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Tableting Properties of *Ageratum conzoides* Crude Leaf Powder: Effect of Binder Type.

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ABSTRACT

Ageratum conyzoides crude leaf powder is used in traditional medicine in the form of infusion, tincture or powder dispersed in water or milk for the treatment of peptic ulcer. These forms of delivery are not convenient for the patient. The aim of this work was to determine the effect of binder type on the properties of tablet of this drug. Maize starch, gelatin and acacia were used as binders at 5% w/w level. The tablets were prepared by wet granulation and compressed in a single punch tableting machine bearing 10 mm punch and die set. The tablets were evaluated for weight variation, crushing strength, friability, disintegration time and dissolution rate. All batches of tablets passed the weight variation test. The crushing strength, friability and disintegration time of tablets containing maize starch were superior to those containing gelatin or acacia. Similarly, drug release from tablets containing maize starch was higher than those containing gelatin or acacia. Optimum tablet properties were achieved in tablets containing maize starch.

KEYWORDS: Ageratum conyzoides, crude leaf powder, binder type, maize starch, acacia, gelatin.

INTRODUCTION

Ageratum conyzoides (Family Compositae) is an annual herbaceous plant. It usually occurs as a major weed of frequently cultivated areas. The plant has a long history of traditional medicinal uses in many countries of the world. In Central Africa, it is commonly used for the treatment of wounds and burns [7]. Traditional Indian communities use it as a bactericide, antidysentric and antilithic. In Cameroon and Congo, it is used to treat fever, rheumatism, headache and colic. Aqueous leaf or whole plant is used [14]. The root decoction is drunk three times a day for chest complaints [11]. In Nigeria, the plant is used for the treatment of malaria, peptic ulcer and scabies. It is also used to stop bleeding from fresh wounds and as an emetic [2]

Phytochemical studies have shown that it contains flavonoids, alkaloids, cumarin, tannins, sesquiterpenoids, sesamin, caryophyllene oxide, conyzogum, acromene and precocene I and II [1, 5, 10]. It also contains essential oils [8]. The cold water extract of *Ageratum conyzoides* has been found to be active against *E. coli, S. aureus*, *P. aeruginosaB. substilis* and *C. albican* [7, 13]. Its analgesic activity in rats has been established [4].

In traditional medicine, the plant is used as a tincture, an infusion or as a powder. None of these delivery systems is convenient for the patient. Tablets are easy to administer and more convenient for the patient. There is, therefore, the need to formulate *Ageratum conyzoides* leaf into tablets. The aim of this work was to investigate the effect of binder type on the properties of *Ageratum conyzoides* crude leaf tablets

MATERIALS AND METHODS

Materials

The materials used include maize starch, acacia, gelatin and magnesium stearate (BDH, England). *Ageratum conyzoides* leaves were collected from the plant grown around the Faculty of Pharmaceutical Sciences, Ahmadu Bello University, Zaria, Nigeria and authenticated by a plant taxonomist in the Department of Pharmacognosy of our university before depositing a voucher specimen in the herbarium of the Department of Pharmacognosy.

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Vol. 4 N0.2, pp. 55-58, 2012

METHODS

Preparation of *Ageratum conyzoides* leaf powder

The leaves were harvested between 12.00 and 1.00 pm, washed and air dried in the laboratory for two weeks. The dried leaves were then powdered using a porcelain mortar and passed through a 500 µm sieve.

Determination of dose

Five level teaspoonfuls of the powdered drug were weighed separately and the average weight taken. The whole process was repeated by a second person and the two averages used to obtain the final average which represents the weight of the active ingredient per tablet.

Table 1: Formula for preparing batches of *Ageratum conyzoides* tablets

Ingredients (g)	I	II	Batches III	IV	V
Ageratum conyzoides Powder	29.65	29.65	29.65	9.65	9.65
Maize starch (binder)	1.77	-	-	-	.66
Gelatin (Binder)	-	1.77	-	-	-
Acacia (binder)	-	-	1.77	-	-
Maize starch (disintegrant)	54	3.54	3.54	3.54	3.54
Magnesium stearate (lubricant)	0.353	0.353	0.353	0.353	0.353

Preparation of granules

The formula for the preparation of *Ageratum conyzoides* granules is shown in Table 1. A quantity (29.65 g) of *Ageratum conyzoides* leaf powder was weighed into a porcelain mortar. Then 5% w/w acacia, gelatin or maize starch was incorporated as a15% w/w mucilage to form a wet mass. The wet mass was screened through a 1.7 mm sieve to produce granules. The granules were dried in a hot air oven (Gallenkamp, England) at 40 ^{O}C for 1 h. The granules were then screened through a 1.2 mm sieve.

Granule size distribution

A nest of sieves was arranged in order of descending aperture size from 500-75 µm. A 20 g quantity of granules was placed on the 500 µm sieve and shaken for 10 min on an Endecott sieve shaker (Endecott, England). The granules retained on each sieve were collected and expressed as percentage weight.

Preparation of tablets

The granules were manually compressed in a single punch tableting machine (Erweka, Germany) using a 10 mm punch and die set. The compression pressure employed was 11.00 metric tons. The tablets were evaluated 24 h after they were made.

Evaluation of tablets

Weight uniformity test

Twenty tablets from each batch were weighed individually and the mean weight calculated. The weight uniformity was assessed according to the BP method.

Crushing strength

Five (5) tablets from each batch were randomly selected. The force required to break each tablet was determined using a Monsanto tablet hardness tester. The average force required to break the tablets was taken as the crushing strength.

Friability

Ten (10) tablets were randomly selected from each batch, weighed and placed in Erwekafriabilator. The friabilator was set to rotate at 25 rpm for 4 min. The tablets were dusted and weighed again. The percent weight loss was calculated as follows:

$$\text{Friability} = \frac{\text{initial weight} - \text{final weight}}{\text{initial weight}} \times 100 \quad \text{-----Eq}$$

Tablet thickness and diameter

Six Tablets were randomly selected from each batch. The thickness and diameter of each tablet was determined using a micrometer screw gauge. The average values of the six tablets were recorded.

Tensile strength

The tensile strength was calculated from the equation:

$$\text{Tensile strength} = \frac{2p}{\pi dt} \quad \text{----------Eq 2}$$

Where p = force required to break the tablet diametrically

d = diameter of tablet

t = thickness of tablet

Disintegration time

Six tablets were selected from each batch and placed in the disintegration apparatus (Erweka) containing 1000 ml of distilled water maintained at 37 ^{O}C. The time taken for the tablets to disintegrate was recorded.

Vol. 4 N0.2, pp. 55-58, 2012

Dissolution test

A standard solution of *Ageratum conyzoides* was prepared by weighing 400 mg of the powder into a 500 ml beaker. Then 20 ml of 0.1 N HCl was added to make a slurry which was transferred into a 1000 ml volumetric flask. The beaker was rinsed and added to the flask and the volume made up with the 0.1 N HCl. The mixture was allowed to macerate for 24 h. The maximum wavelength of absorbance (λ max) of the solution was determined by scanning on a UV visible spectrometer. The rotating basket method was used to determine tablet dissolution test. The dissolution medium was 900 ml of 0.1 N HCl maintained at 37 ^{O}C. One tablet from each batch was placed in the dry basket of the apparatus and lowered into the dissolution medium and the apparatus set to rotate at 100 rpm for 60 min. Five milliliter samples were withdrawn at 10, 20, 30 and 60 min intervals, made up to 100 ml and filtered. The absorbance of the solution was measured at 200 nm. The withdrawn samples were replaced with 5 ml of the dissolution medium after each withdrawal. Drug release was determined from a calibration graph obtained with the standard solution.

RESULTS AND DISCUSSION

The average weight of five level teaspoons (5 ml spoonfuls) was 296.5 mg. The result of the size distribution of the granule is shown in Fig. 1. For all the batches, most of the granules were retained on the 500 µm sieve.

Table 2 shows the physical properties of *Ageratum conyzoides* tablets. The tablet mean weight ranged from 348 mg for tablet containing 5% w/w gelatin (batch II) to 374 mg for those made with 7.50% w/w maize starch (batch IV). All the batches of tablets met pharmacopoeial requirement for weight uniformity. At equivalent binder concentration, the crushing strength of the tablets increased from 2.50 kgf for tablets containing 5% w/w acacia (batch III) to 4.00 kgf for those made with 5% w/w gelatin (batch II). As the binder concentration increased, the crushing strength of the tablets also increased. The crushing strength of 3.50 kgf obtained for tablets containing 5% w/w maize starch (batch I) increased to 7.5 kgf as the concentration of maize starch increased to7.50% w/w (batch IV). It was reported [15] that binders form films around the particles of powders. The strength of the tablets depends on the strength and thickness of the film formed by the binder [9]. It is possible that the strength of tablets formed by gelatin is higher than those of acacia and maize starch. Similarly, the strength and thickness of the film formed by maize starch binder may have increased as the binder concentration is increased from 5% w/w (batch I) to 7.5% w/w (batch IV). This may explain the increased crushing strength observed in tablets containing 7.5% w/w maize starch. The result obtained for the tensile strength followed similar trend as those obtained for hardness. This should be expected since there is a relationship between hardness and tensile strength of tablets [12]. The friability of the tablet was found to decrease as hardness decreased. The tablet containing 5% w/w acacia (batch III) exhibited zero friability. The hardness in this batch was least. The highest friability value of 1.98 was possessed by tablet containing 5% w/w gelatin which gave the highest hardness. Similar result was obtained in a previous work [3]. The disintegration time ranged from 24.00 min for tablets containing 5% w/w maize starch (batch I) to 65.00 min for those made with acacia. The disintegration times of batches of the tablet are generally high despite the incorporation of a disintegrant.

Table 2: Physical properties of Ageratum conyzoides tablets

Test	Batches			
	I	II	III	IV
Mean weight (mg)	370	348	367	374
Thickness (mm)	4.19	3.92	4.16	4.06
Diameter (mm)	9.93	10.07	10.06	10.00
Crushing strength (kg f)	3.50	4.00	2.50	7.50
Tensile strength (kg f m^{-2})	0.05	0.07	0.03	0.12
Friability (%)	1.20	1.98	0.00	0.46
Disintegration time (min)	24	60.00	65.00	30.00

Fig. 2 shows the dissolution profile obtained for the tablets. A 68.00% drug release was achieved in tablets containing 5% w/w maize starch in 60 min. The corresponding release for tablets containing 5% w/w gelatin or acacia was 57.0%. The t_{50} obtained for tablets containing 5% w/w maize starch was 45 min. The corresponding t_{50} for those made with 5% w/w gelatin or acacia were 50 and 55min. respectively. The ranking of the batches of tablets

Vol. 4 N0.2, pp. 55-58, 2012

on the basis of increased dissolution was 5% maize starch > gelatin > acacia

In conclusion, *Ageratum conyzoides* crude powdered leaves could be formulated into tablets by wet granulation. Tablets containing 5% w/w maize starch possessed better tablet properties than those made with gelatin or acacia. A super disintegrant may be required to improve the tablet disintegration.

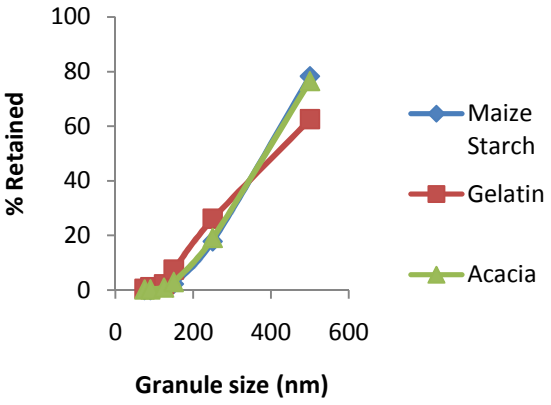

Fig. 1 Granule size distribution of *Ageratum conzoides* granule prepared with different binders

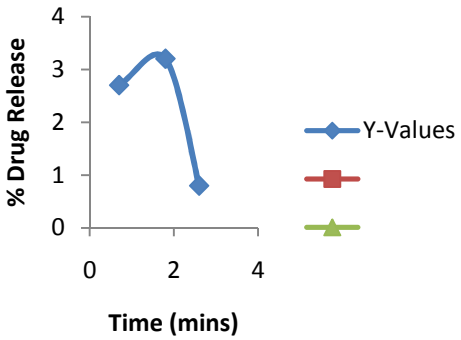

Fig. 2 Drug release profile of *Ageratum conyzoides* tablet

REFERENCES

1. Adesogan, E.K. and Morah, F.N: Constituents of *Ageratum conyzoides* Linn; Phytochemistry. **20**, (1981) 2585
2. Adodo, A. Nature powder (receiving God's healing through nature). PAX Publication, Nigeria. (2002) pp 81-83.
3. Ameh, G.O Tableting and encapsulation of the crude leaf powder of *Ageratum conyziodes*. B. Pharm. Thesis. Ahmadu Bello University, Zaria (2008)
4. Bioka, D, Banyikwa, F. F. and Choudhuri, M.A. Analgesic effect of a crude extract of *Ageratum conyzoides* in the rat. *Acta Hort*. **332** (1993) pp 171-176.
5. Borthakur, N and Baruah, A K S Search for precocenes in *Ageratum conyzoides* Linn. of North- East India. J Indian Chem. Soc. 64 pp 580-581
6. British Pharmacopoeia Her Majesty's Stationary Office, University press, Cambridge (2002)
7. Durodola, J. J. Antibacterial property of crude extracts from herbal healing remedy- *Ageratum conyzoides*. Plant Med. 32, (1977) 388-390.
8. Ekundayo, O., Sharma, S. and Rao, E. V: Essential oils of *Ageratum conyzoides*. Plant Med. 54 (1998) pp 55-57.
9. Gabre-Marian, T. and Nikolayev, A. Evaluation of starch obtained from *Ensetevertricosum* as a binder and disintegrant for compressed tablets. *J. Pharm. Pharmacol*. 45 (1993) pp 317-320.
10. Gonzales, A. G, Aguira, Z. E. Grillo, T. A., Luis, J.G., Rrivera, A. and Calle, J Chromenes from, *Ageratum conyzoides*. Phytochemistry. Pegamon press plc. London. (1991) pp 1137-1139.
11. Kokwaro, J. O Medicinal plants of East Africa. East African literature Bureau, Kenya. (1987) pp 44-69.
12. Marshall, K In The Theory And Practice Of Industrial Pharmacy; 3rd edition, edited by Lachman, L., Leiberman, H.A. and Kanig J. L., Lea and Febiger, Philadelphia, (1985) p87.
13. Oliveira, F, Akuse, M K and GraciaCaracterizacaoFarmacognostica da droga e do extractofluido de mentrasto*Ageratum conyzoides* L. *Lecta*11 (1) 1993 pp 63 -100
14. Oyeleke.F. T Antibacterial properties of *Ageratum conyziodes*. B. Pharm. Thesis. Ahmadu Bello University, Zaria (2004).
1. Symecko, C.W. and Rhodes, C.T Binder functionality in tableted systems; *Dev. Ind. Pharm*. 21. (1995) pp 10911-111426 – 65.

Vol. 4 N0.2, pp. 55-58, 2012