In Vitro and In Vivo evaluation of combined time and pH-dependent oral colonic targeted prednisolone Microspheres.

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Abstract
Aims: to enhance the anti-inflammatory effect as well as oral absorption of prednisolone (PR), through formulation of colonic targeted microspheres prepared from a blend of time and pH-dependent polymers and loaded with PR.

Study Design: In Vitro and In Vivo Evaluation of Combined Time and pH-Dependent Oral Colonic Targeted Prednisolone Microspheres.

Methodology: Microspheres were prepared by solvent evaporation method using different ethyl cellulose (EC) and Eudragit® S-100 (ES100) ratios with 0.5 and 1% w/v span® 80 as emulsifier. The microspheres were evaluated for surface morphology, particle size, drug encapsulation efficiency % and in vitro drug release at pH 1.2 and 7.4. The antiinflammatory activity of selected formula was compared to that of conventional PR tablets.

Results: A decrease in drug entrapment efficiency % was obtained with increasing both polymers and surfactant concentrations. Based on drug release results, the formula of 1: 0.16 w/w, EC: ES100: PR ratio with 1% w/v span® 80 was selected for further histopathological evaluation of the anti-inflammatory activity in colitis induced-rats. Histopathological study showed undefined tissue necrosis after treatment with the selected microspheres; however, diffused necrosis was observed in rats treated with the commercial tablets. In vivo absorption study showed that values of Cmax and AUC0-24 of both formulations were insignificantly different. However, the occurrence of Cmax of microspheres was significantly delayed in comparison to free drug (9.17 to 2.67hr) (P<.001).

Conclusion: This study has supplied us with brightening results concerning the therapeutic efficacy of a blend of time and pH-dependent polymers colonic targeted microspheres.

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