

## Lifitegrast

## Chemical Properties

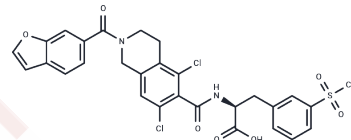
CAS No. : 1025967-78-5

Formula: C<sub>29</sub>H<sub>24</sub>Cl<sub>2</sub>N<sub>2</sub>O<sub>7</sub>S

Molecular Weight: 615.48

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



## Biological Description

Description	Lifitegrast (SAR 1118) is an antagonist of lymphocyte function-associated antigen-1.
Targets(IC50)	Integrin
In vitro	Lifitegrast 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 strongly inhibits Jurkat T cell attachment to ICAM-1 with an IC50 of 2.98 nM[1].
In vivo	Lifitegrast, 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. Topical application of lifitegrast to the corneal surface of healthy adults is safe and well tolerated[2]. SAR 1118 ophthalmic drops administered thrice daily deliver therapeutic levels of SAR 1118 in the retina and can alleviate the retinal complications associated with diabetes[3].

## Solubility Information

Solubility	DMSO: 245 mg/mL (398.06 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (3.25 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

### Preparing Stock Solutions

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	<b>1mg</b>	<b>5mg</b>	<b>10mg</b>
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
50 mM	0.0325 mL	0.1625 mL	0.3249 mL

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Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

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

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.

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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Tel:781-999-4286 E\_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481