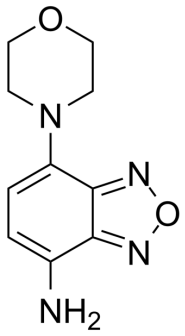
δ-Secretase inhibitor 11

Cat. No.:	HY-124832
CAS No.:	842964-18-5
Molecular Formula:	$C_{10}H_{12}N_4O_2$
Molecular Weight:	220.23
Target:	Caspase; Amyloid-β
Pathway:	Apoptosis; 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 : 125 mg/mL (567.59 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.5407 mL	22.7035 mL	45.4071 mL
	5 mM	0.9081 mL	4.5407 mL	9.0814 mL
	10 mM	0.4541 mL	2.2704 mL	4.5407 mL

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

BIOLOGICAL ACTIVITY

Description

δ-Secretase inhibitor 11 (compound 11) is an orally active, potent, BBB-penetrated, non-toxic, selective and specific δ-secretase inhibitor, with an IC_{50} of 0.7 μM. δ-Secretase inhibitor 11 interacts with both the active site and allosteric site of δ-secretase. δ-Secretase inhibitor 11 attenuates tau and APP (amyloid precursor protein) cleavage. δ-Secretase inhibitor 11 ameliorates synaptic dysfunction and cognitive impairments in tau P301S and 5XFAD transgenic mouse models. δ-Secretase inhibitor 11 can be used for Alzheimer's disease research[1].

IC_{50} & Target

Caspase-3	Caspase-8
31.86 ± 1. μM (IC_{50})	86.71 ± 10 μM (IC_{50})

In Vitro

δ-Secretase inhibitor 11 (compound 11) (0-1 μM, 10 min) inhibits δ-secretase in a concentration- and time-dependent manner[1].

δ-Secretase inhibitor 11 (0-1 μM) selectively blocks tau and APP (amyloid precursor protein) fragmentation by δ-secretase[1].

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

In Vivo

δ-Secretase inhibitor 11 (compound 11) (10 mg/kg, Orally, once daily for 3 months) attenuates neuronal injury induced by OGD (oxygen-glucose deprivation), and specifically exerts neuroprotective actions[1].

δ-Secretase inhibitor 11 (0-10 mg/kg, Orally, once daily for 1.5 and 3 months) inhibits δ-secretase activity, attenuates Aβ

deposition and cognitive deficits in 5XFAD mouse model[1].

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

Animal Model:	Tau P301S transgenic mice (n=4 mice per group)[1]
Dosage:	10 mg/kg
Administration:	Orally, once daily for 3 months
Result:	Significantly inhibited the activity of δ-secretase in both wild-type and tau P301S mice brain, increased PP2A activity, and attenuated the truncation and phosphorylation of tau in tau P301S mice. Ameliorated synaptic loss and restored synaptic dysfunction in tau P301S mice.

Animal Model:	5XFAD mice[1]
Dosage:	2, 5 or 10 mg kg
Administration:	Orally, once daily for 1.5 and 3 months
Result:	Attenuated the β-secretase-mediated processing of APP, significantly decreased the concentrations of Aβ 1-40 and Aβ 1-42 in the brain lysates, and attenuated Aβ deposition in a time- and dose-dependent manner.

REFERENCES

[1]. Zhang Z, et al. Inhibition of delta-secretase improves cognitive functions in mouse models of Alzheimer's disease. Nat Commun. 2017 Mar 27;8:14740.

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