Desfesoterodine

Cat. No.: HY-76569

CAS No.: 207679-81-0

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

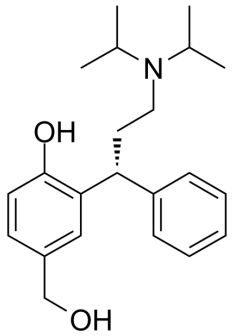
Molecular Weight: 341.49

Target: mAChR

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (292.83 mM; Need ultrasonic)
DMSO : 50 mg/mL (146.42 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / 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

Desfesoterodine (PNU-200577) is a potent and selective muscarinic receptor (mAChR) antagonist with a K_B and a pA_2 of 0.84 nM and 9.14, respectively[1]. Desfesoterodine is a major pharmacologically active metabolite of Tolterodine (PNU-200583; HY-A0024) and Fesoterodine (HY-70053)[2][3]. Desfesoterodine improves cerebral infarction induced detrusor overactivity in rats[4].

IC_{50} & Target

Kb: 0.84 nM (mAChR)[1].

In Vitro	In vitro, Desfesoterodine preventes carbachol-induced contraction of guinea-pig isolated urinary bladder strips in a competitive and concentration-dependent manner[1]. In radioligand binding studies carries out in homogenates of guinea-pig tissues and Chinese hamster ovary cell lines expressing human muscarinic m1-m5 receptors, Desfesoterodine is not selective for any muscarinic receptor subtype[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Desfesoterodine (PNU-200577; 5-Hydroxymethyl Tolterodine; 0.1 and 1 mg/kg; IV) significantly increases bladder compliance after moderate and high doses[4]. In vivo, Desfesoterodine is significantly more potent at suppressing acetylcholine-induced urinary bladder contraction than electrically induced salivation in the anaesthetised cat (ID50=15 and 40 nmol/kg, respectively) [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female Sprague Dawley rats at ages 9 to 11 weeks weighing 180 to 250 g[4]
Dosage:	0.1 and 1 mg/kg
Administration:	IV; single imidafenacin administration
Result:	Significantly increased bladder compliance after moderate and high doses.

REFERENCES

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

[2]. Fullhase, Claudius; Soler, Roberto; Gratzke, Christian et al. Spinal effects of the fesoterodine metabolite 5-hydroxymethyl tolterodine and/or doxazosin in rats with or without partial urethral obstruction. Journal of Urology (New York, NY, United States)

[3]. 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.

[4]. Naoki Aizawa, et al. Selective Inhibitory Effect of Imidafenacin and 5-hydroxymethyl Tolterodine on Capsaicin Sensitive C Fibers of the Primary Bladder Mechanosensitive Afferent Nerves in the Rat. J Urol. 2015 Apr;193(4):1423-32.

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