SHAMBHUNATH INSTITUTE OF PHARMACY

JHALWA, PRAYAGRAJ

Pharmacognosy and Phytochemistry-II

(BP504T)

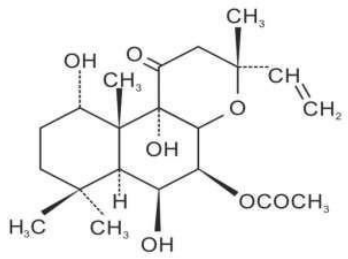
B. PHARM FIFTH SEMESTER

UNIT-IV

INDUSTRIAL PRODUCTION,ESTIMATION AND UTILIZATION OF PHYTOCONSTITUENTS

FORSKOLIN

Biological Source: Labdane diterpenoid extracted from roots of *Coleus forskohlii*,
family- Lamiaceae.



Chemical Structure of Forskolin

Industrial Production:

- Roots & bark powder extracted with toluene at 60˚C for 2 hours.
- Filtrate collected & concentrated at temperature not exceeding 40˚C.
- Concentrated extract mixed with n- hexane, yields crude forskolin in the form of brown ppt.
- Purified using column chromatography.

Estimation:

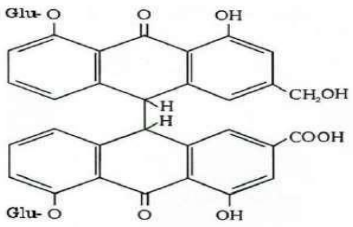
- TLC & HPTLC Mobile phase – Toluene: ethyl acetate (8.5: 1.5 v/v)
- Stationary phase- Silica gel F254
- Visualizing agent- 5% vanillin in glacial acetic acid and 10% sulphuric acid in water.

Utilization:

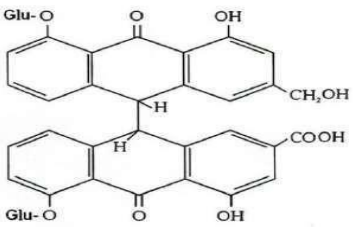
1.Antidepressant
2.Vasodilating
3.Antiobesity
4.In glaucoma
5.Antiasthmatic

SENNOSIDES

Source: Dianthrone glycosides, leaflets of *Cassia angustifolia* (Indian senna) & *C. acutifolia* (Alexandrian senna).
Family- Leguminosae.



Sennoside A



Sennoside B

Industrial production:

- Dried senna leaves powder extracted with benzene for 2-3 hrs.
- Marc is dried and extracted with methanol for 4-6 hrs.
- Mix both the extracts and concentrated .
- pH of extract adjusted to 3.2 by HCl.
- Extract is mixed with hydrous calcium chloride in 25 ml denatured spirit.
- pH adjusted to 8 using ammonia & set aside for 2hrs, results into ppt of sennosides.

Estimation: Column-

- C18 8 acid in water: Mobile phase- 1% acetic Acetonitrile (82:18)
- Flow rate- 1ml/min
- Detection- 350 nm

Utilization:

1.Treatment of constipation
2.In skin diseases
3.As an anthelmintic
4. Useful in loss of appetite, dysentry, indigestion, malaria, jaundice, gout, rheumatism & anaemia.

Isolation:

- Senna leaves are powdered to 20-40 mesh and loaded into vertical/ continuous extractors.
- Acetone at ambient temperature is circulated through the material to remove adherent impurities of pesticides, and other acetone soluble unwanted material of no therapeutic value.
- It is then made free of acetone and extracted with 70% V/V alcohol (ethyl or methyl) preadjusted to pH 3.9 with citric acid at temperature 45-50°C.
- The extraction is continued till washing show a positive test for anthraquinones glycosides (colour reaction or TLC).
- After extraction, the marc is desolventised and discarded.
- The extracted liquid is filtered and transferred to a tank fitted with stirrer.
- The pH is adjusted to 6.0-6.2 with limewater.
- It is then concentrated to a paste of 65-70% total solids in a multiple effect evaporator.
- The paste is dried in rotary vacuum drier at temperature 50-55°C. The flakes obtained are pulverized to a fine powder.
- It is then sifted to 80 mesh and packed preferably by vacuum sealing.

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Utilization of sennosides:

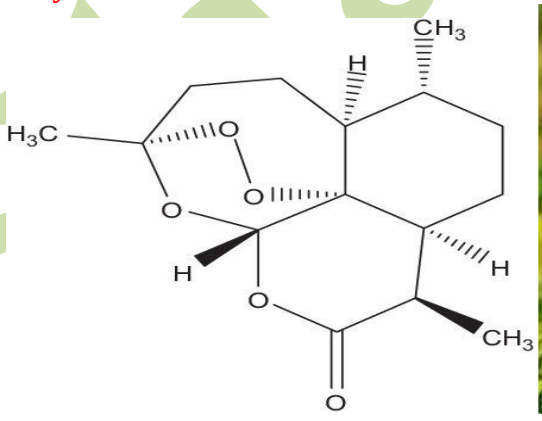
1.Purgative.
2. Treatment of constipation.

Isolation of calcium sennosides:

- The senna leaves are powdered to 40 mesh in a pulverizer and fine powder is removed by sifting.
- It is then extracted in vertical extractors place in a row, using 80-90% V/V methanol and adjusted to pH 2.9 with any organic acid as extraction medium.
- The solvent is circulated intermittently for 6-8 hrs. at 40-45"C. The solvent is then transferred to a storage tank.
- One more extraction is carried out as above the solvent is collected in the same storage tank.
- It is then taken to a reactor fitted with stirrer (20-30 rpm) through sparkler filter.
- The filtered liquid is adjusted to pH 3.7- 3.9 with ammonia.
- After adjusted the pH, the liquid is stirred for 30-45 minutes and then allowed to stand for one hour.
- The precipitate thus formed is removed by filtration and clear liquid is transferred to a tank fitted with stirrer of 90 rpm.
- It is made up with methanol so that the final concentration of methanol is reached 80% V/W in solution and filtered.
- 10% solution of stechiometric amount of calcium chloride in methanol is then added.
- The content is stirred for 1 hr and then liquor ammonia 30% is added with stirring to pH 6.5-6.8. The stirring is continued until pH is stabilized.
- It is left for one hr for complete precipitation of sennosides as calcium salts.
- The precipitate is filtered in a drum/leaf filter and washed with chilled methanol till pH of filtrate becomes almost neutral.
- Final washing with methanol, adjusted at pH 6.5 with ascorbic acid, is given.
- The precipitate is then quickly dried under vacuum at temperature not more than 50°C till the moisture is reduced to less than 3% in flakes.
- The flakes are pulverized to fine mesh and packed

ARTEMISININ

Source: sesquiterpene lactone obtained from the leaves & unexpanded flower heads of *Artemisia annua*. **Family**- Asteraceae.



Industrial production:

- Fresh leaves are dried below 60˚C, powder is extracted with methanol by maceration.
- Methanol extract partitioned with hexane
- The hydro alcoholic extract partitioned with ethyl acetate until the colourless.
- Contentrated at controlled temperature at 40˚C under vacuum.
- Artemisinin obtained as fine white crystals after recrystallization with cyclohexane.

Estimation: HPLC & HPTLC method

Mobile phase- n-hexane : ethyl acetate (7.5: 2.5 v/v)
Stationary phase- silica gel F254
Visulazing agent- anisaldehyde sulphuric acid reagent followed by heating to 110˚C

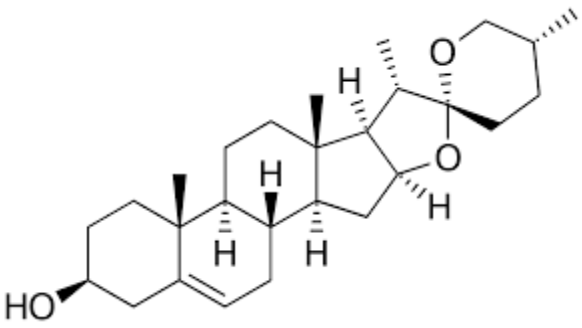
Utilization:

1.Antimalarial
2.In gastric infections
3.Suppress inflamatory immune reactions
4.Anticancer

DIOSGENIN

Source: obtained after the Aglycone hydrolysis of steroidal saponin glycoside dioscin present in *Dioscorea deltoidea*, *D. composite.*

Family- Dioscoreaceae.



Industrial production:

- Dried powder hydrolyzed with 2.5N H2SO4 by reflux or autoclave.
- Marc washed with 10% sod. Bicarbonate to neutralize acid.
- Hydrolyzed powder extracted with benzene for 6-8 hrs.
- Benzene extract is filtered, residue dissolve in chloroform and concentrated by recystallization.

Estimation: HPTLC method

- Mob. Phase- toluene: ethyl acetate: formic acid (5:4:1)
- St. phase- Silica gel F 254

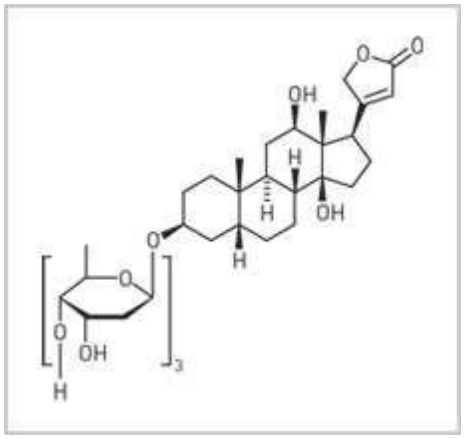
Utilization:

1.As a precursor for steroidal synthesis
2.In preparation of oral contraceptives
3.In treatment of rheumatism.

DIGOXIN

Source: Cardiac glycoside obtained from leaves of *Digitalis lanata.*

Family- Scrophulariaceae



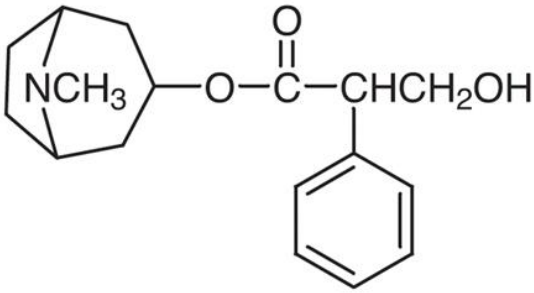
Industrial production:

- Fresh leaves made into paste & treated with neutral salt.
- Paste is defatted with benzene & followed by extraction with ethyl acetate
- Extract contain lanatoside C, which after hydrolysis yields digoxin.

Estimation: Assay- 40 mg test & std solution of digoxin dissolve in sufficient ethanol. 5 ml of resulting solution, add 3ml picric acid solution. Measure absorbance at 495 nm.
Utilization: treatment of cardiac disorders.

ATROPINE

Source: tropane alkaloid, flowering tops of *Atropa belladonna, Datura stramonium* & *Hyoscyamus niger*.
Family- Solanaceae.



Industrial production:

- Powdered drug extracted with ether or benzene
- Concentrate the non-polar extract & partitioned with acetic acid.
- Add sodium bicarbonate leading to ppt alkaloid
- Dry the ppt & crystallized by dissolving in solvent ether

Estimation: Assay- sulphate salt of atropine titrated against 0.1 N perchloric acid.

Utilization:

1.As preanesthetic medication

2.Antispasmodic

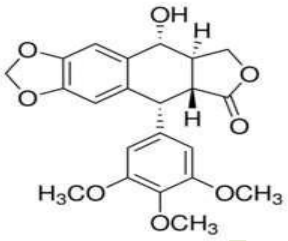
PODOPHYLLOTOXIN:

Biological source:

It consists of the dried rhizome and root of Podophyllum hexandrum Royle (Podophyllum emodi). American podophyllum consists of dried rhizomes and roots of P. peltatum.

Family:

Berbiridiaceae



Industrial production:

- Dried roots & rhizomes extracted with methanol
- Evaporate the filtrate to semisolid mass
- Dissolve in acidic water results into pptn of podophyllotoxin

Estimation: HPLC Mob. Phase- methanol: water (62: 38 v/v) Detector wavelength- 280nm.

Utilization:

1.Antitumour

2.Purgative

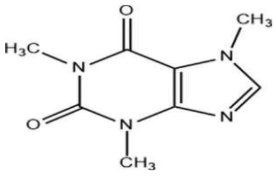
3.Emetic

4.Treatment of warts

Caffeine

Biological Source: The biological source of coffee is its dried ripe seed of coffee is Coffea Arabica.

family: It belongs to the rubiaceae



Production:

- Leaflet powder boiled with 2% sodium carbonate water for 10 min & filtered.
- Evaporate & partitioned with dichloromethane
- Evaporate to get crystals of caffeine.
- Purified by recystallization from hot ethanol.

Estimation:

HPLC method

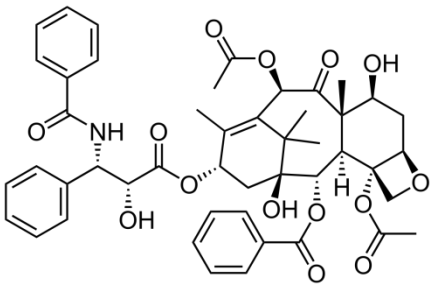
Mob. Phase- methanol: acetonitrile (65: 35 v/v) Column- C18

Utilization: Stimulant

TAXOL

Source: nitrogen containing subs, bark of *Taxus brevifolia*,

Family: ***taxaceae.***



Production:

- Powdered bark extracted with methanol, filtered & evaporated to dryness
- Partition with the mixture of carbon tetrachloride & water, filter & evaporated.
- Dried CCl4 fraction again extracted with CCl4 : methanol, evaporate to obtain crude taxol.

Estimation: HPTLC method

Mob phase- chloroform:methanol (7:1v/v) Visualizing agent- vanillin sulphuric acid.

Utilization:

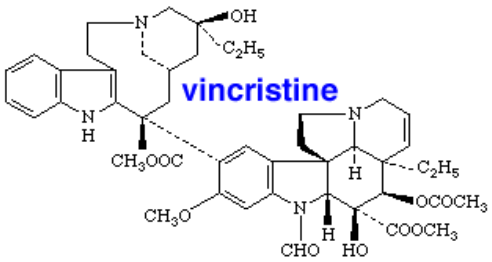
1.Treatment of ovarian, lung, bladder, esophageal & other types of cancers.

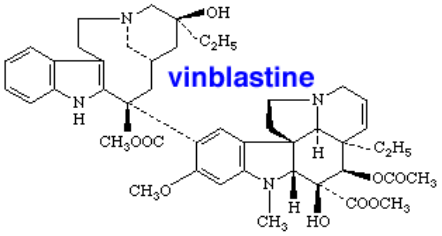
2.Antiproliferative agent.

VINCRISTINE & VINBLASTINE

Source: Indole alkaloid, *Vica rosea*,

family- Apocynaceae.





Production: Plant tissue culture technique.

Estimation: HPLC method Mob phase- acetonitrile: 0.1 M phosphate buffer. Wavelength- 254nm.

Utilization:

1.In chemotherapy regimens

2.Childhood leukemia

3.immunosuppressant