Pharmaceutical technology I

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Extraction

Extraction, is **the separation of medicinally active portions of plant or animal tissues from the inactive or inert components by using selective solvents in standard extraction procedures**.

These include classes of preparations known as:

Decoctions,

infusions,

fluid extracts,

pilular (semisolid) extracts

powdered extracts and

tinctures.

Such preparations popularly have been called **galenicals**, named after **Galen**, the second century Greek physician.

The purposes of standardized extraction procedures for crude drugs are to attain the **therapeutically desired portion and to eliminate the inert material** by treatment with a selective solvent known as menstruum.

General methods of extraction of medicinal plants

1. Maceration

In this process, the whole or coarsely powdered crude drug is placed in a stoppered container with the solvent and allowed to **stand at room temperature for a period of at least 3 days** with frequent agitation until the soluble matter has dissolved. The mixture then is strained, **the marc (the damp solid material)** is pressed, and the combined liquids are clarified by filtration or decantation after standing.

2. Infusion

Fresh infusions are prepared by macerating the crude drug for a short period of time with cold or boiling **water.** These are dilute solutions of the readily soluble constituents of crude drugs.

3. Digestion

This is a form of maceration in which **gentle heat is used during the process of extraction**. It is used when moderately elevated temperature is not objectionable. The solvent efficiency of the menstruum is thereby increased.

4. Decoction

In this process, the crude drug is boiled in a specified volume of water for a defined time; it is then cooled and strained or filtered. This procedure is suitable for extracting water-soluble, heat-stable constituents.

5. Percolation

This is the procedure used most frequently to extract active ingredients in the preparation of **tinctures and fluid extracts**. **A percolator** (**a narrow, cone-shaped vessel open at both ends**) is generally used **as shown in Figure 1**. **The solid ingredients are moistened with an appropriate amount of the specified menstruum and allowed to stand for approximately 4 h in a well closed container, after which the mass is packed and the top of the percolator is closed. Additional menstruum is added to form a shallow layer above the mass, and the mixture is allowed to macerate in the closed percolator for 24 h.** The outlet of the percolator then is opened and the liquid contained therein is allowed to drip slowly. The marc is then pressed and sufficient menstruum is added to produce the required volume, and the mixed liquid is clarified by filtration or by standing followed by decanting.

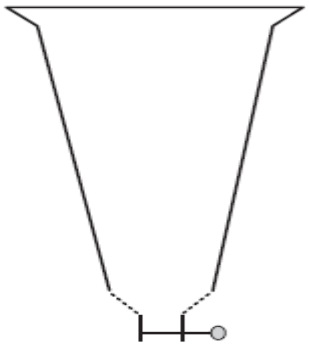

Figure 1: Percolator

6. Hot continuous extraction (Soxhlet)

The advantage of this method, compared to previously described methods, is that **large amounts of drug can be extracted with a much smaller quantity of solvent**. This affects tremendous economy in terms of **time, energy and consequently financial inputs**.

7. Aqueous alcoholic extraction by fermentation

The extraction procedure involves **soaking the crude drug**, in the form of either a powder, for a specified period of time, during which it **undergoes fermentation and generates alcohol in situ; this facilitates the extraction of the active constituents contained in the plant material. The alcohol thus generated also serves as a preservative.**

If the fermentation is to be carried out in an earthen vessel, it should not be new and the water should first be boiled in the vessel. In large-scale manufacture, wooden vats, porcelain jars or metal vessels are used in place of earthen vessels.

A. Earthen vessels

B. Wooden vats

C. Porcelain jars

D. Metal vessels

Figure 2. Types of containers had been used in aqueous alcoholic extraction by fermentation method.

Other methods of extraction of medicinal plants include:

8. Counter-current extraction

9. Ultrasound extraction (Sonication)

10. Supercritical fluid extraction

11. Phytonics process

Most important parameters for selecting an appropriate extraction method:

1. **Authentication** of plant material should be done before performing extraction. Any foreign matter should be completely eliminated.

2. Use the **right plant part** and, for quality control purposes, record the age of plant and the time, season and place of collection.

3. **Conditions used for drying the plant material** largely depend on the nature of its chemical constituents.

4. **Grinding methods should be specified** and **techniques that generate heat should be avoided** as much as possible.

5. Powdered plant material should be passed through suitable sieves to get the required particles of uniform size.

6. Nature of constituents

7. The **quality of water or menstruum** used should be specified and controlled.

8. Concentration and drying procedures should ensure the **safety and stability of the active constituents**.

Steps involved in the extraction of medicinal plants

In order to extract medicinal ingredients from plant material, the following sequential steps are involved:

1. Size reduction

2. Extraction

3. Filtration

4. Concentration

5. Drying

Example preparations prepared by extraction processes

1. Fluid-extracts

» Fluidextracts are liquid preparations of vegetable drugs prepared by percolation. They contain alcohol as a solvent, preservative, or both and are made so that each milliliter contains the therapeutic constituents of 1 g of the standard drug that it represents.

» Because of their concentrated nature, many fluid-extracts are considered too potent to be safely self-administered, and their use is almost nonexistent in medical practice.

» Also, many fluidextracts are simply too bitter tasting or otherwise unpalatable to be accepted by the patient. Therefore, most fluidextracts today are either modified by the addition of flavoring or sweetening agents before use or used as the drug source of other liquid dosage
forms, such as syrups.

2. Extracts

Extracts are concentrated preparations of vegetable or animal drugs obtained by removal of the active constituents of the respective drugs with suitable menstrua, evaporation of all or nearly all of the solvent, and adjustment of the residual masses or powders to the prescribed standards.

Extracts are potent preparations, usually between two and six times as potent on a weight basis as the crude drug. They contain primarily the active constituents of the **crude drug**, with a great portion of the **inactive**

constituents and structural components of the crude drug having been removed.

In the manufacture of most extracts, percolation is employed to remove the active constituents from the drug.

Extracts are made in three forms:

(a) semiliquid extracts or those of a syrupy consistency: prepared without the intent of **removing all or even most of the menstruum**,

(b) pilular (semisolid) or solid extracts of a plastic consistency: prepared with **nearly all of the menstruum removed**, and

(c) powdered extracts: prepared to be dry by the removal of all of the menstruum

» **Pilular and powdered extracts** differ only by the slight amount of remaining solvent in the former preparation, but each has its pharmaceutical advantage because of its **physical form**. For instance, the pilular extract is **preferred in compounding a plastic dosage form such as an ointment or paste** whereas the powdered form is preferred in the compounding **of** such dosage forms as **powders, capsules, and tablets**.

3.Tinctures:

Tinctures are **alcoholic or hydroalcoholic solutions** prepared from vegetable materials or from chemical substances. They vary in method of preparation, strength of the active ingredient, alcoholic content, and intended use in medicine or pharmacy.

Depending on the preparation, tinctures contain alcohol in amounts ranging from approximately **15% to 80%**. Examples of tinctures for topical application to the skin are:

1. Iodine tincture

Iodine tincture is **reddish-brown color, local anti-infective agent** applied to the skin that was prepared by dissolving **2% iodine crystals and 2.4% sodium iodide** in an amount of alcohol equal to half the volume of tincture to be prepared and diluting the solution to volume with sufficient purified water. The **sodium iodide** reacts with the **iodine** to form **sodium triiodide**:

$$I_2 + NaI \leftrightarrow NaI_3$$

This reaction prevents formation of **ethyl iodide** from the interaction between **iodine and alcohol**, which would result in the **loss of the antibacterial activity of the tincture**. An added benefit of the triiodide form of iodine is **its water solubility**, which is important should the tincture, which contains between 44% and 50% alcohol, be diluted with water during use. The tincture should be stored in a tight container to prevent loss of alcohol.

2. Compound benzoin tincture

Compound benzoin tincture is prepared by maceration in alcohol of 10% benzoin and lesser amounts of **aloe, storax, and Tolu balsam** totaling about 24% of starting material.

It is used to **protect and toughen skin in the treatment of bedsores, ulcers, cracked nipples, and fissures of the lips and anus. It is also commonly used as an inhalant in bronchitis and other respiratory conditions**.

Compound tincture of benzoin serves as a delivery vehicle of **podophyllum** in the treatment of **venereal warts**. It is important that podophyllum **not be systemically absorbed** because it can cause **peripheral neuropathy** in addition to central nervous system including **lethargy, confusion, and coma**. Also, the podophyllum is **teratogenic** and should be administered to a pregnant woman only when the risk–benefit ratio is extremely low.

Resins and oleoresins tinctures:

Resins are usually **hard, transparent, or translucent** and when heated, they soften –and finally melt. They are **insoluble in water** but **dissolve in alcohol** orother organic solvents.

Resins often occur in more or less homogeneous mixtures of:

1. Entire resin: benzoin.
2. Volatile oils (oleoresins): ginger and capsicum.
3. Gums (oleo-gum-resins): myrrh.
4. Glycosidal combinations (glucoresins or glycoresins): podophyllum.