Lecture 3

Medicinal Plant

Dr. Hebba Al-Lami

Phytochemicals

Phytochemicals are secondary plant metabolites can be classified based on:

1. **Chemical composition:** (containing nitrogen or not)

2. **Chemical structure** (for example, having rings, containing a sugar)

3. **Biosynthetic pathway** (e.g., phenylpropanoid, which produces tannins)

4. **Their solubility in various solvents**

Secondary metabolites (SMs)

Plants contain secondary metabolites can be divided into four chemically distinct namely:

1. Terpenes
2. Phenolics
3. N-containing compounds
4. S. containing compounds

Plant secondary metabolites

Terpenes

- Monoterpenes e.g. geraniol
- Sesquiterpenes e.g. humulene
- Diterpenes e.g. cafestol
- Sesterterpenes e.g. geranylfamesol
- Triterpenes e.g. Squalene
- Sesquaterpenes e.g. ferrugicadiol
- Tetraterpenes e.g.lycopene
- Polyterpens e.g. gutta-percha

Phenolics

- Coumarin e.g. hydroxycoumarins
- Furano-coumarins e.g. psoralin
- Lignin e.g. resveratrol
- Flavonoids e.g. quercetin
- Isoflavonoids e.g. genistein
- Tannins e.g. tannic acids

N-containing compounds

- Alkaloids e.g. cocaine
- Cyanogenic glucosides e.g. dhurrin
- Non-protein amino acids e.g. canavanin

S-containing compounds

- Glutathione
- Glucosinolates
- Phytoalexins
- Thionins
- Defensins
- Alllinin

Table 1. Shows some other secondary metabolites that used in medicine.

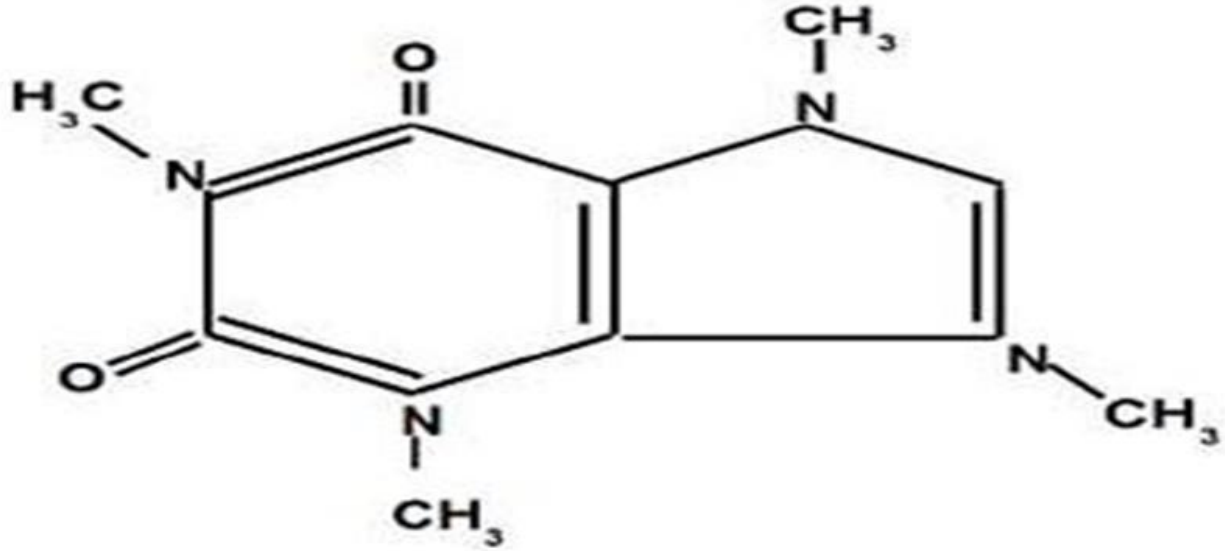
PSM	Major Sources	Therapeutic application
Tannin	vascular woody plants ferns	Anticancer applications Cardioprotective effects Antimicrobial effects
Saponin	higher plants some marine animals	Anticancer applications Immunomodulatory applications Cardioprotective effects Antidiabetic effects Analgesic Anti-inflammatory effects
Mimosine	*Leucaena leucocephala* *Mimosa pudica*	Anticancer applications Anti-inflammatory effects
Phytoestrogens	leguminous forage seeds foods	Anticancer effects Prevention of prostate cancer Prevention of colon cancer Cardioprotective effects

N-containing compounds/Alkaloids

- Alkaloids are generally present in higher plants and a few in lower plants.
- Present in the whole plant or in specific plant organ.

- Derived from amino acid mostly contain one or more carbon rings which usually contain nitrogen,
- In addition to carbon, hydrogen and nitrogen, alkaloids may also contain oxygen. Rarer still, they may contain elements such as phosphorus, chlorine, and bromine

- The type of Alkaloids and plant families depend upon the position of nitrogen atom in the carbon ring.



Caffeine

Fig.1: Chemical Structure of Nitrogen atom in heterocyclic rings [4].

Pharmacological importance of Alkaloids

1. **Antihypertensive** (many indole alkaloids)

2. **Antiarrhythmic** (quinidine, spareien) effects,

3. **Antimalarial activity** (quinine)

4. **Analgesic -malarial drug** (caffeine, nicotine, and morphine)

5. **Anticancer actions** (dimeric indoles, vincristine, vinblastine).

Types of Alkaloids

1. **True alkaloids**

- Contain nitrogen in the heterocycle and originate from amino acids.
- Examples are atropine, nicotine, and morphine.
- This group also includes some alkaloids that besides the nitrogen heterocycle contain terpene (e.g., evonine) or peptide fragments (e.g. ergotamine

Types of Alkaloids

2. Protoalkaloids

- Contain nitrogen (but not the nitrogen heterocycle) and also originate from amino acids.
- Examples include mescaline, adrenaline and ephedrine.

Types of Alkaloids

3. Pseudoalkaloids – alkaloid-like compounds

- Do not originate from amino acids.
- Includes terpene-like and steroid-like alkaloids, as well as purine-like alkaloids such as caffeine, theobromine, theacrine and theophylline.

4. Alkaloids with no heterocyclic carbon skeleton

- Nitrogen atom located in an aliphatic side chain rather than within a ring.
- Includes galanthamine and homoaporphines

Alkaloids Properties

- Most contain oxygen in the molecular structure, those compounds are usually colorless, crystals at ambient conditions.
- Oxygen-free alkaloids, such as nicotine or coniine, are typically volatile, colorless, oily liquids.
- Some are colored, like berberine (yellow) and sanguinarine (orange)
- Most are weak bases, but some are amphoteric such, as theobromine and theophylline,.
- Many dissolve poorly in water but readily dissolve in organic solvents, such as diethyl ether, chloroform
- Caffeine, cocaine, codeine and nicotine are slightly soluble in water (with a solubility of ≥1g/L),
- Morphine and yohimbine are very slightly water-soluble (0.1–1 g/L).

Alkaloids Properties

- Most alkaloids have a bitter taste or are poisonous when ingested.
- Alkaloid production in plants appeared to have evolved in response to feeding by herbivorous animals; however, some animals have evolved the ability to detoxify alkaloids.
- Some alkaloids can produce developmental defects in the offspring of animals that consume but cannot detoxify the alkaloids such as alkaloid cyclopamine.

Distribution in nature

1. In plants

- Depending on the type of plants, the maximum concentration is observed in the leaves (for example, black henbane), fruits or seeds (Strychnine tree), root (*Rauwolfia serpentina*) or bark (cinchona).
- Different tissues of the same plants may contain different alkaloids.

Distribution in nature

2. In Fungi

- Alkaloids are found in certain types of fungus, such as psilocybin alkaloids in the fruiting bodies of the genus *Psilocybe*

3. In animals

- Bufotenin alkaloids in the skin of some toads and a number of insects and markedly ants**.**
- Many marine organisms also contain alkaloids.

Biological role of alkaloids

- Secondary metabolites not directly involved in the normal growth, development, or reproduction of the organism.
- They generally mediate ecological interactions, which may produce a selective advantage for the organism by increasing its survivability or fecundity

Biological role of alkaloids

1. **Protection**

- Aporphine alkaloid liriodenine produced by the tulip tree protects it from parasitic mushrooms
- Presence of alkaloids in the plant prevents insects and chordate animals from eating it.
- Some animals are adapted to alkaloids and even use them in their own metabolism. Such alkaloid-related substances as serotonin, dopamine and histamine are important neurotransmitters in animals.

Biological role of alkaloids

- A fire ant venom alkaloid known as solenopsin has been demonstrated to protect queens of invasive fire ants during the foundation of new nests, thus playing a central role in the spread of this pest ant species around the world

Biological role of alkaloids

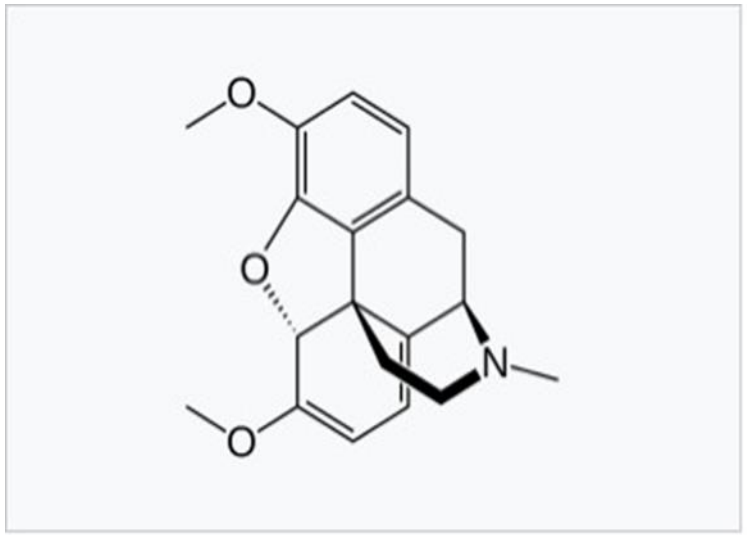
2. In medicine

- Some medicinal application

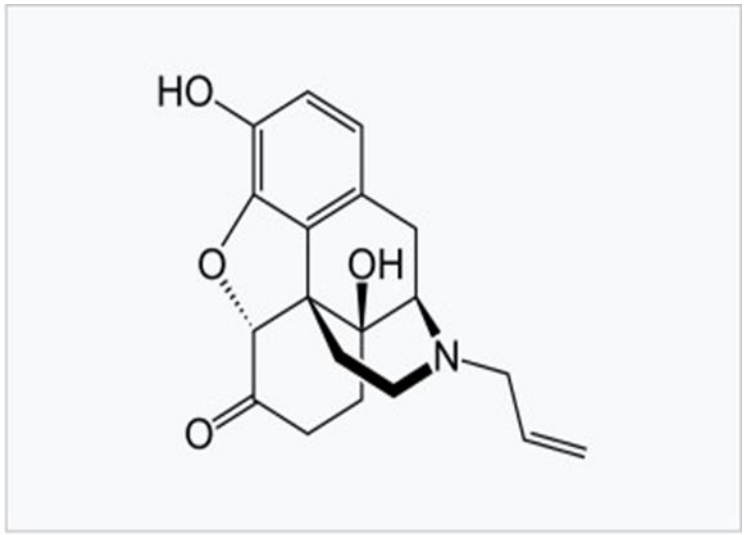
Alkaloid	Action
Ajmaline	Antiarrhythmic
Emetine	Antiprotozoal agent, emesis
Ergot alkaloids	Vasoconstriction, hallucinogenic, Uterotonic
Glaucine	Antitussive
Morphine	Analgesic
Nicotine	Stimulant, nicotinic acetylcholine receptor agonist
Physostigmine	Inhibitor of acetylcholinesterase
Quinidine	Antiarrhythmic
Quinine	Antipyretic, antimalarial

Biological role of alkaloids

- Many synthetic and semisynthetic drugs are structural modifications of the alkaloids.
- For example, naloxone, an opioid receptor antagonist, is a derivative of thebaine that is present in opium.



Thebaine



Naloxone

Biological role of alkaloids

3. In agriculture

- Used as insecticides, such as salts of nicotine and anabasine. Their use was limited by their high toxicity to humans.



THANKS
FOR
LISTENING