



# SZABO SCANDIC

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## Produktinformation



Forschungsprodukte & Biochemikalien



Zellkultur & Verbrauchsmaterial



Diagnostik & molekulare Diagnostik



Laborgeräte & Service

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### Zuschläge

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- Trockeneiszuschlag
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- Expressversand

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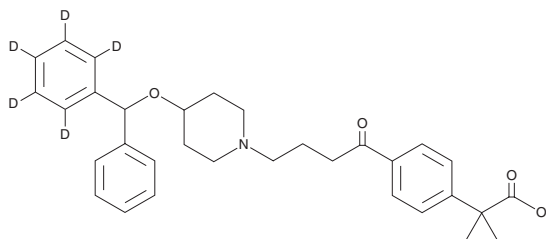
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# PRODUCT INFORMATION



## Carebastine-d<sub>5</sub> Item No. 30755

**CAS Registry No.:** 1189661-02-6  
**Formal Name:** 4-[4-[4-(phenyl-d<sub>5</sub>)-phenylmethoxy]-1-piperidinyl]-1-oxobutyl]- $\alpha,\alpha$ -dimethylbenzeneacetic acid  
**MF:** C<sub>32</sub>H<sub>32</sub>D<sub>5</sub>NO<sub>4</sub>  
**FW:** 504.7  
**Chemical Purity:** ≥95% (Carebastine)  
**Deuterium Incorporation:** ≥99% deuterated forms (d<sub>1</sub>-d<sub>5</sub>); ≤1% d<sub>0</sub>  
**Supplied as:** A solid  
**Storage:** -20°C  
**Stability:** ≥2 years



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

### Laboratory Procedures

Carebastine-d<sub>5</sub> is intended for use as an internal standard for the quantification of carebastine (Item No. 23076) 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).

Carebastine-d<sub>5</sub> is supplied as a solid. A stock solution may be made by dissolving the carebastine-d<sub>5</sub> in the solvent of choice, which should be purged with an inert gas. Carebastine-d<sub>5</sub> is slightly soluble in chloroform and ethyl acetate.

### Description

Carebastine is an active metabolite of ebastine (Item No. 15372) and a histamine H<sub>1</sub> receptor antagonist (K<sub>i</sub> = 75.86 nM).<sup>1-3</sup> It inhibits histamine-induced contraction of isolated guinea pig trachea (IC<sub>50</sub> = 120 nM).<sup>4</sup> It also inhibits histamine release from isolated rat peritoneal mast cells and human basophils when used at concentrations ranging from 30 to 100 μM. Carebastine decreases production of chemokine (C-C motif) ligand 5 (CCL5) and CCL2 in human nasal epithelial cells isolated from patients with nasal allergies.<sup>5</sup>

### 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 H<sub>1</sub> receptors by Na<sup>+</sup>. *Biochem. Pharmacol.* **128**, 46-54 (2017).
4. Yaku, I., Ishii, K., Seto, Y., *et al.* Pharmacological study of ebastine, a novel histamine H<sub>1</sub>-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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