(Rac)-5-Hydroxymethyl Tolterodine

Cat. No.: HY-76570

CAS No.: 200801-70-3

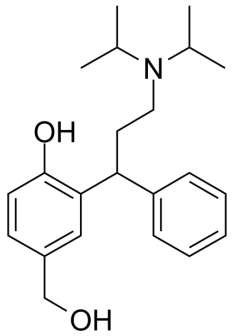
Molecular Formula: $C_{22}H_{31}NO_2$

Molecular Weight: 341.49

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 (292.83 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9283 mL	14.6417 mL	29.2834 mL
	5 mM	0.5857 mL	2.9283 mL	5.8567 mL
	10 mM	0.2928 mL	1.4642 mL	2.9283 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 (7.32 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.32 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.32 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

(Rac)-5-Hydroxymethyl Tolterodine ((Rac)-Desfesoterodine), an active metabolite of Tolterodine, is a mAChR antagonist (K_i values of 2.3 nM, 2 nM, 2.5 nM, 2.8 nM, and 2.9 nM for M1, M2, M3, M4, and M5 receptors, respectively). (Rac)-5-Hydroxymethyl Tolterodine can be used for overactive bladder research[1].

IC_{50} & Target

Ki: M1 (2.3 nM), M2 (2 nM), M3 (2.5 nM), M4 (2.8 nM), and M5 (2.9 nM)[1]

In Vitro

In vitro, (Rac)-5-Hydroxymethyl Tolterodine (PNU-200577) produces a competitive and concentration-dependent inhibition of carbachol-induced contraction of guinea-pig isolated urinary bladder strips (K_B of 0.84 nM; pA2 of 9.14)[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	(Rac)-5-Hydroxymethyl Tolterodine (5-HMT; 0.88 μmol/kg; i.v.) treatment shows the binding activity of (Rac)-5-Hydroxymethyl Tolterodine to muscarinic receptors is significantly observed in all tissues, except cerebral cortex, with a longer duration in bladder[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. L Nilvebrant, et al. Antimuscarinic potency and bladder selectivity of PNU-200577, a major metabolite of tolterodine. Pharmacol Toxicol. 1997 Oct;81(4):169-72.

[2]. B Malhotra, et al. The design and development of fesoterodine as a prodrug of 5-hydroxymethyl tolterodine (5-HMT), the active metabolite of tolterodine. Curr Med Chem. 2009;16(33):4481-9.

[3]. Shizuo Yamada, et al. Muscarinic receptor binding of fesoterodine, 5-hydroxymethyl tolterodine, and tolterodine in rat tissues after the oral, intravenous, or intravesical administration. J Pharmacol Sci. 2019 May;140(1):73-78.

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

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