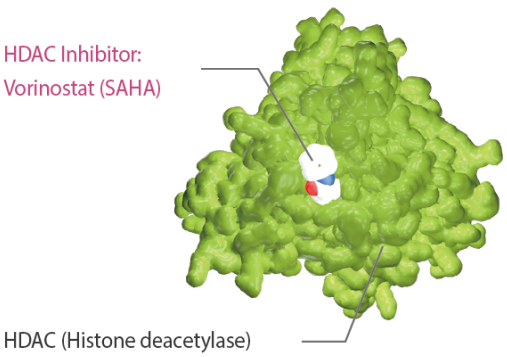
Pim

Pim kinases



Pim kinases are a small family of serine/threonine kinases regulating several signaling pathways that are fundamental to cancer development and progression. They were first recognized as pro-viral integration sites for the Moloney Murine Leukemia virus. Pim kinases possess a hinge region which creates a unique binding pocket for ATP. Absence of a regulatory domain means that these proteins are constitutively active once transcribed. Pim kinases are critical downstream effectors of the ABL (ableson), JAK2 (janus kinase 2), and Flt-3 (FMS related tyrosine kinase 1) oncogenes and are required by them to drive tumorigenesis. Recent investigations have established that the Pim kinases function as effective inhibitors of apoptosis and when overexpressed, produce resistance to the mTOR (mammalian target of rapamycin) inhibitor, rapamycin . Overexpression of the PIM kinases has been reported in several hematological and solid tumors (PIM 1), myeloma, lymphoma, leukemia (PIM 2) and adenocarcinomas (PIM 3). As such, the Pim kinases are a very attractive target for pharmacological inhibition in cancer therapy. Novel small molecule inhibitors of the human Pim kinases have been designed and are currently undergoing preclinical evaluation.

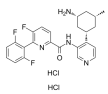
Pim Inhibitors & Modulators

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

((1S,3R,5R)-LGH447 dihydrochloride) Cat. No.: HY-19322C

Bioactivity: (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: 96.21%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg

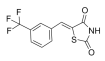


(Z)-SMI-4a

Cat. No.: HY-16576A

Bioactivity: (Z)-SMI-4a is a selective ATP-competitive Pim-1 kinase inhibitor with an IC50 of 21 nM for Pim-1 compared to an IC50 of 100 nM for Pim-2 and with little or no activity against a panel of 50 other kinases tested. IC50 value: 21 nM (Pim1); 100 nM (Pim2) [1] Target: Pim-1 in vitro: Incubation of...

Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg

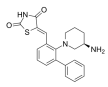


AZD1208

Cat. No.: HY-15604

Bioactivity: AZD1208 is a novel, orally bioavailable, highly selective **PIM** kinases inhibitor.

Purity: 99.67%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

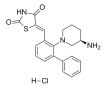


AZD1208 hydrochloride

Cat. No.: HY-15604A

Bioactivity: AZD1208 hydrochloride is a novel, orally bioavailable, highly selective **PIM** kinases inhibitor.

Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

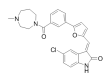


CX-6258

Cat. No.: HY-18095

Bioactivity: CX-6258 is a potent, orally efficacious Pim 1/2/3 kinase(IC50=5 nM/25 nM/16 nM) inhibitor with excellent biochemical potency and kinase selectivity.

Purity: 99.13%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

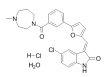


CX-6258 hydrochloride hydrate

Cat. No.: HY-18095A

Bioactivity: CX-6258 hydrochloride hydrate is a potent, orally efficacious Pim 1/2/3 kinase(IC50=5 nM/25 nM/16 nM) inhibitor with excellent biochemical potency and kinase selectivity.

Purity: 99.55%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

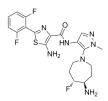


GDC-0339

Cat. No.: HY-16976

Bioactivity: GDC-0339 is a Pim kinase inhibitor with IC50 of 43.6 nM for BaF3 PIM1. IC50 value: 43.6 nM (for BaF3 PIM1), 0.04 nM (Ki, for PIM1 LC-3K) Target: Pim

Purity: 99.96%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg

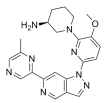


GNE-955

Cat. No.: HY-101783

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

Purity: >98%
Clinical Data: No Development Reported
Size: 250 mg, 500 mg

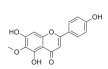


Hispidulin

(Dinatin) Cat. No.: HY-N1950

Bioactivity: 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: 99.33%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

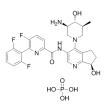


INCB053914 phosphate

Cat. No.: HY-101870B

Bioactivity: INCB053914 phosphate is an inhibitor of **Pim** extracted from patent WO 2017044730 A1, compound 1; has an **IC_{50}** of less than 35 nM.

Purity: 99.91%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

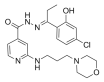


M-110

Cat. No.: HY-12830

Bioactivity: M-110 is a novel and highly selective inhibitor of PIM kinases; inhibits the proliferation of prostate cancer cell lines with IC50s of 0.6 to 0.9 uM, with no activity on normal human peripheral blood mononuclear cells up to 40 uM.

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



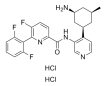
PIM-447 dihydrochloride

(LGH447 dihydrochloride)

Cat. No.: HY-19322B

Bioactivity: PIM447 is a **pan-PIM kinase** ihibitor with K_is of 6, 18, 9 nM for PIM1, PIM2 and PIM3, respectively.

Purity: 99.67%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

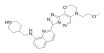


PIM1-IN-1

Cat. No.: HY-111552

Bioactivity: 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. PIM1-I...

Purity: >98%
Clinical Data: No Development Reported
Size: 500 mg, 250 mg, 100 mg



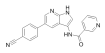
Pim1/AKK1-IN-1

(LKB1/AAK1 dual inhibitor)

Cat. No.: HY-10371

Bioactivity: 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: 98.10%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg



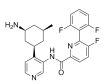
PIM447

(LGH447)

Cat. No.: HY-19322

Bioactivity: PIM447 is novel pan-PIM kinase inhibitor, including Moloney Murine Leukemia (PIM) 1, 2, and 3 Kinase.

Purity: >98%
Clinical Data: Phase 2
Size: 1 mg, 5 mg, 10 mg, 50 mg, 100 mg



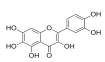
Quercetagetin

(6-Hydroxyquercetin)

Cat. No.: HY-N4149

Bioactivity: Quercetagetin (6-Hydroxyquercetin) is the major flavonoid isolated from Citrus unshiu (C. unshiu) peel [1]. Quercetagetin is a moderately potent and selective, cell-permeable **pim-1** kinase inhibitor (IC_{50}, 0.34 μM) [2]. Anti-inflammatory ...

Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

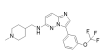


SGI-1776

Cat. No.: HY-13287

Bioactivity: 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: 99.94%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg



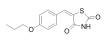
SMI-16a

(PIM1/2 Kinase Inhibitor VI)

Cat. No.: HY-101947

Bioactivity: 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: 98.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg



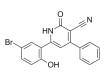
TCS PIM-1 1

(SC 204330)

Cat. No.: HY-18086

Bioactivity: TCS PIM-1 1(sc-204330) is a potent and selective ATP-competitive Pim-1 kianse inhibitor with IC50 of 50 nM, displays good selectivity over Pim-2 and MEK1/MEK2(IC50s >20,000 nM). IC50 value: 50 nM [1] Target: Pim-1 TCS PIM-1 1 bound convincingly within the ATP-binding site of Pim-1...

Purity: 97.41%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg



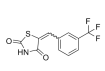
TCS-PIM-1-4a

(SMI-4a)

Cat. No.: HY-16576

Bioactivity: TCS-PIM-1-4a is a Pim inhibitor that blocks mTORC1 activity via activation of AMPK; kills a wide range of both myeloid and lymphoid cell lines (with IC50 values ranging from 0.8 to 40 μM). IC50 value: Target: Pim SMI-4a a novel benzylidene-thiazolidine-2, 4-dione small molecule inhibitor...

Purity: 99.59%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg



TP-3654

Cat. No.: HY-101126

Bioactivity: TP-3654 is a second-generation **Pim** kinase inhibitor with $\mathbf{K_i}$ values of 5 and 42 nM for Pim-1 and Pim-3, respectively.

Purity: 99.71%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 25 mg, 50 mg, 100 mg