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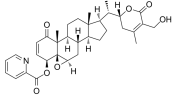
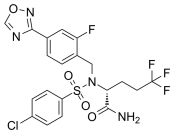
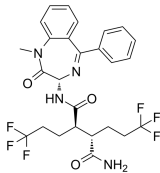
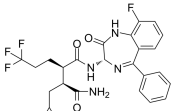
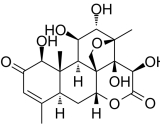
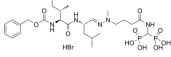
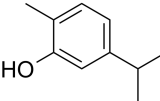
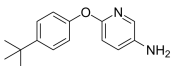
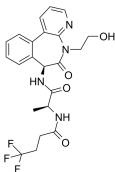
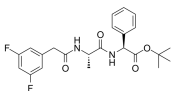
Inhibitors, Screening Libraries, Proteins

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.

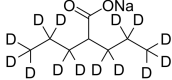
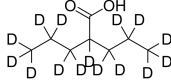
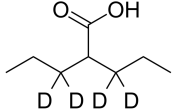
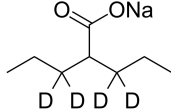
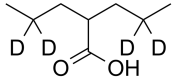
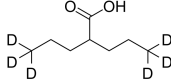
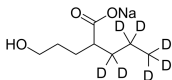
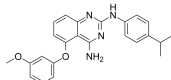
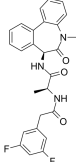
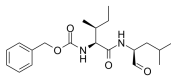
Regulation of Notch signaling is critical to development and maintenance of most eukaryotic organisms. The Notch receptors (NOTCH1, 2, 3, and 4) and ligands (DLL1, 3, and 4, JAG1 and 2) are integral membrane proteins and direct cell-cell interactions are needed to activate signaling. Ligand-expressing 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

<p>ASR-490</p> <p>Cat. No.: HY-144899</p> <p>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.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p> 	<p>Avagacestat (BMS-708163)</p> <p>Cat. No.: HY-50845</p> <p>Avagacestat (BMS-708163) is a potent inhibitor of γ-secretase, with IC_{50}s 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...</p> <p>Purity: 98.28%</p> <p>Clinical Data: Phase 2</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p> 
<p>BMS-906024</p> <p>Cat. No.: HY-15670</p> <p>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}s of 1.6 nM, 0.7 nM, 3.4 nM, and 2.9 nM for Notch1, -2, -3, and -4 receptors, respectively.</p> <p>Purity: 98.07%</p> <p>Clinical Data: Phase 1</p> <p>Size: 5 mg, 10 mg, 25 mg</p> 	<p>BMS-983970</p> <p>Cat. No.: HY-12419</p> <p>BMS-983970 is an oral pan-Notch inhibitor for the treatment of multiple cancers.</p> <p>Purity: 99.42%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p> 
<p>Bruceine D</p> <p>Cat. No.: HY-N3014</p> <p>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.</p> <p>Purity: 95.75%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 20 mg</p> 	<p>BT-GSI</p> <p>Cat. No.: HY-145428</p> <p>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.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p> 
<p>Carvacrol</p> <p>Cat. No.: HY-N0711</p> <p>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.</p> <p>Purity: 99.96%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 100 mg</p> 	<p>CB-103</p> <p>Cat. No.: HY-135145</p> <p>CB-103 is a first-in-class, orally active protein-protein interaction (PPI) inhibitor of the NOTCH transcriptional activation complex. CB-103 has anti-tumor activity.</p> <p>Purity: 99.77%</p> <p>Clinical Data: Phase 2</p> <p>Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p> 
<p>Crenigacestat (LY3039478)</p> <p>Cat. No.: HY-12449</p> <p>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.</p> <p>Purity: 98.33%</p> <p>Clinical Data: Phase 2</p> <p>Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p> 	<p>DAPT (GSI-IX)</p> <p>Cat. No.: HY-13027</p> <p>DAPT (GSI-IX) is a potent and orally active γ-secretase inhibitor with IC_{50}s of 115 nM and 200 nM for total amyloid-β (Aβ) and Aβ₄₂, respectively. DAPT inhibits the activation of Notch 1 signaling and induces cell differentiation.</p> <p>Purity: 99.93%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p> 

<p>FLI-06</p> <p style="text-align: right;">Cat. No.: HY-15860</p>	<p>IMR-1</p> <p style="text-align: right;">Cat. No.: HY-100431</p>
<p>FLI-06 is an inhibitor of Notch signaling with an EC_{50} of 2.3 μM.</p> <p>Purity: \geq98.0% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>IMR-1 is a novel class of Notch inhibitor targeting the transcriptional activation with an IC_{50} of 26 μM.</p> <p>Purity: 98.88% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>IMR-1A</p> <p style="text-align: right;">Cat. No.: HY-100431A</p>	<p>Jagged-1 (188-204)</p> <p style="text-align: right;">Cat. No.: HY-P1846</p>
<p>IMR-1A, an acid metabolite of IMR-1, is a Notch inhibitor with an IC_{50} 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.</p> <p>Purity: 98.23% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>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.</p> <p>Purity: $>$98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>Jagged-1 (188-204) (TFA)</p> <p style="text-align: right;">Cat. No.: HY-P1846A</p>	<p>JI051</p> <p style="text-align: right;">Cat. No.: HY-117113</p>
<p>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.</p> <p>Purity: 99.68% Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg</p>	<p>JI051 is a stabilizer for the Hes1-PHB2 interaction. JI051 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.</p> <p>Purity: \geq98.0% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>LY-411575</p> <p style="text-align: right;">Cat. No.: HY-50752</p>	<p>Notch 1 TFA</p> <p style="text-align: right;">Cat. No.: HY-P1985A</p>
<p>LY-411575 is a potent γ-secretase inhibitor with IC_{50} of 0.078 nM/0.082 nM (membrane/cell-based), and also inhibits Notch S3 cleavage with IC_{50} of 0.39 nM.</p> <p>Purity: \geq98.0% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>Notch 1 TFA (Notch homolog 1, translocation-associated) can encode a member of the NOTCH family of proteins.</p> <p>Purity: $>$98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>Notch inhibitor 1</p> <p style="text-align: right;">Cat. No.: HY-12860</p>	<p>Psoralidin</p> <p style="text-align: right;">Cat. No.: HY-N0232</p>
<p>Notch inhibitor 1 is a potent Notch inhibitor, with IC_{50}s of 7.8 and 8.5 nM for Notch 1 and Notch 3, respectively. Used in the research of cancer.</p> <p>Purity: 99.81% Clinical Data: No Development Reported Size: 5 mg, 10 mg</p>	<p>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.</p> <p>Purity: 99.90% Clinical Data: No Development Reported Size: 5 mg, 10 mg</p>

RBPJ Inhibitor-1 (RIN1)	RO4929097 (RG-4733)
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.	RO4929097 (RG-4733) is a γ secretase inhibitor with IC_{50} of 4 nM, inhibiting cellular processing of A β 40 and Notch with EC_{50} of 14 nM and 5 nM, respectively.
Purity: 99.11% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg	Purity: 98.11% Clinical Data: Phase 2 Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg
Rovalpituzumab	SAHM1
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 Tesirine. Rovalpituzumab has activity against small cell lung cancer (SCLC).	SAHM1, a peptide mimetic 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.
Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg	Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg
SAHM1 TFA	Semagacestat (LY450139)
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.	Semagacestat is a γ -secretase inhibitor, inhibits β-amyloid (Aβ42), Aβ38 and Aβ40 with IC_{50} s of 10.9, 12 and 12.1 nM, respectively; also inhibits Notch signaling with IC_{50} of 14.1 nM. Semagacestat can be used for the research of alzheimer's disease.
Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg	Purity: 99.56% Clinical Data: Phase 3 Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg
Tangeretin (Tangeritin; NSC53909; NSC618905)	tCFA15
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.	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.
Purity: 99.51% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg	Purity: 99.37% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg
Valproic acid (VPA; 2-Propylpentanoic Acid)	Valproic acid sodium (Sodium Valproate sodium)
Valproic acid (VPA; 2-Propylpentanoic Acid) is an HDAC inhibitor, with IC_{50} in the range of 0.5 and 2 mM, also inhibits HDAC1 (IC_{50} 400 μ M), and induces proteasomal degradation of HDAC2.	Valproic acid sodium salt (Sodium Valproate) is an HDAC inhibitor, with IC_{50} in the range of 0.5 and 2 mM, also inhibits HDAC1 (IC_{50} 400 μ M), and induces proteasomal degradation of HDAC2.
Purity: \geq 98.0% Clinical Data: Launched Size: 500 mg, 1 g, 5 g, 25 g	Purity: \geq 98.0% Clinical Data: Launched Size: 500 mg, 1 g, 5 g, 25 g

<p>Valproic acid-d14 sodium (Sodium Valproate-d14 sodium) Cat. No.: HY-10585AS1</p> <p>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.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p> 	<p>Valproic acid-d15 (VPA-d15; 2-Propylpentanoic Acid-d15) Cat. No.: HY-10585S2</p> <p>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.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p> 
<p>Valproic acid-d4 (VPA-d4; 2-Propylpentanoic Acid-d4) Cat. No.: HY-10585S</p> <p>Valproic acid-d4 (VPA-d4) 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.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg</p> 	<p>Valproic acid-d4 sodium (VPA-d4 sodium; 2-Propylpentanoic Acid-d4 sodium) Cat. No.: HY-10585S3</p> <p>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.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p> 
<p>Valproic acid-d4-1 (VPA-d4-1; 2-Propylpentanoic Acid-d4-1) Cat. No.: HY-10585S4</p> <p>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.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p> 	<p>Valproic acid-d6 (VPA-d6; 2-Propylpentanoic Acid-d6) Cat. No.: HY-10585S1</p> <p>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.</p> <p>Purity: 98.71% Clinical Data: No Development Reported Size: 5 mg, 10 mg</p> 
<p>Valproic acid-d7 sodium (Sodium Valproate-d7 sodium) Cat. No.: HY-10585AS</p> <p>Valproic acid-d7 (Sodium Valproate-d7) sodium is the deuterium labeled Valproic acid (sodium salt).</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 10 mg</p> 	<p>Yhhu-3792 Cat. No.: HY-120782</p> <p>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.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p> 
<p>YO-01027 (Dibenzazepine; DBZ) Cat. No.: HY-13526</p> <p>YO-01027 (Dibenzazepine;DBZ) is a potent γ-secretase inhibitor with IC₅₀ values of 2.92 and 2.64 nM for Notch and APPL cleavage, respectively.</p> <p>Purity: 98.67% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg</p> 	<p>Z-Ile-Leu-aldehyde (Z-IL-CHO; GSI-XII; γ-Secretase inhibitor XII) Cat. No.: HY-12465</p> <p>Z-Ile-Leu-aldehyde (Z-IL-CHO) is a potent and competitive peptide aldehyde inhibitor of γ-secretase and notch.</p> <p>Purity: ≥98.0% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg</p> 

ZLDI-8

Cat. No.: HY-123931

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

