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Inhibitors, Screening Libraries, Proteins

Beta-secretase

BACE; β -Secretase

Beta-secretase (BACE) is a transmembrane aspartic proteinase responsible for cleaving the amyloid precursor protein (APP) to generate the soluble ectodomain sAPPbeta and its C-terminal fragment CTFbeta. BACE is a major target of Alzheimer's disease (AD) therapeutics. There are two forms of the enzyme: BACE1 and BACE2.

Deposition of amyloid- β protein ($A\beta$) to form neuritic plaques is the characteristic neuropathology of Alzheimer's disease (AD). $A\beta$ is generated from APP by β - and γ -secretase cleavages. BACE1 is the β -secretase and its inhibition induces severe side effects, whereas its homolog BACE2 normally suppresses $A\beta$ by cleaving APP/ $A\beta$ at the θ -site (Phe20) within the $A\beta$ domain.

Beta-secretase Inhibitors

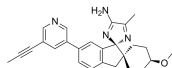
(1 α ,1'S,4 β)-Lanabecestat

((1 α ,1'S,4 β)-AZD3293; (1 α ,1'S,4 β)-LY3314814)

Cat. No.: HY-100740C

(1 α ,1'S,4 β)-Lanabecestat ((1 α ,1'S,4 β)-AZD3293) a less active enantiomer of Lanabecestat.

Lanabecestat is a potent, orally active and blood-brain barrier penetrating BACE1 inhibitor with a K_i of 0.4 nM.



Purity: 97.20%

Clinical Data: No Development Reported

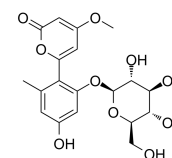
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Aloenin

(Aloenin A)

Cat. No.: HY-N0495

Aloenin (Aloenin A) is a natural compound, which has potent peroxy radical-scavenging activities and moderate inhibitory active on β -secretase (BACE).



Purity: >98%

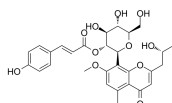
Clinical Data: No Development Reported

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 μ M.



Purity: >98%

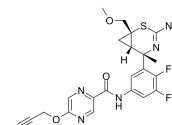
Clinical Data: No Development Reported

Size: 1 mg, 5 mg

AM-6494

Cat. No.: HY-128774

AM-6494 is a potent and orally active BACE1 (efficient β -site amyloid precursor protein cleaving enzyme 1) inhibitor (IC_{50} =0.4 nM) with in vivo selectivity over BACE2 (IC_{50} =18.6 nM).



Purity: >98%

Clinical Data: No Development Reported

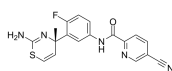
Size: 1 mg, 5 mg

Atabecestat

(JNJ-54861911)

Cat. No.: HY-109052

Atabecestat (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 A β reduction.



Purity: 98.76%

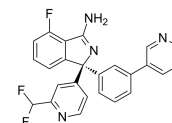
Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

AZD3839 free base

Cat. No.: HY-13438

AZD3839 free base is a potent and selective orally active, brain-permeable BACE1 inhibitor (K_i =26 nM). AZD3839 free base shows 14 and >1000-fold selectivity against BACE2 and cathepsin D, respectively.



Purity: 99.98%

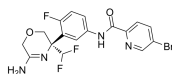
Clinical Data: Phase 1

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 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%

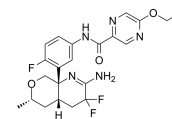
Clinical Data: No Development Reported

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%

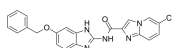
Clinical Data: No Development Reported

Size: 1 mg, 5 mg

BACE-IN-1

Cat. No.: HY-U00287

BACE-IN-1 (Compound 13) is a substituted Imidazo[1,2-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%

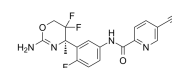
Clinical Data: No Development Reported

Size: 1 mg, 5 mg

BACE1-IN-1

Cat. No.: HY-100182

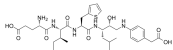
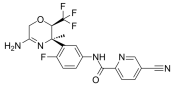
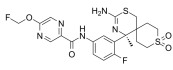
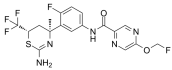
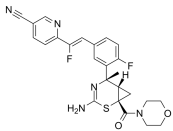
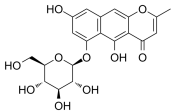
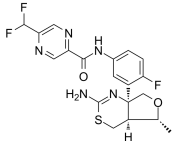
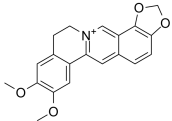
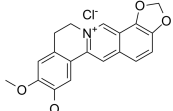
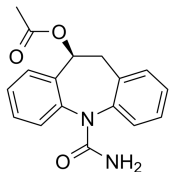
BACE1-IN-1 is a potent and highly brain penetrant BACE1 inhibitor with IC_{50} s of 32 and 47 nM for human BACE1 and BACE2, respectively.

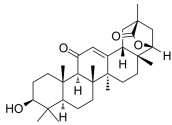
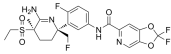
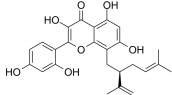
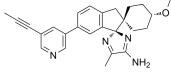
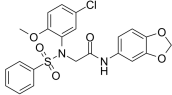
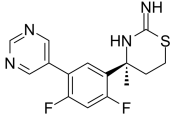
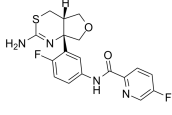
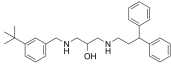
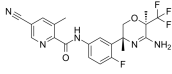
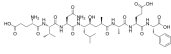


Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

<p>BACE1-IN-10</p> <p style="text-align: right;">Cat. No.: HY-P3426</p> <p>BACE1-IN-10 is a potent BACE1 Inhibitor. BACE1-IN-10 shows sub-micromolar activity against recombinant BACE1 (rBACE1).</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>BACE1-IN-2</p> <p style="text-align: right;">Cat. No.: HY-112444</p> <p>BACE1-IN-2 is a 1,4-Oxazine β-Secretase 1 (BACE1) inhibitor with an IC_{50} of 22 nM.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>BACE1-IN-4</p> <p style="text-align: right;">Cat. No.: HY-128594</p> <p>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.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>BACE1-IN-5</p> <p style="text-align: right;">Cat. No.: HY-130244</p> <p>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.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>BACE1-IN-6</p> <p style="text-align: right;">Cat. No.: HY-145345</p> <p>BACE1-IN-6 is a BACE1 inhibitor with an IC_{50} value of 1.5 nM.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>Cassiaside</p> <p style="text-align: right;">Cat. No.: HY-N7887</p> <p>Cassiaside is a naphthopyrone glucoside, shows mixed-type inhibition against BACE1 (IC_{50}=4.45 μM; K_i=9.85 μM). Cassiaside possesses potential anti- Alzheimer's disease (AD) activity.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg</p>
<p>Elenbecestat (E2609)</p> <p style="text-align: right;">Cat. No.: HY-109055</p> <p>Elenbecestat (E2609) is a potent, orally bioavailable and CNS-penetrant BACE-1 inhibitor. Elenbecestat has the potential for Alzheimer's disease (AD) research.</p>  <p>Purity: 99.77% Clinical Data: Phase 3 Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>Epiberberine</p> <p style="text-align: right;">Cat. No.: HY-N0226</p> <p>Epiberberine is an alkaloid isolated from <i>Coptis chinensis</i>, acts as a potent AChE and BChE inhibitor, and a non-competitive BACE1 inhibitor, with IC_{50}s of 1.07, 6.03 and 8.55 μM, respectively.</p>  <p>Purity: 98.46% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 50 mg</p>
<p>Epiberberine chloride</p> <p style="text-align: right;">Cat. No.: HY-N0226A</p> <p>Epiberberine chloride is an alkaloid isolated from <i>Coptis chinensis</i>, acts as a potent AChE and BChE inhibitor, and a non-competitive BACE1 inhibitor, with IC_{50}s of 1.07, 6.03 and 8.55 μM, respectively.</p>  <p>Purity: 99.03% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg</p>	<p>Eslicarbazepine acetate (BIA 2-093)</p> <p style="text-align: right;">Cat. No.: HY-B0703</p> <p>Eslicarbazepine acetate (BIA 2-093), an antiepileptic drug, is a dual a dual Inhibitor of β-Secretase and voltage-gated sodium channel.</p>  <p>Purity: 99.98% Clinical Data: Launched Size: 10 mM \times 1 mL, 10 mg, 50 mg, 100 mg, 500 mg</p>

<p>Glabrolide</p> <p>Cat. No.: HY-N4186</p>	<p>JNJ-67569762</p> <p>Cat. No.: HY-132895</p>
<p>Glabrolide, derived from <i>Glycyrrhiza uralensis</i> Fisch., is a β-secretase 1 (BACE-1) inhibitor.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 5 mg</p>	<p>JNJ-67569762 is a selective BACE1 inhibitor targeting the S3 pocket (IC_{50} = 2.7 nM).</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>Kushenol C</p> <p>Cat. No.: HY-108966</p>	<p>Lanabecestat (AZD3293; LY3314814)</p> <p>Cat. No.: HY-100740</p>
<p>Kushenol C, isolated from the roots of <i>Sophora flavescens</i>, shows anti-inflammatory and anti-oxidative stress activities. Kushenol C inhibits BACE1 (β-site APP cleaving enzyme 1) with an IC_{50} of 5.45 μM.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg</p>	<p>Lanabecestat (AZD3293) is a potent, orally active and blood-brain barrier penetrating BACE1 inhibitor with a K_i of 0.4 nM. Lanabecestat is used for the research of Alzheimer's disease.</p>  <p>Purity: 99.82% Clinical Data: Phase 3 Size: 10 mM \times 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>LX2343</p> <p>Cat. No.: HY-111383</p>	<p>LY2811376</p> <p>Cat. No.: HY-10472</p>
<p>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.</p>  <p>Purity: 99.80% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>LY2811376 is the first orally available non-peptidic β-secretase (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...</p>  <p>Purity: 99.88% Clinical Data: Phase 1 Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>LY2886721</p> <p>Cat. No.: HY-13240</p>	<p>Multitarget AD inhibitor-1</p> <p>Cat. No.: HY-136813</p>
<p>LY2886721 is a potent, selective and orally active beta-site amyloid precursor protein cleaving enzyme 1 (BACE1) inhibitor with an IC_{50} of 20.3 nM for recombinant human BACE1.</p>  <p>Purity: 99.92% Clinical Data: Phase 2 Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>Multitarget AD inhibitor-1 is a selective and reversible butyrylcholinesterase (BuChE) inhibitor with IC_{50}s of 7.22 μM and 1.55 μM for hBuChE and eqBuChE (BuChE from equine serum), respectively.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>NB-360</p> <p>Cat. No.: HY-124322</p>	<p>OM99-2</p> <p>Cat. No.: HY-P2713</p>
<p>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).</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>OM99-2, an eight residue peptidomimetic, tight-binding inhibitor of human brain mepsin 2 with a K_i value of 9.58 nM. OM99-2 is significantly advanced the development of BACE1 inhibitor. OM99-2 has the potential for the research of the Alzheimer's disease.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>

<p>OM99-2 TFA</p> <p style="text-align: right;">Cat. No.: HY-P2713A</p> <p>OM99-2 TFA, an eight residue peptidomimetic, tight-binding inhibitor of human brain memapsin 2 with a K_i value of 9.58 nM. OM99-2 TFA is significantly advanced the development of BACE1 inhibitor. OM99-2 has the potential for the research of the Alzheimer's disease.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p style="text-align: right;">Cat. No.: HY-112157</p> <p>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.</p> <p>Purity: 99.40% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p>Scoulerine (-)-Scoulerine; Discretamine)</p> <p style="text-align: right;">Cat. No.: HY-N1255</p> <p>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.</p> <p>Purity: 99.27% Clinical Data: No Development Reported Size: 1 mg</p>	<p style="text-align: right;">Cat. No.: HY-N2284</p> <p>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.</p> <p>Purity: 98.15% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>Tenuifolin</p> <p style="text-align: right;">Cat. No.: HY-N0702</p> <p>Tenuifolin is a triterpene isolated from Polygala tenuifolia Willd, has neuroprotective effects. Tenuifolin reduces $A\beta$ secretion by inhibiting β-secretase.</p> <p>Purity: \geq98.0% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 20 mg</p>	<p style="text-align: right;">Cat. No.: HY-119689</p> <p>Umibecestat (CNP520) is a beta-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. Umibecestat can be used for the research of alzheimer's disease.</p> <p>Purity: >98% Clinical Data: Phase 3 Size: 1 mg, 5 mg</p>
<p>Verubecestat (MK-8931)</p> <p style="text-align: right;">Cat. No.: HY-16759</p> <p>Verubecestat (MK-8931) is an orally active, high-affinity BACE1 and BACE2 inhibitor with K_i values of 2.2 nM and 0.38 nM. Verubecestat effectively reduces $A\beta_{40}$ and has the potential for Alzheimer's Disease.</p> <p>Purity: 99.69% Clinical Data: Phase 3 Size: 10 mM \times 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p style="text-align: right;">Cat. No.: HY-126548</p> <p>β-Secretase Inhibitor I is an extremely potent β-secretase inhibitor with reduced cardiovascular and liver toxicity.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>β-Secretase Inhibitor II</p> <p style="text-align: right;">Cat. No.: HY-136736</p> <p>β-Secretase Inhibitor II is a β-Secretase inhibitor. β-Secretase Inhibitor II is a simple tripeptide aldehyde (IC_{50}=700 nM for inhibition of total $A\beta$ and IC_{50}=2.5 μM for $A\beta_{1-42}$). β-Secretase Inhibitor II can be used for the research of Alzheimer's disease.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p style="text-align: right;">Cat. No.: HY-139720</p> <p>β-Secretase Inhibitor III is an extremely selective BACE1 inhibitor ($K_i = 0.13$ nM).</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>

