Smo

Smoothened

Smoothened (Smo), a class Frizzled G protein-coupled receptor (class F GPCR), transduces the Hedgehog (Hh) signal across the cell membrane. The Hh signaling pathway includes both canonical and noncanonical pathways. The canonical Hh pathway functions through major Hh molecules such as Hh ligands, PTCH, Smo, and GLI, whereas the noncanonical Hh pathway involves the activation of Smo or GLI through other pathways.

The Hh signaling cascade is initiated by the binding of the Hh protein ligand to its cellular membrane receptor, Patched (PTCH), which relieves PTCH-mediated repression of the seven-transmembrane (7TM) protein Smo. Activated Smo transduces the signal to the GLI family of transcription factors, which translocate to the nucleus to regulate numerous gene products involved in tissue patterning and cell differentiation.

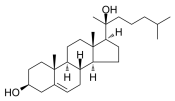
Smo Inhibitors, Agonists, Antagonists & Activators

20(S)-Hydroxycholesterol

(20α-Hydroxycholesterol)

Cat. No.: HY-12316

20(S)-hydroxyCholesterol (20α-Hydroxycholesterol) is an allosteric activator of the **oncoprotein smoothened (Smo)** that activates the hedgehog (Hh) signaling pathway with an EC_{50} of 3 μM in a gene transcription reporter assay using NIH3T3 cells.

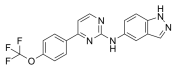


Purity: 98.07%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

ALLO-2

Cat. No.: HY-117407

ALLO-2 is a potent drug-resistant **Smoothened (Smo)** mutant antagonist that inhibits Smo agonist Hh-Ag1.5-induced luciferase expression in TM3-Gli-Luc cells with IC_{50} of 6 nM.



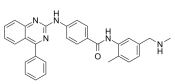
Purity: 99.58%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

BMS-833923

(XL-139)

Cat. No.: HY-13809

BMS-833923 (XL-139) is an orally bioavailable small-molecule inhibitor of Smoothened with potential antineoplastic activity; inhibits BODIPY cyclopamine binding to SMO in a dose-dependent manner with an IC50 of 21 nM.

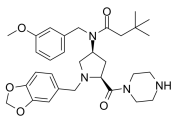


Purity: 98.21%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

CUR61414

Cat. No.: HY-113965

CUR61414 is a novel, potent and cell permeable **Hedgehog signaling pathway inhibitor** (IC_{50} =100-200 nM). CUR61414 is a small-molecule aminoproline class compound and selectively binds to **smoothened (Smo)** with a K_i value of 44 nM.



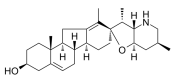
Purity: ≥99.0%
Clinical Data: No Development Reported
Size: 10 mg

Cyclopamine

(11-Deoxojervine)

Cat. No.: HY-17024

Cyclopamine is a **Hedgehog** (**Hh**) pathway antagonist with an IC_{50} of 46 nM in the Hh cell assay. Cyclopamine is also a selective **Smo** inhibitor.



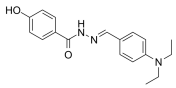
Purity: 99.97%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

DY131

(GSK 9089)

Cat. No.: HY-15483

DY131 (GSK 9089) is a potent and selective **ERRγ** and **ERRβ** agonist. DY131displays inactive against ERRα, ERα and ERβ. DY131 also inhibits **Smo** signaling.



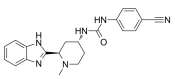
Purity: 99.72%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Glasdegib

(PF-04449913)

Cat. No.: HY-16391

Glasdegib (PF-04449913) is a potent and orally bioavailable **smoothened** inhibitor. Glasdegib (PF-04449913) binds to human **SMO** (amino acids 181-787) with an IC_{50} of 4 nM.

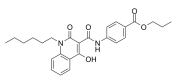


Purity: 99.31%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

GSA-10

Cat. No.: HY-12317

GSA-10 is a potent agonist of **Smoothened (Smo) receptor** with an EC_{50} of 1.2 μM. GSA-10 is a novel quinolinecarboxamide derivative. GSA-10 acts at **Smo** to promote the differentiation of multipotent mesenchymal progenitor cells into osteoblasts.



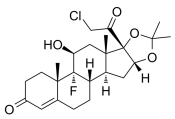
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Halcinonide

(SQ-18566)

Cat. No.: HY-B0877

Halcinonide (SQ-18566) is a high potency corticosteroid used topically in the treatment of certain skin conditions.

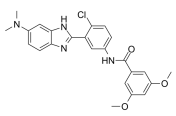


Purity: 99.87%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg

HhAntag

Cat. No.: HY-15412

HhAntag is a specific, potent and orally active small molecule **SMO** antagonist of the Hh pathway.

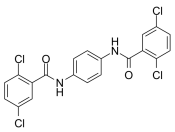


Purity: 98.70%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

IHR-1

Cat. No.: HY-110240

IHR-1 is a cell membrane impermeable **Smo** antagonist.

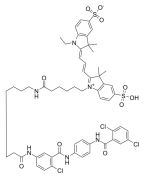


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

IHR-Cy3

Cat. No.: HY-131016

IHR-Cy3 is a potent fluorescent **Smo** antagonist with an IC_{50} of 100 nM.



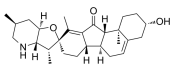
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Jervine

(11-Ketocyclopamine)

Cat. No.: HY-N0836

Jervine (11-Ketocyclopamine) is a potent **Hedgehog (Hh)** inhibitor with an IC_{50} of 500-700 nM. Jervine is a natural teratogenic sterodial alkaloid from rhizomes of Veratrum album. Jervine has anti-inflammatory and antioxidant properties.



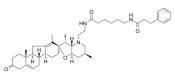
Purity: 99.03%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

KAAD-Cyclopamine

(Cyclopamine-KAAD)

Cat. No.: HY-100535

KAAD-Cyclopamine, a hedgehog signaling inhibitor, is a **smoothened** antagonist.



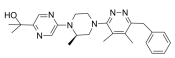
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

LEQ506

(NVP-LEQ506)

Cat. No.: HY-18636

LEQ506 is a second-generation inhibitor of **smoothened** (**Smo**) with IC_{50}s of 2 and 4 nM in human and mouse, respectively.

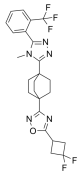


Purity: 98.15%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

MK-4101

Cat. No.: HY-100036

MK-4101 is a **Smoothened (SMO)** antagonist (IC_{50} of 1.1 μM for 293 cells) and also a potent inhibitor of the **hedgehog pathway** (IC_{50} of 1.5 μM for mouse cells; IC_{50} of 1 μM for KYSE180 oesophageal cancer cells).

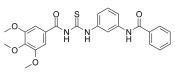


Purity: 98.31%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

MRT-10

Cat. No.: HY-108507

MRT-10 is a seven-transmembrane **receptor smoothened (Smo)** antagonist with an IC_{50} of 0.65 μM in the micromolar range in various Hedgehog (Hh) assays. MRT-10 binds to the Smo receptor at the level of the Bodipycyclopamine binding site.

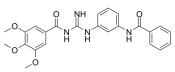


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg

MRT-14

Cat. No.: HY-145918

MRT-14 is a potent antagonist of **Smo**. Smo is the major component involved in signal transduction of the Hedgehog (Hh) morphogens. MRT-14 has the potential for the research of several types of cancers linked to abnormal Hh signaling.

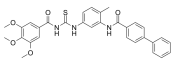


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

MRT-81

Cat. No.: HY-145387

MRT-81 is a potent antagonist of human and rodent smoothened (**Smo**) receptors, with an IC_{50} value of 41 nM in the Shh-light2 cells. MRT-81 has potent hedgehog inhibiting activity. MRT-81 can be used for the research of cancer.

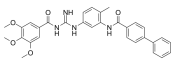


Purity: 98.87%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

MRT-83

Cat. No.: HY-18287

MRT-83 is a potent antagonist of **Smo**, with an IC_{50} in the nanomolar range. MRT-83 also blocks Hedgehog (Hh) signaling.

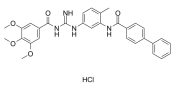


Purity: 99.16%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

MRT-83 hydrochloride

Cat. No.: HY-18287A

MRT-83 (hydrochloride) is the potent antagonist of Smoothened (**Smo**) receptor. MRT-83 (hydrochloride) inhibits the Hedgehog (Hh) signaling pathway and BODIPY-cyclopamine binding to human Smo. MRT-83 (hydrochloride) has the potential for researching cancer disease.

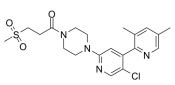


Purity: 99.60%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

PF-5274857

Cat. No.: HY-13459

PF-5274857 is a potent, selective, orally active and brain-penetrant antagonist of **Smo**, with an IC_{50} of 5.8 nM and K_i of 4.6 nM. PF-5274857 has potential for research of tumor types including brain tumors and brain metastasis driven by an activated Hh pathway.

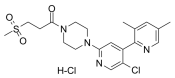


Purity: 98.12%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

PF-5274857 hydrochloride

Cat. No.: HY-13459A

PF-5274857 hydrochloride is a potent, selective, orally active and brain-penetrant antagonist of **Smo**, with an IC_{50} of 5.8 nM and K_i of 4.6 nM.

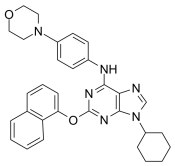


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Purmorphamine

Cat. No.: HY-15108

Purmorphamine is a **smoothened/Smo receptor** agonist with an EC_{50} of 1 μM.

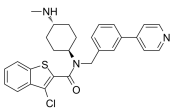


Purity: 99.89%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

SAG

Cat. No.: HY-12848

SAG is a potent **Smoothened (Smo) receptor** agonist (EC_{50}=3 nM; K_d=59 nM). SAG activates the Hedgehog signaling pathway and counteracts Cyclopamine (HY-17024) inhibition of Smo.

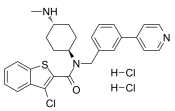


Purity: 99.88%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

SAG dihydrochloride

Cat. No.: HY-12848C

SAG dihydrochloride is a potent **Smoothened (Smo) receptor** agonist (EC_{50}=3 nM; K_d=59 nM). SAG dihydrochloride activates the Hedgehog signaling pathway and counteracts Cyclopamine (HY-17024) inhibition of Smo.

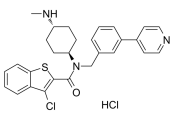


Purity: >98%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg

SAG hydrochloride

Cat. No.: HY-12848B

SAG hydrochloride is a potent **Smoothened (Smo) receptor** agonist (EC_{50}=3 nM; K_d=59 nM). SAG hydrochloride activates the Hedgehog signaling pathway and counteracts Cyclopamine (HY-17024) inhibition of Smo.

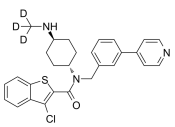


Purity: 99.58%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

SAG-d3

Cat. No.: HY-12848S

SAG-d3 is deuterium labeled SAG. SAG is a potent **Smoothened (Smo) receptor** agonist (EC_{50}=3 nM; K_d=59 nM).

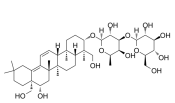


Purity: 98.77%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

Saikosaponin B1

Cat. No.: HY-N0247

Saikosaponin B1 is a bioactive constituent of Radix Bupleuri with anticancer activity. Saikosaponin B1 significantly inhibits tumor growth in Medulloblastoma (MB) model by inhibiting the Hedgehog pathway through targeting **SMO**.

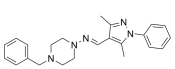


Purity: 99.42%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

SANT-1

Cat. No.: HY-100224

SANT-1, a potent **Smo** antagonist, inhibits **Hedgehog** signaling. SANT-1 shows IC_{50}s of 20 nM and 30 nM in Shh-LIGHT2 and SmoA1-LIGHT2 assay, respectively.

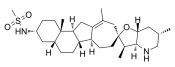


Purity: 99.88%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Saridegib

(IPI-926; Patidegib) **Cat. No.:** HY-16587

Saridegib is a potent and specific inhibitor of Smoothened **(Smo)**, a key signaling transmembrane protein in the Hedgehog (Hh) pathway.

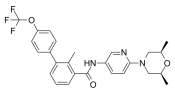


Purity: ≥99.0%
Clinical Data: Phase 3
Size: 5 mg

Sonidegib

(Erismodegib; LDE225; NVP-LDE225) **Cat. No.:** HY-16582A

Sonidegib (Erismodegib) is a potent and selective **Smo** antagonist with IC_{50} of 1.3 nM and 2.5 nM for mouse and human Smo in binding assay, respectively.

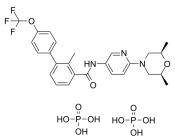


Purity: 99.64%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Sonidegib diphosphate (Erismodegib diphosphate; LDE225 diphosphate; NVP-LDE225 diphosphate)

Cat. No.: HY-16582

Sonidegib diphosphate (Erismodegib diphosphate) is a potent and selective **Smo** antagonist with IC_{50} of 1.3 nM and 2.5 nM for mouse and human Smo in binding assay, respectively.

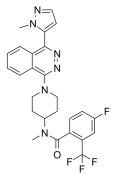


Purity: 99.80%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Taladegib

(LY2940680) **Cat. No.:** HY-13242

Taladegib (LY2940680) is an antagonist of the **smoothened** receptor.



Purity: 99.93%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg