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Spray dried oleanolic acid powder for pulmonary delivery

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INTRODUCTION

- Oleanolic acid (OA), well known for its hepatoprotective effect ¹, has been shown in vitro to be cytotoxic in A549 human non-small-cell lung cancer cell line ². Thus it may be potentially useful for lung cancer treatment. Being a BCS Class IV drug, it has low oral bioavailability ³. Therefore, inhalation is the preferred route of administration for local delivery.

- The aim of this study is to develop an inhalable oleanolic acid dry powder formulation.

Methods

- OA was spray dried from an acetone solution using a Büchi B-290 Mini Spray Dryer. The spray dried powder was characterized and compared with raw OA.

- Particle morphology was observed by scanning electron microscopy (SEM), whereas aerodynamic performance was measured by dispersion from an Osmohaler™ into a Next Generation Impactor (NGI).

- The solid state of dry powders was studied by thermal analysis and X-ray powder diffraction.

RESULTS

- Raw OA particles were needle-like, while the spray dried ones were corrugated spherical of 0.5–3 µm in diameter.

- After dispersion, spray dried OA could be dispersed into primary particles while the raw material seriously agglomerates.

Thermal analysis

- For the SD, the exothermic process was observed at around 190 °C followed by endothermic process at around 310 °C with concomitant weight loss.

- The XRPD pattern of the RM showed crystalline peaks.

- The SD exhibited halo pattern suggesting extremely low crystallinity nearly amorphous.

- The spray dried formulation exhibits a significantly higher fine particle fraction (FPF) (63.4 ± 2.1%) than that of the raw material (16.1 ± 6.3%), indicating an enhanced dispersion efficiency.

CONCLUSION

An OA dry powder formulation was successfully prepared by spray drying. It showed excellent aerosol performance (63% FPF) and may be useful for pulmonary delivery.

References