was stirred at room temperature for 1 hr, and then evaporated *in vacuo* to dryness. The residue was washed with ethanol and recrystallized (Table I).

Dehydrogenative Cyclization of 6-Amino-5-benzylideneaminouracils (I and III) to Xanthines (II and IV). General Procedure——Heating of a suspension of a 5-benzylideneaminouracil (0.001 mol) in an excess of DAD (0.002—0.003 mol) under the conditions indicated in Charts 2 and 3, followed by dilution with EtOH, caused the separation of crude products.

Compounds IIa—q were recrystallized from DMF and did not melt below 350°. The structures of these compounds were established by satisfactory analytical and spectral data and by comparison with authentic samples[33,34] prepared by alternative routes.

Compounds IVo—q were also recrystallized from DMF and identical in all respects with authentic samples.[32]

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Screening of East African Plants for Antimicrobial Activity. I

MAKOTO TANIGUCHI,[1a] ANDREW CHAPYA,[1b] ISAO KUBO and KOJI NAKANISHI[1c]

Faculty of Science, Osaka City University,[1a] The International Centre of Insect Physiology and Ecology[1b] and Department of Chemistry, Columbia University[1c]

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Screening of East African plants for antimicrobial activity was carried out. The plant materials were collected mainly on the basis of information gathered from "Bwana Mganga" (Swahili) meaning "medicine man" on "dawa ya miti" (Swahili) meaning "medicinal plants". Of 79 extracts from 72 species of plants belonging to 35 families, we found that 40 extracts gave initial positive results indicative of antimicrobial activity against one or more microorganisms. Interestingly, none of the extracts showed activity against *Escherichia coli*, a gram-negative bacterium.

Keywords——screening; antimicrobial activity; medicinal properties; East African plants; tropical flora; plant collection; medicine man; medicinal plants; *Escherichia coli*

There is little doubt that tropical flora, which are constantly exposed to attack by various parasites such as viruses, bacteria, protozoans, fungi and insects, are confronted with much harsher conditions for survival than their temperate counterparts. This necessarily leads to efficient built-in defense mechanisms and it is presumably for this reason that tropical flora offer a rich and intriguing source for isolating natural products possessing medicinal or pesticidal[2] properties.

The collection of plants in East Africa was carried out on the basis of information gathered from native people, especially "Bwana Mganga" (Swahili) or similar words meaning "medicine man".[3] It is difficult to make contact with a "Bwana Mganga" since it is no longer a legal occupation. Although people have developed a wealth of empirical knowledge on local plants, much valuable information can be lost or distorted whenever a "Bwana Mganga" dies without revealing his knowledge to his offspring. Traditionally, he only passes on his knowledge to his first-born son, but occasionally he might give some information to a trustworthy person.

1) Location: *a) 459 Sugimoto-cho, Sumiyoshi-ku, Osaka 558, Japan*; *b) P.O. Box 30772, Nairobi, Kenya*; *c) New York, N. Y. 10027, U. S. A.*
2) I. Kubo and K. Nakanishi, " ACS Symposium Series 62, Host Plant Resistance to Pests," ed. by P.A. Hedin, American Chemical Society, Washington D.C., 1977, pp. 165—178.
3) I. Kubo, *Farumashia*, 13, 646 (1977).

Table I. Antimicrobial Activity of Crude Extracts of East African Plants

Family	Species	Plant part	Antimicrobial activity[a] Microorganism[b]			
			I	II	III	IV
Ancardiaceae	*Ozoroa mucronata*	Root-bark[c]	−	+	−	−
Asclepiadaceae	*Mondia whitei*	Root[c]	−	−	−	−
Balantitaceae	*Balanites aegyptiaca*	Bark[c]	−	+	+	+
		Fruit[d]	−	+	+	±
Bignoniaceae	*Kigelia africana*	Bark[c]	−	±	−	−
	Spathodea campanulata	Bark[c]	−	−	−	−
Bombaceae	*Adansonia digitata*	Bark[c]	−	+	−	−
Campanulaceae	*Lebelia gibberoa*	Leaf[d]	−	+	−	−
Canallaceae	*Warburgia stuhlmannii*[e]	Bark[c]	−	+	+	+
	Warburgia ugandensis[e,f]	Bark[c]	−	+	+	+
		Leaf[d]	−	+	+	±
Capparaceae	*Gynandropsis gynandra*	Leaf[d]	−	+	−	−
Celastraceae	*Catha edulis*	Root[c]	−	−	−	−
Compositae	*Glangia cordifolia*	Leaf[c]	−	+	−	−
	Schkunria pinnata	Leaf[c]	−	±	−	−
	Spilanthes mauritiana	Flower[d]	−	±	−	−
	Tagetes minuta	Leaf[d]	−	+	−	−
	Vernonia amygdalina[g]	Leaf[c]	−	±	−	−
Convolvulaceae	*Ipomea cairica*	Leaf[c]	−	+	−	−
Euphorbiaceae	*Bridelia micrantha*	Root-bark[c]	−	−	−	−
	Croton macrostachyus[h]	Bark[c]	−	+	−	−
	Euphorbia candelabrum	Sap[d]	−	+	−	−
	Euphorbia tirucalli	Sap[d]	−	+	−	−
	Macaranga kilimandsharica	Root-bark[c]	−	+	−	−
	Phyllanthus fischeri	Root-bark[c]	−	+	−	−
Labiatae	*Ajuga remota*[i]	Leaf[d]	−	+	−	−
	Leonotis mollissima	Leaf[c]	−	−	−	−
	Plectranthus longipes	Leaf[c]	−	−	−	−
	Plectranthus kilimandsharica	Leaf[c]	−	−	−	−
	Ocimum suave	Leaf[c]	−	+	−	−
Leguminosae	*Caesalpinia volkensii*	Leaf[d]	−	−	−	−
	Canavalia virosa	Leaf[c]	−	−	−	−
	Cassia didymobotrya	Flower[c]	−	−	−	−
	Cassia singuena	Root-bark[c]	−	−	−	−
	Erythrina abyssinica	Root-bark[c]	−	+	+	±
	Indigofera paniculata	Root-bark[c]	−	+	−	−
	Piliostigma thonningii	Root-bark[c]	−	−	+	−
	Tephrosia vogelii	Root-bark[c]	−	−	−	−
Loranthaceae	*Erianthemum sodenii*	Leaf[d]	−	−	−	−
Meliaceae	*Ekebergia rueppeliana*	Root-bark[c]	−	−	−	−
	Trichilia roka	Root-bark[c]	−	−	−	−
	Turrea kaessneri	Root-bark[c]	−	+	−	−
	Xylocarpus granatum[j]	Root-bark[c]	−	±	+	+
		Fruit[d]	−	−	−	−
Melianthaceae	*Bersama abyssinica*	Root-bark[d]	−	+	−	−
Menispermaceae	*Cissampelos mucronata*	Leaf[c]	−	+	−	−
Moraceae	*Ficus vogelli*	Bark[c]	−	−	−	−
Myrsinaceae	*Embelia schimperi*	Leaf[c]	−	±	−	−
	Maesa lanceolata	Fruit[c]	−	+	−	−
Oleaceae	*Olea welwitschii*	Bark[c]	−	−	−	−
Pedaliaceae	*Sesemum angolense*	Root[c]	−	−	−	−
	Sesemum angustifolium	Root[c]	−	+	−	−
Phytolacaceae	*Phytolacca dodecandra*[k]	Leaf[d]	−	−	−	−
		Fruit[d]	−	+	−	−
Pittosporaceae	*Pittosporum mannii* (Sub. sp. *ripicola*)	Root-bark[c]	−	−	+	−

Family	Species	Plant part	Antimicrobial activity[a] Microorganism[b] I	II	III	IV
Polygalaceae	*Polygala sphenoptera*	Root[c]	−	−	+	−
	Securidaca longipedunculata	Root-bark[c]	−	−	+	−
Polygonaceae	*Polygonum senegalensis*	Root[d]	−	−	−	−
Ranunculaceae	*Clematis simensis*	Bark[c]	−	−	−	−
Rubiaceae	*Canthium euryoides*	Bark[c]	−	−	−	−
Rutaceae	*Fagara chalybea*[l]	Bark[c]	−	±	+	+
	Fagara holtziana[l]	Bark[c]	−	±	+	+
	Teclea trichocarpa	Root-bark[c]	−	+	−	−
	Toddalia asiatica	Root-bark[c]	−	+	−	−
		Fruit[d]	−	+	−	−
Simaroubaceae	*Harrisonia abyssinica*[m]	Root-bark[c]	−	+	−	−
Solanaceae	*Solanum incanum*[n]	Fruit[d]	−	−	±	±
	Solanum nigrum	Leaf[d]	−	−	−	−
	Solanum indicum	Leaf[c]	−	−	−	−
		Fruit[d]	−	±	±	−
		Root[c]	−	±	±	−
Tiliaceae	*Triumfetta rhomboidea*	Bark[c]	−	−	−	−
Umaceae	*Trema guinensis*	Leaf[c]	−	+	−	−
	Trema orientalis	Leaf[c]	−	+	−	−
Umbelliferae	*Steganotaenia araliacea*	Root-bark[d]	−	−	−	−
Verbenaceae	*Clerodendrum johnstonii*	Leaf[d]	−	−	−	−
	Clerodendrum myricoides	Root[d]	−	±	−	−
	Lantana camara	Root[c]	−	−	−	−
	Premna chrysoclada	Root[c]	−	−	−	−

a) −: no effect, ±: partial growth inhibition, +: complete growth inhibition.
b) I: *Escherichia coli*, II: *Bacillus subtilis*, III: *Saccharomyces cerevisiae*, IV: *Penicillium crustosum*.
c) Dried material.
d) Fresh material.
e) K. Nakanishi and I. Kubo, *Israel J. Chem.*, **16**, 28 (1978).
f) I. Kubo, I. Miura, M.J. Pettei, Y.-W. Lee, F. Pilkiewicz, and K. Nakanishi, *Tetrahedron Lett.*, **1977**, 4553.
g) S.M. Kupchan, R.J. Hemingway, D. Werner, and A. Karim, *J. Org. Chem.*, **34**, 3903 (1969).
h) S.M. Kupchan, R.J. Hemingway, and R.M. Smith, *J. Org. Chem.*, **34**, 3898 (1969).
i) I. Kubo, Y.-W. Lee, V. Balogh-Nair, K. Nakanishi, and A. Chapya, *J. C. S. Chem. Comm.*, **1976**, 949.
j) This tree was originally identified as *X. moluccensis*. However, this identification now seems uncertain. I. Kubo, I. Miura, and K. Nakanishi, *J. Am. Chem. Soc.*, **98**, 6704 (1976).
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l) F.Y. Chuo, K. Hostetmann, I. Kubo, K. Nakanishi, and M. Taniguchi, *Heterocycles*, **7**, 969 (1977).
m) I. Kubo, S.P. Tanis, Y.-W. Lee, I. Miura, K. Nakanishi, and A. Chapya, *Heterocycles*, **5**, 485 (1976).
n) V. Beaman-Mbaya and S.I. Muhammed, *Antimicrobial Agents and Chemotherapy*, **9**, 920 (1976)

Information on "dawa ya miti" (Swahili) meaning "medicinal plants" is always given in the field where he shows the plant, tells the vernacular name and explains how to prepare the drug.

It would be appropriate and rewarding if the medicinal values of these plants could be detected to be of use as drugs in themselves or capable of improvements by chemical modification.

In this paper, the results of antimicrobial activity tests are presented.

Materials and Methods

Plant Material——The plant materials for screening were collected from different regions of East Africa in a cooperative program with the International Centre of Insect Physiology and Ecology (ICIPE) on insect antifeedant studies.[4]

Extraction Procedure——Botanically identified plant materials (100—500 g), for the most part air-dried, were extracted with 60% aqueous methanol. The solvent was removed *in vacuo* below 40° to give the crude extracts.

4) J. Meinwald, G.D. Prestwich, K. Nakanishi and I. Kubo, *Science*, **199**, 1167 (1978).

Antimicrobial Activity Screening——The crude extracts were tested at a concentration of 100 μg/ml against bacteria (*Bacillus subtilis* ATCC 6633 and *Escherichia coli* IFO 3545), yeast (*Saccharomyces cerevisiae* IFO 0203) and mold (a strain of *Penicillium crustosum* Thom[5,6]). Each bacterium was cultured in a peptone medium at 37°, and yeast and mold in wort at 25°. After 2 days, the growth of bacteria and yeast was measured by the optical density at 660 nm, and that of mold was examined with the unaided eye.

The antimicrobial activities of the extracts were expressed by − (no effect), ± (partial growth inhibition) and + (complete growth inhibition).

Results and Discussion

We evaluated 79 extracts, representing 72 plant species distributed among 35 families, and these are presented in Table I arranged alphabetically with their botanical names, plant parts and results of antimicrobial activity tests. Of 79 extracts examined, we found that 40 gave initial positive results indicative of antimicrobial activity against one or more microorganisms. This finding seems to indicate that the information from "Bwana Mganga" is justified medicinally, since the probability of antimicrobial activity being found in "dawa ya miti" is much higher than that in common or garden plants. Interestingly, none of the extracts showed activity against *Escherichia coli*, a gram-negative bacterium.

The presence of some substances having antimicrobial activities was expected in these East African plants. The isolation and characterization of them will be reported elsewhere.

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5) S. Oi, A. Sawada and Y. Satomura, *Agric. Biol. Chem.*, **31**, 1357 (1967).
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