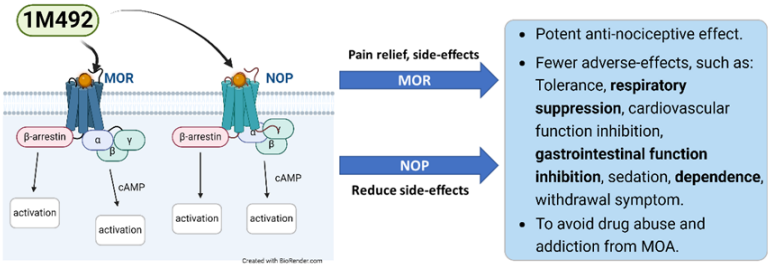
BPR1M492–A Novel and Fast Acting Opioid Analgesic

Drug Introduction

- BPR1M492 is a safe and effective agonist that activates both the μ-opioid receptor (MOR) and the nociceptin (NOP) receptor. This dual agonist rapidly activates the MOR for pain relief with an ED_{50} value of 0.027 mg/kg (s.c.). Additionally, this compound demonstrates reduced constipation, antinociceptive tolerance, and withdrawal symptoms compared to morphine.
- Indications include post-operative pain and severe acute pain management.
- Currently in preclinical development.
- Comprehensive patent coverage across multiple jurisdictions.

Drug Mechanism

- BPR1M492 activates both the μ-opioid receptor (MOR) and the nociceptin (NOP) receptor, producing rapid pain relief with fewer side effects.



Drug Comparison

- Compared to morphine (traditional analgesic):
  - Demonstrates faster onset and superior antinociception.
  - Exhibits reduced constipation, antinociceptive tolerance, and withdrawal symptoms.
- Compared to Oliceridine (Olynvik) for post-operative pain:
  - Demonstrates faster onset and stronger antinociception.
  - Exhibits fewer withdrawal symptoms.
  - Offers simpler and more cost-effective manufacturing.

In Vivo Experimental / Preclinical Data

- *In vivo* efficacy:
  - Provides rapid pain relief in thermal and incisional pain models.
  - Minimal impact on the digestive system with an excellent safety profile.
- Non-GLP toxicity evaluation:
  - 14-day non-GLP repeated-dose toxicity study in mice demonstrates a favorable preliminary safety profile.
- Pharmaceutical development:
  - Stable, non-hygroscopic crystalline form with excellent aqueous solubility.
  - Five-step synthetic route achieving >40% overall yield.

Key Business Takeaways

- Innovation: Novel small molecule MOR/NOP dual agonist providing rapid pain relief.
- Safety Advantage: No hematological or biochemical toxicity, minimal gastrointestinal effects, and absence of tolerance development.
- Market Positioning: Best-in-class small molecule MOR/NOP dual agonist analgesic.
- IP Protection: Robust global patent protection for compound composition.

Intellectual Properties

- **Substance Patents:**
  - US US19/303,279, PCT/US2025/042556
  - US 10597378B2, TW I650313B

Publications

1. BPR1M97, a dual mu opioid receptor/nociceptin-orphanin FQ peptide receptor agonist, produces potent antinociceptive effects with safer properties than morphine, *Neuropharmacology* **2020**, *166*, 107678.
2. Discovery, structure-activity relationship studies, and anti-nociceptive effects of *N*-(1,2,3,4-tetrahydro-1-isoquinolin ylmethyl)benzamides as novel opioid receptor agonists. *Eur. J. Med. Chem.* **2017**, *126*, 202–217. https://doi.org/10.1016/j.ejmech.2016.09.003

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