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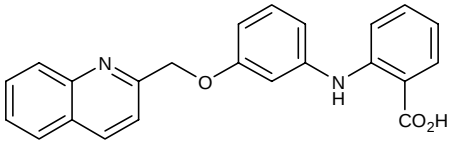
ProductInformation

SR 2640

Product Number **S 7690**
Storage Temperature: 2–8 °C

CAS#: 105350-26-3
Synonim: Benzoic acid, 2-[[3-(2-quinolinylmethoxy)phenyl]amino]-
QMPB



Product Description
Molecular Formula: $C_{23}H_{18}N_2O_3$
Molecular Weight: 370.41
Appearance: yellow powder with a tan cast
Purity: 99%

Leukotrienes exist as two distinct classes, hydroxyacids such as LTB_4, and cysteinyl leukotrienes such as LTC_4, LTD_4 and LTE_4. Leukotriene (LT) receptors are classified accordingly into BLT and CysLT types. Recently cloned LT receptors BLT_1, $CysLT_1$ and $CysLT_2$ are members of the G protein-coupled receptor superfamily. They may couple via $G_{q/11}$ and modulate inositol phospholipid hydrolysis and calcium mobilization. CysLT receptors mediate such Pro-inflammatory events as constriction of airways and vascular smooth muscle, increased endothelial membrane permeability leading to plasma exudation and edema, and an enhanced secretion of viscous mucus. They have been implicated in range of inflammatory diseases, especially in asthma.

SR 2640 is a subtype-specific $CysLT_1$ leukotriene receptor antagonist, which is used as a tool to study pro-inflammatory responses. $CysLT_1$ receptor is activated by LTC_4 and LTD_4 resulting in calcium mobilization.

In a guinea pig model of ileum and trachea contractions, SR 2640 inhibited, in a concentration-dependent manner, the binding of 0.4 nM [^{3}H] LTD_4 to guinea pig-lung membrane with an IC_{50} value of 23nM, resulting in inhibition of bronchoconstriction.[1] In human polymorphonuclear neutrophils (PMN), LTD_4 increases the level of free intracellular Ca^{2+} and production of inositol phosphates. SR 2640 inhibits both effects, suggesting that human PMNs contain the LTD_4 receptor.[2]

SR 2640 selectively inhibits migration of canine PMNs towards LTB_4 (100 nM) with an IC_{50} value of 38 nM.[3] In *in vivo* model of chronic inflammatory bowel disease, SR2640 reduced inhibitory effects of LTD_4 on LTB_4-directed chemotaxis of PMN by acting as a systemic LTD_4 receptor antagonist.[4]

Preparation Instructions
SR 2640 is soluble in DMSO at 50 mg/ml.

Storage/Stability
Store refrigerated at 2–8°C.

References
1. Ahnfelt-Ronne, I., et al., A novel leukotriene D4/E4 antagonist, SR2640 (2-[3-(2-quinolylmethoxy)phenylamino]benzoic acid). Eur. J. Pharmacol., **155**, 117-128 (1988).
2. Bouchelouche, P. N., et al., LTD4 increases cytosolic free calcium and inositol phosphates in human neutrophils: inhibition by the novel LTD_4 receptor antagonist, SR2640, and possible relation to modulation of chemotaxis. Agents and Actions, **29**, 299-307 (1990).
3. Thomsen, M. K., Inhibition by the LTD_4 antagonist, SR 2640, of effects of LTD_4 on canine polymorphonuclear leukocyte functions. Biochem. Pharmacol., **38**, 2291-2295 (1989).
4. Nielsen, O.H., et al., Effect of the leukotriene LTD_4/LTE_4 antagonist, SR 2640, in ulcerative colitis: an open clinical study. Prostaglandins Leukot. Essent. Fatty Acids., **42**, 181-184. (1991)

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