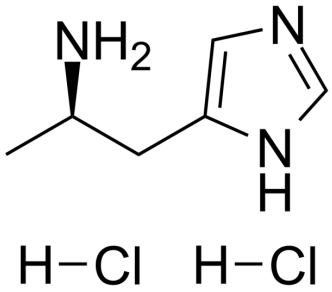
(R)-(-)-α-Methylhistamine dihydrochloride

Cat. No.:	HY-W014941
CAS No.:	75614-89-0
Molecular Formula:	$C_6H_{13}Cl_2N_3$
Molecular Weight:	198.09
Target:	Histamine Receptor
Pathway:	GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (1262.05 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	5.0482 mL	25.2411 mL	50.4821 mL
	5 mM	1.0096 mL	5.0482 mL	10.0964 mL
	10 mM	0.5048 mL	2.5241 mL	5.0482 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (10.50 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (10.50 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (10.50 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

(R)-(-)-α-Methylhistamine dihydrochloride is a potent, selective and brain-penetrant agonist of H3 histamine receptor, with a K_d of 50.3 nM[1][2]. (R)-(-)-α-Methylhistamine dihydrochloride can enhance memory retention, attenuates memory impairment in rats[3][4][5].

IC_{50} & Target

H_3 Receptor
50.3 nM (Kd)

In Vitro

(R)-(-)-α-Methylhistamine dihydrochloride is an H3-agonist that is >10 times as potent as histamine (HA). Its selectivity toward H3-receptors is >1000 times as high as that of HA. (R)-(-)-α-Methylhistamine dihydrochloride has only weak affinities

for H1 and H2 receptor with a pK_i=4.8 and <3.5, repectively. (R)-(-)-α-Methylhistamine dihydrochloride displays >200-fold selectivity over H4 receptors[1][2][3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Pretreatment with (R)-(-)-α-Methylhistamine dihydrochloride (RAMH; 10 mg/kg; i.p.; 60 min before training) reverses Propofol-induced (25 mg/kg; i.p.; 30 min before training) memory retention[5].

(R)-(-)-α-Methylhistamine dihydrochloride (6.3 mg/kg; i.p.) significantly decreases the steady-state t-MH level in the mouse brain, whereas these compounds produced no significant changes in the HA level[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats (10-12 week)[3]
Dosage:	10 mg/kg
Administration:	IP; 60 min before training
Result:	Reversed propofol-induced memory retention.

REFERENCES

[1]. Arrang JM, et al. Highly potent and selective ligands for histamine H3-receptors. Nature. 1987 May 14-20;327(6118):117-23.

[2]. Mohammad Shahid, et al. Histamine, Histamine Receptors, and their Role in Immunomodulation: An Updated Systematic Review. The Open Immunology Journal, 2009, 2, 9-41.

[3]. Oishi R, et al. Effects of the histamine H3-agonist (R)-alpha-methylhistamine and the antagonist thioperamideon histamine metabolism in the mouse and rat brain. J Neurochem. 1989 May;52(5):1388-92.

[4]. Yamasaki S, et al. The disposition of (R)-alpha-methylhistamine, a histamine H3-receptor agonist, in rats. J Pharm Pharmacol. 1994 May;46(5):371-4.

[5]. Li WW, et al. (R)-alpha-methylhistamine suppresses inhibitory neurotransmission in hippocampal CA1 pyramidal neurons counteracting propofol-induced amnesia in rats. CNS Neurosci Ther. 2014 Sep;20(9):851-9.

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