Pim

Pim kinases

The PIM kinase, also known as serine/threonine kinase plays an important role in cancer biology and is found in three different isoforms namely PIM-1, PIM-2, and PIM-3. Pim kinases are mainly responsible for cell cycle regulation, antiapoptotic activity and the homing and migration of receptor tyrosine kinases mediated via the JAK/STAT pathway.

Pim kinases are over-expressed in various types of tumors and regulate the activation of signaling pathways that are important for tumor cell proliferation, survival and expression of drug efflux proteins. This makes Pim kinases attractive targets for the development of anti-cancer chemotherapeutic drugs.

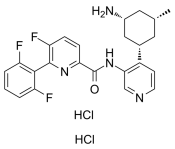
Pim Inhibitors

(1S,3R,5R)-PIM447 dihydrochloride

((1S,3R,5R)-LGH447 dihydrochloride)

Cat. No.: HY-19322C

(1S,3R,5R)-PIM447 (dihydrochloride) an **PIM** inhibitor extracted from patent US 20100056576 A1, compound example 72, has IC_{50} values of 0.095 μM for Pim1, 0.522 μM for Pim2 and 0.369 μM for Pim3.

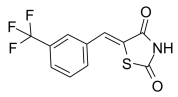


Purity: 98.13%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

(Z)-SMI-4a

Cat. No.: HY-16576A

(Z)-SMI-4a is a poten, selective, cell-permeable and ATP-competitive **Pim-1** inhibitor with an IC_{50} of 24 μM and a K_i of 0.6 μM. (Z)-SMI-4a also inhibits **Pim-2** (IC_{50} of 100 μM), and does not significantly inhibit the other serine/threonine- or tyrosine-kinases.

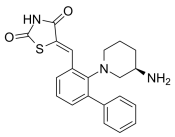


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

AZD1208

Cat. No.: HY-15604

AZD1208 is an orally bioavailable, highly selective **PIM** kinases inhibitor.

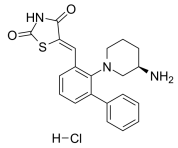


Purity: 99.90%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

AZD1208 hydrochloride

Cat. No.: HY-15604A

AZD1208 hydrochloride is an orally bioavailable, highly selective **PIM** kinases inhibitor.

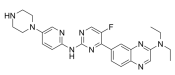


Purity: >98%
Clinical Data: Phase 1
Size: 1 mg, 5 mg

CDK6/PIM1-IN-1

Cat. No.: HY-142696

CDK6/PIM1-IN-1 is a potent and balanced dual **CDK6/PIM1** inhibitor with IC_{50} values of 39 and 88 nM, respectively. CDK6/PIM1-IN-1 inhibits CDK4 (IC_{50}=3.6 nM).

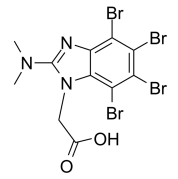


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

CK2/ERK8-IN-1

Cat. No.: HY-135906

CK2/ERK8-IN-1 is a dual **casein kinase 2 (CK2)** (K_i of 0.25 μM) and **ERK8** (MAPK15, ERK7) inhibitor with IC_{50}s of 0.50 μM. CK2/ERK8-IN-1 also binds to **PIM1**, **HIPK2** (**homeodomain-interacting protein kinase 2**), and **DYRK1A** with K_is of 8.65 μM, 15.25 μM, and 11.9 μM, respectively.

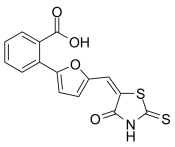


Purity: 98.82%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

CK2/PIM1-IN-1

Cat. No.: HY-135816

CK2/PIM1-IN-1 is an inhibitor of **CK2** and **PIM1**, with IC_{50}s of 3.787 μM and 4.327 μM for CK2 and PIM1, respectively.

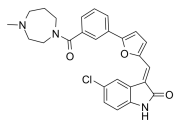


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

CX-6258

Cat. No.: HY-18095

CX-6258 is a potent and kinase selective **pan-Pim kinases** inhibitor, with IC_{50}s of 5 nM, 25 nM and 16 nM for Pim-1, Pim-2 and Pim-3, respectively.

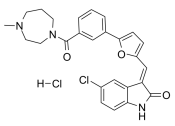


Purity: 99.73%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

CX-6258 hydrochloride

Cat. No.: HY-18095B

CX-6258 hydrochloride is a potent and kinase selective **pan-Pim kinases** inhibitor, with IC_{50}s of 5 nM, 25 nM and 16 nM for Pim-1, Pim-2 and Pim-3, respectively.

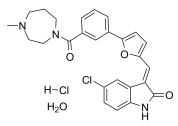


Purity: 99.28%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

CX-6258 hydrochloride hydrate

Cat. No.: HY-18095A

CX-6258 hydrochloride hydrate is a potent and kinase selective **pan-Pim kinases** inhibitor, with IC_{50}s of 5 nM, 25 nM and 16 nM for Pim-1, Pim-2 and Pim-3, respectively.

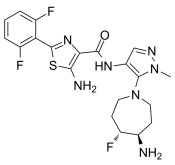


Purity: 98.61%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

GDC-0339

Cat. No.: HY-16976

GDC-0339 is a potent, orally bioavailable and well tolerated **pan-Pim kinase** inhibitor, with K_is of 0.03 nM, 0.1 nM and 0.02 nM for Pim1, Pim2 and Pim3, respectively. GDC-0339 is discovered as a potential treatment of multiple myeloma.

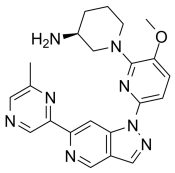


Purity: 99.77%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

GNE-955

Cat. No.: HY-101783

GNE-955 is a potent and orally active pan **Pim kinase** inhibitor with K_is of 0.018, 0.11, 0.08 nM for Pim1, Pim2, Pim3, respectively.



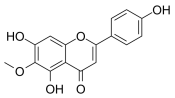
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Hispidulin

(Dinatin)

Cat. No.: HY-N1950

Hispidulin is a natural flavone with a broad spectrum of biological activities. Hispidulin is a Pim-1 inhibitor with an **IC_{50}** of 2.71 μM.

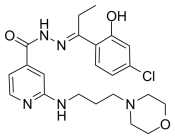


Purity: 99.34%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

M-110

Cat. No.: HY-12830

M-110 is a highly selective, ATP-competitive inhibitor of **PIM kinases** with a preference for **PIM-3** (IC_{50}=47 nM). M-110 inhibits PIM-1 and PIM-2 with similar IC_{50}s of 2.5 μM. M-110 inhibits the proliferation of prostate cancer cell lines with IC_{50}s of 0.6 to 0.9 μM.

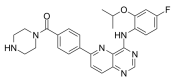


Purity: 98.78%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

MNK/PIM-IN-1

Cat. No.: HY-132867

MNK/PIM-IN-1 represents an innovative dual **MNK/PIM** inhibitor with a good pharmacokinetic profile.



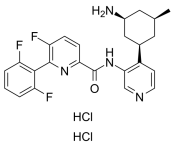
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

PIM-447 dihydrochloride

(LGH447 dihydrochloride)

Cat. No.: HY-19322B

PIM447 dihydrochloride (LGH447 dihydrochloride) is a potent, orally available, and selective pan-**PIM** kinase inhibitor, with K_i values of 6, 18, and 9 pM for PIM1, PIM2, and PIM3, respectively. PIM447 dihydrochloride displays dual antimyeloma and bone-protective effects.

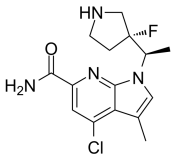


Purity: 99.27%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

PIM-IN-1

Cat. No.: HY-142656

PIM-IN-1 is a pan-PIM kinase inhibitor (KG-1, **EC_{50}** = 61 nM; pS6, **EC_{50}** = **71 nM**)..

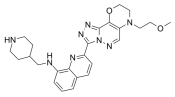


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

PIM1-IN-1

Cat. No.: HY-111552

PIM1-IN-1 is a potent and highly selective **PIM1/3** inhibitor, with **IC_{50}**s of 7, 5530 and 70 nM for PIM1, PIM2, and PIM3, respectively, inhibits the phosphorylation of BAD, a downstream target of PIM, with an EC_{50} of 262 nM.

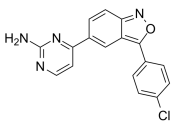


Purity: 99.51%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

PIM1-IN-2

Cat. No.: HY-108605

PIM1-IN-2 is a potent and ATP competitive **Pim-1** inhibitor with a K_i of 91 nM. PIM1-IN-2 targets the ATP-binding kinase hinge region not by forming classical hydrogen bonds.



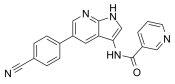
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Pim1/AKK1-IN-1

(LKB1/AAK1 dual inhibitor)

Cat. No.: HY-10371

Pim1/AKK1-IN-1 is a potent multi-kinase inhibitor with K_d values of 35 nM/53 nM/75 nM/380 nM for **Pim1/AKK1/MST2/LKB1** respectively, and also inhibits MPSK1 and TNIK.



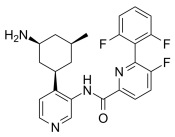
Purity: 98.12%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

PIM447

(LGH447)

Cat. No.: HY-19322

PIM447 (LGH447) is a potent, orally available, and selective pan-**PIM kinase** inhibitor, with K_i values of 6, 18, and 9 pM for PIM1, PIM2, and PIM3, respectively. PIM447 displays dual antimyeloma and bone-protective effects. PIM447 induces **apoptosis**.



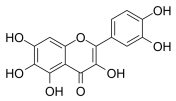
Purity: >98%
Clinical Data: Phase 1
Size: 1 mg, 5 mg

Quercetagetin

(6-Hydroxyquercetin)

Cat. No.: HY-N4149

Quercetagetin (6-Hydroxyquercetin) is a flavonoid. Quercetagetin is a moderately potent and selective, cell-permeable **pim-1** kinase inhibitor (IC_{50}, 0.34 μM). Anti-inflammatory and anticancer properties.



Purity: 99.24%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

R8-T198wt

Cat. No.: HY-P1404

R8-T198wt is a cell-permeable carboxyl-terminal $p27^{Kip1}$ peptide exhibits anti-tumor activity by inhibiting **Pim-1 kinase**.

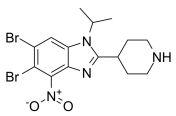
GGGRRRRRRRRGCKKPGLRRRQT

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

SEL24-B489

Cat. No.: HY-120758

SEL24-B489 is a potent, type I, orally active, dual **PIM** and **FLT3-ITD** inhibitor, with K_d values of 2 nM for PIM1, 2 nM for PIM2 and 3 nM for PIM3, respectively.
.

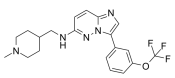


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

SGI-1776

Cat. No.: HY-13287

SGI-1776 is an inhibitor of **Pim** kinases, with IC_{50}s of 7 nM, 363 nM, and 69 nM for Pim-1, -2 and -3, respectively.



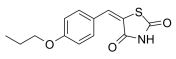
Purity: 99.23%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

SMI-16a

(PIM1/2 Kinase Inhibitor VI)

Cat. No.: HY-101947

SMI-16a is a selective **Pim** kinase inhibitor with IC_{50} values of 0.15, 0.02 and 48 μM for Pim1, Pim2 and PC3 cells, respectively.



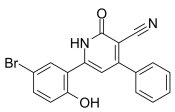
Purity: 99.70%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

TCS PIM-1 1

(SC 204330)

Cat. No.: HY-18086

TCS PIM-1 1 (SC 204330) is a potent, selective and ATP-competitive **Pim-1** kianse inhibitor with an IC_{50} of 50 nM, displays good selectivity over Pim-2 and MEK1/MEK2 (IC_{50}s >20000 nM).



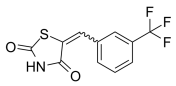
Purity: 98.03%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

TCS-PIM-1-4a

(SMI-4a)

Cat. No.: HY-16576

TCS-PIM-1-4a (SMI-4a) is a pan-**Pim** kinases inhibitor that blocks **mTORC1** activity via activation of **AMPK**. TCS-PIM-1-4a kills a wide range of both myeloid and lymphoid cell lines (IC_{50} values ranging from 0.8 μM to 40 μM).

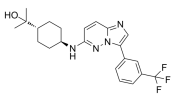


Purity: 99.90%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

TP-3654

Cat. No.: HY-101126

TP-3654 is a second-generation **Pim** kinase inhibitor with K_i values of 5 and 42 nM for Pim-1 and Pim-3, respectively.



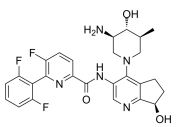
Purity: 99.91%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Uzansertib

(INCB053914)

Cat. No.: HY-101870

Uzansertib (INCB053914) is an orally active, ATP-competitive pan-**PIM kinase** inhibitor with IC_{50}s of 0.24 nM, 30 nM, 0.12 nM for PIM1, PIM2, PIM3, respectively. Uzansertib has broad anti-proliferative activity against a variety of hematologic tumor cell lines.

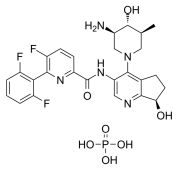


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Uzansertib phosphate

(INCB053914 phosphate) **Cat. No.:** HY-101870B

Uzansertib (INCB053914) phosphate is an orally active, ATP-competitive pan-**PIM kinase** inhibitor with IC_{50}s of 0.24 nM, 30 nM, 0.12 nM for PIM1, PIM2, PIM3, respectively. Uzansertib phosphate has broad anti-proliferative activity against a variety of hematologic tumor cell lines.



Purity: 98.44%
Clinical Data: Phase 2
Size: 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg