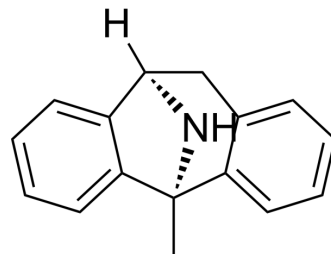


Dizocilpine

Cat. No.:	HY-15084B
CAS No.:	77086-21-6
Molecular Formula:	C ₁₆ H ₁₅ N
Molecular Weight:	221.3
Target:	iGluR
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

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 Ca ²⁺ flux ^{[1][2]} .
IC₅₀ & Target	NMDA Receptor
In Vitro	Dizocilpine (MK-801) progressively suppresses of current induced by NMDA. Mg ²⁺ (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 ^[3] . 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 EC ₅₀ of 400 μM in BV-2 cells ^[4] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Dizocilpine (MK 801) (1 mg/kg) treatment before each METH injection reduces the extent of DA depletion by 55% in striatal of mice. Dizocilpine (MK 801) (1 mg/kg) also attenuates the effects of METH on microglial activation in striatal of mice ^[4] . Dizocilpine ((+)-MK 801) (0.05, 0.2 mg/kg, i.p.) attenuates subsequent cocaine-primed reinstatement without disruption in rats. Dizocilpine (MK 801) (0.2 mg/kg, i.p.) prior to two reactivation sessions in the home cage shows no suppression on subsequent cocaine-primed reinstatement ^[5] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Host Microbe. 2023 Nov 8;31(11):1792-1803.e7.
- Nat Neurosci. 2021 Dec 9.
- Mol Psychiatry. 2022 Jun 17.
- Cell Biosci. 2023 Mar 16;13(1):57.
- J Med Chem. 2023 May 2.

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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]. 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.
- [4]. 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.
- [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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Caution: Product has not been fully validated for medical applications. For research use only.

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