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Preparation and Evaluation of Floating-Mucoadhesive Alginate Beads as Gastroretentive Drug Delivery System of Antacids

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Abstract: Background: The conventional antacids have a short duration of action, due to gastric emptying effect, it is less effective. Therefore, a gastroretentive of antacids is needed to prolong gastric residence time of antacids.
Purpose: The aim of this study was to prepare floating-mucoadhesive beads containing antacids that could float and adhere in stomach to prolong retention time of antacids and to determine the healing effects of antacids.
Methods : Beads were formulated by using various concentrations of alginate (0.75-1.75%), paraffin liquid, $Al(OH)_3$ and $Mg(OH)_2$ that were immersed in 0.15 M $CaCl_2$ solution. Buffering action of antacids beads to 0.1 N HCl solution was determined on simulated gastric acid secretion. Mucoadhesive of beads was tested by using rats stomach by DuNoy tensiometer and swelling properties in 0.1 N HCl solution was determined based on the increment of bead size. The healing effects of antacids beads on gastric ulcers using male rats induced by 0.6 N HCl solution. Examinations of gastric ulcers were observed macroscopically (number of lesions and lesion index) and microscopically (histopathology).
Results : The diameter of formed beads was about 2.17 mm and containing 10 mg antacids. Beads had no floating lag time and beads could stay floating for more than 12 hours. On buffering action test, beads containing 1.35% alginate could maintain the pH at 3.0 to 3.7 for 9 hours, the mucoadhesive values was 58.73±0.05 dyne and the swelling index was 31.08±7.2. The healing effects of these beads showed healing for four days, marked with the number of lesions and lesion index were zero and the intact mucosa. On the other hand, rats that received conventional antacids tablet after four days still had lesions and the lesion index was 2.67 ± 1.03.
Conclusion: Alginate floating-mucoadhesive beads containing antacids is potential to be used as new gastroretentive drug delivery system for antacids.
Key words: Alginate; floating-mucoadhesive; antacids; gastric lesions; healing.

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