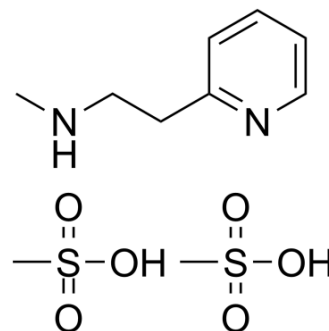


Betahistine mesylate

Cat. No.:	HY-D0237
CAS No.:	54856-23-4
Molecular Formula:	C ₁₀ H ₂₀ N ₂ O ₆ S ₂
Molecular Weight:	328.41
Target:	Histamine Receptor
Pathway:	GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling
Storage:	4°C, protect from light, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



BIOLOGICAL ACTIVITY

Description	Betahistine mesylate is an orally active histamine H1 receptor agonist and a H3 receptor antagonist ^[1] . Betahistine mesylate is used for the study of rheumatoid arthritis (RA) ^[3] .								
In Vitro	<p>Betahistine mesylate (0-10 μM) inhibits [¹²⁵I]iodoproxyfan binding to membranes of CHO (rH₃₍₄₄₅₎R) and CHO (hH₃₍₄₄₅₎R) cells with IC₅₀ values of 1.9 μM and 3.3 μM, respectively. Lead to K_i values of 1.4 μM and 2.5 μM, respectively^[2].</p> <p>Betahistine mesylate (0-10 μM) has a regulating function on cAMP formation in CHO (rH₃₍₄₄₅₎R), CHO (rH₃₍₄₁₃₎R), and CHO (hH₃₍₄₄₅₎R) cells. At low concentrations, Betahistine mesylate behaves an apparent inverse agonist, and progressively enhances cAMP formation with EC₅₀ values of 0.1 nM, 0.05 nM and 0.3 nM, respectively. In contrast, at concentrations higher than 10 nM, Betahistine mesylate inhibits cAMP formation with an EC₅₀ value of 0.1 μM in CHO (rH₃₍₄₄₅₎R) and full agonist activity^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>								
In Vivo	<p>Betahistine mesylate (intraperitoneal or oral administration; 0.1-30 mg/kg; single dose) with acute administration has increased tele-methylhistamine (t-MeHA) levels with an ED₅₀ of 0.4 mg/kg, indicating the inverse agonism. Besides, after acute oral administration, it increases t-MeHA levels with an ED₅₀ of 2 mg/kg in male Swissmice^[2].</p> <p>Betahistine mesylate (oral administration; 1 and 5 mg/kg; daily for 3 weeks) attenuates the severity of arthritis and reduces the levels of pro-inflammatory cytokines in the paw tissues of CIA mice^[3].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1" style="width: 100%; border-collapse: collapse;"> <tr> <td style="width: 30%;">Animal Model:</td> <td>Collagen-induced arthritis (CIA) DBA/1 male mouse model^[3]</td> </tr> <tr> <td>Dosage:</td> <td>1 mg/kg; 5mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Oral administration; day 21 to day 42 after a 21-day CIA induction</td> </tr> <tr> <td>Result:</td> <td>Ameliorated mouse CIA by decreasing joint destruction.</td> </tr> </table>	Animal Model:	Collagen-induced arthritis (CIA) DBA/1 male mouse model ^[3]	Dosage:	1 mg/kg; 5mg/kg	Administration:	Oral administration; day 21 to day 42 after a 21-day CIA induction	Result:	Ameliorated mouse CIA by decreasing joint destruction.
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REFERENCES

[1]. Poyurovsky M, et al. The effect of Betahistine mesylate, a histamine H1 receptor agonist/H3 antagonist, on olanzapine-induced weight gain in first-episode schizophrenia patients. *Int Clin Psychopharmacol.* 2005 Mar;20(2):101-3.

[2]. Gbahou F, et al. Effects of Betahistine mesylate at histamine H3 receptors: mixed inverse agonism/agonism in vitro and partial inverse agonism in vivo. *J Pharmacol Exp Ther.* 2010 Sep 1;334(3):945-54.

[3]. Tang KT, et al. Betahistine mesylate attenuates murine collagen-induced arthritis by suppressing both inflammatory and Th17 cell responses. *Int Immunopharmacol.* 2016 Oct;39:236-245

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