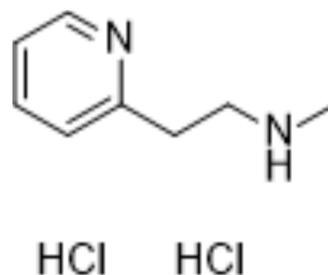


Betahistine dihydrochloride

| | |
|---------------------------|--|
| Cat. No.: | HY-B0524A |
| CAS No.: | 5579-84-0 |
| Molecular Formula: | C ₈ H ₁₄ Cl ₂ N ₂ |
| Molecular Weight: | 209.12 |
| 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

H₂O : ≥ 50 mg/mL (239.10 mM)
 DMSO : 33.33 mg/mL (159.38 mM; Need ultrasonic)
 DMF : 5 mg/mL (23.91 mM; Need ultrasonic)
 * "≥" means soluble, but saturation unknown.

| Preparing Stock Solutions | Solvent Concentration | Mass | | |
|---------------------------|-----------------------|-----------|------------|------------|
| | | 1 mg | 5 mg | 10 mg |
| | 1 mM | 4.7819 mL | 23.9097 mL | 47.8194 mL |
| | 5 mM | 0.9564 mL | 4.7819 mL | 9.5639 mL |
| | 10 mM | 0.4782 mL | 2.3910 mL | 4.7819 mL |

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
 Solubility: 150 mg/mL (717.29 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Betahistine dihydrochloride is an orally active histamine H1 receptor agonist and a H3 receptor antagonist^[1]. Betahistine dihydrochloride is used for the study of rheumatoid arthritis (RA)^[3].

IC₅₀ & Target

H₃ Receptor

In Vitro

Betahistine dihydrochloride (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]. Betahistine dihydrochloride (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 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 inhibits cAMP formation with an EC₅₀ value of 0.1 μM in CHO (rH₃₍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 dihydrochloride (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 dihydrochloride (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, 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 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 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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