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PHARMACOGNOSY & PHYTOCHEMISTRY

UNIT – 2 | SECONDARY METABOLITES – COMPLETE NOTES

B. Pharmacy | 5th Semester |

★ Alkaloids: Vinca, Rauwolfia, Belladonna, Opium
★ Phenylpropanoids & Flavonoids: Lignans, Tea, Ruta
★ Steroids, Cardiac Glycosides & Triterpenoids: Liquorice, Dioscorea, Digitalis
★ Volatile Oils: Mentha, Clove, Cinnamon, Fennel, Coriander
★ Tannins: Catechu, Pterocarpus
★ Resins: Benzoin, Guggul, Ginger, Asafoetida, Myrrh, Colophony
★ Glycosides: Senna, Aloes, Bitter Almond
★ Iridoids, Terpenoids & Naphthaquinones: Gentian, Artemisia, Taxus, Carotenoids

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ALKALOIDS

Alkaloids are nitrogen-containing secondary metabolites, basic in nature, derived from amino acids via the Amino Acid Pathway. They show strong pharmacological activity and are among the most important plant-derived drugs.

VINCA (Periwinkle)

VINCA

Catharanthus roseus (L.) G.Don | Syn: Vinca rosea | Family: Apocynaceae

Synonyms: Catharanthus, Madagascar Periwinkle, Rosy Periwinkle, Sadabahar (Hindi)

Biological Source: Dried whole plant (leaves, roots, stems) of Catharanthus roseus (Linn.) G.Don; Family: Apocynaceae

Part Used: Leaves (alkaloids), Roots; whole plant used commercially

Geographical Distribution: Native to Madagascar; cultivated throughout India (Tamil Nadu, Karnataka, Andhra Pradesh), Sri Lanka, and tropical regions worldwide

Chemical Composition: Contains >100 indole alkaloids (Monoterpenoid Indole Alkaloids – MIAs)

Major Alkaloids: (1) VINBLASTINE (Vincaleukoblastine – VLB); (2) VINCRISTINE (Leurocristine – VCR); (3) Vindesine; (4) Vinorelbine; (5) Ajmalicine (Raubasine); (6) Catharanthine; (7) Vindoline; (8) Lochnerine; (9) Tetrahydroalstonine

Chemistry of Major Alkaloids: Vinblastine (MW: 810) and Vincristine (MW: 824) are bisindole alkaloids formed by coupling of Catharanthine + Vindoline. Vincristine differs from Vinblastine only by an N-formyl (CHO) group instead of N-methyl (CH_3) on vindoline moiety. Both are dimeric indole-indoline alkaloids with complex ring systems.

Biosynthesis: Tryptamine + Secologanin → Strictosidine (universal MIA precursor) → Tabersonine → Vindoline; Catharanthine + Vindoline → Vinblastine/Vincristine via peroxidase-catalysed coupling

Chemical Classes: Monoterpenoid Indole Alkaloids (bisindole type); Vinca alkaloids

Therapeutic Uses: (1) Vincristine: Acute lymphoblastic leukaemia (ALL) – drug of choice, Wilm's tumour, Hodgkin's/Non-Hodgkin's lymphoma, rhabdomyosarcoma; (2) Vinblastine: Hodgkin's lymphoma, testicular cancer, Kaposi's sarcoma; (3) Ajmalicine: Antihypertensive, cerebral vasodilator

Mechanism of Action: Vincristine & Vinblastine: Bind to tubulin → inhibit microtubule polymerisation → arrest mitosis at metaphase (mitotic spindle poison) → cell death

Commercial Applications: API (Active Pharmaceutical Ingredient) in oncology; Vinorelbine (semi-synthetic derivative) – non-small cell lung cancer, breast cancer; Vindesine – leukaemia; global market worth hundreds of millions USD annually

Adulterants & Tests: TLC, HPLC for identification; Mayer's reagent (cream ppt), Dragendorff's (orange ppt) for alkaloid detection

RAUWOLFIA (Snakeroot)

RAUWOLFIA

Rauvolfia serpentina (L.) Benth. ex Kurz | *Family: Apocynaceae*

Synonyms: Indian Snakeroot, Sarpagandha, Chandrika, Chota-Chand

Biological Source: Dried roots of Rauvolfia serpentina (Linn.) Benth. ex Kurz; Family: Apocynaceae; Part used: Roots (dried)

Geographical Distribution: India (Himalayan foothills, West Bengal, Assam, Kerala), Sri Lanka, Burma, Thailand, Malaysia

Chemical Composition: Contains >50 alkaloids (up to 1–2% total alkaloids in roots)

Major Alkaloids: (1) RESERPINE (0.1–1%): Most important; (2) Rescinnamine; (3) Deserpidine; (4) Ajmalicine (Raubasine); (5) Ajmaline; (6) Serpentine; (7) Serpentinine; (8) Yohimbine; (9) Rauwolscine

Chemistry of Reserpine: Reserpine ($C_{33}H_{40}N_2O_9$; MW: 608.7): Indole alkaloid; contains methyl ester of reserpic acid + trimethoxybenzoyl group; stereospecific (3β,4α,18β-configuration); white crystalline powder; optical rotation [α]D = −118°; highly lipophilic

Chemical Classes: Indole alkaloids (monoterpenoid type); Yohimbane skeleton (tetracyclic); Ajmaline type (norditerpene indole)

Biosynthesis: Tryptophan + Secologanin → Strictosidine → Geissoschizine → Polyneuridine aldehyde → Vinorine → Vomilenine → Ajmaline; Strictosidine → Reserpine via sarpagan pathway

Therapeutic Uses: (1) Reserpine: Antihypertensive (first plant-derived antihypertensive in clinical use, 1950s), Tranquillizer (deplete CNS monoamines); (2) Ajmaline: Antiarrhythmic; (3) Ajmalicine: Cerebral vasodilator, mild antihypertensive; (4) Yohimbine: Alpha-2 blocker, erectile dysfunction

Mechanism of Action: Reserpine: Depletes catecholamines (noradrenaline, dopamine, serotonin) from storage vesicles → inhibits VMAT2 → lowers peripheral resistance and BP; sedation/tranquillisation via CNS monoamine depletion

Commercial Applications: Reserpine tablets (0.1–0.25 mg); Ajmalicine in cerebrovascular preparations; Whole root powder (Sarpagandha churna) in Ayurveda; Rauwolfia alkaloids – significant pharmaceutical export from India

Important Note: First drug used for hypertension and psychosis; now replaced by newer antihypertensives due to side effects (depression, Parkinsonism)

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BELLADONNA (Deadly Nightshade)

BELLADONNA

Atropa belladonna Linn. | *Family: Solanaceae*

Synonyms: Deadly Nightshade, Devil's Cherry, Dwale, Banewort; Belladonna (Italian: Beautiful Lady – used by women to dilate pupils)

Biological Source: (1) Belladonna leaf: Dried leaves/tops of Atropa belladonna; (2) Belladonna root: Dried roots; IP standard: NLT 0.30% total alkaloids (as hyoscyamine)

Geographical Distribution: Central and Southern Europe, W. Asia; Cultivated in India (Himachal Pradesh, Jammu & Kashmir at 1000–2500 m altitude), UK, Germany

Chemical Composition: Total alkaloid content 0.3–0.6% in leaves; 0.4–0.8% in roots

Major Alkaloids: (1) HYOSCYAMINE (major – up to 85%): L-form (natural); racemizes to Atropine (DL-form) on extraction; (2) SCOPOLAMINE (Hyoscine): Minor in belladonna, major in Datura; (3) Apoatropine; (4) Belladonine; (5) Tropine (non-alkaloid base)

Chemistry of Atropine / Hyoscyamine: Atropine ($C_{17}H_{23}NO_3$; MW: 289.4): Tropane alkaloid; ester of tropine (tropanol) + tropic acid; Hyoscyamine = L-form (more potent); Atropine = DL-racemic form (less potent); tertiary amine (passes BBB); crystalline solid; Atropine sulfate: soluble in water – used medicinally

Chemical Classes: Tropane alkaloids; Esters of tropine (bicyclic aminoalcohol); Solanaceous alkaloids

Biosynthesis: Ornithine → Putrescine → N-methylputrescine → N-methyl-pyrrolinium → Tropinone → Tropine → Esterification with Tropic acid → Hyoscyamine → Racemisation → Atropine

Therapeutic Uses: (1) Atropine sulfate: Anticholinergic drug – used in ophthalmology (mydriasis, cycloplegia), pre-anaesthetic medication (drying secretions), antidote for organophosphate/carbamate poisoning; (2) Atropine IV/IM for bradycardia and AV block; (3) Antispasmodic (GIT, biliary, urinary colic); (4) Hyoscine (Scopolamine): Antiemetic for motion sickness, pre-operative sedation

Mechanism of Action: Competitive antagonist at muscarinic ACh receptors (M1–M5) → blocks parasympathetic effects → tachycardia, mydriasis, dry mouth, reduced GI motility, bronchodilation

Commercial Applications: Atropine sulfate eye drops (1%); Atropine injection (antidote); Belladonna plaster/liniment (rubefacient); Compound Belladonna Suppositories; Belladonna tincture; Hyoscine patches (motion sickness); Global pharmaceutical market for tropane alkaloids

Adulterants: Datura leaves (Datura stramonium – Jimsonweed): contain more scopolamine; identified by stomata type (Belladonna: anomocytic; Datura: anisocytic)

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OPIUM (Poppy)

OPIUM

Papaver somniferum Linn. | *Family: Papaveraceae*

Synonyms: Ahiphena, Afeem (Hindi), Meconium, Laudanum (tincture)

Biological Source: Air-dried latex (milky exudate) obtained by incision of unripe seed capsules of Papaver somniferum Linn.; IP standard: NLT 9.5% morphine

Geographical Distribution: Native to SE Europe and W. Asia; Cultivated in India (MP, Rajasthan, UP – under government license), Turkey, Afghanistan, Australia (Tasmania)

Chemical Composition: >40 alkaloids; total ~25% alkaloids; also contains meconic acid, sugars, resins, wax

Major Alkaloids: PHENANTHRENE GROUP (analgesic): (1) MORPHINE (10–16%) – most important; (2) Codeine (0.7–2.5%); (3) Thebaine (0.5–2%); (4) Oripavine; ISOQUINOLINE GROUP (non-analgesic/antispasmodic): (5) PAPAVERINE (0.5–1%); (6) Noscapine/Narcotine (2–9%); (7) Narceine; (8) Laudanosine

Chemistry of Morphine: Morphine ($C_{17}H_{19}NO_3$; MW: 285.3): Morphinan skeleton; pentacyclic ring system (5 fused rings: two benzene, one piperidine, one furan, one cyclohexane); L-form (−); contains phenolic OH (C3) + alcoholic OH (C6) + N-methyl group + oxide bridge (C4–C5). Codeine = 3-methyl ether of morphine; Heroin (diacetyl morphine) = synthetic derivative

Chemical Classes: Phenanthrene type morphinan alkaloids; Benzylisoquinoline alkaloids

Biosynthesis: Tyrosine → Dopamine + 4-HPAA → Norcoclaurine → Reticuline → Salutaridine → Thebaine → Codeine → Morphine (Cytochrome P450 enzymes: CYP80B1, CYP719B1; SalSyn, SalR, SalAT)

Therapeutic Uses: (1) MORPHINE: Severe pain (cancer, post-operative, MI, trauma), pulmonary oedema, pre-anaesthetic medication; (2) CODEINE: Antitussive (cough suppressant), mild analgesic; (3) PAPAVERINE: Antispasmodic (smooth muscle), erectile dysfunction (intracavernous injection); (4) NOSCAPINE: Antitussive; (5) THEBAINE: Semi-synthesis of Oxycodone, Naloxone, Buprenorphine, Naltrexone

Mechanism of Action: Morphine: Agonist at opioid receptors ($\mu > \kappa > \delta$) in CNS → decreased pain perception, euphoria, respiratory depression, decreased GI motility; Papaverine: Non-specific PDE inhibitor → smooth muscle relaxation

Commercial Applications: Morphine sulfate/hydrochloride injection, tablets, oral solutions; Codeine phosphate tablets/syrups; Papaverine injection; Opium tincture (Laudanum); Thebaine – bulk API for semi-synthesis of opioid drugs; India is a licensed producer of opium under INCB (International Narcotics Control Board)

Legal Status: Controlled substance under NDPS Act 1985 (Schedule I narcotic); cultivation only under Government of India license

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PHENYLPROPANOIDS & FLAVONOIDS

Phenylpropanoids are secondary metabolites derived from Phenylalanine via the Shikimic Acid pathway. Flavonoids are a subgroup formed by the condensation of phenylpropanoid-CoA with 3 units of malonyl-CoA.

LIGNANS (Podophyllum)

PODOPHYLLUM (Lignans)

Podophyllum emodi Wall. (Indian Podophyllum) / Podophyllum peltatum Linn. (American Podophyllum) | Family: Berberidaceae

Synonyms: Mayapple (P. peltatum), Himalayan Podophyllum (P. emodi), Wild Mandrake, Bankakri (Hindi)

Biological Source: Dried rhizome and roots of P. emodi (Indian – preferred, stronger) or P. peltatum (American); IP standard: NLT 5.0% podophyllotoxin (P. emodi)

Geographical Distribution: P. emodi: Himalayan region (India – HP, J&K, Uttarakhand, Nepal) at 1500–4000m altitude; P. peltatum: Eastern North America

Chemical Composition: Podophyllum resin (Podophyllin): 4–8% (P. emodi); 2–5% (P. peltatum)

Major Constituents: LIGNANS: (1) PODOPHYLLOTOXIN (major – ~40–50% of resin): Aryltetralin lignan; (2) 4'-Demethylpodophyllotoxin; (3) α-Peltatin; (4) β-Peltatin; (5) Podophyllotoxin-β-D-glucoside; Other: Quercetin (flavonol), Kaempferol

Chemistry of Podophyllotoxin: Podophyllotoxin ($C_{22}H_{22}O_8$; MW: 414.4): Cyclolignan type; Aryltetrahydronaphthalene skeleton (aryltetralin); 4 stereocentres; contains methylenedioxy and methoxy groups; lactone ring; Etoposide & Teniposide are semi-synthetic glycoside derivatives

Chemical Classes: Cyclolignan (aryltetralin lignan); phenylpropanoid dimer derived from two cinnamic acid units

Biosynthesis: Phenylalanine → Cinnamic acid → p-Coumaroyl-CoA → Caffeic acid/Ferulic acid → Coniferyl alcohol → Lignan (dimerization of two coniferyl alcohol units) → Podophyllotoxin

Therapeutic Uses: (1) Podophyllum resin (Podophyllin): Topical treatment of venereal warts (condylomata acuminata), papillomas; (2) Podophyllotoxin (Condylox): Topical antimitotic; (3) ETOPOSIDE (VP-16) – semi-synthetic: Testicular cancer, small cell lung cancer, lymphomas, acute leukaemia; (4) Teniposide (VM-26): Brain tumours, childhood leukaemia

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Mechanism of Action: Podophyllotoxin: Binds tubulin → inhibits microtubule assembly → metaphase arrest (like Vinca alkaloids); Etoposide: Inhibits Topoisomerase II → DNA strand breaks → apoptosis (different from podophyllotoxin)

Commercial Applications: Podophyllin paste/solution (10–25%) for warts; Condyline (0.5% podophyllotoxin); Etoposide capsules/injection; Teniposide injection; Major export from Himalayan regions; Important conservation concern (endangered species)

TEA (Camellia sinensis)

TEA

Camellia sinensis (L.) Kuntze | *Family: Theaceae*

Synonyms: Tea plant, Thea sinensis; Green Tea, Black Tea, Oolong Tea, White Tea (different processing)

Biological Source: Dried tender leaves and leaf buds of Camellia sinensis Kuntze; Family: Theaceae

Geographical Distribution: Native to SE Asia; cultivated in India (Assam, Darjeeling, Nilgiris), China, Sri Lanka, Kenya, Japan

Chemical Composition: Rich in polyphenols, alkaloids, and volatile compounds

Major Constituents: FLAVONOIDS/POLYPHENOLS (Catechins – 20–35% of dry weight): (1) EPIGALLOCATECHIN GALLATE (EGCG) – most potent; (2) Epicatechin (EC); (3) Epigallocatechin (EGC); (4) Epicatechin gallate (ECG); ALKALOIDS (2–4%): Caffeine (major), Theophylline, Theobromine; Tannins (condensed – procyanidins); L-Theanine (amino acid); Fluoride; Volatile oils (aroma)

Chemistry of Major Constituents: EGCG ($C_{22}H_{18}O_{11}$; MW: 458.4): Flavan-3-ol with gallate ester; catechol B-ring; gallate at C3 position; most abundant catechin in green tea; CAFFEINE ($C_8H_{10}N_4O_2$): Purine alkaloid (trimethylxanthine); formed from xanthosine via purine pathway

Chemical Classes: Flavan-3-ols (catechins) – subclass of flavonoids; Condensed tannins (proanthocyanidins); Purine alkaloids

Biosynthesis: Catechins: Phenylalanine → Cinnamic acid → p-Coumaroyl-CoA + 3 Malonyl-CoA → Naringenin → Dihydrokaempferol → Catechin; EGCG: Catechin + Galloylation

Therapeutic Uses: (1) Antioxidant (free radical scavenging – EGCG); (2) Anticancer (EGCG – apoptosis, anti-angiogenesis); (3) Cardiovascular protection (reduce LDL oxidation, antiplatelet); (4) Anti-obesity (caffeine + EGCG → thermogenesis, fat oxidation); (5) Anti-diabetic (α-glucosidase inhibition); (6) Antimicrobial; (7) Neuroprotective (possibly reduces Alzheimer's risk); (8) Caffeine: CNS stimulant, diuretic, bronchodilator (theophylline)

Commercial Applications: Green tea extract standardized to EGCG – dietary supplements; Green tea catechins in cosmetics (anti-ageing); Theophylline (pharmaceutical) for asthma (bronchodilator); Caffeine – beverages, analgesic combinations, weight-loss supplements;

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Japan – matcha (powdered green tea); Polyphenon E (sinecatechins – topical green tea extract) approved for venereal warts

Important Notes: Black tea: Fermented → catechins oxidized to theaflavins (orange-red) and thearubigins (brown); Green tea: Unfermented → catechins preserved; Oolong: Partially fermented; White tea: Least processed

RUTA (Rue)

RUTA

Ruta graveolens Linn. | *Family: Rutaceae*

Synonyms: Common Rue, Herb of Grace, Garden Rue, Sadab (Hindi)

Biological Source: Dried leaves and flowering tops of Ruta graveolens Linn.; Family: Rutaceae; Part used: Leaves, whole herb

Geographical Distribution: Mediterranean region, S. Europe; Cultivated in India (Himalayas, gardens throughout India)

Chemical Composition: Rich in flavonoids, coumarins, alkaloids, and volatile oils

Major Constituents: FLAVONOIDS: (1) RUTIN (Quercetin-3-O-rutinoside) – major flavonoid; (2) Quercetin; (3) Kaempferol; (4) Isoquercitrin; COUMARINS: Bergapten (5-methoxypsoralen), Psoralen, Xanthotoxin, Rutamarin, Chalepin, Graveolinine; ALKALOIDS: Arborinine (quinoline), Graveoline, Skimmianine (acridone alkaloid); VOLATILE OIL: 2-Undecanone (major), Nonanone

Chemistry of Rutin: Rutin ($C_{27}H_{30}O_{16}$; MW: 610.5): Flavonol glycoside; Quercetin (flavonol aglycone) + Rutinose (6-O-α-L-rhamnosyl-β-D-glucoside) at C3 position; yellow crystalline powder; good antioxidant; found widely in plants but richest in Ruta, Buckwheat

Chemical Classes: Flavonol glycosides (Rutin); Furanocoumarins (Bergapten, Psoralen); Acridone alkaloids; Quinoline alkaloids

Biosynthesis: Rutin: Phenylalanine → Cinnamic acid → Chalcone → Flavanone → Flavonol (Quercetin) → Rutin (glycosylation at C3); Coumarins: Cinnamic acid → ortho-hydroxylation → lactonisation

Therapeutic Uses: (1) Rutin: Flavonoid supplement – reduces capillary fragility, anti-oedema, antioxidant; used with Vitamin C in capillary bleeding, haemorrhoids, varicose veins; (2) Quercetin: Antioxidant, anti-inflammatory, antiallergic, anticancer; (3) Bergapten/Psoralen: PUVA therapy for vitiligo, psoriasis (photosensitizers + UV-A); (4) Ruta herb: Antispasmodic (uterine – emmenagogue), antibacterial, antifungal; (5) Homeopathic use: Ruta graveolens for eye strain, sprains

Commercial Applications: Rutin as pharmaceutical supplement (capillary protective agent); Rutoside derivatives (Hydroxyethylrutoside – Venoruton) for chronic venous insufficiency; Bergapten in sunscreens/tanning preparations; Psoralen – PUVA therapy; Rue essential oil in perfumery and as insect repellent

Caution: Ruta can cause photosensitization (furanocoumarins); Abortifacient at high doses – contraindicated in pregnancy

STEROIDS, CARDIAC GLYCOSIDES & TRITERPENOIDS

LIQUORICE (Licorice)

LIQUORICE

Glycyrrhiza glabra Linn. / G. uralensis / G. inflata | *Family: Leguminosae (Fabaceae)*

Synonyms: Licorice, Mulethi (Hindi), Yashtimadhu (Sanskrit), Sweet Wood, Spanish Licorice

Biological Source: Dried unpeeled or peeled rhizome and roots of Glycyrrhiza glabra Linn. and its varieties; IP standard: NLT 4.0% glycyrrhizinic acid

Geographical Distribution: Mediterranean (Spain – best quality 'Spanish Licorice'), S. Russia, Middle East, China (G. uralensis – 'Chinese Licorice'), N. India (NW regions)

Chemical Composition: Triterpenoid saponins, flavonoids, coumarins, polysaccharides, volatile compounds

Major Constituents: TRITERPENOID SAPONINS (glycyrrhizin): GLYCYRRHIZIN/GLYCYRRHIZINIC ACID (5–15%): Major; triterpene saponin; 50× sweeter than sucrose; GLYCYRRHETINIC ACID: Aglycone of glycyrrhizin; FLAVONOIDS: Liquiritin, Liquiritigenin, Isoliquiritin, Formononetin, Glabridin (isoflavone); COUMARINS: Herniarin, Umbelliferone; POLYSACCHARIDES: Glycyrrhizans (immunostimulant)

Chemistry of Glycyrrhizin: Glycyrrhizin ($C_{42}H_{62}O_{16}$; MW: 822.9): Oleanane-type pentacyclic triterpenoid; 18β-Glycyrrhetinic acid (aglycone, $C_{30}H_{46}O_4$) + 2 molecules of Glucuronic acid (sugar chain); Glycyrrhetinic acid has C11-keto group and β-configuration at C18

Chemical Classes: Pentacyclic oleanane-type triterpenoid saponin; Isoflavonoids (Glabridin); Phenylpropanoids

Biosynthesis: MVA pathway → Squalene → β-Amyrin → Oleanolic acid → Glycyrrhetinic acid → Glycyrrhizin (glucuronidation by CYP450 enzymes and UGTs)

Therapeutic Uses: (1) Expectorant (demulcent) – cough, bronchitis, sore throat; (2) Anti-ulcer (heals peptic ulcers) – Carbenoxolone (synthetic derivative of glycyrrhetinic acid) was used for peptic ulcers; (3) Anti-inflammatory (glycyrrhetinic acid inhibits 11β-HSD → cortisol potentiation); (4) Antiviral (anti-HIV, anti-hepatitis); (5) Flavouring agent in

pharmacy (masks bitter tastes – laxatives, quinine); (6) Glabridin: Skin brightening (inhibits melanogenesis); (7) Adaptogen; (8) Addison's disease (historical)

Commercial Applications: Liquorice extract paste; DGL (Deglycyrrhizinated Liquorice) tablets for peptic ulcers; Carbenoxolone sodium; Compound Liquorice Powder (laxative formulation); Confectionery (black liquorice candy); Tobacco flavouring; Cosmetics (skin-whitening); Glycyrrhizin in Japanese pharmaceutical market (Stronger Neo-Minophagen C – anti-hepatitis)

Side Effects: Excess intake → Pseudohyperaldosteronism (sodium retention, potassium loss, hypertension, oedema) due to glycyrrhetinic acid inhibiting 11β-HSD2

DIOSCOREA (Yam)

DIOSCOREA

Dioscorea deltoidea Wall. / D. floribunda M.Martens & Galeotti / D. composita | *Family: Dioscoreaceae*

Synonyms: Wild Yam, Mexican Yam, Rheumatism Root; Ratalu/Jimikand (Hindi); Shatatubari (Sanskrit)

Biological Source: Dried rhizomes/tubers of Dioscorea deltoidea, D. floribunda, D. composita, D. villosa; yields Diosgenin after hydrolysis

Geographical Distribution: D. deltoidea: India (Himalayan foothills, J&K, HP, UP); D. floribunda and D. composita: Mexico (major source); D. villosa: Eastern North America

Chemical Composition: Steroidal saponins (yield diosgenin on hydrolysis); mucilage; starch

Major Constituents: STEROIDAL SAPONINS: (1) DIOSCIN: Major saponin; (2) Gracillin; (3) Trillin; On acid hydrolysis: DIOSGENIN (steroidal sapogenin) + Sugars (rhamnose + glucose); Minor: Yamogenin (epimer of diosgenin); Yam starch

Chemistry of Diosgenin: Diosgenin ($C_{27}H_{42}O_3$; MW: 414.6): Spirostane-type steroidal sapogenin; Contains spiro ring system (F-ring spiroketal); cholestane skeleton; double bond at Δ5; 3β-OH group; closely resembles cholesterol structure; can be semi-synthesized to progesterone (Marker degradation), cortisone, and other steroidal hormones

Chemical Classes: Spirostane-type steroidal saponin/sapogenin; Triterpenoid steroids

Biosynthesis: MVA pathway → Squalene → Cycloartenol → Phytosterol intermediate → Furostanol saponin → Spirostanol saponin (Dioscin) → Hydrolysis → Diosgenin

Therapeutic Uses: (1) SOURCE OF DIOSGENIN: Most important commercial use – starting material for semi-synthesis of steroidal drugs via Marker degradation (Russell Marker, 1940s); (2) Products from Diosgenin: Progesterone, Pregnenolone, Cortisone, Testosterone, Oestrogens, Oral contraceptives (Norethindrone, Norgestrel), Spironolactone; (3) Wild Yam extract (D. villosa): Antispasmodic, anti-inflammatory (historical, Ayurvedic)

Commercial Applications: DIOSGENIN is the single most important starting material for steroid hormone industry (replacing animal-derived starting materials); Semi-synthesis of contraceptives (oral contraceptives) – revolutionized family planning; India (D. deltoidea –

Himalayan yam) and Mexico are major producers; India has Wild Yam Conservation concerns (over-exploitation)

Marker Degradation: Russell Marker's process converts Diosgenin → Progesterone in 5 steps; This discovery (1940s) made cheap mass production of cortisone and sex hormones possible and is one of the most important events in pharmaceutical history

DIGITALIS (Foxglove) – Cardiac Glycosides

DIGITALIS

Digitalis purpurea Linn. (Digitalis leaf) / Digitalis lanata Ehrh. (Woolly Foxglove) | Family: Scrophulariaceae (Plantaginaceae)

Synonyms: Foxglove, Purple Foxglove, Lady's Glove, Dead Man's Bells; D. lanata: Grecian Foxglove, Austrian Foxglove

Biological Source: (1) Digitalis leaf (IP): Dried leaves of D. purpurea; NLT 0.30% of total cardioactive glycosides; (2) D. lanata leaf: Dried leaves of D. lanata; NLT 1% cardiac glycosides; (3) Main commercial source of Digoxin: D. lanata

Geographical Distribution: D. purpurea: W. Europe (UK, Germany, Spain); D. lanata: Central and SE Europe (Austria, Hungary); Cultivated in India (Nilgiris, Himalayas) and Germany

Chemical Composition: Cardenolide-type cardiac glycosides; saponins; digitanols

Major Constituents: D. PURPUREA: Primary glycosides: Purpurea glycoside A (→ Digitoxin) and B (→ Gitoxin); Secondary glycosides: Digitoxin, Gitoxin, Gitalin; D. LANATA: Primary: Lanatosides A, B, C, D, E; Secondary (after hydrolysis): DIGOXIN (from Lanatoside C – major), Digitoxin (from Lanatoside A); GITOXIN; Deslanoside (Deacetyl lanatoside C)

Chemistry of Digoxin & Digitoxin: DIGOXIN ($C_{41}H_{64}O_{14}$; MW: 780.9): Aglycone (genin) = Digoxigenin ($C_{23}H_{34}O_5$) + 3 molecules of Digitoxose (2,6-dideoxy sugar); Digoxigenin: Cardenolide (5-membered α,β-unsaturated lactone at C17), C14-OH, 3β-OH; DIGITOXIN: Digitoxigenin + 3 Digitoxose; lacks 12-OH of digoxin → more lipophilic, longer t½

Chemical Classes: Cardenolide cardiac glycosides (C23 steroids + α-butenolide at C17 + 2,6-dideoxy sugars); Distinguished from Bufadienolides (6-membered lactone ring in toads)

Biosynthesis: MVA pathway → Progesterone (pregnane intermediate) → Cardenolide skeleton → Aglycone → Glycosylation with digitoxose sugars

Therapeutic Uses: (1) DIGOXIN: Congestive Heart Failure (CHF) – positive inotrope (increases cardiac output); Atrial fibrillation/flutter – reduces ventricular rate (AV node blockade); (2) DIGITOXIN: CHF, AF (less commonly used now; longer t½ = higher toxicity risk); (3) DESLANOSIDE: Rapid digitalization (IV)

Mechanism of Action: Inhibition of Na^+/K^+-ATPase (Na pump) → intracellular Na^+ ↑ → Na^+/Ca^{2+} exchanger activated → intracellular Ca^{2+} ↑ → increased force of cardiac contraction (positive inotrope); AV node conduction slowed (vagal effect)

Commercial Applications: Digoxin tablets (0.125, 0.25 mg); Digoxin injection; Digitoxin tablets; Deslanoside injection; Digoxin immune Fab (DigiFab – antidote for digoxin toxicity); Large-scale extraction from D. lanata in Europe (Germany, Hungary); Cardiac glycosides remain important despite narrow therapeutic index

Toxicity & TDM: Narrow therapeutic index (therapeutic: 0.8–2 ng/mL plasma); Toxicity: N&V, visual disturbances (yellow-green halos), arrhythmias; Therapeutic Drug Monitoring essential

VOLATILE OILS (ESSENTIAL OILS)

VOLATILE OILS

Volatile oils (essential oils) are complex mixtures of volatile compounds (mono- and sesquiterpenes, phenylpropanoids) obtained from plant parts by steam distillation. They evaporate at room temperature and are responsible for the characteristic odour of plants.

Characteristic	Details
Nature	Complex mixtures; volatile (evaporate freely); aromatic odours
Chemical Components	Terpene hydrocarbons (mono & sesqui), oxygenated terpenes (alcohols, aldehydes, ketones, esters, ethers, oxides), phenylpropanoids
Extraction Methods	Steam distillation (most common); Cold expression/pressing (citrus); Solvent extraction (absolutes); CO_2 supercritical extraction; Enfluerage (delicate flowers)
Quality Parameters	Refractive index, optical rotation, specific gravity, solubility in alcohol, chemical tests for specific components
Adulteration	Dilution with fixed oils, cheaper essential oils, or synthetic compounds – detected by physical constants, GC-MS

MENTHA (Peppermint / Spearmint)

MENTHA (Peppermint / Spearmint)

Mentha piperita Linn. (Peppermint) / M. spicata Linn. (Spearmint) / M. arvensis Linn. (Indian Mint) | Lamiaceae (Labiatae)

Synonyms: Peppermint (M. piperita), Spearmint (M. spicata), Pudina/Japanese Mint (M. arvensis)

Biological Source: (1) Peppermint oil: Steam distillation of fresh aerial parts of M. piperita; (2) Spearmint oil: M. spicata; (3) Mentha oil: M. arvensis (major Indian source – richest in menthol)

Distribution: India: Uttar Pradesh (Barabanki, Lucknow) – world's largest producer of mentha oil and menthol; also USA, China, Brazil

Chemical Composition: Peppermint oil (IP): NLT 44% menthol; NLT 15% menthone; NMT 9% pulegone

Major Constituents: PEPPERMINT: Menthol (44–55%), Menthone (15–30%), Menthyl acetate (5–15%), Menthofuran, Neomenthol; SPEARMINT: Carvone (50–70%), Limonene; MENTHA (M. arvensis): Menthol (70–85%) – richest source

Chemistry: MENTHOL ($C_{10}H_{20}O$; MW: 156): Acyclic monoterpenol (cyclohexane ring); 3 chiral centres → 8 possible isomers; L-Menthol (−)-menthol: Natural, cooling sensation; monocyclic monoterpene alcohol; crystallises from mentha oil on cooling; BP: 212°C

Chemical Class: Oxygenated monoterpenes (alcohols, ketones); Monoterpene hydrocarbons

Biosynthesis: MEP pathway → GPP → Limonene → Pulegone → Menthone → Menthol

Therapeutic Uses: (1) Carminative & antispasmodic (GI); (2) Counterirritant (external – for muscular pain, neuralgia – Amrutanjan, Tiger Balm); (3) Antiseptic (mouthwashes, toothpastes); (4) Antipruritic (cooling sensation on skin); (5) Nasal decongestant (inhalation); (6) Peppermint oil capsules for IBS; (7) Menthol in cigarettes (flavouring)

Commercial Applications: Menthol crystals (world trade – India dominant supplier); Toothpaste (Colgate, Pepsodent); Mouthwashes; Confectionery; Cigarettes; Analgesic balms; Cooling cosmetics; Menthol cough drops; Pharmaceuticals (antacids, digestive preparations)

CLOVE

CLOVE

Syzygium aromaticum (Linn.) Merr. & L.M.Perry | *Myrtaceae*

Synonyms: Laung (Hindi), Clou de Girofle (French), Nagkesar, Eugenia caryophyllus

Biological Source: Dried flower buds (cloves) and leaves of Syzygium aromaticum; Clove oil: Steam distillation of buds (Bud oil) or leaves (Leaf oil) or stems (Stem oil); IP: Bud oil NLT 85% eugenol

Distribution: Native to Maluku Islands (Spice Islands, Indonesia); major producers: Indonesia, Madagascar, Zanzibar (Tanzania), India (Kerala)

Chemical Composition: Clove bud oil: 80–95% phenylpropanoids

Major Constituents: (1) EUGENOL (80–95% in bud oil, 82–88% in leaf oil): Major; (2) Eugenol acetate (Acetyl eugenol) – 5–15% in bud oil; (3) β-Caryophyllene (sesquiterpene); (4) Methyl eugenol; (5) Isoeugenol; (6) Vanillin (trace); Fixed oil (clove fat); Oleanolic acid

Chemistry: EUGENOL ($C_{10}H_{12}O_2$; MW: 164.2): Phenylpropanoid; 4-allyl-2-methoxyphenol; contains allyl group ($–CH_2–CH=CH_2$) and methoxy group on benzene ring; aromatic compound; pale yellow oily liquid; converts to Isoeugenol (double bond isomerizes); used to make Vanillin synthetically

Chemical Class: Phenylpropanoids (allylbenzene type); Sesquiterpenes (β-Caryophyllene)

Biosynthesis: Shikimic acid pathway → Phenylalanine → Cinnamic acid → p-Coumaroyl-CoA → Eugenol (via monolignol pathway)

Therapeutic Uses: (1) LOCAL ANAESTHETIC (dental): Eugenol for toothache (zinc oxide eugenol cement); (2) Antiseptic/Antimicrobial (phenol coefficient ~5); (3) Carminative; (4) Counterirritant; (5) Antifungal; (6) Antiparasitic; (7) β-Caryophyllene: Antitumor, anti-inflammatory

Commercial Applications: Zinc Oxide Eugenol (ZOE) – dental filling/cement; Clove oil – toothache drops; Clove oil in perfumery (carnation-type); Vanillin synthesis from eugenol; Isoeugenol for perfumes (Carnation); Food flavouring (curry powder, pickles, sauces); Clove cigarettes (Kretek) in Indonesia

CINNAMON

CINNAMON

Cinnamomum zeylanicum Blume (True Cinnamon) / C. cassia Blume (Chinese Cinnamon) | Lauraceae

Synonyms: Dalchini (Hindi), Ceylon Cinnamon (C. zeylanicum), Cassia bark (C. cassia)

Biological Source: (1) Cinnamon bark (IP): Dried inner bark of shoots of C. zeylanicum; (2) Cinnamon Bark Oil: Steam distillation of bark; (3) Cinnamon Leaf Oil: From leaves; IP standard: NLT 65% cinnamaldehyde (bark oil)

Distribution: C. zeylanicum: Sri Lanka (true cinnamon), India (Kerala – best quality); C. cassia: China, Vietnam; Also cultivated in India, Madagascar, Seychelles

Chemical Composition: Bark oil: Mainly phenylpropanoids; Leaf oil: Mainly phenols

Major Constituents: BARK OIL: (1) CINNAMALDEHYDE (trans-Cinnamaldehyde) – 60–80%: Major; (2) Eugenol – 5–10%; (3) β-Caryophyllene; (4) Cinnamyl acetate; LEAF OIL: Eugenol (70–90%) – major; Cinnamaldehyde – minor; ROOT BARK OIL: Camphor – major

Chemistry: CINNAMALDEHYDE (C_9H_8O; MW: 132.2): Phenylpropanoid aldehyde; trans-3-Phenylpropenal; conjugated aromatic aldehyde (α,β-unsaturated aldehyde); characteristic warm, spicy aroma; responsible for antifungal/antibacterial properties

Chemical Class: Phenylpropanoids (allylbenzene/propenylbenzene derivatives); Aromatic aldehydes

Biosynthesis: Shikimic pathway → Phenylalanine → Cinnamic acid → cinnamoyl-CoA → Cinnamaldehyde (reduction)

Therapeutic Uses: (1) Carminative and stomachic; (2) Antidiabetic (improves insulin sensitivity; inhibits α-glucosidase); (3) Antimicrobial/Antifungal (cinnamaldehyde – disrupts cell membrane); (4) Antioxidant; (5) Flavouring in pharmaceutical preparations; (6) Antidiarrhoeal; (7) Cinnamaldehyde: potential anticancer activity

Commercial Applications: Food flavouring (bakery, confectionery, beverages – cola); Dental products (mouthwashes, toothpastes); Fragrance and perfumery; Cinnamon supplements for blood sugar control (Type 2 diabetes); Antimicrobial food preservative; Cinnamon leaf oil in Eugenol production

FENNEL

Foeniculum vulgare Mill. | *Apiaceae (Umbelliferae)*

Synonyms: Saunf (Hindi), Sweet Fennel, Florence Fennel, Bitter Fennel

Biological Source: Dried ripe fruits (mericarps) of Foeniculum vulgare Mill.; IP standard: NLT 1.4% v/w volatile oil; Oil NLT 60% anethole

Distribution: Mediterranean origin; major producers: India (Rajasthan, Gujarat, UP, Andhra Pradesh), China, Egypt, Russia, Bulgaria; India is largest exporter

Chemical Composition: Volatile oil (2–6%), fixed oil, proteins, flavonoids

Major Constituents: VOLATILE OIL: (1) Trans-ANETHOLE (50–75%): Major; (2) Fenchone (8–22%): Bitter; (3) Methyl chavicol (Estragole); (4) α-Pinene; (5) Limonene; SWEET FENNEL (var. dulce): High anethole (>80%), low fenchone; BITTER FENNEL (var. amara): Lower anethole, high fenchone

Chemistry: Trans-ANETHOLE ($C_{10}H_{12}O$; MW: 148.2): Phenylpropanoid (propenylbenzene); 1-methoxy-4-(1-propenyl)benzene; Trans-isomer (E-isomer) is sweet; Cis-isomer (Z-anethole/anisole) is toxic; Anethole is responsible for the characteristic sweet anise-like odour

Chemical Class: Phenylpropanoids (propenylbenzene); Monoterpenes (Fenchone, Pinene, Limonene)

Biosynthesis: Shikimic pathway → Phenylalanine → p-Coumaroyl-CoA → Chavicol → Anethole (O-methylation + double bond isomerisation)

Therapeutic Uses: (1) Carminative (relieves flatulence – most important traditional use); (2) Antispasmodic (GI smooth muscle); (3) Galactagogue (promotes milk secretion – anethol acts as phytoestrogen); (4) Diuretic; (5) Expectorant (mucolytic); (6) Antifungal; (7) Anise-like flavouring in pharmaceutical preparations (masks bitter taste); (8) Used in gripe water for infantile colic

Commercial Applications: Gripe water (colic in infants); Pharmaceutical flavouring agent; Food flavouring (Indian cooking, breads, sauces); Sambuca, Pernod, Ouzo (anise-flavoured spirits); Toothpastes, mouthwashes; Anethole in chemical synthesis of anisaldehyde, anisic acid; Fennel seed market – India major supplier

CORIANDER

Noteskarts

CORIANDER

Coriandrum sativum Linn. | *Apiaceae (Umbelliferae)*

Synonyms: Dhania (Hindi), Cilantro (leaf), Chinese Parsley

Biological Source: Dried ripe fruits of Coriandrum sativum Linn.; IP standard: NLT 0.3% v/w volatile oil; Oil: NLT 65% linalool

Distribution: Native to Mediterranean and Middle East; major producers: India (Rajasthan, MP, Gujarat – largest), Russia, Morocco, Canada

Chemical Composition: Volatile oil (0.3–1%), fixed oil, coumarins, flavonoids, proteins

Major Constituents: VOLATILE OIL: (1) LINALOOL (60–70%): Major monoterpene alcohol; (2) α-Pinene; (3) γ-Terpinene; (4) p-Cymene; (5) Camphor; (6) Geraniol; (7) Linalyl acetate; FIXED OIL (20%): Petroselinic acid (major fatty acid)

Chemistry: LINALOOL ($C_{10}H_{18}O$; MW: 154.3): Acyclic monoterpenol; 3,7-dimethylocta-1,6-dien-3-ol; contains one OH group and two double bonds; exists as (+)-Linalool (coriander) and (−)-Linalool (lavender); pleasant, fresh, floral odour

Chemical Class: Oxygenated acyclic monoterpenes (terpenol – linalool); Monoterpene hydrocarbons

Biosynthesis: MEP pathway → GPP → Linalool (via linalool synthase, direct cyclisation)

Therapeutic Uses: (1) Carminative and stomachic; (2) Antispasmodic; (3) Appetite stimulant; (4) Antimicrobial (linalool – antibacterial, antifungal); (5) Anxiolytic (linalool has sedative properties); (6) Pharmaceutical flavouring and corrective; (7) Coriander leaf: Rich in Vitamin C, K, antioxidants; (8) Antidiabetic (lowers blood glucose in animal studies)

Commercial Applications: Major spice worldwide; Food flavouring (curries, sauces, bakery, sausages); Pharmaceutical flavouring (coriander oil in medicinal preparations); Perfumery (linalool – widely used in soaps, cosmetics); Gin flavouring (along with juniper); Linalool for synthesis of Vitamin E acetate; India is world's largest producer and exporter of coriander seed

Noteskarts

TANNINS

Tannins are complex polyphenolic compounds that precipitate proteins, alkaloids, and heavy metals. They are widely distributed in plants and are responsible for the astringent taste. They are broadly classified into Hydrolysable Tannins (galloTannins and ellagiTannins) and Condensed Tannins (proanthocyanidins).

CATECHU (Katha / Black Catechu)

Acacia catechu (Linn.) Willd. | *Family: Leguminosae (Mimosaceae)*

Synonyms: Katha (Hindi), Cutch, Wadalee Gum, Black Catechu; Japanese Catechu (Gambier) – from Uncaria gambir

Biological Source: Dry aqueous extract prepared from heartwood of Acacia catechu Willd.; Family: Fabaceae/Leguminosae; IP specification: NLT 45% catechol tannins

Distribution: India (UP, Bihar, Rajasthan, MP, Maharashtra), Myanmar, Thailand; India is major producer

Chemical Composition: Condensed tannins (catechol tannins – proanthocyanidins); phenolics; alkaloids (minute)

Major Constituents: (1) CATECHIN (l-Catechin, d-Catechin) – 25–35%: Free flavanols (flavan-3-ols); (2) EPICATECHIN; (3) CATECHUTANNIC ACID (condensed tannin polymer) – 20–50%; (4) Quebracho tannin; (5) Phlobatannins; (6) Phlorizin; (7) Acatechin; (8) Catechu red (degradation product)

Chemistry: CATECHIN ($C_{15}H_{14}O_6$; MW: 290.3): Flavan-3-ol; 3,4-dihydro-2H-chromene skeleton; A-ring (resorcinol type) + B-ring (catechol type); Condensed Tannins = polymerized catechin units via C4→C8 or C4→C6 linkages; Catechin precipitates proteins via hydrogen bonding and hydrophobic interactions

Chemical Class: Condensed Tannins (Proanthocyanidins/Catechol tannins); Flavan-3-ols

Biosynthesis: Flavonoid pathway: p-Coumaroyl-CoA + 3 Malonyl-CoA → Naringenin → Leucocyanidin → Catechin → Epicatechin → Polymerization to Condensed Tannins

Therapeutic Uses: (1) ASTRINGENT: Major use – for diarrhoea, dysentery (precipitates intestinal proteins); (2) For sore throat, mouth ulcers (gargles/lozenges); (3) Haemostatic; (4) Antifungal; (5) Antioxidant (catechins – DPPH radical scavenging); (6) Anticancer (catechin/epicatechin); (7) Cardioprotective

Commercial Applications: Cutch (tanning leather – gives 'vegetable tanned' leather); Katha preparation (paan/betel leaf mixture – traditional Indian use); Catechu lozenges (throat); Catechu compound paint (oral antiseptic); Textile dyeing (gives khaki/brown colour); Pharmaceutical astringent preparations

PTEROCARPUS (Red Sandalwood / Kino)

Pterocarpus marsupium Roxb. / P. santalinus Linn.f. | *Family: Leguminosae (Fabaceae)*

Synonyms: P. marsupium: Malabar Kino, Indian Kino, Vijaysar (Hindi), Bibla; P. santalinus: Red Sandalwood, Rakta Chandan

Biological Source: (1) Indian Kino (Malabar Kino/Kino gum): Dried juice (gum-resin) from incisions of P. marsupium; (2) Red Sandalwood: Heartwood of P. santalinus; Part used: Heartwood (red sandalwood) / Gum-resin (kino)

Distribution: P. marsupium: India (Western Ghats – Kerala, Tamil Nadu, Karnataka), Sri Lanka; P. santalinus: India (Eastern Ghats – Andhra Pradesh, Srikakulam)

Chemical Composition: Condensed tannins; stilbenes; pterostilbene; flavonoids; pterosupin (chalcone)

Major Constituents: KINO (P. marsupium): (1) Kinotannic acid (condensed tannin – 70–80%); (2) Pyrocatechin; (3) PTEROSTILBENE (methoxylated stilbene – antidiabetic); (4) Pterosupin (chalcone glycoside); (5) Kinoin (polymer); RED SANDALWOOD (P. santalinus): (1) Santalin (red pigment – diterpenoid); (2) Pterocarpin (pterocarpan isoflavonoid); (3) Homopterocarpin; (4) Pterostilbene

Chemistry: KINOTANNIC ACID: Condensed tannin (catechol type); formed by polymerisation of catechol units; precipitates proteins; hydrolysed by hot acid to pyrocatechol + phlobaphene; PTEROSTILBENE ($C_{16}H_{16}O_3$): Trans-3,5-dimethoxy-4'-hydroxystilbene; resveratrol analogue with enhanced bioavailability

Chemical Class: Condensed tannins (Kino); Stilbenoids (Pterostilbene); Pterocarpans (Pterocarpin); Chalcones

Therapeutic Uses: (1) P. marsupium KINO: Astringent (diarrhoea, dysentery); Antidiabetic (Pterostilbene – PPAR-γ agonist, reduces blood sugar; Vijaysar traditionally for diabetes); Anti-inflammatory; (2) RED SANDALWOOD: Astringent; Tonic; Cosmetics (red pigment); Antidiabetic; Antipyretic; (3) Pterostilbene: Antidiabetic, Antioxidant, Anticancer, Cholesterol-lowering

Commercial Applications: Vijaysar tumblers/cups (water stored overnight – aqueous extract for diabetes control); Kino bark extract in Ayurvedic formulations (Chandraprabha vati); Red sandalwood in cosmetics and dyes (Santalin – red colour); Pterostilbene dietary supplements (advanced resveratrol); Tanning industry (kino); Pharmaceutical astringent preparations

RESINS

RESINS

Resins are complex amorphous solid or semi-solid exudates from plants. They are insoluble in water, soluble in alcohol and organic solvents. Resins are usually mixtures of resin acids, resin alcohols, esters, phenolic compounds, and volatile oils (in oleo-resins) or gums (in gum-resins).

Type of Resin	Definition & Examples
True Resins	Mixtures of resin acids, resins, and resinotannols; e.g., Colophony, Dammar
Oleo-Resins	Resin + volatile oil; e.g., Ginger, Capsicum, Copaiba
Gum-Resins	Resin + gum; e.g., Asafoetida, Myrrh, Guggul, Frankincense
Balsams	Resin + benzoic/cinnamic acid; e.g., Benzoin, Tolu balsam, Styrax
Oleogum-Resins	Resin + gum + volatile oil; e.g., Guggul, Myrrh, Asafoetida (some classify here)

BENZOIN (Gum Benzoin / Benjamin)

BENZOIN (Gum Benzoin / Benjamin)

Styrax benzoin Dryander / Styrax paralleloneurum Perkins | *Styracaceae*

Synonyms: Gum Benjamin, Siam Benzoin (S. tonkinense), Sumatra Benzoin (S. benzoin); Luban (Arabic)

Biological Source: Balsamic resin (balsam) obtained from incisions in the bark of Styrax benzoin and S. paralleloneurum; SIAM BENZOIN: Styrax tonkinense Pierre (Thailand, Laos); IP: Siam Benzoin – NLT 25% total balsamic acids

Distribution: Siam Benzoin: Thailand, Laos, Vietnam; Sumatra Benzoin: Indonesia (Sumatra)

Chemical Composition: SIAM BENZOIN: Benzoic acid and esters (80%); SUMATRA BENZOIN: Cinnamic acid/esters predominate

Major Constituents: SIAM BENZOIN: (1) Free BENZOIC ACID (18–25%); (2) Coniferyl benzoate (60–70%); (3) Sumaresinolic acid; SUMATRA BENZOIN: (1) CINNAMIC ACID and esters; (2) Siaresinolic acid; (3) Benzoic acid (smaller amounts); Both: Vanillin (aroma), Benzaldehyde, Styrene

Chemistry: Benzoic acid ($C_7H_6O_2$): Aromatic monocarboxylic acid; Cinnamic acid ($C_9H_8O_2$): Phenylpropanoid (trans); Both function as antimicrobials; Benzoin resin is a balsam (contains free aromatic acids)

Chemical Class: Balsam (phenylpropanoid origin); Contains benzoic acid/esters and cinnamic acid/esters

Therapeutic Uses: (1) Antiseptic/Antimicrobial (benzoin tincture – wound dressing); (2) Inhalant for bronchitis, laryngitis (steam inhalation – Compound Benzoin Tincture / Friars' Balsam); (3) Expectorant; (4) Protective skin dressing (compound tincture of benzoin/Friars' Balsam); (5) Stoma care; (6) Pharyngitis

Commercial Applications: Compound Benzoin Tincture (Friars' Balsam – IP): Most important preparation; Tincture of Benzoin (simple); Perfumery (fixative in soaps, cosmetics – vanilla-like aroma); Food flavouring (vanillin source); Preservative in cosmetics; Incense and Resin (religious use)

GUGGUL (Indian Bdellium)

GUGGUL (Indian Bdellium)

Commiphora wightii (Arn.) Bhandari (Syn: Commiphora mukul) | *Burseraceae*

Synonyms: Guggul, Guggulu (Sanskrit/Hindi), Indian Bdellium, Guggal; Googal resin

Biological Source: Oleo-gum-resin obtained by incision from the bark of Commiphora wightii (Arn.) Bhandari; Family: Burseraceae; Part: Oleo-gum-resin exudate

Distribution: India (Rajasthan – Barmer, Jaisalmer, Jodhpur; Gujarat; MP; Haryana); Also Pakistan, Afghanistan; Plant is now endangered (Schedule 2, Wildlife Protection Act)

Chemical Composition: Complex oleo-gum-resin: gum (~30%), resin (~40%), volatile oil (~5%), steroids

Major Constituents: STEROIDS/TERPENOIDS: (1) E-GUGGULSTERONE and Z-GUGGULSTERONE (C_{21} steroids, plant steroids) – most active; (2) Guggulsterols (I, II, III); (3) Triterpenoids: α and β-Guggulipid; (4) Diterpenoids; GUM: Arabinogalactan, proteoglycans; VOLATILE OIL: Myrcene, d-limonene, eugenol, trans-ocimene

Chemistry: GUGGULSTERONE (Z-guggulsterone, $C_{21}H_{28}O_2$; MW: 312): Pregnane-type (C21) steroid; contains α,β-unsaturated ketone system; two forms – E (trans) and Z (cis); Z-form biologically more active; antagonist at farnesoid X receptor (FXR) and bile acid receptor

Chemical Class: Steroidal ketones (pregnane-type); Diterpene acids; Triterpenoids

Therapeutic Uses: (1) HYPOLIPIDAEMIC: Lowers total cholesterol, LDL, triglycerides; raises HDL (guggulipid – standardised extract, used in India for dyslipidaemia); (2) Anti-inflammatory (inhibits NF-κB); (3) Antiobesity; (4) Antiplatelet; (5) Antiacne (topical); (6) Ayurvedic: Arthritis, obesity, atherosclerosis; (7) Thyroid stimulating (? – traditional)

Commercial Applications: GUGGULIPID tablets (standardised to guggulsterone – available OTC in India for cholesterol); Guggul capsules/churna (Ayurvedic); Aromatic incense (Dhoop sticks); Cosmetic preparations (anti-acne); Export from India (medicinal resin); Conservation concerns – alternative cultivation needed

GINGER

GINGER

Zingiber officinale Roscoe | *Zingiberaceae*

Synonyms: Adrak (fresh, Hindi), Sonth/Saunth (dried, Hindi), Zingiber; Common Ginger

Biological Source: Dried peeled or unpeeled rhizome of Zingiber officinale Roscoe; Family: Zingiberaceae; IP: NLT 4.5% extractable matter soluble in ether

Noteskarts

Distribution: Native to SE Asia; Major producers: India (Kerala, Andhra Pradesh, Orissa – largest producer), China, Jamaica (Jamaica ginger – finest quality), Nigeria, Thailand

Chemical Composition: Oleo-resin (5–8%), starch (~50%), volatile oil (1–3%), proteins, fats

Major Constituents: OLEO-RESIN (Gingerol, Shogaol): (1) [6]-GINGEROL: Major pungent principle (fresh ginger); (2) [8]-Gingerol; (3) [10]-Gingerol; (4) [6]-SHOGAOL: Dehydrated product of gingerol (major in dried ginger – more pungent); (5) Zingerone (paradol – formed on heating); (6) Gingerdiol; VOLATILE OIL: Zingiberene (35% – sesquiterpene), β-Bisabolene, Geranial, Neral, α-Pinene, Camphene; STARCH: Amylum Zingiberis

Chemistry: [6]-GINGEROL ($C_{17}H_{26}O_4$; MW: 294.4): Phenylalkane (vanillyl type); (5-Hydroxy-1-(4-hydroxy-3-methoxyphenyl)decan-3-one); contains β-hydroxy ketone functional group; dehydration → Shogaol (more pungent, stable); on retroaldol → Zingerone + hexanal

Chemical Class: Phenylalkanes (vanillyl ketones – gingerols, shogaols); Sesquiterpenes (volatile oil)

Biosynthesis: Shikimic pathway → Ferulic acid → Feruloyl-CoA → Chain elongation with malonyl-CoA → Gingerol series

Therapeutic Uses: (1) ANTIEMETIC: Most evidence-based use – motion sickness, morning sickness of pregnancy (N&V), chemotherapy-induced nausea; (2) Carminative; (3) Anti-inflammatory (inhibits COX and LOX); (4) Antioxidant; (5) Antinauseant (postoperative); (6) Digestive stimulant; (7) Antidiabetic (improves insulin sensitivity); (8) Anticancer (6-gingerol, 6-shogaol – apoptosis); (9) Rheumatoid arthritis (anti-inflammatory)

Commercial Applications: Ginger powder (Ayurvedic – trikatu churna); Ginger ale and ginger beer (beverages); Ginger extracts in pharmaceutical preparations; Ginger candy/confectionery; Ginger extract supplements (standardised to gingerols); Carminative drops; Preserved ginger; India major exporter of ginger

ASAFOETIDA

ASAFOETIDA

Ferula asafoetida Linn. / F. narthex Boiss. / F. foetida (Bunge) Regel | Apiaceae (Umbelliferae)

Synonyms: Heeng/Hing (Hindi), Devil's Dung, Food of the Gods, Stinking Gum; Ferula gum

Biological Source: Oleo-gum-resin obtained from living rhizomes and roots of Ferula asafoetida and related species by incisions; Family: Apiaceae

Distribution: Iran, Afghanistan (major producers), Central Asia; Not cultivated in India – entirely imported; Used widely in Indian cooking

Chemical Composition: Resin (40–65%), gum (~25%), volatile oil (5–20%)

Major Constituents: VOLATILE OIL (5–20%): (1) Di-sec-propyl disulfide (2-butenyl isobutyl disulfide) – MAJOR pungent/foetid principle; (2) Dimethyl disulfide; (3) Polysulfides; RESIN: (1) Farnesiferols (sesquiterpene coumarins) – A, B, C; (2) Ferulic acid; (3) Asaresinotannol; (4) Umbelliprenin; GUM: Arabinogalactan polymer

Chemistry: Organosulfur compounds (polysulfides): Responsible for characteristic foetid smell and pharmacological activity; Sesquiterpene coumarins: Farnesiferol A – anti-inflammatory, spasmolytic; Ferulic acid: Antioxidant, anti-inflammatory

Chemical Class: Oleo-gum-resin; Organosulfur compounds; Sesquiterpene coumarins; Phenylpropanoids (ferulic acid)

Therapeutic Uses: (1) Antispasmodic (GI and uterine); (2) Carminative and digestive (most common use – flatulence, bloating, IBS); (3) Expectorant (bronchitis); (4) Antiepileptic (traditional); (5) Antiparasitic (vermifuge); (6) Emmenagogue (promotes menstruation); (7) Antimicrobial; (8) Antihypertensive (ferulic acid, sesquiterpene coumarins); (9) Antidiabetic

Commercial Applications: Essential Indian cooking spice (Hing – used in dal, pickles, vegetable dishes – substitute for onion/garlic in Jain cooking); Asafoetida compound tincture; Asafoetida carminative pills (gripe water); Compound asafoetida pills (antispasmodic); Veterinary use (antispasmodic); Perfumery (in trace amounts as fixative)

MYRRH

MYRRH

Commiphora myrrha (Nees) Engl. / Commiphora molmol | *Burseraceae*

Synonyms: Guggul myrrh, Bol myrrh, African myrrh; Herabol Myrrh; Bol (Arabic)

Biological Source: Oleo-gum-resin obtained by incision from the bark of Commiphora myrrha and related species; Family: Burseraceae; IP: NLT 60% alcohol-soluble extractive

Distribution: East Africa (Somalia – 'Somali Myrrh' – best quality, Arabia, Ethiopia, Eritrea), Yemen

Chemical Composition: Gum (~57%), resin (~40%), volatile oil (~7%)

Major Constituents: VOLATILE OIL (7%): Sesquiterpenes – Curzerene (main), Lindestrene, Elemene, Furanosesquiterpenes (E- and Z-guggulguaiol), Eugenol; RESIN (40%): Commiphoric acids (α, β, γ-), Heerabomyrrholic acid, Commiferonic acid; GUM: Arabinose, galactose, xylose, glucuronic acid polymer (arabinogalactan)

Chemistry: Sesquiterpene furanoids (furanogermacrenes): Major pungent components; Commiphoric acids: Tetracyclic triterpenoid type; Responsible for antimicrobial activity

Chemical Class: Oleo-gum-resin; Sesquiterpene furanoids; Triterpenoid acids; Arabinogalactan gum

Therapeutic Uses: (1) Antiseptic/Antimicrobial: Oral antiseptic for gum disease (gingivitis, periodontitis), mouth ulcers; (2) Anti-inflammatory: Commiphora resin components; (3) Astringent: Mouth washes/gargles; (4) Wound healing; (5) Emmenagogue; (6) Antifungal

(Candida); (7) Expectorant; (8) Traditional: Incense (frankincense mixtures), embalming, religious ceremonial use

Commercial Applications: Myrrh tincture (antiseptic mouthwash); Myrrh preparations for gingivitis; Compound myrrh tincture; Toothpastes and mouthwashes; Perfumery and incense (oldest fragrance – used since antiquity in Egypt); Aromatherapy; Biblical significance (gift of the Magi)

COLOPHONY (Rosin)

COLOPHONY (Rosin)

Pinus palustris Mill. / P. pinaster / Other Pinus species | *Pinaceae*

Synonyms: Rosin, Colophon, Abietic anhydride; Pine Rosin; Colophane

Biological Source: Residue left after distillation of volatile oil (turpentine) from oleoresin of Pinus palustris, P. pinaster, and other Pinus spp.; Family: Pinaceae; IP: Acid value NLT 150

Distribution: USA (most important source), France (Landes district), Portugal, Spain, China, India

Chemical Composition: Diterpene resin acids (~90%), terpene aldehydes, fatty acid esters

Major Constituents: RESIN ACIDS (~90%): (1) ABIETIC ACID ($C_{20}H_{30}O_2$; MW: 302.5): Major (up to 40%); (2) Neoabietic acid; (3) Palustric acid; (4) Pimaric acid; (5) Isopimaric acid; (6) Dehydroabietic acid; Minor: Terpene aldehydes, resin esters

Chemistry: ABIETIC ACID: Tricyclic diterpene acid; Abietane skeleton; C20 resin acid; Contains conjugated diene system (C8=C9 and C11=C12); Softens on heating; Insoluble in water, soluble in alcohol and alkalis (forms colophony soaps/resinates)

Chemical Class: Diterpene resin acids (abietane, pimarane, labdane skeletons); True resin

Biosynthesis: MEP pathway → GGPP (C20) → Labdadienyl diphosphate → Abietadienol → Abietic acid

Therapeutic Uses: (1) Pharmaceutical use: Adhesive base in plasters and ointments; (2) Stiffening and hardening agent in plasters; (3) Colophony in adhesive bandages and surgical plasters; (4) Histological staining fixative; (5) Dental cement base

Commercial Applications: Printing inks (rosin-based); Adhesives (hot melt, pressure-sensitive – paper industry); Paper sizing; Rubber and tyre industry; Soap manufacture (rosin soap); Varnishes and lacquers; Violin bow rosin; Soldering flux; Chewing gum base; Dipentene (limonene) from turpentine; Major industrial chemical commodity

Allergy Note: Colophony/Rosin is a common cause of contact dermatitis (Type IV hypersensitivity); present in adhesive bandages – important clinical consideration

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GLYCOSIDES

Glycosides are compounds formed by condensation of a sugar (glycone) with a non-sugar moiety (aglycone/genin) via an O-, N-, S- or C-glycosidic bond. They are prodrugs – hydrolysis releases the active aglycone. The sugar portion generally improves water-solubility.

SENNA

Cassia senna Linn. (Tinnevelly Senna) / Cassia angustifolia Vahl / Cassia acutifolia Delile (Alexandrian Senna) | Leguminosae (Fabaceae)

Synonyms: Senna leaf / Senna pod; Tinnevelly Senna (India), Alexandrian Senna (Egypt); Sonamukhi (Hindi)

Biological Source: (1) Senna leaf (IP): Dried leaflets of C. senna/C. angustifolia; (2) Senna pods: Dried fruits; IP: Senna leaf NLT 2.5% total sennosides (as sennoside B)

Distribution: C. angustifolia: India (Tirunelveli/Tinnevelly, Tamil Nadu – best quality), Pakistan; C. acutifolia: Egypt, Sudan, Ethiopia, Arabia; India is world's largest producer

Chemical Composition: Anthraquinone glycosides, flavonoids, mucilage, resins

Major Constituents: ANTHRAQUINONE GLYCOSIDES (2–5%): (1) SENNOSIDE A (major – dianthrone O-glycoside; L-rhamnose x2); (2) SENNOSIDE B (stereoisomer of A – meso form); (3) Sennoside C, D; (4) Aloe-emodin (free anthraquinone); (5) Rhein (free anthraquinone); (6) Kaempferol, Isorhamnetin (flavonoids); (7) Mucilage; (8) Calcium oxalate

Chemistry: SENNOSIDE A ($C_{42}H_{38}O_{20}$; MW: 862.7): Dianthrone O-glycoside; Sennidins A (aglycone, C30) = two rhein units linked by C–C bond at C10; each rhein unit carries one L-rhamnose sugar attached at C8; Prodrug – hydrolysed by colonic bacteria to Rhein-anthrone (active) → stimulates colonic motility

Chemical Class: Anthraquinone glycosides (dianthrone O-glycosides) formed by Acetate-Malonate (Polyketide) pathway

Therapeutic Uses: (1) STIMULANT LAXATIVE: For constipation (acts on large intestine); (2) Bowel preparation before colonoscopy/surgery; (3) Anthraquinone cathartic; (4) Treatment of hepatic encephalopathy (reduce NH_3-producing bacteria – with lactulose); (5) Haemorrhoidal conditions

Mechanism: Prodrug: Sennosides → Sennidins (colonic hydrolysis) → Rhein-anthrone (active) → stimulates peristalsis by: (a) Direct stimulation of myenteric plexus, (b) Inhibition of NaCl absorption (colonic secretion) → catharsis in 6–12 hours

Commercial Applications: Senna tablets (standardised); Senna syrup; Compound Senna Mixture; Senokot® (major OTC laxative brand); Agiolax; Constipation treatment

worldwide; Export from Tinnevelly (Tirunelveli), India; Standardised to 8.8% hydroxyanthracene glycosides (sennosides)

ALOES

Aloe barbadensis Mill. (Curacao Aloe) / Aloe ferox Mill. (Cape Aloe) | *Asphodelaceae (Liliaceae)*

Synonyms: Aloe vera (incorrect botanical name – preferred: A. barbadensis); Curacao Aloe (Caribbean); Cape Aloe (S. Africa); Ghrit Kumari (Hindi); Barbados Aloe

Biological Source: (1) Aloes (drug): Inspissated (concentrated, dried) juice from leaves of A. barbadensis (Curacao Aloe) or A. ferox (Cape Aloe); IP: Aloes NLT 28% hydroxyanthracene glycosides; (2) Aloe vera gel: Mucilaginous gel from leaf parenchyma (inner leaf – different from drug 'Aloes')

Distribution: A. barbadensis: Caribbean (Curacao, Aruba, Jamaica), Texas (USA); A. ferox: South Africa; A. vera cultivated widely in India (Rajasthan, Gujarat, AP)

Chemical Composition: ALOES (drug): Anthraquinone glycosides + resins; ALOE VERA GEL: Polysaccharides (acemannan), amino acids, enzymes, minerals

Major Constituents: ANTHRAQUINONE GLYCOSIDES: (1) BARBALOIN (= Aloin A + B) – major (15–40%); (2) Isobarbaloin; (3) Aloe-emodin; (4) Chrysophanol; RESIN: Aloeresin; CHROMONE GLYCOSIDES: Aloesin; GEL: Acemannan (polysaccharide – immunostimulant), glycoproteins, amino acids

Chemistry: BARBALOIN ($C_{21}H_{22}O_9$; MW: 418.4): C-glycoside (NOT O-glycoside); Aloe-emodin anthrone + D-glucose at C10 (C–C bond); C-glycoside is resistant to acid hydrolysis; yields Aloe-emodin + glucose on oxidative hydrolysis; CURACAO: Barbaloin ~18%; CAPE: Barbaloin + isobarbaloin ~28%

Chemical Class: C-glycoside anthraquinones; anthracene derivatives; Polyketide (Acetate-Malonate) pathway

Therapeutic Uses: (1) ALOES (drug): Stimulant cathartic/purgative (constipation) – similar to senna but more irritant; Emmenagogue; (2) ALOE VERA GEL: Wound healing (burns, abrasions, sunburn); Moisturising (cosmetics); Antifungal; Immunostimulant (acemannan); Anti-inflammatory; GI protection; HIV supportive therapy (acemannan)

Commercial Applications: Compound Colocynth and Hyoscyamus Pills; Aloes and Myrrh Tincture; Aloe vera gel products: skin creams, lotions, sunscreens, hair care; Aloe vera juice (beverages); Acemannan (Carrisyn – antiviral); India – major aloe vera cultivation for export; Cosmetic industry – largest commercial use of aloe vera

BITTER ALMOND

Prunus dulcis var. amara (DC.) Buchheim (Syn: Prunus amygdalus Batsch var. amara) | *Rosaceae*

Synonyms: Bitter Almond, Prunus amara; Kadvi Badam (Hindi); Amygdala amara

Biological Source: Dried ripe seeds of Prunus dulcis var. amara (Bitter Almond); Family: Rosaceae; NOT the same as Sweet Almond (var. dulcis)

Distribution: Mediterranean region (Spain, Morocco, Italy), Middle East; cultivated for almond oil

Chemical Composition: Cyanogenic glycoside (amygdalin), fixed oil (~50%), proteins, enzymes (emulsin)

Major Constituents: (1) AMYGDALIN (2–4%): Major cyanogenic glycoside; (2) Enzyme EMULSIN (β-glucosidase + mandelonitrile lyase): Hydrolyzes amygdalin; (3) Fixed oil (almonds oil – ~50%); (4) Proteins (~25%); (5) Hydrocyanic acid (HCN): Released on hydrolysis (TOXIC)

Chemistry: AMYGDALIN ($C_{20}H_{27}NO_{11}$; MW: 457.4): Cyanogenic glycoside; (R)-Mandelonitrile + Gentiobiose (D-glucose + D-glucose linked β-1,6); Hydrolysis by emulsin (β-glucosidase) → Benzaldehyde + HCN + 2 glucose; HCN – toxic (inhibits cytochrome oxidase); Benzaldehyde – responsible for bitter almond odour; Laetriletrade name for semi-synthetic amygdalin derivative (controversial cancer treatment)

Chemical Class: Cyanogenic glycoside (O-glycoside); Mandelonitrile glycoside

Biosynthesis: Phenylalanine → Mandelonitrile (via N-hydroxy amino acid intermediate, Cytochrome P450) → Amygdalin (glycosylation with gentiobiose)

Therapeutic Uses: (1) Bitter Almond Water (Aqua Amygdalae Amarae): Flavouring agent (trace amounts – HCN level controlled); (2) Almond oil (from bitter almonds) – emollient, vehicle for injections, skin care; (3) Benzaldehyde (FFPA – Free from Prussic Acid) from steam distillation: Flavouring (marzipan, cherry-like flavour); (4) Historical: Laetrile (Amygdalin derivative) – controversial 'cancer treatment' – NOT approved

Toxicity & Safety: POISONOUS: Lethal dose of HCN = 1 mg/kg body weight; 50–60 bitter almonds lethal in adults; Children more susceptible; HCN antidote: Sodium thiosulfate (converts CN^- to SCN^-) + Dicobalt edetate; IMPORTANT: Only specific processed preparations used medicinally

Commercial Applications: Bitter almond oil (FFPA): Flavouring in marzipan, amaretto liqueur, macarons, almond essence; Cosmetics (almond oil – sweet almond preferred for skin); Almond extract – baking; Benzaldehyde production (chemical synthesis, flavouring, perfumery); Prunus amygdalus oil (almond oil) – pharmaceutical excipient, vehicle for oily injections

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IRIDOIDS, OTHER TERPENOIDS & NAPHTHAQUINONES

GENTIAN (Bitter Principles – Iridoids)

GENTIAN (Bitter Principles – Iridoids)

Gentiana lutea Linn. | *Gentianaceae*

Synonyms: Yellow Gentian, Great Yellow Gentian, Bitterwort, Centiyane; Gentian root

Biological Source: Dried rhizome and roots of Gentiana lutea Linn.; Family: Gentianaceae; IP: NLT 33% water-soluble extractive; Bitterness value NLT 10,000

Distribution: European Alps, Pyrenees, Carpathian mountains; altitude 700–2500 m; also Balkans, Turkey; Protected species in many countries

Chemical Composition: Bitter glycosides (iridoids & secoiridoids), xanthones, phenolic acids, alkaloids

Major Constituents: SECOIRIDOID BITTER GLYCOSIDES: (1) GENTIOPICRIN/GENTIOPICROSIDE (major – 2–3%): Most bitter; secoiridoid glucoside; (2) AMAROGENTIN: Extreme bitterness (1:58 million dilution still bitter – one of bitterest natural compounds known); (3) SWEROSIDE; (4) SWERTIAMARIN; XANTHONES: (1) Gentisin; (2) Isogentisin; (3) Gentisein; ALKALOIDS: Gentianine, Gentianidine; PHENOLIC ACIDS: Caffeic acid, Gentisic acid; SUGARS: Gentianose, Gentiobiose

Chemistry: GENTIOPICRIN ($C_{16}H_{20}O_9$; MW: 356.3): Secoiridoid (iridoid monoterpene) glucoside; secoiridoid skeleton (C9-C10 bond cleaved vs iridoids); bicyclic ring system (cyclopentane ring in iridoids is opened in secoiridoids); glucoside at C1; intensely bitter but less than amarogentin

Chemical Class: Secoiridoid bitter glycosides; Xanthones; Pyridine-type alkaloids

Biosynthesis: MEP pathway → Geraniol → Geraniol-10-hydroxylase → 10-Hydroxygeraniol → Nepetalactol → Iridodial → Loganin → Secologanin (secoiridoid) → Gentiopicrin via gentianaceous plants

Therapeutic Uses: (1) BITTER TONIC: Stimulates gastric acid and bile secretion → appetite stimulant and digestive tonic; (2) Aperitif and digestive (bitters – Angostura bitters, Amaretto contain gentian); (3) Choleretic; (4) Anti-inflammatory (xanthones); (5) Antifungal; (6) Antipyretic (traditional)

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Commercial Applications: Gentian tincture (BPC – Compound Gentian Infusion); Digestive bitters (aperitifs – Angostura, Campari, Suze – all contain gentian); Veterinary tonic (appetite stimulant); Gentian root extract in dietary supplements; Pharmaceutical bitter preparations (appetizers)

ARTEMISIA (Artemisinin – Sesquiterpene)

ARTEMISIA (Artemisinin – Sesquiterpene)

Artemisia annua Linn. | *Asteraceae (Compositae)*

Synonyms: Sweet Annie, Sweet Wormwood, Qinghao (Chinese), Annual Wormwood; Artemisia

Biological Source: Dried aerial parts (leaves/flowers) of Artemisia annua Linn.; Family: Asteraceae; Active principle: Artemisinin (Qinghaosu) – isolated 1972 by Tu Youyou (Nobel Prize 2015)

Distribution: China (Chongqing, Sichuan – original source), Vietnam, India (cultivated), East Africa, SE Asia; global cultivation for artemisinin production

Chemical Composition: Sesquiterpene lactone (artemisinin), volatile oil (artemisia ketone, camphor, cineole), flavonoids

Major Constituents: (1) ARTEMISININ (Qinghaosu) – 0.01–0.8%: Sesquiterpene lactone endoperoxide; (2) Artemisinins B, C, D; (3) Arteannuin B; (4) Dihydroartemisinin (DHA): Reduction product of artemisinin (more active); (5) VOLATILE OIL: Artemisia ketone, Camphor, 1,8-Cineole, α-Pinene, β-Caryophyllene; (6) FLAVONOIDS: Artemetin, Casticin

Chemistry: ARTEMISININ ($C_{15}H_{22}O_5$; MW: 282.3): Tricyclic sesquiterpene lactone with a unique ENDOPEROXIDE BRIDGE (trioxane ring, –O–O–): Most crucial feature for antimalarial activity; Highly lipophilic; Low solubility in water; Heat-sensitive; Semi-synthetic derivatives: Artesunate (water-soluble), Artemether (oil-soluble), Arteether

Chemical Class: Sesquiterpene lactone endoperoxide (trioxane sesquiterpene); MVA pathway

Biosynthesis: MVA pathway → FPP (C15) → Amorpha-4,11-diene (via ADS – amorphadiene synthase) → Artemisinic acid → Artemisinin (via Cytochrome P450 enzyme CYP71AV1 + spontaneous photochemical endoperoxidation)

Therapeutic Uses: (1) ANTIMALARIAL (first-line): WHO-recommended Artemisinin-based Combination Therapies (ACTs) for Plasmodium falciparum malaria (including drug-resistant strains); (2) Artesunate IV: Severe/cerebral malaria; (3) Anti-schistosomal; (4) Anticancer (artemisinin selectively kills cancer cells – apoptosis via reactive oxygen species); (5) Anti-inflammatory; (6) Antiparasitic (Toxoplasma, Leishmania)

Mechanism of Action: Endoperoxide bridge reacts with Fe^{2+} (heme in parasite) → free radicals → alkylation of parasite proteins → parasite death; Cancer cells (high iron) similarly targeted

Nobel Prize: Tu Youyou (2015) – Nobel Prize in Physiology/Medicine for discovering artemisinin; Most significant antimalarial drug discovery of the 20th century

Commercial Applications: Artesunate tablets/injections (Falcigo, Larinate); Artemether+Lumefantrine (Coartem®/Riamet®) – most widely used ACT globally; Artemether injection (Lumaria); Global artemisinin market ~US$ 400-500 million; India produces artemisinin and derivatives (Ipca Labs, etc.)

TAXUS (Taxol – Diterpene)

TAXUS (Taxol – Diterpene)

Taxus brevifolia Nutt. (Pacific Yew) / Taxus baccata Linn. (European Yew) | Taxaceae

Synonyms: Pacific Yew (T. brevifolia), English/European Yew (T. baccata); Taxol (brand: Paclitaxel)

Biological Source: Bark of Taxus brevifolia (original source); Needles/leaves of T. baccata and other Taxus spp. (for semi-synthesis of Paclitaxel/Docetaxel from 10-Deacetylbaccatin III – 10-DAB)

Distribution: T. brevifolia: Pacific Northwest USA (Washington, Oregon); T. baccata: Europe, Himalayas (India – Himalayan Yew: Taxus wallichiana Zucc.)

Chemical Composition: Taxane diterpene alkaloids (taxols), lignans, flavonoids, alkaloids (taxine – toxic)

Major Constituents: TAXANE DITERPENE ALKALOIDS: (1) PACLITAXEL (Taxol®) – main; (2) Docetaxel (semi-synthetic from 10-DAB); (3) 10-Deacetylbaccatin III (10-DAB – major semi-synthetic precursor in needles); (4) Cephalomannine; (5) Taxol B; ALKALOIDS (toxic): Taxine A, B (cardiotoxic); LIGNANS: Isotaxiresinol

Chemistry: PACLITAXEL ($C_{47}H_{51}NO_{14}$; MW: 853.9): Complex taxane diterpenoid; 6-8-6 ring system (three rings); 11 stereocentres; contains amide side chain (C13 position with benzamido and benzoyl groups) – essential for activity; four ester groups; two OH groups; phenyl rings; Highly hydrophobic – poor water solubility → uses Cremophor EL (polyethoxylated castor oil) as solubiliser → allergic reactions; newer formulations: nab-paclitaxel (albumin-bound)

Chemical Class: Taxane diterpene (MEP pathway); C20 terpenoid alkaloid

Biosynthesis: MEP pathway → GGPP (C20) → Taxa-4(5),11(12)-diene (via TXS – taxadiene synthase) → Multiple oxidations/acetylations → Taxol (requires 19 enzymatic steps from taxadiene; CYP450 enzymes crucial)

Therapeutic Uses: (1) PACLITAXEL: Ovarian cancer, breast cancer (including HER2+ and triple-negative), non-small cell lung cancer (NSCLC), Kaposi's sarcoma, gastric cancer; (2) DOCETAXEL: Breast, prostate, gastric, NSCLC, head & neck cancers; (3) nab-Paclitaxel (Abraxane): Pancreatic cancer, breast cancer – better tolerability

Mechanism of Action: Binds β-tubulin in microtubules → STABILIZES (not destabilizes) microtubules → prevents depolymerisation → mitotic arrest at G2/M phase → apoptosis; Opposite of Vinca alkaloids (which destabilize microtubules)

Commercial Applications: Paclitaxel injection (Taxol®, generic); Docetaxel injection (Taxotere®); nab-Paclitaxel (Abraxane®); Global market >US$ 2 billion; Conservation

issue: T. brevifolia overexploitation → now mainly from Taxus needles (renewable) via 10-DAB semi-synthesis; Plant cell fermentation also used

CAROTENOIDS (Tetraterpenes)

CAROTENOIDS (Tetraterpenes)

Multiple sources – Daucus carota (Carrot), Lycopersicon esculentum (Tomato), Marigold (Tagetes erecta), Saffron (Crocus sativus) | Various

Definition: Carotenoids are C40 tetraterpene pigments (yellow, orange, red) universally distributed in plants, algae, and some bacteria. They consist of an isoprenoid backbone with a system of conjugated double bonds responsible for their colour.

Classification: (1) CAROTENES (hydrocarbons, no oxygen): α-Carotene, β-Carotene (most important), Lycopene, δ-Carotene; (2) XANTHOPHYLLS (oxygenated carotenoids): Lutein, Zeaxanthin, Astaxanthin, Canthaxanthin, Cryptoxanthin; (3) APOCAROTENOIDS: Crocetin, Bixin (annatto)

Major Sources & Compounds: β-CAROTENE: Carrot (Daucus carota), sweet potato, pumpkin, papaya, mango, apricots; LYCOPENE: Tomato (Lycopersicon esculentum – richest), watermelon, guava; LUTEIN & ZEAXANTHIN: Marigold (Tagetes erecta – major commercial source), spinach, kale, eggs; CROCETIN: Saffron (Crocus sativus – stigmas); ASTAXANTHIN: Haematococcus algae, shrimp, salmon; BIXIN: Annatto (Bixa orellana)

Chemistry of β-Carotene: β-Carotene ($C_{40}H_{56}$; MW: 536.9): Tetraterpene (C40); symmetrical polyene; two β-ionone rings connected by C18 polyene chain; 11 conjugated double bonds → absorbs blue-green light (440–490 nm) → appears orange-red; all-trans configuration (most common in nature); cleaved to 2 molecules of Vitamin A (retinal) by β-carotene 15,15'-dioxygenase

Chemistry of Lycopene: Lycopene ($C_{40}H_{56}$; MW: 536.9): Linear (acyclic) carotenoid; 11 conjugated + 2 non-conjugated double bonds; no β-ionone rings → no pro-Vitamin A activity; highly lipophilic; responsible for red colour of tomatoes

Chemical Class: Tetraterpenes (C40) from MEP pathway; Isoprenoid pigments

Biosynthesis: MEP pathway → GGPP (C20) → Phytoene (C40, colorless) → Series of desaturations → Lycopene → Cyclisation → β-Carotene, α-Carotene → Xanthophylls (epoxidation, hydroxylation)

Therapeutic Uses: (1) β-CAROTENE: Pro-Vitamin A (prevents night blindness, xerophthalmia); Antioxidant (quenches singlet oxygen); Immune stimulant; (2) LYCOPENE: Prostate cancer prevention (epidemiological evidence); Cardiovascular protection; Antioxidant; (3) LUTEIN & ZEAXANTHIN: Macular degeneration prevention (AMD); Cataract protection; Accumulate in macula lutea of retina; (4) CROCETIN (Saffron): Memory enhancer, antidepressant, anticancer; (5) ASTAXANTHIN: Potent antioxidant (singlet oxygen quenching 550× more than Vitamin E)

Commercial Applications: β-Carotene capsules (Vitamin A supplement, antioxidant); Food colourant (E160a – butter, margarine, soft drinks); LUTEIN tablets (Marigold extract – eye health supplements – OCUVITE, Lutein Formula); Astaxanthin capsules (sports nutrition,

anti-ageing); Lycopene supplements (prostate health); Saffron extracts (nootropic supplements); Carotenoids as natural food colours (replacing synthetic dyes); Aquaculture (astaxanthin for salmon/trout pigmentation)

EXAM-ORIENTED MCQs – PHARMACOGNOSY & PHYTOCHEMISTRY UNIT 2

Q1. Vincristine (VCR) differs from Vinblastine (VLB) structurally by:

a) An additional glucose unit b) N-formyl group instead of N-methyl group c) An extra hydroxyl group d) A different sugar moiety

✓ **Answer: b) N-formyl group instead of N-methyl group**

Q2. The IP standard for Senna leaf specifies NLT how much sennosides (as sennoside B)?

a) 1.0% b) 1.5% c) 2.5% d) 4.0%

✓ **Answer: c) 2.5%**

Q3. Marker degradation of Diosgenin yields which product?

a) Cholesterol b) Progesterone c) Testosterone d) Cortisone

✓ **Answer: b) Progesterone**

Q4. The unique structural feature of Artemisinin responsible for its antimalarial activity is:

a) Lactone ring b) Nitrogen atom c) Endoperoxide bridge (trioxane) d) Ester linkage

✓ **Answer: c) Endoperoxide bridge (trioxane)**

Q5. Paclitaxel (Taxol) inhibits cell division by:

a) Inhibiting DNA synthesis b) Stabilizing microtubules (prevents depolymerisation) c) Destabilizing microtubules d) Inhibiting Topoisomerase II

✓ **Answer: b) Stabilizing microtubules (prevents depolymerisation)**

Q6. Amygdalin is a cyanogenic glycoside. On hydrolysis it yields:

a) Morphine + Glucose b) Benzaldehyde + HCN + Glucose c) Salicylaldehyde + Glucose d) Digitalose + Aglycone

✓ **Answer: b) Benzaldehyde + HCN + Glucose**

Q7. Digoxin differs from Digitoxin in having:

a) One extra digitoxose sugar b) One extra hydroxyl group at C12 c) A different lactone ring d) A butenolide instead of cardenolide

✓ **Answer: b) One extra hydroxyl group at C12**

Q8. The bitterest known naturally occurring compound found in Gentiana is:

a) Gentiopicrin b) Gentisin c) Amarogentin d) Swertiamarin

✓ **Answer: c) Amarogentin**

Q9. Eugenol is the major constituent of which volatile oil?

a) Fennel oil b) Cinnamon leaf oil and Clove oil c) Mentha oil d) Coriander oil

✓ **Answer: b) Cinnamon leaf oil and Clove oil**

Q10. Trans-Anethole is the major pungent/aromatic constituent of:

a) Coriander oil b) Clove oil c) Fennel oil d) Cinnamon oil

✓ **Answer: c) Fennel oil**

Q11. The scientific name of the plant source of Guggul is:

a) Commiphora myrrha b) Commiphora wightii c) Boswellia serrata d) Ferula asafoetida

✓ **Answer: b) Commiphora wightii**

Q12. Which of the following is an example of a C-glycoside (NOT O-glycoside)?

a) Sennoside A b) Salicin c) Barbaloin (Aloin) d) Amygdalin

✓ **Answer: c) Barbaloin (Aloin)**

Q13. Podophyllotoxin is classified as which type of lignan?

a) Simple lignan b) Aryltetralin (cyclolignan) c) Furofuran lignan d) Dibenzylbutane lignan

✓ **Answer: b) Aryltetralin (cyclolignan)**

Q14. Reserpine acts as an antihypertensive by:

a) Blocking alpha-receptors b) Inhibiting ACE enzyme c) Depleting catecholamines by blocking VMAT2 d) Blocking calcium channels

✓ **Answer: c) Depleting catecholamines by blocking VMAT2**

Q15. The world's largest producer of Mentha oil (menthol) is:

a) China b) USA c) Japan d) India (UP – Barabanki)

✓ **Answer: d) India (UP – Barabanki)**

BEST OF LUCK FOR EXAMS!

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