PHARMACOGNOSY

GENERAL INTRODUCTION



Pharmacognosy

Pharmacognosy deals with "the study of structural, physical, chemical and sensory characters of crude drugs and includes their history, cultivation, collection, storage and use." As well as the search for new drugs from natural sources.

It deals with all medicinal plants, including those yielding complex mixtures, which are used in the form of crude herbs (comminuted herbal substance) or extracts (phytotherapy), pure compounds such as morphine, and foods having additional health benefits only in the context of having preventive effects (nutraceuticals).

History of Pharmacognosy

- Natural products, especially those derived from plants, have been used for medicinal purposes since ancient times.
- Clay tablets of the Babylonian, Assyrian, and Sumerian eras dated 2600 4000 BC are thought to be the earliest recordings of plant usage as herbal remedies.
- Egyptians also had many paintings of medicinal plants on their tomb walls dated around 2200 2700 BC. The Ebers papyrus, which dates from around 1550 BC, is the most famous medical document of ancient Egypt and contains more than 800 medicinal recipes using medicinal plants.
- This centuries-old usage of natural products certainly continues into the present, as half of prescription drugs in the market today contain plant-derived ingredients

The term **pharmacognosy** was introduced by A. Seydler, a medical student in Halle/Saale, Germany, in 1815 (A small work by Seydler entitled *Analecta Pharmacognostica*.

This term originates from 2 Greek words:

- pharmakon: drug
- gnosis: knowledge

Today, pharmacognosy is a **highly specialized science** that represents one of the major disciplines of pharmaceutical education. It gained its importance nowadays as a result of the intense concern with all aspects of **ecology**, causing renewed interest in so-called **'natural' foods and drugs**.

The availability of an extremely wide variety of these natural products, ranging from fenugreek tea to ginseng chewing gum, has also stimulated the public to learn more about them.

* Modern aspects of pharmacognosy science include not only the crude drugs but also their natural derivatives.

Example:

- 1 Digitalis leaf (*Digitalis lanata* folium) and its isolated glycoside, digitoxin (treatment of heart failure).
- 2 Rauwolfia root (*Rauwolfia serpintina* radix) and its purified alkaloid, reserpine (treat high pressure).
- 3 Thyroid gland with its extracted hormone, thyroxin.

*Natural and Synthetic Substances:

In **some** instances drug constituents have been partially replaced by synthetic compounds of identical chemical structure and therapeutic properties. However, they can be distinguished by chemical and physical tests.

Example:

- Natural camphor is obtained from the camphor tree by steam distillation (dextrorotatory). In contrast, synthetic camphor (racemic mixture) may be manufactured by either a completely synthetic process from vinyl chloride and cyclopentadiene or by semi synthesis from pinene derived from pine sumps (not entirely a synthetic process but a chemical modification of a natural product).
- Epinephrine, caffeine, codeine, ephedrine, menthol, antibiotics, vinblastine, steroids and other chemicals may also be partial or total synthesis. They are considered a definite part of pharmacognosy.

Phytochemistry

- **Phytochemistry**: the chemistry of plant-originating products.
- started to be used in the late 1950s when chromatography and spectroscopy separation methods and equipment aided in isolating and discovering more chemical entities from the plant kingdom.
- While pharmacognosy is more comprehensive refers to anything natural. Phytochemistry refers only to the substances and the chemistry of these substances obtained from the plants.
- Phytochemistry isn't only concerned with the important primary metabolites, which are common form of the plants, but also the physiologically active secondary metabolites.

Ethnopharmacology

- Ethnopharmacology: it is a new science concerned with the use of these natural drugs in different traditional medicine, folk medicine; which varies according to the environment.
- So, this term is dealing with study of materials or natural medicine which are used in different cultures.
- It gives us an idea about the medication methods in different cultures, it is very comprehensive term.
- In drug discovery, they are based on the traditional medicine; they are getting these information from the population in certain area, By asking how to treat this disease, how are preparing the formulation from this plant; in boiling, drying ...etc.
- So, Ethnopharmacology is a very important backbone in the new drug discovery.

Some important natural products

- 1785:William Withering used foxglove extract to treat heart patients, and he published this application. Digoxin was discovered due to this treatment; Glaxo Smith Kline markets this drug as Lanoxin, and it is used to treat arrhythmia and congestive heart failure
- 1803: Sertürner isolated morphine from opium.
- 1817: Isolation of strychnine from strychnine tree (Strychnos nux-vomica).
- **1820**: Isolation of caffeine (from Coffee beans) and quinine from Cinchona (*C. officinalis*) bark.
- 1828: Isolation of nicotine from tobacco (*Nicotiana tabacum*) leaves.
- **1833**: Isolation of atropine from *Atropa belladonna* leaves and roots.
- 1855: Isolation of cocaine from coca (Erythroxylum coca) leaves.
- 1868: Isolation of digitaline from foxglove (Digitalis purpurea) leaves.
- 1897: Arthur Eichengrün and Felix Hoffmann at Bayer Company created aspirin. Aspirin was the first semi-synthetic drug synthesized from a natural product, salicylic acid, extracted from the willow (*Salix spp.*) bark.
- 1928: Alexander Fleming discovered Penicillin from *penicillium* mold (fungai), and this discovery changed modern medicine and the treatment and understanding of infectious disease.

Role of Pharmacognosists:

- Identification of the natural source & determination of the morphological characters.
- Determination of cultivation, collection, drying, and preparation.
- Isolation & identification of the chemical structure of "active constituents".
- Characterization of the pharmacology of crude extracts & isolated active constituents.
- Evaluation of purity & quality of natural medicines.
- •Interdisciplinary relationship with ethnobotany & ethnopharmacology

CRUDE DRUGS

Crude Drugs

Crude drugs are unrefined medications in their raw or natural forms

It is used for those natural products such as plants or part of plants, extracts and exudates which are not pure compounds and used in medicine

drug can be obtained from

- 1. Entire organism (plant, animal, organism) such as Mentha, cochineal.
- 2. Entire organs of plant or animal as leaves (senna), flowers (chamomile),... animal part (thyroid), mineral (talc).
- 3. An extract or exudates (Products) of an organism.
- 4. Isolated pure compounds

Entire plant or animal mentha cochineal





Entire organs of plant

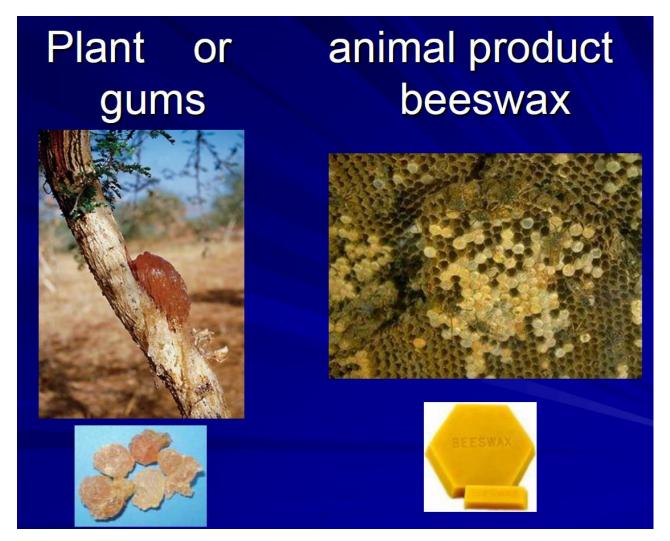
leaves (senna)

Flowers (chamomile)





Reference: Prof. Madiha AminHassan



Reference: Prof. Madiha AminHassan

The term crude, as used in relation to natural products, **means** any product that **has not** been **advanced in value or improved in condition** by shredding, grinding, chipping, crushing, distilling, evaporating, extracting, artificial mixing with other substances or by any other process or treatment beyond what is essential to its proper packing and to the prevention of decay or deterioration pending manufacture.

Crude drugs are plant or animal drugs that consist of natural substances that have undergone only the processes of collection and drying. Crude Drugs or their chief principles are used as therapeutic agents.

- * The term **natural substances** refers to those substances found in nature that comprise whole plants and herbs and anatomic parts thereof; vegetable saps, extracts, secretions, and other constituents thereof; whole animals and anatomic parts thereof; glands or other animal organs, extracts, secretion, and other constituents thereof; and substances that have not had changes made in their molecular structure as found in nature.
- * Chief principles (constituents): extractives (or derivatives) which are obtained from the crude drugs (usually by extraction Regardless of whether the derivative or extractive is a single substance or a mixture of substances, it is considered the chief constituent of the drug.
- * The process of **drug extraction** is a generally accepted method of obtaining these active principles. Extraction removes only those substances that can be **dissolved in the liquid mixture** referred to as the **solvent**, or, more specifically, as the **menstruum**. The undissolved portion of the drug that remains after the extraction process is completed is called the **marc**.

Pharmacognosy
Dr. Khaled Tawaha

Some reasons for the interest in natural compounds in the $20^{\rm th}$ / $21^{\rm st}$ century

Search for chemicals from natural sources as curative agents, especially for chronic diseases (diabetes, hyperlipidemia, hypertension) or for diseases the synthetic chemistry was not successful (cancer)

Increased interest in the chemical compounds from the viewpoint of pure science

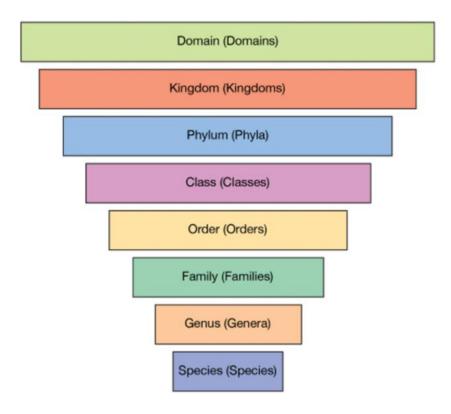
Worldwide interest in the different traditional medicines ("back to the nature"-trends)

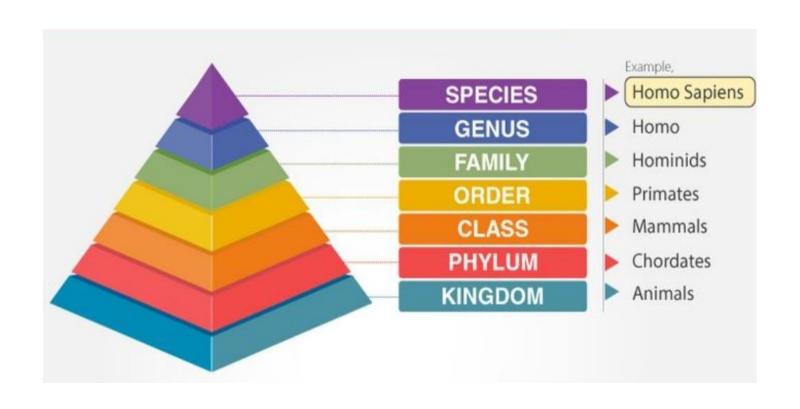
Interest in the use of chemical constituents as an aid in plant taxonomy (chemotaxonomy)

Taxonomy

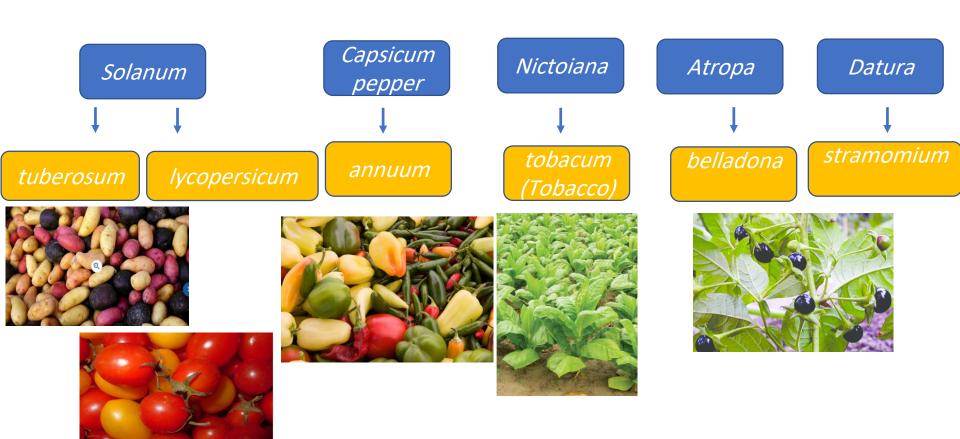
a branch of science that deals primarily with the description, identification, nomenclature, & classification of organisms.

It is essential to classify living organisms into different groups and subgroups.





Solanaceae

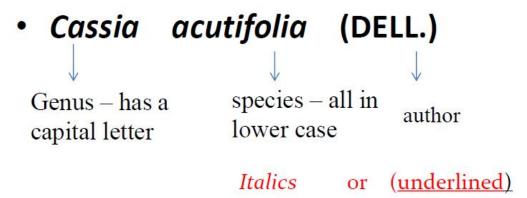


The binomial system

'Binomial' = 2 names



Origin of medicinal plants represented



Family: Leguminosae

The specific name of the species is usually chosen to indicate:

1- Some striking characteristics of the plant:

a- *Conium maculatum* (maculate = spotted) (stem with reddish, spotted patches).

b- *Glycyrrhiza glabra* (glabrous = smooth). Refers to the fruit of this species which is a smooth pod.



c- *Hyoscyamus muticus* (muticus = short). The plant being short.

d- Atropa belladonna (bella = beautiful, donna = lady) the juice of the berry placed in the eyes causes dilatation of the pupils, thus giving a striking appearance).

2- A characteristic colour:

- a- *Piper nigrum* (= black)
- b- Veratrum viride (= green)
- c- Citrus aurantium (= golden yellow)
- d- *Digitalis purpurea* (= purple)
- e- *Digitalis lutea* (= yellow)

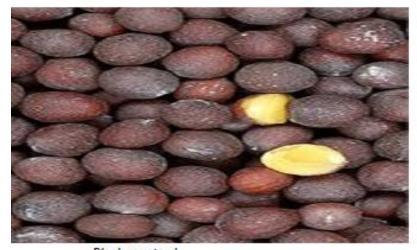
3- An aromatic plant or certain aroma:

- a- Myristica fragrans (having a fragrant, nice aroma)
- b- Caryophyllus aromaticus (refers to the aroma)

Digitalis purpurea



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Black mustard

Digitalis <mark>lutea</mark>





White mustard

4- A geographical source or history of a drug:

- a- Cannabis indica (growing in India)
- b- *Tamarinds indica* (India)

5- A Pharmaceutical activity or an active constituents:

- a- Papaver somniferum (sleep inducing)
- b- Strychnos nux vomica (from two latin words, nut causing vomiting)
- c- Ipomoea purga (laxative).

6- A general meaning or a special indication

- a- Allium sativum (= cultivated)
- b- *Triticum vulgaire* (= wild)

. Species are named according to geographical origin:

- Cinnamoum zeylanicum (growing in Ceylon): القرفة
- Hydrastis Canadensis (growing in Canada): حوذان مر
- Tamarindus indica (growing in India): التمر الهندي
- Uriginia maritima (near the coast): البصل البري أو العنصل

General meaning:

- Allium sativum (cultivated): الثوم
- Linum usitatissimum (most useful):الكتان

Tamarindus indica





Uriginia maritima



Uriginia maritima

Linum usitatissimum

The generic name may also allude to certain characters of the plant:

- Atropa, from Atrops, meaning flexile, the name of the Greek fate who cuts the thread of life, alluding to the poisonous characters of the drugs.
- Glycyrrhiza is from glucose= sweet, riza = root.

Important Definitions and Aspects Related to Crude Drugs

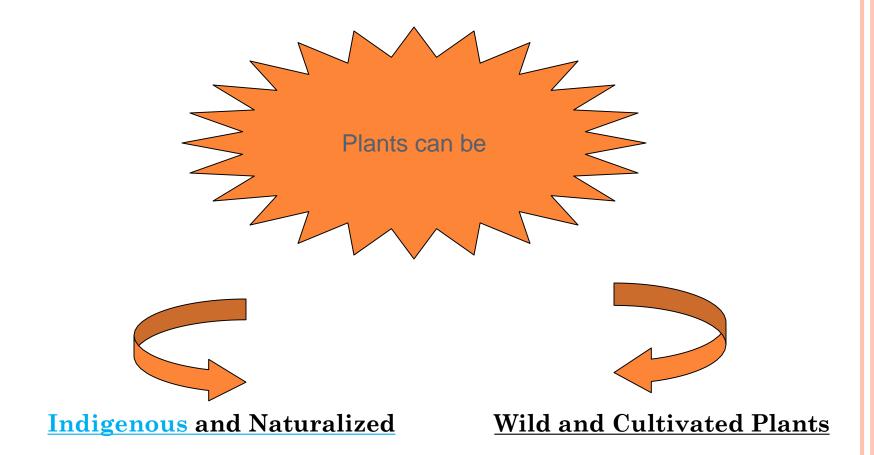
The **geographic source** and **habitat** are the **region** in which the plant or animal yielding the drug grows.

Drugs are collected in all parts of the world, though the tropics and subtropics, where plant species, abound, yield more drugs than do the artic and subarctic regions.

The <u>Mediterranean</u> basin, including Asia Minor, yields more drugs than any other region of the world.

However, India, the East Indies, central Europe, northern South America, Mexico, Central America, North America, and other regions yield numerous and valuable drugs.

Neither the scientific name of the plant <u>nor</u> the commercial name of the drugs <u>is necessarily</u> an indication of the <u>true habitat</u> of drugs plants. For example, the specific name of *Acacia senegal* seems to indicate that this plant, which yield gum Arabic, is most abundant in Senegal.



Indigenous and Naturalized Plants

- 1- Plants **growing** in their **native** countries are <u>said</u> to be **indigenous to those regions**, <u>such</u> as *Pinus palustris* in the southern United States.
- <u>2- Plants</u> are <u>said</u> to be <u>naturalized</u> when they grow in <u>a</u> <u>foreign land a locality</u> other than their native homes, <u>such</u> as *Datura stramonium*, which was introduced into the United States from Europe (<u>native</u> country).

Reasons for naturalized plants

- A- Some of these plants may have been introduced with the seeds of cultivated plants.
- B- Some by birds or ocean currents.
- C- Others by ballast of ships, and so on.

Wild and Cultivated Plants

Drugs can be <u>collected</u> from <u>wild plants</u>, or plants <u>can be</u> <u>cultivated</u> for the production of <u>drugs</u>.

Cultivated medicinal plants have been propagated for centuries in China, India, Europe, and many other lands.

Plants <u>usually cultivated</u> in their <u>non-native countries</u> for economic and therapeutic (drug)interests.

For example <u>cocoa</u> (native to <u>Mexico</u>) is cultivated in large quantities in <u>Nigeria</u>, Ghana and Indonesia

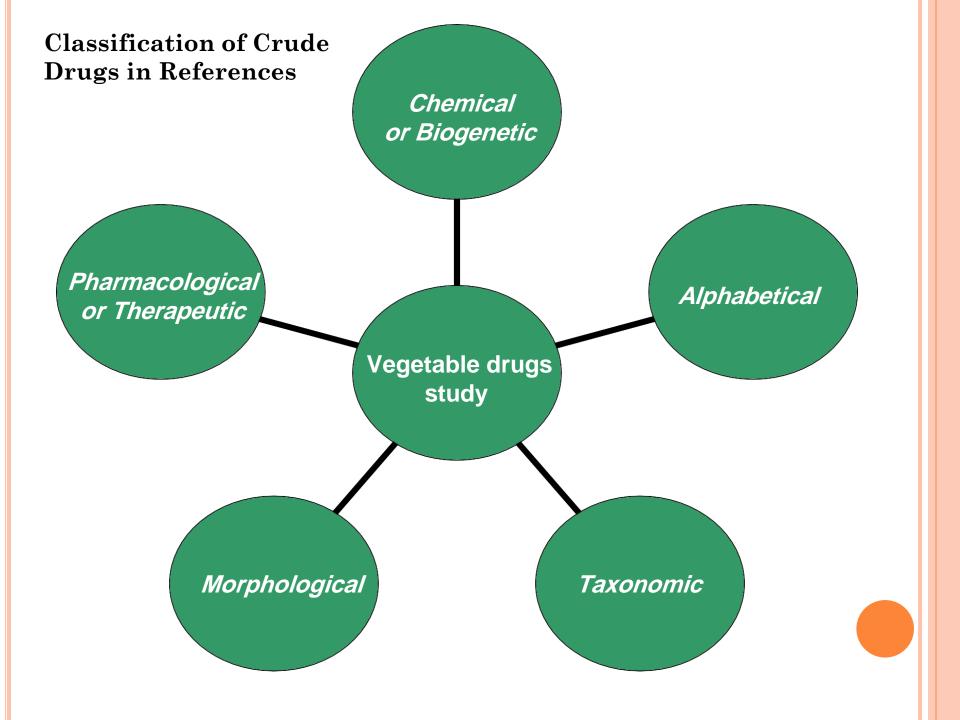
It is **important** to check that plants cultivated in a certain geographic area will **develop** the **desired type** and **amount** of constituents. The differences in the relative amounts of volatile constituents often determine the character of the oil and, consequently, the demand for that particular oil. California orange oil is marketed at more than twice the price of Florida oils.

* The use of **modern isolation techniques** and pharmacological testing procedures means that new plant drugs usually find their way into medicine as purified substances rather than in the form of galenical preparations.

→dried *Catharanthus roseus* →isolated alkaloids vinblastine and vincristine.

THE SCOPE AND PRACTICE OF PHARMACOGNOSY

- 1-Although pharmacognosy is principally <u>concerned with</u> <u>plant materials</u>,
 - → there are a <u>small number</u> of <u>animal products</u> which are traditionally encompassed within the subject
 - →such items as <u>beeswax</u>, <u>gelatin</u>, <u>wool fat</u>, vitamins, etc.
- 2-Materials having <u>no</u> pharmacological action which are of <u>interest</u> to pharmacognosists are <u>natural fibers</u>, flavoring and suspending agents, <u>colorants</u> and <u>stabilizers</u>.
- 3- **Other** areas that have natural associations with the subject are <u>poisonous</u> and <u>hallucinogenic</u> plants, herbicides, insecticides.



1. Alphabetical: Either Latin or vernacular (English name) names may be used.

This arrangement is employed for dictionaries, pharmacopoeias, etc. Although suitable for quick reference it gives no indication of interrelationships between drugs.

2. Taxonomic: On the basis of an accepted system of botanical classification, the drugs are arranged according to the plants from which they are obtained in classes, orders, families, genera and species.

It <u>allows</u> for a <u>precise</u> and <u>ordered arrangement</u>. As the <u>basic botanical knowledge of pharmacy students</u> <u>decreases</u> over the years —this system is becoming <u>less</u> <u>popular</u> for teaching purposes.

3. Morphological: groups as leaves, flowers, fruits, seeds,(organized drugs), dried extracts, gums, oils,...(unorganized drugs).

Example: Organized Drugs:

•Leaves –Eucalyptus, Mint, Senna, Spearmint, Squill, Coca, Hyoscyamus, Belladonna, Tea.

4. Pharmacological or Therapeutic: This classification involves the grouping of drugs <u>according</u> to the <u>pharmacological</u> <u>action of their most important</u> constituent or their therapeutic use (cardiac <u>glycosides</u>) →However, it is important to appreciate that the constituent of any one drug may fall into different pharmacological groups

- 5. Chemical or Biogenetic. The <u>important constituents</u>, e.g. <u>alkaloids, glycosides</u>, <u>volatile oils</u>, <u>saponins</u> etc., or their <u>biosynthetic pathways</u>, form the basis of classification of the drugs.
- → This is a <u>popular approach</u> when the <u>teaching of pharmacognosy</u> and is phytochemically based. → Textbook : <u>Bruneton j.</u>
 Pharmacognosy, photochemistry and medicinal plants

Chemical or Biogenetic Classification

Based on this type of classification we can group the medicinal plants into:

1.Carbohydrates

2.Glycosides:

- a. Anthraquinone Glycosides Aloe, Cascara, Rhubarb, Senna
- b. Saponins Glycosides –Quillaia, Glycyrrhiza
- c. Cyanophore Glycosides Wild cherry bark
- d. Isothiocyanate Glycosides Mustard
- <u>e. Cardiac Glycosides Digitalis , Strophantus</u>
- <u>f. Bitter Glycosides Gentian , Calumba , Quassia</u>
- 3. Tannins
- 4. Volatile Oils: Monoterpines & Sesquiterpenes
- <u>5. Resins: Complex mixture of compounds like resinols, resin acids, resinotannols: Cannabis, Capsicum, Turmeric, Balsam of Tolu and Peru, Myrrh, Ginger.</u>
- 6. Alkaloids: Nitrogenous substance

<u>Pyridine and Piperidine – Lobelia , Nicotiana</u>

<u>Tropane – Datura , Stramonium , Hyoscyamus , Henbane .</u>

Quinoline - Cinchona

<u> Isoquinoline – Opium , Ipecac , Calumba .</u>

<u>Indol – Ergot , Rauwolfia .</u>

<u>Amines – Ephedra</u>

<u>Purines – Tea</u>, <u>Coffee</u>.

7. Triterpines

Monographs

A monograph (Classical Greek, "One Writer" or "Single Writing") is a work of writing upon a single subject

A single document that forms a complete text in itself. Normally it is used for a work intended to be a complete and detailed exposition of a substantial subject at a level more advanced than that of a textbook.

Monographs form a component of the review literature in science and engineering.

Published monographs

→The aim has been to <u>set standards for quality</u>, <u>efficacy</u> and <u>safety</u> in <u>order</u> that the many traditional herbs <u>meet legal</u> <u>requirements</u>. The following are of note:

1-German Commission E monographs.

- These were developed for the German Federal Health Authority between 1978-1994 and involve 324 herbs used in German traditional medicine. →The monographs give sources, constituents and considerable pharmacological and clinical information.
- →They have now been <u>translated</u> into <u>English</u> and published by the American Botanical Council in 1999 as a single work.

2- ESCOP monographs:

ESCOP (European Scientific Cooperative for Phototherapy) Information is given on **approved therapeutic uses**, and unlike the Commission E monographs, **provides references**.

3-AHP monographs:

The American Herbal Pharmacopoeia planned to have published 11-13 monographs by the end of 2000.

→There is naturally a selection of <u>American</u> traditional herbs with some <u>overlap</u> with the <u>European</u> monographs.

4- WHO monographs:

The World Health Organization published Volume I of its Monographs on Selected Medicinal Plants in 1999. It contains standards for quality of drugs together with a therapeutic section.

5- USPmonographs:

The <u>United States Pharmacopoeia</u> is also producing herbal monographs.