

# PRODUCT INFORMATION

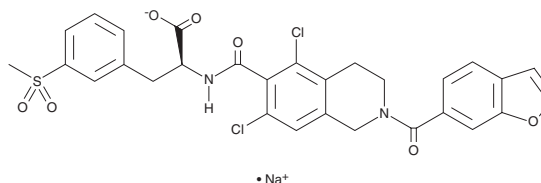


## Lifitegrast (sodium salt)

Item No. 40427

**CAS Registry No.:** 1119276-80-0  
**Formal Name:** N-[[2-(6-benzofuranylcarbonyl)-5,7-dichloro-1,2,3,4-tetrahydro-6-isoquinolyl]carbonyl]-3-(methylsulfonyl)-L-phenylalanine, monosodium salt

**Synonym:** SAR 1118-023  
**MF:** C<sub>29</sub>H<sub>23</sub>Cl<sub>2</sub>N<sub>2</sub>O<sub>7</sub>S • Na  
**FW:** 637.5  
**Purity:** ≥95%  
**Supplied as:** A 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 (sodium salt) is supplied as a solid. A stock solution may be made by dissolving the lifitegrast (sodium salt) in the solvent of choice which should be purged with an inert gas. Lifitegrast (sodium salt) is soluble in DMSO and slightly soluble in acetonitrile.

Lifitegrast (sodium salt) is slightly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. 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).<sup>1</sup> It binds to LFA-1 and blocks the adhesion of Jurkat T cells or HuT 78 cells to ICAM-1 (IC<sub>50</sub>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.<sup>1,2</sup> Lifitegrast increases tear production in dogs with idiopathic keratoconjunctivitis sicca.<sup>2</sup> 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.<sup>3</sup> 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. Ophthalmol. 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).

#### 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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