FORMULATION AND EVALUATION OF ROXATIDINE FLOATING TABLETS

P. CHANDRAWANSHI*, H. C. PATIDARa, P. PANDEYb and R. KAPADIAc

aDepartment of Pharmacy, BU, BHOPAL (M. P.) INDIA
bBansal College of Pharmacy, BHOPAL (M. P.) INDIA
cDepartment of Production, ICPA, ANKLESHWAR (Guj.) INDIA

ABSTRACT

Floating tablets are the systems, which are retained in the stomach for a longer period of time and thereby improve the bioavailability of drugs. Roxatidine is an anti-ulcer drug; having bio-availability 80-90% and protein binding 5-7%. The purpose of present investigation was to prepare formulation and evaluate the floating tablets of roxatidine. The floating tablets were evaluated for uniformity of weight, hardness, friability, drug content etc.

Key words : Roxatidine, Polymers, Formulation, Evaluation

INTRODUCTION

Floating systems are one of the important categories of drug delivery systems with gastric retentive behavior. Drugs that could take advantage of gastric retention include: furosemide, cyclosporine, allopurinol ciprofloxacin and metformin. Drugs whose solubility is less in the higher pH of the small intestine than the stomach (e. g. chlordiazepoxide and cinnarizine, the drugs prone for degradation in the intestinal pH (e. g. captopril) and the drugs for local action in the stomach (e. g. misoprostol) can be delivered in the form of dosage forms with gastric retention1,2. Roxatidine acetate is a new H2-receptor antagonist with a novel chemical structure. It is a piperidine derivative unlike cimetidine, ranitidine and famotidine, which are imidazole, furan and thiazole derivatives, respectively3. It is well tolerated in healthy volunteers in single4 as well as multiple5 doses. It effectively inhibits both day-time and night-time secretion of gastric acid6 and has been shown to be

* Author for correspondence; *Email : pawanmppharm@gmail.com, Ph. : 07577-223342, Mobile : 090035-04410
twice as potent as ranitidine in inhibiting gastric acid production\textsuperscript{7, 8}. The present work was conceived by us to formulate and evaluate the roxatidine floating tablets.

**EXPERIMENTAL**

**Material and methods**

Following methodology was adopted, while carrying out the present study.

**Determination of melting point**

**Evaluation of powder blend\textsuperscript{9, 10}**

- Angle of repose
- Bulk density
- Compressibility Index
- Total Porosity

**Preparation of gastro retentive floating tablets**

**Evaluation of tablets\textsuperscript{11, 12}**

- Weight variation test
- Drug content
  - Hardness
  - Thickness
  - Friability test
  - Tablet density

**RESULTS AND DISCUSSION**

In the present study, 10 formulations with variable concentrations of polymer were prepared and evaluated for physio-chemical parameters. The formulated batches are shown in Table 1. The melting point of roxatidine was found to be in the range 86-88\degree C, which complied with standards, indicating purity of the drug sample. Roxatidine was found to have high solubility. The angle of repose for the formulated blend was carried out and the results are shown in Table 2. It concludes that all the formulations blends were found to be in the range 280.88' to 31.30'. Compressibility index was found between 12.34\% to 16.30\% indicating that the powder blends have the required flow property for compression.
Table 1: Composition of roxatidine floating tablets

<table>
<thead>
<tr>
<th>Ingredients</th>
<th>FT1</th>
<th>FT2</th>
<th>FT3</th>
<th>FT4</th>
<th>FT5</th>
<th>FT6</th>
<th>FT7</th>
<th>FT8</th>
<th>FT9</th>
<th>FT10</th>
</tr>
</thead>
<tbody>
<tr>
<td>Roxatidine</td>
<td>40</td>
<td>40</td>
<td>40</td>
<td>40</td>
<td>40</td>
<td>40</td>
<td>40</td>
<td>40</td>
<td>40</td>
<td>40</td>
</tr>
<tr>
<td>HPMC K4M</td>
<td>40</td>
<td>-</td>
<td>-</td>
<td>-</td>
<td>80</td>
<td>-</td>
<td>40</td>
<td>-</td>
<td>40</td>
<td>20</td>
</tr>
<tr>
<td>HPMC K100M</td>
<td>-</td>
<td>40</td>
<td>-</td>
<td>80</td>
<td>-</td>
<td>-</td>
<td>40</td>
<td>40</td>
<td>-</td>
<td>40</td>
</tr>
<tr>
<td>Xanthan gum</td>
<td>-</td>
<td>-</td>
<td>40</td>
<td>-</td>
<td>-</td>
<td>80</td>
<td>-</td>
<td>40</td>
<td>40</td>
<td>20</td>
</tr>
<tr>
<td>Sodium bicarbonate</td>
<td>20</td>
<td>20</td>
<td>20</td>
<td>20</td>
<td>20</td>
<td>20</td>
<td>20</td>
<td>20</td>
<td>20</td>
<td>20</td>
</tr>
<tr>
<td>Citric acid (anhydrous)</td>
<td>10</td>
<td>10</td>
<td>10</td>
<td>10</td>
<td>10</td>
<td>10</td>
<td>10</td>
<td>10</td>
<td>10</td>
<td>10</td>
</tr>
<tr>
<td>PVP-K-30</td>
<td>20</td>
<td>20</td>
<td>20</td>
<td>20</td>
<td>20</td>
<td>20</td>
<td>20</td>
<td>20</td>
<td>20</td>
<td>20</td>
</tr>
<tr>
<td>Avicel PH-102</td>
<td>q. s.</td>
<td>q. s.</td>
<td>q. s.</td>
<td>q. s.</td>
<td>q. s.</td>
<td>q. s.</td>
<td>q. s.</td>
<td>q. s.</td>
<td>q. s.</td>
<td>q. s.</td>
</tr>
<tr>
<td>Magnesium stearate</td>
<td>1</td>
<td>1</td>
<td>1</td>
<td>1</td>
<td>1</td>
<td>1</td>
<td>1</td>
<td>1</td>
<td>1</td>
<td>1</td>
</tr>
<tr>
<td>Talc</td>
<td>2</td>
<td>2</td>
<td>2</td>
<td>2</td>
<td>2</td>
<td>2</td>
<td>2</td>
<td>2</td>
<td>2</td>
<td>2</td>
</tr>
</tbody>
</table>

Quantities in milligrams.

Table 2: Micromeritic properties of powder blends

<table>
<thead>
<tr>
<th>Powder blend</th>
<th>Angle of repose (°)</th>
<th>Loose bulk density (g/mL)</th>
<th>Tapped bulk density (g/mL)</th>
<th>Compressibility index (%)</th>
<th>Total porosity (%)</th>
</tr>
</thead>
<tbody>
<tr>
<td>FT1</td>
<td>28°. 30'</td>
<td>0.130</td>
<td>0.155</td>
<td>16.13</td>
<td>15.78</td>
</tr>
<tr>
<td>FT2</td>
<td>30°. 77'</td>
<td>0.110</td>
<td>0.130</td>
<td>15.67</td>
<td>20.00</td>
</tr>
<tr>
<td>FT3</td>
<td>29°. 28'</td>
<td>0.090</td>
<td>0.102</td>
<td>14.48</td>
<td>37.50</td>
</tr>
<tr>
<td>FT4</td>
<td>31°. 22'</td>
<td>0.105</td>
<td>0.126</td>
<td>16.30</td>
<td>26.31</td>
</tr>
<tr>
<td>FT5</td>
<td>31°. 30'</td>
<td>0.129</td>
<td>0.146</td>
<td>15.41</td>
<td>27.77</td>
</tr>
<tr>
<td>FT6</td>
<td>29°. 30'</td>
<td>0.114</td>
<td>0.135</td>
<td>14.30</td>
<td>12.50</td>
</tr>
<tr>
<td>FT7</td>
<td>30°. 47'</td>
<td>0.132</td>
<td>0.148</td>
<td>12.76</td>
<td>35.00</td>
</tr>
</tbody>
</table>

Cont…
The tablets of 10 formulations were formulated and are examined for different parameters mentioned. Microscopic examinations of tablets from FT1 to FT10 were found to be circular shape with no cracks. The percentage weight variations for all formulations were tabulated in Table 3. All the formulated (FT1 to FT10) tablets passed weight variation test as the % weight variation was within the Pharmacopoeial limits of ±7.0% of the weight. The weights of all the tablets were found to be uniform with low standard deviation values. The measured hardness of tablets of each batch ranged between 4.3 to 6.4...
kg/cm² (Table 3). This ensures good handling characteristics of all batches. The values of friability test were tabulated in Table 3. The % friability was less than 1% in all the formulations ensuring that the tablets were mechanically stable. When tablet contacts the test medium, tablet expanded (because of swellable polymers) and there was liberation of CO₂ gas (because of effervescent agent, NaHCO₃). The density decreased due to this expansion and upward force of CO₂ gas generation. This plays an important role in ensuring the floating capability of the dosage form. To provide good floating behavior in the stomach, the density of the tablets should be less than that of the gastric contents the density below (1.004 g/cm³) than of gastric fluid. For formulation FT1-FT10, densities were found to be less than that of the gastric content. The percentage of drug content for FT1 to FT10 was found to be in between 97.11% to 99.69% of roxatidine, which complies with official specifications (Table 3).

ACKNOWLEDGEMENTS

The authors are thankful to the Principal for providing the facilities in the institute for carrying the present work.

REFERENCES


Accepted: 07.04.2009