NMDAR antagonist 1

Cat. No.: HY-111500

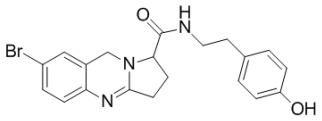
Molecular Formula: $C_{20}H_{20}BrN_3O_2$

Molecular Weight: 414.3

Target: iGluR

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 5 mg/mL (12.07 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4137 mL	12.0685 mL	24.1371 mL
5 mM	0.4827 mL	2.4137 mL	4.8274 mL
10 mM	0.2414 mL	1.2069 mL	2.4137 mL

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

In Vivo

1. Add each solvent one by one: **10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline**
   Solubility: ≥ 0.5 mg/mL (1.21 mM); Clear solution
2. Add each solvent one by one: **10% DMSO >> 90% corn oil**
   Solubility: ≥ 0.5 mg/mL (1.21 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NMDAR antagonist 1 is a potent and orally bioavailable NR2B-selective **NMDAR** antagonist[1].

IC_{50} & Target

NMDAR[1]

In Vitro

NMDAR antagonist 1 (Compound 5q) exhibits excellent neuroprotective activity[1].
NMDAR antagonist 1 can attenuate Ca^{2+} influx induced by NMDA[1].
NMDAR antagonist 1 can suppress the NR2B up-regulation and increase p-ERK1/2 expression[1].
NMDAR antagonist 1 inhibits SH-SY5Y cells with cell viabilities of 75.8%, 80.0%, 84.4%, and 78.6% at 0.1 μM 1 μM 10 μM 100 μM, respectively[1].

REFERENCES

[1]. Zhang L, et al. Design, synthesis and bioevaluation of 1,2,3,9-tetrahydropyrrolo[2,1-b]quinazoline-1-carboxylic acid derivatives as potent neuroprotective agents. Eur J Med Chem. 2018 May 10;151:27-38.

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