Beta-secretase
BACE; β-Secretase

Beta-secretase (BACE) is an aspartic-acid protease important in the formation of myelin sheaths in peripheral nerve cells. The transmembrane protein contains two active site aspartate residues in its extracellular protein domain and may function as a dimer. Alzheimer’s disease (AD) is caused by aggregates of the amyloid peptide (Abeta), which is generated by cleavage of the Abeta protein precursor (APP) by beta-secretase (BACE-1) followed by gamma-secretase. BACE-1 cleavage is limiting for the production of Abeta. Drugs to block this enzyme (BACE inhibitors) prevent the build up of beta-amyloid and may help slow or stop Alzheimer’s disease.
Beta-secretase Inhibitors

**(1α,1'S,4β)-Lanabecestat**

Cat. No.: HY-100740C

(1α,1'S,4β)-Lanabecestat ((1α,1'S,4β)-AZD3293; (1α,1'S,4β)-LY3314814) is a Beta site APP Cleaving Enzymel (BACE1) inhibitor extracted from patent WO2012087237A1, compound 20a, has **IC\(_{50}\)** of 2.2 nM (TR-FRET assay) and 0.28 nM (sAPP\(_{\alpha}\) release assay), respectively.

- **Purity:** 97.20%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

**(Aloenin (Aloenin A))**

Cat. No.: HY-N0495

Aloenin (Aloenin A) is a class of anthraquinones isolated from Aloe arborescens. Aloenin has potent peroxyl radical-scavenging activities and moderate inhibitory active on β-secretase (BACE).

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

**(Aloeresin D)**

Cat. No.: HY-N2215

Aloeresin D is a chromone glycoside isolated from Aloe vera, inhibits β-Secretase (BACE1) activity, with an IC\(_{50}\) of 39 μM.

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

**(Atabecstat (JNJ-54861911))**

Cat. No.: HY-109052

Atabecstat (JNJ-54861911) is a potent brain-penetrant and orally active β-site amyloid precursor protein cleaving enzyme 1 (BACE1) inhibitor, achieves robust and high CSF Aβ reduction.

- **Purity:** 98.76%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

**(BACE-1 inhibitor 1)**

Cat. No.: HY-112297

BACE-1 inhibitor 1 (Compound 8a) is a potent BACE-1 inhibitor with an IC\(_{50}\) of 56 nM.

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

**(BACE-1 inhibitor 2)**

Cat. No.: HY-131068

BACE-1 inhibitor 2 is a potent and CNS permeable BACE-1 inhibitor with an IC\(_{50}\) of 1.5 nM in BACE-1 enzymatic assay.

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

**(BACE-IN-1)**

Cat. No.: HY-U00287

BACE-IN-1 (Compound 13) is a substituted Imidazo[1,2-α]pyridine derivative which can inhibit β-site amyloid precursor protein-cleaving enzyme (BACE) and that may be useful in the treatment of diseases in which BACE is involved, such as Alzheimer’s disease.

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

**(BACE1-IN-1)**

Cat. No.: HY-100182

BACE1-IN-1 is a potent and highly brain penetrant BACE1 inhibitor with IC\(_{50}\) s of 32 and 47 nM for human BACE1 and BACE2, respectively.

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

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Tel: 609-228-6898    Fax: 609-228-5909    Email: sales@MedChemExpress.com
<table>
<thead>
<tr>
<th><strong>BACE1-IN-2</strong></th>
<th><strong>BACE1-IN-4</strong></th>
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<tbody>
<tr>
<td><strong>Cat. No.: HY-112444</strong></td>
<td><strong>Cat. No.: HY-128594</strong></td>
</tr>
<tr>
<td>BACE1-IN-2 is a 1,4-Oxazine β-Secretase 1 (BACE1) inhibitor with an IC_{50} of 22 nM.</td>
<td>BACE1-IN-4 is a potent and highly selective BACE1 inhibitor, with an IC_{50} of 3.8 nM and a K_{i} of 1.9 nM, more selective at BACE1 over BACE2. Anti-Alzheimer’s disease.</td>
</tr>
<tr>
<td><strong>Purity:</strong> &gt;98%</td>
<td><strong>Purity:</strong> &gt;98%</td>
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<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong> 1 mg, 5 mg</td>
<td><strong>Size:</strong> 1 mg, 5 mg</td>
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<thead>
<tr>
<th><strong>BACE1-IN-5</strong></th>
<th><strong>Elenbecestat (E2609)</strong></th>
</tr>
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<tbody>
<tr>
<td><strong>Cat. No.: HY-130244</strong></td>
<td><strong>Cat. No.: HY-109055</strong></td>
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<tr>
<td>BACE1-IN-5 (Compound 15) is a β-site amyloid precursor protein cleaving enzyme 1 (BACE1) inhibitor with an IC_{50} of 9.1 nM, and also inhibits cellular amyloid-β (Aβ) with an IC_{50} of 0.82 nM. BACE1-IN-5 has a medicinal chemistry that improves hERG inhibition and P-gp efflux.</td>
<td>Elenbecestat (E2609) is a potent, orally bioavailable and CNS-penetrant BACE-1 inhibitor for the treatment of Alzheimer’s disease (AD).</td>
</tr>
<tr>
<td><strong>Purity:</strong> &gt;98%</td>
<td><strong>Purity:</strong> 99.77%</td>
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<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong> 1 mg, 5 mg</td>
<td><strong>Size:</strong> 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
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<table>
<thead>
<tr>
<th><strong>Epiberberine</strong></th>
<th><strong>Epiberberine chloride</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Cat. No.: HY-N0226</strong></td>
<td><strong>Cat. No.: HY-N0226A</strong></td>
</tr>
<tr>
<td>Epiberberine is an alkaloid isolated from Coptis chinensis, acts as a potent AChE and BChE inhibitor, with IC_{50}s of 1.07, 6.03 and 8.55 μM, respectively.</td>
<td>Epiberberine chloride is an alkaloid isolated from Coptis chinensis, acts as a potent AChE and BChE inhibitor, with IC_{50}s of 1.07, 6.03 and 8.55 μM, respectively.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 98.46%</td>
<td><strong>Purity:</strong> 98.89%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> No Development Reported</td>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong> 5 mg, 10 mg, 50 mg</td>
<td><strong>Size:</strong> 10 mM × 1 mL, 5 mg, 10 mg</td>
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<thead>
<tr>
<th><strong>Eslicarbazepine acetate (BIA 2-093)</strong></th>
<th><strong>Glabrolide</strong></th>
</tr>
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<tbody>
<tr>
<td><strong>Cat. No.: HY-80703</strong></td>
<td><strong>Cat. No.: HY-N4186</strong></td>
</tr>
<tr>
<td>Eslicarbazepine acetate, an antiepileptic drug, is a dual a dual inhibitor of β-Secretase and voltage-gated sodium channel.</td>
<td>Glabrolide, derived from Glycyrrhiza uralensis Fisch., is a β-secretase 1 (BACE1) inhibitor.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.98%</td>
<td><strong>Purity:</strong> &gt;98%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Launched</td>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong> 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 500 mg</td>
<td><strong>Size:</strong> 1 mg, 5 mg</td>
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<thead>
<tr>
<th><strong>Lanabecestat (AZD3293; LY3314814)</strong></th>
<th><strong>LX2343</strong></th>
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</thead>
<tbody>
<tr>
<td><strong>Cat. No.: HY-100740</strong></td>
<td><strong>Cat. No.: HY-111383</strong></td>
</tr>
<tr>
<td>Lanabecestat (AZD3293) is a potent, orally active and blood-brain barrier penetrating BACE1 inhibitor with a K_{i} of 0.4 nM.</td>
<td>LX2343 is a BACE1 enzyme inhibitor with an IC_{50} value of 11.43±0.36 μM. LX2343 acts as a non-ATP competitive PI3K inhibitor with an IC_{50} of 15.99±3.23 μM. LX2343 stimulates autophagy in its promotion of Aβ clearance.</td>
</tr>
<tr>
<td><strong>Purity:</strong> 99.76%</td>
<td><strong>Purity:</strong> 99.86%</td>
</tr>
<tr>
<td><strong>Clinical Data:</strong> Phase 3</td>
<td><strong>Clinical Data:</strong> No Development Reported</td>
</tr>
<tr>
<td><strong>Size:</strong> 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
<td><strong>Size:</strong> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
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</table>
LY2811376

LY2811376 is the first orally available non-peptidic β-secretase (BACE1) inhibitor with IC\textsubscript{50} of 239 nM-249 nM, that acts to decrease Aβ secretion with IC\textsubscript{50} of 300 nM, and demonstrates to have 10-fold selectivity towards BACE1 over BACE2, and more than 50-fold inhibition over...

Purity: 99.88%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

LY2886721

LY2886721 is a potent, selective and orally active beta-site amyloid precursor protein cleaving enzyme 1 (BACE1) inhibitor with an IC\textsubscript{50} of 20.3 nM for recombinant human BACE1.

Purity: 99.92%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

NB-360

NB-360 is a potent, brain penetrable, and orally bioavailable dual BACE1/BACE2 inhibitor (IC\textsubscript{50}: mouse and human BACE1=5 nM; BACE2=6 nM).

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

PF-06751979

PF-06751979 is a potent, brain penetrant, β-site amyloid precursor protein cleaving enzyme (BACE1) inhibitor with an IC\textsubscript{50} of 20.3 nM for recombinant human BACE1.

Purity: 98.15%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Scoulerine

Scoulerine ((-)-Scoulerine), an isoquinoline alkaloid, is a potent antimitotic compound. Scoulerine is also an inhibitor of BACE1 (β-site amyloid precursor protein cleaving enzyme 1). Scoulerine inhibits proliferation, arrests cell cycle, and induces apoptosis in cancer cells.

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

Sophoflavescenol

Sophoflavescenol is a prenylated flavonol, which shows great inhibitory activity with IC\textsubscript{50} of 0.013 μM against Phosphodiesterase 5 (PDE5), and also inhibits RLAR, HRAR, AGE, BACE1, AChE and BChE with IC\textsubscript{50}s of 0.30 μM, 0.17 μM, 17.89 μg/mL, 10.98 μM, 8.37 μM and 8.21 μM, respectively.

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

Tenuifolin

Tenuifolin is a triterpene isolated from Polygala tenuifolia Willd, has neuroprotective effects. Tenuifolin reduces Aβ secretion by inhibiting β-secretase.

Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 20 mg

Verubecestat

Verubecestat (MK-8931) is an orally active, high-affinity BACE1 and BACE2 inhibitor with K\textsubscript{i} values of 2.2 nM and 0.38 nM. Verubecestat effectively reduces Aβ40 and has the potential for Alzheimer's Disease.

Purity: 99.69%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

β-Secretase Inhibitor IV

β-Secretase Inhibitor IV is a potent, cell-active BACE-1 inhibitor with IC\textsubscript{50}s of 15.6 and 16.3 nM under BACE-1 concentrations of 2 nM and 100 pM, respectively.

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