The evaluation of granulated excipients as matrix material for controlled delivery of captopril.

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Abstract

Granulated excipients of lactose or dicalcium phosphate with ethylcellulose were examined for their ability to be used as matrix materials to produce a controlled release dosage form by direct compression for captopril. The physical characteristics of both granulated excipients and their resulting tablets were evaluated. It was found necessary to add hydroxypropylmethylcellulose (HPMC) to improve the cohesion of granulated excipients. The hydrophobic nature of ethylcelullose possibly hindered the release of captopril from all these matrix formulations. However, controllability of the in vitro release of captopril in lactose formulations appeared to be much better than that in dicalcium phosphate formulations. Three lactose tablet formulations with distinguishable in vitro dissolution rates were selected and compared with Capoten® for their in vivo sustainability of captopril release. The results demonstrated that the bioavailability of each of these matrix formulations was less than that of the immediate release product of Capoten®. The Cmax was lower and Tmax longer for the matrix formulations tested indicating the sustainability of captopril release from matrix tablets using granulated excipients as a directly-compressible matrix material.