

Methoctramine (hydrate)

Chemical Properties

CAS No. :

Formula:

Molecular Weight:

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.

Biological Description

Description	Methoctramine is a selective antagonist of M2 muscarinic acetylcholine receptors (IC50 = 6.1 nM in CHO-K1 cell membranes).[1] It is selective for M2 over M1, M3, M4, and M5 receptors (IC50s = 92, 770, 260, and 217 nM, respectively).
Targets(IC50)	Others
In vitro	In vitro, methoctramine inhibits acetylcholine-induced reductions in isolated guinea pig tracheal tube contractions when used at a concentration of 1 µM.[2]
In vivo	In vivo, methoctramine inhibits bradycardia and bronchoconstriction induced by acetylcholine in guinea pigs with ED50 values of 38 and 81 nmol/kg, respectively. In a rat model of spinal cord injury, methoctramine suppresses bladder overactivity induced by the non-selective muscarinic acetylcholine receptor agonist oxotremorine M.[3]

Solubility Information

Solubility	PBS (pH 7.2): 10 mg/mL, Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Reference

Buckley, N.J., Bonner, T.I., Buckley, C.M., et al. Antagonist binding properties of five cloned muscarinic receptors expressed in CHO-K1 cells. *Mol. Pharm.* 35(4), 469-476 (1989).

Watson, N., Barnes, P.J., and Maclagan, J. Actions of methoctramine, a muscarinic M2 receptor antagonist, on muscarinic and nicotinic cholinergic receptors in guinea-pig airways in vivo and in vitro. *Br. J. Pharmacol.* 105(1), 107-112 (1992).

Matsumoto, Y., Miyazato, M., Yokoyama, H., et al. Role of M2 and M3 muscarinic acetylcholine receptor subtypes in activation of bladder afferent pathways in spinal cord injured rats. *Urology* 79(5), 1184.e1115-e1120 (2012).

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