Datasheet

Inhibitors / Agonists / Screening Libraries

A DRUG SCREENING EXPERT

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(Kd of 50.3 nM).

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

Receptor (IC50)	H3 Receptor	50.3 nM (kd)

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 pKi=4.8 and < 3.5, repectively. 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)-alpha-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)-alpha-methylhistamine and the antagonist thioperamideon 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)-alpha-methylhistamine, a histamine H3-receptor agonist, in rats. J Pharm Pharmacol. 1994 May;46(5):371-4.
4. 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.