Bepotastine

Cat. No.: HY-I0021

CAS No.: 125602-71-3

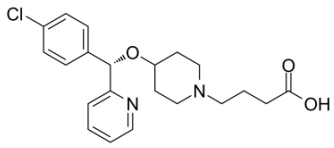
Molecular Formula: $C_{21}H_{25}ClN_2O_3$

Molecular Weight: 388.89

Target: Histamine Receptor

Pathway: GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (257.14 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5714 mL	12.8571 mL	25.7142 mL
	5 mM	0.5143 mL	2.5714 mL	5.1428 mL
	10 mM	0.2571 mL	1.2857 mL	2.5714 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.43 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.43 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.43 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Bepotastine is a selective and orally active second-generation histamine H1 receptor antagonist. Bepotastine has the potential for allergic rhinitis, allergic conjunctivitis and urticaria/pruritus research[1][2].

IC_{50} & Target

Histamine H1 receptor[1]

In Vitro

Bepotastine possesses additional anti-allergic activity including stabilization of mast cell function, inhibition of eosinophilic infiltration, inhibition of IL-5 production, and inhibition of leukotriene B4 (LTB4) and LTD4 activity[1].
Bepotastine significantly inhibits antigen-induced stimulation of IL-5 production in human peripheral blood mononuclear cells (PBMCs) at concentrations of 10-100 μM and the effect is enhanced when the PBMCs are pre-incubated with

	Bepotastine[1]. Leukotriene B4 increased Ca2+ concentration in cultured neutrophils, which is suppressed by Bepotastine besilate (1-100 μM). Leukotriene B4 increased Ca2+ concentration in cultured dorsal root ganglion neurons, which is also suppressed by Bepotastine besilate (100 μM)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Bepotastine besilate (10 mg/kg) inhibits scratching induced by an intradermal injection of histamine (100 nmol/site), but not serotonin (100 nmol/site)[2]. Bepotastine besilate (1-10 mg/kg, oral) dose-dependently suppresses scratching induced by substance P (100 nmol/site) and leukotriene B4 (0.03 nmol/site)[2]. Bepotastine in vivo dose-dependently inhibits the acceleration of histamine-induced vascular permeability and inhibits homologous passive cutaneous anaphylaxis in guinea pig studies[1]. In mouse models of itching, oral Bepotastine inhibits the frequency and duration of scratching behavior[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Med Chem. 2021 Feb 23.

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REFERENCES

[1]. Jon I Williams, et al. Non-clinical pharmacology, pharmacokinetics, and safety findings for the antihistamine bepotastine besilate. Curr Med Res Opin. 2010 Oct;26(10):2329-38.

[2]. Tsugunobu Andoh, et al. Suppression by bepotastine besilate of substance P-induced itch-associated responses through the inhibition of the leukotriene B4 action in mice. Eur J Pharmacol. 2006 Oct 10;547(1-3):59-64.

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