inhibitor of JAK2/STAT3, with potent anti-cancer activity.



Cat. No.: HY-N1405

Purity: >98.0%

Clinical Data: No Development Reported Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

### Debio 0617B

Cat. No.: HY-108417

Debio 0617B, a multi-kinase inhibitor, reduces maintenance and self-renewal of primary human AML CD34<sup>+</sup> stem/progenitor cells.

Purity: >98%

No Development Reported Clinical Data:

1 mg, 5 mg Size:

### CEP-33779

CEP-33779 is a novel, selective, and orally bioavailable inhibitor of JAK2 with an IC, of 1.8+0.6 nM



Cat. No.: HY-15343

99 36% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### Cerdulatinib hydrochloride

(PRT062070 hydrochloride; PRT2070 hydrochloride)

Cerdulatinib hydrochloride (PRT062070) is a selective, oral active and reversible ATP-competitive inhibitor of dual SYK and JAK, with IC<sub>50</sub>s of 32 nM, 0.5 nM, 12 nM, 6 nM and 8 nM for SYK and Tyk2, JAK1, 2, 3, respectively.



Cat. No.: HY-15999A

**Purity:** 99.54%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### Coumermycin A1

Coumermycin A1 is a JAK2 signal activator. Coumermycin A1 inhibits DNA Gyrase which thereby inhibits cell division in bacteria.



Cat. No.: HY-N7452

≥98.0% Purity:

Clinical Data: No Development Reported

Size 5 mg

### Curculigoside

Curculigoside is the main saponin in C. orchioide, exerts significant antioxidant, anti-osteoporosis, antidepressant and neuroprotection effects. Curculigoside possesses significant anti-arthritic effects in vivo and in vitro via regulation of the JAK/STAT/NF-kB signaling pathway.



Clinical Data: No Development Reported Size 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

Cat. No.: HY-N0705

### Decernotinib

(VX-509; VRT-831509)

Decernotinib is a potent, orally active JAK3 inhibitor, with K,s of 2.5, 11, 13 and 11 nM for JAK3, JAK1, JAK2, and TYK2, respectively.



Cat. No.: HY-12469

99.67% **Purity:** Clinical Data: Phase 3

10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg

### Dehydrocrenatidine

(Kumujian G; O-Methylpicrasidine I)

Dehydrocrenatidine, a natural alkaloid, is a specific JAK inhibitor. Dehydrocrenatidine inhibits voltage-gated sodium channels and ameliorates mechanic allodia in a rat model of neuropathic pain. < br/>>.



>98% Purity:

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg

Cat. No.: HY-N3710

### Delgocitinib

(JTE-052) Cat. No.: HY-109053

Delgocitinib (JTE-052) is a specific JAK inhibitor with IC<sub>so</sub>s of 2.8, 2.6, 13 and 58 nM for JAK1, JAK2, JAK3 and Tyk2, respectively.



99 76% Purity: Clinical Data: Launched

10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Delphinidin chloride

Cat. No.: HY-N2409

Delphinidin chloride, an anthocyanidin, is isolated from berries and red wine. Delphinidin chloride shows endothelium-dependent vasorelaxation. Delphinidin chloride also can modulate JAK/STAT3 and MAPKinase signaling to induce apoptosis in HCT116 cells.



≥98.0% **Purity:** 

Clinical Data: No Development Reported

Size: 5 mg, 10 mg

### Deucravacitinib

(BMS-986165)

Deucravacitinib (BMS-986165) is a highly selective, orally bioavailable allosteric TYK2 inhibitor for the treatment of autoimmune diseases, which selectively binds to TYK2 pseudokinase (JH2) domain (IC<sub>so</sub>=1.0 nM) and blocks receptor-mediated Tyk2 activation by...



Cat. No.: HY-117287

**Purity:** 99 79% Clinical Data: Phase 3

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg, 200 mg

### Deuruxolitinib

(CTP-543; Ruxolitinib D8; Deuterated Ruxolitinib) Cat. No.: HY-50856S

Deuruxolitinib (CTP-543), a deuterated Ruxolitinib, modulates the activity of JAK1/JAK2. Deuruxolitinib can be used for the research hair loss disorders (from patent WO2017192905A1, compound I).



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### DTP3

DTP3 TFA is a potent and selective GADD45\(\beta\)/MKK7 inhibitor. DTP3 TFA targets an essential, cancer-selective cell-survival module downstream of the NF-κB pathway.



Cat. No.: HY-100538

99.43% Purity:

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Size

### **Fedratinib**

(TG-101348; SAR 302503)

Cat. No.: HY-10409

Fedratinib (TG-101348) is a potent, selective, ATP-competitive and orally active JAK2 inhibitor with ICsos of 3 nM for both JAK2 and JAK2V617F kinase. Fedratinib shows 35- and 334-fold selectivity over JAK1 and JAK3, respectively.



99.87% Purity: Clinical Data: Launched

Size: 10 mM × 1 mL, 5 mg, 10 mg, 100 mg, 200 mg, 500 mg, 1 g

### Fedratinib hydrochloride hydrate (TG-101348 hydrochloride

hydrate; SAR 302503 hydrochloride hydrate) Cat. No.: HY-10409A

Fedratinib hydrochloride hydrate (TG-101348 hydrochloride hydrate) is a potent, selective, ATP-competitive and orally active JAK2 inhibitor with IC<sub>so</sub>s of 3 nM for both JAK2 and JAK2V617F kinase



Purity: 99.86% Clinical Data: Launched

10 mM × 1 mL, 5 mg, 10 mg, 100 mg, 200 mg, 500 mg, 1 g

### **Filgotinib**

(GLPG0634) Cat. No.: HY-18300

Filgotinib (GLPG0634) is a selective and orally active JAK1 inhibitor with IC<sub>50</sub> of 10 nM, 28 nM, 810 nM, and 116 nM for JAK1, JAK2, JAK3, and TYK2, respectively.



Purity: 99.37% Clinical Data: Launched

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Size:

# Filgotinib-d4

(GLPG0634-d4)

Filgotinib-d4 (GLPG0634-d4) is the deuterium labeled Filgotinib. Filgotinib (GLPG0634) is a selective JAK1 inhibitor with IC<sub>50</sub> of 10 nM, 28 nM, 810 nM, and 116 nM for JAK1, JAK2, JAK3, and TYK2, respectively.



Cat. No.: HY-18300S

>98%

Clinical Data: No Development Reported

1 mg

Fax: 609-228-5909 Email: sales@MedChemExpress.com Tel: 609-228-6898

### FLLL32

FLLL32, a synthetic analog of curcumina, is a JAK2/STAT3 dual inhibitor with anti-tumor activity. FLLL32 can inhibit the induction of STAT3 phosphorylation by IFNα and IL-6 in breast cancer cells.

99 78% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg



Cat. No.: HY-100544

### FM-479

Cat. No.: HY-131014

FM-479 is the negative control of FM-381 (HY-102046) and has no activity on JAK3 or other kinases. FM-381 is a potent covalent reversible inhibitor of JAK3 targeting the unique Cys909.

Purity: >98%

Clinical Data: No Development Reported

Fosifidancitinib is a potent and selective inhibitor of JAK kinases 1/3. Fociatinib is used in studies of allergies, asthma and autoimmune

**Purity:** >98%



Size: 1 mg, 5 mg

### G5-7

### Cat. No.: HY-115452

G5-7, an orally active and allosteric JAK2 inhibitor, selectively inhibits JAK2 mediated phosphorylation and activation of EGFR (Tyr1068) and STAT3 by binding to JAK2. G5-7 induces cell cycle arrest, apoptosis and possesses antiangiogenic effect.

Purity: 99.84%

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg Size:



### GDC-4379

### Cat. No.: HY-139837

GDC-4379 is a JAK1 inhibitor that can be used for the research of asthma.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

Ginsenoside Rk1 is a unique component created by processing the ginseng plant (mainly Sung Ginseng, SG) at high temperatures. Ginsenoside Rk1 has anti-inflammatory effect, suppresses the activation of Jak2/Stat3 signaling pathway and

5 mg, 10 mg, 20 mg

### GLPG0634 analog

### Cat. No.: HY-13961

GLPG0634 (analog) (compound176)is a pan JAK inhibitor with IC50s of 50-200 nM for JAK1/JAK2/JAK3; more information can be found in the reference patents.



Purity: 98.58%

No Development Reported Clinical Data: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg Size:

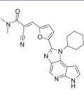
# FM-381

FM-381 is a potent covalent reversible inhibitor of JAK3 targeting the unique Cys909. FM-381 has an IC<sub>so</sub> of 127 pM for JAK3, with 410, 2700 and 3600-fold selectivity over JAK1, JAK2 and TYK2, respectively.

98 25% Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg



Cat. No.: HY-102046

### Fosifidancitinib

### Cat. No.: HY-109175

Clinical Data: No Development Reported

1 mg, 5 mg

# Gandotinib

### (LY2784544)

Gandotinib (LY2784544) is a potent JAK2 inhibitor with IC<sub>50</sub> of 3 nM. Gandotinib (LY2784544) also inhibits FLT3, FLT4, FGFR2, TYK2, and TRKB with IC<sub>50</sub> of 4, 25, 32, 44, and 95 nM.



Cat. No.: HY-13034

99.82% Purity: Clinical Data: Phase 2

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### Ginsenoside Rk1

# Cat. No.: HY-N2515

NF-kB

99.90% Purity:

Clinical Data: No Development Reported Size:



### Golidocitinib

### (AZD4205)

Golidocitinib (AZD4205) is a selective JAK1 inhibitor, with an IC<sub>50</sub> of 73 nM, weakly inhibits JAK2 ( $IC_{50} > 14.7 \mu M$ ), and shows little inhibition on JAK3 (IC<sub>50</sub>>30 μM).



Cat. No.: HY-107361

99.75% Clinical Data: Phase 2

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### GSK2646264

GSK2646264 (Compound 44) is a potent and selective spleen tyrosine kinase (SYK) inhibitor with a

pIC<sub>50</sub> of 7.1.

O NH

Cat. No.: HY-112809

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Gusacitinib

(ASN-002)

Gusacitinib (ASN-002) is an orally active and potent dual inhibitor of spleen tyrosine kinase (SYK) and janus kinase (JAK) with  $\rm IC_{50}$  values of 5-46 nM. Gusacitinib has anti-cancer activity in both solid and hematological tumor types.

Purity: 99.41% Clinical Data: Phase 2

Size: 10 mM × 1 mL, 25 mg, 50 mg, 100 mg



Cat. No.: HY-103018

### HG-7-85-01

Cat. No.: HY-15814

HG-7-85-01 is a type II ATP competitive inhibitor of wild-type and gatekeeper mutations forms of Bcr-Abl, PDGFRα, Kit, and Src kinases.

Janon de la contraction de la

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### Ifidancitinib

(ATI-50002; ATI-502)

Ifidancitinib (ATI-50002) is a potent and selective inhibitor of JAK kinases 1/3. Ifidancitinib can be used in studies of allergies, asthma and autoimmune diseases.

Jung.

Cat. No.: HY-109178

Purity: 98.05%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Ilginatinib

(NS-018) Cat. No.: HY-19631A

Ilginatinib (NS-018) is a highly active and orally bioavailable JAK2 inhibitor, with an  $\rm IC_{50}$  of 0.72 nM, 46-, 54-, and 31-fold selectivity for JAK2 over JAK1 (IC $_{50}$ , 33 nM), JAK3 (IC $_{50}$ , 39 nM), and Tyk2 (IC $_{50}$ , 22 nM).

Purity: 99.15% Clinical Data: Phase 2

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Ilginatinib hydrochloride

(NS-018 hydrochloride)

Ilginatinib hydrochloride (NS-018 hydrochloride) is a highly active and orally bioavailable JAK2 inhibitor, with an  $IC_{50}$  of 0.72 nM, 46-, 54-, and 31-fold selectivity for JAK2 over JAK1 ( $IC_{50}$ , 33 nM), JAK3 ( $IC_{50}$ , 39 nM), and Tyk2 ( $IC_{50}$ , 22 nM).



Cat. No.: HY-19631B

Purity: ≥98.0% Clinical Data: Phase 2

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Ilginatinib maleate

(NS-018 maleate) Cat. No.: HY-19631

Ilginatinib maleate (NS-018 maleate) is a highly active and orally bioavailable JAK2 inhibitor, with an IC $_{50}$  of 0.72 nM, 46-, 54-, and 31-fold selectivity for JAK2 over JAK1 (IC $_{50'}$  33 nM), JAK3 (IC $_{50'}$  39 nM), and Tyk2 (IC $_{50'}$  22 nM).

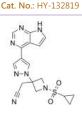
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Purity: 97.04% Clinical Data: Phase 2

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Ilunocitinib

Ilunocitinib (compound 27) is a **JAK** inhibitor (extracted from patent WO2009114512A1).



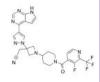
**Purity:** 98.01%

Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Itacitinib

(INCB039110) Cat. No.: HY-16997

Itacitinib (INCB039110) is an orally active and selective inhibitor of JAK1 with an  $IC_{50}$  of 2 nM for human JAK1. Itacitinib shows >20-fold selectivity for JAK1 over JAK2 and >100-fold over JAK3 and TYK2; Itacitinib is used in the research of myelofibrosis.



Purity: 99.97% Clinical Data: Phase 3

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

### Itacitinib adipate

Itacitinib adipate is an orally bioavailable and

Itactinib adipate is an orally bloavailable and selective JAK1 inhibitor which has been tested for efficacy and safety in a phase II trial in myelofibrosis.



Cat. No.: HY-16997A

**Purity:** 99.37%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

#### Itacnosertib

(TP-0184)Cat. No.: HY-109179

Itacnosertib (TP-0184) is both inhibitor to JAK2, ACVR1 (ALK2) and ALK5 as described in WO2014151871.

99 77% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## Cat. No.: HY-10652

JAK-2/3-IN-1 is a potent JAK-2 and JAK-3 inhibitor extracted from patent US8163732B2, compound 46, has K<sub>i</sub>s of <250 nM for both

Purity: >98%

#### Izencitinib

(TD-1473; JNJ-8398)

Izencitinib (TD-1473) is an orally active, non-selective and gut-restricted JAK inhibitor. Izencitinib (TD-1473) can be used in the study for ulcerative colitis.



Cat. No.: HY-109148

Purity: >98.0%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### JAK-2/3-IN-1

isoforms

Clinical Data: No Development Reported

1 mg, 5 mg

#### JAK-IN-1

JAK-IN-1 is a JAK1/2/3 inhibitor with IC<sub>ro</sub>s of 0.26, 0.8 and 3.2 nM, respectively. JAK-IN-1 shows improved selectivity for JAK3 over JAK1.



Cat. No.: HY-13827

**Purity:** >98%

Clinical Data: No Development Reported

1 mg, 5 mg

#### JAK-IN-10

#### Cat. No.: HY-U00277

JAK-IN-10 is a JAK inhibitor. JAK-IN-10 can be used for the research of dry eye disorders.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### JAK-IN-11

Cat. No.: HY-U00318

JAK-IN-11 is a potent and selective JAK inhibitor extracted from patent WO2012122452A1, Compound II, has the potential for the skin disorders (such as cutaneous lupus) treatment.



>98% Purity:

Clinical Data: No Development Reported

Size 1 mg, 5 mg

#### JAK-IN-14

#### Cat. No.: HY-139807

JAK-IN-14 is a potent and selective JAK1 inhibitor, with an  $IC_{50}$  of <5  $\mu M.$  JAK-IN-14 is >8-fold more selective for JAK1 than JAK2 and JAK3 (Patent WO2016119700A1, compound 16).



98.72% Purity: Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg Size:

#### JAK-IN-15

Cat. No.: HY-46262

JAK-IN-15 is a JAK inhibitor. WO2016119700A1 (Compound 15).



>98% Purity:

Clinical Data: No Development Reported

Size 1 mg, 5 mg

#### JAK-IN-17

#### Cat. No.: HY-144057

JAK-IN-17 is a potent inhibitor of JAK. JAK-IN-17 is useful for the research of multiple diseases, particularly ocular, skin, and respiratory diseases (extracted from patent WO2021185305A1, compound 9-1).



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### JAK-IN-18

Cat. No.: HY-144058

JAK-IN-18 is a potent inhibitor of JAK. JAK-IN-18 is useful for the research of multiple diseases, particularly ocular, skin, and respiratory diseases (extracted from patent WO2018204238A1, compound 1).



Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg

#### JAK-IN-19

JAK-IN-19 is a potent JAK inhibitor (PBMC IFNy  $pIC_{so}$ =7.2 and HLF Eotaxin  $pIC_{so}$ =7.7). JAK-IN-19 has good retentive properties in the lung via mitigating being metabolized by Aldehyde Oxidase (AO), with diminished VEGFR2 selectivity (VEGFR2  $pIC_{50} = 7.0$ , Aurora B  $pIC_{50} = 5.8$ ).

Cat. No.: HY-144075

Purity: >98%

Clinical Data: No Development Reported

for JAK3, JAK1, TYK2 and JAK2, respectively.

Size: 1 mg, 5 mg

#### JAK-IN-3

JAK-IN-3 (compound 22) is a potent JAK inhibitor, with  $IC_{so}$  values of 3 nM, 5 nM, 34 nM and 70 nM

Cat. No.: HY-111750

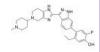
Purity:

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### JAK-IN-5

Cat. No.: HY-111471

JAK-IN-5 is an inhibitor of JAK extracted from patent US20170121327A1, compound example 283.



>98% Purity:

Clinical Data: No Development Reported

Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### JAK/HDAC-IN-1

JAK/HDAC-IN-1 is a potent JAK2/HDAC dual inhibitor, exhibits antiproliferative and proapoptotic activities in several hematological cell lines. JAK/HDAC-IN-1 shows IC<sub>50</sub>s of 4 and 2 nM for JAK2 and HDAC, respectively.

98.04% Purity:

Clinical Data: No Development Reported

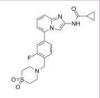
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Cat. No.: HY-126141

## JAK1-IN-8

Cat. No.: HY-139423

JAK1-IN-8, a potent JAK1 inhibitor (IC<sub>so</sub><500 nM), compound 28, extracted from patent WO2016119700A1.



Purity: ≥95.0%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### JAK-IN-20

JAK-IN-20 is a potent, pan and orally active JAK inhibitor with an  $IC_{so}$ s of 7 nM, 5 nM, 14 nM for JAK1, JAK2, JAK3, respectively. JAK-IN-20 shows excellent pharmacokinetics and displays anti-inflammatory efficacy in vivo.

>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### JAK-IN-4

JAK-IN-4 is a prodrug of a JAK inhibitor, effective in murine collagen induced arthritis

**Purity:** >98%

Clinical Data: No Development Reported

1 mg, 5 mg

#### JAK-IN-5 hydrochloride

JAK-IN-5 hydrochloride is an inhibitor of JAK extracted from patent US20170121327A1, compound

example 283.

Cat. No.: HY-116505

Cat. No.: HY-111471A

99.54% Purity:

Clinical Data: No Development Reported

5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### JAK1-IN-4

JAK1-IN-4 is a potent and selective JAK1 inhibitor, with  $IC_{50}$ s of 85 nM, 12.8  $\mu$ M and >30 μM for JAK1, JAK2, and JAK3, respectively. JAK1-IN-4 inhibits STAT3 phosphorylation in NCI-H 1975 cells (IC<sub>50</sub>, 227 nM).

Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg

#### JAK1-IN-9

JAK1-IN-9 (compound 23a) is a potent and selective

JAK1 inhibitor with an IC<sub>50</sub> of 72 nM. JAK1-IN-9 shows selective against other JAKs by 12 times or

>98%

Clinical Data: No Development Reported

1 mg, 5 mg



Cat. No.: HY-111749

Cat. No.: HY-143444

Cat. No.: HY-144440



#### JAK1/TYK2-IN-1

Cat. No.: HY-145336

JAK1/TYK2-IN-1 is a dual inhibitor of TYK2 and JAK1 ( $IC_{so}$  = 29 and 41 nM respectively).



**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### JAK1/TYK2-IN-3

JAK1/TYK2-IN-3 is a potent, selective and orally active dual TYK2/JAK1 inhibitor with  $IC_{s0}$  values of 6 and 37 nM, respectively. JAK1/TYK2-IN-3 also shows selectively relative to JAK2 ( $IC_{s0}$ =140 nM) and JAK3 ( $IC_{sn}$ =362 nM).

HN- N- H- N-F

Cat. No.: HY-143885

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### JAK2-IN-4

Cat. No.: HY-100759

JAK2-IN-4 (compound 16h) is a selective <code>JAK2/JAK3</code> inhibitor, with  $\rm IC_{s_0}$  values of 0.7 nM and 23.2 nM for JAK2 and JAK3, respectively.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### JAK2-IN-6

JAK2-IN-6, a multiple-substituted aminothiazole derivative, is a potent and selective JAK2 inhibitor with an  $\rm IC_{50}$  of 22.86  $\mu g/mL$ . JAK2-IN-6

shows no activity against JAK1 and JAK3. JAK2-IN-6 has anti-proliferative effect against cancer

cells.

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



Cat. No.: HY-137756

#### JAK2-IN-7

Cat. No.: HY-131906

JAK2-IN-7 is a selective **JAK2** inhibitor with  $IC_{50}$ S of 3, 11.7, and 41 nM for JAK2, SET-2, and Ba/F3<sup>V617F</sup> cells, respectively. JAK2-IN-7 possesses >14-fold selectivity over JAK1, JAK3, ILT3



**Purity:** 99.42%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### JAK2/FLT3-IN-1

Cat. No.: HY-130247

JAK2/FLT3-IN-1 is a potent and orally active dual JAK2/FLT3 inhibitor with IC $_{50}$  values of 0.7 nM, 4 nM, 26 nM and 39 nM for JAK2, FLT3, JAK1 and JAK3, respectively. JAK2/FLT3-IN-1 has anti-cancer activity.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### JAK2/FLT3-IN-1 TFA

Cat. No.: HY-130247A

JAK2/FLT3-IN-1 (TFA) is a potent and orally active dual <code>JAK2/FLT3</code> inhibitor with <code>IC</code><sub>so</sub> values of 0.7 nM, 4 nM, 26 nM and 39 nM for <code>JAK2</code>, <code>FLT3</code>, <code>JAK1</code> and <code>JAK3</code>, respectively. <code>JAK2/FLT3-IN-1</code> (TFA) has anti-cancer activity.



**Purity:** 98.94%

Clinical Data: No Development Reported

Size: 5 ma. 10 ma

#### JAK2/TYK2-IN-1

JAK2/TYK2-IN-2 is a potent and selective **TYK2** inhibitor with  $IC_{50}$  values of 9 and 157 nM for **TYK2** and **JAK2**, respectively. JAK2/TYK2-IN-2 has

anti-inflammatory activity.



Cat. No.: HY-143884

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

JAK3-IN-1

Cat. No.: HY-19544

JAK3-IN-1 is a potent, selective and orally active JAK3 inhibitor with an IC $_{50}$  of 4.8 nM. JAK3-IN-1 shows over 180-fold more selective for JAK3 than JAK1 (IC $_{50}$  of 896 nM) and JAK2 (IC $_{50}$  of 1050 nM).



Purity: 99.23%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 50 mg, 100 mg

#### JAK3 covalent inhibitor-1

Cat. No.: HY-119935

JAK3 covalent inhibitor-1 is a potent and selective janus kinase 3 (JAK3) covalent inhibitor with an  $\rm IC_{50}$  of 11 nM and shows 246-fold selectivity vs other JAKs.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### JAK3-IN-11

JAK3-IN-11 (Compound 12), a potent, noncytotoxic, irreversible, orally active JAK3 inhibitor with IC<sub>50</sub> value of 1.7 nM, has excellent selectivity

(>588-fold compared to other JAK isoforms), covalently bind to the ATP-binding pocket in JAK3.

>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### JAK3-IN-6

JAK3-IN-6 is a potent, selective irreversible Janus Associated Kinase 3 (JAK3) inhibitor, with an  $IC_{50}$  of 0.15 nM.

Purity: 98.07%

Clinical Data: No Development Reported 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Cat. No.: HY-101976

#### JAK3-IN-7

Cat. No.: HY-U00390

Cat. No.: HY-146727

uparon

JAK3-IN-7 is a potent and selective JAK3 inhibitor extracted from patent WO2011013785A1, has an  $IC_{50}$  of <0.01  $\mu$ M.

Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg

#### JAK3/BTK-IN-1

Cat. No.: HY-143716

JAK3/BTK-IN-1 is a potent inhibitor of JAK3/BTK. BTK and JAK3 are two important targets for autoimmune diseases. Simultaneous inhibition of the BTK/JAK3 signalling pathway exhibits synergistic effects.

**Purity:** >98%

Clinical Data: No Development Reported

1 mg, 5 mg



#### JAK3/BTK-IN-2

Cat. No.: HY-143717

JAK3/BTK-IN-2 is a potent inhibitor of JAK3/BTK. BTK and JAK3 are two important targets for autoimmune diseases. Simultaneous inhibition of the BTK/JAK3 signalling pathway exhibits synergistic effects.

>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

## JAK3/BTK-IN-3

Cat. No.: HY-143718

JAK3/BTK-IN-3 is a potent inhibitor of JAK3/BTK. BTK and JAK3 are two important targets for autoimmune diseases. Simultaneous inhibition of the BTK/JAK3 signalling pathway exhibits synergistic effects.

>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



#### JAK3/BTK-IN-4

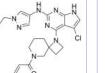
Cat. No.: HY-143719

JAK3/BTK-IN-4 is a potent inhibitor of JAK3/BTK. BTK and JAK3 are two important targets for autoimmune diseases. Simultaneous inhibition of the BTK/JAK3 signalling pathway exhibits synergistic effects.

>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



#### JAK3/BTK-IN-5

JAK3/BTK-IN-5 is a potent inhibitor of JAK3/BTK. BTK and JAK3 are two important targets for autoimmune diseases. Simultaneous inhibition of the BTK/JAK3 signalling pathway exhibits

synergistic effects.

>98% Purity:

Clinical Data: No Development Reported

Size 1 mg, 5 mg



Cat. No.: HY-143720

#### JANEX-1

(WHI-P131; Jak3 inhibitor I)

JANEX-1 (WHI-P131) is a potent and specific JAK3 inhibitor (estimated  $K_i$ =2.3  $\mu$ M). JANEX-1 (WHI-P131) shows potent JAK3-inhibitory activity (IC $_{50}$  of 78  $\mu$ M), does not inhibit JAK1 and JAK2.



Cat. No.: HY-15508

Purity: 99.60%

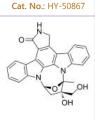
Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

#### Lestaurtinib

(CEP-701; KT-5555)

Lestaurtinib (CEP-701;KT-5555) is an ATP-competitive multi-kinase inhibitor with potent activity against the Trk family of receptor tyrosine kinases. Lestaurtinib inhibits JAK2, FLT3 and TrkA with IC<sub>so</sub>s of 0.9, 3 and less than 25 nM, respectively.

Purity: 99.92% Clinical Data: Phase 3 5 mg



#### LFM-A13

Cat. No.: HY-18009

LFM-A13 is a potent BTK, JAK2, PLK inhibitor, inhibits recombinant BTK, Plx1 and PLK3 with IC...s of 2.5  $\mu M,\,10~\mu M$  and 61  $\mu M;$  LFM-A13 shows no effects on JAK1 and JAK3, Src family kinase HCK, EGFR and IRK.

Purity: 99 97%

Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

#### Lorpucitinib

(JNJ-64251330) Cat. No.: HY-109182

Lorpucitinib is a Gut-Restricted JAK Inhibitor for the research of Inflammatory Bowel Disease.



99 97% Purity:

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg

## Momelotinib Mesylate

(CYT387 Mesylate)

Momelotinib Mesylate (CYT387 Mesylate) is an ATP-competitive inhibitor of JAK1/JAK2 with IC50 of 11 nM/18 nM, appr 10-fold selectivity versus JAK3.



Cat. No.: HY-10963

**Purity:** >98% Clinical Data: Phase 3 1 mg, 5 mg

#### Momelotinib

(CYT387) Cat. No.: HY-10961

Momelotinib (CYT387) is an ATP-competitive inhibitor of JAK1/JAK2 with  $IC_{50}$ a of 11 nM and 18 nM,respectively. CYT387 shows much less activity against JAK3.

**Purity:** 98 93% Clinical Data: Phase 3

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

#### Momelotinib sulfate

(CYT387 sulfate salt) Cat. No.: HY-10962

Momelotinib sulfate (CYT387 sulfate salt) is an ATP-competitive inhibitor of JAK1/JAK2 with IC50 of 11 nM/18 nM, 10-fold selectivity versus JAK3 (IC<sub>50</sub>=155 nM).



Purity: 98.04% Clinical Data: Phase 3

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

#### Nezulcitinib

(TD-0903) Cat. No.: HY-132849

Nezulcitinib (TD-0903) is an inhaled and lung-selective pan-Janus kinase (JAK) inhibitor. Nezulcitinib can be used for the research of COVID-19 associated acute lung injury and impaired oxygenation.



>98% Purity:

Clinical Data: No Development Reported

Size 1 mg, 5 mg

#### NSC 33994

Cat. No.: HY-18293

NSC 33994 (G6) is a selective JAK2 inhibitor, with an IC<sub>50</sub> of 60 nM.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### NSC 42834

(JAK2 Inhibitor V; Z3)

NSC 42834 (JAK2 Inhibitor V), a novel specific inhibitor of Jak2, inhibits Jak2-V617F and Jak2-WT autophosphorylation in a dose-dependent manner but was not cytotoxic to cells at concentrations that inhibited kinase activity.



Cat. No.: HY-15480

Purity: 96.79%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

NVP-BSK805

Cat. No.: HY-14722

NVP-BSK805 is an ATP-competitive JAK2 inhibitor, with IC<sub>so</sub>s of 0.48 nM, 31.63 nM, 18.68 nM, and 10.76 nM for JAK2 JH1 (JAK homology 1), JAK1 JH1, JAK3 JH1, and TYK2 JH1, respectively.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### NVP-BSK805 dihydrochloride

Cat. No.: HY-14722A

NVP-BSK805 dihydrochloride is an ATP-competitive JAK2 inhibitor, with IC<sub>so</sub>s of 0.48 nM, 31.63 nM, 18.68 nM, and 10.76 nM for JAK2 JH1 (JAK homology 1), JAK1 JH1, JAK3 JH1, and TYK2 JH1, respectively.



Purity: 99.36%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

#### NVP-BSK805 trihydrochloride

NVP-BSK805 trihydrochloride trihydrochloride is an ATP-competitive JAK2 inhibitor, with ICs of 0.48 nM, 31.63 nM, 18.68 nM, and 10.76 nM for JAK2 JH1 (JAK homology 1), JAK1 JH1, JAK3 JH1, and TYK2 JH1, respectively.

Cat. No.: HY-14722C

>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg CI H-CI H-CI

# **Pacritinib**

(SB1518) Cat. No.: HY-16379

Pacritinib (SB1518) is a potent inhibitor of both wild-type JAK2 (IC<sub>50</sub>=23 nM) and JAK2<sup>V617F</sup> mutant ( $IC_{50}$ =19 nM). Pacritinib also inhibits **FLT3** (IC $_{50}^{--}$ =22 nM) and its mutant FLT3<sup>D835Y</sup> (IC $_{50}$ =6 nM).



**Purity:** 99 93% Clinical Data: Phase 3

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

#### Peficitinib

Purity:

Oclacitinib maleate

(PF-03394197 maleate)

JAK1 (IC<sub>50</sub>=10 nM).

Clinical Data: Launched

(ASP015K; JNJ-54781532)

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Peficitinib is an oral JAK inhibitor, with IC. as of 3.9, 5.0, 0.7 and 4.8 nM for JAK1, JAK2, JAK3 and Tyk2, respectively.

Oclacitinib maleate (PF-03394197 maleate) is a

(PF-03394197 maleate) is most potent at inhibiting

novel JAK inhibitor. Oclacitinib maleate

99 65%



Cat. No.: HY-19568

Cat. No.: HY-13577A

**Purity:** 99 78% Clinical Data: Launched

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

#### PF-06263276

Cat. No.: HY-101024

PF-06263276 (PF 6263276) is a potent and selective pan-JAK inhibitor, with IC<sub>50</sub>s of 2.2 nM, 23.1 nM, 59.9 nM and 29.7 nM for JAK1, JAK2, JAK3 and TYK2, respectively.



Purity: >99.0% Clinical Data: Phase 1 Size: 1 mg, 5 mg

#### **Povorcitinib**

Cat. No.: HY-145588

Povorcitinib is a potent and selective inhibitor of JAK1. Povorcitinib has the potential for the research of disease selected from cutaneous lupus erythematosus (CLE) and Lichen planus (LP) (extracted from patent WO2021076124A1).



Cat. No.: HY-14435

>98% Purity:

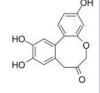
Clinical Data: No Development Reported

Size 1 mg, 5 mg

#### Protosappanin A

(PTA) Cat. No.: HY-113573

Protosappanin A (PTA), an immunosuppressive ingredient and major biphenyl compound isolated from Caesalpinia sappan L, suppresses JAK2/STAT3-dependent inflammation pathway through down-regulating the phosphorylation of JAK2 and STAT3.



Purity: 99.98%

Clinical Data:

Size: 1 mg, 5 mg, 10 mg

#### Pyridone 6

Pyridone 6 is a pan-JAK inhibitor, which potently inhibits the JAK kinase family, with IC<sub>50</sub>s of 1 nM for JAK2 and TYK2, 5 nM for JAK3, and 15 nM for JAK1, while displaying significantly weaker affinities (130 nM to >10 mM) for other protein tyrosine kinases.

98.84% Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

#### Reticuline

Cat. No.: HY-N1356

Reticuline shows anti-inflammatory effects through JAK2/STAT3 and NF-κB signaling pathways. Reticuline inhibits mRNA expressions of TNF- $\alpha$ , and IL-6 and reduces the phosphorylation levels of JAK2 and STAT3. Reticuline exhibits cardiovascular effects.



Purity: 98.11%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### Reticuline-d3

Cat. No.: HY-N1356S

Reticuline-d3 is the deuterium labeled Reticuline. Reticuline shows anti-inflammatory effects through JAK2/STAT3 and NF-κB signaling pathways. Reticuline inhibits mRNA expressions of TNF- $\alpha$ , and IL-6 and reduces the phosphorylation levels of JAK2 and STAT3.

Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg



#### RGB-286638

Cat. No.: HY-15504

RGB-286638 is a CDK inhibitor that inhibits the kinase activity of cyclin T1-CDK9, cyclin B1-CDK1, cyclin E-CDK2, cyclin D1-CDK4, cyclin E-CDK3, and p35-CDK5 with IC<sub>50</sub>s of 1, 2, 3, 4, 5 and 5 nM, respectively; also inhibits GSK-3β, TAK1, Jak2 and MEK1, with IC<sub>50</sub>s of 3, 5, 50, and 54 nM.



99 84% Purity: Clinical Data: Phase 1

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 50 mg, 100 mg

RGB-286638 is a CDK inhibitor that inhibits the kinase activity of cyclin T1-CDK9, cyclin B1-CDK1, cyclin E-CDK2, cyclin D1-CDK4, cyclin E-CDK3, and p35-CDK5 with IC<sub>50</sub>s of 1, 2, 3, 4, 5 and 5 nM, respectively; also inhibits GSK-3ß, TAK1, Jak2 and MEK1, with IC<sub>50</sub>s of 3, 5, 50, and 54 nM.



Cat. No.: HY-15504A

98.07% Purity: Clinical Data: Phase 1

RGB-286638 free base

5 mg, 10 mg, 50 mg, 100 mg

#### Ritlecitinib

(PF-06651600) Cat. No.: HY-100754

Ritlecitinib (PF-06651600) is an orally active and selective JAK3 inhibitor with an IC<sub>50</sub> of 33.1 nM.



**Purity:** 99 98% Clinical Data: Phase 3

Size 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

#### **RO495**

Cat. No.: HY-18316

RO495 is a potent inhibitor of non-receptor tyrosine-protein kinase 2 (TYK2 kinase).



>98% Purity:

Clinical Data: No Development Reported

1 mg, 5 mg Size:

#### RO8191

(CDM-3008; RO4948191)

Cat. No.: HY-W063968

RO8191 (CDM-3008), an imidazonaphthyridine compound, is an orally active and potent interferon (IFN) receptor agonist. RO8191 directly binds to IFN $\alpha/\beta$  receptor 2 (IFNAR2) and activates IFN-stimulated genes (ISGs) expression and JAK/STAT phosphorylation.



Purity: 98.53%

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Size:

## Ruxolitinib

(INCB18424) Cat. No.: HY-50856

Ruxolitinib (INCB18424) is a potent and selective JAK1/2 inhibitor with IC<sub>so</sub>s of 3.3 nM and 2.8 nM in cell-free assays, and has 130-fold selectivity for JAK1/2 over JAK3. Ruxolitinib induces autophagy and kills tumor cells through toxic mitophagy.



99.99% Purity: Clinical Data: Launched

 $10~\text{mM}\times1~\text{mL},\,5~\text{mg},\,10~\text{mg},\,50~\text{mg},\,100~\text{mg},\,200~\text{mg}$ Size

#### Ruxolitinib (S enantiomer)

(S-Ruxolitinib; S-INCB18424)

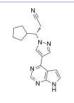
Ruxolitinib S enantiomer is the S-enantiomer of Ruxolitinib. Ruxolitinib S enantiomer is a JAK

inhibitor

Purity:

Purity:

Size:



Cat. No.: HY-50856A

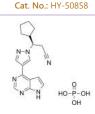
99.77%

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## Ruxolitinib phosphate

(INCB018424 phosphate)

Ruxolitinib phosphate (INCB018424 phosphate) is a potent JAK1/2 inhibitor with IC<sub>50</sub>s of 3.3 nM/2.8 nM, respectively, showing more than 130-fold selectivity over JAK3.



99.98% Purity: Clinical Data: Launched

SAR-20347

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

#### Ruxolitinib sulfate

Clinical Data: Launched

(INCB018424 sulfate)

Cat. No.: HY-50859

Ruxolitinib sulfate (INCB018424 sulfate) is the first potent, selective JAK1/2 inhibitor to enter the clinic with IC<sub>so</sub>s of 3.3 nM/2.8 nM, and has > 130-fold selectivity for JAK1/2 versus JAK3.

>98%

1 mg, 5 mg

Clinical Data: Launched



SAR-20347 is an inhibitor of TYK2, JAK1, JAK2 and JAK3 with IC<sub>so</sub>s of 0.6, 23, 26 and 41 nM, respectively.

Cat. No.: HY-100895

98.04% **Purity:** 

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### SC99

SC99 is an orally active, selective STAT3 inhibitor targeting JAK2-STAT3 pathway. SC99 docks into the ATP-binding pocket of JAK2. SC99 inhibits phosphorylation of JAK2 and STAT3 with no effects on the other kinases associated with STAT3

signaling. Purity: 99.07%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg



Cat. No.: HY-124858

## SD-1008

SD-1008 is a potent JAK inhibitor. SD-1008 inhibits tyrosyl phosphorylation of STAT3, JAK2 and Src. SD-1008 also reduces STAT3-dependent luciferase activity. SD-1008 enhances apoptosis induced by Paclitaxel in ovarian cancer cells via directly blocking the JAK-STAT3 signaling pathway.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



Cat. No.: HY-107595

#### SD-1029

Cat. No.: HY-112391

SD-1029 is a JAK2/STAT3 inhibitor. SD-1029 inhibits STAT3 nuclear translocation, SD-1029 is an inhibitor of STAT3 activation due to inhibition of JAK2 phosphorylation.



Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg

SHR0302 is a potent and orally active all members of the JAK family inhibitor, particularly JAK1. The selectivity of SHR0302 for JAK1 is >10-fold for JAK2, 77-fold for JAK3, 420-fold for Tyk2.

SHR0302

**Purity:** 99 58%

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg



Cat. No.: HY-112724

#### SJ10542

Cat. No.: HY-145696

SJ10542 is a potent and selective JAK2/3 directing phenyl glutarimide (PG)-PROTAC with DC<sub>so</sub>s of 14, 11, and 24 nM for JAK2, JAK3, and JAK2-fusion ALL, respectively. SJ10542 utilizes a PG ligand as the cereblon (CRBN) recruiter.

>98% Purity:

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg

#### Solcitinib

(GSK-2586184; GLPG-0778)

Solcitinib is an orally active, competitive, potent, selective JAK1 inhibitor, with an IC50 of 9.8 nM, and 11-, 55- and 23-fold selectivity over JAK2, JAK3 and TYK2, respectively; Solcitinib is used in the research of moderate-to-severe plaque-type psoriasis.

**Purity:** 99 73% Clinical Data: Phase 2

Size 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg



Cat. No.: HY-16755

#### SYK/JAK-IN-1

Cat. No.: HY-145029

SYK/JAK-IN-1 is dual SYK/JAK inhibitor with IC50s of <5 nM for SYK and JAK2, respectively.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### TCJL37

TCJL37 is a potent, selective, and orally bioavailable TYK2 inhibitor with a K, of 1.6 nM. TCJL37 can be used for the research of inflammatory bowel diseases (IBD).

Cat. No.: HY-16640

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### TCS 21311

(NIBR3049) Cat. No.: HY-108264

TCS 21311 (NIBR3049) is a potent, highly selective JAK3 inhibitor with an IC<sub>50</sub> of 8 nM, it displays >100-fold selectivity over JAK1, JAK2 and TYK2. TCS 21311 (NIBR3049) inhibits PKCα, PKCθ, and GSK3 $\beta$  with IC<sub>50</sub>s of 13, 68, and 3 nM, respectively.

Purity: ≥98.0%

Clinical Data: No Development Reported 10 mM × 1 mL, 1 mg, 5 mg, 10 mg Size

#### Ten01

Cat. No.: HY-139649

Ten01 has 5.0 nM activity against JAK1 kinase.



>98%

Clinical Data: No Development Reported

1 mg, 5 mg Size:

#### TG101209

Cat. No.: HY-10410

TG101209 is a selective JAK2 inhibitor with IC<sub>50</sub> of 6 nM, less potent to Flt3 and RET with IC<sub>50</sub> of 25 nM and 17 nM, appr 30-fold selective for JAK2 than JAK3, and sensitive to JAK2V617F and MPLW515L/K mutations.



99 72% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

#### Tofacitinib citrate

(Tasocitinib citrate; CP-690550 citrate) Cat. No.: HY-40354A

Tofacitinib citrate is an orally available JAK1/2/3 inhibitor with  $IC_{50}$ s of 1, 20, and 112 nM, respectively. Tofacitinib citrate has antibacterial, antifungal and antiviral activities



**Purity:** 99 98% Clinical Data: Launched

10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

#### Tofacitinib-13C3

(Tasocitinib-13C3; CP-690550-13C3) Cat. No.: HY-40354S

Tofacitinib-13C3 (Tasocitinib-13C3) is the 13C-labeled Tofacitinib. Tofacitinib is an orally available JAK3/2/1 inhibitor with IC<sub>50</sub>s of 1, 20, and 112 nM, respectively.



>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### TYK2-IN-11

Cat. No.: HY-144087

TYK2-IN-11 (Compound 5B) is a selective Tyk-2 inhibitor with IC<sub>50</sub>s of 0.016 and 0.31 nM for TYK2-JH2 and JAK1-JH2, respectively. TYK2-IN-11 can be used for the research of inflammatory or autoimmune disease.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



#### Tyk2-IN-3

Cat. No.: HY-18709

Tyk2-IN-3 is a Tyk2 pseudokinase inhibitor, with an IC<sub>50</sub> of 485 nM.



Purity: >98%

No Development Reported Clinical Data:

1 mg, 5 mg Size:

#### **Tofacitinib**

(Tasocitinib; CP-690550)

Tofacitinib is an orally available JAK3/2/1 inhibitor with IC<sub>so</sub>s of 1, 20, and 112 nM, respectively.



Cat. No.: HY-40354

99 99% Purity: Clinical Data: Launched

10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

#### Tofacitinib Prodrug-1

Cat. No.: HY-145829

Tofacitinib Prodrug-1 is an effective and oral active prodrug to mitigate the systemic adverse effects of Tofacitinib. Tofacitinib Prodrug-1 can effectively attenuate the oxazolone-induced colitis in mice model with low toxicity.



Purity: >98%

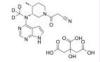
Clinical Data: No Development Reported

1 mg, 5 mg

#### Tofacitinib-d3 citrate

(Tasocitinib-d3 citrate; CP-690550-d3 citrate) Cat. No.: HY-40354AS

Tofacitinib-d3 (citrate) is deuterium labeled Tofacitinib (citrate). Tofacitinib citrate is an orally available JAK1/2/3 inhibitor with IC50s of 1, 20, and 112 nM, respectively. Tofacitinib citrate has antibacterial, antifungal and antiviral activities.



Purity: >98%

Clinical Data: No Development Reported

Size 1 mg, 5 mg

#### TyK2-IN-2

Cat. No.: HY-101762 TyK2-IN-2 (Compoud 18) is a potent and selective

TYK2 inhibitor with IC<sub>50</sub>s of 7 nM, 0.1  $\mu$ M and 0.05 μM for TYK2 JH2, IL-23 and IFNα, respectively. TyK2-IN-2 also inhibits phosphodiesterase 4 (PDE4) with an IC<sub>so</sub> of 62 nM.



Purity: 99.71%

Clinical Data: No Development Reported

10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

#### Tyk2-IN-5

Cat. No.: HY-111745

Tyk2-IN-5 (compound 6) is a highly potent, selective and orally active Tyk2 inhibitor and targets the JH2 domain, with a K<sub>i</sub> of 0.086 nM for Tyk2 JH2 and an  $IC_{50}$  of 25 nM for IFN $\alpha$ .



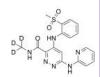
99.78%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### Tyk2-IN-7

Tyk2-IN-7 (Compound 48) is a TYK2 JH2 inhibitor, binds to TYK2 JH2 domain with  $\rm IC_{so}$  and  $\rm K_{Lapp}$  of 0.00053  $\mu$ M and 0.00007  $\mu$ M, respectively.



Cat. No.: HY-126242S

Purity: 99.66%

Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

# Tyk2-IN-8

Tyk2-IN-8 (Compound 3) is a selective **Tyk-2** inhibitor with an **IC**<sub>50</sub> of 5.7 nM for TYK2-JH2. Tyk2-IN-8 inhibits JAK1-JH1 with IC<sub>50</sub> of 3.0 nM. Tyk2-IN-8 can be used for the research of autoimmune disease.



Cat. No.: HY-144031S

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### Tyk2-IN-9

Tyk2-IN-9 (Compound 26) is a selective Tyk-2 inhibitor with  ${\rm IC}_{50}$ S of 0.076 and 1.8 nM for TYK2-JH2 and JAK1-JH2, respectively. Tyk2-IN-9 can be used for the research of inflammatory or autoimmune disease.



Cat. No.: HY-144032

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### Upadacitinib

(ABT-494) Cat. No.: HY-19569

Upadacitinib (ABT-494) is a potent, orally active and selective Janus kinase 1 (JAK1) inhibitor ( $IC_{50}$ =43 nM). Upadacitinib (ABT-494) displays approximately 74 fold selective for JAK1 over JAK2 (200 nM) in cellular assays dependent on specific, relevant cytokines.



Purity: 99.96% Clinical Data: Launched

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### WHI-P154

Cat. No.: HY-13895

WHI-P154 is a potent EGFR inhibitor, and also modestly blocks JAK3, with IC $_{s0}$ s of 4 nM and 1.8  $\mu$ M, respectively.



Purity: 99.39%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

#### WHI-P97

WHI-P97 is a potent and selective JAK-3 inhibitor. WHI-P97 is effective in preventing the development allergic asthma in vivo.



Cat. No.: HY-11067

**Purity:** 99.13%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

#### WP1066

Cat. No.: HY-15312

WP1066 is an inhibitor of JAK2 and STAT3, and also shows effect on STAT5 and ERK1/2, without affecting JAK1 and JAK3.



Purity: 99.90% Clinical Data: Phase 1

Size:  $10 \text{ mM} \times 1 \text{ mL}, 10 \text{ mg}, 50 \text{ mg}$ 

#### XL019

XL019 is a potent, orally active, and selective <code>JAK2</code> inhibitor, with  $\rm IC_{so}$ S of 2.2, 134.3, and 214.2 nM for <code>JAK2</code>, <code>JAK1</code> and <code>JAK3</code>,

respectively.

9,00,00

Cat. No.: HY-13775

Purity: ≥98.0% Clinical Data: Phase 1

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

#### ZM39923

Cat. No.: HY-12589A

ZM39923 is a JAK3 inhibitor, with a  $\rm pIC_{50}$  of 7.1; ZM39923 also potently inhibits tissue transglutaminase (TGM2) with an IC<sub>50</sub> of 10 nM.



**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### ZM39923 hydrochloride

Cat. No.: HY-12589

ZM39923 hydrochloride is a <code>JAK3</code> inhibitor, with a pIC $_{50}$  of 7.1; ZM39923 hydrochloride also potently inhibits tissue transglutaminase (TGM2) with an IC $_{50}$  of 10 nM.



**Purity:** 99.86%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

#### $\alpha 7$ nAchR-JAK2-STAT3 agonist 1

Cat. No.: HY-146066

 $\alpha 7$  nAchR-JAK2-STAT3 agonist 1 is a potent  $\alpha 7$  nAchR-JAK2-STAT3 agonist, with an IC  $_{50}$  value of 0.32  $\mu M$  for nitric oxide (NO).  $\alpha 7$  nAchR-JAK2-STAT3 agonist 1 effectively suppresses the expression of iNOS, IL-1 $\beta$ , and IL-6 in murine RAW264.7 macrophages.

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



# **MicroRNA**

#### **miRNA**

MicroRNAs (miRNAs) are a naturally occurring class of small (approximately 22 nucleotides long) non-coding RNAs that regulate post-transcriptional gene expression to control cellular processes, development, cell differentiation, and homeostasis. MicroRNAs are essential for embryo, cell, and tissue development, regulating cell differentiation, proliferation, and apoptosis, hence their importance in human reproduction. Meanwhile, abnormal expression or function of miRNAs are found to be closely associated with the occurrence or development of various human diseases, including cancers. In light of their significant roles in physiology and pathology, miRNAs are emerging as novel biomolecular targets for chemical-biological studies, including regulation and detection.

Multiple steps are involved in the generation of miRNAs. Most miRNAs are produced by the canonical biogenesis pathway, which involves transcription by RNA polymerase II to make a primary transcript (pri-miRNA) and cleavage by the microprocessor complex to yield a hairpin precursor miRNA (pre-miRNA) in the nucleus. The pre-miRNA is then exported into the cytoplasm, where cleavage by the enzyme Dicer creates a double-stranded RNA duplex. Only a single strand from the double-stranded RNA duplex forms the mature miRNA and is incorporated into the RNA-induced silencing complex (RISC), which guides the binding of Argonaute (AGO) proteins in the RISC to the 3'untranslated region (UTR) to either repress protein translation or promote mRNA degradation. In addition to canonical miRNA biogenesis pathways, non-canonical microprocessor-independent or Dicer-independent miRNA biogenesis pathways also exist. Despite miRNAs being mostly involved in the down-regulation of gene expression, there are reports of miRNAs promoting gene expression. In addition, relationships between miRNAs and their targets are not always one-to-one in a specific cell type. In fact, a single miRNA may regulate many mRNA targets, and conversely, a single mRNA target also can be regulated by many miRNAs.

## MicroRNA Inhibitors, Agonists, Antagonists, Activators & Modulators

#### Aurintricarboxylic acid

Aurintricarboxylic acid is a nanomolar-potency, allosteric antagonist with selectivity towards αβ-methylene-ATP-sensitive P2X1Rs and P2X3Rs, with IC<sub>so</sub>s of 8.6 nM and 72.9 nM for rP2X1R and rP2X3R, respectively.

Cat. No.: HY-122575

Purity: >98%

Clinical Data: No Development Reported Size:  $10 \text{ mM} \times 1 \text{ mL}, 100 \text{ mg}$ 

# Camptothecin

(Campathecin; (S)-(+)-Camptothecin; CPT)

Camptothecin (CPT), a kind of alkaloid, is a DNA topoisomerase I (Topo I) inhibitor with an IC, of

Cat. No.: HY-16560

Purity: 99 69% Clinical Data: Launched

Size: 10 mM × 1 mL, 100 mg, 500 mg

#### Camptothecin-d5

(Campathecin-d5; (S)-(+)-Camptothecin-d5; CPT-d5) Cat. No.: HY-16560S

Camptothecin-d5 (Campathecin-d5) is the deuterium labeled Camptothecin. Camptothecin (CPT), a kind of alkaloid, is a DNA topoisomerase I (Topo I) inhibitor with an IC<sub>50</sub> of 679 nM.

**Purity:** >98%

Clinical Data: No Development Reported

1 mg, 5 mg

#### CI-amidine

Cl-amidine is an orally active peptidylarginine deminase (PAD) inhibitor, with  $IC_{50}$  values of 0.8 μM, 6.2 μM and 5.9 μM for PAD1, PAD3, and PAD4, respectively. CI-amidine induces apoptosis in

cancer cells.

Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg



Cat. No.: HY-100574

Cl-amidine hydrochloride

Cat. No.: HY-100574A

Cl-amidine hydrochloride is an orally active peptidylarginine deminase (PAD) inhibitor, with  $IC_{so}$  values of 0.8  $\mu$ M, 6.2  $\mu$ M and 5.9  $\mu$ M for PAD1, PAD3, and PAD4, respectively. CI-amidine hydrochloride induces apoptosis in cancer cells.

99.10% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Cl-amidine TFA

CI-amidine TFA is an orally active peptidylarginine deminase (PAD) inhibitor, with IC<sub>50</sub> values of 0.8 μM, 6.2 μM and 5.9 μM for PAD1, PAD3, and PAD4, respectively. CI-amidine TFA induces apoptosis in

Cat. No.: HY-100574B

>98% Purity:

Clinical Data: No Development Reported

Size 1 mg, 5 mg

Enoxacin

(AT 2266; CI 919) Cat. No.: HY-B0268

Enoxacin (AT 2266), a fluoroquinolone, interferes with DNA replication and inhibits bacterial DNA gyrase (IC  $_{\mbox{\tiny s,n}} = 126~\mu\mbox{g/ml})$  and topoisomerase IV  $(IC_{50} = 26.5 \, \mu g/ml)$ .

98.67% Purity: Clinical Data: Launched Size: 1 mg, 5 mg

#### **Enoxacin hydrate**

(Enoxacin sesquihydrate; AT-2266 hydrate; CI-919 hydrate) Cat. No.: HY-B0268A

Enoxacin hydrate (Enoxacin sesquihydrate), a fluoroquinolone, interferes with DNA replication and inhibits bacterial DNA gyrase ( $IC_{50}$ =126 µg/ml) and topoisomerase IV ( $IC_{so} = 26.5 \mu g/ml$ ).



98.15% Purity: Clinical Data: Launched Size 100 mg, 500 mg

#### Enoxacin-d8

Cat. No.: HY-B0268S

Enoxacin-d8 (AT 2266-d8) is the deuterium labeled Enoxacin. Enoxacin (AT 2266), a fluoroquinolone, interferes with DNA replication and inhibits bacterial DNA gyrase ( $IC_{50}$ =126  $\mu g/ml$ ) and topoisomerase IV ( $IC_{50}=26.5 \mu g/ml$ ).

Purity: >98%

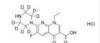
Clinical Data:

Size: 2.5 mg, 25 mg

#### Enoxacin-d8 hydrochloride

Cat. No.: HY-B0268S1

Enoxacin-d8 (hydrochloride) is deuterium labeled Enoxacin. Enoxacin (AT 2266), a fluoroquinolone, interferes with DNA replication and inhibits bacterial DNA gyrase (IC50=126 µg/ml) and topoisomerase IV (IC50=26.5 µg/ml).



>98%

Clinical Data: No Development Reported

1 mg, 5 mg

#### Gypenoside LI

Cat. No.: HY-N8207

Gypenoside LI, a gypenoside monomer, possesses anti-tumor activity. Gypenoside LI induces cell apoptosis, cell cycle and migration.



Cat. No.: HY-123905

98 29% Purity:

Clinical Data: No Development Reported

Size: 5 mg

LIN28 inhibitor LI71

LIN28 inhibitor LI71 is a potent and

98 10%

Clinical Data: No Development Reported

cell-permeable LIN28 inhibitor, which abolishes

LIN28-mediated oligouridylation with an IC<sub>50</sub> of 7

5 mg, 10 mg, 50 mg, 100 mg

Purity:

Size:

Lin28A-let-7a-1 interaction.

**Purity:** 99 62% Clinical Data: No Development Reported

Lin28-let-7a antagonist 1

nephropathy research.

Lin28-let-7a antagonist 1 shows a clear antagonistic effect against the Lin28-let-7a interaction with an  $IC_{50}$  of 4.03  $\mu M$  for

MIR96-IN-1

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

microRNA-21-IN-1

Purity:

Cat. No.: HY-146411

microRNA-21-IN-1 (compound 7A) is an efficient microRNA inhibitor. microRNA-21-IN-1 has antiproliferative activity against Hela and HCT-116 cells with  $IC_{50}$ s of 5.5  $\mu M$  and 2.8  $\mu M$ respectively, as well as promotes apoptosis of Hela cells.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

95.82% Purity:

breast cancer cells.

MTL-CEBPA

Purity:

Clinical Data: No Development Reported

MIR96-IN-1 targets the Drosha site in the

miR-96 (miRNA-96, microRNA-96) hairpin

precursor, inhibiting its biogenesis, derepressing

downstream targets, and triggering apoptosis in

Size 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

Miravirsen

(SPC-3649) Cat. No.: HY-132598

Miravirsen (SPC-3649), a  $\beta$ -d-oxy-locked nucleic acid-modified phosphorothioate antisense oligonucleotide, inhibit the biogenesis of miR-122. Miravirsen (SPC-3649) is used in the study for HCV infections.

Miravirsen

>98% Purity:

Clinical Data: No Development Reported

Size: 5 mg, 10 mg

#### PIN1 inhibitor API-1

Cat. No.: HY-116716

PIN1 inhibitor API-1 is a specific Pin1 (peptidyl-prolyl cis-trans isomerase NIMA-interacting 1) inhibitor (API-1) with an IC<sub>so</sub> of 72.3 nM.



Purity: 97.03%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### Pseudoprotodioscin

>98%

Clinical Data: No Development Reported

1 mg, 5 mg

Pseudoprotodioscin, a furostanoside, inhibits SREBP1/2 and microRNA 33a/b levels and reduces the gene expression regarding the synthesis of cholesterol and triglycerides.

98.76%

Clinical Data: No Development Reported 5 mg, 10 mg, 20 mg

Lademirsen

(SAR339375; RG-012) Cat. No.: HY-132599 Lademirsen (SAR339375; RG-012) is a highly

specific antisense oligonucleotide (ASO) targeting

miR-21. Lademirsen has the potential for Alport

Lademirsen

>98%

Clinical Data: No Development Reported

1 mg, 5 mg

Cat. No.: HY-100692



Cat. No.: HY-15843

Cat. No.: HY-132607

MTL-CEPBA is a small activating RNA targeting for upregulation of C/EBPα. MTL-CEPBA has anti-inflammatory and anti-cancer activity.

MTL-CEBPA

Cat. No.: HY-N0686

Remlarsen

(MRG-201) Cat. No.: HY-132602

Remlarsen (MRG-201), a miR-29b mimic, acts a miR-29b agonist. Remlarsen has the potential for preventiong formation of a fibrotic scar or cutaneous fibrosis.

#### Remlarsen

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

(MiR-544 Inhibitor 1)

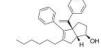
of the biogenesis of microRNA-544 (miR-544). Target: MiR-544 MiR-544 represses expression of mTOR, promoting tumor cell survival in a hypoxic

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

**RJW100** 

Cat. No.: HY-131445

RJW100 is a potent liver receptor homolog 1 (LRH-1, NR5A2) and steroidogenic factor-1 (SF-1, NR5A1) agonist with pEC<sub>so</sub>s of 6.6 and 7.5, respectively. RJW100 also causes strong activation of the miR-200c (miRNA-200c, microRNA-200c) promoter.



**Purity:** >98%

Clinical Data: No Development Reported

5 mg, 10 mg Size:

#### Targapremir-210

(TGP-210) Cat. No.: HY-15861

Targapremir-210 (TGP-210) is a potent and selective miR-210 (miRNA-210, microRNA-210) inhibitor. Targapremir-210 inhibits pre-miR-210 processing with high binding affinity (K<sub>d</sub>~200 nM).



Purity: 98.02%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### Targaprimir-96 TFA

Cat. No.: HY-135276A

Targaprimir-96 TFA is a potent inhibitor of microRNA-96 (miR-96) processing. Targaprimir-96 TFA selectively modulates miR-96 production in cancer cells and triggers apoptosis. Targaprimir-96 TFA binds primary miR-96 (pri-miR-96) with low nanomolar affinity.



Purity: >98%

Clinical Data: No Development Reported

Size 1 mg, 5 mg

#### **RG-101**

RG-101 is a hepatocyte targeted N-acetylgalactosamine conjugated oligonucleotide that antagonises miR-122. miR-122 is an important host factor for hepatitis C virus (HCV)

replication.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

SID 3712249

SID 3712249 (MiR-544 Inhibitor 1) is an inhibitor environment.

Cat. No.: HY-19731

Cat. No.: HY-132600

RG-101

Purity: 98.35%

Clinical Data: No Development Reported

#### Targaprimir-96

Targaprimir-96 is a potent inhibitor of microRNA-96 (miR-96) processing. Targaprimir-96 selectively modulates miR-96 production in cancer cells and triggers apoptosis. Targaprimir-96 binds primary miR-96 (pri-miR-96) with low nanomolar affinity.



Cat. No.: HY-135276

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



# **PARP**

### poly ADP ribose polymerase

PARP is a family of proteins involved in a number of cellular processes involving mainly DNA repair and programmed cell death. The PARP family comprises 17 members. They have all very different structures and functions in the cell. PARP1, PARP2, VPARP (PARP4), Tankyrase-1 and -2 (PARP-5a or TNKS, and PARP-5b or TNKS2) have a confirmed PARP activity. Others include PARP3, PARP6, TIPARP (or PARP7), PARP8, PARP9, PARP10, PARP11, PARP12, PARP14, PARP15, and PARP16. PARP is found in the cell's nucleus. The main role is to detect and signal single-strand DNA breaks (SSB) to the enzymatic machinery involved in the SSB repair.



# **PKC**

#### Protein kinase C

PKC (Protein kinase C) is a family of protein kinase enzymes that are involved in controlling the function of otherproteins through the phosphorylation of hydroxyl groups of serine and threonine amino acid residues on these proteins. PKC enzymes in turn are activated by signals such as increases in the concentration of diacylglycerol (DAG) or calcium ions ( $Ca^{2+}$ ). Hence PKC enzymes play important roles in several signal transduction cascades. The PKC family consists of 15 isozymes in humans: PKC- $\alpha$  (PRKCA), PKC- $\beta$ 1 (PRKCB), PKC- $\beta$ 2 (PRKCB), PKC- $\gamma$ 4 (PRKCB), PKC- $\beta$ 5 (PRKCD), PKC- $\delta$ 6 (PRKCD), PKC- $\delta$ 7 (PRKCB), PKC- $\delta$ 8 (PRKCB), PKC- $\delta$ 8 (PRKCB), PKC- $\delta$ 9 (PRKCC), PKC- $\delta$ 9 (PRKCC

## PKC Inhibitors, Agonists, Antagonists, Activators & Modulators

#### (-)-Indolactam V

(Indolactam V)

(-)-Indolactam V is a PKC activator, with Kis of 3.36 nM, 1.03 µM for n-CRD2 (PKCn surrogate peptide),  $\gamma\text{-CRD2}$  (PKC $\!\gamma$  surrogate peptide), and K<sub>s</sub> of 5.5 nM (η-C1B), 7.7 nM (ε-C1B), 8.3 nM  $(\delta$ -C1B), 18.9 nM ( $\beta$ -C1A-long), 20.8 nM (α-C1A-long), 137 nM (β-C1B), 138 nM (γ-C1A),...



Purity: 98.75%

Clinical Data: No Development Reported Size: 10 mM × 1 mL, 1 mg, 5 mg

Cat. No.: HY-12307

#### (±)-1,2-Diolein

(1,2-Dioleoyl-rac-glycerol) Cat. No.: HY-115767

(±)-1,2-Diolein (1,2-Dioleoyl-rac-glycerol) is a PKC activator. (±)-1,2-Diolein increases myotubes Ca2+ influx.



**Purity:** >98%

Clinical Data: No Development Reported

1 mg, 5 mg

#### 1,2-Dimyristoyl-sn-glycerol

Cat. No.: HY-128468

1,2-Dimyristoyl-sn-glycerol is a saturated diacylglycerol and a weak second messenger for the activation of PKC.

>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

## 1-Oleoyl-2-acetyl-sn-glycerol

>98%

Clinical Data: No Development Reported

1 mg, 5 mg

1-Oleoyl-2-acetyl-sn glycerol is a synthetic, cell permeable diacylgly

cerol analog. 1-Oleoyl-2-acetyl-sn glycerol activates calcium-dependent pro

tein kinase C (PKC) and

induces the superoxide-production.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### 1-Stearoyl-2-Arachidonoyl-d8-sn-Glycerol

Cat. No.: HY-131897S

1-Stearoyl-2-Arachidonoyl-d8-sn-Glycerol is the deuterium labeled

1-Stearoyl-2-arachidonoyl-sn-glycerol.

1-Stearoyl-2-arachidonoyl-sn-glycerol is a diacylglycerol (DAG) containing polyunsaturated

fatty acids.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### 1-Stearoyl-2-arachidonoyl-sn-glycerol

1-Stearoyl-2-arachidonoyl-sn-glycerol is a

diacylglycerol (DAG) containing polyunsaturated fatty acids. 1-Stearoyl-2-arachidonoyl-sn-glycerol

can activate PKC.

Purity: 96.10%

Clinical Data: No Development Reported

5 mg15.50 mM \* 500  $\mu$ L in Methyl acetate,

#### A-3 hydrochloride

Cat. No.: HY-125957

A-3 hydrochloride is a potent, cell-permeable, reversible, ATP-competitive non-selective antagonist of various kinases. It against PKA  $(K_i=4.3 \mu M)$ , casein kinase II  $(K_i=5.1 \mu M)$  and myosin light chain kinase (MLCK) ( $K_i$ =7.4  $\mu$ M).



99.67%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

#### Afuresertib (GSK2110183)

Afuresertib (GSK2110183) is an orally bioavailable, selective, ATP-competitive and

potent pan-Akt kinase inhibitor with K<sub>i</sub>s of 0.08/2/2.6 nM for Akt1/Akt2/Akt3, respectively.

99.54% Clinical Data: Phase 1

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Cat. No.: HY-12307S

#### 1,2-Didecanoylglycerol

(-)-Indolactam V-d8

(Indolactam V-d8)

Purity:

**Purity:** 

Cat. No.: HY-115769

1,2-Didecanoylglycerol, a synthetic diacylglycerol, is metabolized by platelets to 1,2-didecanoylphosphatidic acid (PA10) and activates protein kinase C (PKC).

(-)-Indolactam V-d8 (Indolactam V-d8) is the

deuterium labeled (-)-Indolactam V.

>98%

Clinical Data: No Development Reported

1 mg, 5 mg

Cat. No.: HY-131648

Cat. No.: HY-131897

Cat. No.: HY-15727

Email: sales@MedChemExpress.com Tel: 609-228-6898 Fax: 609-228-5909

#### Afuresertib hydrochloride

(GSK2110183 hydrochloride)

Afuresertib hydrochloride (GSK 2110183 hydrochloride) is an orally bioavailable. selective, ATP-competitive and potent pan-Akt kinase inhibitor with Ks of 0.08/2/2.6 nM for Akt1/Akt2/Akt3 respectively.

Cat. No.: HY-15727A

Purity: 98 02% Clinical Data: Phase 2

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

#### AS2521780

AS2521780 is a novel PKCθ selective inhibitor with an IC<sub>so</sub> of 0.48 nM.



Cat. No.: HY-12663

>98% **Purity:** 

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### Aurora A/PKC-IN-1

Cat. No.: HY-144307

Aurora A/PKC-IN-1 (Compound 2e) is a potent dual inhibitor of Aurora A (AurA) and PKC ( $\alpha$ ,  $\beta$ 1,  $\beta$ 2, and  $\theta$ ) kinases with IC<sub>50</sub>s of 6.9 nM and 16.9 nM for AurA and PKCα, respectively. Aurora A/PKC-IN-1 has antiproliferative activity in breast cancer cells and antimetastatic activity.

Purity:

Clinical Data: No Development Reported

1 mg, 5 mg

# Aurothiomalate sodium

Cat. No.: HY-106381

Aurothiomalate sodium is a potent and selective oncogenic PKC, signaling inhibitor. Aurothiomalate sodium inhibits tumor cell proliferation and not cell apoptosis. Aurothiomalate sodium is a potent thioredoxin reductase (TrxR) inhibitor.

Clinical Data: No Development Reported 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

x Na Au

#### Bisindolylmaleimide I

(GF109203X; Go 6850)

Cat. No.: HY-13867 Bisindolylmaleimide I (GF109203X) is a highly



Purity: 99.03%

Clinical Data: No Development Reported

selective, cell-permeable, and reversible protein

kinase C (PKC) inhibitor with a K, of 14 nM.

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## Bisindolylmaleimide II

Bisindolylmaleimide II is a general inhibitor of all PKC subtypes.



Cat. No.: HY-108604

>98% Purity:

Clinical Data: No Development Reported

Size 1 mg, 5 mg

#### Bisindolylmaleimide IV

(Arcyriarubin A) Cat. No.: HY-108254

Bisindolylmaleimide IV (Arcyriarubin A) is a potent protein kinase C (PKC) inhibitor, with  $IC_{so}$ s ranging from 0.1 to 0.55  $\mu$ M. Bisindolylmaleimide IV also inhibits PKA  $(IC_{50} = 3.1 - 11.8 \mu M).$ 



>98% Purity:

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg

#### Bisindolylmaleimide VIII acetate

(Ro 31-7549 acetate; Bis VIII acetate)

Bisindolylmaleimide VIII acetate (Ro 31-7549 acetate) is a potent and selective protein kinase C (PKC) inhibitor with an IC<sub>so</sub> of 158 nM for rat brain PKC.



Cat. No.: HY-129624A

99.70% Purity:

Clinical Data: No Development Reported

Size

#### Bisindolylmaleimide X hydrochloride

(BIM-X hydrochloride; Ro31-8425 hydrochloride) Cat. No.: HY-108136A

Bisindolylmaleimide X hydrochloride (BIM-X hydrochloride) is a potent and selective protein kinase C (PKC) inhibitor. Bisindolylmaleimide X hydrochloride is a potent cyclin-dependent kinase 2 (CDK2) antagonist with an IC<sub>50</sub> of 200 nM.



Purity: 99.35%

Clinical Data: No Development Reported  $10 \text{ mM} \times 1 \text{ mL}, 5 \text{ mg}, 10 \text{ mg}, 50 \text{ mg}$ Size:

#### Bisindolylmaleimide XI hydrochloride

(Ro 32-0432; Ro 31-8830 hydrochloride)

Bisindolylmaleimide XI hydrochloride (Ro 32-0432) is a potent, selective and orally active PKC inhibitor with IC<sub>so</sub>s of 9 nM, 28 nM, 31 nM, 37 nM, and 108 nM for  $\overset{\backsim}{PKC}\alpha,\,PKC\beta I,\,PKC\beta II,\,PKC\gamma,$  and PKCε, respectively.



Cat. No.: HY-117610A

>98% Purity:

Clinical Data: No Development Reported

#### Bisindolylmaleimide XI-d6 hydrochloride

(Ro 32-0432-d6; Ro 31-8830-d6 hydrochloride)

Bisindolylmaleimide XI-d6 hydrochloride (Ro 32-0432-d6) is the deuterium labeled Bisindolylmaleimide XI hydrochloride.

Cat. No.: HY-117610AS

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

BJE6-106 (B106) is a potent, selective 3rd generation PKCδ inhibitor with an IC<sub>50</sub> of 0.05 μM and targets selectivity over classical PKC isozyme PKC $\alpha$  (IC<sub>50</sub>=50  $\mu$ M). BJE6-106 (B106) induces caspase-dependent apoptosis. BJE6-106 (B106) possesses tumor-specific effect.

Purity: 98 17%

**Bryostatin 3** 

BJE6-106

(B106)

Clinical Data: No Development Reported

Bryostatin 3, a macrocyclic lactone, is a protein

12-O-tetradecanoylphorbol-13-acetate (TPA)

inhibition of cell proliferation, yet did not

kinase C activator, with a K<sub>i</sub> of 2.75 nM.

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg



Cat. No.: HY-117800

#### Bryostatin 1

Cat. No.: HY-105231

Bryostatin 1 is a natural macrolide isolated from the bryozoan Bugula neritina and is a potent and central nervous system (CNS)-permeable PKC modulator.



**Purity:** >99.0%

Clinical Data: No Development Reported

block TPA-enhanced cell-substratum adhesion.

Clinical Data: No Development Reported

1 mg, 5 mg

Bryostatin 3 can block



Cat. No.: HY-108602

C8-Ceramide

(N-Octanoyl-D-erythro-sphingosine) Cat. No.: HY-108391

C8-Ceramide (N-Octanoyl-D-erythro-sphingosine) is a cell-permeable analog of naturally occurring ceramides. C8-Ceramide has anti-proliferation properties and acts as a potent chemotherapeutic agent.

Purity: ≥98.0%

Clinical Data: No Development Reported

Size: 5 mg Calphostin C

(UCN-1028C) Cat. No.: HY-105416

Calphostin C is a potent and specific inhibitor of protein kinase C. Calphostin C is an antitumor antibiotic. Calphostin C has 1000 times more inhibitory to protein kinase C with an IC<sub>so</sub> of 0.05 µM than other protein kinases.



>98% Purity:

Clinical Data: No Development Reported

Size 1 mg, 5 mg

CC-90005

Cat. No.: HY-132304

CC-90005 is a potent, selective and orally active inhibitor of protein kinase  $C-\theta$  (PKC- $\theta$ ), with an  $IC_{50}$  of 8 nM. CC-90005 shows selectivity for PKC- $\theta$ over PKC- $\delta$  (IC<sub>so</sub>=4440 nM). CC-90005 can inhibit T cell activation by IL-2 expression.



99.98% Purity: Clinical Data: Phase 1

Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg Cercosporin

Cercosporin is produced by a plant pathogen, Cercosporakikuchii, and the elsinochromes, pigments of the elsinoe family of fungi.



Cat. No.: HY-N6743

>98% Purity:

Clinical Data: No Development Reported

Size: 5 mg, 10 mg, 25 mg

CGP60474

Cat. No.: HY-11009

CGP60474, a highly potent anti-endotoxemic agent, is a potent cyclin-dependent kinase (CDK) inhibitor (IC<sub>50</sub> values are 26, 3, 4, 216, 10, 200 and 13 nM for CDK1/B, CDK2/E, CDK2/A, CDK4/D, CDK5/p25, CDK7/H and CDK9/T, respectively).



98.70%

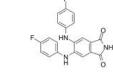
Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

CGP-53353

(DAPH-7) Cat. No.: HY-108600

CGP-53353 (DAPH-7) is an potent PKC inhibitor with IC<sub>so</sub>s of 0.41 mM and 3.8 mM for PKCβII and PKCβI, respectively. CGP-53353 can inhibit glucose-induced cell proliferation and DNA synthesis in AoSMC and A10 cells.



>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### Chelerythrine

Cat. No.: HY-N2359

Chelerythrine is a natural alkaloid, acts as a potent and selective Ca<sup>2+</sup>/phospholopid-dependent PKC antagonist, with an  $IC_{50}$  of 0.7  $\mu$ M. Chelerythrine has antitumor, antidiabetic and anti-inflammatory activity.



Purity: >98%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 20 mg

Chelerythrine chloride

CRT0066854 is a potent and selective atypical PKC isoenzymes inhibitor. CRT0066854 is against full-length (FL) PKCι, PKCζ, and ROCK-II kinases with  $\rm IC_{50}$  values of 132 nM, 639 nM, and 620 nM, respectively.

D-erythro-Sphingosine (Erythrosphingosine; erythro-C18-Sphingosine; trans-4-Sphingenine)

D-erythro-Sphingosine (Erythrosphingosine) is a

very potent activator of p32-kinase with an EC<sub>50</sub>

D-erythro-Sphingosine (Erythrosphingosine) is also

of 8 µM, and inhibits protein kinase C (PKC).

Chelerythrine chloride is a potent, cell-permeable

inhibitor of protein kinase C, with an IC., of 660 nM. Chelerythrine chloride inhibits the Bcl-XL-Bak

displaces Bax from Bcl-XL. Chelerythrine chloride

BH3 peptide binding with  $IC_{50}$  of 1.5  $\mu M$  and

induces apoptosis and autophagy.

98.56%

Clinical Data: No Development Reported

**Purity:** 99 59%

Clinical Data: No Development Reported

#### CRT0066854 Cat. No.: HY-103045

Purity:

Size:

# 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Cat. No.: HY-18713

Cat. No.: HY-12048



Cat. No.: HY-101047

CMPD101

CMPD101 is a potent, highly selective and membrane-permeable small-molecule inhibitor of GRK2/3 with  $IC_{50}$  of 18 nM and 5.4 nM, respectively.

Purity:

Clinical Data: No Development Reported  $10 \text{ mM} \times 1 \text{ mL}, 1 \text{ mg}$ 

#### CRT0066854 hydrochloride

Cat. No.: HY-18713A

CRT0066854 hydrochloride is a potent and selective atypical PKCs inhibitor. CRT0066854 is against full-length (FL) PKCι, PKCζ, and ROCK-II kinases with IC<sub>so</sub> values of 132 nM, 639 nM, and 620 nM, respectively.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

≥98.0% Purity:

a PP2A activator.

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 10 mg, 25 mg, 50 mg, 100 mg

# D-erythro-Sphingosine-d7 (Erythrosphingosine-d7;

erythro-C18-Sphingosine-d7; trans-4-Sphingenine-d7) Cat. No.: HY-101047S

D-erythro-Sphingosine-d7 (Erythrosphingosine-d7) is the deuterium labeled D-erythro-Sphingosine. D-erythro-Sphingosine (Erythrosphingosine) is a very potent activator of p32-kinase with an EC<sub>50</sub> of 8 µM, and inhibits protein kinase C (PKC).



>98% Purity:

Clinical Data: No Development Reported

Size: 500 μg

#### Daphnoretin

(Dephnoretin; Thymelol) Cat. No.: HY-N0699

Daphnoretin (Dephnoretin), isolated from Wikstroemia indica, possesses antiviral activity. Daphnoretin likes PMA, may direct activation of protein kinase C which in turn activated NADPH oxidase and elicited respiratory burst.



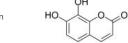
Purity: 99.83%

Clinical Data: No Development Reported  $10 \text{ mM} \times 1 \text{ mL}, 5 \text{ mg}, 10 \text{ mg}, 20 \text{ mg}$ Size:

#### Daphnetin

(7,8-Dihydroxycoumarin)

Daphnetin (7,8-dihydroxycoumarin), one coumarin derivative isolated from plants of the Genus Daphne, is a protein kinase inhibitor, with IC<sub>so</sub>s of 7.67  $\mu$ M, 9.33  $\mu$ M and 25.01  $\mu$ M for EGFR, PKA and PKC in vitro, respectively.



Cat. No.: HY-N0281

Purity: 99.21% Clinical Data: Launched

10 mM × 1 mL, 10 mg, 50 mg, 100 mg

#### Darovasertib

(LXS196; IDE196)

Darovasertib (LXS196) is a potent, selective and orally active protein kinase C (PKC) inhibitor, with IC<sub>so</sub> values of 1.9 nM, 0.4 nM and 3.1 μM for PKCα, PKCθ and GSK3β, respectively. Darovasertib has the potential for uveal melanoma research.



129

Cat. No.: HY-101569

99.68% Purity: Clinical Data: Phase 1

 $10 \text{ mM} \times 1 \text{ mL}$ , 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### DCP-LA

(FR236924) Cat. No.: HY-108599

DCP-LA (FR236924), a linoleic acid derivative, selectively and directly activates **PKC**ε.

~~AA~~la

**Purity:** ≥98.0%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

## DCPLA-ME

(DCPLA methyl ester) Cat. No.: HY-108599A

DCPLA-ME, the methyl ester form of DCPLA, is a potent PKC $\epsilon$  activator for use in the treatment of neurodegenerative diseases.



**Purity:** ≥98.0%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

#### Decursin

((+)-Decursin) Cat. No.: HY-18981

Decursin ((+)-Decursin) is a cytotoxic agent and a potent **protein kinase** C activator from the Root of Angelica gigas. Decursin inhibits tumor growth, migration, and invasion in gastric cancer by down-regulating CXCR7 expression.

Purity: 99.94%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

#### Decursinol angelate

Decursinol angelate, a cytotoxic and **protein kinase** C (PKC) activating agent from the root of Angelica gigas, possesses anti-tumor and anti-inflammatory

activities.

TITT

Cat. No.: HY-N4322

Purity: 99.54%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg

#### Delcasertib

(KAI-9803; BMS-875944) Cat. No.: HY-106262

Delcasertib (KAI-9803) is a potent and selective  $\delta$ -protein kinase C ( $\delta$ PKC) inhibitor. Delcasertib (KAI-9803) could ameliorate injury associated with ischemia and reperfusion in animal models of acute myocardial infarction (MI).

Sequence 1 Cya-Tur-Gy-Arp-Lya-Lya-Arp-Arp-Gir-Arp-Arp-Sequence 11 Ser-Pha-Non-Ser-Tyr-Stu-Law-Gly-Ser-Lew (Deutline bridge:Qis-,-Cya-)

Purity: 98.21% Clinical Data: Phase 2

Size: 5 mg, 10 mg, 25 mg, 50 mg

#### Delcasertib hydrochloride

(KAI-9803 hydrochloride; BMS-875944 hydrochloride) Cat. No.: HY-106262B

Delcasertib (KAI-9803) hydrochloride is a potent and selective  $\delta$ -protein kinase C ( $\delta$ PKC) inhibitor. Delcasertib (KAI-9803) hydrochloride could ameliorate injury associated with ischemia and reperfusion in animal models of acute myocardial infarction (MI).

Sequence 1 Dys-Tyr-Sty-Arg-Lys-Lys-Arg-Arg-Gri-Arg-Arg-Arg-Sequence 1 Star-Phon-Arm Star-Dys-Chu-Leu-Cily-Ser-Leu-Chu-Shan bridge Dys-Chu-Li (HC) saft)

Purity: 98.11% Clinical Data: Phase 2 Size: 5 mg, 10 mg

#### Desmethylglycitein

(4',6,7-Trihydroxyisoflavone) Cat. No.: HY-N5072

Desmethylglycitein (4',6,7-Trihydroxyisoflavone), a metabolite of daidzein, sourced from Glycine max with antioxidant, and anti-cancer activities.

Purity: ≥95.0%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### Enzastaurin

(LY317615) Cat. No.: HY-10342

Enzastaurin (LY317615) is a potent and selective **PKC\beta** inhibitor with an **IC**<sub>so</sub> of 6 nM, showing 6-to 20-fold selectivity over PKC $\alpha$ , PKC $\gamma$  and PKC $\epsilon$ .



Purity: 99.92% Clinical Data: Phase 3

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

#### Epsilon-V1-2

(ε-V1-2; EAVSLKPT) Cat. No.: HY-P0154

Epsilon-V1-2 ( $\epsilon$ -V1-2), a PKC $\epsilon$ -derived peptide, is a selective **PKC** $\epsilon$  inhibitor. Epsilon-V1-2 inhibits the translocation of PKC $\epsilon$ , but not  $\alpha$ -,  $\beta$ -, and  $\delta$ PKC.



**Purity:** 98.18%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg

#### Fasudil

(HA-1077; AT877)

Fasudil (HA-1077; AT877), is a nonspecific RhoA/ROCK inhibitor and also has inhibitory effect on protein kinases, with an  $\rm K_1$  of 0.33  $\rm \, \mu M$  for ROCK1, IC $_{\rm s}$ 0 of 0.158  $\rm \, \mu M$  and 4.58  $\rm \, \mu M$ , 1.2.30  $\rm \, \mu M$ , 1.650  $\rm \, \mu M$  for ROCK2 and PKA, PKC, PKG, respectively.

Purity: >98%
Clinical Data: Launched
Size: 1 mg, 5 mg



Cat. No.: HY-10341A

#### Fasudil Hydrochloride

(HA-1077 Hydrochloride; AT-877 Hydrochloride)

Fasudil Hydrochloride (HA-1077 Hydrochloride; AT877 Hydrochloride), is a nonspecific <code>RhoA/ROCK</code> inhibitor and also has inhibitory effect on protein kinases, with an  $\rm K_i$  of 0.33  $\mu$ M for ROCK1,  $\rm IC_{50}$ S of 0.158  $\mu$ M and 4.58  $\mu$ M, 12.30  $\mu$ M, 1.650  $\mu$ M for ROCK2 and PKA, PKC, PKG, respectively.

Purity: 99.91% Clinical Data: Launched

Size: 10 mM × 1 mL, 200 mg, 500 mg



Cat. No.: HY-10341

#### Go 6983

(Gö 6983; Goe 6983)

Go 6983 is a pan-PKC inhibitor against for PKC $\alpha$ , PKC $\beta$ , PKC $\gamma$ , PKC $\beta$  and PKC $\zeta$  with IC $_{so}$  of 7 nM, 7 nM, 6 nM, 10 nM and 60 nM, respectively.



Cat. No.: HY-13689

**Purity:** 98.01%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

#### Go6976

Cat. No.: HY-10183

Go6976 is a Protein Kinase C (**PKC**) inhibitor, with an  $IC_{so}$  of 20 nM.

Purity: 99.34%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### HA-100

Cat. No.: HY-100984

HA-100 is a potent protein kinase inhibitor, with IC $_{50}$ s of 4  $\mu$ M, 8  $\mu$ M, 12  $\mu$ M and 240  $\mu$ M for cGMP-dependent protein kinase (PKG), cAMP-dependent protein kinase (PKA), protein kinase C (PKC) and MLC-kinase, respectively. HA-100 also used as a ROCK inhibitor.

**Purity:** 99.77%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### HA-100 hydrochloride

Cat. No.: HY-100984A

HA-100 hydrochloride is a potent **protein kinase** inhibitor, with  $\text{IC}_{59}$ s of 4  $\mu$ M, 8  $\mu$ M, 12  $\mu$ M and 240  $\mu$ M for cGMP-dependent protein kinase (PKG), cAMP-dependent protein kinase (PKA), protein kinase (PKC) and MLC-kinase, respectively.

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

HBDDE

HBDDE, a derivative of Ellagic acid, is an isoform-selective PKC $\alpha$  and PKC $\gamma$  inhibitor with IC $_{so}$ S of 43  $\mu$ M and 50  $\mu$ M, respectively. HBDDE shows selective for PKC $\alpha$ /PKC $\gamma$  over PKC $\delta$ , PKC $\beta$ I and PKC $\beta$ II isozymes. HBDDE induces neuronal

apoptosis.

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



Cat. No.: HY-131305

#### Hispidin

Cat. No.: HY-100618

H-CI

Hispidin, a **PKC** inhibitor and a phenolic compound from Phellinus linteus, has been shown to possess strong anti-oxidant, anti-cancer, anti-diabetic, and anti-dementia properties.

Purity: 99.57%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

Hu7691

Hu7691 is an orally active, selective Akt inhibitor with  $IC_{50}$ s of 4.0 nM, 97.5 nM, 28 nM for Akt1, Akt2 and Akt3, respectively. Hu7691 inhibits tumor growth and enables decrease of cutaneous toxicity in mice.

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# HN NH H-C

Cat. No.: HY-132302

#### Hu7691 free base

Cat. No.: HY-132302A

Hu7691 free base is an orally active, selective Akt inhibitor with  $\rm IC_{sg}$ s of 4.0 nM, 97.5 nM, 28 nM for Akt1, Akt2 and Akt3, respectively. Hu7691 free base inhibits tumor growth and enables decrease of cutaneous toxicity in mice.

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

Hypocrellin A

Hypocrellin A, a naturally occurring PKC inhibitor, has many biological and pharmacological properties, such as antitumour, antiviral, antibacterial, and antileishmanial activities. Hypocrellin A is a promising photosensitizer for anticancer photodynamic therapy (PDT).

**Purity:** 99.55%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg



Cat. No.: HY-N2575

#### Ingenol

((-)-Ingenol) Cat. No.: HY-N0865

Ingenol is a PKC activator, with a  $K_{i}$  of 30  $\mu$ M, with antitumor activity.



Purity: 98.17% Clinical Data: Launched

Ingenol Mebutate

(Ingenol 3-angelate; PEP005)

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

#### Ingenol 3,20-dibenzoate

Ingenol 3,20-dibenzoate is a potent **protein kinase C** (**PKC**) isoform-selective agonist.



Cat. No.: HY-137295

Purity: 99.31%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg

#### 12e. 5 mg

Ionomycin

# Cat. No.: HY-B0719

Ingenol Mebutate is an active ingredient in Euphorbia peplus, acts as a potent PKC modulator, with  $K_s$  of 0.3, 0.105, 0.162, 0.376, and 0.171 nM for PKC- $\alpha$ , PKC- $\beta$ , PKC- $\gamma$ , PKC- $\beta$ , and PKC- $\epsilon$ , respectively, and has antiinflammatory and antitumor activity.



Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

Ionomycin (SQ23377) is a potent, selective calcium ionophore and an antibiotic produced by Streptomyces conglobatus. Ionomycin (SQ23377) is highly specific for divalent cations

(Ca>Mg>Sr=Ba). Ionomycin (SQ23377) promotes

apoptosis.

(SQ23377)

**Purity:** ≥99.0%

Clinical Data: No Development Reported
Size: 10 mg (14.1 mM \* 1 mL in Ethanol)



Cat. No.: HY-13434

#### Ionomycin calcium

(SQ23377 calcium) Cat. No.: HY-13434A

Ionomycin calcium (SQ23377 calcium) is a potent, selective **calcium ionophore** and an antibiotic produced by Streptomyces conglobatus. Ionomycin calcium (SQ23377 calcium) is highly specific for divalent cations (Ca>Mg>Sr=Ba). Ionomycin (SQ23377) promotes **apoptosis**.

**Purity:** 98.0%

Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg K-252a

(SF2370; Antibiotic K 252a; Antibiotic SF 2370)

K-252a, a staurosporine analog, inhibits **protein kinase**, with  $IC_{50}$  values of 470 nM, 140 nM, 270 nM, and 1.7 nM for PKC, PKA,

Ca<sup>2+</sup>/calmodulin-dependent kinase type II, and phosphorylase kinase, respectively.

**Purity:** 99.45%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg



Cat. No.: HY-N6732

#### K-252b

Cat. No.: HY-N6734

K-252b, an indolocarbazole isolated from the actinomycete Nocardiopsis, is a **PKC** inhibitor. K-252b can be used to inhibit extracellular kinases of cells in culture because it can't pass through cell membrane freely.

**Purity:** > 98%

Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg, 25 mg

HO OH

#### K-252c

K-252c, a staurosporine analog isolated from Nocardiopsis sp., is a cell-permeable PKC inhibitor, with a IC $_{s0}$  of 2.45  $\mu$ M. K-252c induces apoptosis in human chronic myelogenous leukemia cancer cells. K-252c also inhibits  $\beta$ -lactamase, chymotrypsin, and malate dehydrogenase.

**Purity:** ≥99.0%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



Cat. No.: HY-N6736

#### Kobophenol A

Cat. No.: HY-126419

Kobophenol A, an oligomeric stilbene, blocks the interaction between the ACE2 receptor and S1-RBD with an IC $_{50}$  of 1.81  $\mu$ M and inhibits SARS-CoV-2 viral infection in cells with an EC $_{50}$  of 71.6  $\mu$ M.

**Purity:** ≥99.0%

Clinical Data: No Development Reported

Size: 5 mg



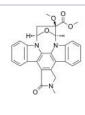
#### KT5823

KT5823, a selective the cGMP-dependent protein kinase (PKG) inhibitor with an  $K_i$  value of 0.23  $\mu$ M, it also inhibits PKA and PKC with  $K_i$  values of 10  $\mu$ M and 4  $\mu$ M, respectively.

Purity: 99.68%

Clinical Data: No Development Reported

Size: 100 μg



Cat. No.: HY-N6791

#### Leucosceptoside A

Cat. No.: HY-N8018

Leucosceptoside A is a phenylethanoid glycoside with anti-hyperglycemic and anti-hypertensive activities. Leucosceptoside A shows inhibitory activity against  $\alpha\text{-glucosidase}$  and PKC $\alpha$  (IC $_{50}$  of 19.0  $\mu\text{M}$ ).

**Purity:** > 98%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg

#### Malantide

Malantide is a synthetic dodecapeptide derived from the site phosphorylated by **cAMP-dependent protein kinase** (**PKA**) on the  $\beta$ -subunit of phosphorylase kinase.

RTKRSGSVYEPLKI

Cat. No.: HY-P1597

**Purity:** 98.56%

Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg

#### 5 mg, 10 mg, 25 mg

#### Malantide TFA

Cat. No.: HY-P1597A

Malantide TFA is a synthetic dodecapeptide derived from the site phosphorylated by **cAMP-dependent protein kinase (PKA)** on the  $\beta$ -subunit of phosphorylase kinase.

RTKRSGSVYEPLKI (TFA salt)

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### Mezerein

Mezerein is a PKC activator that exhibits antileukemic properties. Mezerein inhibits the growth of yeast expressing PKC alpha ( $IC_{50}$ =1190 nM), PKC beta1 ( $IC_{50}$ =908 nM), and PKC delta ( $IC_{50}$ =141 nM) but not of yeast expressing PKC.



Cat. No.: HY-N7466

**Purity:** >98%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg

#### Midostaurin

(PKC412; CGP 41251) Cat. No.: HY-10230

Midostaurin (PKC412; CGP 41251) is an orally active, reversible multi-targeted protein kinase inhibitor. Midostaurin inhibits PKC $\alpha$ / $\beta$ / $\gamma$ , Syk, Flk-1, Akt, PKA, c-Kit, c-Fgr, c-Src, FLT3, PDFR $\beta$  and VEGFR1/2 with IC<sub>50</sub>S ranging from 22-500 nM.



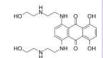
Purity: 99.89% Clinical Data: Launched

Size:  $10 \text{ mM} \times 1 \text{ mL}, 1 \text{ mg}, 5 \text{ mg}, 10 \text{ mg}, 50 \text{ mg}, 100 \text{ mg}$ 

#### Mitoxantrone

(mitozantrone) Cat. No.: HY-13502

Mitoxantrone is a **topoisomerase II** inhibitor; also inhibits protein kinase C (PKC) activity with an  $IC_{sn}$  of 8.5  $\mu$ M.



Purity: 98.28% Clinical Data: Launched

Size: 10 mM × 1 mL, 50 mg, 100 mg

#### Mitoxantrone dihydrochloride

(mitozantrone dihydrochloride) Cat. No.: HY-13502A

Mitoxantrone dihydrochloride is a **topoisomerase** II inhibitor; also inhibits protein kinase C (**PKC**) activity with an IC $_{sn}$  of 8.5  $\mu$ M.

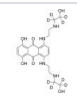


Purity: 99.55%
Clinical Data: Launched

Size: 10 mM × 1 mL, 50 mg, 100 mg

#### Mitoxantrone-d8

Mitoxantrone-d8 (mitozantrone-d8) is the deuterium labeled Mitoxantrone. Mitoxantrone is a **topoisomerase II** inhibitor and also inhibits protein kinase C (PKC) activity with an  $IC_{50}$  of 8.5  $\mu$ M.



Cat. No.: HY-13502S

**Purity:** >98%

Clinical Data:

Size: 1 mg, 10 mg

#### Myelin Basic Protein

(MHP4-14) Cat. No.: HY-P1821

Myelin Basic Protein (MHP4-14), a synthetic peptide comprising residues 4-14 of myelin basic protein, is a very selective PKC substrate ( $K_m$ =7  $\mu$ M).

QKRPSQRSKYL

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### Myelin Basic Protein TFA

(MHP4-14 TFA) Cat. No.: HY-P1821A

Myelin Basic Protein (MHP4-14) TFA, a synthetic peptide comprising residues 4-14 of myelin basic protein, is a very selective PKC substrate ( $K_m$ =7  $\mu$ M).

QKRPSQRSKYL (TFA salt)

**Purity:** 95.02%

Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

#### N-Desmethyltamoxifen

Cat. No.: HY-129099

N-Desmethyltamoxifen is the major metabolite of tamoxifen in humans, N-Desmethyltamoxifen, a poor antiestrogen, is a ten-fold more potent protein kinase C (PKC) inhibitor than Tamoxifen.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

## N-Desmethyltamoxifen hydrochloride

N-Desmethyltamoxifen hydrochloride is the major metabolite of tamoxifen in humans. N-Desmethyltamoxifen, a poor antiestrogen, is a ten-fold more potent protein kinase C (PKC) inhibitor than Tamoxifen.



Cat. No.: HY-129099A

99 62% Purity:

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### O-Desmethyl Midostaurin

(CGP62221; O-Desmethyl PKC412) Cat. No.: HY-129491

O-Desmethyl Midostaurin (CGP62221; O-Desmethyl PKC412) is the active metabolite of Midostaurin (HY-10230) via cytochrome P450 liver enzyme metabolism. O-Desmethyl Midostaurin can be used as an indicator for Midostaurin metabolism in vivo.



Purity: 95 48%

Clinical Data: No Development Reported

#### p32 Inhibitor M36

(M36)Cat. No.: HY-124718

p32 inhibitor M36 (M36) is a p32 mitochondrial protein inhibitor, which binds directly to p32 and inhibits p32 association with LyP-1.

بهارين المراب

(Myr)-KRMKVAKNAQ (TFA sait)

**Purity:** >98.0%

Clinical Data: No Development Reported

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### Pep2m, myristoylated

(Myr-Pep2m) Cat. No.: HY-P1399

Pep2m, myristoylated (Myr-Pep2m) is a cell-permeable peptide. Pep2m, myristoylated can disrupt the protein kinase  $\zeta$  (PKM $\zeta$ ) downstream targets, N-ethylmaleimide-sensitive

factor/glutamate receptor subunit 2 (NSF/GluR2)

interactions.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

### Pep2m, myristoylated TFA

(Myr-Pep2m TFA) Cat. No.: HY-P1399A

Pep2m, myristoylated TFA (Myr-Pep2m TFA) is a cell-permeable peptide. Pep2m, myristoylated TFA can disrupt the protein kinase  $\zeta$  (PKM $\zeta$ ) downstream

targets, N-ethylmaleimide-sensitive

factor/glutamate receptor subunit 2 (NSF/GluR2)

interactions.

**Purity:** 99.77%

Clinical Data: No Development Reported

Size 5 mg

#### PF-03622905

Cat. No.: HY-139466

{Myr}-KRMKVAKNAQ

PF-03622905 is a potent and ATP-competitive PKC inhibitor with IC<sub>50</sub>s of 5.6 nM, 14.5 nM, 13 nM, 37.7 nM, and 74.1 nM for PKCα, PKCβI, PKCβII, PKCy, and PKCθ, respectively. PF-03622905 shows high specificity for PKC over other protein kinases.



>98% Purity:

Clinical Data: No Development Reported

Size 1 mg, 5 mg

#### PF-04577806

PF-04577806 is a potent, selective and ATP competitive PKC inhibitor. PF-04577806 shows potent inhibitory activity towards PKCα, PKCβI, PKCβII, PKCγ, and PKCθ with IC<sub>so</sub>s of 2.4 nM, 8.1 nM, 6.9 nM, 45.9 nM, and 29.5 nM, respectively.



Cat. No.: HY-139467

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### PF-4950834

Cat. No.: HY-122011

PF-4950834 is a potent, selective, orally bioavailable, ATP-competitive rho kinase inhibitor with IC<sub>so</sub> values of 8.35 nM and 33.12 nM against ROCK2 and ROCK1, respectively. PF-4950834 inhibits neutrophil migration.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### Phorbol 12,13-dibutyrate

(Phorbol dibutyrate; PDBu)

Phorbol 12,13-dibutyrate (Phorbol dibutyrate) is a PKC activator and a potent skin tumor promoter.



Cat. No.: HY-18985

98.28%

Clinical Data: No Development Reported 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

#### Phorbol 12-myristate 13-acetate

(PMA; TPA; Phorbol myristate acetate)

induces differentiation in THP-1 cells.

Phorbol 12-myristate 13-acetate (PMA), a phorbol ester, is a dual **SphK** and **protein kinase C (PKC)** activator. Phorbol 12-myristate 13-acetate is a NF-κB activator. Phorbol 12-myristate 13-acetate



Cat. No.: HY-18739

Purity: 99.66%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg

#### PKC β pseudosubstrate TFA

Cat. No.: HY-P1286A

PKC  $\beta$  pseudosubstrate TFA is a selective cell-permeable inhibitor of  $\mbox{PKC}.$ 

Sequence 1:CRQIKIWFQNRRMKWK/ Sequence 1:CRFARKGALRQKN/ (Disuffide bridge:Cys1-Cys1) (TFA sal

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# Size: PKC-IN-1

Purity:

Cat. No.: HY-16903

Purity: 99.94%

PKC β pseudosubstrate

PKC β pseudosubstrate is a selective

>98%

Clinical Data: No Development Reported

1 mg, 5 mg

cell-permeable inhibitor of PKC.

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

#### PKC-iota inhibitor 1

Cat. No.: HY-126146

PKC-iota inhibitor 1 (compound 19) is a protein kinase C-iota (PKC- $\iota$  ) inhibitor with an  $IC_{s0}$  value of 0.34  $\mu M.$ 



**Purity:** 98.73%

Clinical Data: No Development Reported

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 50 mg, 100 mg

#### **PKC-theta inhibitor**

Cat. No.: HY-112681

Cat. No.: HY-P1286

PKC-theta inhibitor is a selective PKC- $\theta$ inhibitor, with an IC<sub>50</sub> of 12 nM.



**Purity:** 99.75%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### PKC-theta inhibitor 1

Cat. No.: HY-126328

PKC-theta inhibitor 1 is the PKC $\theta$  inhibitor with an  $K_{_{1}}$  value of 6 nM, inhibits IL-2 production in vivo with an IC $_{50}$  of 0.19  $\mu$ M. PKC-theta inhibitor 1 demonstrates a reduction of symptoms in a mouse model of multiple sclerosis.



**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### PKCiota-IN-2

PKCiota-IN-2 is a potent PKCiota (PKC- $\iota$ ) inhibitor with an IC $_{s0}$  of 2.8 nM. PKCiota-IN-2 also inhibits PKC- $\alpha$  and PKC- $\epsilon$  with IC $_{s0}$ s of 71 nM and 350 nM, respectively.

respective

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# HN-N-P-N

Cat. No.: HY-122858

#### PKCβ inhibitor 1

Cat. No.: HY-13335

PKC $\beta$  inhibitor 1 is a potent, ATP-competitive, and selective PKC $\beta$  inhibitor with IC<sub>50</sub>s of 21 and 5 nM for human PKC $\beta$ 1 and PKC $\beta$ 2, respectively. PKC $\beta$  inhibitor 1 exhibits selectivity of more than 60-fold in favor of PKC $\beta$ 2 relative to other PKC isozymes (PKC $\alpha$ 4, PKC $\alpha$ 5, and PKC $\alpha$ 6).



Purity: 98.21%

Clinical Data: No Development Reported Size: No Development Reported 500  $\mu$ g, 1 mg, 5 mg, 10 mg

## Procyanidin A1

(Proanthocyanidin A1)

Procyanidin A1 (Proanthocyanidin A1) is a procyanidin dimer, which inhibits degranulation downstream of protein kinase C activation or Ca<sup>2+</sup> influx from an internal store in RBL-213 cells. Procyanidin A1 has antiallergic effects.



Cat. No.: HY-N2344

Purity: 99.19%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

#### Protein Kinase C (19-31)

(PKC (19-31)) Cat. No.: HY-P1746

Protein Kinase C (19-31), a peptide inhibitor of protein kinase C (PKC), derived from the pseudo-substrate regulatory domain of PKCa (residues 19-31) with a serine at position 25 replacing the wild-type alanine, is used as protein kinase C substrate peptide for testing...

RFARKGALRQKNV

Purity: >98%

an  $IC_{50}$  of 0.18  $\mu M$ .

Clinical Data: No Development Reported

Protein Kinase C (19-36) is a pseudosubstrate

99 44%

Clinical Data: No Development Reported

1 mg, 5 mg

peptide inhibitor of protein kinase C (PKC), with

Size: 1 mg, 5 mg

Protein Kinase C (19-36)

Cat. No.: HY-P1401

RFARKGALROKNVHEVKN

#### Protein kinase inhibitor H-7

>98%

Protein Kinase C (19-31) (TFA)

Protein Kinase C (19-31) TFA, a peptide inhibitor

of protein kinase C (PKC), derived from the

(residues 19-31) with a serine at position 25

replacing the wild-type alanine, is used as

Clinical Data: No Development Reported

1 mg, 5 mg

pseudo-substrate regulatory domain of PKCa

protein kinase C substrate peptide for testing...

(PKC (19-31) (TFA))

of protein kinase C (PKC) and cyclic nucleotide dependent protein kinase, with a K<sub>i</sub> of 6 µM for

>98%

1 mg, 5 mg

Protein kinase inhibitor H-7 is a potent inhibitor

PKC.

**Purity:** 

Size:

**Purity:** 

Clinical Data: No Development Reported

## PS315

Purity:

Size:

PS315, a derivative of PS48 (HY-15967), is an allosteric PKC inhibitor by binding to the PIF-pocket of aPKC and inducing a displacement of the active site residue Lys111. PS315 inhibits the full-length and catalytic domain constructs of  $PKC_z$  ( $IC_{50}$ =10  $\mu$ M) and  $PKC_n$  ( $IC_{50}$ =30  $\mu$ M).

>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

## Cat. No.: HY-124308

#### (Galactosylsphingosine)

**Psychosine** 

Psychosine (Galactosylsphingosine), a substrate of the galactocerebrosidase (GALC) enzyme, is a potential biomarker for Krabbe disease.

Cat. No.: HY-136490

Cat. No.: HY-P1746A

RFARKGALROKNV (TFA salt)

Cat. No.: HY-131900

>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### R 59-022

#### (DKGI-I; Diacylglycerol kinase inhibitor I) Cat. No.: HY-107613

R 59-022 (DKGI-I) is a diacylglycerol kinase inhibitor ( $IC_{50}$ =2.8  $\mu$ M). R 59-022 is a **5-HTR** antagonist, and activates protein kinase C (PKC).



≥98.0% Purity:

Clinical Data: No Development Reported  $10 \text{ mM} \times 1 \text{ mL}, 5 \text{ mg}, 10 \text{ mg}$ Size:

#### R 59-022-d5

#### (DKGI-I-d5; Diacylglycerol kinase inhibitor I-d5)

R 59-022-d5 (DKGI-I-d5) is the deuterium labeled R 59-022. R 59-022 (DKGI-I) is a diacylglycerol kinase inhibitor ( $IC_{50}$ =2.8  $\mu$ M). R 59-022 is a **5-HTR** antagonist, and activates protein kinase C (PKC).



Cat. No.: HY-107613S

Purity: >98%

Clinical Data: No Development Reported

Size 1 mg, 5 mg

#### R59949

#### Cat. No.: HY-108355

R59949 is a pan diacylglycerol kinase (DGK) inhibitor with an IC<sub>50</sub> of 300 nM. R59949 strongly inhibits the activity of type I DGK  $\alpha$  and  $\gamma$  and moderately attenuates the activity of type II DGK  $\theta$  and  $\kappa$ .



Purity: 97.01%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### Ro 31-8220

## (Bisindolylmaleimide IX)

Ro 31-8220 is a potent PKC inhibitor, with IC<sub>so</sub>s of 5, 24, 14, 27, 24 and 23 nM for PKCα, PKCβI, PKCβII, PKCy, PKCε and rat brain PKC, respectively.



Cat. No.: HY-13866A

>98%

Clinical Data: No Development Reported

1 mg, 5 mg

#### Ro 31-8220 mesylate (Ro 31-8220 methanesulfonate;

Bisindolylmaleimide IX mesylate)

Cat. No.: HY-13866

Ro 31-8220 mesylate is a potent **PKC** inhibitor, with  $IC_{so}$ s of 5, 24, 14, 27, 24 and 23 nM for PKC $\alpha$ , PKC $\beta$ I, PKC $\beta$ II, PKC $\gamma$ , PKC $\epsilon$  and rat brain PKC, respectively.

NH ONH

Purity: 99.28%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

Ro 32-0432 hydrochloride

Ro 32-0432 hydrochloride is a potent, selective, ATP-competitive and orally active PKC inhibitor. The IC $_{50}$  values of Ro 32-0432 hydrochloride for PKC $\alpha$ , PKC $\beta$ I, PKC $\beta$ II, PKC $\gamma$  and PKC $\epsilon$  are 9.3 nM, 28 nM, 30 nM, 36.5 nM and 108.3 nM, respectively.

N H-GI

Cat. No.: HY-108601A

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg

#### Rottlerin

(Mallotoxin; NSC 56346; NSC 94525) Cat. No.: HY-18980

Rottlerin, a natural product purified from Mallotus Philippinensis, is a specific PKC inhibitor, with  $IC_{s0}$  values for PKC $\delta$  of 3-6  $\mu$ M, PKC $\alpha$ , $\beta$ , $\gamma$  of 30-42  $\mu$ M, PKC $\epsilon$ , $\eta$ , $\zeta$  of 80-100  $\mu$ M.

HO OH OH

Purity: 98.09%

Clinical Data: No Development Reported

Size: 10 mg, 25 mg

#### Roy-Bz

Roy-Bz is a selecive **PKCδ** activator. Roy-Bz potently inhibits the proliferation of colon cancer cells by inducing a PKCδ-dependent mitochondrial apoptotic pathway involving

caspase-3 activation.

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



Cat. No.: HY-111364

#### Ruboxistaurin

(LY333531) Cat. No.: HY-10195

Ruboxistaurin (LY333531) is an orally active, selective PKC beta inhibitor ( $K_1$ =2 nM). Ruboxistaurin exhibits ATP dependent competitive inhibition of PKC beta I with an IC $_{50}$  of 4.7 nM. Ruboxistaurin inhibits PKC beta II with an IC $_{50}$  of 5.9 nM.



Purity: 98.03% Clinical Data: Phase 3

**Size**: 5 mg, 10 mg, 25 mg

### Ruboxistaurin hydrochloride

(LY333531 hydrochloride)

Ruboxistaurin (LY333531) hydrochloride is an orally active, selective **PKC beta** inhibitor ( $\mathbf{K}_1$ =2 nM). Ruboxistaurin hydrochloride exhibits ATP dependent competitive inhibition of PKC beta I with an  $\mathbf{IC}_{sn}$  of 4.7 nM.

Purity: 99.84% Clinical Data: Launched Size: 5 mg

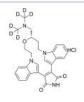


Cat. No.: HY-10195B

#### Ruboxistaurin-d6 hydrochloride

Cat. No.: HY-10195BS

Ruboxistaurin-d6 (LY333531-d6) hydrochloride is the deuterium labeled Ruboxistaurin hydrochloride. Ruboxistaurin (LY333531) hydrochloride is an orally active, selective **PKC beta** inhibitor (**K**<sub>i</sub>=2 nM).



Cat. No.: HY-118384

**Purity:** > 98%

Clinical Data:

Size: 1 mg, 5 mg, 10 mg

## Safingol

(L-threo-dihydrosphingosine)

Safingol is a lyso-sphingolipid PKC (protein kinase C ) inhibitor.

HO THE

Cat. No.: HY-112384

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### SB-218078

SB-218078 is a potent, selective, ATP-competitive and cell-permeable **checkpoint kinase 1 (Chk1)** 

and cell-permeable checkpoint kinase 1 (Chk1) inhibitor that inhibits Chk1 phosphorylation of cdc25C with an  $\rm IC_{50}$  of 15 nM. SB-218078 is less potently inhibits Cdc2 ( $\rm IC_{50}$  of 250 nM) and PKC ( $\rm IC_{50}$  of 1000 nM).

**Purity:** ≥98.0%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

Cat. No.: HY-107407

## Sangivamycin

(NSC 65346; BA-90912)

Sangivamycin (NSC 65346), a nucleoside analog, is a potent inhibitor of **protein kinase C (PKC)** with an **K**, of 10  $\mu$ M. Sangivamycin has potent antiproliferative activity against a variety of human cancers.

**Purity:** 97.06%

Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

SC-9

(NCM 119) Cat. No.: HY-100934

SC-9 is a PKC activator in the presence of Ca<sup>2+</sup>.

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

Sotrastaurin

(AEB071) Cat. No.: HY-10343

Sotrastaurin (AEB071) is a potent and orally-active pan-PKC inhibitor, with  $K_1s$  of 0.22 nM, 0.64 nM, 0.95 nM, 1.8 nM, 2.1 nM and 3.2 nM for PKC $\theta$ , PKC $\beta$ , PKC $\alpha$ , PKC $\gamma$ , PKC $\delta$  and PKC $\epsilon$ , respectively.

Purity: 99.89% Clinical Data: Phase 2

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg



#### Sphingosine (d14:1)

(Tetradecasphing-4-enine) Cat. No.: HY-118442

Sphingosine (d14:1) (Tetradecasphing-4-enine), a sphingolipid, is a potent Protein kinase C (PKC) inhibitor. Sphingosine (d14:1) prevents its interaction with sn-1,2-diacylglycerol (DAG)/Phorbol esters.

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### Spisulosine

(ES-285) Cat. No.: HY-13626

Spisulosine (ES-285) is an antiproliferative (antitumoral) compound of marine origin. Spisulosine inhibits the growth of the prostate PC-3 and LNCaP cells through intracellular ceramide accumulation and PKCζ activation.



**Purity:** ≥98.0%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### Staurosporine

(Antibiotic AM-2282; STS; AM-2282) Cat. No.: HY-15141

Staurosporine is a potent, ATP-competitive and non-selective inhibitor of protein kinases with  $IC_{50}$ S of 6 nM, 15 nM, 2 nM, and 3 nM for PKC, PKA, c-Fgr, and Phosphorylase kinase respectively. Staurosporine also inhibits TAOK2 with an  $IC_{50}$  of 3  $\mu$ M. Staurosporine is an apoptosis inducer.



Purity: 99.98%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg

#### TAS-301

TAS-301 is an inhibitor of smooth muscle cell

migration and proliferation, and inhibits PKC activation induced by PDGF.



Cat. No.: HY-18965

**Purity:** 99.50%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### TCS 21311

(NIBR3049) Cat. No.: HY-108264

TCS 21311 (NIBR3049) is a potent, highly selective JAK3 inhibitor with an IC $_{50}$  of 8 nM, it displays >100-fold selectivity over JAK1, JAK2 and TYK2. TCS 21311 (NIBR3049) inhibits PKC $\alpha$ , PKC $\theta$ , and GSK3 $\beta$  with IC $_{50}$ s of 13, 68, and 3 nM, respectively.



**Purity:** ≥98.0%

## Teleocidin A1

(Lyngbyatoxin A) Cat. No.: HY-118834

Teleocidin A1 (Lyngbyatoxin A), a highly toxic skin irritant, is a potent activator of protein kinase C (PKC). Teleocidin A1 shows antiproliferative activity against HeLa cancer cells (IC $_{50}$ =9.2 nM).



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### TPPB

Cat. No.: HY-12359

TPPB is a cell-permeable benzolactam-derived protein kinase C (PKC) activator with a  $K_i$  of 11.9 nM.



Purity: 99.81%

Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg

#### UCN-02

(7-epi-Hydroxystaurosporine)

UCN-02 (7-epi-Hydroxystaurosporine) is a selective **protein kinase C (PKC)** inhibitor produced by Streptomyces strain N-12, with  $IC_{so}$ S of 62 nM and 250 nM for PKC and protein kinase A (PKA), respectively.



Cat. No.: HY-108262

**Purity:** ≥98.0%

Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg

#### Valrubicin

(AD-32) Cat. No.: HY-13772

Valrubicin is a chemotherapy agent, inhibits TPAand PDBu-induced **PKC** activation with  $IC_{50}$ s of 0.85 and 1.25  $\mu$ M, respectively, and has antitumor and antiinflammatory activity.



Purity: 99.60% Clinical Data: Launched

Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

#### Verbascoside

(Acteoside; Kusaginin; TJC160)

Verbascoside is isolated from Lantana camara, acts as an ATP-competitive inhibitor of PKC, with an  $\rm IC_{50}$  of 25  $\mu$ M, and has antitumor, anti-inflammatory and antineuropathic pain activity.



Cat. No.: HY-N0021

**Purity:** 99.83%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

#### Vibsanin A

Cat. No.: HY-N10393

Vibsanin A, a protein kinase C (PKC) activator, exhibits anti-proliferative activity against human cancer cell lines. Vibsanin A is also a HSP90 inhibitor.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### VTX-27

Cat. No.: HY-112782

VTX-27 is a selective protein kinase C  $\theta$  (PKC  $\theta$ ) inhibitor, with K,s of 0.08 nM and 16 nM for PKC  $\theta$  and PKC  $\delta$ 

H N

Purity: 99.64%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### ZIP

Cat. No.: HY-P1284

ZIP is a selective peptide inhibitor of **PKMζ**. ZIP injections can block the impairment in morphine conditioned place preference induced.

(Myr-Ser)-IYRRGARRWRKL

Purity: 99.62%

Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg

#### ZIP TFA

Cat. No.: HY-P1284A

ZIP TFA is a selective peptide inhibitor of **PKMζ**. ZIP TFA injections can block the impairment in morphine conditioned place preference induced.

CE INDUCED. (Myr-Ser)-IYRRGARRWRKL (TFA sail)

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### [Ala107]MBP(104-118)

Cat. No.: HY-P1289A

[Ala107]MBP(104-118) is an noncompetitive peptide inhibitors of **protein kinase C (PKC)**, with  $IC_{50}s$  ranging from 46-145  $\mu$ M.

GKGAGLSLSRFSWGA

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### [Ala107]MBP(104-118) TFA

Cat. No.: HY-P1289B

[Ala107]MBP(104-118) TFA is an noncompetitive peptide inhibitors of **protein kinase C (PKC)**, with

 $IC_{50}$ s ranging from 46-145  $\mu M$ .

GKGAGLSLSRFSWGA (TFA sait)

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### [Ala113]MBP(104-118)

Cat. No.: HY-P1289

[Ala113]MBP(104-118) is an noncompetitive peptide inhibitors of **protein kinase C (PKC)**, with  $IC_{s0}s$  ranging from 28-62  $\mu$ M.

GKGRGLSLSAFSWGA

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### [Ala113]MBP(104-118) TFA

Cat. No.: HY-P1289C

[Ala113]MBP(104-118) TFA is an noncompetitive peptide inhibitors of protein kinase C (PKC), with

 $IC_{50}$ s ranging from 28-62  $\mu$ M.

GKGRGLSLSAFSWGA (TFA salt)

**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### ζ-Stat

(NSC37044) Cat. No.: HY-123979

 $\zeta\text{-Stat}$  (NSC37044) is a specific and atypical PKC- $\zeta$  inhibitor, with an  $IC_{s0}$  of 5  $\mu\text{M}$ .  $\zeta\text{-Stat}$  can reduce melanoma cell lines proliferation and induce apoptosis, and has antitumor activity in vitro.

**Purity:** ≥95.0%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

#### ζ-Stat trisodium

(NSC37044 trisodium)

 $\zeta\text{-Stat}$  trisodium (NSC37044 trisodium) is a specific and atypical PKC- $\zeta$  inhibitor, with an IC  $_{50}$  of 5  $\mu\text{M}$ .  $\zeta\text{-Stat}$  trisodium can reduce melanoma cell lines proliferation and induce apoptosis, and has antitumor activity in vitro.



Cat. No.: HY-123979A

**Purity:** ≥97.0%

Clinical Data: No Development Reported Size: 5 mg, 10 mg, 50 mg



# **Protein Arginine Deiminase**

### Peptidylarginine Deiminase

Protein arginine deiminase (PAD), is a group of calcium-dependent enzymes, which play crucial roles in citrullination, and can catalyze arginine residues into citrulline. his chemical reaction induces citrullinated proteins formation with altered structure and function, leading to numerous pathological diseases, including inflammation and autoimmune diseases. These pathologies established the PADs as therapeutic targets and multiple PAD inhibitors are known.

Humans encode five PADs, designated PADs 1-4 and PAD6. Of the five PAD isozymes (PAD1, 2, 3, 4 and 6), only four (PADs1-4) are catalytically active. PAD activity is tightly regulated by Ca<sup>2+</sup> and PADs contain 4 (PAD1), 5 (PAD3, 4) or 6 (PAD2) Ca<sup>2+</sup>-binding sites. Dysregulated PAD activity, most notably PAD2 and PAD4, is associated with multiple inflammatory diseases (e.g., rheumatoid arthritis) as well as cancer, and PAD inhibitors, such as Cl-amidine and BB-Cl-amidine, show efficacy in multiple preclinical animal models of disease.

## **Protein Arginine Deiminase Inhibitors & Activators**

#### Acefylline

(Theophyllineacetic acid; Theophylline-7-acetic acid)

Acefylline (Theophyllineacetic acid), a xanthine derivative, is an adenosine receptor antagonist. Acefylline is a peptidylarginine deiminase (PAD) activator. Acefylline is also a bronchodilator, which inhibits rat lung cAMP phosphodiesterase isoenzymes.

Purity: 99.89% Clinical Data: Launched

Size: 10 mM × 1 mL, 100 mg



Cat. No.: HY-B1505

#### **BB-CI-Amidine**

Cat. No.: HY-111347

BB-Cl-Amidine is a peptidylarginine deminase (PAD) inhibitor.



**Purity:** >98%

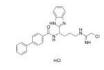
Clinical Data: No Development Reported

Size: 1 mg, 5 mg

## BB-CI-Amidine hydrochloride

Cat. No.: HY-111347A

BB-Cl-Amidine hydrochloride is a peptidylarginine deminase (PAD) inhibitor.



Purity: 99.78%

Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mq, 10 mq, 25 mq

#### BMS-P5

Cat. No.: HY-137655

BMS-P5 is a specific and orally active peptidylarginine deiminase 4 (PAD4) inhibitor. BMS-P5 blocks MM-induced NET formation and delays progression of MM in a syngeneic mouse model.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### BMS-P5 free base

Cat. No.: HY-137655A

BMS-P5 free base is a specific and orally active peptidylarginine deiminase 4 (PAD4) inhibitor. BMS-P5 free base blocks MM-induced NET formation and delays progression of MM in a syngeneic mouse model.

**Purity:** 99.96%

Clinical Data: No Development Reported

Size:  $10 \text{ mM} \times 1 \text{ mL}$ , 5 mg, 10 mg, 25 mg, 50 mg

#### Cl-amidine

Cat. No.: HY-100574

Cl-amidine is an orally active peptidylarginine deminase (PAD) inhibitor, with IC $_{50}$  values of 0.8  $\mu$ M, 6.2  $\mu$ M and 5.9  $\mu$ M for PAD1, PAD3, and PAD4, respectively. Cl-amidine induces apoptosis in cancer cells.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### CI-amidine hydrochloride

Cat. No.: HY-100574A

Cl-amidine hydrochloride is an orally active peptidylarginine deminase (PAD) inhibitor, with IC $_{50}$  values of 0.8  $\mu$ M, 6.2  $\mu$ M and 5.9  $\mu$ M for PAD1, PAD3, and PAD4, respectively. Cl-amidine hydrochloride induces apoptosis in cancer cells.

**Purity:** 99.10%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### CI-amidine TFA

Cat. No.: HY-100574B

Cl-amidine TFA is an orally active <code>peptidylarginine</code> <code>deminase</code> (PAD) inhibitor, with IC $_{50}$  values of 0.8  $\mu$ M, 6.2  $\mu$ M and 5.9  $\mu$ M for PAD1, PAD3, and PAD4, respectively. Cl-amidine TFA induces apoptosis in cancer cells.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

# D-Cl-amidine hydrochloride

Cat. No.: HY-100574D

D-Cl-amidine hydrochloride is a potent and highly selective **PAD1** inhibitor. D-Cl-amidine is well-torelated with no significant toxicity.



Ourity: 99.40%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### **D-Cl-amidine**

Cat. No.: HY-100574C

D-Cl-amidine is a potent and highly selective PAD1 inhibitor. D-Cl-amidine is well-torelated with no significant toxicity.

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

142 Tel: 609-228-6898 Fax: 609-228-5909 Er

Email: sales@MedChemExpress.com

#### **GSK106**

Cat. No.: HY-120343

GSK106 is an inactive control for the selective PAD4 inhibitors, GSK484 and GSK199.

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### **GSK121**

Cat. No.: HY-117777

GSK-121 Trifluoroacetates a selective PAD4

inhibitor.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### GSK199

Cat. No.: HY-103058

GSK199 is a reversible and selective PAD4 inhibitor with an  $\rm IC_{s0}$  of 200 nM in the absence of calcium.

**Purity:** > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### GSK484 hydrochloride

Cat. No.: HY-100514

GSK484 hydrochloride is a selective and reversible peptidylarginine deiminase 4 (PAD4) inhibitor. GSK484 hydrochloride demonstrates high affinity binding to PAD4 with  $\rm IC_{50}$  of 50 nM in the absence of Calcium. In the presence of 2 mM Calcium, notably lower potency (250 nM) is observed.

HO CONTROL

**Purity:** 98.76%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### PAD2-IN-1

Cat. No.: HY-136557

PAD2-IN-1, a benzimidazole-based derivative, is a potent and selective **protein arginine deiminase 2** (PAD2) inhibitor. PAD2-IN-1 shows superior selectivity for PAD2 over PAD4 (95-fold) and PAD3 (79-fold).



**Purity:** >98%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

#### PAD2-IN-2

Cat. No.: HY-125099

PAD2-IN-2 is a potent PAD2 inhibitor. PAD2-IN-2 enters the HEK293T/PAD2 cells with an EC $_{\rm 50}$  of 5.9  $\mu$ M. PAD2-IN-2 inhibits histone H3 citrullination with an EC $_{\rm 50}$  of 2.1  $\mu$ M in HEK293/PAD2 cells. PAD2-IN-2 can be used for the research of cancer.



**Purity:** >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

#### Streptonigrin

(Bruneomycin) Cat. No.: HY-124586

Streptonigrin (Bruneomycin), a natural product produced by Streptomyces flocculus, possesses both anti-tumor and anti-bacterial activity.

**Purity**: ≥98.0%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg



# **Sirtuin**

Sirtuin (Sir2 proteins) are a class of proteins that possess either mono-ADP-ribosyltransferase, or deacylase activity, including deacetylase, desuccinylase, demalonylase, demyristoylase and depalmitoylase activity. Sirtuins regulate important biological pathways in bacteria, archaeaand eukaryotes. Sirtuins have been implicated in influencing a wide range of cellular processes like aging, transcription, apoptosis, inflammation and stress resistance, as well as energy efficiency and alertness during low-calorie situations. Sirtuins can also control circadian clocks and mitochondrial biogenesis.