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Solifenacin hydrochloride

Cat. No.: HY-I0230

CAS No.: 180468-39-7

Molecular Formula: C₂₃H₂₇ClN₂O₂

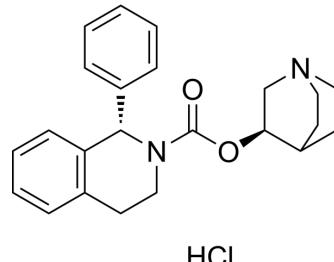
Molecular Weight: 398.93

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 : 50 mg/mL (125.34 mM; Need ultrasonic)
H₂O : 50 mg/mL (125.34 mM; Need ultrasonic)

Preparing Stock Solutions	Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.5067 mL	12.5335 mL	25.0671 mL
	5 mM	0.5013 mL	2.5067 mL	5.0134 mL
	10 mM	0.2507 mL	1.2534 mL	2.5067 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
Solubility: 100 mg/mL (250.67 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.75 mg/mL (6.89 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.75 mg/mL (6.89 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.75 mg/mL (6.89 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Solifenacin hydrochloride (YM905 hydrochloride) is a muscarinic receptor antagonist, with pK _i s of 7.6, 6.9 and 8.0 for M ₁ , M ₂ and M ₃ receptors, respectively.		
IC ₅₀ & Target	mAChR2	mAChR1	mAChR3
In Vitro	Solifenacin hydrochloride (YM905 hydrochloride) is a novel muscarinic receptor antagonist with pK _i s of 7.6±0.056, 6.9±0.034		

and 8.0 ± 0.021 for M1, M2 and M3 receptors, respectively. In murine submandibular gland cells, the antagonistic effects of 100 nM Solifenacin hydrochloride and oxybutynin on Ca^{2+} mobilization evoked by varying doses of carbachol (CCh) are examined. Solifenacin hydrochloride does not shift the CCh dose-activation curve in a parallel manner whereas oxybutynin shows insurmountable antagonism. The pK_b values are obtained as 7.4 ± 0.17 for Solifenacin hydrochloride and 8.8 ± 0.21 for oxybutynin^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Solifenacin hydrochloride (YM905 hydrochloride) reduces bladder responses by 40% at a dose of 210 nmol/kg (0.1 mg/kg) and abolishes them at 2100 nmol/kg (1 mg/kg). In contrast, its inhibitory effects on salivary and cardiac responses are only slight at 630 nmol/kg (0.3 mg/kg), and reach 66% and 49%, respectively, at 2100 nmol/kg (1 mg/kg). At doses of 63 and 210 nmol/kg (0.03 and 0.1 mg/kg), Solifenacin hydrochloride slightly increases saliva secretion^[1]. Solifenacin hydrochloride (0.01 to 0.3 mg/kg i.v.) dose-dependently increases bladder capacity and voided volume at doses of 0.03 mg/kg i.v. or more, but does not affect residual volume or micturition pressure at any dose tested^[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- *Neurorol Urodyn. 2017 Apr;36(4):1026-1033.*

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REFERENCES

- [1]. Ronald A. Smulders et al. Pharmacokinetics and Safety of Solifenacin Succinate in Healthy Young Men. *J Clin Pharmacol* September 2004 vol. 44 no. 9 1023-1033
- [2]. Krishna SR, Rao BM, Rao NS. A validated rapid stability-indicating method for the determination of related substances in solifenacin succinate by ultra-fast liquid chromatography. *J Chromatogr Sci.* 2010 Nov;48(10):807-10.
- [3]. Ohtake A, Sato S, Sasamata M, Miyata K. The forefront for novel therapeutic agents based on the pathophysiology of lower urinary tract dysfunction: ameliorative effect of solifenacin succinate (Vesicare), a bladder-selective antimuscarinic agent, on overactive bladder symptoms, especially urgency episodes. *J Pharmacol Sci.* 2010;112(2):135-41. Epub 2010 Feb 4.
- [4]. Hoffstetter S, Leong FC. Solifenacin succinate for the treatment of overactive bladder. *Expert Opin Drug Metab Toxicol.* 2009 Mar;5(3):345-50.
- [5]. Choo MS, Lee JZ, Lee JB, Kim YH, Jung HC, Lee KS, Kim JC, Seo JT, Paick JS, Kim HJ, Na YG, Lee JG. Efficacy and safety of solifenacin succinate in Korean patients with overactive bladder: a randomised, prospective, double-blind, multicentre study. *Int J Clin Pract.* 2008 Nov;62(11):1675-83.

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

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