Fesoterodine L-mandelate

Cat. No.: HY-70053A

CAS No.: 1206695-46-6

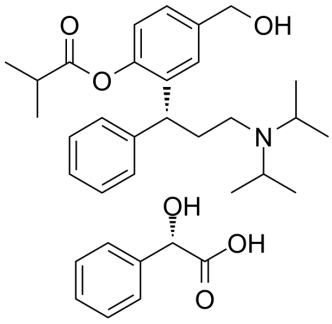
Molecular Formula: $C_{34}H_{45}NO_6$

Molecular Weight: 563.72

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 (177.39 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7739 mL	8.8697 mL	17.7393 mL
5 mM	0.3548 mL	1.7739 mL	3.5479 mL
10 mM	0.1774 mL	0.8870 mL	1.7739 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 (4.43 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.43 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.43 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Fesoterodine L-mandelate is an orally active, nonsubtype selective, competitive muscarinic receptor (mAChR) antagonist with pK_i values of 8.0, 7.7, 7.4, 7.3, 7.5 for M1, M2, M3, M4, M5 receptors, respectively. Fesoterodine L-mandelate is used for the overactive bladder (OAB)[1][2].

IC_{50} & Target

pKi: 8.0 (M1), 7.7 (M2), 7.4 (M3), 7.3 (M4) and 7.5 (M5)[3]

In Vitro

Fesoterodine L-mandelate decreases micturition frequency, urgency severity and urgency incontinence episodes and increases the volume voided with each micturition[1].
After oral administration, Fesoterodine L-mandelate is rapidly and extensively hydrolysed in plasma by nonspecific

	esterases to Desfesoterodine (5-hydroxymethyl tolterodine; SPM 7605; HY-76569; an active metabolite of Fesoterodine)[3][4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Fesoterodine L-mandelate (0.01-1 mg/kg; IV) reduces the micturition pressure and increases bladder capacity and ICIs (intercontraction intervas) at the lowest dose tested of 0.01 mg/kg[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Bladders from female Sprague-Dawley rats (225-275 g)[3]
Dosage:	0.01, 0.1 and 1 mg/kg
Administration:	IV
Result:	Reduced the micturition pressure and increased bladder capacity and ICIs at the lowest dose tested of 0.01 mg/kg.

REFERENCES

[1]. Ellsworth P, et al. Fesoterodine for the treatment of urinary incontinence and overactive bladder. Ther Clin Risk Manag. 2009;5:869-76. Epub 2009 Nov 18.

[2]. Didem Yilmaz-Oral, et al. The Beneficial Effect of Fesoterodine, a Competitive Muscarinic Receptor Antagonist on Erectile Dysfunction in Streptozotocin-induced Diabetic Rats

[3]. Peter Ney, et al. Pharmacological Characterization of a Novel Investigational Antimuscarinic Drug, Fesoterodine, in Vitro and in Vivo. BJU Int. 2008 Apr;101(8):1036-42.

[4]. Martin C Michel, et al. Fesoterodine: A Novel Muscarinic Receptor Antagonist for the Treatment of Overactive Bladder Syndrome. Expert Opin Pharmacother. 2008 Jul;9(10):1787-96.

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