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A company of the LifeScience Foundation

REFERENCE NUMBER TO 03-00454

ACE2 INHIBITORS FOR THERAPY OF INFLAMMATORY SKIN DISEASES AND STIMULATION OF PIGMENTATION

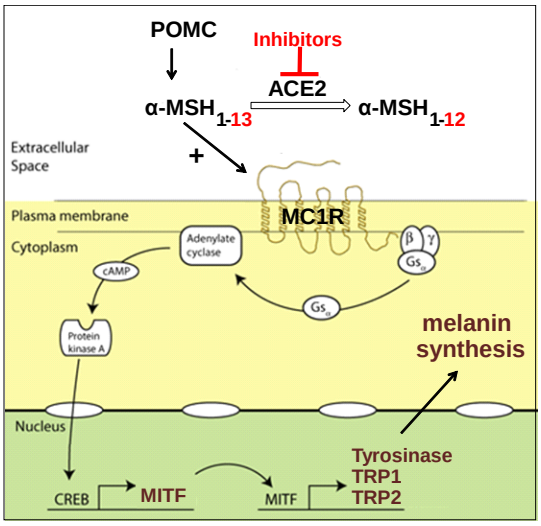
Keywords: inflammatory skin diseases, pigmentation, ACE2, small molecule inhibitors

INVENTION NOVELTY

The melanocortin 1 receptor (MC1R) is a key regulator of skin and hair color. The endogenous peptide α-melanocyte-stimulating hormone (α-MSH) is the most important MC1R activator and induces production of the pigment melanin. Besides its function in skin and hair pigmentation, α-MSH is known to exert anti-inflammatory and genoprotective effects in the skin and is linked to inflammatory skin diseases. Researchers of the Max Delbrück Centrum discovered a new molecular pathway responsible for α-MSH degradation orchestrated by angiotensin converting enzyme 2 (ACE2). Inhibition of ACE2 by skin-penetrable small molecule inhibitors is a new promising therapeutic strategy to treat inflammatory skin diseases and to stimulate skin and hair pigmentation.

VALUE PROPOSITION

Available therapies for the stimulation of melanin production and for the treatment of associated skin diseases are based on peptide compounds and comprise invasive procedures like injection or administration by subcutaneous implants. The great innovation potential of ACE2 as therapeutical target is that it can be targeted by skin-penetrable small molecule inhibitors. The proposed technology offers the potential to replace common invasive techniques by local therapy of inflammatory skin diseases and stimulation of skin and hair pigmentation by topical, non-invasive application, e.g., in form of cremes.



ACE2 degrades αMSH to an inactive fragment and thereby reduces melanogenesis in the skin. ACE2-inhibitors can increase melanogenesis by stabilizing αMSH.

TECHNOLOGY DESCRIPTION

Researchers of the Max Delbrück Centrum discovered a new molecular pathway for α-MSH degradation. For the first time, it was shown that ACE2 converts α-MSH to a derivative with only weak MC1R activation. Remarkably, *in vitro,* and *in vivo* experiments with small molecules confirmed that ACE2 inhibition significantly promotes the melanogenic pathway by α-MSH stabilization. Both known and newly developed small molecule inhibitors are currently under evaluation regarding their melanogenic and anti-inflammatory potential. Skin-penetrable ACE2 inhibitors are a promising step towards non-invasive local therapy in different indications such as inflammatory skin diseases, vitiligo, prevention from melanoma formation or UV-protection. Also, cosmetic applications such as tanning, and prevention of hair greying may be interesting areas of use.

COMMERCIAL OPPORTUNITY

In-licensing or collaboration for further development is possible.

DEVELOPMENT STATUS

In vitro and animal *in vivo* proof of concept data available.

PATENT SITUATION

Patent applications in Europe (EP3717077A1), USA (US20200360258A1), Canada (CA3082610A1) and Australia (AU2018376565A1) with priority of 2017 are pending.

FURTHER READING

Yardman-Frank JM, Fisher DE. Skin pigmentation and its control: From ultraviolet radiation to stem cells. Exp Dermatol. 2021.

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Last updated February 2022