

产品名称: **Solifenacin succinate**
 产品别名: **索非那新琥珀酸盐; YM905**

生物活性:					
Description	Solifenacin Succinate (YM905) is a novel muscarinic receptor antagonist with pK _s of 7.6, 6.9 and 8.0 for M ₁ , M ₂ and M ₃ receptors, respectively.				
In Vitro	Solifenacin Succinate (YM905) 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 Succinate and oxybutynin on Ca ²⁺ mobilization evoked by varying doses of carbachol (CCh) are examined. Solifenacin Succinate 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 Succinate and 8.8±0.21 for oxybutynin ^[1] .				
In Vivo	Solifenacin Succinate (YM905) 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 Succinate slightly increases saliva secretion ^[1] . Solifenacin Succinate (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: H ₂ O : ≥ 200 mg/mL (416.19 mM) * "≥" means soluble, but saturation unknown.				
		Solvent Mass Concentration	1 mg	5 mg	10 mg
	Preparing	1 mM	2.0809 mL	10.4047 mL	20.8095 mL
	Stock Solutions	5 mM	0.4162 mL	2.0809 mL	4.1619 mL
		10 mM	0.2081 mL	1.0405 mL	2.0809 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: 1.Solifenacin succinate is dissolved in DMSO. Just prior to administration, the dissolved Solifenacin solution is diluted with 0.9% saline to deliver 0.1 mg/kg ^[5] .				
References	<p>[1]. 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.</p> <p>[2]. 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.</p> <p>[3]. Hoffstetter S, Leong FC.Solifenacin succinate for the treatment of overactive bladder.Expert Opin Drug Metab Toxicol. 2009 Mar;5(3):345-50.</p>				

[4]. 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.

[5]. Imamura T, et al. Combined treatment with a β_3 -adrenergic receptor agonist and a muscarinic receptor antagonist inhibits detrusor overactivity induced by cold stress in spontaneously hypertensive rats. *Neurourol Urodyn.* 2017 Apr;36(4):1026-1033.



源叶生物