

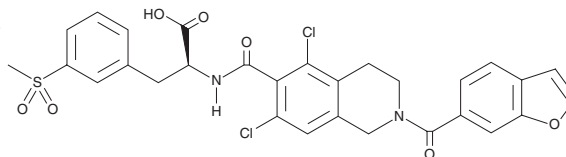
PRODUCT INFORMATION



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-isoquinoliny]carbonyl]-3-(methylsulfonyl)-L-phenylalanine
Synonym: SAR 1118
MF: C₂₉H₂₄Cl₂N₂O₇S
FW: 615.5
Purity: ≥98%
UV/Vis.: λ_{max}: 260 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 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. Lifitegrast is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. 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 binds to lymphocyte function-associated antigen-1 (LFA-1) on T cells and inhibits interaction with intercellular adhesion molecule-1 (ICAM-1; IC₅₀ = 2.98 nM in Jurkat T cells), which decreases T cell-mediated inflammation.¹ It inhibits secretion of cytokines associated with dry eye disease, including INF-γ, TNF-α, MIP-1α, IL-1α, IL-1β, IL-2, IL-4, and IL-6.² In a T cell adhesion assay, lifitegrast blocks adhesion of HuT 78 cells to 5 ICAM-1 with an IC₅₀ value of 9 nM. It also increases tear production in dogs with idiopathic keratoconjunctivitis sicca (dry eye syndrome).³ Topical application of lifitegrast in mouse models of corneal and bacterial inflammation inhibits LFA-1-dependent neutrophil recruitment to the eye.⁴ Formulations containing lifitegrast are used for 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. Semba, C.P. and T.R. Gadek. Development of lifitegrast: A novel T-cell inhibitor for the treatment of dry eye disease. *Clin. Ophthalmol.* **10**, 1083-1094 (2016).
3. 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. Ophthalmol. Vis. Sci.* **52**(6), 3174-3180 (2011).
4. 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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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