L'Institut de recherche du Centre universitaire de santé McGill
The Research Institute of the McGill University Health Centre

Sector: Pharmaceuticals
Subsector: drug delivery

Peptides to facilitate drug delivery

Information Summary

Reference code:	ROI 05012
Technology overview:	Low molecular weight peptides as permeation enhancers.
Application:	Pulmonary, nasal, and transdermal drug delivery.
Validation:	Efficacy in drug delivery model systems, toxicology/pulmonary delivery to experimental animals.
Inventors:	Drs Blaschuk and Devemy
Opportunity:	R & D partnership, license. Joint Development
Contact:	Astrid Reimann Ph.D. MBA 514 398 5887 Astrid.reimann@mcgill.ca

Technology Description

The tissues of the human body have barriers that prevent the ready access of administered therapeutic proteins. We have developed a unique approach to breach these barriers and facilitate therapeutic protein delivery. This methodology should enable the delivery of numerous drugs via inhalation or transdermal routes.
The tissue barriers preventing efficient delivery of therapeutic proteins and other drugs are formed by "biological glues" called cadherins that exist between cells. We have discovered "solvents" that "dissolve" these "glues". These "solvents" are small, synthetic short-lived peptides that block cadherin function, thereby transiently disrupting the barriers and allowing the passage of proteins.

Performance

In drug delivery model systems *in-vitro* the peptides transiently and reversibly increase permeability starting after 15 minutes. In model experiments in the rat, the compounds were able to increase the systemic concentration of a nonapeptide drug candidate fivefold, when applied epicutaneously. Toxicology and pharmacokinetic studies for pulmonary/nasal delivery through a CRO are ongoing.

Benefits

- The relatively short half-lives of the peptides should favor low toxicity.
- Unlike other delivery formulations the peptides will not accumulate metabolites in the body.
- The peptides have the size of haptens, and thus should not be immunogenic.
- The peptides facilitate trans epithelial transport rather than trans cellular transport.

Market Need and Opportunity

The drug delivery market was valued at an estimated $100 billion US in 2005. A major unmet need in this market is the ability to efficiently deliver therapeutic proteins, such as insulin, to target tissues. Aerosol therapy is rapidly becoming the administration route of choice for therapeutic proteins. Drug delivery via intranasal sprays and inhalation devices offers advantages over the parenteral route. In particular, aerosol therapy eliminates patient discomfort and therefore improves compliance.
While small molecules have traditionally been the main focus of drug development, it is estimated that 60% of revenue growth in the pharmaceutical industry will come from biologic products. By 2010, annual sales will have increased by $26 billion, compared to $13 billion for small molecules. As evidenced by the slow market acceptance of the first inhaled insulin, effective delivery of costly biological therapeutics will be critical to the growth of this biologics market.

Dr. Orest Blaschuk

Dr. Orest Blaschuk is a cell biologist who has worked in the field of cell adhesion for over two decades. He obtained his doctoral degree in biochemistry from the University of Toronto in 1984. Dr. Blaschuk's doctoral work focused on the characterization of a novel cell adhesion molecule, clusterin. Dr. Blaschuk subsequently completed postdoctoral training in cell adhesion at Princeton University and accepted an assistant professor position in the Division of Urology, Department of Surgery, McGill University in 1987. Since then, he has conducted research on the molecular mechanisms of cell adhesion. In particular, Dr. Blaschuk has concentrated his efforts on developing compounds that modulate the function of a specific group of cell adhesion molecules called cadherins. Dr. Blaschuk has authored over 60 articles in peer-reviewed journals and is named as an inventor on 42 U.S. patents, as well as numerous other patents around the world. In 1996, Dr. Blaschuk co-founded Adherex Inc. (a McGill University spin-off company) and served as Chief Scientist from 1996-2005. The novel cadherin antagonist, ADH-1 (which was discovered at McGill University by Dr. Blaschuk's group) is currently in Phase II clinical trials being conducted by Adherex to determine its usefulness as an anti-cancer agent. Adherex is listed on the Toronto Stock Exchange (Symbol AHX; the IPO was on June 5, 2001) and the American Stock Exchange (Symbol ADH; trading began on November 12, 2004).