

Treatment for Drug Addiction

Potent and Selective Mu Opioid Receptor Modulators

Opioid receptor selective antagonists are very important pharmacological probes in the structural characterization of opioid receptors mu-opioid receptors (MOR) mediate positive reinforcement following indirect activation through such substances as alcohol, cannabinoids, and nicotine. Recent data has shown that the mu receptor function, signaling and regulation is strongly antagonist dependent. However, a non-peptidyl, highly selective and reversible MOR antagonist is not available.

The technology

Researchers at Virginia Commonwealth University have synthesized a novel selective MOR antagonist to treat drug abuse, addiction and alcoholism. Based on homology modeling studies of the three opioid receptor types (mu, delta and kappa) and binding mode analyses of naltrexone in these models, two series of novel ligands have been designed, synthesized and experimentally characterized through *in vitro* and *in vivo* studies as MOR selective antagonists. Among them several compounds were identified as lead components for the next generation of molecular design based on the results of *in vitro* competition binding assays and *in vivo* functional studies. *In vivo* testing in mice showed that all compounds tested bound to the receptors with high affinity. These results indicate that the molecular design strategy tested was successful in producing very high affinity MOR ligands. Based on the tested design strategy, multiple compounds in this series are predicted to show high MOR selectivity and low pharmacodynamics efficacy, thus providing novel MOR-selective antagonists. These novel ligands have the ability to serve as leads for further development of more potent and selective antagonists for the MOR.

Benefits

- » Novel receptor modulators
- » Selective antagonists
- » Potent

Applications

- » Drug addiction
- » Alcohol abuse
- » Serve as leads to further develop more potent and selective antagonists

Patent status:

Patent issued: U.S. rights are available.
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License status:

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

Category:

Biomedical

VCU Tech #:

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***In vitro* and *in vivo* data available**

Contact us about this technology

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