MEK

Mitogen-activated protein kinase kinase; MAPKK; MAP2K

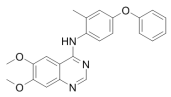
MEK (Mitogen-activated protein kinase kinase, MAPKK) is a kinase enzyme which phosphorylates mitogen-activated protein kinase (MAPK). The activators of p38 (MKK3 and MKK6), JNK (MKK4 and MKK7), and ERK (MEK1 and MEK2) define independent MAP kinase signal transduction pathways. The acronym MEK derives from Mitogen/Extracellular signal-regulated Kinase. MEK is a member of the MAPK signaling cascade that is activated in melanoma. When MEK is inhibited, cell proliferation is blocked and apoptosis (controlled cell death) is induced.

MEK Inhibitors & Antagonists

APS-2-79

Cat. No.: HY-100627

APS-2-79 behaves as a kinase suppressor of Ras (**KSR**)-dependent antagonist of RAF-mediated **MEK** phosphorylation. APS-2-79 binds directly to **KSR2** within the KSR2-MEK1 complex with an **IC $_{50}$** of 120±23 nM for KSR2.

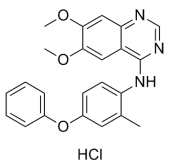


Purity: 99.31%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

APS-2-79 hydrochloride

Cat. No.: HY-100627A

APS-2-79 hydrochloride behaves as a kinase suppressor of Ras (**KSR**)-dependent antagonist of RAF-mediated **MEK** phosphorylation. APS-2-79 binds directly to **KSR2** within the KSR2-MEK1 complex with an **IC $_{50}$** of 120±23 nM for KSR2.



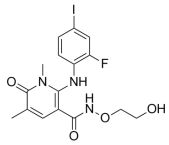
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

AZD8330

(ARRY-424704; ARRY-704)

Cat. No.: HY-12058

AZD8330 (ARRY-424704) is a potent, uncompetitive **MEK1/MEK2** inhibitor, with an **IC_{50}** of 7 nM.



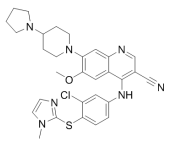
Purity: 98.75%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Balamapimod

(MKI 833)

Cat. No.: HY-14947

Balamapimod (MKI 833) is a reversible **Ras/Raf/MEK** inhibitor with potential anti-tumor activity.

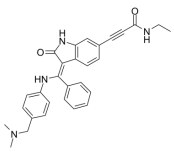


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

BI-847325

Cat. No.: HY-18955

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.



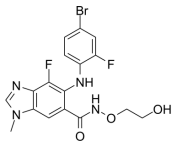
Purity: 99.14%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Binimetinib

(MEK162; ARRY-162; ARRY-438162)

Cat. No.: HY-15202

Binimetinib (MEK162) is an oral and selective **MEK1/2** inhibitor. Binimetinib (MEK162) inhibits **MEK** with an **IC_{50}** of 12 nM.

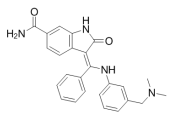


Purity: 99.55%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg

BIX02188

Cat. No.: HY-12055

BIX02188 is a potent **MEK5**-selective inhibitor with an **IC_{50}** of 4.3 nM. BIX02188 inhibits **ERK5** catalytic activity, with an **IC_{50}** of 810 nM.

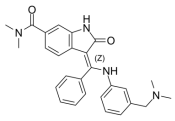


Purity: 99.49%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

BIX02189

Cat. No.: HY-12056

BIX02189 is a potent and selective **MEK5** inhibitor with an **IC_{50}** of 1.5 nM. BIX02189 also inhibits **ERK5** catalytic activity with an **IC_{50}** of 59 nM.

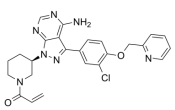


Purity: 99.99%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

CHMFL-EGFR-202

Cat. No.: HY-101522

CHMFL-EGFR-202 is a potent, irreversible inhibitor of **epidermal growth factor receptor (EGFR) mutant kinase**, with **IC_{50}**s of 5.3 nM and 8.3 nM for drug-resistant mutant EGFR T790M and WT EGFR kinases, respectively.



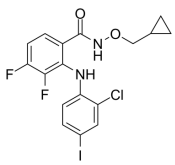
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

CI-1040

(PD 184352)

Cat. No.: HY-50295

CI-1040 (PD 184352) is an orally active, highly specific, small-molecule inhibitor of **MEK** with an **IC_{50}** of 17 nM for MEK1.

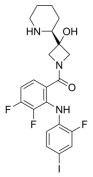


Purity: 99.79%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg, 200 mg

Cobimetinib

(GDC-0973; XL518) Cat. No.: HY-13064

Cobimetinib (GDC-0973, RG7420) is a potent, selective and oral **MEK1** inhibitor with an IC_{50} of 4.2 nM for **MEK1**.

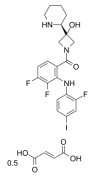


Purity: 99.70%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Cobimetinib hemifumarate

(GDC-0973 hemifumarate; XL-518 hemifumarate) Cat. No.: HY-13064A

Cobimetinib hemifumarate is a novel selective **MEK1** inhibitor, and the IC_{50} value against MEK1 is 4.2 nM.

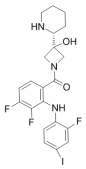


Purity: 99.27%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Cobimetinib R-enantiomer

(GDC-0973 R-enantiomer; XL-518 R-enantiomer) Cat. No.: HY-13079

Cobimetinib R-enantiomer is the less active R-enantiomer of Cobimetinib. Cobimetinib is a potent and selective MEK inhibitor.

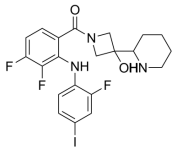


Purity: >98%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg

Cobimetinib racemate

(GDC-0973 racemate; XL518 racemate) Cat. No.: HY-13078

Cobimetinib racemate (GDC-0973 racemate; XL518 racemate) is the racemate of Cobimetinib. Cobimetinib is a potent and selective MEK inhibitor.

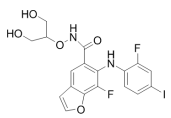


Purity: 99.09%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

EBI-1051

Cat. No.: HY-111368

EBI-1051 is a highly potent and orally efficacious **MEK** inhibitor with an IC_{50} of 3.9 nM.

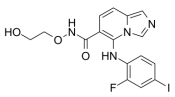


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GDC-0623

(RG 7421; MEK inhibitor 1) Cat. No.: HY-15610

GDC-0623 (RG 7421) is a potent, ATP-uncompetitive inhibitor of **MEK1** (K_i=0.13 nM, +ATP), and displays 6-fold weaker potency against HCT116 (KRAS (G13D), EC_{50}=42 nM) versus A375 ($BRAF^{V600E}$, EC_{50}=7 nM).

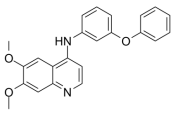


Purity: 99.15%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

GW284543

(UNC10225170) Cat. No.: HY-114189

GW284543 (UNC10225170) is a selective **MEK5** inhibitor. GW284543 (UNC10225170) reduces pERK5, and decreases endogenous MYC protein.

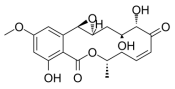


Purity: 99.86%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Hypothemycin

Cat. No.: HY-107417

Hypothemycin, a fungal polyketide, is a multikinase inhibitor with K_is of 10/70 nM, 17/38 nM, 90 nM, 900 nM/1.5 μM, and 8.4/2.4 μM for **VEGFR2/VEGFR1**, **MEK1/MEK2**, **FLT-3**, **PDGFRβ/PDGFRα**, and **ERK1/ERK2**, respectively.

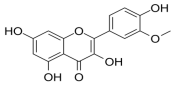


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Isorhamnetin

(3'-Methylquercetin) Cat. No.: HY-N0776

Isorhamnetin is a flavonoid compound extracted from the Chinese herb Hippophae rhamnoides L.. Isorhamnetin suppresses skin cancer through direct inhibition of **MEK1** and **PI3K**.

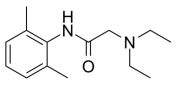


Purity: 99.95%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

Lidocaine

(Lignocaine) Cat. No.: HY-B0185

Lidocaine (Lignocaine) inhibits **sodium channels** involving complex voltage and using dependence.

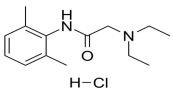


Purity: 99.89%
Clinical Data: Launched
Size: 10 mM × 1 mL, 500 mg, 5 g, 10 g

Lidocaine hydrochloride

(Lignocaine hydrochloride) Cat. No.: HY-B0185A

Lidocaine hydrochloride (Lignocaine hydrochloride) inhibits **sodium channels** involving complex voltage and using dependence.

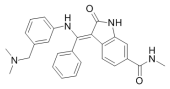


Purity: 99.95%
Clinical Data: Launched
Size: 10 mM × 1 mL, 500 mg, 5 g, 10 g

MEK inhibitor

Cat. No.: HY-12202

MEK inhibitor is a potent **MEK** inhibitor with antitumor potency.

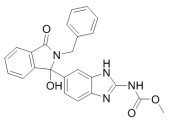


Purity: 98.68%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

MEK-IN-1

Cat. No.: HY-U00312

MEK-IN-1 is a **MEK** inhibitor extracted from patent WO2008076415A1.

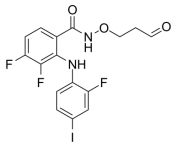


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

MEK1/2-IN-1

Cat. No.: HY-130848

MEK1/2-IN-1 (compound 16), a dual **MEK1** and **MEK2** inhibitor, is the ligand for the **PROTAC** product MS432 (HY-13060) and MS432N.

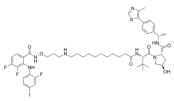


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

MS432

Cat. No.: HY-130602

MS432 is a first-in-class and highly selective PD0325901-based VHL-recruiting **PROTAC** degrader for **MEK1** and **MEK2**. MS432 displays good plasma exposure in mice, exhibiting DC_{50} values of 31 nM and 17 nM for MEK1, MEK2 in HT29 cells respectively.

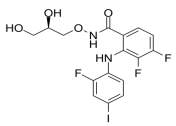


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

PD0325901

(PD325901) Cat. No.: HY-10254

PD0325901 (PD325901) is an orally active, selective and non-ATP-competitive **MEK** inhibitor with an IC_{50} of 0.33 nM. PD0325901 exhibits a K_i^{app} of 1 nM against activated MEK1 and MEK2. PD0325901 suppresses the expression of p-ERK1/2 and induces **apoptosis**.

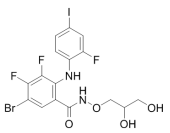


Purity: 99.95%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

PD318088

Cat. No.: HY-12062

PD318088 is an allosteric **MEK** inhibitor.

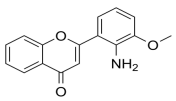


Purity: 99.53%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

PD98059

Cat. No.: HY-12028

PD98059 is a potent and selective **MEK** inhibitor with an IC_{50} of 5 μM. PD98059 binds to the inactive form of **MEK**, thereby preventing the activation of **MEK1** (IC_{50} of 2-7 μM) and **MEK2** (IC_{50} of 50 μM) by upstream kinases.

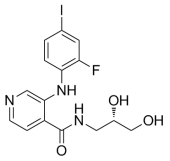


Purity: 99.84%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

Pimasertib

(AS703026; MSC1936369B) Cat. No.: HY-12042

Pimasertib (AS703026) is a highly selective, potent, ATP non-competitive allosteric inhibitor of **MEK1/2**, used for cancer treatment.

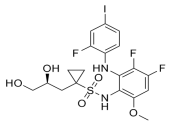


Purity: 99.95%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Refametinib

(BAY 869766; RDEA119) Cat. No.: HY-14691

Refametinib (BAY 869766; RDEA119) is an orally available, potent, non-ATP-competitive, selective, allosteric **MEK1/MEK2** inhibitor with IC_{50}s of 19 nM and 47 nM, respectively.

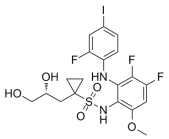


Purity: 99.82%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Refametinib R enantiomer

(BAY 869766 R enantiomer; RDEA119 R enantiomer) Cat. No.: HY-10216

Refametinib R enantiomer is a **MEK** inhibitor extracted from patent WO2007014011A2, compound 1022, has an EC_{50} of 2.0-15 nM.

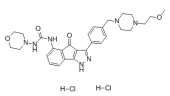


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg

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_{50}s of 1, 2, 3, 4, 5 and 5 nM, respectively; also inhibits GSK-3β, TAK1, Jak2 and MEK1, with IC_{50}s of 3, 5, 50, and 54 nM.

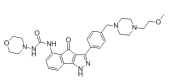


Purity: 98.72%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

RGB-286638 free base

Cat. No.: HY-15504A

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_{50}s of 1, 2, 3, 4, 5 and 5 nM, respectively; also inhibits GSK-3β, TAK1, Jak2 and MEK1, with IC_{50}s of 3, 5, 50, and 54 nM.

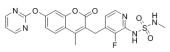


Purity: 98.07%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Ro 5126766

(CH5126766) Cat. No.: HY-18652

Ro 5126766 is a first-in-class dual **MEK/RAF** inhibitor that allosterically inhibits **$BRAF^{V600E}$**, **CRAF**, **MEK**, and **BRAF** (IC_{50}: 8.2, 56, 160 nM, and 190 nM, respectively).

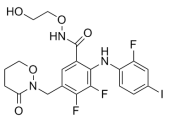


Purity: 97.92%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

RO4987655

(CH4987655) Cat. No.: HY-14719

RO4987655 is an orally active and highly selective **MEK** inhibitor with an IC_{50} of 5.2 nM for inhibition of **MEK1/MEK2**.

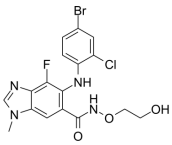


Purity: 99.17%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg

Selumetinib

(AZD6244; ARRY-142886) Cat. No.: HY-50706

Selumetinib (AZD6244) is selective, non-ATP-competitive oral **MEK1/2** inhibitor, with an IC_{50} of 14 nM for MEK1. Selumetinib (AZD6244) inhibits ERK1/2 phosphorylation.

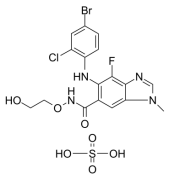


Purity: 99.87%
Clinical Data: Launched
Size: 10 mM × 1 mL, 50 mg, 100 mg, 200 mg, 500 mg, 1 g

Selumetinib sulfate

(AZD6244 sulfate; ARRY-142886 sulfate) Cat. No.: HY-50706A

Selumetinib (AZD6244) is selective, non-ATP-competitive oral **MEK1/2** inhibitor, with an IC_{50} of 14 nM for MEK1. Selumetinib (AZD6244) inhibits ERK1/2 phosphorylation.

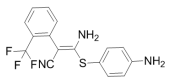


Purity: 99.48%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 50 mg, 100 mg, 200 mg, 500 mg, 1 g

SL327

Cat. No.: HY-15437

SL327 inhibits **MEK1** and **MEK2**, with IC_{50} values of 180 nM and 220 nM, respectively.

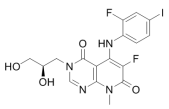


Purity: >98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

TAK-733

Cat. No.: HY-13449

TAK-733 is a potent and selective **MEK** allosteric site inhibitor with an IC_{50} of 3.2 nM.

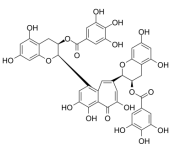


Purity: 99.81%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Theaflavin 3,3'-digallate

(TF3) Cat. No.: HY-N1992

Theaflavin 3,3'-digallate (TF3), the typical pigment in black tea, is a good antitumor agent.

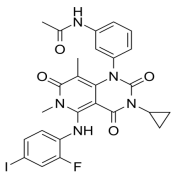


Purity: 99.73%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

Trametinib

(GSK1120212; JTP-74057) Cat. No.: HY-10999

Trametinib (GSK1120212; JTP-74057) is an orally active **MEK** inhibitor that inhibits MEK1 and MEK2 with IC_{50}s of about 2 nM. Trametinib activates **autophagy** and induces **apoptosis**.

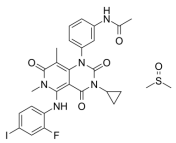


Purity: 99.44%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

Trametinib (DMSO solvate)

(GSK-1120212 (DMSO solvate); JTP-74057 (DMSO solvate)) Cat. No.: HY-10999A

Trametinib (DMSO solvate) (GSK-1120212 (DMSO solvate);JTP-74057 (DMSO solvate)) is an orally active **MEK** inhibitor that inhibits MEK1 and MEK2 with IC_{50}s of about 2 nM. Trametinib (DMSO solvate) activates **autophagy** and induces **apoptosis**.

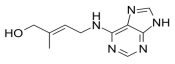


Purity: 99.77%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

trans-Zeatin

Cat. No.: HY-19700

trans-Zeatin is a plant cytokinin, which plays an important role in cell growth, differentiation, and division; trans-Zeatin also inhibits UV-induced **MEK/ERK** activation.

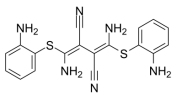


Purity: 99.28%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

U0126

Cat. No.: HY-12031A

U0126 is a potent, non-ATP competitive and selective **MEK1** and **MEK2** inhibitor, with IC_{50}s of 72 nM and 58 nM, respectively. U0126 is an **autophagy** and **mitophagy** inhibitor.

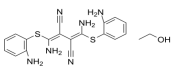


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

U0126-EtOH

Cat. No.: HY-12031

U0126 (U0126-EtOH) is a potent, non-ATP competitive and selective **MEK1** and **MEK2** inhibitor, with IC_{50}s of 72 nM and 58 nM, respectively. U0126 is an **autophagy** and **mitophagy** inhibitor.

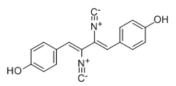


Purity: 98.06%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

Xantocillin

Cat. No.: HY-122404

Xanthocillin is a marine agent extracted from Penicillium commune, induces **autophagy** through inhibition of the **MEK/ERK** pathway.



Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg