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Preparation and evaluation of a colonic drug delivery system for 5-ASA pellets using combination of bacterially degradable polysaccharides and time-dependent polymethacrylates

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Background and Aims: The aim of this work was to development and evaluation of a novel colon specific drug delivery system for 5-ASA (mesalazine) pellets using pectin as a microbially degradable polymeric carrier and Eudragit RS (ERS) and Eudragit RL (ERL) as time-dependent polymers.
Methods: Formulations produced based on a multilevel full factorial design. Pellets were prepared via extrusion-spheronization and evaluated for physicochemical properties, in vitro drug release studies in the simulated gastric fluid with pH 1.2 (SGF), simulated intestinal fluid with pH 6.8 (SIF) and simulated colonic fluid with pH 6.8 in presence of pectinolytic enzyme (SCF). Image analysis, SEM, XRD and DSC were also carried out.
Results: Presence of pectin in the formulations without ERL exhibited the relative resistance to drug release in SGF. Of all products, formulations containing pectin and least amount of ERS had the highest burst release effect in SCF which can be explained by the effect of pectinolytic enzyme on the pectin structure backbone and its breaking to primary monomers. Increasing in amount of ERS in the formulations caused a sustained drug release.
Conclusions: Addition of pectin to the formulations containing ERS and ERL resulted sensitivity of formulations to pectinase enzyme. Combination of this effect and controlling drug release with ERS and ERL yielded suitable dosage forms for colonic drug delivery of 5-ASA.

Keywords: Pectin; Eudragit; Colonic drug delivery; Pellet; 5-ASA