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Furosemide-Loaded Microcapsules: The Influence of Lactose Content on Encapsulation Efficiency and Drug Release

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In recent years there has been increasing attention given to the utility of self-microemulsifying systems (SMES) for improving the gastrointestinal absorption of drugs with inadequate biopharmaceutical properties. Microencapsulation of those systems into polymeric matrix is one of the solidification techniques that allow formulation of solid self-microemulsifing formulations.

Microcapsules with furosemide-loaded self-microemulsifying core that merge the advantages of SMES with those of solid dosage forms where produced by co-extrusion of liquid jet by vibrating nozzle device. The composition of self-microemulsifying core was optimized previously [1]; the aim of this study was therefore to modify the shell-forming phase in order to gain repeatable production of microcapsules with high encapsulation efficiency. The influence of lactose content in microcapsules` shell on drug release profile from dried micro-capsules and their swelling and erosion behaviour was examined additionally.

Best shaped microcapsules with highest encapsulation efficiency were obtained from the shell-forming phase with the Ca-alginate/pectinate ratio of 1/3 containing 5–10% lactose [2]. Incorporation of furosemide in self-emulsifying core of microcapsules resulted in considerably enhanced drug release profile when compared to reference microspheres, which did not contain SMES. Drug release from microcapsules containing different content of lactose was further affected not only by pore inducing effect of lactose but also by the formation of hydrated visocous layer around the capsules, which acted as a barrier to drug release. The presented results constitute a step cloaser to a repeatable production of microcapsules with self-microemulsifying core by using method of liquid jet co-extrusion by vibrating nozzle device. Better solubility and permeability properties obtained with self-microemulsifying core also provide a promising alternative to ensure succesfull oral delivery of drugs with poor biopharmaceutical properties.

[1] Zvonar A, Berginc K, Kristl A, Gašperlin M. Microencapsulation of self-microemulsifying systems: improving solubility and permeability of furosemide. Int J Pharm. 2010; 388: 151–158. doi:10.1016/j.ijpharm.2009.12.055.

[2] Zvonar A, Gašperlin M. Microcapsules with self-microemulsifying core: optimization of shell-forming phase. Pharmazie. 2010; 65: 390–391. doi:10.1691/ph.2010.9395