# “Treatment for addiction”

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VIRGINIA COMMONWEALTH UNIVERSITY

## Applications

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

## Advantages

- Novel receptor modulators
- Potent
- Selective antagonists


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## Technology Summary

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 Mu receptor function, signaling and regulation is strongly antagonist dependent. However, thus far a non-peptidyl, highly selective and reversible MOR antagonist is not available.

This technology is the synthesis of novel selective MOR antagonists 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. Thus, these novel ligands have the ability to serve as leads for further development of more potent and selective antagonists for the Mu opioid receptor.

## Technology Status

In vitro and functional in vivo data available.
Patent Pending: US 62/338,635 U.S. and Foreign rights available.

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