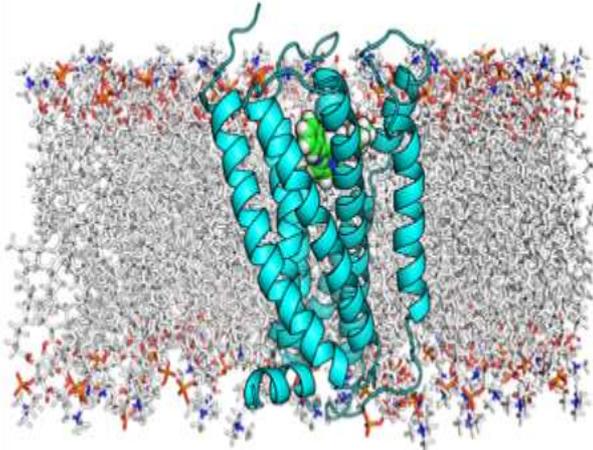


MRGX2 Receptor Antagonists

New drug target for inflammatory and other diseases

Invention

G protein-coupled receptors (GPCRs) are the largest group of membrane receptor proteins and represent the most successful targets of drug therapy. The MRGPRX (mas-related G protein-coupled receptor X) subfamily is only expressed in primates including humans and belongs to the group of orphan receptors, for which the cognate agonists are unknown. The MRGX2 receptor subtype exhibits unique features that distinguish it from other GPCRs. It is involved in mast cell degranulation, nociception and itching, and represents a fundamentally new drug target. Relating thereto, the development of potent and selective MRGPRX2 receptor antagonists is a basic need for the design of anti-nociceptive or anti-pruritic drugs or for the



Homology model of the human MRGX2 receptor embedded in the POPC lipid layer

prevention or treatment of other diseases like inflammatory diseases, non-allergic hypersensitivity reactions, fibrosis (lung, liver etc.) or neuropathic pain. The invention relates to a method for preventing or treating a disease or disorder that is associated with the MRGX2 receptor. The technology embodies potent and selective MRGX2 receptor antagonists, including G protein-biased antagonists, that may especially be used for treating pain conditions, such as neuropathic or chronic pain and itch, and reactions, e.g. of the skin, in which mast cells are involved. Many related illnesses may be included, e.g. stress-associated syndromes or asthma, urticaria, skin inflammation, dry skin, psoriasis etc. Given the newly elucidated role of this receptor in chronic urticaria and pseudoallergic reactions, the antagonists have a high potential to be used for target validation, for preclinical studies, and for their clinical development of novel drugs.

Commercial Opportunities

PROvendis is offering licenses for the invention to interested companies on behalf of the Universities of Bonn and Leuven. There is also the possibility of collaboration with the inventors.

Current Status

In vitro characterization in recombinant cells and on native human mast cells.

Relevant Publications

Dissertation M. Wessam Alnouri (2016), in progress. Further publications in preparation.

An invention of the Universities Bonn and Leuven.

Competitive Advantages

- New drug target for several diseases, e.g.
 - ▶ Pain (e.g. neuropathic, inflammatory)
 - ▶ Itching
 - ▶ Pruritus
 - ▶ Skin inflammation
 - ▶ Non-allergic hypersensitivity
 - ▶ Asthma
 - ▶ Fibrosis

Technology

Readiness Level

1 2 3 4 5 6 7 8

Technology concept formulated

Industries

- Pharmaceutical Industry

Ref. No.

5746

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