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## FORMULATION AND IN VITRO EVALUATION OF ENTERIC COATED OMEPRAZOLE TABLETS

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### INTRODUCTION

In recent years, omeprazole has been widely employed as a gastric acid secretion blocker and it selectively inhibits the proton pump in the gastric mucosa (1). Omeprazole degrades very rapidly in aqueous solutions at low pH values. The rate of degradation proceeds with a half life of less than 10 minutes at pH values below 4. For this reason, enteric coated formulations have been developed for oral administration to maximise absorption and minimise preabsorption degradation (2).

In this study, various enteric coating solutions with different percentages were performed on subcoated omeprazole tablets; furthermore dissolution tests were realized to determine the appropriate coating ratio.

### EXPERIMENTAL

#### • Preparation of Tablets

Omeprazole (20 mg), lactose (4 %), mannitol (83.5 %) and sodium lauryl sulfate (0.25 %) were mixed in the cylindrical mixer for 10 min. and then granulated with HPMC solution (1 % HPMC in pH 11 phosphate buffer). Granules and microcrystalline cellulose were mixed in the cylindrical mixer. Finally, the magnesium stearate (1%) was added and mixed thoroughly. Tablets were compressed on a eccentric tableting machine (Erweka. AR 400).

#### • Coating of Tablets

##### *Subcoating*

The tablets were subcoated with HPMC solution (0.25 % HPMC in pH 7.4 phosphate buffer) by the use of fluidized bed apparatus.

##### *Enteric Coating*

Previously subcoated tablets were coated with different enteric coating solutions which were prepared from different polymers (Table 1). by the use of fluidized bed apparatus.

*Table 1. Ratio of the solutions used for enteric coating.*

Formulation	Film Formuer	Plasticizer	Solvent
A <sub>1-3</sub>	HPMCP (%5)	Dibutyl Phthalate* (%10)	Acetone-Isopropyl Alcohol (1:1)
B <sub>1-3</sub>	Eudragit® S-100 (%3)	Dibutyl Phthalate* (%10)	Acetone-Isopropyl Alcohol (1:1)
C <sub>1-3</sub>	CAP (%5)	Dibutyl Phthalate* (%10)	Acetone-Isopropyl Alcohol (1:1)

\* based on dry polymer weight.

#### • Dissolution Testing

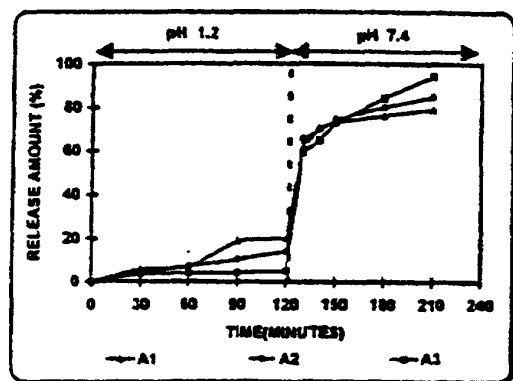
Dissolution rate of enteric coated tablets were determined using USP XXII Apparatus 2 at 37 ± 0.5 °C with paddle and rotation was set at 100 rpm. The dissolution medium was 0.1N HCl solution and pH 7.4 phosphate buffer solution. The drug released in the medium was determined

by means of UV spectrophotometry at 303 nm.

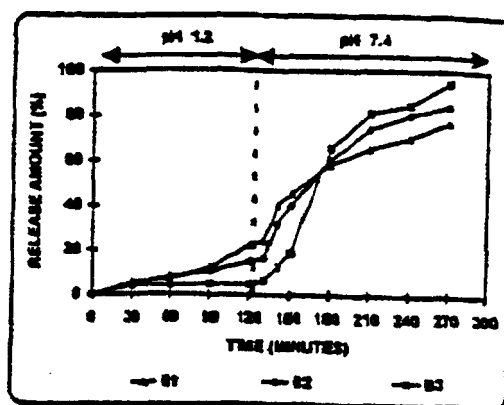
## RESULTS AND DISCUSSION

Omeprazole tablet was designed as an enteric coated dosage form. Thus, it must obey the USP XXII specification;

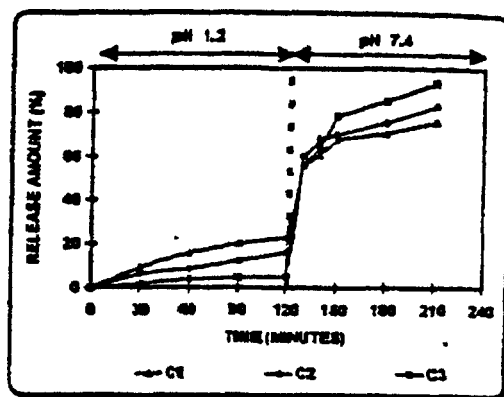
no individual value should exceed 10 % when dissolved in the add phase after 2 hr of operation and no less than 75 % should release in buffer solution after continuous operation on the apparatus for 45 min. The dissolution profiles of enteric coated omeprazole tablets at pH 1.2 and pH 7.4 and the dissolved amount of omeprazole from enteric coated tablet which has enteric coatings at different enteric coating solutions and various percentages were summarized in Figure 1. For the A coded formulations, the released amount of formulation A<sub>1</sub> and A<sub>2</sub> did not meet the requirements of USP XXII since the dissolved level was less than 75 % and the release amount was more than 10 % in the acidic phase. Meanwhile; the tablet coated by formulation A<sub>3</sub> was found to meet USP XXn criteria in both the acid phase and the buffer phase. For B coded formulations (B<sub>1</sub>, B<sub>2</sub>, B<sub>3</sub>), the released amount of formulation did not meet the requirements of USP XXII since the dissolved level was less than



a) A<sub>1</sub> (1%), A<sub>2</sub> (2%), A<sub>3</sub> (4%)  
coating with formulation A



b) B<sub>1</sub> (1%), B<sub>2</sub> (2%), B<sub>3</sub> (4%)  
coating with formulation B



c) C<sub>1</sub> (1%), C<sub>2</sub> (2%), C<sub>3</sub> (4%)  
coating with formulation C

75 % for three of them. But the release amount was less than 10 % in the acidic phase for B<sub>3</sub> formulation. Finally, for C coded formulations the data came out to be exactly the same for A coded ones.

As a conclusion, only A<sub>3</sub> and C<sub>3</sub> sub-coded formulations were determined to be suitable for the objective of our study.

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