Lifitegrast sodium

Cat. No.: HY-19344A

CAS No.: 1119276-80-0

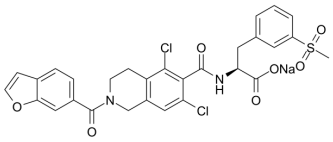
Molecular Formula: $C_{29}H_{23}Cl_2N_2NaO_7S$

Molecular Weight: 637.46

Target: Integrin

Pathway: Cytoskeleton

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (156.87 mM; Need ultrasonic)
H_2O : 100 mg/mL (156.87 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5687 mL	7.8436 mL	15.6873 mL
	5 mM	0.3137 mL	1.5687 mL	3.1375 mL
	10 mM	0.1569 mL	0.7844 mL	1.5687 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 (3.92 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.92 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Lifitegrast (SAR 1118) sodium is a potent integrin antagonist. Lifitegrast sodium 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 sodium inhibits Jurkat T cell attachment to ICAM-1 with an IC_{50} of 2.98 nM. Lifitegrast sodium 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 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]. 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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