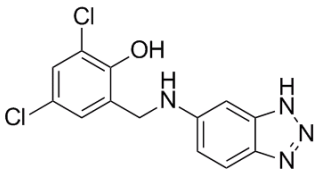
Data Sheet

Product Name:	IC87201
Cat. No.:	HY-100457
CAS No.:	866927-10-8
Molecular Formula:	C13H10Cl2N4O
Molecular Weight:	309.15
Target:	iGluR
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Solubility:	DMSO: ≥ 28 mg/mL



BIOLOGICAL ACTIVITY:

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]. IC 87201 (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].
In Vivo: IC87201 (1, 4 and 10 mg/kg, i.p.) does not produce impairment in either spatial working memory or source memory[2]. IC8720 1 (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].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: IC87201 is dissolved in 3% DMSO with the remaining 97% comprised of 1:1:18 of emulphor:ethanol:0.9% NaCl. [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].

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.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA