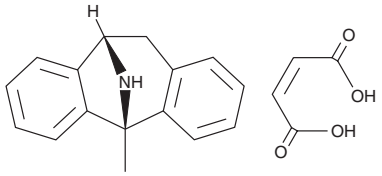
PRODUCT INFORMATION

(–)-MK-801 (maleate)

Item No. 27213

CAS Registry No.: 121917-57-5
Formal Name: (5R,10S)-10,11-dihydro-5-methyl-5H-dibenzo[a,d]cyclohepten-5,10-imine, (2Z)-2-butenedioate
MF: $C_{16}H_{15}N \bullet C_4H_4O_4$
FW: 337.4
Purity: ≥95%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

(–)-MK-801 (maleate) is supplied as a crystalline solid. A stock solution may be made by dissolving the (–)-MK-801 (maleate) in the solvent of choice, which should be purged with an inert gas. (–)-MK-801 (maleate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of (–)-MK-801 (maleate) in these solvents is approximately 0.5, 20, and 25 mg/ml, respectively.

(–)-MK-801 (maleate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, (–)-MK-801 (maleate) should first be dissolved in DMF and then diluted with the aqueous buffer of choice. (–)-MK-801 (maleate) has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

(–)-MK-801 is an NMDA receptor antagonist (K_i = 43 nM for inhibition of spermine binding) and an isomer of (+)-MK-801 (Item No. 10009019).[1] *In vivo*, (–)-MK-801 blocks generalized seizures in a mouse model of epilepsy (ED_{50} = 0.85 mg/kg) and increases horizontal locomotor activity in mice (ED_{50} = 2.71 mg/kg).[2] (–)-MK-801 (0.1 mg/kg) decreases immobility time in the tail suspension and forced swim tests in a mouse model of social defeat stress, indicating antidepressant-like activity.[2] (–)-MK-801 also inhibits acetylcholinesterase (AChE) with K_i values of 6.2 and 7.9 µM for electric eel and rat brain AChE, respectively.[4]

References

1. Berger, M.L., Schweifer, A., Rebernik, P., *et al.* NMDA receptor affinities of 1,2-diphenylethylamine and 1-(1,2-diphenylethyl)piperidine enantiomers and of related compounds. *Bioorg. Med. Chem.* **17(9),** 3456-3462 (2009).
2. Dravid, S.M., Erreger, K., Yuan, H., *et al.* Subunit-specific mechanisms and proton sensitivity of NMDA receptor channel block. *J. Physiol.* **581(Pt. 1),** 107-128 (2007).
3. Yang, B., Ren, Q., Ma, M., *et al.* Antidepressant effects of (+)-MK-801 and (-)-MK-801 in the social defeat stress model. *Int. J. Neuropsychopharmacol.* **19(12),** pyw080 (2016).
4. Galli, A. and Mori, F. Acetylcholinesterase inhibition and protection by dizocilpine (MK-801) enantiomers. *J. Pharm. Pharmacol.* **48(1),** 71-76 (1996).

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