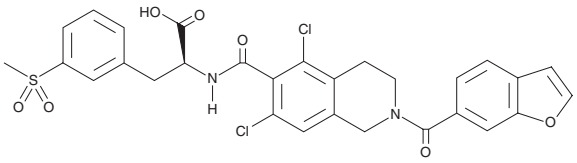
PRODUCT INFORMATION

Lifitegrast

Item No. 22588

CAS Registry No.:	1025967-78-5
Formal Name:	N-[[2-(6-benzofuranylcarbonyl)-5,7-dichloro-1,2,3,4-tetrahydro-6-isoquinolinyl]carbonyl]-3-(methylsulfonyl)-L-phenylalanine
Synonym:	SAR 1118
MF:	$C_{29}H_{24}Cl_2N_2O_7S$
FW:	615.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 260 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Lifitegrast is supplied as a crystalline solid. A stock solution may be made by dissolving the lifitegrast in the solvent of choice, which should be purged with an inert gas. Lifitegrast is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of lifitegrast in these solvents is approximately 30 mg/ml.

Lifitegrast is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, lifitegrast should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Lifitegrast has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Lifitegrast is an inhibitor of the protein-protein interaction between lymphocyte function-associated antigen-1 (LFA-1) and intercellular adhesion molecule-1 (ICAM-1).[1] It binds to LFA-1 and blocks the adhesion of Jurkat T cells or HuT 78 cells to ICAM-1 (IC_{50}s = 2.98 and 9 nM, respectively) and inhibits the secretion of various cytokines, including IFN-γ, TNF-α, IL-1β, and IL-6, from these cells.[1,2] Lifitegrast increases tear production in dogs with idiopathic keratoconjunctivitis sicca.[2] Topical application of lifitegrast inhibits LFA-1-dependent neutrophil recruitment to the eye in mouse models of contact lens-associated corneal inflammation induced by corneal abrasion and tobramycin-killed bacteria.[3] Formulations containing lifitegrast have been used in the treatment of dry eye disease.

References

1. Zhong, M., Gadek, T.R., Bui, M., *et al.* Discovery and development of potent LFA-1/ICAM-1 antagonist SAR 1118 as an ophthalmic solution for treating dry eye. *ACS Med. Chem. Lett.* **3(3)**, 203-206 (2012).
2. Murphy, C.J., Bentley, E., Miller, P.E., *et al.* The pharmacologic assessment of a novel lymphocyte function-associated antigen-1 antagonist (SAR 1118) for the treatment of keratoconjunctivitis sicca in dogs. *Invest. Opthalmol. Vis. Sci.* **52(6)**, 3174-3180 (2011).
3. Sun, Y., Zhang, R., Gadek, T.R., *et al.* Corneal inflammation is inhibited by the LFA-1 antagonist, lifitegrast (SAR 1118). *J. Ocul. Pharmacol. Ther.* **29(4)**, 395-402 (2013).

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