Novel anti-platelet therapy for treatment of thrombosis, cardiovascular disease, and cerebrovascular injury

VANDERBILT UNIVERSITY
CTTC Center for Technology Transfer & Commercialization

Technology Description

Cardiovascular disease remains one of the leading causes of death in developed countries. Thromboembolism is a potentially serious cardiovascular condition, in which a blood clot forms (thrombosis) in an injured blood vessel in combination with a risk for embolism. Protease-activated receptors 1 and 4 (PAR1, PAR4) are found on human platelets and mediate normal clot formation. They are also involved in clotting central to thromboembolism and, as such, are desirable targets for the treatment of thrombosis. Vanderbilt researchers have recently developed novel PAR4 antagonists with potential benefits for patients that minimize severe bleeding during treatment for thrombotic events.

Commercial Applications

- Introduction of novel PAR4 antagonists to treat thrombosis, while minimizing the risk for major bleeding

Problems Addressed

One of the biggest limitations with anti-coagulant therapies today is the risk of bleeding associated with many drugs on the market (e.g. vorapaxar, clopidogrel, prasugrel, thrombin inhibitors). To address this unmet clinical need, Vanderbilt researchers have developed a family of novel PAR4-specific antagonists. These compounds do not affect PAR1 signaling, which appears to be more critical than PAR4 in adverse bleeding events linked to currently available anti-platelet therapies. Thus, these PAR4 antagonists can specifically treat thrombosis, while simultaneously preserving normal bleeding function, a finding supported by other research in the field.

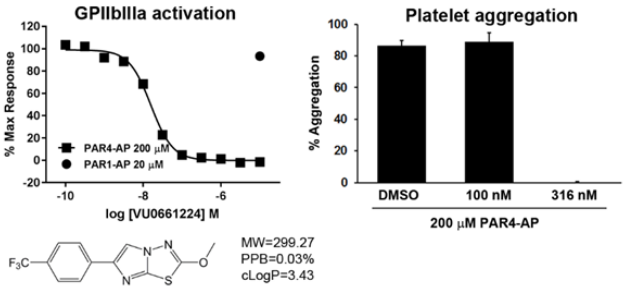
Unique Features

- Retention of normal bleeding function provides a significant advantage over currently marketed drugs for treatment of thrombosis and thromboembolism

Intellectual Property & Lead Status

Provisional patent application has been filed.

Vanderbilt researchers have developed highly innovative PAR4-specific antagonists based on the MLPCN tool compound ML354. Over 300 analogs with vastly improved drug profiles have been prepared and extensively characterized.



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