Spray-dried composite formulation for lung sustained release

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Although drug delivery to the lung presents several advantages, its therapeutic efficiency is limited by a rapid clearance, thus the development of sustained release formulations suitable for lung delivery has been studied intensively in recent years. The main goal of the present work was to assess the impact of encapsulating a model drug (fluticasone propionate, FP) in 1,2-distearoyl-sn-glycero-3-phosphocholine (DSPC) vesicles prior to spray-drying (SD) and assess the impact on the final product performance and dissolution.

Two formulation procedures were carried out: Formulation 1 (1% FP, 79% trehalose and 20% L-leucine) was manufactured by SD; Formulation 2 (1% FP encapsulated in 29% DSPC, 50% trehalose and 20% L-leucine) was manufactured by (i) liposome formation by ethanol injection; (ii) processing by high pressure homogenization; and (iii) by SD with excipients. The formulations were characterized for particle size distribution, differential scanning calorimetry, X-ray powder diffraction, aerodynamic performance and biorelevant dissolution using paddle over disk apparatus.

The formulations presented a PSD within the inhalation range and a fine particle fraction (FPF) of 79±2% and 56±5% for formulation 1 and 2, respectively. The FPF could be to be a consequence of the particle size increase, which can be controlled by optimizing the SD process. Lastly, the dissolution results indicated the DSPC had a sustained release effect on the product, with a 50% of dissolution occurring by 30 min and 90 min for formulation 1 and 2, respectively.

Therefore, a standard composite formulation appears to be suitable to manufacture powders containing DSPC as a drug encapsulating agent to achieve a sustained release delivery.