Fesoterodine fumarate

Cat. No.: HY-A0030

CAS No.: 286930-03-8

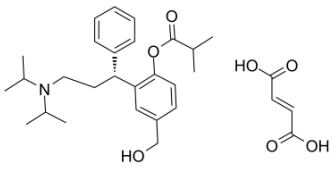
Molecular Formula: $C_{30}H_{41}NO_7$

Molecular Weight: 527.65

Target: mAChR

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, stored under nitrogen
* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (189.52 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8952 mL	9.4760 mL	18.9520 mL
	5 mM	0.3790 mL	1.8952 mL	3.7904 mL
	10 mM	0.1895 mL	0.9476 mL	1.8952 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 (4.74 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.74 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.74 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Fesoterodine Fumarate 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 Fumarate 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

FFesoterodine Fumarate decreases micturition frequency, urgency severity and urgency incontinence episodes and increases the volume voided with each micturition[1].
After oral administration, Fesoterodine Fumarate 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 Fumarate (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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