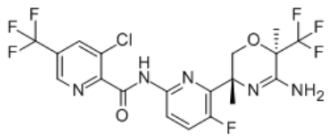
Umibecestat

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:	Please store the product under the recommended conditions in the COA.



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].
IC_{50} & Target	IC50: 11 nM (human BACE-1), 10 nM (mouse BACE-1)[1]
In Vitro	Umibecestat 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].
In Vivo	Umibecestat (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 (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].

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 μ M/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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