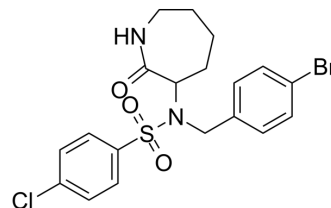


ELN318463 racemate

Cat. No.:	HY-50882A
CAS No.:	851599-82-1
Molecular Formula:	C ₁₉ H ₂₀ BrClN ₂ O ₃ S
Molecular Weight:	471.8
Target:	γ-secretase
Pathway:	Neuronal Signaling; Stem Cell/Wnt
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	ELN318463 racemate is the racemate of ELN318463. 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 12nM and 656 nM for PS1 and PS2, respectively. ELN318463 is 51-fold more selective for PS1 ^{[1][2]} .
IC₅₀ & Target	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.
In Vivo	Brain levels of ELN318463 at 30 mg/kg are 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. Discordance between Aβ ₁₋₄₀ and Aβ _{x-40} in BACE KO or wild-type BACE inhibitor treated mice has been reported ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

Caution: Product has not been fully validated for medical applications. For research use only.

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