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# PHYSICOTECHNICAL PROPERTIES OF PARACETAMOL TABLETS FORMULATED WITH THE GUM FROM THE FRESH LEAVES OF *CORCHORUS OLITORIUS*

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## ABSTRACT

*Corchorus olitorius* L. belongs to the family Tiliaceae. It is a plant with diverse medicinal uses. Its leaves when used to cook, give rise to a 'slimy, viscous' soup, hence the interest in investigating its binding properties. Gum was extracted from its leaves using standard procedures. The extracted gum was then used to prepare paracetamol tablets using concentrations of 1%, 2%, 4% and 6% respectively. Its pharmaco-technical properties: friability, hardness, weight uniformity, disintegration time, percentage of fines, and angle of repose, were determined and compared with that of paracetamol produced from a commercial binder- Sodium carboxymethylcellulose (SCMC). The results obtained showed great promise and a comparative efficacy between the gum extract obtained from *Corchorus olitorius* L. and the SCMC.

**INTRODUCTION:** There is an increasing interest in the use of natural gums as binders for tablet production. Gums are often chosen as binders for tablets because of their physicochemical profile and relative inertness [1]. Also they are readily available and cheap [2]. They are usually polysaccharides made from sugar and uronic units that dissolve or swell in water but are insoluble in alcohol [3]. Binders impart cohesiveness to tablet formulation as well as improving flowability.

*Corchorus olitorius* is a plant that is readily available in many parts of Nigeria especially in the west where its leaves are used as an ingredient for soups (e.g. the popular "ewedu" soup). It is an annual plant belonging to the family of Tiliaceae with medicinal uses e.g. as a demulcent, diuretic, febrifuge, and tonic.

Its popularity as a vegetable was highlighted by Doraiswamy *et al* [4]. It is a promising plant whose diverse uses have been investigated as evidenced in the works of: Ndiovu and Afolayan [5] who investigated its mineral content and discovered it possessed a higher manganese content than cabbage and spinach; Zakaria *et al*., [6] who investigated its antinociceptive activity; and Azuma *et al*., [7] who checked for the presence of phenolic antioxidants in the leaves *Corchorus olitorius*.

This work is focused on investigating the binding effect of the gum from the leaves of the plant.

## MATERIALS AND METHODS

**Materials:** Pure Paracetamol powder, Starch, Sodium Carboxymethyl cellulose (SCMC), Magnesium stearate, Acetone, Ethanol (BDH CHEMICALS), Water; all procured from commercial sources. Fresh leaves of *Corchorus olitorius*. All materials used were of analytical grade.

**Method:**

**Collection of Plant Leaves**: Fresh leaves of *Corchorus olitorius* were harvested within the premises of the Faculty of Pharmaceutical Sciences, Nnamdi Azikiwe University, Awka in May 2011. The plant was identified and authenticated by Mr. A Ozioko, a taxonomist with the Bioresources Development and Conservation Program (BDCP) centre Nsukka, Enugu State.

**Extraction of Gum from *Corchorus olitorius*:** The leaves of *Corchorus olitorius* were milled and digested in water for about 24 hours, and filtered. Sufficient quantity of acetone was added to the filtrate to precipitate the gum [8] and the colored constituents of the gum (especially chlorophyll) was washed away using ethanol. The extracted starch was dried at 50$^{o}$C in a hot air oven for 72 h [9].

**Preparation of Paracetamol Granules:** The exact amounts of the excipients and active ingredient as stated in **Table 1** below were, accurately weighed out. For the formulation, 1% magnesium stearate was used as a lubricant. The weighed-out extracted dry gum was completely spread and slightly moistened with water in the mortar, using pestle. Starch was added into the mortar and mixed thoroughly with the gum; the mixture was moistened to be coherent. Paracetamol powder was added to the mixture and mixed thoroughly. A small quantity of water was added to the mixture to make it coherent.

The coherent paracetamol mixture was forced through the meshes of sieve No. 10. The formed granules were dried in an oven at 60$^{o}$C for 1 hour 30 minutes. The dried granules were then screened by gently passing through the meshes of sieve No. 10. The procedure was done for 1, 2, 4 and 6 percentages of the extracted gum. This same procedure was also carried out with sodium carboxy methyl cellulose as the binder in the same concentrations of 1, 2, 4, and 6% respectively.

**Evaluation of the Granules:**

1. **Measurement of angle of repose:** The flow property and angle of repose of the granules were determined using the procedure as outlined by Aulton [10]. This was done by pouring the granules into a glass funnel suspended with the aid of a retort stand. The time of flow of the granules through the orifice of the funnel was recorded and the angle of repose calculated from the diameter and height of the granule heap measured using the formula below:

$$\text{Angle of repose (Tan } \emptyset) = \frac{\text{Height of cone}}{\text{Radius of cone}}$$

2. **Measurement of percentage of Fines:** This was done by weighing the individual granules of the various batches and then passing the granules through a sieve no 44. The coarse granules and fine granules were then separated and reweighed for each batch. From the results got, the percentage of fines was calculated using the formula below;

$$\frac{\text{Weight of fines}}{\text{Total weight of granules (fine + coarse)}} \text{ X } 100$$

**Preparation of Tablets:** The dried granules were lubricated by using magnesium stearate. The corresponding weight of each tablet in the batches was used to set the "cut-volume". The blend was then compressed using a single punch tabletting machine [11]. The tabletting was done individually for all the batches.

**Evaluation of Tablet Properties:** After the compression, a number of physicochemical tests were performed on all the eight (8) batches, according to the USP standards [12] and they are as follows;

1. **Weight Variation Test**: The average tablet weight was determined by weighing 10 tablets individually. The mean ± S.D of each batch is stated in **Table 3**.

2. **Hardness determination or Crushing Strength:** 10 tablets were taken randomly and hardness was measured using Hardness Tester (COSLAB). The mean ± S.D of the 10 tablets is stated in Table 3.

3. **Friability Testing**: 10 tablets were taken randomly and placed on a sieve. Loose dust was removed with the aid of a soft brush. The dedusted tablets were weighed accurately and placed in Friability tablet testing machine. After the given number of rotations 25 rotations per 4 minutes (100 rotations /min), loose dust was removed from the tablets as before.

Finally tablets were weighed. The percent friability was determined by using the formula below:

$$\% \text{ friability} = \frac{\text{initial weight} - \text{final weight}}{\text{Initial weight}} \times 100$$

4. **Disintegration Test:** Disintegration is an official physicochemical test that is being carried out to ensure that the drug substance is fully available for dissolution and absorption from the gastrointestinal tract. Disintegration time was measured for 6 randomly selected tablets using the disintegration tablet testing machine.

**RESULTS AND DISCUSSION:**

**Extraction of the Gum and Percentage Yield:** The percentage yield of the gum from the fresh leaves of *Corchorus olitorius* is 8.9 % (w/w). The yield was calculated as a percentage of the weight of the milled leaves.

**Evaluation of the Granules:** The results gotten from the evaluation of the granules are as shown in **Table 2**

Evaluation of the Tablet Properties: The results of the tablet properties evaluated are as shown in **Table 3**.

Table 2: EVALUATION OF THE GRANULES

| BATCH CODE | TIME OF FLOW (secs) | DIAMETER (cm) | RADIUS (cm) | HEIGHT (cm) | ANGLE OF REPOSE (degrees) | TOTAL WT. OF GRANULES (g) | WEIGHT OF COARSE (g) | WEIGHT OF FINE (g) | PERCENTAGE OF FINES (%) |
|---|---|---|---|---|---|---|---|---|---|
| B1 | 5.80 | 12.0 | 6.00 | 3.1 | 27.47 | 54.32 | 38.77 | 15.55 | 28.63 |
| B2 | 6.46 | 11.7 | 5.85 | 3.5 | 30.96 | 55.39 | 38.93 | 16.46 | 29.72 |
| B3 | 6.42 | 11.5 | 5.75 | 3.5 | 31.38 | 57.30 | 45.69 | 11.61 | 20.26 |
| B4 | 6.11 | 11.4 | 5.70 | 3.1 | 28.37 | 58.41 | 37.11 | 21.30 | 36.41 |
| B5 | 7.05 | 11.3 | 5.65 | 3.5 | 31.80 | 55.62 | 41.83 | 13.79 | 24.79 |
| B6 | 7.40 | 11.3 | 5.65 | 3.5 | 31.80 | 56.48 | 42.77 | 13.76 | 24.36 |
| B7 | 7.53 | 11.3 | 5.65 | 3.5 | 31.80 | 58.02 | 45.06 | 12.96 | 22.34 |
| B8 | 7.17 | 11.8 | 5.90 | 3.1 | 27.92 | 58.03 | 45.15 | 12.88 | 22.20 |

DIAMETER OF ORIFICE: 1cm (10mm); HEIGHT OF FLOW AID: 12cm (120mm)

**TABLE 3: EVALUATION OF TABLET PROPERTIES**

| BATCH NUMBER | HARDNESS (Kg/cm) | WEIGHT VARIABILITY(mg) | % FRIABILITY |
|---|---|---|---|
| LIMITS | At least 5kg/cm | Mean ± 5% S.D | Not more than 1% |
| B1 | 4.5 ± 0.92 | 571 ± 18.14 | 3.3% |
| B2 | 5.3 ± 0.95 | 577 ± 16.16 | 2.6% |
| B3 | 5.6 ± 0.73 | 571 ± 15.13 | 1.3% |
| B4 | 1.9 ± 0.44 | 616 ± 16.85 | 1.9% |
| B5 | 5.3 ± 0.87 | 569 ± 15.78 | 3.3% |
| B6 | 4.8 ± 1.33 | 579 ± 15.78 | 5.8% |
| B7 | 7.2 ± 0.51 | 577 ± 10.05 | 0.2% |
| B8 | 9.0 ± 1.13 | 610 ± 7.75 | 0.2% |

**DISCUSSION:**

1. **Angle of repose:** Angle of repose is a characteristic of the internal friction or cohesion of the particles. It gives an insight into the flowability of the powder or granule assessed [14]. Its value will be high if the powder is cohesive and low if the powder is non-cohesive.

Thus, powders with angles of repose greater than $50^{o}$ have unsatisfactory flow properties, whereas angles close to $25^{o}$ correspond to very good flow [13]. The granules could be said to have very good flow since their angles of repose were close to $25^{o}$ with values ranging from 27.47-31.80.

2. **Percentage of Fines**: From the respective results got it was seen that increasing binder concentration reduced the percentage of fines. For batches 1, and 3 (28.63%, and 20.26% respectively) while batches 2 and 4 showed irregularly high percentages of fines of (29.72% and 36.41% respectively). The batches of the granules formulated with the commercial binder-Sodium Carboxymethylcellulose showed a more uniform decrease in percentage of fines with increasing binder concentration (24.79%, 24.36%, 22.34% and 22.20% for batches 5, 6, 7, and 8 respectively).

3. **Hardness Testing of Tablets**: The results of the hardness testing showed that increasing binder concentration gave rise to harder tablets as seen in batches 1-3 (4.5, 5.3. 5.6 respectively). However, the lower value of batch 4 (1.9kg), may be due to the method of incorporation of the binder. Tablet tensile strength (hardness) can be used to predict comparative tablet bond strength, capping and lamination tendencies [14]. The primary role of a binder in a tablet is to provide the cohesiveness essential for the bonding of solid particles under compaction to form a tablet [15].

   Binder concentration must be critically controlled as tablets that are too hard will disintegrate slowly thus impairing absorption or be excreted unchanged, while conversely, tablets that are too soft will not withstand the stresses in handling. The optimal/desirable tablet strength is 5-8kg [12].

   Binders may improve the hardness of tablets by enhancing intergranular and intragranular forces. Factors affecting tablet hardness include: compression of the tablet and compressive force, amount of binder, and the method of granulation.

4. **Weight Uniformity Results**: The weight uniformity tests showed that variations in weight did not exceed the pharmacopoeial specification of 5%.

5. **Percentage Friability**: Percentage friability also showed decreasing friability with increasing binder concentration, however, the results were above the pharmacopoeial limits of 1%. This could be due to the method of incorporation of the binder into the granules or the quantity of water/wetting agent employed in mixing the binder before incorporation.

**CONCLUSION:** The extraction of gum from *Corchorus olitorius* is an easy process and cost effective as well. The binding efficacy is comparable to that of the sodium carboxymethyl cellulose. Use of the gum extracted from *Corchorus olitorius* in tableting is cost effective and will reduce the cost of production since the plant is abundant in our environment.

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