Tolterodine tartrate

Cat. No.: HY-90010

CAS No.: 124937-52-6

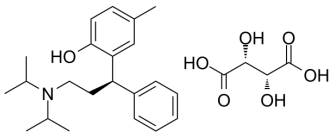
Molecular Formula: $C_{26}H_{37}NO_7$

Molecular Weight: 475.57

Target: mAChR

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (210.27 mM; Need ultrasonic)
H_2O : 16.67 mg/mL (35.05 mM; Need ultrasonic)

Preparing Stock Solutions

Concentration / Solvent / Mass	1 mg	5 mg	10 mg
1 mM	2.1027 mL	10.5137 mL	21.0274 mL
5 mM	0.4205 mL	2.1027 mL	4.2055 mL
10 mM	0.2103 mL	1.0514 mL	2.1027 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.26 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.26 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.26 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tolterodine Tartrate (Kabi-2234; PNU-200583E) is a potent muscarinic receptor antagonist and shows selectivity for the urinary bladder over salivary glands in vivo.

In Vitro

Carbachol-induced contractions of isolated guinea pig bladder were effectively inhibited by tolterodine (IC50 14 nM) and 5-HM (IC50 5.7 nM). The IC50 values were in the microM range and the antimuscarinic potency of tolterodine was 27, 200 and 370-485 times higher, respectively, than its potency in blocking histamine receptors, alpha-adrenoceptors and calcium channels. The active metabolite, 5-HM, was >900 times less potent at these sites than at bladder muscarinic receptors[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	Tolterodine was extensively metabolized in vivo[2]. In the passive-avoidance test, tolterodine at 1 or 3 mg/kg had no effect on memory; the latency to cross and percentage of animals crossing were comparable to controls. In contrast, scopolamine induced a memory deficit; the latency to cross was decreased, and the number of animals crossing was increased[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Nilvebrant L. Tolterodine and its active 5-hydroxymethyl metabolite: pure muscarinic receptor antagonists. Pharmacol Toxicol. 2002 May;90(5):260-7.

[2]. Andersson SH, et al. Biotransformation of tolterodine, a new muscarinic receptor antagonist, in mice, rats, and dogs. Drug Metab Dispos. 1998 Jun;26(6):528-35.

[3]. Cappon GD, et al. Tolterodine does not affect memory assessed by passive-avoidance response test in mice. Eur J Pharmacol. 2008 Jan 28;579(1-3):225-8.

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