Full Length Research Paper

Influence of some starch binders on the brittle fracture tendency of paracetamol tablets

Uhumwangho, M. U.*, Okor, R. S., Eichie, F. E. and Abbah, C. M.

Department of Pharmaceutics, University of Benin, Benin City, Nigeria.

The study was carried out to compare the binder effects of cassava and cocoyam starch with that of maize starch BP. The parameters investigated were the brittle fracture index (BFI), the tablet packing fraction (P_f), and tensile strength (T). Mucilages of the starches of varying concentrations; 15, 20, and 25% (w/v) were formed; their viscosities were determined and used to form paracetamol granules by wet-massing. The granules were compressed at different compression loads (arbitrary units on the load scale; 8, 9 and 9.5). At all given compression loads and at all binder concentration, cassava starch mucilages binder produced the hardest and most compact tablets with the least tendency to brittle fracture compared with cocoyam or maize starch mucilage. For instance, the BFI values at the compression load, 8 were 0.13 (tablets formed with cassava starch mucilage 20% w/v) 0.18 (tablets formed with cocoyam starch mucilage 20% w/v) and 0.35 (tablets formed with maize starch mucilage 20% w/v). Increase in compression load (8 to 9.5) increased the BFI of these tablets while an increase in binder concentration generally caused a decrease in BFI of these tablets. This decrease was less marked at higher compression load. The results indicate that cassava starch mucilage which was the most viscous, displayed the highest potential for ameliorating brittle fracture during manufacture of paracetamol tablets.

Key words: Cassava, cocoyam, maize starch, binder effect, tensile strength, brittle fracture index, tableting.

INTRODUCTION

Maize starch BP is the most commonly used excipient in the manufacture of tablets. It has been used as disintegrant, fillers or as binders (Banker and Anderson, 1986; Kottke et al., 1992). In recent years increasing attention is being paid to the extraction, development, and use of starches in the formulation of pharmaceutical dosage forms (Carr and Bangudu, 1991). More recently starches obtained from cassava, potato and maize were investigated as possible stabilizers in emulsions (Uhumwangho et al., 2005).

Binders are added to tablet formulation to impart plasticity and thus increase the interparticulate bonding strength within the tablet (Uhumwangho et al., 2004). By promoting plastic deformation, binders increase the degree of consolidation or compactions while decreasing

the brittle fracture tendency (capping and lamination) during tableting (Itiola and Pipel, 1986). The extent to which this fracture problem can be ameliorated depends in part to the type and concentration of binder used in the tablet formulation.

Hence, in the present study, we have investigated the influence of some starch binders on the brittle fracture tendency of paracetamol tablets. The manufacture of these tablets is often prone to this brittle fracture problem. Therefore, the outcome of this study will permit the selection of a suitable binder which is locally available for the production of paracetamol tablets.

MATERIALS AND METHODS

Materials

Paracetamol BP (Pharmaceutical grade) was selected as the model drug because it is poorly compressible. Maize starch BP was obtained from BDH chemicals (Poole, UK). Cassava and cocoyam

---

*Corresponding author. E-mail: mike2003u@yahoo.com.

starches were extracted in our laboratory using an established method of starch extraction (Young, 1984).

Preparation of starch mucilages

A sample of the starch powder (15, 20 or 25 g) was dispersed in 20 ml of distilled water and boiled water was added whilst stirring with a glass rod to make up to 100 ml. The mucilage was allowed to cool before use.

Measurement of viscosity of the mucilages

This was determined by measuring the time of flow (seconds) through a tube of orifice diameter 1.5 mm and length 11.5 cm. The details have been described elsewhere (Okor and Obaduni, 1982). The time of flow through the capillary was taken as the viscosity index since the study was of comparative purposes only.

Granulation technique

Granules were formed by wet massing a sample of paracetamol powder (100 g) with a determined volume of the starch mucilages (Travers, 1972). The wet mass was screened and dried in a hot air oven (Kottermann, Germany) at 50°C for 2 h, to a moisture content of 2.1±1.1% w/w. Based on the volume of mucilage used in the wet massing the final binder concentration in the granules were 1.5, 2.0 and 3.0% (w/w) corresponding to mucilage concentration of 15, 20 and 25% (w/v), respectively.

Tableting technique

Flat faced tablets of mean weight 500±6 mg and diameter, 12 mm were produced using a single punch machine (Karl Kolb) at different compression loads (arbitrary units on the load scale; 8, 9 and 9.5). In each case the maximum load was held on the tablet for 30 s before releasing it to allow for consolidation of the tablet. Also, the punch and die surfaces were lubricated with a 1% dispersion of magnesium stearate (BDH) in chloroform to prevent sticking and hence allow easy ejection of the tablets from the die. To form tablets with a center hole (needed for estimation of BFI) lower punches with a center pin and upper punches with a center through hole (diameter, 0.6 mm) were used in the compression process. Details of the procedure have been described previously (Eichie and Okor, 2002; Uhumwangho and Okor, 2004; Uhumwangho et al., 2004).

Tablet evaluation

The following tableting parameters were determined:
Tablet packing fraction (P_f): This is a measure of the degree of consolidation of the tablet upon compaction. P_f, values are obtained from the expression (1):

$$P_f = w/\pi r^2 t\rho \qquad (1)$$

Where: w is the mean weight of tablets of radius (r), and thickness (t), ρ is the particle density of the powder from which the tablets were made, in this case paracetamol powder. The ρ value of paracetamol powder was determined to be 1.54 g.cm^{-3} using a fluid (liquid paraffin) displacement method as described previously (Sugita et al., 1995). The mean weight of ten tablets were determined accurately to 0.001 g using an electronic balance

(Mettler Toledo B154, Switzerland) while their mean thickness and diameter were measured accurately to 0.01mm using a digital micrometer (Model GMBH 500 –U- Poland).
Tablet tensile strength: This is the stress needed to fracture a tablet by diametral compression. It is given by the expression below (Fell and Newton, 1970):

$$T= 2P/\pi Dt \qquad (2)$$

While P is the load that causes tensile failure of a tablet of diameter, D and thickness, t. The fracture load of ten tablets was determined individually with the Monsanto hardness tester, following Brook and Marshal (1968). The mean values of the fracture load were used to calculate the T values for the various tablet formulations.
Brittle fracture index (BFI): This is a measure of the tablet tendency to laminate or cap during manufacture. It is given by the equation (3) below developed by Hiestand et al. (1977), thus:

$$BFI= 0.5 \, (T/T_0 -1)$$

Where T_0 and T are the tensile strength of tablets with and without a centre hole, respectively. The fracture tendency is considered high when the BFI value is ≥ 0.5.
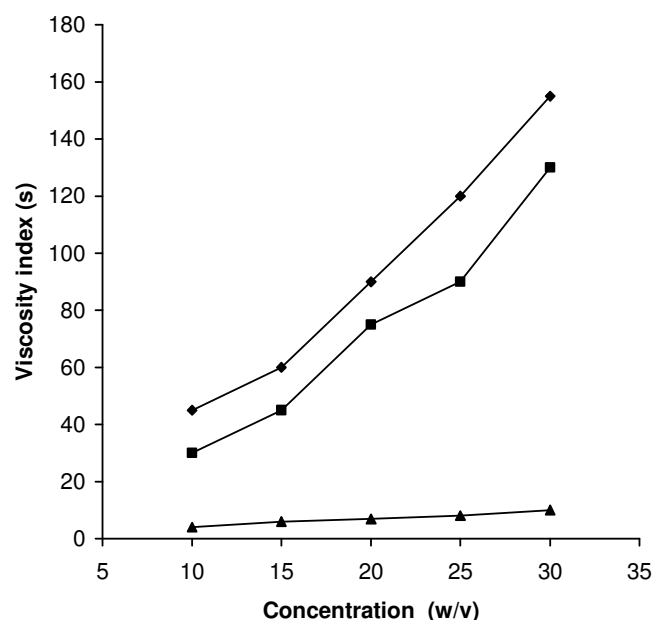

Figure 1. Effect of concentration of the binder on the viscosity index of starch mucilage, cassava (♦), cocoyam (■), maize mucilage (▲).

RESULTS AND DISCUSSION

Viscosity of the mucilages

The viscosity index value of the mucilages equilibrated at room temperature 28°C for 2 h are presented in Figure 1. The results showed that cassava starch mucilages were considerably more viscous than cocoyam starch muci-lage which was in turn more viscous than maize starch mucilage. Generally, as the concentration of the different starches increased their viscosity also increased. These

Table 1. Effect of binder type and concentration on the tensile strength (T) and packing fraction (P_f) of the tablets.

Starch mucilage conc. (%, w/v)	Cassava			Cocoyam			Maize		
	T (MNm^{-2})	P_f	BFI	T (MNm^{-2})	P_f	BFI	T (MNm^{-2})	P_f	BFI
15	0.12	0.94	0.25	0.10	0.79	0.28	0.06	0.72	0.41
20	0.15	0.95	0.13	0.13	0.85	0.18	0.12	0.87	0.35
25	0.35	0.97	0.08	0.15	0.86	0.15	0.14	0.89	0.28

Note: Compression load, 8 arbitrary units on load scale.

Table 2. Effect of compression load on the brittle fracture tendency of the tablets.

Arbitrary unit on the load scale	BFI values of tablets with binders		
	Cassava starch	Cocoyam starch	Maize starch BP
8	0.13	0.18	0.35
9	0.20	0.22	0.38
9.5	0.32	0.33	0.41

Note: mucilage concentration used in forming the granules is 20% (w/v).

differences will determine the binder effectiveness of the mucilages.

Effect of binder type and concentration on the degree of consolidation and tensile strengths of the tablets

The results (Table 1) showed that cassava starch binder produced harder and more compact tablets compared with the cocoyam and the maize starch binders. Cassava starch is therefore the more effective binder which is attributable to the higher gel strength of its mucilages (Figure 1). Increase in binder concentration generally led to an increase in P_f and T values irrespective of the nature of the binder. It is known that binders promote plastic deformation of particles and thereby increase the area of contact for interparticulate bonding. Hence, an increase in T is invariably associated with an increase in P_f values of the tablets (Itiola and Pipel, 1986; Ejiofor et al., 1986). The results (Table 1) thus showed that granules made with the cassava starch mucilage were more readily deformable than those produced with either cocoyam or maize starch.

Binder effect on the brittle fracture tendency of the tablets

The BFI values of the tablets are also presented in Tables 1 and 2 where it can be seen that tablets made with the cassava starch binder exhibited the least tendency to brittle fracture followed by the cocoyam binder, while the maize starch binder produced tablets with the highest fracture tendency. Increasing the binder concentration at a given compression load generally

decreased the brittle fracture tendency. Hence, the fracture phenomenon relates to particle deformation during tableting. Consequently, cassava starch binder which produced the more readily deformable granules also produced tablets with the least fracture tendency.

Effect of compression load on the brittle fracture tendency of the tablets

Increase in compression load was generally associated with increase in brittle fracture tendency of the tablets (Tables 2) similar results were reported earlier (Okor et al., 1998). Binders ameliorate brittle fracture of tablets by promoting plastic deformation of particles within the tablet during diametral compression (e.g. by die wall stress). This implies that during decompression die wall stress is exerted on the tablet which is the principal cause of fracture. Such deformation will relieve the stress preventing it from concentrating at the edge of a void in the tablet (represented by the center hole), leading to amelioration of brittle fracture (Hiestand et al., 1977). Thus, an increase in tablet hardness will render the plastic deformation more difficult and hence impair the stress relief mechanism. It is expected that an increase in compression load will result in the formation of very hard tablets, which are difficult to deform which explains the increase in BFI with increase in load. Thus, at a high compression pressure binders find it increasingly more difficult to ameliorate brittle fracture of tablets. A recent study (Uhumwangho and Okor, 2004) however showed that the phenomenon of compression pressure-related increase in BFI may be limited to elastic materials only, such as the system studied. With plastic materials (e.g. α-cellulose) an increase in compression pressure can in

fact lead to a decrease in BFI, attributable to an increase in the crack resistance of the tablets.

Conclusion

The study has shown that cassava starch mucilage is a more effective binder than the mucilage of maize starch BP, producing harder tablets with lower brittle fracture tendency. Also, the study underscores the need to moderate the applied loads if the incidence of brittle fracture is to be minimized during tableting.

REFERENCES

Banker GS, Anderson NR (1986). Tablet, In: Lachman L, Lieberman HA, Kanig JL (eds) Theory and Practice of industrial Pharmacy, Lea and Febiger, Philadelphia 3rd ed. pp. 301-303.

Brook DB, Marshal K (1968). Crushing strength of compressed tablets 1. comparison of tester.J.Pharm. Sci. 57: 481-484.

Carr JSM, Bangudu AB (1991). Evaluation of sorghum starch as a tablet excipient, Drug Dev. Ind. Pharm. 17: 1-6.

Eichie FE, Okor RS (2002). Effect of acid treatment on the consolidation and plastoelasticity of tapioca powder.Trop. J. Pharm. Res. 1:45 – 49.

Ejiofor O, Esezobo S, Pipel N (1986). The plastoelasticity and compressibility of coated powders and the tensile strengths of their tablets. J. Pharm. Pharmacol. 38: 1-7.

Fell JT, Newton JM (1970). Determination of tablet strength by diametral compression test. .J. Pharm. Sci. 59: 688 – 691.

Heistand EN, Wells JE, Poet CB, Ochs JF (1977). Physical process of tableting. J. Pharm. Sci. 66: 510-519.

Itiola AO, Pipel N (1986). Tableting characteristics of metronidazole formulations. Int. J. Pharm. 31: 99-105.

Kottke MK, Chueh HR, Rhodes CT (1992). Comparison of disintegrant and binder activity of three corn starch products, Drug Dev. Ind. Pharm 18: 2207-2223.

Okor RS, Eichie FE, Ngwa CN (1998). Correlation between tablet mechanical strength and brittle fracture tendency. J. Pharm Pharmacol. 4: 511-513.

Okor RS, Obaduni J (1982). Reversibility of cohesive interactions in certain polymeric dispersions. J. Macromol. Sci. 3: 281-289.

Sugita ET, Roger LS, Irwin R (1995). Metrology and Calculations. In: Gennaro AR (ed.) The Science and Practise of Pharmacy, Mack, Pennsylvania, 19th ed., pp. 63 -93.

Travers DN (1972). Powder flow and compaction. In: Carter SJ (ed) Tutorial Pharmacy. Pitman Medical Publishing Ltd, London, 6th ed., pp. 211-233.

Uhumwangho MU, Okor RS (2004). Anomalous effect of compression pressure on the brittle fracture tendency of α-cellulose tablets. Int. J. Pharm. 284: 69 - 74.

Uhumwangho MU, Okor RS, Ayomanor M (2005). Influence of mucilage viscosity on the globule structure and stability of certain starch emulsion. Online J. Health Allied Sci. 1: 5.

Uhumwangho MU, Okor RS, Eichie FE (2004). Effect of cation content of certain ammoniomethacrylate copolymers type A (RL) and B (RS) on their binder property in tableting. Acta Pol. Pharm. 61(4): 255-258.

Young AH (1984). Fractionation of Starch, In: Whistler RL, BeMiller JN, Paschall EF (eds) Starch Chemistry and Technology, Academic press 2nd ed., London pp. 249-283.