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Effect of formulation variables on the hypoglycaemic activity of dried aqueous extract of *Stachytarpheta angustifolia* tablet formulations

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Abstract

Fingerprint was developed to study the effect of excipients on the hypoglycaemic activity of the tablets of aqueous extract of *Stachytarpheta angustifolia* (Mill) Vahl (Verbanaceae). The excipients studied were lactose, magnesium carbonate as diluents, maize starch, polyvinylpyrrolidone (PVP) and gelatin as binders. The biological method was used for the evaluation using a diabetogenic agent, alloxan. The results show that the method was effective in assessing the interactions where it was found that none of the added substances interfered with the hypoglycaemic activity of the aqueous extract of *Stachytarpheta angustifolia* (Verbanaceae).

Keywords: Fingerprint, *Stachytarpheta angustifolia*, Tablet excipients.

Introduction

Stachytarpheta angustifolia (Mill) Vahl (Verbanaceae) is a herb found mostly in moist areas and is widely distributed in the northern part of Nigeria. The aqueous extract has been claimed by some traditional healers to cure diabetes (Type 2), and preliminary screening showed some proof of this folkloric claim (Isah, 2005). The selection of excipients to be included in a formulation is a very important stage in pharmaceutical product development and the goal of every formulator is to select excipients, which will improve the physical properties of the drug and not interfere with its release and absorption. When selecting excipients it is important to consider whether they interact with drug materials or other additives because it has been shown (Kunle and Bangudu 1990; Nasipuri, 1997) that the excipient used in the formulation of a drug can affect its physical, release and absorption properties.

For herbal drug formulation, it may be difficult to determine these incompatibilities by the conventional chemical means. It has therefore been suggested (Nasipuri *et al.*, 1999) that it would be necessary to establish some kind of finger printing method for

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determining the effect of the additives. In developing a suitable formulation for the aqueous extract several excipients such as lactose (as diluent), maize starch (as disintegrant) and three binders were used. While most of these are considered to be inert when used with pure synthetic materials, there are no reported cases of their effect on the properties of plant extract.

This study therefore, is designed to investigate the effect of these excipients on the hypoglycaemic activities of the aqueous extract of *Stachytarpheta angustifolia* (Verbanaceae) in the tablet formulation. To establish this, the biological method would be used and this would make it possible to determine if the materials in the formulation as shown by the biological activity have adversely affected the chemical nature.

Experimental

Extraction. For the preparation of the aqueous extract, soxhlet extraction was used to obtain the aqueous extract. The ground powder, 100gm were placed in the flask and 100mg KOH crystals were added. Then 1000ml of distilled water were added and the extraction process continued for 2hrs. The extract so obtained was concentrated to a semi-solid mass using a rotavapour and later dried in the Erweka Gallenkamp oven at a temperature of 20^0C. The dried material was then size reduced using a mortar and pestle and then packed in a polythene bag, which was placed in a bottle and then covered.

Preparation and compression of granules. The wet granulation method of massing and screening was used. The dried aqueous extract, (340g) and diluent lactose or magnesium carbonate (60g) were mixed in a mortar for 5 minutes. Disintegrant, maize starch (11gm) was added and mixing continued for another 5 min. The liquid binder was added to the powder mix in a 2ml portion and mixed with a pestle. The moistened mass was forced through a 2 mm sieve, dried at 40 ^{0}C for 60 minutes. The granules were again passed through 1.6 mm screen to break up agglomerates. The granules were levigated with maize starch, lubricated with 0.2% w/w magnesium stearate and then compressed into tablets in an Erweka TAR 400 single punch tabletting machine. The machine was fitted with a 12mm flat-faced punch and die set and a pressure setting of 8KN on the scale.

Biological testing

Animals. Male Wistar rats weighting 150-200g bred in the Animal House, Department of Pharmacology and Clinical Pharmacy, Faculty of Pharmaceutical Sciences, Ahmadu Bello University, Zaria, were used for the studies. The animals were kept for 48 hrs to acclimatize in the research laboratory at 25^{o}C and water given *ad libitum.*

Diabetes induction. Diabetes was induced in male Wistar rats weighting 150 – 200gm by intraperitoneal administration of aqueous alloxan monohydrate, 150mg/kg body weight as described by (Kameswara *et al*, 1999). From the fifth day onwards, fasting blood samples were collected from the rats through the caudal vein and the blood glucose was measured to know if diabetes has been induced. From the 10th day of alloxan injection, fasting blood glucose levels were increased to a level higher than normal (70-80mg/dl). After a fortnight, rats with marked hyperglycaemia (≥ 250mg/dl) were selected and used for the study. All animals were allowed access to free drinking water and pellet diet (maintained at room temperature, 25^{0}C in plastic cages). Five (5) tablets were selected from each batch of tablets. They were then crushed and powdered in a mortar with the aid of pestle. Equivalent quantities of the powder (to give the required amount of the dried aqueous extract) of concentration 750mg/kg body was then weighed out and dissolved in distilled water.

The batches tested were coded as follows:

LMS-Lactose used as diluent, maize starch used as binder (5% w/v).
LPV-Lactose used as diluent, PVP used as binder (5% w/v).
LGL-Lactose used as diluent, Gelatin used as binder (5% w/v).
MMS-Magnesium carbonate used as diluent, maize starch used as binder (5% w/v)
MPV-Magnesium carbonate used as diluent PVP used as binder (5% w/v)
MGL-Magnesium carbonate used as diluent gelatin used as binder (5% w/v)

Rats with hyperglycaemia and which were fasted for 24h with water allowed were randomly divided into 8 groups of 5 each. One group served as control and was administered saline 0.9% w/w solution orally while another group was administered 750 mg/kg body weight of the dried aqueous extract orally. Animals in each of the remaining test groups were administered one of the formulations orally as coded above. Blood samples were collected at 0, 3, 5 and 7 hrs after administration of the saline and extract formulations respectively through the caudal vein and measured using the test strips with Accu-chek Glucometer.

Results

The effects of the formulation variables on the hypoglycaemic activity of the plant are shown on Figs 1 & 2 below.

Discussion

Figs. 1 and 2 show that all the formulations retained the hypoglycemic activity of the extract, irrespective of the diluent or binder used, although there was very slight differences in the extent of reduction of the hyperglycaemia by the various formulations. The reduction of the hyperglycaemia by the tablet formulations was compared with the aqueous extract of the plant and the differences were not significant. The retention of the hypoglycaemic activity by all the formulations might be due to the fact that the binders, (maize starch, PVP and gelatin) and the diluents (lactose and magnesium carbonate) are relatively inert (Aulton, 1993) and therefore, not expected to alter the hypoglycaemic activity significantly.

Conclusion

The biological method of evaluating the effect of added substances on the hypoglycaemic activity of the aqueous extract of *Stachytarpheta angustifolia* (Verbanaceae) was found suitable.

Table 1: Formula for preparing batches of *Stachytarpheta angustifolia* tablets

Ingredients	Batches (g)					
	I	II	III	IV	V	VI
S. angustifolia extract	340	340	340	340	340	340
Lactose	60	60	60			
$MgCO_3$				60	60	60
Maize starch (as mucilage)				5		
PVP	5	5			5	
Gelatin			5			5
Maize starch	11	11	11	11	11	11
Mg stearate(%w/w)	0.2	0.2	0.2	02	0.2	0.2

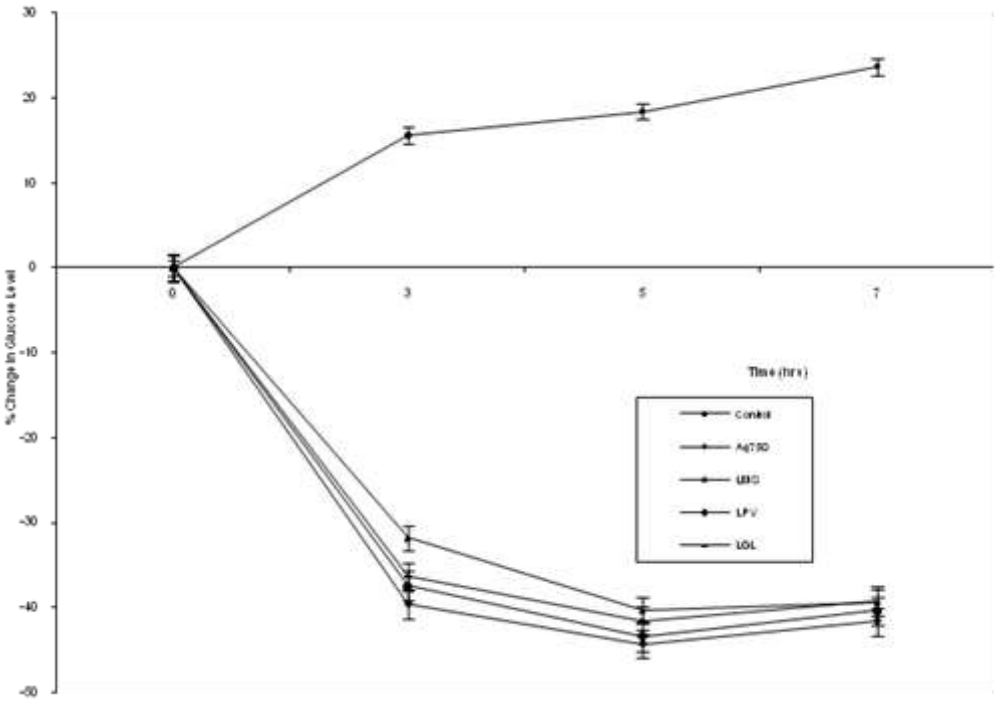

Fig. 1 Percent Change in Blood Glucose levels Vs Time (hrs) after administration of suspensions prepared from tablets of *Stachytarpheta angustifolia* formulated with selected binders and lactose used as diluent

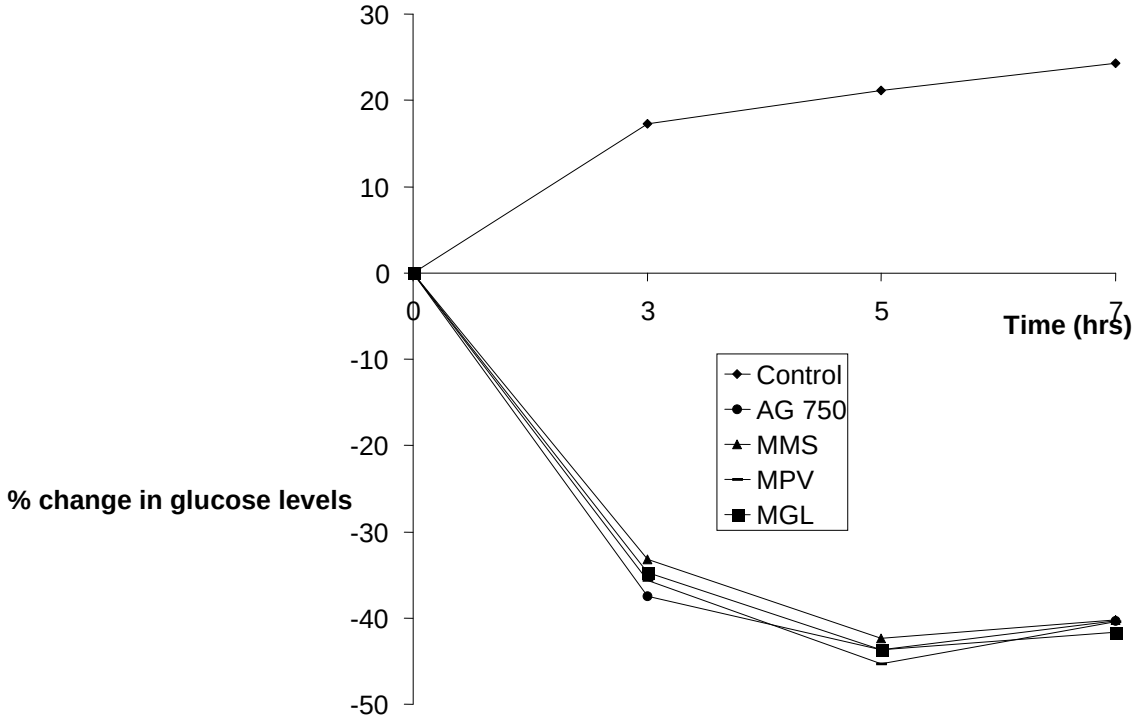

Fig. 2 Percent change in blood glucose levels Vs time (hrs) after administration of suspensions prepared from tablets of *Stachytarpheta angustifolia* formulated with selected binders and $MgCO_3$ used as diluent

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