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

C₂₂H₃₁NO₂ • CH₂O₂ MF:

FW: 387.5 **Purity:** ≥98% 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

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 (ID₅₀s = 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).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD ANN ARBOR, MI 48108 · USA PHONE: [800] 364-9897

[734] 971-3335

FAX: [734] 971-3640 CUSTSERV@CAYMANCHEM.COM WWW.**CAYMANCHEM**.COM