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 Alzheimers disease.
## Beta-secretase Inhibitors

### AZD3839 (free base)

AZD3839 (free base) is a potent and selective BACE1 inhibitor with IC50 of 23.6 μM, about 14-fold selectivity over BACE2, also a β-secretase enzyme inhibitor.

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

### BACE-1 inhibitor 1

BACE-1 inhibitor 1 (Compound 8a) is a potent β-secretase 1 inhibitor with an IC50 of 56 nM.

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

### BACE-IN-1

BACE-IN-1 is a substituted Imidazo[1,2-a]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, 10 mg, 20 mg

### BACE1-IN-1

BACE1-IN-1 is a potent and highly brain penetrant inhibitor with an IC50 of 32 and 47 nM for human BACE1 and BACE2, respectively.

- **Purity:** >98%
- **Clinical Data:** No Development Reported
- **Size:** 1 mg, 5 mg, 10 mg

### Elenbecestat (E2609)

Elenbecestat (E2609) is a novel potent BACE-1 inhibitor for the treatment of Alzheimer’s disease (AD).

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

### Epiberberine

Epiberberine is an alkaloid isolated from Coptis chinensis, acts as a potent AChE and BChE inhibitor, and a non-competitive BACE1 inhibitor, with IC50 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

Epiberberine chloride is an alkaloid isolated from Coptis chinensis, acts as a potent AChE and BChE inhibitor, and a non-competitive BACE1 inhibitor, with IC50 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

### BACE1-IN-2

BACE1-IN-2 is a 1,4-Oxazine β-Secretase 1 (BACE1) inhibitor with an IC50 of 22 nM.

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

### Elicarbazepine acetate (BIA 2-093)

Elicarbazepine 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

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**Tel:** 609-228-6898  **Fax:** 609-228-5909  **Email:** sales@MedChemExpress.com
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 $K_i$ 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

LX2343  
Cat. No.: HY-111383  
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.

Purity: 99.86%  
Clinical Data: No Development Reported  
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

LY2811376  
Cat. No.: HY-10472  
LY2811376 is the first orally available non-peptidic BACE1 inhibitor with IC$_{50}$ of 239 nM-249 nM, that acts to decrease Aβ secretion with EC$_{50}$ of 300 nM, and demonstrates to have 10-fold selectivity towards BACE1 over BACE2, and more than 50-fold inhibition over...

Purity: 99.79%  
Clinical Data: Phase 1  
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 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 beta-site amyloid precursor protein cleaving enzyme-1 (BACE-1) inhibitor with IC$_{50}$ of 11 nM and 10 nM for human BACE-1 and mouse BACE-1, respectively.

Purity: >98%  
Clinical Data: No Development Reported  
Size: 1 mg, 5 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: 99.79%  
Clinical Data: Phase 3  
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

β-Secretase Inhibitor IV (Axon 1125)  
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: 250 mg, 500 mg