International Journal of Pharmacy and Pharmaceutical Sciences

ISSN- 0975-1491 Vol 3 Suppl 3, 2011

Research Article

EVALUATON OF BARLEY *HORDEUM VULGARE* STARCH AS TABLET DISINTEGRANT

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Received: 12 Feb 2011, Revised and Accepted: 15 March 2011

ABSTRACT

This study aims at evaluating a tablet excipient from local sources Barley *Hordeum vulgare* starch which is used locally as food because of its high carbohydrate content, it was thought that the starch of Barley *Hordeum vulgare* may serve as a tablet disintegrant.

The excipent properties of barley starch as well as the pregelatinized form were studied in paracetamol tablets produced by wet granulation method of massing and screening and compared with maize starch B.P

The results showed that Barley *Hordeum vulgare* starch and maize starch BP have similar Angle of repose, Carrs index, moisture content, true density, tapped density, bulk density, Hausner ratio and mean particle size, however, Barley *Hordeum vulgare* starch showed superiority in some properties such as moisture sorption capacity and swelling power. Tablet produced with Barley *Hordeum vulgare* starch disintegrated quicker and faster than those produced with maize starch BP at all concentration employed. It was also found that when used as a disintegrant the pregelatinized form gave tablets with better hardness and friability values than maize starch B.P.

Keywords; Disintegrant, *Hordeum vulgare,* starch, Paracetamol tablet

INTRODUCTION

Disintegrants are substance 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.

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:

This is the absorption of water by wicking action creating an internal pressure which subsequently breaks the tablet. Disintegrant efficiency depends on such factors as:Capacity for water uptake by disintegrants Disintegrant oncentrationsWettability of other components of the tablet Porosity of the system Size of disintegrant particles in relations to other tablets components.[1]There are three types of disintegrants [2] 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.

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.

This study was carried out to investigate the disintegrant ability of *Hordeum vulgare*Barley starch, in order to evaluate its disintegrant action compared with maize starchMS B.P in paracetamol tablets formulation.

MATERIALS AND METHODS

Hordeum vulgareBarley was obtained from Sabon Gari market in Zaria, Kaduna State, Nigeria. Paracetamol was obtained from May and Baker Nigeria, Maize starch and Talc from B.D.H. Laboratries U.K and magnesium stearate from Hopkin and Williams, U.K. They were all utilized as obtained

METHODS

Extraction of starch from Hordeum vulgareBarley grains

Hordeum vulgareBarley grain was thoroughly washed and all foreign material removed. Washed seed was allowed to steep in water for about 24 hours; the steeped grain was crushed using blender Philips Cucina HR 1757. Enough quantity of water was added to the pulp which was then passed through an 180um sieve. The starch collected was allowed to settle and 0.1N sodium hydroxide was added to separate the starch and protein materials as well as to neutralize the slight acidity. Excess sodium hydroxide was removed by washing several times with distilled water.

The clear supernatant fluid was poured away while sedimented starch was collected on a tray and air-dried on a table. Using pestle and mortar the dried starch lumps were ground and fine powder passed through sieve 250µm [3].

Preparation of paracetamol granules

Working formular for the assessment of Hordeum vulgareBarley 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 intradisintegrant maize starch or Hordeum vulgareBarley starch of concentration between 0 to 12.5%ww depending on the batch 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 1.6mm 1600µm 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 1.4mm 1400µm.

Determination of Moisture Content

The moisture content of the granules batches which ranged between

0.8%$^{w}/_{w}$ to 7.8 $^{w}/_{w}$ was determined by drying the samples to constant weight in a hot air oven at 105^{o}c.

Physico-chemical analysis of the granules

Bulk Density: The bulk density of the StarchesHordeum vulgareBarley starch and maize starch B.P as a standard for comparism and the granules samples were determined using a graduated measure. 30g of each granules and starches powder were individual gently and slowly poured into the measuring cylinder.

The volume occupied by the granules was read to the nearest 0.5ml and the bulk density calculated.

Flow Rate Determination: Flow rate was determined using Erweka flow tester Type Gm Germany A 30g of the the starches and the granules were seperately introduced into the funnel of the flow tester. The flow time was obtained after operating the machine. The test was repeated thrice and the mean flow rate calculated.

Angle of repose of the the starches and also the granules heaps was determined by employing the method described by [4].

Particle size analysis: The particle size distribution of the starches and the granules was measured by sieve analysis, using series of sieves of different sizes. The weight percentage oversize as a function of size was studied.

Tapped Density: The tapped density of the various size fractions of the starches and the paracetamol granules was measured. A weighted quantity of each fraction was placed in a graduated measuring cylinder and 50 times through a height of cm after which the volume was noted.

Hausner ratio: Which is the ratio of tapped density to Bulk density of the granules = Tapped density/Bulk density was also determined, the ratio gives an insight to the degree of densification of powders which could occur during tabletting. The lower the ratio the less the propensity of the powder to densify. This process might cause tablet which lack uniformity of active content and weight to be produced. This ratio was for both the starches and the granules.In all the experiments described above the experiments was conducted in triplicate and the average recorded.

Compaction of Granules into Tablets

The granules were then mixed with the Extragranular excipients, namely 0.2%$^{w}/_{w}$ magnesium stearate and 2%$^{w}/_{w}$ Talc in a Tumble mixer for 5 minutes. The granules were compressed at 7.5 metric tones using 12.5mm convex faced punches on a single punch tableting machine.

Table 1: Shows the working formular for studying the disintegrant properties of Hordeum Vulgare starch compared with maize starch BP in paracetamol tablets

Components	Quantities per tablet	Quantities per batch
Paracetamol	500mg	60g
Lactose	**50g**	**6g**
Disintegrant:		
Barley /maize starch	0, 2, 5, 7, 10, 12%w/w	0, 2, 5, 7, 10, 12%w/w
Binder solution:		
Gelatin	5%w/v	5%w/v
Extragranular excipient:		
Barley /maize starch	7.8%w/w	7.8%w/w
Lubricant / glidant:		
Dried talc	2.0%w/w	2.0%w/w
Dried mg stearate	0.2%w/w	0.2%w/w

Quality control tests on the tablets produced

Weight variation test: The weights of 20 tablets were randomly selected and weighted as a whole and individually using metler P163, Melter, Switzerland electronic balance and the mean weight was calculated.

Friability test: Friability was determined using a friabilator Erweka TA – 3R Erweka Apparate bau GmbH, Germany. Ten tablets per batch were weighted and caused to cascade in the drum of the friabilator which rotated at 25rpm for 4min. The tablets were dusted and reweighed. The loss in weight expressed as a percentage of the original weight of the tablets [5].

Crushing strength test: The crushing strength of each of 10 tablets was determined using a monsanto hardness tester Manesty Machines Liverpool England. The mean crushing strength was calculated.

Disintegration times: Disintegration times of six tablets randomly selected from each batch was individually determined in a B.P specification apparatus Erweke disintegration tested type ZT3 Germany containing purified water at 37 ± 0.5^{o}C. The mean disintegration times were calculated[5].

Dissolution rates test: The dissolution rates of the active drug from the tablets were determined using a B.P specification equipment Model DT 80, Erweka Germany dissolution Apparatus. The dissolution medium was 900ml of 0.01M Hcl at 37^{o}C ±0.5^{o}C. The paddles were caused to rotate at 100rpm. Samples were withdrawn at 50 and 90 minutes and spectrophotometrically analysed for Paracetamol at 243 nm. Samples removed for analysis were replaced with fresh aliquots of dissolution medium. All the experiments above were conducted in triplicates and the average readings recorded.

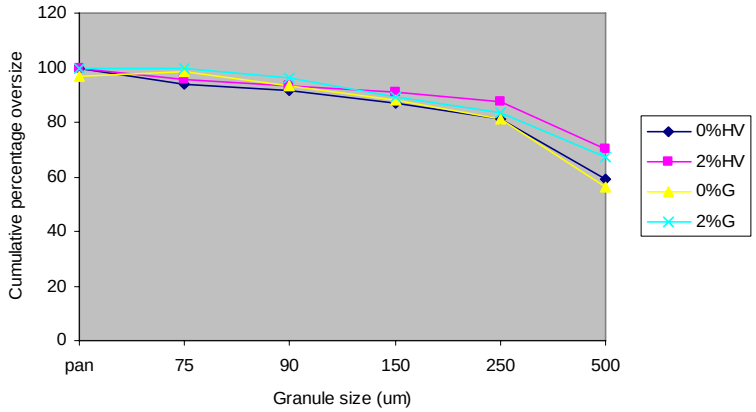

Fig. 1: size distributions of paracetamol granules produced with different Disintegrant types/concentrations by wet granulation method all other components and processes kept constant

Table 2: Granule properties for Hordeum Vulgare, Maize Starch BP and Pre-gelatinized Starch PGS used as disintegrants at varying concentrations in paracetamol tablets

Granule properties	Disintegrant type														
	Hordeum Vulgare						Maize Starch BP						PGS		
cconcentration	0	2	5	7	10	12	0	2	5	7	10	12	5	10	12
Flow rate g/sec	3.75	3.513	3.76	3.46	3.76	3.99	3.76	3.82	4.11	4.05	3.85	4.09	0.04	0.04	0.04
Moisture Content %	5	1	1	1	1	2	2	1	1	2	1	2	1	1	1
Bulk densities g/ml	0.44	0.43	0.44	0.41	0.43	0.43	0.46	0.49	0.48	0.48	0.45	0.48	0.40	0.38	0.39
Tapped densities g/ml	0.50	0.50	0.49	0.50	0.49	0.50	0.54	0.54	0.55	0.51	0.51	0.55	0.48	0.48	0.46
Angle of repose º	29.2	30.4	32.3	28.9	30.3	29.8	29.1	31.4	32.3	32.1	33.7	32.6	22.18	27.95	23.86
Hausner ratio	1.14	1.16	1.11	1.12	1.14	1.16	1.17	1.10	1.15	1.06	1.13	1.15	1.23	1.25	1.19
Carr's Index	12	14	10.2	18	12.3	14	14.8	9.3	12.3	5.9	5.9	12.7	18.4	20.2	15.1

Table 3: Table Results of varying disintegrant type / concentration on paracetamol tablet properties produced by wet granulation method

Tablet properties	Disintegrant type														
	Hordeum vulgare						Maize starch						PGS		
Disintegrant conc. %w/w	0	2	5	7	10	12	0	2	5	7	10	12	5	10	12
Crushing strength kgf	8.88	5.68	5.38	4.48	3.85	2.7	9.36	10.5	12	7.3	8.52	7.1	5.13	9.25	11.67
Friability %w/w	1.51	2.20	2.64	3.42	3.02	3.47	1.42	6.92	1.25	1.78	1.84	1.86	7.88	1.97	1.02
Disintegration time min	4.00	1.38	2.29	2.27	2.24	2.204	2.23	2.67	3.01	2.61	2.45	2.80	1.28	1.29	3.13
Weight variation %w/w	547.5	573.9	635.7	624.8	663.3	667.1	567.3	578.1	588.3	628.7	649.4	637.7	8.7	9.8	7.5
Tablet thickness mm	4.83	5.4	5.9	5.8	6.2	6.9	5.1	4.7	4.6	5.1	5.7	5.9	5.3	5.67	5.39
Porosity %	0.275	0.394	0.539	0.443	0.392	0.473	0.396	0.436	0.514	0.410	0.394	0.336	0.388	0.401	0.362

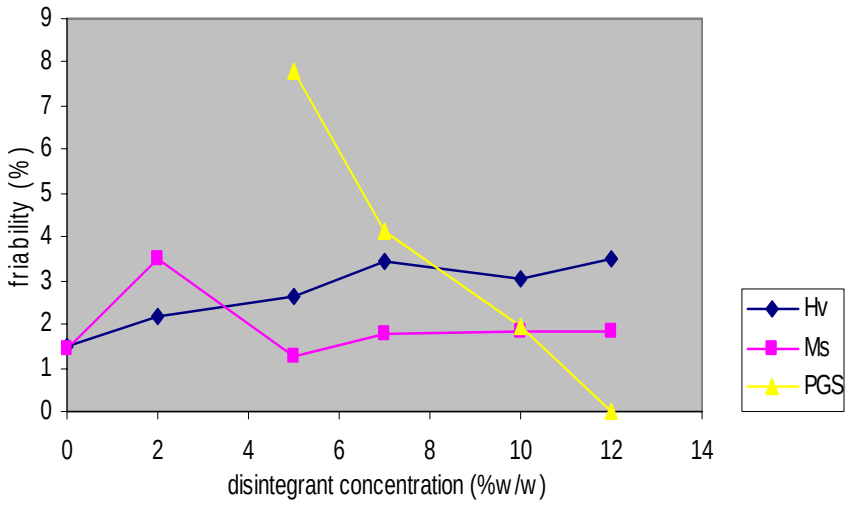

Fig. 2: Plot of friability % against disintegrant concentration %w/w in paracetamol tablets produced using Hordeum vulgare Maize starch BP and PGS as disintegrants

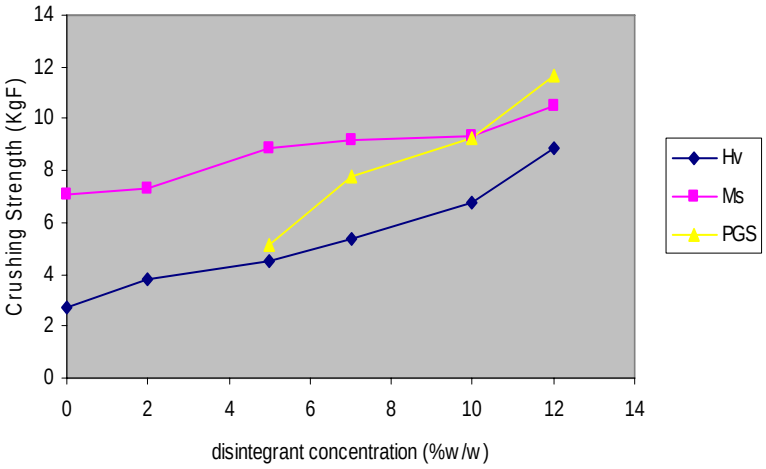

Fig. 3: Plot of mean crushing strength % against disintegrant Concentration %w/w in paracetamol tablets produced using Hordeum vulgare, Maize starch BP and PGS as disintegrants

RESULTS AND DISCUSSION

The results of granule size distribution as a function of disintegrant concentrations is illustrated in the graph Fig. 1. The results indicate the suitability of the Hordeum vulgare starch as disintegrant compared with Maize starch B.P.The granules produced with maize starch B.P have similar particle size distribution,that is the particle size distribution of granules containing Hordeum vulgare starch were not significantly differentP>0.05 from those of granules containing maize starch BP Figure 1 .It was also observed that granule size increased as disintegrants concentration was increased.This might be due to increased in viscosity and stronger bridges betweet granules.

At lower disintegrant concentration the curves were almost superimposed on each other, indicating that the disintegrants exhibited similar mean particle sizes and size distribution patterns. The properties of the granules were all very good Table 2 they had low angles of repose, high flow rate due to lower cohesive forces, hence good flow and better tabletting properties [6; 7 8,and 9]. Low bulk and tapped densities and therefore lower value of Carr's consolidating indices, these properties increase with increase in disintegrant concentration.

Table 2 shows the moisture content values and other granule characteristics. Both granules show that there was decrease in granule bulk and tapped densities with increase in concentration of binder. Flow properties increase from low to higher concentration of binder [10]. This might be due to decrease in densities with increase in binder concentration the lower the density of a material the poorer the flow properties. The flow properties of the granules also indicate that flow ability decreases with increase in size of the angle of repose of granules [9]. Both granules exhibited similar characteristics.

There was an increase in tablet crushing strength as the disintegrant concentration increased Fig 3 , Table. 2 this effect varied with disintegrant type. The effect was greater in PGS followed by maize starch then Hordeum vulgare. It showed that PGS produced tablets that are harder to crush with lowest friability . The disintegration time decreased with increase in the disintegrant concentration Table.3 for all starches with PGS having the least values at similar disintegrant concentrations followed by hordeum vulgare then maize starch BP.

The increase in tablet crushing strength with increase in disintegrant concentration implies an increase in tablet hardness. The organized structure of hordeum vulgare starch particles could imbibe in them some elastic properties which make *Hordeum vulgare* starch poorly compressible. The gelatinization that occurred in PGS ruptured the organized structure of maize starch grains, thus making PGS become more amorphous and more plastic. The compacts from PGS would have less elastic recovery but more plastically computed. Thus PGS would produce harder tablets to crush PGS has a greater, affinity for water. It swells with moisture thus facilitating the rupture of the tablet matrix [1,2] However others have suggested that its disintegrant action in tablets is due to capillary action in tablets rather than swelling. One could also state that the intrusion of fluid by capillary forces enlarges the particles, which became swollen. The spherical shape of the starch grains increases the pore size of pores in the tablet, thus making the capillary forces lower in maize starch containing tablets. It was shown how spherical particles produced larger size than irregularly sized particles, which reduced the pore sizes [11] It was also shown that narrower pore sizes of capillaries produced higher capillary forces of sucking in more fluids. This aspect appears to support the reason why PGS contained tablets disintegrated faster than Maize starch contained tablets.

Also the decreased disintegration time with increased disintegrant concentration can be as a result of enhanced water penetration by capillary forces since it had low swelling power, into the tablets to cause the swelling of some components in the tablet to break apart. This is more pronounced in PGS because of its porous particles. This is in agreement with the work of [12,13 and14].

Tablets produced with Maize Starch BP as disintegrant had the highest disintegration time though they all complied with the BP 1988[15] requirement on disintegration i.e. disintegration within 30 minutes for plain compressed tablets. This might be due to formation of stronger interparticulate bonds between particles and/or between the excipients. The more compact a tablet is, the less the porosity or the voids between the particles. Therefore less penetration of water into the tablet would tend to cause a longer disintegration time. This is consistent with the work reported by Sagar, [16], Chuwaikowski and Krowozinsk [17] and Iwuagwu et al [5].

Hordeum vulgare showed a decrease in crushing strength with increased disintegrant concentration and an increase in friability. There was a corresponding decrease in disintegration time.

Maize starch showed an initial increase in crushing strength followed by a decrease it had an increased friability and disintegration time.

PGS had increased crushing strength with increased disintegrant concentration. Higher friability values than *Hordeum vulgare* and maize starch. Lesser disintegration time compared to maize starch and PGS. Its disintegration time decreased with increase in disintegrant concentration and friabily values were found to decrease with increase disintegrant concentrations Fig. 2.

CONCLUSION

From this study it was observed that all concentrations of Barley *Hordeum vulgare* starch starch produced tablets of good quality and disintegration time when used as disintegrant comparable 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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