A comparison of different microencapsulation techniques for the production of enteric microparticles from the acrylic polymer Eudragit L100

R. Kendall, S. Murdan, A. Basit

Department of Pharmaceutics, The School of Pharmacy

Purpose. To manufacture, and characterise, prednisolone-loaded Eudragit L100 microparticles using three different methods. Methods. *Extrusion-spheronisation*: Prednisolone, microcrystalline cellulose, lactose and H_20 were wet massed and forced through a 0.5mm die, and the extrudate spheronised. The resultant pellets were dried and film-coated with a Eudragit L100 aqueous dispersion. *Spray-drying*: Eudragit L100 (2.5-15%w/w) and prednisolone were dissolved in ethanol and spray-dried (inlet 60^{o}C, outlet 40^{o}C). *Emulsification/solvent evaporation*: Prednisolone and Eudragit L100 were dissolved in ethanol, and emulsified into liquid paraffin/surfactant under propeller stirring. Following solvent evaporation, particles were collected by filtration. All microparticles were characterised by SEM, and the pH-responsive release of prednisolone evaluated using USP II dissolution apparatus. Results. >85% of core pellets produced by extrusion-spheronisation were >500µm in diameter. Coating of 500-710µm pellets was problematic, however pellets were coated to a 4-27% weight gain. Spray-dried microparticles exhibited a collapsed morphology and were of size <30µm, increasing with Eudragit concentration, with the presence of some stringy aggregates. Production yields were <10%, common to spray-drying. After optimisation of process parameters, emulsification/solvent evaporation produced non-aggregated microparticles of size <50um, with a high degree of monodispersity. During dissolution of Eudragit L100-coated pellets, a high polymer weight gain was required to keep prednisolone release <10% in acid, and drug release was therefore delayed at pH 6.8. Wettability of the spray-dried particles was poor, due to collapsed and irregular morphology, and drug release after 2 hours in acid exceeded 10%. Microparticles produced by emulsification/solvent evaporation dispersed well, and despite their small size, provided excellent control of drug release at acidic pH, whilst releasing 100% of prednisolone within 5 minutes at intestinal pH. Conclusions. The emulsification/solvent evaporation method is superior to other approaches, in terms of particle size, morphology and control of drug release, for the production of Eudragit L100 microparticles.