NOVEL OPIOID RECEPTOR AGONIST FOR ANALGESIA WITH REDUCED SIDE EFFECTS

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INVENTION NOVELTY

This invention identifies a novel molecule that allows for more effective pain management through selective activation of the μ opioid receptor (MOR) with reduced detrimental side effects.

VALUE PROPOSITION

Opioids are effective analgesics that are commonly used for pain management in clinical practice. While these drugs can effectively relieve pain, they also cause significant side effects such as constipation, nausea, vomiting, and respiratory depression that can cause death. These side effects thus limit the usable dose range for opioids, which can result in suboptimal pain relief.

This invention describes a novel molecule that effectively eliminates pain, with minimal detrimental side effects. Preclinical studies suggest that this novel analgesic presents a number of advantages over existing analgesics including:

- Increased **efficacy**
- **Better tolerated** by patients
- **Safer** to use
- Useful in a **larger dose range**
- **Lower abuse potential**

TECHNOLOGY DESCRIPTION

Researchers at the University of California San Francisco, in collaboration with Stanford University, the University of North Carolina at Chapel Hill and Friedrich Alexander University in Erlangen, Germany, have identified a novel molecule that acts as an agonist for the μ opioid receptor (MOR) with Ki of 3.8 nM. Importantly, this molecule efficiently activates the G-protein pathway, which mediates the analgesic effects, with only modest activation of the arrestin

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OTHER INFORMATION

KEYWORDS

Pain Management, Analgesia, Opioid Receptor Agonist, Analgesics

CATEGORIZED AS

- Medical
  - Therapeutics

RELATED CASES

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pathway, which is responsible for many of the side effects attributed to opioids. Increased pain tolerance in vivo has been confirmed in multiple mouse studies by two different laboratories. The molecule does not confer respiratory depression compared to vehicle and substantially reduces the level of constipation experienced with equipotent doses of morphine. Early mouse studies suggest less predilection towards addiction. The molecule does is 1000-fold specific as an agonist for the MOR vs other opioid subtypes and against the hERG ion channel, and 10,000-fold specific against the transporters NET, SERT, and DAT.

APPLICATION

- Post-operative pain management
- Chronic pain management with larger dose range

LOOKING FOR PARTNERS

To develop and commercialize this technology as an effective analgesic with reduced/minimal side effects

STAGE OF DEVELOPMENT

Preclinical

DATA AVAILABILITY

Under CDA/NDA

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PATENT STATUS

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United States Of America	Issued Patent	11,484,525	11/01/2022	2014-096
United States Of America	Issued Patent	10,702,498	07/07/2020	2014-096

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