Pharmacognosy

Lecture 1AB

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# Course Outline:

## Level III

**Course Title:** Pharmacognosy  
**Course Code:** 1702363  
**Prerequisite:** Pharmaceutical Organic Chemistry (1703216)  
**Semester:** Summer 2018-2019 (1st Teaching day: 09.06.2019 / last Teaching day: 08.08.2019)  
**Credit Hours:** Weekly 4 hrs Lecture (Sunday-Wednesdays 9:00 -10:00 am)  
**Total Grade:** 100 pts.  
- **First Exam:** 25 pts.  
- **Second Exam:** 25 pts.  
- **Final Written:** 50 pts. (2 hrs.)

## Lecture Schedule and Content:

<table>
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<tr>
<th>Sun. 09.06 - Wed. 12.06</th>
<th>Sun. 16.06 - Wed. 19.06</th>
<th>Sun. 23.06 - Wed. 26.06</th>
<th>Sun. 30.06 - Wed. 03.07</th>
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</table>
| **Introduction to Pharmacognosy.**  
 a. Definition of Pharmacognosy.  
 b. Factors affecting plant growth.  
 c. Adulteration.  
 d. Secondary metabolites.  
**Introduction to Medicinal Leaves.**  
 a. Senna leaf.  
 b. Digitalis leaf.  
 c. Solanaceous leaves.  
| **Introduction to Medicinal flowers.**  
 a. German chamomile.  
 b. Pyrethrum.  
 c. Santonica.  
 d. Clove.  
 e. Hibiscus.  
**Introduction to Medicinal woods and barks.**  
 a. Cinchona.  
 b. Cinnamon/Cassia.  
 c. Cascara/Frangula.  
 d. Salics.  
 e. Gualacum wood.  
| **Introduction to Medicinal seeds.**  
 a. Linseed.  
 b. Foenugreek.  
 c. Cardamom.  
 d. Black/White mustard.  
 e. Psllium.  
**Introduction to Medicinal fruits.**  
 a. Fennel/Anise.  
 b. Capsicum.  
 c. Poppy.  
 d. Senna.  
 e. Ammi visnaga/majus.  
| **First Exam** |

|------------------------|------------------------|------------------------|------------------------|
| **Introduction to Medicinal herbs.**  
 a. Mentha/Thyme.  
 b. Lobelia.  
 c. Ergot.  
 d. Ephedra.  
**Introduction to Medicinal Subterranean organs.**  
 a. Ginger/Curcuma.  
 b. Liquorice.  
 c. Rhubarb.  
 d. Garlic.  
| **Introduction to Medicinal Unorganized drugs.**  
 a. Colophony.  
 b. Myrrh.  
 c. Gum Acacia.  
 d. Gum tragacanth.  
 e.  
| **Introduction to Medicinal Unorganized drugs (Continued).**  
 a. Agar.  
 b. Gelatin.  
 c. Aloes.  
 d. Opium.  
| **Second Exam** |

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<th>Sun. 04.08 - Wed. 07.08</th>
<th>Sun. 11.08 - Wed. 14.08</th>
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| **Introduction to Medicinal Unorganized drugs (Continued).**  
 a. Evening primrose oil.  
 b. Beeswax.  
 c. Honey.  
 d. Royal jelly.  
 e. Bee propolis.  
| **Final Exam** |
Lecture Outline

• Definition and Principles of Pharmacognosy.
• Factors affecting plant growth.
• Adulteration.
• Secondary metabolites.
History of Pharmacognosy

• Dates back to prehistoric ages
• Folk Medicine
• **Ancient Egyptians** were experts in drugs
• 1\textsuperscript{st} recorded prescriptions on papyrus and in tombs
• Drugs included aloes, gums, poppy, pomegranate, coriander and many minerals
• **Old Indians**: Drugs as sandal wood, cardamom, ginger, clove, cannabis, sesame oil.
• **Ancient China**: Among the plants known are ginseng, rhubarb, opium, star anise.
History of Pharmacognosy

• The greeks and Romans
  • Pythagoras (580 B.C.) Hippocrates (460 B.C.)
  • Galen (134-200 A.C.) “Galenical Preparations”

• Islamic Contributions
  • “Kemia”
  • 1st Sandalia in Baghdad
  • Rhazes
  • Ibn Sina and “Kanon”
Principles of General Pharmacognosy

• What is the meaning of Pharmacognosy?
• To acquire the knowledge of drug.
• The name Pharmacognosy, derived from the Greek word Pharmakon (a drug), and Gignosco, (to acquire a knowledge of), was not introduced until 1815.
• Pharmacognosy is defined as: The objective study of crude drugs of vegetable, animal or mineral origin, treated scientifically.
Cont.

Principles of Pharmacognosy

- Pharmacognosy is closely related to both botany and plant chemistry.

- Pharmacognosy has developed mainly on the botanical side, being particularly Concerned with:

  1. The description and identification of drugs, both in the whole state and in powder form.

  2. The elucidation of the structures of the active constituents.

  3. Their biogenesis in plants.
Biosynthetic and Synthetic Drugs

- The living cells of plants and animals may be compared with factories that take in various raw materials
- Modify
- Recombine the constituents in different ways
- Eliminate some of the residues
- Finally yield a variety of chemical products called biosynthetic substances
Biosynthetic Drugs

• Biosynthetic Substances are the substances that result from:
  – Synthesis, transformations and rearrangement of molecules
  – Carried out in living cells

• The process by which they are formed is called **Biosynthesis**

• The biosynthetic substances produced by living cells are called **Natural products**.

• **Synthetic Compounds** do not occur in nature.
Crude Drugs
The term **Crude Drugs = Raw Drugs**

They are defined as: The dried plant or animal material of medicinally useful products, before they have undergone extensive processing or modification.

They may be derived from the mineral, vegetable or animal kingdom or as a product of biotechnology.

They may occur as dried or fresh, ungrounded or ground organs or natural exudations.
Examples of Crude Drugs

• Entire plants or animals: Lobelia, Mentha, Cantharides and Catharanthus.

• Entire organs of plant: Senna, Clove, Fennel, Linseed, Cinchona and Liquorice.

• Minerals: Chalk, Kaolin, Talc or minerals used as food supplement.

• Substances derived from plants or animals: Opium, Aloes, Tragacanth, Resins, Musk, Beeswax, Gelatin, Vitamins and Hormones.
Factors Affecting Plant Growth

1. Factors related to the Plant
2. External Factors (Environmental)
   A. Temperature
   B. Light
   C. Latitude & Altitude
   D. Water Supply
   E. Soil & Plant Nutrients
3. Internal Factors (Plant growth regulators)
   A. Auxins
   B. Gibberellins
   C. Cytokininns
   D. Growth Inhibitors
   E. Hormones Affecting the Growth of Plant organs
1- Factors related to the plant.

A. Selection of good plant strains that provide good growth and high active constituents e.g. Digitalis and Belladonna leaves.

B. Treatment of the seeds before sowing with different chemicals to fasten germination, Hyoscyamus seeds treated with sulphuric acid or increase the percentage of active constituents e.g. treatment of seeds with colchicine.

C. Use of hybrids to increase the active constituents e.g. Cinnamon, Cinchona.

D. Proper time of harvesting, proper collection and proper drying at correct temperature e.g. Digitalis leaf and Belladonna.

E. Cultivation of medicinal plants close to pharmaceutical firms. This will ensure proper handling and storage and overall improved yield and quantity. Also reduced transport expenses.
2- External Factors

A. Temperature.

B. Light

The required **amount** of light and its **intensity** will vary from one plant to another. The amount of active constituents in some medicinal plants will be **affected** by this factor.

C. Latitude & Altitude

**Latitude**: describe the location far or near equator.

- **Low** latitude, high temperature **near equator**.
- **High** latitude an area **far from equator**.
- Each area has its **own vegetation**, since the temperature is a function of location.

**Altitude**: degree of elevation relative to sea surface.

- Temperature falls about $1^\circ$ C for every 343 feet of elevation.
2- External Factors

D. Water Supply

The main source of fresh water is rain. It is essential for life:

- 90% of the plant cell is made of water.
- Helps in absorption of plant nutrients from soil.
- Translocation of nutrients and organic matter within the plant.
- Regulated plant temperature by transpiration.
- A medium for essential biological reactions.

Water requirements are different for different plants.
2- External Factors

E. The soil and Plant Nutrients
   i. The Soil

There are different types of soils according to their water capacity.

**Absolute water capacity** is the amount of water which remains in a soil after any excess has drained away.

- Sandy soils are very permeable.
- Clay soils possess a high degree of power of water absorption by capillary conduction and resistance to filtration.
2- External Factors

E. The soil and Plant Nutrients

ii. Plant Nutrients

Elements commonly supplied by the soil or manure

1. Elements commonly supplied by the soil:
   
a. Main nutrient or macroelements N, P, K
b. Active elements Ca, Mg, Fe
c. Inactive elements Na, Al, Si, I
d. Microelements Cu, Mn, Zn, Co, Mo

2. Manure
3- Internal Factors

• Internal factors include the plant growth regulators
• These are chemical substances that regulate the growth and development of plants
• Five groups of plant hormones are well established: Auxins [β-Indole acetic acid (IAA)] ↑, Gibberellins ↑ (GA), Cytokinins ↑, Abscisic acid ↓ and its derivatives and Ethylene ↓.
• These hormones are all:
  – Specific in their action
  – Active in very low concentrations
  – Regulate cell enlargement, cell division, cell differentiation, organogenesis, senescence and dormancy.
Adulteration

• **Adulteration:** To make impure or inferior by adding foreign substances to something OR by replacing it.

• Is a practice of substituting original crude drug partially or whole with other similar looking substances but the latter is either free from or inferior in chemical or therapeutic properties.
Adulteration

- **Adulterant**: The added substances used for adulteration
- The adulterant is usually cheap and available in large amounts.
- This usually happens to rare or high priced drugs.
- An adulterated drug does not comply with the official requirements of the **Pharmacopoeias**
Types of Adulteration

1. Sophistication or True Adulteration
2. Substitution
3. Admixture
4. Deterioration
5. Spoilage
6. Inferiority
7. Addition of Worthless Heavy Metals
8. Adventitious Matter
1. Sophistication

- The addition of inferior material to any article with intend to defraud.
- Such materials are carefully produced and may appear at first sight to be genuine.

- Ex: Adulteration of ginger with wheat flour, capsicum for pungency and curcuma for color
- Ex: Adulteration of beeswax with yellow colored paraffin wax.
- Ex: Artificial invert sugar to adulterate honey.
2. Substitution

The complete replacement of the genuine drug with a different article

A. Substitution with an Inferior Commercial Variety (inferiority).

Due to morphological resemblance to the authentic drugs, may or may not have any chemical or therapeutic potential as the original natural drug.

• Ex: Arabian Senna and Dog Senna have been used to replace genuine Senna

• Ex: *Capsicum annum* and chilies to replace *Capsicum minimum*
Substitution of Senna pods

Alexandrian Senna

Adulterated Senna
Substitution of *Capsicum minimum*

*C. annum*

Genuine *C. minimum*

Japanese Chilies
<table>
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<tr>
<th>Inferior Drugs</th>
<th>genuine</th>
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<tbody>
<tr>
<td><strong>Obovate Senna leaves</strong> (dog Senna)</td>
<td><img src="image" alt="Obovate Senna leaves" /></td>
</tr>
<tr>
<td><strong>African Ginger</strong></td>
<td><img src="image" alt="African Ginger" /></td>
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B. Substitution with Exhausted Drugs

• The same plant is substituted with ones having no medicinal components as they have already extracted out.
• Most common incase of drugs having volatile oils.
• Ex: Using exhausted Umbelliferous fruit (Caraway, Fennel) or Clove flowers which retain their appearance after exhaustion.
• Some drugs may even be recolored with artificial dyes. Saffron recolored with methyl red.
Substitution with Exhausted Drugs

- Caraway
- Anise
- Umbelliferous Fruit
- Fennel
- Cumin
- Saffron
2. Substitution

- The complete replacement of the genuine drug with a different article

C. Substitution by Superficially similar but have no relation to genuine drug

- Ex: Peach and Apricot kernels for Almonds
Peach Kernels and Apricot kernels

For Almonds
3. Admixture

- This is the addition of one article to another by accident or through ignorance and carelessness.
  - May occur by adding other unwanted parts of the same plant as stems, stalk and other aerial parts to the leaf drug.
  - OR Collection of other plants by mistake and ignorance of collection
    Ex: Argel instead of Senna leaves
  - OR e.g. inclusion of soil on an underground organ or the co-collection of two similar species.
4. Deterioration

- The imperfect quality of the drug due to destruction or removal of valuable active constituents through extraction, distillation, ageing and the sale of the residue as the original drugs.
  - Ex: Whole cloves from which the oil has been removed
  - Ex: Ground linseed from which oil has been expressed
5. Spoilage

- This is a form of deterioration in which the quality of the drug is impaired or destroyed by the action of fungi, bacteria, insects or rodents. (microbial or other pest infestation), which makes the drug unfit for consumption.

- This can be avoided by careful drying and storage.
Mouldy Coffee

Ex: Mouldy Ergot and wormy Rhubarb
Detection of Adulterants

1. Establishing the Identity of the adulterant drug or substance
   • Determination of the quality of the drug (i.e. if it conforms to the Official specifications, Pharmacopoeial or other)
Determination of the Adulterant Identity

1. Inspection of morphology
2. Histology and Microscopic Examination
3. Microscopic Linear Measurements
4. Quantitative Microscopy
5. Solubility and Physical Constants
6. Processes of Assay
7. Qualitative Chemical Tests
8. Ultra Violet Light
Plant Secondary Metabolites (Active constituents)

• Compounds that do not function in growth nor development

Role:

1. Act as protective agents.

2. Waste product of plant metabolism (detoxification).

3. Aid in survival.

4. Are energy producers and physiologically active.
Classes of secondary metabolites

1. Carbohydrates and related compounds (Gums, mucilages and pectins).
2. Glycosides
3. Tannins
4. Alkaloids
5. Volatile oils
2. Glycosides

- Glycosides are non-reducing organic compounds that yield one or more sugars upon hydrolysis by dilute acids, alkalies or enzymes.

- The non-sugar part of the molecule is called the aglycone; (genin), and the sugar component: glycone.

May be considered as sugar ethers

- O- : oxygen glycosides (ether linkage)
- C- : carbon glycosides
- S- : sulphur glycosides (thio-glycosides)
- N- : cyanophore glycosides
2. Glycosides (Cont.)

Sugar portion ........... Glycone
Non-sugar portion...... Aglycone / Genin
3- Tannins

• Widely distributed in the plant kingdom
• Form colloidal solutions with water possessing an **acid reaction** and a sharp **astringent** taste.
3- Tannins (Cont.)

According to molecule weight, tannins are divided into:
1. Pseudotannins
   • Low molecule weight simple phenolic compounds
   • They don't respond to the gold beater's skin test.
   • e.g. Gallic acid
2. True Tannins
   • High molecule weight about (1000-5000)

Types of True Tannins:
Two main groups of tannins:
  ➢ Hydrolyzable Tannins
  ➢ Condensed Tannins
3- Tannins (Cont.)

Hydrolyzable Tannins
(Pyrogallo1 Tannins)
• May be hydrolyzed by acids or enzymes
• Yield pyrogallol on distillation
• They are formed from several molecules of phenolic acids as gallic acid
• FeCl₃ gives a blue black colour
• Examples: In Clove

Condensed Tannins
(Catechol Tannins)
• Not hydrolyzable
• Yield catechols on distillation
• FeCl₃ gives a green colour
• Examples: In Cinnamon and tea

Gallic acid

Flavan-3-ol
They cause precipitation of gelatin, proteins as well as alkaloids

**Uses**

1. **Astringents** in the GIT and on skin abrasions (hemostatic).
2. **Treatment of burns** where proteins of the exposed tissues are precipitated forming a mildly antiseptic, protective coat under which new tissues regenerate.
3. Antidote for **alkaloidal and heavy metal poisoning**.
4. **Tanning of leather**.
5. Preparation of **ink**.
4. Alkaloids

- Alkali-like, basic nitrogenous compounds of biological origin which are physiologically active.
- The major source of alkaloids are **flowering plants**.
- They occur in the form of **salts with organic or inorganic acids**, or in combination with **specific acids**
  - e.g. **Opium alkaloids** occur with **meconic acid**
  - **Cinchona alkaloids** with **cinchotannic acid**

**Solubility**

- **Alkaloidal bases** are **insoluble in water**, but **soluble in organic solvents**.
- **Alkaloidal salts** are **soluble in water**, sparingly or insoluble in organic solvents.
Physiological activity:

1. **Analgesic and Narcotic** e.g. morphine and codeine
2. **Central stimulants** e.g. caffeine and strychnine
3. **Mydriatic** e.g. atropine
4. **Antiasthmatic** e.g. ephedrine
5. **Smooth muscle relaxant** e.g. atropine and papaverine
6. **Skeletal muscle relaxant** e.g. d-tubocuraine.
Some Examples of Alkaloids and Their Structures

Cocaine

Nicotine

Morphine

Caffeine
Alkaloids

- Pharmaceuticals
- Stimulants, Narcotics

Nicotine
Cocaine
Caffeine
Morphine

Tobacco
Coffee
Coca
Opium Poppy
Detection of alkaloids

- **Alkaloidal Precipitants:** Reagents containing heavy metals e.g. Mayer’s reagent gives a creamy white precipitant

- **Alkaloidal Colour Reagents:** Dragendorff reagent gives an orange colour
5. Volatile oils

- **Volatile or essential oils** are volatile in steam.
- They are secreted in **oil cells**, ducts or in **glandular hairs**.
- They are **mixtures of hydrocarbons and oxygenated compounds**.
- **Oxygenated constituents** mainly determine the **odour** and **taste** of volatile oils.
5. Volatile oils (Cont.)

- Aromatherapy

- Extracted by water and steam distillation

- **What is the difference between volatile oils and fixed oils?**
  1. Volatile oils **do not leave stain** on filter paper, and **do not consist of glyceryl esters of fatty acids**, as do fixed oil.
  2. **Fixed oil** undergo **saponification** by the effect of **alkalies** but **not** the volatile oils.
  3. **Volatile oils** are **resinified** on bad storage while the **fixed oils** are **rancified**.

- **Chemical tests:**
  Detected by Sudan III (gives red color)
5. Volatile oils (Cont.)

Uses:

1. **Flavoring** (e.g. oil of lemon)
2. **Perfumery** (e.g. oil of Rose)
3. **Spices** (e.g. Pepper, Clove)
4. **Therapeutic action**
   - Antiseptic (Clove, Thyme)
   - Antispasmodic (Mentha)
   - Carminative (Chamomile).