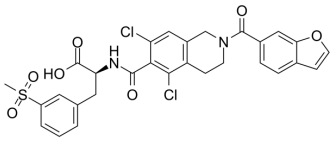
Lifitegrast

Cat. No.:	HY-19344
CAS No.:	1025967-78-5
Molecular Formula:	$C_{29}H_{24}Cl_2N_2O_7S$
Molecular Weight:	615.48
Target:	Integrin
Pathway:	Cytoskeleton

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	1 year
	-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 29 mg/mL (47.12 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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

1. 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
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.06 mM); Clear solution
3. 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 IC_{50} of 2.98 nM. Lifitegrast can be used for researching dry eye disease[1].

IC_{50} & Target

αLβ2

In Vitro	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]. Lifitegrast strongly inhibits Jurkat T cell attachment to ICAM-1 with an IC_{50} of 2.98 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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[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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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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