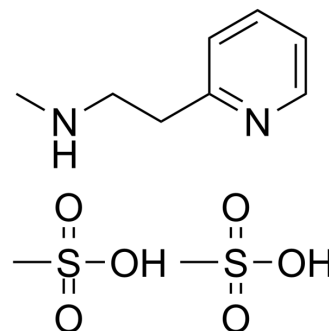


Betahistine mesylate

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)



SOLVENT & SOLUBILITY

In Vitro	DMSO : 100 mg/mL (304.50 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM	3.0450 mL	15.2249 mL	30.4497 mL
		5 mM	0.6090 mL	3.0450 mL	6.0899 mL
		10 mM	0.3045 mL	1.5225 mL	3.0450 mL
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (7.61 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (7.61 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (7.61 mM); Clear solution 				

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	Betahistine mesylate (0-10 μM) inhibits [¹²⁵ I]iodoproxyfan binding to membranes of CHO (rH ₃₍₄₄₅₎ R) and CHO (hH ₃₍₄₄₅₎ R) cells with IC ₅₀ 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] . Betahistine mesylate (0-10 μM) has a regulating function on cAMP formation in CHO (rH ₃₍₄₄₅₎ R), CHO (rH ₃₍₄₁₃₎ R), and CHO (hH ₃₍₄₄₅₎ R) cells. At low concentrations, Betahistine mesylate behaves an apparent inverse agonist, and progressively enhances cAMP formation with EC ₅₀ 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 (rH_{3(445)R}) and full agonist activity^[2].

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

In Vivo

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].

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].

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

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.

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

Caution: Product has not been fully validated for medical applications. For research use only.

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