Pharmacology of Herbal Medicine (PHA-151)

Essential Oils

By

Prof. Dr. Nehal Aly Afifi

Head of Pharmacology Dept
Cairo university

http://scholar.cu.edu.eg/prof-nehalafifi
**Therapeutic Benefits of Essential Oils (EOs)**

- EOs are powerful **Natural plant products** recognized for their medicinal value since ancient times.
- EOs have many uses both in pharmacology & in food industry.
- EOs constitute a major group of agro-based industrial products such as flavors for foods & drinks.
- EOs used as perfumes.
- EOs used in the Cosmetics & Pharmaceuticals.
- In addition, EO have biological activities such as **Antimicrobial**, **Antiviral** activities with broad spectrum so used as potential therapy.
General Properties of Essential oils

- EOs are volatile, aromatic, non-water soluble liquids obtained by steam or hydro-distillation from the plant.
- Peppermint, Eucalyptus, Lavender, jasmine, rose, chamomile, tea tree oil, lemon, orange, rosemary, cinnamon, sandalwood essential oils are the most common traded ones.
- EOs also called volatile oils (evaporate when exposed to heat).
- Odorous & volatile compounds found only in 10% of plant.
- The total EOs content in plants is very low & rarely exceeds 1% (except clove & nutmeg, more than 10%).
Essential oils are hydrophobic, but soluble in Alcohol, non polar solvents, waxes & oils.

- Colourless or pale yellow (except chamomile is blue).
- EOs are liquid and of lower density than water.
- EOs are highly complex mixtures of volatile compounds & many contain more than 100 different components as jasmine, lemon and cinnamon EOs.
- The major volatile constituents are Hydrocarbons, alcohols acids, aldehydes, ketones, lactones, phenols, oxides & esters.
- EOs are oxidizable by light, heat & air at room temperature (due to molecular structures (presence of functional groups as hydroxyl, aldehyde, ester).
Extraction of essential oils

- Oils contained within plant liberated through Heat & Pressure from various parts of plant as leaves, flowers, fruit, roots, wood, and bark.

- Essential oils obtained by various Methods:
  - Hydro-distillation.
  - Steam and steam/water distillation. (most common Ms.)
  - Solvent extraction, aqueous infusion, cold or hot pressing.
  - Supercritical fluid extraction (SFE).
  - SOLID PHASE MICRO-EXTRACTION (SPME).

- Chemical composition of oil, differ according to extraction tech Hydro-distillation yield oils rich in terpene hydrocarbons.
- Super-critical extracted oils → higher % of oxygenated comps
Sources of Essential oils

- The feeding with aromatic herbs & some dietary supplements can supply body with EOs.

- **1-Specific dietary sources** of EOs, as orange & citrus peel, caraway, dill, cherry, spearmint, black pepper.

- **2- As pure products.**

- Essential oils absorbed from the food matrix or as pure products & cross the blood brain barrier easily (**due to the lipophilic character of volatile compounds & small size**)
Pharmacokinetics of Essential oils

- EOs rapidly absorbed after dermal, pulmonary or oral admin. cross blood-brain barrier → interact with receptors in CNS → affect biological functions as relaxation, sleep, digestion.

1- Absorption through the skin
- EO fat soluble (lipophylic) → have the ability to permeate skin membranes → distribute into systemic circulation.

2- Inhalation
- EO inhaled through respiratory tract & lungs due to their volatility → distribute into Bl. stream.

3- Ingestion
- Ingested EO comp. and/or their metabolites absorbed & delivered to Bl. stream → distribute to body.
- EO metabolized & eliminated either by kidneys or exhaled via lungs as CO2.
- The fast metabolism & short half-life of EO active components led to a minimum risk of accumulation in body
Mechanisms of action of Essential oils

- EO molecules in the body act by 3 distinct MOA:
  - 1- Physiological:
    - By acting on specific physiological function (e.g., phytohormones)
      - EO of fennel contains a form of estrogen-like compounds that effective for lactation & menstruation in females.
  - 2- Pharmacological (Biochemical):
    - Interact in Bl. stream chemically with enzymes. Biological activity of EO due to one of the compounds or the entire mixture.
  - 3- Psychological:
    - by inhalation, the olfactory area of brain (limbic system) the EO molecules provide changes in the mental and emotional behavior
    - Eg; Lavender, lemon EO have sedative and relaxant properties.
Classes of EO compounds & their bioactivities

1. Hydrocarbons
   - The majority of EOs fall into **hydrocarbons**, contain mols of hydrogen and carbon only. Classified into terpenes. Eg; **Limonene, myrcene, pinene.**

2. Esters
   - Esters are sweet smelling, give a pleasant smell to oils. Eg; **linalyl acetate, geraniol acetate, eugenol acetate.**
   - Anti-inflammatory, spasmolytic, sedative, antifungal.

3. Oxides
   - Oxides or cyclic ethers are the strongest odorants, Eg; **linalool oxide, & sclareol oxide**. Therapeutic benefits **expectorant & stimulant of nervous system**.
ALKANES

Contains carbon to carbon “single bonds” ONLY!

- Geometry is Tetrahedral
- Very unreactive
- Non polar
- Not water soluble

Saturated Hydrocarbons
Also called Paraffins

Simplest Alkane

METHANE CH₄

Alkanes found in Essential oils:

Hexane

Most common Alkane
TRICYCLENE
Esters (ends in ~ate)

Simplest Ester: Methyl Methanoneate

- Polar
- More volatile, because they lack hydrogen bonding capabilities
- Fragrant odors of fruits & flowers

The most common Ester in Essential Oils: Linalyl Acetate

Linalyl Acetate is found in Clary Sage and in Lavender

The triglycerides of fixed oils are tri-esters

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Ethers

**Anethole**
- Fennel and Anise

**Estragole**
- Basil (Methyl Chavicol)

**p-Cresyl methyl ether**
- Ylang-Ylang

**SIMPLEST ETHER:**
- DIMETHYL ETHER
  - CH₃·O·CH₃

**Most common Ether in Essential Oil**
- 1,8 Cineole
- Eucalyptus and Rosemary

“ends in ~ole”
4. Lactones
- High molecular weight. used for Antipyretic, Sedative & hypotensive. Eg; nepetalactone, dihydronepetalactone.

5. Alcohols
- the most therapeutically beneficial of EO.
- Antimicrobial, Antiseptic, Eg; linalol, menthol, geraniol.

6. Phenols
- Aromatic components, potentially toxic & irritant for skin & mucous membranes. Phenols found as crystals at room temperature. Eg; thymol, eugenol, carvacrol.
- Antimicrobial, rubefacient properties, stimulate the immune and nervous systems.
7. **Aldehydes**

- have characteristic sweet, pleasant fruity odors, unstable and oxidize easily.
- found in **cumin** and **cinnamon**.
- **Antiviral, antimicrobial, tonic, vasodilators, hypotensive, calming, antipyretic & spasmolytic.**
- Eg; **cuminaldehyde, cinnamaldehyde & benzaldehyde**

8. **Ketones**

- Not very common in the majority of EO.
- stable molecules so not metabolized by the liver.
- ketones are neurotoxic & abortifacients such as camphor therapeutic effects. **mucolytic, sedative, antiviral, analgesic and digestive.**
- example of ketones found in Eos; **camphor, carvone, menthone.**
Aldehydes

Other common aldehydes:

- Citronellal (found in Citronella)
- Cinnamic aldehyde
  - Cinnamon bark 70%
  - Cassia bark 90%

Important in the perfumery industry (i.e. Chanel #5)

- Decanal (aldehyde C10)
  - Small % in Orange & Citrus
Ketones

Defined by a carbonyl group that is not on a terminus

Ketone

Most Common Ketone: Camphor

Found in Camphor oil, Rosemary oil, sage & Spike Lavender

Simplest Ketone: Propanone (acetone) C₃H₆O

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Chamomille essential oil:
Main active compounds: Bisabolol & chamazulene.
Properties: anti-inflammatory, anti-allergic, anti-pruritic, healing, decongest the skin & antispasmodic.

Anise essential oil:
Main active compound: Anethole
Properties: antispasmodic, emmenagogue, stomachic, carminative, diuretic, general cardiac stimulant.

Dill essential oil:
Main active compound: Carvone
Properties: Antispasmodic in gastrointestinal disorders, fluidity of bronchial secretions.
• **Eucalyptus essential oil:**
  - Main active compound: **1,8-cineole**.
  - **Properties:** Anticatarrhal, expectorant & mucolytic, antimicrobial, Antiviral.

• **Peppermint essential oil:**
  - Main active compound: **menthol & menthone**
  - **Properties:** Tonic and stimulant, decongestant, anesthetic and analgesic antipruritic, refreshing, antimicrobial, anti-inflammatory, expectorant, mucolytic, emmenagogue.

• **Lemon essential oil:**
  - Main active compound: **limonene**.
  - **Properties:** Strengthen natural immunity, metabolism regulator, tonic nervous system, antimicrobial, antiviral, digestive tonic carminative and purgative.

• **Lavender essential oil:**
  - Main active compound: **Linalol & linalyle acétate**.
  - **Properties:** antispasmodic, sedative, relaxing, analgesic, anti-inflammatory, antimicrobial.
• **Garlic essential oil:**
  • Main active compound: **Diallyl disulfide**.
  • Properties: Protects and maintains the cardiovascular system, hypoglycemic, Regulates blood pressure vermifuge, antimicrobial, antiviral, anti-fungal and anti-parasitic, insecticidal and larvicidal, antioxidant.

• **Clove essential oil:**
  • Main active compound: **Eugenol & eugenyle acetate**.
  • Properties: Antiviral, antimicrobial, antifungal, general stimulating, hypertensive aphrodisiac, light stomachic, carminative, anesthetic.

• **Cinnamon essential oil:**
  • Main active compound: **Cinnamaldehyde**.
  • Properties: Powerful, antibacterial, antiviral, antifungal and parasiticide, uterine tonic, anticoagulant, insecticide.