Abstract

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Title of Thesis:	Effect of combination of mucoadhesive polymers on the behaviour of matrix tablets in the gastric environment

Colon-targeted drug delivery plays a significant role in the pharmacotherapy of local diseases situated in the large intestine (colorectal cancer, inflammatory bowel diseases etc.). In terms of sustained drug release, such formulations carrying the active substance must be resistant to the acidic environment of the upper gastrointestinal tract. In addition, an enhanced therapeutic efficacy may be achieved by prolonging the residence time of the formulation at the absorption site by means of mucoadhesive drug delivery systems. For these reasons, the presented preformulation study investigates the behaviour of matrix tablets based on mucoadhesive polymers in the gastric environment. Knowledge of the system behaviour in acidic pH is important as the surrounding of an inflammatory colon may be lower in comparison to the physiological conditions.

The selected polymers, guar gum (GK) and hydroxypropyl methylcellulose K15M (HPMC K15M) were used separately or combined in ratios 100:0, 85.4:14.6, 50:50, 14.6:85.4 and 0:100, respectively. The viscosity of polymers dispersions was evaluated in biorelevant dissolution media Fasted State Simulating Gastric Fluid (FaSSGF) using a rotational rheometer. Subsequently, compacts containing model drug theophylline were prepared and evaluated for their swelling behaviour and dissolution profiles. The obtained data shows that all hydrophilic matrix systems were able to sustain the drug release for up to 24 hours. Mixtures containing mainly HPMC K15M (14.6:85.4 and 0:100) seems to be the most promising as HPMC forms the strongest gel barrier preventing premature drug release. Contrarily, compacts with a high amount of GK performed poorly as a pronounced burst-effect may be observed due to its rapid swelling and dissolving of outer, fully hydrated layers into the gastric medium.