

Nano-micro particles for the oral delivery of peptides and proteins

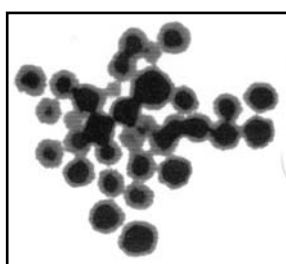
Prof. Michele Trotta– University of Torino – Consorzio TEFARCO Innova

The research group of Pharmaceutical Technology of the Department of Drug Science and Technology works on the development of micro and nano particulate systems for the oral administration of peptides and proteins.

Lipid or polymeric micro-nanoparticles were produced by:

1. emulsion dilution
2. solvent injection techniques.

Neither chemical stability, nor bioactivity of drugs (e.g. insulin) is modified by the production process; a protection from proteolytic enzymes is observed.



**TEM micrograph of SLN
obtained from O/W emulsion**



**OM micrograph of SNL
obtained from O/W emulsion**

1. Description of the product

Several micro and nanoparticles are obtained with the solvent-quenching technique, starting from O/W emulsions containing lipid matrixes and from W/O emulsions containing hydrophilic matrixes. Owing to their hydrophilicity, peptides and proteins preferentially partition in the aqueous phase of emulsions, determining a low efficiency of incorporation in lipid particles: the challenge is to create a process which ensures a high incorporation efficiency, maintaining the biological activity of the carried molecules.

Solid lipid nanoparticles (SLN) with mean diameters lower than 300 nm are obtained with the solvent-injection technique at 25°C; they present significant drug entrapment efficiency.

2. Innovative aspect of the product

Oral administration, which is the easiest and most advantageous route, is not an easy problem to solve in the case of peptides and proteins, due to degradation by proteolytic enzymes present in the gastrointestinal system, and to the pH conditions.

This research refers to the preparation of nano-micro lipidic or polymeric particles for the delivery of peptides and proteins in oral administration.

Microencapsulation of proteins and peptides deals with some problems concerning their conformation, which can be modified or destroyed by change of temperature, ionic force, pH etc. Also the toxicity of most solvents and surfactant used in the formulation of solid micro and nanoparticles should not be underestimate. These problems, together with patent covers, limit the possibility of choice of preparation processes and of components to be used in the formulation of nanoparticles.

Following quenching technique, our laboratory realized a technology that allows the obtainment of spherical micro-nano particles by simply dilution of the outer phase of simple or double emulsions.

With the solvent injection technique spherical nanoparticles with low mean diameters are obtained without employing high-toxicity solvent and working at low temperatures.

3. Main advantages of the offer

The main advantages consist on the use of non toxic solvents, on the development of simply and relatively inexpensive production processes and on the possibility of carrying high contents of drugs.

In the case of insulin-loaded SLN, the production process does not negatively influence the chemical stability and the bioactivity of the drug, which is also protected from enzymatic attack.

These results are a consequence of a the precise choice of the components, of the emulsion type and to the evaluation of operative conditions.

4. Technology key words

Microparticles, nanoparticles, SLN, solvent-diffusion technique, solvent-injection technique, peptide drugs

5. Current Stage of Development

Work in progress – tested in laboratory – in vivo experiments

6. Intellectual Property Rights

The product is not covered by patent.

Technical and scientific publications

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CONTACT

info@biopharmanet.eu

Tel.: +39 0521 905073 Fax: +39 0521 905006