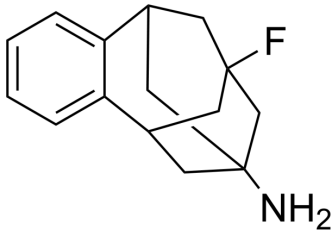
NMDA receptor antagonist 4

Cat. No.:	HY-146588
CAS No.:	1607589-56-9
Molecular Formula:	$C_{15}H_{18}FN$
Molecular Weight:	231.31
Target:	iGluR
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	NMDA receptor antagonist 4 (IIc) is a uncompetitive, voltage-dependent, orally active NMDAR blocker, with an IC_{50} of 1.93 μM. NMDA receptor antagonist 4 shows a positive predicted blood-brain-barrier (BBB) permeability, and can be studied in Alzheimer's disease[1].
IC_{50} & Target	IC_{50}: 1.93 μM (NMDAR)[1]
In Vitro	NMDA receptor antagonist 4 (IIc) shows competitive interaction with endogenous blocker Mg^{2+}, and shows dependence on membrane potential in the NMDAR channel[1]. NMDA receptor antagonist 4 shows high metabolic stability in human and mice liver microsomes, and shows hERG safety, without obvious cytotoxicity[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cytotoxicity Assay[1]

Cell Line:	Neuro2A cells
Concentration:	1, 10, and 100 μM
Incubation Time:	24 h
Result:	Did not show cytotoxic at the highest concentration tested (100 μM).

In Vivo	NMDA receptor antagonist 4 (IIc) (0-10 μM) rescues the motor deficits, and protects against Aβ toxicity-related neuronal dysfunction[1]. NMDA receptor antagonist 4 (5 mg/kg/day; p.o.; 4 weeks) improves cell survival and synaptic function in AD through increasing the activity of cell-survival signaling pathways (Fyn-GluN2B-CREB signaling) and preventing internalization of synaptic NMDARs[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C. elegans (N2 wild-type, CL2006, CL2122, CL2355)[1]
Dosage:	0, 0.1, 0.5, 1.5, and 10 μM
Administration:	

Result:	Reduced defective locomotion in CL2006 nematodes. Significantly reversed the chemotaxis behavior of CL2355 nematodes disrupted by Aβ expression.

Animal Model:	Six months old female 5XFAD mice[1]
Dosage:	5 mg/kg/day
Administration:	Oral administration, 4 weeks
Result:	Enhanced working memory function. Rescued the expression of GluN2A and postsynaptic density protein (PSD) 95. Increased Fyn phosphorylated levels and correspondingly elevated GluN2B phosphorylation at Tyr1472. Significantly increased p-CREB protein levels in the nucleus. Reverted calbindin D-28K protein levels.

REFERENCES

[1]. Andreea L. Turcu, et al. Design, synthesis, and in vitro and in vivo characterization of new memantine analogs for Alzheimer's disease. Eur J Med Chem. 2022 Apr 8;236:114354.

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