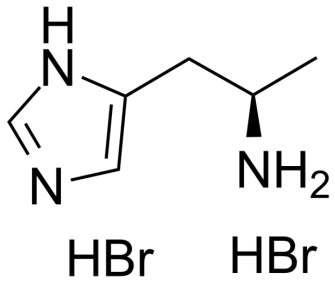
(R)-(-)-α-Methylhistamine dihydrobromide

Cat. No.:	HY-100999
CAS No.:	868698-49-1
Molecular Formula:	$C_6H_{13}Br_2N_3$
Molecular Weight:	287
Target:	Histamine Receptor
Pathway:	GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	(R)-(-)-α-Methylhistamine dihydrobromide 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 dihydrobromide 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 dihydrobromide 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 dihydrobromide has only weak affinities for H1 and H2 receptor with a pK_i=4.8 and <3.5, repectively. (R)-(-)-α-Methylhistamine dihydrobromide 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 dihydrobromide (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,

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[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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA