UNIVERSITY OF BIRMINGHAM

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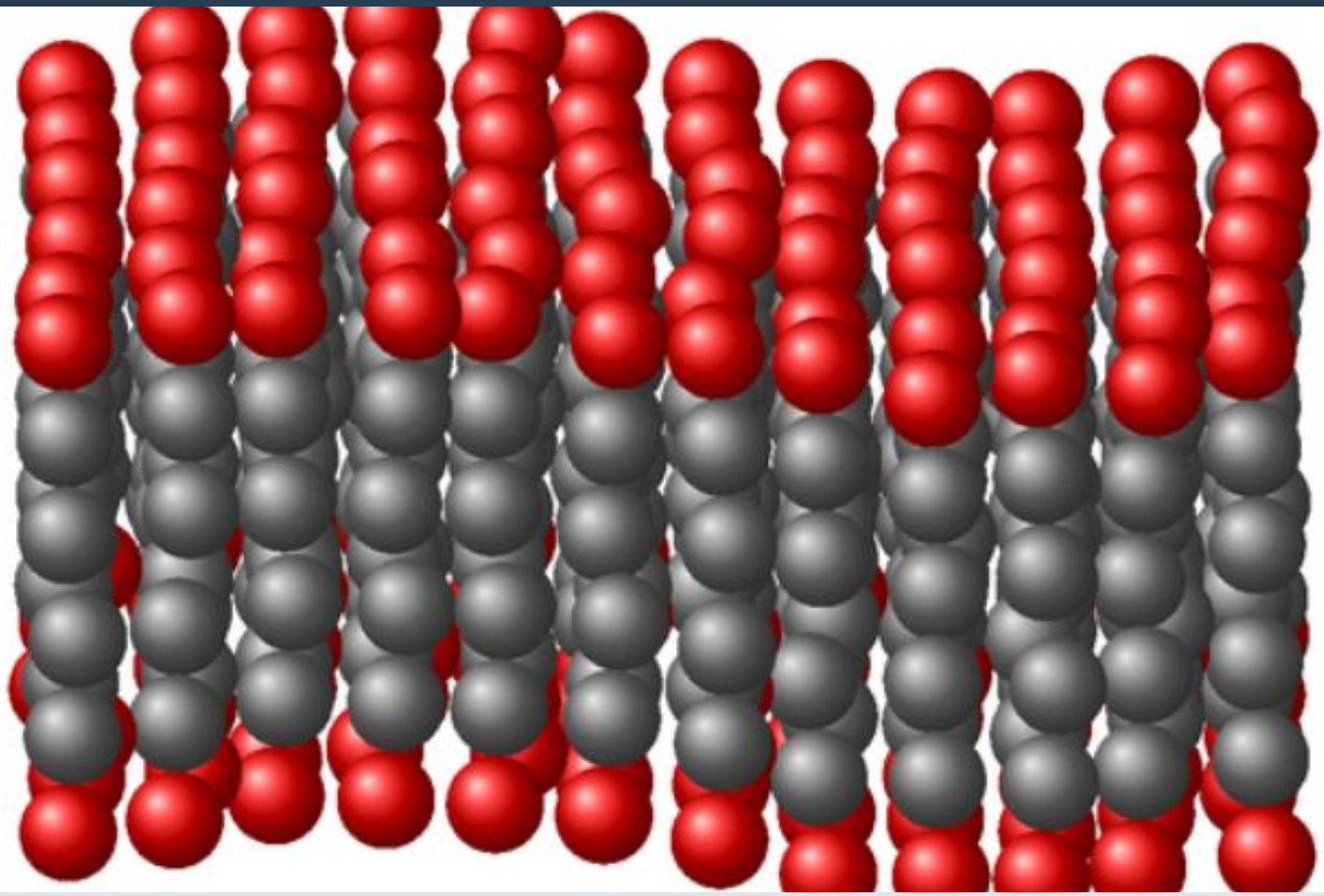
Screening Method and Reagents for Transfection and Delivery of Biomolecules

A novel polymeric scaffold to provide flexible and more efficient methods for transfection of cells with active pharmaceutical ingredients.



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IP Status

Patent application submitted

Seeking

Development partner

About **University of Birmingham**

At the University of Birmingham our research leads to new inventions and fuels innovation and business growth.

Background

One of the main challenges faced by the pharmaceutical Industry is providing compositions or devices capable of overcoming the cell membrane barrier and deliver active pharmaceutical ingredients to a target cell. This issue is critical in the case of gene therapy, where relatively large lipophobic molecules (e.g. DNA, RNA or siRNA) have to overcome the “hostile” lipophilic cell membrane.

There is thus a need to provide flexible and more efficient methods for screening suitable multivalent polymers for transfection of cells with active pharmaceutical ingredients.

Tech Overview

Researchers at the University of Birmingham have developed a novel polymeric scaffold which can be easily functionalised to provide flexible and more efficient methods for transfection of cells with active pharmaceutical ingredients (Figure 1).

Further Details:

Polymers have been suggested as one of the best nanomaterials for drug delivery. This is the case for gene therapy, where the potential biosafety problems associated with viral vectors has encouraged the development of alternative delivery vehicles. Currently, screening strategies are deployed to speed up the discovery process of materials with multiple functionalities that mimic some of the desired characteristics of viral vectors. At the same time, purification/isolation steps have to be implemented, even for inactive candidates, increasing the time required and the cost of the discovery process. Unfortunately, as the sophistication in polymer design increases, so does the synthetic effort required to prepare polymer vectors.

The inventors have devised a screening method and reagents that allow faster and more flexible preparation of amphiphilic polymers suitable for transfection and delivery of biomolecules of interest. All steps can be performed in aqueous media. From an easily and reliably prepared novel polymeric scaffold it is possible to introduce a vast diversity of lipophilic and cationic moieties to modulate the properties of the resulting amphiphilic polymer. Without further purifications, this polymer is mixed with an active pharmaceutical ingredient of interest (e.g. siRNA), and tested in situ for the transfecting properties of the resulting composition.

These novel and remarkably efficient transfecting agents have allowed the inventors the rapid identification of a single-component supramolecular polymeric formulation for siRNA transfection with better performance than the current gold standard for siRNA delivery. It is also possible to shelf stock solutions of the different intermediates in order to use them at any time.

Benefits

- Reagents with excellent transfection activity in presence of different membrane models
- Flexible and efficient in situ screening
- Process done entirely in aqueous media
- No intermediate purification

Applications

The method is of an unprecedented flexibility and efficiency in the screening of transfecting molecules. Moreover, it can be easily adapted and constitutes a blueprint for the high-throughput discovery of new polymeric functional materials.

Opportunity

This is an early stage technology. Proof of Concept with siRNA successful. Currently broadening the scope of the technology (e.g. development of mimics of antimicrobial peptides).The inventors allow for licensing & consulting. The technology can be applied to the delivery of other biomolecules (e.g. proteins and peptides) and to the synthesis of functional polymers with biomedical application (e.g. antimicrobial polymers). Seeking funds or partnerships for development.

ZSR969

Patents

- Provisional ES application P201531831, filed 17 Dec. 2015.

Appendix 1

Figure 1

General screening method - Example. A polymer (1) is mixed with the required amounts of two different aldehydes (2 and 3). The resulting polymer (4) is then conjugated with a negatively charged compound (5), such as DNA, RNA or siRNA. The resulting composition (6) is then submitted for transfection to a membrane, membrane model or cell (7).