Umibecestat

Cat. No.: HY-119689

CAS No.: 1387560-01-1

Molecular Formula: $C_{19}H_{15}ClF_7N_5O_2$

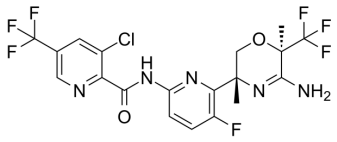
Molecular Weight: 513.8

Target: Beta-secretase

Pathway: Neuronal Signaling

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (194.63 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9463 mL	9.7314 mL	19.4628 mL
	5 mM	0.3893 mL	1.9463 mL	3.8926 mL
	10 mM	0.1946 mL	0.9731 mL	1.9463 mL

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

BIOLOGICAL ACTIVITY

Description

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[1]. Umibecestat can be used for the research of alzheimer's disease.

IC_{50} & Target

IC50: 11 nM (human BACE-1), 10 nM (mouse BACE-1)[1]

In Vitro

Umibecestat (CNP520) is a potent BACE-1 inhibitor that is selective for BACE-1 over other human pepsin-like aspartic proteases, including BACE-2 and cathepsin D[1].

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

In Vivo

Umibecestat (CNP520) (1.5-51.3 mg/kg; given by oral gavage; 72 hours) shows a dose-dependent effects on Aβ40 and a long duration of action in both rat brain and CSF[1].

Umibecestat (CNP520) (3.1 mg/kg; oral administration; 7 days) shows a > 75% reduction on Aβ40 and Aβ42 in CSF after dosing and returns slowly to baseline over the next 7 days[1].

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

Animal Model:	Male rats (3-4 months old)[1]
Dosage:	1.5 mg/kg (3 μM/kg)-51.3 mg/kg (100 μM/kg)
Administration:	Given by oral gavage; 72 hours
Result:	Reduced 89.3±4.5% Aβ40 at the highest dose in brain tissue, and 50% lowering of rat brain Aβ40 (ED50) was 2.4±0.31 mg/kg. Reduced ~50% Aβ40 at a single oral 30 μM/kg (15.4 mg/kg) dose after 24 hours in both rat brain and CSF

Animal Model:	3-month-old beagle dogs[1]
Dosage:	3.1 mg/kg (6 μM/kg)
Administration:	Oral administration; 7 days
Result:	Both Aβ40 and Aβ42 concentrations in CSF showed a > 75% reduction at 12-48 h after dosing and returned slowly to baseline over the next 7 days.

REFERENCES

[1]. Neumann U, et al. The BACE-1 inhibitor CNP520 for prevention trials in Alzheimer's disease. EMBO Mol Med. 2018 Nov;10(11). pii: e9316.

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