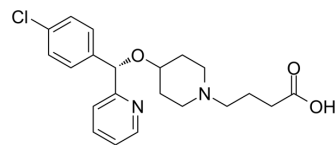


Bepotastine

Cat. No.:	HY-I0021
CAS No.:	125602-71-3
Molecular Formula:	C ₂₁ H ₂₅ ClN ₂ O 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

H₂O : ≥ 100 mg/mL (257.14 mM)
 DMSO : 100 mg/mL (257.14 mM; Need ultrasonic)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		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

- 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
- 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
- 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]}.

IC₅₀ & Target

Histamine H₁ Receptor^{[1][2][3]}

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.

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):8

[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.

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

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