EVALUATON OF NATIVE STARCH EXTRACTED FROM *SORGHUM LANCEOLATUM* AS TABLET DISINTEGRANT

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Abstract

This study aims at evaluating a tablet excipient from a local source, red guinea corn *Sorghum lanceolatum* starch which is used locally as food because of its high carbohydrate content. It was thought that the starch of red guinea corn *Sorghum lanceolatum* may serve as a tablet disintegrant. Native starch was obtained by wet method of extraction by soaking 3 kg of *Sorghum lanceolatum* in water with well dissolved NaOH for 24 hour. The water were decanted and followed with washing, grinding and sieving the grinded corn, then enough water was added to the filtrate, allowed to settle and the precipitant was decanted. Re-suspension, bleaching with sodium hypochlorite and sedimentation were done repeatedly and white substance obtained was centrifuged using thermo-electron machine at 1000 rev/min for 10 mins. The white starch obtained was dried at room temperature for 5 days and at 48^{o}C for 24 hours. The result of the research shows that Mechanical properties such as weight variation, friability and crushing strength of tablets formulated with native starch were similar to those of Maize starch (*Zea mays*) B.P. were carried out disintegration as specified by BP. 2009, were carried out.Tablets formulated with native starch disintegrate faster compare to that formulated with Maize starch (*Zea mays*) B.P. disintegration time as specified by B.P 2009, was also carried out. In conclusion, native starch can be incorporated as a wet granulation excipient in the formulation of paracetamol tablets formulation.

Keywords: *Sorghum lanceolatum*, wet granulation, disintegrant, Maize starch (*Zea mays*).

Introduction

Disintegrants are substances incorporated into tablets to facilitate its break-up after administration, the active ingredients in a tablet must be released from the tablet matrix as efficiently as possible to allow its rapid dissolution (Akande, 1988; Iwuagwu *et al*., 1986). The mode of disintegrant addition internal or external normally affects its break-up pattern intragranular or extragranular. Disintegration of the tablet matrix into granules is usually accomplished through one or more of the following processes: swelling of the tablet in an aqueous environment as a result of water intake with subsequent bursting resulting in tablet break-up. Hydration leading to the weakening of bonds in the tablets and capillary action which is the absorption of water by wicking action creating an internal pressure which subsequently breaks the tablet (Akande, 1988; Iwuagwu *et al*., 1986). Disintegrant efficiency depends on such factors as; capacity for water uptake by disintegrants, disintegrant concentrations, wettability of other components of the tablet, porosity of the system and size of disintegrants particles in relations to other tablets components (Akande, 1988; Iwuagwu *et al*., 1986).

There are three (3) types of disintegrants; substances that swell up in contact with moisture e.g. starches and gums, substances that react with effervescence on contact with moisture e.g. tartaric acid and sodium bicarbonate, each added to half the materials separately granulated and dried before mixing and compression. Substances that melt at body temperature, an example of this is cocoa butter, which melts below body temperature and is used in the form of a solution in ether (Nasipuri, 1979). The first type is commonly used in conventional compressed tablets, the second type is used in effervescent tablets, while the third type is used in the formulation of suppositories (Nasipuri, 1979). This study was carried out to investigate the disintegrant ability of native starch extracted from *Sorghum lanceolatum* in order to evaluate its disintegrants action compared with maize starch (*Zea mays*) B.P in paracetamol tablets formulation. (Musa *et al 2014*). The objective of this study is to produce a good pharmaceutical excipient (disintegrant) from aboundant raw materials that pharmaceutically lay waste aside for the food values.

Materials and Methods

Sorghum lanceolatum grains were obtained from Ojaoba market in Ilorin, Kwara State, Nigeria. Paracetamol power (May and Baker Nigeria), Maize starch and Talc (B.D.H. Laboratories, U.K) and magnesium stearate (Hopkin and Williams, U.K.). They were all utilized as obtained.

Extraction of Starch from *Sorghum lanceolatum* Grains

Three kilograms (3 kg) of red guinea corn was washed severally with water and was soaked in about 6 liters of clean water containing well dissolved 0.25M sodium hydroxide which was left for 24 hours. The water containing well dissolved sodium hydroxide was decanted, the red sorghum was washed with enough water until the bark was totally removed and a clear supernatant was formed. It was them grinded in a grinding machine and a red semi solid formed, which was filtered with cloth sieve and the residue on the sieve was disposed. Enough water was added to the filtrate, the filtrate was allowed to settle over night at room temperature (25-28^{o}C) in other for the substance to settle (precipitant) and the water on top was decanted. Re-suspension and sedimentation were done repeatedly then this was followed with bleaching with sodium hypochlorite. The settled starch was then centrifuged using a thermo-electron machine at 1000 rev/min for 10 minutes interval, the same procedure was repeated thrice. The upper segments after centrifuging (which contain undissolved protein) was scraped off and the main settled starch material was scrubbed into a tray to dry at room temperature for 5 days and was monitored twice daily to avoid smearing and caking using hand. It was then placed in an oven at 48^{o}C for 24 hours to avoid denaturalization. The native starch obtained from the red guinea corn was then size - reduced and passed through 180 µm sieve. The percentage yield was calculated and recorded.

Preparation of Paracetamol Granules

Working formular for the assessment of *Sorghum lanceolatum* starch as disintegrant in paracetamol tablets is given in Table 1. The wet granulation method of massing and screening was used in preparing all the batches of paracetamol granules. The paracetamol powder and the intra-disintegrant maize starch or *Sorghum lanceolatum* starch of concentration 10%w/w were dry-mixed for 5 minutes in a Z-blade mixer. An appropriate quantity of freshly prepared starch mucilage of concentration 5% w/v was added to each of the batch to produce granules. The wet mass were passed through a 1600 µm sieve mesh screen the wet granules were dried at 47^{o}C to constant weight in a Gallen Kamp hot air oven and then later dried screened through sieve mesh 1400 µm.

Determination of Moisture Content

The 1.0 g of the sample was weighed using an electric balance and dried in the Gallenkamp hot air oven at 105^{o}C for 90 minutes, at every 30

minutes interval until a constant weight was obtained. The percentage loss on drying was determined as moisture content.

$$\text{Moisture Content} = \frac{W2}{W1} \times 100 \quad \ldots\ldots\ldots\ldots\ldots\ldots\ldots (1)$$

Where w1 is the initial weight before drying and w2 is final weight after drying.

Physicochemical Analysis of the Granules

Angle of repose

A funnel was mounted on a laboratory stand at a height of 10 cm from the table-top. Fifty grams (50 g) of Sorghum starch granules was poured into the funnel with the tip closed. The tip-plug was removed and the granules were allowed to flow, the height and diameter of the granules heap were measured. Same was done for maize starch granules.

The angle of repose, θ, is given by the following equation:

$$\theta = \tan^{-1}\frac{H}{R} \quad \ldots\ldots\ldots\ldots\ldots\ldots\ldots\ldots (4)$$

where H is height of conical powder heap and R is the radius of the circular base

Flow Rate Determination

The 20 g of the sample was placed into Erweka flowability apparatus funnel and then allowed to flow freely through an orifice. The time of follow was then noted and recorded. This experiment was repeated three times and the average reading recorded in g/sec.

$$\text{Flow Rate} = \frac{w}{t} \quad \ldots\ldots\ldots\ldots\ldots\ldots\ldots (2)$$

Where w is the weight (g) of the sample and t is the time recorded (seconds).

Particle size analysis

The 50 g of the sorghum starch granules was weighed and placed on a set of sieve arranged in the order: 500µm, 250µm, 150µm, 90µm, 75µm and the pan sizes. The sieve was placed on the Endecott sieve shaker and shaken for 10 minutes. The particles retained on each sieve were weighed and recorded. The cumulative frequency weights were calculated and a graph of cumulative frequency over size (%) was plotted against sieve size (µm). The same procedure was done for maize starch granules.

Hausner ratio

This is the ratio of the tapped density to bulk density.

$$\text{Hausner's Ratio} = \frac{\text{Tapped density}}{\text{Bulk density}} \quad \ldots\ldots\ldots\ldots\ldots\ldots\ldots (3)$$

Carr's Index

The difference between the tapped and bulk density divided by the tapped density was calculated and ratio expressed as a percentage.

$$\text{Carr's Index} = \frac{Tapped\ density - bulk\ density}{\text{Tapped density}} \times 100\ \% \quad \ldots\ldots\ldots\ldots\ldots\ldots\ldots\ldots (4)$$

Compaction of Granules into Tablets

The granules were mixed with the Extra-granular excipients, namely (0.2%w/w magnesium stearate and 2%w/w Talc) in a Tumble mixer for 5minutes. The granules were compressed at 7.5 metric tons using 12.5mm convex faced punches on a single punch tableting machine.

Table 1: The working formular for studying the disintegrant properties of *Sorghum lanceolatum* starch compared with maize starch BP in paracetamol tablets

Components	Percentage of each excipients (%w/w)	Quantity per tablet (mg)	Quantity per batch (100 tablet) (g)
Paracetamol	76.9	500	100
Disintegrant: Sorghum starch	10	65	13
Binder: Maize starch mucilage	5.0	32.5	6.50
Lubricants: dried Maize starch	5.9	38.3	7.66
Dried talc	2.0	13	2.60
Dried magnesium stearate	0.2	1.3	0.26
Total	100	650	130

Quality Control Tests on the Tablets Produced

Weight variation test

Randomly selected twenty (20) tablets were weighed individually using and electrical analytical balance and the weight of each tablet was recorded. The mean tablet weight and percentage deviation were calculated using the below formula.

Percentage deviation= $\frac{x-X}{x}$ X100……. (4)

Where x = weight of the tablets (mg)

X= the mean weight of the tablets (mg)

The weight variation tolerance for uncoated tablets differs depending on average tablet weights. For tablet 130 mg or less is 10%, for 345 mg is 7.5% and for more than 324 mg is 5%.

Friability test

The tablet where dusted and weighed on the balance (W_1). The tablet were placed in the rotary Fribilator and allowed to rotate at 25rpm for 4min (100rmp). The tablets were dusted and weighed (W_2) again and the process was conducted for each of two batches. Conventionally compressed tablets that lose less than 0.5-1.0%weight are generally acceptable.

Crushing strength test

Four (4) randomly selected tablets were placed individually between the anvil and the moving jaw of the Monsanto hardness tester. Force was gradually applied increasingly to the edge of the tablet by turning the screw gradually until the tablet cracks. The instrument gave a visual reading of tablet hardness which was read and recorded for the four tablets from each batches.

Disintegration times

This was carried out as specifically by (B.P, 2009), using Erewka disintegration, six (6) tablets were placed in the tube of the basket and the disc was added to each tube. The assembly was suspended in a beaker containing 900 ml distilled water at 37^{o}C, the apparatus and the timer were started simultaneously and the time required for the first tablet to pass through the mesh were recorded. The test was carried out for each batch of the tablets. For most uncoated tablets, disintegration time should not be longer than 30 miuntes unless otherwise specified.

Results and Discussion

Table 2 shows result of granule properties for *Sorghum lanceolatum* starch and Maize Starch BP in paracetamol tablets formulation. The Bulk densities are respectively 0.54 and 0.588g/cm^3, the tapped densities are respectively 0.60 and 0.69 g/cm^{3}and the Carr's index are 10 and 10% respectively. In free-flow powder, bulk and the tapped density of a powder will be close in value thus the Carr's index would be small and in poor-flowing powder where there are greater Interparticulate interactions.

The difference between the bulk and the tapped density observed would be greater, therefore the Carr's index would be large. A Carr's index greater than 25 is considered to be an indication of poor flow **Emenike *et al. 2016*** of good flowability. (Wikipedia, 2016) since the result for bulk and tapped density are close values this implies that the granules have good flowabilty. The Hausner's ratio is respectively 1.11 and 1.19; the ratio greater than 1.25 is an indication of poor flowability. The angles of repose are respectively 32 and 31.2^{o}, granules that have good flow properties should fall between 30-40^{o} (Wikipedia, 2016). The moisture content should be kept low in any pharmaceutical ingredient in other to prevent mood growth. The moisture content was found to be 4.5 and 3.5% respectively.

Table 3 shows Results for *Sorghum lanceolatum* starch and Maize Starch BP on paracetamol tablets properties produced by wet granulation method. Inconsistent powder or granulate density and particle size distribution are common sources of weight variation during compression (Martin *et al.,* 1983). Variation between tablet with respect to dose and weight must be reduced to a minimum. The weight variation are respectively 1.92 and 3.08%, The BP limits for tablet weight variation is given as 80 mg or less is ±10%, more than 80 mg or less than 250 mg is ±7.5% and 250 mg or more is ±5%. (B.P, 1988).Whereas for USP are given as 130mg or less is ±10%, 130mg-324mg is ±7.5%, more than 324 mg is ±5% (Muazu, 2007). The Crushing strength is respectively 5.25 and 5.67 kgf in which the normal range is 4 kgf-15 kgf (Akande, 1988). The tablet mean thickness is respectively 6.49 and 6.21mm and the mean diameter is 12.09 and 12.15 mm, for uncoated tablets, a deviation of less or greater than 5% of the stated diameter is allowed except for diameter Exceeding 12.5mm, where the allowed deviation is less or greater than 3%. The friability parameter is respectively 0.89 and 0.95%, for good uncoated tablets the friability should not be more than 1%w/w (Iwuagwu *et al.*, 1989). The disintegration time is respectively 26 and 30 seconds, for an uncoated tablet the limit is 15 minutes and for firm coated tablets the limit is 30 minutes (Musa *et al.,* 2004).

Conclusion

From this study it was observed that *Sorghum lanceolatum* starch produced tablets of good quality and disintegration time when used as disintegrant in relative to maize starch B.P. Hence it can serve as a good alternative to maize starch as disintegrant in the formulation of paracetamol tablets.

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