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| **Formulation and evaluation of omeprazole dry coated tablets for duodenal ulcer** |
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| **Background:** Omeprazole belongs to the class of substituted benzimidazoles. These substances prevent the stomach parietal cell's proton pump from working, obstructing the process that leads to the production of gastric acid. Omeprazole has been researched for the therapy of gastroesophageal reflux, duodenal ulcers, hypersecretory conditions, and gastric ulcers. In patients with gastroesophageal reflux disease and peptic ulcer disease, once-daily dose is sufficient, due to the extended inhibition of gastric acid secretion. Omeprazole is well tolerated and shows a quick ulcer healing rate with rare side effects. |
| **Methods:** Matrix tablet comprised omeprazole (20 mg) and combinations of the following excipients: HPMC K15M, lactose monohydrate, sodium alginate and magnesium stearate, as a lubricant. All the components (except for the lubricant) were blended in a mixing apparatus (Wab Turbula Type T2F) for 10 min (32 rpm). Magnesium stearate was then added to the mixture, which was blended for another 5 min. The total weight of each tablet was 200 mg, regardless of the composition, and tablets were produced using a 7.5 and 10 mm diameter die and a hydraulic press (Massen type, MP 150). Another set of formulations were prepared by dry coating using Eudragit® L100-55. The *in vitro* dissolution tests of the tablets were performed, using a USP dissolution apparatus I (Pharmatest Hainerp, Germany) (basket method). Dissolution medium for the first 2 hours was 900 mL of a buffer solution (pH 4.5) in order to simulate the stomach pH of a patient taking omeprazole and then the formulation was transferred to a 900 mL buffer dissolution (pH 6.8) to simulate the enteric pH. The system was maintained at a controlled temperature of 37.0 ± 0.5 oC and the paddles were rotated at a rate of 50 rpm. Samples (5 mL) were taken at predetermined time intervals and passed through a 0.45 μm cellulose filter. At each time point, the volume was refilled with an equal volume of fresh medium. The concentration of omeprazole released into the medium was measured using a Perkin-Elmer UV spectrophotometer (Norwalk, CT) at a wavelength of 295 nm and 301 nm when the dissolution medium was pH 4.5 and pH 6.8, respectively. |
| **Results:** In order to compare the dissolution profiles of the formulations produced, graphs of the percent drug release *vs.* time were constructed. The results indicated no release during the first 2 hours at pH 4.5, but a rapid release afterwards, at pH 6.8. These findings suggest that Eudragit® L100-55 used for dry coating is the choice of preference when delayed release is needed. Eudragit® L100-55 contains an anionic copolymer based on methacrylic acid and ethyl acrylate, and is effective and stable when used as an enteric coating with a fast dissolution in the upper bowel. |
| **Conclusions:** In general, the combinations of excipients used in this study, when compressed into Eudragit® L100-55 dry-coated matrix tablets, promoted modified release of omeprazole in the gastrointestinal environment, with no release at pH 4.5 and a rapid release at pH 6.8. |