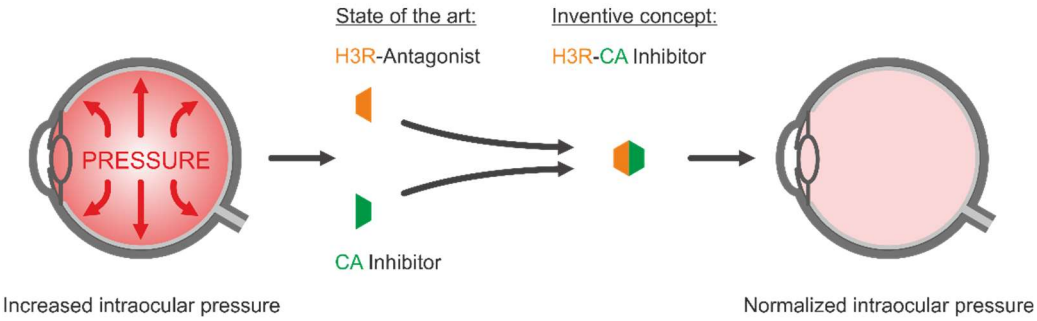
Treatment of ocular hypertension

Dual Targeting in a New Class of Anti-Glaucoma Agents

Invention

Increased intraocular pressure (IOP), also known as ocular hypertension, is widely associated with open-angle and narrow-angle glaucoma. These servere eye diseases may lead to blindness. Current therapeutic approaches use carbonic anhydrase inhibitors (CA Inhibitors), beta blockers, alpha2-receptor agonists, prostaglandins and cholinergics. It was recently found that histamine H3-receptor (H3R) antagonists also exhibit a specific mode of action for the efficient reduction of IOP. However, all treatments have the common disadvantage that only one active compound has to be selected. In addition, the IOP is caused by various factors that should ideally be addressed simultaneously.



Increased intraocular pressure can be treated by a new class of compounds of dual action that combines proven histamine H3-receptor antagonists with carbonic anhydrase inhibitors.

The invention addresses these disadvantages. Novel compounds based on a combination of classical pharmacophore modes of action are provided whereby the compounds exhibit inhibitory effects atf H3R and at CA both in the nanomolar concentration range. This combination as a newly-synthezised single compound with two simultaneous modes of action has the advantages of uniform pharmacokinetics and improves the ways of application due to their physicochemical properties. Through the simultaneous attack of two target structures, broader applications, longer duration and thereby improved effects can be realized as new therapeutic principle.

Commercial Opportunities

A European Patent Application has been filed on February 23rd, 2024. On behalf of the Heinrich Heine University Düsseldorf, PROvendis offers an access to rights for method development and commercial use of this invention.

Current Status

In vitro data as well as data on mice models have been generated, but have not been published yet.

An invention from Heinrich Heine University Düsseldorf.

Competitive Advantages

- Innovative combination of a histamine H3R-Antagonist and a Carboanhydrase Inhibitor into one agent with two different targets and modes of action
- Promising therapeutic potential for IOP treatment by a dual mode of action
- Exploitation of two known and approved active compounds in one compound.

Technology Readiness Level

1 2 3 4 5 6 7 8 9

Proof of concept

Industries

- Therapeutic compounds
- Ophthalmic agents
- Pharmaceutical industry

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