

产品名称: (S)-(+)-Rolipram
产品别名: (S)-(+)-咯利普兰; (+)-Rolipram; (S)-Rolipram

生物活性:

Description

(S)-(+)-Rolipram is a PDE4-inhibitor and an anti-inflammatory agent, less potent than its R enantiomer. Target: PDE4B; PDE4D Rolipram, a selective inhibitor of the cyclic AMP-specific phosphodiesterase (PDE IV). Rolipram did not inhibit 5-lipoxygenase activity but did inhibit human monocyte production of leukotriene B4 (LTB4, IC50 3.5 microM). Rolipram inhibited arachidonic acid-induced inflammation in the mouse, while the low Km-cyclic-GMP PDE inhibitor. Rolipram had a modest effect on LTB4 production in the mouse, but markedly reduced LTB4-induced PMN infiltration [1]. In humans and animals rolipram produces thereby a variety of biological effects. These effects include attenuation of endogenous depression and inflammation in the central nervous system (CNS), both effects are of potential clinical relevance [2].

In Vitro:

DMSO : 100 mg/mL (363.19 mM; Need ultrasonic)

Preparing	Solvent	Mass	1 mg	5 mg	10 mg
	Concentration				
Stock Solutions	1 mM	3.6319 mL	18.1594 mL	36.3187 mL	
	5 mM	0.7264 mL	3.6319 mL	7.2637 mL	
	10 mM	0.3632 mL	1.8159 mL	3.6319 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: ≥ 2.5 mg/mL (9.08 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (9.08 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% (20% SBE-β-CD in saline)

Solubility: ≥ 2.5 mg/mL (9.08 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (9.08 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 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 →90% corn oil

Solubility: ≥ 2.5 mg/mL (9.08 mM); Clear solution

Solvent&Solubility

	<p>此方案可获得 ≥ 2.5 mg/mL (9.08 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]. <u>Griswold, D.E., et al., Effect of selective phosphodiesterase type IV inhibitor, rolipram, on fluid and cellular phases of inflammatory response. Inflammation, 1993. 17(3): p. 333-44.</u></p> <p>[2]. <u>Zhu, J., E. Mix, and B. Winblad, The antidepressant and antiinflammatory effects of rolipram in the central nervous system. CNS Drug Rev, 2001. 7(4): p. 387-98.</u></p> <p>[3]. <u>MacKenzie SJ, et al. Action of rolipram on specific PDE4 cAMP phosphodiesterase isoforms and on the phosphorylation of cAMP-response-element-binding protein (CREB) and p38 mitogen-activated protein (MAP) kinase in U937 monocytic cells. Biochem J. 2000 Apr 15;347(Pt 2):571-8.</u></p> <p>[4]. <u>Korhonen R, et al. Attenuation of TNF production and experimentally induced inflammation by PDE4 inhibitor rolipram is mediated by MAPK phosphatase-1. Br J Pharmacol. 2013 Aug;169(7):1525-36.</u></p>



源叶生物