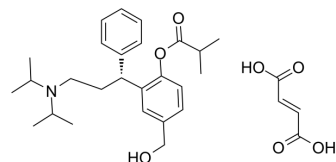


Fesoterodine fumarate

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)
H₂O : 100 mg/mL (189.52 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Concentration	Mass		
		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

- Add each solvent one by one: PBS
Solubility: 100 mg/mL (189.52 mM); Clear solution; Need ultrasonic
- 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
- 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
- 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]}.

IC₅₀ & Target

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

In Vitro	<p>Ffesoterodine Fumarate decreases micturition frequency, urgency severity and urgency incontinence episodes and increases the volume voided with each micturition^[1].</p> <p>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]}.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>								
In Vivo	<p>Fesoterodine Fumarate (0.01-1 mg/kg; IV) reduces the micturition pressure and increases bladder capacity and ICIs (intercontraction intervals) at the lowest dose tested of 0.01 mg/kg^[3].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1" data-bbox="347 449 1515 722"> <tr> <td data-bbox="347 449 618 512">Animal Model:</td> <td data-bbox="618 449 1515 512">Bladders from female Sprague-Dawley rats (225-275 g)^[3]</td> </tr> <tr> <td data-bbox="347 512 618 575">Dosage:</td> <td data-bbox="618 512 1515 575">0.01, 0.1 and 1 mg/kg</td> </tr> <tr> <td data-bbox="347 575 618 638">Administration:</td> <td data-bbox="618 575 1515 638">IV</td> </tr> <tr> <td data-bbox="347 638 618 722">Result:</td> <td data-bbox="618 638 1515 722">Reduced the micturition pressure and increased bladder capacity and ICIs at the lowest dose tested of 0.01 mg/kg.</td> </tr> </table>	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.
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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.

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

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