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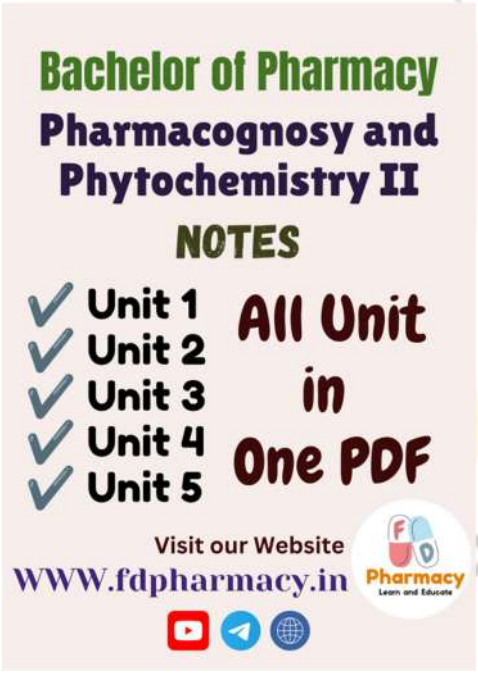
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PHARMACOGNOSY AND PHYTOCHEMISTRY - II

UNIT 2

TOPIC :

- General introduction, composition, chemistry & chemical classes, biosources, therapeutic uses and commercial applications of following

  secondary metabolites:

  Alkaloids : Vinca, Rauwolfia, Belladonna, Opium,

Alkaloids

- Alkaloids are naturally occurring organic nitrogen-containing compounds, usually derived from plant secondary metabolism.
- They often have physiological effects on humans and animals.
- Most alkaloids are basic, heterocyclic, and contain at least one nitrogen atom in a heterocyclic ring.
- Examples: Morphine, Quinine, Vincristine, Atropine.

Key features

- Derived from amino acids (like tryptophan, tyrosine, ornithine, lysine).
- Usually bitter in taste.
- Often pharmacologically active.
- Found in plants as salts with organic acids (like oxalates, citrates, tartrates).

Classification of Alkaloids

Alkaloids can be classified based on:

A. Biosynthetic Origin

1. **Tropane alkaloids** – e.g., Atropine, Hyoscyamine (from ornithine).
2. **Indole alkaloids** – e.g., Vincristine, Vinblastine, Reserpine (from tryptophan).
3. **Isoquinoline alkaloids** – e.g., Morphine, Papaverine (from tyrosine).
4. **Purine alkaloids** – e.g., Caffeine, Theobromine (from purine metabolism).
5. **Pyrrolizidine alkaloids** – e.g., Senecionine (from ornithine).
6. **Quinoline/Quinazoline alkaloids** – e.g., Quinine, Camptothecin.

B. Chemical Structure

- Heterocyclic: Nitrogen atom is in a ring (e.g., morphine, nicotine).
- Non-heterocyclic: Nitrogen atom is not in a ring (e.g., ephedrine).

C. Pharmacological Action

- **Stimulants**: Caffeine, Nicotine.
- **Analgesics**: Morphine, Codeine.
- **Antimalarials**: Quinine, Quinidine.
- **Antihypertensives**: Reserpine.
- **Anticholinergics**: Atropine, Scopolamine.

Chemistry of Alkaloids

- Most are alkaline in nature due to nitrogen.
- Exist as free bases or salt forms.
- Solubility: soluble in organic solvents (chloroform, ether) as free base; soluble in water as salts.
- Can undergo oxidation, reduction, methylation, acetylation.
- Many have chiral centers, affecting their pharmacological activity.

Biosources

- Mostly plants, especially higher plants.
- Alkaloid-rich plant families:
  - Rauvolfia – Reserpine
  - Vinca – Vincristine, Vinblastine
  - Papaveraceae – Morphine, Codeine
  - Solanaceae – Atropine, Hyoscyamine, Scopolamine
  - Cinchona – Quinine, Quinidine

Plant parts containing alkaloids: roots, leaves, seeds, bark, or latex.

Vinca (Catharanthus roseus)

- **Common Names:** Madagascar periwinkle, Vinca
- **Botanical Name:** *Catharanthus roseus* (L.) G. Don
- **Family:** Apocynaceae
- **Plant Description:** Evergreen perennial shrub with glossy leaves and pink, white, or purple flowers. Native to Madagascar, now cultivated worldwide.
- **Significance:** Source of important anticancer alkaloids. Traditionally used for diabetes and hypertension in folk medicine.

Composition

- **Primary Active Constituents:** Indole alkaloids (Monoterpenoid indole alkaloids)
- **Major Alkaloids:**
  - Vincristine – anticancer
  - Vinblastine – anticancer
  - Ajmalicine (Raubasine) – antihypertensive
- **Other Components:**
  - Flavonoids, Tannins
  - Sterols, Saponins

Chemistry & Chemical Classes

- Alkaloid Class: Monoterpenoid indole alkaloids (MIAs)
- Basic Chemical Structure:
  - Indole nucleus (derived from tryptophan) linked to a terpenoid unit
  - Complex bisindole structure in vincristine and vinblastine
- Representative Compounds:
  1. Vincristine: Dimeric indole alkaloid; used in chemotherapy
  2. Vinblastine: Dimeric indole alkaloid; used in chemotherapy
  3. Ajmalicine: Monomeric indole alkaloid; antihypertensive
- Chemical Properties:
  - Sensitive to light, heat, and acidic conditions
  - Soluble in water, alcohol, and chloroform (depending on form)

Biosources

- Plant Parts Containing Alkaloids: Leaves (main source), stems, and seeds
- Cultivation: Tropical/subtropical climates; well-drained soil; prefers full sun
- Extraction Methods:
  - Maceration of dried leaves/stems
  - Solvent extraction followed by chromatographic purification

Therapeutic Uses

Vinca alkaloids are cytotoxic and antineoplastic, acting mainly by inhibiting microtubule formation in mitosis.

Alkaloid	Therapeutic Use
Vincristine	Leukemia, lymphoma, pediatric cancers
Vinblastine	Hodgkin's lymphoma, testicular cancer
Ajmalicine	Hypertension, cerebral circulation disorders
Vindoline/Catharanthine	Experimental; precursors for semi-synthetic drugs

- Mechanism of Action:
  - Vincristine & vinblastine bind tubulin → prevent microtubule assembly → inhibit mitotic spindle formation → block cell division

Commercial Applications

- Pharmaceutical Preparations:
  - Injectable forms of vincristine and vinblastine for chemotherapy
  - Ajmalicine in tablets or injectables for cardiovascular disorders
- Global Trade: Extracted from *C. roseus* leaves or synthesized semi-synthetically

Rauwolfia (Rauwolfia serpentina)

- **Common Names:** Indian Snakeroot, Sarpagandha
- **Botanical Name:** *Rauwolfia serpentina* (L.) Benth. ex Kurz
- **Family:** Apocynaceae
- **Plant Description:** Perennial, creeping, evergreen shrub with small white or pink flowers and long roots. Native to India and Southeast Asia.
- **Significance:** Major source of **reserpine** and other indole alkaloids used for hypertension and psychiatric disorders.

Composition

- **Primary Active Constituents:** Indole alkaloids
- **Major Alkaloids:**
  - **Reserpine** – antihypertensive, antipsychotic
  - **Rescinnamine** – antihypertensive
  - **Ajmaline** – antiarrhythmic
  - **Serpentine, Rauwolscine** – minor alkaloids with CNS activity
- **Other Components:**
  - Flavonoids
  - Tannins
  - Saponins
  - Starch

Chemistry & Chemical Classes

- **Alkaloid Class:** Indole alkaloids (mainly **yohimbine and ajmaline types**)
- **Chemical Structure:**
  - Indole nucleus derived from tryptophan
  - Complex polycyclic structures in reserpine and ajmaline
- **Representative Compounds:**
  - **Reserpine:** Monoterpenoid indole alkaloid, ester of methoxybenzoic acid
  - **Rescinnamine:** Structurally related to reserpine, with antihypertensive activity

- **Chemical Properties:**
  - Alkaloids form salts (reserpine hydrochloride, rescinnamine sulfate)
  - Soluble in alcohol, chloroform; slightly soluble in water
  - Light-sensitive, stable in acidic media

Biosources

- **Plant Parts Containing Alkaloids:** Roots (primary source), leaves (lesser extent)
- **Cultivation:** Tropical/subtropical regions; well-drained sandy soil; partial shade preferred
- **Extraction Methods:**
  - Acid-base extraction from dried root powder
  - Chromatographic purification to isolate reserpine and related alkaloids

Therapeutic Uses

Rauwolfia alkaloids are centrally and peripherally acting agents.

Alkaloid	Therapeutic Use
Reserpine	Hypertension, mild psychotic disorders, anxiety
Rescinnamine	Hypertension
Ajmaline	Cardiac arrhythmias (ventricular tachycardia, atrial fibrillation)
Rauwolscine	CNS stimulant, research purposes

- **Mechanism of Action:**
  - **Reserpine:** Irreversibly inhibits vesicular monoamine transporter (VMAT) → depletes catecholamines and serotonin → reduces blood pressure and produces sedative effect
  - **Ajmaline:** Sodium channel blocker in cardiac tissue → antiarrhythmic

Commercial Applications

- **Pharmaceutical Preparations:**
  - **Reserpine tablets/capsules** for hypertension and psychiatric disorders
  - **Injectable ajmaline** for cardiac arrhythmias
  - **Rescinnamine salts** for antihypertensive therapy

Belladonna (Atropa belladonna)

- **Common Names:** Belladonna, Deadly Nightshade
- **Botanical Name:** *Atropa belladonna* L.
- **Family:** Solanaceae
- **Plant Description:** Perennial herbaceous plant with purple bell-shaped flowers and shiny black berries. It is native to Europe, North Africa, and Western Asia.
- **Toxicity:** Highly poisonous due to the presence of tropane alkaloids. All parts are toxic, especially roots and leaves.
- **Historical Significance:** Used traditionally as a cosmetic (dilates pupils, hence "belladonna" = beautiful lady in Italian), analgesic, sedative, and for treating gastrointestinal disorders.

Composition

- **Primary Active Constituents:** Tropane alkaloids.
- **Major Alkaloids:**
  - **Atropine** (dl-hyoscyamine) – main pharmacologically active alkaloid
  - **Hyoscyamine** – levorotatory form of atropine
  - **Scopolamine (Hyoscine)** – primarily in leaves and roots
- **Other Components:**
  - Flavonoids
  - Tannins
  - Resins
  - Volatile oils

Chemistry & Chemical Classes

- **Alkaloid Class:** Tropane alkaloids
- **Basic Structure:** Tropane ring (8-azabicyclo[3.2.1]octane) with esterified acids (mainly tropic acid for atropine and hyoscyamine)
- **Representative Chemical Structures:**
  1. **Atropine:** Tropane ring esterified with tropic acid
  2. **Hyoscyamine:** Levorotatory isomer of atropine
  3. **Scopolamine:** Tropane ring with epoxide group

- **Chemical Properties:**
  - Basic nitrogen in tropane ring forms salts (e.g., sulfate, hydrochloride)
  - Alkaloids are soluble in alcohol and chloroform, slightly soluble in water
  - Sensitive to light and heat

Biosources

- **Plant Parts Containing Alkaloids:** Leaves, roots, and berries.
- **Cultivation:** Temperate climates; prefers well-drained soil and partial shade.
- **Extraction Methods:**
  - Maceration or percolation of dried leaves/roots with alcohol
  - Alkaloid isolation using acid-base extraction

Therapeutic Uses

Belladonna alkaloids act mainly via anticholinergic (parasympatholytic) effects, blocking muscarinic acetylcholine receptors.

Alkaloid	Therapeutic Use
Atropine	Antidote for organophosphate poisoning, mydriasis in ophthalmology, bradycardia management
Hyoscyamine	Relief of gastrointestinal spasms, irritable bowel syndrome, antispasmodic
Scopolamine	Prevention of motion sickness, sedation, pre-anesthetic medication

- **Other Uses:** Analgesic, antiasthmatic (historically), and in palliative care for secretions.

Commercial Applications

- **Pharmaceutical Preparations:**
  - **Eye drops:** Atropine for pupil dilation
  - **Injectable forms:** Atropine sulfate for emergency treatment
  - **Transdermal patches:** Scopolamine for motion sickness
  - **Tablets/Capsules:** Hyoscyamine for GI disorders
- **Cosmetic Use:** Historically used to dilate pupils for aesthetic purposes
- **Global Trade:** Belladonna alkaloids are commercially extracted from cultivated plants or synthesized semi-synthetically.

Opium (Papaver somniferum)

- **Common Names:** Opium, Poppy Latex
- **Botanical Name:** *Papaver somniferum* L.
- **Family:** Papaveraceae
- **Plant Description:** Annual herb with glaucous leaves and large showy flowers (white, pink, or purple). The unripe seed capsules are scored to collect latex (opium).
- **Significance:** Source of **natural opiates** used for analgesia, antitussive, and antidiarrheal therapy.
- **Historical Significance:** Used since ancient times as analgesic and sedative; cultivated in Mediterranean, Asia, and India.

Composition

- **Primary Active Constituents:** Isoquinoline alkaloids
- **Major Alkaloids:**
  - **Morphine** – principal analgesic
  - **Codeine** – antitussive and analgesic
  - **Papaverine** – smooth muscle relaxant
  - **Noscapine (Narcotine)** – antitussive

- **Other Components:**
  - Non-alkaloidal substances like sugars, mucilage, and proteins

Chemistry & Chemical Classes

- **Alkaloid Class:** Isoquinoline alkaloids
- **Chemical Structure:**
  - Morphinan skeleton (pentacyclic) in morphine, codeine, and thebaine
  - Isoquinoline nucleus in papaverine and noscapine
- **Representative Compounds:**
  - **Morphine:** Strong opioid analgesic; $C_{17}H_{19}NO_3$
  - **Codeine:** Mild analgesic and antitussive; $C_{18}H_{21}NO_3$
  - **Thebaine:** Stimulatory opiate, precursor to oxycodone, buprenorphine
  - **Papaverine:** Smooth muscle relaxant, vasodilator

- **Chemical Properties:**
  - Alkaloids form salts (morphine sulfate, codeine phosphate)
  - Soluble in alcohol and chloroform; morphine moderately soluble in water

Biosources

- **Plant Parts Containing Alkaloids:** Unripe seed capsules (latex)
- **Cultivation:** Temperate and subtropical regions; requires well-drained soil and sunny climate
- **Extraction Methods:**
  - Latex collected by scoring seed pods
  - Alkaloids isolated by acid-base extraction and chromatography

Therapeutic Uses

Alkaloid	Therapeutic Use
Morphine	Severe pain, anesthesia adjunct, acute myocardial infarction pain
Codeine	Mild to moderate pain, antitussive
Thebaine	Precursor for semi-synthetic opioids (oxycodone, buprenorphine)
Papaverine	Smooth muscle relaxant, vasospasm, erectile dysfunction
Noscapine	Antitussive

- **Mechanism of Action:**
  - Morphine and codeine → μ-opioid receptor agonists → analgesia, sedation, respiratory depression
  - Papaverine → inhibits phosphodiesterase → smooth muscle relaxation

Commercial Applications

- **Pharmaceutical Preparations:**
  - Codeine phosphate tablets, syrups (antitussive)
  - Semi-synthetic opioids derived from thebaine (oxycodone, buprenorphine, naloxone)
- **Global Trade:** Extracted from cultivated poppy plants or semi-synthetically modified
- **Other Uses:** Traditional analgesic and sedative; sometimes misused illicitly