Notch

Notch signaling is evolutionarily conserved and operates in many cell types and at various stages during development. Notch signaling occurs via cell-cell communication, where transmembrane ligands on one cell activate transmembrane receptors on a juxtaposed cell.

Regulation of Notch signaling is critical to development and maintenance of most eukaryotic organisms. The Notch receptors (NOTCH1, 2, 3, and 4) and ligands (DLL1, 3, and 4, JAG1 and 2) are integral membrane proteins and direct cell-cell interactions are needed to activate signaling. Ligand-expressing cells activate Notch signaling through an unusual mechanism involving Notch proteolysis to release the intracellular domain from the membrane, allowing the Notch receptor to function directly as the downstream signal transducer.
Notch Inhibitors, Activators & Modulators

ASR-490

ASR-490 reduces the viability of HCT116 and SW620 cells by downregulating Notch1 signaling. ASR-490 overcomes Notch1 overexpression and inhibits the growth of HCT/Notch1 transfectants. ASR-490 inhibits the tumor growth in control (pCMV/HCT116) and Notch1/HCT116 in xenotransplanted mice.

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

Avagacestat (BMS-708163)

Avagacestat (BMS-708163) is a potent inhibitor of γ-secretase, with IC_{50} of 0.27 nM and 0.30 nM for Aβ42 and Aβ40 inhibition; Avagacestat (BMS-708163) also inhibits NICD (Notch IntraCellular Domain) with IC_{50} of 0.84 nM and shows weak inhibition of CYP2C19, with IC_{50} of...

Purity: 98.28%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

BMS-906024

BMS-906024 is an orally active and selective γ-secretase (gamma secretase) inhibitor.

BMS-906024 is a potent pan-Notch receptors inhibitor with IC_{50} of 1.6 nM, 0.7 nM, 3.4 nM, and 2.9 nM for Notch1, -2, -3, and -4 receptors, respectively.

Purity: 98.07%
Clinical Data: Phase 1
Size: 5 mg, 10 mg, 25 mg

BMS-983970

BMS-983970 is an oral pan-Notch inhibitor for the treatment of multiplescancers.

Purity: 99.42%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Bruceine D

Bruceine D is a Notch inhibitor with anti-cancer activity and induces apoptosis in several human cancer cells. Bruceine D is an effective botanical insect antifeedant with outstanding systemic properties, causing potent pest growth inhibitory activity.

Purity: 95.75%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 20 mg

BT-GSI

BT-GSI is a γ-secretase inhibitor (GSI) and a bone-targeted Notch inhibitor. BT-GSI has dual anti-myeloma and anti-resorptive properties, which can be used for the research of multiple myeloma and associated bone disease. BT-GSI inhibits tumor growth and osteolytic disease progression.

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

Carvacrol

Carvacrol is a monoterpenoid phenol isolated from Lamiaceae family plants, with antioxidant, anti-inflammatory and anticancer properties. Carvacrol causes cell cycle arrest in G0/G1, downregulates Notch-1, and Jagged-1, and induces apoptosis.

Purity: 99.96%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 100 mg

CB-103

CB-103 is a first-in-class, orally active protein-protein interaction (PP) inhibitor of the NOTCH transcriptional activation complex. CB-103 has anti-tumor activity.

Purity: 99.77%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Crenigacestat (LY3039478)

Crenigacestat (LY3039478) is an orally active Notch and γ-secretase inhibitor, with an IC_{50} of 1 nM in most of the tumor cell lines tested.

Purity: 98.33%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

DAPT (GSI-IX)

DAPT (GSI-IX) is a potent and orally active γ-secretase inhibitor with IC_{50} of 315 nM and 200 nM for total amyloid-β (AB) and Aβ40 respectively. DAPT inhibits the activation of Notch1 signaling and induces cell differentiation.

Purity: 99.93%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com
FLI-06
Cat. No.: HY-15860
FLI-06 is an inhibitor of Notch signaling with an EC₅₀ of 2.3 μM.
Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

IMR-1
Cat. No.: HY-100431
IMR-1 is a novel class of Notch inhibitor targeting the transcriptional activation with an IC₅₀ of 26 μM.
Purity: 98.88%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

IMR-1A
Cat. No.: HY-100431A
IMR-1A, a acid metabolite of IMR-1, is a Notch inhibitor with an IC₅₀ of 0.5 μM. IMR-1A has a 50-fold increase in potency with respect to IMR-1. IMR-1 can metabolize in vivo to IMR-1A.
Purity: 98.23%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

Jagged-1 (188-204)
Cat. No.: HY-P1846
Jagged-1 (188-204) is a fragment of the Jagged-1 (JAG-1) protein. JAG-1 is a Notch ligand highly expressed in cultured and primary multiple myeloma (MM) cells. JAG-1 induces maturation of monocyte-derived human dendritic cells.
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Jagged-1 (188-204) (TFA)
Cat. No.: HY-P1846A
Jagged-1 (188-204) TFA is a fragment of the Jagged-1 (JAG-1) protein. JAG-1 is a Notch ligand highly expressed in cultured and primary multiple myeloma (MM) cells. JAG-1 induces maturation of monocyte-derived human dendritic cells.
Purity: 99.68%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

JIO51
Cat. No.: HY-117113
JIO51 is a stabilizer for the Hes1-PHB2 interaction. JIO51 interacts with a cancer-associated protein chaperone prohibitin 2 (PHB2), induces cell-cycle arrest by inhibiting the Notch downstream effector gene Hes1. Anti-cancer activity.
Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

JY-411575
Cat. No.: HY-50752
JY-411575 is a potent γ-secretase inhibitor with an IC₅₀ of 0.078 nM/0.082 nM (membrane/cell-based), and also inhibits Notch S3 cleavage with an IC₅₀ of 0.39 nM.
Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Notch 1 TFA
Cat. No.: HY-P1985A
Notch 1 TFA (Notch homolog 1, translocation-associated) can encode a member of the NOTCH family of proteins.
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Notch inhibitor 1
Cat. No.: HY-12860
Notch inhibitor 1 is a potent Notch inhibitor, with IC₅₀ of 7.8 and 8.5 nM for Notch 1 and Notch 3, respectively. Used in the research of cancer.
Purity: 99.81%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

Psoralidin
Cat. No.: HY-N0232
Psoralidin is a dual inhibitor of COX-2 and 5-LOX, regulates ionizing radiation (IR)-induced pulmonary inflammation. Anti-cancer, anti-bacterial, and anti-inflammatory properties. Psoralidin significantly downregulates NOTCH1 signaling.
Purity: 99.90%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg
<table>
<thead>
<tr>
<th><strong>RBPJ Inhibitor-1</strong>&lt;br&gt;(RIN1)</th>
<th><strong>RO4929097</strong>&lt;br&gt;(RG-4733)</th>
</tr>
</thead>
<tbody>
<tr>
<td>RBPJ Inhibitor-1 (RIN1), the first RBPJ inhibitor, blocks the functional interaction of RBPJ with SHARP. RBPJ Inhibitor-1 (RIN1) inhibits NOTCH-dependent tumor cell proliferation.</td>
<td>RO4929097 (RG-4733) is a γ secretase inhibitor with IC$<em>{50}$ of 4 nM, inhibiting cellular processing of Aβ40 and Notch with EC$</em>{50}$ of 14 nM and 5 nM, respectively.</td>
</tr>
<tr>
<td>Purity: 99.11% &lt;br&gt;Clinical Data: No Development Reported</td>
<td>Purity: 98.11% &lt;br&gt;Clinical Data: No Development Reported</td>
</tr>
<tr>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Rovalpituzumab</strong></th>
<th><strong>SAHM1</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Rovalpituzumab is a humanized monoclonal antibody against delta-like protein 3 (DLL3). Rovalpituzumab can be used in the synthesis of antibody-drug conjugate (ADC). Rovalpituzumab Tesinme. Rovalpituzumab has activity against small cell lung cancer (SCLC).</td>
<td>SAHM1, a peptide mimic of a dominant negative form of mastermind-like (MAML), inhibits canonical Notch transcription complex formation. SAHM1 can be used for the research of allergic airway inflammation in mice.</td>
</tr>
<tr>
<td>Purity: &gt;98% &lt;br&gt;Clinical Data: No Development Reported</td>
<td>Purity: &gt;98% &lt;br&gt;Clinical Data: No Development Reported</td>
</tr>
<tr>
<td>Size: 1 mg, 5 mg</td>
<td>Size: 1 mg, 5 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>SAHM1 TFA</strong></th>
<th><strong>Semagacestat</strong>&lt;br&gt;(LY450139)</th>
</tr>
</thead>
<tbody>
<tr>
<td>SAHM1 TFA is a Notch pathway inhibitor. SAHM1 TFA stabilizes hydrocarbon-stapled alpha helical peptide. SAHM1 TFA targets the protein-protein interface and prevents Notch complex assembly.</td>
<td>Semagacestat is a γ-secretase inhibitor, inhibits β-amyloid (Aβ42), Aβ38 and Aβ40 with IC$<em>{50}$ of 10.9, 12 and 12.1 nM, respectively; also inhibits Notch signaling with IC$</em>{50}$ of 14.1 nM. Semagacestat can be used for the research of alzheimer's disease.</td>
</tr>
<tr>
<td>Purity: &gt;98% &lt;br&gt;Clinical Data: No Development Reported</td>
<td>Purity: 99.56% &lt;br&gt;Clinical Data: Phase 3</td>
</tr>
<tr>
<td>Size: 1 mg, 5 mg</td>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Tangeretin</strong>&lt;br&gt;(Tangeritin; NSC53909; NSC618905)</th>
<th><strong>tCFA15</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td>Tangeretin (Tangeritin), a flavonoid from citrus fruit peels, has been proven to play an important role in anti-inflammatory responses and neuroprotective effects in several disease models, and is a Notch-1 inhibitor.</td>
<td>tCFA15 is a trimethyl cyclohexenonic long chain fatty alcohol containing 15 carbon atoms on the side chain, promotes the differentiation of neurons, and may regulates Notch signaling.</td>
</tr>
<tr>
<td>Purity: 99.51% &lt;br&gt;Clinical Data: No Development Reported</td>
<td>Purity: 99.37% &lt;br&gt;Clinical Data: No Development Reported</td>
</tr>
<tr>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th><strong>Valproic acid</strong>&lt;br&gt;(VPA; 2-Propylpentanoic Acid)</th>
<th><strong>Valproic acid sodium</strong>&lt;br&gt;(Sodium Valproate sodium)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Valproic acid (VPA; 2-Propylpentanoic Acid) is an HDAC inhibitor, with IC$<em>{50}$ in the range of 0.5 and 2 mM, also inhibits HDAC1 (IC$</em>{50}$ 400 μM), and induces proteasomal degradation of HDAC2.</td>
<td>Valproic acid sodium salt (Sodium Valproate) is an HDAC inhibitor, with IC$<em>{50}$ in the range of 0.5 and 2 mM, also inhibits HDAC1 (IC$</em>{50}$ 400 μM), and induces proteasomal degradation of HDAC2.</td>
</tr>
<tr>
<td>Purity: ≥98.0% &lt;br&gt;Clinical Data: Launched</td>
<td>Purity: ≥98.0% &lt;br&gt;Clinical Data: Launched</td>
</tr>
<tr>
<td>Size: 500 mg, 1 g, 5 g, 25 g</td>
<td>Size: 500 mg, 1 g, 5 g, 25 g</td>
</tr>
</tbody>
</table>
Valproic acid-d14 sodium
(Sodium Valproate-d14 sodium)
Cat. No.: HY-10585AS1
Valproic acid-d14 (sodium) is deuterium labeled Valproic acid (sodium). Valproic acid sodium salt (Sodium Valproate) is an HDAC inhibitor, with IC50 in the range of 0.5 and 2 mM, also inhibits HDAC1 (IC50, 400 μM), and induces proteasomal degradation of HDAC2.
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Valproic acid-d4
(VPA-d4; 2-Propylpentanoic Acid-d4)
Cat. No.: HY-10585S
Valproic acid-d4 (VPA-d4) is the deuterium labeled Valproic acid (VPA; 2-Propylpentanoic Acid) is an HDAC inhibitor, with IC50 in the range of 0.5 and 2 mM, also inhibits HDAC1 (IC50, 400 μM), and induces proteasomal degradation of HDAC2.
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Valproic acid-d4-1
(VPA-d4-1; 2-Propylpentanoic Acid-d4-1)
Cat. No.: HY-10585S4
Valproic acid-d4-1 (VPA-d4-1) is the deuterium labeled Valproic acid. Valproic acid (VPA; 2-Propylpentanoic Acid) is an HDAC inhibitor, with IC50 in the range of 0.5 and 2 mM, also inhibits HDAC1 (IC50, 400 μM), and induces proteasomal degradation of HDAC2.
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Valproic acid-d7 sodium
(Sodium Valproate-d7 sodium)
Cat. No.: HY-10585AS
Valproic acid-d7 (Sodium Valproate-d7) sodium is the deuterium labeled Valproic acid (sodium salt).
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 10 mg

YO-01027
(Dibenazepine; DBZ)
Cat. No.: HY-13526
YO-01027 (Dibenazepine;DBZ) is a potent \(\gamma\)-secretase inhibitor with IC\(_{50}\) values of 2.92 and 2.64 nM for Notch and APPL cleavage, respectively.
Purity: 98.67%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg

Valproic acid-d15
(VPA-d15; 2-Propylpentanoic Acid-d15)
Cat. No.: HY-10585S2
Valproic acid-d15 is the deuterium labeled Valproic acid. Valproic acid (VPA; 2-Propylpentanoic Acid) is an HDAC inhibitor, with IC50 in the range of 0.5 and 2 mM, also inhibits HDAC1 (IC50, 400 μM), and induces proteasomal degradation of HDAC2.
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Valproic acid-d4 sodium
(VPA-d4 sodium; 2-Propylpentanoic Acid-d4 sodium)
Cat. No.: HY-10585S3
Valproic acid-d4 (VPA-d4 sodium) is the deuterium labeled Valproic acid. Valproic acid (VPA; 2-Propylpentanoic Acid) is an HDAC inhibitor, with IC50 in the range of 0.5 and 2 mM, also inhibits HDAC1 (IC50, 400 μM), and induces proteasomal degradation of HDAC2.
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Valproic acid-d6
(VPA-d6; 2-Propylpentanoic Acid-d6)
Cat. No.: HY-10585S1
Valproic acid-d6 (VPA-d6) is the deuterium labeled Valproic acid. Valproic acid (VPA; 2-Propylpentanoic Acid) is an HDAC inhibitor, with IC50 in the range of 0.5 and 2 mM, also inhibits HDAC1 (IC50, 400 μM), and induces proteasomal degradation of HDAC2.
Purity: 98.71%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

Yhhu-3792
Cat. No.: HY-120782
Yhhu-3792 enhances the self-renewal capability of neural stem cells (NSCs). Yhhu-3792 activates Notch signaling pathway and promotes the expression of Hes3 and Hes5.
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Z-Ile-Leu-aldehyde
(Z-Ile-CHO; GSI-XII; \(\gamma\)-Secretase inhibitor XII)
Cat. No.: HY-12465
Z-Ile-Leu-aldehyde (Z-Ile-CHO) is a potent and competitive peptide aldehyde inhibitor of \(\gamma\)-secretase and notch.
Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

www.MedChemExpress.com
ZLDI-8

ZLDI-8 is a **Notch** activating/cleaving enzyme **ADAM-17** inhibitor and inhibits the cleavage of **Notch** protein. ZLDI-8 decreases the expression of pro-survival/anti-apoptosis and epithelial-mesenchymal transition (EMT) related proteins.

**Purity:** 98.53%

**Clinical Data:** No Development Reported

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

**Cat. No.:** HY-123931