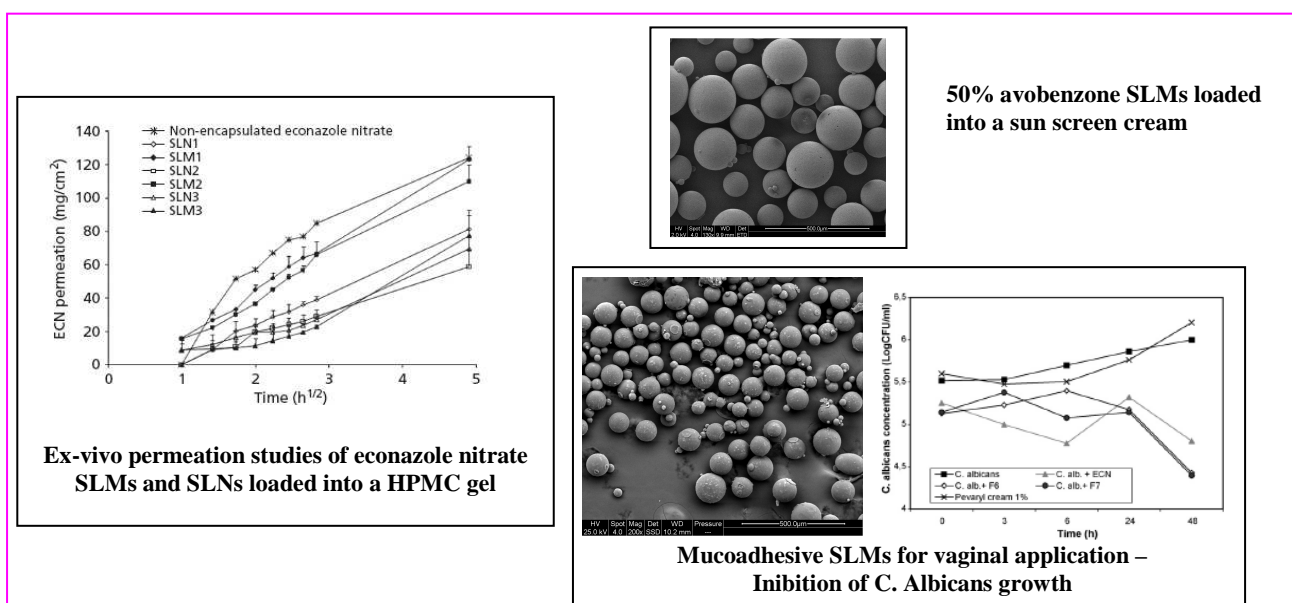


## Solid Lipid Microparticles: formulation design and production technology

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Interest in Solid Lipid Microparticles (SLMs) is relatively recent and relates to the developments in the past ten years. Since 2005, there has been a growing increase of contributions of scientists from all over the world. Interest in this systems is largely driven by the fact that among Lipid Based Drug Delivery systems, SLMs well comply with the needs of the drug development process, as for instance safety, stability, different application's fields (pharmaceutical, veterinary, cosmetic as well as food additives) and administration pathways (oral, mucosal and topical delivery), ease of modifying the release of actives, taste masking ability, rapidity and availability of several processing techniques. Moreover, advances in solvent free process technologies have greatly improved the potential for successful lipid based formulations without surfactants included.



### 1. Description of the product

SLMs are produced by spray congealing. One of the key elements of a spray congealing process is the atomization efficiency of the molten mixture that can be achieved through different types of atomizer. A recently developed device, called Wide Pneumatic Nozzle (WPN), is able to manage very viscous liquids and/or containing high amounts of solids (up to 50% w/w). The final particle size distribution is affected by formulation characteristics (viscosity, drug loading) and by processing parameters; in general dimensions can vary in the range 20 – 500 µm. This device also provided better performance than a conventional air pressure nozzle.

### 2. Innovative aspect of the product

Beside the oral route, in the last years the potential application of SLMs prepared by spray congealing for topical and vaginal delivery was investigated.

i) The spray congealing technique using the wide pneumatic nozzle enables the production of econazole nitrate loaded SLMs with a diameter (20-60 µm) suitable for topical administration. The results of the ex-vivo permeation studies suggest the potential of SLM for the controlled delivery of drugs to the skin.

ii) SLMs loaded with high amounts (50% w/w) of the sunscreen agent (avobenzone) were prepared in order to reduce its photoinstability. Comparison between microparticles produced by the classical melt dispersion method and the spray congealing technique with pneumatic atomizer indicated that microparticles prepared by spray congealing significantly reduced the photolability of the UVA filter.

iii) Polymer-lipid mucoadhesive microspheres for the vaginal delivery of econazole nitrate were studied. In particular, poloxamers/Gelucire®-based microparticles exhibited a clear inhibition effect on the *C. albicans* growth, suggesting their use, once filled into capsules, of an affective and convenient treatment of vaginal candidiasis, with reduced administration frequency when compared to a commercial vaginal cream.

### 3. Main advantages of the offer

- The microencapsulation of drugs into low-melting materials is a practical and efficient one-step method that uses food grade and low cost excipients.
- The proposed technology is a solvent free and one step process.
- The SLMs may exhibit different size, potentially useful for various administration routes.

### 4. Technology key words

solid lipid microparticles - spray congealing – oral, topical and mucosal delivery.

### 5. Current Stage of Development

The equipment has been widely tested and is available and fully operational.

### 6. Intellectual Property Rights

The product of the research is covered by patent.

#### Technical and scientific publications

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