Title: Preparation and In Vitro Evaluation of Sustained Release Microcapsules Containing Theophylline

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In view of the wide clinical use of theophylline, its narrow therapeutic index, repeated daily dosing and gastrointestinal side effects, sustained-release microcapsules of theophylline were prepared by a modified emulsion-solvent evaporation – non solvent addition technique. Two different polymers, namely, cellulose acetate butyrate (CAB) and ethyl cellulose (EC) were utilized at different polymer to drug ratios (2:1, 1:1 and 1:2). The microcapsules were evaluated in vitro for total recovery (yield %), microcapsule size (sieve analysis), surface morphology by scanning electron microscopy (SEM), drug loading (encapsulation efficiency) and drug release characteristics in simulated GIT fluids (pH 1.2 and 6.8). Results obtained revealed that spherical, free flowing microcapsules with smooth surfaces were successfully prepared with the two polymers. The percentages drug loading (encapsulation efficiency) were more than 95% for the two polymers at different polymer to drug ratios, indicating efficiency of the method. The drug release was affected by the type of polymer,
polymer to drug ratios, microcapsule size and pH of the dissolution medium. The release of theophylline from CAB was slower than EC microcapsules. The release of theophylline from the microcapsules increased with decreasing microcapsules size. The release of theophylline from all the prepared microcapsules was markedly retarded as compared to commercial theophylline marketed product (Theo SR 100 Capsules). The release of theophylline from the prepared can be described by Zero-order release kinetic. These data clearly indicate ability of the prepared microcapsules to control and sustain the release of theophylline which is important for subsequent sustained absorption rate from GIT that can results in decreasing or eliminating gastrointestinal side effects as well as maintaining constant blood level for such drug with narrow therapeutics index, theophylline.