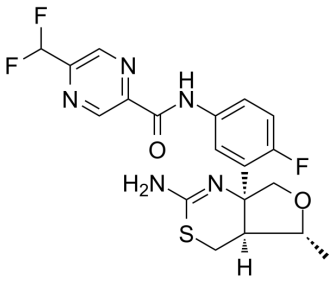
Elenbecestat

Cat. No.:	HY-109055
CAS No.:	1388651-30-6
Molecular Formula:	$C_{19}H_{18}F_3N_5O_2S$
Molecular Weight:	437.44
Target:	Beta-secretase
Pathway:	Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (228.60 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2860 mL	11.4301 mL	22.8603 mL
5 mM	0.4572 mL	2.2860 mL	4.5721 mL
10 mM	0.2286 mL	1.1430 mL	2.2860 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 (4.75 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.75 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Elenbecestat (E2609) is a potent, orally bioavailable and CNS-penetrant BACE-1 inhibitor. Elenbecestat has the potential for Alzheimer's disease (AD) research[1][2].

IC_{50} & Target

BACE-1[1]

In Vitro

Elenbecestat (E2609) is a potent BACE1 inhibitor with an IC_{50} of ~7 nmol/L in cell-based assay[2].
Elenbecestat has been shown to reduce Ab production in the plasma, brain, and cerebrospinal fluid (CSF) of rodents[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Elenbecestat (E2609; 0.3-30 mg/kg; p.o.) potently inhibits Ab1-40 and Ab1-42 production in the plasma and CSF of non-

human primates[2].

Elenbecestat displays the plasma half-life of 12-16 hours after once daily dosing[1].

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

Animal Model:	Cynomolgus monkeys (Pharmacokinetic analysis)[2]
Dosage:	0.3 mg/kg; 1 mg/kg; 3 mg/kg; 30 mg/kg
Administration:	Oral administration
Result:	Potently inhibits Ab1-40 and Ab1-42 production in the plasma and CSF.

REFERENCES

[1]. Kumar D, et al.Secretase inhibitors for the treatment of Alzheimer's disease: Long road ahead. Eur J Med Chem. 2018 Mar 25;148:436-452.

[2]. A single dose of the beta-secretase inhibitor, e2609, decreases CSF bace1 enzymatic activity in cynomolgus monkeys. Alzheimer's & Dementia, 8(4), P224.

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