An investigation into the drug release barrier of zein press coatings

Study by
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Abstract

Zein is a corn protein that is extensively studied as a biopolymer excipient for oral drug delivery. The aim of this work was to develop zein-based press-coated tablets that could provide delayed, time-controlled drug release. To achieve these aim two approaches were followed. The first approach consisted of incorporating the superdisintegrants sodium starch glycolate (SSG) or crospovidone (XPVP) within the zein-based coat of tablets containing a soluble drug in the core. Superdisintegrants at 8% in the coat could provide a delayed release pattern, characterized by a rapid drug release after a lag-time of 3 hours. Drug release was dependent on the concentration of SSG and XPVP in the formulations. Images of the tablets showed that during the lag-time, the dosage forms did not change in shape, but they swelled. Then, tablets gradually deformed and eventually ruptured. The second approach to obtain delayed-release consisted of incorporating digestive enzymes in powder form within the zein coats. While both pepsin and pancreatin powders could actively digest the zein coat and speed up drug release from the core, the best delivery pattern, i.e. rapid drug release after an initial lag time, was obtained by incorporating pepsin and citric acid both in the core and the coat of the tablets. Lag-time from these formulations could be shifted from 2 to 5 hours by simply adjusting the concentration of pepsin in the coat. Since identical formulations containing inactivated pepsin (negative control) could only release a small portion of the drug, it was evident that the delayed-release pattern was due to the digestive action of pepsin on zein. Citric acid could maintain the acidic pH microenvironment necessary for the pepsin to work even in phosphate buffer media. Swelling, erosion, and morphological studies were also performed. Overall, delayed-release from zein press coated tablets was obtained by incorporating superdisintegrants or digestive proteases (functioning as swelling or enzymatic triggers for drug release, respectively) within the zein coats.