Preparation and *in-vitro* Evaluation of Lipid-Mesalamine Targeted Microparticles

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Abstract

Purpose: 5-aminosalicylic acid (5-ASA) called Mesalamine is an active molecule known as a potent non-steroidal anti-inflammatory drug, it is a prescribed medicine against gastrointestinal tract diseases such as ulcerative colitis and Crohn's desease. The project is intended to develop Colon specific drug delivery devices charged by mesalamine for the drug targeting and effectiveness.

Methods: The desired systems are prepared by the combination of two microencapsulation processes namely hot-melt and solvent evaporation techniques. Beeswax is selected as the initial wall and is chosen for its natural benefits. Polylactic acid and Cellulose derivatives are used as second coating materials to obtain bi-layered microparticles. The drug content and microencapsulation efficiency are optimized by using different mixtures of emulsifiers (PVA:Span 80, Tween 80:Span 80). The drug release is carried out in simulated gastric, small bowel and colon liquids.

Results: The FTIR and XRD analysis proved the microencapsulation of mesalmine without chemical interactions between components. The bilayered microparticles' size did not exceed 150µm and the *in-vitro* evaluation demonstrated the drug targeting. In fact, the mesalamine loss in gastric (pH=1.2) and small bowell (pH=8) fluids did not surpass 5%.

Conclusion: The drug entrapment in beeswax microspheres is influenced by the emulsifier nature and concentration and the double coating permitted effectively the drug targeting.

Keywords

Lipid, beeswax, mesalamine, microencapsulation.