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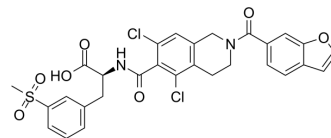
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Lifitegrast

Cat. No.:	HY-19344
CAS No.:	1025967-78-5
Molecular Formula:	C ₂₉ H ₂₄ Cl ₂ N ₂ O ₇ S
Molecular Weight:	615.48
Target:	Integrin
Pathway:	Cytoskeleton
Storage:	<div> <div>Powder</div> <div> -20°C 3 years 4°C 2 years </div> </div> <div> <div>In solvent</div> <div> -80°C 1 year -20°C 6 months </div> </div>



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 29 mg/mL (47.12 mM)
* "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM		1.6247 mL	8.1237 mL	16.2475 mL
	5 mM		0.3249 mL	1.6247 mL	3.2495 mL
	10 mM		0.1625 mL	0.8124 mL	1.6247 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (4.06 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (4.06 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.08 mg/mL (3.38 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Lifitegrast (SAR 1118) is a potent integrin antagonist. Lifitegrast blocks the binding of intercellular adhesion molecule 1 (ICAM-1) to lymphocyte function-associated antigen 1 (LFA-1), interrupting the T cell-mediated inflammatory cycle. Lifitegrast inhibits Jurkat T cell attachment to ICAM-1 with an IC₅₀ of 2.98 nM. Lifitegrast can be used for researching dry eye disease^[1].

IC₅₀ & Target

αLβ2

In Vitro	<p>Lifitegrast (SAR 1118) 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^[1].</p> <p>Lifitegrast strongly inhibits Jurkat T cell attachment to ICAM-1 with an IC₅₀ of 2.98 nM^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
In Vivo	<p>Lifitegrast (SAR 1118), has potent anti-inflammatory activity on corneal inflammation induced by antibiotic-killed <i>P. aeruginosa</i> and <i>S. aureus</i> in the presence of a silicone hydrogel lens with the optimal application being a 1% solution applied either 2 or 3 times prior^[2].</p> <p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

PROTOCOL

Animal Administration ^{[2][3]}

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].

Mice: The role of LFA-1 (CD11a/CD18) is examined either in CD18^{-/-} 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 *Pseudomonas aeruginosa* or *Staphylococcus aureus* 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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Signal Transduct Target Ther. 2022 Mar 11;7(1):83.
- PLoS Negl Trop Dis. 2022 Oct 7;16(10):e0010848.

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REFERENCES

- [1]. Perez VL, et al. Lifitegrast, a Novel Integrin Antagonist for Treatment of Dry Eye Disease. *Ocul Surf*. 2016 Apr;14(2):207-15.
- [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.
- [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.

Caution: Product has not been fully validated for medical applications. For research use only.

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