Bepotastine

Cat. No.: HY-I0021

CAS No.: 125602-71-3

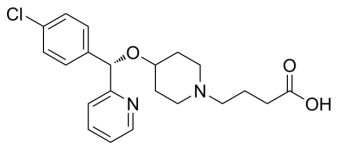
Molecular Formula: $C_{21}H_{25}ClN_2O_3$

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

H_2O : ≥ 100 mg/mL (257.14 mM)
DMSO : 100 mg/mL (257.14 mM; Need ultrasonic)
* "≥" means soluble, but saturation unknown.

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, can suppress the expression of nerve growth factor (NGF). Bepotastine has the potential for allergic rhinitis, allergic conjunctivitis and urticaria/pruritus research[1][2][3][4].

IC_{50} & Target

H_1 Receptor

In Vitro

Bepotastine (10, 100, 1000 μM; preincubates for 120 min) decreases the release of histamine induced by A23187 treatment,

which reaches a statistically significant reduces level at 1000 μM[1].
Bepotastine (50 μM; 1 h) suppresses the expression of NGF mRNA in NHEKs[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	RPMCs
Concentration:	10, 100, 1000 μM
Incubation Time:	120 min (preincubate)
Result:	Decreased the release of histamine.

Western Blot Analysis[2]

Cell Line:	NHEKs
Concentration:	50 μM (preincubation)
Incubation Time:	1 h
Result:	Suppressed the expression of NGF mRNA in NHEKs.

In Vivo

Bepotastine (10 g/L; eye drop; 3 times at intervals of 20 min in one eye) demonstrates significant inhibition of PAF-induced conjunctival eosinophil infiltration[1].
Bepotastine (3 mg/kg; p.o.; once) suppresses scratching behavior to a frequency of 59.0 and a duration of 14.57 seconds, which are almost the same levels compares with the control[3].
Bepotastine (10 mg/kg; p.o.; once) significantly suppresses serum LTB 4 levels to 711.3 pg/mL at 1 h and 858.8 pg/mL at 2 h in NC/Nga mice with a rash[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Guinea pigs (6-week-old)[1].
Dosage:	10 g/L (1.0% (w/v)) for 10 μL.
Administration:	Eye drop; 3 times at intervals of 20 min (in one eye).
Result:	Inhibited PAF-induced conjunctival eosinophil infiltration.

Animal Model:	Male BALB/c mice(12-week-old); NC/Nga mice[3].
Dosage:	3, 10 mg/kg
Administration:	Oral administration; once (1 h before induces scratching behavior of Male BALB/c mice).
Result:	Significantly inhibited histamine-mediated scratching behavior in male BALB/c mice. Significantly suppressed serum LTB 4 levels in NC/Nga mice with a rash.

CUSTOMER VALIDATION

- J Med Chem. 2021 Mar 11;64(5):2725-2738.

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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]. Kida T, et al. Bepotastine besilate, a highly selective histamine H(1) receptor antagonist, suppresses vascular hyperpermeability and eosinophil recruitment in in vitro and in vivo experimental allergic conjunctivitis models. Exp Eye Res. 2010 Jul;91(1):85-91.

[3]. Tanizaki H, et al. Oral administration of bepotastine besilate suppressed scratching behavior of atopic dermatitis model NC/Nga mice. Int Arch Allergy Immunol. 2008;145(4):277-82.

[4]. Kamata Y, et al. Bepotastine besilate downregulates the expression of nerve elongation factors in normal human epidermal keratinocytes. J Dermatol Sci. 2018 Apr 23:S0923-1811(18)30186-5.

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