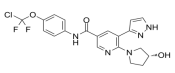
Bcr-Abl

Bcr-Abl tyrosine-kinase inhibitors (TKI) are the first-line therapy for most patients with chronic myelogenous leukemia (CML). More than 90% of CML cases are caused by a chromosomal abnormality that results in the formation of a so-called Philadelphia chromosome. This abnormality is a consequence of fusion between the Abelson (Abl) tyrosine kinase gene at chromosome 9 and the break point cluster (Bcr) gene at chromosome 22, resulting in a chimeric oncogene (Bcr-Abl) and a constitutively active Bcr-Abl tyrosine kinase that has been implicated in the pathogenesis of CML. Compounds have been developed to selectively inhibit the tyrosine kinase.

Bcr-Abl Inhibitors & Activators

Asciminib
(ABL001) Cat. No.: HY-104010

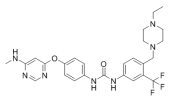
Asciminib (ABL001) is a potent and selective allosteric **BCR-ABL1** inhibitor, which inhibits Ba/F3 cells grown with an **IC_{50}** of 0.25 nM.



Purity: 99.78%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

AST 487
(NVP-AST 487) Cat. No.: HY-15002

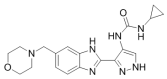
AST 487 is a **RET** kinase inhibitor with **IC_{50}** of 880 nM, inhibits RET autophosphorylation and activation of downstream effectors, also inhibits **Flt-3** with **IC_{50}** of 520 nM.



Purity: 99.20%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

AT9283
Cat. No.: HY-50514

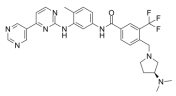
AT9283 is a multi-targeted kinase inhibitor with potent activity against **Aurora A/B**, **JAK2/3**, **Abl (T315I)** and **Flt3** (**IC_{50}**s ranging from 1 to 30 nM). AT9283 inhibits growth and survival of multiple solid tumors in vitro and in vivo.



Purity: 99.61%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

Bafetinib
(INNO-406; NS-187) Cat. No.: HY-50868

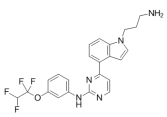
Bafetinib is a **Lyn and Bcr-Abl** tyrosine kinase inhibitor with potential antineoplastic activity.



Purity: 99.80%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

BCR-ABL-IN-1
Cat. No.: HY-100314

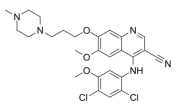
BCR-ABL-IN-1 is an inhibitor of **BCR-ABL** tyrosine kinase, with a **pIC_{50}** of 6.46, and may be used in the research of chronic myelogenous leukemia.



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

Bosutinib
(SKI-606) Cat. No.: HY-10158

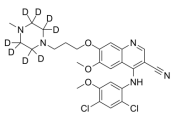
Bosutinib is a dual **Src/Abl** inhibitor with **IC_{50}**s of 1.2 nM and 1 nM, respectively.



Purity: 99.96%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg

Bosutinib D8
(SKI-606 D8) Cat. No.: HY-10158S

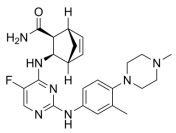
Bosutinib D8 (SKI-606 D8) is a deuterium labeled Bosutinib. Bosutinib is a dual **Src/Abl** inhibitor with **IC_{50}**s of 1.2 nM and 1 nM, respectively.



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

Cenisertib
(AS-703569; R-763) Cat. No.: HY-13072

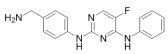
Cenisertib (AS-703569) is a multi-kinase inhibitor that blocks the activity of **Aurora-kinase-A/B, ABL1, AKT, STAT5 and FLT3**. Cenisertib induces major growth-inhibitory effects by blocking the activity of several different molecular targets in neoplastic mast cells (MC).



Purity: 99.56%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

CZC-8004
(CZC-00008004) Cat. No.: HY-111138

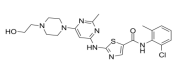
CZC-8004 is a pan-kinase inhibitor and binds a range of tyrosine kinases, including **ABL** kinase.



Purity: 99.51%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

Dasatinib
(BMS-354825) Cat. No.: HY-10181

Dasatinib (BMS-354825) is a potent and orally active dual **Bcr-Abl and Src family tyrosine kinase** inhibitor with **IC_{50}**s of 0.6 nM, 0.8 nM, respectively. Dasatinib also inhibits **Abl**, **Src**, **Fyn**, **c-Kit** and **c-Kit^{D816V}** with **IC_{50}**s of 2.8 nM, 79 nM and 37 nM, respectively.



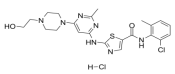
Purity: 99.85%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg, 200 mg, 500 mg

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

Dasatinib hydrochloride

(BMS-354825 hydrochloride) Cat. No.: HY-10181A

Dasatinib hydrochloride (BMS-354825 hydrochloride) is a highly potent, ATP competitive, orally active dual **Src/Bcr-Abl** inhibitor, with K_i values of 16 pM and 30 pM for Src and Bcr-Abl, respectively. Dasatinib hydrochloride has potent antitumor activity.

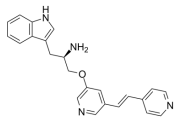


Purity: 98.86%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg, 200 mg, 500 mg

DB07107

Cat. No.: HY-123390

DB07107 is a potent drug resistant **T315I mutant Bcr-Abl tyrosine kinase** inhibitor. DB07107 is also a potent **Akt1** inhibitor with an IC_{50} value of 360 nM.

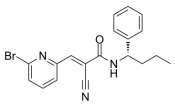


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Degrasyn

(WP1130) Cat. No.: HY-13264

Degrasyn (WP1130) is a cell-permeable **deubiquitinase (DUB)** inhibitor, directly inhibiting DUB activity of USP9x, USP5, USP14, and UCH37. Degrasyn has been shown to downregulate the antiapoptotic proteins **Bcr-Abl** and **JAK2**.



Purity: 99.70%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

DPH

Cat. No.: HY-12070

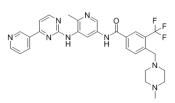
DPH is a potent cell permeable **c-Abl** activator, which displays potent enzymatic and cellular activity in stimulating c-Abl activation. .

Purity: 98.83%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

Flumatinib

(HHGV678) Cat. No.: HY-13904

Flumatinib (HHGV678) is an orally available, selective inhibitor of **Bcr-Abl**. Flumatinib inhibits **c-Abl**, **PDGFRβ** and **c-Kit** with IC_{50}s of 1.2 nM, 307.6 nM and 665.5 nM, respectively.

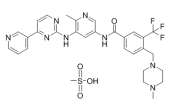


Purity: 99.94%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Flumatinib mesylate

(HHGV678 mesylate) Cat. No.: HY-13905

Flumatinib mesylate (HHGV678 mesylate) is an orally available, selective inhibitor of **Bcr-Abl**. Flumatinib mesylate inhibits **c-Abl**, **PDGFRβ** and **c-Kit** with IC_{50}s of 1.2 nM, 307.6 nM and 665.5 nM, respectively.

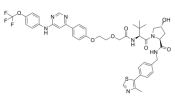


Purity: 99.97%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 500 mg

GMB-475

Cat. No.: HY-125834

GMB-475 is a degrader of **BCR-ABL1** tyrosine kinase based on PROTAC, overcoming BCR-ABL1-dependent drug resistance. GMB-475 targets BCR-ABL1 protein and recruits the E3 ligase Von Hippel Lindau (VHL), resulting in ubiquitination and subsequent degradation of the oncogenic fusion protein.

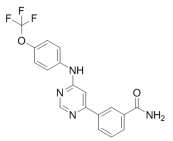


Purity: 99.20%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

GNF-2

Cat. No.: HY-11007

GNF-2 is a highly selective, allosteric, non-ATP competitive inhibitor of **Bcr-Abl**. GNF-2 inhibits Ba/F3.p210 proliferation with an IC_{50} of 138 nM .

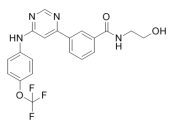


Purity: 99.10%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

GNF-5

Cat. No.: HY-15738

GNF-5, an analogue of GNF-2 with improved pharmacokinetic properties, is a selective non-ATP competitive inhibitor of Bcr-Abl with an IC50 value of 0.22±0.1 uM (Wild type Abl).

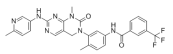


Purity: 99.78%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

GNF-7

Cat. No.: HY-10943

GNF-7 is a multikinase inhibitor. GNF-7 is a **Bcr-Abl** inhibitor, with IC_{50}s of 133 nM and 61 nM for Bcr-Abl^{WT} and Bcr-Abl^{T315I}, respectively.

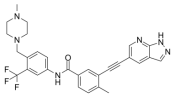


Purity: 99.47%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

GZD824

(HQP1351) Cat. No.: HY-15666

GZD824 (HQP1351) is an orally bioavailable pan-**Bcr-Abl** inhibitor with potency against a wide range of Bcr-Abl mutants and the native enzyme (IC_{50}=0.34 nM). GZD824 has antitumor activity.

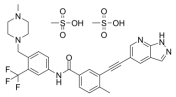


Purity: 99.88%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg

GZD824 dimesylate

(HQP1351 dimesylate) Cat. No.: HY-15666A

GZD824 dimesylate (HQP1351 dimesylate) is an orally bioavailable pan-**Bcr-Abl** inhibitor with potency against a wide range of Bcr-Abl mutants and the native enzyme (IC_{50}=0.34 nM). GZD824 dimesylate has antitumor activity.

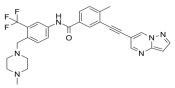


Purity: 99.20%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

GZD856

Cat. No.: HY-101489

GZD856 is a potent and orally bioavailable **PDGFRα/β** inhibitor with IC_{50}s of 68.6 and 136.6 nM, respectively.GZD856 is also a **Bcr-Abl**^{T315I} inhibitor with IC_{50}s of 19.9 and 15.4nM for Bcr-Abl and T315I mutant. Antitumor activity.

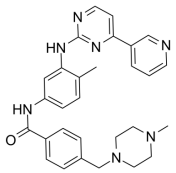


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Imatinib

(STI571; CGP-57148B) Cat. No.: HY-15463

Imatinib (STI571) is an orally bioavailable tyrosine kinases inhibitor that selectively inhibits **BCR/ABL**, **v-Abl**, **PDGFR** and **c-kit** kinase activity.

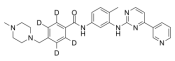


Purity: 99.54%
Clinical Data: Launched
Size: 10 mM × 1 mL, 200 mg, 500 mg, 1 g, 5 g

Imatinib D4

(STI571 D4; CGP-57148B D4) Cat. No.: HY-15463S1

Imatinib D4 (STI571 D4) is a deuterium labeled Imatinib (STI571). Imatinib is an orally bioavailable tyrosine kinases inhibitor that selectively inhibits BCR/ABL, v-Abl, PDGFR and c-kit kinase activity.

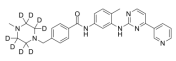


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Imatinib D8

(STI571 D8; CGP-57148B D8) Cat. No.: HY-15463S

Imatinib D8 (STI571 D8) is a deuterium labeled Imatinib (STI571). Imatinib is an orally bioavailable tyrosine kinases inhibitor that selectively inhibits BCR/ABL, v-Abl, PDGFR and c-kit kinase activity.

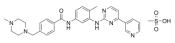


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg

Imatinib Mesylate

(STI571 Mesylate; CGP-57148B Mesylate) Cat. No.: HY-50946

Imatinib Mesylate (STI571 Mesylate) is a tyrosine kinases inhibitor that inhibits **c-Kit**, **Bcr-Abl**, and **PDGFR** (IC_{50}=100 nM) tyrosine kinases.

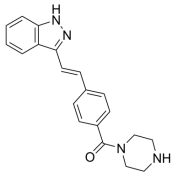


Purity: 99.91%
Clinical Data: Launched
Size: 10 mM × 1 mL, 200 mg, 500 mg, 1 g, 5 g

KW-2449

Cat. No.: HY-10339

KW-2449 is a multi-targeted kinase inhibitor of **FLT3**, **ABL**, **ABL**^{T315I} and **Aurora kinase** with IC_{50}s of 6.6, 14, 4 and 48 nM, respectively.

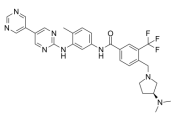


Purity: 99.85%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Lyn-IN-1

(Bafetinib analog) Cat. No.: HY-12039

Lyn-IN-1 (Bafetinib analog) is a potent and selective dual Bcr-Abl/Lyn inhibitor, extracted from patent WO2014169128A1.

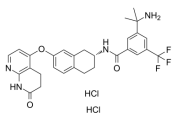


Purity: 98.03%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

ML786 dihydrochloride

Cat. No.: HY-14979A

ML786 dihydrochloride potent and orally bioavailable **Raf** inhibitor, with IC_{50}s of 2.1, 4.2, and 2.5 nM for ^{V600E}**ΔB-Raf**, **wt B-Raf**, and **C-Raf**, respectively. ML786 dihydrochloride also inhibits **Abl-1**, **DDR2**, **EPHA2**, **KDR**, and **RET** (IC_{50}=<0.5, 7.0, 11, 6.2, 0.8 nM).

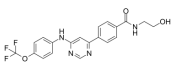


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Multi-kinase inhibitor 1

Cat. No.: HY-103032

Multi-kinase inhibitor 1 is a potent **multi-kinase** inhibitor. Multi-kinase inhibitor 1 has the potential for diseases or disorders associated with abnormal or deregulated tyrosine kinase activity, particularly diseases associated with the activity of **PDGF-R**, **c-Kit** and **Bcr-abl**.



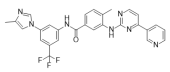
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Nilotinib

(AMN107)

Cat. No.: HY-10159

Nilotinib is an orally available **Bcr-Abl** tyrosine kinase inhibitor with antineoplastic activity.



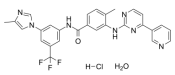
Purity: 99.96%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg, 200 mg, 500 mg

Nilotinib monohydrochloride monohydrate

(AMN107 (monohydrochloride monohydrate))

Cat. No.: HY-10159A

Nilotinib monohydrochloride monohydrate is a second generation tyrosine kinase inhibitor (TKI), is significantly potent against **BCR-ABL**, and is active against many BCR-ABL mutants.



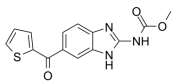
Purity: 99.89%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg, 200 mg, 500 mg

Nocodazole

(Oncodazole; R17934)

Cat. No.: HY-13520

Nocodazole (Oncodazole) is a rapidly-reversible inhibitor of **microtubule**. Nocodazole binds to β-tubulin and disrupts microtubule assembly/disassembly dynamics, which prevents mitosis and induces apoptosis in tumor cells.

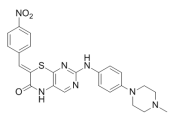


Purity: 98.68%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

ON 146040

Cat. No.: HY-12338

ON 146040 is a potent **PI3Kα** and **PI3Kδ** (IC_{50}≈14 and 20 nM, respectively) inhibitor. ON 146040 also inhibits **Abl1** (IC_{50}<150 nM).

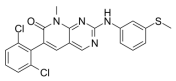


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

PD173955

Cat. No.: HY-10395

PD173955 is src family-selective tyrosine kinase inhibitor with IC50 of ~22 nM for Src, Yes and Abl kinase; less potent for FGFRα and no activity on InsR and PKC.



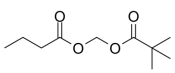
Purity: 98.88%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

Pivanex

(AN-9; Pivalyloxymethyl butyrate)

Cat. No.: HY-120508

Pivanex (AN-9), a derivative of Butyric acid, is an orally active **HDAC** inhibitor. Pivanex down-regulates **bcr-abl** protein and enhances **apoptosis**. Pivanex has antimetastic and antiangiogenic properties.



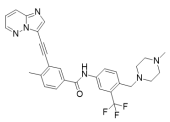
Purity: >98%
Clinical Data: Phase 2
Size: 1 mg, 5 mg

Ponatinib

(AP24534)

Cat. No.: HY-12047

Ponatinib (AP24534) is an orally active multi-targeted kinase inhibitor with IC_{50}s of 0.37 nM, 1.1 nM, 1.5 nM, 2.2 nM, and 5.4 nM for **Abl**, **PDGFRα**, **VEGFR2**, **FGFR1**, and **Src**, respectively.

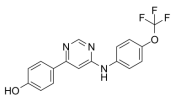


Purity: 99.13%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

PROTAC BCR-ABL1 ligand 1

Cat. No.: HY-130297

PROTAC BCR-ABL1 ligand 1, compound GMB-475, is the ligand of PROTAC that allosterically targets **BCR-ABL1** protein and recruits the E3 ligase Von Hippel-Lindau, resulting in ubiquitination and subsequent degradation of BCR-ABL1.



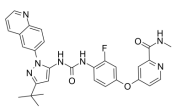
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Rebastinib

(DCC-2036)

Cat. No.: HY-13024

Rebastinib (DCC-2036) is a conformational control **Bcr-Abl** inhibitor for **Abl1**^{WT} and **Abl1**^{T315I} with IC_{50} of 0.8 nM and 4 nM, also inhibits SRC, KDR, FLT3, and Tie-2, and low activity to seen towards c-Kit.

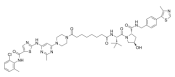


Purity: 99.91%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

SIAIS178

Cat. No.: HY-128756

SIAIS178 is a potent and selective **BCR-ABL** degrader based on PROTAC technology with an IC_{50} of 24 nM. SIAIS178 causes effective degradation of BCR-ABL protein by recruiting Von Hippel-Lindau (VHL) E3 ubiquitin ligase. SIAIS178 has anticancer activity.

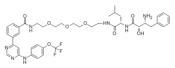


Purity: 99.48%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

SNIPER(ABL)-013

Cat. No.: HY-111860

SNIPER(ABL)-013, conjugating GNF5 (ABL inhibitor) to Bestatin (IAP ligand) with a linker, induces the reduction of BCR-ABL protein with a DC_{50} of 20 μM.

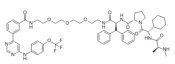


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

SNIPER(ABL)-015

Cat. No.: HY-111854

SNIPER(ABL)-015, conjugating GNF5 (ABL inhibitor) to MV-1 (IAP ligand) with a linker, induces the reduction of BCR-ABL protein with a DC_{50} of 5 μM .

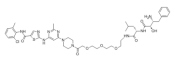


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

SNIPER(ABL)-020

Cat. No.: HY-111872

SNIPER(ABL)-020, conjugating Dasatinib (ABL inhibitor) to Bestatin (IAP ligand) with a linker, induces the reduction of BCR-ABL protein.



Purity: 99.44%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 500 mg

SNIPER(ABL)-033

Cat. No.: HY-111871

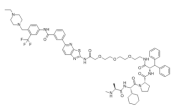
SNIPER(ABL)-033, conjugating HG-7-85-01 (ABL inhibitor) to LCL161 derivative (IAP ligand) with a linker, induces the reduction of BCR-ABL protein with a DC_{50} of 0.3 μM.

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

SNIPER(ABL)-047

Cat. No.: HY-111863

SNIPER(ABL)-047, conjugating HG-7-85-01 (ABL inhibitor) to MV-1 (IAP ligand) with a linker, induces the reduction of BCR-ABL protein with a DC_{50} of 2 μM.

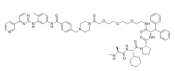


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

SNIPER(ABL)-050

Cat. No.: HY-111858

SNIPER(ABL)-050, conjugating Imatinib (ABL inhibitor) to MV-1 (IAP ligand) with a linker, induces the reduction of **BCR-ABL** protein.

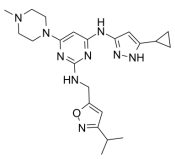


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

XL228

Cat. No.: HY-15749

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



Purity: 99.61%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg