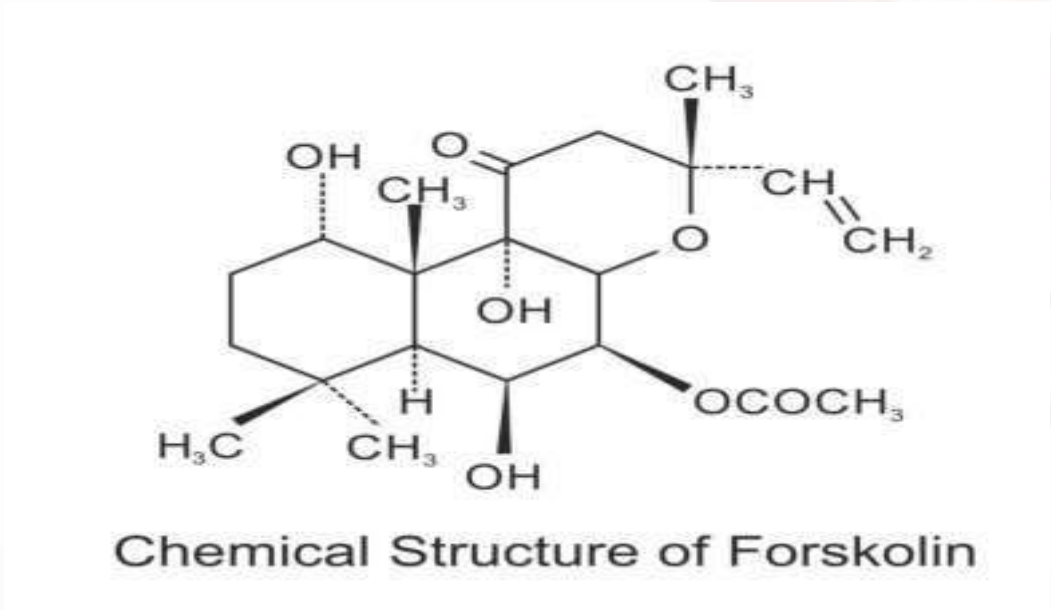
INDUSTRIAL PRODUCTION,ESTIMATION AND UTILIZATION OF PHYTOCONSTITUENTS

DISCLAIMER

ALL THE CONTENT MATERIAL PROVIDED HERE IS ONLY FOR TEACHING PURPOSE.

FORSKOLIN

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



Chemical Structure of Forskolin

- **Industrial Production:**

1. Roots & bark powder extracted with toluene at 60˚C for 2 hours.
2. Filtrate collected & concentrated at temperature not exceeding 40˚C.
3. Concentrated extract mixed with n- hexane, yields crude forskolin in the form of brown ppt.
4. 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.

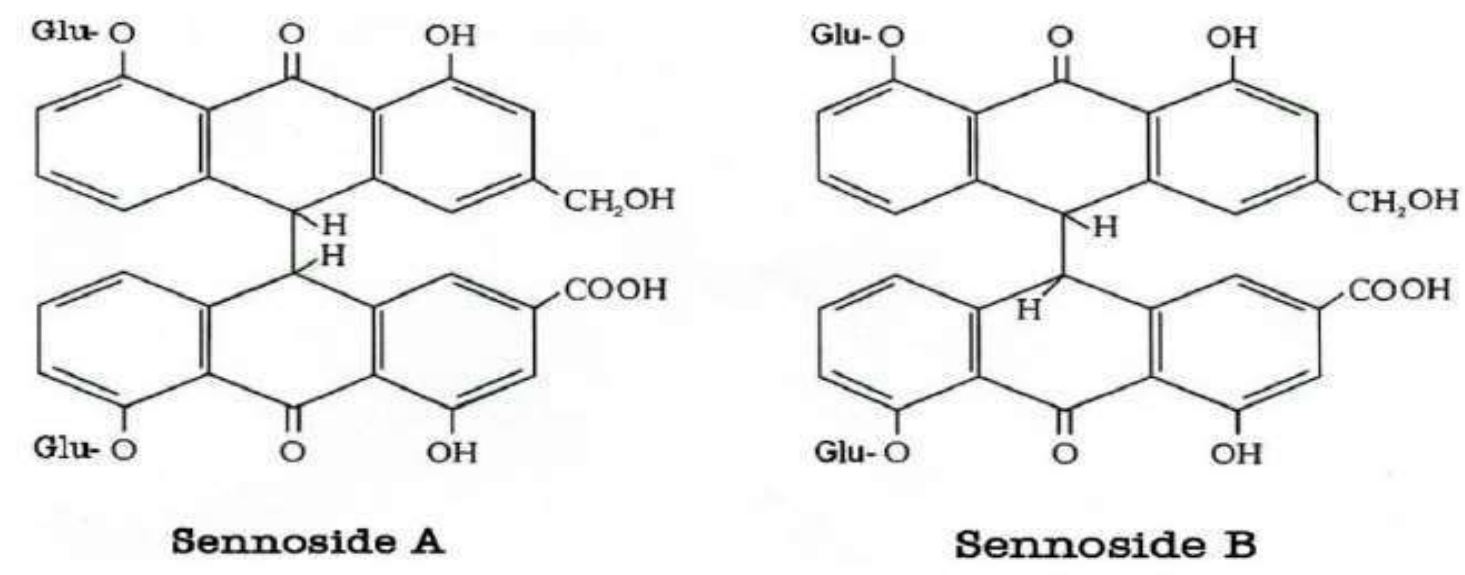
- **Utilization:**

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.



- **Industrial production:**

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

- **Estimation:**

Column- C18

Mobile phase- 1% acetic acid in water: 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.

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. 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.

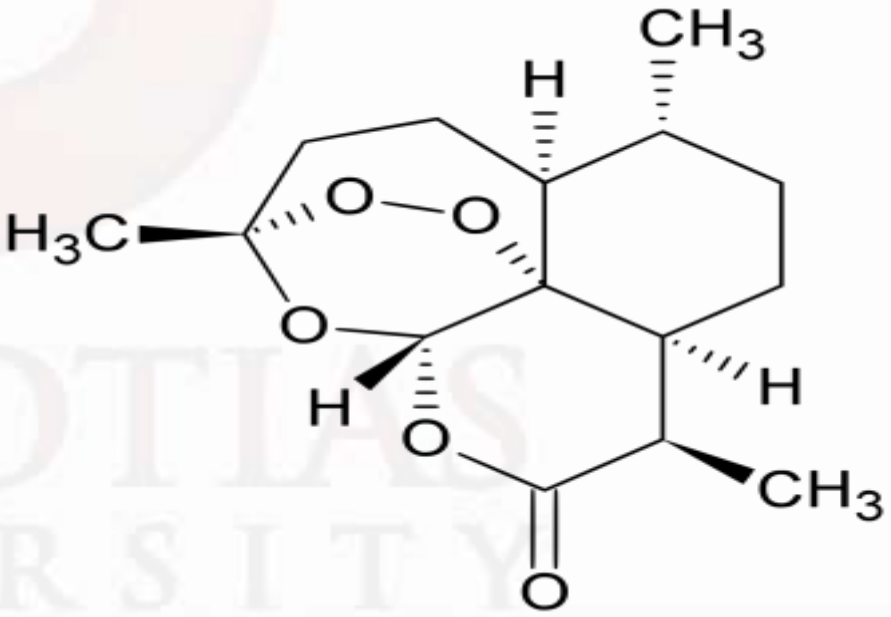
The filtered liquid is adjusted to pH 3.7- 3.9 with ammonia. After adjusted the pH, the liquid is stirred for 30-45 minutes and then allowed to stand for one hour. The precipitate thus formed is removed by filtration and clear liquid is transferred to a tank fitted with stirrer of 90 rpm. It is made 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:**

1. Fresh leaves are dried below 60˚C, powder is extracted with methanol by maceration.
2. Methanol extract partitioned with hexane
3. The hydro alcoholic extract partitioned with ethyl acetate until the colourless.
4. Contentrated at controlled temperature at 40˚C under vacuum.
5. 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.

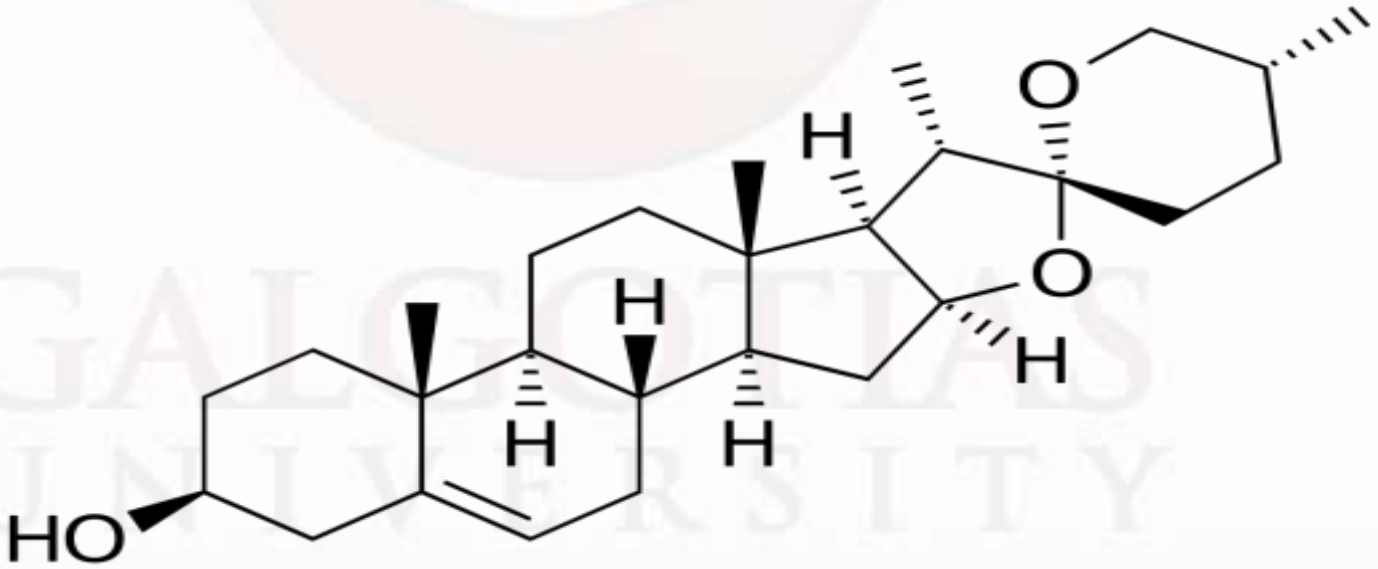
- **Utilization:**

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

DIOSGENIN

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

  Family- Dioscoreaceae.



- **Industrial production:**

1. Dried powder hydrolyzed with 2.5N H_2SO_4 by reflux or autoclave.
2. Marc washed with 10% sod. Bicarbonate to neutralize acid.
3. Hydrolyzed powder extracted with benzene for 6-8 hrs.
4. 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)

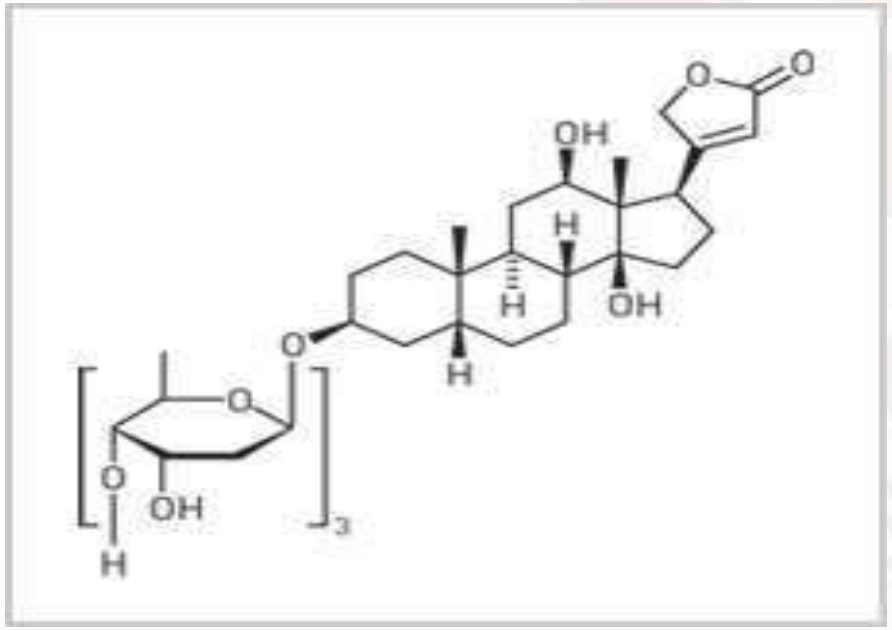
St. phase- Silica gel F 254

- **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- Scrophularia



- **Industrial production:**

1. Fresh leaves made into paste & treated with neutral salt.
2. Paste is defatted with benzene & followed by extraction with ethyl acetate
3. 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.

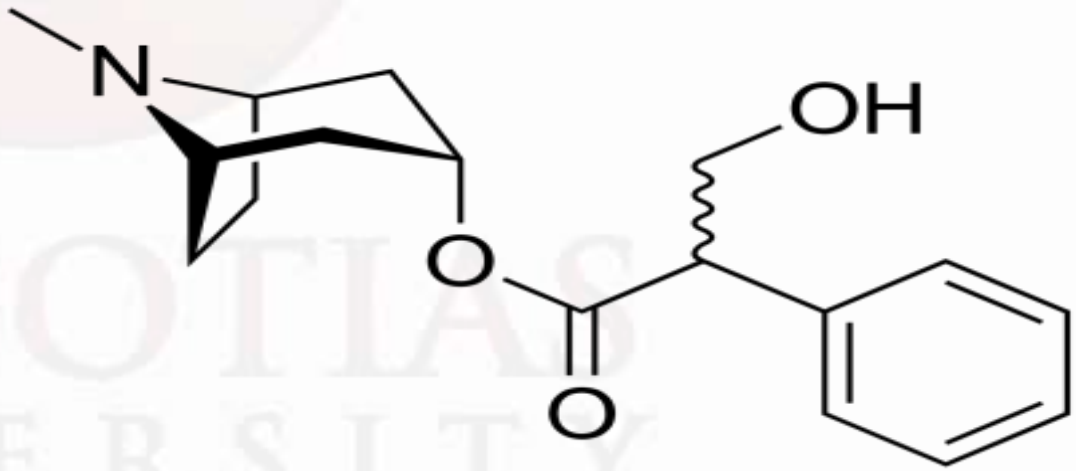
Measure absorbance at 495 nm.

- **Utilization:**

treatment of cardiac disorders.

ATROPINE

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



- **Industrial production**:

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

- **Estimation:**

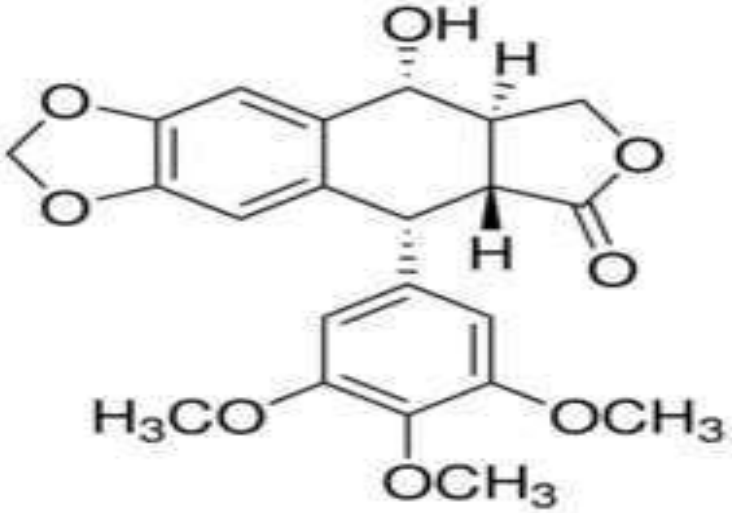
Assay- sulphate salt of atropine titrated against 0.1 N perchloric acid.

- **Utilization:**

1. As preanesthetic medication
2. Antispasmodic

PODOPHYLLOTOXIN

- **Source:** resin, roots & rhizomes of *Podophyllum hexandrum, P. emodi & P. peltatum.*
- Family- Berberidaceae.



- **Industrial production:**

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

- **Estimation:**

HPLC

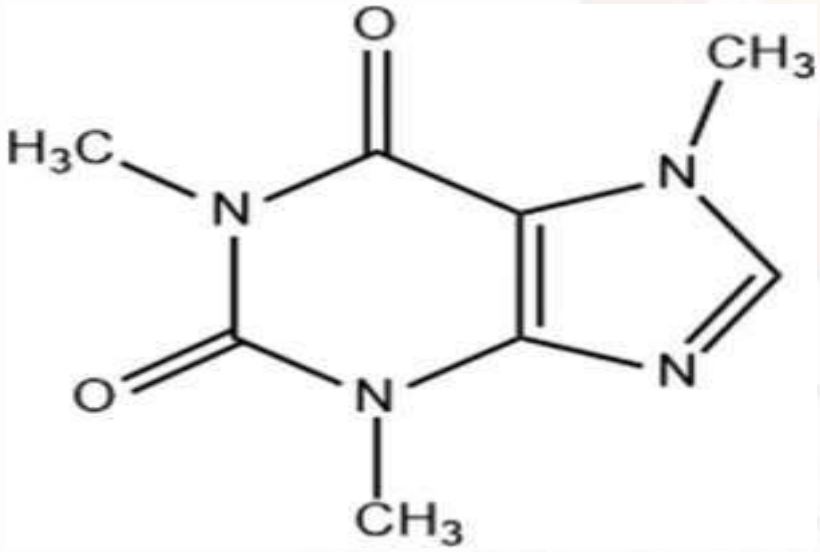
Mob. Phase- methanol: water (62: 38 v/v) Detector wavelength- 280nm.

- **Utilization:**

1. Antitumour
2. Purgative
3. Emetic
4. Treatment of warts

CAFFEINE

- **Source:** xanthine alkaloid, leaves of *Camellia sinesis* (Theaceae), seeds of *Coffea arabica* (Rubiaceae).



- **Production:**

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

- **Estimation:**

HPLC method

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

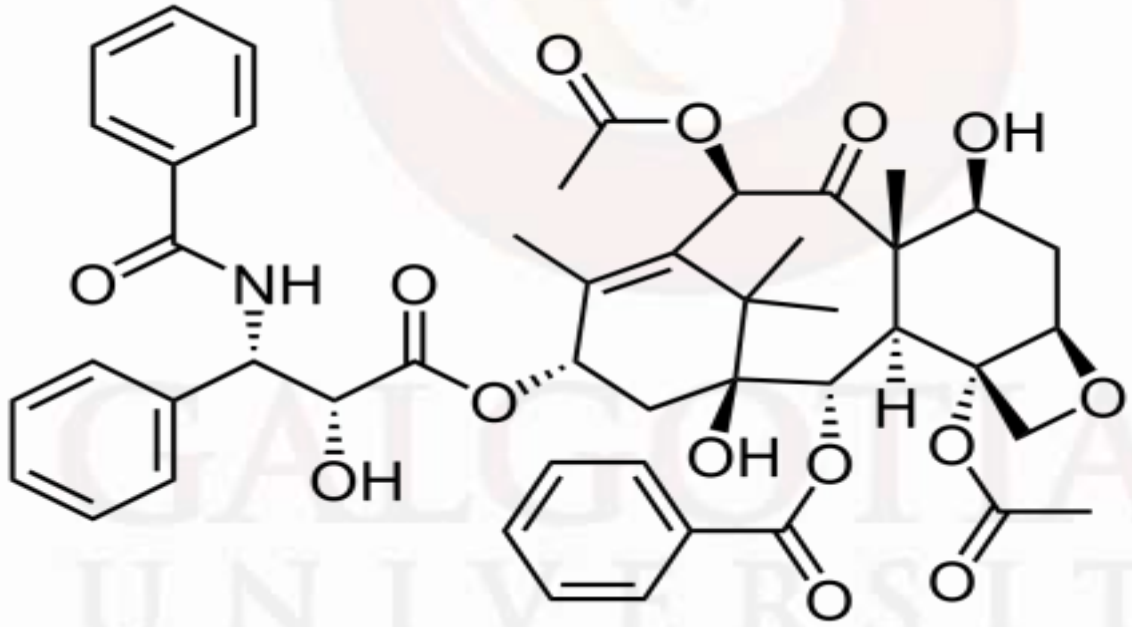
Column- C18

- **Utilization:**

Stimulant

TAXOL

- **Source:** nitrogen containing subs, bark of *Taxus brevifolia*, fam- taxaceae.



- **Production**:

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

- **Estimation:**

HPTLC method

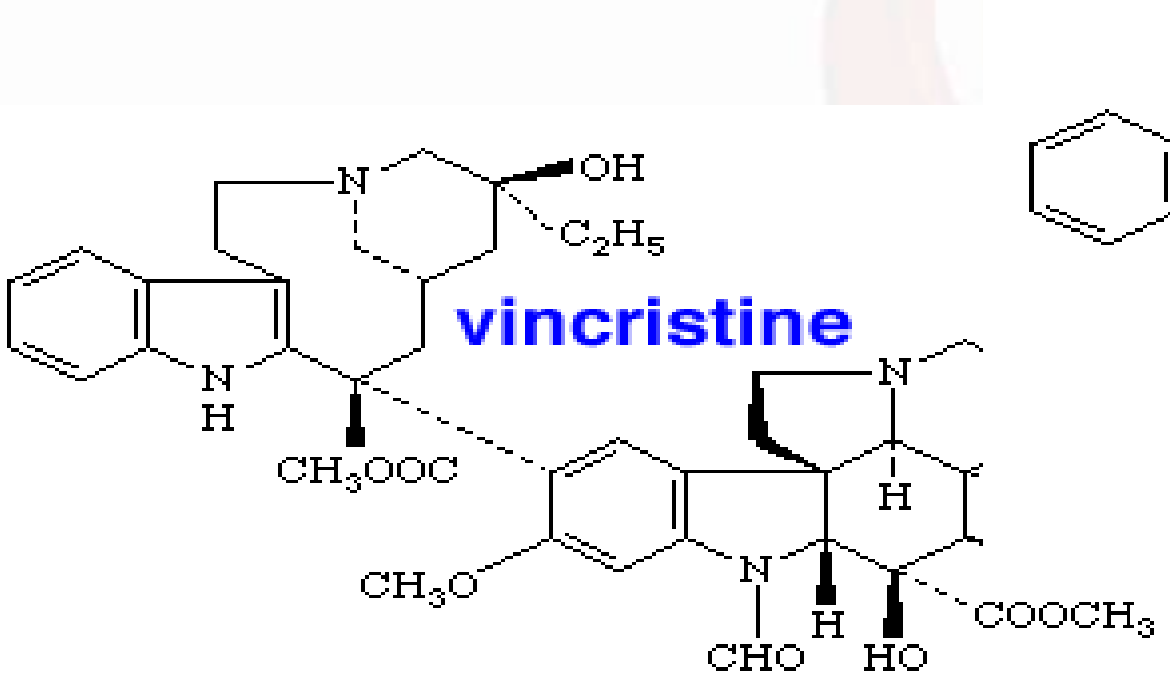
Mob phase- chloroform:methanol (7:1v/v) Visualizing agent- vanillin sulphuric acid.

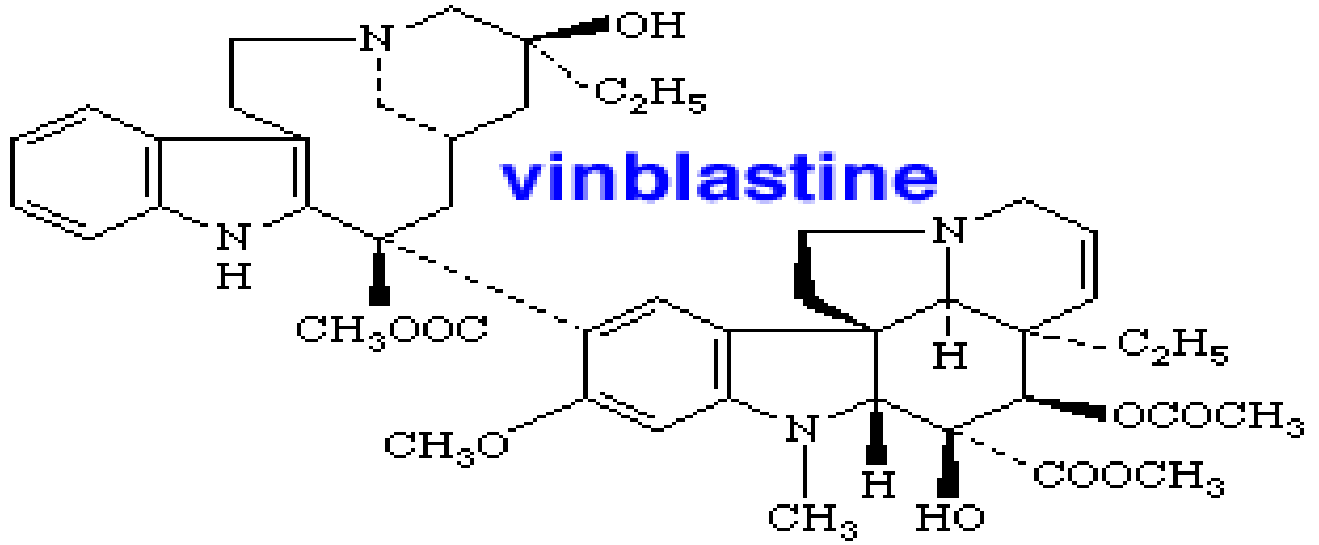
- **Utilization:**

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

VINCRISTINE & VINBLASTINE

- **Source:** Indole alkaloid, *Vica rosea*, family- Apocynaceae.





VINCRISTINE & VINBLASTINE

- **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

References :

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