Product Data Sheet

Product Name: ELN 318463 racemate
Cat. No.: GC30427

Chemical Properties

Cas. No.	851599-82-1		
SMILES	O=S(C1=CC=C(Cl)C=C1)(N(CC2=CC=C(Br)C=C2)C3C(NCCCC3)=O)=O		
Formula	$C_{19}H_{20}BrClN_2O_3S$	M.Wt	471.8
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	ELN 318463 racemate		

Background

ELN 318463 racemate is the racemate of ELN 318463. ELN 318463 is a selective gamma-secretase inhibitor.

The amyloid precursor protein (APP) selective gamma-secretase inhibitors ELN318463 and ELN475516 reported here behave as classic gamma-secretase inhibitors, demonstrate 75- to 120-fold selectivity for inhibiting Aβ production compared with Notch signaling in cells, and displace an active site directed inhibitor at very high concentrations only in the presence of substrate. ELN318463 demonstrates discordant efficacy for reduction of brain Aβ in the PDAPP compared with wild-type FVB. In the presence of 1 Km (20 μg/mL) MBP-C125 substrate, ELN318463 is able to displace the active site isostere at an ED50 of 23 μM. These values represent an approximately 2,000X and 67X multiple over the IC50 values of the two compounds in the gammaAPP assay[1].

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 Aβ1-40 and Aβx-40 in BACE KO or wild-type BACE

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

inhibitor treated mice has been reported[1].

[1]. 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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