Compound Targets Kappa Opioid Receptor (KOR) for the Treatment of Depression and Anxiety Disorders

Summary:
The current invention is a novel class of compounds that function as KOR antagonists. Because KOR activation has been linked to depression and anxiety related disorders, this novel class of compounds may be used in the development of therapies to treat these mental disorders.

Overview:
KOR is localized in the central and peripheral nervous system and its activation has been linked to antinociception, dysphoria and anxiety. As a result, KOR may be a potential target for drug discovery. The current invention has developed a novel class of compounds capable of antagonizing KOR. These novel compounds have superior biological potency and pharmacological activity relative to KOR antagonists that are currently on the market.

Application:
This current invention of KOR antagonists can be developed as a therapeutic treatment for mental conditions such as depression and anxiety related disorders.

How It Works:
This class of novel compounds was created through replacing specific substituents and introducing specific steric constraints on the ML140 compound. As a result of these chemical modifications, these novel compounds antagonize the KOR with significantly improved potency than currently available KOR antagonists.

Benefits:
Improved potency allows use of these compounds to be used in behavioral efficacy models thus allowing further pharmacological characterization of these compounds. This will allow research and development of these compounds for use in therapies to treat depression and anxiety.

Why It Is Better:
The compounds significantly improved the antagonist potency of the novel sulfonamide KOR antagonist molecule ML140 from 138nM to 1.6nM.

Other Applications:
Because kappa opioid receptors are also located on the periphery, the compounds developed in this invention could be applied to pain therapeutics.

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