"NOVEL, SELECTIVE AND POTENT MU OPIOID RECEPTOR ANTAGONISTS FOR THE TREATMENT OF CNS DISORDERS" VCU # 09-04

Applications

- Drug/alcohol abuse and dependence
- Psychosis treatment
- Obesity management
- Treatment of Parkinson's disease and other movement disorders
- Treatment of gambling addiction

Advantages

- Highly selective and potent antagonists for the mu opioid receptor
- Low binding affinity to other opioid receptors
- Ability to cross the blood-brain barrier

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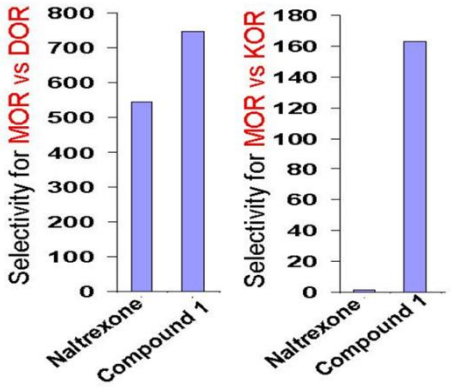
Market Need

Drug/alcohol abuse and dependence are major problems in today's society. The analgesic effects and addiction/abuse liability of several opiates and alcohol can be mediated via the mu opioid receptor (MOR) that are prevalent in the central nervous system and have also been implicated in modulating immune function and in the treatment of obesity, psychosis and movement disorders such as Parkinson's disease. Naltrexone is an opioid receptor antagonist that is currently being used to manage drug and alcohol addiction. However, Naltrexone has low selectivity for the mu opioid receptor and has also been known to cause a variety of side effects due to its high affinity to the delta (DOR) and kappa (KOR) opioid receptors. Hence, there is a need for the development of highly selective and potent mu opioid receptor antagonists.

Technology Summary

Researchers at VCU have designed and synthesized several novel ligands that are potent and highly selective mu opioid receptor antagonists. *In vitro* and *in vivo* studies using the lead agent "Compound 1" have shown that it is highly selective in binding the mu opioid receptor versus other opioid receptors and is a potent antagonist to the effects of morphine. Compound 1 can be developed further for use in the treatment of drug and alcohol dependence as well as other human conditions where mu opioid receptors have been implicated.



Technology Status

U.S and European Patent pending (13/144,788; 10732132.5)

In vitro and *in vivo* studies have been performed.

This technology is available for licensing to industry for further development and commercialization.