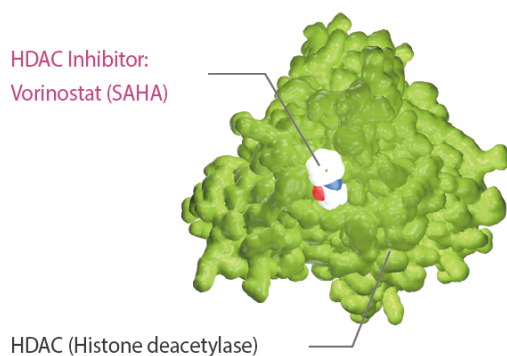


Beta-secretase


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 (A β), which is generated by cleavage of the A β protein precursor (APP) by beta-secretase (BACE-1) followed by gamma-secretase. BACE-1 cleavage is limiting for the production of A β , 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 & Modulators


<p>AZD3839 free base</p> <p style="text-align: right;">Cat. No.: HY-13438</p> <p>Bioactivity: AZD3839 (free base) is a potent and selective BACE1 inhibitor with IC50 of 23.6 uM, about 14-fold selectivity over BACE2, also a β-secretase enzyme inhibitor.</p> <p>Purity: 99.99%</p> <p>Clinical Data: Phase 1</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg</p> 	<p>BACE-1 inhibitor 1</p> <p style="text-align: right;">Cat. No.: HY-112297</p> <p>Bioactivity: BACE-1 inhibitor 1 (Compound 8a) is a potent BACE-1 inhibitor with an IC₅₀ of 56 nM [1].</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size:</p> 
<p>BACE-IN-1</p> <p style="text-align: right;">Cat. No.: HY-U00287</p> <p>Bioactivity: BACE-IN-1 (Compound 13) 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.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg, 10 mg, 20 mg</p> 	<p>BACE1-IN-1</p> <p style="text-align: right;">Cat. No.: HY-100182</p> <p>Bioactivity: BACE1-IN-1 is a potent and highly brain penetrant BACE1 inhibitor with IC₅₀s of 32 and 47 nM for human BACE1 and BACE2, respectively.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg, 10 mg</p> 
<p>BACE1-IN-2</p> <p style="text-align: right;">Cat. No.: HY-112444</p> <p>Bioactivity: BACE1-IN-2 is a 1,4-Oxazine β-Secretase 1 (BACE1) inhibitor with an IC₅₀ of 22 nM [1].</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size:</p> 	<p>Epiberberine</p> <p style="text-align: right;">Cat. No.: HY-N0226</p> <p>Bioactivity: Epiberberine is an alkaloid isolated from Coptis chinensis, acts as a potent AChE and BChE inhibitor, and a non-competitive BACE1 inhibitor, with IC₅₀s of 1.07, 6.03 and 8.55 μM, respectively. Epiberberine has antioxidant activity, w...</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 5 mg, 10 mg, 50 mg</p> 
<p>Epiberberine chloride</p> <p style="text-align: right;">Cat. No.: HY-N0226A</p> <p>Bioactivity: Epiberberine chloride, a natural alkaloid, is a BACE1 inhibitor, which also exhibits inhibition activity on CYP2D6 and aldose reductase, alpha-adrenoceptors, acetylcholinesterase (AChE), butyrylcholinesterase, and b-site amyloid precursor protein cleaving enzyme 1.</p> <p>Purity: 99.60%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg</p> 	<p>Eslicarbazepine acetate (BIA 2-093)</p> <p style="text-align: right;">Cat. No.: HY-B0703</p> <p>Bioactivity: Eslicarbazepine acetate, an antiepileptic drug, is a dual a dual Inhibitor of β-Secretase and voltage-gated sodium channel.</p> <p>Purity: 99.48%</p> <p>Clinical Data: Launched</p> <p>Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 500 mg</p> 
<p>Lanabecestat (AZD3293; LY3314814)</p> <p style="text-align: right;">Cat. No.: HY-100740</p> <p>Bioactivity: Lanabecestat (AZD3293) is a potent, highly permeable, orally active and blood-brain barrier penetrating BACE1 inhibitor with a K_i of 0.4 nM.</p> <p>Purity: 99.76%</p> <p>Clinical Data: Phase 3</p> <p>Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>LX2343</p> <p style="text-align: right;">Cat. No.: HY-111383</p> <p>Bioactivity: LX2343 is a BACE1 enzyme inhibitor with an IC₅₀ value of 11.43\pm0.36 μM. LX2343 acts as a non-ATP competitive PI3K inhibitor with an IC₅₀ of 15.99\pm3.23 μM. LX2343 stimulates autophagy in its promotion of Aβ clearance.</p> <p>Purity: 99.86%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 

LY2811376	Cat. No.: HY-10472
Bioactivity: LY2811376 is the first orally available non-peptidic β-secretase (BACE1) inhibitor with IC₅₀ of 239 nM-249 nM, that acts to decrease Aβ secretion with EC₅₀ of 300 nM, and demonstrates to have 10-fold selectivity towards BACE1 o...	
Purity: 99.79%	
Clinical Data: Phase 1	
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg	

LY2886721	Cat. No.: HY-13240
Bioactivity: LY2886721 is an BACE inhibitor used for the treatment of Alzheimer's Disease.	
Purity: 99.67%	
Clinical Data: Phase 2	
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg	

PF-06751979	Cat. No.: HY-112157
Bioactivity: PF-06751979 is a potent, brain penetrant, β-site amyloid precursor protein cleaving enzyme 1 (BACE1) inhibitor with an IC₅₀ of 7.3 nM in BACE1 binding assay.	
Purity: 99.00%	
Clinical Data: No Development Reported	
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg	

Sophoflavescenol	Cat. No.: HY-N2284
Bioactivity: Sophoflavescenol is a prenylated flavonol, which shows great inhibitory activity with IC₅₀ of 0.013 μM against Phosphodiesterase 5 (PDE5) , and also inhibits RLAR, HRAR, AGE, BACE1, AChE and BChE with IC₅₀s of 0.30 μM, 0.17 μM, 17...	
Purity: 98.15%	
Clinical Data: No Development Reported	
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg	

Verubecestat (MK-8931)	Cat. No.: HY-16759
Bioactivity: 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: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg	

β-Secretase Inhibitor IV (Axon 1125)	Cat. No.: HY-10133
Bioactivity: β-Secretase Inhibitor IV is a potent, cell-active BACE-1 inhibitor with IC₅₀s 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	