recent advances in phytochemistry

Volume 9—V. C. Rumeckles

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Edited by

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PREFACE

For centuries it has been recognized that plants relate to human health and well-being in many ways beyond their fundamental role as primary sources of food and energy. Many of the unique plant constituents have pronounced effects on animal systems or in the human body; some of them are potentially harmful and represent a risk in the use of a particular plant or in the exposure to it, others are useful as medicinal agents in the treatment of diseases. Many of the latter are extracted from plant materials on a large scale for marketing as drugs and even more of them have served as structural prototypes which inspired chemists to synthesize analog drugs with even more desirable properties. Clearly, today's drug therapy had its origins in the exploration and exploitation of pharmacologically active plant constituents. It is therefore appropriate that a symposium of the Phytochemical Society of North America was devoted to this subject.

The present volume consists of eleven papers dealing with various aspects of the topic "Phytochemistry as Related to Disease and Medicine", which were presented at the Fourteenth Annual Meeting of the Phytochemical Society of North America held in August 1974 at Western Carolina University in Cullowhee, N.C. Plant hallucinogens are the subject of the first three chapters. The first, by Schultes, reviews the occurrence of hallucinogenic agents in plants, in tabular form. Emphasis is on plants from Central and South America. The next two chapters deal with marijuana and its constituents; Wall discusses the chemistry and metabolism of the cannabinoids while Hoffmann and his co-workers present the results of a study of the comparative carcinogenicity of the smokes of tobacco and marijuana cigarettes. The fourth chapter, by Duke, speculates on the roles of plant chemistry in folk medicine, and utilizes the 1000 crop matrix system developed by the U.S. Department of Agriculture.

Other harmful or potentially harmful effects of plant constituents are reviewed in the next chapters. Mitchell

describes the subject of allergic responses to plants and plant constituents, and Kuć weighs the evidence for and against the occurrence of teratogenic compounds in potatoes infected with *Phytophthora infestans*. Ressler then discusses the plant neurotoxins which cause lathyrism. The search for new medicinal agents in plants is the focus of other chapters; one, by Kupchan, on plant antitumor agents is followed by a discussion by Scott of recent work on the biomimetic synthesis of indole alkaloids, a group of compounds which have come to prominence because of the antitumor activity of vinblastine and vincristine. In turn, this is followed by the extensive review by Mitscher of antimicrobial agents from higher plants. Finally, a contribution by Nakanishi reports the structure of azadirachtin, an insect feeding deterrent of plant origin, thus touching upon an indirect influence which plants could have on human health through control of insect populations.

We would like to thank the authors as well as many others who have been involved in the preparation of this volume. Our thanks go to the following companies whose financial contributions towards the cost of this symposium are greatly appreciated:

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Victor C. Runeckles
Heinz G. Floss
Kenneth R. Hanson

CONTENTS

Chapter One

PRESENT KNOWLEDGE OF HALLUCINOGENICALLY USED PLANTS: A TABULAR STUDY

RICHARD EVANS SCHULTES

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INTRODUCTION

Of the many plants known to have hallucinogenic activity, only a few have ever been purposefully employed in magico-medical, religious or other ceremonies. The reasons for this parsimonious use of psychoactive species is not wholly clear, but it is probable that man has learned by trial and error that some hallucinogenic species are otherwise too toxic for safety. Whatever the reason, it will be clear from the following pages that the chemistry even of those hallucinogenic plants valued highly in primitive societies is often unknown. Furthermore, it will be obvious that many of these hallucinogens have only very recently been botanically identified.

Inasmuch as I have published numerous detailed papers — both technical and popular — and have been a joint author of a book on hallucinogens, it has occurred to me that the most serviceable way of summarizing our present knowledge might be in tabular form. It is my hope that data thus set out may be more easily and more quickly consulted. The four

hallucinogenic species mentioned in connection with the fronticepiece to this book are included in the illustrations (indicated in the Tables by an asterisk against the plate number). There is appended a relatively representative bibliography designed to help the specialist easily to find more information than that contained in the summarized tables.

PLATE 1. *Justicia pectoralis* Jacquin var. *stenophylla* Leonard.

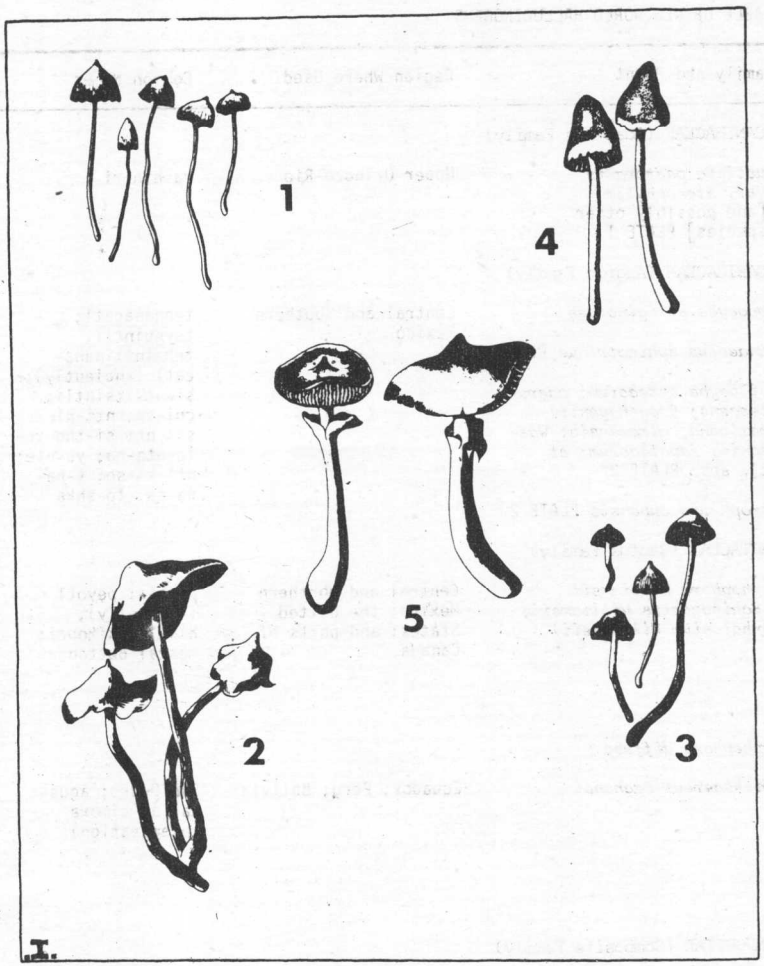

PLATE 2. Several mushrooms reported as hallucinogenic agents in Mexico.

1. *Psilocybe mexicana* Heim
2. *P. zapotecorum* Heim
3. *P. semperviva* Heim & Cailloux
4. *Panaeolus sphinctrinus* Fries
5. *Stropharia cubensis* Earle

(Drawn from Heim: Champignons toxiques et hallucinogènes)

TABLE OF NEW WORLD HALLUCINOGENS

Family and Plant	Region Where Used	Common Names
ACANTHACEAE (Acanthus Family)		
Justicia pectoralis var. *stenophylla* [and possibly other species] PLATE 1	Upper Orinoco-Rio	mashihiri
AGARICACEAE (Agaric Family)		
Conocybe siliginoides	Central and Southern Mexico	teonanacatl; teyhuintli; tehuintlinanacatl (anciently); siwatsitsintli; cui-ya; nti-nise; nti-si-tho-yele-nta-ha; ya-nte; nti-ki-so; t-hana-sa; to-shka
Panaeolus sphinctrinus PLATE 2		
Psilocybe aztecorum; caerulescens; Hoogshagenii; mexicana; mixaeensis; Wassonii; zapotecorum; et al. spp. PLATE 2*		
Stropharia cubensis PLATE 2		
CACTACEAE (Cactus Family)		
Lophophora Williamsii (*Echinocactus Williamsii; Anhalonium Williamsii*)	Central and Northern Mexico; the United States; and parts of Canada	peyote; peyotl (anciently); hikuli; hikouri; mescal button
Lophophora diffusa		
Trichocereus Pachanoi	Ecuador; Peru; Bolivia	San Pedro; aguacalla; cimora (preparation)
COMPOSITAE (Composite Family)		
Calea Zacatechichi PLATE 3*	Oaxaca, Mexico	zacatechichi; thlepelakano
CONVOLVULACEAE (Morning Glory Family)		
Ipomoea violacea (*Ipomoea tricolor*)	Southern Mexico	badoh negro; piule negro

When Identified	How Used	Active Constituents
1968; 1971	Alone as snuff (?)	?
1956-1969	Ingested	Psilocybine; psilocine
1939		
1956-1969		
1887-1888	Fresh or dried tops of plant ingested	Mescaline; pellotine; anhalonidine; anhalamine; hordenine; lophophorine; and 32 other phenylethylamine or isoquinoline alkaloids and related bases
1944; 1967		
1959	Prepared in a drink with other Mescaline plants	Large amounts of pellotine; small amounts of anhalidine, anhalamine and lophophorine; traces of mescaline; anhalonidine; N-methylmescaline; O-methylpellotine
1968	Leaves made into tea and also smoked	?
1960	Seeds ingested	Ergine; isoergine; chanoclavine; elymoclavine; ergometrine; plus several minor alkaloids

TABLE OF NEW WORLD HALLUCINOGENS (Cont.)

Family and Plant	Region Where Used	Common Names
Rivea corymbosa (*Turbina corymbosa*) PLATE 4*	Southern Mexico	ololiuqui (anciently); piule; la señorita; bitoo; yuca-yaha; bador
LABIATAE (Mint Family)		
Coleus Blumei	Oaxaca, Mexico (native of Asia)	el nene; el ahijado
Coleus pumilus	Oaxaca, Mexico (native of Asia)	el macho
Salvia divinorum PLATE 5	Oaxaca, Mexico	pipiltzintzintli (anciently); hierba de la Virgen; hierba de la Pastora; shka-Pastora
LEGUMINOSAE (Pea Family		
Anadenanthera peregrina (*Piptadenia peregrina*)	Orinoco basin, Colombia, Venezuela and adjacent Brazil; possibly disjunctly in Brazilian Amazon; anciently, West Indies	cohoba; yopo; ñiopa; paricá

PLATE 3.

Calea zacatechichi Schlecht.

When Identified	How Used	Active Constituents
1903-1941	Seeds ingested	Ergine; isoergine; chanoclavine; elymoclavine; lysergol; plus several minor alkaloids
1962	Leaves crushed and ingested	?
1962	Leaves crushed and ingested	?
1962	Leaves crushed and ingested	?
1801	Leaves prepared in form of snuff	Same tryptamines and beta-carbolines as found in *Virola* (q.v.) plus 5-hydroxy-N, N-dimethyltryptamine

PLATE 4.
Rivea corymbosa (L) Hall.

PLATE 5.

Salvia divinorum Epling & Jativa.

TABLE OF NEW WORLD HALLUCINOGENS (Cont.)

Family and Plant	Region Where Used	Common Names
Anadenanthera colubrina	Southern Peru; Bolivia; Northern Argentina	huilca; vilca; cebil; sebil
Mimosa hostilis PLATE 6	Eastern Brazil	vinho de jurema
Sophora secundiflora	Northern Mexico; Southwestern United States	red bean; mescal bean; colorines; frijolillos
LYCOPERDACEAE (Puff Ball Family)		
Lycoperdon marginatum; mixtecorum PLATE 7	Oaxaca, Mexico	gi-i-sa-wa; gi-i-wa
LYTHRACEAE (Loosestrife Family)		
Heimia salicifolia PLATE 8*	Central Mexico	sinicuichi

PLATE 6.

Mimosa hostilis (Mart.) Benth.

When Identified	How Used	Active Constituents
1916	Seeds prepared in form of snuff	N,N-dimethyltryptamine; 5-hydroxy-N,N-dimethyl-tryptamine; 5-hydroxy-N,N-dimethyltryptamine-N-oxide
1881; 1946	Root prepared in drink	N,N-dimethyltryptamine
1965	Seeds ingested	Cytisine
1958	Ingestion of plant	?
1936	Leaves slightly wilted are crushed in water and liquor and set out to ferment	Lythrine; cryogenine; heimine; sinine; vertine; lythridine; nesodine; lyofoline