INSECTICIDES, INSECT REPELLANTS, AND ATTRACTANTS FROM ARID/SEMIARID-LAND PLANTS

Martin Jacobson Biologically Active Natural Products Laboratory U.S. Department of Agriculture, Beltsville, Md.

Abstract

Four weeds (Acorus calamus, Artemisia tridentata, Heliopsis longipes, and Tagetes minuta) and three cultivated plants (Azadirachta indica, Mammea americana, and Ocimum basilicum) are potential candidates for crop development and commercialization for sources of insect attractants, repellants, or toxicants. Although all could be commercially viable, the neem tree (A. indica) is by far the most likely to succeed. Its development in the Southern United States and Central and South America is highly recommended.

Introduction

The plant kingdom is a vast storehouse of chemical substances manufactured and used by plants as defenses against insects, bacteria, fungi, and viruses, The American Indians and native tribes in Central and South America, Asia, and Africa have used and, in some places, still use decoctions from many plants as medicines for a wide variety of ailments (30). The efficacy of these materials for relieving pain and suffering is described by Ayensu (5) in the introduction to his "Plants for Medicinal Uses With Special Reference to Arid Zones."

Dioscorides (A.D. 40-90) divided poisons into three classes: animal, plant, and mineral. He listed opium, black and white Hyoscyamus, Mandragora, Conium, elaterin, aconite, and the juices of Euphorbia species as plant poisons. He was also aware of colchicum's medicinal properties. The Remans used Veratrum album and V. nigrum as medicines, constituents of "rat and mice powders," and insecticides. From the time of the early Remans to the 20th century, only three efficient insecticides were discovered: nicotine, pyrethrum, and hellebore. The discovery of rotenone and several other plantderived insecticides followed in rapid succession. Today, a wide variety of plants has been shown to be effective not only as insect toxicants but also as repellents, feeding deterrents, attractants, inhibitors of growth and development, and sterilants. Useful general references on the subject of plantderived physiologically active materials for insects are those by Jacobson and Crosby (24), Feeny (11), Kubo and Nakanishi (31), Finch (12), Jacobson (20,22), and Smith and Secoy (50).

Although arid/semiarid land plants do not produce compounds that are more biologically active against insects than other plants, they lend themselves more readily to mass cultivation than plants requiring fertile soil for growth and development.

Since promising pesticidal plants are too numerous to mention here, only the seven with the most commercial potential are discussed. The scientific name, common name (if known), and family of each plant are given, followed by a discussion under the following headings: description, native range, present and potential uses, active compounds, extraction, cultivation, and constraints to development.

Discussion

Acorus calamus L.

Common names: Calamus, sweetflag Family: Araceae

Description: A. calamus is a semiaquatic, robust perennial that can grow on dry land, is 1.5 to 1.8 m (5 to 6 ft) tall, has a horizontal rootstock containing aromatic cells and iris-like leaves, and grows at an altitude of 900 to 1,800 m (3,000 to 6,000 ft). It is winter-hardy and flowers in early summer.

Native range: The American variety grows wild in various provinces from Florida to Texas, Idaho, Ontario, and Nova Scotia. The Indian variety is indigenous to the plains of India and Burma, Ceylon, and the Celebes Islands. The Japanese variety occurs throughout the country. The European variety occurs throughout the continent.

Present and potential uses: The roots have been used from ancient times in India and Japan for the treatment of a variety of ailments and as an insect repellent and toxicant. The essential oils from the roots of the Indian and European varieties are obtained by steam distillation or solvent extraction of the crushed rhizomes. These oils, reported to be repellent and toxic to clothes moths, house flies, fleas, several species of mosquitoes, lice, and several species of stored grain insects, are available commercially, When the finely powdered rhizome is mixed with various grains in a ratio of 1:50 (0.9 kg to 45.5 kg) the grains are free from insect damage for a year. The dried rhizomes and leaves, as well as their water infusions, are effective against crop pests such as plant lice and beetle grubs (18,19). The

Indian root oil, which is obtained in 5 to 10 percent yield, is much more active than that obtained from the Japanese variety which is obtained in less than 1 percent yield. The oil causes sterility in male house flies, and low concentrations hamper the maturation of ova and cause complete regression of the ovaries. The Indian oil, and to a lesser extent the European oil, is highly attractive to female Mediterranean fruit flies, female melon flies, and male and female oriental fruit flies (25). The roots are used as an insecticide and vermifuge in China.

Active compounds: The major distilled oil component (80 percent) responsible for the repellency and sterility is ß-asarone, or (Z)-2,4,5-trimethoxypropenylbenzene. Another component, asarylaldehyde (2,4,5-trimethoxybenzaldehyde), also is repellent to many species of insects. Both compounds are attractive to fruit flies (25). Synthesizing B-asarone and related compounds by a process that gives an overall yield of 79 percent is cheaper than extracting the oil from calamus. The compounds are expected to be especially useful as fumigants for protecting stored grain from insects, as they will permeate grain-filled storage areas without leaving residues on the grain after the areas are ventilated. The rice weevil, probably the most damaging insect pest of stored grains, is vulnerable to B-asarone (2). Asarylaldehyde is available commercially.

Several other compounds obtainable from calamus oil are acoragermacrone, which is attractive to female melon flies but is not available synthetically (25); at least eight coumarins which are potentially useful in the treatment of tuberculosis (38); and a host of terpenes which have superior germicidal properties (49) and are used in perfumery (13).

Extraction: Raquibuddowla and Haq (43) have published the details of a countercurrent pilot plant method for extracting the oil from the rhizomes with petroleum ether, which can be recovered and reused. Three extractions of 25 kg of ground rhizomes (1.65 mm particle size) at room temperature yield 2.71 kg of oil, from which the active compounds can be isolated by fractional distillation or column liquid chromatography (25).

Cultivation: The plant is propagated by division. It propagates easily in the spring or autumn and although it thrives in moist soil, it may be grown on dry land.

Constraints: B-asarone has a marked depressant effect on the central nervous system of mice, rats, and monkeys. It reduces spontaneous locomotor activity in mice and rats, and in monkeys produces a calming effect lasting 24 hours. The onset of action is more rapid than that of reserpine (9). Although these pharmacological properties may limit the use of calamus oil to certain applications, they should not prevent use of the oil for agricultural insect control and possibly for medical purposes.

Artemisia tridentata Nutt.

Common name: Big sagebrush

Family: Asteraceae

Description: A. tridentata is a copiously branched perennial which has short rhizomes, is aromatic, and grows to a height of 3 m. The inflorescence is generally dense and leafy; the flowers are fertile and bloom from July to September. The threetoothed leaves are 2.5 cm long. The plant thrives in light, well-drained, dry, stony soils.

Native range: Originally a native of Europe, it is the prevailing plant of the Great American Desert, which centers around the Salt Lake of Utah and includes portions of Utah, Colorado, northern Nevada, and northern Arizona. It is also found in the desert areas of Washington State, in British Columbia, and in Baja, Calif.

Present and potential uses: Cattle browse this plant on the range. The Indians sometimes use the brittle branches for thatch. The seeds are eaten by many kinds of birds and mammals, and California Indians grind the seeds into a meal used for making a kind of pinole. An extract of the pollen is used to treat hay fever.

An ethanol extract of the dry branch ends is exceptionally effective in preventing feeding on potato leaves by the Colorado potato beetle, Leptinotarsa decemlineata Say (28). The beetle's resistance to insecticides in potato-growing areas is an increasingly serious problem in several areas of the world, and this resistance probably will become more widespread. Antifeedants could be important in a multiple system approach (integrated pest management) to control this pest (27). In classical times, the leaves and shoots of Artemisia species were added to stored cereals in granaries to protect them from weevils and other pests, and the water in which they had been steeped was used to kill or repel insect larvae, fleas, and locusts. Several species of ticks are killed rapidly by exposure to the vapors of the powdered plant (19). Various parts of the plant are used in the United States as a vermifuge,

Active compounds: Although one of the feed ing deterrent compounds in the branches has been identified as deacetoxymatricarin, other compounds not yet identified are also responsible for the activity. Deacetoxymatricarin shows good antifeedant activity at a threshold of 20 micrograms/ square centimeter (μ g/cm²). An extensive series of sesquiterpene lactones and a number of coumarins including esculetin, scopoletin, 7-hydroxycoumarin, and 6,7-dimethoxycoumarin are present in the plant. Strangely, although a steam distillate of A. *tridentata* at 80 µg/cm² had no effect on the feeding activity of L. *decemliniata*, an aqueous extract of the organic residue following steam distillation showed strong activity at 5 to 10 µg/cm²(28). Numerous species of *Artemisia* contain absinthin, which is avoided by insects (15).

Extraction: The dried, ground branches are extracted with ethanol, then the extract is freed of solvent and partitioned between 70 to 90 percent aqueous methanol, chloroform, and carbon tetrachloride. Most of the deterrent activity is from the carbon tetrachloride portion, which is chromatographed on a silicic acid column eluting with successively more polar solvents (28),

Cultivation: Sagebrush has been cultivated since 1881. It can be planted at any time during October and March in full sunlight, and grows rapidly.

Constraints: Seed germination is low—35 percent or less. The pollen is known to cause hay fever. Deacetoxymatricarin has not been synthesized and it is unlikely that it can be synthesized economically for high yields because a number of inactive geometrical isomers might be formed instead. There should be no practical problems in using crude plant extracts for crop protection, as these can be sprayed on crops without purification (52). A more extensive search for insect antifeedants in sagebrush desert plants certainly is justified.

Azadirachta indica A. Juss.

Common names: Neem, nim, margosa Family: Meliaceae

Description: The tree is of moderate to large size (12 to 19 m tall) with a straight trunk that can attain a diameter of 1.8 m, The bark is moderately thick; the heartwood is red, hard, and durable; and leaves are glabrous and resemble those of marijuana. Neem bears honey-scented white flowers.

Native range: Neem commonly occurs in large numbers in the open scrub forest in the dry zones of India and Burma. It was introduced as an ornamental into East Africa in the last century. It is also well established in Guinea and the Sudan (39),

Present and potential uses: Almost every part of the neem tree is used medicinally in India. The timber is durable, is seldom attacked by termites, and can be used for the manufacture of building materials, furniture, and other wooden objects of commercial value. In India, goatskins treated with tannins derived from the bark compare favorably with hides processed by conventional chemicals. The amber-colored gum exuded by the bark is used medicinally as a stimulant (53). The twigs have been used for many years in India and Africa for general mouth hygiene, and extracts of the bark are used in toothpaste in Germany. Neem leaves are useful fodder for farm animals and are reputed to have therapeutic effects against intestinal worms and ulcers (37).

The seed has the most commercial promise. For centuries seed oil has been used in India for the manufacture of a refreshing soap and has been burned as a source of light and heat. The oil can also be used for the manufacture of wax and lubricants. The seed cake left after oil extraction is used as an organic manure for fertilizing cash crops of sugarcane and vegetable gardens in India (40,41, 42). Although all parts of the tree repel insects, extracts of the seeds are outstanding repellents and feeding deterrents for a broad spectrum of economic agricultural and household insects. Seed extracts deter at least 25 species of crop pests in the United States from feeding, inhibit the growth and development of others, and render others sterile (10,21,42, 48,56).

Unlike most of the present insecticides available on the market, the seed extracts appear to be nontoxic to man and animals and are essentially nonphytotoxic. In addition, they have a systemic action when applied to some crops so that, once absorbed by the plant tissue, they offer more durable protection to crops even after heavy rain showers.

Active compounds: Of the three proven insect feeding inhibitors isolated from neem (meliantriol, azadirachtin, and salannin), azadirachtin is by far the most effective, since it can be used at concentrations as low as 0.1 part per million (ppm). Meliacin limonoids occurring in the seeds areazadirone, azadiradione, 17-epiazadiradione, nimbin, nimbinin, gedunin, vepinin, meldenin, and nimbolin (56). The insecticidal properties of these have not been investigated in depth (29). The efficacy of azadirachtin against insect pests native to the United States (e.g., Japanese beetles, cucumber beetles, Mexican bean beetles, Colorado potato beetles, a number of stored product insects, aphids and scale insects, cotton bollworms, tobacco budworms, and fall armyworms) and other countries is discussed by Warthen (56), Schmutterer, et al. (48), Ladd, et al. (32), Warthen, et al, (57), Redfern, et al. (45), and Meisner, et al. (34). Salannin is also an effective feeding deterrent for house flies (58) and several cotton pests in Israel (34).

Extraction: Neem seed extracts that are effective against insects have been prepared with hexane, ethyl ether, acetone, ethanol, and methanol (21,26,

54). Although the active compounds are only slightly water soluble, Indian farmers have used water to prepare spray solutions that prevent insect damage to tobacco (3). A procedure has been developed by scientists in the U.S. Department of Agriculture (54) for isolating azadirachtin of greater than 90 percent purity from ground neem kernels in 0.018 percent yield. The dry kernels are extracted first with hexane to remove largely inactive oil, then with acetone. The extract is chromatographed on Florisil and then by open-column liquid chromatography on Phase-bonded C-18 Hi-Flosil and μ Bondapak C-18 high performance liquid chromatography.

Salannin maybe isolated from the kernels by extracting with 95 percent ethanol, partitioning the extract between methanol and hexane, and subjecting the methanol-soluble portion to column chromatography ondiatomaceous earth followed by chromatography on Florisil and high performance liquid chromatography of the active eluate on μ Bondapak C-18 (58).

Cultivation: Neem is a fast growing, sturdy tree that can be established without irrigation in hot and dry regions with annual rainfall of 500 mm or less. It grows well on shallow, stony, or sandy soils where agricultural crops have low yields, despite the application of fertilizers, or fail altogether. It thrives on dry, stony, and shallow soils with a waterless subsoil or in places where there is a hard calcareous or clay pan near the surface. The extensive roots of neem have the unique physiological capacity to extract nutrients from highly leached, sandy soils (42).

The neem tree has a wide range of possibilities for economic development, especially in arid zone countries. Two neem trees from Malavsia and one from Africa are growing well in Miami, Fla., at the USDA Horticultural Research Station and the Fairchild Tropical Garden, respectively. Neem plantations are abundant in northern Cameroon, Nigeria, and Gambia in Africa; in India; and in Cuba, Barbados, Honduras, and Antigua. The current policy of the U.S. Agency for International Development (AID) is to reduce the dependence of developing countries on imported pesticides. The U.S. Peace Corps is encouraging the mass cultivation of neem trees in Cameroon and Gambia. USDA has initiated a program to develop neem as a commercial crop in Puerto Rico and the U.S. Virgin Islands (2 I). It is envisioned that neem could be grown effectively where other agricultural crops are unproductive or present a severe erosion hazard.

Constraints: Although dry neem seeds are available commercially on the open market in India, these seeds do not ordinarily germinate. Under ordinary circumstances, neem seeds do not retain their viability for long; 2 weeks is probably the upper limit. Green (ripe) seeds, however, do germinate well in sand and a sand-sterilized soil-cachaza mixture. Germination is improved if the inner shell is removed before planting to expose the embryo. Since the complicated molecular structure of azadirachtin probably precludes its synthesis, it is fortunate that practical methods are available for isolating azadirachtin.

Azadirachtin formulations used to treat growing field crops are subject to photodegradation by sunlight. Acetone solutions of this compound exposed for 7 days show more than a 50 percent reduction inactivity; exposure for 16 days destroys the compound. Present research is aimed at preventing such photodegradation (51).

Neem seed oil has an unpleasant garlic-like smell, and the problems of deodorization, refining, and purification in large-scale industrial production have yet to be solved. Treating the oil with alcohol separates most of the odoriferous fraction, which can then be used in the manufacture of pharmaceutical preparations.

Another constraint mentioned by Radwanski and Wickens (42) is that: "Neem cannot be grown among agricultural crops since it will not tolerate the presence of any other species in its immediate vicinity and, if not controlled, may become aggressive by invading the neighboring crops."

The tree is tender to frost, especially in the seedling and sapling stages. In imperfectly drained soils, growth is poor and the taproot tends to rot.

Despite these constraints, cultivation and processing of neem are promising (35). The most work on commercial development of neem is being carried out in India by universities and government agricultural institutes which stress applied aspects and by USDA which is doing basic research on extraction and stabilization of the active compounds and methods of application.

Heliopsis longipes (A. Gray) Blake

Common names: Chilcuage, peritre del pais, chilcuan

Family: Asteraceae

Description: H. longipes is a perennial herb with stems that are slightly woody and shrubby at the base. It grows to 20 to 50 cm and forms new shoots

each year. Its few leaves are opposite, the flowers yellow, and the roots are slightly fleshy but fibrous.

Native range: Heliopsis grows natively in Mexico, from southern San Luis Potosi and northeastern Guanajuato and in mountainous areas south and southeast towards Alvarez. It is found at altitudes of 1,800 to 2,100 m in areas with annual rainfall of 370 mm. Its habitat is usually the oak-forest zone in sandy to clay soils.

Present and potential uses: Usually available in local markets in Mexico, the dried roots are used mainly as a spice to flavor beans and other foods. The tongue and mouth numb and burn when minute pieces are chewed. An extract of the roots is used for colds and pneumonia. Roots are chewed to relieve toothache. An alcoholic extract of the roots has been tested successfully by dentists as an anesthetic for tooth extraction (33).

In Mexico City, a local insecticide is prepared from *Heliopsis.* Some powdered root placed in the cattle's wounds made by warble flies kills the larvae. A petroleum ether extract of the roots is highly toxic to house flies, adults, and larvae of *Aedes* mosquitoes, body lice, melonworm and southern beet webworm larvae, bean weevils, squash bug nymphs, German and American cockroaches, black carpet beetles, and clothes moths (19). Spray formulations of the roots cause rapid knockdown of flying insects.

Active compounds: The active compound in root extracts was identified as the isobutylamide of (E,Z,E)-2,6,8-decatrienoic acid, which has been given the names "affinin" and "spilanthol" (23). It has been prepared synthetically (17) but in such a low yield that extraction is advocated for this plant.

Extraction: "Affinin" is obtained by extracting the dried roots with petroleum ether, partitioning the extract between this solvent and nitromethane, and filtering the nitromethane solution through a charcoal column. The pure compound is obtained in 0.4 percent yield by distillation as a pale yellow oil.

Cultivation: Wild plants have been transplanted successfully in rows and beds at four localities in Mexico at elevations of 1,800 to 2,400 m. The plants were dug with picks, most of the tops cut off, and the roots were trimmed. The rhizomes sprout readily at the nodes, so propagation by rhizome cuttings might be successful. The plant also can be propagated commercially by seeds. Roots of suitable size and quantity for harvest should be ready within 2 or 3 years after planting. Transplants under care grow better than wild plants (33).

Constraints: In spite of the succulent character of the roots, care must be used in transplanting. When exposed to the air, the roots dry out rapidly and shrivel within a week. Dried roots with bases of stems attached will not grow.

"Affinin" shows a marked tendency to polymerize in the pure state, although it can be kept almost indefinitely in solution with a hydrocarbon solvent such as benzene or toluene at 5° C. It has a burning, paralytic effect on the tongue.

Mammoa americana L.

Common name: Mamey, mammy-apple Family: Clusiaceae

Description: This is a handsome, conspicuous tree 12 to 18 m tall with shiny, leathery oval leaves; fragrant white flowers; and large globose russet-brown fruits 8 to 20 cm in diameter, with rough, bitter skin and orange apricot-flavored pulp surrounding one to four seeds. The trunk may reach 0.9 to 1.2 m in diameter,

Native range: Mamey is indigenous to the West Indies and tropical America.

Present and potential uses: An infusion of the edible fruits at 1 lb/gal of water is highly toxic to melon worm larvae both as a stomach and a contact poison, and also to fleas, ticks, and lice. The powdered seeds are very toxic to fall armyworms, melonworms, and diamondback moths, and in a water suspension are highly toxic to the larvae of several species of mosquitoes, American and German cockroaches, flies, ants, southern beet webworms, and southern armyworms. The leaves have been used for many years in Puerto Rico as a wrapping around the stems of newly set garden plants to prevent attack by garden insects. The powdered leaves are very effective as a stomach poison for chewing insects. The flowers are toxic to melonworm larvae (24).

The plant is widely cultivated in the French Antilles for a liqueur ("creme de creole") distilled from the flowers. The fruit is usually stewed or made into candles in Mexico. Because the wood is hard and durable, it is useful for construction. It is also used for cabinet making, as it is beautifully grained and takes a high polish. The resinous gum from the bark is used to extract chiggers from the feet. The sweet flesh of the fruit is eaten raw or cooked, and the juice makes a refreshing drink. The flesh normally adheres closely to the seeds, but seedlings grown in the Isle of Pines yield fruits in which the flesh separates readily from the seeds. Active compounds: The principal insecticidal constituent, "mammein" or "mameyin," which comprises 0.19 percent of the seed weight, has been identified as 4-n-propyl-5,7-dihydroxy-6-isopente-nyl-8-isovalerylcoumarin. The related compound 4-phenyl-5,7-dihydroxy-8-isopentenyl-6-isovaleryl-

coumarin, isolated from the fruit pulp, is also toxic to insects. An additional 24 coumarins isolated from the fruits are not insecticidal. All the coumarins are effective uncouplers of oxidative phosphorylation (8,24).

Extraction: Mammein is isolated by percolating the ground, large (40 g), egg-shaped seeds or fruits with petroleum ether, removing the solvent, dissolving the residue in acetone, and chromatographing on a column of alumina. The yield is about 180 g of mammein from 100 kg of seed.

Cultivation: The tree is cultivated for the edible fruit on Caribbean islands, and in Mexico, Central America, and South America. Several trees are growing at the Fairchild Gardens in Miami, It can be grown in Florida as far north as Palm Beach, but never has been grown successfully in California, probably because it will not stand more than 2 to 3 degrees of frost. The seeds germinate readily if planted in light, sandy, loam soil, and seedlings usually bear at 6 to 8 years of age. The tree is propagated by seeds and also by inarching and budding. The fruit ripens in summer.

Constraints: Although no serious attempt has been made at export or commercial use, there should be no difficulty in making this a commercial crop in southern Florida, Puerto Rico, or the Virgin Islands.

Ocimum basilicum L.

Common names: Basil, sweet basil, garden basil Family: Lamiaceae

Description: Sweet basil is an aromatic plant reaching a height of 60 to 70 cm. It is a glabrous or slightly public public herb with petiolate leaves and white or slightly purplish flowers.

Native range: O. basilicum is indigenous to France, Italy, Spain, Germany, Haiti, Indonesia, Samoa, Africa, India, Pakistan, and the Philippines.

Present and potential uses: Essential oil distilled from the fresh flowers or the entire basil plant is employed extensively as a flavor in confectionery, baked goods, condiments, and spiced meats and as an aroma in certain perfume compounds. It has antipyretic, antiseptic, diaphoretic, diuretic, and stimulant properties and, therefore, has been recommended for gastric disorders, malarial fevers, and skin diseases. The oil is an excellent repellent and larvicide for almost all species of mosquitoes and for mites and aphids (7,44). In India, freshly collected leaves or their ether or acetone extracts are rubbed on the back and arms to effectively repel mosquitoes for 4 to 6 hours. The essential oil is a powerful attractant for male fruit flies, *Dacus correctus*. Topical application of the oil inhibits growth and development of milkweed bugs, *Oncopeltus fasciatus (6)*, The oil also has fungicidal properties.

Active compounds: Although not all of the repellent compounds in the essential oil have been identified, cineole, linalool, and methyl chavicol—which account for 3 percent, >50 percent, and 33 percent of the oil, respectively—are implicated (14). The fruit fly attractant has been identified as methyl eugenol. Two compounds, designated as "juvocimene I" and "juvocimene I I," are responsible for the juvenilizing effects,

Extraction: The oil is extracted by steam distillation or enfleurage of the leaves or leaves and flowers. The yield depends upon soil fertility and seasonal conditions; cloudy or rainy weather immediately preceding the harvest reduces the yield, whereas bright sunny weather increases it. The highest oil yield obtained from any location was 49 kg/ha. Extraction of the leaves and/or flowers with solvents such as ether or acetone also produces oil that is repellent or toxic to insects. Since the many active components can be obtained readily from basil oil, their synthesis would be much less practical commercially.

Cultivation: The former Division of Drug and Related Plants of USDA experimentally cultivated the plant in Virginia for several years during the 1930's. The seed was imported from France and the whole fresh flowering herb was used for distillation of the oil. The plants grew rapidly on clay soil improved by mulching with pulverized stable manure. A highly fertile soil produces large succulent plants with low oil content.

Constraints: The seed will not germinate if planted at a depth of more than 0.6 cm. The cultivation of this annual in the United States should present no unusual difficulties. Since the crop is grown easily and good yields are obtained, the oil could be produced in many localities of the United States at reasonable prices (1).

Tagetes minuta L. (synonym: T. glandulifera Schrank)

Common names: Mexican marigold, stinking roger

Family: Asteraceae

Description: The plant is a glabrous branched annual attaining a height of 0.9 m or more and possessing clusters of fragrant orange-yellow flowers

opening in August. It grows in any well-cultivated site, even in poor, rather dry soils.

Native *range: T. minuta* is native to Central and South America, especially Chile, but grows widely in Ethiopia, Kenya, and other areas of East Africa (especially in the highland areas) and northern India. It has been naturalized in the Eastern United States and South Africa, and occurs wild in sandy waste places of the Coastal Plain in North Carolina and in Spain.

Present and potential uses: The oil produced by the plant's seeds, leaves, and flowers is strongly repellent to blowflies and is useful in the tropics as a blowfly dressing. A dressing for sheep infested with blowfly larvae consists of an emulsion of 20 percent carbon tetrachloride, 5 percent Tagetes oil, 6 percent wool grease, and water. The emulsion breaks down soon after application, the larvae are killed within 1 minute, and the carbon tetrachloride and water soon evaporate. The leaves are used locally in Africa and India to repel mosquitoes and safari ants and recently have been found to kill mosquito larvae. The oil is more toxic to the larvae than DDT (4,36). The oil prevents molting, thus causing juvenilization in Dysdercus kcoenigii (46). It also possesses significant antitumor activity against the Lewis lung carcinoma in vivo (16). The oil possesses tranquilizing, hypotensive, bronchiodilatory, spasmolytic, and anti-inflammatory properties. Tagetes roots possess fungicidal and nematocidal properties (46).

Active compounds: Two of the mosquito larvicidal components of the oil have been identified as (E)-5-ocimenone (2,6-dimethyl-2,5,7-octatrien-4-one) (36) and the organic sulfur polyacetylenic compound a-terthienyl. The latter is also responsible for the nematocidal and bactericidal properties of the plant (4). The compound possessing juvenilizing properties has been identified as tagetone (2,6dimethyl-5,7-octadien-4-one), which comprises 50 to 60 percent of the oil. These compounds have been synthesized. The oil also contains numerous organic sulfur-containing acetylenes, flavonoids, and carotenoids in addition to the terpenes ocimene, limonene, and estragole, which are used in perfumes and flavoring. The Japanese essential oil ("Ho-leaf oil") also contains tagetonol (2,6-dimethyl-7 -octen-6-ol-4-one), which has been synthesized (55).

Extraction: The ground fresh leaves and flowers or other aerial portions are steam distilled, the distillate is extracted with hexane or petroleum ether, and the solution is dried. Evaporation of the solvent yields 0.5 to 1.0 percent of the yellow essential oil.

Cultivation: The seeds should be planted in late May in open, sunny sites. They germinate rapidly.

Constraints: Although several of the pesticidal components of **Tagetes** oil have been prepared synthetically in the laboratory, the synthetic methods involve multistep processes, which sometimes do not give the desired geometric isomers, and yields are poor. However, large-scale cultivation of the plant should pose no insurmountable difficulties, and the oil, which is easily obtained by distillation, can be used for pest control and as a source of pesticidal and medicinal compounds.

Recommendations

Although all of the seven plants discussed in this report are potential candidates for crop development and commercialization, the neem tree is by far the most likely to succeed despite the constraints mentioned. As a broad spectrum pesticide, neem is unsurpassed among the known insecticidal botanical, both in the number of pest insects affected and the extremely small amounts required to reduce crop damage and cut down on the amounts of synthetic insecticides necessary. The leaves repel insects; the twigs are used as antiseptic toothbrushes; the seed oil is used for illumination and soapmaking; formulations of the seeds have powerful insect repellent, toxicant, feeding deterrent, and growth inhibiting properties; the oilfree seeds make an excellent fertilizer; and the timber, which is resistant to termites, is useful for home and furniture building. Furthermore, both the leaves and seeds contain compounds with considerable medicinal activity. Neem extracts, as well as azadirachtin and salannin, have no apparent mammalian toxicity, are not mutagenic, and are not phytotoxic at the concentrations normally used to control insects. The systemic properties of neem formulations are a definite advantage.

The neem tree begins to bear fruit in its fifth year and may live for over 250 years. It is easy to grow and requires virtually no extra care by the farmer. One tree produces 30 to 50 kg of seeds per year. Thirty kg of seeds yield 6 kg of neem oil and 24 kg of neem cake. The market price for neem oil in India is approximately \$1 per kg and for neem cake is less than 50 cents per kg. Over 14 million neem trees exist in India alone (47). Neem is a prime candidate for the establishment of cottage industries in India and East Africa. Neem trees grow abundantly in large areas of Asia, Africa, and the Caribbean. At the USDA Horticultural Research Station in Miami, several of the trees are thriving and l-year-old seedlings obtained from pollination are already 1.5 m tall. Two-year-old neem trees are growing at the Escuela Nacional de Ciencias Forestalls in Comaqua, Honduras. It is recommended that these efforts to develop neem as a commercial crop in the United States and Central and South America be assigned a high priority, implemented, and expanded as rapidly as possible.

References

- Anonymous, Drug and Condiment Plants, U.S. Department of Agriculture Handbook No. 172 (Washington, D. C.: U.S. Government Printing Office, 1960), pp. 6-7.
- Anonymous, "β-Asarone is Effective Insect Chemisterilant," Chemical and Engineering News, Apr. 16, 1979, p. 24.
- 3. Anonymous, "Lab to Land, Good News to the Tobacco Growers," *Central Tobacco Research Institute Leaflet*, Rajahmundry, India, 1979.
- 4. Arnason, T., Swan, T., Wat, C. K., Graham, E. A., Partington, S., and Towers, G. H, N., "Mosquito Larvicidal Activity of Polyacetylenes From Species in the Asteraceae," *Biochemical Systematic and Ecology* 9:63-68, 1981.
- Ayensu, E. S., "Plants for Medicinal Uses With Special Reference to Arid Zones," *Arid Land Plant Resources, J. R. Goodin and D. K. Worthington (eds.)* (Washington, D. C.: Smithsonian Institution, *1979)*, pp. 117-178.
- Bowers, W. S., and Nishida, R., "Juvocimenes: Potent Juvenile Hormone Mimics From Sweet Basil," Science 209:1030-1032, 1980,
- Chavan, S. R., and Nikam, S. T., "Mosquito Larvicidal Activity of Ocimum basilicum Linn.," Indian Journal of Medical Research 75:220-222, 1982.
- 8. Crombie, L., Games, D. E., Haskins, N. J., and Reed, G. F., "Extractives of Mammea americana L., Part V, The Insecticidal Compounds," Journal of the Chemical Society, Perkin Transactions I: 2255-2260, 1972.
- Dandiya, P. C., and Bapna, J. B., "Pharmacological Research in India," Annual Review of Pharmacology, No. 14, 1974, pp. 116-117.
- Doria, J. J., "Neem: The Tree Insects Hate," Garden Magazine, No. 4 (July-August): 8-11, 1981.
- Feeny, P., "Plant Apparency and Chemical Defense," Biochemical Interaction Between Plants and Insects, J. W. Wallace and R. L. Mansell (eds.) (New York: Plenum Press, 1976), pp. 1-40,
- Finch, S., "Chemical Attraction of Plant-Feeding Insects to Plants," Annals of Applied Entomology 5:67-143, 1980.

- 13. Fujita, S., Enomoto, Y., Suemitsu, R., and Fujita, Y., "Miscellaneous Contributions to the Essential Oils of the Plants From Various Territories, XXVIII: On the Components of the Essential Oils of Acorus calamus Linn. var. angustatus Bess.," Yakugaku Zasshi 91:571-574, 1971.
- 14. Guenther, E., *The Essential Oils (New* York: Van Nostrand, vol. III, 1949) ("Individual Essential Oils of the Plant Families Rutaceae and Labiatae"), pp. 399-415,
- Heywood, V. H., Harbourne, J. B., and Turner, B. L. (eds.), *The Biology and Chemistry of the Composite*, London, vol. I, 1977, p. 427.
- 16. Ickes, G. R., Fong, H. H. S., Schiff, P. L., Jr., Perdue, R. E., Jr., and Farnsworth, N. R., "Antitumor Activity and Preliminary Phytochemical Examination of *Tagetes minuta* (Compositae)," *Journal of Pharmaceutical Sciences* 62:1009-1011, 1973.
- 17. Jacobson, M., "Constituents of *Heliopsis* Species, IV: The Total Synthesis of Trans Affinin," *Journal of the American Chemical Society* 77:2461-2463, 1955.
- Jacobson, M., Insecticides From Plants: A Review of the Literature, 1941-1953 (Washington, D. C.: U. S. Government Printing Office, 1958), p. 24.
- Jacobson, M., Insecticides From Plants: A Review of the Literature, 1954-1971 (Washington, D. C.: U.S. Government Printing Office, 1975), pp. 8-9.
- Jacobson, M., "Isolation and Identification of Insect Antifeedants and Growth Inhibitors From Plants: An Overview," *Proceedings, 1st International Neem Conference,* Rottach-Egern, June 16-18, 1980, 1981, pp. 13-19.
- 21. Jacobson, M., "Neem Research in the U.S. Department of Agriculture: Chemical, Biological and Cultural Aspects," *Proceedings, 1st International Neem Conference,* Rottach-Egern, Germany, June 16-18, 1980, 1981, pp. 33-42.
- 1980, 1981, pp. 33-42.
 22. Jacobson, M., "Plants, Insects, and Man—Their Interrelationships," *Economic Botany* 36:346-354, 1982.
- 23. Jacobson, M., Acree, F., Jr., and Hailer, H. L., "Correction of the Source of "Affinin" (N-Isobuty l-2,6,8-decatrienamide)," Journal of Organic Chemistry 12: 731-732, 1947.
- 24. Jacobson, M., and Crosby, D. G. (eds.), *Naturally Occurring Insecticides (New* York: Dekker, 1971).
- 25. Jacobson, M., Keiser, I., Miyashita, D. H., and Harris, E. J., "Indian Calamus Root Oil: Attractiveness of the Constituents to Oriental Fruit Flies, Melon Flies, and Mediterranean Fruit Flies, "*Lloydia 39:* 412-415, 1976.
- 26, Jacobson, M., Reed, D. K., Crystal, M. M., Moreno, D. S., and Soderstrom, E. L., "Chemistry and Biological Activity of Insect Feeding Deterrents From Certain Weed and Crop Plants," *Entomologia Experimentalis et Applicata* 24:448-457, 1978.
- 27, Jermy, T., "Biological Background and Outlook of the Antifeedant Approach to Insect Control," Acta Phytopathologica of the Academy of Sciences of Hungary 6:253-260, 1971.

- Jermy, T., Butt, B. A., McDonough, L., Dreyer, D. L., and Rose, A. F., "Antifeedants for the Colorado Potato Beetle. I: Antifeeding Constituents of Some Plants From the Sagebrush Community," *Insect Science Application* 1:237-242, 1981.
- 29. Kraus, W., Cramer, R., Bokel, M., and Sawitzki, G., "New Insect Antifeedants From Azadirachta indica and Melia azedarach," Proceedings, 1st International Neem Conference, Rottach-Egern, Germany, June 16-18, 1980, 1981, pp. 53-62.
- 30. Kubo, L, "Pharmacies in the Jungle," World Book Science Annual, 1982, pp. 126-137.
- 31. Kubo, 1., and Nakanishi, K., "Some Terpenoid Insect Antifeedants From Tropical Plants, "Advances in Pesticide Science, H. Geissbuhler (cd.), pt. 2 (New York: Pergamon Press, 1979), pp. 284-294.
- 32. Ladd, T. L., Jr., Jacobson, M., and Buriff, C. R., "Japanese Beetles: Extracts From Neem Tree Seeds as Feeding Deterrents, " *Journal of Economic Entomology* 71:810-813, *1978.*
- 33. Little, E. L., Jr., "Heliopsis longipes, a Mexican Insecticidal Plant Species," Journal of the Washington Academy of Sciences 38:269-274, 1948.
- 34. Meisner, J., Ascher, K. R. S., Aly, R., and Warthen, J. D., Jr., "Response of *Spodoptera littorals* (Boisd.) and *Earias insulana* (Boisd.) Larvae to Azadirachtin and Salannin," *Phytoparasitica* 9:27-32, 1981,
- 35. Michel-Kim, H., and Brandt, A., "The Cultivation of Neem and Processing It in a Small Village Plant," *Proceedings, 1st International Neem Conference,* Rottach-Egern, Germany, June 16-18, 1980, 1981, pp. 279-290.
- 36. Maradufu, A., Lubega, R., and Dorn, F., "Isolation of (5 E)-Ocimenone, a Mosquito Larvicide From *Tagetes minuta*, "*Lloydia* 41:181-183, 1978.
- Okpanyi, S. N., and Ezeukwu, G. C., "Anti-inflammatory and Antipyretic Activities of Azadirachta indica," Planta Medica 41:34-39, 1981.
- 38. Patra, A., and Mitra, A. K., "Constituents of Acorus calamus Linn.," Indian Journal of Chemistry 17B: 412-414, 1979.
- 39. Radwanski, S. A., "Neem Tree. 1: Commercial Potential, Characteristics and Distribution," World Crops and Livestock 29:62-66, 1977,
- 40, Radwanski, S. A., "Neem Tree. 2: Uses and Potential Uses," World Crops and Livestock 29:111-113, 1977.
- 41. Radwanski, S. A., "Neem Tree. 3: Further Uses and Potential Uses," *World Crops and Livestock* 29:167-168, 1977.
- 42. Radwanski, S. A., and Wickens, G. E., "Vegetative Fallows and Potential Value of theNeem Tree (Azadirachta indica) in the Tropics," Economic Botany 35:398-414, 1981.
- 43. Raquibuddowla, M., and Haq, A., "Pilot Plant Extraction of Oil From Acorus calamusLinn.," Bangladesh Journal of Scientific and Industrial Research 9:161-166, 1974.

- Rathore, H. S., "Preliminary Observations on the Mosquito Repellent Efficacy of the Leaf Extract of Ocimum sanctum," Pakistan Journal of Zoology 10:303, 1978,
- 45. Redfern, R. E., Warthen, J. D., Jr., Mills, G. D., and Uebel, E. C., "Molting Inhibitory Effects of Azadirachtin on Large Milkweed Bugs," Agricultural Research Results, ARR-NE-5, USDA, Beltsville, Md., 1979,
- 46. Saxena, B. P., and Srivastava, J. B., "Tagetes minuta L. Oil—A New Source of Juvenile Hormone Mimicking Substance," Indian Journal of Experimental Biology 11:56-58, 1973.
- 47, Saxena, R. C., International Rice Research Institute, personal communication, 1982,
- Schmutterer, H., Ascher, K. R. S., and Rembold, H. (eds.), "Natural Pesticides From theNeem Tree (Azadirachta indica A. Juss.), Proceedings, 1st International Neem Conference, Rottach-Egern, Germany, June 16-18, 1980, 1981.
- 49. Shah, S. C., and Gupta, L. K., "Note on Pharmacognostic Study of the Rhizome of Acorus calamus Linn.," Indian Journal of Agricultural Research 11: 113-115, 1977.
- Smith, A. E., and Secoy, D. M. "Plants Used for Agricultural Pest Control in Western Europe Before 1850," *Chemistry and Industry* 1981:12-17, 1981.
- 51 Stokes, J. B., and Redfern, R. E. "Effect of Sunlight on Azadirachtin: Antifeeding Potency," *Journal of Environmental Science and Health* A17:57-65, 1982.
- 52. Sutherland, O.R.W., "Invertebrate-Plant Relationships and Breeding Pest-Resistant Plants," *Proceedings, 2nd Australasian Conference on Grassland Invertebrate Ecology* (Wellington, New Zealand: Government Printer, 1980), pp. 84-88.
- 53. Thompson, E.B., and Anderson, C. C., "Cardiovascular Effects of *Azadirachta indica* Extract," *Journal* of Pharmaceutical Sciences 67:1476-1478, 1978,
- Uebel, E. C., Warthen, J. D., Jr., and Jacobson, M., "Preparative Reversed-Phase Liquid Chromatographic Isolation of Azadirachtin From Neem Kernels," *Journal of Liquid Chromatography* 2:875-882, 1979.
- Journal of Liquid Chromatography 2:875-882, 1979. 55, Vig, O. P., Ram, B., and Vig, B. "Terpenoid Part LXVII. Synthesis of d1-Tagetonol," Journal of the Indian Chemical Society 50:408-409, 1973,
- Warthen, J. D., Jr., "Azadirachta indica: A Source of Insect Feeding Inhibitors and Growth Regulators," Agricultural Reviews and Manuals, ARM-NE-4, USDA, Beltsville, Md., 1979.
- 57. Warthen, J. D., Jr., Redfern, R. E., Uebel, E. C., and Mills, G. O., Jr., "An Antifeedant for Fall Armyworm Larvae From Neem Seeds," Agricultural Research Results, ARR-NE-1, USDA, Beltsville, Md., 1978.
- Warthen, J. D., Jr., Uebel, E. C., Dutky, S. R., Lusby, W. R., and Finegold, H., "Adult House Fly Feeding Deterrent From Neem Seeds," Agricultural Research Results, ARR-NE-2, USDA, Beltsville, Md., 1978.