Opioid receptors are major targets for the treatment of pain. Most opioid analgesics activate the mu-opioid receptor (MOR), which has high risk of addiction, tolerance, and adverse side effects. Compounds that modulate kappa- or delta-opioid receptors (KOR and DOR) may also reduce pain, as well as depression, but unlike selective MOR agonists, can also have anti-addictive effects. This technology describes a new class of compounds that bind to and modulate all three opioid receptors. The ability of these compounds to activate multiple receptors makes them promising non-addictive therapeutics for treating pain, as well as depression and drug addiction.

**Analogs of a natural, anti-addictive compound to treat multiple disorders with minimal side effects**

This technology is based on studies of the naturally occurring compound, ibogaine, which is known for its ability to attenuate drug dependency in humans. While the underlying mechanism is not known, ibogaine is metabolized into noribogaine, which binds all three opioid receptors and is thought to be critical for ibogaine’s anti-addictive properties. The compounds described in this technology are noribogaine analogs, and are likely to exhibit similar anti-addictive effects in patients with chronic pain or drug dependency. The multi-target activity of these compounds also provides them with an additional therapeutic role as antidepressants. The described compounds are significantly lower potency than common MOR agonists, which may limit the negative side effects associated with classical agonists. The unique pharmacological properties of these compounds make this technology exceptionally promising for the development of safe, effective treatments for pain, drug addiction and depression.

These compounds were demonstrated to be KOR, MOR, and DOR agonists using in vitro assays.

**Lead Inventor:**

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Applications:

• Potential therapeutics for alcohol and drug addiction
• Potential therapeutics for neuropathic pain
• Potential therapeutics for depression, obsessive-compulsive disorder and stress disorder
• Research tools for studying opioid receptor activities
• Research tools for investigating mechanism of action of ibogaine

Advantages:

• Lower potency than common MOR agonists may minimize negative side effects
• Multi-target activity of compounds is an advantage in seeking non-addictive analgesics
• Related to a natural compound that has already demonstrated safety and efficacy in humans

Patent Information:

Patent Pending (WO/2016/086158)

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Related Publications:


Inventors

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