Negative Allosteric Modulators of CB1 Cannabinoid Receptor

Published date: Dec. 17, 2015

Technology description

Description

Among cannabinoid receptors, CB1 is the most abundant G-protein coupled receptor present in the brain, and it plays an important role in rewards, learning, memory, motor control and addiction. Alcohol addiction has been observed to be linked with up/down regulation of CB1 receptor. Currently available CB1 antagonists, though useful in alcohol addiction treatment, are associated with adverse psychiatric effects. This invention discloses the development and use of novel class of allosteric compounds that negatively module the response of such endogenous cannabinoids without associated limitations as observed with prior art modulators.

Application area

oTreatment of metabolic disorders
oTreatment of cardiovascular diseases
oTreatment of substance abuse disorders
oTreatment of neurodegenerative disorders

Advantages

The allosteric compounds:
•Are synthetic derivatives
•Effectively allow for an affinity modulation, altering association or dissociation rates
•Are associated with a higher subtype selectivity as compared to their orthosteric counterparts
•Are capable of fine tuning the downstream signaling, leading to a functional selectivity
•Provide effective therapeutic benefits with minimal or no side effects
•Are associated with a prolonged duration of action

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