New heparin antidote in the control of blood coagulation

CSIC and Institut Químic de Sarrià have developed a family of novel small molecules that can be valuable agents for controlling bleeding after heparin treatment. Lead compound studied has shown high efficacy and is expected to overcome side-effects produced by current antidotes used in clinics.

Industrial partners from the pharmaceutical industry are being sought to collaborate through a patent licence agreement.

An offer for Patent Licensing

Small compound with reversal anticoagulant activity

Heparin is an anticoagulant widely used for prophylaxis and treatment of venous thrombosis and pulmonary embolism and to prevent clotting during dialysis and surgical procedures.

In case of an emergency bleeding in response to heparin overdose or during heart surgery, protamine sulphate is administered. Despite its extensive clinical use, protamine may produce life-threatening side effects such as systemic hypotension, catastrophic pulmonary vasoconstriction or allergic reactions

Here is presented a new family of small compounds, based on spermine derivatives, which can be used as antidote to reverse heparin effects. *In vitro*, ex vivo and *in vivo* assays in mice show the capacity of these compounds to strongly revert bleeding of heparinized mice to values very similar to those of the control group.



Blood clot spectroscopy image

Main innovations and advantages

- Reversion of heparin effect is obtained in just few minutes after administration.
- These small molecules can potentially reduce serious side effects associated to protamine and other antidotes under research.
- Compounds bind efficiently heparin favoring blood aggregation.
- Shape and size of blood cells remain unaffected after treatment.
- Lead compound also active against other heparin derivatives.
- Dynamic combinatorial chemistry screening approach has been carried out to find the best binding heparin molecules.

Patent Status

PCT patent application filed

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