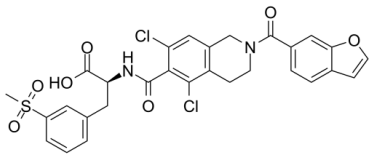
Data Sheet

Product Name:	Lifitegrast
Cat. No.:	CS-6264
CAS No.:	1025967-78-5
Molecular Formula:	C29H24Cl2N2O7S
Molecular Weight:	615.48
Target:	Integrin
Pathway:	Cytoskeleton
Solubility:	DMSO : ≥ 29 mg/mL (47.12 mM)



BIOLOGICAL ACTIVITY:

Lifitegrast (SAR 1118) is an integrin lymphocyte function-associated antigen-1 (**LFA-1**) antagonist; inhibits Jurkat T cell attachment to **ICAM-1** with an **IC_{50}** of 2.98 nM. IC50 & 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 IC_{50} 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].

PROTOCOL (Extracted from published papers and Only for reference)

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].

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.

CAIndexNames:

L-Phenylalanine, N-[[2-(6-benzofuranylcarbonyl)-5,7-dichloro-1,2,3,4-tetrahydro-6-isoquinolinyl]carbonyl]-3-(methylsulfonyl)-

SMILES:

O=C(O)[C@H](CC1=CC=CC(S(=O)(C)=O)=C1)NC(C2=C(Cl)C3=C(CN(C(C4=CC=C5C=COC5=C4)=O)CC3)C=C2Cl)=O

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