



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

产品名称: **Rolapitant**
产品别名: 罗拉匹坦 ; **SCH619734**

生物活性:				
Description	Rolapitant (SCH619734) is a potent, selective and orally active neurokinin NK1 receptor antagonist with a K_i of 0.66 nM.			
IC ₅₀ & Target	K _i : 0.66 nM (neurokinin)[1]			
In Vitro	Rolapitant has a high affinity for the human NK1 receptor with a K_i of 0.66 nM and high selectivity over the human NK2 and NK3 subtypes of more than 1000-fold, as well as preferential affinity for human, guinea pig, gerbil and monkey NK1 receptors over rat, mouse and rabbit[1].			
In Vivo	Rolapitant reverses NK1 agonist-induced foot tapping in gerbils following both intravenous and oral administration up to 24 hours at a minimal effective dose (MED) of 0.1 mg/kg. Rolapitant is active at 0.1 and 1 mg/kg in both acute and delayed emesis models in ferrets, respectively, consistent with clinical data for other NK1 antagonists. Clinical efficacy of anti-emetics is highly correlated with efficacy in the ferret emesis model, suggesting rolapitant is a viable clinical candidate for this indication[1].			
Solvent&Solubility	In Vitro: DMSO : ≥ 30 mg/mL (59.94 mM) <small>* "≥" means soluble, but saturation unknown.</small>			
		<div><div>Solvent</div><div>Mass</div><div>Concentration</div></div>	1 mg	5 mg
	Preparing	1 mM	1.9981 mL	9.9904 mL
	Stock Solutions	5 mM	0.3996 mL	1.9981 mL
		10 mM	0.1998 mL	0.9990 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℃, 6 months; -20℃, 1 month。 -80℃ 储存时, 请在 6 个月内使用, -20℃ 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: ≥ 2.5 mg/mL (5.00 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.00 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μL 25.0 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 →90% corn oil Solubility: ≥ 2.5 mg/mL (5.00 mM); Clear solution			



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	<p>此方案可获得 ≥ 2.5 mg/mL (5.00 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]. Duffy RA, et al. Rolapitant (SCH 619734): a potent, selective and orally active neurokininNK1 receptor antagonist with centrally-mediated antiemetic effects inferrets. Pharmacol Biochem Behav. 2012 Jul;102(1):95-100.</p>
实验参考:	
Kinase Assay	<p>Rolapitant is made at a stock concentration of 1 mM in 100% DMSO. For most receptor binding studies, the stock solution is diluted with the final concentrations ranged from 0.1 to 3 μM. Radioligand concentrations for competition binding studies ranged from 0.5 to 1 nM. For species comparison studies, 150 pM [125I]-BHSP is incubated with varying concentrations of protein (10-50 μg) prepared from gerbil, rabbit and monkey striata, and from cells expressing cloned rat, mouse and guinea pig NK receptors[1].</p>
References	<p>[1]. Duffy RA, et al. Rolapitant (SCH 619734): a potent, selective and orally active neurokininNK1 receptor antagonist with centrally-mediated antiemetic effects inferrets. Pharmacol Biochem Behav. 2012 Jul;102(1):95-100.</p>

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