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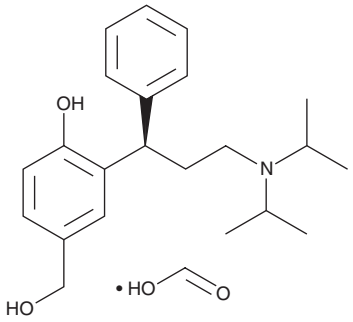
PRODUCT INFORMATION

5-hydroxymethyl Tolterodine (formate)

Item No. 27833

CAS Registry No.: 380636-49-7
Formal Name: formic acid, compd. with 3-[(1R)-3-[*bis*(1-methylethyl)amino]-1-phenylpropyl]-4-hydroxybenzenemethanol
Synonyms: 5-HMT, Desfesoterodine, PNU 200577
MF: $C_{22}H_{31}NO_2 \bullet CH_2O_2$
FW: 387.5
Purity: ≥98%
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

5-hydroxymethyl Tolterodine (formate) is supplied as a solid. A stock solution may be made by dissolving the 5-hydroxymethyl tolterodine (formate) in the solvent of choice. 5-hydroxymethyl Tolterodine (formate) is soluble in organic solvents such as methanol and DMSO, which should be purged with an inert gas.

Description

5-hydroxymethyl Tolterodine is an active metabolite of the muscarinic acetylcholine receptor antagonists tolterodine (Item No. 15027) and fesoterodine (Item No. 23777).[1] It is formed from tolterodine by the cytochrome P450 (CYP) isoform CYP2D6 and from fesoterodine by plasma esterases.[1,2] 5-hydroxymethyl Tolterodine inhibits M_{1-5} muscarinic receptors with K_i values of 2.3, 2, 2.5, 2.8, and 2.9 nM, respectively, for the human receptors expressed in CHO cells.[3] It selectively inhibits acetylcholine-induced bladder contraction over electrically induced salivation in anesthetized cats (ID_{50}s = 15 and 40 nmol/kg, respectively).

References

1. Langmaier, J., Skopalová, J., Navrátil, T., *et al.* Detection of antimuscarinic agents tolterodine and fesoterodine and their metabolite 5-hydroxymethyl tolterodine by ion transfer voltammetry at a polarized room-temperature ionic liquid membrane. *Electrochim. Acta* **304**, 54-61 (2019).
2. Postlind, H., Danielson, A., Lindgren, A., *et al.* Tolterodine, a new muscarinic receptor antagonist, is metabolized by cytochromes P450 2D6 and 3A in human liver microsomes. *Drug Metab. Dispos.* **26(4),** 289-293 (1998).
3. Nilvebrant, L., Gillberg, P.G., and Sparf, B. Antimuscarinic potency and bladder selectivity of PNU-200577, a major metabolite of tolterodine. *Pharmacol. Toxicol.* **81(4)**, 169-172 (1997).

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