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**

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**(1α,1'S,4β)-Lanabecestat**

(1α,1'S,4β)-AZD3293; (1α,1'S,4β)-LY3314814) **Cat. No.: HY-100740C**

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

- **Purity:** 97.20%
- **Clinical Data:** No Development Reported
- **Size:** 10 mM × 1 mL, 2 mg, 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 \(\beta\)-secretase (BACE).

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

**AZD3839 free base**

**Cat. No.: HY-13438**

AZD3839 (free base) is a potent and selective BACE1 inhibitor with IC\(_{50}\) of 23.6 nM, about 14-fold selectivity over BACE2, also a \(\beta\)-secretase enzyme inhibitor.

- **Purity:** 99.99%
- **Clinical Data:** Phase 1
- **Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 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 \(\beta\)-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, 10 mg, 20 mg

**BACE-IN-2**

**Cat. No.: HY-112444**

BACE-IN-2 is a 1,4-Oxazine \(\beta\)-Secretase 1 (BACE1) inhibitor with an IC\(_{50}\) of 22 nM.

- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 100 mg, 250 mg, 500 mg

**BACE-IN-3**

**Cat. No.: HY-112443**

BACE-IN-3 is a 1,4-Oxazine \(\beta\)-Secretase 1 (BACE1) inhibitor with an IC\(_{50}\) of 40 nM.

- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 100 mg, 250 mg, 500 mg

**BACE-IN-4**

**Cat. No.: HY-128594**

BACE-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.

- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 100 mg, 250 mg, 500 mg
BACE1-IN-5
Cat. No.: HY-130244

BACE1-IN-5 (Compound 15) is a β-site amyloid precursor protein cleaving enzyme 1 (BACE1) inhibitor with an IC<sub>50</sub> of 9.1 nM, and also inhibits cellular amyloid-β (Aβ) with an IC<sub>50</sub> of 0.82 nM. BACE1-IN-5 has a medicinal chemistry that improves hERG inhibition and P-gp efflux.

Purity: >98%
Clinical Data: No Development Reported
Size: 100 mg, 250 mg, 500 mg

Elenbecestat (E2609)
Cat. No.: HY-109055

Elenbecestat (E2609) is a potent, orally bioavailable and CNS-penetrant BACE-1 inhibitor for the treatment of Alzheimer's disease (AD).

Purity: 99.77%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Epiberberine
Cat. No.: HY-N0226

Epiberberine is an alkaloid isolated from Coptis chinensis, acts as a potent AChE and BChE inhibitor, and a non-competitive BACE1 inhibitor, with IC<sub>50</sub>s of 1.07, 6.03 and 8.55 μM, respectively.

Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg

Epiberberine chloride
Cat. No.: HY-N0226A

Epiberberine chloride is an alkaloid isolated from Coptis chinensis, acts as a potent AChE and BChE inhibitor, and a non-competitive BACE1 inhibitor, with IC<sub>50</sub>s of 1.07, 6.03 and 8.55 μM, respectively.

Purity: 99.60%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

Eslicarbazepine acetate (BIA 2-093)
Cat. No.: HY-B0703

Eslicarbazepine acetate, an antiepileptic drug, is a dual inhibitor of β-Secretase and voltage-gated sodium channel.

Purity: 99.98%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 500 mg

Lanabecestat (AZD3293; LY3314814)
Cat. No.: HY-100740

Lanabecestat (AZD3293) is a potent, highly permeable, orally active and blood-brain barrier penetrating BACE1 inhibitor with a Ki of 0.4 nM.

Purity: 99.76%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

LY2811376
Cat. No.: HY-10472

LY2811376 is the first orally available non-peptidic β-secretase (BACE1) inhibitor with IC<sub>50</sub> of 239 nM-249 nM, that acts to decrease Aβ secretion with EC<sub>50</sub> 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
Cat. No.: HY-13240

LY2886721 is a BACE inhibitor used for the treatment of Alzheimer's Disease.

Purity: 99.92%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg
NB-360
Cat. No.: HY-124322
NB-360 is a potent, brain penetrable, and orally bioavailable dual BACE1/BACE2 inhibitor (IC_{50}: mouse and human BACE1=5 nM; BACE2=6 nM).

Purity:  >98%
Clinical Data:
Size:  100 mg, 250 mg, 500 mg

PF-06751979
Cat. No.: HY-112157
PF-06751979 is a potent, brain penetrant, β-site amyloid precursor protein cleaving enzyme 1 (BACE1) inhibitor with an IC_{50} of 7.3 nM in BACE1 binding assay.

Purity:  99.00%
Clinical Data:  No Development Reported
Size:  10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Sophoflavescenol
Cat. No.: HY-N2284
Sophoflavescenol is a prenylated flavonol, which shows great inhibitory activity with IC_{50} of 0.013 μM against Phosphodiesterase 5 (PDE5), and also inhibits RLAR, HRAR, AGE, BACE1, AChE and BChE with IC_{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:  98.15%
Clinical Data:  No Development Reported
Size:  1 mg, 5 mg

Umibecestat
(CNP520)
Cat. No.: HY-119689
Umibecestat (CNP520) is a β-site amyloid precursor protein cleaving enzyme-1 (BACE-1) inhibitor with IC_{50}s of 11 nM and 10 nM for human BACE-1 and mouse BACE-1, respectively.

Purity:  >98%
Clinical Data:  No Development Reported
Size:  100 mg, 250 mg, 500 mg

Verubecestat
(MK-8931)
Cat. No.: HY-16759
Verubecestat (MK-8931) is a beta-secretase 1 (BACE1) inhibitor under investigation for the treatment of 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
Cat. No.: HY-10133
β-Secretase Inhibitor IV is a potent, cell-active BACE-1 inhibitor with IC_{50}s of 15.6 and 16.3nM under BACE-1 concentrations of 2 nM and 100 pM, respectively.

Purity:  >98%
Clinical Data:  No Development Reported
Size:  100 mg, 250 mg, 500 mg