PHARMACOGNOSY III

PHAR403 Lecture 1

HERBAL MEDICINES

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Origin of Herbal Medicine

Primitive men and women treated illnesses
 using plants, animal parts, and minerals not

part of a common diet.



- Physical evidence goes back 60.000 years ago. 8 plant species were found in burial site in Middle Europe.
- Seven of these plants are still used in medicine today.

Herbal Supplements Today

- A resurgence of interest in herbal medicine originated in the 1970's.
- No laws were made about labeling products and outrageous claims were made about the abilities of herbal supplements.
- Despite the importance of plants in medicine, the FDA considers some herbal remedies to be worthless or potentially dangerous.
- Now herbal products can only be marketed as food supplements and must have the FDA's approval to make specific health claims.

Uses of Herbal Supplements

• Physical

For the treatment of sickness and disease.

- Athletic and Weight-loss purposes.

Mental

For the treatment of memory loss, depression,

sleep, and stress.

Treatment of Sickness and Disease

- All herbal medicines can be prepared as a tea.
- drink generally a glass 3 times a day,
- use the dried leaves of the plant,
- usually use about 2-5% infusion were used.

- Approximately 25% of today's prescription drugs come from plant extracts.
- Only about 15% of the known plant species have been screened for medicinal purposes.
- Most medicinal plants come from the Tropics.

Medicinal Plants in the Tropics

- Most medicinal plants have been identified by the indigenous people by trial and error.
- 50% of the 250.000 plant species are from the Tropics.
- At least 10.000 species in the Tropics have not yet been identified.

- The most significant medicinal plants used for prescription drugs contain steroids or alkaloids.
- The rapid destruction of our tropical rainforests threatens the development of potentially useful drugs
- There are a host of non-prescription plant remedies which have medicinal uses. These are often referred to
 - as "herbal medicines".

Crude Drugs: Cultivation, Collection, Processing and Storage

• Cultivation of Crude Drugs:

Cultivation of medicinal plants requires intensive care and management.

The conditions and duration of cultivation required vary depending on the quality of medicinal plant materials required.

Crude Drugs: Cultivation, Collection, Processing and Storage

• Methods of Propagation:

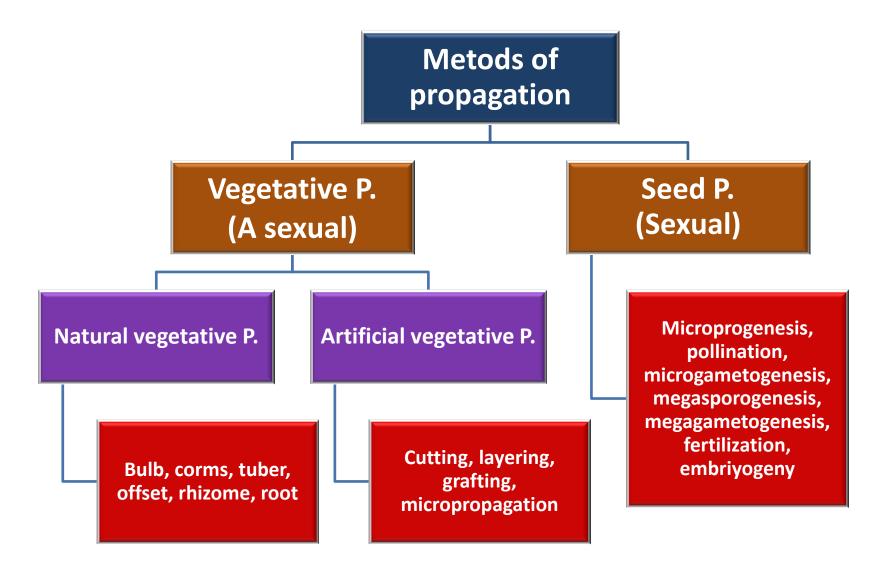
Vegetative propagation (Asexual propagation):

can be defined as regeneration or formation of a new

individual from any vegetative part of the plant body.

Seed Propagation (Sexual propagation):

Crude Drugs: Cultivation, Collection, Processing and Storage



Crude Drugs: Cultivation, Collection, Processing and Storage

• Collection of drugs:

should be collected during the appropriate season or time period to ensure the best possible quality of both source materials and finished products (concentration of the bioactive constituents).

The best time for collection (quality peak season or time of day) should be determined according to the quality and quantity of biologically active constituents

Collected material should be placed in clean containers, protected from insects

Crude Drugs: Cultivation, Collection, Processing and Storage

• Time of collection:

The period of growth or development is an important for the medicinal activity because of the concentration of the active constituents change for the growing period.

<u>Example:</u> The proportion, of alkaloid in the leaves of *Hyocyamus niger* and of *Atropa belladonna* is largest at the beginning of flowering,

but in *Datura stromonium* is highest at full bloom in the evening.

Crude Drugs: Cultivation, Collection, Processing and Storage

• Time of collection:

Plant parts	Time of collection
Bulbs	Late autumn, long after flowered and fruited
Barks	Autumn (after leaf fall) or spring (before development of the leaves)
Root and rhizomes	From annuals: shortly before flowering From biennials: during the autumn or or winter following the first year growth. From perennials: during the autumn or winter following the second or thirth year's growth.
Leaves	Plant is flowering, in dry weather, in the morning
Flowers	In dry weather, in the middle of day, after dew
Seed and fruits	Fully grown, ripe or nearly ripe

Crude Drugs: Cultivation, Collection, Processing and Storage

• Harvesting:

Medicinal plants should be harvested during the optimal season or time period

The time of harvest depends on the plant part to be used The best time for harvest (quality peak season/time of day) depends on the quality and quantity of biologically active constituents Medicinal plants should be harvested under the best

enviromental possible conditions

Crude Drugs: Cultivation, Collection, Processing and Storage

• Harvesting:

Clean harvesting from the soil, other plants, insects etc. is important

If the underground parts (such as the roots) are used, any adhering soil should be removed from the medicinal plant materials as soon as they are harvested.

The harvested raw medicinal plant materials should be transported promptly in clean, dry conditions

Crude Drugs: Cultivation, Collection, Processing and Storage

• Primary processing:

Prior to processing, the harvested medicinal plant materials should be protected from rain, moisture and any other conditions that might cause deterioration.

If the plant materials are to be used freshly, the materials may be stored under refrigeration, in jars, in sandboxes, etc.

During the primary-processing stages of production, foreign matter should be eliminated mechanically or by hand and should be protected from all contaminations.

Crude Drugs: Cultivation, Collection, Processing and Storage

• Drying:

When medicinal plant materials are used in dry form, the

material should be drying.

- Medicinal plants can be dried in a number of ways:

1. In the open air

2. Placed in thin layers on drying frames, wire-screened rooms or buildings.

3. By direct sunlight, if appropriate.

4. In drying ovens/rooms and solar dryers.

5. By indirect fire; baking; lyophilization; microwave; or infrared devices.

6. Vacuum drying

7. Spray dryer: Examples: Papaya latex and pectin's, etc.

Crude Drugs: Cultivation, Collection, Processing and Storage

• Storage:

should be well aerated, dry and protected from light, and, protected against rodents, insects and livestock.

The floor, wall and shelves should be easy to clean.

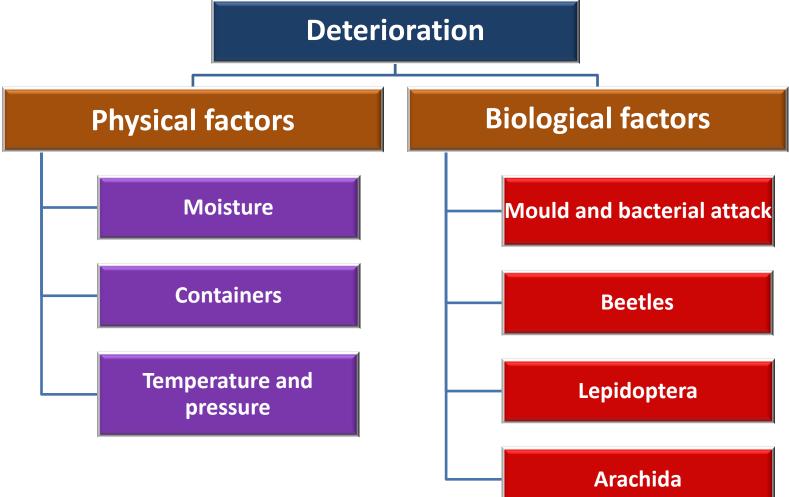
Materials used for packaging should be non-polluting, clean, dry and in undamaged condition.

Dried medicinal plants/herbal drugs, including essential oils, should be stored in a dry, well-aerated building.

Wooden boxes and paper bags should not be used for storage of crude drugs.

Crude Drugs: Cultivation, Collection, Processing and Storage

• Deterioration of crude drugs:



Medicinal Plants Quality Control (QC) of Crude Medicinal Plants

QC, purity and qualification of plant drugs should be checked

against the pharmacopoeias or other standards.

Standard applicable methods to crude drugs

- Sampling
- Preliminary Examination (macroscopic and microscopic)
- Foreign matter
- Moisture content
- Ash value
- Crude fiber
- Tannin content

Quality Control (QC) of Crude Medicinal Plants

Standard applicable methods to crude drugs (Cont.)

- Bitterness value
- Swelling index
- Volatile oil determination
- Toxic residue
- Microbial contamination
- Rf values TLC quality and purity

Quality Control (QC) of Crude Medicinal Plants

Method to determine moisture content

- Loss on drying
- Chemical method (Karl Fischer procedure)
- Separation and measurement of moisture (distillation and GC)
- Spectroscopic method (UV, IR, NMR)
- Electronic method (conductivity and colorimetric methods)

Quality Control (QC) of Crude Medicinal Plants

Standard applicable methods to volatile and fixed oils

- Refractive index
- Optical rotation
- Quantitative chemical test

Extracts:

can be defined as preparations of crude drugs which contain all the constituents which are soluble in the solvent used in making the extract.

Dry Extracts:

all solvent has been removed

Soft or Fluit Extracts:

prepared with mixtures of water and ethanol as solvent

Tinctures:

are prepared by extraction of the crude drug with **five to ten** parts of ethanol of varying concentration, without concentration of the final product

- For both extracts and tinctures the drug/solvent ratio should always be stated.
- Several factors influence the extraction process.
- Plant constituents are usually contained inside the cells. Therefore, the solvent used for extraction must diffuse into the cell to dissolve the desired compounds where upon the solution must pass the cell wall in the opposite direction and mix with the surrounding liquid.
- An equilibrium is established between the solute inside the cells and the solvent surrounding the fragmented plant tissues.

- The speed with which this equilibrium is established depends on:
 - Temperature
 - pH
 - Particle size
 - The movement of the solvent

Medicinal Plants Extraction- Choice of solvent

- The ideal solvent for a certain pharmacologically active constituent should:
 - Be highly selective for the compound to be extracted.
 - Have a high capacity for extraction in terms of coefficient of saturation of the compound in the medium.
 - Not react with the extracted compound or with other compounds in the plant material.
 - Have a low price.
 - Be harmless to man and to the environment.
 - Be completely volatile.

Medicinal Plants Extraction-Choice of solvent

According to the pharmacopoeias, ethyl alcohol is the solvent of choice for obtaining classic extracts such as tinctures and fluid, soft and dry extracts.

For extraction of barks, roots, woody parts and seeds the ideal alcohol/water ratio is about 7:3 or 8:2.

For leaves or aerial green parts

the ratio 1:1 is usually preferred in order to avoid extraction of chlorophyll.

Medicinal Plants Extraction- Procedures

- Infusion
- Maceration
- Percolation
- Digestion
- Decoction
- Continuous hot extraction
- Solvent-solvent precipitation
- Liquid-liquid extraction
- Distillation
- Specific procedures

Medicinal Plants Extraction-Procedures

Infusion:

the plant material is placed in a pot and wetted with cold water. Immediately afterwards, boiling water is poured over it, then left to stand, covered with a lid, for about **fifteen minutes** after which the extracts is poured off.

Extraction-Procedures

Decoction:

If the plant material is boiled for **ten** minutes or if boiling water is poured over it and allowed to stand for **thirty** minutes, the result is called decoction.

Maceration:

This method is used frequently for water soluble active constituents. It consists of macerating the plant material in cold water (15-20°C) for several hours.

Medicinal Plants Extraction-Procedures

Percolation:

In this method, the ground plant material is subjected to a slow flow of fresh solvent.

- Herbal Medicines can be prepared as:
 - Fresh or dry
 - Capsules
 - Teas, infusion and decoction
 - Tinctures, glycerites
 - Infused oils
 - Essential oils
 - Sprays
 - Tablets
 - Standardized extracts
 - Simple or mixture

- In the western word 25% plant drugs used for disease baceuse of the active ingradients.
- 89 plant derived drugs that are currently used in westhern medicine as prescription medicine were discovered by studying folk knowledge of the plant's properties

Steps require prior to Clinical Assessment of Herbal Products

- Aquire plant material
- Establish/select appropriate bioassay
- Bioassay several types of extracts
- Bioassay guided isolation and chemical chracterization of active ingradients
- Prepare the chemically and biologically standardized dosage form (stability studies)
- In vitro studies on standardized product (Metabolisms, pharmakinetics, toxicity, mechanism of action)

Advantages of Herbal Medicines

- **Reduced risk of side effects:** Most herbal medicines are well tolerated by the patient.
- Effectives with chronic conditions: Herbal medicines tend to be more effective for long-standing health complaints that don't respond well to traditional medicine.
- Lower cost: Herbs cost much less than prescription medications.
- Widespread availability: Herbs are available without a prescription.
 You can grow some simple herbs, such as peppermint and chamomile, at home.

Disadvantages of Herbal Medicines

- Inappropriate for many conditions: Modern medicine treats sudden and serious illnesses and accidents much more effectively than herbal or alternative treatments.
- Lack of dosage instructions: They are the very real risks of doing yourself harm through self-dosing with herbs.
- Poison risk associated with wild herbs: Harvesting herbs in the wild is risky, if not foolhardy, yet some people try to identify and pick wild herbs. They run a very real risk of poisoning themselves if they don't correctly identify the herb, or if they use the wrong part of the plant.

Disadvantages of Herbal Medicines (cont.)

- Medication interactions: Herbal treatments can interact with medications. Valerian and St. John's Wort, can interact with prescription medication like antidepressants.
- Lack of regulation: Because herbal products are not tightly regulated, consumers also run the risk of buying inferior quality herbs.

- *Strychnos toxifera* source of <u>D-tübocurarine</u>
- *Dioscorea villosa* (Mexican yam) source of <u>cortisone</u>
- Rauwolfia serpentina (Indian snakeroot) source of reserpine
- Catharantus roseus (Madakaskar periwrikle) source of <u>vinkristine</u>
- Veratrum album (White hellebore) source of <u>hypotensive</u> <u>alkaloids</u>

- Digitalis purpurea (Foxglove) source of <u>digoxine</u>, <u>digitoxine</u>
- *Cinchona* sp. source of <u>quinine</u>
- Aconitum ferox (Monkshoot) source of aconitine
- *Strychnox nux-vomica* source of <u>strychnine</u>