Polyethylene Glycol-phosphatidylethanolamine Conjugate as a Pulmonary Nanocarrier for Poorly Soluble Drug

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SUMMARY. The aim of this study was to investigate the potential of a polyethylene glycol-phosphatidylethanolamine conjugate (PEG2000-DSPE) to solubilize budesonide (BUD) for pulmonary delivery. The BUD-strictly stabilized phospholipid nanomicelles (SSMs) were prepared using the coprecipitation and reconstitution method and the physicochemical characteristics and pharmacodynamic duration of the BUD-SSMs were determined. The solubility of BUD was highly improved by at least 52 times its intrinsic solubility. The hydrodynamic particle size and zeta potential were 14.31 ± 1.40 nm and -46.61 ± 2.94 mV, respectively. The in vitro release of BUD from SSMs was completed within 6 days. Aerosolization of rehydrated BUD-SSMs with different nebulizers showed superior and significant aerodynamic characterizations compared to Pulmicort Respules® (PR). An in vivo study showed a significant reduction in the inflammatory cell counts of bronchoalveolar lavage fluid compared to PR. As a result, this study suggested that PEG2000-DSPE is a promising candidate as a budesonide carrier for pulmonary delivery.