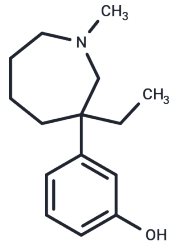
Data Sheet (Cat.No.T0201)

TargetMol

Meptazinol hydrochloride

Chemical Properties



CAS No. : 59263-76-2

Formula: C15H23NO·HCl

Molecular Weight: 269.81

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	Meptazinol hydrochloride (Meptazinol HCl) , a unique centrally opioid analgesic, is used as a narcotic antagonist with analgesic properties.
Targets(IC50)	Opioid Receptor
In vitro	Meptazinol inhibits a portion of 3H-labeled opiate and opioid peptide binding quite potently, with IC50 value under 1 nM. [1]
In vivo	Naloxonazine treatment 24 hr earlier attenuates Meptazinol (10 mg/kg i.v.) analgesia in both the mouse writhing and rat tail-flick assays. Meptazinol administered with morphine does not reverse the respiratory depressant actions seen with morphine alone, distinguishing meptazinol from other mixed agonist/antagonists. [1] The absorption of Meptazinol (8 mg/kg i.v.) from nasal cavity to systemic circulation is rapid and complete in male Sprague-Dawley rats. The maximum observed concentration is achieved at 15 min after administration and the absolute bioavailability is 96.06%. The cerebrospinal fluid level of Meptazinol (8 mg/kg i.v.) attains a high concentration of 2.71 μg/mL and then is followed by an exponential decline. The concentration of intravenous Meptazinol (8 mg/kg i.v.) achieves a peak at 10 min followed by a steep decline in the cortex dialysate. [2] Inclusion of Meptazinol (2 mg/kg) reduces the total dose of anaesthetic required, allows a more rapid recovery and is associated with less movement in response to surgery in cystoscopy patients. The patients in the control group tends to hyperventilate, and has lower end-tidal CO2 tension, and also higher pulse rates during surgery than the Meptazinol group. [3] Meptazinol (25 mg/kg) evokes larger increases in nociceptive thresholds in the mouse than in the rat, whereas morphine induces large increases in both species. Antinociceptive responses to Meptazinol are consistently inhibited in animals pretreated with naloxone, whereas scopolamine attenuates the effects of meptazinol in some, particularly the mouse tail immersion test. [4] Meptazinol (2 mg/kg i.v.) greatly reduces the incidence of ventricular extrasystoles that resulted from acute coronary artery occlusion as well as ventricular fibrillation (VF) in rats. Meptazinol also reduces ventricular arrhythmias, including fibrillation, in conscious rats subjected to coronary artery occlusion. [5]

Solubility Information

Solubility	H2O: 27 mg/mL (100.07 mM),Sonication is recommended. DMSO: 100 mg/mL (370.63 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: 10 mg/mL (37.06 mM),Solution. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.7063 mL	18.5316 mL	37.0631 mL
5 mM	0.7413 mL	3.7063 mL	7.4126 mL
10 mM	0.3706 mL	1.8532 mL	3.7063 mL
50 mM	0.0741 mL	0.3706 mL	0.7413 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Spiegel K, et al. J Pharmacol Exp Ther, 1984, 228(2), 414-419.
Shi Z, et al. Life Sci, 2005, 77(20), 2574-2583.
Hargreaves J, et al. Anaesthesia, 1985, 40(5), 490-493.
Bill DJ, et al. Br J Pharmacol, 1983, 79(1), 191-199.
Fagbemi O, et al. Br J Pharmacol, 1983, 78(3), 455-460.