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Publication date:
2014

Document Version
Publisher's PDF, also known as Version of record

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Citation (APA):
Microcontainers as an oral drug delivery system

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Introduction
For oral drug delivery of BCS class 2 and 4 drugs, it may be necessary to introduce innovative drug delivery systems to improve bioavailability. Micro fabricated devices have been proposed as promising oral drug delivery systems.1 Microcontainers consist of a walled reservoir extending from a flat base where size and shape easily can be controlled and also allowing for unidirectional drug release.2

Aim
The purpose of this study was to evaluate microcontainers in vitro and in vivo as an innovative oral drug delivery system for the poorly water-soluble drug, furosemide.

Method
SU-8 microcontainers (inner diameter of 223 µm) (Fig 1a) were filled with amorphous sodium salt of furosemide (ASSF), subsequently, the cavity was spray coated with Eudragit® L100. The release of ASSF from the microcontainers was examined in biorelevant gastric and intestinal media and the intestinal permeability of ASSF dosed in microcontainers was evaluated using a Caco-2 cell culture model. Furthermore, the oral bioavailability of ASSF in microcontainers and in capsules coated with Eudragit® L100 were assessed.

Results
Drug release from microcontainers was prevented in the gastric medium, while an immediate release of ASSF was seen in the intestinal medium. The cell studies showed a fast permeability of ASSF with no significant differences between the microcontainers and bulk powder, Papp: 1.7±0.6·10⁻⁵ cm/s and 1.8±1.0·10⁻⁵ cm/s (mean±SD n=11), respectively (Fig 1b). The relative oral bioavailability of ASSF in microcontainers was found to be 220±43% (mean±SEM, n=6) when compared to drug-filled capsules coated with Eudragit® which was reflected by a larger AUC for the ASSF in microcontainers (Fig 1c).

Conclusion
Microcontainers show considerable potential as a future oral drug delivery system.

References