

PRODUCT INFORMATION

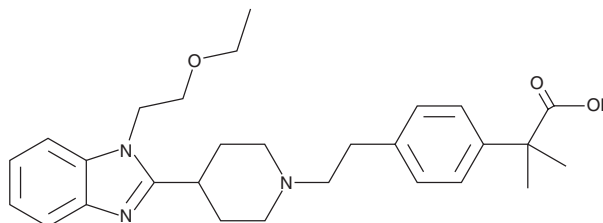


Bilastine

Item No. 28375

CAS Registry No.: 202189-78-4
Formal Name: 4-[2-[4-[1-(2-ethoxyethyl)-1H-benzimidazol-2-yl]-1-piperidiny]ethyl]- α,α -dimethyl-benzeneacetic acid

Synonym: F-96221-BM1
MF: C₂₈H₃₇N₃O₃
FW: 463.6
Purity: \geq 98%
Supplied as: A crystalline solid
Storage: -20°C
Stability: \geq 4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Bilastine is supplied as a crystalline solid. A stock solution may be made by dissolving the bilastine in the solvent of choice, which should be purged with an inert gas. Bilastine is soluble in the organic solvent chloroform at a concentration of approximately 30 mg/ml.

Description

Bilastine is a histamine H₁ receptor antagonist (IC₅₀ = 180 nM).¹ It is selective for the histamine H₁ receptor in a panel of 30 receptors *in vitro* at 100 μ M. Bilastine prevents microvascular extravasation (ED₅₀ = 185 μ g/kg, i.v.), bronchospasm (ED₅₀ = 4.6 μ g/kg, i.v.), and systemic anaphylaxis (ED₅₀ = 0.2 μ g/kg, p.o.) induced by subcutaneous histamine in guinea pigs.² It prevents anaphylaxis induced by subcutaneous administration of ovalbumin or dinitrophenylated human albumin (DNP) in sensitized rats when administered at doses of 7.6 and 6.0 mg/kg, respectively. Formulations containing bilastine have been used in the treatment of urticaria and allergic rhinitis.

References

1. Corcóstegui, R., Labeaga, L., Inneráritu, A., *et al.* Preclinical pharmacology of bilastine, a new selective histamine H₁ receptor antagonist: Receptor selectivity and *in vitro* antihistaminic activity. *Drugs R.D.* **6(6)**, 371-384 (2005).
2. Corcóstegui, R., Labeaga, L., Inneráritu, A., *et al.* *In vivo* pharmacological characterisation of bilastine, a potent and selective histamine H₁ receptor antagonist. *Drugs R.D.* **7(4)**, 219-231 (2006).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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