"Sulfated Inositols Analogs and Uses Thereof" VCU #12-98

Applications

- Therapy for thromboembolic disease and cardiovascular disease
- Anticoagulant
- Cardiovascular disease
- Possible use as a therapeutic agent for cancers

Advantages

- High specificity due to novel mechanism
- Enhanced selectivity and stability for higher potency
- Fewer off target interactions with the potential for lower probability of intracranial bleeding or fetal toxicity
- Likely to cause minimal to no bleeding
- Easy, high-yield preparation
- Wide range of administration methods

Inventors

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Market Need

Thromboembolic diseases are amongst the most frequent causes of death around the globe. Anticoagulants are the main means of treatment and prevention of thromboembolic disorders. Clinically, heparins have been extensively used as an anticoagulant both for treating thrombotic disorders and for many patients undergoing surgery. The use of heparins come with a number disadvantages. Heparins usually consist of a heterogeneous mixture, and thus contain many additional ingredients which result in a variety of side effects. Additionally, heparins are highly sulfated molecules, and thus highly hydrophilic and result in poor bioavailability. Some of the side effects observed with heparin usage are thrombocytopenia, osteoporosis, as well as others. There is a clinical need for a new anticoagulant with heparin-like activity without the many side effects currently observed with its use.

Technology Summary

The technology is a group of direct, allosteric, small molecule Factor XIa inhibitors. Factor XIa is part of the intrinsic pathway of the coagulation cascade. By targeting through this pathway, there is a lower chance of bleeding. There are several novel sulfated-inositol based molecules that have been developed and tested. These molecules are the first to use an allosteric mechanism to inhibit this coagulation factor. Thromboelastography has shown that these molecules extend human whole blood clotting. Their aromatic architecture enhances selectivity and potency by promoting hydrophobic interactions. Off-target interactions including crossing the blood brain barrier and fetal toxicity are avoided by limiting the number of sulfate groups as compared to heparins. Off-target interactions are also limited by the high selectivity of the molecules for Factor XIa which has been tested with homologous proteases in coagulation and digestive systems. These molecules are good for commercial applications due to their easy, high yield preparation.

Technology Status

In vitro testing has been performed on the proposed molecules in clinically relevant settings.
Patent Pending: US and Foreign Rights available.
This technology is available for licensing to industry for further development and commercialization.