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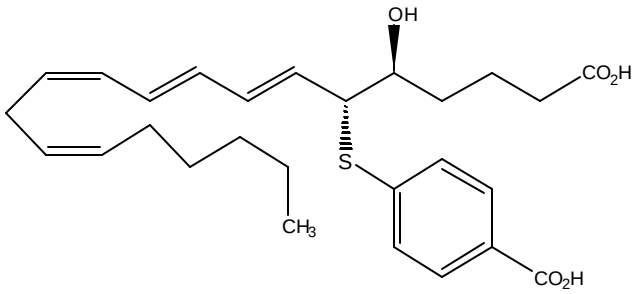
ProductInformation

BAY u9773
Product Number **B9680**
Storage Temperature –70 °C

Cas #: 134733-55-4
Synonyms: 6(R)-(4'-Carboxyphenylthio)-5(S)-hydroxy-7(E),11(Z)14(Z)-eicosatetrenoic acid



Product Description

Molecular Formula: $C_{27}H_{36}SO_5$
Molecular weight: 472.6 (anhydrous)
Supplied as white solid
Purity: >99% by HPLC

The leukotrienes (LTs) are eicosanoids that are synthesized from arachidonic acid via the 5-lipoxygenase pathway.[1] All LTs are proinflammatory. The chemoattractant leukotriene, LTB_4, has potent chemotactic effects on leukocytes. The cysteinyl-leukotrienes (CysLTs; LTC_4, LTD_4 and LTE_4) stimulate mucus secretion and the contraction of airway and vascular smooth muscle. The CysLTs are potent mediators of inflammatory diseases including asthma, inflammatory bowel syndrome, and psoriasis.[1] In asthma, they participate in both the bronchoconstriction and the chronic inflammatory components (mucus hypersecretion, plasma extravasation, mucosal edema, and eosinophil recruitment) of the disease.[2]

The CysLT functions are mediated via specific plasma membrane receptors belonging to the superfamily of G protein-coupled receptors. Currently there is evidence for the existence of two CysLT receptor subtypes, $CysLT_1$ and CysLT2. The $CysLT_1$ receptor has been studied more extensively because of the availability of specific antagonists. The $CysLT_2$ receptor was defined pharmacologically as the receptor that is not inhibited by $CysLT_1$-specific antagonists.[3,4]

The leukotriene analog BAY u9773 was originally designated as a dual $CysLT_1/CysLT_2$ antagonist. However, in kinetic studies with a newly cloned $CysLT_2$ receptor, BAY u9773 was found to be an antagonist at $CysLT_1$ sites and a partial agonist at the $CysLT_2$ receptor. Thus, BAY u9773 may be classified as a subtype selective agonist for the $CysLT_2$ receptor and a new selective tool for the studies of the physiological role of the $CysLT_2$ receptor in cardiac, neuronal, endocrine and inflammatory circuits.[5]

Preparation Instructions

Soluble in DMSO and ethanol at >25 mg/ml.

Storage/Stability

Store at –70 °C for up to one year.

References

1. Samuelsson, B., et al., Leukotrienes and lipoxins: structures, biosynthesis and biological effects. Science **237**, 1171-1176 (1987).
2. Nicosia, S., et al., Leukotrienes as mediators of asthma. Pulm. Pharmacol. Ther. **14**, 3-19 (2001).
3. Ravasi, E., et al., A kinetic binding study to evaluate the pharmacological profile of a specific leukotriene C_4 binding site not coupled to contraction in human lung parenchyma. Mol. Pharmacol. **57,** 1182-1189 (2000).
4. Back, M., et al., Antagonist resistant contractions of the porcine pulmonary artery by cysteinyl-leukotrienes. Eur. J. Pharmacol. **401,** 381-388 (2000).
5. Nothacker, H-P., et al., Molecular cloning and characterization of a second human cysteinyl leukotriene receptor: discovery of a subtype selective agonist. Mol. Pharmacol. **58**, 1601-1608 (2000).

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