Pim Inhibitors
(inhibitors, agonists and modulators)

PIM proteins belong to a family of ser/thr kinases composed of 3 members, PIM1, PIM2 and PIM3, with greatly overlapping functions. 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 have been found to be upregulated in many hematological malignancies and solid tumors.
**PIM inhibitor 1 phosphate - CAS 2088852-47-3**

**Catalog Number:**

**Molecular Weight:** 611.51  
**Molecular Formula:** C26H29F3N5O7P  
**Description:** PAK4-IN-1 is an inhibitor of Pim (IC50 < 35 nM).

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**SMI-16a - CAS 587852-28-6**

**Catalog Number:**

**Molecular Weight:** 263.31  
**Molecular Formula:** C13H13NO3S  
**Description:** SMI-16a, a cell-permeable thiazolidinedione compound, is a selective and ATP-competitive Pim kinase inhibitor with IC50 values of 0.15, 0.02 and 48 μM for Pim1, Pim2 and PC3 cells, respectively.

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**PIM-1 Inhibitor 2 - CAS 477845-12-8**

**Catalog Number:**

**Molecular Weight:** 322.75  
**Molecular Formula:** C17H11ClN4O  
**Description:** PIM-1 Inhibitor 2, a pyrimidinyl-benzoxazole compound, is a potent Pim-1 inhibitor (Ki = 91 nM) that targets the ATP-binding kinase hinge region.

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**R8-T198wt**

**Catalog Number:**

**Molecular Weight:** 2820.33  
**Molecular Formula:** C11H211N59O26S  
**Description:** R8-T198wt is a cell-permeable peptide inhibitor of Pim-1 kinase. It inhibits Pim-1 phosphorylation of p27Kip1 and Bad, and induces cell cycle arrest (at G1) and apoptosis in DU145 prostate cancer cells. R8-T198wt is possible that this peptide can also inhibit other kinases of the Pim family, such as Pim-2 and Pim-3, because not only Pim-1 but also Pim-2 and Pim-3 can directly phosphorylate p27Kip1 at the Thr198 residue.
**SMI 4a - CAS 438190-29-5**

**Catalog Number:** 438190-29-5  
**Molecular Weight:** 273.229  
**Molecular Formula:** C11H6F3NO2S  
**Description:** (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.

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**SGI-1776 - CAS 1025065-69-3**

**Catalog Number:** 1025065-69-3  
**Molecular Weight:** 405.425  
**Molecular Formula:** C20H22F3N5O  
**Description:** SGI-1776 is a small-molecule pan-Pim protein kinase inhibitor with potential antineoplastic activity. Pim kinase inhibitor SGI-1776 binds to and inhibits the activities of Pim-1, -2 and -3, serine-threonine kinases, which may result in the interruption of the G1/S phase cell cycle transition, the expression of pro-apoptotic Bcl2 proteins and tumor cell apoptosis. PIM kinases play key roles in cell cycle progression and apoptosis inhibition and may be overexpressed in various malignancies.

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**LKB1/AAK1 dual inhibitor - CAS 1093222-27-5**

**Catalog Number:** 1093222-27-5  
**Molecular Weight:** 339.35  
**Molecular Formula:** C20H13N5O  
**Description:** LKB1/AAK1 dual inhibitor is an effective inhibitor against multi-kinase especially Pim-1 kinase, which has been newly found to highly expressed in sorts of isolated human cancer cells. Kd: 35 nM/53 nM/75 nM/380 nM for Pim1/AAK1/MST2/LKB1.

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**CX-6258 - CAS 1202916-90-2**

**Catalog Number:** 1202916-90-2  
**Molecular Weight:** 461.946  
**Molecular Formula:** C26H24ClN3O3  
**Description:** 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.
**AZD-1208 - CAS 1204144-28-4**

**Catalog Number:** 1204144-28-4  
**Molecular Weight:** 379.478  
**Molecular Formula:** C21H21N3O2S  
**Description:** AZD1208 is orally available, small molecule inhibitor of PIM kinases with potential antineoplastic activity. AZD1208 inhibits the activities of PIM1, PIM2 and PIM3 serine/threonine kinases, which may result in the interruption of the G1/S phase cell cycle transition.

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**TCS PIM-11 - CAS 491871-58-0**

**Catalog Number:** 491871-58-0  
**Molecular Weight:** 367.202  
**Molecular Formula:** C18H11BrN2O2  
**Description:** TCS PIM-11 (sc-204330) is a potent and selective ATP-competitive Pim-1 kinase inhibitor with IC50 of 50 nM, displaying good selectivity over Pim-2 and MEK1/MEK2 (IC50s >20,000 nM).

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**CX-6258 hydrochloride hydrate - CAS 1353858-99-7**

**Catalog Number:** 1353858-99-7  
**Molecular Weight:** 516.42  
**Molecular Formula:** C26H27Cl2N3O4  
**Description:** The hydrochloride hydrate salt form of CX-6258, a pan-Pim kinases inhibitor, has been found to have strong antiproliferative potencies against human solid tumors and hematological malignancies. It has already been discontinued for Solid tumours. IC50: 5 n

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**M-110 - CAS 1395048-49-3**

**Catalog Number:** 1395048-49-3  
**Molecular Weight:** 445.95  
**Molecular Formula:** C22H28ClN5O3  
**Description:** M-110, a PIM kinases inhibitor, has been found to restrain the proliferation of prostate cancer cell lines and also influence the signaling of Wnt/β-catenin. IC50: 0.6 to 0.9 uM.
**GDC-0339 - CAS 1428569-85-0**

**Catalog Number:** 1428569-85-0  
**Molecular Weight:** 465.50  
**Molecular Formula:** C20H22F3N7OS  
**Description:** GDC-0339, also called as SCHEML14801965, is a selective inhibitor of BaF3 PIM1 that has the potential for treatment of cancer. BaF3 PIM1: IC50= 43.6 nM; PIM1 LC-3K: IC50= 0.04 nM

**SMI-4a - CAS 327033-36-3**

**Catalog Number:** 327033-36-3  
**Molecular Weight:** 273.20  
**Molecular Formula:** C11H6F3NO2S  
**Description:** SMI-4a, also called as TCS-PIM-1-4a, a thiazolidinedione compound, is a potent, selective ATP-competitive inhibitor against Pim protein kinase (IC50 = 24 nM against Pim-1 and 100 nM against Pim-2) with little or no activity against a panel of 58 other kinases.

**PIM447 - CAS 1210608-43-7**

**Catalog Number:** 1210608-43-7  
**Molecular Weight:** 440.47  
**Molecular Formula:** C24H23F3N4O  
**Description:** This active molecular is a potent PIM 1, 2, and 3 kinase inhibitor under the development of Novartis. In vivo, PIM447 reduces the tumor burden and also prevents tumor-associated bone loss in a disseminated murine model of human myeloma. In vitro, it inhibits osteoclast formation and resorption. Moreover, it increases osteoblast activity and mineralization. In May 2016, Novartis completed a phase I trial for Multiple myeloma (Second-line treatment or greater) in Japan.

**LGB-321 HCl - CAS 1210416-93-5**

**Catalog Number:** 1210416-93-5  
**Molecular Weight:** 530.37  
**Molecular Formula:** C23H24Cl2F3N5O2  
**Description:** This active molecular is a selective ATP-competitive inhibitor of Pan-PIM kinase. LGB-321 is active in PIM2 dependent cell lines that is quite different to other PIM inhibitors reported before. LGB321 inhibits proliferation of a number of cell lines derived from diverse hematological malignancies which is consistent with its activity on all three PIM kinases. LGB321 is efficient in inhibiting tumor xenografts and is well-tolerated within the therapeutic exposure range in animal models.
Tp3654 - CAS 1361951-15-6

Catalog Number: 1361951-15-6
Molecular Weight: 418.464
Molecular Formula: C22H25F3N4O
Description: Tp3654 is an inhibitor of Pim-1 and Pim-3 that has the potential to treat prostate cancer, acute myeloid leukemia, multiple sclerosis and psoriasis.