# ELN318463

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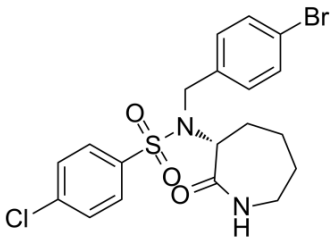
| **Cat. No.:** | HY-50882 |
| **CAS No.:** | 851600-86-7 |
| **Molecular Formula:** | $C_{19}H_{20}BrClN_2O_3S$ |
| **Molecular Weight:** | 471.8 |
| **Target:** | γ-secretase |
| **Pathway:** | Neuronal Signaling; Stem Cell/Wnt |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 6 months<br>-20°C 1 month |




## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 125 mg/mL (264.94 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.1195 mL | 10.5977 mL | 21.1954 mL |
| | 5 mM | 0.4239 mL | 2.1195 mL | 4.2391 mL |
| | 10 mM | 0.2120 mL | 1.0598 mL | 2.1195 mL |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.41 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.41 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

ELN318463 is an amyloid precursor protein (APP) selective γ-secretase inhibitor. ELN318463 shows differential inhibition of presenilin (PS1)- and PS2-comprised γ-secretase with $EC_{50}$s of 12 nM and 656 nM for PS1 and PS2, respectively. ELN318463 is 51-fold more selective for PS1[1][2].

**$IC_{50}$ & Target**

EC50: 12 nM (PS1 γ-secretase), 656 nM (PS2 γ-secretase)[1]

**In Vitro**

ELN318463 behaves as a classic γ-secretase inhibitor, demonstrates 75- to 120-fold selectivity for inhibiting Aβ production compared with Notch signaling in cells, and displaces an active site directed inhibitor at very high concentrations only in the presence of substrate[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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| **In Vivo** | ELN318463 (30 mg/kg or 100 mg/kg; orally) leads acute reduction of brain Aβ in in the PDAPP transgene model of Alzheimer's disease (AD) as well as in wild-type FVB strain mice[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

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| Animal Model: | Female, two- to three-month old, FVB/N mice and PDAPP transgene model of Alzheimer's disease (AD)[2] |
| Dosage: | 30 mg/kg or 100 mg/kg |
| Administration: | Orally |
| Result: | Brain levels at 30 mg/kg were 0.754 μM in FVB brains and 0.69 μM in PDAPP brains, and at 100 mg/kg dose the levels were 2.7 μM in FVB brains and 1.87 μM in PDAPP brains. |

## REFERENCES


[1]. Zhao B, et al. Identification of gamma-secretase inhibitor potency determinants on presenilin. J Biol Chem. 2008 Feb 1;283(5):2927-38.

[2]. Basi GS, et al. Amyloid precursor protein selective gamma-secretase inhibitors for treatment of Alzheimer's disease. Alzheimers Res Ther. 2010 Dec 29;2(6):36.





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