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Data Sheet (Cat.No.T3320)

TargetMol

Dizocilpine Maleate

Chemical Properties

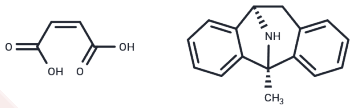
CAS No. : 77086-22-7

Formula: C20H19NO4

Molecular Weight: 337.38

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	Dizocilpine Maleate (MK 801) is a potent noncompetitive antagonist of the NMDA receptor (RECEPTORS, N-METHYL-D-ASPARTATE) with Kd of 37.2 nM in rat brain membranes. The drug has been considered for the wide variety of neurodegenerative conditions or disorders in which NMDA receptors may play an important role.
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

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: 60 mg/mL (177.84 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

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.

Reference

Wong EH, et al. Proc Natl Acad Sci U S A, 1986, 83(18), 7104-7108.
Snell LD, et al. Eur J Pharmacol, 1988, 145(2), 223-226.