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Leukotriene receptors (version 2019.4) in the IUPHAR/BPS Guide to Pharmacology Database

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Abstract

The leukotriene receptors (**nomenclature as agreed by the NC-IUPHAR subcommittee on Leukotriene Receptors [31, 34]**) are activated by the endogenous ligands leukotrienes (LT), synthesized from lipoxygenase metabolism of arachidonic acid. The human BLT_1 receptor is the high affinity LTB_4 receptor whereas the BLT_2 receptor in addition to being a low-affinity LTB_4 receptor also binds several other lipoxygenase-products, such as 12S-HETE, 12S-HPETE, 15S-HETE, and the thromboxane synthase product 12-hydroxyheptadecatrienoic acid. The BLT receptors mediate chemotaxis and immunomodulation in several leukocyte populations and are in addition expressed on non-myeloid cells, such as vascular smooth muscle and endothelial cells. In addition to BLT receptors, LTB_4 has been reported to bind to the peroxisome proliferator activated receptor (PPAR) α [89] and the vanilloid TRPV1 ligand-gated nonselective cation channel [210]. The receptors for the cysteinyl-leukotrienes (*i.e.* LTC_4, LTD_4 and LTE_4) are termed $CysLT_1$ and $CysLT_2$ and exhibit distinct expression patterns in human tissues, mediating for example smooth muscle cell contraction, regulation of vascular permeability, and leukocyte activation. There is also evidence in the literature for additional CysLT receptor subtypes, derived from functional in vitro studies, radioligand binding and in mice lacking both $CysLT_1$ and $CysLT_2$ receptors [34]. Cysteinyl-leukotrienes have also been suggested to signal through the $P2Y_{12}$ receptor [91, 236, 265], GPR17 [53] and GPR99 [161].

Contents

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FPR2/ALX

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