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Inhibitors, Agonists, Screening Libraries

Hedgehog

Hedgehog (Hh) is composed of N-terminal and C-terminal domains that dissociate in a self-catalyzed proteolytic cleavage reaction. The N-terminal product HhNp, modified by cholesterol during self-cleavage, harbors all known Hh signaling activities. When synthesized in the absence of the C-terminal domain (and hence lacking cholesterol modification), the N-terminal domain is aberrantly targeted and released selectively into the retina.

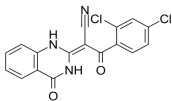
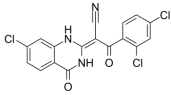
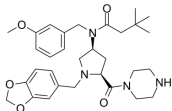
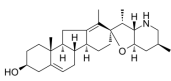
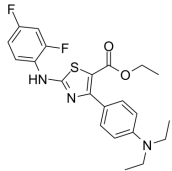
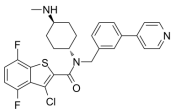
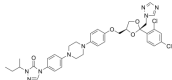
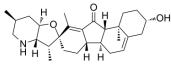
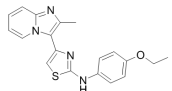
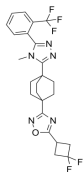
Hedgehog signaling pathway is linked to tumorigenesis and is aberrantly activated in a variety of cancers. Hh ligands bind to and suppress the transmembrane receptor Patched (PTCH), which suppresses Smoothed (SMO), a seven-transmembrane-helix protein that positively regulates the Hh pathway.

Sonic hedgehog (Shh) is a morphogen essential to the developing nervous system that continues to play an important role in adult life by contributing to cell proliferation and differentiation, maintaining blood-brain barrier integrity, and being cytoprotective against oxidative and excitotoxic stress, all features of importance in amyotrophic lateral sclerosis (ALS).

Indian hedgehog (Ihh), a signaling molecule that plays a pivotal role in the regulation of chondrocyte proliferation, maturation, and ossification both in long-bone development and digit joint formation, has also been found to be essential for temporomandibular joint (TMJ) development.

Desert hedgehog (Dhh), one of the Hedgehog family members, is expressed by Schwann cells of peripheral nerves.

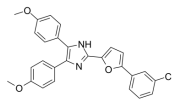
Hedgehog Inhibitors, Agonists, Antagonists & Activators

<p>Ciliobrevin A (HPI-4)</p> <p>Cat. No.: HY-100790</p> <p>Ciliobrevin A (HPI-4) is a hedgehog (Hh) signaling pathway inhibitor with median inhibitory concentration (IC_{50}) less than 10 μM.</p>  <p>Purity: 98.72% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>Ciliobrevin D</p> <p>Cat. No.: HY-122632</p> <p>Ciliobrevin D is a cell-permeable, reversible and specific inhibitor of AAA+ ATPase motor cytoplasmic dynein. Ciliobrevin D inhibits Hedgehog (Hh) signaling and primary cilia formation. Ciliobrevin D inhibits dynein-dependent microtubule gliding and ATPase activity in vitro.</p>  <p>Purity: 98.94% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg</p>
<p>CUR61414</p> <p>Cat. No.: HY-113965</p> <p>CUR61414 is a novel, potent and cell permeable Hedgehog signaling pathway inhibitor (IC_{50} =100-200 nM). CUR61414 is a small-molecule aminoprolinone class compound and selectively binds to smoothened (Smo) with a K_i value of 44 nM.</p>  <p>Purity: \geq99.0% Clinical Data: No Development Reported Size: 10 mg</p>	<p>Cyclopamine (11-Deoxojervine)</p> <p>Cat. No.: HY-17024</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>Dynarrestin</p> <p>Cat. No.: HY-121802</p> <p>Dynarrestin is a aminothiazole inhibitor of cytoplasmic dyneins 1 and 2.</p>  <p>Purity: 98.40% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 50 mg</p>	<p>Hh-Ag1.5 (SAg1.5)</p> <p>Cat. No.: HY-124899</p> <p>Hh-Ag1.5 (SAg1.5) is a potent Hedgehog (Hh) agonist with an EC_{50} of 1 nM. Hh-Ag1.5 mediated reprogramming breaks the quiescence of noninjured liver stem cells for rescuing liver failure.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>Itraconazole (R51211)</p> <p>Cat. No.: HY-17514</p> <p>Itraconazole (R51211) is a triazole antifungal agent and a potent and orally active Hedgehog (Hh) signaling pathway antagonist with an IC_{50} of ~800 nM.</p>  <p>Purity: 99.15% Clinical Data: Launched Size: 100 mg, 500 mg</p>	<p>Jervine (11-Ketocyclopamine)</p> <p>Cat. No.: HY-N0836</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>JK184</p> <p>Cat. No.: HY-13307</p> <p>JK184 is a potent Hedgehog (Hh) pathway inhibitor with IC_{50} of 30 nM in mammalian cells.</p>  <p>Purity: 99.95% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>MK-4101</p> <p>Cat. No.: HY-100036</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>

Neurodazine

Cat. No.: HY-108439

Neurodazine is an imidazole-based small molecule, serve as a promoter of **neurogenesis in pluripotent cells**. Neurodazine promotes neurogenesis by activating **Wnt** and **Shh** signaling pathways. Neurodazine selectively suppresses astrocyte differentiation of P19 cells.

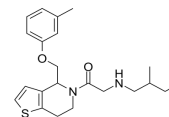


Purity: ≥99.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

RU-SKI 43

Cat. No.: HY-18366

RU-SKI 43 is a potent and selective **Hedgehog acyltransferase (Hhat)** inhibitor with an IC_{50} of 850 nM. RU-SKI 43 reduces Gli-1 activation through Smoothened-independent non-canonical signaling and decreases Akt and mTOR pathway activity. RU-SKI 43 has anti-cancer activity.

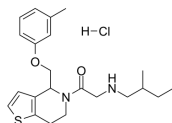


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

RU-SKI 43 hydrochloride

Cat. No.: HY-18366A

RU-SKI 43 hydrochloride is a potent and selective **Hedgehog acyltransferase (Hhat)** inhibitor with an IC_{50} of 850 nM. RU-SKI 43 hydrochloride reduces Gli-1 activation through Smoothened-independent non-canonical signaling and decreases Akt and mTOR pathway activity.

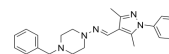


Purity: 98.54%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

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.



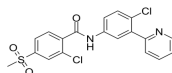
Purity: 99.85%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Vismodegib

(GDC-0449)

Cat. No.: HY-10440

Vismodegib (GDC-0449) is an orally active **hedgehog** pathway inhibitor with an IC_{50} of 3 nM. Vismodegib also inhibits **P-gp**, **ABCG2** with IC_{50} values of 3.0 μ M and 1.4 μ M, respectively.



Purity: 99.97%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg