Microcarriers for Controlled Local Delivery of Mupirocin: Preparation and Characterisation

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Mupirocin-loaded microparticles (MP) were designed to control drug release at the skin surface assuring that drug remains localized at the application site and does not unnecessarily enter into the systemic circulation [1]. These reservoirs release active ingredient over an extended period of time maintaining effective drug concentration on the skin, at the same time reducing undesired side effects.

The goal of this research was to design controlled release MP with acrylic polymer using spray-drying technique and assess influence of feed composition (in terms of native drug/polymer physical form and solvent used) and preselected drug loadings (1:5 and 2:1 (w/w) drug:polymer proportion) on MP performance under the same processing conditions. Physicochemical properties of MP were evaluated using thermal (MDSC, TGA), spectroscopic (FT-IR) and X-ray analyses and correlated with encapsulation efficacy and in vitro drug release achieved. Morphology and particle size were determined using low angle laser light scattering (LALLS) and scanning electron microscopy (SEM).

Spray-drying of feed dispersion has formed partially coated crystalline MP with reduced encapsulation efficacy, irregular morphology and poor ability to control drug release irrespective of drug loading. Conversely, solid dispersions prepared from spray-drying feed solution have shown that drug/polymer miscibility, morphology and in vitro drug release were dependent on drug loading and solvent used [2]. The superior control of drug release from MP was achieved for the higher drug loading (2:1 (w/w) drug:polymer proportion) using solvents in the following order: methanol = methanol+ethanol(50:50) > isopropyl alcohol+acetone (40:60). MP were amorphous, with smooth and spherical morphology. The higher polymer loading (1:5 (w/w) drug:polymer proportion) yielded less control over drug release regardless of solvents used, with MP exhibiting significantly different morphologies.

Acrylic-based solid dispersions were confirmed as suitable microcarriers for controlled drug release using simple and scaleable spray-drying technique.