

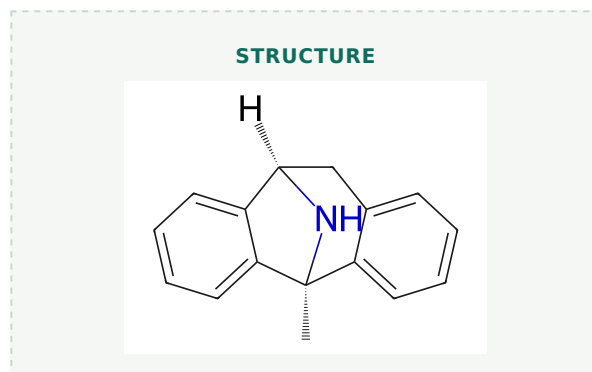
Product Datasheet

MK-801

 Catalog No. **BP-02085** · CAS **77086-21-6** · Form **free base** · Physical state **other** · Version **1.0** · Revision **2026-09-14**
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Target iGluR	Research area Neurological Disease
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Molecular formula	C₁₆H₁₅N
Molecular weight	221.2970



DESCRIPTION

Dizocilpine (MK-801), a potent anticonvulsant, is a selective and non-competitive NMDA receptor antagonist, with a K_d of 37.2 nM in rat brain membranes. Dizocilpine acts by binding to a site located within the NMDA associated ion channel and thus prevents Ca²⁺ flux.

BIOLOGICAL ACTIVITY

In Vitro

Dizocilpine (MK-801) progressively suppresses of current induced by NMDA. Mg²⁺ (10 mM) prevents Dizocilpine from blocking the N-Me-D-Asp-induced current, even when Dizocilpine is applied for a long time in the presence of NMDA. Dizocilpine blocks NMDA-activated single-channel activity in outside-out patches.

Dizocilpine (MK-801; <500 μM) inhibits activation of microglia induced by LPS with increased Cox-2 protein expression in BV-2 cells. Dizocilpine (<500 μM) reduces microglial TNF-α output with an EC₅₀ of 400 μM in BV-2 cells.

SOLUBILITY

Soluble in DMSO

REFERENCES

- [1]. Wong EH, et al. 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.
- [2]. Vardhan Reddy KH, et al. Convergent Strategy to Dizocilpine MK-801 and Derivatives. J Org Chem. 2018 Apr 6;83(7):4264-4269.
- [3]. Thomas DM, et al. MK-801 and dextromethorphan block microglial activation and protect against methamphetamine-induced neurotoxicity. Brain Res. 2005 Jul 19;1050(1-2):190-8.

[4]. Huettner JE, et al. Block of N-methyl-D-aspartate-activated current by the anticonvulsant MK-801: selective binding to open channels. Proc Natl Acad Sci U S A. 1988 Feb;85(4):1307-11.

[5]. Brown TE, et al. The NMDA antagonist MK-801 disrupts reconsolidation of a cocaine-associated memory for conditioned place preference but not for self-administration in rats. Learn Mem. 2008 Dec 2;15(12):857-65.

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