

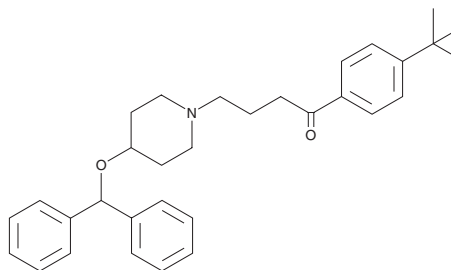
# PRODUCT INFORMATION



## Ebastine

Item No. 15372

**CAS Registry No.:** 90729-43-4  
**Formal Name:** 1-[4-(1,1-dimethylethyl)phenyl]-4-[4-(diphenylmethoxy)-1-piperidyl]-1-butanone  
**Synonyms:** LAS-W 090, RP 64305  
**MF:** C<sub>32</sub>H<sub>39</sub>NO<sub>2</sub>  
**FW:** 469.7  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 252 nm  
**Supplied as:** A crystalline 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 is supplied as a crystalline solid. A stock solution may be made by dissolving the ebastine in the solvent of choice, which should be purged with an inert gas. Ebastine is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of ebastine in ethanol and DMSO is approximately 2 mg/ml and approximately 25 mg/ml in DMF.

### Description

Ebastine is a histamine H<sub>1</sub> receptor antagonist and prodrug form of carebastine (Item No. 23076).<sup>1</sup> Ebastine binds to histamine H<sub>1</sub> receptors with an IC<sub>50</sub> value of 45 nM. It is selective for histamine H<sub>1</sub> receptors over α<sub>1</sub>-adrenergic and muscarinic M<sub>1</sub> and M<sub>2</sub> receptors (IC<sub>50</sub>s = 183, 1,100, and >10,000 nM, respectively), as well as dopamine D<sub>2</sub> receptors and the serotonin (5-HT) receptor subtype 5-HT<sub>1A</sub> at 1 and 10 μM, respectively.<sup>2</sup> Ebastine (1, 5, and 10 μM) inhibits T cell proliferation and the production of IL-4 and IL-5 in isolated human T cells.<sup>3</sup> 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 (ED<sub>50</sub>s = 115 and 334 μg/kg, respectively).<sup>4</sup> 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 H<sub>1</sub>-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 H<sub>1</sub>-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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#### CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD  
ANN ARBOR, MI 48108 · USA

**PHONE:** [800] 364-9897

[734] 971-3335

**FAX:** [734] 971-3640

CUSTSERV@CAYMANCHEM.COM

WWW.CAYMANCHEM.COM