UNIVERSITY OF MINNESOTA
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Chimeric melanocortin receptor ligands

Melanocortin-4 receptor ligand reduces food intake in mice

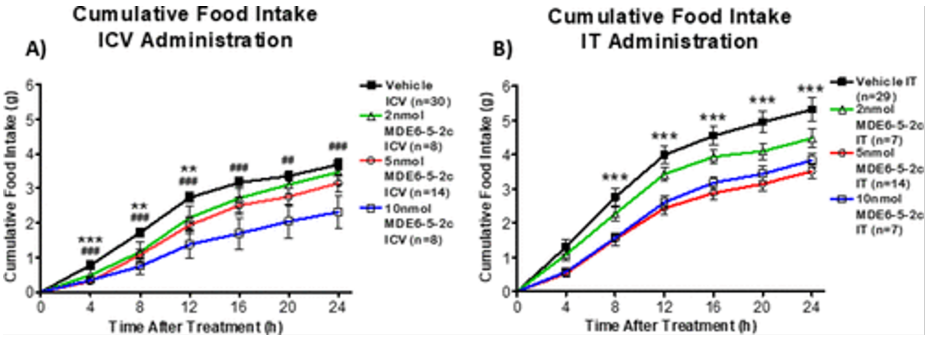

Fig 1. MDE6-5-2c decreased food intake in mice regardless of route of administration

IP Status: Issued US Patent; **Issued Patent No.** 11,124,541

Applications

- Early onset childhood obesity treatment

Key Benefits & Differentiators

- **Novel chimeric ligands:** macrocyclic ligand agonist for MC3R and MC4R
- **Reduced safety concerns:** fewer off target effects

Technology Summary

Obesity is a significant public health concern affecting more than one-third of US adults. Annually $190 billion is spent on the treatment of obesity and related complications. Health care providers and patients need new approaches to combat this growing crisis. While there are many biological pathways controlling appetite and weight, the final step is controlled by two receptors in the brain, melanocortin receptors 3 and 4 (respectively, MC3R and MC4R). These receptors are attractive targets for pharmaceutical intervention. However, compounds targeting MC4R are linked to severe side effects including hypertension and increased male erectile activity. Therefore, there is an unmet need for compounds that would address obesity without these off-target effects.

Researchers at the University of Minnesota developed a non-selective macrocyclic melanocortin receptor ligand, MDE6-5-2c (cPro-His-Dphe-Arg-Trp-Dap-Ala-DPro) with nanomolar potency at the MC4R (Ericson, et al., 2017). MDE6-5-2c was administered in mice intrathecally or intracerebroventricularly and examined for its effect on food intake and energy homeostasis. Regardless of the route of administration, treatment with MDE6-5-2c resulted in decreased food consumption (Fig 1). However, differences were observed in food intake, respiratory exchange ratio and plasma biomarkers with IT having a slower onset but sustained effect while ICV had acute onset but shorter duration of action. Together MDE6-5-2c could be a foundational

Technology ID

20160320

Category

Life Sciences/Human Health
Life Sciences/Pharmaceuticals
Life Sciences/Therapeutics
Agriculture & Veterinary/Veterinary Medicine

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compound for drug development and a tool to study the melanocortin pathway.

Phase of Development

TRL: 4-6

In vivo animal studies

Desired Partnerships

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Researchers

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References

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2. Ericson, M.D., Freeman, K.T., Schnell, S.M. and Haskell-Luevano, C. , https://doi.org/10.1021/acs.jmedchem.6b01707, Journal of medicinal chemistry