PRODUCT INFORMATION



Zafirlukast

Item No. 10008282

CAS Registry No.: Formal Name:	107753-78-6 [3-[[2-methoxy-4-[[[(2- methylphenyl)sulfonyl]amino] carbonyl]phenyl]methyl]-1- methyl-1H-indol-5-yl]-carbamic acid, cyclopentyl ester	
MF:	C ₃₁ H ₃₃ N ₃ O ₆ S	
FW:	575.7	H N S'O
Purity:	≥97%	
UV/Vis.:	λ _{max} : 203, 215, 241, 299 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

Zafirlukast is supplied as a crystalline solid. A stock solution may be made by dissolving the zafirlukast in an organic solvent purged with an inert gas. Zafirlukast is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of zafirlukast in these solvents is approximately 0.25, 20, and 30 mg/ml, respectively.

Zafirlukast is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, zafirlukast should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Zafirlukast has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The cysteinyl leukotrienes (CysLTs), LTC₄ and LTD₄, are potent mediators of asthma and hypersensitivity. They induce bronchoconstriction, increase microvascular permeability, and are vasoconstrictors of coronary arteries. Their biological effects are transduced by a pair of G protein-coupled receptors, CysLT1 and CysLT₂.¹⁻³ Zafirlukast is a potent, selective CysLT₁ receptor antagonist sold under the trade name Accolate for the treatment of asthma as well as for the symptoms associated with allergic rhinitis.⁴⁻⁶ It binds to the human $CysLT_1$ and $CysLT_2$ receptors with IC_{50} values of approximately 5 and 7,400 nM, respectively.¹⁻³

References

- 1. Lynch, K.R., O'Neill, G.P., Liu, Q., et al. Nature 399, 789-793 (1999).
- 2. Heise, C.E., O'Dowd, B.F., Figueroa, D.J., et al. J. Biol. Chem. 275, 30531-30536 (2000).
- 3. Sarau, H.M., Ames, R.S., Chambers, J., et al. Mol. Pharmacol. 56, 657-663 (1999).
- 4. Matassa, V.G., Maduskuie, T.P., Jr., Shapiro, H.S., et al. J. Med. Chem. 33, 1781-1790 (1990).
- 5. Silverman, R.A., Nowak, R.M., Korenblat, P.E., et al. Chest 126, 1480-1489 (2004).
- 6. Peters-Golden, M. and Henderson, W.R. Ann. Allergy Asthma Immunol. 94, 609-618 (2005).

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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