

Product Name : (R)-(-)- α -Methylhistamine dihydrobromide
Catalog Number : T12630
CAS Number : 868698-49-1
Molecular Formula : C₆H₁₃Br₂N₃
Molecular Weight : 287.00

Description: (R)-(-)- α -Methylhistamine dihydrobromide is a potent and selective agonist of H₃ histamine receptor (K_d of 50.3 nM).

Storage: 2 years -80°C in solvent; 3 years -20°C powder;

Receptor (IC₅₀)	H ₃ Receptor	50.3 nM (kd)
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In vitro Activity

(R)-(-)- α -Methylhistamine dihydrobromide is an H₃-agonist that is > 10 times as potent as histamine (HA). (R)-(-)- α -Methylhistamine dihydrobromide has only weak affinities for H₁ and H₂ receptor with a pK_i=4.8 and < 3.5, respectively. Its selectivity toward H₃-receptors is > 1,000 times as high as that of HA. (R)-(-)- α -Methylhistamine dihydrobromide displays >200-fold selectivity over H₄ receptors[1].

In vivo Activity

(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[2]. Pretreatment with (R)-(-)- α -Methylhistamine dihydrobromide reverses propofol - induced memory retention[4].

Reference

1. Mohammad Shahid, et al. Histamine, Histamine Receptors, and their Role in Immunomodulation: An Updated Systematic Review. The Open Immunology Journal, 2009, 2, 9-41.
2. Oishi R, et al. Effects of the histamine H₃-agonist (R)- α -methylhistamine and the antagonist thioperamide on histamine metabolism in the mouse and rat brain. J Neurochem. 1989 May;52(5):1388-92.
3. Yamasaki S, et al. The disposition of (R)- α -methylhistamine, a histamine H₃-receptor agonist, in rats. J Pharm Pharmacol. 1994 May;46(5):371-4.
4. Li WW, et al. (R)- α -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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