INTRODUCTION

Sustained Release Matrix tablets

The extended dosage form is a system that releases the active pharmaceutical ingredient for an extended time. Due to the metabolism, rapid distribution, and exclusion of some drugs, patients need to take the drug continuously within a short period of 2 to 3 times a day to maintain the drug’s quality. Some methods of pain management are difficult and patients may react badly or forget to take their medication. Therefore, the drug was made in a sustained-release formulation. From some special ideas and tools, the drug release time in the body is long, so the blood concentration of the drug in the body needs to be maintained for a long time. For example, some drugs can last for a few days or longer, which can prolong drug use and reduce patients’ painless dosing frequency. Improves patient compliance. May reduce blood transfusion properties.

Advantages

- Better drug absorption control.
- Improves efficacy.
- The characteristic blood level variations can be reduced.
- Effective treatment.
- Economical.

Process of Formulating Sustained-release Tablets

There are two ways to make tablets with a sustained release matrix.

- Direct compression approach.
- Wet granulation approach.

Direct compression method

In this method, the mixture of drugs, Polymers, and excipients will be compressed as a tablet directly.

Wet granulation method

In this method, the mixture is converted into granules and then granules are compressed as a tablet. The method selection will depend on the drug’s nature, polymers, and excipient.

ABSTRACT

Background: Mangiferin is a natural bioactive compound used for various pharmacological activities like antidiabetic, anticancer, and anti-inflammatory.

Objective: The development of unique sustained-release matrix tablets of mangiferin is the purpose of the work that is being presented here.

Method: In this study, an effort is made to formulate mangiferin sustained-release matrix tablets by combining the sustained-release polymers HPMC K100M, Kollidone@SR, Keltone LVCR. Mangiferin matrix tablets have been synthesized by wet granulation utilizing the lactose works as the diluent. Systems were developed with different polymer percentages.

Results: Refine the design based on observed differences in weight, hardness, thickness, percent friability, percent drug content, and in-vitro drug release. In-vitro release trials conducted by utilizing a USP type II device utilizing a 6.8 pH phosphate buffer as the separation medium revealed that the most successful F2 formulation, which included 20% polymer, was capable of supporting the mangiferin release for a time of 12 hours period. This sample showed the greatest coefficient (R) value in the Hixson-Crowell model, and release kinetics studies showed that this sample exhibited an erosion process and followed zero-order kinetics.

Conclusion: We can conclude that Kollidone@Sr can be used to prepare sustained-release mangiferin.

Keywords: Mangiferin, Extraction, Isolation, Sustained release tablets.

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Source of support: Nil.

Conflict of interest: None
Sustained release tablets

MATERIALS AND METHOD

Mangiferin was isolated in the lab.

Method for Preparation of Sustained-release Mangiferin SR Tablets

By applying the wet granulation process, several tablet batch formulations (F1–F12) were created. Polymers (HPMC K-100, Keltone LVCR, Kollidon SR) and pure drug (Mangiferin) were each separately passed through #40 sieves before being thoroughly combined for 10 minutes in a mortar and pestle. This mixture underwent granulation with the addition of a binder solution. After passing through #40 sieves, lactose (the diluent) was added and vigorously mixed for 5 minutes (Tables 1–3).

After passing through #60 sieves, the produced granule was greased with enough magnesium stearate and talc, and then immediately compacted into tablets using a rotary tablet machine and 10 mm flat punches. The tablets had a hardness that was maintained between 6 and 8 kg/cm², as specified.

Assessment of Pre-compression and Post-compression Mixture

Angle of repose

The dust layer and horizontal plane are at their greatest angle at this point. The stopping angle may be used to calculate the powder friction. The coefficient of friction (μ) between the tangent of the stopping angle and the particles are the same. Therefore, the tilt angle increases as the particle surface becomes rougher and more irregular.

Procedure: Place the heavy object in the funnel. Mix and gently pour through a pinned funnel 2 cm above the horizontally-placed photo paper. The pellets should be poured until the cone’s apex reaches the funnel’s tip. The table shows the correlation between the stopping angle and the characteristics of the powder flow. Calculate the line and stance angle of the measuring cone by applying the formula given below.

\[
\theta = \tan^{-1}\left(\frac{h}{r}\right)
\]

Here;

\(\theta\) = angle of repose,
\(r\) = pile radius

Bulk density

A certain time was spent tapping the determining cylinder carrying a specified mass of the mix (about 250). The lowest volume that the cylinder may carry (V*) and the weight (M) of the mixture were also determined. To calculate the tapped density (*t), the formula was employed.

\[
b = \frac{M}{V*}
\]
Compressibility index (Carr’s Index)
A significant measurement that may be derived from the tapped and bulk densities is the CI index. Theoretically, a material is more flowable if it is less compressible.

\[
C.I (%) = \frac{\text{Tapped density} - \text{Bulk density}}{\text{Tapped density}} \times 100
\]

**Hausner ratio**
It is the comparison of the tapped to the bulk density. Hausner discovered that the ratio has been connected to inter-particle friction and that it can be applied to estimate the properties of powder flow. (Lachman, 1987). A score of less than 1.25, or 20% of CI, often signifies good flow properties. The Hausner ratio can be thought of as an indirect index of the powder flow simplicity (Table 4). The given formula is used to compute it:

\[
\text{Hausner ratio} = \frac{d_t}{d_b} \ldots \ldots (3.5)
\]

Where; tapped density is denoted by, and bulk density by db.

**Post-compression Parameters of Sustained-release Tablets**

**Tablets evaluation**

- **Weight variation**
Each tablet in a quantity must fit in the permitted weight and burden range. From each lot, 20 pills were randomly chosen, and every lot was weighed (in mg) using analytical stability. The SD, mean weight, and relative SD should be determined.

- **Thickness**
Used a Vernier caliper to measure. Hardness randomly decided pills’ hardness was computed with the usage of the Pfizer pill Hardness Tester. In line with Kilo Dam reviews.

- **Friability**
It became evaluated because of the %weight reduction of the pill when flipped onto the discussion board at 25 revolutions. The pills were then dedusted and the weight reduction because of breakage/attrition becomes assessed as %friability. The friability in keeping with IP ranges from 0.5 to 1% for the weight of a common pill.

<table>
<thead>
<tr>
<th>S. No</th>
<th>Hausner’s ratio</th>
<th>Powder flow</th>
</tr>
</thead>
<tbody>
<tr>
<td>1</td>
<td>1.00–1.1511</td>
<td>Excellent</td>
</tr>
<tr>
<td>2</td>
<td>1.12–1.18</td>
<td>Good</td>
</tr>
<tr>
<td>3</td>
<td>1.19–1.25</td>
<td>Fair</td>
</tr>
<tr>
<td>4</td>
<td>1.26–1.34</td>
<td>Passable</td>
</tr>
<tr>
<td>5</td>
<td>1.35–1.45</td>
<td>Poor</td>
</tr>
<tr>
<td>6</td>
<td>1.46–1.59</td>
<td>Very poor</td>
</tr>
<tr>
<td>7</td>
<td>&gt;1.60</td>
<td>Extremely poor</td>
</tr>
</tbody>
</table>

**Dissolution studies**
Apparatus: USP Dissolution Apparatus Type II (Paddle)
- **Dissolution Volume:** 900 mL
- **Dissolution Medium:**
  - 0.1N Hydrochloric Acid first 2 hours
  - pH 6.8 Phosphate Buffer For the remaining 22 hours
  - Aliquot Volume: 5 mL
  - Replenishing Volume: 5 mL
  - Temperature: 37 ± 0.5°C
  - RPM: 100 rpm: 0.1 N Hydrochloric acid
  - 50 rpm: pH 6.8 Phosphate buffer

To determine the present drug amount, a spectrophotometer at 244 nm was utilized.
Table 5: Pre-compression parameters of prepared formulations

<table>
<thead>
<tr>
<th>Parameter</th>
<th>F1</th>
<th>F2</th>
<th>F3</th>
<th>F4</th>
<th>F5</th>
<th>F6</th>
<th>F7</th>
<th>F8</th>
<th>F9</th>
<th>F10</th>
<th>F11</th>
<th>F12</th>
</tr>
</thead>
<tbody>
<tr>
<td>Wt. variation (n = 20)</td>
<td>In limits</td>
<td>In limits</td>
<td>In limits</td>
<td>In limits</td>
<td>In limits</td>
<td>In limits</td>
<td>In limits</td>
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<td>In limits</td>
<td>In limits</td>
<td>In limits</td>
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<tr>
<td>Thickness (mm) (n = 10)</td>
<td>4.31 ± 0.31</td>
<td>4.22 ± 0.3</td>
<td>4.52 ± 0.2</td>
<td>4.40 ± 0.3</td>
<td>4.42 ± 0.2</td>
<td>4.34 ± 0.3</td>
<td>4.24 ± 0.2</td>
<td>4.42 ± 0.3</td>
<td>4.31 ± 0.2</td>
<td>4.40 ± 0.3</td>
<td>4.22 ± 0.2</td>
<td>4.52 ± 0.3</td>
</tr>
<tr>
<td>Hardness (Kp) (n = 6)</td>
<td>6.6 ± 0.4</td>
<td>6.7 ± 0.4</td>
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<tr>
<td>Assay (%) (n = 3)</td>
<td>98.7 ± 0.2</td>
<td>100.7 ± 0.6</td>
<td>99.5 ± 0.2</td>
<td>100.1 ± 0.2</td>
<td>99.8 ± 0.2</td>
<td>96.6 ± 0.2</td>
<td>94.7 ± 0.2</td>
<td>101.1 ± 0.2</td>
<td>98.7 ± 0.2</td>
<td>95.1 ± 0.2</td>
<td>96.7 ± 0.2</td>
<td>99.5 ± 0.2</td>
</tr>
</tbody>
</table>

RESULTS AND DISCUSSION

FTIR Spectroscopy

FTIR spectrum of mangiferin was displayed in Figure 1.

Pre-compression Parameters

The pre-compression parameters of all the prepared formulations were calculated. All are in the accepted limits and the results are shown in Table 5.

In-vitro Release Studies

The in-vitro drug release studies were conducted in phosphate buffer using type-II apparatus for 24 hours. The results are shown in Figures 2-4.

CONCLUSION

The study has so far produced the following findings:

An adjusted polymer concentration is added to the tablet core to control the launch of mangiferin. Mangiferin was released as desired due to careful monitoring of a few formulation factors. The results of the sustained release trials also showed that consideration will be given to the device’s intended consistency while maintaining the formulation’s preferred launch properties. The effect of various polymers in different concentrations affords desirable and favored release according to USP attractiveness criteria. It changed into glaring that a boom within the content of the discharge of the drug from the system expanded. Studies have shown that F5 is an optimized formulation that has been applied to further in-depth investigation and evaluation. The best system (F5) was shown to be stable and to provide mangiferin regardless of pH.

REFERENCES