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Novel Agonist alpha2aAR Analgesics

Tech ID: 32556 / UC Case 2022-002-0

TECHNOLOGY DESCRIPTION

New therapeutics acting through non-opioid receptors are much sought for analgesia. Among these is the alpha2A adrenergic receptor (alpha2aAR), the primary target of dexmedetomidine, widely used in hospital settings but otherwise restricted from treatment of chronic pain outside the hospital due to its sedative properties and its intravenous dosing.

The global opioids market size was valued at \$13.8 billion in 2019 and is expected to reach \$31.8 billion by 2024. One of the biggest drawbacks to treating chronic pain with opioids is its potential for patients to become highly dependent on the medication. The inventors sought out a novel non-opioid analgesic to treat pain, which is likely non-addictive.

The Inventors used structure-based docking to screen over 301 million virtual molecules against one of the adrenergic receptors seeking novel chemotypes that activated the alpha2aAR. From among 43 molecules prioritized for synthesis and testing, the structure of one of the more active alpha2aAR agonists, was determined in complex with the activated alpha2aAR in a geometry that closely superimposed on the docking prediction. Structure-based optimization improved activity 10-fold. One of the analog compounds had high pharmacokinetic brain exposure and was strongly analgesic in a in vivo neuropathic pain model. Notably, the agonist did not have the sedative effects of dexmedetomidine. Additionally, the novel compound is highly orally bioavailable making this a good target for both injection in a hospital setting and orally in a clinical setting for management of chronic pain.

LOOKING FOR PARTNERS

To develop and commercialize the technology

STAGE OF DEVELOPMENT

Proof of Concept

RELATED MATERIALS

DATA AVAILABILITY

Under NDA

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INVENTORS

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OTHER INFORMATION

KEYWORDS

pain, analgesics, small molecule, non-opioid

CATEGORIZED AS

- **Biotechnology**
- **Health**
- **Medical**
- **Disease: Central Nervous System**
- **Therapeutics**

RELATED CASES

2022-002-0

PATENT STATUS

Patent Pending

ADDITIONAL TECHNOLOGIES BY THESE INVENTORS

► [Novel MRGPRX2 Antagonists for Itch and Inflammation](#)

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