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Full Length Research Paper

Evaluation of Se*samum indicum* gum as a binder in the formulation of paracetamol granules and tablets

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Comparative evaluation of *Sesamum indicum* gum as a binder in the formulation of paracetamol (PCM) granules and tablets was performed using acacia, gelatin, and Sodium carboxyl methylcellulose (SCMC) as standard binders for comparison. The properties of granules and tablets such as; flow rate, angle of repose, density, weight uniformity, crushing strength, friability, disintegration rate and release profiles, were evaluated. The compact granules had good flow properties. However, binder concentration influenced flow characteristics. SIG gave the highest hardness/friability ratios. It also prolonged disintegration and dissolution time and dissolution rate. Hence, SIG may not be useful as a binder in conventional tablet formulation but may serve as a binder or hydrophilic polymer in sustained release tablet formulation.

Key words: *Sesamum indicum* gum, binder, paracetamol tablets.

INTRODUCTION

Excipients of plant origin are of particular interest to Formulation scientists because they are reliable, sustainable and will minimize reliance upon fossil fuels derived products (Liu et al., 2007). Vegetable products are therefore suitable alternatives to synthetic products because of their minimal toxicity, cost effectiveness and affordability compared to synthetic products. Additives from plant sources are also generally non toxic renewable means for the sustainable supply of less expensive pharmaceuticals (Patel et al., 2007; Liu et al., 2007). Gums from plant sources have various applications in drug delivery as disintegrating agents (Alfa et al., 1999), emulsifier (Nasipuri et al., 1999a), and suspending agents (Nasipuri et al., 1999b) and as binding agents (Sinha et al., 2002). They have also been utilized in formulating immediate and controlled release products (Ibrahim et al., 2002).

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Sesame (*Sesamum indicum* L.) is an essential oilseed crop worldwide. it has been cultivated in Korea from time immemorial for use as a traditional health food. Sesame seeds are used in the manufacture of tahin (sesame butter) and *halva*, and for the preparation of crackers, cakes and pastry products in commercial bakeries. There are many varieties of sesame adapted to various ecological conditions (Nzikou et al., 2009). The plant also grows in China, Ethiopia, Mexico, United States and Nigeria.

As a medicinal plant, traditional uses of sesame include limited application as demulcent and emollient. The oil is also used as a laxative and tonic. Etukudo (2003) reported the use of sesame oil as a pharmaceutical solvent, and a constituent of the oil (sesamolin) as synergist for pyrethrum insecticide.

The aim of this research is to assess the suitability of *Sesamum indicum* gum (SIG) as a binder for pharmaceutical tablet formulations. A comparative evaluation of *Sesamum indicum* gum as a binder in the formulation of paracetamol (PCM) granules and tablets

Table 1. Composition of tablets batches.

Materials	(1%W/W Binder	(2% W/W) Binder	(3% W/W) Binder	(4% W/W) Binder	(5% W/W) Binder
Paracetamol(mg)	500	500	500	500	500
Lactose (mg)	45	40	35	30	25
Binder(mg)	5	10	15	20	25

was performed. Acacia, gelatin and Sodium carboxyl methylcellulose (SCMC) was employed as standard binders for comparison.

MATERIALS AND METHODS

Paracetamol powder was a gift from SKG Pharma, Lagos, Nigeria, Lactose and maize starch were purchased from BDH, England. Magnesium stearate was purchased from Sigma Aldrich, USA. All other chemicals and reagents used were of laboratory grade.

Isolation of gum

The bark and other extraneous materials of the gum was removed manually and dried in an oven at 50°C for 8 h. The dried gum was separated into light colored and dark colored grades. The light colored grade was selected for further processing by milling in a domestic blender into fine powder and designated as crude *S. indicum* gum (CSIG). The gum mucilage was prepared by dissolving 100 g of SCIG in 200 ml of distilled water and kept for 24 h with intermittent stirring. Insoluble debris was removed by the use of calico while 96% ethanol was used to effect precipitation. The precipitate was re-filtered and washed with ether and dried in an oven at 50°C for 8 h. The dried purified gum was milled and screened through 180 µm sieve. The powdered gum was used in subsequent tests and analysis as purified *S. indicum* gum (PSIG).

Production of paracetamol tablets

Tablets of paracetamol were prepared by a wet granulation technique. Lactose was used as diluents while magnesium stearate was used as lubricant. SIG gum was incorporated in the formulations in different proportions as binder. The composition of different formulations used in the research containing 500 mg of paracetamol (PCM) in each case (Table 1). In all the formulation batches, PSIG and the binders were sieved (<500 µm) separately before use and mixed with Paracetamol powder and lactose (<150µm) in a blender. The blend were mixed for 10 min in a tumbler mixer (Karl Kolb, D 6072 Dreieich, Germany) and granulated with water for 5 min. After passage through the screen, granules were dried at 50°C for 2 h in a hot air oven (Salvis, Switzerland). The dried granules were re-screened through a 1.7 mm sieve and lubricated with 1.0% magnesium stearate for 5 min. The final blend was compressed using a single station tablet press (THP Shanghai, Tianxiang ad Chentai Pharmaceutical Machinery Co. Ltd, China) fitted with 12.5 mm punch. The tablet weight was approximately 550 mg.

Evaluation of granules

The granules were evaluated for bulk density and tapped density (Shah et al., 1977), angle of repose (Carr, 1965; Cooper and Gunn, 1986), hausner ratio and compressibility index (USP, 2007).

Evaluation of tablets

Hardness test

The hardness of 10 tablets chosen at random from each of the batches after storing at ambient temperature for 24 h was determined in a hardness tester (Erweka, Model TBH - 28). The mean hardness was calculated.

Friability

The weight of 20 tablets chosen from each batch was determined collectively as initial weight, WA. The tablets were placed in a friabilator (Erweka); set to rotate at 25 rpm for 4 min, after which the tablets were de-dusted and weighed (WB). Friability was calculated from the equation:

$$F = (WA - WB)/W_A \times 100$$

The mean value was determined.

Disintegration time determination

Five tablets from each batch were used for the test. Erweka disintegration test apparatus (Model DT4) was used (British Pharmacopoeia, 2003). The disintegration medium was 0.1 N HCl, maintained at 37 ± 0.5°C. The disintegration time was taken as the mean time required for the tablets to break into small particles that can pass through the screen into the disintegration medium.

Dissolution rate determination

British Pharmacopoeia 2003 method was also used. One tablet was placed in the apparatus and rotated at 100 rpm. The dissolution medium was 1000 ml 0.1 N HCL, maintained at 37 ± 0.5°C. 5 ml portions of the dissolution medium were withdrawn using at predetermined time intervals. Each 5 ml sample withdrawn was replaced by an equivalent fresh dissolution medium to maintain sink conditions. The solution was analyzed after colour development using a Sp6-450 UV/VIS spectrophotometer at 240 nm.

RESULTS AND DISCUSSION

Granule properties

The flow properties of paracetamol granules were expressed as hausner's ratio and angle of repose (Table 2). Results show good to excellent flow.

Granules with Hausner ratio less than 1.25 have good flow properties (Panda et al., 2008) and granules with angle of repose less than 30º show good flow (Reddy et

Table 2. Physical properties of paracetamol granules.

Binder conc.(%w/w) Acacia	Bulk Density	Tapped density	Hausner's ratio	Angle of repose(Ø)
1	0.4520	0.5125	1.13	34.75
2	0.4051	0.4680	1.16	33.60
3	0.4000	0.4605	1.15	33.82
4	0.3890	0.4518	1.16	33.45
5	0.3795	0.4390	1.16	32.95
Gelatin				
1	0.4325	0.4850	1.12	34.45
2	0.3970	0.4560	1.15	34.16
3	0.3895	0.4485	1.15	33.79
4	0.3856	0.4390	1.14	33.21
5	0.3796	0.4370	1.15	32.90
SCMC				
1	0.4225	0.4720	1.13	33.75
2	0.3986	0.4575	1.15	33.40
3	0.3907	0.4410	1.13	32.90
4	0.3875	0.4360	1.13	32.55
5	0.3820	0.4305	1.13	31.96
PSIG				
1	0.3675	0.4150	1.13	30.50
2	0.3655	0.4110	1.12	29.85
3	0.3630	0.4082	1.12	29.76
4	0.3588	0.4050	1.13	29.32
5	0.3545	0.3986	1.12	29.00

al., 2003). Therefore, granules prepared by using different binders - acacia, gelatin, SCMC and PSIG - exhibited good flow properties. Hausner ratio was below 1.25 for the different concentrations of the binders

Hardness and friability

The effect of binder concentration on tablet hardness and friability are shown in Table 3. An increase in binder concentration increased the hardness of the tablets. On the other hand, friability decreased as binder concentration increased. An increase in binder concentration will enhance the formation of stronger interparticulate bonds between the granules during compression in a tabulating machine (Esezobo and Pilpel, 1976). This means that the tablets would offer greater resistance to shock and abrasion since there is a stronger adhesive bonding of the granules at high binder concentrations. In general, the tablets showed good friability profiles, since most had friability values of less than 1.0% (Harwood and Pilpel, 1968).

Disintegration time

The effect of binder concentration on tablet disintegration time is shown in Table 3. The tablets formulated with PSIG failed the British Pharmacopoeia 2003 disintegration time test. However, tablets containing SCMC, acacia, and gelatin as binders disintegrated in less than 15 min. The binders follow this order of increasing tablet disintegration time: SCMC < acacia < gelatin < PSIG.

Dissolution profile

Tablets made with SCMC gave the highest drug release while PSIG had the lowest (Table 3). As the binder concentration increased, the rate of release of paracetamol from the tablets decreased.

In other words, there was an inverse relationship between binder concentration and release rate of drug.

PSIG displayed a very remarkable delay in the release rate at higher binder concentrations

Table 3. Physical properties of paracetamol tablets.

Binder conc.(%w/w) Acacia	Hardness(N)	Friability (%)	Disintegration time (min)	Dissolution at 30 min (cumulative% drug released)
1	3.13	0.90	5.40	100.80
2	3.24	0.89	6.92	95.45
3	4.85	0.85	10.00	86.75
4	6.35	0.81	12.50	75.00
5	6.70	0.76	14.15	72.50
Gelatin				
1	3.50	0.90	6.00	100.00
2	3.85	0.85	7.50	93.75
3	4.96	0.81	11.00	73.60
4	6.75	0.80	12.85	73.00
5	7.00	0.74	14.28	62.70
SCMC				
1	2.31	1.02	4.50	101.36
2	3.52	0.89	6.45	100.00
3	3.88	0.85	8.00	98.53
4	4.75	0.85	9.50	90.50
5	5.49	0.81	11.90	85.00
PSIG				
1	4.75	0.86	21.60	65.35
2	4.91	0.81	31.20	58.00
3	5.66	0.80	51.20	48.54
4	6.25	0.73	66.60	40.40
5	7.48	0.60	85.80	32.38

since none of the tablet batches formulated with PSIG released up to 75% of drug in 30 min (Esezobo and Pilpel, 1976).

Conclusion

The results of this study established, for the first time, some basic characteristics of tablets formulated with gum obtained from the *S. indicum.* The gum performs as a smart polymer, and may be utilized in sustained drug delivery. The gum produced powder granules with good flow properties and tablets with good physicotechnical characteristics.

PSIG could not be suitably utilized as a binder in formulation of immediate released tablets since it prolongs tablet disintegration time and also delays drug dissolution rate. It may be useful as a binder or hydrophilic polymer in sustained release tablet formulation.

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