



# BPR1M492: A MOR/NOP Dual Agonist as a Safe Pain Killer

## INDICATIONS:

Moderate to severe pain

## PATENTS:

US and ROC (Taiwan) patent applications

## PUBLICATIONS:

1. Anesthesiology 2017, 126, 952
2. Eur. J. Med. Chem. 2017, 126, 202

## DEVELOPMENT STATUS:

Lead to Candidate

## CONTACT:

Pey-Yea Yang  
Manager,  
Institute of Biotechnology  
and Pharmaceutical  
Research, National Health  
Research Institutes  
No. 35, Keyan Rd.  
Miaoli County 35053  
Taiwan, R.O.C.  
Tel: 886-37-206-166 ext.  
35705  
peyyea@nhri.edu.tw

## INVENTION DESCRIPTION

The present invention is an agonist with good safety which can activate the  $\mu$ -opioid receptor (MOR) and the nociceptin (NOP) receptor. This agonist can rapidly activate MOR receptor for pain relief with ED<sub>50</sub> value of 0.03 mg/kg (s.c.). In addition, this invention demonstrated less constipation, antinociceptive tolerance, and withdrawal symptom than morphine.

## COMPETITIVE ADVANTAGES

Compare to the most famous opioid, morphine, this series of MOR/NOP agonists demonstrated potent antinociception in tail flick and cancer pain mouse models with fewer side-effects in respiratory suppression, heart rate decreasing, and constipation models than morphine. Four advantages of this invention are: 1. **A rapid period painkiller** - The onset of the antinociceptive effect of this drug was within five minutes after subcutaneous injection. 2. **Slight affecting in the digestive system** - This drug caused less constipation. 3. **High level of safety** - This drug caused low antinociceptive tolerance, and withdrawal symptom. This help to protect patients from increasing the dose level and reduce the risk of drug usage.

## MARKET POSITIONING/OPPORTUNITY

The pain management is a global issue, with over 20% of the world's population suffering with pain. Opioid analgesics are used to treat moderate to severe pain. According to data from Grand View Research, the opioid analgesics market size was \$22.8 billion in 2022, expected to reach \$25.3 billion by 2030. Analgesics are the second prescription of pharmaceuticals and appear a rapid expansion in the global. However, some patients still not receiving adequate pain control due to the lack of safe pain relievers for treatment of moderate/severe pain. The usage of opiates causes many adverse effects (eg. constipation, tolerance, dependence, respiratory depression, hypotension and withdrawal symptoms). Currently, there is no MOR/ NOP receptor agonist in the market. The discovery of novel analgesic with high safety and fewer adverse effects has its own niche market.

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