Faculty of Pharmacy

Introduction – part 1

Pharmacognosy & phytochemistry

Dr. Yousef Abusamra

Objective

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- The objective of this course is to give to the students of pharmacy the basic knowledge about the Medicinal Plants and Pharmacognosy and discussion of Medicinal Plants according to their uses and their effects upon the different organs of the body.

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HISTORY OF PHARMACOGNOSY

From earliest times man had developed a knowledge of naturally occurring drugs which has been transmitted by:

1. orally
2. later in written form as papers,
3. backed clay tables,
4. printed herbals,
5. pharmacopoeias,
6. most recently by computerized information.

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Introduction

Pharmacognosy: "**pharmakon**": Greek name meaning: drug, and "**gignosco**": to acquire knowledge. Appears with its clear features in the 18 th century (Adam Schmidt and Seydler).

- It is related to **botany** and **chemsitry** and it embraces several disciplines such as: **commerce**, **botany**, **chemsitry** **enzymology**, **genetics**, **quality control** and **pharmacology**.
- - Can be defined as: a science that deals with natural products used as drugs or for the production and discovery of drugs.
- **It is mostly concerned with the followings:**

❖ Naturally occurring substances.

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- Natural and semi-synthetic fibers.
- Materials used in pharmacy such as: hallucinating plants, raw materials for production of herbicides and insecticides.
- Concerned with drugs, their history, commerce, collection, preparation and storage.
- Elucidation of biogenetic pathways for formation of active secondary metabolites.
- Employing analytical methods (e.g. chromatography) for identification and determination of drugs such as plants, tissue culture of plants.
- Growing markets of natural products (e.g. Chinese and Asian) necessitates the addition of more monographs about these products, the matter that enriches pharmacognosy.

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Classification of Drugs:

1. **Alphabetical:**

- Using Latin or English names.
- Used in dictionaries and pharmacopoeias
- ADVANTAGE: Gives a quick reference.
- DISADVANTAGE: provides no indication of interrelationship between drugs.

2. **Taxonomical:**

- Uses the botanical classification: drugs are arranged according to the source of the plants.
- Thus, using: classes, orders, families, genera and species.
- ADVANTAGE: allows quick and precise arrangement that is devoid of ambiguities.
- Its use is decreasing with decreasing the knowledge of the practitioners mainly pharmacists.

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❖ Pattern of Engler:

- Example: ***Mentha piperita*** Linnaeus … (species) Pippermint, which can exhibit varieties:

  Mentha piperita var. *officinalis* Sole (white pippermint)

  Mentha piperita var. *vulgaris* Sole (Black pippermint), where "**Sole**" and "**Linnaeus**" are names of the scientists who were the first to describe the plant and introduce the plant to science.
- These names shoul **not** be memorized.
- Mostly we are interested in the binomial system of nomenclature (double Latin title), that was employed by the **Swedish biologist Linnaeus** in the 18th century.

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- Example: ***Conium maculatum*** (Hemlock; Arabic: Shawkaran): The first name starts in capital letter and denotes the genus, the second one (specific) starts with small letter and indicates a striking characteristic or feature.
- **i.e.:** ***maculatum***: spotted.
- Other example: ***Hypericum perforatum***, the specific name ***perforatum*** denotes holes, as the leaves appear with holes wish are not really holes (perforated, pierced).
- Both names are printed in literature in ***italic*** style.
- Students can use underlining style.

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Example:
الرشاد المزروع
Watercress

Kingdom	=	Plantae
Division	=	Angiospermae
Class	=	Dicotyledonae
Sub Class	=	Polypetalae
Series	=	Thalamiflorae
Order	=	Parietales
Family	=	Cruciferae (Brassicaceae)
Genus	=	Lepidium Linn
Species	=	*Lepidium sativum Linn* sp.[6]

الرشاد المزروع ويعرف شعبياً بالرشاد **Cabbage family**
من الفصيلة الصليبية. ينتمي إلى جنس الرشاد
يستعمل كخضراوات ورقية في السلطة والمقبلات.

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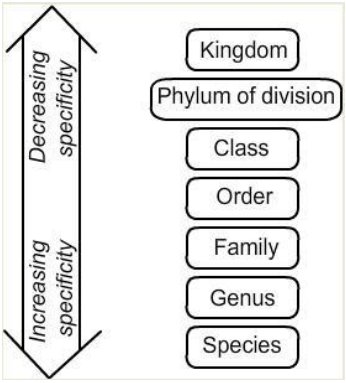

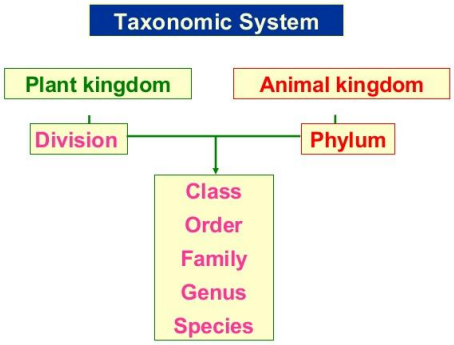

Taxonomic classification

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3. Morphological:

- Drugs are divided into groups:

a) **Organized drugs:** such as: leaves, flowers fruits, seeds, herbs, entire organisms, woods, barks, rhizomes and roots.

b) **Unorganized drugs:** such as: dried latices, extracts, gums, oils and waxes.

➢ ADVANTAGE: suitable for drug study in the lab; that the powdered drugs are identified depending on the micro-morphological characters.

4. Pharmacological or therapeutic:

- Invloves listing drugs according to the pharmacological action of their most important constituent or their therapeutic use.
- Increasinlgy found in literature.

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- It should be noted that the constituents of any drug may have more than one pharmacological action, as a result, this drug can fall into different pharmacological groups.

5. Chemical or biogenetic:

- According to the most important group of constituents available such as: alkaloids, glycosides, volatile oils… etc or biosynthetic pathways.
- Adopted when pharmacognosy is studied in a phytochemical point of view.
- DISADVANTAGE: ambiguities when drugs have a number of active constituents belonging to various phytochemical groups.

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Drugs

- **Definition**: substances, whether natural or synthetic, having therapeutic or medicinal properties and chiefly used as medicines or as ingredients in medicines.
- We have either vegetable drug or animal drug.

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Crude drugs

- **Crude drugs:** are vegetable or animal drugs which consist of natural substances that have undergone **no** other processes than **collection and drying** and what ever is necessary to keep it in a good condition.

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Advanced crude drug

- **Advanced crude drug:** As used in relation to natural products, means any product which has been advanced in value or improved in condition from its crude state by any **mechanical or physical process** by shredding, grinding, chipping, crushing, but not artificial mixing with other substances.

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Derivatives or extractives

- **Derivatives or extractives:** Only infrequently crude drugs are used as such as therapeutic agents, more often their chief principles are separated by various means and are employed in a more specific manner.
- These principles are known as derivatives or extractives which could be single or mixture of substances, and it is considered as the chief constituent.

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Extraction

➢ **Extraction:** The process of extraction is a generally accepted method of the active constituents.

- Extraction will remove only those substances which can be dissolved in the liquid known as solvent.
- The undissolved portion of the drug that remains after the extraction process is completed is known as **the marc**.
- The product of the extraction process is known as the extractive and is usually a mixture of substances.
- Usually drugs are **grinded** before extraction to decrease particle size and increase surface area available for solvent to extract the material, and hence increasing the efficiency of extraction.

Types of extracts

- **Dry extract:** all the solvent has been removed.
- **Soft extract:** contains 15-25% residual water.
- **Fluid extract:** one part of the crude drug is contained in one or two parts of the extract.

{a liquid preparation, containing alcohol , or other liquids, as a solvent or as a preservative, that contains in each cubic centimeter (ml) the medicinal activity of one gram of the crude drug.}

- **Tincture:** is prepared by extraction of the crude drug with 5 to 10 parts of ethanol of varying concentration, without concentration of the final products.

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Choice of solvents

The ideal solvent for a certain pharmacologically active constituents should:

1. Be highly **selective** for the compound to be extracted.
2. Have **a high capacity** for extraction in terms of coefficient of saturation of the compound in the medium.
3. **Not react** with the extracted compound or with other compounds in the plant material.
4. Have a **low price**.
5. Be **harmless** to man and to the environment.
6. Be **completely volatile**.

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Types of solvent

The following list contains the names of solvents arranged from low to high polarity.

- Petroleum ether
- Cyclohexane
- CCl_4 (Tetrachlormethane)
- Benzene
- $CHCl_3$ (Chloroform)
- Ethylic ether
- Acetone
- n-butanol
- Methanol
- Water

Polarity increases in this direction

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Geographic source or habitat

- Is the region in which the plant or animal yielding the drug grows.
- Drugs are collected in all parts of the world, though the tropic and subtropics yield more drugs than do the arctic and subarctic e.g. Asia Minor (Anatolia) yields more drugs than any other region in the world.
- Coconut

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Indigenous plants

- **Indigenous plants:** plants growing in their **native countries** are said to be **indigenous** to those regions for example *Aconitum napellus* البيش in the mountains of Europe.

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Naturalized Plants

- Plants growing in a **foreign** land or in a locality other than their native homes, as *Datura stramonium* which has been introduced into the United States from Europe.

- Some of these plants may have been introduced with the seeds of cultivated plants, some by birds or ocean currents.

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Cultivation

- Cultivated medicinal plants have been propagated in China, India and Europe, for example Cinchona: native to the South American Andes, was developed as a crop in Indonesia.

- Extensive cultivation of certain medicinal plants is conducted in specific geographical regions which is suitable for those drugs, for example in 1950's, a fungus blight آفة زراعية invaded the fields of peppermint in Michigan and within few years it was considered uneconomical to attempt further cultivation.

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Cultivation

- It is important that when plants are cultivated in a certain geographical area to ascertain that they will develop the desired type and amount of active constituents.
- For example *Ammi visnaga* الخلة البلدية growing wild in the Mediterranean area contains a variety of coumarins and chromones in its seeds, when this plant was cultivated in Arizona, the seeds were devoid of the desired constituents.

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Ammi visnaga

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❖ Advantages of cultivation over collection from the wild:

1. Soil, shade, moisture and plant disease are all **readily controlled** for the optimum development of the plants.
2. **Easier harvesting** as plants are almost in the same stage of growth, and exist in smaller areas, consequently, it is made easier to deal with the plants.
3. **Quick and efficient drying** which yields almost unchanged active ingredients.
4. **Extraction** of the desired constituents can be done **directly** in association with cultivation, e.g. essential oil production.
5. Cultivation can be **combined with plant breeding** to yield higher amounts of active constituents.

Commerce in Drugs

The commercial origin of a drug refers to its production and its channels of trade. Before 1925, **London** and **Amsterdam** were the primary markets for drugs.

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Factors involved in production of drugs

Extrinsic factors

- The crude drug which finally reaches the pharmaceutical manufacturing line will have passed through various stages, all of which can influence the **nature** and **amount** of active constituents present (quality & quantity).
- These aspects will be considered under the headings: **climate**, **cultivated and wild plants**, **collection**, **drying** and **storage**.

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Climate

1. Plant growth and development, and often the nature and quantity of secondary metabolites, are effected by temperature, rainfall, length of day (including the quality of light) and altitude.

❖ Such effects have been studied by growing particular plants in **different** climatic areas and observing variations.

❖ Opium poppy (*Papaver somniferum*) is an example of the plant grows well in other areas other than its normal habitat (temperate and subtropical climate; Turkey, Bulkans, Mediterranean countries). It gave almost the same quantity and quality of the active constituents (alkaloids) when grown in the nordic areas [successful cultivation].

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Temperature

2. Temperature is a major factor controlling the **development** and **metabolism** of plants. Although each species has become adapted to its own natural environment, plants are frequently able to exist in a considerable range of temperature.

❖ Night and day temperature must also be considered. For example, the formation of v. oils appears to be enhanced at higher temperature, although very hot days may lead to an excess physical loss of oil (2-side weapon).

❖ Several authors have indicated that fixed oils produced at low temperatures contain fatty acids with a higher content of double bonds than those formed at higher temperature.

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Rainfall

3.The important effects of rainfall on vegetation need to be considered in relation to the annual rainfall, its distribution throughout the year, its effect on humidity and its effect coupled with the water-holding properties of the soil.

- Variable results have been reported for the production of v. oil, which is coupled with development of glandular hair.
- Continuous rain can lead to a loss of water-soluble substances from leaves and roots by leaching, which results in low yield of active constituents.

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- **Short-term** drought has been noticed to increase sennosides A and B in senna (*Cassia angustifolia*).
- Where, **long-term** drought resulted in the loss of leaf biomass (withered away).

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Day Length

4. Plants vary much in both the amount and intensity of the light which they require. In the wild state. plant will be found where its shade requirements are met.

- In case of **cultivation**, similar shade conditions must be provided.
- Research shown that light is a factor which helps determine amount of glycosides, alkaloids; they increase with increase in day length (as in *Cinchona ledgeriana*, and an increase of hyoscine has been noticed in ***Datura stramonium*** upon exposure to intense light).
- Also, peppermint leaves produce **v. oil** rich with menthol with longer day light, while it is rich in other component with shorter day light.

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Altitude

5. Altitude: The coconut palm needs a maritime (marine) climate and the sugar cane is a low-land plant.

- Some active constituents of medicinal plants either increase or decrease with high attitude, for example, medicinal rhubarb, tragacanth and cinchona require **elevation (high atitude)**.
- *Cinchona* grows well at **low** levels but produce **no** alkaloids.
- The bitter constituents of ***Gentiana lutea*** كوشاد أصفر *increase* with altitude, whereas, the alkaloids of ***Aconitum napellus*** and ***Lobelia inflata*** and the oil content of **thyme** and **peppermint** *decrease*.
- Pyrethrum gives the best yields of flower-heads and pyrethrin at high altitudes near the Equator, consequently, it is produced in East Africa and north-west South America.

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Lobelia inflata

Gentiana lutea

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Wild and Cultivated Plants

- Certain drugs are now almost exclusively from cultivated plants, for example cardamoms, ginger, fennel, opium.
- In other cases, both **wild** and **cultivated** plants are used.
- Some plants have been cultivated from immemorial سحيق time; others are now grown because supplies of the wilds plants are insufficient to meet the demand.
- Cultivation is essential in the case of drugs such as Indian **hemp** and **opium**, which are subject to government control, and in many cases it is advisable because of the improved quality of the drugs which is possible to produce.

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Wild and Cultivated Plants

So, reasons (justifications) of cultivation may be summarized as follows:

1. When supplies from wild plants are insufficient.
2. In case of controlled drugs such as hemp and opium that are submitted to governmental control to minimize or prevent abuse.
3. To produce drugs with higher quality and quantity.

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Reasons of improved qulaity of cultivated plants over wild ones

1. The possibility to confine collection to certain species, varieties or hybrids with desired phytochemical characters (e.g. aconite, cinamon, fennel, cinchona, ... etc.).
2. The better development of the plants owing to improved conditions of the soil, pruning تقليم (clipping) and the control of insects and fungi, etc.
3. The better facilities for treatment after collection, for example, drying at a correct temperature in cases of digitalis, colchicum, belladonna and valerian النارديين المخزني **(sedative, antiseptic, anticonvulsant, migraine treatment, and pain reliever)**.

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Valerian & colchicum

Red valerian

Colchicum

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OFFICIAL AND UNOFFICIAL DRUGS

- Drugs of therapeutic value are standardized in the national pharmacopeias, each 5 years.
- Between versions, supplements are issued.
- The pharmacopoeia nowadays includes the descriptive material pertaining (belonging) to any of the drugs or preparations.
- This descriptive material is also included in the national formulary سجل الوصفات الوطني.
- This is known as the monograph [a detailed written study of a single specialized subject].

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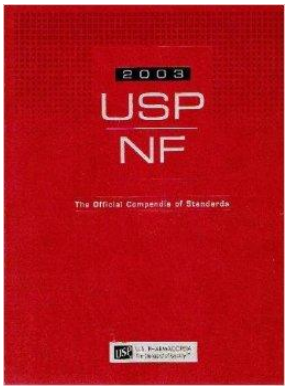
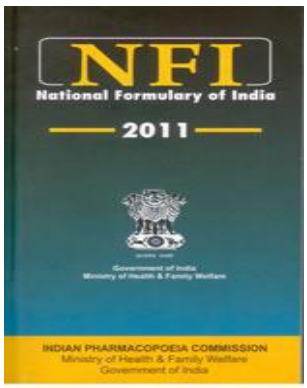
Official drugs

- The drugs that meat the requirements of the **National formulary** and described **in the current pharmacopeias** are called **official**.





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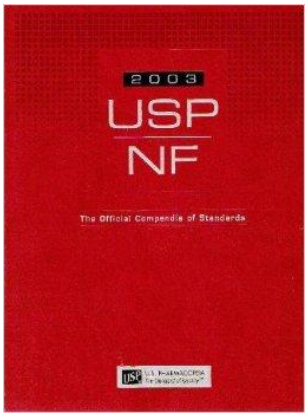

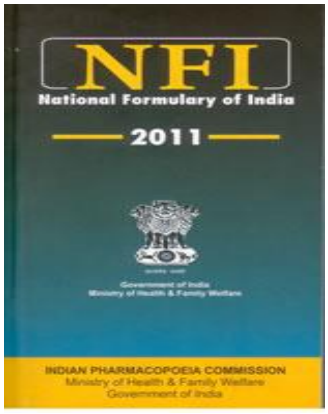

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Unofficial drugs

- Substances that have been recognized in the pharmacopeias or in the formulary but are **not presently** found in the current issues are designated as unofficial.

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Unofficial and non-official drugs

- Substances that have been recognized in the pharmacopeias or in the formulary but are not presently found in the current issues are designated as **unofficial**.
- Substances that have never appeared in either book may be called **non-official**.

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Monograph

[a detailed written study of a single specialized subject]

- In the monograph of a crude drug, the following information may be provided

1. Official title
2. Category
3. Dose
4. Definition
5. Description
6. Identity tests
7. Tests for adulterants
8. Method of assay
9. Packaging and storage
10. Tests for foreign organic matter.

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