



# SZABO SCANDIC

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## Produktinformation



Forschungsprodukte & Biochemikalien



Zellkultur & Verbrauchsmaterial



Diagnostik & molekulare Diagnostik



Laborgeräte & Service

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### Lieferung & Zahlungsart

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### Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

### SZABO-SCANDIC HandelsgmbH

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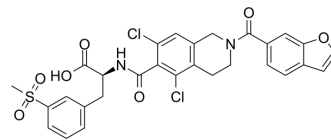
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## Lifitegrast

<b>Cat. No.:</b>	HY-19344		
<b>CAS No.:</b>	1025967-78-5		
<b>Molecular Formula:</b>	C <sub>29</sub> H <sub>24</sub> Cl <sub>2</sub> N <sub>2</sub> O <sub>7</sub> S		
<b>Molecular Weight:</b>	615.48		
<b>Target:</b>	Integrin		
<b>Pathway:</b>	Cytoskeleton		
<b>Storage:</b>	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		1 mg	5 mg	10 mg
	Concentration				
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 IC<sub>50</sub> of 2.98 nM. Lifitegrast can be used for researching dry eye disease<sup>[1]</sup>.

#### IC<sub>50</sub> & Target

αLβ2

<b>In Vitro</b>	<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<sup>[1]</sup>.</p> <p>Lifitegrast strongly inhibits Jurkat T cell attachment to ICAM-1 with an IC<sub>50</sub> of 2.98 nM<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
<b>In Vivo</b>	<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<sup>[2]</sup>.</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<sup>[3]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

## PROTOCOL

### Animal Administration <sup>[2][3]</sup>

**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<sup>[3]</sup>.

**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 *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<sup>[2]</sup>.

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.

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

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