"NOVEL FACTOR XIA INHIBITORS FOR USE AS AN ANTICOAGULANT" VCU #11-091

Applications

- Use in cardiovascular disease therapy
- Anticoagulant
- Tool to study allosteric activation and inhibition of Factor XIa

Advantages

- Homogeneous nature of molecules reduces side effects
- Specifically targets factor Xia
- Molecules are non-toxic in cellular assays

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

Researchers at VCU have developed novel small molecules which inhibit Factor XIa in the coagulation cascade and can be used as potent anticoagulants. These inhibitors have been designed to be either sulfated or unsulfated unicyclic or bicyclic molecules. Unlike heparins, these molecules are of a homogeneous nature and are highly specific for factor XIa and thus have limited off target interactions and potentially fewer side effects. Additionally, these molecules can be used as a tool to study the effects of allosteric activation and inhibition of factor XIa in the coagulation cascade. Extensive *in vitro* testing has been conducted and has shown inhibition, with high specificity, of factor XIa.

Technology Status

Patent pending: U.S. and foreign rights are available.

Extensive research and testing has been conducted in the development of these novel inhibitors. See publications:

Sidhu, P. *et al.*, *J. Med. Chem.* **54**:5522-31 (2011)

Gunnarson, G. *et al.*, *J. Med. Chem.* **45**:4460-4470 (2002)

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