DEBIO PHARM
developing innovative therapeutics

PRESS RELEASE

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FOR IMMEDIATE RELEASE

DEBIOPHARM S.A. LICENSES-IN NEW TECHNOLOGY TO TURN INJECTABLE MACROMOLECULAR DRUGS INTO ORAL FORMULATIONS

Lausanne, Switzerland, October 24, 2002 -- Debiopharm S.A. today announced the licensing-in of an innovative technology, based on the formulation of microparticles prepared with blends of biodegradable and polycationic polymers, to examine the feasibility of developing oral delivery of macromolecules from three researchers based at the University Henri Poincaré, Nancy, France. Profs. P. Maincent, C. Vigneron and Dr. N. Ubrich, the researchers, have filed a patent application on the particular carriers developed to improve the oral absorption of large biomolecules such as low molecular weight heparin, peptides and proteins. The research will be performed at the Department of Pharmacy of the University Henri Poincaré (Nancy, France), in the laboratory of Professor P. Maincent, in collaboration with Debio Galenic Unit, in Gland, Switzerland.

The feasibility study will initially focus on low molecular weight heparin (LMWH), widely used for the treatment and the prevention of venous thrombosis, to identify the best parameters in terms of oral bioavailability and prolonged release for the active ingredient. Current research has concentrated mainly on increased duration of antithrombotic activity, improved bioavailabilty and reduced thrombocytopenia after administration of heparin and only rarely on administration routes. However, one of the major disadvantages of heparins consists in their parenteral administration requiring medical assistance to administer the drug and subsequent careful monitoring of patients. In order to overcome this problem, P. Maincent, C. Vigneron and N. Ubrich have developed an oral form of heparin that could be highly accepted by patients.

Various polymeric formulations (micro- and nanoparticles) of unfractionated heparin (UFH) and low molecular weight heparin (LMWH) were developed. Among all formulations tested in vivo, some heparin-loaded micro- and nanoparticles showed satisfactory results after oral administration in rabbits. High absolute bioavailabilities were obtained with microparticles prepared with two different blends of biodegradable and polycationic polymers that included PCL and PLGA and well known granulating aids and coating agents. A similar study, using the same polymers, is now in progress with another low molecular weight heparin (LMWH); preliminary results also show an oral absorption in rabbits. All the components used in the formulation are well accepted polymers since PCL and PLGA are used for parenteral administration in humans and the granulating aids or coating agents are already in use for conventional tablets.

According to Dr R.-Y. Mauvernay, founder and CEO of Debiopharm, “with the Nancy team, Debio will strengthen its know-how in oral delivery technologies, while building on the knowledge already within Debio’s Galenic Unit. Turning injectable formulations of peptides and proteins into oral administrations for patients will reduce healthcare costs and improve patient compliance and comfort. Oral administration is a priority for Debio and we welcome all ideas in this area of research. We are already starting feasibility studies with other large molecules.”

Venous thrombosis results from the disruption of the coagulation cascade, whereby the complex physiological process of hemostasis is disrupted. Hemostasis acts to prevent spontaneous bleeding and blood loss from ruptured blood vessels through clot formation, while maintaining the circulating blood in a fluid state. Under abnormal conditions, such as in the case of surgical procedures and prolonged bed stays in hospitals, clots can form and block a vessel (vein or artery), sometimes resulting in thrombosis, which in turn can lead to severe complications including pulmonary embolism.

Debiopharm, Debio R.P. and Debioclinic are an established and proven group of three synergistic and complementary companies, that have a successful track record in developing, registering and bringing to the market new chemical entities both in Europe and in the United States. Products successfully registered and launched include oxaliplatin for advanced colorectal cancer and triptorelin pamoate for prostate cancer, both market leaders in their therapeutic areas. Specialized in oncology, hormonal and niche products for serious medical conditions, Debiopharm is a partner of research institutions, pharmaceutical and biotechnology companies who seek to develop and register their drugs. Debio R.P., Debiopharm's sister company, is a leading world player in the research, development and manufacturing of polymer-based controlled release injectable formulations for peptides and proteins, including proprietary technologies suitable for other therapeutic modalities such as soluble polymer cytotoxic-drug conjugates for parenteral administration. Debio R.P. also carries out scale-up under current good manufacturing practice (cGMP) and has an FDA-inspected plant. Debioclinic, the third Debio company, is a contract research organisation fully dedicated to clinical development.

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