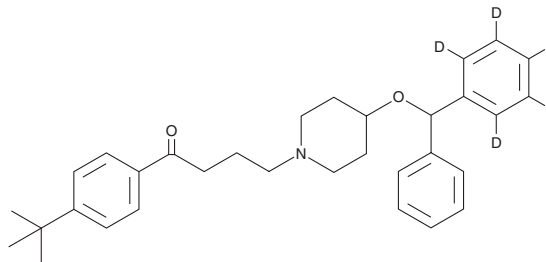


PRODUCT INFORMATION



Ebastine-d₅ Item No. 30758

CAS Registry No.: 1216953-13-7
Formal Name: 1-[4-(1,1-dimethylethyl)phenyl]-4-[4-(phenylphenyl-2,3,4,5,6-d₅-methoxy)-1-piperidiny]-1-butanone
MF: C₃₂H₃₄D₅NO₂
FW: 474.7
Chemical Purity: ≥95% (Ebastine)
Deuterium Incorporation: ≥99% deuterated forms (d₁-d₅); ≤1% d₀
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

Ebastine-d₅ is intended for use as an internal standard for the quantification of ebastine (Item No. 15372) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated versus unlabeled).

Ebastine-d₅ is supplied as a solid. A stock solution may be made by dissolving the ebastine-d₅ in the solvent of choice, which should be purged with an inert gas. Ebastine-d₅ is slightly soluble in methanol (warmed).

Description

Ebastine is a histamine H₁ receptor antagonist and prodrug form of carebastine (Item No. 23076).¹ It is formed from carebastine by the cytochrome P450 (CYP) isoform CYP3A4. Ebastine binds to histamine H₁ receptors with an IC₅₀ value of 45 nM. It is selective for histamine H₁ receptors over α₁-adrenergic and muscarinic M₁ and M₂ receptors (IC₅₀s = 183, 1,100, and >10,000 nM, respectively), as well as dopamine D₂ receptors and the serotonin (5-HT) receptor subtype 5-HT_{1A} at 1 and 10 μM, respectively.² Ebastine (1, 5, and 10 μM) inhibits T cell proliferation and the production of IL-4 and IL-5 in isolated human T cells.³ It also reduces phytohemagglutinin-induced T cell migration and decreases LPS-induced IL-6 and TNF-α production by macrophages isolated from peripheral blood mononuclear cells (PBMCs). Ebastine inhibits histamine-induced and allergen-induced bronchospasm in guinea pigs (ED₅₀s = 115 and 334 μg/kg, respectively).⁴ Formulations containing ebastine have been used in the treatment of allergic rhinitis and chronic idiopathic urticaria.

References

1. Vincent, J., Liminana, R., Meredith, P.A., *et al.* The pharmacokinetics, antihistamine and concentration-effect relationship of ebastine in healthy subjects. *Br. J. Clin. Pharmacol.* **26(5)**, 497-502 (1988).
2. Abou-Gharbia, M., Moyer, J.A., Nielsen, S.T., *et al.* New antihistamines: Substituted piperazine and piperidine derivatives as novel H₁-antagonists. *J. Med. Chem.* **38(20)**, 4026-4032 (1995).
3. Nori, M., Iwata, S., Munakata, Y., *et al.* Ebastine inhibits T cell migration, production of Th2-type cytokines and proinflammatory cytokines. *Clin. Exp. Allergy* **33(11)**, 1544-1554 (2003).
4. Llupia, J., Gras, J., and Llenas, J. Comparative antiallergic effects of second-generation H₁-antihistamines ebastine, cetirizine and loratadine in preclinical models. *Arzneimittelforschung* **53(2)**, 93-97 (2003).

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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