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Variation of total hyoscine content of cultivated *Datura metel* Linn.

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Summary

A titrimetric method is described for rapid assay of hyoscine content of organs of locally cultivated *Datura metel* Linn. Raw materials required (approximately 1.5 gm) are much less than those required for conventional percolation processes. Using the procedure, the flowers have been shown to be richest in hyoscine, only minimal levels of alkaloids being detected in the fruits.

Furthermore, the total alkaloid content of *D. metel* has been shown to peak in the hot dry season, and at its lowest during the rainy season in Ibadan.

Résumé

Nous décrivons une méthode titrimetrique pour l'analyse rapide du contenu en hyoscine d'organes de *Datura metel* Linn. cultivé localement. La quantité de matiere prèmiere requise (environ 1.5 gm) est bien inférieure à celle des opérations de filtrage conventionnelles. En utilisant ce procede, nous démontrons que ce sont les fleurs qui contiennent le plus d'hyoscine, alors que less fruits exhibent une teneur minime en alcaloides. De surcroit la teneur totale en alcaloides de *Datura metel* attoint un maximum lors de la saison chaude et sèche, tandis qu'elle est à son plus has niveau pendant la saison des pluios a Ibadan.

Introduction.

Datura stramonium and *Atropa belladona*, sourced from Europe, are the two official Solanaceous plants described in many pharmacopoeias as the natural sources of hyoscine and atropine. These two European species are the principal raw materials for the preparation of gallenicals such as Stramonium and Belladona Tinctures BP which are used in pharmacy for the formulation of many cough, diarrhoeal and peptic ulcer specialities.

Datura metel Linn is the most common *Datura* species in Nigeria and it is used in traditional medicine [1–3]. There is very limited research on *D. Metel* as a possible substitute for *D. stramonium*. The pharmacopoeial method for the determination of the total alkaloid content [4] of official *Datura* species (*Datura stramonium*) is based on initial percolation process which requires up to 10gm of plant material [4–8]. Furthermore, the alkaloid content of official *Datura* species is normally calculated with reference to hyoscyamine, an alkaloid which does not occur at every state of development of locally cultivated *D. metel*. It is also not the major alkaloid of the local species [5–9].

This communication describes the potentiometric evaluation of alkaloids of cultivated *D. metel* with reference to its hyoscine content. In view of the well known seasonal variation of alkaloid composition in *Datura* species [7], the changes in alkaloid content were also studied.

Materials and methods

Plant material

Datura metel was raised by the authors in 1984 from seeds grown in Ibadan on soil of about 0.6% total nitrogen content. The species was authenticated at the Forestry Research Institute of Nigeria, Ibadan. Fresh organs of *D. metel* were collected in the mornings and for seasonal studies, leaves were harvested weekly over a period of one year. Five replicate determinations were carried out on each batch and the results are shown in Tables 1 and 2.

Chromatography

Analytical thin layer chromatography were

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performed on silical gel G coated plates. The plates were loaded with the chloroform extracts of each morphological part and batch of *D. metel* and developed in acetone/ammonia/water (90:3:7). Hyoscine and atropine served as reference compounds.

Potentiometry

Potentiometric titrations were performed on a pH meter model 7020 fitted with glass electrode.

Assay for total alkaloids

About 1.5 gm dried morphological parts of *D. metel* was accurately weighed and refluxed with excess 10% sulphuric acid for two hours. The extract was filtered and cooled. The filtrate was partitioned against 1 vol. light petroleum (b.r. 40–60°) and the light petroleum extract was rejected. The acidic portion was then basified with excess ammonia solution and the precipitated alkaloidal bases partitioned into aliquots of chloroform. The pooled chloroform fractions were evaporated and the residue taken up in 10% sulphuric acid. The alkaloidal extract was subjected to further acid-base purification until a reasonable colourless extract was obtained. The final combined chloroform extracts were washed with distilled water (1 vol.) and then evaporated. The residue was heated in a water bath for 15 mins. It was reconstituted in about 1ml chloroform and transferred to a beaker containing 10ml 0.01M H_2SO_4. Excess chloroform was evaporated in a steam bath and the solution cooled. A few drops of methyl red indicator were added and the mixture titrated potentiometrically with 0.02M NaOH solution. Details of this assay have previously been published [10].

Results and discussion

Datura species and their alkaloids are still in demand for the preparation of tinctures and many anti-diarrhoeal and peptic ulcer formulations. *Datura metel* is a potential source of hyoscine and atropine (dl-hyoscyamine). Hyoscine is formed from hyoscyamine via 6-hydroxyhyoscyamine [11]. The extent to which this conversion occurs often depends on the age of the plant, particular variety or species and geographical source, and is an important factor in determining the ultimate use and pharmacological properties of the plant.

Table 1 shows the total hyoscine content of organs of the cultivated *D. metel* at different stages of growth. It shows that hyoscine is concentrated in the flowers (0.64%) and minimal in the fruits (0.27%)

Table 2, on the hand, shows seasonal distribution of total leaf alkaloids in the species. The figures for each month are the means of the appropriate weekly determinations. The total alkaloid content reached peak levels during the hot dry season (November to March), the maximum content being recorded during the harmattan period. During the peak rainy season, alkaloid levels were were minimal. A similar trend was recorded for total leaf extractives determined by standard procedure [12]. The low alkaloid levels recorded during the rainy season is consistent with findings by Gupta *et al* who concluded that rains considerably lower the alkaloid content [9].

Chromatographic examination of the extracts showed that hyoscine occurred in greater proportion than hyoscyamine where both alkaloids co-existed. Hyoscyamine was not detected during the rainy season. The method adopted is a modified British Pharmacopoeia (BP) procedure. It is sensitive and the plant material required are much less than those required for conventional percolation processes. The method can be used for rapid assay of total alkaloid content of various *Datura* species.

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Table 1: Total alkaloid content of organs of cultivated *Datura metel*

Plant Organ	Period of Harvest	Total Hyoscine Content (% w/w)
Leaf	At peak flowering	0.52
Flower	At peak flowering	0.64
Fruit	At fruit dehiscence	0.27
Root	Towards end of growing season	0.49

Table 2: Seasonal distribution of total leaf alkaloids in *D. metel*

Month of Harvest	Average Rainfall (mm/day)	Total Leaf Extractive[a] (%)	Hyoscine Content (% w/w)	S*
January	0.00	54.0	0.70	0.003
February	0.00	46.6	0.65	0.008
March	3.10	43.7	0.56	0.015
April	5.10	30.0	0.21	0.034
May	5.40	27.5	0.29	0.008
June	8.20	30.4	0.25	0.019
July	12.90	28.9	0.24	0.051
August	7.80	38.3	0.35	0.008
September	11.30	33.0	0.40	0.027
October	5.50	40.2	0.53	0.013
November	1.00	50.3	0.59	0.019
December	0.00	51.0	0.61	0.005

[a] Yield to 50% EtOH;
S* = Standard deviation (associated with the weekly determinations)

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