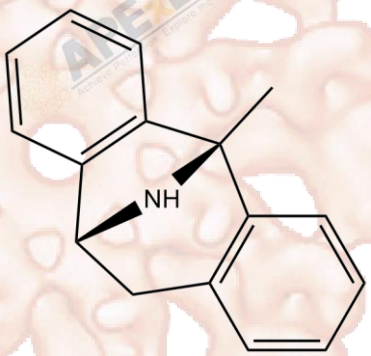
Product Data Sheet

(+)-MK 801



Cat. No.:	A3100
CAS No.:	70449-94-4
Formula:	C16H15N
M.Wt:	221.30
Synonyms:	Dizocilpine maleate;Dizocilpine hydrogen maleate;(+)-MK 801;MK 801
Target:	Membrane Transporter/Ion Channel
Pathway:	NMDA Receptor
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾10.45 mg/mL in DMSO; ⩾102.6 mg/mL in EtOH

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1mg	5mg	10mg
1 mM	4.5188 mL	22.5938 mL	45.1875 mL
5 mM	0.9038 mL	4.5188 mL	9.0375 mL
10 mM	0.4519 mL	2.2594 mL	4.5188 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	Potent NMDA antagonist
IC_{50} & Target	30.5 nM (Ki) (NMDA)

In Vitro

Cell Viability Assay

Cell Line:	Rat neocortical neurons
Preparation method：	The solubility of this compound in DMSO is >10.45mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.

	Reacting conditions:	10 μM, 11 sec
	Applications:	Cell was held at -70 mV in the whole-cell recording mode, bathed in the Mg2+-free external solution. Application of 200 μM N-Me-D-Asp elicited an inward current that rose rapidly to a peak and then decayed to a steady current. When N-Me-D-Asp and 10 μM MK-801 were applied simultaneously, the current reached nearly the same peak but was then progressively blocked with a time constant of about 11 sec. The blockade by MK-801 persisted when the cell was washed with control solution for 20 sec.
In Vivo	**Animal experiment**	
	Animal models:	Male Wistar rats
	Dosage form:	Intrathecal injection, 20 μg
	Applications:	The rats were given morphine (15 μg/h) for 5 days. On day 5 on which tolerance developed, at 3 h after discontinuation of morphine infusion, MK-801 was injected intrathecally 30 min before morphine challenge (15 μg). Pretreatment with MK-801 preserved its antinociceptive effect in morphine-tolerant rats in a dose-dependent manner, with amaximal effect at 60 min. The dose of 10 μg ofMK-801 resulted in only slight preservation of morphine-induced antinociception, while 5 μg of MK-801 had no effect. Injection of 20 μg of MK-801 significantly improved morphine-induced antinociception, with a maximal effect (MPE%) of up to 61%, with a 10 s tail-flick latency being defined as 100% MPE in saline-infused rats.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

[1] Huettner J E, Bean B P. Block of N-methyl-D-aspartate-activated current by the anticonvulsant MK-801: selective binding to open channels. Proceedings of the National Academy of Sciences, 1988, 85(4): 1307-1311.

[2] Liu C H, Cherng C H, Lin S L, et al. N-methyl-D-aspartate receptor antagonist MK-801 suppresses glial pro-inflammatory cytokine expression in morphine-tolerant rats. Pharmacology Biochemistry and Behavior, 2011, 99(3): 371-380.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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