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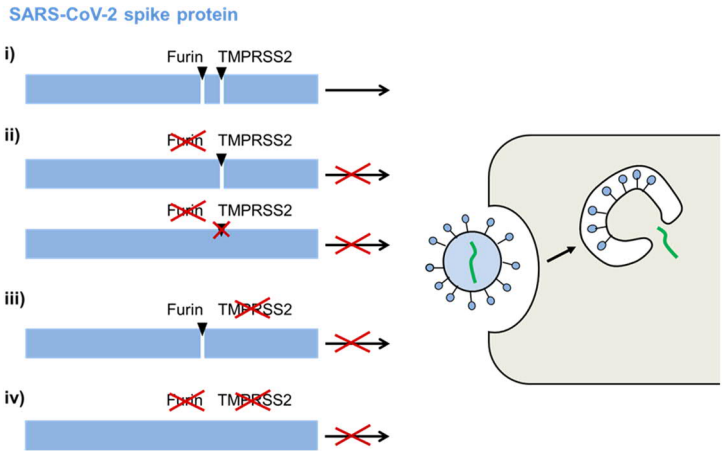
Active compounds for the treatment of SARS coronavirus 2 infections (Covid-19)

Covid-19, SARS coronavirus 2 infection, therapy, furin inhibitors, inhibitors of TMPRSS2

DESCRIPTION OF TECHNOLOGY

The binding of SARS coronavirus 2 (SARS-CoV-2) to host cells is mediated by its spike (S) surface glycoprotein. As a prerequisite for virus replication the S-protein has to be activated at two different cleavage sites by the host serine proteases furin and TMPRSS2. By using of specific inhibitors, the inventors discovered that the singly inhibition of furin or TMPRSS2 is sufficient for the virus replication, whereas the combined inhibition of both proteases provides an even stronger antiviral effect. The new technology is therefore based on the use of efficient inhibitors of the proteases TMPRSS2 and furin, so that the cleavage of the S-protein does not occur and thus SARS-CoV-2 cannot enter the host cells. This mechanism of action can effectively prevent infections with SARS-CoV-2.



Source: Prof. Dr. Böttcher-Friebertshäuser, Philipps-University Marburg

The combination of the TMPRSS2 inhibitor aprotinin with the furin inhibitor MI-1851 is particularly effective.

APPLICATION FIELDS

- Early prevention of infections by SARS-CoV-2
- Post-exposure prophylaxis after contact with Covid-19 patients

AT A GLANCE …

Application fields

- Therapy of Covid-19
- Infectiology
- Post-exposure prophylaxis (PEP)

Business

- Pharmaceutical industry
- Medical compound company
- Specialty chemicals company

USP

- New mechanism of action
- Known active compounds

Development status

- Proof of mechanism performed on human Calu-3 epithelial cells by antisense knockdown of TMPRSS2 expression
- Further steps: Proof of principle, animal experiments, clinical studies

Patent status

Priority application filed on 14. April 2020 at the European Patent Office. International PCT application is planned and possible until 14. April 2021.

REFERENCE No.: TM 1094

ADVANTAGES OVER THE PRIOR ART

The new SARS-CoV-2 has made the disease Covid-19 a pandemic with effects on all aspects of human life by spreading rapidly across the world.
Despite the immense progress in the treatment of Covid-19 patients in recent months, there is an urgent need for effective, well-tolerated, low side effects and cost-effective treatment options. Inhibitors of the proteases TMPRSS2 and furin meet these requirements and also allow post-exposure therapy.
By combining a TMPRSS2 inhibitor with a furin inhibitor, a much stronger effect is achieved with a lower dose and thus lower rate of potential side effects.

STATE OF THE PRODUCT DEVELOPMENT

The synthetic inhibitors of the proteases TMPRSS2 (MI-432, MI-1900) and furin (MI-1851) have been synthesized and are available together with additional analogues of these inhibitor types. Aprotinin, an additional TMPRSS2 inhibitor, is commercially available. A proof of mechanism (PoM) was performed on human Calu-3 epithelial cells with excellent success.

MARKET POTENTIAL

Conservative predictions suggest that despite the launch of vaccines against SARS-CoV-2, Covid-19 will continue to have a huge impact on healthcare, the economy and society worldwide in the coming years. In addition, the TMPRSS2 and furin inhibitors can also be used against other infectious agents. Therefore, the market potential is considered to be very large.

COOPERATION OPPORTUNITIES

On behalf of its shareholder, Philipps-University of Marburg, TransMIT GmbH is looking for cooperation partners or licensees for the production / distribution / further development in Germany, Europe, the US and Asia.

A TECHNOLOGY OF

Philipps Universität Marburg

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