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PHARMACOGNOSY AND PHYTOCHEMISTRY - II

UNIT 2

TOPIC :

- **Iridoids, Other terpenoids & Naphthaquinones :** Gentian, Artemisia, taxus, carotenoids

Iridoids

- Iridoids are a group of monoterpenoid compounds (C_{10} compounds) that are usually present as glycosides in plants.
  They are bitter-tasting and often act as defense compounds in plants due to their toxicity to herbivores.
- Iridoids are monoterpenoid compounds ($C_{10}H_{16}O$) containing a cyclopentane ring fused to a six-membered oxygen heterocycle, usually found as glycosides.

General Characteristics

- Derived from monoterpenes (C_{10}).
- Usually occur as glycosides.
- Have bitter taste.
- Possess a cyclopentane [C_5] + pyran [C_6] ring system (called *iridane skeleton*).
- Soluble in water and alcohol, but insoluble in nonpolar solvents.
- Show blue-green fluorescence under UV light.

Therapeutic Uses

- Bitter tonic – stimulates appetite and digestion (Gentian, Chirata).
- Hepatoprotective – protects the liver (Picrorhiza).
- Antipyretic – reduces fever.
- Anti-inflammatory and antimicrobial actions.
- Sedative and tranquilizer (Valerian).
- Antioxidant and cardioprotective (Oleuropein from Olive).

Other Terpenoids

- Terpenoids are natural hydrocarbons built from isoprene units (C_5H_8). Other terpenoids include mono-, sesqui-, di-, tri-, and tetraterpenes that do not belong to cardiac or iridoid groups but possess diverse medicinal activities.
- Terpenoids are compounds formed from isoprene units $(C_5H_8)n$, having various structures and biological activities.

Therapeutic Uses of Terpenoids

- Antimalarial – Artemisinin (from *Artemisia annua*).
- Anti-inflammatory – Boswellic acid, Lupeol.
- Anticancer – Taxol (from *Taxus brevifolia*).
- Sweetening agent – Stevioside (from *Stevia rebaudiana*).
- Carminative, expectorant, stimulant – Menthol, Camphor.
- Vitamin precursor – β-Carotene (Vitamin A source).
- Antimicrobial and antioxidant – Various essential oils.

Naphthoquinones

- Naphthoquinones are aromatic compounds containing a naphthalene ring (two fused benzene rings) with two keto (C=O) groups.
- They are colored compounds (usually red, orange, or purple) and often possess antimicrobial and antioxidant properties.
- Naphthoquinones are natural quinone pigments derived from naphthalene and contain two carbonyl groups (C=O).

Therapeutic Uses

- Antimicrobial and antifungal (Plumbagin, Lawsone).
- Hair dye and skin coloring agent (Henna, Alkanet).
- Wound healing (Alkanet root).
- Anticancer and anti-inflammatory (Plumbagin).
- Cosmetic use – in herbal hair oils, mehndi, and skin products.

Gentian

- Common Name: Gentian, Yellow Gentian
- Botanical Name: *Gentiana lutea* Linn.
- Family: Gentianaceae
- Part Used: Dried roots and rhizomes
- Description:
  - Gentian is a perennial herb growing in mountainous regions.
  - It has thick roots, yellow flowers, and bitter taste.
  - It is one of the most important bitter tonics used in medicine.
- Distribution:
  - Native to Central and Southern Europe, especially the Alps and Himalayan regions.

Composition

- **Active Constituents:**
  - **Bitter glycosides:**
    - Gentiopicroside (gentiopicrin)
    - Amarogentin (one of the most bitter natural substances)
    - Gentisin (a xanthone glycoside)
  - **Other Constituents:**
    - Gentisic acid
    - Sugars, pectin, and essential oil traces

Chemistry & Chemical Classes

- **Chemical Class:**
  - Secoiridoid glycosides (responsible for bitterness)
  - Xanthone derivatives (gentisin)
- **Chemical Nature:**
  - Non-nitrogenous compounds → simple bitters (not alkaloidal).
  - Bitter taste stimulates gustatory nerves, improving appetite and digestion.
- **Properties:**
  - Taste: Very bitter (even in very small quantities).
  - Solubility: Soluble in water and alcohol.
  - Color: Yellowish-brown root pieces.

Biosources

- **Biological Source:**
  - Dried rhizomes and roots of *Gentiana lutea* Linn.
- **Cultivation and Distribution:**
  - Found mainly in European alpine regions.
  - Cultivated in Switzerland, France, and India (Himalayan areas).
- **Collection:**
  - Roots are collected from 5–7-year-old plants, washed, sliced, and dried in shade.

Therapeutic Uses

Action	Therapeutic Use
Bitter tonic (stomachic)	Stimulates secretion of saliva and gastric juice, improves appetite
Digestive aid	Increases bile and gastric juice flow, relieves indigestion
Febrifuge	Reduces fever and improves body strength
Anti-inflammatory	Used in traditional systems for mild inflammation
Carminative	Relieves gas and bloating

- **Mechanism of Action:**
  - Bitter glycosides stimulate taste buds, leading to reflex secretion of gastric juice and bile.
  - Enhances appetite and digestion.

Commercial and Pharmaceutical Applications

- **Pharmaceutical Preparations:**
  - Used in Tincture of Gentian Compound (Tinctura Gentianae Composita)
  - Found in bitter tonics, appetite stimulants, and digestive elixirs.
- **Combination:**
  - Often combined with ginger, orange peel, or cardamom to improve taste and effect.
- **Cosmetic and Nutritional Uses:**
  - Sometimes used in herbal health drinks or bitters for digestive health.

Artemisia

- Common Name: Wormwood, Sweet Wormwood, or Chinese Wormwood
- Botanical Name: *Artemisia annua* Linn.
- Family: Asteraceae (Compositae)
- Part Used: Dried leaves and flowering tops
- Description:
  - *Artemisia* is a small aromatic herb or shrub with finely divided leaves and small yellow flowers.
  - It has a strong characteristic odor due to the presence of volatile oils.
  - It is an important medicinal and aromatic plant known for its antimalarial and digestive properties.
- Distribution:
  - Native to Asia (especially China and India) and now cultivated in Africa and South America for medicinal use.

Composition

- **Major Active Constituents:**
  - Sesquiterpene lactones – mainly Artemisinin
  - Essential (volatile) oils – containing cineole, camphor, and thujone
  - Flavonoids: Quercetin, luteolin
  - Coumarins and phenolic compounds
- **Important Compound:**
  - Artemisinin – a sesquiterpene lactone containing a peroxide bridge, responsible for antimalarial activity.

Chemistry & Chemical Classes

- **Chemical Class:**
  - Sesquiterpene lactones (mainly artemisinin)
  - Volatile oils (terpenoids)
  - Flavonoids and coumarins (secondary metabolites)
- **Chemical Nature:**
  - Artemisinin: $C_{15}H_{22}O_5$, crystalline compound with an endoperoxide bridge (–O–O–) essential for its antimalarial action.

- **Properties:**
  - Color: Greenish or brownish leaves
  - Odor: Strong aromatic
  - Taste: Bitter and pungent

Biosources

- **Biological Source:**
  - Dried aerial parts of *Artemisia annua* Linn. (Sweet wormwood).
- **Other Species of Artemisia:**
  - *Artemisia absinthium* – common wormwood (used as bitter tonic)
  - *Artemisia vulgaris* – mugwort (used as stimulant and emmenagogue)
- **Cultivation and Distribution:**
  - Cultivated mainly in China, India (Assam, Himachal Pradesh, Uttarakhand), and Africa.
  - Grows best in temperate climates with well-drained soil.

Therapeutic Uses

Constituent / Type	Therapeutic Use	Mechanism / Effect
Artemisinin	Antimalarial (against *Plasmodium falciparum*)	Breaks down in parasite cells releasing free radicals → damages parasite proteins
Volatile oil	Carminative, digestive	Stimulates gastric juice and bile secretion
Extracts (traditional use)	Anthelmintic	Expels intestinal worms

Commercial and Pharmaceutical Applications

- **Pharmaceutical Preparations:**
  - Artemisinin derivatives:
    - *Artemether*, *Artesunate*, *Dihydroartemisinin* — all used in Artemisinin-based Combination Therapies (ACTs) for malaria.
  - Found in tablets, injections, and suspensions.
- **Traditional Uses:**

- Used as bitter tonic, digestive, and anthelmintic in traditional Chinese and Ayurvedic medicine.

Taxus

- Common Name: Yew tree, Himalayan Yew
- Botanical Name: *Taxus baccata* Linn.
- Family: Taxaceae
- Part Used: Bark and leaves
- Description:
  - *Taxus* is an evergreen coniferous tree with flat needle-like leaves and bright red fleshy arils (false fruits).
  - It is known for producing taxanes, especially paclitaxel (Taxol) — a major anticancer compound.
  - The plant grows slowly and is often found in temperate and Himalayan regions.
- Distribution:
  - Found in Himalayan regions of India, Bhutan, Nepal, and Europe.
  - Common in Himachal Pradesh, Arunachal Pradesh, and Uttarakhand.

Composition

- **Major Active Constituents:**
  - Diterpenoid alkaloids (Taxanes)
    - Paclitaxel (Taxol) — main active compound
    - 10-Deacetylbaccatin III
    - Cephalomannine
  - Other constituents:
    - Lignans, tannins, flavonoids, and volatile oils.
- **Important Note:**
  - Paclitaxel is mainly isolated from the bark of *Taxus brevifolia* and leaves of *Taxus wallichiana*.

Chemistry & Chemical Class

- **Chemical Class:**
  - Diterpenes of the taxane group (polyoxygenated diterpenoids).
- **Important Compound:**
  - Paclitaxel (Taxol)

        - Chemical formula: $C_{47}H_{51}NO_{14}$
        - Complex diterpene structure with a taxane ring and an ester side chain at C-13, essential for biological activity.
- **Properties:**
    - Physical appearance: White crystalline powder
    - Solubility: Insoluble in water, soluble in alcohol and organic solvents
    - Melting point: Around 216–217°C

Biosources

- **Biological Source:**
    - Bark and leaves of *Taxus baccata*, *Taxus brevifolia*, and *Taxus wallichiana* (Himalayan Yew).
- **Cultivation and Production:**
    - Naturally found in temperate forests and Himalayan slopes.
    - Due to overharvesting, biotechnological methods (plant tissue culture) are used to produce paclitaxel sustainably.

Therapeutic Uses

Compound / Extract	Therapeutic Use	Mechanism of Action
Paclitaxel (Taxol)	Anticancer (Antineoplastic)	Promotes microtubule assembly and prevents their depolymerization → arrests cell division (mitosis)
Taxane derivatives	Antitumor	Used in solid tumors like ovarian, breast, and lung cancers

Mechanism of Action of Paclitaxel

- Paclitaxel binds to β-tubulin in microtubules, stabilizing them.
- This prevents the breakdown of microtubules during cell division.
- As a result, mitosis is arrested, leading to cancer cell death.

Commercial and Pharmaceutical Applications

- **Pharmaceutical Preparations:**
    - Paclitaxel injection (Taxol) – used in chemotherapy.
    - Docetaxel (semisynthetic derivative) – used for breast, lung, ovarian, and prostate cancers.
- **Combination Therapy:**

- Often used with cisplatin or doxorubicin in cancer treatment.

Carotenoids

- Carotenoids are natural pigments found widely in plants, algae, and some bacteria and fungi.
- They are responsible for the bright yellow, orange, and red colors of many fruits, vegetables, and flowers.
- Carotenoids are fat-soluble compounds and play an important role in photosynthesis and human nutrition.
- In humans, some carotenoids (like β-carotene) act as provitamin A, meaning they can be converted into vitamin A in the body.
- They also have antioxidant properties, protecting cells from damage by free radicals.

Composition

- **Chemical Nature:**
  - Carotenoids are tetraterpenoids (made up of 8 isoprene units → 40 carbon atoms).
- **Major Types of Carotenoids:**
  - Carotenes – contain only carbon and hydrogen.
    - Examples: β-carotene, α-carotene, lycopene
  - Xanthophylls – contain oxygen in addition to carbon and hydrogen.
    - Examples: Lutein, Zeaxanthin, Astaxanthin
- **Color:**
  - Carotenoids show colors from yellow to deep red due to their conjugated double bonds.

Chemistry & Chemical Classes

- **Chemical Class:**
  - Isoprenoid compounds (tetraterpenes)
- **Structure:**
  - Long chain of conjugated double bonds which give color and antioxidant property.
  - Some have cyclic end groups (like β-ionone ring in β-carotene).

- Examples and Formulas:

Compound	Formula	Color	Function
β-Carotene	$C_{40}H_{56}$	Orange	Provitamin A
Lycopene	$C_{40}H_{56}$	Red	Antioxidant
Lutein	$C_{40}H_{56}O_2$	Yellow	Eye health
Zeaxanthin	$C_{40}H_{56}O_2$	Yellow	Protects retina

Biosources

- **Natural Sources of Carotenoids:**
  - Vegetables: Carrot, pumpkin, tomato, spinach, kale, sweet potato
  - Fruits: Mango, papaya, orange, apricot, watermelon
  - Microorganisms: Dunaliella salina (β-carotene source), yeast, algae
  - Animals (indirectly): Carotenoids from diet accumulate in egg yolk, fish skin, etc.

Therapeutic Uses

Carotenoid	Therapeutic Use	Action
β-Carotene	Source of Vitamin A	Maintains vision, skin, and immunity
Lutein & Zeaxanthin	Eye protection	Prevents macular degeneration & cataract
Lycopene	Antioxidant	Protects against heart disease & cancer
Astaxanthin	Anti-aging, anti-inflammatory	Improves skin and muscle health

Mechanism of Action

- Carotenoids act as antioxidants by neutralizing free radicals.
- They reduce oxidative stress and protect cell membranes from damage.

- β-Carotene converts into retinol (Vitamin A) in the liver, essential for vision and growth.

Commercial and Pharmaceutical Applications

- **Pharmaceutical Uses:**
  - Vitamin A supplements (from β-carotene)
  - Eye health capsules (Lutein + Zeaxanthin)
  - Lycopene capsules for heart and prostate health
- **Cosmetic Industry:**
  - Used in anti-aging creams and skin brightening products.
- **Food Industry:**
  - Used as natural food colorants (E160a–E160e) in butter, cheese, soft drinks, etc.
- **Animal Feed:**
  - Added to poultry and fish feed to enhance color (like yolk or salmon flesh).