Data Sheet (Cat.No.T3320)

TargetMol

Dizocilpine Maleate

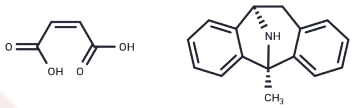
Chemical Properties

CAS No. : 77086-22-7

Formula: C20H19NO4

Molecular Weight: 337.38

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.



Biological Description

Description	Dizocilpine Maleate (MK-801 maleate) is a potent, selective, and non-competitive NMDA receptor antagonist with a Kd value of 37.2 nM. It is commonly used in the treatment of various neurodegenerative diseases in which NMDA receptors may be involved, and can also be used to induce schizophrenia models.
Targets(IC50)	NMDAR,iGluR
In vitro	[3H]MK-801 labels high-affinity binding sites in rat cerebral cortical membranes in a saturable manner. MK-801 produces a potent blockade of depolarizing responses to NMDA in rat cerebral cortical slices. The only compounds that are able to compete for [3H]MK-801 binding sites are substances known to block the responses of excitatory amino acids mediated by the NMDA receptor subtype. [1] MK-801 inhibits N-methyl-D-aspartate-induced [3H]norepinephrine (NE) release and [3H]TCP binding in the hippocampus with IC50 of 20 nM and 9 nM, respectively. [2] MK-801 causes a progressive, long-lasting blockade of current induced by NMDA. Mg2+ (10 mM) prevents MK-801 from blocking the N-Me-D-Asp-induced current, even when MK-801 is applied for a long time in the presence of NMDA. MK-801 is also effective at blocking NMDA-activated single-channel activity in outside-out patches. [3] MK-801 (< 500 μM) prevents LPS-induced activation of microglia in a concentration-dependent manner with increased Cox-2 protein expression in BV-2 cells. MK-801 (< 500 μM) reduces microglial TNF-α output with EC50 of 400 μM in BV-2 cells. [4]
In vivo	Treatment of mice with MK-801 (1 mg/kg) before each METH injection reduced the extent of DA depletion by 55% in striatal of mice. MK-801 (1 mg/kg) attenuates the effects of METH on microglial activation in striatal of mice. [4] MK-801 (0.05 mg/kg or 0.2 mg/kg, i.p.) in rats just prior to reactivation of the cocaine-associated memory in the CPP context attenuates subsequent cocaine-primed reinstatement, while no disruption occurres in rats that do not receive reactivation in the CPP context. MK-801 (0.2 mg/kg, i. p.) prior to two reactivation sessions in the home cage does not suppress subsequent cocaine-primed reinstatement. [5]
Kinase Assay	Cerebral cortices from male Sprague-Dawley rats (200-300 g) are homogenized in 9 volumes of ice-cold 0.32mol/Lsucrose by nine strokes with a Teflon/glass homogenizer at 500 rpm. The homogenate is centrifuged for 10 min at 1×103 g, and the supernatant is recentrifuged at 1×104 g for 20 min at 4°C. The pellet is suspended in assay buffer (118 mM NaCl/4.7 mM KCl/1.2 mM MgSO4/5 mM NaHCO3/20 mM Hepes/1.2 mM KH2PO4/2.5 mM CaCl2/11 mM glucose, pH 7.4) and incubated at 23°C for 20 min prior to final

Kinase Assay	centrifugation at 1×103 g for 20 min at 4°C. The pellet is resuspended in assay buffer (70 mL per gram of original tissue). Binding of [3H]MK-801 is measured by incubating 750 μL duplicate aliquots of this crude membrane suspension (=0.75 mg of protein) with 100 μL of buffer containing displacer or of buffer alone (total binding), 100 μL of 50 nM [3H]MK-801, and 50 μL of buffer for 60 min at 23°C. Nonspecific binding is defined by 100 μM (final concentration) unlabeled MK-801.

Solubility Information

Solubility	DMSO: 250 mg/mL (741 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.93 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.964 mL	14.8201 mL	29.6402 mL
5 mM	0.5928 mL	2.964 mL	5.928 mL
10 mM	0.2964 mL	1.482 mL	2.964 mL
50 mM	0.0593 mL	0.2964 mL	0.5928 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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Snell LD, et al. Eur J Pharmacol, 1988, 145(2), 223-226.
Huettner JE, et al. Proc Natl Acad Sci U S A, 1988, 85(4), 1307-1311.
Thomas DM, et al. Brain Res, 2005, 1050(1-2), 190-198.
Brown TE, et al. Learn Mem, 2008, 15(12), 857-865.
Jiang L, et al. Decrease of growth and differentiation factor 10 contributes to neuropathic pain through N-methyl-D-aspartate receptor activation. Neuroreport. 2017 May 24;28(8):444-450.