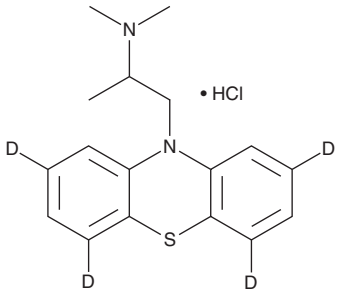
PRODUCT INFORMATION

Promethazine-d_4 (hydrochloride)

Item No. 40321

CAS Registry No.: 1173018-74-0
Formal Name: N,N,α-trimethyl-10H-phenothiazine-10-ethanamine-d_4, monohydrochloride
MF: $C_{17}H_{16}D_4N_2S \bullet HCl$
FW: 324.9
Chemical Purity: ≥98% (Promethazine)
Deuterium Incorporation: ≥99% deuterated forms (d_1-d_4); ≤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

Promethazine-d_4 (hydrochloride) is intended for use as an internal standard for the quantification of promethazine (Item No. 16478) 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).

Promethazine-d_4 (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the promethazine-d_4 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Promethazine-d_4 (hydrochloride) is soluble in DMSO and dimethyl formamide.

Description

Promethazine is a first-generation histamine H_1 receptor antagonist (K_i = 0.98 nM for the human receptor).[1] It is selective for histamine H_1 over H_3 and H_4 receptors (K_is = >100 and 77.6 µM, respectively, for the human receptors). Promethazine also binds muscarinic acetylcholine receptors (mAChRs; K_i = 22 nM).[2] It inhibits histamine-induced paw edema and acetic acid-induced writhing in mice (ED_{50}s = 5.9 and 11.8 mg/kg, respectively).[3] Promethazine (32 mg/kg) decreases the number of motion-induced vomiting episodes in *S. murinus*.[4]

References

1. Appl, H., Holzammer, T., Dove, S., *et al.* Interactions of recombinant human histamine H_1, H_2, H_3, and H_4 receptors with 34 antidepressants and antipsychotics. *Naunyn-Schmiedebergs Arch. Pharmacol.* **385(2)**, 145-170 (2012).
2. Kubo, N., Shirakawa, S., Kuno, T., *et al.* Antimuscarinic effects of antihistamines: Quantitative evaluation by receptor-binding assay. *Jpn. J. Pharmacol.* **43(3)**, 277-282 (1987).
3. Barnett, A., Iorio, L.C., Kreutner, W., *et al.* Evaluation of the CNS properties of SCH 29851, a potential non-sedating antihistamine. *Agents Actions* **43(3-4)**, 149-156 (1994).
4. Nakayama, H., Yamakuni, H., Higaki, M., *et al.* Antiemetic activity of FK1052, a 5-HT3- and 5-HT4-receptor antagonist, in *Suncus murinus* and ferrets. *J. Pharmacol. Sci.* **98(4)**, 396-403 (2005).

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