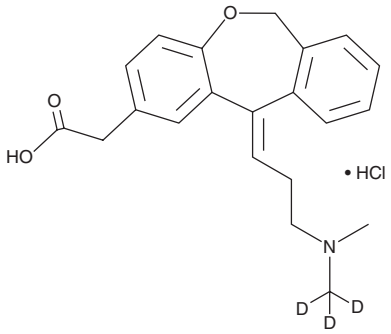
PRODUCT INFORMATION

Olopatadine-d_3 (hydrochloride)

Item No. 31918

CAS Registry No.: 1331635-21-2
Formal Name: 11Z-[3-(dimethylamino-d_3)propylidene]-6,11-dihydro-dibenz[b,e]oxepin-2-acetic acid, monohydrochloride
MF: $C_{21}H_{20}D_3NO_3 \bullet HCl$
FW: 376.9
Chemical Purity: ≥95% (Olopatadine)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_3); ≤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

Olopatadine-d_3 (hydrochloride) is intended for use as an internal standard for the quantification of olopatadine (Item No. 11999) 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).

Olopatadine-d_3 (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the olopatadine-d_3 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Olopatadine-d_3 (hydrochloride) is slightly soluble in methanol.

Description

Olopatadine is a histamine H_1 receptor antagonist (K_i =41 nM).[1] It is 1,059- and 4,177-fold selective for histamine H_1 over H_2 and H_3 receptors, respectively. Olopatadine inhibits histamine-induced phosphoinositide turnover in isolated human conjunctival epithelial cells, isolated human corneal fibroblasts, and human trabecular meshwork (TM3) cells (IC_{50}s = 9.5, 19, and 39.9 nM, respectively). *In vivo*, olopatadine inhibits passive cutaneous anaphylaxis in rats (ED_{50} = 49 µg/kg) and IgG1-mediated bronchoconstriction in ovalbumin-sensitized guinea pigs (ED_{50} = 30 µg/kg).[2] Formulations containing olopatadine have been used in the treatment of allergic rhinitis and conjunctivitis, as well as in the treatment of itch in patients with well-controlled urticaria.

References

1. Sharif, N.A., Xu, S.X., and Yanni, J.M. Olopatadine (AL-4943A): Ligand binding and functional studies on a novel, long acting H1-selective histamine antagonist and anti-allergic agent for use in allergic conjunctivitis. *J. Ocul. Pharmacol. Ther.* **12(4)**, 401-407 (1996).
2. Ohshima, E., Otaki, S., Sato, H., *et al.* Synthesis and antiallergic activity of 11-(aminoalkylidene)-6,11-dihydrodibenz[*b*,*e*]oxepin derivatives. *J. Med. Chem.* **35(11)**, 2074-2084 (1992).

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