



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

产品名称: **IC87201**
产品别名: **IC87201**

生物活性:					
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) attenuates NMDA/glycine-induced decreases in neurite outgrowth. IC87201 dose-dependently reduces NMDA-induced cGMP production in primary hippocampal neurons (DIV 14-21) with an IC50 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]. 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].				
Solvent&Solubility	In Vitro: DMSO : ≥ 28 mg/mL (90.57 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
	*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液。一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。 储备液的保存方式和期限：-80℃，6 months；-20℃，1 month。 -80℃ 储存时，请在 6 个月内使用，-20℃ 储存时，请在 1 个月内使用。				
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.				
实验参考:					
	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%				



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Animal Administration	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.

源叶生物