

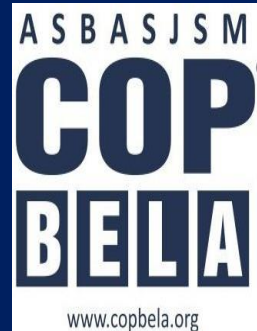


Amar Shaheed Baba Ajit Singh Jujhar Singh Memorial

# COLLEGE OF PHARMACY

(An Autonomous College)

BELA (Ropar) Punjab



|                          |  |
|--------------------------|--|
| Name of Unit             | General introduction, composition, chemistry and chemical classes, biosources, therapeutic uses and commercial applications of following secondary metabolites |
| Subject /Course          | Pharmacognosy and Photochemistry-II  |
| Subject/Course ID        | BP 504T  |
| Class: B.Pharm. Semester | 5 <sup>th</sup>  |
| Course coordinator       | Dr.Satnam Singh  |
| Mobile No.               | 9914544768   |
| Email id                 | drssb13pu@gmail.com  |

## Learning Outcome Module 02

1. The alkaloids, glycosides and misc., volatile oils and resins, briefly.
2. .Complete study of crude drugs containing above mentioned secondary metabolites.

## Module Content

| Topic  |
|--|
| <ul style="list-style-type: none"><li>• Brief study of alkaloids, glycosides, phenyl propanoids, flavonoids, lignans, naphthaquinones and irridoids.</li><li>• Alkaloids viz. vinca, raulwolfia, belladonna and opium.</li><li>• Glycosides And Misc.: Aloes senna, digitalis, dioscorea, liquorice, bitter almond, tea, ruta, gentian, Artemisia and taxus.</li></ul> |

## Important key points of Module

The complete biological source, main chemical constituents and uses of vinca, belladonna, opium, .aloes senna, liquorice, tea and artemisia.

## ALKALOIDS

The term 'alkaloids' was given by W. Meissner. The term is used due to the weak basic nature of these organic substances which make them similar to alkalis. It's a class of compounds which typically contain nitrogen and have complex ring structures. An alkaloid is a nitrogenous organic molecule that has a pharmacological effect on humans and animals.

Alkaloids may be defined as organic compounds of natural or synthetic origin, basic in nature, containing one or more nitrogen atoms and they usually have a marked physiological action on humans and animals when used in small concentrations. Alkaloids are found as secondary metabolites in plants (e.g. in *Vinca* and *Datura*), animals (e.g. in shellfish) and fungi. In their natural state the alkaloids are in the form of salts from organic acids such as lactic, malic, tartaric and citric.

The alkaloids content in plants is usually in small concentration and has limited distribution in the plant kingdom. In plants they may be present in different tissues e.g. leaves (black henbane), fruits or seeds (Strychnine tree), root (*Rauwolfia serpentina*) or bark (cinchona). Furthermore, different tissues of the same plants may contain different alkaloids.

The alkaloids have a wide range of pharmacological properties like antimalarial e.g. Quinine, antiasthmatic e.g. ephedrine, antihypertensive e.g. reserpine, anticancer e.g. vinca alkaloids, antiarrhythmic e.g. quinidine, analgesic e.g. morphine and stimulant e.g. caffeine.

### Types

**TRUE ALKALOIDS** - Nitrogen found in the heterocyclic ring and originates from amino acids.

**PROTO – ALKALOIDS** - These are also called amino alkaloids, these are the compounds that lack one or more of the properties of typical alkaloids. Mainly nitrogen not found in the ring system. E.g. Hordenine, ephedrine, colchicines.

**PSEUDO-ALKALOIDS** – Do not originate from amino acids. E.g. Purine alkaloid – coffee

### Physical Properties

- These are usually crystalline in nature and exist as salts in plants except coniine from hemlock and nicotine from tobacco which are liquids.
- Most alkaloids have a very bitter taste.
- They are usually colourless. Exception is of betadine (red), tylophorine and berberine (yellow) and salts of sanguinarine (copper red in colour).

- The isolation procedure of alkaloids from plants is on the basis of difference in solubility of alkaloids and its salts.
- Alkaloids bases are sparingly soluble in water where as its salts have greater solubility in water. Exception is caffeine which has ready solubility in water and colchicines being soluble at all conditions of pH in water.

## Chemical Properties

- Basic in nature and they have similar properties similar to ammonia.
- The elemental composition, these contain C, H, N and O. Exception is of coniine from hemlock and nicotine from tobacco which are oxygen free.
- Nitrogen can be usually present in primary, secondary, tertiary, and quaternary amines.
- Alkaloids form double salts with compound such as platinum, gold and heavy metals.
- Optically inactive but exception are atropine ( racemic mixture ) and papaverine.

## Tests of Identification

The chemical tests are performed from neutral or slightly acidic solution of drug.

### Mayer's Test

Drug solution + few drops of Mayer's reagent (potassium mercuric iodide), formation of creamy-white precipitate.

### Dragendorff's Test

Drug solution + Dragendorff's reagent (Potassium Bismuth Iodide), formation of reddish-brown ppt.

### Hager's Test

Drug solution + few drops of Hager's reagent (Saturated aq. Solution of Picric acid), formation of crystalline yellow precipitate.

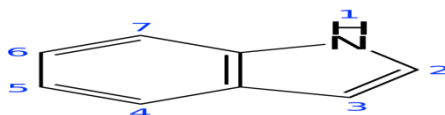
### Wagner's Test

Drug solution + few drops of Wagner's reagent (dilute Iodine solution), formation of reddish-brown precipitate.

### Tannic Acid Test

Drug solution + few drops of tannic acid solution, formation of buff coloured precipitate

## INDOLE ALKALOIDS



- Indole (1-H-indole) is a benzopyrrole in which the benzene and pyrrole rings are through the 2, 3-positions of the pyrrole.
- Indole was first obtained (and its structure elucidated) in 1866 by Adolf von Baeyer.
- These are tryptophan and tryptamine derived alkaloids.
- Indole is a colourless crystalline solid.
- Indole has good solubility in a wide range of solvents including petroleum ether, benzene, chloroform and hot water.
- Contain wide range of therapeutically important alkaloids e.g. Vinca alkaloids, reserpine, ergot alkaloids etc.

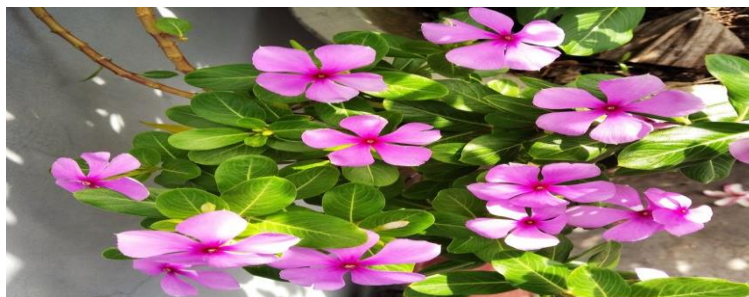
## VINCA

### Synonyms

*Vincarosea*, *Catharanthus*, Madagascar periwinkle, Barmasi.

### Biological Source

Vinca is the dried entire plant of Catharanthus roseus Linn. (syn. *Vincarosea*) belonging to family *Apocynaceae*.



### Geographical Source

The plant is a native of Madagascar and is found in many tropical and subtropical countries especially in India, Australia, South Africa and North and South America. The plant is cultivated as garden plant as well as for medicinal purpose in Europe and India.

### Collection and Preparation

The plant is perennial and retains its glossy leaves throughout the winter.

1. It is cultivated either by directly sowing the seeds or sowing the seeds in nursery.
2. Nursery sowing method is found to be economical and the fresh seeds are sown in nursery in the month of February or March.
3. Proper fertilization and weeding is done timely and leaves are stripped after nine months. In order to collect the whole plant, the stems are first cut about 10 cm above the grounds and the leaves, seeds, stems are separated and dried.

4. The roots are collected by ploughing which are later washed and dried under shade and packed.

## **Macroscopic Characteristics**

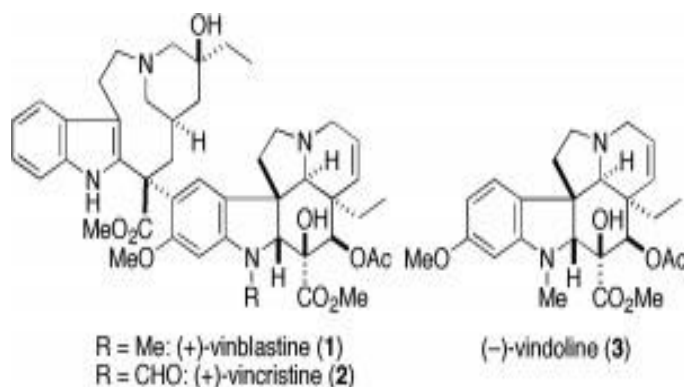
1. The leaves are green in colour, flowers are either violet, pinkish white or carmine red and roots are pale grey in colour.
2. It has characteristic odour and bitter taste.
3. The flowers are hermaphrodite (have both male and female organs) and are pollinated by bees.
4. Leaves are petiolate, entire margin, ovate or oblong, glossy appearance and with acute apex.
5. Fruit is follicles with numerous black seeds.

## **Microscopy**

- I. Vinca has dorsiventral leaf structure.
- II. Epidermis is a single layer of rectangular cells covered with thick cuticle.
- III. It consists of uni-cellular covering trichome and cruciferous stomata.
- IV. In the midrib region two to three layers of collenchyma is present, both below the upper epidermis and above the lower epidermis.
- V. Vascular bundle consisting of xylem and phloem is present in the middle of midrib region and rest of the intercellular space is covered by five to eight layers of spongy parenchyma.
- VI. Calcium oxalate crystals are absent.

## **Chemical Constituents**

- a. Alkaloids are present in entire shrub but leaves and roots contain more alkaloids.
- b. About 90 alkaloids have been isolated from Vinca from which some like Ajmalicine, Serpentine and Tetrahydroalstonine are known and are present in other species of Apocynaceae.
- c. The important alkaloids in Catharanthus are the dimer indoleindoline alkaloids (about 20 in number), chiefly Vinblastine and Vincristine (0.002%) and they possess definite anticancer activity. Vindoline and Catharanthine are indole monomeric alkaloids.
- d. It also contains monoterpenes, sesquiterpene, indole and indoline glycoside.



### Therapeutic Uses

1. Vinblastin is an antitumour alkaloid used in the treatment of Hodgkin's disease, other lymphomas and choriocarcinoma.
2. Vincristine is a cytotoxic compound and used to treat leukaemia in children.
3. Vincaalso exhibits hypotensive and antidiabetic properties

### Commercial Uses

Source of vinca alkaloids

### Marketed Products

It is one of the ingredients of the preparation known as Cytocristin (Cipla).

## RAUWOLFIA

### Synonyms

Sarpagandha, Snake root; Chootachand;

### Biological Source

Rauwolfia consists of dried roots of *Rauwolfiaserpentina* Benth., belonging to family *Apocynaceae*.

### Geographical Source

Rauwolfia species are distributed in tropical Asia ,America and Africa . It is commercially in India , Myanmar ,Thailand, Sri Lanka and America.

In India it is cultivated in UP, Bihar ,W. Bengal ,Orissa, TN, Maharashtra , Karnataka and Gujarat .

### Collectionand Preparation

1. Propagation is done by planting seeds, root cuttings or stem cuttings. Better drug is obtained when the propagation is carried out with fresh seeds.
2. The plants should be protected from nematodes, fungus and Mosaic virus. The drug is collected mainly from wild plants.

3. Roots and rhizomes are dug out in October–November when the plant roots are two to four years old.
4. The roots are washed and dried in air. The roots containing moisture up to 12% should be protected from light.
5. Rauwolfia should be packaged and stored in well-closed containers in a cool, dry place that is secure against insect attack.



### **Macroscopic Characteristics**

**Shape:** The drug occurs in cylindrical or slightly tapering, tortuous pieces,

**Size :**10–15 cm long, 1–3 cm in diameter.

**Color:** The outer surface is greyish-yellow to brown, wood is pale yellow.

**Odour:** odorless

**Taste:** bitter.

The fracture is short.

Roots are rough with longitudinal markings and slightly wrinkles surface.

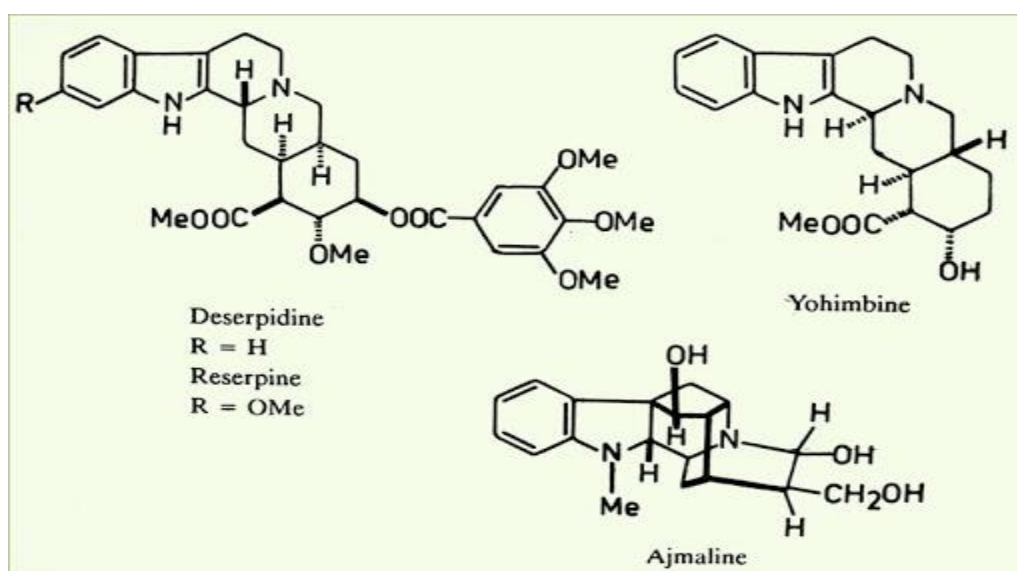
### **Microscopy**

1. Transverse section of the root shows a stratified cork, which is divided, into two to eight alternating zones.
2. It consists of one to seven layers of smaller and radially narrower, suberised, nonlignified cells alternating with one to three layers of larger radially broader, lignified cells.
3. The phelloderm is composed of about ten to twelve layers of tangentially elongated to isodiametric, cellulosic parenchymatous cells.
4. Cells of secondary cortex are parenchymatous and contain starch grains, simple and compound (two to four components), spherical with a distinct hilum in the form of a split.
5. Phloem is narrow and consists of parenchyma with scattered sieve tissue; parenchyma alternate with broader medullary rays composed of large cells and usually two to four cells wide.

- Xylem is wide, entirely lignified and usually shows two to five annual rings.
- Medullary rays, one to five cells wide, contain starch grains and alternate with secondary xylem consisting of vessels, tracheids, fibres and parenchyma.
- Xylem vessels have pitted thickening.

## Chemical Constituents

- Rauwolfia contains about 0.7–3% total alkaloidal bases (indole type about 30 in number).
- The prominent alkaloids isolated from the drug are reserpine, rescinnamine, and deserpidine. Reserpine is a white or pale buff to slightly yellow, odourless, crystalline powder that darkens slowly when exposed to light and rapidly when in solution.
- The other alkaloidal components are ajmalinine, ajmaline, ajmalicine (8-yohimbine), serpentine, serpentinine,
- Oleo-resins, phytosterol, fatty acids and sugars.



## Therapeutic Uses

- Rauwolfia is used as a tranquilizer and antihypertensive (due to reserpine).
- Ajmaline, which has pharmacological properties similar to those of quinidine, is marketed in Japan for the treatment of cardiac arrhythmias.

## Commercial Uses

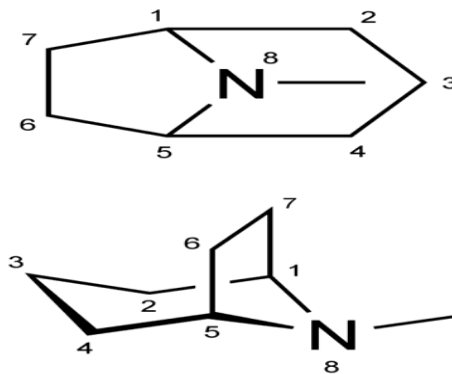
- Extraction of alkaloids like reserpine, rescinnamine, ajmaline etc.
- Preparation of rauwolfia liquid extract.

## Marketed Products

It is one of the ingredients of the preparations known as Confido, Lukol, Serpina (Himalaya Drug Company) and Sarpagandhanbati (Baidyanath).

## TROPANE

- The tropane alkaloids, formed by fusion of piperidine and N-methyl pyrrolidine, are commonly found in plants of three families, the Solanaceae, Erythroxylaceae and Convolvulaceae families.
- They are esters combined with acids. These esters of tropane acid generally with alcohol (tropine).
- Ornithine is the precursor for biosynthesis of tropane.
- These are found as the salts of tropane acid which gives Vitali Morin reaction.

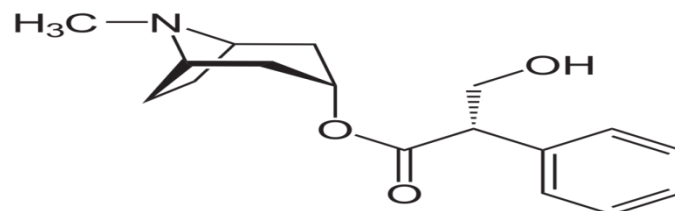


**TROPANE IS A FUSION OF TWO RINGS ARE PYRROLIDINE AND PIPERIDINE.**

**TROPIC ACID**

+

**TROPINE**



**L – hyoscyamine (Scopoline )**  
**( ester of tropane and tropic acid )**

Its resimic mixture is atropine

If there is epoxide linkage then it is hyoscine.( Scopokmine )

## BELLADONNA

### Synonyms

Belladonna herb; Belladonna leaf; Deadly night shade leaves

### Biological Source

Belladonna consists of dried leaves and flowering tops of *Atropa belladonna* Linn. (European Belladonna), *AtropaAcuminata* ( Indian ) , belonging to family *Solanaceae*.

It contains not less than 0.3% of total alkaloids calculated as hyoscyamine.

## Geographical Source

It's indigenous to and cultivated in UK and other European countries . In India it's found in J&K and Himachal Pradesh ..

## Cultivation and Collection

- II. Plants are cultivated by sowing seeds in nurseries and seedlings are transplanted in April.
- III. Weeds are removed and manure is applied for proper growth of the crop.
- IV. During flowering session leaves and flowering tops are cut at least three times in a year at an interval of two months from one to three years old plants.
- V. When the plant is four years old, roots are dug out. The collected drug is dried at 40–50°C.



## Macroscopical characters:

**Shape:** Leaves are ovate-lanceolate to broadly ovate; flowers are - campanilatecorolla, Calyx- 5 lobed

**Size :** Leaves-5-25 cm length, 2, 5-12 cm broad; flowers - 2.5 cm length, 1.2 cm wide.

**Color:** Leaves-green to brownish-green; flowers –purple to yellowish brown.

**Odour:** slight and characteristic.

**Taste:** bitter.

Leaves are simple, alternate, arranged in pairs on the upper stems, each pair with a large and a small leaf;Margin- acuminate;Surface- slightly hairy; petiolate.

Ovary is superior, bilocularwith numerous ovules and axileplacentation.Fruitsareberry typegreen to dark purplish black,

## Microscopical characters of belladonna root:

Stomata = Anisocytic ( mmajor ) and Anomocytic ( minor )

## Periderm:

Periderm is distinguishable into Phellem and Phellodenn.

## Cork:

Cork few layers, cells tangentially elongated and arranged in radial rows.

## **Phellogen:**

Phellogen is not distinguishable through a faint layer can be made out.

## **Phelloderm:**

Phelloderm few layers, cells tangentially elongated and contain starch and yellowish matter.

## **Secondary Phloem:**

Several layers of starch bearing parenchyma with groups of sieve elements. Phloem fibres absent. Numerous sandy bails, a characteristics feature of belladonna root and leaf, are seen scattered throughout in the phloem tissue.

## **Cambium:**

It is represented in the form of a ring containing 4 to 5 layers of rectangular cells arranged in radial rows.

## **Secondary xylem:**

Secondary xylem forms the bulk of the root and occupied a large area. Secondary xylem consists of largely starch bearing parenchyma with several scattered groups of vessels (3 to 10), associated with tracheids, fibres and Cellulosic parenchyma. The groups of vessels are more towards the cambium. Primary xylem forming the central mass shows distinct diarch nature.

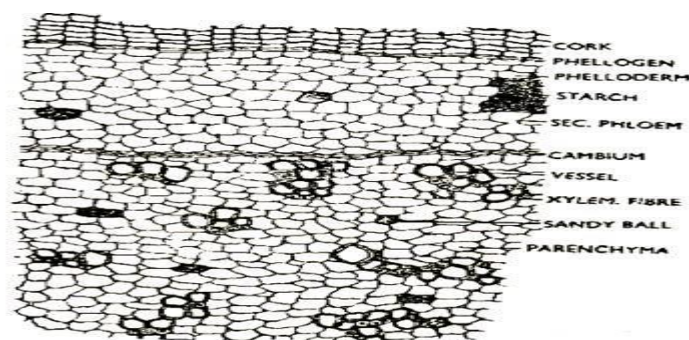


Fig. 3: T. S. of belladonna root

**Chemical constituents:** Tropane alkaloids (0.4-1%):

- i. L-hyoscyamine (90%),
- ii. D, L- hyoscyamine (Atropine) . Atropine is not naturally present but occur during the extraction process.
- iii. Fluorescence substance Scopoletin present.
- iv. Scopolamine (10%),
- v. Belladonine, Apotropane,

Belladonna roots also contain tropane alkaloids.

## Chemical Test:

### Vitali Test:

A drop of fuming  $\text{HNO}_3$  is added to a small portion of an extract of any Solanaceous drug like species of *Atropa*, *Hyoscyamus* and *Datura* or the Tropane alkaloids themselves and then evaporated to dryness on a water bath. Thereafter it is cooled and on addition of 2 drops of 5% alcoholic potassium hydroxide solution, purple colour is formed indicating the presence of Tropane alkaloids.

### Therapeutic Uses

1. Belladonna herb is used to reduce secretion of sweat, saliva and gastric glands.
2. Antispasmodic (given with purgatives in griping)
3. A parasympathetic depressant
4. Use as antidotes in chlorhydrate and opium poisoning.

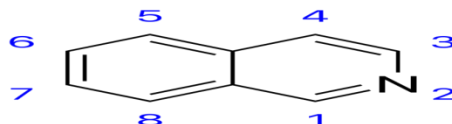
### Commercial Uses

1. As a source of belladonna alkaloids
2. For preparation of belladonna extract
3. In the form of plaster belladonna is used as a powerful antispasmodic.

### Adulterants/Substitutes:

The leaves of *Solanum nigrum*, *Phytolacca decandra* and *Ailanthus glandulosa*.

### ISOQUINOLINE



- ✚ Isoquinoline is a double carbon ring containing one nitrogen atom.
- ✚ Isoquinoline alkaloids are found in varying quantities in the opium, ipecac and curare.
- ✚ Opium is a narcotic drug having analgesic, expectorant, smooth muscle relaxant etc. properties.

## OPIUM

### Synonyms

Raw Opium; Afim; Post.

### Biological Source

Opium is the air-dried milky latex obtained by incision from the unripe capsules of *Papaver somniferum* Linn., belonging to family *Papaveraceae*.

Opium is required to contain not less than 9.5% of morphine and not less than 2.0% of codeine.

## **Geographical Source**

It is mainly cultivated in India, Pakistan, Iran, Afghanistan, Turkey, Russia, Yugoslavia. In India, Opium is cultivated in M.P. (Neemuch) and U.P. for alkaloidal extraction and seed production.

## **Collection and Preparation**

- I. Opium is cultivated under license from the government.
- II. Its seeds are sown in October or March in alluvial soil.
- III. After germination of seeds snow falls. In spring the thin plant attains the height of 15 cm.
- IV. Fertilizers are used for better crop.
- V. The poppy of first crop blossoms in April or May and the capsule mature in June or July.



## **Macroscopical characters:**

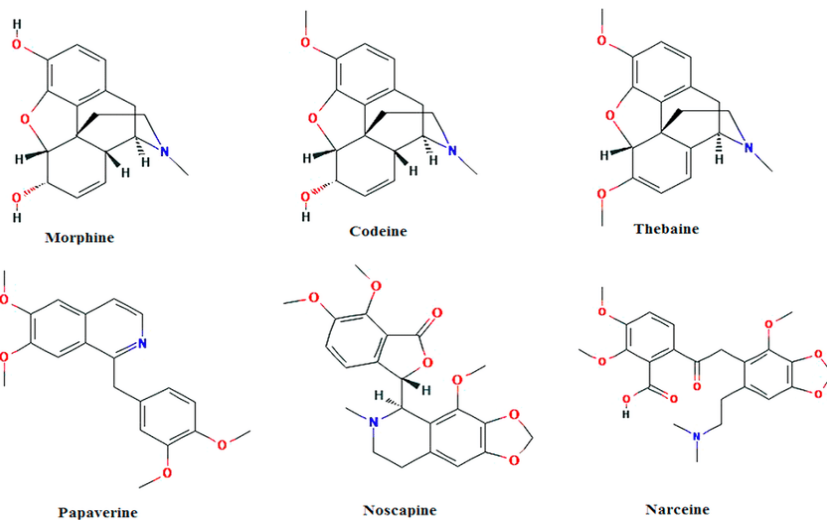
- I. Opium occurs in rounded or flattened mass which is 8–15 cm in diameter and weighing from 300 g to 2 kg each.
- II. The external surface is pale or chocolate-brown, texture is uniform and slightly granular.
- III. It is plastic like when fresh and turns hard and brittle after sometime.
- IV. Fragment of poppy leaves are present on the upper surface.
- V. Internal surface is coarsely granular, reddish-brown, lustrous;
- VI. Odour is characteristic; taste is bitter and distinct.

Opium is intended only as a starting material for the manufacture of galenical preparations and is not dispensed as such.

## **CHEICAL CONSTITUENTS**

- a. Opium contains about 25 alkaloids (9–20%) among which morphine is the most important base (8–17%). Morphine contains a phenanthrene nucleus.

- b. The alkaloids are combined with meconic acid.
- c. The other alkaloids isolated from the drug are codeine (2.5–3.5%), narcotine(5.5-7.5), thebaine (1–2%) and papaverine (about 1%).



**The different types of alkaloids isolated are:**

**1. phenanthrene nucleus**

Morphine, codeine, neopine, pseudo or oxymorphine, thebaine and porphyroxine.

**2. Benzyl Isoquinoline Type:**

Papaverine, dl-laudanine, laudanidine, codamine and laudanosine.

**Chemical Tests**

Aqueous extract of Opium with FeCl<sub>3</sub> solution gives deep reddish purple colour which persists on addition of HCl. It indicates the presence of meconic acid.

**Therapeutic Uses**

1. Opium and morphine have narcotic, analgesic and sedative action.
2. Is used to relieve pain, diarrhoea dysentery and cough.
3. Codeine is employed in cough mixtures.
4. Muscle relaxant (due to papverine)
5. Narcotine is non narcotic and is a respiratory stimulant.
6. Noscapine is not narcotic and has cough suppressant action acting as a central antitussive drug.

Opium, morphine and the diacetyl derivative heroin, cause drug addiction.

**Commercial Uses** For commercial extraction of codeine and other non – narcotic alkaloids.

## GLYCOSIDES

Glycosides are defined as organic compounds from plants and animal sources, which on acidic or enzymatic hydrolysis give one or more sugar moieties along with a non-sugar moiety. The non sugar moiety is called as aglycon or genin and sugar moiety is called glycone.

The aglycones may be hydroxylic compounds like alcohols or phenols or even amines. Thus glycosides are acetals or sugar ethers, the condensation products of sugar and aglycones. The sugars in the glycosides can be the simple ones like glucose, galactose, mannose or the rare ones like digitoxose, cymarose or rhamnose. The linkage between glycon and aglycon portion is called glycosidic linkage.

### General properties

- 1) Glycosides are crystalline or amorphous substances which are soluble in water, and dilute alcohol but insoluble in  $\text{CHCl}_3$  and ether. The aglycon moiety is soluble in non polar solvent like  $\text{C}_6\text{H}_6$ .
- 2) Glycosides contain sugar but still the physical, chemical and therapeutic activity is based on aglycon portion. Sugar facilitates the absorption of the glycoside, helping it to reach the site of action.
- 3) Glycosides are easily hydrolyzed by mineral acids, water and enzyme. They show optical activity, normally they are levorotatory.
- 4) Glycosides can not reduce Fehling's solution until they are hydrolyzed.
- 5) They are believed to facilitate growth and protection of plants.

Many important glycosides are used in medicine viz. digitoxin, digoxin, aloin, sennosides, glycyrrhizin. Diosgenin is commercially important as it is used for production of steroidal drugs.

### Classification

#### I) Based on linkage between glycon and aglycon portion.

OH groups reacting with any of the groups like OH, CN, SH, NH product in aglycon part

**a) O-glycoside** They are common in higher plants e.g. senna, rhubarb. They are hydrolyzed by treatment with acid or alkali into glycon and aglycon portion.

**b) C-glycoside**

Some of the anthraquinone glycosides like cascarioside in cascara, aloin in aloes show this particular linkage. They can not be hydrolyzed by heating with dil acid or alkalis.

but by oxidative hydrolysis with  $\text{FeCl}_3$ . Cochical contains c-glycoside in the form of coloring matter called carminic acid.



### c) S-glycoside

The occurrence of this glycoside is restricted to isothiocyanate glycoside like sinigrin in black mustard formed by the condensation of sulphohydril group of aglycon to OH group of glycon.

### d) N-glycoside

The most typical representation of this is nucleoside where the amino group reacts with OH group of ribose or deoxyribose resulting into N-glycoside

## II) Based on the chemical nature of non sugar moiety and pharmacological activity

1. **Anthraquinoneglycoside** -anthraquinone moiety as aglycon used as purgatives eg. Aloin (from aloe), sennosides (from senna).
2. **Sterol or cardiac glycoside**:-aglycon portion is steroid molecule. e.g. :digitoxin, digoxin (from digitalis).
3. **Saponins glycoside** eg.Glycyrrhizic acid ( fromLiquorice),diosgenin (from dioscorea).
4. **Cyanogenic glycoside** –egAmygdalin ( frombitter almond).
5. **Isothiocyanate glycoside**- eg.Sinigrin( fromblack mustard).
6. **Flavonoid glycoside**- eg. Rutin (from Rutagraveolens).

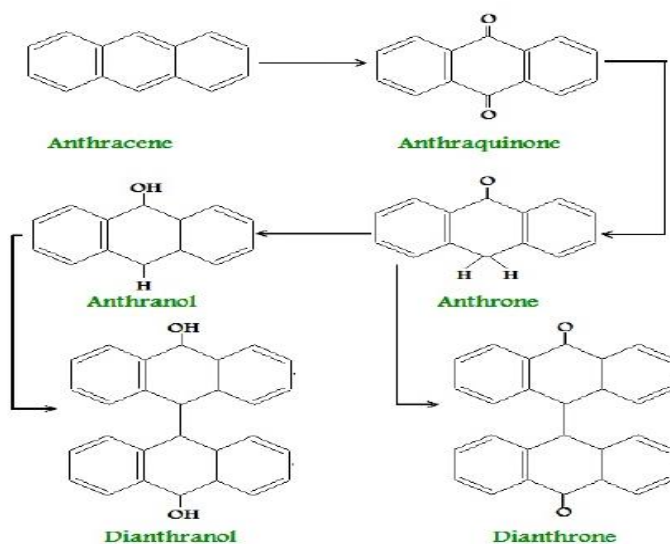
### Anthraquinone glycosides

Traditionally senna, rhubarb, cascara and aloe has been used as purgative drugs. Anthraquinone glycosides are derivatives of anthracene or anthraquinones. The anthraquinone glycosides are the ones whose aglycone component is a polyhydroxyanthraquinone derivative. They occur in various reduced forms viz. anthrones, oxanthrone or anthranols. They also occur as dimers. The sugar residue facilitates absorption and translocations of the aglycone to the site of action.They are present in plant families of Liliaceae, Leguminosae, Polygonaceae, Rhamnaceae. Certain fungi and lichens also contain anthraquinones.Sennosides and aloin are important anthraquinones.

**Borntrager's Test** Powdered drug sample is boiled with dilute sulphuric acid, Filtered and cooled. The filtrate is extracted with chloroform or benzene and dilute ammonia is added to it. The ammonical layer becomes pink to red due to the presence of anthraquinones derivative. This test is used to detect o-glycosides.

**Chemistry:**

Chemically these are related to **anthracene**.



**Modified Borntrager's Test:** It is known that aloin (or barbaloin) belongs to the class of C glycoside which does not undergo hydrolysis either by heating with dilute acid or alkali, but it may be decomposed with ferric chloride due to oxidative hydrolysis. Hence, the Modified Borntrager's test employing  $\text{FeCl}_3$  and HCl is used. First of all heat together 0.1 g of powdered aloe with about 2 ml of  $\text{FeCl}_3$  solution (5% w/v) and 2 ml of dilute HCl (6N) in a test tube over a pre-heated water bath for 5 minutes. Cool the contents and extract the liberated anthraquinone with carbon tetrachloride. Now carefully separate the lower layer of  $\text{CCl}_4$  and add to it ammonia solution. The appearance of a rose-pink to cherry red colour confirms its presence.

## ALOES

**Synonym:** Grihakanya, Kanya, Ghritakumari, Kumari

**Biological Source:** Aloe is the dried juice of leaves of various species of Aloes, namely:

*Aloe barbadensis* Miller (or Curacao Aloe); *Aloe perryi* Baker (or Socotrine Aloe); *Aloeferox* Miller and hybrids of this species with *Aloe africana* Miller and *Aloe spicata* Baker (or Cape Aloe) belong to the family *Liliaceae*. *A. veris* Indian variety.

## Geographical Source

Curacao Aloes or Barbados Aloes and Bonaire (West Indian Islands).

Socotrine or Zanzibar Aloes : Socotra and Zanzibar Islands.

**Cape Aloes:** Cape Town (South Africa).

It is also cultivated in Europe and the North West Himmalayan region in India.

## **Preparation**

The leaves are transversely cut at the base and the incised ends placed downwards in a 'V' shaped trough having a hole at its bottom. The juice drains down the trough and is collected in individual receptacles placed beneath. The latex is boiled/evaporated in a kettle made of copper till it attains such a consistency that it may be poured into metallic blocks where it gets solidified. When the latex is concentrated gradually and then cooled slowly, it gives rise to an opaque product. If the latex is concentrated rapidly, followed by sudden cooling the resulting product appears to be transparent and relatively brittle in nature. The broken surface has a vitreous or glassy surface. Such a product is commonly known as 'glassy' aloe.

## **Description (depending upon its method of propagation )**

### **Curacao aloe**

- (i) Shape: Opaque
- (ii) Colour: yellow-brown to chocolate-brown.  
Inferior over-heated drug is nearly black.
- (iii) Odour: strong odour resembles with Iodoform.
- (iv) Taste: Bitter
- (v) Fracture: waxy.

### **Cape aloe:**

- (i) Glassy ii) Color dark chocolate or green chocolate . Small pieces are reddish brown or yellow or amber colored. iii) Odour characteristic iv) Taste unpleasant , bitter (v) Fracture : Breaks with a glassy fraction.

### **Socotrine aloe:**

- (i) Opaque masses of different shapes and sizes; yellow-brown to dark brown colour ; unpleasant odour and bitter taste. Fracture is irregular and porous.

### **Zanzibar aloe:**

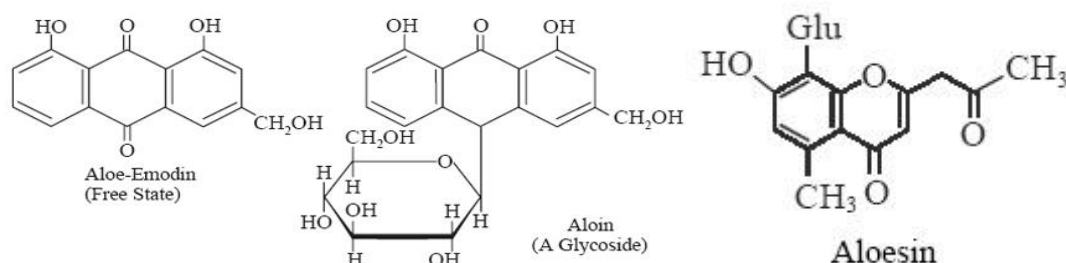
- (i) Opaque, more firm than Socotrine
- (ii) Colour: brown.
- (iii) Fracture: smooth as wax.
- (iv) Odour: considered pleasant
- (v) Taste: bitter.

### Chemical Constituents

Aloe may contain upto 30% aloin which is a mixture of three isomers: barbaloin,  $\beta$ -barbaioin and isobarbaloin. Barbaloin present in all the four varieties (22% in Curacao) is slightly yellow-coloured, bitter, water-soluble, crystalline glycoside. It's a C-glycoside compared to common O-glycosides.

$\beta$ -barbaloin is amorphous and present in Cape aloe and can be produced from barbaloin on heating. Isobarbaloin is crystalline, present in Curacao aloe and in traces in Cape aloe and absent in Socotrine and Zanzibar aloe and is probably a mixture of barbaloin and polyphenols responsible for its colour tests.

Barbaloin on hydrolysis yields aloe emodinanthrone and glucose. Besides aloe-emodinanthrone, aloe-emodinanthranol and aloe-emodin are also present. Aloe contains a resin, principally Aloesin, which is responsible for purgative action of aloe.



Aloe yields not less than 50% of water soluble extractives.

**Chemical Tests** The overall chemical tests for *aloes* may be divided into *two* separate heads, namely: (a) General Tests, and (b) Special Tests

**(a) General Tests:** For this prepare a 0.1% (w/v) aqueous solution of aloe by gentle heating, add to it 0.5g of Kiesulgur and filter through. Whatman Filter Paper No. 42 and preserve the filtrate for the following tests:

**1. Modified Borntrager's Test:** It is known that aloin (or barbaloin) belongs to the class of **Cglycoside** which does not undergo hydrolysis either by heating with dilute acid or alkali, but it may be decomposed with ferric chloride due to oxidative hydrolysis. Hence, the **Modified Borntrager's test** employing  $\text{FeCl}_3$  and HCl is used as stated below:

First of all heat together 0.1 g of powdered aloe with about 2 ml of  $\text{FeCl}_3$  solution (5% w/v) and 2 ml of dilute HCl (6N) in a test tube over a pre-heated water bath for 5 minutes. Cool the contents and extract the liberated anthraquinone with carbon tetrachloride. Now carefully separate the lower layer of  $\text{CCl}_4$  and add to it ammonia solution. The appearance of a rose-pink to cherry red colour confirms its presence.

**2. Bromine Test:** When equal volumes of the test solution and bromine solution are mixed together, it yields a pale-yellow precipitate due to the production of tetrabromaloin.

**3. Borax Test (or Schoenteten's Reaction):** To 5 ml of the above test solution add 0.2 g of pure borax and heat gently till it gets dissolved. Transfer a few drops of the resulting solution into a test tube filled with distilled water, the appearance of a green coloured fluorescence due to the formation of *aloe emodinanthranol* shows its presence.

#### **(b) Special Tests**

**1. Nitrous Acid Test:** Crystals of sodium nitrite together with small quantity of acetic acid when added to 5 ml of the above test solution of aloe, the following observations are noted:

**(a) Curacao Aloes:** A sharp pink to carmine colour due to the presence of isobarbaloin.

**(b) Cape Aloes:** A faint pink colour due to isobarbaloin.

**(c) Socotrine and Zanzibar aloes:** Colour comparatively lesser change in colour.

**2. Nitric Acid Test:** The Test solution of aloes when made to react with nitric acid, it gives rise to various shades of colour due to different types of aloes available commercially as shown below:

**CaracaoAloe :** Deep brownish red

**Cape Aloes :** Initial brownish colour changing to green

**SocotrineAloes :** Pale brownish yellow

**Zanzibar Aloes :** Yellowish brown

**3. Cupraloin Test (or Klunge'sIsobarbaloin Test):** To 10 ml of a 0.4% (w/v) aqueous solution of aloe add a drop of the saturated solution of copper sulphate, immediately followed by 1 g of NaCl and 20 drops of ethanol (90% v/v). It produces different shades of colours depending on the variety of aloes used:

**CarocaoAloes :** A wine red colour lasting for few hours,

**CaoeAloes :** A faint colouration changing to yellow quickly,

**SocotrineAloes :** No colouration

**Zanzibar Aloes :** No colouration

#### **Uses**

**Therapeutic uses** Though, both aloes and aloin are official drugs, the former is mostly used as a purgative by exerting its action mainly on colon, whereas the latter is generally preferred over the former now-a-days.

Aloe usually causes griping and is, therefore, administered along with carminatives.

2. Aloes find its usefulness as an external aid to painful inflammatory manifestations.

## Commercial Uses

1. **Aloe gel** is a slightly viscous or clear liquid obtained from the inner parenchymatous cells of aloe leaf. Ointment of aloe gel is used in sunburns, thermal burns, radiation burns, and abrasion and skin irritation and prevents ulceration and malignancy and in cosmetics.
2. Aloe constitutes an important ingredient in the '**Compound Tincture of Benzoin**' (or **Friar's Balsam**).

## SENNA

### Biological Source

Senna leaf consists of the dried leaflets of *Cassia acutifolia* Delile (*C. senna* L.) known as Alexandrian senna and of *C. angustifolia* Vahl., which is commercially known as Tinnevelly senna belonging to family Leguminosae.

Senna pods are also source of drug.

### Geographical Source

Alexandrian senna is indigenous to South Africa. It widely grows and sometimes is cultivated in Egypt and in the middle upper territories of Nile river. It is also cultivated in parts of Sudan. Indian or Tinnevelly senna is indigenous to southern Arabia and cultivated largely in Tinnevelly and Ramnathpuram districts of Tamilnadu and also many parts of South India.

### Collection

Tinnevelly Senna plant is similar to Alexandrian senna plant and is cultivated in South India in areas adjoining Tinnevelly and exported from Tuticorin. The plant is very sensitive to temperature and if the temperature falls below + 10°C, the plant dies.

1. The collection of leaves takes place before flowering season.
2. For collection each leaf is carefully picked from the plant by hand and afterwards they are dried in shade with care.
3. Because of drying in shade, their natural green colour is maintained.
4. After drying leaves are packed in bales with pressure applied in packing. They are less brittle and hence are more or less entire leaves and in good condition.
5. The mature senna pods are also collected, dried and packed.

### Macroscopic characters

**Colour** : Yellowish green

**Odour** : Slight

**Taste** : slightly bitter Mucilagenous

**Size** : Length = 2.5-6 cm Width = 7-8 mm

**Shape :** Lanceolate

**Alexandransenna** is ovate – lanceolate, of size 2-4 cm x 7-12 mm, Pale greyish greencolored base more assymatrical, more pubescent and has thin and brittle texture.

**The pods** are broadly oblong 4-5 cm long, 2-2.5 cm wide, pale green to greyish-brown in color.

### **Microscopical characters**

#### **Upper epidermis:**

Single layer with polygonal cells covered on the outer side by a thick warty cuticle. Some epidermal cells contain mucilage. Only covering trichomes emerge from the epidermis layer. Trichomes are non-glandular short, thick, unicellular, non-lignified, warty and curved at the bulbous base. Stomata are seen at regular intervals.

#### **Mesophyll:**

It is differentiated into palisade and spongy parenchyma. Being a unifacial or isobilateral leaf; palisade is further differentiated into upper and lower palisade.

#### **Upper palisade:**

Single layered, compact with elongated, narrow, columnar cells and this continues also over the midrib region.

#### **Spongy parenchyma:**

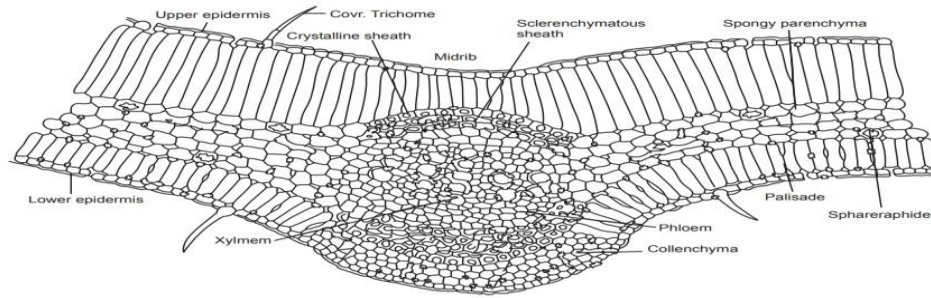
Thin, narrow, loosely arrange between the upper and lower palisade. Vascular strands are seen very frequently. Few sphaeraphides are also seen in the parenchyma

#### **Lower palisade:**

It is restricted, unlike upper palisade, to lamina region only. Cells are smaller than those of upper palisade, loosely arranged and their wall are wavy. Lower epidermis: it is very similar to upper epidermis

#### **Midrib:**

It presents a flat ventral surface and convex dorsal surface. The epidermal layers are continuous over the midrib. The cells of the lower epidermis however are small with thick cuticle. The cells of the upper palisade, a tissue that appears below the upper epidermis in the midrib region, are relatively smaller Collateral vascular bundle is prominent occupying the central portion of the midrib. Xylem as usual is towards the ventral surface and phloem towards the dorsal surface. The vascular bundle is covered on both the sides (dorsal and ventral) by patches of sclerenchymatous fibrous.



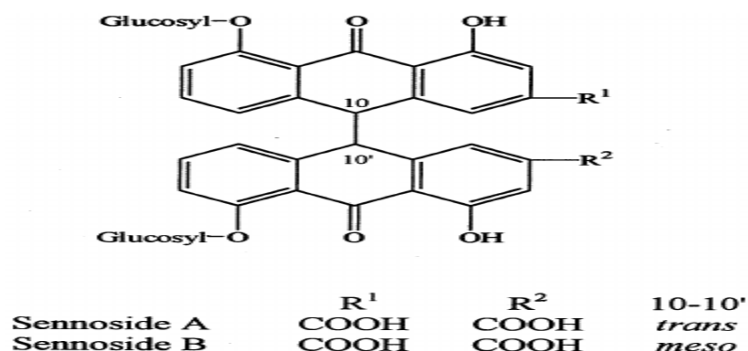
### Comparison between Alexandrian and Tinnevely senna

| Macroscopical characters   |   |
|--|---|
| Alexandrian senna  | Tinnevely senna   |
| Seldom exceed 40 mm in length  | Seldom exceed 50 mm in length   |
| Greyish-green  | Yellowish-green   |
| More asymmetric at base  | Less asymmetric at base   |
| Rather more broken and curled at the edges   | Seldom broken and usually flat  |
| Few press markings owing to compression  | Often shows impressions due to the midvein of other leaflets                                |
| Microscopical characters   |   |
| Hairs more numerous, the average distance between each being about three epidermal cells | Hairs less numerous, the average distance between each being about six epidermal cells      |
| Most of the stomata have two subsidiary cells only                                       | The stomata having two or three subsidiary cells respectively are in the ratio of about 7:3 |
| Vein-islet number 25–29.5  | Vein-islet number 19.5–22.5   |
| Stomatal index 10.0–15.0, usually 12.5   | Stomatal index 14.0–20.0, usually 17.5  |

### Chemical constituents

1. Senna contains anthraquinonesglycosides (NLT2.5%) chiefly **sennosidesA, sennosides B,**
2. Sennosides-C, sennosides D, emodin, chrysophenol, Aloe emodin, rhein.

**Others:** Two naphthalene glycoside. It also contains yellow flavinol, colouring matter kaempferol and its glycosides kaempfrin, sterol and its glucoside. Mucilage, resin and calcium oxalate. Alexandrian senna contains more sennosides 3-3.6% as compared to Indian Senna. Senna pods contain 2.5-4.5 % sennosides.



### Chemical tests:

### Borntranger's Test:

Powdered leaves of Senna are boiled with dilute sulphuric acid, Filtered and cooled. The filtrate is extracted with chloroform or benzene and dilute ammonia is added to it. The ammonical layer becomes pink to red due to the presence of anthraquinones derivative.

### Uses

1. Laxative or cathartic
2. It's mixed with carminatives to prevent griping effect.

### Marketed Products

It is one of the ingredients of the preparations known as Constivac, Softovac (Lupin Herbal Laboratory) and Isova powder, Kultab tablet (VasuHealthcare); are usually employed as purgative in habitual constipation.

### Substitutes and adulterants

#### 1. Arabian Senna:

This is the wild plant of *Cassia angustifolia* available in Arabia and is used as substitute.

#### 2. PaltheSenna:

This is the dried plant of *Cassia auriculata* leaves are used as substitute of senna.

**3. Dog Senna:** It is obtained from the leaves of *Cassia obovata*. The leaves are ovate in shape.

### Steroid Glycosides

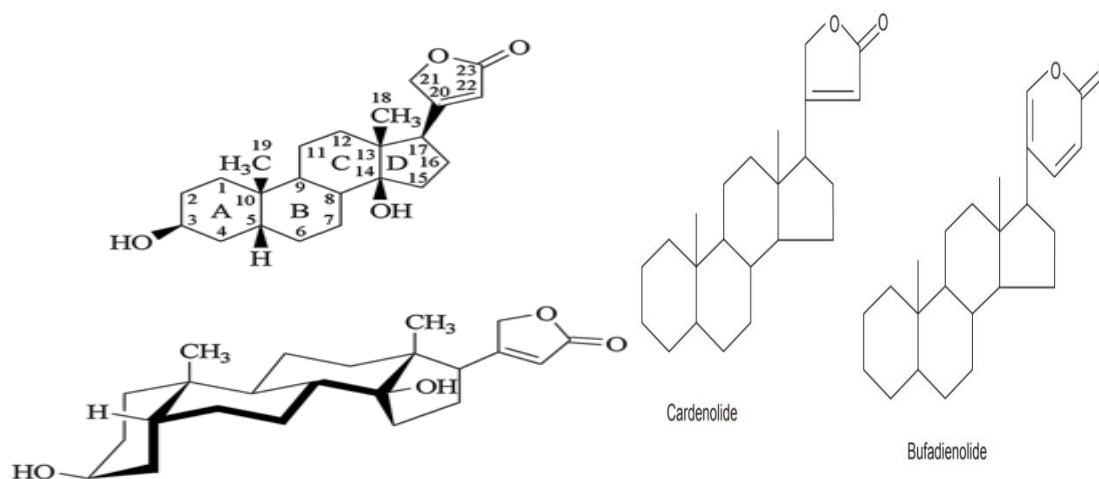
The steroids have cyclopentanoperhydrophenanthrene nucleus. Cardiac glycosides are composed of two structural features: the sugar (glycone) and the nonsugar (aglycone-steroid) moieties. The steroid nucleus has a unique set of fused ring system that makes the aglycone moiety structurally distinct from the other more common steroid ring systems. The steroid nucleus has hydroxyls at 3- and 14-positions of which the sugar attachment uses the 3-OH group. 14-OH is normally unsubstituted. Many genins have OH groups at 12- and 16-

positions. These additional hydroxyl groups influence the partitioning of the cardiac glycosides into the aqueous media and greatly affect the duration of action. The lactone moiety at C-17 position is an important structural feature. The size and degree of unsaturation varies with the source of the glycoside. Normally plant sources provide a five-membered unsaturated lactone while animal sources give a six-membered unsaturated lactone.

One to four sugars are found to be present in most cardiac glycosides attached to the  $3\beta$ -OH group. The sugars most commonly used include L-rhamnose, D-glucose, D-digitoxose, D-digitalose, D-digginose, D-sarmentose, L-vallarose and D-fructose. These sugars predominantly exist in the cardiac glycosides in the  $\beta$ -conformation. The presence of acetyl group on the sugar affects the lipophilic character and the kinetics of the entire glycoside.

**Two classes have been observed in nature**—the cardenolides and the bufadienolides.

The cardenolides have an unsaturated butyrolactone ring while the bufadienolides have a pyrone ring. The lactone of cardenolides has a single double bond and is attached at the C-17 position of steroidal nucleus. They are five-membered lactone ring and form a  $C_{23}$  steroids (Leguminosae, Cruciferae, Euphorbiaceae, etc.), while the lactone of bufadienolids have two double bond which is attached at the 17  $\alpha$ -position of the steroidal nucleus. They are six-membered lactone ring and form  $C_{24}$  steroids (Liliaceae, Ranunculaceae).



Generally, the **steroid glycosides** are invariably employed in the therapeutic domain primarily for *two* vital reasons, namely: (a) to enhance the tone, excitability and above all the contractibility of the cardiac muscle; and (b) to increase the diuretic action, due principally to the enhanced renal circulation (an inherent secondary action).

## **Digitalis**

### **Biological Source**

Digitalis consists of the dried leaves of *Digitalis purpurea* L., belonging to the family *Scrophulariaceae*. The fresh leaves must be dried immediately in the dark at a temperature not exceeding 60°C so that the final dried leaves should not contain more than 5% of moisture. This is, however, extremely important to retain the glycosides in a good undecomposed condition.

**Geographical Source** It is grown in England, other parts of Europe, United States and India. In India, it is grown in Kashmir.

### **Collection and Preparation**

1. The sowing of seeds is performed in autumn (October/November), and the seedlings are virtually transplanted in the fields in the following springs (March/ April).
2. The leaves are normally hand picked in the afternoon during August/ September in the first and second year, when almost 2/3rd of the flowers have fully bloomed.
3. The leaves collected in the first year are found to contain the highest percentage of glycosides. The basal leaves and the ones located at the top are collected at the end.

### **Organoleptic characters**

**Colour** : Dark greyish green

**Odour** : Slight

**Taste** : Bitter

**Size** : Length: 10-40 cm; width: 4-20 cm

**Shape** : Orate-lanceolate to broadly ovate

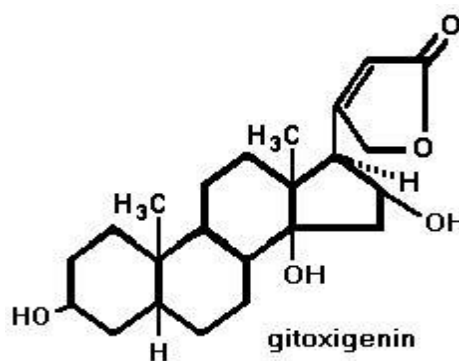
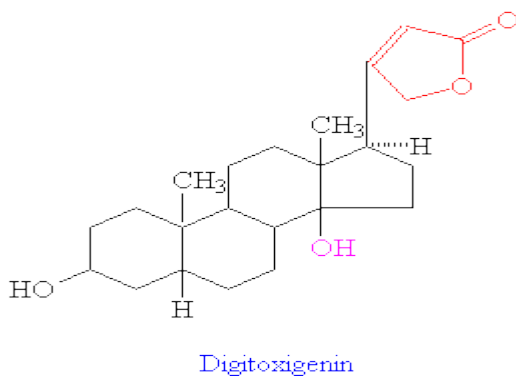
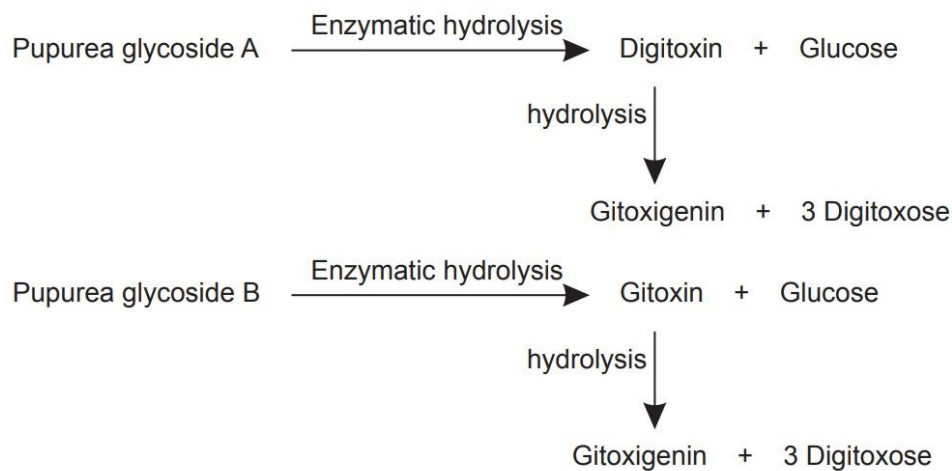
**Special Features** The digitalis leaves are more or less pubescent venation together with pronounced and marked veinlets on the under surface. The leaves are invariably crumpled and broken.

### **Chemical constituents**

Digitalis leaves contains 0.2–0.45% of both primary and secondary glycosides.

1. Purpurea glycosides A and B and glucogitoxin are primary glycosides. Purpurea glycosides A and B are present in fresh leaves and by their hydrolysis digitoxin and glucose or gitoxin and glucose are obtained respectively. Hydrolysis of purpurea glycosides can take place by digipuridase (enzyme) present in the leaves.
2. Digitoxin yields on hydrolysis digitoxigenin and three digitoxose.
3. Digitalis leaves also contains glycosides like odoroside-H, gitaloxin, verodoxin and glucoverodoxin.

4. The important saponins include digitonin, and gitonin.



### Chemical Tests

Digitalis glycosides having five membered lactone ring answers positive for the following tests which are due to the intact lactone.

1. **Baljet Test:** To a thick section of the leaf sodium picrate reagent is added. Yellow to orange colour indicates the presence of glycoside.
2. **Legal Test:** Glycoside is dissolved in pyridine and sodium nitroprusside solution is added to it and made alkaline. Pink to red colour is produced.
3. **Keller–Killiani Test:** The isolated glycoside is dissolved in glacial acetic acid and a drop of ferric chloride solution is added followed by the addition of sulphuric acid which forms the lower layer. A reddish-brown colour is seen in between two liquids and the upper layer becomes bluish green.

If the powdered leaves are used, 1 gm of the powdered leaves is extracted with 10 ml of 70% alcohol for couple of minutes, filtered and to 5 ml of filtrate 10 ml of water and 0.5 ml of strong solution of lead acetate is added and filtered and the filtrate is shaken with 5 ml of

chloroform. Chloroform layer is separated in a porcelain dish and the test is carried out as mentioned above.

## Uses

1. Digitalis leaves are useful as cardiotoxic in congestive heart failure (CHF) that may be caused by different diseases. Digitalis enhances force of contraction hence increasing cardiac output, increasing diuresis and so treating oedema.

2. It's also used to treat tachycardia, atrial flutter and atrial fibrillation.

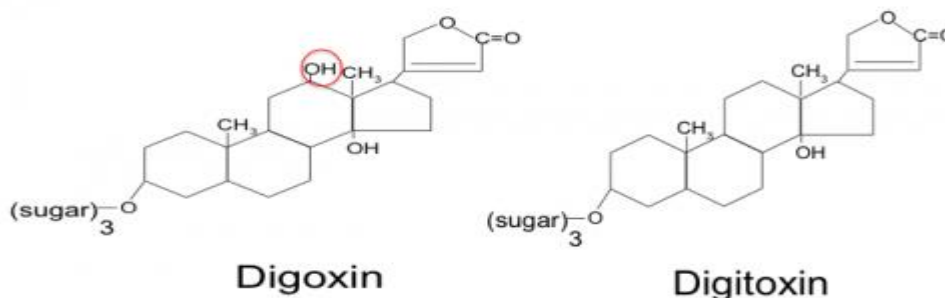
Digitalis glycosides has cumulative effect hence should be used under strict medical supervision.

Commercially digitalis leaves are used as source of cardiac glycosides.

## Allied drugs

### 1. *Digitalis lanata*

Commonly called as woolly foxglove, it's obtained from dried leaves of *Digitalis lanata* belonging to family scrophulariaceae, it grows in England, Holland and USA. The leaves are oblong, lanceolate, sessile with entire margin having 21x6 cm in dimensions. The half basal part of leaves is covered with cilia making it "wooly". It contains 5 primary cardioactive glycosides namely Lanatosides A, B, C, D and E. Lanatosides A, B, C losing a glucose and acetyl group these gets converted to digitoxin, gitoxin and digoxin respectively. The aglycones digoxigenin and digitoxigenin are specific to *Digitalis lanata* while others are also present in *Digitalis purpurea*. The potency of lanata leaves is four times as that of *Digitalis purpurea*. Commercially it's used for extraction of digoxin and lanatosides C and other lanatosides.



## Adulterants

*Verbascum thapsus* also known as Mullein leaves. These leaves are covered with large woolly branched candelabra trichomes.

*Primula vulgaris* (Primrose leaves) can be detected by the presence of long eight- to nine-celled covering trichomes in them.

*Symphytum officinale* (Comfrey leaves), this leaves contains multicellular trichomes forming hook at the top.

## Marketed Products

It is one of the ingredients of the preparation known as Lanoxin tablets (Glaxo Smith Kline).

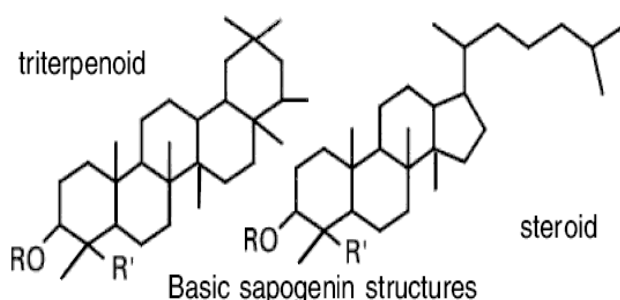
## Saponin glycosides

Saponin glycosides are the plant glycosides possessing a distinct property of soap like action, forming thick foam when shaken with water. They are also haemotoxic in nature, particularly for cold blooded animals. Saponins on hydrolysis give sugars (glucose, galactose, rhamnose or xylose, etc.) and aglycones (sapogenin). Chemically, sapogenins are characterized by the presence of a spiroketal side-chain. Saponins have also been used in medicine, foaming agents, in fire extinguishers and fish poisons as it causes haemolysis particularly in cold blooded animals.

## Properties of saponin glycosides

1. Saponins are mostly amorphous in nature.
2. They are soluble in alcohol and water, but insoluble in non-polar organic solvents like benzene, n-hexane, etc.
3. An aqueous solution froths when shaken.
4. An aqueous solution added to red blood corpuscles causes haemolysis, e.g. disintegration and solution of the corpuscles to form a clear red liquid.

## Classification of Saponins



They are classifying in two groups:

### I. Tetracyclic triterpenoid or Steroidal saponins:

For commercial production of steroids

- a. *Dioscorea tubers* - Diosgenin
- b. *Solanum Berries*- Solasodine

**c. Asparagus roots- Sarsapogenin**

**II Pentacyclic Triterpenoidsaponins**

(a) Ginseng- Gingenoside

(b) Liquorice- Glycyrrhizin

(c) Senega- Senegin- II

**Chemical test for Saponin Glycosides-**

**1. Foam test:**

Shake the drug extract or dry powder vigorously with water. Persistent foam observed.

**2. Haemolytic test:**

Add drug extract or dry powder to one drop of blood placed on glass slide. Haemolytic zone appears.

**Dioscorea**

**Synonyms** Yam.

**Biological Source** It essentially comprises of the dried tubers of *Dioscorea deltoidea* Wall., *Dioscorea composita* and other species of *Dioscorea* belonging to the family *Dioscoreaceae*.

**Geographical Source** *D. deltoidea* is grown in United States and Mexico. It is cultivated from Nepal to China at an altitude ranging from 3,000 to 10,000 feet. It is also found growing abundantly in North Western Himalayas from Kashmir to Panjab in India.

**Collection and Preparation** Healthy tubers are selected for raising the crop. The young plants are transplanted in the field after 2-3 months of growth. For their optimum growth manure and fertilizers are used. Harvesting is done by deep ploughing in the dormant season, dried (losing half of its weight) and packed.

**Organoleptic characters**

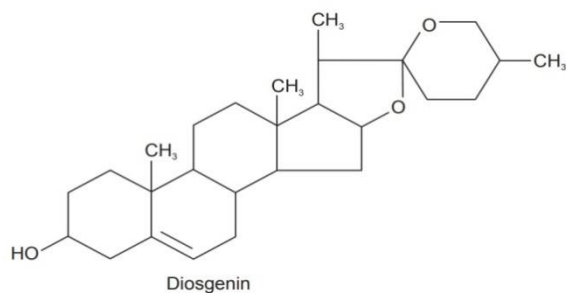
**Colour** : Slightly brown.

**Odour** : Odourless.

**Taste** : Bitter and acrid.

**Size** : Varies dependig on the actual age of the rhizomes (tubers).

**Chemical Constituents** The major active constituent of **dioscorea** is *diosgenin* usually present in the range of 4-6%. **Diosgenin** is the *aglycone* of saponoin **dioscin**.



Besides, the rhizomes contain starch to the extent of 75% but it has no edible utility because of its bitter taste. They also contain phenolic compounds and an enzyme *sapogenase*.

### Uses

1. **Dioscorea** has a tremendous potential as a commercial product because of its high content of diosgenin, which in turn is invariably employed as a starting material for the synthesis of a host of important therapeutic drugs, for instance: *sex-hormones*, *oral contraceptives* and several *corticosteroids*.
2. **Dioscorea** is mostly employed in the treatment of rheumatic arthritis.

### Liquorice

**Synonyms** Glycyrrhiza; Mulathi.

The word **Glycyrrhiza** has been derived from the Greek origin that means *sweet root*; and **glabra** means smooth.

**Biological Sources** Liquorice is the dried, peeled or unpeeled, roots, rhizome or stolon of *Glycyrrhizaglabra* Linn. belonging to family *Leguminosae*.

**Geographical Sources** Liquorice is grown commercially mostly in Russia, Iran, Spain, Italy, China, France, Iraq and Greece.

The following are the *three* commonly grown varieties of *Glycyrrhizaglabra*, namely:

- (a) ***G. glabra var gladulifera (or Russian Liquorice)***: It has a distinct big stock together with a number of elongated roots, but it has not got any stolon.
- (b) ***G. glabra var. typica (or Spanish Liquorice)***: This specific plant bears only purplish-blue coloured papilionaceous flowers. It possesses a large number of stolons and
- (c) ***G. glabra var. violaceae (or Persian Liquorice)***: This specific species bears violet flowers,

**Collection and Preparation** The roots are usually harvested after 3 to 4 years from its plantation when they mostly display enough growth. The rhizomes and roots are normally harvested in the month of October, particularly from all such plants that have not yet borne the fruits. thereby ascertaining maximum sweetness of the sap. The rootlets and buds are

removed manually and the drug is washed with running water. The drug is first dried under the sun and subsequently under the shade till it loses almost 50% of its initial weight. The large thick roots of the Russian Liquorice are usually peeled before drying.

## Description

**Colour :** *Unpeeled Liquorice*-Externally, yellowish brown or dark brown; and internally, yellowish colour

**Odour :** Faint and characteristic

**Taste :** Sweet

**Size :** Length, 20 to 50 cm; Diameter, 2 cm

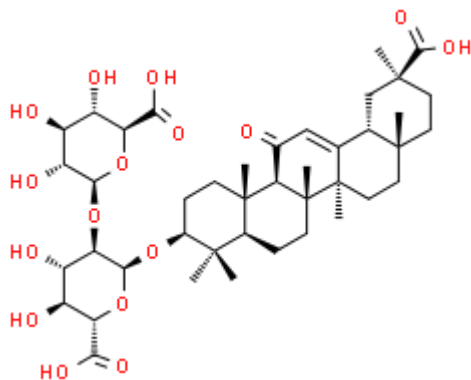
**Shape :** *Unpeeled drug*—Straight and nearly cylindrical

*Peeled drug*—Mostly angular

**Fracture :** Fibrous in bark; and splintery in the wood.

## Chemical Constituents

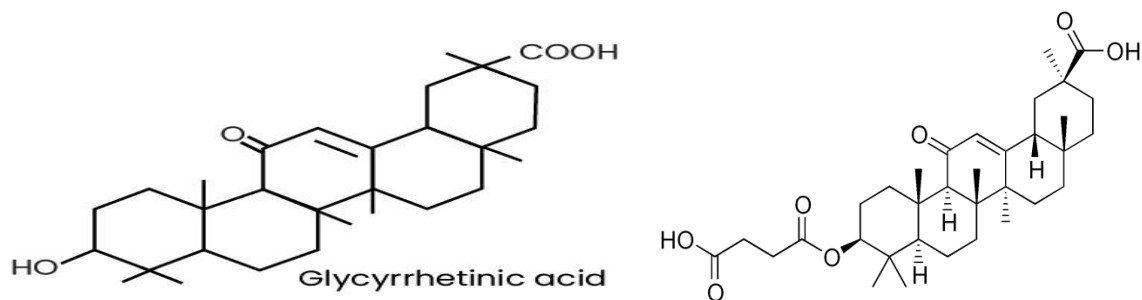
Liquorice contains a saponin glycoside known as glycyrrhizin (calcium and potassium salt of glycyrrhizic acid) 6-13%. Glycyrrhizin upon hydrolysis loses its sweet taste and gives rise to the aglycone glycyrrhetic acid (glycyrrhetic acid) together with two moles of glucuronic acid.



Glycyrrhizin is found to be 50 times as sweet as sugar.

The other chemical constituents essentially include are namely flavonoid glycoside *e.g.*, *liquiritin*; *isoliquiritin*, glucose (upto 4%) sucrose (2.5-6.5%) and about 20% of starch, bitter principle, resins asparagine (2-4%) .

Interestingly, carbenoxolone, which is an *oleandane derivative* is prepared from glycyrrhiza and possesses considerable mineralocorticoid activity. It is used as an anti-ulcer drug.



### Carbenoxolone

### Chemical Tests

1. When sulphuric acid (80%) is added to a thick section of the drug or powder, it instantly produced a deep yellow colour.

### Uses

1. Glycyrrhiza has expectorant and demulcent properties.
2. It is used as a masking agent for bitter drugs in pharmaceutical formulations, such as: quinine, aloe, ammonium chloride etc.
3. The presence of glycyrrhetic acid exerts mineralocorticoid activity and hence it is used in the treatment of inflammations, rheumatoid arthritis and Addison's disease.
4. Liquorice liquid extract is used in the treatment of peptic ulcer.
5. Liquorice is an important ingredient in 'Liquorice compound powder' wherein it augments the action of senna.

### Commercial uses

1. Commercially Liquorice as sweetening agent
2. Ammoniated glycyrrhiza is employed as a flavouring agent in beverages, pharmaceuticals and confectionary.
3. Liquorice liquid extract is employed as a foam stabilizer in the foam type-fire-extinguisher.

### Substituents/Adulterants

*Glycyrrhiza uralensis*, also known as Manchurian Liquorice, which is pale chocolate brown in appearance having wavy medullary rays and exfoliated cork is mostly used as an adulterant for *G. glabra*.

### CYANOGENETIC GLYCOSIDES

They are also called as Cyanophore glycosides as they contain hydrocyanic acid (HCN) in their aglycone moiety. Cyanogenetic glycosides on hydrolysis yield hydrocyanic acid one of the products. They are obtained from the plants. More than 100 plant families are reported to contain cyanogenetic glycosides, largely in Rosaceae. Most of them are derivatives of mandelonitrile, which on hydrolysis yielding hydrocyanic acid and benzaldehyde. The group

is represented by amygdalin, which is found in large quantities in bitter almonds, in kernels of apricots and plum and also by prunasin, from wild cherry bark, linamarin from linseed etc.

**Sodium Picrate Test:** It's based on liberation of hydrocyanic acid on hydrolysis of cyanogenetic glycosides containing drug which changes sodium picrate to sodium purpurate, changing yellow color of sodium picrate to to brick-red of sodium purpurate.

## Bitter Almond

**Synonym** Amygdala amara.

**Biological Source** Bitter almond comprises of the dried ripe seeds of *Prunus amygdalus* Batsch. var. *amara* (DC) belonging to family *Rosaceae*.

Sweet almonds are obtained from the plant *Prunus communis*.

**Geographical Source** Bitter almond trees are mostly native of Persia and Asia Minor. They are largely cultivated in Italy, Sicily, Portugal, Spain, Southern France and Morocco.

## Macroscopic characters

**Colour** Brown

**Odour** odourless

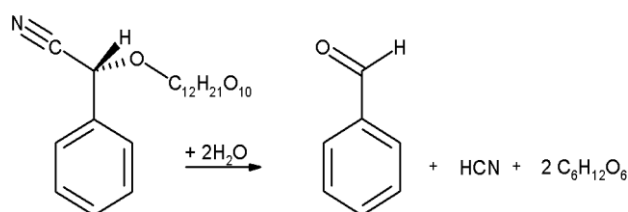
**Taste** Bitter

**Size** about 2cm in length , 1.25 cm width and 1 cm thickness .

**Shape** flattened, oblong, ovoid , markings on testa.

## Chemical constituents

Bitter almonds contain about 40-50% bland fixed oil, 20% proteins, a colorless crystalline bitter glycoside amygdalin (1-3%) and an enzyme emulsin, They also contain 0.5% volatile oil. Amygdalin on hydrolysis in presence of enzyme emulsion and water decomposes into benzaldehyde(80%) and hydrocyanic acid(2-6%). Hydrocyanic acid is very poisonous and makes the drug unsuitable for internal use. Sweet almonds don't contain amygdalin, hence not bitter.



**Sodium Picrate Test:** It's based on liberation of hydrocyanic acid on hydrolysis of cyanogenetic glycosides containing drug which changes sodium picrate to sodium purpurate, changing yellow color of sodium picrate to to brick-red of sodium purpurate.

## Uses

1. Expectorant.
2. Demulscent due to fixed oil
3. In the preparation of amygdalin and bitter almond water .

## Phenylpropanoids

They are a diverse family of complex organic compounds that are synthesized by plants from the amino acids phenylalanine and tyrosine. Their name is derived from the six-carbon, aromatic phenyl group and the three-carbon propene tail of coumaric acid, which is the central intermediate in phenylpropanoid biosynthesis. The diverse biosynthetic natural compounds include phenylpropanoids, flavonoids, aurones, catechins and lignols.

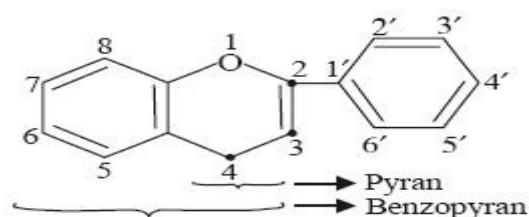
Phenylpropanoids are found throughout the plant kingdom. They serve as essential components of a number of structural polymers, provide protection from ultraviolet light, defend against herbivores and pathogens, and mediate plant-pollinator interactions as floral pigments and scent compounds.

## Flavonoid Glycosides

They are sub-class of polyphenols, the largest class of naturally phytoconstituents consisting of flavonoids, non-flavanoids and phenolic acids.

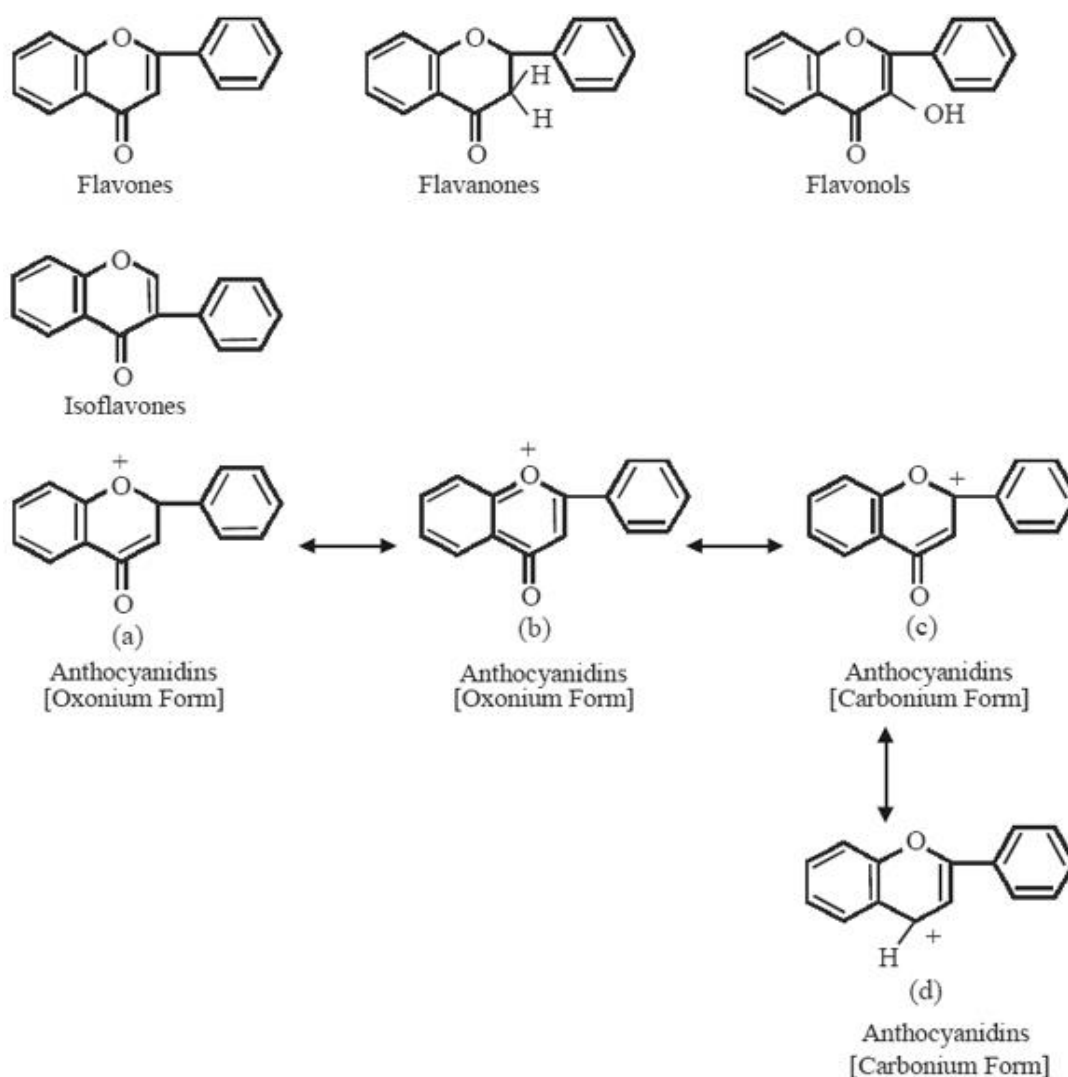
Flavonoids, are C<sub>15</sub> compounds based upon a C<sub>6</sub>—C<sub>3</sub>—C<sub>6</sub> carbon skeleton in which each C<sub>6</sub> is benzene or aromatic rings A and B with C<sub>3</sub> a pyran or chroman ring (C). The C<sub>3</sub> moiety determines the properties and class of such compounds. In plants they occur as glycosides of phenolic hydroxyl groups. Hydroxyls are generally present at positions 5 and 7 in ring A while ring B commonly carries hydroxyls or alkoxy groups.

They occur almost all parts of plants. Interestingly, more than 2000 different chemical compounds have been isolated, identified and reported from plant sources. Flavonoids can be broadly divided as anthocyanins and anthoxanthins. Anthocyanins are glycosides of anthocyanidins and are the most important class of class of water soluble plant pigments responsible for purple, blue, red etc. colors of flowers, fruits and leaves. Anthoxanthins are colorless, white or yellowish compounds.



In nature they are invariably available as: flavones, flavanones, flavonols, isoflavones, and anthocyanidins. In certain specific instances either the 6-membered heterocyclic ring (pyrones) is replaced by a 5 membered heterocyclic ring (aurones) or exists in an open-chain isomeric form (chalcones).

Numerous pharmacological activities are attributed to them; hesperidine appear to strengthen capillary blood vessels, hydroxylated flavonoids diuretic, fungicidal and anti-oxidants to lipids; some are cardiac stimulants, antiasthmatics, antispasmodics and with oestrogenic activities.



The flavonoid glycosides mostly occur as O-glycosides or C-glycosides contained in the cell sap of relatively younger tissues of higher plants belonging to several families, such as: *Compositae*, *Leguminosae*, *Polygonaceae*, *Rutaceae* and *Umbelliferae*. They include :

- (a) Flavone Glycosides,
- (b) Flavonol Glycosides,

- (c) Flavanone Glycosides,
- (d) Chalcone Glycosides,
- (e) Isoflavonoid Glycosides, and
- (f) Anthocyanidin Glycosides

### **General properties of flavones and flavonols**

1. These are crystalline compounds, soluble in water, dilute mineral acids, alkalis, alcohol, etc.
2. They are precipitated by mean of lead acetate.
3. They give a dull green or a red brown colour with ferric chloride.
4. They more highly coloured in the acidic medium than in the bases from which they are derived. In acidic medium they form oxonium salts colour.

### **Chemical tests for flavonoid:**

1. Shinoda test to dry powder or extract, add 5 ml of 95% ethanol, few drops of conc. HCl and 0.5 g magnesium turnings. Pink colour observed.
2. To small quantity of residue, add lead acetate solution. Yellow coloured precipitate is formed. Addition of increasing amount of sodium hydroxide to the residue show yellow coloration, which decolouration after addition of acid.

### **Classification of flavonoid:**

#### **1. Flavone glycosides:**

- (a) Parsley- Apin
- (b) Buchu- Diosmin.

#### **2. Flavonol glycosides:**

- a) Buck wheat- Rutin.
- (b) Ring (Crategusoxycantha)-Quereitrin.

#### **3. Flavanone glycosides:**

- (a) Lemon, sweet orange- Hesperidin
- (b) Bitter orange
- 4. Chalone glycosides:  
Safflor red- Carthamin

#### **5. Isoflavonoid glycoside:**

- (a) Sharapunkha- Tephrosin.
- (b) Gilas- Prunetrin

#### **6. Anthocyanidin glycosides:**

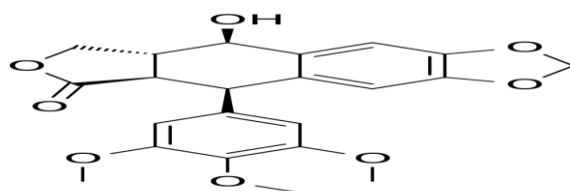
(a) Pelargonium flower- Plargonidin

(b) Petunia flower- Petunidin

It has been observed that a host of natural plant products containing flavonoid glycosides exert a variety of therapeutic effects, namely: antiasthmatic, antispasmodic, diuretic, fungicidal and oestrogenic activities.

**Lignans** are phenolic dimers possessing a 2,3-dibenzylbutane structure. Such compounds are known to exist as minor constituents of many plants, where they form the building blocks for the formation of lignin in the plant cell wall. They occur mainly in the glycosidic form. They are present in highest concentration in flax and sesame seeds and in lower concentrations in grains, other seeds, fruits and vegetables.

Therapeutically important lignans include podophyllotoxin from *Podophyllum emodi* and *P. peltatum*, used to treat cancer.



**(Structure of Podophyllotoxin)**

## Tea

**Synonyms:** Tea plant or tea shrub

### Biological source

It consists of prepared leaves and leaf buds of *Thea sinensis* (*syn. Camellia sinensis*), belonging to family Theaceae.

### Geographical source

It's cultivated largely in India, Sri Lanka, China, Indonesia and Japan. It's available as black tea from India and Sri Lanka and as green tea from China and Japan.

**Green tea** is obtained by putting tea leaves in copper pans and then drying by artificial heat.

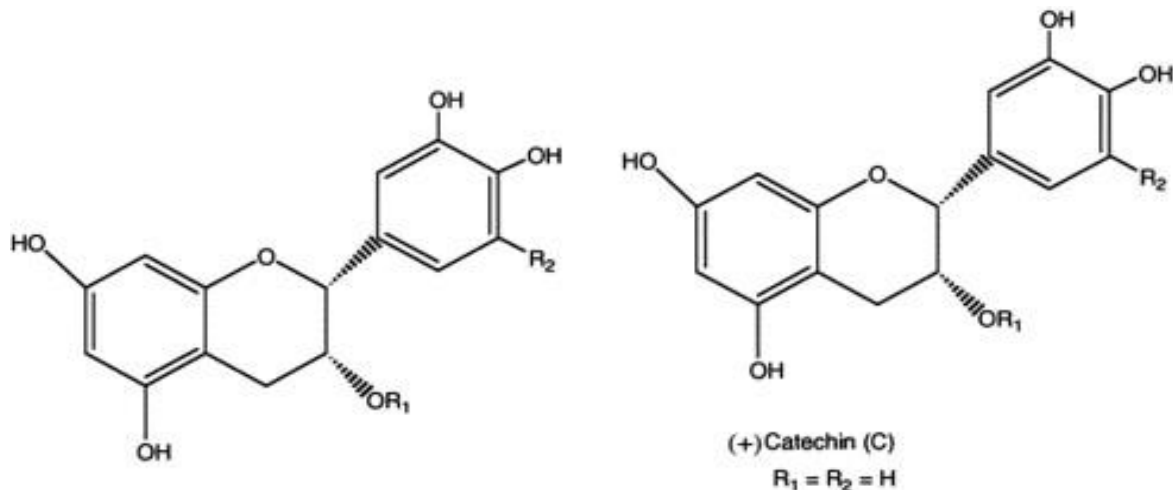
**Black tea** is obtained by fermenting the heap of fresh tea leaves and further drying with artificial heat.

### Morphological features:

Tea is a evergreen shrub or small tree. The size of leaves are 4-15 cm long and 2-5 cm broad. The young, light green leaves have short and white hairs on the underside. Older leaves are deep green in color. Leaves are alternate and lanceolate to obovate. Leaves are pubescent and sometimes become the glabrous, serrate, acute or acuminate.

## Chemical constituents:

Polyphenols are most abundant chemical constituents present in tea (30-40%). Major chemical constituents are catechins and theoflavins. for e.g. flavonoids ,epigallocatechingallate and other catechins.



(-) Epicatechin (EC)

$R_1 = R_2 = H$

(-) Epicatechin - 3 - gallate (ECg)

$R_1 = 3,4,5 - \text{trihydroxybenzoyl}$

$R_2 = H$

(-) Epigallocatechin (EGC)

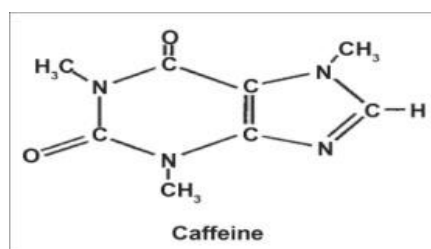
$R_1 = H$

$R_2 = OH$

(-) Epigallocatechin - 3 - gallate(EGCg)

$R_1 = 3,4,5 - \text{trihydroxybenzoyl}$

$R_2 = OH$



Other chemical constituent present in tea leaves are tannins 1-5% and caffeine is about 3% of tea's dry weight. Theobromine is also present in small amount. The leaves of tea consist of these which is an enzymatic mixture containing an oxidase, which partly converts the phlobatannin into phlobaphene, as chemical constituent.

## Uses:

- ✚ Stimulant
- ✚ Diuretic
- ✚ It has strong antioxidant property due to presence of caffeine in addition to polyphenols. It also has free radical scavenging properties.
- ✚ It helps to lower the risk of ischemic heart disease in older men.
- ✚ The major application of tea is to maintain cardiovascular health.
- ✚ It helps in the prevention of cancer.
- ✚ Green and black tea help to protect against obesity.
- ✚ It is a non-alcoholic beverage. Commercially used for isolation of caffeine.

## Ruta

**Synonym** Rue, Satap

**Biological source** It consists of the entire plant of herb *Rutagraveolens* L. Family Rutaceae

### Geographical source

It is distributed throughout the world and cultivated as a medicinal and ornamental herb. It is found abundantly in Egypt and Algeria. It is also cultivated in India.

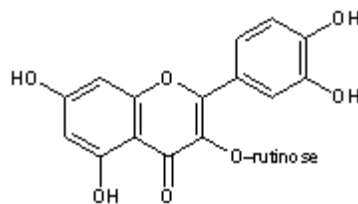
### Morphological characters



It is strongly smelling small herb. The leaves are small, linear, alternate, petiolate, decomposed, segments crenate, oblong, yellowish. Fruits are capsule, seeds are angled.

### Chemical constituents

The main active principles of the plant are: Strongly aromatic essential oil, **glycosides, such as rutin, a flavonoid about 2.0 %**, furocoumarins (psoralens): bergapten (3-methoxypsoralen) and xantoxine (8-methoxypsoralen). It also contains alkaloids e.g. arborimine and evoxanthine.



(Structure of rutin)

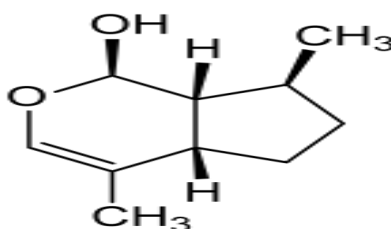
### Uses

Volatile oil is emenagogue and is said to be abortifacient.

In commerce it's uses in culinary practice and as insect repellent

### Iridoids

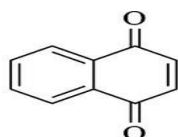
They are a type of **monoterpenoids and chemically cyclopentanopyran**. They are found in plants and animals. They are typically found in plants as glycosides. They are biosynthetically derived from 8-oxogeranial. Structurally they are bicyclic cis-fused cyclopentane-pyrans.



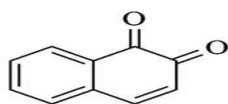
In plants they act primarily as defence against herbivores or against infection by microorganisms. In medicine they are commonly used as bitters i.e. increasing appetite by increasing gastric secretions.

### Naphthoquinones

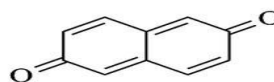
*Naphthoquinones* are effectively quinones with another aromatic ring fused onto them. They usually occur as glycosides. *Naphthoquinone* is a class of organic compounds structurally related to naphthalene. Two isomers are common for the parent *naphthoquinones*. It's reported that some naphthoquinones has anti-cancer activity.



1,4-naphthoquinone



1,2-naphthoquinone



2,6-naphthoquinone

## **GENTIAN**

### **Synonyms**

Gentian Root, Yellow Gentian Root.

### **Biological Source**

Gentian consists of dried partially fermented rhizomes and roots of *Gentiana lutea* Linn., belonging to family Gentianaceae.

### **Geographical Source**

Gentian is perennial herbaceous tree native to hilly areas in north and south and Central Europe the Jura, Vosges Mountains and Yugoslavia.

### **Collection and Preparation**

Collection is done from two to five years old plants in spring. The rhizome and roots collected and dried. When fresh, they are yellowish-white externally, but gradually become darker by slow drying. Slow drying is employed to prevent deterioration in colour and to improve the aroma. Occasionally the roots are longitudinally sliced and quickly dried, the drug being then pale in colour and unusually bitter in taste.



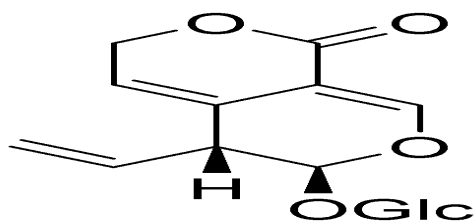
### **Macroscopic Characteristics**

The rhizome is cylindrical yellowish-brown in colour having transverse annulations and conical buds at the top. The root is narrower, continuous with rhizome. It's longitudinally wrinkled. The drug has a strong, disagreeable odour, and the taste is slightly sweet at first, but afterwards very bitter. The fracture is short and smooth in dried drug.

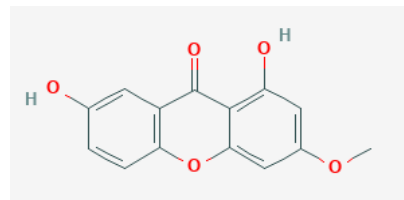
### **Chemical Constituents**

Gentian contains bitter glycosides mainly Gentiopicrosin or gentiopicroside, having bitter value of 12000. The dried gentian root contains Gentinin (a mixture of gentiopicrin and a colouring substance gentisin) and gentisin, amarogentin (the bitterest natural compound) and amaroswerin. These bitters contain monoterpenoid iridoids. Others are Gentianic acid, a bitter trisaccharide, gentianose which on hydrolysis yields two molecules of glucose and one molecule of fructose. The bitter taste of drug is due to amarogentin which tastes bitter even at

conc. of 5.8 lacs dilution. The saccharine constituents of gentian are dextrose, laevulose, sucrose and gentianose, a crystallizable, fermentable sugar. It is free from starch and yields from 3 to 4% ash.



(Structure Gentiopicrosid)



(Structure Gentianin)

## Uses

1. Gentian root has a long history of use as an herbal bitter in the treatment of digestive disorders.
2. It is also used as, bitter tonic, cholagogue, emmenagogue, and febrifuge, refrigerant and stomachic.
3. It is useful in states of exhaustion from chronic disease and in all cases of debility, weakness of the digestive system and lack of appetite.
4. It is one of the best strengthened of the human system, stimulating the liver, gall bladder and digestive system, and is an excellent tonic to combine with a purgative in order to prevent its debilitating effects.

## Artemisia annua

### Synonyms

English: Sweetwormwood, Chinese worm wood, Qinghao, Sweet Annie

### Biological source

It consists of the herb of Chinese plant *Artemisia annua* (family Asteraceae).

### Geographical source

The plant is an annual herb native to Asia, grows widely in China. It also grows wild in Europe and America. It's cultivated in China, Iran, Turkey, Australia and some parts of India.

The dried aerial parts collected before the flowers are in full bloom without the lignified stem, not the roots.

### Morphological characters

It's an annual weed reaching up to 1.7 m in height with deeply grooved branches.

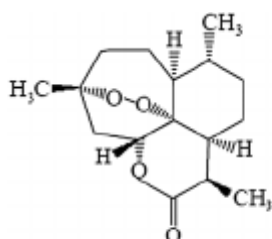
Stems are longitudinal cylindrical 0.2-0.8 cm x 3-8 cm. Leaves are deeply dissected, with an aromatic odor, 5 to 7 cm in length, 0.25-0.5 cm in width, green in color.

Flowers are tiny and yellow, in loose panicles with capitula 2 to 3 mm across. There are central and marginal florets.

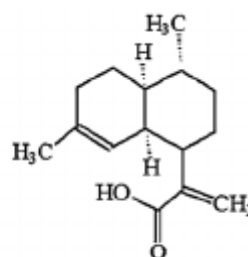


## Chemical constituents

Out of the seven species of Artemisia, only *A. annua* contains artemisinin about 1% as the main natural constituent. It is a sesquiterpene lactone. The other constituents include artemisinic acid, artemisinin A, and B. The plant also contains other sesquiterpene lactone derivatives, flavonoids, and essential oils (0.3-4%).



Artemisinin



Artemisinic acid

## Therapeutic Uses

1. In the treatment of cerebral malaria (due to artemisinin).
2. Potent antimalarial drug for both chloroquine sensitive and resistant malaria.

## Commercial Uses

As source of artemisinin

## Allied /substitutes

## SANTONICA

Leaves and immature unexpanded flower heads of *A. cina*, *A. brevifolia*, *A. maritima* commonly called as 'Santonica' or 'Wormseeds'. Flower heads are oval shaped elongated, 2-4 mm in length, yellow coloured (other parts are grey). Santonica contains volatile oil and two crystalline compounds, santonin and artemisinin. It is a strong anthelmintic due to santonin.



## **Taxus**

**Synonyms** Pacific Yew.

## **Biological source**

It consists of dried stem bark of *Taxus brevifolia* and other species of *Taxus* belonging to family Taxaceae. The other important species used are:

*T. baccata* (English or European Yew), mainly leaves are used.

*T. canadensis* (Canadian or American Yew), leaves and roots are used.

*T. cuspidata* or Japanese yew. Leaves are used.

*T. wallichiana* growing in Himalayas at an altitude of 2000-3500 m.

## **Geographical source**

It's very slow growing evergreen gymnosperm found in Canada and USA.

## **Morphological characters**

The leaves are lanceolate flat, of size 1-3 cm x 1-2 cm, dark green in color bitter in taste.

The bark is thin and scaly brown.

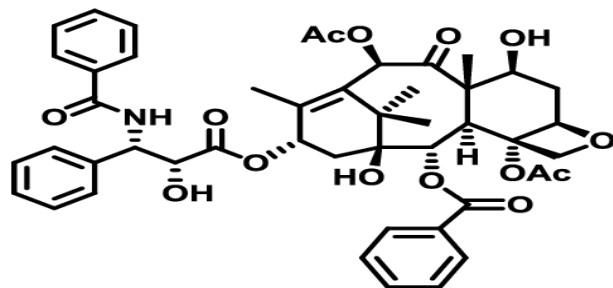


## **Chemical constituents**

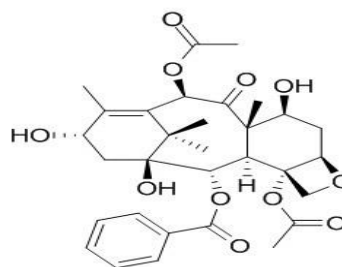
The main chemical constituent taxol is present in all parts of the plant especially in leaves roots and bark. Taxanes (diterpenes) about 40 in number are the most important constituents present in *Taxus*. Among them taxol, cehalomannine and 10 deacetyl bacatin are the major ones. The conc. Of taxol varies from 0.007% to 0.01%. It needs at least 60 years 3-4 plants to

get one gramme of taxol. So other biotechnological methods are being developed converting baccatins to taxol.

Lignans, flavonoids, steroids and sugar derivatives have also been isolated from different *Taxus* species.



(Taxol)



(Baccatin III)

## Uses

1. Potent anticancer
2. Taxol has been approved for the treatment of ovarian cancer
3. It's also found to be helpful in treatment of non-small cell lung carcinoma and other than carcinomas.

## Carotenoids

Carotenoids, also called tetraterpenoids, are yellow, orange, and red organic pigments that are produced by plants and algae, as well as several bacteria, and fungi.

They are C<sub>40</sub> compounds derived from isoprene units.

They absorb light energy in photosynthesis and protect chlorophyll from damage.

Carotenoids give the characteristic color to pumpkins, carrots, corn, tomatoes, canaries, flamingos, salmon, lobster, shrimp, and daffodils. Carotenoids are responsible for the yellow color of egg yolk, bannanas, daffodils, carrots and corns.

Carotenoids act as a type of antioxidant for humans.

Food sources of these compounds include a variety of fruits and vegetables, although the primary sources of lycopene are tomato and tomato products.

Additionally, egg yolk is a highly bioavailable source of lutein and zeaxanthin.

Dietary carotenoids are thought to provide health benefits in decreasing the risk of disease, particularly certain cancers and eye disease.

**IMPORTANT QUESTIONS**

**2 MARKS**

1. Define alkaloids/ glycosides/ Steroids/cardiac glycosides/triterpenoids/ phenyl propanoids/ flavonoids/ lignans, irridoids/ carotenoids .
2. Give complete biological source of raulwolfia/ bitter almond /ruta and taxus.
3. Draw chemical structure of reserpine /atropine/sennoside A / papaverine/ glycyrrhitinic acid/ digitoxin/ diosgenin/ gentiopicrin/rutin and artemisinin..
4. What are therapeutic and commercial uses of raulwolfia/ opium/ aloes/ dioscorea/ Artemisia and taxus..

**5 MARKS**

1. Write complete biological source, chemical constituents with chemical structure of chief constituent and uses of vinca/ opium/aloes/ digitalis/ tea/ gentian and artemisia.
2. Write a note on preparation of aloes.

**10 MARKS**

1. Give a detailed pharmmacognostic account belladonna/ senna/ liquorice