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Simulating the surface tension of the gastrointestinal fluid to enhance the dissolution of the weakly basic BCS class II drugs

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Dissolution of the BCS class II is dependent on the Gastrointestinal (GI) physiological factors. Surface Tension (ST) is a major characteristic of the GI fluids that can affect drug release rate. Typical ST values of the gastric and intestinal fluids are in the range of 30-45 and 28.8-32.3 mN/m, respectively. Carvedilol, a weakly basic BCS II drug, was used as a model drug. Due to its poor aqueous solubility, carvedilol exhibits very low bioavailability and may precipitate upon entry into the small intestine. The objective of this work was to study the effect of the ST of GI fluid on carvedilol release rate to better understand the dissolution and precipitation behaviors of weakly basic drugs. Dissolution media that simulate the gastric and intestinal fluids with and without the anionic surfactant Sodium Lauryl Sulfate (SLS) were used in this study. The ST of the dissolution media was measured using the du Noüy ring method. The rate of carvedilol release from Dilatrend® tablets was investigated using dissolution type II apparatus. The addition of SLS to gastric and intestinal fluids lowered the ST from 39.5±2.5 to 27.8±3.2 and from 62.9±5.5 to 31.9±2.6 mN/m, respectively. The rate of carvedilol release in gastric and intestinal fluids was significantly enhanced upon the addition of SLS. As the ST of the dissolution media decreases, the percent of carvedilol released increased. Simulating the ST of the compendial GI fluids could improve our knowledge of the dissolution, precipitation behavior, and *in-vitro-in-vivo* correlations of the weakly basic drugs.

Biography

Rania Hamed has received her PhD in Pharmaceutical Sciences from The University of Iowa in 2011. She is currently an Assistant Professor in the Faculty of Pharmacy at Al-Zaytoonah University of Jordan. Her current research focuses on developing controlled release matrix tablets using hydrophilic/hydrophobic polymers, correlating the rheological properties of the nanoemulsion-based gel formulations to the *in-vitro* permeation of drug molecules, and determining the key parameters of the biorelevant dissolution media that control the rate of dissolution of BCS class II drugs to better predict the *in-vivo* performance.

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