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Multivesicular DepoFoam particles for oral delivery of recombinant human epidermal growth factor

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Multivesicular DepoFoam technology is best suited for the encapsulation and sustained release of water-soluble drugs. The purpose of the present study was to prepare multivesicular DepoFoam particles and investigated possibility of oral delivery of a peptide, human epidermal growth factor (rhEGF). The multivesicular DepoFoam particles containing rhEGF was prepared by a two step water-in-oil-in water double emulsification process. When rhEGF concentrations increased from 0.3 to 2 mg/ml, the encapsulation efficiency was increased. High encapsulation efficiency (60%) obtained at rhEGF concentration of 2mg/ml. In vitro release in both of simulated intragastric fluid (pH 1.2) and simulated intraintestinal fluid (pH 7.4), 40% of rhEGF released from DepoFoam particles for 6 hr. Encapsulation of rhEGF into DepoFoam particles suppressed the degradation in Caco-2 cell homogenates compared with the solution. The gastric ulcer healing effect of DepoFoam particles was significantly increased compared with the solution and similar with cimetidine. These results indicated that DepoFoam particles could be developed as a oral delivery system for rhEGF. Moreover, it is suggested that DepoFoam particles might have a potential as oral delivery systems for protein and peptide drugs. This work was supported by the Korean Research Foundation grant # 2001-005-F20014.

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Preparation and Evaluation of Bupivacaine-loaded Microspheres by Solvent Extraction Method

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Various bupivacaine-loaded microspheres were prepared with poly (d,l-lactide) (PLA) by solvent extraction method. The internal solution of polymer(PLA R104) and drug in glacial acetic acid was introduced into the external phase of polyvinylpyrrolidone (PVP K-30) in polyethyleneglycol (PEG), and emulsified to be an oil-in-oil (o/o) emulsion. The o/o emulsion was poured to the buffer solution. During this process, microspheres were precipitated because the buffer solution is miscible with PEG and glacial acetic acid. The microspheres were acquired by filtration, redispersion, washing and lyophilization. The effects of process conditions such as drug loading, emulsification speed, emulsifier concentration, external phase, internal/external phase ratio and temperature on the characteristics of microspheres were investigated. And bupivacaine-loaded microspheres were also prepared when citric acid or meglumine was added into the internal polymer solution, and/or when meglumine or bupivacaine was added into the external PEG phase. Itraconazole was used as a water insoluble model drug. Itraconazole-loaded microspheres were prepared by the same method as the above to compare the characteristics of microspheres between the bupivacaine microspheres. The prepared microspheres were characterized for their drug loading efficiency, particle size distribution and surface morphology. Drug loading efficiency was higher in the itraconazole-loaded microspheres than the bupivacaine-loaded microspheres, because itraconazole has a very low solubility. The average number mean diameters of bupivacaine-loaded microspheres were 27.64~47.92㎛, while those