Product Data Sheet

Product Name: GluN2B-NMDAR antagonist-1
Cat. No.: GC69175

Chemical Properties

Cas. No.			
Formula	$C_{26}H_{23}BrN_2O_2$	M.Wt	475.38
Solubility	DMSO : 100 mg/mL (210.36 mM; Need ultrasonic)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	GluN2B-NMDAR antagonist-1		

Background

GluN2B-NMDAR antagonist-1 is an orally active **GluN2B-NMDAR** antagonist. GluN2B-NMDAR antagonist-1 has neuroprotective activity. GluN2B-NMDAR antagonist-1 can be used for research of ischemic injury[1].

GluN2B-NMDAR antagonist-1 (Compound Z25) (0.05 μM, 0.5 μM, 5 μM) □ SH-SY5Y □□□□ NMDA □□□□□□□□□□ 35.7%□48.8%□55.8% □□□□□□□□[1].
GluN2B-NMDAR antagonist-1 (5 μM) □□ NMDA (500 μM) □□□ SH-SY5Y □□□□ Ca^{2+} □□[1]□
GluN2B-NMDAR antagonist-1 (0.05-5 μM, 6 h) □□ NMDA □□□ SH-SY5Y □□□ p-ERK1/2 □□□□ □[1]□
GluN2B-NMDAR antagonist-1 □□□□□□□□□□□□□□□□□□ 289.1 □□[1]□

Western Blot Analysis[1]

Cell Line: SH-SY5Y cells

Concentration: 0.05, 0.5, 5 μM

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Incubation Time:	6 h
Result:	Increased NMDA-induced down-regulation of p-ERK1/2 expression, and reached the same level as Ifenprodil at 0.5 μM.

GluN2B-NMDAR antagonist-1 (Compound Z25) (20-80 mg/kg□□□) □□ ICV-ET1 □□□□□□□□□□□□□□□□□□□□[1]□

Animal Model:	ICV-ET1-induced vascular dementia mice model[1]
Dosage:	20, 40, and 80 mg/kg
Administration:	Intragastric administration, daily.
Result:	Decreased escape latency and swimming distance.
Animal Model:	Mouse (PK Assay)[1]
Dosage:	i.v. (1 mg/kg) and p.o. (10 mg/kg)
Administration:	i.v., p.o.

Result:

Pharmacokinetic profile of Nemvaleukin alfa.

dose (mg/kg)	$T_{1/2}$ (h)	C_{max} (ng/mL)	Cl (mL/min/kg)	F%
p.o. (10 mg/kg)	1.11	181.7		3.12
i.v. (1 mg/kg)	0.67	1913	20.45	

[1]. Quan J,et al. Discovery of novel tryptamine derivatives as GluN2B subunit-containing NMDA receptor antagonists via pharmacophore-merging strategy with orally available therapeutic effect of cerebral ischemia. Eur J Med Chem. 2023 May 5;253:115318.

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