Fiji agric. J. (1976), 38, 81-84.

HIGHLIGHTS OF THE CHEMISTRY AND PHARMACOLOGY OF YAQONA (PIPER METHYSTICUM)

by
Dr. R.N. DUVE
Research Division, Department of Agriculture, Fiji.

SUMMARY

The chemical constituents of yaqona *(Piper methysticum)* possess anaesthetic, analgestic, antifungal, sleep-producing, anti-convulsive and spasmolytic properties.

INTRODUCTION

Scientific investigation of yaqona which began in 1860 has led to the publication of a wealth of information regarding its chemistry and pharmacology. This article has been written with a view to summarizing the important research finding about the plant.

Piper methysticum Forst. (synonyms *Macropiper methysticum* Miquel, *Piper decumanum* Opitz, *Piper iberians* Soland ex Parkins and Bertero) (25) belonging to the family Piperaceae is indigenous to the South Pacific islands. In Fiji, the plant, the root and the beverage prepared from the rhizome and roots are called "yaqona", in other Pacific islands "kava" or "kava-kava", "kawa" or "kawa-kawa", and "ava" or "ava-ava" or "awa". In Germany it is commonly known as "Rauschpfeffer" meaning "intoxicating pepper" (25).

Since olden times the people of South Pacific have used yaqona as a national beverage. Historically, yaqona was regarded by the natives of South Pacific as an effective medicine for the treatment of gout, rheumatism, diarrhoea, asthma, and veneral diseases (10, 23, 24).

In Fiji three white varieties and two black varieties of yaqona are recognized (25). The yaqona beverage forms a national drink, widely used as a token of goodwill and respect, and has an important place in all Fijian ceremonies. Nowadays the beverage is drunk in large quantities socially by Fijians, Indians and Europeans alike. The effects of yaqona drink have been described variously by different investigators. For example one author states that the yaqona beverage induces a "placid tranquillity followed by incoherent dreams (24)", while another writes that the consumption of yaqona "dulls the countenance and produces a lazy feeling without obscuring the reason (6)"; yet another claims that a wine glass full of yaqona beverage induces a "profound dreamless sleep (25)". Whatever the descriptions the relaxing qualities of yaqona drink is well known to any consumer of yaqona.

Scientific investigation of yaqona began in Europe as early as 1860 (1, 2, 21) where Physicians were quick to explore the use of yaqona employing it mainly for its sudorific and antivenereal activities. During those days a researcher (24) stated that the kava plant was "the most powerful sudorific in existence". In 1920 a yaqona preparation appeared on the

European market, which was claimed to be a "clinically effective hypotensive and sedative agent" (23).

Chemical and pharmacological research on yaqona mainly by the German workers and partly by the Americans has resulted in the publication of numerous scientific papers and reviews (3, 4, 7, 20, 25) dealing with the structure and physiological properties of the chemical constituents. The knowledge which has been gained through exhaustive research on yaqona can be classified into two main categories (a) chemical constitutents (b) pharmacology of the chemical constituents.

CHEMICAL CONSTITUENTS

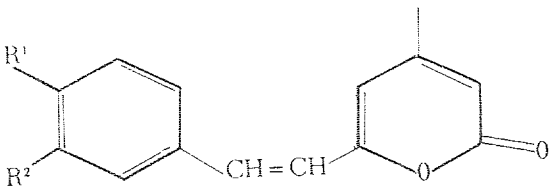
A total of eleven (six major and five minor) compounds have been isolated and nine have been fully characterized (4). The six major compounds are yangonin, methysticin, dihydromethysticin, demethozyyangonin, kawain and dihydrokawain while the five minor compounds are 5 - dihydroyangonin, tetra-hydroyangonin, 11-methozyyangonin, flavokawain A, and flavokawain B (see Formulae). The occurence of alkaloids in yaqona has been mentioned but there does not appear to be an authoritative confirmation of such reports (22).

PHARMACOLOGY

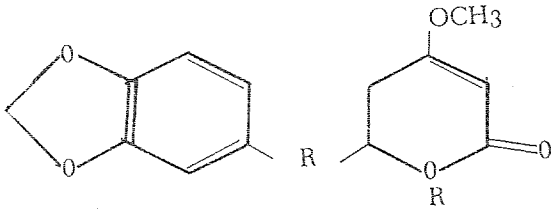
Pharmacological studies (5, 8, 11, 12, 13, 14, 15, 16, 17, 18, 19) of yaqona chemicals using experimental animals have shown that the yaqona chemicals possess interesting physiological properties. The properties can be summarized as follows : Local anesthetic properties (13), antimycotic (antifungal) properties (5), intensification of barbiturate narcosis (sleep producing) 8, 11 anti-convulsive (against convulsions) (12, 14, 15), and spasmolytic (smooth muscle contraction) effects (16).

Of all the kava chemicals kawain is the strongest anesthetic, and its anesthetic effects equals that of cocaine. In their analgesic effects both dihydrokawain and dihyodromethysticin have been found to be, comparable to drug

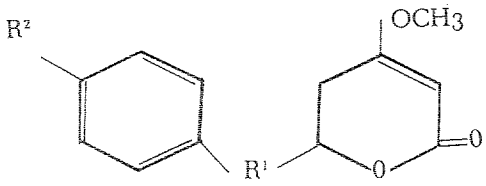
FORMULAE



	R_1	R_2
Yangonin	OCH_3	H
11-Methoxyyangonin	OCH_3	OCH_3
Demethoxyyangonin	H	H



Methysticin	CH = CH
Dihydromethysticin	$CH_2 - CH_2$



	R_1	R_2
Kawain	CH = CH	H
Dihydrokawain	$CH_2 - CH_2$	H
▲ 5-Dihydroyangonin	CH = CH	OCH_3
Tetrahydroyangonin	$CH_2 - CH_2$	OCH_3

dimethylaminophenazone, stronger than aspirin, but weaker than morphine (4, 17). The antifungal properties of kava constituents are selective (5). The best known antibiotic which is effective against fungi is griseofulvin but it has "absolutely no effect on fungus *Asperigillus niger* (rugged type) while the kava chemical dihydrokawain shows a high sensitivity toward *A. niger*." It is interesting to note here that aqueous mixtures of many starchy foods such as flour spoil if left overnight but that prepared yaqona beverage does not ferment if left

around for sometime although dried yaqona contains approximately 50% starch (25). A future study of the utilization of non-toxic yaqona extracts as food preservatives therefore appears attractive especially in view of the fact that many common food preservatives have a limiting concentration (due to their toxic effects) in most foods.

The anticonvulsive effects of dihydromethysticin and dihydrokawain are comparable to that of the drugs phenobarbital and diphenylhyydantoin. Dihydromethysticim is a particularly strong anticonvulsant having the capability of inhibiting convulsions induced by strychnine, a highly poisonous chemical (12). Both dihydromethysticin and dihydrokawain possess the property of prolonging sleeping times induced by sleep drugs such as barbiturates (8, 11).

Clinical evaluation (9) of one of the kava chemicals, dihydromethysticin, has revealed that at doses of 500 mg/day dihydromethysticin was found to be ineffective as a muscle relexant, antiepileptic agent, and in treatment of schizophrenia. Dihydromethysticin, however, appeared to be active as a milk tranquilizer, and on continued usage at higher dose levels, (300-800 mg/day) a high percentage of the patients developed dry scaly skin similar to "kanikani" so the trials were terminated.

An examination of the ether and alcohol extracts of dried kava leaves by the author (by column chromatography) showed that the major kava chemicals were absent in the extracts.

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