

# LICENSING OPPORTUNITY

## Keywords

Heparin, heparin based drugs, anti-angiogenic drugs, anti metastatic drugs, oncology, targeted heparin activity, drug delivery, protein delivery, re-formulation, pharmaceuticals, bio-pharmaceuticals, pharmacokinetics, pharmacodynamics.

## Patents status

EP, US, MX, granted  
"Compositions and methods for use of bioactive agents derived from sulfated and sulfonated amino acids"

Supporting patents:

- 1) EP, US, JP, CA, AU  
"Block copolymers for multifunctional self-assembled systems"
- 2) US Patent Application US60/704,075/2005  
"Molecular Variant Fibrinogen Fusion Proteins"
- 3) US Patent Application US60/686,188/2005  
"Triblock copolymers for cytoplasmic delivery of gene-based drugs"
- 4) US Patent Application US60/775,132/2006  
"Nanoparticles for Delivery of Drugs"

## Contact researcher / inventors

Prof. H. Hubbell (EPFL).  
Laboratory of Regenerative Medicine and Pharmacology  
Tel. + 41 21 693 96 81  
Fax + 41 21 693 96 85  
[jeffrey.hubbell@epfl.ch](mailto:jeffrey.hubbell@epfl.ch)

## Contact Technology Transfer Office

Dr Natalia Giovannini, Licensing officer  
Tel. +41 21 693 35 90  
Fax +41 21 693 70 40  
[natalia.giovannini@epfl.ch](mailto:natalia.giovannini@epfl.ch)

**File ref.** 6.0535 (please mention when contacting us).

## Compositions and methods for use of bioactive agents derived from sulfated and sulfonated amino acids

The present invention is related to methods for making and using agents that affect biological compounds, especially agents that contain peptides having sulfonated or sulfated groups. In particular, combinatorial chemistry methods and applications that involve such agents are described, especially agents that bind to heparin-binding sites of proteins.

Combinatorial chemistry is a technology that involves making many chemicals and screening them. The screening test is used to test the chemicals to determine which ones have a useful chemical property with regards to a given target. Combinatorial chemistry has been successfully used to make many drugs. Many biomolecules have heparin binding sites but heparin binds them only weakly or with little specificity. Without specificity for a target, heparin given to a patient is taken up by other biomolecules and prevented from reaching its target. And if it does reach its target, a weak bind may cause it to have little effect. Heparin, in fact, has many limitations concerning the specificity, speed and strength of its interactions with other molecules.

The advantage of this invention is based on the fact that it provides systems and methods for making ligands, especially ligands that mimic some functions of heparin and improve on the function of heparin in some circumstances. Systems for making heparinic compounds include embodiments using combinatorial chemistry processes that incorporate sulfonated or sulfated amino acids.

## Main advantages

- Tailored to given applications production of peptides mimicking heparin activity.
- Rapid production of ligands that are targeted to a specific heparin-binding protein.
- Easy incorporation of the tailored heparin analogs into copolymeric drug delivery vehicles (see supporting patents).
- Easy production of heparin analogs with controllable specificity and activity at scale able amounts.
- The technology is supported by numerous patents.

## Potential Commercial Applications

- Customized production of heparin analogs.
- Targeted binding of specific heparin binding sites on various proteins and subsequent modulation of their activity.
- Controllable pharmacokinetics and pharmacodynamics of heparin analogs.
- Production of anti-angiogenic drugs based on the targeted binding and modulation of the activity of angiogenic growth factors.
- Replacement of low specificity and activity anti-angiogenic heparin based drugs.
- Re-formulation of generic and extension of pharmaceutical