

Pharmacognosy

PREPARATION OF CRUDE DRUGS FROM MEDICINAL PLANTS

Cultivation, collection and processing of herbal drug

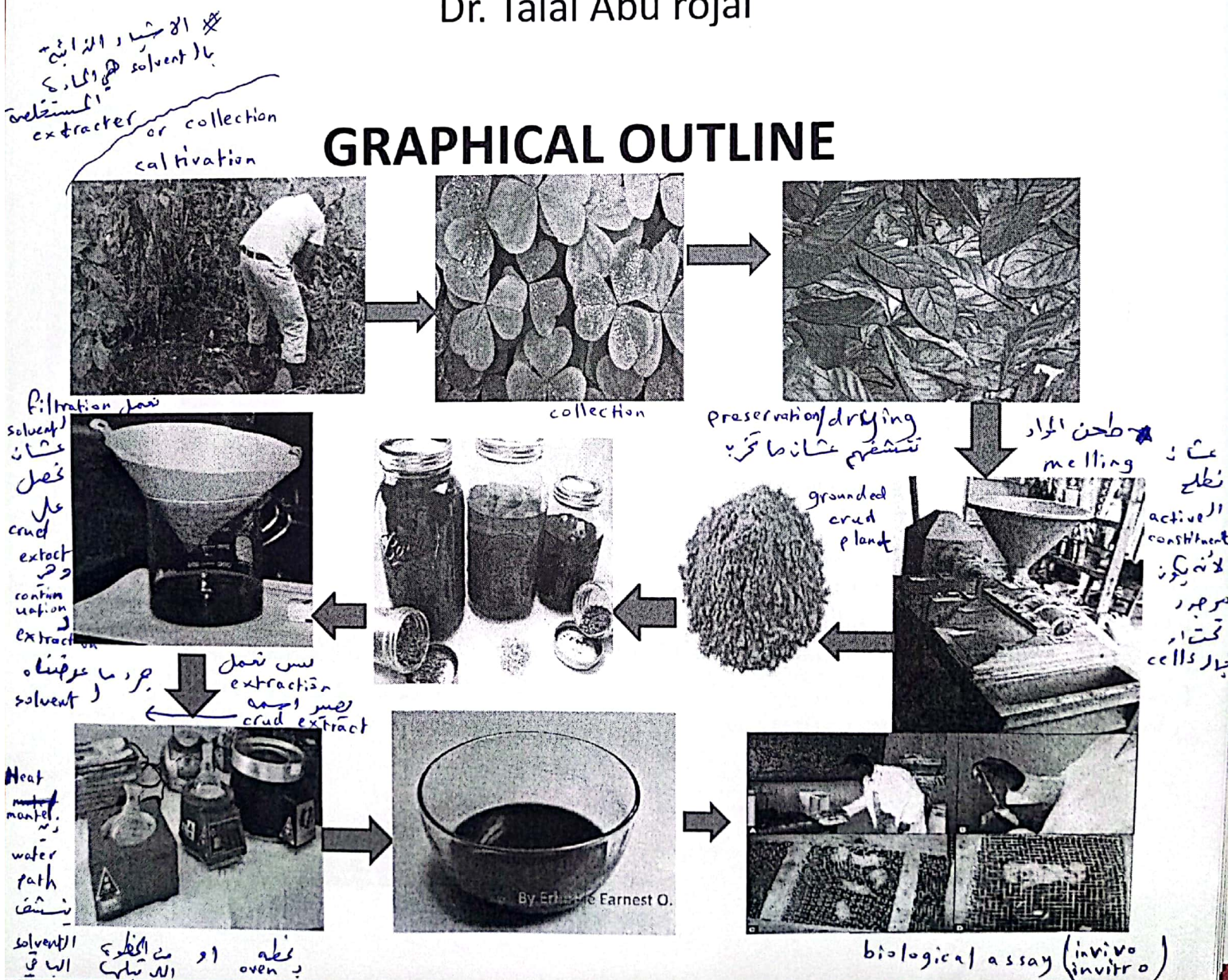
References

Trease and Evans, Pharmacognosy

Dr. Jamila Dibbas

Dr. Talal Abu rojai

GRAPHICAL OUTLINE



Preparation of drugs for commercial market

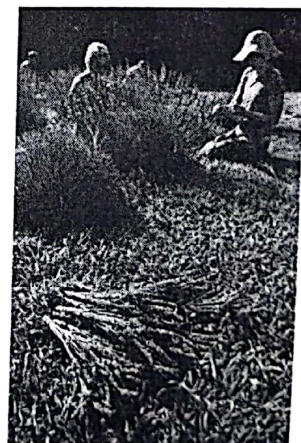
- Crude drugs: undergo only drying and preparation by grinding.
- Preparation of drugs for commercial market includes:
 1. Collection
 2. Harvesting *venepi*
 3. Drying (By airflow or complete drying operation)
 4. Garbling → *preservation step*
dis / fāil
 5. Storage and preservation

Collection of medicinal plants

①

Time of collection affects both quality and quantity of active constituents.

It has been found that active constituents in plants vary in amount and nature throughout the year so Seasonal Collection is required.



For example: Rhubarb contains no anthraquinone in winter, instead it contains anthranols. In summer, anthranols are oxidized to anthraquinones (active constituent) so Rhubarb collection must be in summer.

reduced form ←
from anthraquinone



Collection of medicinal plants

وقت تليقظ النبتة

• 2. Time of the Day

- Flowers : Morning ex: ~~clove~~ ^{clove}
- Leaves (exceptions are available) : afternoon



• 3. Stage of maturity and age:

- - Clove: buds ^{ripened/unripened}
- - leaves: at flowering stage.

ex: sage



Collection of plant materials:

- Suitable time for collection the amount of a constituent is usually not constant throughout the life of a plant.
- ☐ Roots and rhizomes should be collected in the raining season. In most cases they must be washed free of adhering soil and sand.

ex: ^{بطاطا} potato, ^{زنجبيل} ginger

- ☐ Bark should be collected in the dry season.

- ☐ Leaves should be collected at the flowering stage.

ex: sage ^{الميراث}

- ☐ Flowers should be collected when fully developed and also in the morning after the morning dew had evaporated. ☐ Fruits and seeds should be collected when fully ripe.

ex: ^{كشيش} clove ^{مترنقل}

- ☐ Whole plant should be collected during flowering stage

→ fully developed but unripened

المخاض زنجبيل
القرفة
cinnamon

بسمه ينفذ
النسي



- Generally, when, plant part reaches it's optimal state of development, it is assumed that the targeted active constituent is in highest concentration:
- 1. Roots and rhizomes collected at the end of the vegetation period (in autumn).
- 2. Bark is collected in the spring.
- 3. Leaves and herbs are collected when photosynthesis is most active and before fruit maturation. → (Atrupa belladonna)
- 4. Flowers are usually gathered when fully developed.
- 5. Fruits and seeds are collected when fully-grown but unripe.
- 6. Seeds: fully matured and before the fruits have opened

نکات مهم
را بخوانید و به یاد
دارید
موسم بهار و بهار

حفظ البسطة Preservation

- Preservation aims at limiting decomposition processes as much as possible.
- The plant material must first be preserved so that the active compounds will remain unchanged during transport and storage.
- Factors that might affect the quality of crude drugs:
 - 1. Light
 - 2. Oxygen
 - 3. Attacks by insects (this can be achieved by using methyl bromide or heating up to 65C)
 - 4. Low Temperature

Preservation of plant materials

- After collection, the plant material must first be preserved **so that the active compounds** will remain unchanged during transport and storage.
- The most common method for preserving plant material is drying. Living plant materials have high water content:
 - ② ? Leaves may contain 60-90%
 - ① ? Roots and rhizomes 70-85%
 - ③ ? Wood 40-50%
 - ④ ? Seed contains 5-10%

لا تترك أجزاء النبات في مستوى عالٍ من الرطوبة

اعمل البسطة في مكان جاف

Drying of crude drugs

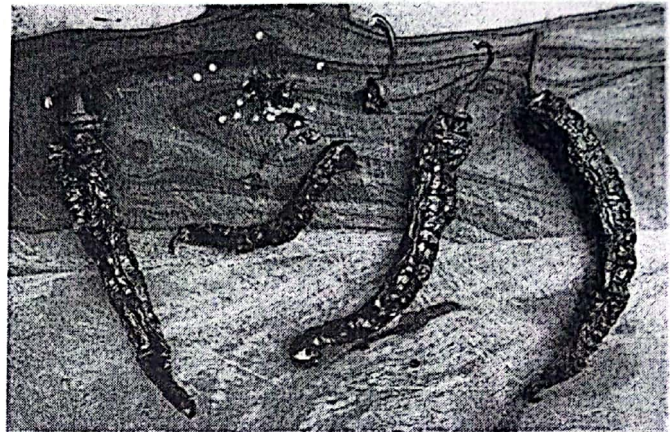
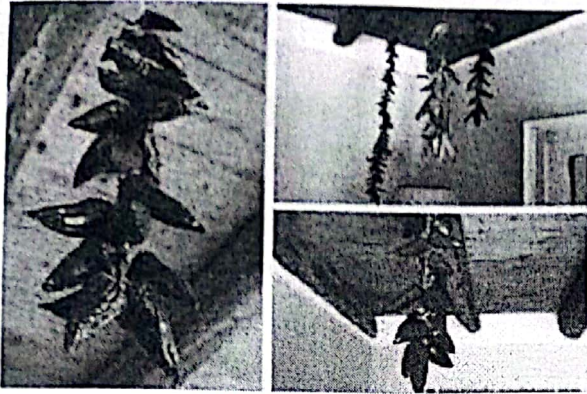
- Aim of drying:
- 1. Remove moisture since it favors spoilage due to microbial growth
- 2. Prevents molding
- 3. Prevents enzymatic action لأنه أغلب الأنزيمات تحتاج الماء
- 4. Prevents bacterial action.
- 5. Prevents chemical changes
- 6. Fixes cost. تثبيت وزن الجلي
- 7. To facilitate their grinding. لو طحننا دكان في مرجة تيسر paste
- 8. To reduce their size and weight.

Drying medicinal plants?

- عشان ما يتغير لونه
- 1. Drying in open air: in sun and under cover sheds at night or during wet weather, e.g. clove, cinnamon,...
 - 2. Artificial oven drying (Oven-drying is more rapid than air-drying, controlled temp. In oven-drying, flowers and leaves are usually dried below 60° C to retain color, while roots and barks may be dried at slightly higher temperature.
 - 3. Vacuum drying: in oven, rapid and at low temp.
 - 4. Lyophilization (Freeze Drying) used for biological fluids, enzymes, proteins and royal jelly. No heat involved.
- oven نفس ال
تسقط الرطوبة
التي تطلو من
النبات

يستخدم جليسين بين
DMSO + water
ال organic solvent

Chilis drying



- In order to keep crude drugs for longer periods:
- 1. It is essential to store them in a dry condition in carefully closed containers.
- 2. It is also advisable to exclude light, because - ^{ما تتعرض للضوء} even if it does not affect the active constituents - it almost always causes changes in the appearance of the drug, especially loss of color.
- 3. It is also necessary to protect the drug against insect attack.

Grinding (size reduction of plant materials):

- the first operation that must be performed after drying is grinding of the plant material to a powder of suitable particle size.
- Large particles take a longer time for complete extraction than small ones and large differences in particle size thus slow down the extraction process.
- The purpose for powdering the plant material is to rupture its tissue and cell structures so that its medicinal ingredients are exposed to the extraction solvent.
- Furthermore, size reduction maximizes the surface area, which in turn enhances the mass transfer of active principle from plant material to the solvent.

Preparation: Grinding of crude drugs

First step in preparation is grinding of the plant material to a powder of suitable particle size.

Grinding decreases the particle size and increase the surface area.

1. Mortar and pestle.

2. Hammer mill a common type for grinding crude drugs.

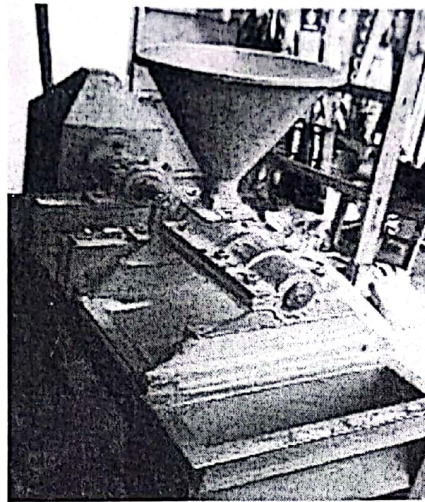
3. Knife mill is useful for production of low-dust powders of leaves, barks and roots for subsequent percolation or maceration.



➤ Machines are available for grinding crude drugs



Mortar and pestle



Hammer mill, Knife mill



Electrical blender

By Erhirhie Earnest O.

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^{غمر بله} Sieving and Garbling

- Simply it is removing of external matter
- In garbling the material is passed through a sieve of suitable mesh size giving two fractions. The remaining fraction consists of coarser particles which are returned to the mill for continued grinding.

الجزء
التي نزل
Fine
والجزيء
التي ما قبل
coarse
نخرج نطحنه
عنا نكسر
active ingredient
جوانا cells



Storage

- Well-dried and well-stored plant materials can be used up to 6 months after the collection.

in relation → • Discard the plant materials if there are molds or other signs of decay.

- Use glass, ceramic pot as cooking utensils, stainless steel pot can also be used.

- Plastic may be used but not for storing crude drugs with volatile oil.

- Do not use pots made of aluminum, iron, tin or other metals as these will leach into the tea.

- ✕ • Use pure water (distilled water).

Extraction and Separation of natural drugs

➤ INTRODUCTION

Extraction: This is the separation of medicinally active portions of plant from the inactive components by using selective solvents in standard extraction procedures.

polar solvent = hydrophilic compound
non polar solvent = hydrophobic compound

إذا كان من غير قطبي
فإنه هيدروفوبيك
semi polar

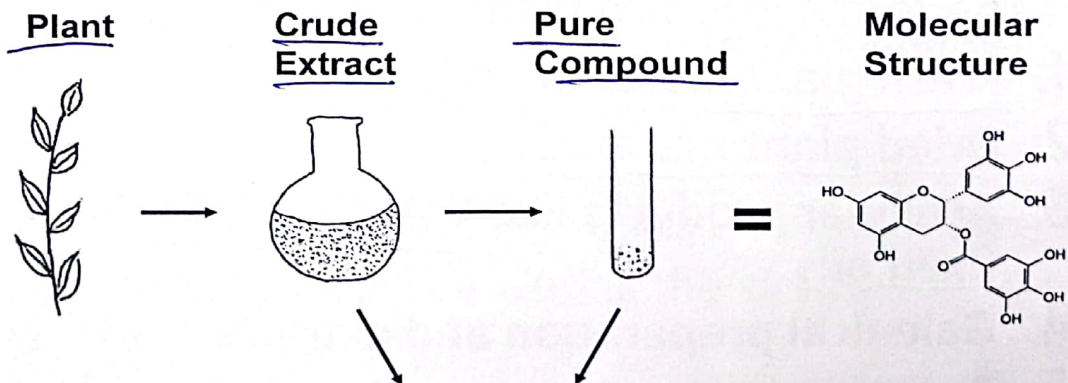
Extract: This is a preparation of crude drug which contains all the constituents which are soluble in the solvent used in making the extract.

By Erhirhie Earnest O.

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➤ INTRODUCTION

From Plant to Drug



pure is compound
impure compound
purity

Biological Activity

By Erhirhie Earnest O.

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➤ BASIC PRINCIPLE IN EXTRACTION

Plant constituents are usually contained inside the cells.

Therefore, The solvent used for extraction must diffuse into the cell to dissolve the desired compounds.

ذوبانها مقلها من polarity

By Erhirhie Earnest O.

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Extraction and Separation of natural drugs

- The commonly employed technique for removal of the active substance from the crude drug is called extraction

- The forms in which plant drugs are used:

1. Fresh plant material السنبغ / السنبغ الطاهر

2. Dried plant material

3. Acellular products like Volatile oil, Resins, and fixed oils بهر الخلع

4. Galenical preparation and extracts

5. Processed extracts مستحضر طبي من اصل نباتي



زيت الحزن
يلقطوا ولونه اخضر
بهم يطهروا لمكان فنام
ثاني يتقصد ويغير لونه
والفاسل
طهروا
بماء من بارد
ويصير لونه اسود
drying

1. Fresh plant material

- Predominantly used in folk and herbal remedies. *زيت الغنى والميراثي*
- Fresh plant material is often required by the flavor industry and is also used by the perfumery industry where the volatile oils from fresh materials, such as flower petals, are highly prized and highly priced. *طبيعة العطر والرائحة*

2. Dried plant material

The method of drying depends on the nature of the plant material and the active constituents. Plants containing volatile oils lose much of their aroma if dried at elevated temperature and, if required for their volatile content, must be dried extremely carefully. *بعض المكونات النشطة - بعض الزيوت المتطايرة - المكونات النشطة*

Plant material obtained in the tropics is normally sun-dried, often being bleached during the drying process. *مبيض*

slow drying (تجفيف بطيء) active ingredient (مكون نشيط) فطر بنظرة

Processed extraction

active ingredient (مكون نشيط) certain reaction (تفاعل محدد) له محتاج

- If the active constituents are not present in a free form but need liberating by enzymatic processes, care must be taken not to dry the plant too quickly. For example, vanilla pod, the source of natural vanillin, contain the phenolic glycosides glucovanillic alcohol. During the curing process, which involve slow drying, the glycoside is enzymatically hydolysed and oxidized to vanillin.

glucovanillic

Inactive

slow drying (تجفيف بطيء)

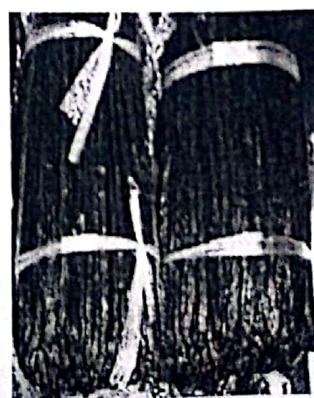
enzymatic reaction (تفاعل إنزيمي)

active constituent in vanilla (مكون نشيط في الفانيليا)

inactive (غير نشيط)

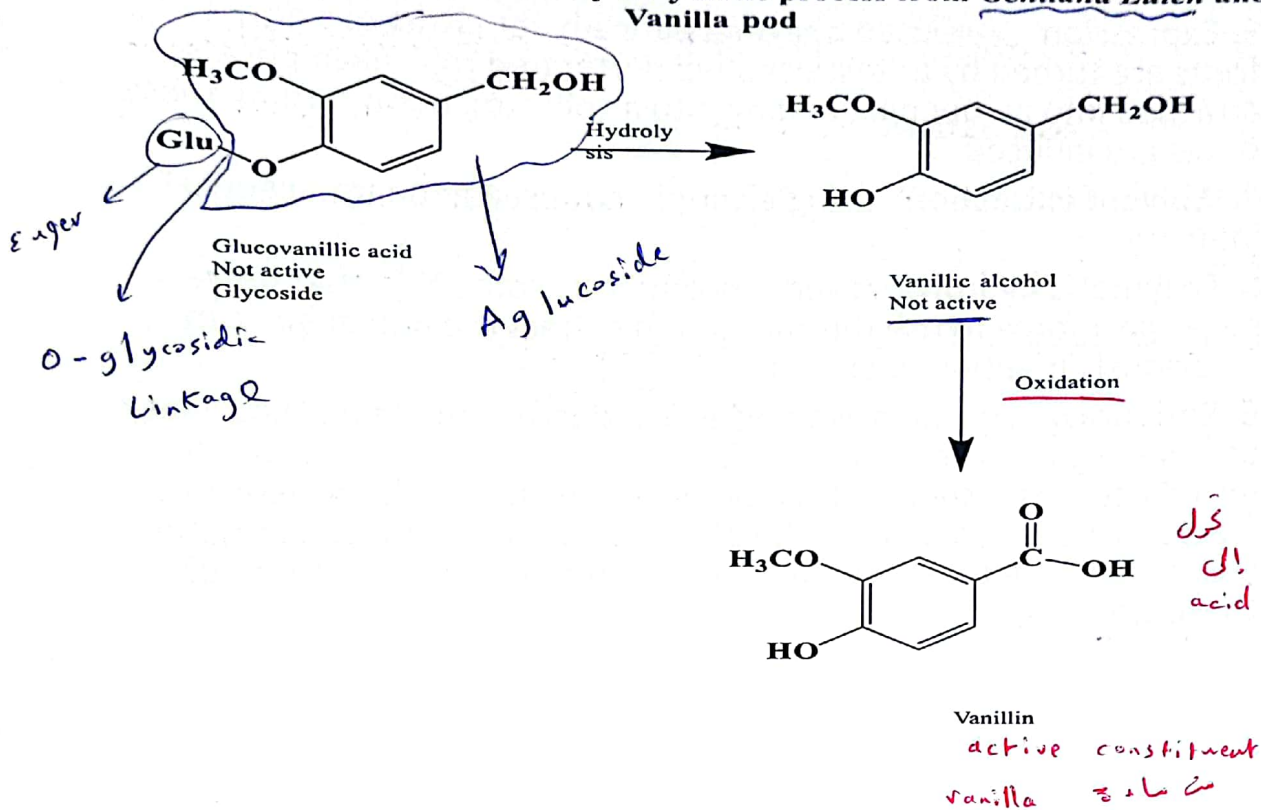
glycosidic linkage (رابط جليكوسيدي)

Vanilla *Vanilla planifolia*



Some active constituents need to be processed (slow drying is allowed)

Liberation of active vanillin by enzymatic process from Gentiana Lutea and Vanilla pod



3. Acellular products

They are plant isolates like volatile oil, balsams, resins and fixed oils.

Methods to extract acellular products (Volatile oils):

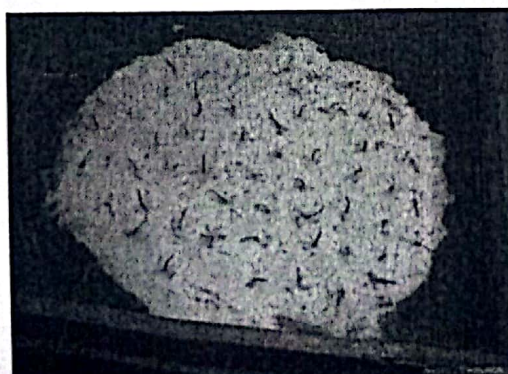
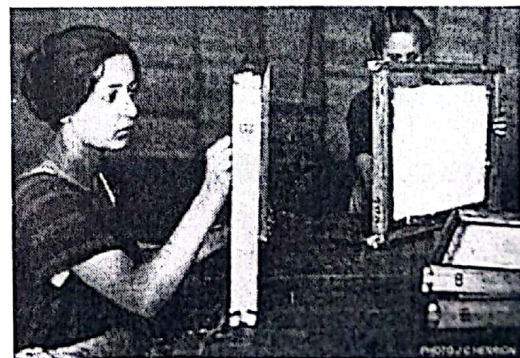
- 1. destructive distillation:** wood of Scotch pine (used for dermatitis) → active ingredient
- 2. Steam distillation using Clavenger tube:** plant material is heated with water and steam is passed through the mixture and condensed. This needs a round-bottomed flask that contains water or alcohol (usually water), the plant is inserted inside it with the water, then it's attached into a condenser, also a collecting tube should be there to collect the extracted oil, distillation also requires a heat source, if the treated material is very sensitive for heat (thermo labile) the steam distillation can't be used then, but other methods like:
 - Hydrosteam distillation:** here the plant is separated from the water by a membrane, the plant part is inserted to the membrane and by water heating, the vapor passes this membrane to the plant material, the v.o. is then extracted.

Handwritten notes:

- النباتات الحارة
- Plant material
- solvent
- يمكن تسخين
- Plant material

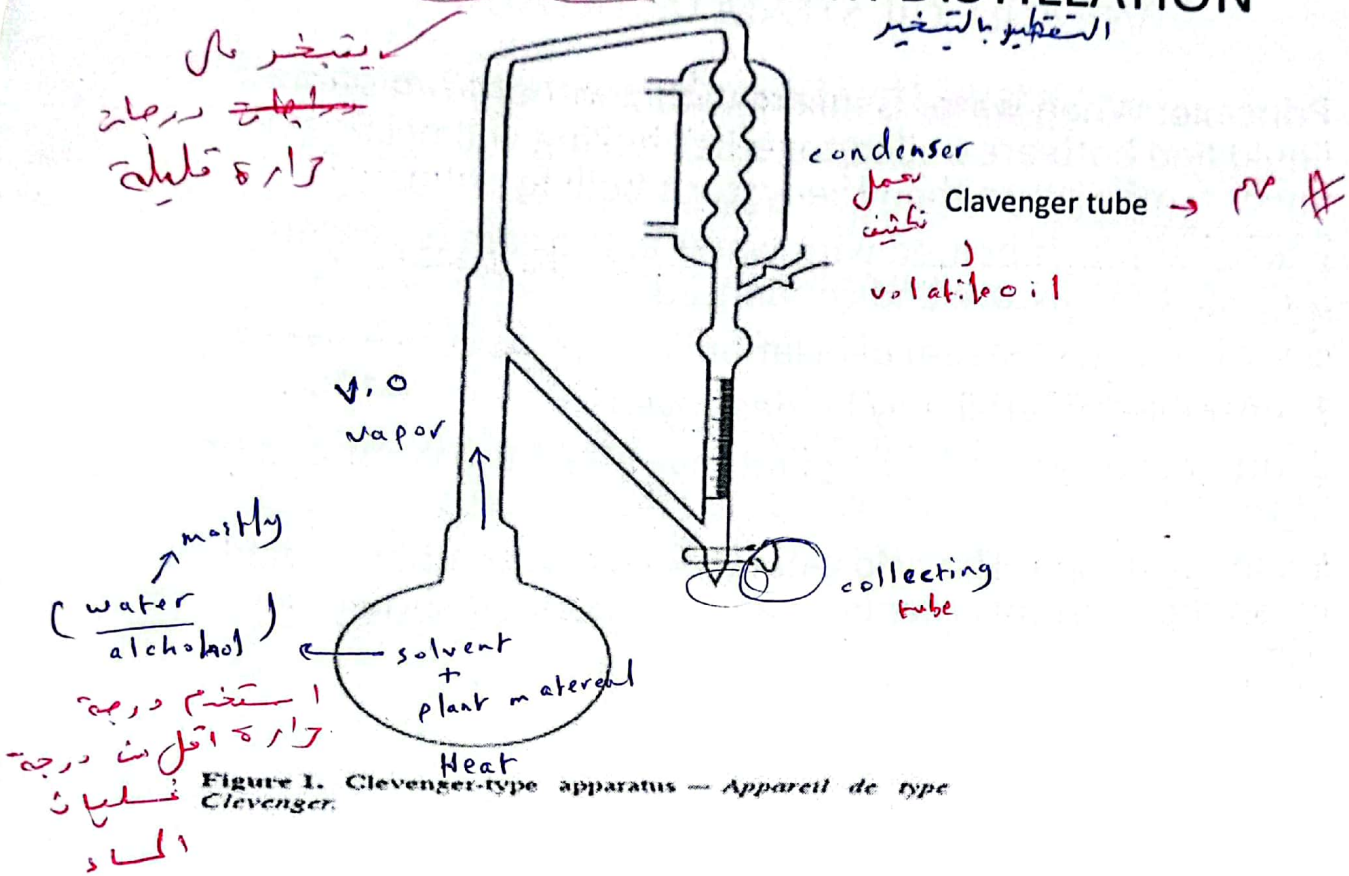
3. Acellular products

- 35:00
- 3. **Expression** ^{للمعنفات} ^{نوع} used pharmaceutically for citrus oils only, fruits are turned by a stainless steel perforated roll, each pore is attached into an opening ending into a collector, upon turning these pores are induced.
 - 4. **Solvent extraction**, using alcohol, not used in pharmaceutical industry.
 - 5. **Enzymatic hydrolysis**: very uncommon, some V.O. that has a sugar part force to use this method to extract the non-sugar part (previously mentioned example: Vanilla).
 - 6. **Enfleurage**: in expensive perfume industry, an old method that uses sheets of waxes or fatty solid materials, the plant is inserted into these glassy sheets, then put in woody frames for a week or 2 weeks in a dark area, then the plant part used is removed and the sheets then are treated with alcohol to produce a concentrate of these v.o.



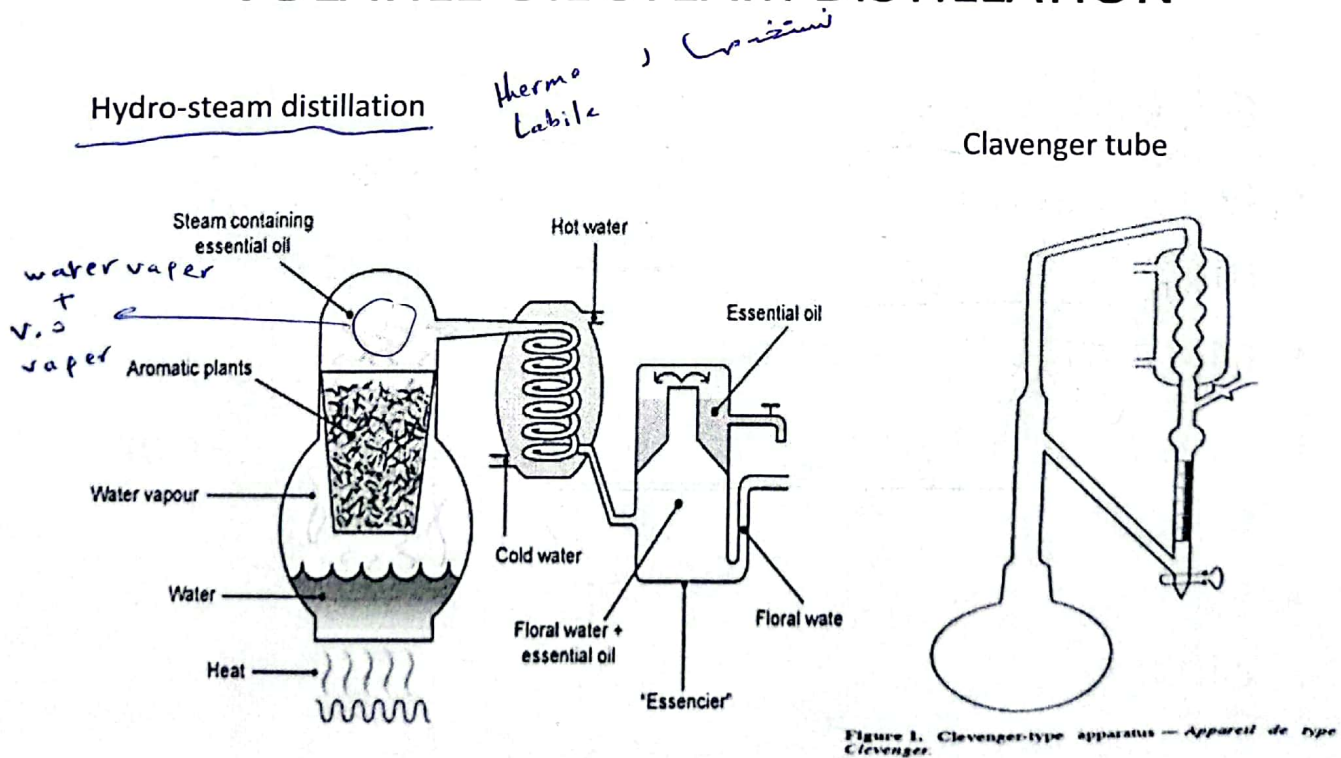
3. Acellular products

VOLATILE OIL STEAM DISTILLATION



3. Acellular products

VOLATILE OIL STEAM DISTILLATION



عملية التقطير لتحضير الزيوت الطيارة VOLATILE OIL STEAM DISTILLATION

- Principle: When water is mixed with another immiscible liquid and both are boiled together boiling will occur at lower temperature than the water's boiling point
- Plant material is heated with water and steam is passed through the mixture and condensed
- Disadvantage of steam distillation:
 - 1. unstable material may be destroyed
 - 2. other substances in the plant may affect the composition of the oil
- Ex. In Citrus spp. The acidic nature cause a number of acid catalyzed rearrangements so another method should be used.

في
steam
distillation
acetone
+
water

الحرارة
المستحقة

4. Galenical preparations and extracts

- Galenical: مستحضر طبي من اصل نباتي
- Galenical preparations: Are extracts of plant materials used in therapy.
- Methods of extraction to any plant:
- **A. Infusion** : drug stood in hot or cold water or other solvent for a short period of time.
- Ex. Preparation of plants containing volatile oil.

نقع / صر / زعتر
البابونج

Methods of extraction to any plant:

- **B. Decoction:** ^{غاي tea leaves} drug boiled with water or solvent.

- **Ex.:** ^{جذور السوس} roots, ^{زنجبيل} rhizomes and ^{قرفة} barks



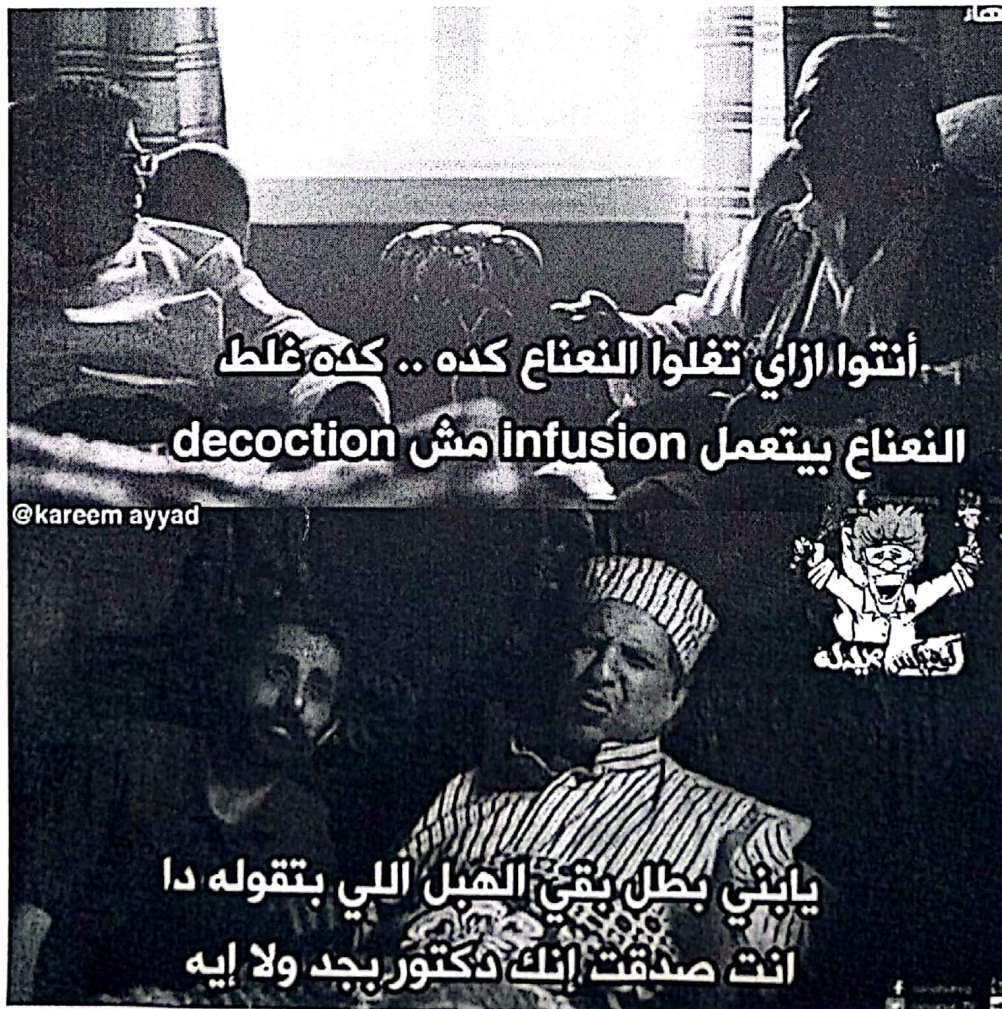
- **C. Maceration:** ^{نقع} prolonged infusion (2-3 weeks), often in aqueous alcohol

- **D. Percolation:** Maceration followed by a slow flow of fresh solvent through the ground material.

plant
grounded material
solvent
solvent
percolation

- **E. Hot extraction:** repeated infusion with hot solvent example : Soxhlet extraction

active ingredient
الغالبية
الغالبية

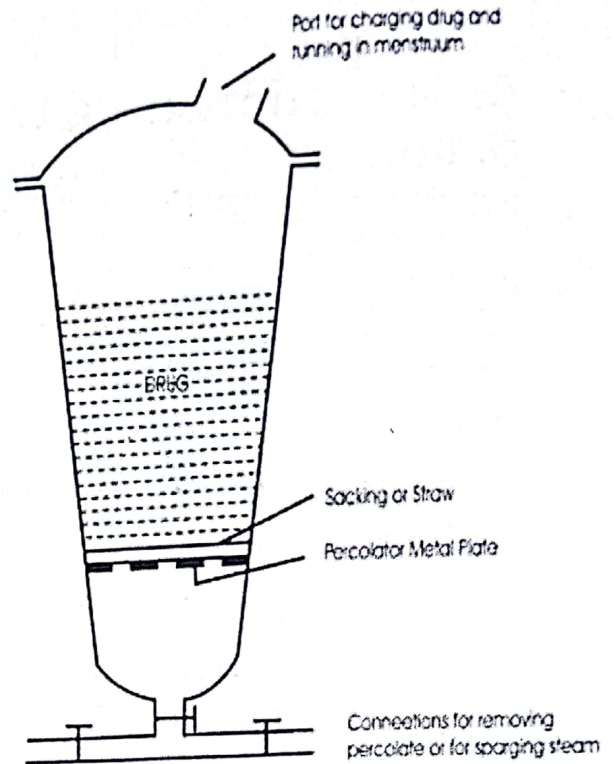


➤ COMMON METHODS OF PLANT EXTRACTION

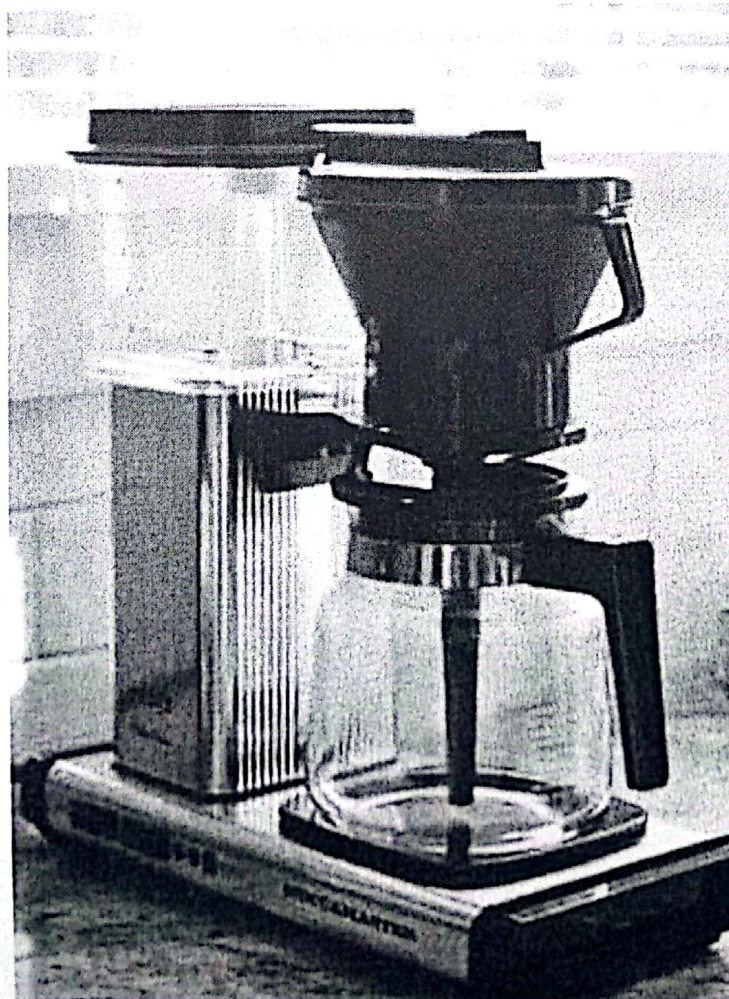
• Percolation:

In this method, the plant material is subjected to a slow flow of fresh solvent at intervals until sufficient active ingredient is extracted.

ex! american coffee machine



By Erhirhie Earnest O. Commercial scale (about 1 ton capacity) percolator



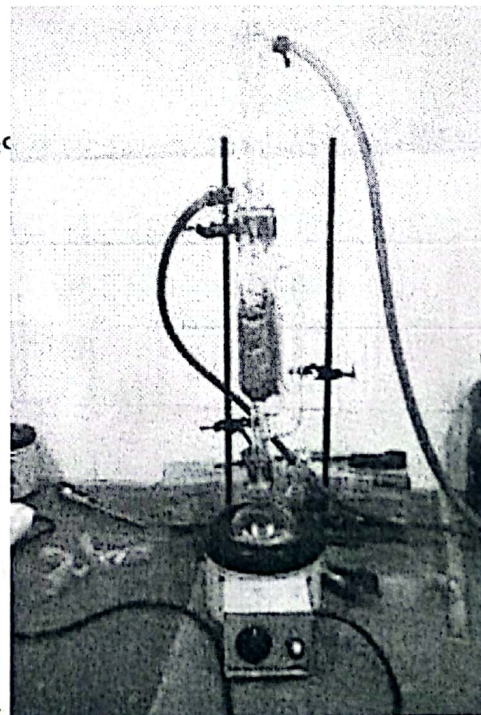
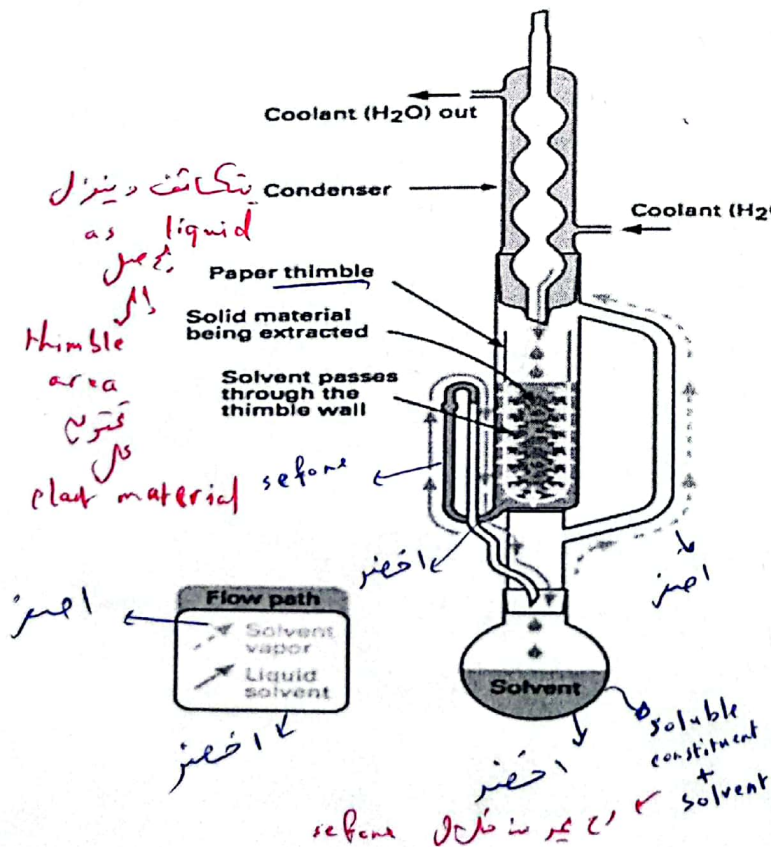
E. Soxhlet extraction:

- Soxhlet extraction is ^{partially soluble} only required where the desired compound has a limited solubility in a solvent, and the impurity is insoluble in that solvent.
- If the desired compound has a high solubility in a solvent then a simple filtration can be used to separate the compound from the insoluble substance.
- The advantage of this system is that instead of many portions of warm solvent being passed through the sample, just one batch of solvent is recycled.
- This method cannot be used for thermolabile compounds as prolonged heating may lead to degradation of compounds.

solid-liquid extraction

Soxhlet extraction

Extraction: Withdrawal of soluble constituents from crude drug by treatment with solvent



Concentration of filtrate to dryness

قبل ما نشغف بهما نشغف بتحويل
powder

- The filtered plant part (liquid portion) must be reduced to paste-like, cakey, and finally powdered form through standard techniques.
- The essence of concentrating filtrate to dryness is to: المكون اسباب التثيف
- [?] Calculate the active ingredient present after the extraction by calculation of percentage yield.
- [?] To obtain dose of plant extract in milligram or gram that can be further constituted in a known volume of vehicle for experimental animals dosing.

طرق التثيف

The enriched extract from maceration or extractors, concentrated by;

- [?] Feding into a water bath, oven, hot plate, heating mantle, ...etc to produce a thick concentrated extract free from solvent.

٢٧
#

- [?] Or the concentration could be done using rotary evaporator in order to remove excess solvents from samples by applying heat to a rotating vessel at a reduced pressure.

١- ملاحظة
عاش
انشت
organic
solvent

- [?] A freeze dryer or lyophilizer can also be used to concentrate and dry the filtrate extract (only aqueous) at reduced temperature

٢- ملاحظة
عاش
انشت
solvent