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GLADYS CAIRO VALERA, JULIETTE DE BUDOWSKI,
FRANCO DELLE MONACHE, GIOVANNI BATTISTA
MARINI-BETTÒLO

**A new psychoactive drug. *Heisteria olivae*
(Olacaceae)**

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SEZIONE II

(Fisica, chimica, geologia, paleontologia e mineralogia)

Chimica. — *A new psychoactive drug:* Heisteria olivae (*Olacaceae*). GLADYS CAIRO VALERA (*), JULIETTE DE BUDOWSKI (*), FRANCO DELLE MONACHE (**) e GIOVANNI BATTISTA MARINI-BETTÖLO (**), presentata (***) dal Corrisp. G. B. MARINI-BETTÖLO.

RIASSUNTO. — Recentemente è stata introdotto in Venezuela l'uso di una nuova pianta ad azione allucinogena soprattutto tra i giovani. Questa pianta, già nota nella medicina popolare come veleno per le esche è una Olacacea, la *Heisteria olivae*, il cui frutto per la somiglianza con quello di Cacao, è chiamato comunemente Cacaito.

Data la quasi assoluta mancanza di dati sulla chemiotassonomia delle *Olacacee* e al fine di chiarire il principio attivo della droga, si è studiata la composizione dei frutti che vengono utilizzati a questo scopo.

L'alcaloide principale è la scopolamina, che viene trovato per la prima volta, in una famiglia diversa dalle Solanacee insieme ad acidi grassi liberi, generalmente insaturi e ai rispettivi esteri metilici.

In recent years a new drug constituted by the fresh fruit of a tropical plant called the *Cacaito* has appeared in Venezuela, among young people, and been used as a psychostimulant. The seizing by the Federal Authorities of samples of the drug has enabled botanists to identify the plant as *Heisteria olivae* (*Olacaceae*).

The plant is found above 1000 meters in the Andean highlands in Venezuela and Colombia, and is used in folk medicine in the preparation of bait for rodents and cockroaches.

The sample we have studied was collected in the municipality of La Porta, Trujillo State, Venezuela. A voucher specimen is deposited in the Laboratorio de Toxicología de Policía Judicial (Caracas).

Owing to its growing use among teenagers and the danger of its toxicity (in this period the death of a boy who had ingested one Cacaito fruit was reported) we have considered it of interest to investigate the nature of the active principle of the plant.

The family of *Olacaceae* (Order Santalales) has been studied rather little. The chemiotaxonomic information about the *Olacaceae* family is in effect limited to a few data especially regarding the composition of the seeds. The occurrence of undetermined alkaloids has been reported in some species [1].

(*) Laboratorio de Toxicología del Cuerpo Técnico de Policía Judicial – Caracas (Venezuela).

(**) Centro Chimica dei Recettori del CNR presso Istituto di Chimica dell'Università Cattolica, Via della Pineta Sacchetti 644, Roma (Italia).

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A single alkaloid, muirapuanina, has been isolated, without establishing its structure, from the plant *Liriosma ovata*, known in Brazilian folk medicine as Muira-puana [2].

One species of the genus *Heisteria* has been so far studied, *H. parvifolia* Smith (syn. *H. elegans*) which is non-toxic and contains mainly oleic acid and other fatty acids.

The sample under investigation is constituted by fresh fruits of *H. olivae* (3 fruits, 27 g), which were extracted three times with methanol at room temperature.

After evaporation the residue was dissolved with ether-ethylacetate and insoluble material (800 mg) discarded.

The separation of the components in the organic phase was performed by successive extraction with HCl N and NaOH N. Three fractions, basic, acid and neutral, were obtained.

The alkaline fraction (100 mg) purified by column chromatography on SiO₂, eluant CH₃Cl-MeOH (9:1) yields a single alkaloid (50 mg, 0.2 % of the fresh plant). The product is an oil and yields a picrate, m.p. 186-8 °C, analyzed for C₁₇H₂₁O₄N·C₆H₃O₇N₃. UV spectrum in methanol (λ_{max} 250, 256 and 262nm) and NMR spectrum in CCl₄ (7.25 δ, 5 H, s, C₆H₅; 5.1 δ, 1 H, t, H₃; 3.3-2.8 δ, 2 h, dd, H₆ and H₇; 2.35 δ, 3 H, s, N—CH₃) which suggested the alkaloid to be scopolamine.

The identification was confirmed by mixed TLC with an authentic specimen in five different solvent systems (CHCl₃-diethylamine 9:1; CHCl₃-acetone-diethylamine 5:4:1; cyclohexane-CHCl₃-diethylamine 5:4:1; benzene-acetate-diethylamine 7:2:1 and CHCl₃-CH₃OH 9:1).

The acid fraction (240 mg) is constituted by a mixture of linoleic, oleic and stearic acids (65 %, 20 %, 15 % respectively determined by gas chromatography, NMR and Mass spectrometry).

The neutral fraction consists of a mixture of the methyl esters of the above reported acids.

While the presence of unsaturated fatty acids confirms the previous data, the occurrence of scopolamine in the Olacaceae family is rather surprising, because to date this alkaloid is reported mainly in Solanaceae. Its presence in Cacaito justifies the activity on the CNS of the drug. The co-occurrence of free fatty acids may be accounted for in part by a longer release time of the alkaloid from the drug.

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