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产品名称: **rac-Rotigotine Hydrochloride**
产品别名: **(Rac)-Rotigotine hydrochloride**

生物活性:				
Description	(Rac)-Rotigotine hydrochloride is a high potency and selectivity agonist for D-2 receptor with K_i of 0.69 nM. IC50 Value: 0.69 nM(K_i) Target: D-2 receptor in vitro: rac-Rotigotine showed high potency (K_i = 0.69 nM) and selectivity for D-2 receptors as compared to its potency and selectivity at various other neuronal receptors (K_i in nM): D-1 (678) dopamine, α 1-(534) and α 2-(195) adrenoceptor, S1-(6940) and S2-(5900) serotonin and muscarine (2660). Very low activity (K_i greater than $10(-5)$ M) was seen at the beta-adrenoceptor, A1-adenosine, GABAA and benzodiazepine receptors. Furthermore, rac-Rotigotine inhibited the calcium-dependent release of [3H]dopamine (IC50: 4 nM) and [3H]acetylcholine (IC50: 6.3 nM) from rabbit striatal slices in the nanomolar range. These effects of rac-Rotigotine were mediated through activation of D-2 dopamine autoreceptors and D-2 dopamine heteroreceptors, respectively. in vivo: Presynaptic dopaminergic activity in vivo was measurable as an inhibition of the locomotor activity of mice, and in this model rac-Rotigotine was more effective than apomorphine. Moreover, the effect of rac-Rotigotine could be antagonized by sulpiride but not by yohimbine. rac-Rotigotine was equipotent with apomorphine in inducing circling behaviour in 6-OHDA-lesioned rats. rac-Rotigotine had almost no serotonergic activity in vivo.			
	In Vitro: DMSO : \geq 50 mg/mL (142.07 mM) <small>* "\geq" means soluble, but saturation unknown.</small>			
Solvent&Solubility	Preparing Stock Solutions	<div>Solvent Mass Concentration</div>	1 mg	5 mg
		1 mM	2.8415 mL	14.2074 mL
		5 mM	0.5683 mL	2.8415 mL
		10 mM	0.2841 mL	1.4207 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: \geq 2.5 mg/mL (7.10 mM); Clear solution 此方案可获得 \geq 2.5 mg/mL (7.10 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μ L 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μ L PEG300 中, 混合均匀, 向上述体系中加入 50 μ L Tween-80, 混合均匀; 然后继续加入 450 μ L 生理盐水定容至 1 mL。			



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	<p>2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline)</p> <p>Solubility: ≥ 2.5 mg/mL (7.10 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (7.10 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水溶液中, 混合均匀。</p> <p>3.请依序添加每种溶剂: 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (7.10 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (7.10 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。</p> <p>以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。</p>
References	<p>[1]. Rocchi C, Pierantozzi M, Pisani V, Marfia GA, Di Giorgio A, Stanzione P, Bernardi G, Stefani A. The Impact of Rotigotine on Cardiovascular Autonomic Function in Early Parkinson's Disease. Eur Neurol. 2012 Aug 28;68(3):187-192.</p> <p>[2]. Wang A, Wang L, Sun K, Liu W, Sha C, Li Y. Preparation of Rotigotine-Loaded Microspheres and Their Combination Use with L-DOPA to Modify Dyskinesias in 6-OHDA-Lesioned Rats. Pharm Res. 2012 Sep;29(9):2367-76.</p> <p>[3]. Belluzzi et al (2004) N-0923, a selective dopamine D2 receptor agonist, is efficacious in rat and monkey models of Parkinson's disease. Mov. disord. 9 147.</p> <p>[4]. Scheller et al (2009) The in vitro receptor profile of rotigotine: a new agent for the treatment of Parkinson's disease. Naunyn-Schmiedeberg's Arch. Pharmacol. 379 73.</p> <p>[5]. Oertel W, Lewitt P, Giladi N, Ghys L, Grieger F, Boroojerdi B. Treatment of patients with early and advanced Parkinson's disease with rotigotine transdermal system: Age-relationship to safety and tolerability. Parkinsonism Relat Disord. 2012 Sep 3.</p>