

Oral Controlled Delivery of Natural Compounds Using Food-Grade Polymer Microparticles

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Background: Targeted drug delivery to the colon is a strategic approach for the local cure of inflammatory bowel diseases (IBD) and other syndromes like colon cancer. Research is actively focusing on possible alternative and safer therapies to conventional drugs, based on herbal remedies and other natural products. In particular, colon-targeted drug delivery systems (CDDS) offer the opportunity to protect the active compound along the way to the colon. Drug release and absorption, and even degradation, should not occur in the stomach and small bowel, but a selective release should start once the drug moves to the colonic area.

Objective: This work aims at evaluating the gastro-resistant properties of new food-grade methacrylic resins (Eudraguard®), used not as coating materials, but used after the formation of microparticles to achieve a delayed and targeted release of a model drug, resveratrol (RVT), to the ileo-colonic area.

Methods: Microparticles were produced by an emulsion-solvent evaporation technique (ESE) and characterized by solid-state analytical methods. RVT release profiles were assessed *in vitro* using a pH-change procedure, able to simulate the transit of the carrier along the gastro-intestinal tract.

Results: Eudraguard® Biotic can form microparticles with a very high encapsulation efficiency for RVT; the polymeric matrix was able to limit the diffusion of the drug at gastric and gut pH conditions, while a higher release was achieved at pH 7.4. Conversely, using the Eudraguard® Control resin, alone or blended with the former polymer, did not allow to achieve a controlled release of RVT at the various pH values.

Conclusion: Food-grade Eudraguard® matrices deserve further investigations as polymeric materials for the preparation of micrometric matrices or pellets for the oral controlled release of natural active ingredients to the ileo-colonic area.

Keywords: Colonic delivery, resveratrol, food supplements, Eudraguard copolymers, pH-controlled delivery, RVT.