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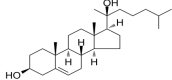
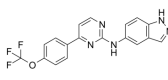
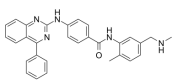
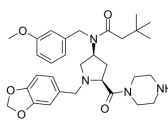
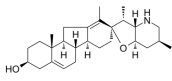
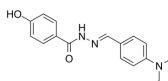
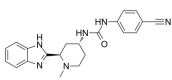
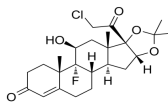
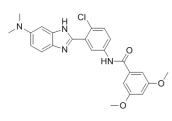
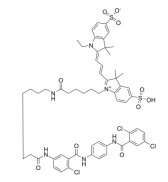
Inhibitors, Agonists, Screening Libraries

Smo

Smoothened

Smoothened is a G protein-coupled receptor protein encoded by the SMO gene of the hedgehog signaling pathway conserved from flies to humans. It is the molecular target of the teratogen cyclopamine. Cellular localization plays an essential role in the function of SMO. Stimulation of the patched receptor by the sonic hedgehog ligand leads to translocation of SMO to the primary cilium. Furthermore, SMO that is mutated in the domain required for ciliary localisation cannot contribute to pathway activation.[3] SMO has also been shown to bind the kinesin motor protein Costal-2 and play a role in the localization of the Ci (Cubitus interruptus transcription factor) complex. SMO can function as an oncogene. Activating SMO mutations can lead to unregulated activation of the hedgehog pathway and cancer.

Smo Inhibitors, Agonists, Antagonists & Activators

<p>20(S)-Hydroxycholesterol (20α-Hydroxycholesterol)</p> <p>Cat. No.: HY-12316</p>	<p>ALLO-2</p> <p>Cat. No.: HY-117407</p>
<p>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.</p>  <p>Purity: 98.07% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg</p>	<p>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.</p>  <p>Purity: 99.58% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>BMS-833923 (XL-139)</p> <p>Cat. No.: HY-13809</p>	<p>CUR61414</p> <p>Cat. No.: HY-113965</p>
<p>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 IC_{50} of 21 nM.</p>  <p>Purity: 98.21% Clinical Data: Phase 2 Size: 10 mM \times 1 mL, 10 mg, 50 mg, 100 mg</p>	<p>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.</p>  <p>Purity: >99.0% Clinical Data: No Development Reported Size: 10 mg</p>
<p>Cyclopamine (11-Deoxyjervine)</p> <p>Cat. No.: HY-17024</p>	<p>DY131 (GSK 9089)</p> <p>Cat. No.: HY-15483</p>
<p>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.</p>  <p>Purity: 99.97% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>DY131 (GSK 9089) is a potent and selective ERRγ and ERRβ agonist. DY131 displays inactive against ERRα, ERα and ERβ. DY131 also inhibits Smo signaling.</p>  <p>Purity: 99.72% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 10 mg, 50 mg</p>
<p>Glasdegib (PF-04449913)</p> <p>Cat. No.: HY-16391</p>	<p>Halcinonide (SQ-18566)</p> <p>Cat. No.: HY-B0877</p>
<p>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.</p>  <p>Purity: 99.31% Clinical Data: Launched Size: 10 mM \times 1 mL, 10 mg, 50 mg, 100 mg</p>	<p>Halcinonide (SQ-18566) is a high potency corticosteroid used topically in the treatment of certain skin conditions.</p>  <p>Purity: 99.87% Clinical Data: Launched Size: 10 mM \times 1 mL, 10 mg, 50 mg, 100 mg, 200 mg</p>
<p>HhAntag</p> <p>Cat. No.: HY-15412</p>	<p>IHR-Cy3</p> <p>Cat. No.: HY-131016</p>
<p>HhAntag is a specific, potent and orally active small molecule SMO antagonist of the Hh pathway.</p>  <p>Purity: 98.70% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>IHR-Cy3 is a potent fluorescent Smo antagonist with an IC_{50} of 100 nM.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>

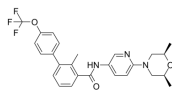
<p>Jervine (11-Ketocyclopamine)</p> <p style="text-align: right;">Cat. No.: HY-N0836</p>	<p>LEQ506 (NVP-LEQ506)</p> <p style="text-align: right;">Cat. No.: HY-18636</p>
<p>Jervine (11-Ketocyclopamine) is a potent Hedgehog (Hh) inhibitor with an IC_{50} of 500-700 nM. Jervine is a natural teratogenic steroidal alkaloid from rhizomes of <i>Veratrum album</i>. Jervine has anti-inflammatory and antioxidant properties.</p> <p>Purity: 99.53% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>LEQ506 is a second-generation inhibitor of smoothened (Smo) with IC_{50}s of 2 and 4 nM in human and mouse, respectively.</p> <p>Purity: 98.27% Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg</p>
<p>MK-4101</p> <p style="text-align: right;">Cat. No.: HY-100036</p>	<p>PF-5274857</p> <p style="text-align: right;">Cat. No.: HY-13459</p>
<p>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).</p> <p>Purity: 98.31% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>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.</p> <p>Purity: 98.12% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>Purmorphamine (Shh Signaling Antagonist VI)</p> <p style="text-align: right;">Cat. No.: HY-15108</p>	<p>SAG</p> <p style="text-align: right;">Cat. No.: HY-12848</p>
<p>Purmorphamine (Shh Signaling Antagonist VI) is a smoothened receptor agonist with an EC_{50} of 1 μM.</p> <p>Purity: 99.89% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg</p>	<p>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.</p> <p>Purity: 99.88% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg</p>
<p>SAG dihydrochloride</p> <p style="text-align: right;">Cat. No.: HY-12848C</p>	<p>SAG hydrochloride</p> <p style="text-align: right;">Cat. No.: HY-12848B</p>
<p>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.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 1 mg, 5 mg, 10 mg, 50 mg</p>	<p>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.</p> <p>Purity: 99.98% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg</p>
<p>SANT-1</p> <p style="text-align: right;">Cat. No.: HY-100224</p>	<p>Saridegib (IPI-926; Patidegib)</p> <p style="text-align: right;">Cat. No.: HY-16587</p>
<p>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.</p> <p>Purity: 99.85% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>Saridegib is a potent and specific inhibitor of Smoothened (Smo), a key signaling transmembrane protein in the Hedgehog (Hh) pathway.</p> <p>Purity: >99.0% Clinical Data: Phase 3 Size: 10 mM \times 1 mL, 5 mg, 10 mg</p>

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%

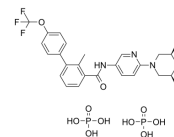
Clinical Data: Launched

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: 99.83%

Clinical Data: Launched

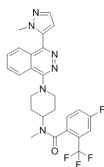
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Taladegib

(LY2940680)

Cat. No.: HY-13242

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



Purity: 99.93%

Clinical Data: Phase 2

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg