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产品名称: 索利那新盐酸盐

产品别名: Solifenacin hydrochloride ; YM905 hydrochloride

生物活性:				
Description	Solifenacin hydrochloride (YM905 hydrochloride) is a muscarinic receptor antagonist, with pK _s of 7.6, 6.9 and 8.0 for M ₁ , M ₂ and M ₃ receptors, respectively.			
IC ₅₀ & Target	Muscarinic receptor			
In Vitro	Solifenacin hydrochloride (YM905 hydrochloride) is a novel muscarinic receptor antagonist with pK _s of 7.6±0.056, 6.9±0.034 and 8.0±0.021 for M ₁ , M ₂ and M ₃ 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] .			
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] .			
Solvent&Solubility	In Vitro: DMSO : 50 mg/mL (125.34 mM; Need ultrasonic)			
		Solvent Mass Concentration	1 mg	5 mg
	Preparing	1 mM	2.5067 mL	12.5335 mL
	Stock Solutions	5 mM	0.5013 mL	2.5067 mL
		10 mM	0.2507 mL	1.2534 mL
*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液。一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。 储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month。-80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。 In Vivo: 请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液, 再依次添加助溶剂: ——为保证实验结果的可靠性, 澄清的储备液可以根据储存条件, 适当保存; 体内实验的工作液, 建议您现用现配, 当天使用; 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比; 如在配制过程中出现沉淀、析出现象, 可以通过加热和/或超声的方式助溶 1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: ≥ 2.75 mg/mL (6.89 mM); Clear solution 此方案可获得 ≥ 2.75 mg/mL (6.89 mM, 饱和度未知) 的澄清溶液。				



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	以 1 mL 工作液为例, 取 100 μL 27.5 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中, 混合均匀向上述体系中加入 50 μL Tween-80, 混合均匀; 然后继续加入 450 μL 生理盐水定容至 1 mL。 2. 请依序添加每种溶剂: 10% DMSO $\rightarrow$ 90% (20% SBE-β-CD in saline) Solubility: $\geq$ 2.75 mg/mL (6.89 mM); Clear solution 此方案可获得 $\geq$ 2.75 mg/mL (6.89 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μL 27.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中, 混合均匀。 3. 请依序添加每种溶剂: 10% DMSO $\rightarrow$ 90% corn oil Solubility: $\geq$ 2.75 mg/mL (6.89 mM); Clear solution 此方案可获得 $\geq$ 2.75 mg/mL (6.89 mM, 饱和度未知) 的澄清溶液, 此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例, 取 100 μL 27.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。
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.