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# Plants as Potential Sources of Pesticidal Agents: A Review

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Simon Koma Okwute

Additional information is available at the end of the chapter

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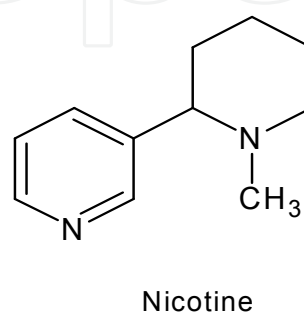
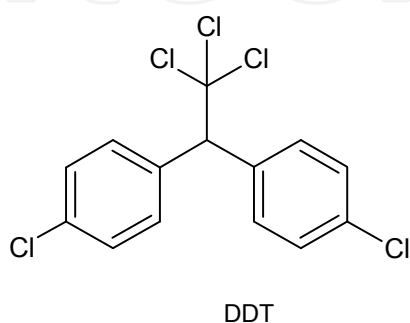
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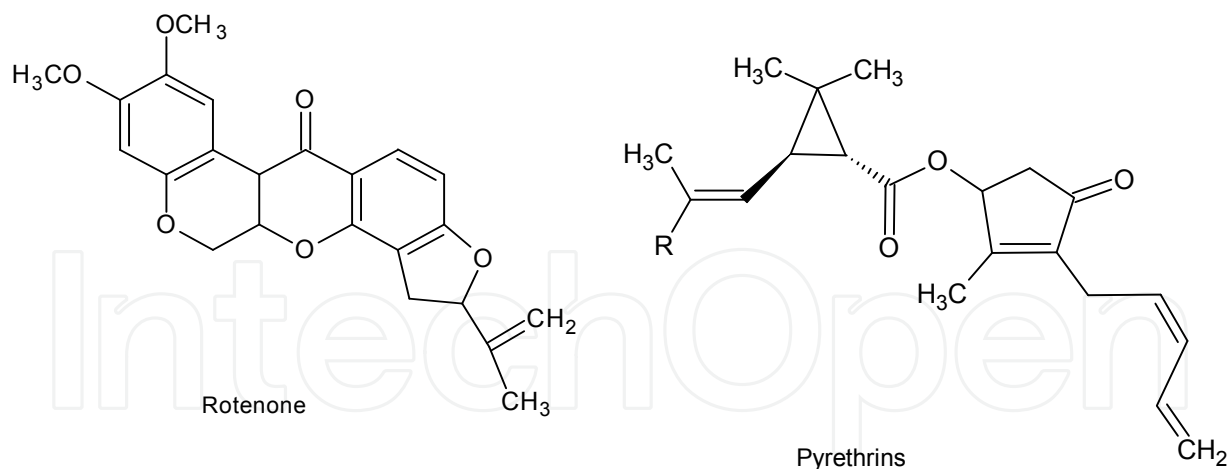
## 1. Introduction

For global food security, the agricultural sector of the world economy must achieve a production level that ensures adequate food supply to feed the increasing population as well as provides raw materials for the industries. This is particularly so as the energy sector is vigorously pursuing research into the use of grains and root crops as sources of starch for conversion into bio-fuels. Coincidentally, these crops (maize, rice, millet, *sorgum*, soybeans, cowpeas, sugarcane, groundnuts, e.t.c.) are the staple foods in most parts of the developing countries of the world such as Africa, South America and Asia. In addition to the above new development in the industrial utilization of these crops, they are frequently and vigorously attacked by *herbivorous insects* and other pests such as *phytopathogens* and mollusks. In fact the loss due to pests and diseases is about 35% on the field and 14% in storage, giving a total loss of about 50% of agricultural crops annually. Thus the world food production is adversely affected by insects and pests during crop growth, harvest and storage [1]. Apart from the farm environment insects and pests constitute serious menace in the home, gardens and bodies of water, and transmit a number of diseases by acting as hosts to some disease-causing parasites. Thus elimination of these insects and pests or mitigation of their activities will go a long way in reducing world food crisis as well as improve human and animal health.

Insects and other pests have been in existence since the creation of the universe, and of course man. The threat of insects and other pests such as mosquitoes, cockroaches, rodents, parasitic worms, pathogens and snails, has been well known and challenged by man. The ancient man had deployed different methods of control, including prayers, magic spells, cultivation systems, mechanical practices as well as application of organic and inorganic substances to protect his crops from the attack of weeds, diseases and insect pests [1].

Between 500 BC and the 19<sup>th</sup> century a number of substances classified as pesticides and defined as “any substances or mixture of substances intended for preventing, destroying, repelling or mitigating any pest” were used to control pests. They included sulphur, arsenic, lead and mercury [2]. In 1874 DDT (dichlorodiphenyltrichloroethane) was synthesized and during the second half of World War II its insecticidal activity was discovered and was effectively used to control malaria and typhus diseases among the troops. It became the first synthetic organic pesticide and was used after the war for agricultural purposes [3]. There is no doubt that the use of insecticides has contributed immensely to the increase in agricultural productivity and to the improvement in human health, particularly the eradication of malaria in the developed countries of the world in the 20<sup>th</sup> century and beyond [4]. However, it has been established that use of synthetic organic pesticides, particularly the chlorinated hydrocarbons such as DDT and derivatives has led to serious environmental pollution (water, air and soil), affecting human health and causing death of non-target organisms (animals, plants, and fish). This situation led to the Stockholm Convention in 2001 and the eventual ban of DDT in 2004 [5]. Before the ban efforts were already made by researchers for alternative sources of pesticides due to other reasons including (a) non-selectivity/specificity, (b) ineffectiveness, (c) not many of the synthetic compounds have been successfully marketed due to lack of interest by potential users, (d) high cost of synthetic chemicals and (e) development of resistance [6-7]. Natural products from plants have attracted researchers in recent years as potential sources of new pesticides. The folkloric use of higher terrestrial plants by the natives of various parts of the world as *pesticidal* and antimicrobial materials has been well known [8-9]. Perhaps, one of the early plants so recorded as *pesticidal* material was tobacco (*Nicotiana tabacum*). The use of tobacco leaf infusion to kill aphids led to the isolation of the alkaloid, *nicotine*, while the chemical investigation of the Japanese plant, *Roh-ten* (*Rhododendron hortense*) in 1902 showed *rotenone*, as the active constituent [10]. In this class of age-old *pesticidal* plants are species belonging to the genus *Chrysanthemum* found in Kenya and other highlands in Africa, which are the sources of the all purpose and very successful insecticidal extract, *pyrethrum*, and the active constituents, the *pyrethrins* [11].





Tens of thousands of natural products have been identified from plants and hundreds of thousands are yet to be isolated and screened for their bioactivities. This large reservoir of organic chemicals is largely untapped or under-tapped for use as pesticides. In this chapter the traditional applications of native plants as pesticidal agents and the results of biological and chemical studies on these plants in the past few decades are examined with a view to assessing their potential use in agriculture and related fields. The factors influencing efficacy, the advantages of and problems associated with the use of plant-based *pesticidal* products are also discussed.

The pesticidal agents that will be dealt with will include insecticides (insect killers including adults, ova, and larvae) insect *repellents*, *antifeedants*, *molluscicides*, *fungicides* and *phytotoxins* (herbicides). It must however be stated at this stage that although much work has been done in the past decades to show that indeed plants have the potentials to provide alternative and safe pesticides to replace the synthetic ones not enough work has been done in the area of identifying the active components. Whether or not it is very necessary to utilize pure constituents will be discussed later from the point of view of safety, cost and effectiveness (synergism). It is equally important to note that this review will be restricted to those plant-based pesticides that have the potential to be used as extracts (solutions), smoke or dust that have the potential of killing pests or their hosts or mitigating their effects. Consequently, although plant materials that act against worms that destroy crops of economic importance may be discussed, *anthelmintics* for intestinal worms in humans and other animals will not be included in the discussion.

## 2. Pesticidal plants

There is no doubt that a number of plants possess pesticidal activity and investigations by various research groups in different parts of the world have confirmed this. One of the most recent studies was the survey by Mwine *et al.* which established that thirty-four species belonging to eighteen families are used in traditional agricultural practices in Southern Uganda [12]. Also, Rajapake and Ratnasekera studied the toxicity of the ethanol extracts of the leaves of twenty plant species from different families to

*Callosobruchus maculatus* and *Callosobruchus chinensis*. It was observed that mortality reached a maximum level in 72 hours of exposure to the leaves oils which indicated a high level of lethality [13]. Similarly, Lajide *et al.* and Fatope *et al.* have investigated the protectant effectiveness of some plants native to Nigeria against the maize weevil, *Sitophilus zeamais* Motsch, and the cowpea weevil, *Callosobruchus maculatus* F, respectively [14-15]. On the basis of the results of pesticidal screenings it has been established that a number of plants have broad pesticidal activity and those commonly used in traditional agricultural applications in many parts of the developing countries, particularly in the tropical areas, are shown in Table 1 which are only representative but not exhaustive of the thousands of plants so far screened [13-16]. From various investigations it has been established that activity is usually distributed in most cases among the various parts of the same plant though the lethality and quantities of the active components may vary [13].

Having provided a background to the potential use of plant materials as pesticides we shall now look at efforts made in the last few decades by researchers to give us hope that if we return to the ways of our ancestors in combating pests by applying science and technology the terrestrial environment which is our home will be protected against the harmful effects of synthetic pesticides.

## 2.1. Insecticidal plants

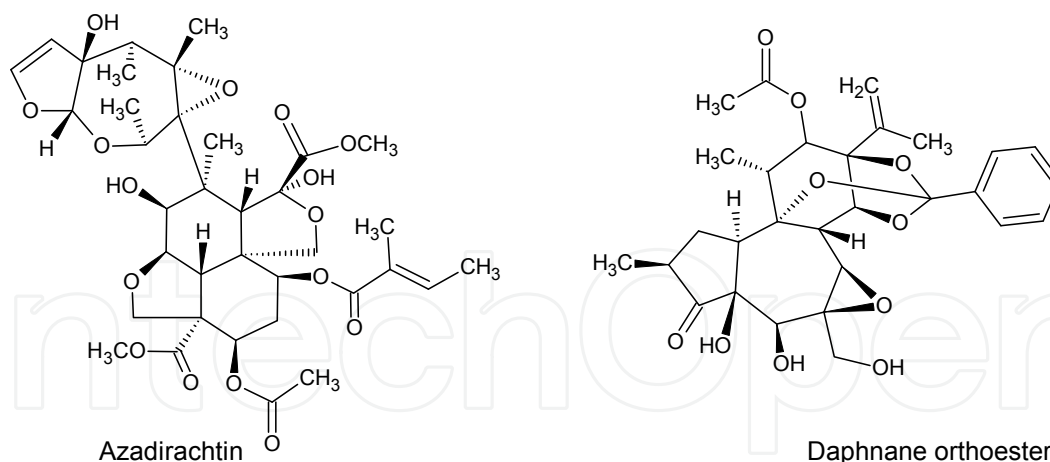
In the past decades, apart from the *pyrethrum* which has attained international and commercial acclaim due to its high effectiveness and broad spectrum insecticidal activity (repels and kills insects depending on concentration) very few natural insecticides have been developed. Of particular economic significance among the plants in common use today is the tropical plant *Azadirachta indica*, popularly known as the neem tree. In India as well as in Nigeria the plant is effectively used to control over 25 different species of insect pests. The activity has been associated with the presence of *azadirachtin*, which is said to be highest in the kernel than in the leaves and other tissues of the plant [1,13]. The effectiveness of nine insecticidal species of Chinese origin has been compared with synthetic insecticides against 40 species of insects. Three of the plants *Milletia pachycarpa* Benth, *Trpterygium Forrestii* Loes and *Rhododendron molle* G. Don were studied in detail. The finely ground powder when applied as spray in suspension or as dust were highly active against *aphids*, *pentatomids* and leaf-beetles as well as against *caterpillars*, body lice and plant lice. Among the plants *R. molle* displayed specific toxicity against certain species of *lepidopterous* larvae, *pentatomids* and leaf-beetles. The three plants were shown to contain *rotenone*, [17].

Investigation of the Sri Lankan plants showed that extracts of three plants, *Pleurostylia opposita* (Wall) Alston (Celastraceae), *Aegle marmelos* Correa (Rutaceae) and *Excoecaria agallocha* (Euphorbiaceae) were insecticidal. For the first time three compounds possessing the *daphnane orthoester* skeleton, which are constituents of the ethyl acetate extract of *E. agallocha*, were found to be insecticidal [18-19].

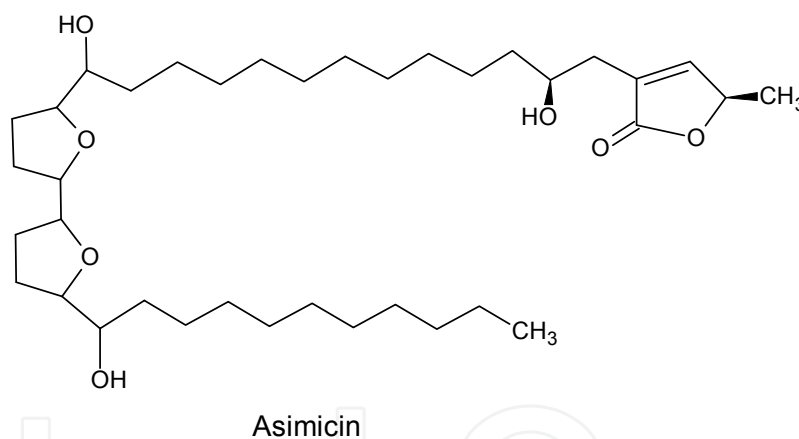
Species	Families	Parts
<i>Abrus precatorius</i> L	Fabaceae	L, S
<i>Allium sativum</i> L	Alliaceae	L
<i>Anacardium occidentale</i> L	Anacardiaceae	L
<i>Annona senegalensis</i> Pers.	Asteraceae	S, B
<i>Artemisia annua</i> L	Asteraceae	L, B
<i>Azadirachta indica</i> A. Juss	Meliaceae	L, B, R, F
<i>Balanites aegyptiaca</i> Linn Bel.	Zypophyllaceae	R
<i>Bidens pilosa</i> L	Asteraceae	L
<i>Cannabis sativa</i> L	Cannabaceae	L, S, F
<i>Capsicum frutescens</i> L	Solanaceae	F
<i>Carica papaya</i> L	Caricaceae	R, B
<i>Chrysanthemum coccineum</i> Wild	Asteraceae	L, F
<i>Clausena anisata</i>	Rutaceae	L, R
<i>Dalbergia saxatilis</i>	Fabaceae	L, B
<i>Dannettia tripetala</i>	Annonaceae	L
<i>Eucalyptus globules</i> Labill	Myrtaceae	L, B
<i>Gmelina arborea</i> Juss.	Verbenaceae	L
<i>Hyptis suaveolens</i> Poit.	Labiata	shoot
<i>Jatropha curcas</i> L	Euphorbiaceae	sap, F, S, B
<i>Khaya senegalensis</i> A. Juss	Meliaceae	S, B
<i>Lannea acida</i>	Anacardiaceae	B
<i>Lawsonia inermis</i>	Lythraceae	L
<i>Melia azadarach</i> L	Meliaceae	L, R, B
<i>Mitracarpus scaber</i> Zucc	Rubiaceae	shoot
<i>Nicotiana tabacum</i> L	Solanaceae	L
<i>Ocimum gratissimum</i> L	Limnaceae	L
<i>Parkia clappertoniana</i> Keay.	Mimosaceae	S, B
<i>Phytolacca dodecandra</i> L'Herit	Phytolaceae	L, F
<i>Piper guineense</i> Schum & Thonn	Piperaceae	F
<i>Piliostigma thonningii</i>	Caesalpiniaceae	R, B
<i>Prosopis africana</i> Linn.	Mimosaceae	S, B
<i>Sphenoclea zeylanica</i> Gearth	Sphenocleaceae	shoot
<i>Tagetes minuta</i> L	Asteraceae	L
<i>Tephrosia vogelii</i> Hook	Fabaceae	L
<i>Vernonia amygdalina</i> L	Asteraceae	L

Key: L=Leaf, B=Bark, S=Seed, R=Root, F=Fruit

**Table 1.** Species, families, parts used and evaluated



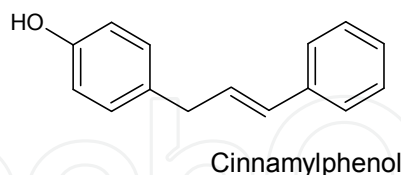
The pawpaw tree, *Asimina tribola* (Annonaceae), a plant found in various traditional communities, particularly in Africa and the Americas, has been investigated and found to possess *antitumor*, *pesticidal*, and *anti-feedant* properties. The pesticidal activity is known to reside in the seeds and bark and the focus has been on *asimicin*, which is the major bioactive component. It is active against blowfly larvae, *Calliphora vicina* Meig, the spotted spider mite, the *melon aphid*, the mosquito larvae (*A. aegypti*), the Mexican *bean beetle*, *nematodes*, and many pests of agricultural concerns [20].



Some of the investigations have revealed the mode of action of some of the plant products. N. M. Ba and co-workers have studied *Cassia nigracans* V., *Cymbopogon schoenanthus* S. and *Cleome viscosa* L from Burkina Faso for their insecticidal potentials and established that they were reasonably active and that they were most effective by inhalation. Consequently, such plants are not suitable for field applications. The plants however showed potent stomach and contact toxicity on 1<sup>st</sup> instars larvae irrespective of the crude extract and therefore good for cowpea protection in storage [21]. Similarly, Okwute *et al.* have demonstrated the *protectant* property of the powdered dry leaves of *Dalbergia saxatilis* against the cowpea *bruchid*, *Callosobruchus maculatus* and established that *oviposition* and damage to seeds was less and mortality higher with *D. saxatilis* as a contact poison than as a respiratory poison (Table2)[22]. It was also shown that the treated seeds were quite viable after the treatment with over 70% germination rate after 5 days exposure to planting (moist) conditions [22]. For



*Dalbergia* spp. the insecticidal activity against adult mosquitoes and houseflies has been demonstrated (Figure 1) and the activity has been attributed to the presence of *cinnamylphenols*, [23].



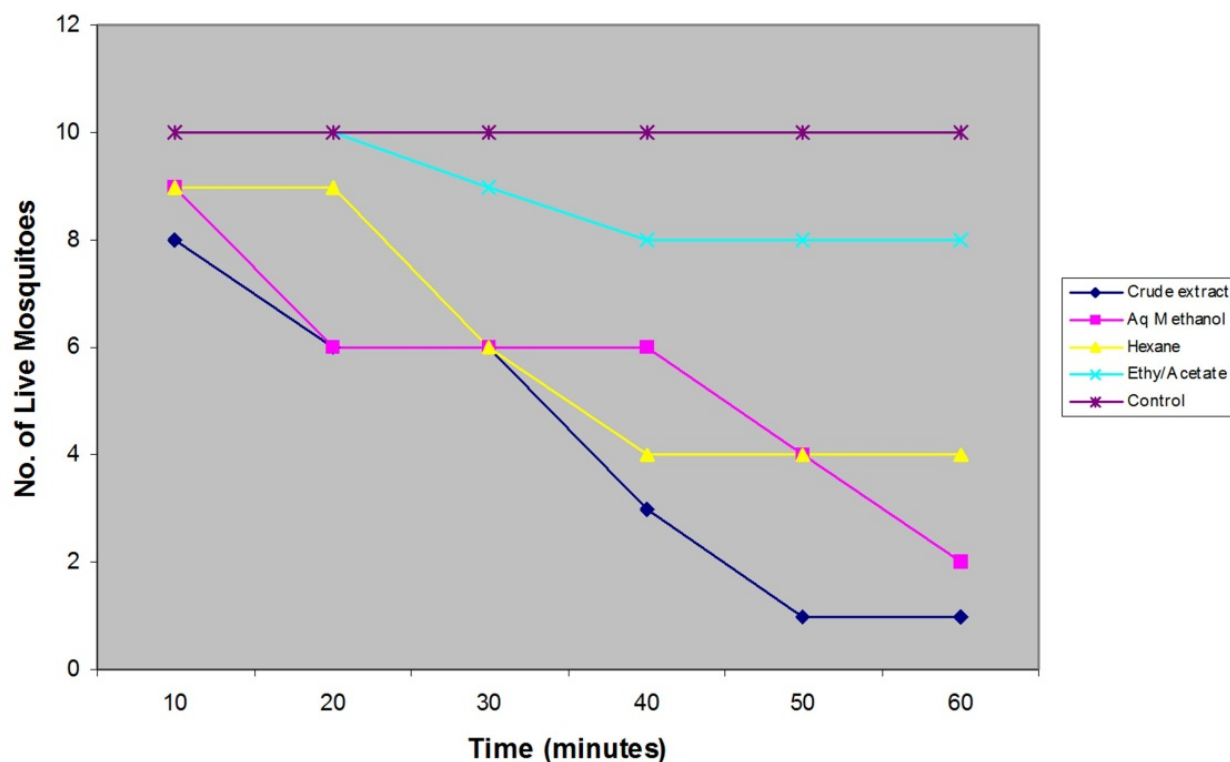
The genus *Piper* (family Piperaceae) is probably one of the most studied. With over 1000 species, about 112 genera have been screened for *pesticidal activity* and over 611 active compounds have been isolated and identified from various parts of the species [24]. Perhaps, of great significance are extractives from *Piper guineense*, *Piper longum*, and *Piper retrofractum* which are known to be active against *Callosobruchus maculatus*, the garden insect, *Zonocerus variegatus* L, and the mosquito larvae causing 96-100% mortality rate in 48 hours mostly as solution sprays [25-26]. From the chloroform and petroleum extracts of *P. guineense* fruits were isolated two *Piper amides*, *guineensine*, and *piperine*, having terminal isobutyl and piperidyl basic moieties, respectively. In these experiments *piperine* was shown to be a synergist rather than an insecticide in the crude extracts. The significance of this co-occurrence in the efficacy and efficiency of crude drugs and bio-pesticides will be discussed later. In an effort to enhance the insecticidal activity of the *piperine amides* some workers have embarked on structure- activity relationships (SAR) studies and have come to the conclusion that the pipenonyl group does not influence activity and that the isobutyl group does not confer any special advantage as previously reported [27]. However, using *piperine* (95% mortality) as a template pesticide and replacing the piperidyl group gave a higher insecticidal activity (97.5% mortality) with N-diethyl moiety than the isopropyl analogue (95% mortality) against *Aedes monuste erseis* [28].

Treatment (gm)	No. of eggs laid on seeds	No. of damaged seeds	Insect mortality	% Germination
2.00	3.2 ±0.84	0±0.00	10.0±0.00	83.3
1s.75	3.4±0.55	0±0.00	10.0±0.00	91.7
1.50	3.6±0.95	0±0.00	10.0±0.00	83.3
1.25	3.8±1.00	0±0.00	10.0±0.00	75.0
1.00	4.8±1.64	0±0.00	10.6±0.89	100
0.75	5.8±1.48	0±0.00	12.0±0.71	100
0.50	10.6±2.70	9.8±1.30	14.0±1.92	100
0.25	16.4±3.11	10.8±1.95	0.0±0.00	91.7
0.0g(Control)	17.0±3.16	32.0±3.49	0.0±0.00	91.7

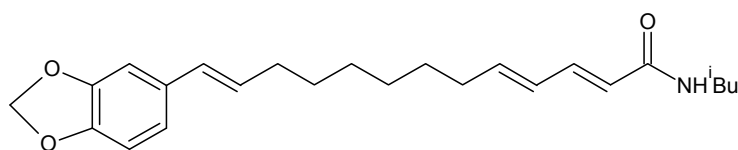
Values are means of 5 replicates

**Table 2.** Evaluation of *protectant* potentials of *Dalbergia saxatilis*

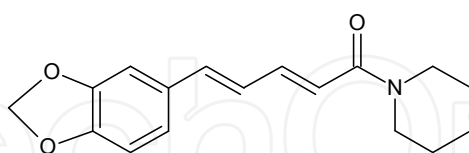




**Figure 1.** Mortality rate of mosquitoes exposed to 0.2% solutions of the crude extract and fractions of *Dalbergia saxatilis*



Guineensine



Piperine

A new class of insecticides was recently discovered by Beltsville researchers led by Puterka in the U.S.A. that offers a safe and effective alternative to commercial insecticides. They are polyesters of sugars and include *sucrose* and *sorbitol octanoates*. They were isolated from the poisonous hairs on the tobacco leaves which hitherto were assumed to contain nicotine, a popular insecticide. When insects were contaminated by rubbing they caused death of the insects by a dehydration process, and rapidly degraded to harmless sugars and fatty acids. These polyesters are known to be effective against a variety of farm and domestic insect pests and the deadly parasitic *Varroa* mite which usually settles on the back of honey bees [29].

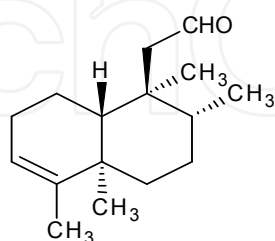
## 2.2. Repellent and anti-feedant plants

Closely related to the insecticidal agents and sometimes used in combination with insecticides in pest management strategies are some classes of pesticidal agents with interesting and peculiar biological activities. They include insect *repellents*, *anti-feedants* or *deterrents*, and *attractants*. These classes are far less common in plant sources than the insecticides but will be given some attention. Sometimes, a given insecticide may act as an insecticide or as a *repellent* depending on the concentration. The major difference between the two is that a repellent does not kill insects but keeps them away by exuding pungent vapours or exhibits slightly toxic effects [13]. By these activities a *repellent* prevents insects from perching or landing on the surfaces of targets. Thus *repellents* can be used to prevent and control the outbreak of insect borne diseases such as malaria. The insects of interests in this regard include mosquito, flea, fly, and the *arachnid tick*. [30]. The use of plant materials as *insect repellents* is increasingly receiving attention, particularly in the developing countries. For example Seyoun *et al.* reported that in Western Kenya the natives employ direct burning of the species *Ocimum americana* L, *Lantana camara* L, *Tagetes minuta*, and *Azadirachta indica* A. Juss against the malaria vector, *Anopheles gambiae* S.S.Giles [31]. Some recent studies on *repellent* plants have led to the isolation and characterization of some active components. Prominent among these compounds are *callicarpenal*, and *intermedeol*, from the species *Cymbopogon nardus* which showed promising alternative in the control of infestations by *Amblyomma cajennense* [32]; *nepetalactone*, a *catnip* compound for the control of the Asian adult male and female Lady beetle as well as cockroaches, flies, termites and mosquitoes [33-34]; and *geraniol*, and *p-menthane-3,8-diol* (PMD), monoterpene alcohols from the *citronella* and *lemon oils*, respectively [35]. Some researchers have found that products containing 40% *lemon eucalyptus oil* are as effective as products containing high concentrations of DEET and that *neem oil* can give up to 12 hours protection against mosquitoes in cage experiments [36-37].

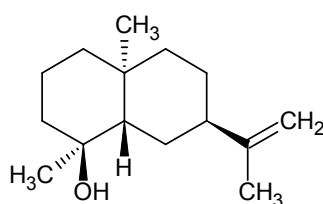
Literature on the direct production of chemicals with specific activity to act as insect *anti-feedants* is very scanty probably as *anti-feedancy* and *repellency* are closely related bioactivities. However, a number of plants produce *polyphenols* called tannins which confer astringency or bitter taste on such plants and consequently herbivores stay away from eating such plants [38]. Among the few plants studied for feeding *deterrence* or *anti-feedancy* the species *Xylopiya aethiopica* is very significant. The hexane and methanol extracts of the fruits and seeds have been shown to possess strong termite *anti-feedant* activity and *ent-kauranes* and some *phenolic amides* have been implicated. Among the *ent-kauranes* the activity was significantly dependent on the structures and that (-)-*kau-16-en-19-oic acid*, had the strongest anti-feedant activity [39]. Another species with promise is *Jatropha podagrica* cultivated in West Africa. The organic extracts showed reasonable *anti-feedant* activity against *Chilo partellus*, the maize stem borer, at concentrations of 100 %/leaf disc, the chloroform extract being the strongest. The most active compound isolated was *15-epi-4E-jatrogrossidentadione*, [40].

*Attractants* are *semio-chemicals* produced usually by some insects with effect on other insects as a communication tool and can be used to determine or control insect populations,

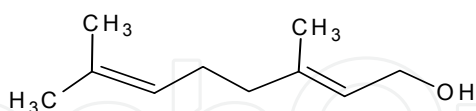
particularly by disrupting their mating patterns. Rarely do plants produce chemicals that attract insects that are natural enemies of other insects that feed on the plants except the tea tree [41]. Thus field application of this phenomenon is not common and therefore will not be discussed further.



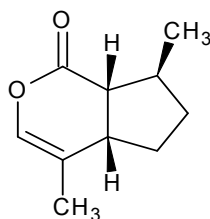
Callicarpenal



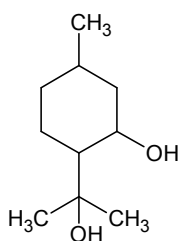
Intermedeol



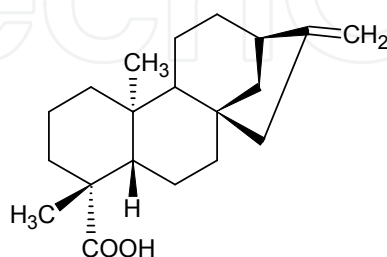
Geraniol



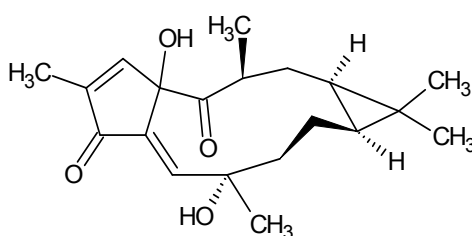
Nepetalactone



p-Menthane-3,8-diol(PMD)



(-)Kau-16-en-19-oic acid

15-epi-4E-jatrogrossiden  
-tadione

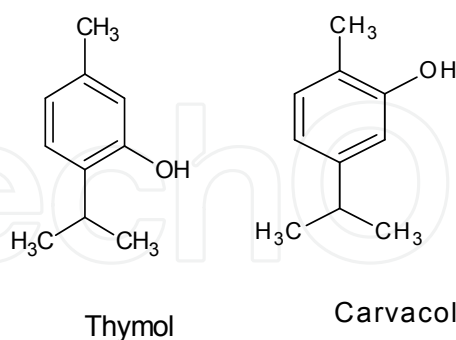
### 2.3. Fungitoxic plants

Plant diseases, particularly fungal infections, contribute significantly to agricultural crop losses globally. Research has been on to utilize botanicals in plant disease control worldwide and extracts from many plant species have been found to be active against many *phytopathogenic fungi* without imposing ill side effects[42 ]. In some cases the active components have been identified and tested directly. The results so far are quite encouraging and some are discussed in this chapter.

Many plants produce *essential oils* as secondary metabolites but their exact role in the life processes of the plants has been unknown. There is however no doubt as revealed in this survey that the results of various investigations have overwhelmingly implicated essential oils of many species as possessing *fungitoxic activity*. They are therefore agents of protection in plants against diseases. Consequently, since the leaves, resins, and latices of plants contain essential oils more commonly than other parts of plants they have been more commonly investigated for *fungitoxicity* [43-44].

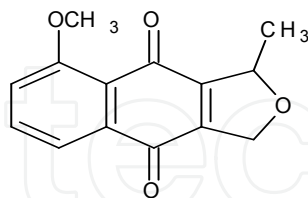
Typical studies included the investigation of the *essential oil* of the leaves of *Phenopodium ambrosioides* which has been shown to exhibit strong *fungitoxic activity* against mycelia growth of *Phizoctonia solani*, the causative organism of *damping off disease* of seedlings, at 1000 ppm without any *phytotoxicity* on the germination and seedling growth of *Phaseolus aureus*[45]; the activity of the steam-distillate and hot-water extracts of fresh leaves of *Cymbopogon*, *Ocimum gratissimum*, *Chromoleana odorata* and fruits of *Xylopia aethiopica* against *Ustilago maydis*, *Ustilaginoidea virens*, *Curvularia lunata*, and *Phizopus spp*, reducing growth by 10-60%[46]; the screening of the leaves of 30 angiospermic taxa against *Pythium aphanideratum*, *P. debaryanum* with *Hyptis suaveolens*(Labiatae), *Murraya knoenigii*(Rutaceae), and *Ocimum canum*(Labiatae) which displayed strong toxicity at 43-86% inhibition in soils infected with *P. debaryanum* [47]; the use of *Ocimum gratissimum* and *Eucalyptus globules* water extracts to control cowpea seedling *wilting* induced by *Sclerotium rolfsii* from 39.6% for untreated to 4-12% for treated[48]; and the tomato fruit rot, which is commonly observed in local markets in many parts of Africa, can be significantly reduced with the extracts of a number of local plants such as *Cassia alata*, *Alchornea cordifolia* and *Moringa oleifera* as post-harvest agents[49]. Of particular interest and importance is the availability of some species such as the popular neem tree (*Azadirachta indica*) and the pawpaw leaves extracts which are known to act against the yam rot. Yam is an important tuberous food crop of the tropical South America and Africa where both plants are found commonly around villages and within family compounds. The pawpaw leaves extract at the various concentrations of 20, 40, 60, and 80% were found to be more active than the neem against *Alternaria solani* [50].

Efforts have been made by some researchers to investigate the active constituents of some of the fungitoxic plants. The constituents of the essential oils of 9 Turkish species including *Thymbra spicata* were investigated using GC-FID technique. At least 20 components were identified and the activity was attributable to the presence of *phenolic agents* such as *thymol*, and *carvacol*, [51].

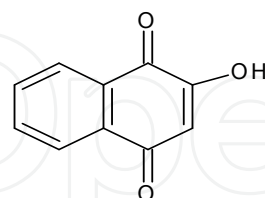


In other studies the *fungitoxic* chloroform extract of the underground parts (bulbs) of *Eleutherine bulbosa*(Miller) Urban(Iridaceae) gave 4 compounds of which three *naphthaquinones*, including *eleutherinone*, were active at 100µg/ spot(bioautography). The fourth compound, *eleutherol*, which lacked the *quinone* moiety was not active, showing the strategic role of this group in the bioactivity of the series[52]. The relationship between *fungitoxicity* and the *quinone* skeleton is also exhibited in the broad spectrum *fungitoxicity* of *lawsone*,

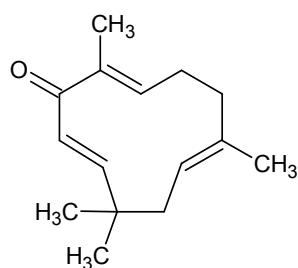
isolated from the leaves of *Lawsonia inermis*, against eight different *phytopathogenic fungi*(Table 3) [53]. Working on the rhizomes of *Zingiber cassumunar* N. Kishore and R.S. Dwivedi isolated the *fungitoxic* and *non-phytotoxic monocyclic sesquiterpene*, *zerumbone*, which was active at 1000 ppm against *Rhizoctonia solani*, a *damping-off pathogen*[54][55].



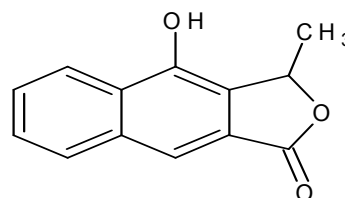
Eleutherinone



Lawsone



Zerumbone



Eleutherol

Fungi	PPM of <i>lawsone</i>		
	1000	2000	4000
	Inhibition %		
<i>Alternaria solani</i>	60	100	100
<i>Alternaria tenuis</i>	100	100	100
<i>Aspergillus niger</i>	65	100	100
<i>Aspergillus wanti</i>	100	100	100
<i>Absidia ramosa</i>	100	100	100
<i>Absidia orymbifera</i>	100	100	100
<i>Absidia crophthalophora</i>	100	100	100
<i>fusispora</i>	100	100	100
<i>Circinella umbellate</i>	84	100	100

**Table 3.** Fungitoxicity measured as % inhibition of *lawsone* against eight different fungi (% inhibition)

## 2.4. Molluscicidal plants

*Bilharzia* affects millions of people, particularly children who play or swim in infected freshwaters in the developing countries of Africa, Asia and Latin America. The disease was discovered in 1851 by Theodor Bilharz as the cause of urinary *schistosomiasis*. It is associated with certain species of aquatic snails of the genera *Biomphalaria*, *Bulinus* and



*Oncomelania*. Therefore, one way of attacking the disease is to eliminate the host snails[56-57]. Chemicals that kill snails are called *molluscicidal agents*. Most of the *molluscicidal agents* in use today are synthetic and like most synthetic pesticides are harmful to man and the environment. *Molluscicidal agents* of natural origin are important in the widespread control of *Schistosomiasis*. Mirazid, an Egyptian drug from *myrrh* was being developed as an oral drug until 2005 when it was found to be only 8 times as effective as *praziquantel*, a synthetic chemical, and has therefore not been recommended by WHO. However, other plants have been studied and some have demonstrated potential activity which may provide leads for future drugs but more importantly are the searches for molluscicidal agents from plants to eliminate the host snails. This is the focus of the presentation in this chapter.

Adesina and Adewunmi, and Kloos and McCullough, have separately investigated the species *Clausena anisata* and found it to possess *molluscicidal activity* which is distributed among the root, leaves, bark and stem in a decreasing order of potency [58-59]. Adedotun and Alexander evaluated the *molluscicidal activity* of the aqueous and ethanolic extracts of fruits and roots of *Dalbergia sissoo* against the egg mass and adults of *Biomphalaria pfeifferi* and found that only the ethanolic extracts showed significant activities. Thus ethanol extracts the active constituents more than water[60]. Similar observations have been recorded for *Clausena anisata* parts and *Tetrapleura tetraptera* fruits, particularly when the active components are *glycosides*(Table 4)[58,61].

<b>extracts</b>			
<b>Plant parts</b>	<b>Concentration</b>	<b>% Mortality</b>	<b>Solvent</b>
<i>Clausena anisata</i>			
Root	6-10 ppm	100	Methanol
Leaves	1000 ppm	53.3	Water
Stem	1000 ppm	7	Water
Bark	1000 ppm	40	Water
<i>Tetrapleura tetraptera</i>			
Fruit	100%	100	Water
Fruit	10%	100	Methanol

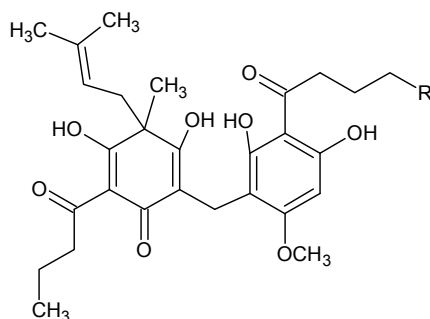
**Table 4.** Molluscicidal activity of *Clausena anisata* and *Tetrapleura tetraptera*

Investigation of the extracts of the Argentine collection of the fern *Elaphoglossum piloselloides* led to the isolation of two new *bicyclic phloroglucinols* which showed acute *molluscicidal activity* against the *Schistosomiasis* vector, *Biomphalaria peregriana*[62]. Other phytochemical and biological investigations have implicated *jatrophone*, as one of the *molluscicidal agents* in the active crude ethanol extract of *Jatropha elliptica*, while a *monodesmosidic saponin*, and *thujone*, have also been identified as the active constituents of the bark powder of *Saraca asoca* and the leaf powder of *Thuja orientalis*, respectively, against the freshwater snail *Lymnaea acuminata*[ 63-64]. Finally, the *molluscicidal properties* of the leaves of *Alternanthera sessilis*, a plant found in West Africa, have been investigated and confirmed. The effect of heat on the stability of the product has been determined by comparing the activities of the unevaporated and evaporated

aqueous extracts which showed that the unevaporated has higher activity than the evaporated and the fresh leaves higher than the dry leaves (Table 5) [65].

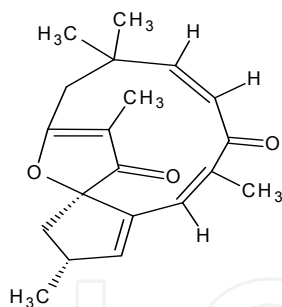
<i>Molluscicide</i>	<i>LC50 and limits (mg/ml)</i>
Crude unevaporated fresh leaves extract	32.57(25.15-39.08)
Crude unevaporated dry leaves extract	40.42(35.15-46.47)
Crude evaporated fresh leaves extract	43.57(38.38-49.46)
Crude evaporated dry leaves extract	48.07(42.81-54.28)

**Table 5.** Expected effective lethal concentrations of *A. sesselis* extracts of dry and fresh leaves on adult *B. globosus*.

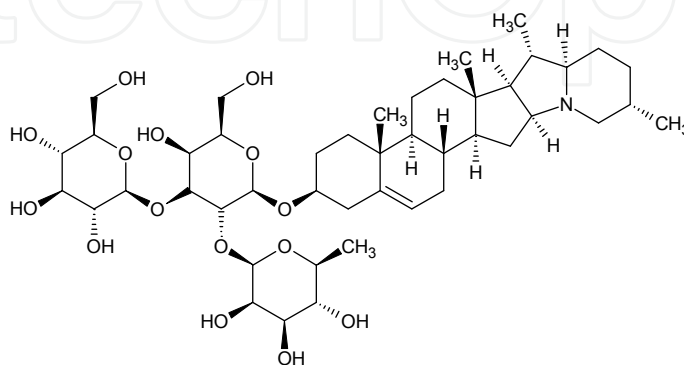


Phloroglucinol I, R=H

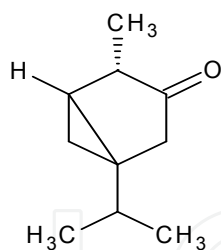
Phloroglucinol II, R=Et



Jatrophone



Monodesmosidic saponin

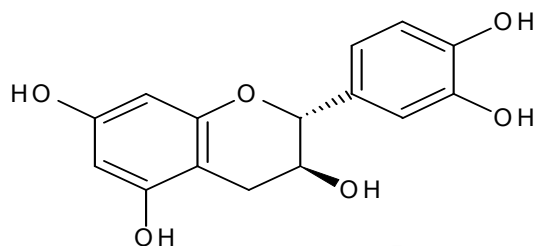


Thujone

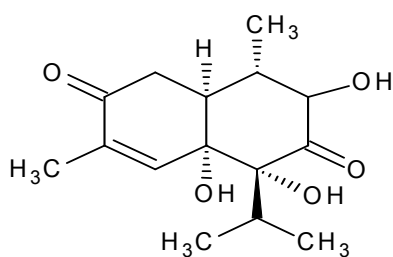
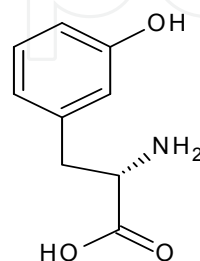
## 2.5. Herbicidal plants

Apart from insects and diseases disturbing crop plants and animals on the farm and in the environment weeds need also to be controlled because they retard plant growth and therefore reduce crop yields. *Herbicides*, also commonly known as *weed-killers*, are pesticides used to kill unwanted plants. *Selective herbicides* kill specific targets while leaving the desired crop relatively unharmed. Some of these act by interfering with the growth of the weed. Some plants produce *natural herbicides*, and such action of *natural herbicides* (interfering) is called *allelopathy*. Herbicides are widely used in agriculture and in landscape turf management.

The plant *Centaurea maculisa* provides a good example of *allelopathy*. The root secretes (+) and (-) *catechins*, but it is (-) *catechin* which is phytotoxic and accounts for the invasive behavior in the rhizosphere [66-67]. The phenolic root exudate of Buckwheat (*Fagopyrum esculentum*) has been studied using HPLC and GC-MS and *palmitic acid methyl ester* and a *gallic acid derivative* have been implicated as the active constituents [68]. *Allelopathic properties* have also been found among some *terpenoids*. Investigation of the aerial part of *Eupatorium adenophorum* led to the isolation of eleven components of which *5,6-dihydroxycadinan-3-ene-2,7-dione*, was the only active herbicidal compound [69]. It has been observed that certain varieties of common fescue lawn grass come equipped with their *natural broad-spectrum herbicide* that inhibits the growth of weeds and other plants around them. A group of Cornell researchers led by Frank Schroeder has identified the *natural herbicide* to be the *amino acid, m-tyrosine*, and that the grass exudes the compound from the roots. The compound is toxic to plants but not to fungi, mammals or bacteria. The major drawback is its high solubility in water, making it ineffective if applied directly as a herbicide in the field [70]. On the other hand the *spotted knapweed plant* spreads over large areas because it releases *catechin* through its roots into the soil that kills the surrounding plants. Unlike *m-tyrosine*, *catechin* is quite stable and does not kill certain species of grass and grass-like plants like wheat. Therefore, it can be sprayed or added to soil to maintain lawns and wheat fields and is environmentally friendly [71]. Thus it has great potentials as experiments have shown that it is as effective as 2,4-D against weeds, kills weeds within one week of application and ordinary tapping of the leaves activates the plants' chemical response [71].



(-) Catechin

5,6-dihydroxycadinan-  
3-ene-2,7-dione

m-Tyrosine

### 3. Commercial botanic pesticides

Plant-based pesticides (botanic pesticides or botanicals) have been in use as pesticides for over 150 years. It was only very recently that the synthetic insecticides effectively became the prominent agrochemicals for controlling all forms of agricultural pests and have assumed a very important position in the marketplace. However, in the past three decades so much has been reported in literature in respect of natural products that were identified with potent pesticidal activity such as feeding deterrence and toxicity to insects in laboratory assays. In spite of the above success not many of the isolated compounds or the crude material (extract or dust) have really found the market due to regulatory procedures associated with product development, particularly in the United States of America. For example, in the past twenty years probably only very few new sources of botanicals have been developed to the commercial status. Thus the four major commercial products today include the *pyrethrum/pyrethrins*, *rotenone*, *neem* and the *essential oils*. Three others in limited use or importance include *ryania*, *nicotine* and *sabadilla*, while *garlic oil* and *Capsicum oleoresin* are relatively new extracts [72]. *Botanical pesticides* are processed in various ways, principally (a) as crude plant material in the form of powder or dust; (b) as extracts from plant resins, formulated into liquid concentrations; and (c) as pure isolated constituents by extraction/chromatographic techniques or hydro-distillation of the plant tissue, particularly the leaves. For a pesticide to be considered safe for use and be registered as a commercial product, the  $LD_{50}$ , the term used to describe the lethal dose required to kill 50% of the test animals expressed in milligrams (mg) per kilogram (kg) of body weight, must be determined. Technically, the lower the value the more toxic the sample is to

mammals. Although botanical pesticides are generally considered safer than their synthetic counterparts, some have much lower LD<sub>50</sub> than standard synthetic insecticides like *carbaryl* and *malathion*. The *pesticidal* characteristics of some of the current *commercial botanicals* are outlined below and summarized in Table 5. [73-74].

The *pyrethrins*, account for about 80% of global use of botanicals. Kenya is the major supplier, followed by Tanzania and the Botanical Resources Australia. The material is highly degradable under sunlight, oxygen and moisture. It therefore requires frequent applications. Its activity is usually enhanced by incorporating *piperonyl butoxide*(PBO) as a synergist. It acts against a wide range of pest. *Rotenone*, on the other hand, is available mainly from *Lonchocarpus* spp and the *Derris* spp. found in East Indies, Malaya and South America (Venezuela and Peru). It is obtained by solvent extraction to yield resins containing about 45% *rotenoids* of which the major component, *rotenone*, is 44%. Its activity and persistence are comparable to DDT and it is used to protect lettuce and tomato crops. It is slower acting than any of the *botanicals* currently in use and yet readily degradable, taking several days to kill insects. The *neem* product has become popular commercially in recent time because of its broad spectrum activity and low mammalian toxicity. There are two neem-based products, the first being the neem oil from the cold pressing of seeds for the management of *phytopathogens* while the other product is medium- polarity extract containing the potent compound azadirachtin,<sup>5</sup> (0.2-0.6% of seed/weight). The actual commercial product is a 10-50% concentration using solvents. Although it has a half-life of about 20 hours its systemic action on foliage ensures reasonable persistence in field applications.

The *essential oils* are products of steam distillation of aromatic plants, mostly of the family *Lameliaceae*, giving *monoterpenoid phenols* and *sesquiterpenes*. Examples are *thymol* and *carvacol*. They possess high volatility and therefore are not suitable for field applications but appropriate for stored grains. The essential oils are components of many commercial foods and beverages and are therefore more readily approved for use without going through the rigorous regulatory procedures even in the USA.

The other botanicals, though not very important commercially have some advantages in applications [74]. They include the following:

- a. *Ryania* has a low mammalian toxicity but has the longest residual activity, providing up to two weeks of control after an initial application. It works best on caterpillars and worms but also kills a number of other pests with the exception of spider mite.
- b. *Nicotine*, a constituent of *Nicotiana tabacum*, is the most toxic of all botanicals and extremely harmful to humans. It is a very fast-acting nerve toxin and is most effective on soft-bodied insects and mites.
- c. *Sabadilla* is available from the seeds of the plant *Schoenocaulon officinale* which is cultivated in Venezuela. It is one of the least toxic of the botanicals. It is toxic to honeybees, caterpillars and leafhoppers as well as beetles.

From the above it is clear that a serious drawback to the commercialization of botanicals is the high cost of processing plant materials to meet World Health Organisation(WHO) and Food and Agriculture Organisation(FAO) safety standards.

Plant Name	Product/Trade Name	Group/Mode of Action	Targets
1. <i>Lonchocarpus</i> spp <i>Derris eliptica</i>	Rotenone	Insecticidal	Aphids, bean leaf beetle, cucumber beetles, leafhopper, red spider mite
2. <i>Chrysanthemum cinerariaefolium</i>	Pyrethrum/Pyrethrins	Insecticidal	Crawling and flying insects such as cockroaches, ants, mosquitoes, termites
3. <i>Nicotiana tabaccum</i>	Nicotine	Insecticidal antifungal	Aphids, thrips, mites, bugs, fungus gnat, leafhoppers
4. <i>Azadirachta indica</i> [Dogonyaro (Nigeria)]	Azadirachtin/Neem oil Neem cake Neem powder Bionimbecidine(GreenGold)	Repellent Antifeedant Nematocide sterilant Anti-fungal	Dandruffs(shampoos) eczema, nematodes, sucking and chewing insects( caterpillars, aphids, thrips, maize weevils)
5. Citrus trees	d-Limonene, Linalool	Contact poison	Fleas, aphids, mites, paper wasp, house cricket, dips for pets
6. <i>Shoenocaulon officinale</i>	Sabadilla dust	Insecticidal	Bugs, blister beetles flies, caterpillars, potato leafhopper
7. <i>Ryania speciosa</i>	Ryania	Insecticidal	Caterpillars, thrips, beetles, bugs, aphids
8. <i>Adenium obesum</i> ( <i>Heliotis</i> sp)	Chacals Baobab(Senegal)	Insecticidal	Cotton pests, particularly the larvae of ballworm

**Table 6.** List of some commercial botanical pesticides

Given the large number of plants traditionally used as *pesticidal agents* by various local communities globally, particularly in the developing countries, the number of plants so far investigated and the products developed from them, the impact on agricultural production from this source is very insignificant. Therefore, there is need for more plants to be harnessed for use in agriculture and related fields. However, there is need to examine the modalities for their utilization, particularly with respect to consistency of constituents as well as efficacy and quality of the products, vis-à-vis the production of bioactive plant-based products using western models or utilize the plants according to traditional procedures that eliminate purification. For example, the *anti-sickle cell anaemia* drug, NICOSAN has been found to be less potent and more toxic on separation into individual components [75]. Thus, there are some advantages in the traditional procedures of preparing herbal products in a manner that preserves the constituents of the plants and hence enhances synergism and potency. However, while appreciating the low cost of production of *botanic products* by



eliminating sophisticated purification and formulation procedures, a middle of the road approach that ensures consistency of active constituents and enhances efficacy and safe delivery is necessary. This may be achieved by using bioassay-guided fractionation which has been shown by some workers to ensure that bioactive compounds of the same chemical class in a crude plant extract are consistently pooled together. The procedure has been shown to improve activity dramatically and has been used to obtain active compounds from plants that were previously considered to be inactive [76].

Thus, cheap plant-based bioactive products may be prepared with improved efficacy if processed using bioassay-guided fractionation of the crude extract and classified as *orphan pesticide* as is sometimes done in drug development. The content of the identified components can be used to standardize the crude pesticide as *gedunin* has been proposed for crude *neem-based antimalarial drugs* [77]. It is only in this way will the abundant plant-based natural resources of the developing countries be readily and cheaply made available for agricultural production without polluting the environment.

#### 4. Conclusion

The results of *pesticidal* and *phytochemical screenings* of a number of higher plants based on traditional knowledge strongly indicate that plants are endowed with *pesticidal* properties that can be harnessed cheaply for use in agriculture and related fields. The need to use plant-based products arises from the fact that the synthetic pesticides are harmful to humans, and the entire ecosystem due to high toxicity and persistence. Also, they are too expensive for the poor farmers in the developing countries of the world. On the other hand, plant-based products are cheap and bio-degradable and are therefore environmentally friendly. However, an agricultural programme that depends essentially on plant-based materials must be backed-up by a vigorous research programme into new plant sources. As revealed in this review traditional knowledge has so far guided studies on possible active plants and the results have overwhelmingly confirmed the activity of a reasonable percentage of the plants. The results have equally established that plants belonging to certain families of plants are more likely to possess *pesticidal* activity. Thus, these results will serve as useful guides in the collection of plants for laboratory and field research studies.

One area of difficulty in laboratory research studies is the *bioassay* of plant extracts. It has been established that certain crude extracts contain active components but may appear inactive in primary screens due to *antagonistic actions* of the constituents. Such problems may be overcome, particularly in screening against plant pathogens, by the application of *bio-autographic techniques*. Associated with the detection and the determination of level of activity in crude extracts is the appropriateness of the solvent for the extraction of plant materials. Use of a less desirable solvent can lead to low extract activity due to low concentration of the active principle. For example, aqueous alcoholic extracts have been found to be more active than aqueous extracts as most of the active compounds are *lipophilic* in character and are therefore more readily extracted into an organic medium.

For the poor countries it would be more expensive to use the plant extracts or the pure constituents than the plant powder or dust in large-scale field applications. Crude extracts can however be cheaply used if a readily available solvent such as water is the solvent of choice. Use of extracts also allows for easy dosage calculation and spraying applications which need to be done repeatedly due to high volatility of plant-based pesticidal products. The efficacy of such products can be enhanced by *bioassay-guided fractionation* which is known to concentrate activity and promote synergism between structurally related constituents.

Obviously, in large-scale field utilization of botanic agricultural chemicals there must be adequate and constant supply of candidate plants to the areas in need. This means that since plants grow well usually in areas of natural habitat effort should be made to invest in large-scale cultivation of such plants in their various localities as is the practice in China, Japan and Kenya. This will be of great economic advantage in the developing countries as such programmes can lead to economic empowerment of the poor farmers and ultimately improve the economies of these countries.

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