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Solutions for lipophilic drugs: a biodegradable polymer acting as solvent, matrix, and carrier to solve drug delivery issues.

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Abstract

The purpose of this study was to investigate the polyester hexylsubstituted poly(lactide) (hexPLA) as a possible solvent for lipophilic substances and excipient for pharmaceutical formulations. HexPLA is a biodegradable and semi-solid polymer, which allows the incorporation of active substances by simple mixing and local or systemic application to the patient through injection. The solvent behavior of hexPLA was investigated by adding the lipophilic dye Sudan III to the polymer matrix and optical monitoring of the dissolution process over time by microscopy. As a drug, the antipsychotic compound haloperidol was analyzed for its solubility in hexPLA of different molecular weights by preparing saturated solutions, and measuring the amount of incorporated drug with UV spectroscopy. The influence of the rate of solubilized to suspended drug on the burst release behavior of haloperidol from hexPLA-formulations was investigated in release tests. It is demonstrated that hexPLA dissolves both lipophilic substances, Sudan III and Haloperidol. In the molecular weight range between 2,000 g/mol and 10,000 g/mol, a lower molecular weight hexPLA resulted in a higher incorporation capacity for haloperidol. By changing from a suspension formulation of haloperidol to a solution formulation, the initial burst release established for classical PLA and PLGA systems could be minimized. HexPLA is shown to be a potent solvent and excipient for lipophilic drugs, allowing the initial burst of drug release to be modified and controlled.

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