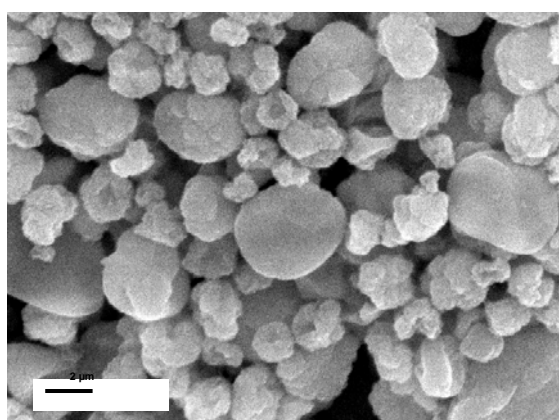


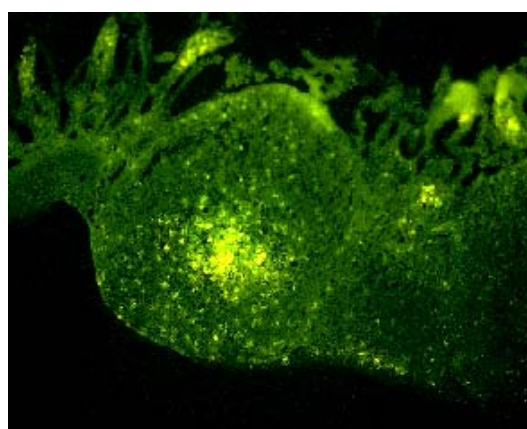
## Polysaccharidic microparticles for oral administration of drugs targeted to lymphatic system

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The project aims to develop and evaluate - by in vitro, ex vivo and in vivo methods - biodegradable polysaccharidic microparticles with mucoadhesive properties and with a size of less than 3  $\mu\text{m}$ . These microparticles promote the absorption of drugs with poor bioavailability via translocation by M cells of Peyer's patches, specialized cells which are able to transport via endocytosis a variety of microparticulate matter, from gut lumen to intraepithelial lymphoid cells.



Calcium alginate/chitosan microparticles



Fluorescence microscopy image of microparticles labelled with FITC in a rat Peyer's patch section

### 1. Description of the product

Calcium alginate/chitosan microparticles with a size of less than 3  $\mu\text{m}$ , obtained by spray-drying technique, as a carrier for gentamicin, polymyxin B and tamoxifen, poorly absorbed drugs when orally administered, were developed in order to improve the drug bioavailability. Such a technique doesn't modify the biological activity of the loaded drug providing microparticles which show suitable loading level, resistance in gastric environment and modulated drug release in intestinal medium. The actual uptake by M cells and the following targeting to the lymphatic system determine a decreased drug toxicity and more constant plasma levels. Moreover, a combination with different transport mechanisms, such as paracellular or transcellular pathways, was detected.

### 2. Innovative aspect of the product

Microparticle development for the lymphoid tissue targeting aimed to an oral administration perspective of drugs negligibly absorbed by the oral route and given parenterally. Calcium alginate/chitosan microparticles were prepared by spray-drying and subsequent crosslinking process. Such a technique can provide, by means short times, mild conditions and organic solvent avoiding, biodegradable microparticles having morphological and dimensional properties suitable to M cell uptake. The use of natural, biodegradable and biocompatible polymers guarantees the complete safety of the developed system. The designed microparticle system could offer the possibility to transport several drugs poorly orally absorbed or treating pathologies which diffuse through the lymphatic system.

### 3. Main advantages of the offer

The designed microparticle system could offer the possibility to orally administer several drugs through the lymphatic system so avoiding the degradation by the gastro-intestinal environment, the first pass metabolism and the enzymatic degradation in epithelium cell cytosol and providing constant plasma levels and decreased toxicity.

The possibility to transport drugs through lymphatic system has kindled a great interest also due to the possibility of oral administration of vaccines, able to stimulate local and systemic immunological response. Induction of mucosal immunity at the infection site ensures a better protection if compared to a systemic immunization induced by parenteral administration. Moreover, oral administration is safer, cheaper and better accepted in multiple administrations.

### 4. Technology key words

Microparticles, alginate, oral route, lymphatic system

### 5. Current Stage of Development

Development phase with an anticancer drug

### 6. Intellectual Property Rights

The product is not covered by patent

## Technical and scientific publications

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