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SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

[linkedin.com/company/szaboscandic](https://www.linkedin.com/company/szaboscandic) 

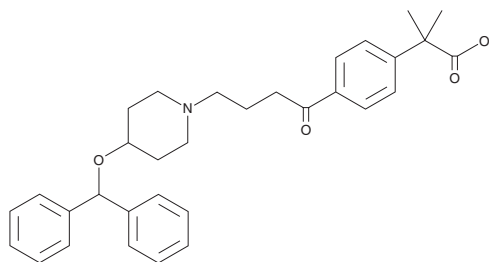
PRODUCT INFORMATION



Carebastine

Item No. 23076

CAS Registry No.: 90729-42-3
Formal Name: 4-[4-[4-(diphenylmethoxy)-1-piperidiny]-1-oxobutyl]- α,α -dimethyl-benzeneacetic acid
MF: C₃₂H₃₇NO₄
FW: 499.6
Purity: \geq 98%
UV/Vis.: λ_{\max} : 252 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: \geq 2 years



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

Laboratory Procedures

Carebastine is supplied as a crystalline solid. A stock solution may be made by dissolving the carebastine in the solvent of choice, which should be purged with an inert gas. Carebastine is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of carebastine in ethanol and DMSO is approximately 2 mg/ml and approximately 25 mg/ml in DMF.

Description

Carebastine is an active metabolite of ebastine (Item No. 15372) and a histamine H₁ receptor antagonist (K_i = 75.86 nM).¹⁻³ It is formed from ebastine by the cytochrome P450 (CYP) isoform CYP3A4.² Carebastine inhibits histamine-induced contraction of isolated guinea pig trachea (IC₅₀ = 120 nM).⁴ It also inhibits histamine release from isolated rat peritoneal mast cells and human basophils when used at concentrations ranging from 30 to 100 μ M. Carebastine decreases production of chemokine (C-C motif) ligand 5 (CCL5) and CCL2 in primary human nasal epithelial cells isolated from patients with nasal allergies.⁵

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. Liu, K.-H., Kim, M.-G., Lee, D.-J., *et al.* Characterization of ebastine, hydroxyebastine, and carebastine metabolism by human liver microsomes and expressed cytochrome P450 enzymes: Major roles for CYP2J2 and CYP3A. *Drug. Metab. Dispos.* **34(11)**, 1793-1797 (2006).
3. Hishinuma, S., Kosaka, K., Akatsu, C., *et al.* Asp73-dependent and -independent regulation of the affinity of ligands for human histamine H₁ receptors by Na⁺. *Biochem. Pharmacol.* **128**, 46-54 (2017).
4. Yaku, I., Ishii, K., Seto, Y., *et al.* Pharmacological study of ebastine, a novel histamine H₁-receptor antagonist. *Nihon Yakurigaku Zasshi* **103(3)**, 121-135 (1994).
5. Yamauchi, Y., Fujikura, T., and Shimosawa, T. The effect of H1 antagonists carebastine and olopatadine on histamine induced expression of CC chemokines in cultured human nasal epithelial cells. *Allergol. Int.* **56(2)**, 171-177 (2007).

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