

Leukotriene receptors (version 2020.3) 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 [34, 37]**) are activated by the endogenous ligands leukotrienes (LT), synthesized from lipoxygenase metabolism of arachidonic acid. The human BLT₁ receptor is the high affinity LTB₄ receptor whereas the BLT₂ receptor in addition to being a low-affinity LTB₄ 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, LTB₄ has been reported to bind to the peroxisome proliferator activated receptor (PPAR) α [96] and the vanilloid TRPV1 ligand-gated nonselective cation channel [217]. The receptors for the cysteinyl-leukotrienes (*i.e.* LTC₄, LTD₄ and LTE₄) are termed CysLT₁ and CysLT₂ 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 CysLT₁ and CysLT₂ receptors [37]. Cysteinyl-leukotrienes have also been suggested to signal through the P2Y₁₂ receptor [96, 243, 272], GPR17 [57] and GPR99 [168].

Contents

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Leukotriene receptors

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Introduction to Leukotriene receptors

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Receptors

BLT₁ receptor

<http://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=267>

BLT₂ receptor

<http://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=268>

CysLT₁ receptor

<http://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=269>

CysLT₂ receptor

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OXE receptor

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FPR2/ALX

<http://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=223>

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