Unique compounds to treat obesity

Unique compounds are the first-ever to target melanocortin receptor 3 in an effort to treat obesity without increasing blood pressure.

Technology No. 20170238

Applications

- · Anti-obesity drug
- Dietary supplement
- Anti-inflammatory

Key Benefits & Differentiators

- **Novel anti-obesity target:** Unique compounds that are the first ever to specifically target melanocortin-3 receptor.
- **Reduced safety concerns:** Inhibition of melanocortin-4 decreases the risk of increased blood pressure observed with previous compounds.
- **Potential anti-inflammatory compounds:** Compounds activate MC3R, which is involved in anti-inflammatory pathways in vascular and lung tissue.

Obesity: big bodies are a big health concern

More than one-third of adults in the US are obese and anually \$190 billion is spent on the treatment of obesity and obesity-related complications. Health care providers are in need of new approaches to combat this growing health crisis. While there are many pathways in the body that control appetite and weight, the final step is controlled by two receptors in the brain, melanocortin receptors 3 and 4 (MC3R and MC4R). Previous work research failed to identify agonists for MC3R, so much effort has been put into anti-obesity compounds targeting MC4R. Unfortunately, these molecules have resulted in increased blood pressure in humans. Dr. Haskell-Luevano at the University of Minnesota has developed the first compounds that activate MC3R, while inhibiting MC4R. This unique activity profile is anticipated to increase weight-loss in patients without causing hypertension.

Effectively targeting MC3R

Using an uncommon (but powerful) screening approach, Dr. Haskell-Luevano identified compounds in a brand new chemical space for melanocortin agonists. As the first compounds ever reported to selectively activate MC3R, these cyclized, penta-peptides represent promising anti-obesity therapeutics. Furthermore, their antagonistic activity against MC4R, minimizes the risk of high blood pressure observed with previous melanocortin receptor-targeting drugs. Additionally, there is evidence for MC3R dependent anti-inflammatory activity in vascular and lung tissue, so these compounds have potential as anti-inflammatory therapeutics. .

Phase of Development

In vitro activity confirmed. Mouse studies pending.

Researchers

Carrie Haskell-Luevano, PhD

Professor, Dept. of Medicinal Chemistry

External Link (www.pharmacy.umn.edu)

Publications

<u>Discovery of Mixed Pharmacology Melanocortin-3 Agonists and Melanocortin-4 Receptor</u>
<u>Tetrapeptide Antagonist Compounds (TACOs) Based on the Sequence Ac-Xaa1-Arg-</u>
(pl)DPhe-Xaa4-NH2

Journal of Medicinal Chemistry, 2017, 60, 10, 4342-4357

Desired Partnerships

This technology is now available for:

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