

Product Data Sheet

Product Name: (+)-MK 801

Cat. No.: GC16616

Chemical Properties

Cas. No. 70449-94-4

Chemical Name (5S,10R)-5-methyl-10,11-dihydro-5H-5,10-epiminodibenzo[a,d][7]annulene

SMILES C[C@]1(N2)C3=C(C=CC=C3)C[C@@H]2C4=C1C=CC=C4

Formula $C_{16}H_{15}N$

M.Wt 221.3

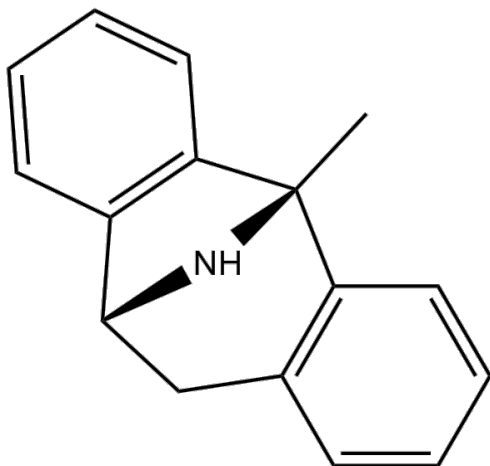
Solubility ≥ 10.45 mg/mL in DMSO

Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment: [1]

Cell lines Rat neocortical neurons

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

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.

Reaction 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.

Animal experiment: [2]

Animal models Male Wistar rats

Dosage form Intrathecal injection, 20 µg

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

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 a maximal effect at 60 min. The dose of 10 µg of MK-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.

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.

Background

(+)-MK 801 is a potent antagonist of NMDA with K_i value of 30.5nM [1].

MK 801 is a potent anticonvulsant exhibits both anxiolytic and sympathomimetic properties. It is found to be a noncompetitive antagonist of NMDA. MK 801 can penetrate into the central nervous system. In the in vitro assay, MK 801 binds to rat cerebral cortical membrane with high affinity in a

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

saturable manner. This binding is reversible even when the concentration of MK 801 is up to 100 μ M. It is also found that the binding shows a regional specificity. Most of these binding sites are located in the hippocampus. In rat cortical-slice preparations, MK 801 causes a potent blockade of depolarizing responses to NMDA with a high selectivity. This effect is persistent. The blockade can also cause a suppression of the epileptiform activity induced by tetrodotoxin or other neurotoxin [1].

References:

[1] Wong EH, Kemp JA, Priestley T, Knight AR, Woodruff GN, Iversen LL . The anticonvulsant MK-801 is a potent N-methyl-D-aspartate antagonist. Proc Natl Acad Sci U S A. 1986 Sep;83(18):7104-8.

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA