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:
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1: 0.16 w/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.

British Journal of Pharmaceutical research BJPR. - 2013, August