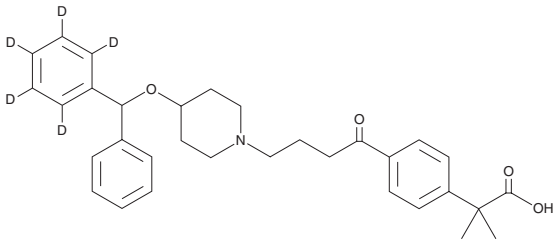
PRODUCT INFORMATION

Carebastine-d_5

Item No. 30755

CAS Registry No.:	1189661-02-6
Formal Name:	4-[4-[4-(phenyl-d_5)-phenylmethoxy)-1-piperidinyl]-1-oxobutyl]-α,α-dimethyl benzeneacetic acid
MF:	$C_{32}H_{32}D_5NO_4$
FW:	504.7
Chemical Purity:	≥95% (Carebastine)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_5); ≤1% d_0
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

Carebastine-d_5 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_5 is supplied as a solid. A stock solution may be made by dissolving the carebastine-d_5 in the solvent of choice, which should be purged with an inert gas. Carebastine-d_5 is slightly soluble in chloroform and ethyl acetate.

Description

Carebastine is an active metabolite of ebastine (Item No. 15372) and a histamine H_1 receptor antagonist (K_i = 75.86 nM).[1-3] It inhibits histamine-induced contraction of isolated guinea pig trachea (IC_{50} = 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 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.[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 H_1 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 H_1-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).

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