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产品名称: **Pirodavis**
产品别名: 吡罗达韦; **R77975**

生物活性:				
Description	Pirodavis is a potent, broad-spectrum picornavirus inhibitor, and is highly active against both group A and group B rhinovirus serotypes. Pirodavis is very potent in a virus yield reduction assay ($IC_{90}=2.3$ nM).			
IC_{50} & Target	Rhinovirus[1]			
In Vitro	Pirodavis is a potent, broad-spectrum picornavirus inhibitor. Pirodavis inhibits 80 of the 100 human rhinovirus (HRV) strains tested at a concentration of 64 ng/mL. In that same study, Pirodavis is also effective in inhibiting 16 enteroviruses, with a mean 80% inhibitory concentration (IC_{80}) of 1,300 ng/mL. Pirodavis inhibits enterovirus 71 replication with an IC_{50} of 5,420 nM and an IC_{90} of >13,350 nM. Pirodavis inhibits 56 rhinovirus laboratory strains and three of the clinical isolates tested. Pirodavis inhibits 59% of the serotypes and isolates with IC_{50} s of <100 nM ^[1] . Pirodavis concentrations of 16 and 4 μ g/mL reduces cell growth by 66% (s.e.m. 0.75) and 28% (s.e.m. 0.25), respectively. Lower concentrations (1 μ g/mL) of Pirodavis are not inhibitory for cell growth. The 50% cytotoxic concentration of pirodavis for logarithmic cell growth at 37°C is 7 μ g/mL. Under the conditions of the antiviral assay (confluent HeLa cells at 33°C), the 50% cytotoxic concentration is >50 μ g/mL ^[2] .			
Solvent&Solubility	In Vitro: DMSO : 10 mg/mL (27.07 mM; Need ultrasonic)			
	Preparing Stock Solutions	Solvent Concentration	Mass	
			1 mg	5 mg
			1 mM	27.0667 mL
			5 mM	5.4133 mL
			10 mM	2.7067 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: ≥ 1 mg/mL (2.71 mM); Clear solution 此方案可获得 ≥ 1 mg/mL (2.71 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μ L 10.0 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。 2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE- β -CD in saline)			



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	<p>Solubility: ≥ 1 mg/mL (2.71 mM); Clear solution</p> <p>此方案可获得 ≥ 1 mg/mL (2.71 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 μL 10.0 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 \rightarrow 90% corn oil</p> <p>Solubility: ≥ 1 mg/mL (2.71 mM); Clear solution</p> <p>此方案可获得 ≥ 1 mg/mL (2.71 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 10.0 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]. Barnard DL, et al. In vitro activity of expanded-spectrum pyridazinyl oxime ethers related to pirodavis: novel capsid-binding inhibitors with potent antipicornavirus activity. Antimicrob Agents Chemother. 2004 May;48(5):1766-72.</p> <p>[2]. Andries K, et al. In vitro activity of pirodavis (R 77975), a substituted phenoxy-pyridazinamine with broad-spectrum antipicornaviral activity. Antimicrob Agents Chemother. 1992 Jan;36(1):100-7.</p>
实验参考:	
Cell Assay	<p>HeLa cells are seeded at a concentration of approximately 180,000 cells per dish in six-well plates containing 4 mL of growth medium. Growth medium consist of Eagle's basal medium, supplemented with 5% fetal calf serum, 2% sodium bicarbonate, and 1% glutamine. After 24 h of incubation at 37°C in a humidified CO₂ atmosphere, the growth medium is removed and replaced by the test solutions (fresh growth medium with or without various concentrations of the antiviral compounds). To assess the cytotoxicity of the antiviral compounds (e.g., Pirodavis), the number of living cells are determined present in triplicate cultures at the time of Pirodavis addition and every 24 h for 3 days. Following trypsinization, the number of viable cells for each drug concentration is counted in triplicate with a Coulter Counter[2].</p>
References	<p>[1]. Barnard DL, et al. In vitro activity of expanded-spectrum pyridazinyl oxime ethers related to pirodavis: novel capsid-binding inhibitors with potent antipicornavirus activity. Antimicrob Agents Chemother. 2004 May;48(5):1766-72.</p> <p>[2]. Andries K, et al. In vitro activity of pirodavis (R 77975), a substituted phenoxy-pyridazinamine with broad-spectrum antipicornaviral activity. Antimicrob Agents Chemother. 1992 Jan;36(1):100-7.</p>