

Bioactive Molecules, Building Blocks, Intermediates

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Product Name:	Tolterodine
Cat. No.:	CS-1799
CAS No.:	124937-51-5
Molecular Formula:	C22H31NO
Molecular Weight:	325.49
Target:	mAChR
Pathway:	GPCR/G Protein; Neuronal Signaling
Solubility:	DMSO : 14.29 mg/mL (43.90 mM; Need ultrasonic)

Data Sheet



BIOLOGICAL ACTIVITY:

Tolterodine(PNU-200583) is a potent muscarinic receptor antagonists that show selectivity for the urinary bladder over salivary glands in vivo. IC50 Value: Target: mAChR 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]. 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].

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.

CAIndexNames:

Phenol, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-methyl-

SMILES:

 $\mathsf{CC}(\mathsf{C})\mathsf{N}(\mathsf{C}(\mathsf{C})\mathsf{C})\mathsf{CC}[\mathsf{C}@\mathsf{H}](\mathsf{C}1{=}\mathsf{CC}{=}\mathsf{CC}{=}\mathsf{C}1)\mathsf{C}2{=}\mathsf{C}(\mathsf{O})\mathsf{C}{=}\mathsf{CC}(\mathsf{C}){=}\mathsf{C}2$

Caution: Product has not been fully validated for medical applications. For research use only.

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