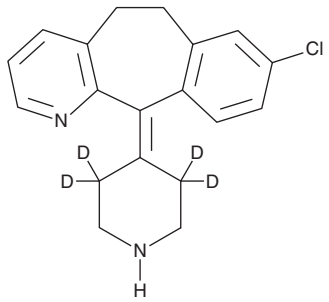
PRODUCT INFORMATION

Desloratadine-d_4

Item No. 26776

CAS Registry No.: 2713301-38-1
Formal Name: 8-chloro-6,11-dihydro-11-(4-piperidinylidene-3,3,5,5-d_4)-5H-benzo[5,6]cyclohepta[1,2-b]pyridine
Synonym: Descarboethoxyloratadine-d_4
MF: $C_{19}H_{15}ClD_4N_2$
FW: 314.9
Chemical Purity: ≥98% (Desloratadine)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_4); ≤1% d_0
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

Desloratadine-d_4 is intended for use as an internal standard for the quantification of desloratadine (Item No. 16931) 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).

Desloratadine-d_4 is supplied as a solid. A stock solution may be made by dissolving the desloratadine-d_4 in the solvent of choice, which should be purged with an inert gas. Desloratadine-d_4 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of Desloratadine-d_4 in ethanol and DMF is approximately 30 mg/ml and approximately 10 mg/ml in DMSO.

Description

Desloratadine is a histamine H_1 receptor antagonist (K_i = 0.97 nM) and an active metabolite of loratadine (Item No. 15625).[1,2] It is formed from loratadine primarily by the cytochrome P450 (CYP) isoforms CYP3A4, CYP2D6, and CYP2C19.[3] It also inhibits calcium flow in neurons and glia *in vitro* (IC_{50}s = 9.177 and 0.3185 µM, respectively), an effect that can be blocked by knockdown of the 5-HT receptor subtype 5-HT_{2A}.[4] Desloratadine (10 mg/kg) reduces ovalbumin-induced sneezing, nose rubbing, eye watering, and congestion in ovalbumin-sensitized guinea pigs.[5] It also increases the clearance of amyloid-β by microglia and reverses learning and memory deficits in the Morris water maze in the transgenic APP/PS1 mouse model of Alzheimer's disease when administered at a dose of 20 mg/kg per day.[4] Formulations containing desloratadine have been used in the treatment of seasonal and perennial allergic rhinitis and chronic idiopathic urticaria.

References

1. Lewis, T.A., Young, M.A., Arrington, M.P., *et al. Bioorg. Med. Chem. Lett.* **14(22)**, 5591-5594 (2004).
2. Ramanathan, R., Alvarez, N., Su, A.-D., *et al. Xenobiotica* **35(2)**, 155-189 (2005).
3. Aratyn-Schaus, Y. and Ramanathan, R. *Bioanalysis* **8(16)**, 1645-1662 (2016).
4. Lu, J., Zhang, C., Lv, J., *et al. Aging Cell* **20(1)**, e13286 (2021).
5. Bahekar, P.C., Shah, J.H., Ayer, U.B., *et al. Int. Immunopharmacol.* **8(11)**, 1540-1551 (2008).

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