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产品名称: Lifitegrast  
产品别名: 立他司特; SAR 1118; SHP-60

生物活性:				
Description	Lifitegrast (SAR 1118) is an integrin lymphocyte function-associated antigen-1 (LFA-1) antagonist; inhibits Jurkat T cell attachment to ICAM-1 with an IC50 of 2.98 nM.			
IC <sub>50</sub> & Target	IC50: 2.98 nM (LFA-1)[1]			
In Vitro	Lifitegrast (SAR 1118) is a novel small molecule integrin antagonist that inhibits T cell-mediated inflammation by blocking the binding of two important cell surface proteins (lymphocyte function-associated antigen 1 and intercellular adhesion molecule 1), thus lessening overall inflammatory responses. Lifitegrast (SAR 1118) strongly inhibits Jurkat T cell attachment to ICAM-1 with an IC50 of 2.98 nM[1].			
In Vivo	Lifitegrast (SAR 1118), has potent anti-inflammatory activity on corneal inflammation induced by antibiotic-killed P. aeruginosa and S. aureus in the presence of a silicone hydrogel lens with the optimal application being a 1% solution applied either 2 or 3 times prior. Topical application of Lifitegrast (SAR 1118) to the corneal surface of healthy adults is safe and well tolerated[2]. Lifitegrast (SAR 1118) ophthalmic drops administered thrice daily deliver therapeutic levels of Lifitegrast (SAR 1118) in the retina and can alleviate the retinal complications associated with diabetes[3].			
Solvent&Solubility	<b>In Vitro:</b> DMSO : ≥ 29 mg/mL (47.12 mM) * "≥" means soluble, but saturation unknown.			
	<div>Preparing Stock Solutions</div>	<div>Solvent / Mass / Concentration</div>	1 mg	5 mg
		1 mM	1.6247 mL	8.1237 mL
		5 mM	0.3249 mL	1.6247 mL
		10 mM	0.1625 mL	0.8124 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。 <b>In Vivo:</b> 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 (4.06 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.06 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。			



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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 (4.06 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (4.06 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 (4.06 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (4.06 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]. Perez VL, et al. Lifitegrast, a Novel Integrin Antagonist for Treatment of Dry Eye Disease. Ocul Surf. 2016 Apr;14(2):207-15.</p> <p>[2]. Sun Y, et al. Corneal inflammation is inhibited by the LFA-1 antagonist, lifitegrast (SAR 1118). J Ocul Pharmacol Ther. 2013 May;29(4):395-402.</p> <p>[3]. Rao VR, et al. Delivery of SAR 1118 to the retina via ophthalmic drops and its effectiveness in a rat streptozotocin(STZ) model of diabetic retinopathy (DR). Invest Ophthalmol Vis Sci. 2010 Oct;51(10):5198-204.</p>
实验参考:	
Animal Administration	<p>Rats: The ocular pharmacokinetics of Lifitegrast (SAR 1118) are studied in rats after a single topical dose of 14C-SAR 1118 (1 mg/eye; 40 μCi; 15.5 μL). Lifitegrast (SAR 1118) concentration time profiles in plasma and ocular tissues are quantified by liquid scintillation counting (LSC). The pharmacologic activity of SAR 1118 eye drops administered thrice daily for 2 months at 1% (0.3 mg/eye/d) and 5% (1.5 mg/eye/d) is assessed in an STZ-induced diabetic rat model by determining retinal leukostasis and blood - retinal barrier breakdown[3].</p> <p>Mice: The role of LFA-1 (CD11a/CD18) is examined either in CD18<sup>-/-</sup> mice, by intraperitoneal injection of anti-CD11a, or by topical application of lifitegrast. Corneal inflammation is induced by epithelial abrasion and exposure to either tobramycin-killed <i>Pseudomonas aeruginosa</i> or <i>Staphylococcus aureus</i> in the presence of a 2-mm-diameter punch from a silicone hydrogel contact lens. After 24 h, corneal thickness and haze are examined by confocal microscopy, and neutrophil recruitment to the corneal stroma is detected by immunohistochemistry[2].</p>
References	<p>[1]. Perez VL, et al. Lifitegrast, a Novel Integrin Antagonist for Treatment of Dry Eye Disease. Ocul Surf. 2016 Apr;14(2):207-15.</p> <p>[2]. Sun Y, et al. Corneal inflammation is inhibited by the LFA-1 antagonist, lifitegrast (SAR 1118). J Ocul Pharmacol Ther. 2013 May;29(4):395-402.</p> <p>[3]. Rao VR, et al. Delivery of SAR 1118 to the retina via ophthalmic drops and its effectiveness in a rat streptozotocin(STZ) model of diabetic retinopathy (DR). Invest Ophthalmol Vis Sci. 2010 Oct;51(10):5198-204.</p>