IC87201

Cat. No.: HY-100457

CAS No.: 866927-10-8

Molecular Formula: $C_{13}H_{10}Cl_2N_4O$

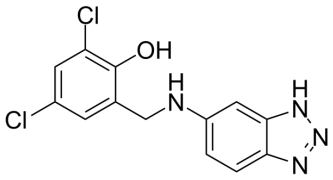
Molecular Weight: 309.15

Target: iGluR

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (323.47 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2347 mL	16.1734 mL	32.3468 mL
	5 mM	0.6469 mL	3.2347 mL	6.4694 mL
	10 mM	0.3235 mL	1.6173 mL	3.2347 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: 2.5 mg/mL (8.09 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (8.09 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

IC87201, an inhibitor of PSD95-nNOS protein-protein interactions, suppresses NMDAR-dependent NO and cGMP formation.

In Vitro

IC87201 (500-1800 μM) does not inhibit any of the probe-PDZ interactions involving PDZ1, PDZ2, PDZ3 of PSD-95 or nNOS-PDZ, or bind the canonical PDZ ligand binding sites. IC87201 binds to the β-finger of nNOS-PDZ and allosterically inhibits the nNOS-PDZ/PSD-95-PDZ interactions. IC87201 shows high degree of fluorescence-based artefactual signal when using TAMRA-nNOS as probe[1]. IC87201 (20 μM) suppresses NMDA-stimulated cGMP formation relative to vehicle, in cultured hippocampal neurons[2]. IC87201 (10 and 100 nM) attenuats NMDA/glycine-induced decreases in neurite outgrowth. IC87201 dose-dependently reduces NMDA-induced cGMP production in primary hippocampal neurons (DIV 14-21) with an IC_{50} of 2.7 μM. IC87201 increases the number of branches at 10-30 μM when compared to control-treated neurons[3].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	IC87201 (1, 4 and 10 mg/kg, i.p.) does not produce impairment in either spatial working memory or source memory[2]. IC87201 (1 mg/kg) is effective in treating NMDA-induced thermal hyperalgesia in mice, with a corresponding peak plasma level of 55 ng/mL (or 0.2 μM)[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	MK-801 is dissolved in saline and administered intraperitoneally (i.p.) in a within subjects dosing paradigm in order of increasing dose (0.1, 0.2, and 0.3 mg/kg). IC87201 (1, 4 and 10 mg/kg) and ZL006 (10 mg/kg) are dissolved in a vehicle containing 3% DMSO with the remaining 97% comprised of 1:1:18 of emulphor:ethanol:0.9% NaCl. Active compounds are compared with equivalent volumes of the appropriate vehicle in each case. MK-801, IC87201, and ZL006 are administered 30 min prior to behavioral testing. All drugs are administered intraperitoneally (i.p.) in a volume of 1 mL/kg[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Neurochem Int. 2023 Jul 11;105586.
- Neurosci Lett. 2019 Jun 11;703:156-161.

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REFERENCES

[1]. Bach A, et al. Biochemical investigations of the mechanism of action of small molecules ZL006 and IC87201 as potential inhibitors of the nNOS-PDZ/PSD-95-PDZ interactions. Sci Rep. 2015 Jul 16;5:12157.

[2]. Smith AE, et al. Source memory in rats is impaired by an NMDA receptor antagonist but not by PSD95-nNOS protein-protein interaction inhibitors. Behav Brain Res. 2016 May 15;305:23-9.

[3]. Doucet MV, et al. Small-molecule inhibitors at the PSD-95/nNOS interface protect against glutamate-induced neuronal atrophy in primary cortical neurons. Neuroscience. 2015 Aug 20;301:421-38.

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