# Bilastine

**Cat. No.:** HY-14447

**CAS No.:** 202189-78-4

**Molecular Formula:** $C_{28}H_{37}N_3O_3$

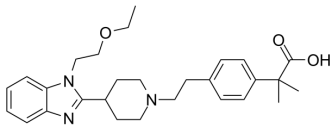
**Molecular Weight:** 463.61

**Target:** Histamine Receptor

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

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 2 years |
| | -20°C | 1 year |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 10 mg/mL (21.57 mM; ultrasonic and warming and heat to 60°C)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.1570 mL | 10.7849 mL | 21.5699 mL |
| | 5 mM | 0.4314 mL | 2.1570 mL | 4.3140 mL |
| | 10 mM | 0.2157 mL | 1.0785 mL | 2.1570 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 mg/mL (4.31 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2 mg/mL (4.31 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2 mg/mL (4.31 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Bilastine is a selective histamine H1 receptor antagonist used for treatment of allergic rhinoconjunctivitis and urticaria. Target: Histamine H1 ReceptorBilastine binds to histamine H1-receptors as indicated by its displacement of [3H]-pyrilamine from H1-receptors expressed in guinea-pig cerebellum and human embryonic kidney (HEK) cell lines. The studies conducted on guinea-pig smooth muscle demonstrated the capability of bilastine to antagonise H1-receptors. Bilastine is selective for histamine H1-receptors as shown in receptor-binding screening conducted to determine the binding capacity of bilastine to 30 different receptors [1]. Bilastine distribution has an apparent volume of distribution of 1.29 L/kg, and has an elimination half-life of 14.5 h and plasma protein binding of 84-90% [2].

## REFERENCES

[1]. Corcostegui, R., et al., Preclinical pharmacology of bilastine, a new selective histamine H1 receptor antagonist: receptor selectivity and in vitro antihistaminic activity. Drugs R D, 2005. 6(6): p. 371-84.

[2]. Jauregizar, N., et al., Pharmacokinetic-pharmacodynamic modelling of the antihistaminic (H1) effect of bilastine. Clin Pharmacokinet, 2009. 48(8): p. 543-54.



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