

# **A TREATISE ON PHYTOCHEMISTRY**

**By**

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Dr Amrit Pal Singh received his B.Sc. degree in Biology from the Punjab University, Chandigarh, India and his Ayurvedic Bachelor's degree;(BAMS) from Guru Nanak University, Amritsar, India.

He completed his postgraduate studies from Indian Board of Alternative Medicines, Calcutta. After completion of studies, he joined the Navjivan Pharma, Panchkula specializing in Herbal medicines. He was involved in clinical trials against Psoriasis, Leucoderma & Diabetes mellitus with herbal extracts in the Ayurvedic Wing of Sohana Eye Institute, Mohali.

Presently he is working as Medical Executive in Super Speciality Division, Ind-Swift Lab, Chandigarh, India on the isolation of the active constituents & standardization of medicinal Herbs. He was instrumental in launching standardized extracts of *Allium sativum* (garlic) & *Hypericum perforatum* (St. John's wort) for Ind –Swift limited, Chandigarh under the names Jovin & Garlee.

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# A TREATISE ON PHYTOCHEMISTRY

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## **Preface**

Medicinal herbs are significant source of synthetic and herbal drugs. In the commercial market, medicinal herbs are used as raw drugs, extracts or tinctures. Isolated active constituents are used for applied research. For the last few decades, phytochemistry (study of plants) has been making rapid progress and herbal products are becoming popular. There has been dramatic rise in the sale of herbal products like *Allium sativum*, *Hypericum perforatum*, *Spirulina*, *Echinacea angustifolia*, *Ginkgo biloba* and *Silybum marianum*.

Owing to growing demand of herbals, the need of the hour is to intensify research in the field of medicinal herbs and to get authentic information on the subject. Herbal products are often questioned for quality control and assurance. Majority of the herbal products fails in the laboratory test for active constituents mentioned on the label. Extracts standardised to active constituents and marker compounds have definite advantage over the crude drugs.

Chemical composition of herbs is always a complex subject. A medicinal herb can be compared with a chemical factory due to presence of number of chemical constituents. The literature on this aspect is scattered and what is required today is a textbook covering all aspects including chemical formulas, structures and standards applicable to isolated constituents with special reference to biological activity. This book is an attempt in that direction.

This book has been written to meet all the requirements of the herbal drug industry. I strongly appeal to the students, researchers and doctors of alternative medicine stream including Ayurvedic, Siddha, Homeopathy and Unani to equip themselves with latest changes in medical herbalism. The book has been divided into 22 chapters and a good deal of attention has been paid to provide accurate information. Botanical sources of the isolated constituents have been mentioned, keeping in mind the importance of biological origin of the medicinal herbs.

Compiling a book on phytochemical aspects is always a difficult task due to lack of authentic material. Various institutions and books on Pharmacognosy, Chemistry, Botany, Ayurveda and Homeopathy were consulted to obtain accurate account. I have tried to avoid unnecessary details like experimental or isolation descriptions. Instead of that flow sheets have been depicted to make the subject more clear.

I am thankful to Dr A.S.Sandhu, Scientist, National Institute of Pharmaceutical Education and Research, (NIPER) Mohali, India, Dr.Samir Malhotra, Assistant Professor Pharmacology, Postgraduate Institute of Medical Education and Research (PGIMER), Chandigarh, India and Dr. Satish Narula, Professor, Horticulture, Punjab Agricultural University, Ludhiana, India for their valuable suggestions. I am also thankful to Mr.Amit Chopra and Mr.Ajay Tuli for assistance in drawing pictures.

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## **Chapter-1: Introduction**

Medicinal plants are significant source of synthetic and herbal drugs. Medicinal plants have been used for the treatment of diseases since antiquity. India and China have been on the forefront when we talk about history of herbal drugs. The traditional systems of medicines viz. Ayurveda, Siddha, Unani, Western Herbal Medicine, Traditional Chinese Medicine and Homeopathy have roots in medicinal herbs. Herbal medicine has produced number of distinguished researchers and due to its accessibility to traditions it is still practiced even by lay practitioners.

Ayurveda, the ancient healing system of India, flourished in the Vedic era in India. According to historical facts, the classical texts of Ayurveda, Charaka Samhita and Sushruta Samhita were written around 1000B.C. The Ayurvedic Materia Medica includes 600 medicinal plants along with therapeutics. Herbs like turmeric, fenugreek, ginger, garlic and holy basil are integral part of Ayurvedic formulations. The formulations incorporate single herb or more than two herbs (polyherbal formulations).

The history of Traditional Chinese Medicine is glorious and they have preserved the herbal system beautifully. It originated about 3000 years ago and is a popular science in western countries. Some of the medicinal herbs mentioned in Chinese medicine are common with Ayurveda. Traditional Chinese medicine favors the use of medicinal herbs in natural form rather than extraction. The herbal drugs have different history in Europe and America and they have produced healers like Culpeper. The use of tinctures in Homeopathy is based on medicinal herbs.

Before the availability of synthetic drugs, man was completely dependent on medicinal herbs for prevention and treatment of diseases. The use of the medicinal herbs for curing disease has been documented in the history of all civilizations. The drugs were used in crude forms like expressed juice, powder, decoction or infusion. Although the formulations mentioned in ancient texts are difficult to understand in terms of scientific parameters, but some of them are reputed for their curative values.

Ancient healers, developed formulations based on medicinal herbs, were probably not aware about the chemical composition of the herbs. But the advancement they made despite the non-availability of scientific procedures is astonishing. The work on Terminalia chebula (myrobalan) mentioned in Charaka Samhita is quite authentic and modern studies have revealed that the purgative activity mentioned in Ayurveda is justified by the isolation of chebulic acid, the active constituent of myrobalan.

Initially, the term Materia Medica was coined for the study of natural products. It is further classified into 4 branches.

## Classification of Materia

### Medica

Materia Medica Proper                      Pharmacy    Pharmacology    Therapeutics

#### *Table showing classification of Materia Medica*

Materia Medica proper is defined as knowledge of natural history, physical characteristics, and chemical properties of drugs. It includes study of herbs, minerals and drugs from animal kingdom. The Ayurvedic equivalent for Materia Medica proper is Dravya-Guna, which is study of medicinal herbs in Ayurvedic terms. Now days the term Materia Medica proper is better known as Pharmacognosy.

Medicinal herb is a considered to be a chemical factory as it contains multitude of chemical compounds like alkaloids, glycosides, saponins, resins, oleoresins, sesquiterpene lactones and oils (essential and fixed). Some rare compounds like furanocoumarins, hydroxycoumarins, naphthoquinones, acylphloroglucinols and sterones are also distributed plant kingdom.

The active constituents are usually secondary metabolites, derived from biosynthetic pathways present within the plant tissue. *Allicin*, a sulphur compound, present in garlic (*Allium sativum*) is considered to be the active constituent. It is produced from *alliin* by an enzymatic reaction in response to injury. Allicin due to its noxious smell protects garlic from attack of pests also. Thus an active constituent has therapeutic as well as protective activity.

The Ayurvedic texts describe a term 'virya' which seems to be the Ayurvedic equivalent of active constituent. Charaka Samhita has mentioned that therapeutic activity of a medicinal plant is due to factor known as virya. The formulations used in ancient texts are based on plant in natural form. They do not believe in extracting the active constituent from the plant. According to experts during extraction some significant virtues of the plant are lost.

According to one estimate nearly 70 % of the synthetic drugs are derived from medicinal herbs. With introduction of sophisticated techniques, the scientists started exploring the plant flora for active constituents. In 1803, Sertuner isolated a crystalline alkaloid, *morphine* from opium poppy (*Papaver somniferum*) which still remains a priced drug in medicine as analgesic. Sertuner's research unearthed the mode of action of herbal remedies. Later on the antitussive alkaloid, *codeine* was isolated and it proved the fact that a medicinal herb can exert different pharmacological activities due to the presence of number of constituents. Later on drugs like *atropine*, *arecoline*, *muscarine*, and *hyoscine* were purified for medicinal applications.

Drugs like *vinblastine*, *vincristine*, *reserpine*, *digoxin* are reputed drugs for the treatment of cancer and heart ailments. Recently *silymarin* (hepatoprotective), *taxol* (anti-cancer) and *artemisinin* (antimalarial) have figured high in pharmaceutical industry because of high therapeutic activity. *Hypericin* (antiviral) and *hyperforin* (antidepressant) have great



reputation as research based medicinal agents.

The chemistry of medicinal herbs is very complex. Not all the constituents present in the plant have therapeutic activity, some are poisonous e.g. pyrrolizidine and tropane alkaloids. Phytochemistry deals with study of chemical composition of the plant material (Phyto refers to plant). Plants are used in various forms varying from powders to extracts. Powder represents the drug in ground form and these types of preparations are considered to be crude. The Pharmacopoeia mentions standardised vegetable powders for therapeutic application.

Herbal systems of medicine have become increasingly popular in recent years. A recent study from America demonstrated that about 34% of the general population used one or the other system at least once a year. In India 76% of patients visiting the general medicine OPD of a tertiary care hospital use alternative therapies. In light of growing demand of herbal drugs, the quality control and assurance is primarily important. The standardised herbal extracts are considered to be more scientific than crude drugs.

The commonly employed technique for removal of active substance from the crude drug is called **extraction**. Selection of the solvent is very critical in preparing the extracts, because the active constituent of the plants have affinity for solvents.

1. Water and petroleum ether are used for extraction of fixed and essential oils and sterones.
2. Chloroform and ether are used for extraction of alkaloids.
3. Water and alcohol are used for extraction of glycosides.
4. Tannins and phenols are extracted with alcohol and ethyl acetate.

Extracts are prepared by separating the soluble matter from vegetable tissues by application of a suitable solvent like alcohol, water or ether. The resultant liquid is concentrated by evaporation to obtain liquid extract or concentrated nearly to dryness to obtain solid extract. Depending on the solvent used, the extracts are classified as alcoholic, ethereal or aqueous.

### **Classification of extracts**

<b>Solid extracts</b>	<b>Liquid extracts</b>	<b>Alcoholic extracts</b>	<b>Aqueous extracts</b>	<b>Ethereal extracts</b>
<u>Sub types</u>				
Dry extracts				
Soft extracts				
Examples	Examples			
Nux vomica	Ergot			
Opium	Colchicum			
Stramonium	Liquorice			

*Table showing classification of extracts*

1. The solid and liquid extract classification is based on method of preparation.
2. The alcoholic, aqueous and ethereal extract classification is based on type of solvent used.

The **standardised herbal extract** is a preparation, which contains a certain fixed proportion of the active constituent. For example, a standardised extract of *Papaver somniferum* contains not less than 9.5% of morphine. The concept of standardisation has great impact on quality of herbal products. Standardisation helps in adjusting the herbal drug formulation to a defined content of a constituent or constituents with therapeutic activity. The latest method of preparing herbal extracts is by successive macerating of the powdered drug in order of increasing polarity. This process is known as successive solvent extraction and carried out in special assembly known as Soxhlet apparatus.

Biological source of the drug has great impact on finished product in herbal drug preparation. Proper identification of the drug is significant for phytochemical screening, which further exerts importance on therapeutic activity of the medicinal herb. Thus presence of identification standard is must in finished product of an herbal drug preparation. A constituent of a medicinal herb, which is used for quality control and assurance of herbal product is known as **marker compound**. A marker compound may or may not have therapeutic activity.

Commonly used herbal extracts are listed in the following table: -

S.No	Botanical Name	Standard	Percentage
1	Achellia Millefolium	Essential oil	0.04%
2	Adhatoda Vasica	Vasicine	0.5%
3	Allium Sativum	Allicin	0.6%
4	Andrographis Paniculata	Andrographolide	10%
5	Asparagus Racemosus	Saponin	30%
6	Azadirachita Indica	Azadiractin	2%
7	Bacopa Monneri	Bacoside	20%
8	Boswellia Seratta	Boswellic Acid.	40% & 70%
9	Camelia Sinensis	Epigallocatechin Gallate	0.2%
10	Capsicum Frutescens	Capsaicinoids	0.62%
11	Centella Asiatica	Asiaticoside	3%
12	Cholorella Emersoni	Chlorophyll	1%
13	Commiphora Balsamdendron Mukul	Guggulsterones	5%
14	Cratageus Oxycanthus	Vitexin	5%
15	Curcuma Longa	Curcumin	95%
16	Cynara Scolymus	Cynarin	1%
17	Echinacea Angustifolia	Echinacosides	4%
18	Embelia Ribes	Embellin	8%
19	Ephedra Sinica	Ephedrine	6%

20	Garcinia Cambogia	Hydroxy Citric Acid	50%
21	Ginkgo Biloba	Flavonoglycosides	24%
22	Glycyrrhiza Glabra	Glycyrrhizin	20%
23	Gymnema Sylvestre	Gymnemic Acid.	75%
24	Hydrastis Canadensis	Alkaloids	3%
25	Hypericum Perforatum	Hypericin	0.3%
26	Huperzia Serrata	Huperzine	5%
27	Momordica Charantia	Bitters	3%
28	Ocimum Sanactum	Ursolic Acid	8%
29	Passiflora Incarnata	Vitexin	4%
30	Phyllanthus Niruri	Bitters	2%
31	Picrorrhiza Kurroa	Kutkosides	10%
32	Piper Methysticum	Kavalactones	30%
33	Pueraria Tuberosa	Disogenin	7%
34	Saraca Indica	Tannins	8%
35	Sereno Repens	Fatty acids	20-25%
36	Shilajit	Fulvic acid	50%
37	Silybum Marianum	Silymarin	70%
38	Spirulina Maxima	Phycocyanin	2.5%
39	Terminalia Arjuna	Tannins	8%
40	Terminalia Belerica	Tannins	40%
41	Terminelia Chebula	Tannins	60%
42	Tribulus Terrestris	Saponin	20% & 40%
43	Trigonella Foenum Graecum	Saponin	10%
44	Triphla	Tannins	40%
45	Uncaria Tomentosa	Saponins	2%
46	Valeriana Officinalis	Valerenic acid.	0.8%
47	Vitis Vinifera	Proanthocyanidins	95%
48	Withania Somnifera	Withanolides	1.5%
49	Zingiber Officinale	Gingerols	5%

Now days we talk about active constituents of phyto drugs. An **active constituent** is truly responsible for therapeutic activity of medicinal plant. The extracts are further subjected to chemical tests for identification of the plant constituents. The isolated constituents are of further importance to the pharmaceutical industry for applied research. A number of constituents like *hyperforin*, *schizandrins*, *huperzine*, *andrographolide*, and *picrorrhizin* are being investigated for application in medical field.

## Chapter-2: Alkaloids

### Introduction

Alkaloids are basically nitrogen bases. The amino acids act as building blocks for the biosynthesis of alkaloids. Majorities of the alkaloids contain a pyridine, quinoline, and isoquinoline or tropane nucleus and are responsible for physiological effects in man or in animal. The side chains in alkaloids are derived from terpene or acetate. Alkaloids have basic properties and are alkaline in reaction, turning red litmus paper blue.

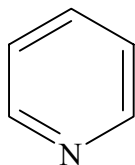
Alkaloids are basically compound ammonias, where one or more atoms of hydrogen are replaced by various radicals. Alkaloids combine with acids to form crystalline salts without the production of water. Majorities of alkaloid exist in solid form like atropine and they contain oxygen. Some alkaloids like lobeline or nicotine occur in liquid form and contain carbon, hydrogen, and nitrogen.

Alkaloids have one peculiarity regarding solubility in organic solvents. They are readily soluble in alcohol and sparingly soluble in water. The salts of alkaloids are usually soluble in water. In nature, the alkaloids exist in many plants: in larger proportion in the seeds and roots often in combination with vegetable acids. Some alkaloid exist in free state and some like heliotropin as N-oxide. The solutions of alkaloids are intensely bitter.

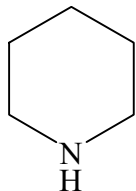
### Nomenclature and chemistry

The name of alkaloids end in -ine suffix. The salts of alkaloids are official. Codeine, atropine, morphine, ergotamine and ephedrine are common examples.

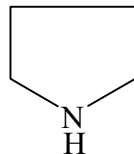
As we have discussed earlier that alkaloids are responsible for physiological effects in man or animals. The physiological effects are due to secondary metabolites arising from bio-chemical pathways operating in the plant cell. Alkaloids constitute the largest group of secondary chemical constituents. Basic structures of alkaloids are depicted below:



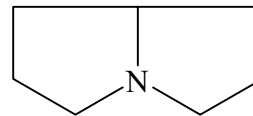
Pyridine



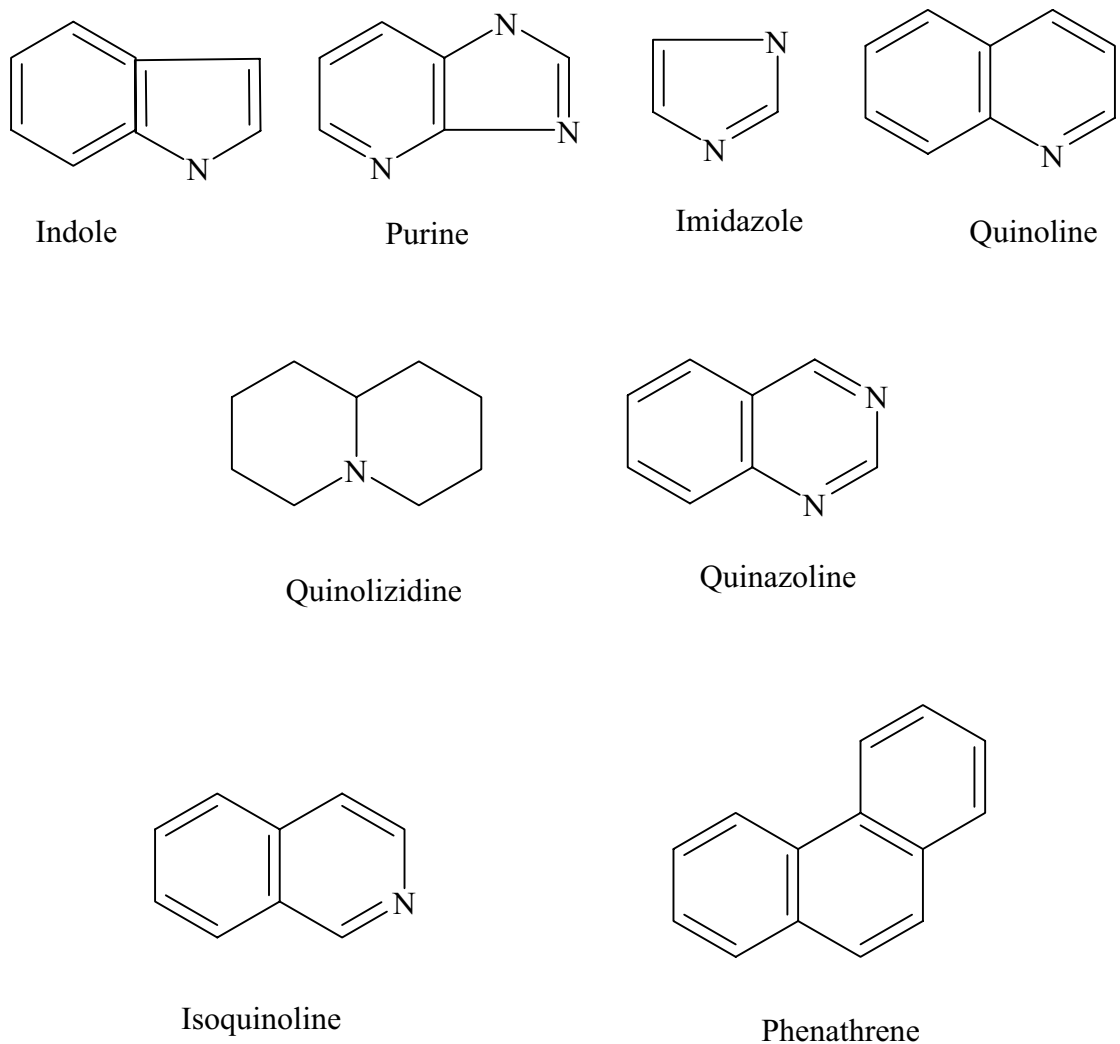
Piperidine



Pyrrolidine

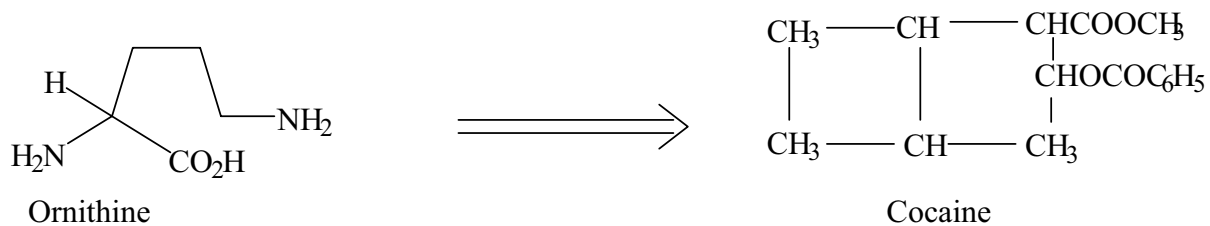


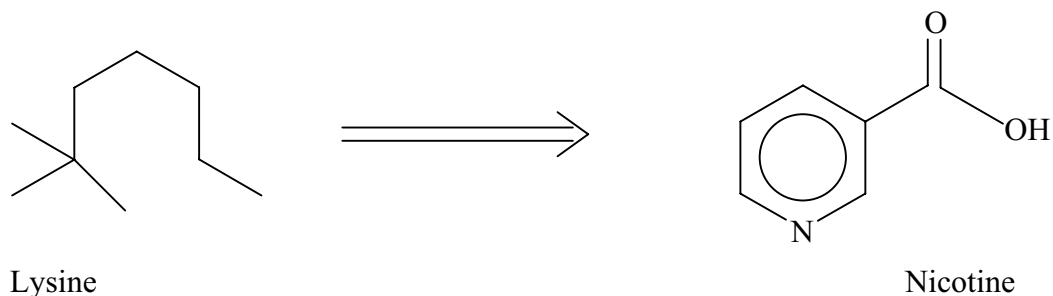
Pyrrolizidine



*Fig 2.3: Structures of common alkaloids.*

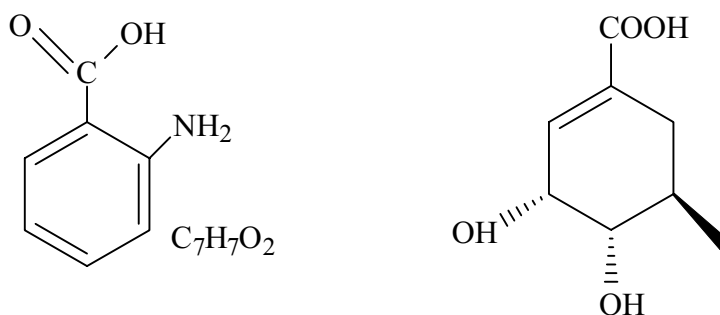
Amino acids act as precursor for biosynthesis of alkaloids. Ornithine and lysine are common amino acids used as starting material for alkaloid biosynthesis. Cocaine and nicotine are classical examples from this series.





*Fig 2.4: Diagrammatic representation of alkaloid biosynthesis.*

Phenylalanine and tyrosine acts as precursor for opium alkaloid biosynthesis. Tryptophan is a significant source of Vinca alkaloids. Alkaloids are derived from anthranilic acid, which is an intermediate in biosynthesis of tryptophan. Some alkaloids are derived from acetate, terpene or shikimic acid. Shikimic acid is a significant metabolite as most of the aromatic constituents are derived from shikimic acid pathway.



*Fig 2.5: Showing structure of Anthranilic acid and Shikimic acid.*

Alkaloids are significant source of pharmaceutical drugs. More than 12,000-alkaloids are known to exist in green flora and only few have been exploited for medicinal purpose. With the help of scientific procedures like chromatography and mass spectroscopy it is now possible to determine the molecular formulas and chemical structures of active constituents of medicinal herbs.

### **Classification**

The alkaloids are classified according to various types:

1. Classification based on chemical structure
  - A. Pyridine (Nicotine)
  - B. Quinoline (Quinine)
  - C. Isoquinoline (Papaverine)
  - D. Phenanthrene (Codeine)

E. Pyrrolidine ( Atropine)

2. Classification based on pharmacological activity e.g. Analeptic (Strychnine), Analgesic (Morphine), Anti cancer (Vinblastine).

Rarely alkaloids are classified according to biosynthesis route.

### **Qualitative chemical examination of alkaloids**

Mayer's reagent, Drangendroff's reagent, Hager's reagent or Wagner's reagent precipitates the alkaloids. Alkaloids are known to give characteristic color when treated with these reagents.

1. Mayer's reagent [cream precipitate]
2. Drangendroff's reagent [orange brown precipitate]
3. Hager's reagent [yellow precipitate]
4. Wagners' reagent [reddish brown precipitate]

### **Extraction of alkaloids**

Although numbers of methods are used in extraction of alkaloids, the following method is commonly used.

Treat moistened drug with alkali so as to set free the base [as it exists in salt form] and then to separate free base with organic solvent.

- (a) First plant is deffated with petroleum ether.
- (b) Secondly drug is extracted with solvents.

By this method alkaloid salts are transferred to polar solvents. It also helps in removing pigments, sugars and other secondary constituents.

Alcoholic solution is evaporated to thick syrup and subjected to partition between aqueous acid solution and organic solvent. After continued extraction with solvent for some time, aqueous phase is made alkaline with sodium carbonate or ammonia. Basic aqueous solution is extracted with solvent followed by drying of alkaloid containing solution normally with sodium sulfate, filtered and evaporated to yield alkaloid residue.

### **Acalyphine**

Source: Acalypha indica.

Biological activity: Expectorant.

### **Aconitine**

Source: Aconitum napellus.

Molecular formula:  $C_{34}H_{47}NO_{11}$ .

Molecular weight: 645.33.

Melting point: 194-202 deg C.

Solubility:

A. Soluble in chloroform and benzene.

B. Slightly soluble in alcohol and ether.

C. Insoluble in water.

Physical form: Colorless, transparent crystals.

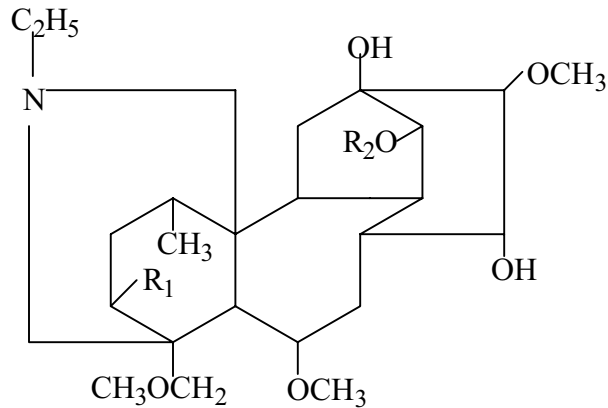


Fig. 2.6 : Structure of Aconitine

On hydrolysis, aconitine gives following reaction:

Aconitine-----→ Benzyl aconine + Acetic acid.

Extraction: Following process is used for isolation of aconitine.

### **Adhatodine**

Source: Adhatoda vasica.

Molecular formula:  $C_{20} H_{21} N_3 O_2$ .

Molecular weight: 335.4.

Melting point: 183 deg C.

Biological activity: Not confirmed.



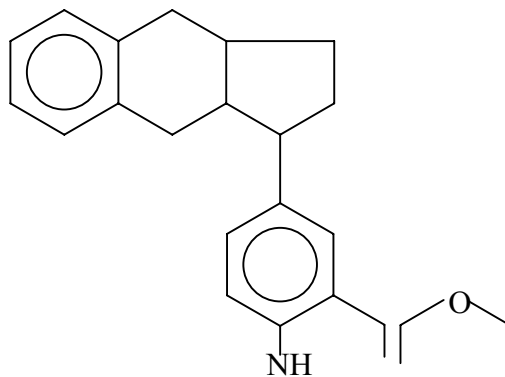


Fig. 2.8 : Structure of Adhatodine

### **Alstonine**

Source: *Alstonia constricta*.

Molecular formula:  $C_{21}H_{12}N_2O_3$ .

Molecular weight: 349.44.

Biological activity: Not confirmed.

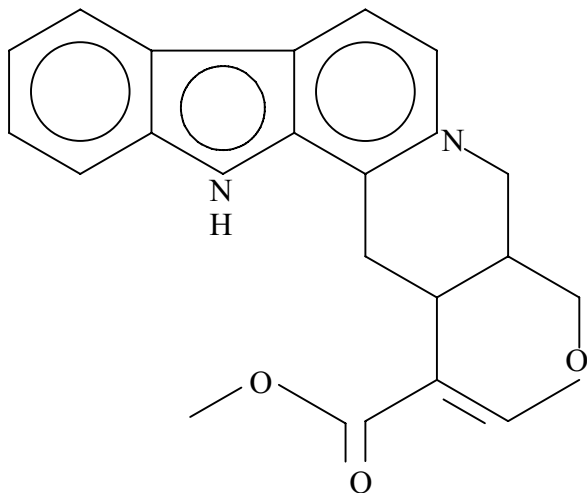


Fig. 2.9 : Structure of Alstonine

### **Alstonidine**

Source: *Alstonia constricta*.

Solubility: Slightly soluble in petroleum benzene.

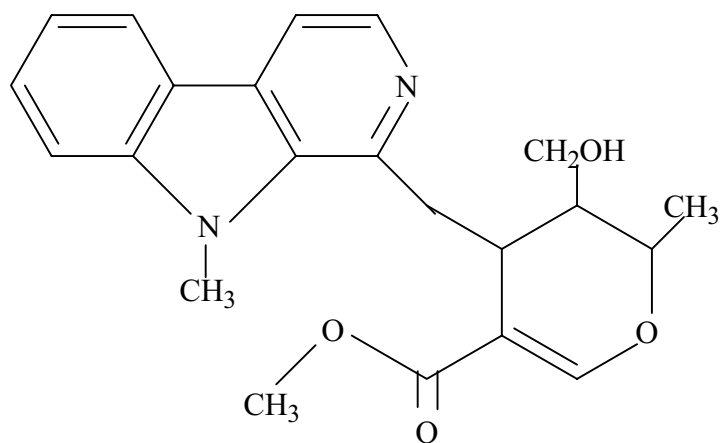


Fig. 2.10 : Structure of Alstonidine

### **Anabasine**

Source: *Withania somnifera*.

Biological activity: Not confirmed.

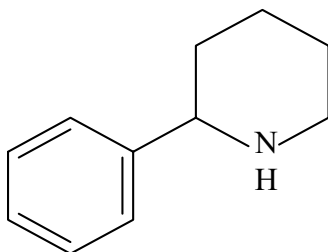


Fig. 2.11: Structure of Anabasine

### **Aimaline**

Source: *Rawolfia serpentina*.

Biological activity: Antihypertensive.

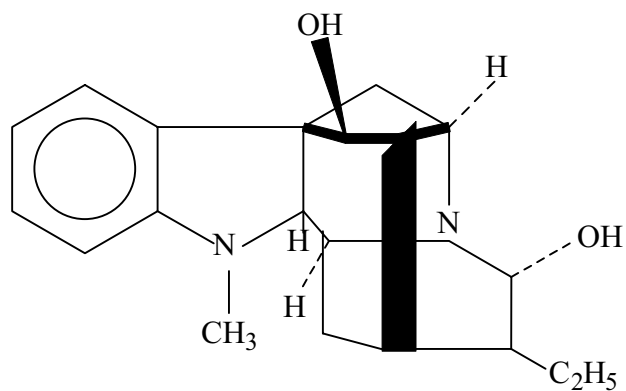


Fig.2.12: Structure of Ajmaline

### Arecoline

Source: Arced catechu.

Biological activity: Cholinergic.

### Atropine

Source: Atropa belladonna.

Molecular formula:  $C_{17}H_{23}NO_3$ .

Molecular weight: 289.41.

Biological activity: Mydriatic.

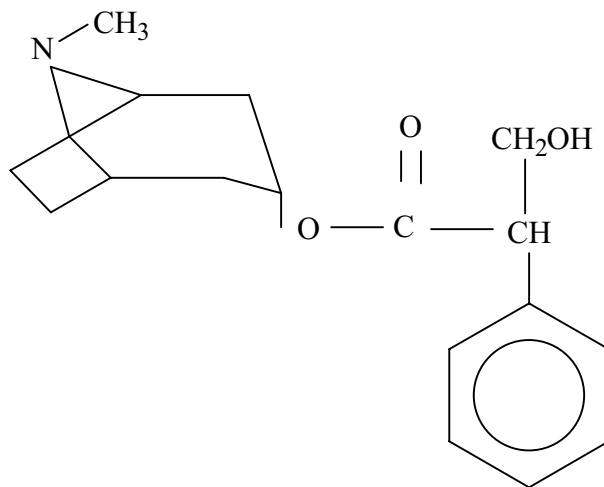


Fig.2.15: Structure of Atropine

## Atisine

Source: *Aconitum heterophyllum*.

Molecular formula:  $C_{46}H_{74}N_2O_3$ .

Physical form: Atisine occurs in crystalline form.

Taste: Highly bitter.

Biological activity: Hypotensive.

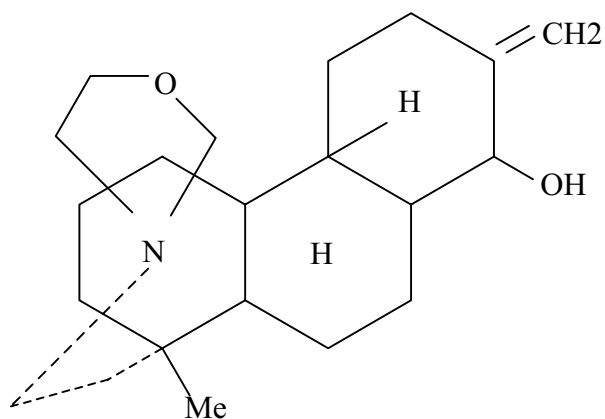


Fig.2.14: Structure of Atisine

Isolation: Wright isolated the alkaloid in 1878. The powdered rhizome of *A. heterophyllum* is extracted with a mixture of alcohol and tartaric acid by the process of percolation. On evaporation of the percolate, Atisine crystallizes.

## Berberine

Source: *Berberis aristata*.

Molecular formula:  $C_{20}H_{18}NO_4$ .

Molecular weight: 336.39.

Solubility:

A. Soluble in water and alcohol.

B. Insoluble in ether.

Physical form: The alkaloid is yellow colored having bitter taste, exist in crystalline form.

Biological activity: Antiperiodic.

Berberine combines with acid to form following salts.

1. Berberine sulphate
2. Berberine chloride.
3. Berberine phosphate.

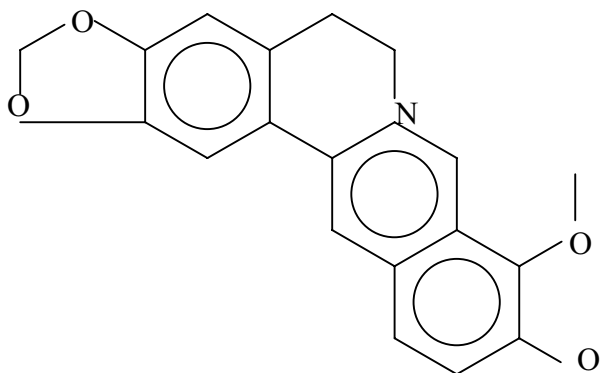


Fig 2.16: Structure of Berberine

### **Berbamine**

Source: *Berberis aristata*.

Molecular formula:  $C_{37}H_{40}N_2O_6$ .

Molecular weight: 608.79.

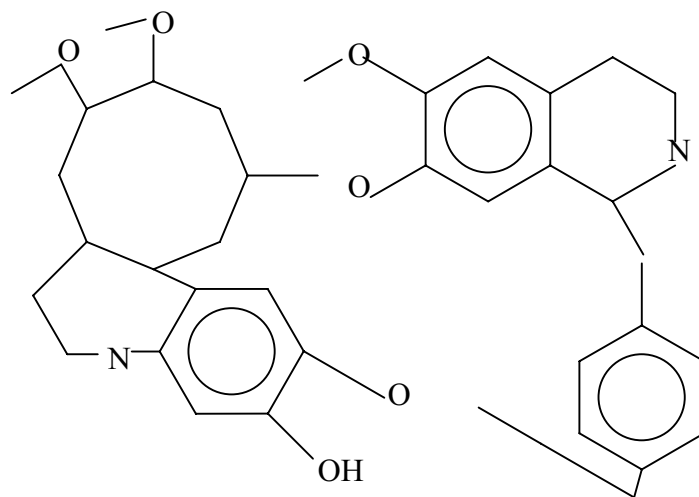


Fig 2.17 Structure of Berbamine

### **Betonicine**

Source:

Molecular formula:  $C_{17}H_{13}NO_3$ .

Molecular weight: 159.21.

Melting point: 254 deg C.  
Biological activity: Heamostatic.

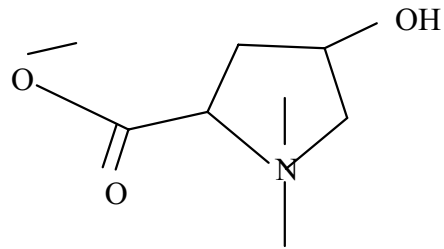


Fig 2.18: Structure of Betonicine

### **Bicuculline**

Source: Corydalis species.  
Molecular formula: C<sub>20</sub> H<sub>17</sub> N O<sub>6</sub>.  
Molecular weight: 367.2.  
Melting point: 192-196 deg C.  
Solubility:  
A. Soluble in benzene and ethyl acetate.  
B. Insoluble in water.  
Biological activity: Convulsant.

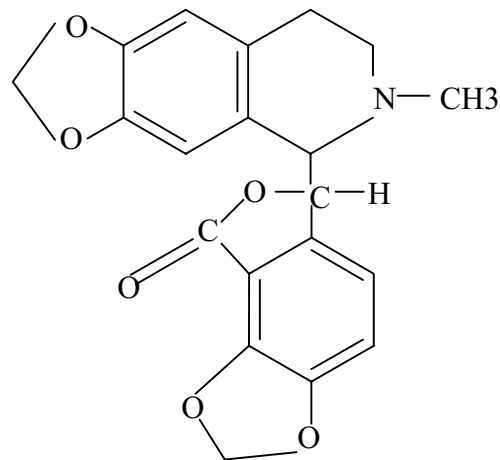


Fig 2.19: Structure of Bicuculline

### **Brucine**

Source: Strychnos nux vomica.  
Molecular formula: C<sub>23</sub> H<sub>25</sub> N<sub>2</sub> O<sub>4</sub>.  
Molecular weight: 395.  
Melting point: 178 deg C.

Solubility:

A. Soluble in alcohol.

B. Slightly soluble in water.

Physical form: Brucine is a crystalline alkaloid, having weak bitter taste.

Biological activity: Local anaesthetic.

Salts: Brucine dihydrate.

Brucine sulphate heptahydrate.

Brucine tetrahydrate.

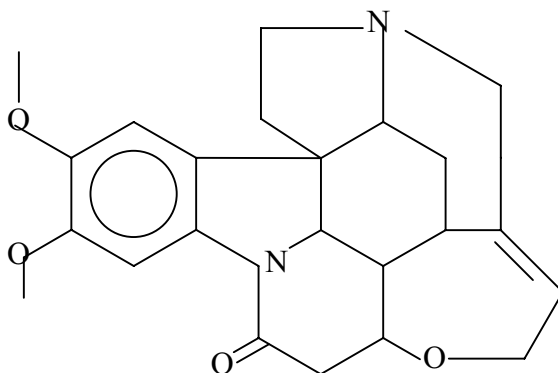


Fig 2.20: Structure of Brucine

### **Boldine**

Source: *Boldoa fragrans*.

Molecular formula: C<sub>21</sub>H<sub>25</sub>NO<sub>4</sub>.

Molecular weight: 355.

Biological activity: Expectorant.

### **Bufotenine**

Source: *Mucuna pruriens*

Molecular formula: C<sub>12</sub>H<sub>16</sub>N<sub>2</sub>O.

Biological activity: Cholinesterase inhibitor & hallucinogenic.

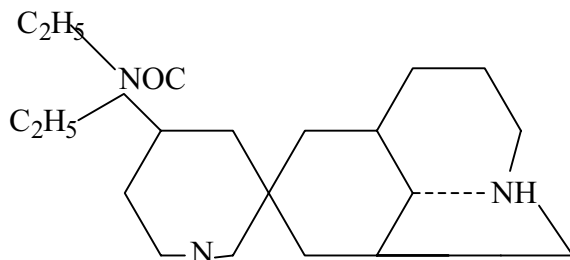


Fig 2.21: Structure of Bufotenine

## Caffeine

Source: *Camellia sinensis*.

Molecular formula:  $C_8H_{10}O_2N_4$ , H<sub>2</sub>O.

Molecular weight: 194.19.

Solubility:

A. Soluble in alcohol and water.

Physical form: Colourless, silky, inodorous, acicular crystals.

Biological activity: Central nervous system stimulant.

## Camptothecin & Topotecan

Source: *Camptotheca acuminata*.

Biological activity: Anticancer.

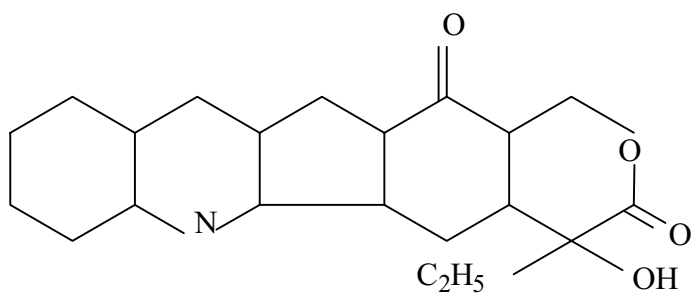


Fig 2.22 : Structure of Camptothecin

## Canavanine

Source: *Canavalia ensiformis*.

Molecular formula:  $C_{15}H_{12}N_2O_4$ .

Molecular weight: 176.21.

Biological activity: Anticancer.

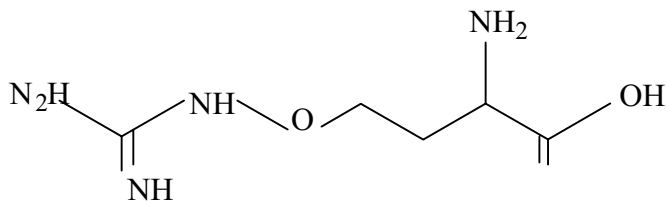


Fig 2.23: Structure of Canavanine



### Carpaine

Source: *Carica papaya*.

Molecular formula:  $C_{28}H_{50}N_2O_4$ .

Molecular weight: 478.80.

Melting point: 120 deg C.

Biological activity: Action like digitalis?

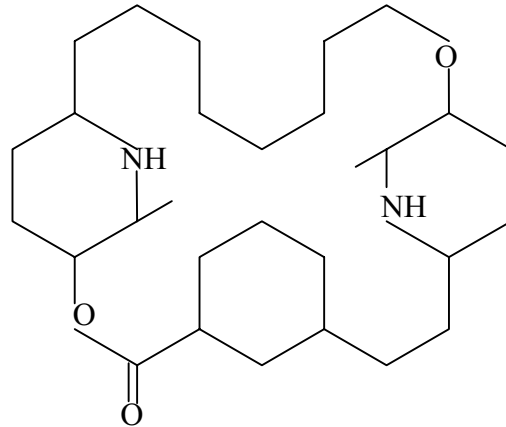


Fig 2.24 : Structure of Carpaine

### Cathine

Source: *Caltha edulis*.

Molecular formula:  $C_9H_{13}NO$ .

Molecular weight: 151.21.

Biological activity: Analeptic & bronchodilator.

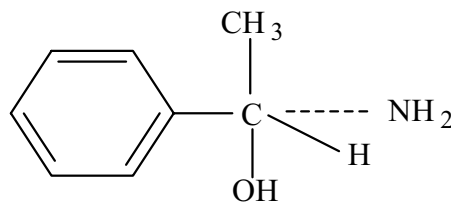


Fig 2.25: Structure of Cathine

### Cathionine

Source: *Caltha edulis*.

Molecular formula:  $C_9H_{11}NO$ .

Molecular weight: 149.19.

Biological activity: Analeptic & bronchodilator.

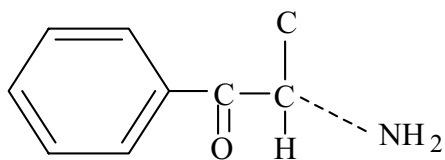


Fig 2.26: Structure of Cathionine

### Cephaline

Source: *Cephalis ipecacuanha*.

Molecular formula: C<sub>28</sub> H<sub>38</sub> N<sub>2</sub> O<sub>4</sub>.

Biological activity: Antiamoebic.

### Chelerythrine

Source: *Sanguinaria canadensis*.

Molecular formula: C<sub>21</sub> H<sub>18</sub> N O<sub>4</sub>.

Molecular weight: 348.40

Biological activity: Narcotic.

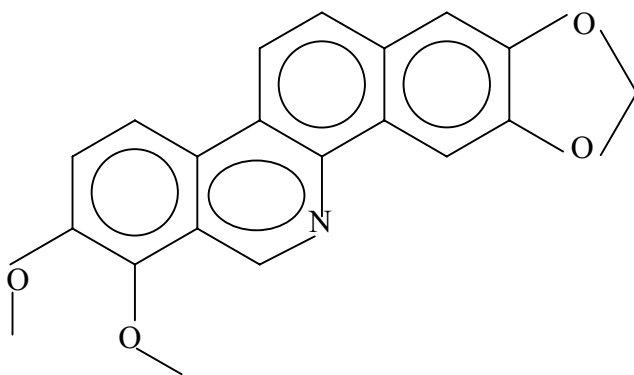


Fig 2.27: Structure of Chelerythrine

### Cocaine

Source: *Erythroxylon coca*.

Molecular formula: C<sub>17</sub> H<sub>21</sub> N O<sub>4</sub>.

Molecular weight: 149.19.

Solubility:

A. Insoluble in water.

B. Soluble in alcohol, ether and olive oil.

Physical form: Colorless alkaloid with monoclinic prisms. It has slight bitter taste.

Biological activity: Anaesthetic.

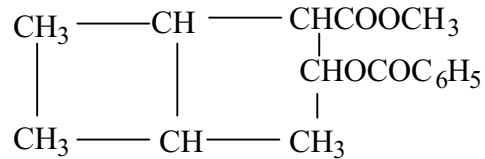


Fig 2.28: Structure of Cocaine

### Codeine

Source: *Papaver somniferum*.

Molecular formula:  $\text{C}_{18}\text{H}_{21}\text{NO}_3$ .

Molecular weight: 299.40.

Melting point: 155 deg C.

Solubility:

A. Soluble in chloroform and ether.

B. Physical form: Colorless, odourless, bitter alkaloid having rhombic prisms.

Biological activity: Antitussive.

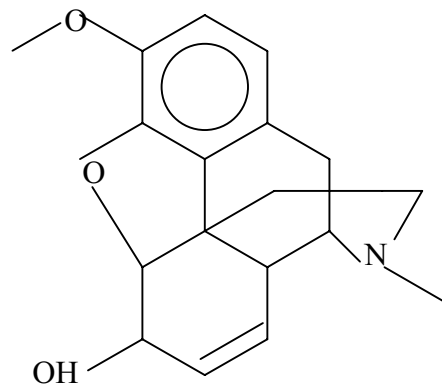


Fig 2.29 : Structure of Codeine

## Colchicine

Source: *Colchicum leuteum* & *C. autumnale*.

Molecular formula:  $C_{22}H_{25}NO_6$ .

Molecular weight: 399.48.

Melting point: 142-150 deg C.

Solubility:

A. Insoluble in water.

Physical form: White colored crystalline alkaloid.

Biological activity: Anti gout.

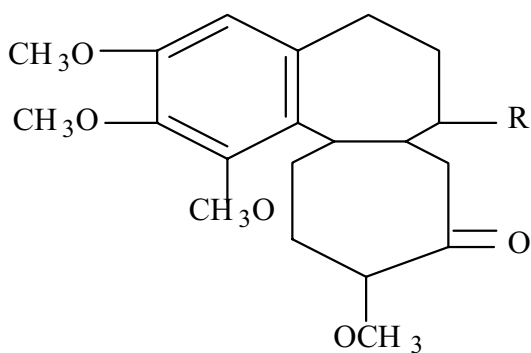


Fig 2.30: Structure of Colchicine

## Conessine

Source: *Halorrhena antidysenterica*.

Molecular formula:  $C_{24}H_{4}N_2O$ .

Molecular weight: 356.6.

Melting point: 123 deg C.

Solubility:

A. Soluble in water and dilute acids.

Biological activity: Amebicidal.

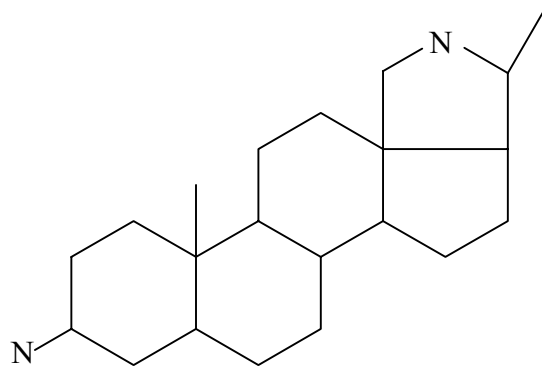


Fig 2.31 : Structure of Conessine

## Conine

Source: Conium maculatum.  
Molecular formula:  $C_8H_{16}N$ .

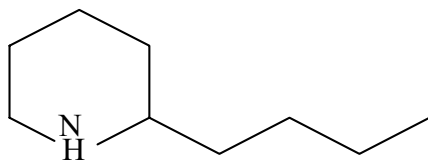


Fig 2.32 : Structure of Conine

## Convolamine

Source: Convolvulus species.  
Molecular formula:  $C_{17}H_{23}NO_4$ .  
Molecular weight: 305.  
Melting point: 114-115 deg C.  
Solubility:  
A. Soluble in acetone and ethanol.  
Biological activity: Anaesthetic.

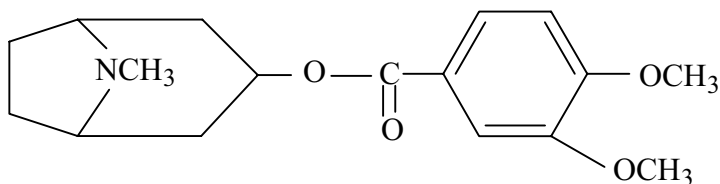


Fig 2.33: Structure of Convolamine

## Convolvine

Source: Convolvulus species.  
Molecular formula:  $C_{16}H_{21}NO_4$ .  
Molecular weight: 291.  
Melting point: 114-115 deg C.  
Solubility:  
A. Soluble in acetone, methanol and ethanol.  
Biological activity: Anaesthetic.

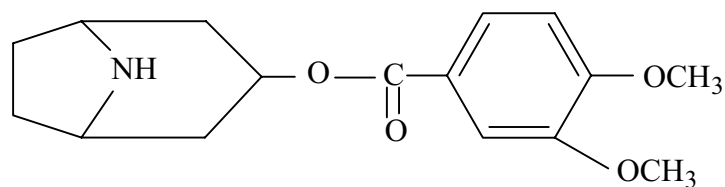


Fig 2.34: Structure of Convolvine

### **Corycavine**

Source: *Corydalis tuberosa*.

Molecular formula: C<sub>21</sub>H<sub>2</sub>N O<sub>5</sub>.

Molecular weight: 357.43.

Melting point: 218 deg C.

Solubility:

Biological activity: Antihelminthic.

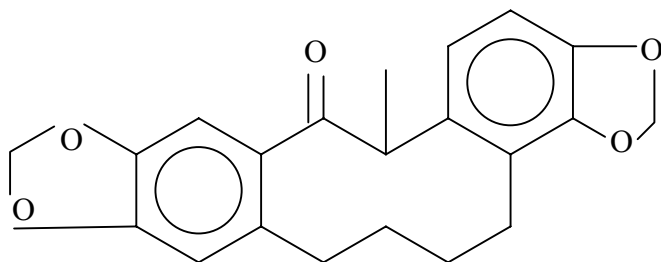


Fig 2.35: Structure of Corycavine

### **Corydaline**

Source: *Corydalis govaniana*.

Molecular formula: C<sub>22</sub>H<sub>27</sub>N O<sub>4</sub>.

Molecular weight: 369.5.

Melting point: 135 deg C.

Biological activity: Antiperiodic.

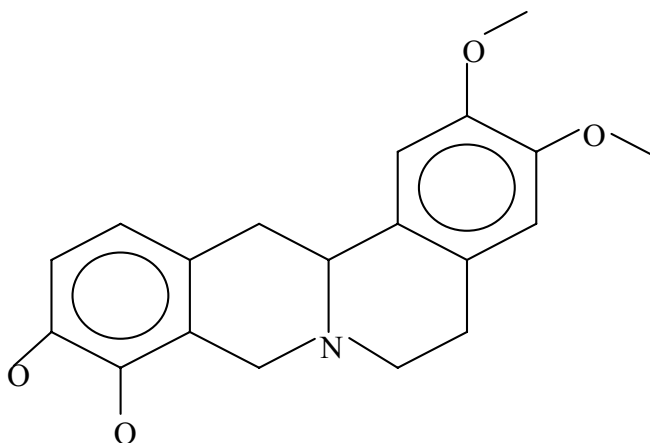


Fig 2.36 : Structure of Corydaline

### Coptine

Source: *Coptis teeta*.

Molecular formula:  $C_{19}H_{14}NO_4$ .

Molecular weight: 320.34.

Physical form: Colourless alkaloid with slight bitter taste.

Biological activity: Antiperiodic.

### Cryptolepine

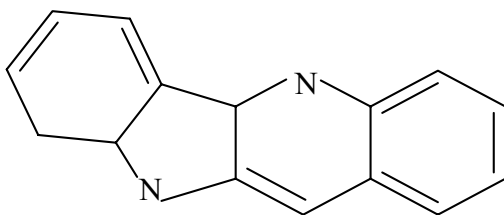


Fig 2.37: Structure of Cryptolepine

### Cuscohygrine

Source: *Withania somnifera*.

Molecular formula:  $C_{13}H_{24}N_2O$ .

Molecular weight: 224.39.

Boiling point: 169 deg C.

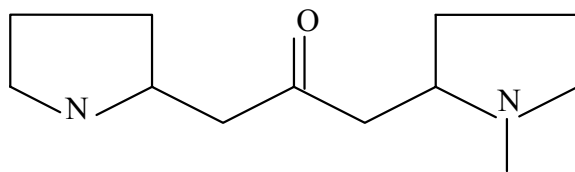


Fig 2.38 : Structure of Cuscohygrine

### **Daturine**

Source: *Datura alba*, *D. innoxia*.  
 Molecular formula: C<sub>17</sub> H<sub>23</sub> N O<sub>4</sub>.  
 Molecular weight: Not confirmed.  
 Melting point: Not confirmed  
 Biological activity: Not confirmed.

### **Delphinine**

Source: *Delphinium denudatum*, *Delphinium staphisagra*.  
 Molecular formula: C<sub>31</sub> H<sub>49</sub> N O<sub>7</sub>.  
 Molecular weight: Not confirmed.  
 Melting point: 191 deg C.  
 Solubility:  
 A. Highly soluble in alcohol, ether and chloroform.  
 B. Insoluble in water.  
 Physical form: Amorphous, yellow crystals.  
 Biological activity: Not confirmed.

### **Desoxypeganine**

Source: *Peganum harmala*.  
 Molecular formula: C<sub>11</sub> H<sub>12</sub> N<sub>2</sub>.  
 Molecular weight: 244.7.  
 Melting point: 225-226 deg C.  
 Solubility:  
 A. Soluble in alcohol and water.  
 B. Insoluble in acetone.

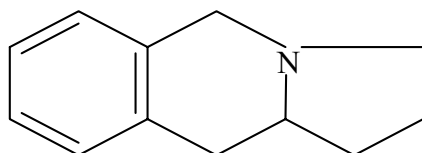


Fig 2.39: Structure of Desoxypeganine



### **Ditamine**

Source: *Alstonia scholaris*.

Molecular formula:  $C_{16}H_{19}NO_2$ .

Melting point: 75 deg C.

Biological activity: Antimalarial?

### **Donaxine**

Source: *Arundo donax*.

Molecular formula: Not confirmed.

Molecular weight: 174.

Melting point: 138-139deg C.

Solubility:

A. Soluble in alcohol and chloroform.

Biological activity: Acetylcholinesterase inhibitor.

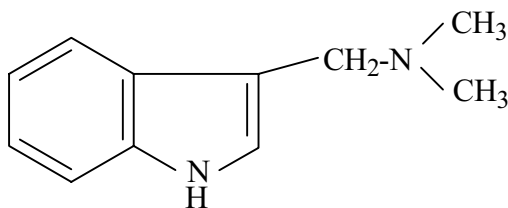


Fig 2.40 : Structure of Donaxine

### **Dubiosine**

Source: *Duboisia* species.

Molecular formula: Not confirmed.

Molecular weight: Not confirmed.

Melting point: Not confirmed.

Biological activity: Mydriatic.

### **Ecliptine**

Source: *Eclipta alba*.

Molecular formula: Not confirmed.

Molecular weight: Not confirmed.

Melting point: Not confirmed.

Biological activity: Hepatoprotective?

### **Echitamine**

Source: *Alstonia scholaris*.

Molecular formula:  $C_{22}H_{29}N_2O_4$ .

Molecular weight: 383.53.

Melting point: Not confirmed.

Biological activity: Not confirmed.

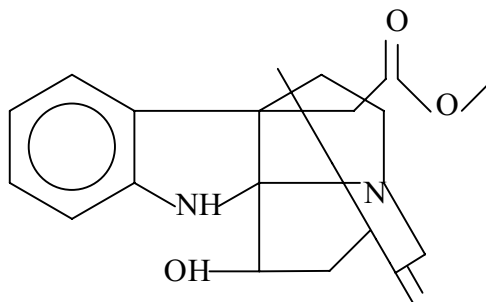


Fig 2.41: Structure of Echitamine

### **Elymojavine**

Source: *Ipomoea nil*.

Molecular formula:  $C_{16}H_{18}N_2O$ .

Molecular weight: 255.3.

Melting point: 252 deg C.

Biological activity: Not confirmed.

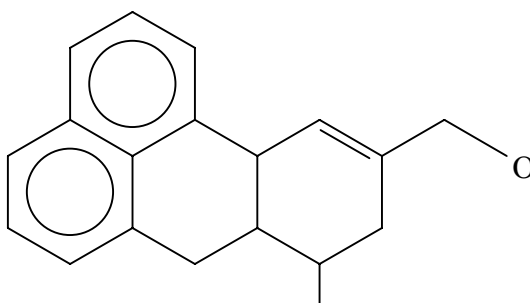


Fig 2.42 Structure of Elymojavine

## Ellipticine

Source: *Ochrosia elliptica*.

Biological activity: Anti cancer.

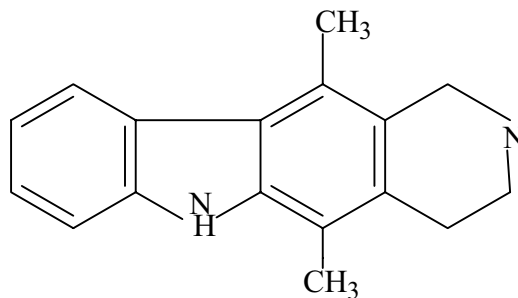


Fig 2.43 : Structure of Ellipticine

## Emetine

Source: *Cephalis ipecacuanha*.

Molecular formula: C<sub>28</sub>H<sub>27</sub>(CH<sub>3</sub>)<sub>2</sub>N<sub>2</sub>O<sub>4</sub>.

Biological activity: Antiamoebic.

## Ephedrine

Source: *Ephedra sinica*.

Solubility:

A. Soluble in water and alcohol.

Biological activity: Bronchodilator.

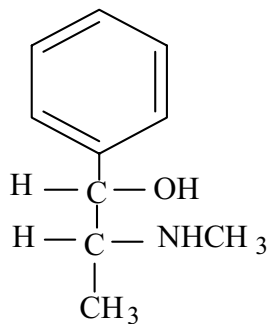


Fig 2.44: Structure of Ephedrine

### **Ergotamine**

Source: *Claviceps purpurea*.

Solubility:

A. Soluble in alcohol and mineral acids.

Physical form: Colourless crystals, which become brown on exposure to light.

Biological activity: Ecbolic.

### **Fumarine**

Source: *Fumaria officinalis*.

Biological activity: Cholagogue.

### **Galantamine**

Source: *Galanthus nivalis*.

Molecular Formula:  $C_{17}H_{21}NO_3$ .

Molecular Weight: 368.27

Physical form: White Powder.

Biological activity: Cholinesterase inhibitor

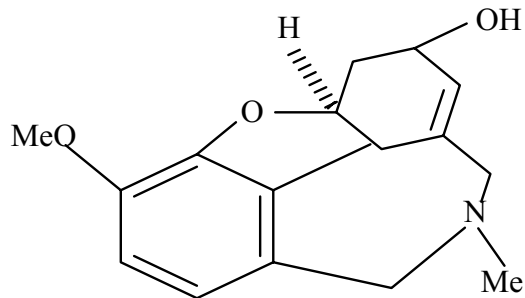


Fig 2.45: Structure of Galantamine

### **Graveoline**

Source: *Ruta graveolans* (Rutaceae).

Molecular formula:  $C_{17}H_{33}NO_3$ .

Molecular weight: 279.

Melting point: 187-188 deg C.

Solubility:

A. Soluble in hot alcohol and chloroform.

Biological activity: Central nervous system (C.N.S) stimulant.

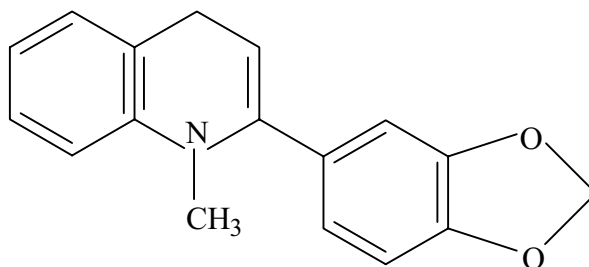


Fig 2.46: Structure of Graveoline

### **Grindelin**

Source: Grindelia robusta.

Biological activity: Bronchodilator.

### **Gelsemine**

Source: Gelsemium sempervirens.

Molecular formula: C<sub>20</sub>H<sub>22</sub>N<sub>2</sub>O<sub>2</sub>.

Molecular weight: 325.

Melting point: 178 deg C.

Biological activity: Convulsant.

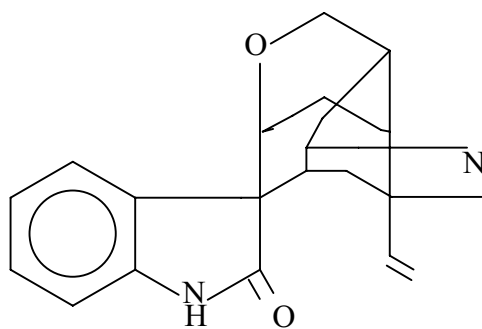


Fig 2.47: Structure of Gelsemine

## Gelsiminine

Source: Gelsemium sempervirens.

Molecular formula:  $C_{20}H_{22}N_2O_2$ .

Molecular weight: 322.14.

Melting point: 178 deg C.

Biological activity: Convulsant.

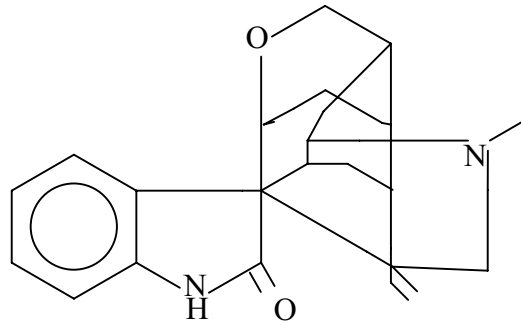


Fig 2.48: Structure of Gelseminine

## Harmaline

Source: Peganum harmala.

Molecular formula:  $C_{13}H_{14}N_2O$ .

Molecular weight: 214.29.

Melting point: 250 deg C.

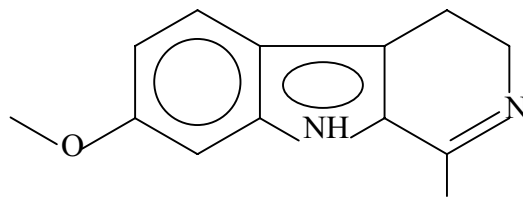


Fig 2.49 : Structure of Harmaline

## Harmine

Source: Peganum harmala.

Molecular formula:  $C_{13}H_{12}N_2O$ .

Molecular weight: 212.27.

Melting point: 257 deg C.

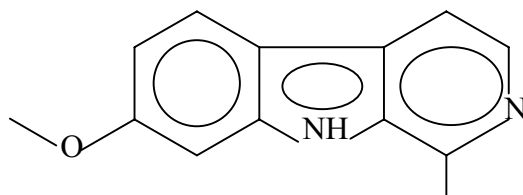


Fig 2.50 : Structure of Harmine

### **Hetisine**

Source: Aconitum heterophyllum.

Molecular formula:  $C_{20}H_{27}NO_3 \cdot HCl$ .

Molecular weight: 365.

Melting point: 290 deg C.

Solubility:

A. Soluble in water.

Biological activity: The alkaloid has shown antiarrhythmic activity in animal models.

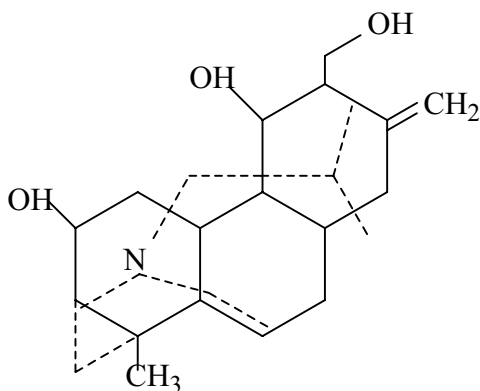


Fig 2.51: Structure of Hetisine

### **Hetratisine**

Source: Aconitum heterophyllum.

Molecular formula:  $C_{22}H_{33}NO_5$ .

Molecular weight: 391.

Melting point: 259-260 deg C.

Solubility:

A. Soluble in chloroform and methanol.

B. Partially soluble in acetone and ethanol.

Biological activity: The alkaloid has shown antiarrhythmic activity in animal models.

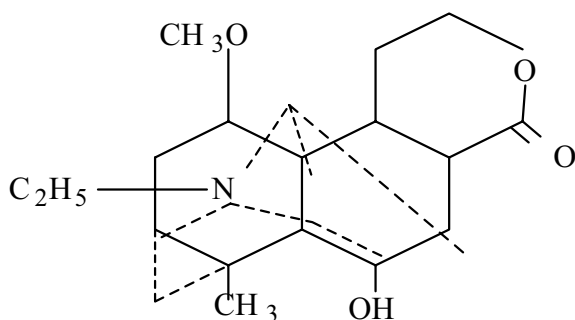


Fig 2.52: Structure of Heteratisine

### **Homoharringtonine**

Source: *Cephalotaxus fortunei*.

Molecular formula:  $C_{29}H_{39}NO_9$ .

Molecular weight: 545.62.

Melting point: 125-132 deg C.

Biological activity: Antileukemic.

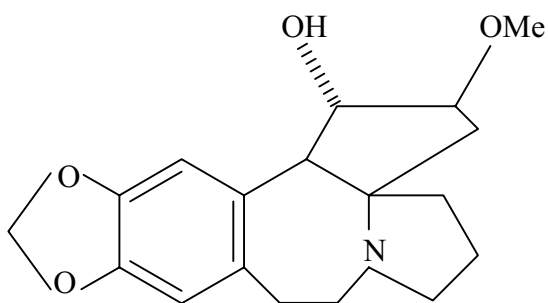


Fig 2.53: Structure of Homoharringtonine

### **Huperzine**

Source: *Huperzine serrata*.

Molecular formula:  $C_{15}H_{18}O_6$ .

Molecular weight: 242.3.

Solubility:

A Soluble in ethanol.

Physical form: Brown powder having peculiar odour.

Biological activity: The alkaloid has potent gabaergic antagonist activity



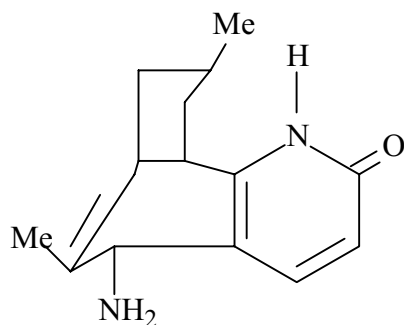


Fig 2.54 : Structure of Huperzine

### Hydrastine

Source: *Hydrastis canadensis*.

Molecular formula:  $C_{21}H_{21}O_6$ .

Molecular weight: 383.39.

Melting point: 125-132 deg C.

Solubility:

A Soluble in chloroform.

B. Slightly soluble in methanol and ethanol.

C. Insoluble in water.

Biological activity: The alkaloid has potent gabaergic antagonist activity.

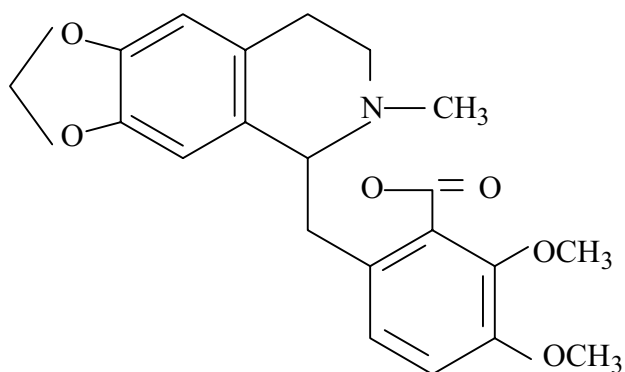


Fig 2.55: Structure of Hydrastine

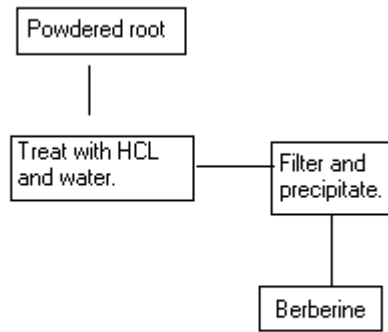


Fig 2.56: Flow sheet for berberine isolation.

### Hygrine

Source: *Withania somnifera*.  
 Molecular weight: 225.  
 Boiling point: 169.

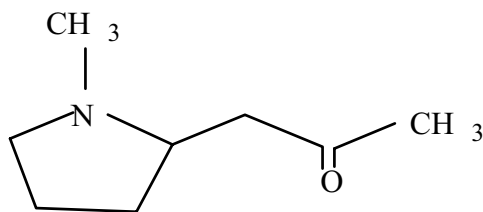


Fig 2.57: Structure of Hygrine

### Hyoscine

Source: *Hyoscyamus niger*.  
 Molecular formula: C<sub>17</sub> H<sub>21</sub> N O<sub>4</sub>.  
 Molecular weight: 303.39.

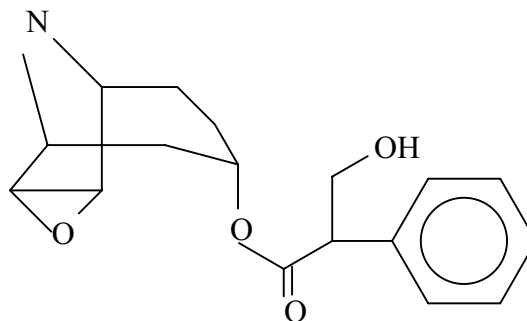


Fig 2.58: Structure of Hyoscine

## **Hyoscyamine**

Source: *Hyoscyamus niger*.

Molecular formula:  $C_{17}H_{23}NO_3$ .

Molecular weight: 289.41.

Biological activity: Antispasmodic.

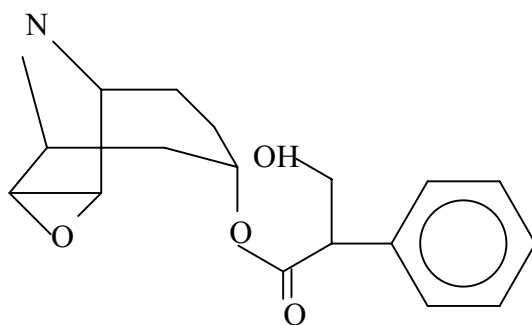


Fig 2.59: Structure of Hyoscyamine.

## **Ibogaine**

Source: *Terbernanthe iboga*.

Biological activity: Hallucinogen.

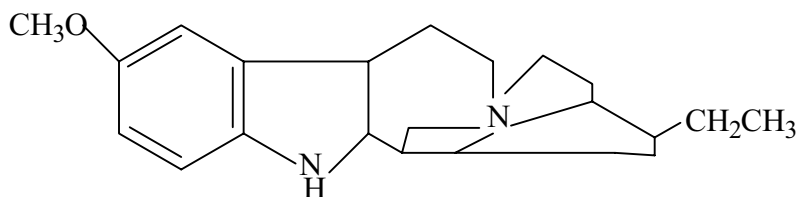


Fig 2.60: Structure of Ibogaine.

## **Indicine**

Source: *Helitropium indicum*.

Molecular formula:  $C_{15}H_{25}NO_5$ .

Molecular weight: 299.41.

Melting point: 98 deg C.

Biological activity: Hepatotoxic.

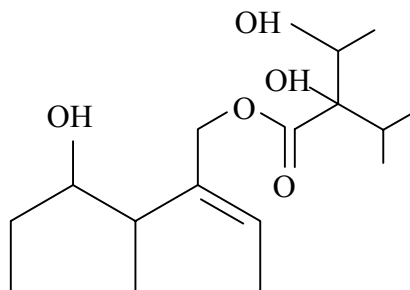


Fig 2.61: Structure of Indicine.

### **Kavain**

Source: Piper methysticum.

Molecular formula:  $C_{14}H_{14}O_3$ .

Molecular weight: 230.26.

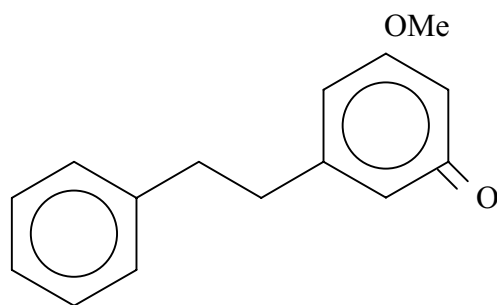


Fig 2.62: Structure of Kavain.

### **Laurotetanine**

Source: Litsoea sabifera.

Molecular formula:  $C_{19}H_{21}NO_4$ .

Molecular weight: 327.41.

Melting point: 125 deg C.

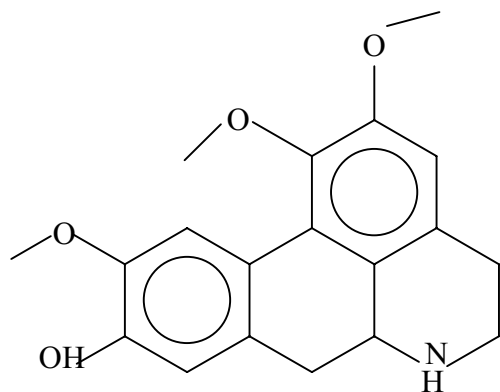


Fig 2.63: Structure of Laurotetanine

### **Lobeline**

Source: *Lobelia inflata*.

Molecular formula:  $C_{22}H_{27}NO_2$ .

Molecular weight: 337.50.

Melting point: 131 deg C.

Biological activity: Narcotic.

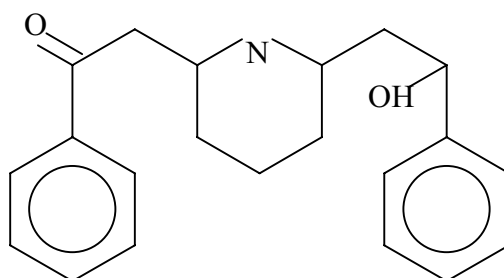


Fig 2.64: Structure of Lobeline

### **Magnolol**

Source: *Magnolia glauca*.

Molecular formula:  $C_{23}H_{28}O_7$ .

Molecular weight: 416.5.

Melting point: 97 deg C.

Solubility:

A. Soluble in ether.

B. Insoluble in water.

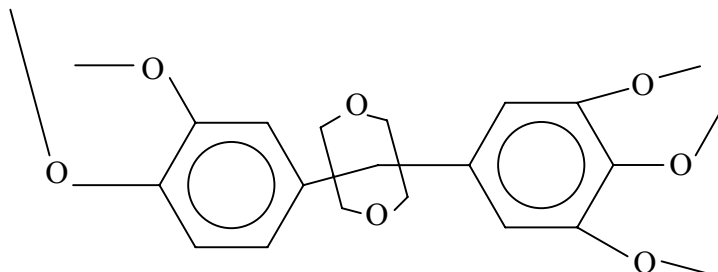


Fig 2.65: Structure of Magnolin

### **Mescaline**

Source: *Lophophora diffusa*, *Trichocereus* species.

Molecular formula: C<sub>11</sub> H<sub>17</sub> NO<sub>3</sub>.

Biological activity: Stimulant.

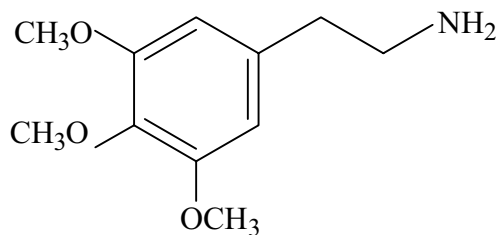


Fig 2.66: Structure of Mescaline

### **Michellamine-B**

Source: *Ancistrocladus korupensis*

Molecular formula: C<sub>46</sub> H<sub>48</sub> N<sub>2</sub> O<sub>8</sub>.

Biological activity: Anti HIV.

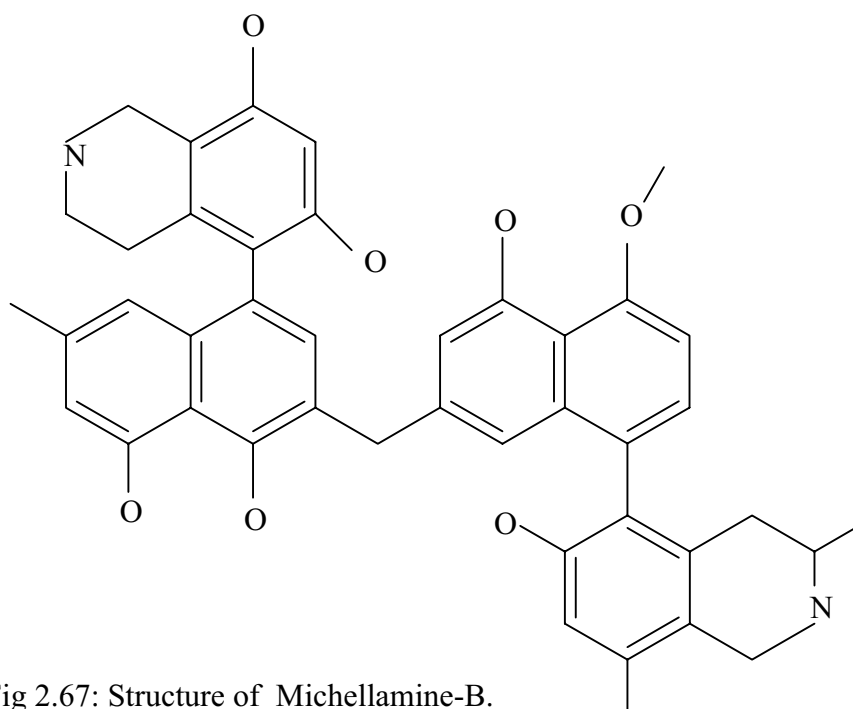


Fig 2.67: Structure of Michellamine-B.

### **Mitragynine**

Source: *Mitragyna ciliata*.

Biological activity: Analgesic.

### **Momordicine**

Source: *Momordica charnata*.

Molecular formula:  $C_{36}H_{58}O_8$ .

Biological activity: Anti diabetic.

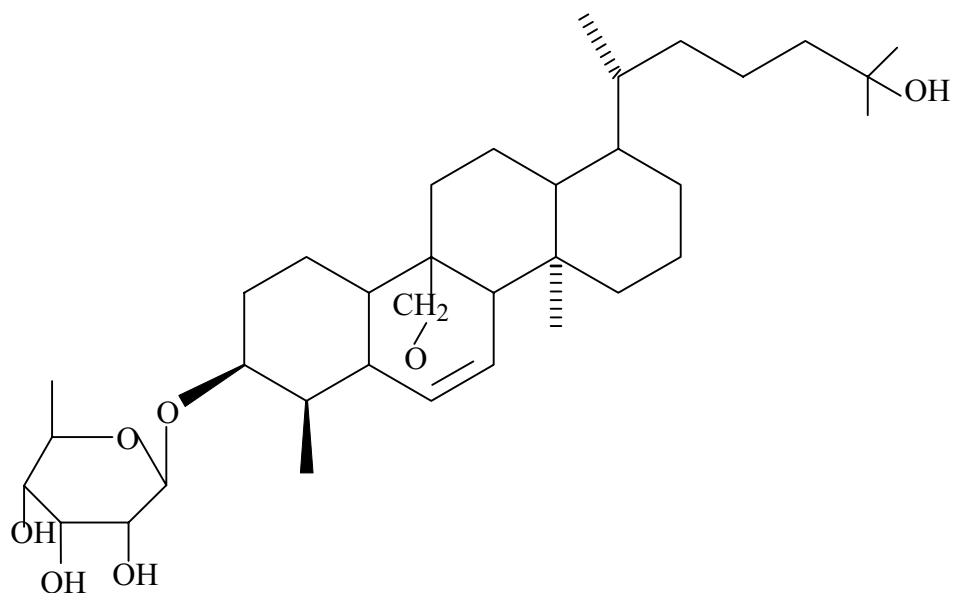


Fig 2.68: Structure of Momordicine

### Monocrotaline

Source: *Crotalaria retusa*.

Molecular formula:  $C_{18}H_{25}NO_5$ .

Molecular weight: 335.44.

Melting point: 232-233 deg C.

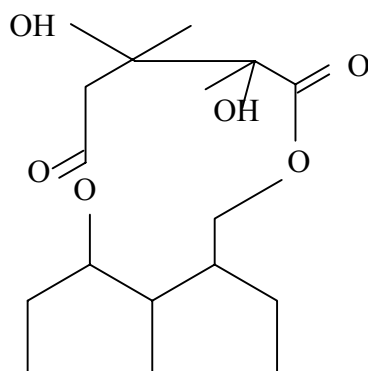


Fig 2.69: Structure of Monocrotaline

### Morphine

Source: *Papaver somniferum*.

Molecular formula:  $C_{17}H_{19}NO_3$ .



Molecular weight: 285.37.

Melting point: 154-156 deg C.

Solubility:

A. Soluble in hot alcohol.

B. Insoluble in water and ether.

Biological activity: Narcotic analgesic.

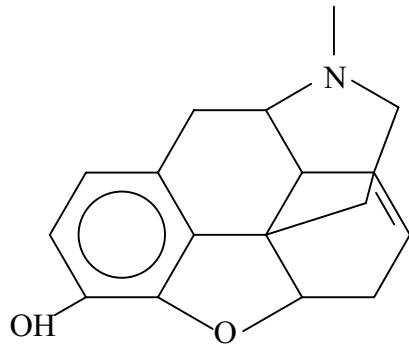


Fig 2.70: Structure of Morphine

### **Narceine**

Source: Papaver somniferum.

Molecular formula:  $C_{23}H_{27}NO_8$ .

Molecular weight: 445.

Melting point: 145 deg C.

Solubility:

A. Soluble in water and alcohol.

B. Insoluble in ether.

Physical form: White, bitter crystalline alkaloid.

Biological activity: Hypnotic.

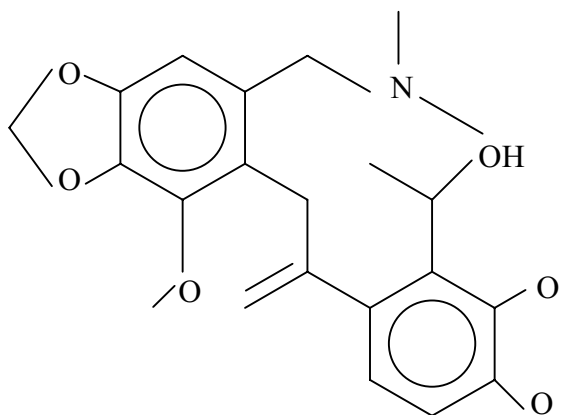


Fig 2.71: Structure of Narcine

### Narcotine

Source: *Papaver somniferum*.

Molecular formula:  $C_{23}H_{23}NO_7$ .

Molecular weight: 413.46.

Melting point: 176 deg C.

Solubility:

A Soluble in chloroform, ether and alcohol.

B. Insoluble in water.

Physical form: Odorless, white, crystalline alkaloid.

Biological activity: Hypnotic.

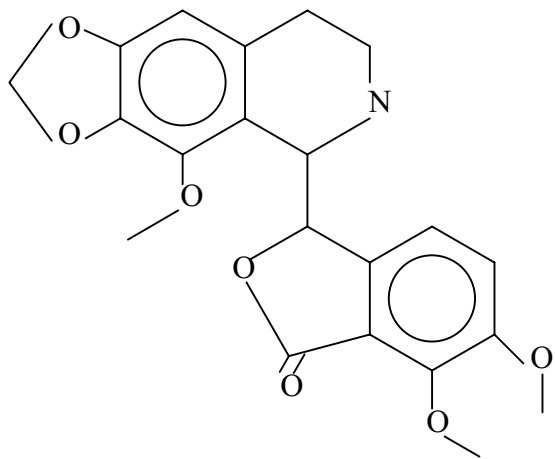


Fig 2.72: Structure of Narcotine

## Napelline

Source: Aconitum ferox.

Molecular formula:  $C_{22}H_{33}NO_3$ .

Molecular weight: 359.

Melting point: 162-164 deg C.

Solubility:

A. Highly soluble in acetone and methanol.

B. Moderately soluble in ether.

Physical form: Yellow crystalline powder.

Biological activity: Antiarrhythmic agent.

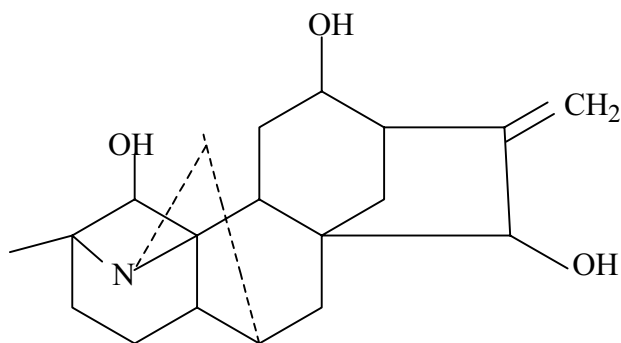


Fig 2.73: Structure of Napelline

Note: Estimation of the alkaloid is done by Mayer's reagent and it corresponds to 0.038 gm of napelline to 1c.c of the reagent.

## Nicotine

Source: Nicotina tabaccum.

Molecular formula:  $C_6H_5NO_2$ .

Molecular weight: 123.12.

Melting point: 236 deg C.

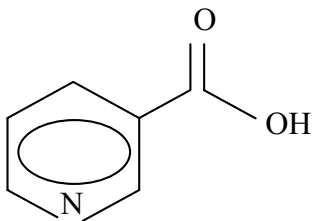


Fig 2.74: Structure of Nicotine

## Nitidine

Source: *Toddalia asiatica*.

Biological activity: Anti AIDS.

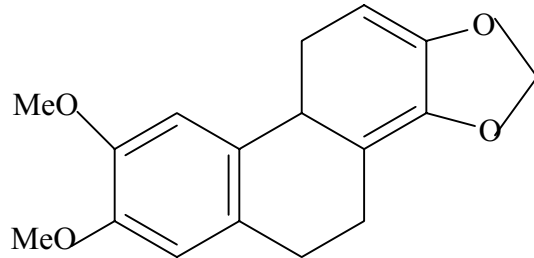


Fig 2.75: Structure of Nitidine

## Noscapine

Source: *Papaver somniferum*.

Molecular formula:  $C_{23}H_{23}NO_7$ .

Molecular weight: 413.14.

Melting point: 175-176 deg C.

Solubility:

A Soluble in chloroform.

B. Insoluble in water.

Biological activity: Antitussive.

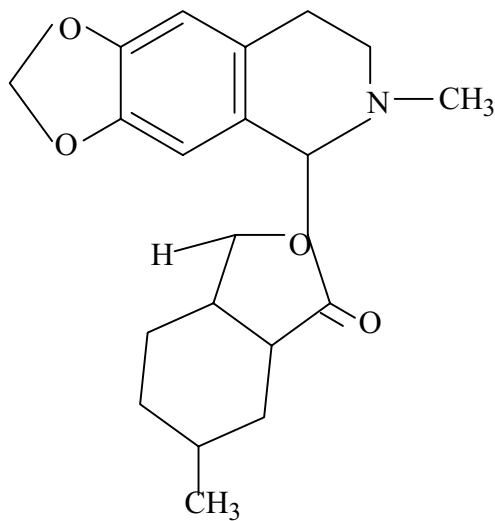


Fig 2.76: Structure of Noscapine

## Oleanderin

Source: Nerium odorum.

Molecular formula:  $C_{32}H_{48}O_9$ .

Molecular weight: 576.80.

Melting point: 250 deg C.

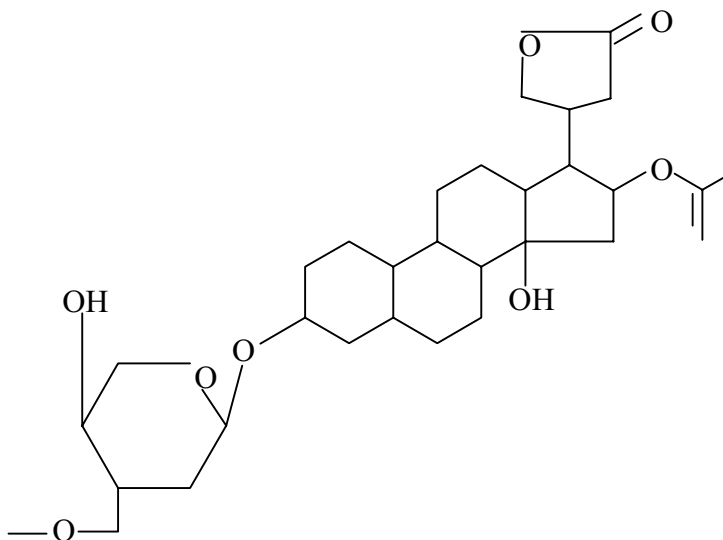


Fig 2.77: Structure of Oleandrin.

## Oroxylin

Source: Oroxylum indicum.

Molecular formula:  $C_{13}H_{24}N_2O$ .

Molecular weight: 284.39.

Melting point: 232 deg C.

## Oxycanthine

Source: Berberis aristata.

Molecular formula:  $C_{37}H_{40}N_2O_6$ .

Molecular weight: 608.79.



Solubility:

A Soluble in chloroform.

B. Insoluble in water.

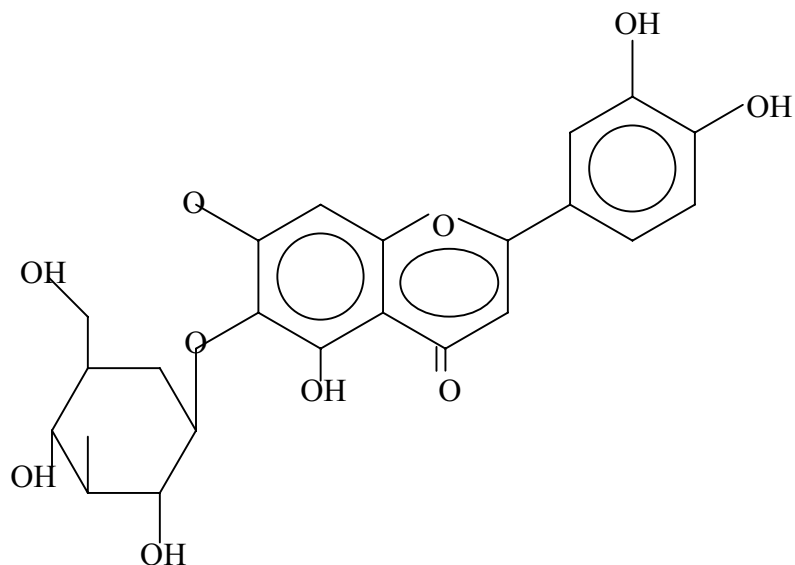


Fig 2.80: Structure of Peadalin

### Pelosine

Source: *Cissampelos pareira*.

Molecular formula: C<sub>37</sub> H<sub>38</sub> N<sub>2</sub> O<sub>6</sub>.

Molecular weight: 606.77.

Melting point: 213 deg C.

Solubility:

A Freely soluble in acetone and alcohol.

B. Sparingly soluble in carbon disulphide.

C. Insoluble in water.

Physical form: Pelosine is an amorphous alkaloid.

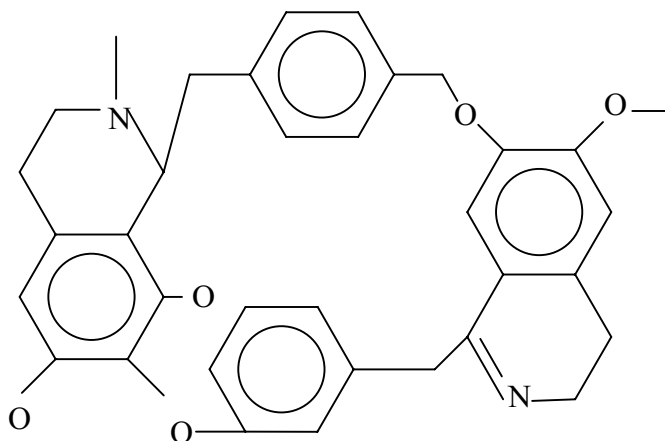


Fig 2.81: Structure of Pelosine.

### **Pelletrine**

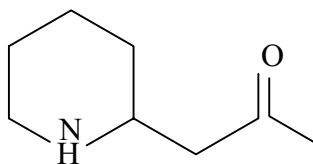
Source: Punica granatum.

Molecular formula:  $C_8 H_{15} N O$ .

Molecular weight: 141.24.

Boiling point: 91 deg C.

Biological activity: Antihelmenetic.



2.82: Structure of Pelletrine

### **Pinitol**

Source: Punica granatum.

Molecular formula:  $C_7 H_{14} O_6$ .

Molecular weight: 194.21.

Boiling point: 186 deg C.

Biological activity: Antidiabetic.

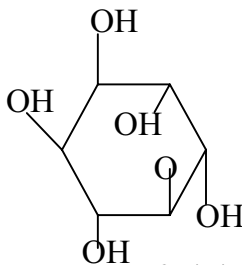


Fig 2.83: Structure of Pinitol

### **Protopine**

Source: Papaver somniferum.

Molecular formula:  $C_{20} H_{19} N O_5$ .

Molecular weight: 389.65.

Solubility: Slightly soluble in water.

Biological activity: Calcium channel blocker and antiplatelet agent.



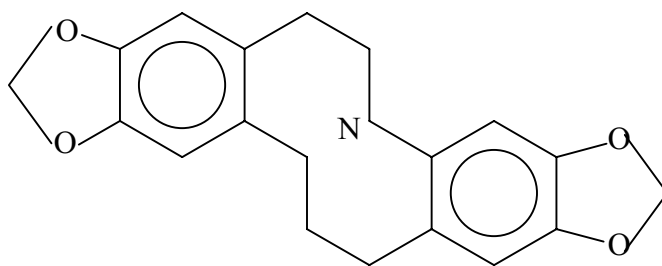


Fig 2.84: Structure of Protopine

### **Phyllanthin**

Source: Phyllanthus niruri, P.urinaria.

Biological activity: Not confirmed.

### **Physalin**

Source: Physalis minima.

Molecular formula:  $C_{28} H_{30} O_{10}$ .

Molecular weight: 526.58.

Melting point: 266 deg C.

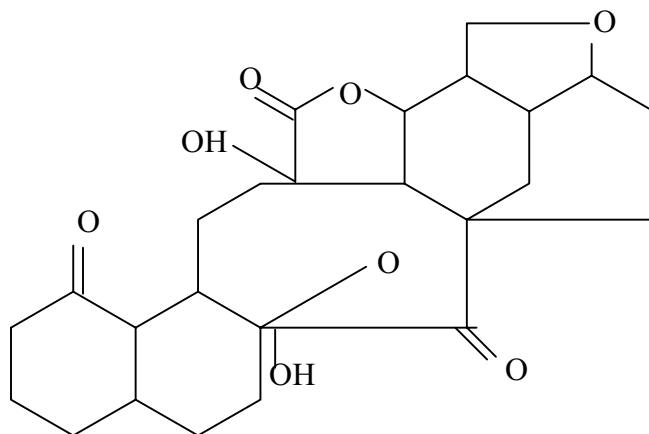


Fig 2.85: Structure of Physalin

### **Physostigmine (Eserine)**

Source: Physostigma venenosum.

Molecular formula:  $C_{15} H_{21} O_2 N_3$ .

Physical form: Colorless or faintly yellow crystals, which become red on exposure to air and light.

Solubility:

A. Soluble in water and alcohol.

Biological activity: Cholinesterase inhibitor.

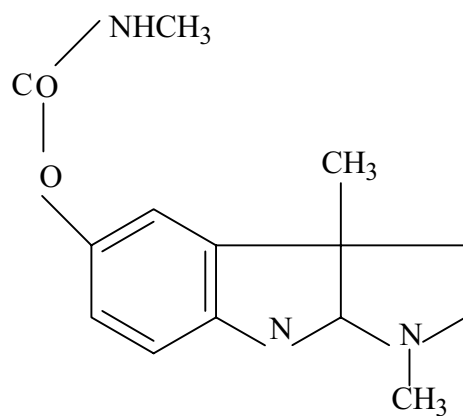


Fig 2.86: Structure of Physostigmine

### **Piperidine**

Source: Piper nigrum.

Molecular formula:  $C_5H_{11}N$ .

Molecular weight: 85.17.

Melting point: 8 deg C.

Solubility:

A. Readily soluble in water.

Biological activity: Antihelmintic.

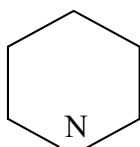


Fig 2.87: Structure of Piperidine

### **Piperine**

Source: Piper nigrum.

Molecular formula:  $C_{17}H_{19}NO_3$ .

Molecular weight: 285.37.

Melting point: 129.5 deg C.

Solubility:

A. Soluble in alcohol, acetic acid and chloroform.

B. Slightly soluble in water.

C. Insoluble in water.

Physical form: Piperine is a feeble alkaloid, colorless, tasteless, odorless occurring in rhombic crystals.

Biological activity: Antipyretic.

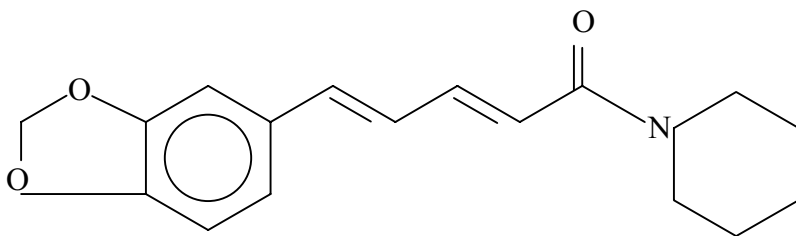


Fig 2.88: Structure of Piperine

### **Pseudochiratin**

Source: *Phyllanthus urinaria*.

Biological activity: N.A.

### **Punarnavine**

Source: *Boehrvia diffusa*.

Biological activity: Diuretic.

### **Psilocin**

Source:

Molecular formula:  $C_{12}H_{16}N_2O$ .

Molecular weight: 204.27.

Melting point: 173-176 deg C.

### **Psilocybin**

Source:

Molecular formula:  $C_{12}H_{17}N_2OP$ .

Molecular weight: 284.25.

Melting point: 220-228 deg C.

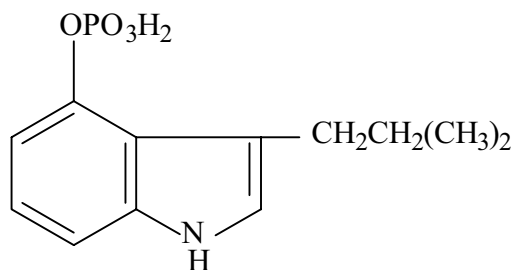


Fig 2.89 :Structure of Psilocybin.

### **Quinine**

Source: Cinchona officinalis.

Molecular formula:  $C_{20}H_{24}N_2O_2$ .

Solubility:

A Soluble in ether and ammonia.

Physical form: White acicular crystals with bitter taste.

Biological activity: Antimalarial.

### **Quinidine**

Source: Cinchona officinalis.

Molecular formula:  $C_{20}H_{24}N_2O_2$ .

Solubility:

A Soluble in ether and ammonia.

Biological activity: Antiarrhythmic.

### **Rescinnamine**

Source: Rawolfia serpentina.

Biological activity: Antihypertensive.

### **Ricine**

Source: Ricinus communis.

Molecular formula:  $C_8H_8N_2O_2$ .

Molecular weight: 164.16.

Melting point: 201 deg C.

Solubility:

A. Soluble in water, methanol and ethanol.

B. Less soluble in organic solvents.

Biological activity: Convulsant.

### **Rhynchophylline, Hirsutine & Mitrephylline**

Rhynchophylline:

Source: Uncaria tomentosa.

Molecular formula:  $C_{22}H_{28}N_2O_4$ .

Molecular weight: 384.52.

Melting point: 216 deg C.

Biological activity: Antihypertensive.

Hirsutine:

Source: Uncaria tomentosa.

Molecular formula:  $C_{22}H_{28}N_2O_3$ .

Molecular weight: 368.52.

Melting point: 101 deg C.  
Biological activity: Local anaesthetic.

Mitraphylline:

Source: *Uncaria tomentosa*.  
Biological activity: Diuretic.

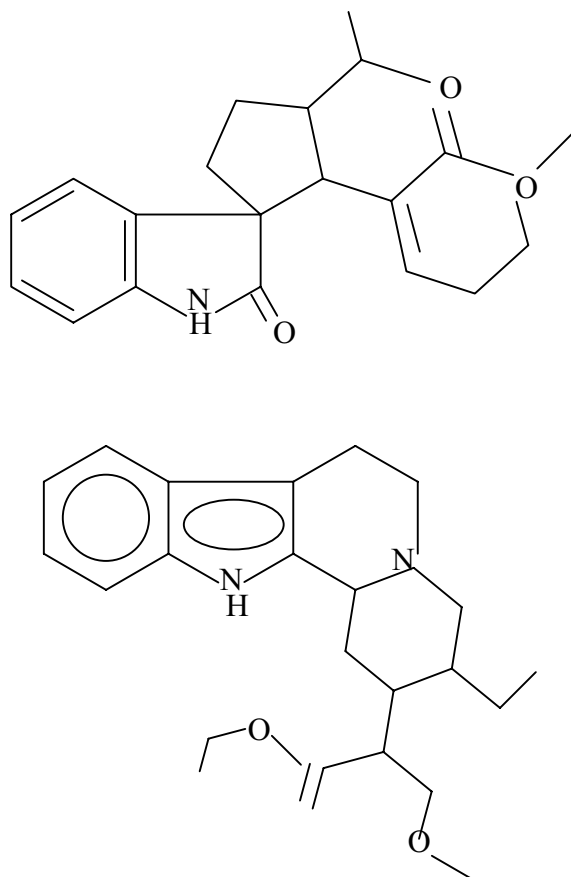


Fig 2.90: Structure of Rhynchophylline & Hirsutine

### **Salvinorin-A**

Source: *Salvia divinorum*.

Molecular formula:  $C_{23}H_{28}O_8$ .

Molecular weight: 4328.46.

Biological activity: Anti addiction activity.

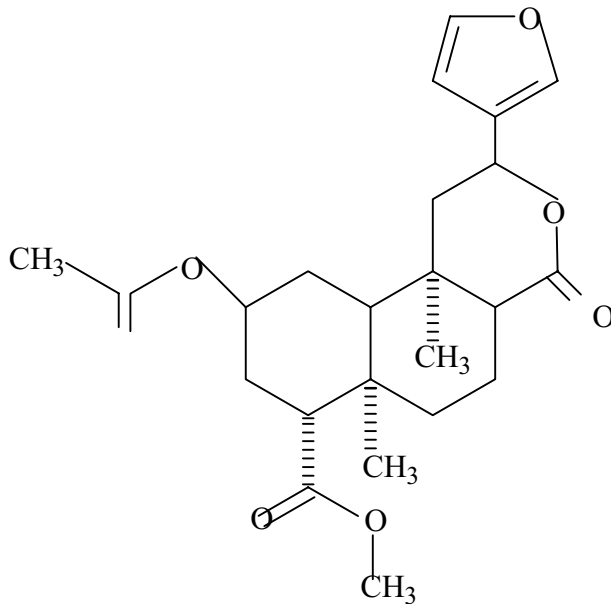


Fig 2.91: Structure of Salvinorin-A

### **Sanguinarine**

Source: *Sanguinarine canadensis*.

Molecular formula:  $C_{20}H_{14}CN_4O_4$ .

Melting point: 242 deg C.

Biological activity: Antimicrobial.

### **Saussurine**

Source: *Saussurea lappa*.

Biological activity: Antitubercular.

### **Scopolamine**

Refer to Hyoscine.

## Senecionine

Source: *Crotalaria juncea*.

Molecular formula:  $C_{18}H_{25}NO_5$ .

Molecular weight: 335.44.

Melting point: 232-3 deg C.

Biological activity: Antitumor.

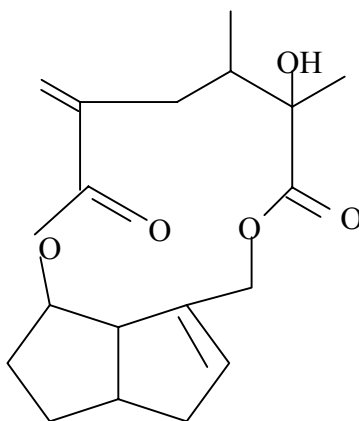


Fig 2.93: Structure of Senecionine

## Solanine

Source: *Solanum nigrum*.

Molecular formula:  $C_{45}H_{73}NO_{16}$ .

Molecular weight: 884.19.

Melting point: 301-3 deg C.

Biological activity: Protooplasmic poison.

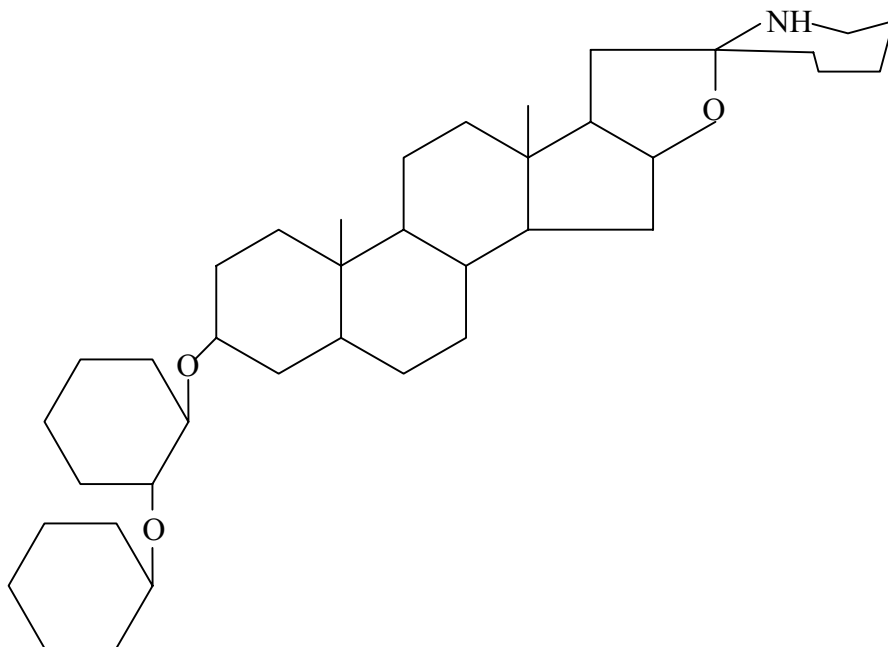


Fig 2.94: Structure of Solanine

## Strychnine

Source: *Strychnos nux vomica*.

Molecular formula:  $C_{21}H_{22}O_2N_2$ .

Molecular weight: 334.4.

Melting point: 275 deg C.

Solubility:

A. Soluble in water, alcohol and chloroform.

B. Insoluble in ether.

Physical form: Strychnine is a colourless, odourless alkaloid having intense taste and occurs in prismatic crystals.

Biological activity: Convulsant.

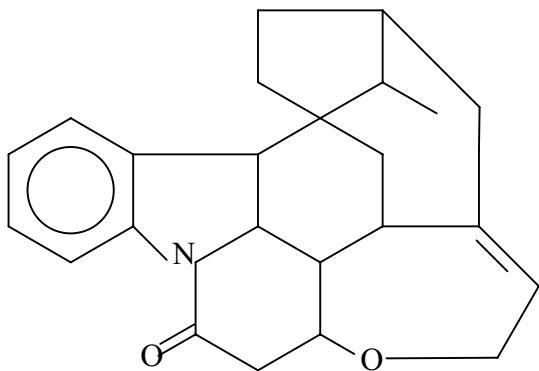


Fig 2.95: Structure of Strychnine

## Tephrosin

Source: *Tephrosia purpurea*.

Molecular formula:  $C_{23}H_{22}O_7$ .

Molecular weight: 334.4.

Melting point: 198 deg C.

Biological activity: Antifeedent.

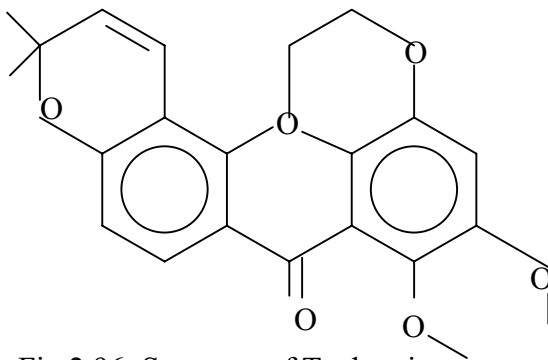


Fig 2.96: Structure of Tephrosin



## **Theabine**

Source: *Papaver somniferum*.

Molecular formula:  $C_{19}H_{21}NO_3$ .

Molecular weight: 311.41.

Melting point: 193 deg C.

Biological activity: Spinal excitant.

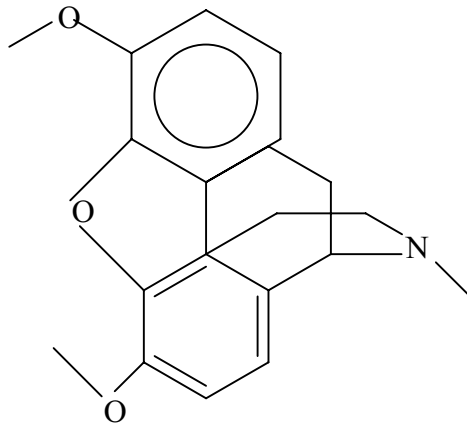


Fig 2.97: Structure of Theabine

## **Theobromine**

Source: *Theobroma cocoa*.

Molecular formula:  $C_7H_8N_4O_2$ .

Molecular weight: 180.19.

Melting point: 350 deg C.

Biological activity: Bronchodilator.

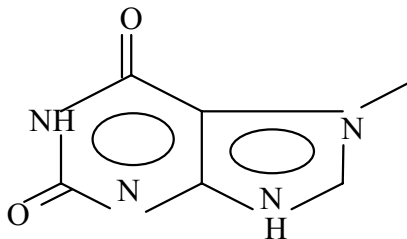


Fig 2.98: Structure of Theobromine

## Theophylline

Source: Theobroma cocoa.

Molecular formula:  $C_7H_8N_4O_2$ .

Molecular weight: 180.19.

Melting point: 264 deg C.

Biological activity: Bronchodilator.

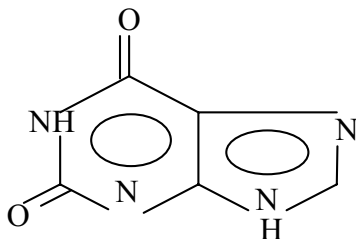


Fig 2.99: Structure of Theophylline.

## Trigonelline

Source: Trigonella foneum graceum.

Molecular formula:  $C_7H_7NO_2$ .

Molecular weight: 137.15.

Melting point: 218 deg C.

Solubility:

A. Soluble in water.

B. Insoluble in ether and benzene.

Physical form: Colourless alkaloid having feeble taste.

Biological activity: Antidiabetic.

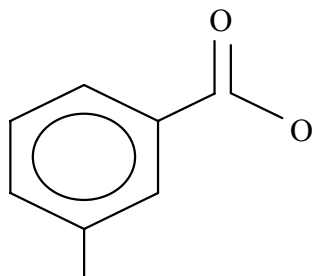


Fig 2.100: Structure of Trigonelline

## Tropine

Source: Withania somnifera.

Molecular formula:  $C_8H_{15}NO$ .

Molecular weight: 141.24.

Boiling point: 63 deg C.

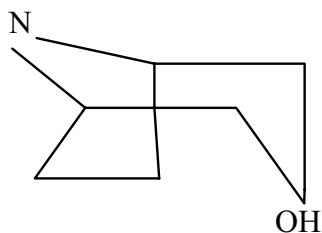


Fig 2.101: Structure of Tropine

### **Tylophorine**

Source: *Tylophora asthamatica*.

Molecular formula:  $C_{24}H_{27}NO_4$ .

Molecular weight: 393.52.

Melting point: 292 deg C.

Biological activity: Bronchodilator.

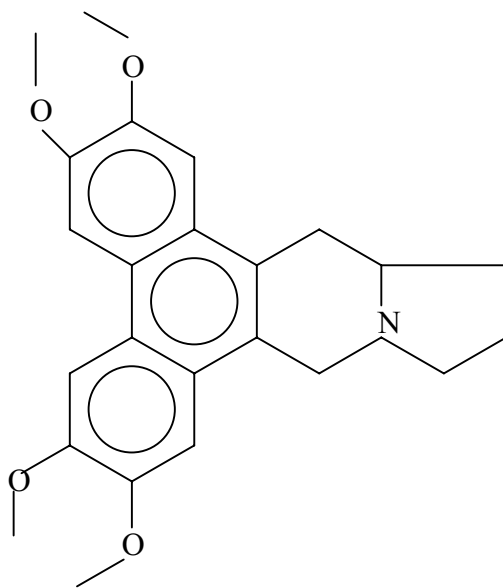


Fig 2.102: Structure of Tylophorine

### **Vasicine**

Source: *Adhatoda vasica*.

Molecular formula:  $C_{11}H_{12}N_2O$ .

Melting point: 182deg C.

Solubility:

A. Soluble in chloroform.

Biological activity: Bronchodilator.

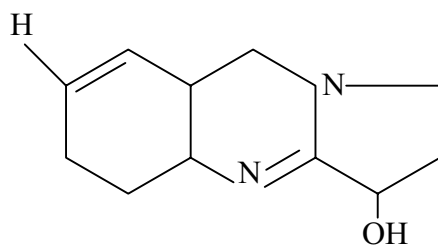


Fig 2.103: Structure of Vasicine

### **Vasicinone**

Source: *Adhatoda vasica*.

Molecular formula:  $C_{11}H_{10}N_2O_2$ .

Molecular weight: 20.232deg C.

Melting point: 201 deg C.

Biological activity: Bronchodilator.

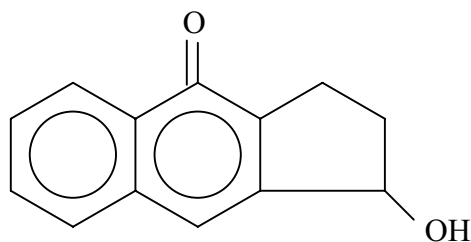


Fig 2.104: Structure of Vasicinone

### **Vertarine**

Source: *Schoenocaulon officinale*.

Molecular formula:  $C_{37}H_{51}NO_9$ .

Solubility:

A. Soluble in water, ether and alcohol.

Physical form: A pale grey amorphous powder.

Colourless alkaloid having feeble taste.

Biological activity: Similar to pilocarpine.

### **Vinblastine**

Source: *Catharanthus roseus*.

Biological activity: Anticancer.

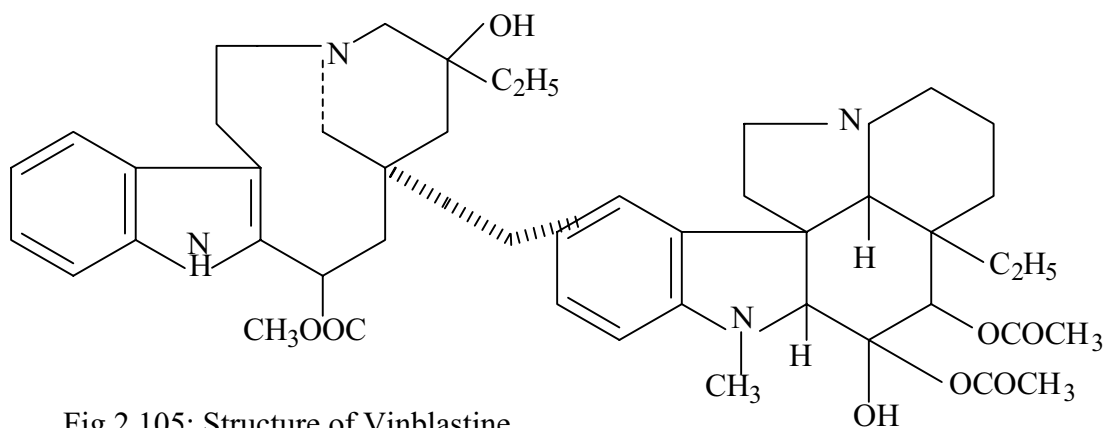


Fig 2.105: Structure of Vinblastine

### Vincamine

Source: *Catharanthus roseus*.

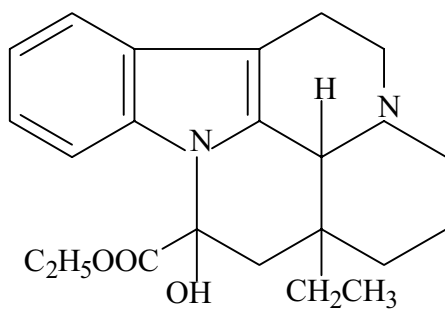


Fig 2.106: Structure of Vincamine

### Vincristine

Source: *Catharanthus roseus*.

Biological activity: Anticancer.

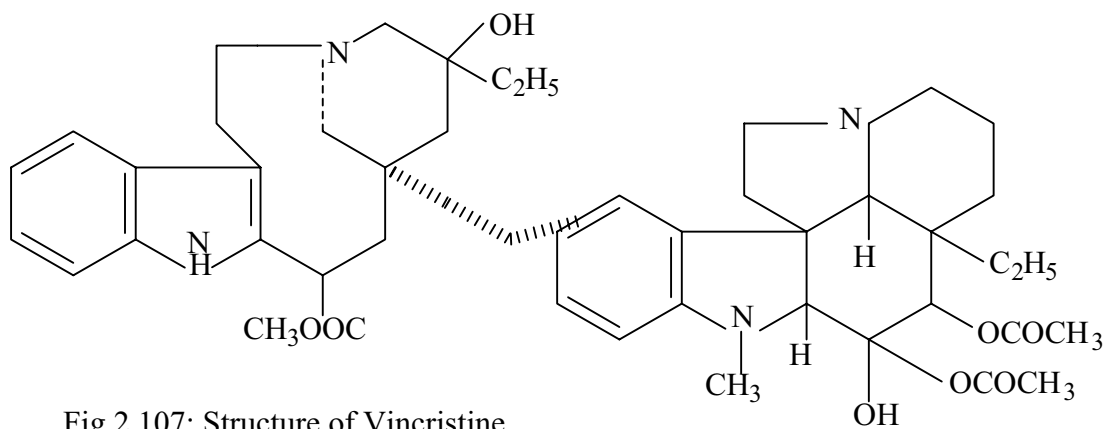


Fig 2.107: Structure of Vincristine.

### **Vinpocetine**

Source: *Catharanthus roseus*.

### **Voacangine**

Source: *Voacanga africana*.

Molecular formula:  $C_{22}H_{28}N_2O_4$ .

Biological activity: Analgesic.

### **Vomicine**

Source: *Strychnos nux vomica*.

Molecular formula:  $C_{21}H_{24}N_2O_4$ .

Molecular weight: 380.48.

Melting point: 279 deg C.

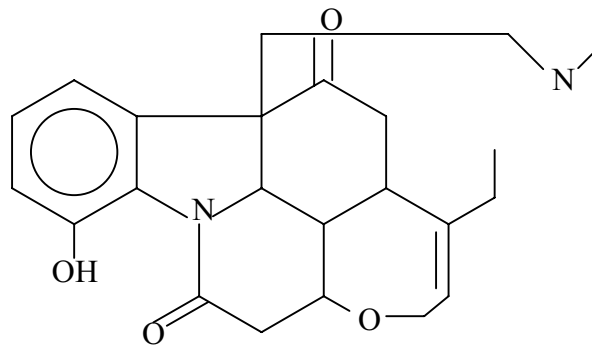


Fig 2.108: Structure of Vomicine.

### **Wedelolactone**

Source: *Eclipta alba*.

Molecular formula:  $C_{15}H_{10}O_7$ .

Molecular weight: 302.25

### **Yohimbine**

Source: *Pausinystalia yohimbe*.

Molecular formula:  $C_{21}H_{26}N_2O_3$ .

Molecular weight: 354.99.

Melting point: 241 deg C.

Physical form: Colourless, odourless powder.

Biological activity: Stimulant.

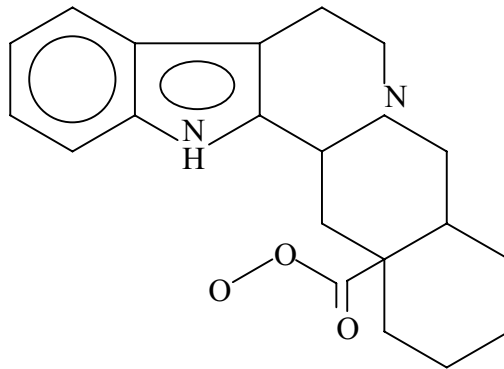


Fig 2.109: Structure of Yohimbine

## Chapter-3: Bitters

Bitter principles are basically glycosides and are found commonly in plants of Geniaceae. They are chemically unrelated but possess the common property of an intensely bitter taste. Although this group of drugs is not used today, but once upon a time they were given to promote appetite and aid digestion. The bitters act on gustatory nerves, which results in increased flow of saliva and gastric juices. From chemistry point of view, the bitter principles contain lactone group. They may be diterpene lactones e.g.; Andrographolide or Triterpenoids e.g.; Amarogentin. The bitters have no action in general.

Some bitter principles are known to be astringent due to the presence of tannic acid. *Gentiana lutea* is the plant known to contain astringent bitter principles. They should not be prescribed with metals, as they are known to cause gastro-intestinal upset. Bitters have no detailed account in Herbal Materia Medica and are much of historic importance, Some like Amarogentin has recently received some importance because of antiprotozoal activity. Andrographolide is being investigated for Anti-Aids activity. Bitter also possess aromatic property due to the presence of volatile oils, e.g.; *Citrus aurantium* (orange peel). They are sometimes used as flavoring agents.

### Amarogentin

Source: *Swertia chirata*.

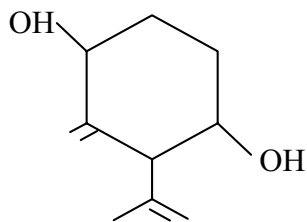


Fig 3.1: Structure of Amarogentin

### Andrographolide

Source: *Andrographis paniculata*.

Molecular formula: C<sub>20</sub> H<sub>30</sub> O<sub>5</sub>.

Molecular weight: 350.50.

Melting point: 235.3 deg C.

Solubility:

A. Soluble in water.

Biological activity: Hepatoprotective and antiallergic.



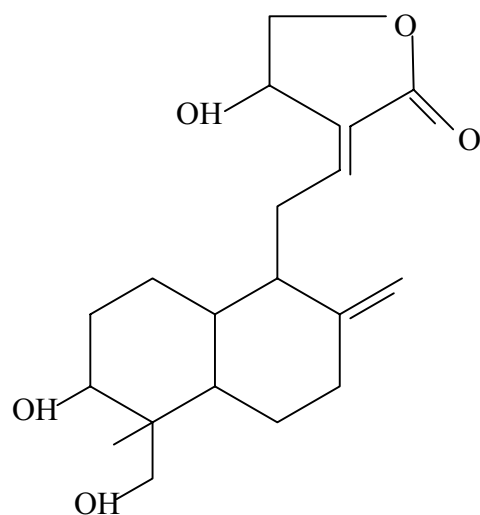


Fig 3.2: Structure of Andrographolide.

### **Calumbin**

Source: *Cocculus palmata*.

Molecular formula:  $C_{20} H_{22} O_6$ .

Molecular weight: 358.42.

Melting point: 192-5 deg C.

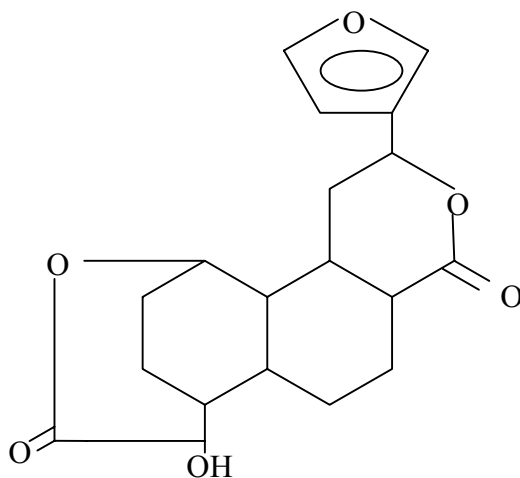


Fig 3.3 : Structure of Calumbin.

### **Gentiopicrin**

Source: *Swertia chirata*.

Molecular formula:  $C_{16} H_{25} O_{1/2} \cdot H_2O$ .

Physical form: Yellow coloured crystalline substance.

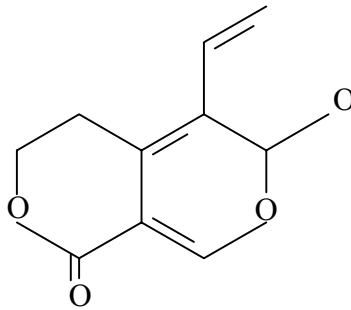


Fig 3.4 : Structure of Gentiopicrotin

### Kutkosides & Picrosides

Source: *Picrorhiza kurroa*.

Molecular formula:  $C_{23}H_{28}O_{13}$ .

Molecular weight: 513.

Melting point: 235.3 deg C.

Solubility:

A. Soluble in ether.

Biological activity: Hepatoprotective.

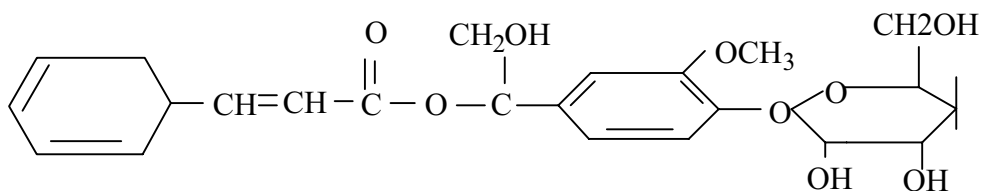
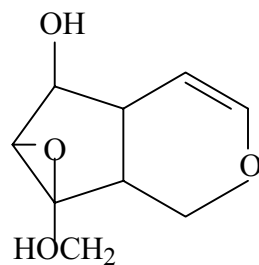


Fig 3.5: Structure of Picroside and Kutkin.

## Quassin

Source: *Picrasma excelsa*.

Solubility:

A. Soluble in alcohol.

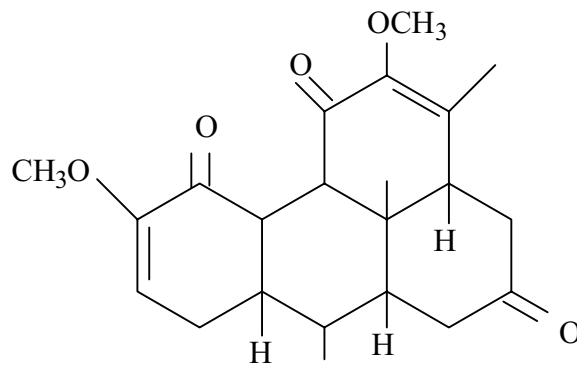


Fig 3.6: Structure of Quassin

## **Chapter-4: Caffeic acid derivatives**

Phenolic compounds are widely distributed in plant flora. A variety known as polyphenols is found in fruits of some plants. They occur as natural colour pigments and are responsible for colour of the fruits.

Phenols are classified in two groups: -

- A. Phenolic acids.
- B. Flavonones, flavones, xanthones and catechins.

In this chapter, we will discuss in brief about caffeic acid and compounds derived from it. Caffeic acid is regarded as the commonest of phenolic compounds distributed in plant flora. It is produced by hydroxylation of cinnamic acid. Caffeic acid is distributed in *Coffea arabica*, *Echinacea purpurea* and *Cichorium intybus*. Quinic acid is the degradation product of caffeic acid. Chlorogenic acid is a dark coloured pigment and is most abundant phenolic compound in plants next to caffeic acid. It is known to cause allergic dermatitis among humans.

### **Caffeic acid**

Source: *Echinacea purpurea*.

Molecular formula:  $C_9 H_8 O_4$ .

Molecular weight: 180.16.

Melting point: 194-98 deg C.

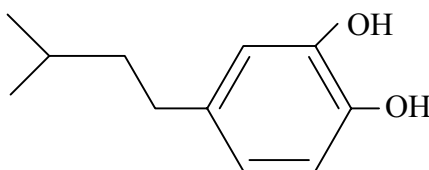


Fig 4.1: Structure of Caffeic acid.

### **Chlorogenic acid**

Molecular formula:  $C_{16} H_{18} O_9$ .

Molecular weight: 354.31.

Melting point: 207-9 deg C.

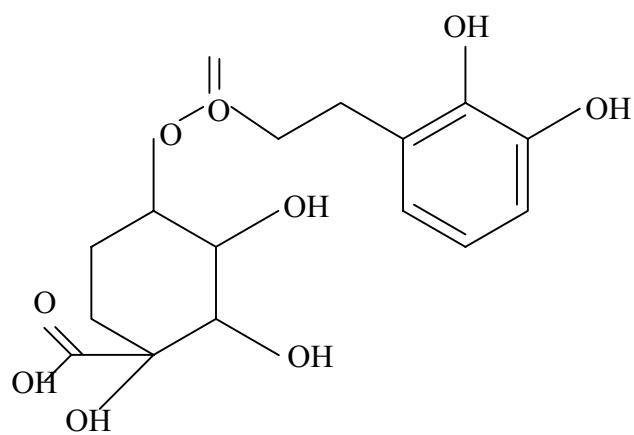


Fig 4.2 : Structure of Chlorogenic acid.

### **Cichoric acid**

Source: Cichorium intybus and Echinacea purpurea.

Molecular formula:  $C_{22}H_{18}O_{12}$

Molecular weight: 474.40.

Melting point: 206 deg C.

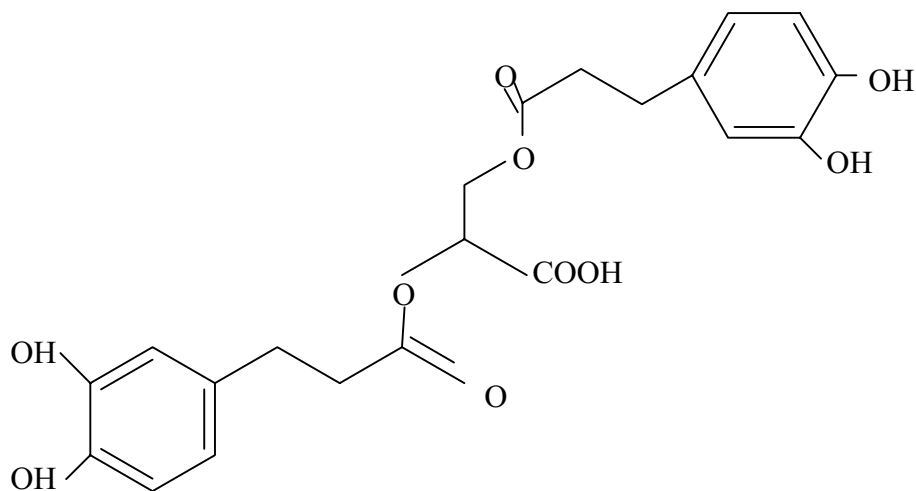


Fig 4.3: Structure of Cichoric acid.

### **Ferulic acid**

Source: Ferula narthax.

Molecular formula:  $C_{10}H_{10}O_4$ .

Molecular weight: 194.20.

Melting point: 173-8 deg C.

Biological activity: Aphrodisiac.

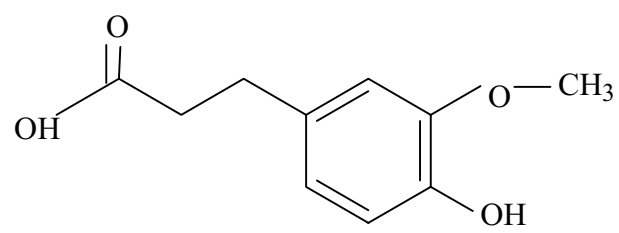


Fig 4.4 : Structure of Ferulic acid.

Other examples of caffeic acid derivatives are cherry acid, dicoffeayl tartaric acid and isocaffeic acid.

## **Chapter-5: Diarylheptanoids**

Diarylheptanoids are rare compounds found in family Zingiberaceae. They are found in rhizomes of *Zingiber officinale*, *Alpinia galangal* and *Hedychium spicatum*. Recent animal studies have shown diarylheptanoids to be potent anti-inflammatory agents as they selectively inhibit cyclooxygenase enzyme responsible for inflammation.

Gingerenone A and B and galangol are common examples. The pungent odour of *Zingiber officinale* and *Alpinia galangal* is due to the presence of these compounds. This group of compounds has not been thoroughly investigated for pharmacological activity.

## Chapter-6: Flavones ,flavonoids and xanthenes

Flavonoids are important group of polyphenols, widely distributed in plant flora. 4,000 flavonoids are known to exist and some of them are pigments in higher plants. Quercetin, kaempferol and quercitrin are common flavonoids present in nearly 70 percent of the plants. Soya flavones have recently gained importance due to variety of pharmacological activities.

Flavonoids are derived from parent compounds known as flavans.

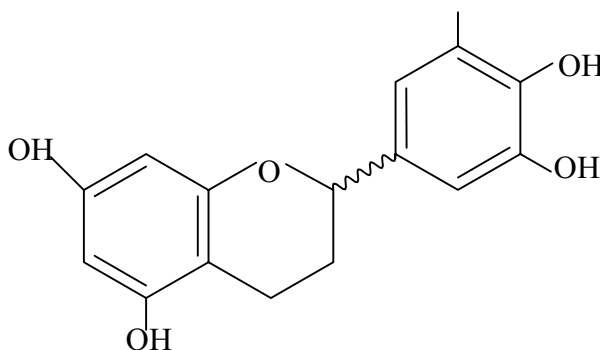


Fig 6.1: Structure of Flavan.

Following diagram represents the flavonoid molecule.

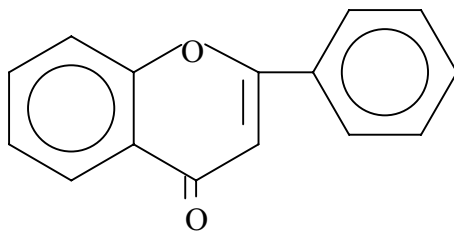


Fig 6.2 : Structure of Flavonoid.

Flavonoids are classified into five groups:

### **A. Flavones and flavonols.**

They are yellow coloured and commonly found in majority of the plants.



### **Apigenin**

Molecular formula:  $C_{15}H_{10}O_5$ .

Molecular weight: 270.25.

Melting point: 345 deg C.

Physical form: Light yellow crystals.

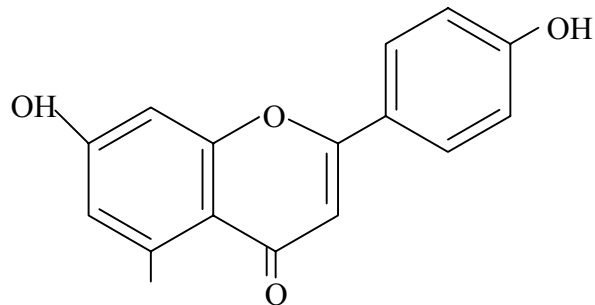


Fig 6.3: Structure of Apigenin.

### **Hyperoside**

Molecular formula:  $C_{21}H_{20}O_{12}$ .

Molecular weight: 464.41.

Melting point: 242 deg C.

### **Kaempferol**

Molecular formula:  $C_{15}H_{10}O_7$ .

Molecular weight: 338.3.

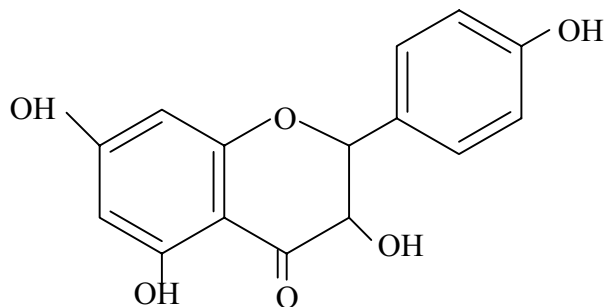


Fig 6.4: Structure of Kaempferol..

### **Rhamnetin**

Molecular formula:  $C_{16}H_{12}O_7$ .

Molecular weight: 317.

Melting point: 305 deg C.

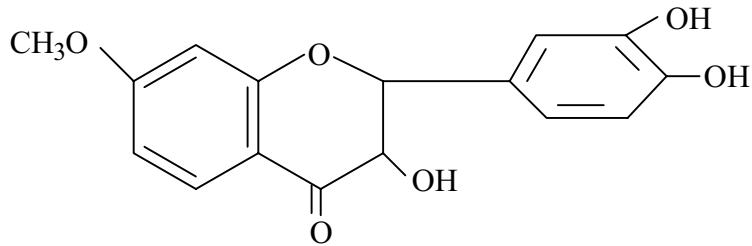


Fig 6.5: Structure of Rhamnetin.

### **Isorhamnetin**

Molecular formula:  $C_{16}H_{12}O_7$ .

Molecular weight 317.

Melting point: 305 deg C.

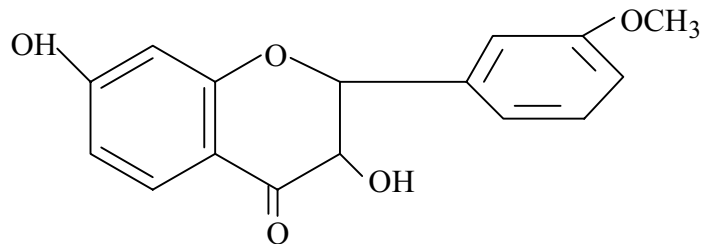


Fig 6.6 : Structure of Isorhamnetin.

### **Quercetin**

Molecular formula:  $C_{15}H_{10}O_7$ .

Molecular weight: 302.25.

Melting point: 314 deg C.

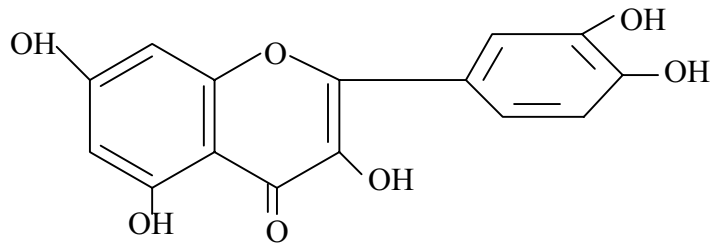


Fig 6.7 : Structure of Quercetin.

### Quercitrin

Molecular formula:  $C_{21}H_{20}O_{11}$ .

Molecular weight: 448.41.

Melting point: 182-5 deg C.

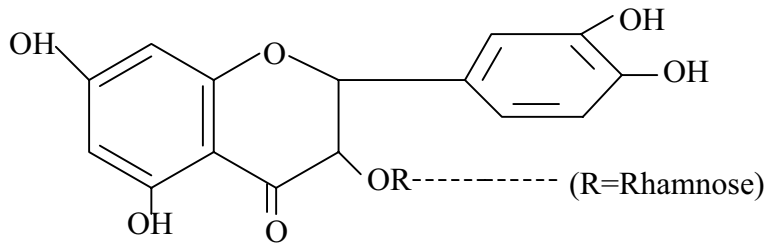


Fig 6.8 : Structure of Quercitrin.

### Vitexin

Molecular formula:  $C_{21}H_{20}O_{10}$ .

Molecular weight: 432.41.

Melting point: 263 deg C.

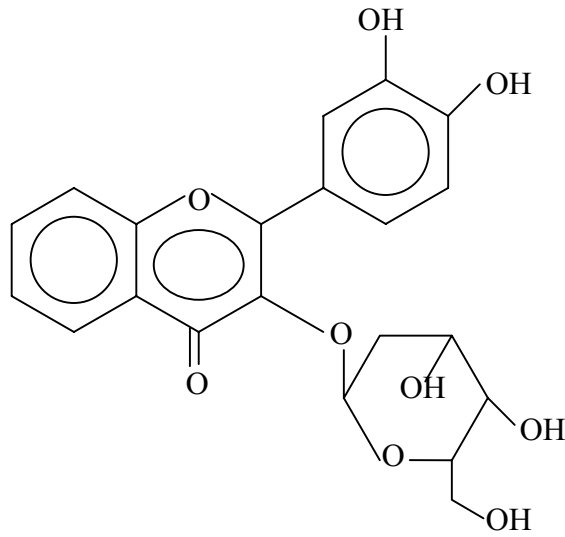


Fig 6.9: Structure of Vitexin.

**B. Flavonols.**

They are found in plants of Rutaceae.

**Naringin**

Source: Citrus medica.

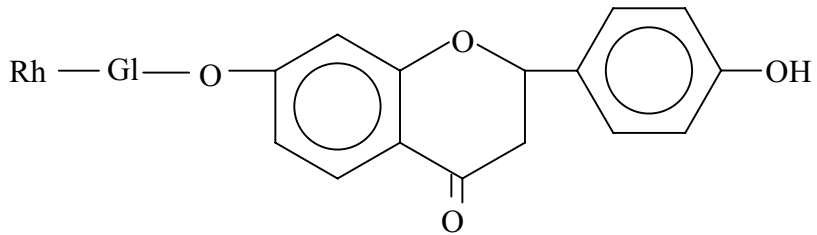


Fig 6.10: Structure of Naringin.

### C. Anthocyanidins

Anthocyanidins are derived from flavonols. In nature, they are found as glycosides and are termed as anthocyanins. They have characteristic colour ranging from red to blue and they are responsible for colour of the fruits. Cyanidin and delphinidin are familiar examples.

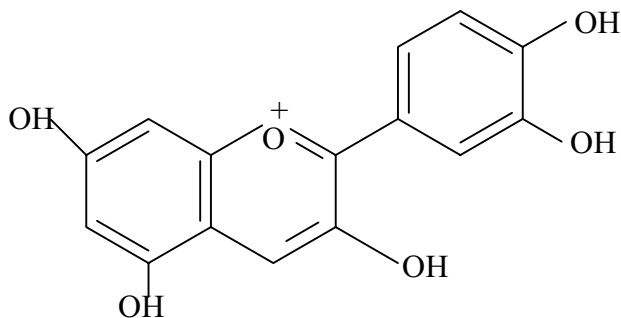


Fig 6.11: Structure of Cyanidin.

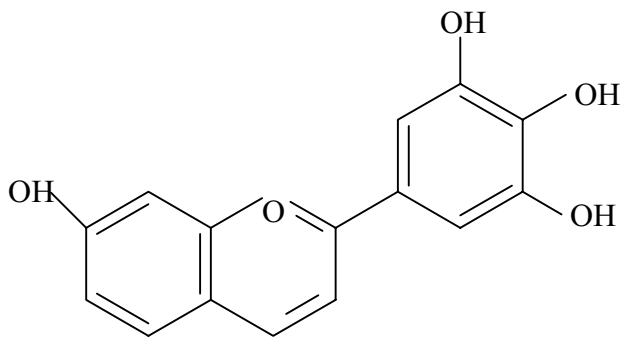


Fig 6.12: Structure of Delphinidin.

### D. Proanthocyanidins

Proanthocyanidins on hydrolysis yield anthocyanidins. Procyanidin and prodelfinidin are common examples. Prodelfinidin isolated from *Rhynchosia minima* has demonstrated antibiotic activity.

### E. Catechin and leucoanthocyanidins

Catechins are also derived from flavones. The leucoanthocyanidins have an additional

hydroxy group. Catechin and epicatechin are common examples. They are found in *Hypericum perforatum*.

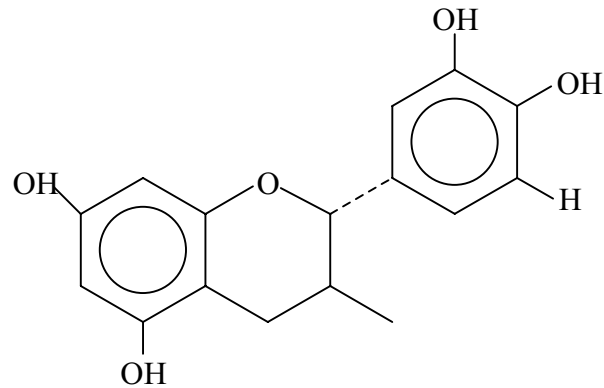


Fig 6.13: Structure of Catechin.

### Isoflavones

Isoflavones are found in *Glycine max* (soybean). Clinical research has demonstrated soy isoflavones to be effective in menstrual diseases. They have antioxidant activity also. Isoflavones belong to a group of compounds known as phyto-estrogens. The isoflavone content of soybean is 10 to 20 times more than other plants. Genistein is a potent tyrosine inhibitor, whereas daidzein has antioxidant activity. Recently isoflavones have been investigated for hypolipidemic activity.

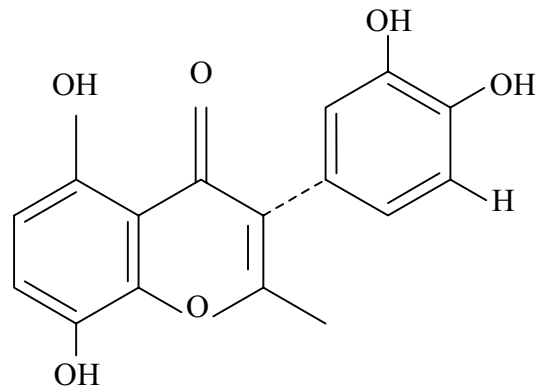


Fig 6.14: Structure of Genistein.

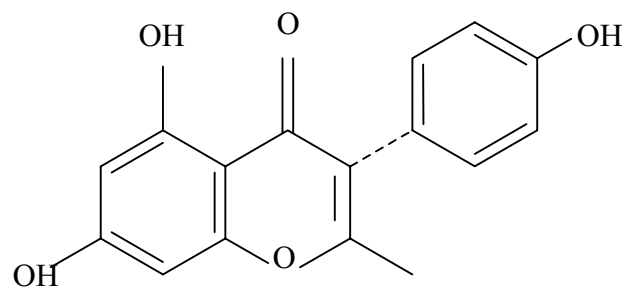


Fig 6.15: Structure of Daidzein.

## Amentoflavone

It is a bioflavonoid present in *Hypericum perforatum* and some researchers claim it to be the antidepressant constituent of the plant.

## Silymarin

Silymarin is a flavanol- lignan mixture obtained from seeds of *Silybum marianum*. Silymarin is a mixture of silybin, isosilybin, silychristin and silydianin. Silybin A and B are collectively known as silibinin. Silymarin is a reputed hepatoprotective drug.

Source: *Silybum marianum*.

Molecular formula:  $C_{25} H_{22} O_{10}$ .

Molecular weight: 482.4.

Melting point: 158 deg C.

Solubility:

A. Soluble in acetone and ethyl acetate.

B. Insoluble in water.

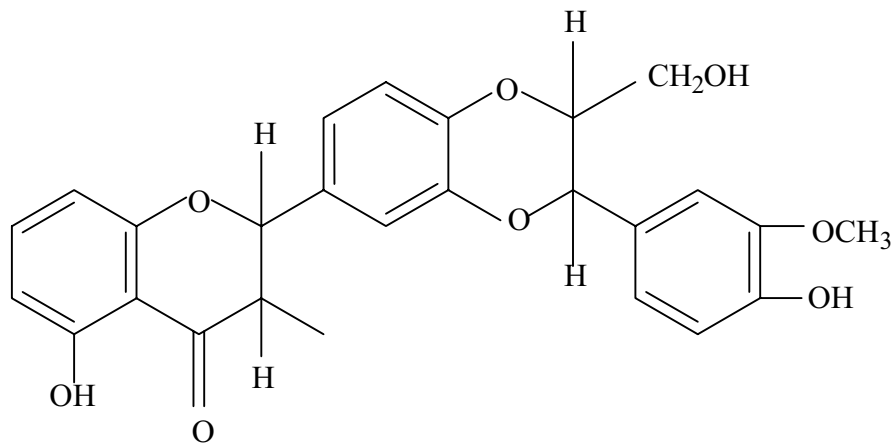


Fig 6.16: Structure of Silybin

## Chapter-7: Furanocoumarins

Furanocoumarins are photosensitizing agents used in the treatment of pigment disorders. Ayurveda, the ancient science of India, has described the use of bawachi (*Psoralia corylifolia*) for the treatment of leucoderma. Psoralens isolated from the medicinal herb, are reputed drugs in the field of dermatology.

Furanocoumarins are formed when furor ring is joined with coumarins. The plants of Rutaceae, Leguminosae and Apiaceae are rich sources of furanocoumarins. Depending upon the structure, the furanocoumarins are divided into linear and angular types. Furanocoumarin containing preparations are used externally as well as internally for treatment of leucoderma, psoriasis and skin carcinoma.

### Angelicene

Source: *Angelica archangelica*.

Molecular formula:  $C_{11}H_6O_3$ .

Molecular weight: 186.17.

Melting point: 135 deg C.

Solubility:

A. Soluble in chloroform.

B. Insoluble in water.

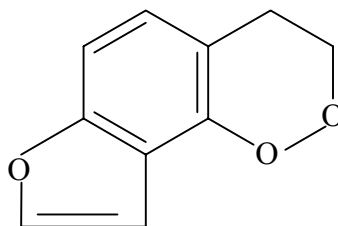


Fig 7.1: Structure of Angelicene.

### Bergeptan

Source: *Psoralia corylifolia*.

Molecular formula:  $C_{12}H_8O_4$ .

Molecular weight: 216.20.

Melting point: 189 deg C.

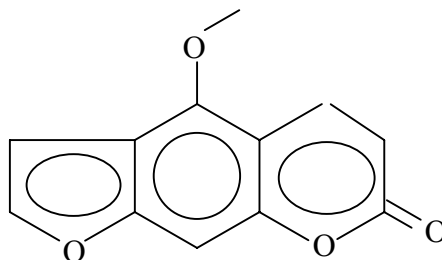


Fig 7.2: Structure of Bergapten.



### **Imperatorin**

Source: *Psoralea corylifolia*.

Molecular formula:  $C_{16}H_{14}O_4$ .

Molecular weight: 270.30.

Melting point: 104 deg C.

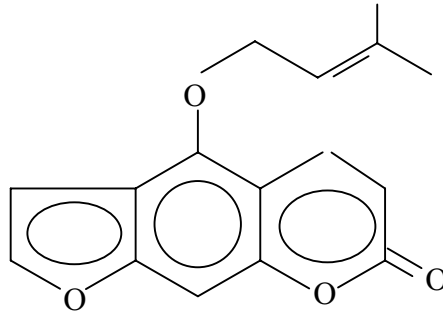


Fig 7.3: Structure of Imperatorin.

### **Isopimpinellin**

Source: *Psoralea corylifolia*.

Molecular formula:  $C_{13}H_{10}O_5$ .

Molecular weight: 246.23.

Melting point: 151 deg C.

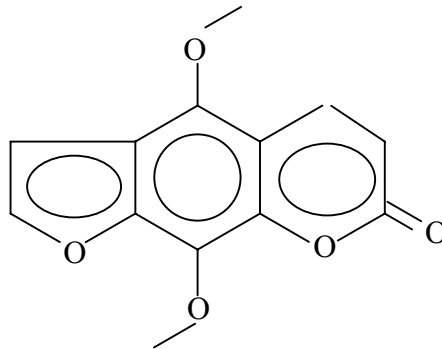


Fig 7.4: Structure of Isopimpinellin.

### **Oxsoresalen (Tripsoralen)**

Source: *Heracleum scabridium*.

Molecular formula:  $C_{12}H_8O_4$ .

Molecular weight: 186.

Melting point: 189-90 deg C.

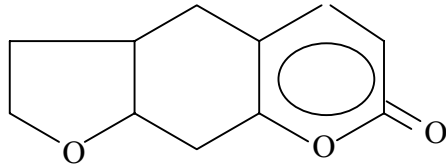


Fig 7.5: Structure of Oxisoralen.

### **Psoralen**

Source: *Psoralea corylifolia*.

Molecular formula:  $C_{11}H_6O_3$ .

Molecular weight: 186.17.

Melting point: 159 deg C.

Solubility:

A. Soluble in chloroform.

B. Insoluble in water.

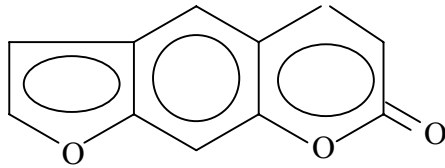


Fig 7.6: Structure of Psoralen

### **Sphondin**

Source: *Heracleum lantanum*.

Molecular formula:  $C_{12}H_8O_4$ .

Molecular weight: 216.20.

Melting point: 189 deg C.

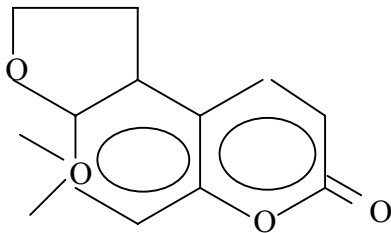


Fig 7.7: Structure of Sphondin.

### Trioxsalen

Source: *Psoralea corylifolia*.

Molecular formula:  $C_{12}H_6O_3$ .

Molecular weight: 232.20.

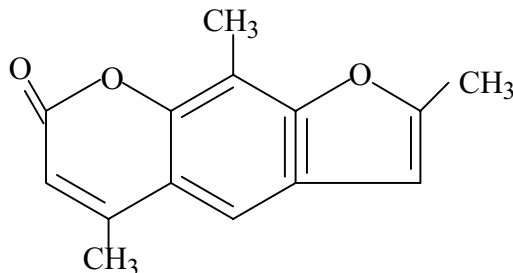


Fig 7.8: Structure of Trioxsalen.

### Xanthotoxol

Source: *Ammi majus*.

Molecular formula:  $C_{11}H_6O_4$ .

Molecular weight: 202.17.

Melting point: 252 deg C.

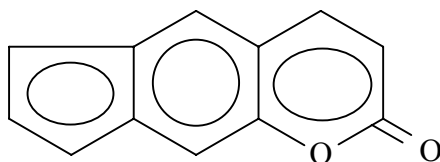


Fig 7.9: Structure of Xanthotoxol.

Furanocoumarins are present in *Ficus carica*, *Apium graveolens*, *Ruta graveolens* and *Angelica gluca*. Marmelosin present in *Aegle marmelos* is the precursor compound for psoralen biosynthesis. Marmesin, another furanocoumarin, has been reported to be significant source of psoralen.

Furanocoumarins are extremely toxic. They are known to cause photo-toxicity if not used under medical supervision. Furanocoumarins bind to DNA of the cell and interacts with fats and proteins. Phenylalanine, Umbelliferon, a hydroxycoumarin and isoprene, are precursor compounds for furanocoumarin biosynthesis. The furanocoumarins have shown antibacterial activity against *Escherichia coli* and *Micrococcus luteus*. They are hepatotoxic also.

## Chapter-8: Furochromones

Furochromones are group of coumarins, derived from  $\gamma$ - benzopyrone. They are related to furanocoumarins and are present in plants of family Apiaceae and Rutaceae. Ammi visnaga is a potential source of furochromones like khellin and visnagin. Khellin was once used as coronary vasodilator drug.

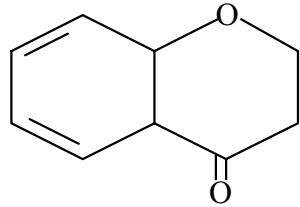


Fig 8.1: Structure of Benzopyrone

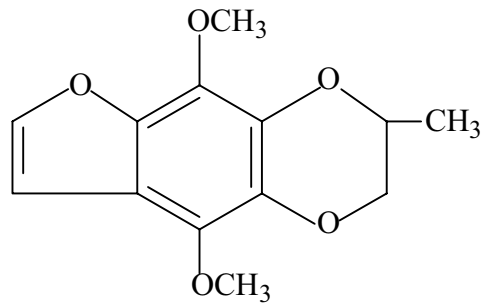


Fig 8.2: Structure of Khellin.

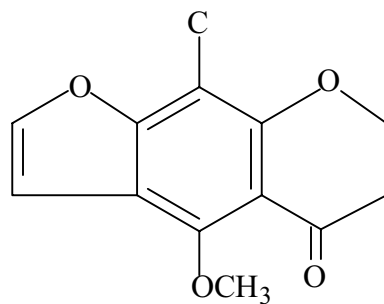


Fig 8.3: Structure of Visnagin.

## Chapter-9: Hydroxycoumarins

Hydroxycoumarins represents another group of coumarins, which are widely distributed in Apiaceae and Gramineae.

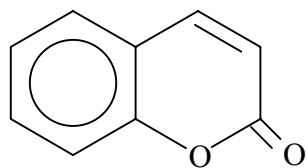


Fig 9.1: Structure of Coumarin.

### Aesculetin

Source: *Aesculus hippocastanum*, *Crategeus oxycantha*.  
Biological activity: Vasodilator and rubifacient.

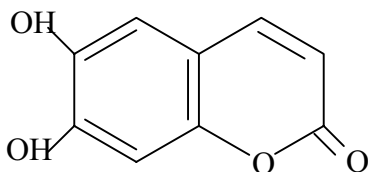


Fig 9.2: Structure of Aesculetin.

### Herniarin

Source: *Artemisia lactiflora*.  
Molecular formula:  $C_{10}H_8O_3$ .  
Molecular weight: 176.18.  
Melting point: 117-8 deg C.

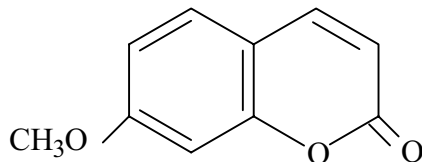


Fig 9.3: Structure of Herniarin.

### **Scopolin**

Source: *Aesculus hippocastanum*.

Molecular formula:  $C_{16}H_{18}O_9$ .

Molecular weight: 354.24.

Melting point: 219 deg C.

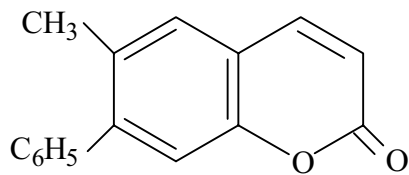


Fig 9.4: Structure of Scopolin .

### **Umbelliferon**

Source: *Angelica pubescens*.

Molecular formula:  $C_9H_6O_3$ .

Molecular weight: 162.15.

Melting point: 226 deg C.

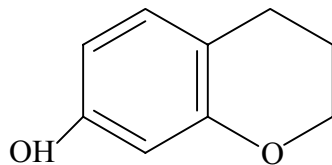


Fig 9.5: Structure of Umbelliferon.

## Chapter-10: Glycosides

### Introduction

Glycosides are water-soluble constituents, found in the cell sap. They are colourless, crystalline substances containing carbon, hydrogen and oxygen. Some glycosides are peculiar in having nitrogen and sulphur. Glycosides are neutral in reaction.

Chemically, glycosides contain a carbohydrate (glucose) and a non-carbohydrate part (aglycone or genin). Alcohol, glycerol or phenol represents aglycones. A glycoside can be readily hydrolysed into its components with ferments or mineral acids. A glycoside is represented by following diagram: -

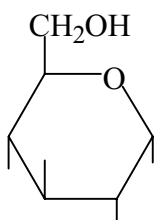


Fig10.1: Structure of Glycoside.

Glycosides differ in their solubility in water. Some are soluble in ether and alcohol. Amygdalin found in almonds is familiar example of a glycoside. Benzaldehyde is the decomposition product of amygdalin, responsible for odour and taste of almonds. Glycosides are optically active and are levorotatory.

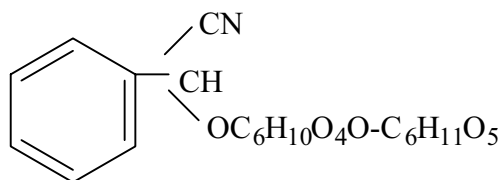


Fig 10.2: Structure of Amygdalin

Classification:

Glycosides are classified

- A. On basis of type of sugar.
- B. According to chemical nature of aglycone.
- C. According to pharmacological action.

The latest classification is represented below: -

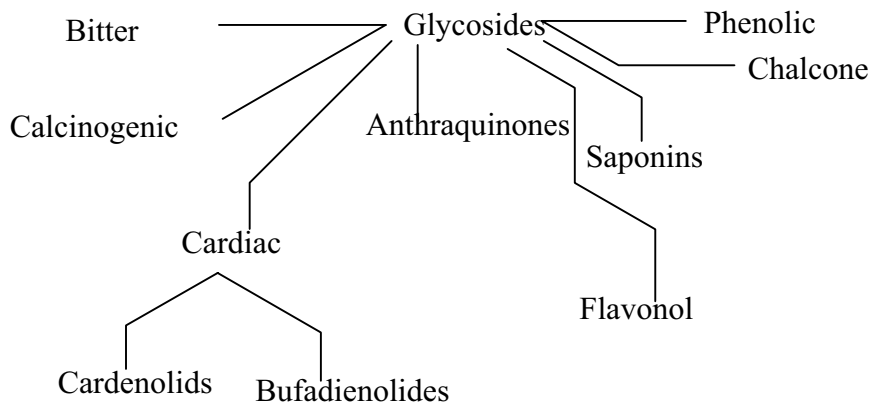


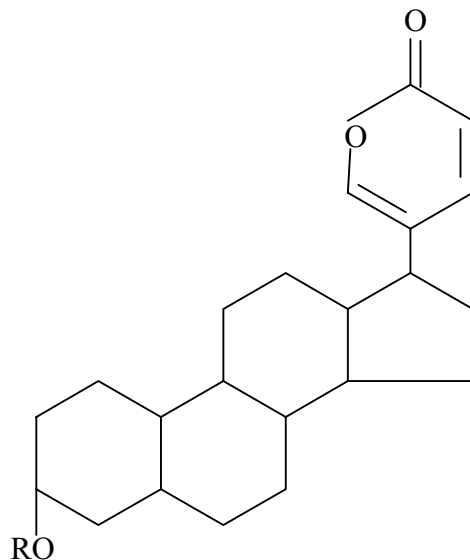
Fig 10.3: Classification of Glycosides

### 1. Cardiac glycosides

The group includes several medicinal herbs like *Digitalis purpurea*, *Digitalis lanata*, *Stropanthus gratus*, *Stropanthus kombe*, *Apocyanum cannabinum*, *Thevetia nerifolia* and *Helleborus niger*. The active constituents of the plants are powerful glycosides and due to specific action on heart, they are known as cardiac glycosides or heart tonic.

Cardiac glycosides are divided in two groups:

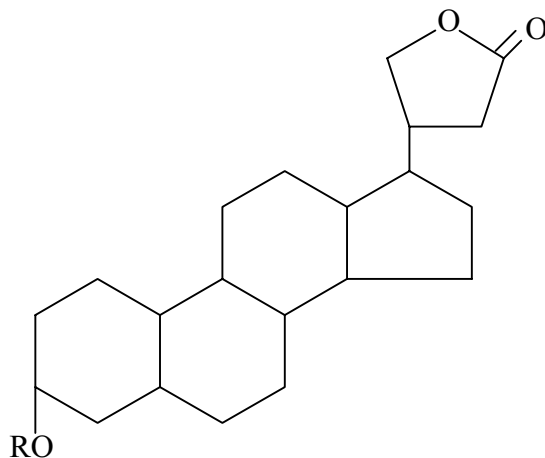
- A. Bufadienolides: They are C 24 steroids. Hellebrin is a bufadienolide cardiac glycoside. On hydrolysis, it yields aglycone, hellebrigenin, which is more active than hellebrin.



Fif 10.4: Bufadienolide



B. Cardenolides: They have C 17 position unsaturated lactone. They are cyclopentanophenanthrene derivatives and have hormonal nature. They act on kidney and heart. Digitalis glycosides belong to this group.



Fif 10.5: Cardenolide.

Digitalis glycosides deserve special mention. The glycosides are obtained from the leaves of *Digitalis purpurea*, when the medicinal plant is in flowering stage. Then isolation of the glycosides in pure form is difficult and they are present in association with saponins. Stoll and Kries proved that the glycosides are of two types:

1. They are known as glycosides-A and digitoxin is the main glycoside. The aglycone for this group is digitoxigenin.
2. The second type is known as glycoside-B and gitoxin is the main glycoside. The aglycone for this group is gitaligenin.

The glycosides obtained from *Digitalis lanata* are of three types:

1. Digilanid-A
2. Digilanid-B
3. Digilanid-C.

Some species of *Digitalis* are known to contain pregnane glycosides like digipupurin, diginin and digitalonin. Three glycosides, digitoxin, gitoxin and gitalin have been isolated in pure form for pharmacological application.

### **Adonidin**

Source: *Adonis vernalis*.

Molecular formula:  $C_{21} H_{28} O_4$ .

Molecular weight: 344.49.

Melting point: 268-70 deg C.

Physical form: Yellow coloured, hygroscopic having bitter taste. It has neutral reaction.

Solubility:

A. Soluble in water and alcohol.

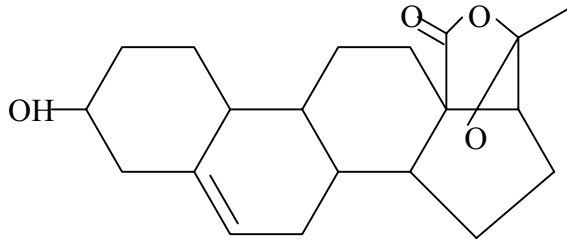


Fig 10.6: Structure of Adonidin.

### **Asclepiadin**

Source: *Asclepias currasvica*.

Solubility: Soluble in water.

Physical form: Yellow coloured glycoside.

### **Apocyanin**

Source: *Apocynum cannabinum*.

Structure not established.

### **Calotropin**

Source: *Calotropis procera*.

Molecular formula:  $C_{29}H_{40}O_9$ .

Molecular weight: 532.69.

Melting point: 221 deg C.

Biological activity: Antitumor.

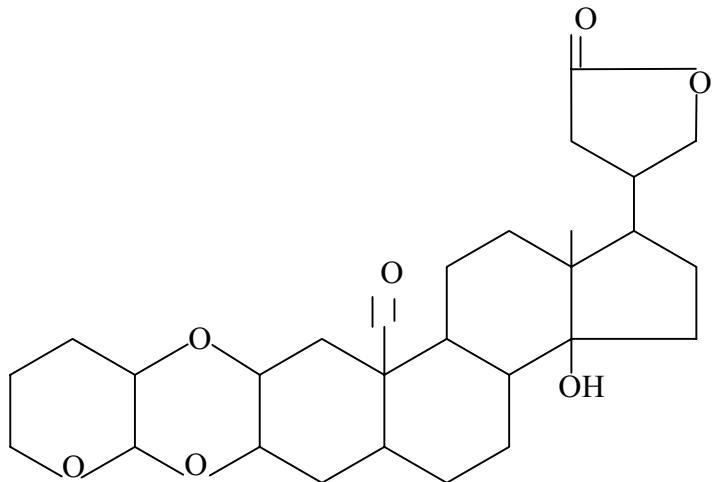


Fig 10.7: Structure of Calotropin.

**Convollarin**

Source: *Convollaria majalis*.

Solubility:

- A. Soluble in alcohol.
- B. Insoluble in water.

Physical form: Colourless prisms with bitter taste.

**Convallotoxin**

Source: *Convollaria majalis*.

Solubility:

- C. Soluble in alcohol.
- D. Insoluble in water.

Physical form: White coloured glycoside with bitter taste.

Note: Convallarín and convallamarin are obtained from *Polygonum multiflorum* also.

**Digitoxin**

Molecular formula:  $C_{41} H_{64} O_{13}$ .

Solubility: Soluble in chloroform and alcohol.

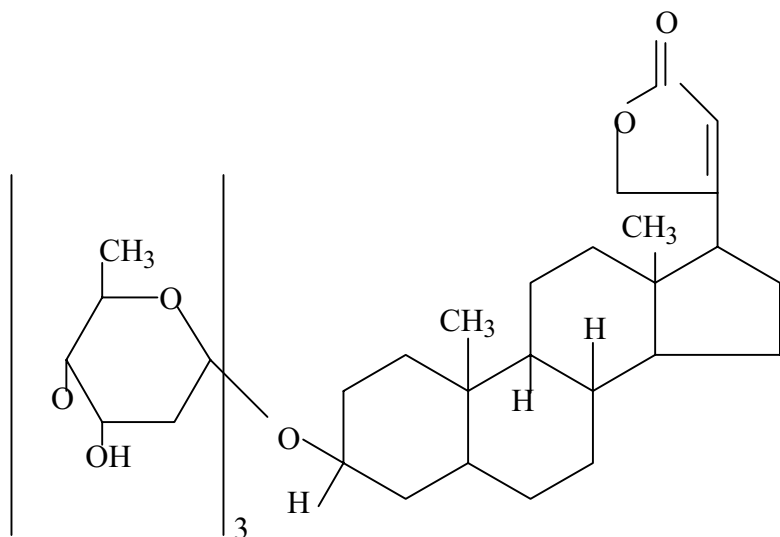


Fig 10.8 :Structure of Digitoxin

## **Digoxin**

It is the active metabolite of digitoxin.

Digitoxin is used for the treatment of congestive cardiac failure. The aglycone particularly digitoxigenin is particularly active and the presence is must for glycoside action.

## **G-stropanthin**

Source: *Stropanthus gratus*.

Molecular formula:  $C_{29}H_{44}O_{12}$ .

Molecular weight: 728.78.

Melting point: 173 deg C.

Solubility:

B. Soluble in water.

C. Insoluble in ether and chloroform.

## **Hellebrin**

Source: *Helleborus niger*.

Molecular weight: 724.

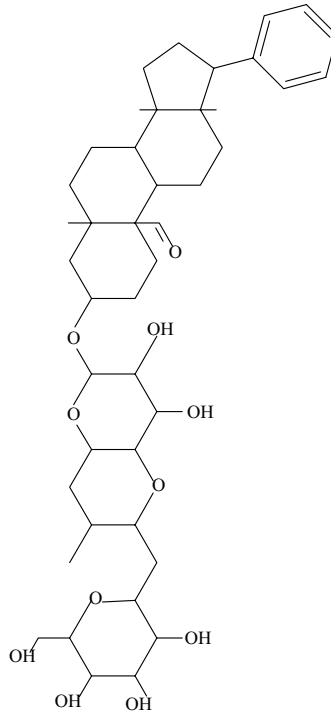


Fig 10.9: Structure of Hellebrin.

## Scillaren-A

Source: *Urginea indica*.

The aglycone of Scillaren-A is known as scillaridin-A. The drug has weak action as compared to digitoxin and strophanthin.

## Thevetin

Source: *Thevetia nerifolia*.

Molecular formula:  $C_{42}H_{64}O_{19}$ .

Molecular weight: 873

Melting point: 208-130 deg C.

Evonoside (*Euonymus atropurpureus*) and uzarone (*Xysmalobium undulatum*) also have cardiac tonic activity.

## 2. Anthracene glycosides

Anthracene glycosides are also known as anthracenosides. They are purgative in nature. On hydrolysis, they give glycones like dianthrone, anthraquinone or anthrone.

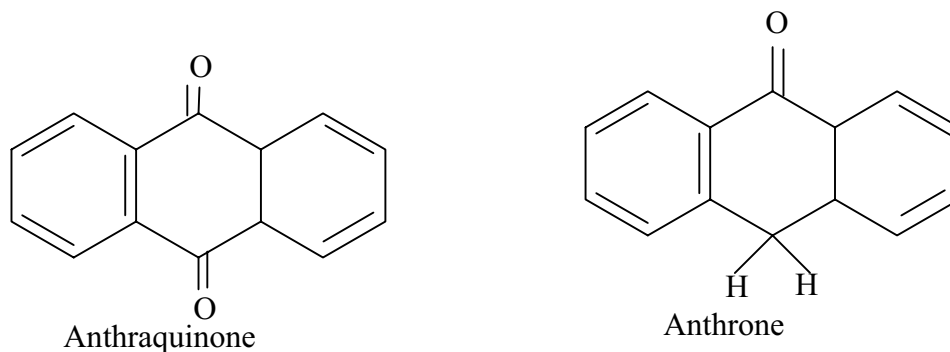


Fig 10.10: Aglycones of Anthracene glycosides.

The sugars are arabinose, rhamnose or glucose. Anthraquinones are the active constituents and are responsible for the biological activity of the anthracene glycoside containing drugs. In addition to use in treating constipation, they are used for the treatment of skin disease like psoriasis and ringworm.

## Aloin

Source: *Aloe vera*.

Molecular formula:  $C_{21}H_{22}O_9$ .

Molecular weight: 418.4.

Melting point: 173 deg C.

- A. Solubility: Soluble in alcohol.  
B. Insoluble in ether.  
Physical form: Odourless with bitter taste.

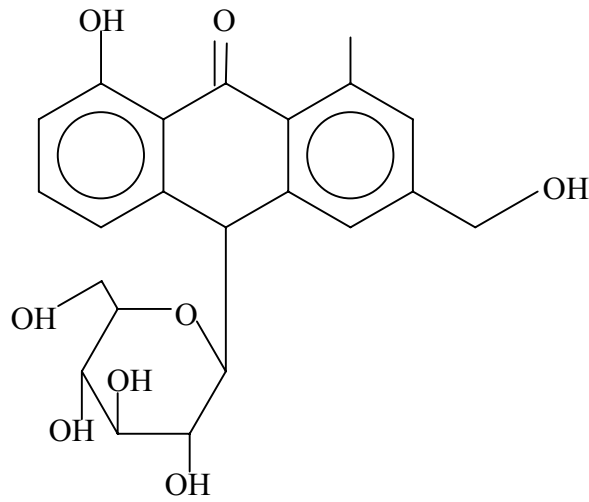


Fig 10.11: Structure of Aloin

### Aloe-emodin

Source: Aloe vera.

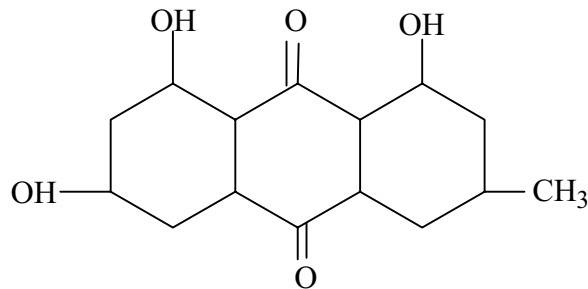


Fig 10.12: Structure of Emodin

### Chrysophanol

Source: Cassia tora, Rheum emodi.

Molecular formula: C<sub>15</sub> H<sub>10</sub> O<sub>4</sub>.

Molecular weight: 254.25.

Melting point: 196 deg C.

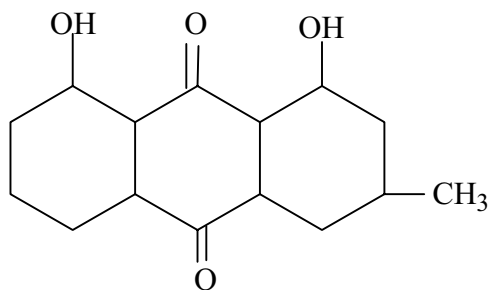


Fig 10.13: Structure of Chrysophanol.

### **Frangulin**

Source: *Rhamnus frangula*.

Molecular formula:  $C_{21} H_{20} O_9$ .

Molecular weight: 416.

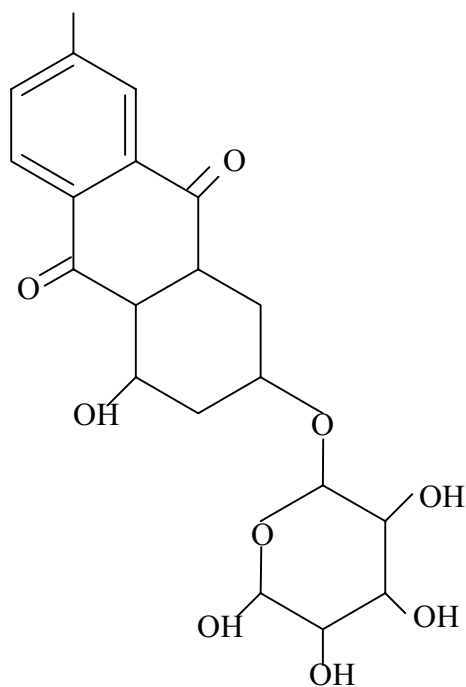


Fig 10.14: Structure of Frangulin.

### **Physicon**

Source: *Aloe vera*.

Molecular formula:  $C_{16} H_{12} O_5$ .

Molecular weight: 284.28.

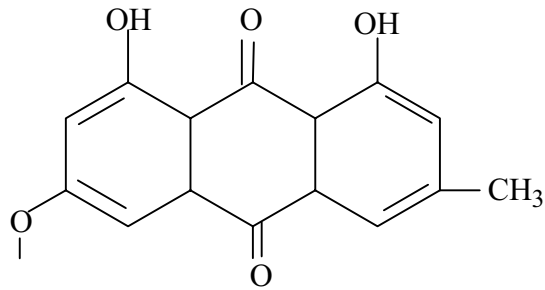


Fig 10.15: Structure of Physicon.

### **Rhein**

Source: Aloe vera.

Molecular formula:  $C_{15}H_8O_6$ .

Molecular weight: 284.23.

Melting point: 321 deg C.

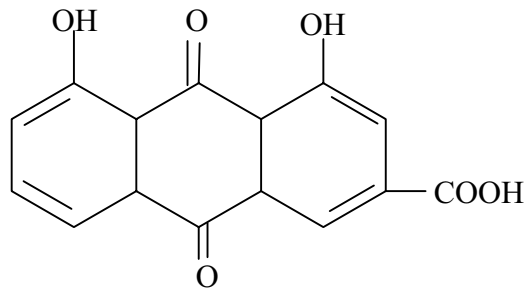


Fig 10.16: Structure of Rhein.

### **Sennosides**

Source: Cassia angustifolia, Cassia acutifolia.

Molecular formula:  $C_{42}H_{38}O_{20}$ .

Molecular weight: 862.72.



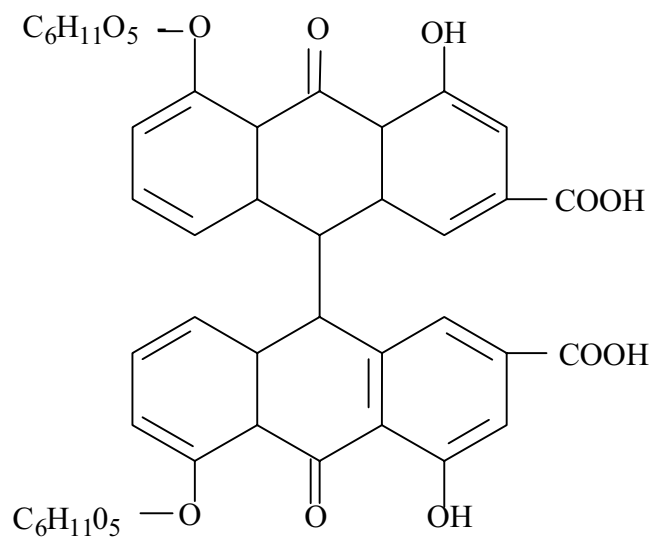


Fig 10.17: Structure of Sennosides

### 3.Chalcone glycosides

Chalcone is derived from combination of one molecule of cinnamic acid and three molecules of acetate or malonate.

### Liquirtin

Source: Glycyrrhiza glabra.

Molecular formula:  $C_{21}H_{22}O_9$ .

Molecular weight: 418.43.

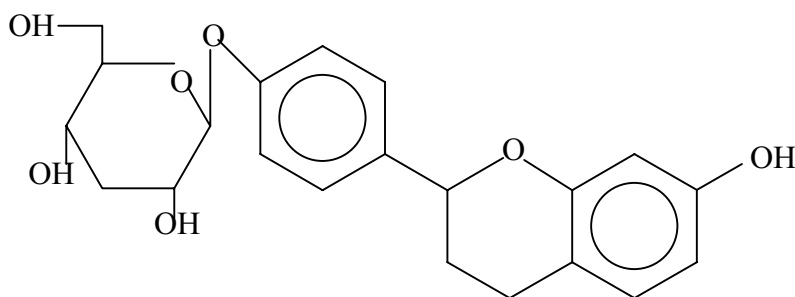


Fig 10.18: Structure of Liquirtin

### Isoliquitrin

Source: Glycyrrhiza glabra.

Molecular formula:  $C_{21}H_{22}O_9$ .  
Molecular weight: 418.3  
Melting point: 185-6 deg C.

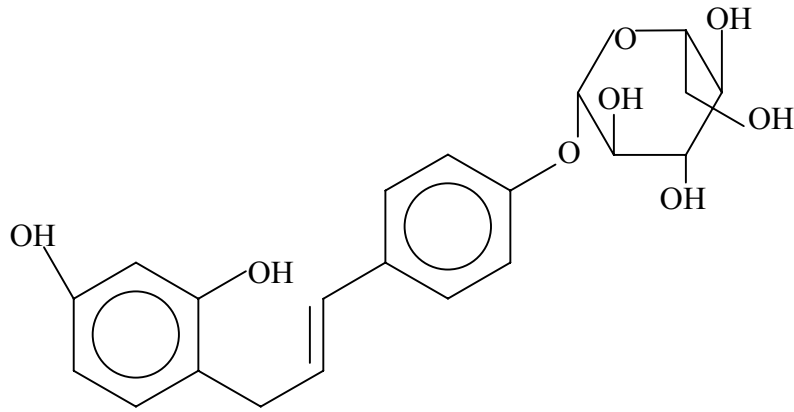


Fig 10.19: Structure of Isoliquitrin

Note: Liquirtin and isoliquitrin are responsible for colour of liquorice.

#### **4. Coumarin glycosides**

They have been discussed in chapter 7 and 9.

#### **5. Calcinogenic glycosides**

These glycosides are characterized by presence of metabolites similar to vitamin D. They are found in *Cestrum diurnum*.

#### **6. Saponin glycosides**

They have been discussed in chapter 17.

#### **7. Apocartinoid glycosides**

They are responsible for colour of the fruits and vegetables. They are found in *Crocus sativus* (saffron) in the form of crocin. Lycopene is a familiar example.

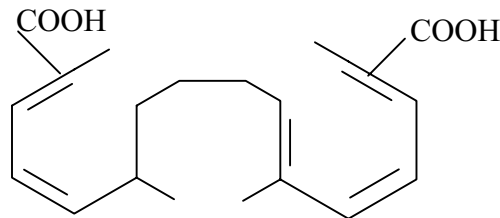


Fig 10.20: Structure of Crocin

## **Lycopene**

Source: Solanum species.

Molecular formula:  $C_{40}H_{60}$ .

Molecular weight: 536.8.

Melting point: 172-3 deg C.

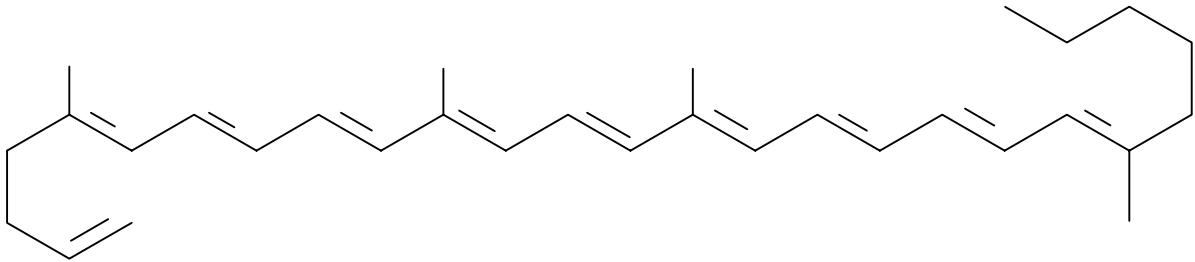


Fig 10.21: Structure of Lycopene.

Biological activity: Anti-oxidant.

## **8. Bitter glycosides**

They have been discussed in chapter 3.

Here it is worthwhile to describe more glycosides.

## **Absinthin**

Source: Artemisia absinthum.

Molecular formula:  $C_{15}H_{20}O_4$ .

Melting point: 159 deg C.

Physical form: Yellow coloured, amorphous with typical smell.

Solubility:

A. Soluble in chloroform.

B. Insoluble in water.

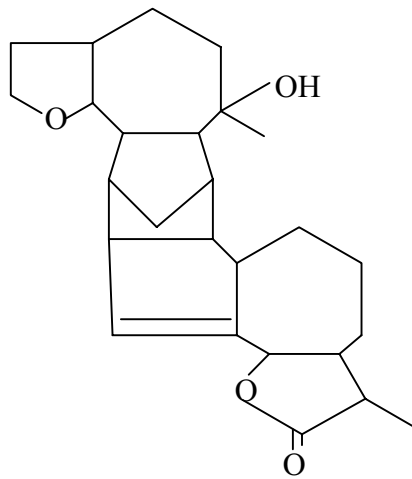


Fig10.22: Structure of Absinthin.

### **Acubin**

Source: *Plantago ovata*, *Vitex agnus castus*.

Molecular formula:  $C_{13}H_{14}O_8 \cdot H_2O$ .

Molecular weight: 181.

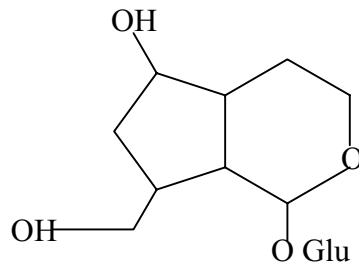


Fig 10.23: Structure of Acubin.

### **Aesculin**

Source: *Aesculus hippocastanum*.

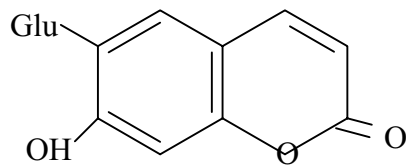


Fig 10.24; Structure of Aesculin.

Note: It is obtained from aesculetin.

### Anemonin

Source: *Ranaunculus sceleratus*.

Molecular formula:  $C_{10} H_8 O_4$ .

Molecular weight: 192.18.

Biological activity: Counter-irritant.

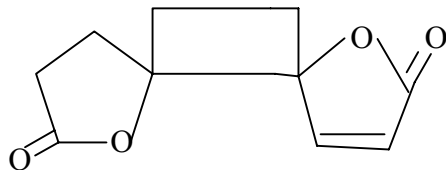


Fig 10.25: Structure of Anemonin.

### Allamandin

Source: *Allamanda cathartica*.

Molecular formula:  $C_{15} H_{16} O_7$ .

Molecular weight: 308.

Biological activity: Purgative.

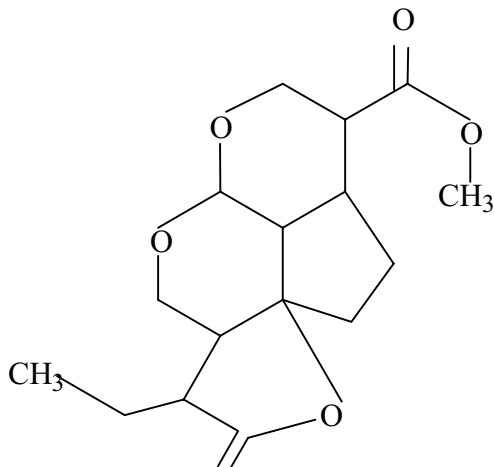


Fig 10.26: Structure of Allamandin

### **Arnicin**

Source: *Arnica montana*.

Molecular formula:  $C_{12}H_{22}O_2$ .

Melting point: 40 deg C.

Boiling point: 83 deg C.

Physical form: Golden yellow amorphous mass.

Solubility:

A. Soluble in ether, alcohol and acetone.

B. Insoluble in water.

### **Cichorin**

Source: *Cichorium intybus*.

Molecular formula:  $C_{15}H_{16}O_9$ .

Molecular weight: 340.31.

Melting point: 215-20 deg C.

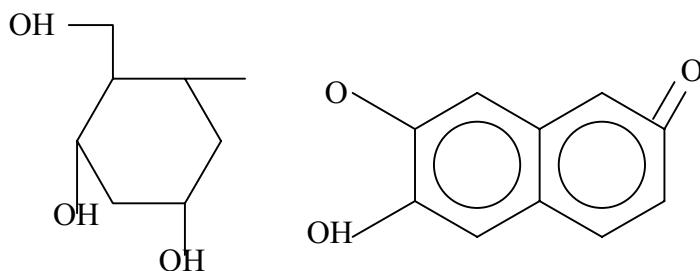


Fig 10.27: Structure of Cichorin

### **Cornin**

Source: *Cornus florida*.

Molecular formula:  $C_{17}H_{24}O_{10}$ .

Molecular weight: 388.41.

Melting point: 182 deg C.

Biological activity: Antiperiodic.

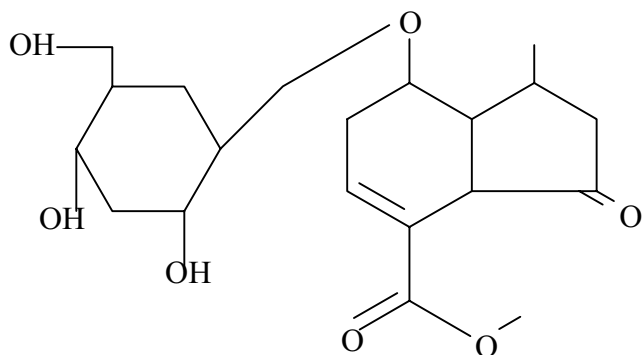


Fig 10.28: Structure of Cornin.

### **Cynarin**

Source: *Cynara scolymus*.

Molecular formula:  $C_{25} H_{24} O_{12}$ .

Molecular weight: 516.49.

Melting point: 227-8 deg C.

Biological activity: Hypolipidemic.

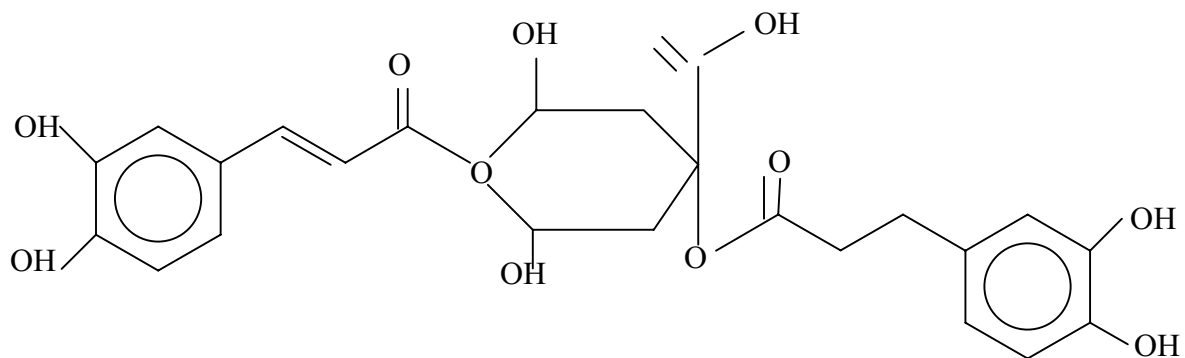


Fig 10.29: Structure of Cynarin.

### **Darutyn**

Source: *Siegesbeckia oreintalis*.

Biological activity: Antirheumatic.

### **Eupatorin**

Source: *Eupatorium cannabinum*.

Molecular formula:  $C_{18} H_{16} O_7$ .

Molecular weight: 344.

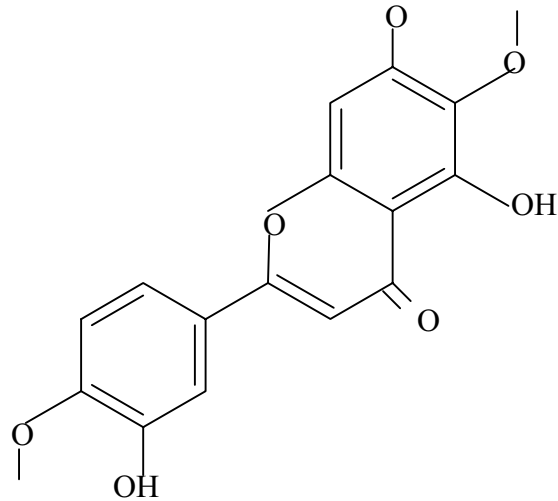


Fig 10.30: Structure of Eupatorin.

### **Gaultherin (Monotropin, Spiraein)**

Source: *Gaultheria procumbens*.

Molecular formula: C<sub>19</sub>H<sub>26</sub>O<sub>12</sub>.

Biological activity: Analgesic.

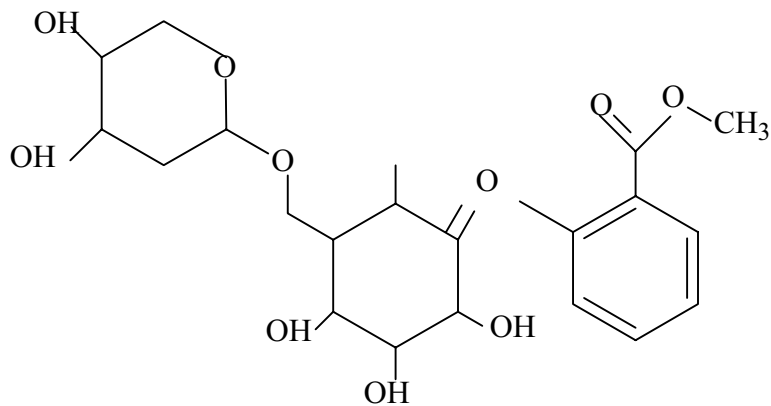


Fig 10.31: Structure of Gaultherin

### **Gymnemic acid**

Source: *Gymnema sylvestre*.

Biological activity: Antidiabetic.



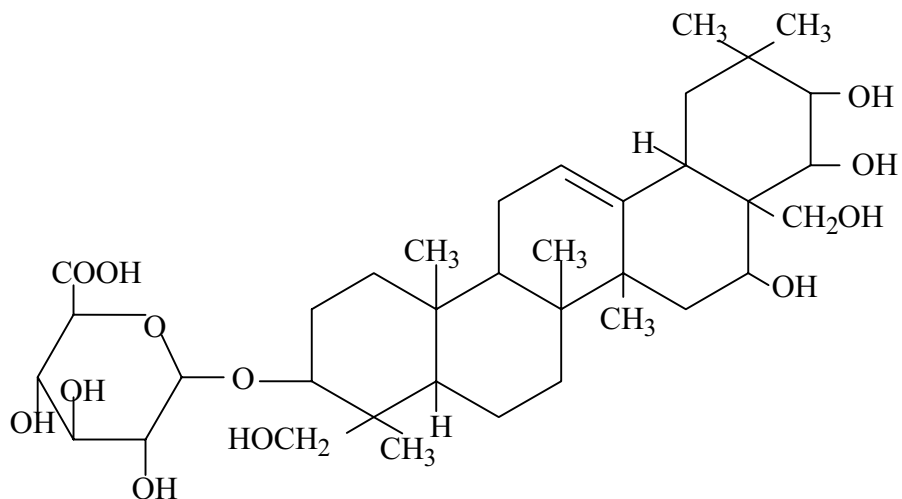


Fig 10.32: Structure of Gymnemic acid.

### Leptandrin

Source: *Veronica virginica*.  
 Biological activity: Laxative.

### Loganin

Source: *Strychnos nux vomica*.  
 Molecular formula:  $C_{17}H_{26}O_{10}$ .  
 Molecular weight: 390.43.

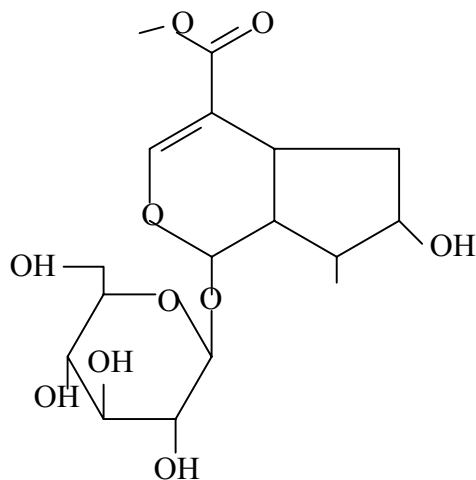


Fig 10.33: Structure of Loganin.

### **Murrayin**

Source: *Murraya exotica*.

Molecular formula:  $C_{16}H_{18}O_4$ .

Molecular weight: 274.34.

Melting point: 157 deg C.

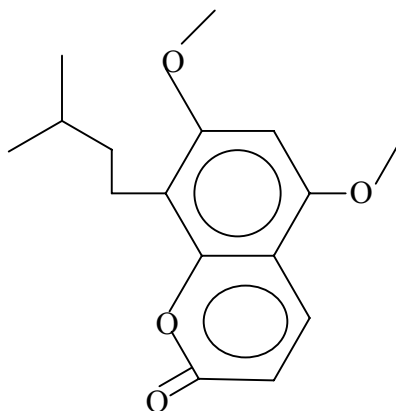


Fig 10.34: Structure of Murrayin.

### **Koenigin**

Source. *Murraya koenigii*.

Molecular formula:  $C_{19}H_{19}O_3$ .

Molecular weight: 309.39.

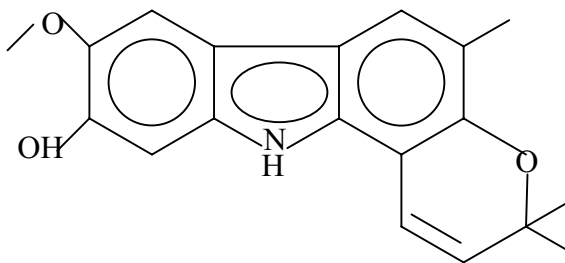


Fig 10.35: Structure of Koenigin

### **Protoanemonine**

Source: *Ranunculus scleretus*.

Molecular formula:  $C_5H_4O_2$ .

Molecular weight: 96.09.

Melting point: 45 deg C.

Biological activity: Counter-irritant.

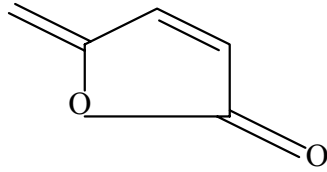


Fig 10.36: Structure of Protoanemonine

### **Ranunculin**

Source: *Ranunculus scleretus*.

Molecular formula:  $C_{11}H_{16}O_8$ .

Molecular weight: 276.27.

Melting point: 141 deg C.

Biological activity: Counter-irritant.

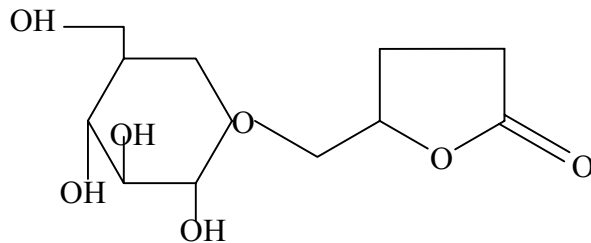


Fig 10.37: Structure of Ranunculin

### **Rutin**

Source: *Ruta graveolans*.

Molecular formula:  $C_{27}H_{30}O_{16}$ .

Molecular weight: 610.57.

Biological activity: Anticoagulant.

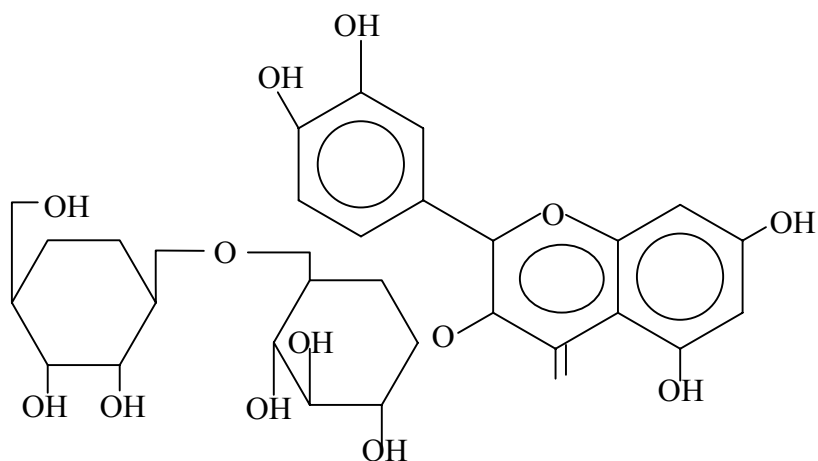


Fig 10.38: Structure of Rutin

### Salicin

Source: *Salix tetrasperma*.

Molecular formula:  $C_{13}H_{18}O_7$ .

Molecular weight: 286.31.

Melting point: 204-7 deg C.

Solubility:

A. Soluble in water and alcohol.

C. Insoluble in ether.

D. Physical form: Salicin occurs as crystals and has bitter taste.

Biological activity: Analgesic.

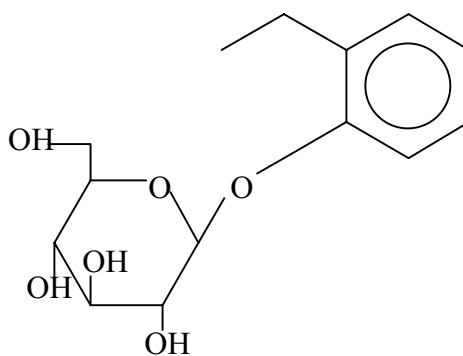


Fig 10.39: Structure of Salicin.

## **Xanthosturmarin**

Source: Salix tetrasperma.

Solubility:

A.Soluble in water, alcohol and chloroform.

Biological activity: Antimalarial.

## Chapter-11: Naphodianthrones

Naphodianthrones are derivatives of anthracene. Anthracenes have been discussed in chapter 10. The only theme of discussing naphodianthrones in separate chapter is that they have gained importance because of pharmacological investigation of hypericin. Naphodianthrones are known to cause photosensitivity. They are also present in *Fagopyrum esculentum* (buckwheat).

### Fagopyrin

Source: *Fagopyrum esculentum*

Biological activity: Anticoagulant.

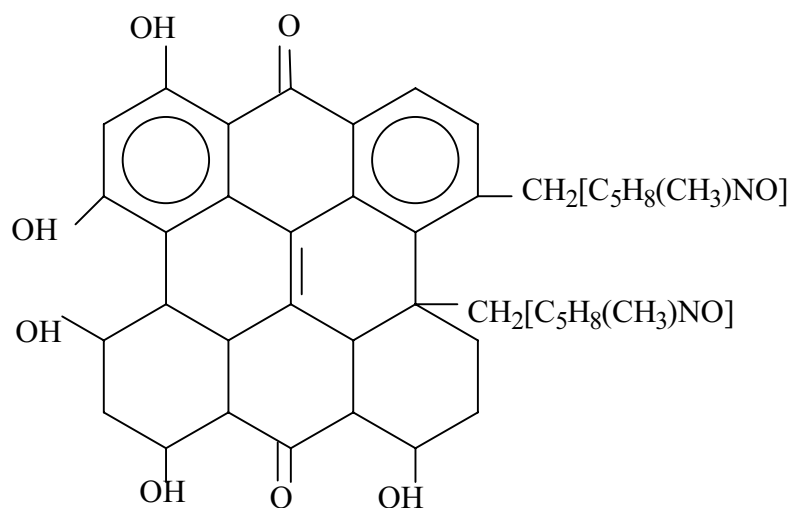


Fig 11.1: Structure of Fagopyrin.

### Hypericin

Source: *Hypericum perforatum*.

Molecular formula: C<sub>30</sub>H<sub>16</sub>O<sub>8</sub>.

Molecular weight: 504.4.

Melting point: 330 deg C.

Solubility:

A.Soluble in acetone and pyridine.

Biological activity: Antiviral.

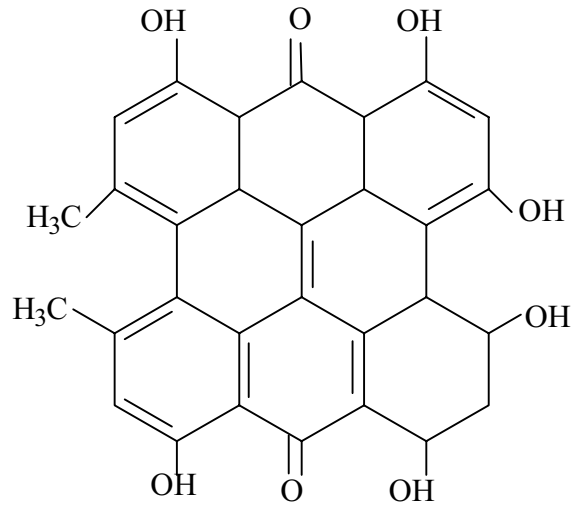


Fig 11.2: Structure of Hypericin.

### Pseudohypericin

Source: *Hypericum perforatum*.

Molecular formula:  $C_{30}H_{16}O_9$ .

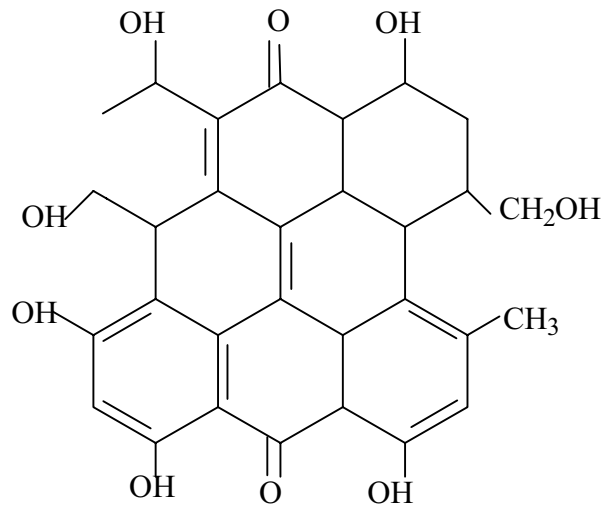


Fig 11.3: Structure of Pseudohypericin.

## Chapter-12: Napthoquinones

Napthoquinones are phenolic compounds distributed in Rubiaceae and Verbenaceae. Shikanin obtained from *Lithospermum canescens* has hepatoprotective activity. Napthoquinones from Boraginaceae has shown hormone like activity.

### Juglone

Source: *Juglans nigra*.

Molecular formula:  $C_{10}H_6O_3$ .

Molecular weight: 174.

Biological activity: Sedative in animal models.

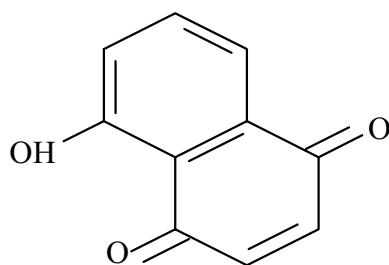


Fig 12.1: Structure of Juglone

### Plumbagin (5-hydroxy-2- methyl-1,4-napthoquinone)

Source: *Plumbago zeylanica*.

Molecular formula:  $C_{11}H_8O_3$ .

Molecular weight: 188.9.

Melting point: 78-79 deg C.

Solubility:

A.Soluble in alcohol and ether.

Biological activity: Irritant and abortifacient.

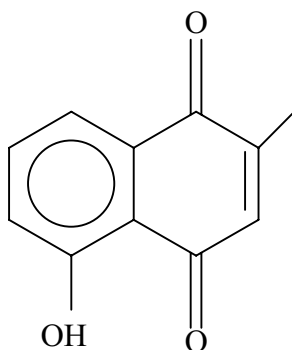


Fig 12.2: Structure of Plumbagin.



## Chapter-13: Neutral principles

Neutral principles are bodies of unidentified character. They are widely distributed in Compositae. Some neural principles have been studied for structural determination and biological activity.

### Cimicifugin

Source: Cimicifuga racemosa.

### Elaterin

Source: Ecballium elaterium.

Molecular formula:  $C_{32}H_{44}O_8$ .

Molecular weight: 556.76.

Melting point: 234 deg C.

Solubility:

A. Soluble in alcohol and ether.

B. Insoluble in water.

Physical form: White hexagonal crystals, odourless and bitter.

Biological activity: Irritant and abortifacient.

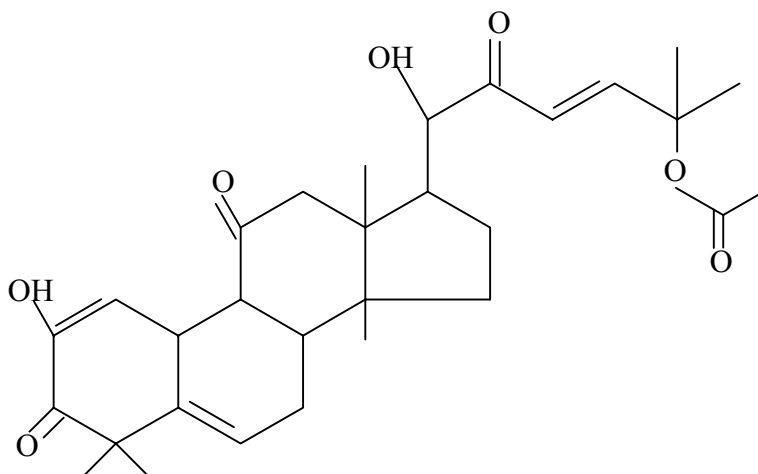


Fig 13.1: Structure of Elaterin

### Picrotoxin

Source: Anamartia paniculata.

Molecular formula:  $C_{30}H_{34}O_{13}$ .

Molecular weight: 602.6.

Solubility:

A. Soluble in water and alcohol.

B. Freely soluble in glacial acetic acid.  
Physical form: Colourless crystals with bitter taste.  
Biological activity: Analeptic.

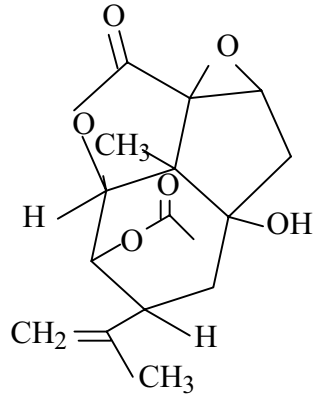


Fig 13.2: Structure of Picrotoxin.

### **Santonin**

Source: *Artemisia santonica*.  
Molecular formula: C<sub>15</sub>H<sub>18</sub>O<sub>3</sub>.  
Molecular weight: 246.33.  
Melting point: 174 deg C.  
Biological activity: Antihelmenthic.

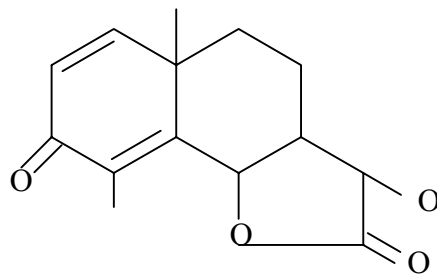


Fig 13.3: Structure of Santonin.

## Chapter-14: Pyranocoumarins

Pyranocoumarins are class of coumarin compounds. They are rare compounds and only few of them have been investigated.

### Costatolide-A

Source: *Caulophyllum acuminata*.

Molecular formula:  $C_{22}H_{26}O_5$ .

Biological activity: AntiHIV.

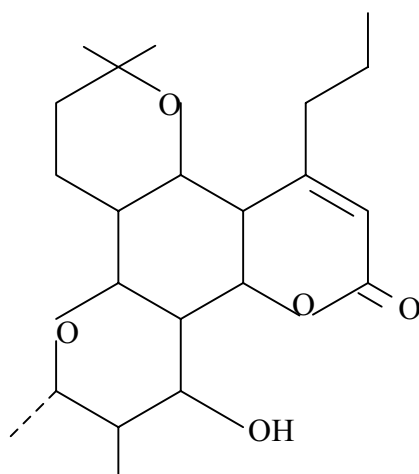


Fig 14.1: Structure of Costatolide-A.

## Chapter-15: Phenols and Acylphloroglucinols

Phenolic compounds are widely distributed in plant flora. They constitute important part of glycosides (phenolic glycosides), flavonoids, naphodianthrones and tannins. Acylphloroglucinols are group of phenolic compounds having significant antidepressant activity.

### Androsin

Source: *Picrorhiza kurroa*.

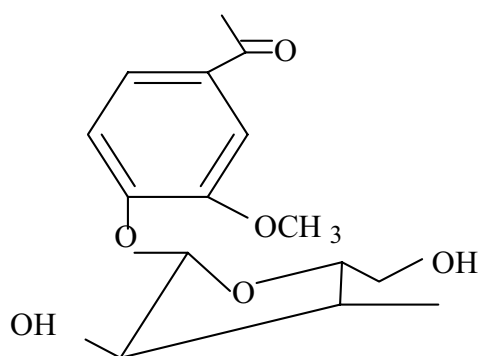


Fig 15.1: Structure of Androsin

### Gossypiol

Source: *Gossypium herbaceum*.

Molecular formula: C<sub>30</sub> H<sub>30</sub> O<sub>8</sub>.

Molecular weight: 518.6.

Melting point: 177 deg C.

Solubility:

A. Soluble in acetone, ethanol and alcohol.

B. Insoluble in water.

Biological activity: Contraceptive.

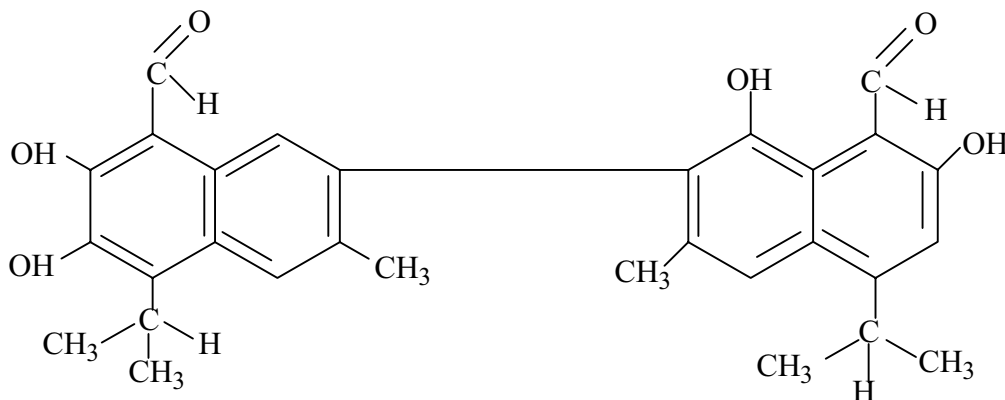


Fig 15.2 : Structure of Gossypiol.

## Hyperforin

Source: *Hypericum perforatum*.

Biological activity: Antidepressant.

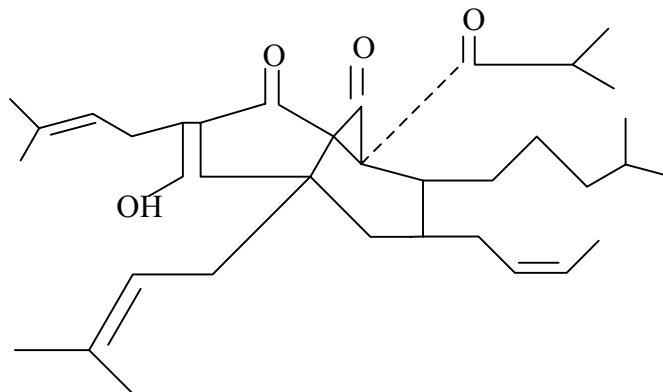


Fig 15.3: Structure of Hyperforin.

Recently, Adhyperforin has been isolated from *Hypericum perforatum*.

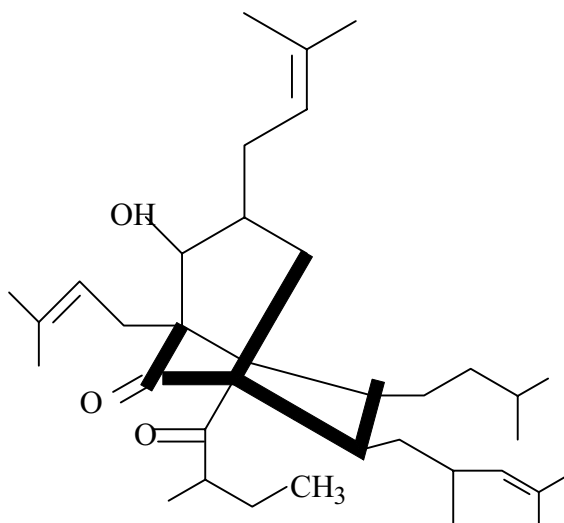


Fig 15.4: Structure of Adhyperforin

## Hyperpapunone

Source: *Hypericum papaunum*.

Acylphloroglucinols are present in *Dyopteris filix mass* and *Humulus lupulus*. The phloroglucinols found in ferns are combined with butyric acid. Aspinidol and filicic acid are the main constituents.

## Chapter-16: Resins, oleoresins & gum-resins

Resins are obtained by oxidization of volatile oils. Resins are brittle, non-volatile, solid substances. Sometimes resins are among the products of oxidization of terpenes. The chemical composition of resins is very complex and contains various compounds including acids. Resins are soluble in alkalies, alcohol and insoluble in water. They are obtained from plant exudates and are produced in special ducts. Sometimes resins are produced as a result of injury of the plant part. Turpthein and jalapin are common resins found in Convolvulaceae.

Oleoresins are natural products of resin mixed with volatile oils.

Gum- resins are plant exudates and are mixtures of gum and resin and often volatile oils. When gum -resins are dissolved in water, gum becomes soluble and resin is kept in suspension. Asfoetida is a familiar example.

Balsams are combinations of resins or oleoresins with aromatic acids like benzoic acid or cinnamic acid or both. They are viscous and obtained from the trunk of certain plants.

### Boswellic acid

Source: *Boswellia serrata*.

Molecular formula:  $C_{30}H_{48}O_3$ .

Molecular weight: 456.78.

Melting point: 289 deg C.

Biological activity: Anti-inflammatory.

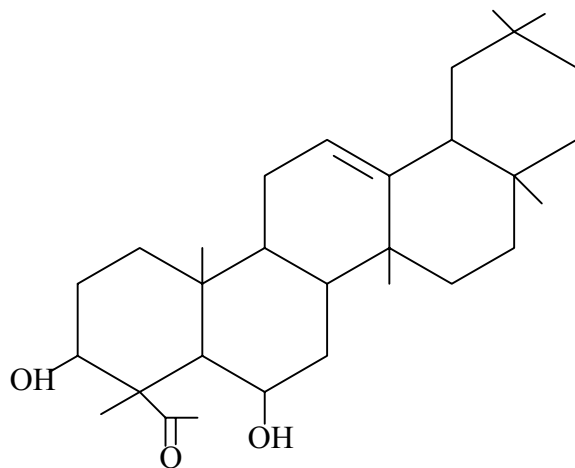


Fig 16.1: Structure of Boswellic acid.

## Cannabinol

Source: Cannabis indica.

Molecular formula:  $C_{21}H_{26}O_2$ .

Molecular weight: 310.47.

Melting point: 76-77 deg C.

Biological activity: Narcotic.

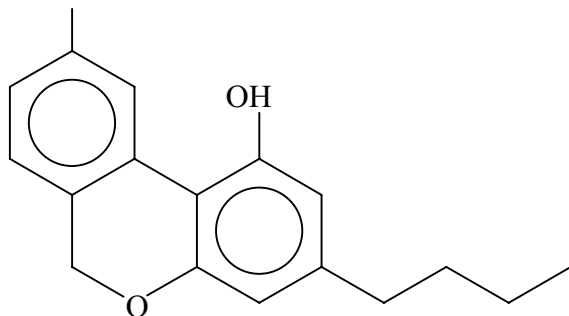


Fig 16.2: Structure of Cannabinol.

## Capsaicin

Source: Capsicum annum.

Molecular formula:  $C_{18}H_{27}NO_3$ .

Molecular weight: 305.46.

Melting point: 65 deg C.

Solubility:

A. Soluble in acetone, ethanol and alcohol.

B. Insoluble in water.

Physical form: Highly pungent crystals.

Biological activity: Counter-irritant.

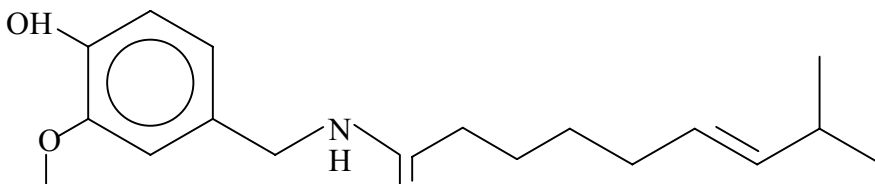


Fig 16.3: Structure of Capsaicin.

## Chavicol

Source: Piper nigrum.

Molecular formula:  $C_{17}H_{19}NO_3$ .

Molecular weight: 285.37.

Melting point: 245-60 deg C.

Solubility:

A.Soluble in water, ether and alcohol.

Physical form: Dark green coloured pungent constituent.

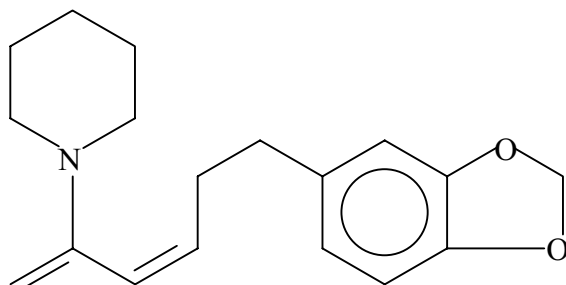


Fig 16.4: Structure of Chavicol

### **Embelin**

Source: *Embelia ribes*.

Molecular formula:  $C_{17}H_{26}O_4$ .

Molecular weight: 294.

Melting point: 143 deg C.

Biological activity: Antihelmenthic.

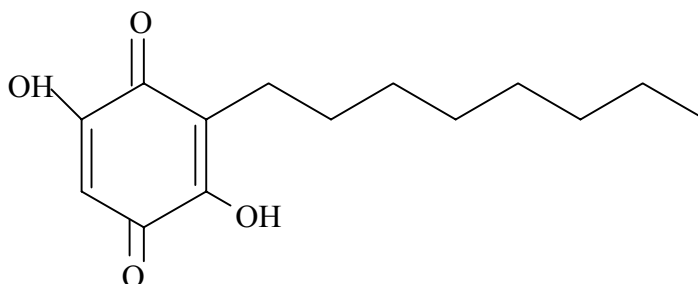


Fig 16.5: Structure of Embelin.

### **Galangin**

Source: *Alpinia officinarum*.

Molecular formula:  $C_{15}H_{22}O_4$ .

Molecular weight: 270.25.

Melting point: 214-6 deg C.

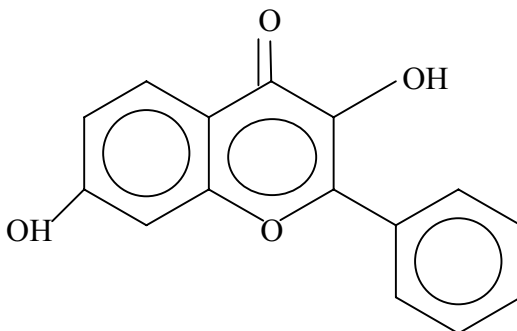


Fig 16.6: Structure of Galangin



## Gingerol

Source: *Zingiber officinale*.

Molecular formula:  $C_{15}H_{22}O_4$ .

Molecular weight: 266.37.

Solubility:

A. Soluble in ether and alcohol.

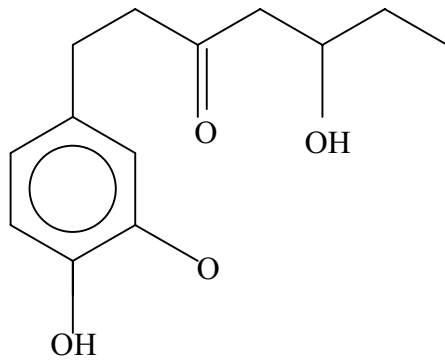


Fig 16.7: Structure of Gingerol

## Guggulsterones

Source: *Balsamodendron Commiphora mukul*.

Biological activity: Anti-inflammatory.

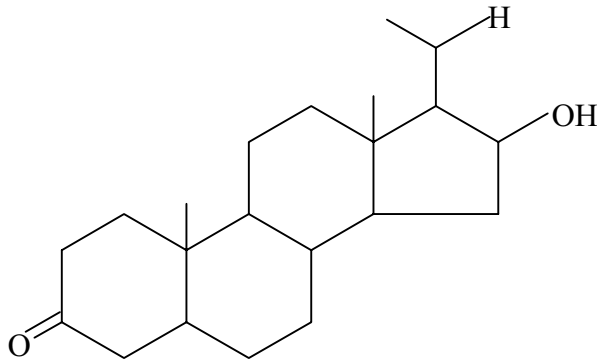


Fig 16.8: Structure of Guggulsterone

## Kaempferide

Source: *Kaempferia galanga*.

Molecular formula:  $C_{16}H_{12}O_4$ .

Molecular weight: 300.28.

Melting point: 227-9 deg C.

Solubility:

A. Soluble in ether and benzene.

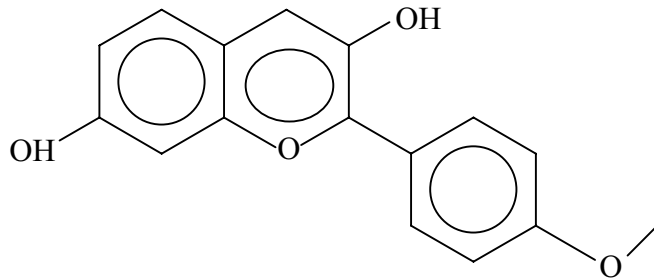


Fig 16.9: Structure of Kaempferide

### **Hautriwaic acid**

Source: *Dodonea viscosa*.

Molecular formula: C<sub>20</sub> H<sub>28</sub> O<sub>4</sub>.

Molecular weight: 332.4.

Melting point: 188 deg C.

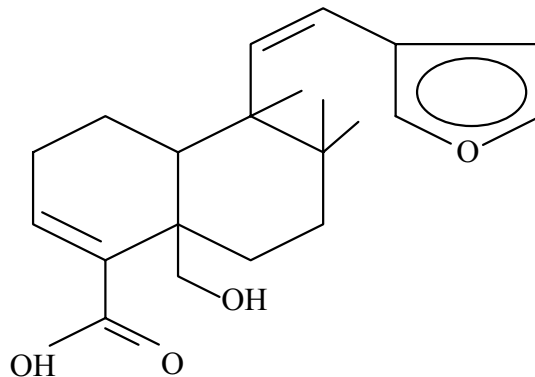


Fig 16.10: Structure of Hautriwaic acid.

### **Podophyllin**

Source: *Podophyllum hexandrum*.

Molecular formula: C<sub>22</sub> H<sub>22</sub> O<sub>8</sub>.

Molecular weight: 414.4.

Melting point: 114-118 deg C.

Solubility:

A. Soluble in ether and alcohol.

B. Slightly soluble in water.

Physical form: Light brown to green -yellow powder with typical herbaceous odour and bitter taste.

Biological activity: Caustic.

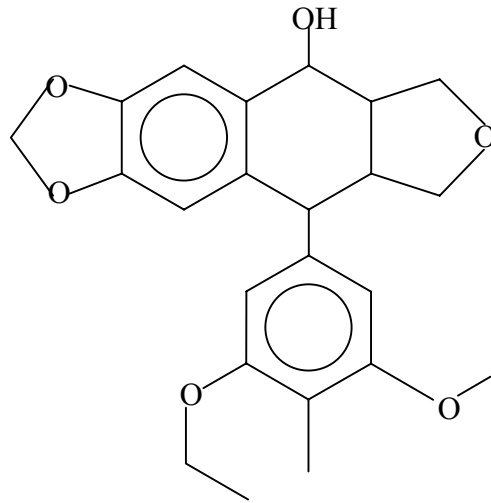


Fig 16.11: Structure of Podophyllin.

### **Tetrahydrocannabinol**

Source: Cannabis indica.

Molecular formula: C<sub>21</sub> H<sub>30</sub> O<sub>2</sub>.

Molecular weight: 314.51.

Biological activity: Narcotic.

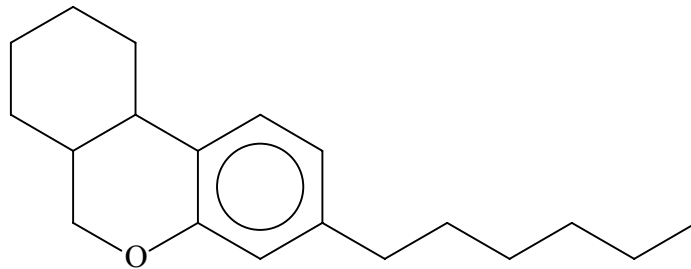


Fig 16.12: Structure of Tetrahydrocannabinol.

## Chapter-17: Saponins

Saponins are glycosides found in number of plants. Saponins are regarded as high molecular weight compounds in which, a sugar molecule is combined with triterpene or steroid aglycone. The saponins are classified in two groups:

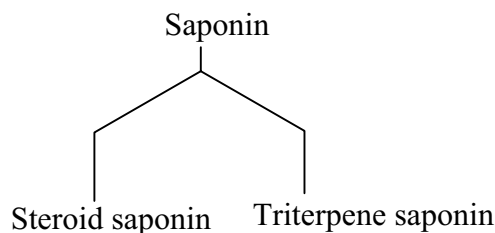


Fig 17.1: Classification of saponins

- A. Steroid saponins.
- B. Triterpene saponins.

Saponins have a characteristic feature of frothing. The term saponin is derived from *Saponaria vaccaria*; a plant, which abounds in saponins and once upon a time, used as soap. Saponins are soluble in water and insoluble in ether. Saponins like glycosides on hydrolysis give aglycones.

Saponins are extremely poisonous, as they cause hemolysis of blood and are known to cause cattle poisoning. Some saponins are important from therapeutic point of view, as in *Digitalis purpurea*, the presence of saponins is necessary for activity of cardiac glycosides. Recent studies have shown that saponins have hypolipdemic and anticancer activity.

### **Steroid saponins**

Steroid saponins are composed of a steroid (C 27) nucleus with carbohydrate molecules. The steroid saponins on hydrolysis give aglycones known as saraponins. These types of saponins have anti-fungal activity. In animal models they have shown to inhibit smooth muscle activity. Steroid saponins are excreted after conjugation with glucouronic acid. They are used as raw material for biosynthesis of cortical steroid drugs.

### **Asparagosides**

Source: *Asparagus officinalis*.

### **Avenocosides**

Source: *Avena sativa*.

## Disogenin

Source: *Dioscorea floribunda*, *Trigonella foenum graecum*.

Molecular Formula:  $C_{23}H_{22}O_6$ .

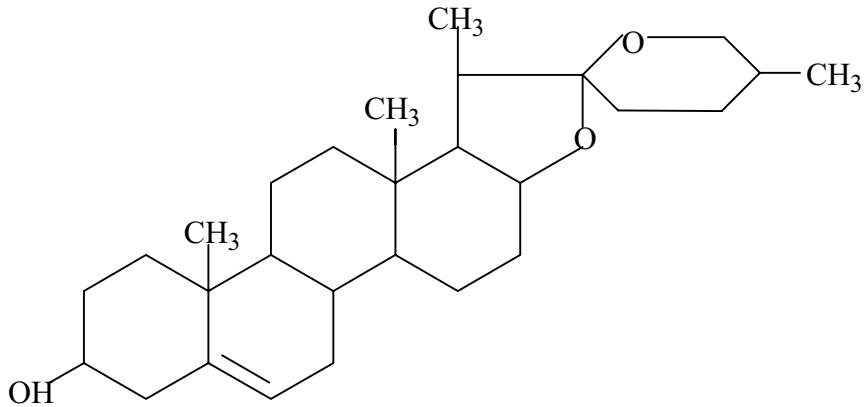


Fig 17.2: Structure of Disogenin.

## Ecdysterone

Source: *Achyranthes aspera*.

Molecular formula:  $C_{27}H_{44}O_7$ .

Molecular weight: 480.7.

Melting point: 237.5 deg C.

Solubility:

A. Soluble in water and alcohol.

Biological activity: Anabolic.

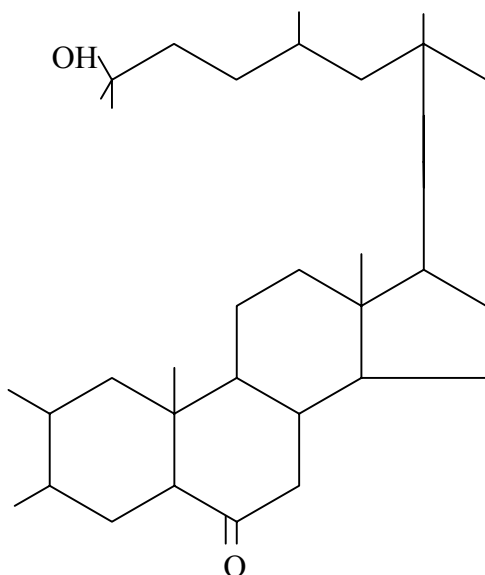


Fig 17.3: Structure of Ecdysterone

### **Tigogenin**

Source: *Costus speciosus*.

Molecular formula:  $C_{27}H_{44}O_3$ .

Molecular weight: 416.71.

Melting point: 205-6 deg C.

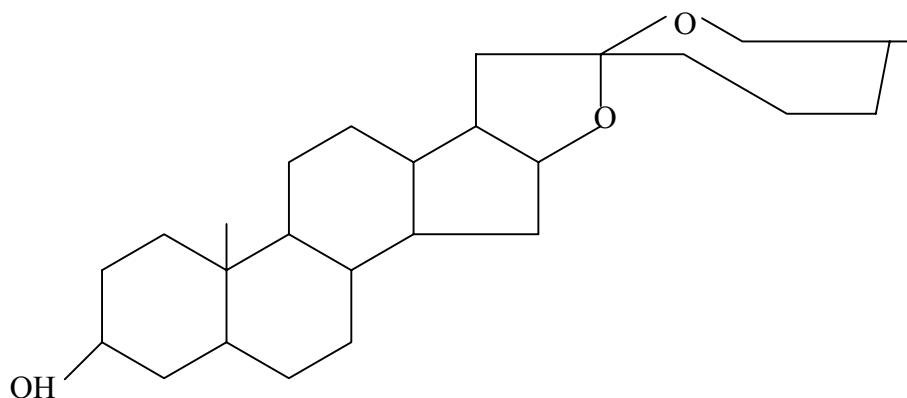


Fig 17.4; Structure of Tigogenin.

### **Triterpene saponins**

Triterpene saponins are composed of a triterpene (C<sub>30</sub>) nucleus with carbohydrate molecule. On hydrolysis, they give aglycone called saponinins. These types of saponins are  $\beta$ -amyrine derivatives.

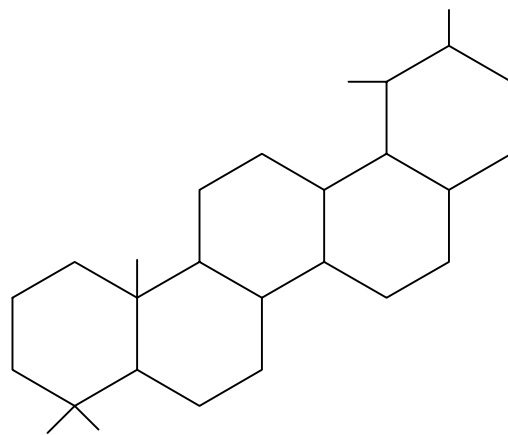


Fig 17.5: Structure of Amyrin

### Asiaticoside

Source: *Centella asiatica*.

Molecular formula:  $C_{48} H_{78} O_{18}$ .

Molecular weight: 943.26.

Melting point: 230-3 deg C.

Biological activity: Antibacterial.

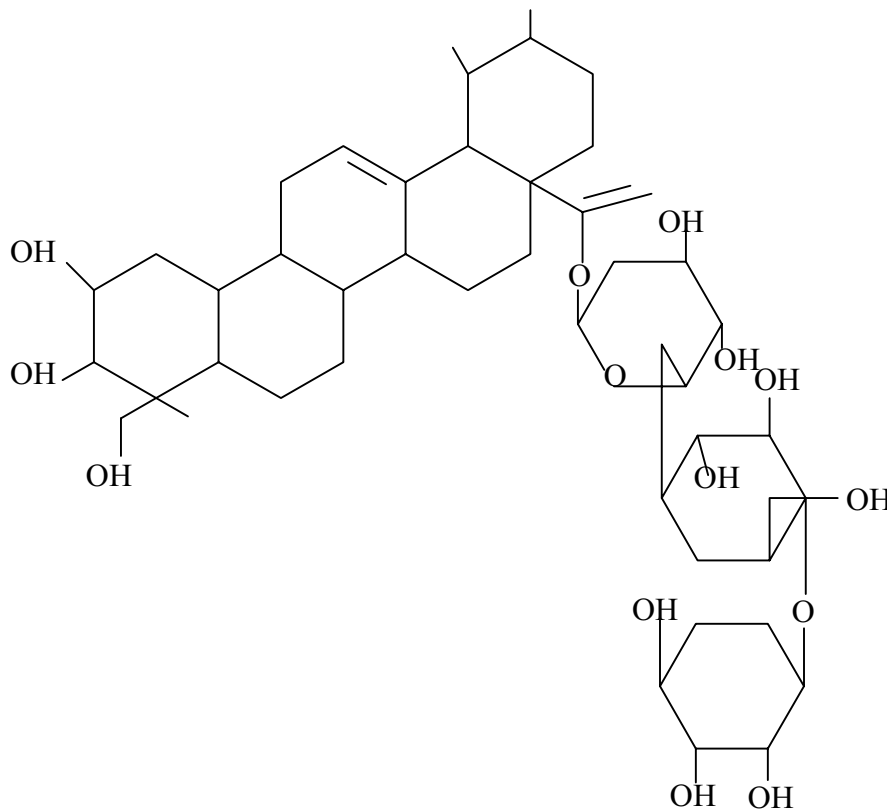


Fig 17.6: Structure of Asiaticoside

### **Bacoside**

Source: *Bacopa monneira*.

Biological activity: Nootropic.

### **Cyclamin**

Source: *Cyclamen persicum*.

Molecular formula:  $C_{58}H_{94}O_{27}$ .

Molecular weight: 1223.

Melting point: 230-3 deg C.

Biological activity: Antibacterial.

### **Glycyrhizin**

Source: *Glycyrrhiza glabra*.

Molecular formula:  $C_{42}H_{62}O_{16}$ .

Molecular weight: 882.92.

Biological activity: Antiviral.

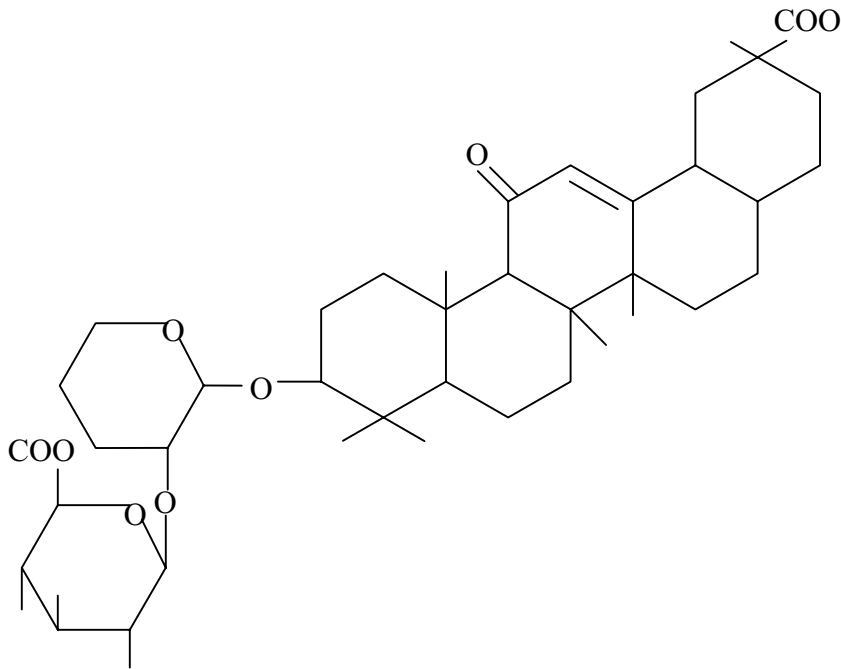


Fig 17.7: Structure of Glycyrrhizin.

### **Panaxadiol and panaxatriol**

Source: *Panax ginseng*.



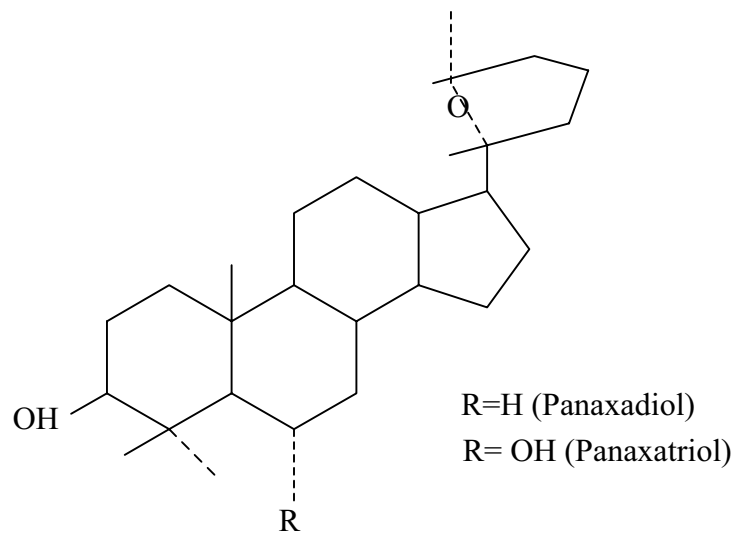


Fig 17.8 :Structure of Ginseng saponins

## Chapter-18: Sesquiterpene lactones

Sesquiterpene lactones constitute significant group of phytochemicals. The sesquiterpenes are widely distributed in plant flora particularly in Compositae. The pharmacological activity of the sesquiterpenes ranges from neurotoxic to antimicrobial. The chemistry of sesquiterpenes is very complex. They are formed by condensation of three isoprene molecules followed by oxidation.

### Artemisinin

Source: *Artemisia annua*.

Molecular formula:  $C_{15}H_{22}O_5$ .

Molecular weight: 282.3.

Melting point: 156-7 deg C.

Solubility:

A. Soluble in ether and acetone.

B. Insoluble in water.

Biological activity: Antimalarial.

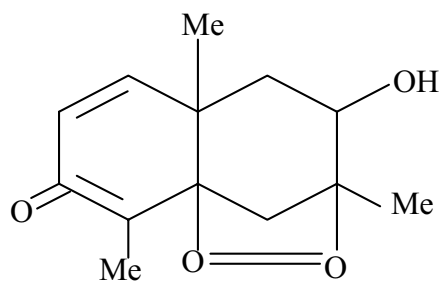


Fig 18.1: Structure of Artemisinin

### Camphor

Source: *Cinnamomum camphora*.

Physical form: Camphor has characteristic odour and aromatic taste.

Biological activity: Antipruritic and antiseptic.

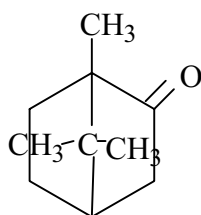


Fig 18.2: Structure of Camphor.

## Eugenol

Source: *Eugenia caryophyllus*.

Molecular formula:  $C_{10}H_{12}O_2$ .

Molecular weight: 164.12.

Melting point: 9 deg C.

Boiling point: 254 deg C.

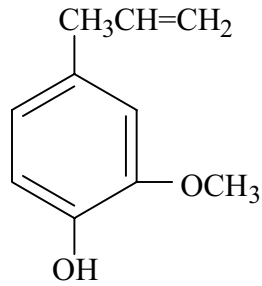


Fig 18.3: Sytructure of Eugenol.

## Helenin

Source: *Inula racemosa*.

Molecular formula:  $C_{15}H_{18}O_4$ .

Molecular weight: 262.33.

Melting point: 141 deg C.

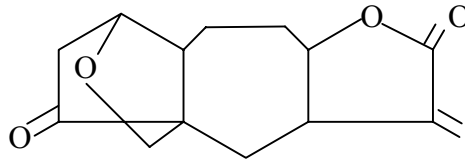


Fig 18.4: Structure of Helenin.

## Jatamansin

Source: *Nardostachys jatamansi*.

Molecular formula:  $C_{15}H_{20}O_8$ .

Molecular weight: 328.29.

Melting point: 97-8 deg C.

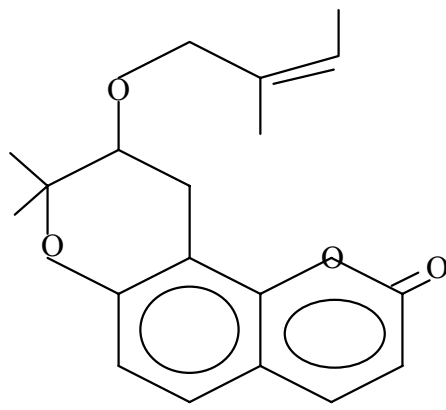


Fig 18.5: Structure of Jatamansin

### **Menthol**

Source: *Mentha spicata*.

Physical form: Menthol has characteristic odour and aromatic taste.

Biological activity: Antipruritic and antiseptic.

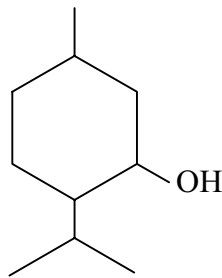


Fig 18.6: Structure of Menthol

### **Parthenolide**

Source: *Tanacetin parthenium*.

Molecular formula:  $C_{15}H_{20}O_3$ .

Molecular weight: 248.2.

Solubility:

A. Soluble in ethanol.

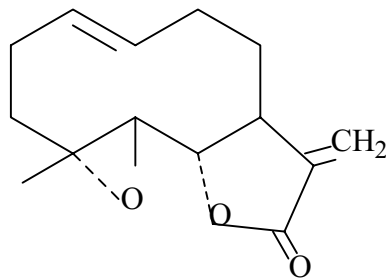


Fig 18.7: Structure of Parthenolide

## Valerianic acid

Source: *Valeriana officinalis*.

Molecular formula:  $C_{15}H_{22}O_2$ .

Molecular weight: 234.37.

Melting point: 142 deg C.

Physical form: Liquid with typical smell and odour.

Biological activity: Sedative.

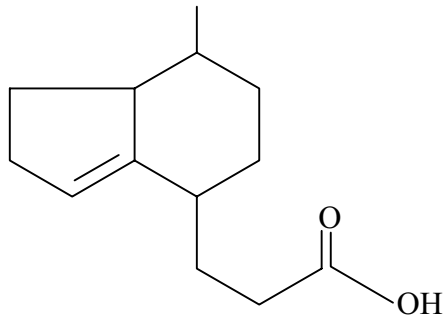


Fig 18.8: Structure of Valerianic acid.

## Chapter-19: Sterols

Sterols are derivatives of steroid. As discussed in chapter on saponins; some chemical constituents present in plant flora resemble with steroids. Modern clinical studies have supported their role as anti-inflammatory and analgesic agents.  $\beta$ -sitosterol has significant hypolipidemic activity.

Sterols are present in *Terminalia arjuna*, *Serenoa repens*, *Yucca filamentosa*, *Smilax glabra* and *Rubus aculeatus*. Ruscogenins in animal models have shown electrolyte-like activity on the cell wall of the capillaries. *Balasmendron commiphora mukul* contains guggulsterones, well-documented natural anti-inflammatory agents. *Glycyrrhiza glabra* contains glycyrrhizic acid, which has structure similar to hydrocortisone.

The sterols like compounds are sometimes referred to as phyto-estrogens because of hormone like activity. Shatavarins present in *Asparagus racemosus* has significant anticholinergic activity.

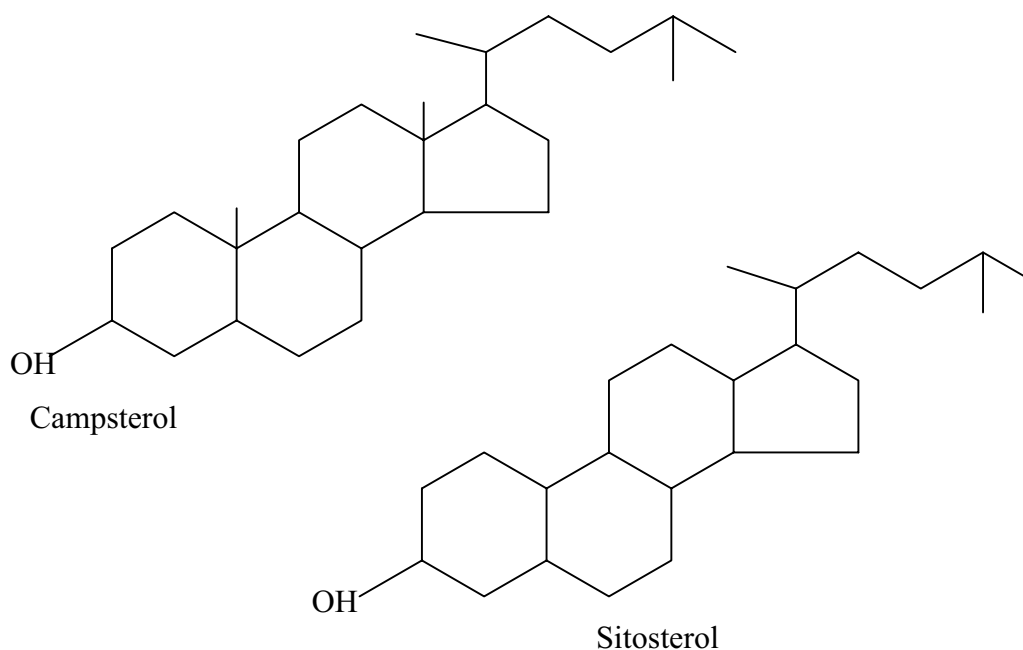


Fig 19.1: Structure of common plant sterols

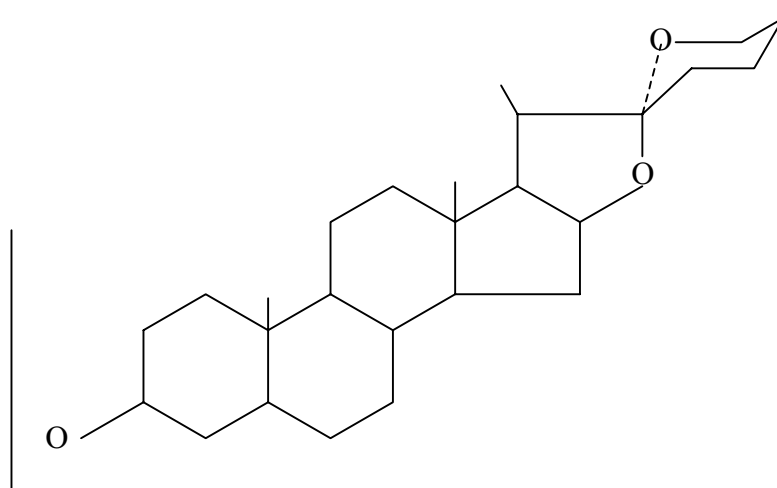


Fig 19.2: Structure of Shatavarin

### **Protodioscin**

Source: *Tribulus terrestris*.

Molecular formula:  $C_{51}H_{84}O_{22}$ .

Molecular weight: 1049.2.

Solubility:

A. Soluble in water and methanol.

Biological activity: Antimalarial.

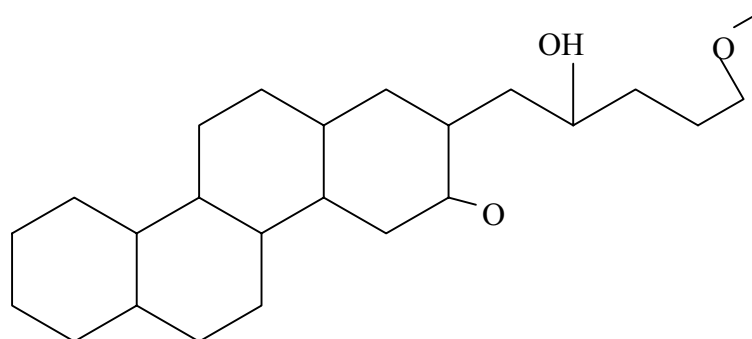


Fig 19.3: Structure of Protodioscin

### **Withanolides**

They are a group of naturally occurring oxygenated ergostane type steroids having lactone in side chain and 2-en-1-one system in ring A. Withanolides isolated from the leaves of *Withania somnifera* have shown significant antitumor and immunomodulator activity. Coagulin F and coagulin G isolated from *Withania coagulens* have anti fungal properties. 3 beta -hydroxy-2, -3-dihydrowithanolide F present in fruits has significant hepatoprotective & anti-inflammatory activity. Withaferin A and withanolide E have immunosuppressant activity.

## Withaferin-A

Source: *Withania somnifera*.

Molecular formula:  $C_{28}H_{38}O_6$ .

Molecular weight: 470.66.

Melting point: 253 deg C.

Biological activity: Anticancer.

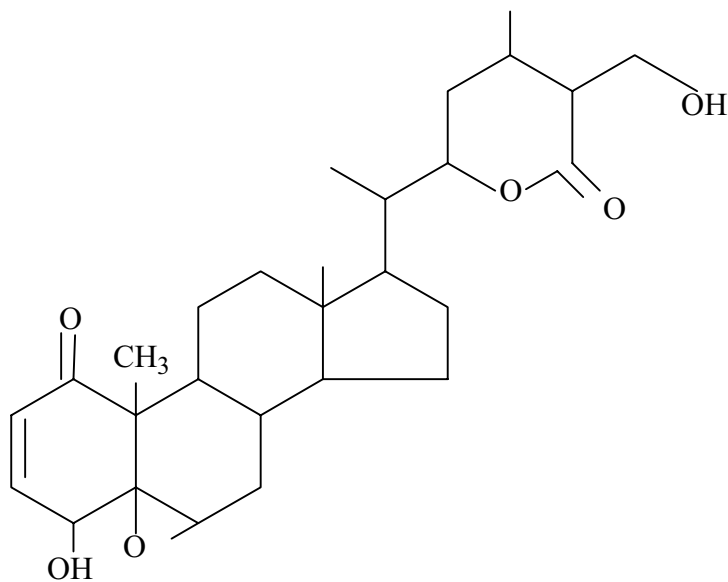


Fig 19.4: Structure of Withaferin-A



## **Chapter 20- Tannins**

Tannins are widely distributed in plant flora. They are phenolic compounds of high molecular weight. Tannins are soluble in water and alcohol and are found in root, bark, stem and outer layers of plant tissue. Tannins have a characteristic feature to tan, i.e. to convert the things into leather. The tannins are acidic in reaction and it is attributed to the presence of phenolic or carboxylic group. Tannins form complex with proteins, carbohydrates, gelatin and alkaloids.

Tannins are classified in two classes:

1. Hydrolysable tannins.
2. Condensed tannins.

Hydrolysable tannins upon hydrolysis give gallic and ellagic acid. Depending on the type of acid produced, the hydrolysable tannins are known as gallitannins or egallitannins. On heating, they form pyrogallic acid. They are found in *Rheum emodi*, *Arctostaphylos uva-ursi*, *Aegle marmelos*, *Quercus infectoria* and *Hamamelis virginiana*.

Condensed tannins are formed by condensation of catechin units. These types of tannins are also known as phlobatannins. They are powerful antioxidants and are present in *Vitis vinifera*, *Cinchona officinalis*, *Acacia catechu*, *Lawsonia inermis* and *Pinus sylvestris*. They have been discussed in chapter 6.

Tannins are used as antiseptic and this activity is due to presence of phenolic group. Tannin rich medicinal plants are used as healing agents in number of diseases. In Ayurveda, formulations based on tannin rich plants have been used for treatment of diseases like leucorrhoea, rhinorrhoea and diarrhoea. Triphala (combination of fruits of *Terminalia chebula*, *Terminalia bellerica* and *Embelica officinalis*) and Asoka-arishtha (alcoholic preparation of *Saraca indica*) are familiar examples of tannin containing formulations. Some of tannins are potential carcinogenic agents.

### **Chebulinic acid**

Source: *Terminalia chebula*.

Molecular formula:  $C_{41}H_{34}O_{28}$ .

Molecular weight: 974.75.

Melting point: 234 deg C.

Solubility:

A. Soluble in water and alcohol.

Physical form: Colourless, odourless powder with characteristic odour and astringent taste.

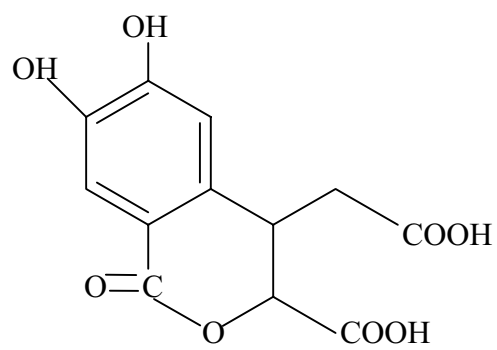


Fig 20.1: Structure of Chebulinic acid

### **Ellagic acid**

Source: Terminalia chebula.

Molecular formula:  $C_{14}H_6O_8$ .

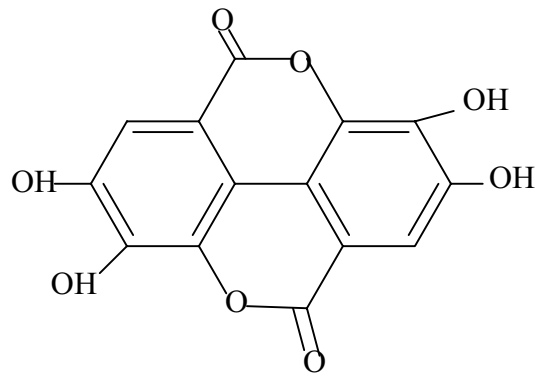


Fig 20.2: Structure of Ellagic acid

### **Gallic acid**

Source: Quercus infectoria.

Molecular formula:  $C_7H_6O_5COOH$ .

Molecular weight: 170.1.

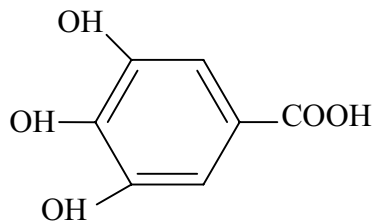


Fig 20.3: Structure of Gallic acid

### **Pyrogallic acid**

Source: Gallic acid

Molecular formula:  $C_6 H_6 O_3$ .

Molecular weight: 126.1.

### **Tannic acid**

Source: *Quercus infectoria*.

Molecular formula:  $C_{14} H_{10} O_9$ .

Molecular weight: 201.

Solubility:

A. Soluble in water, glycerin and alcohol.

Physical form: Light brown or yellowish white powder with characteristic odour and astringent taste.

## Chapter 21- Terpenes

Terpenes are flammable unsaturated hydrocarbons, existing in liquid form. They are found in essential oils, resins or oleoresins. They are used as intermediate for the synthesis of sesquiterpenes and terpenoids. They are classified as mono, di or triterpenoids.

### Ajoene

Source: *Allium sativum*.

Molecular formula:  $C_9 H_{14} O S_3$ .

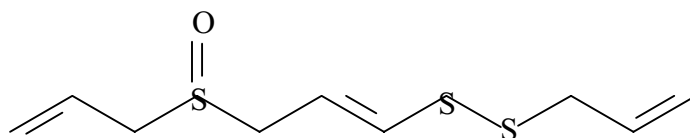


Fig 21.1: Structure of Ajoene

### Allicin

Source: *Allium sativum*.

Molecular formula:  $C_6 H_{10} O S_2$ .

Molecular weight: 162.28.

Solubility:

A. Soluble in water, benzene and ethanol.

Biological activity: Hypolipidemic.

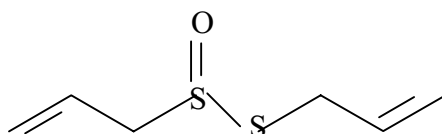


Fig 21.2: Structure of Allicin

### Allin

Source: *Allium sativum*.

Molecular formula:  $C_6 H_{11} N O_3 S$ .

Molecular weight: 177.24.

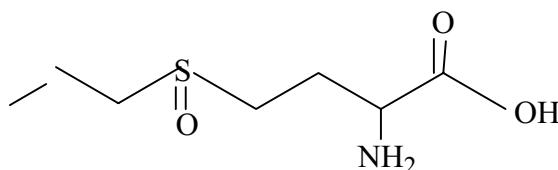


Fig 21.3: Structure of Allin

### Ascaridol

Source: *Chenopodium ambrosoides*.

Molecular formula:  $C_{10}H_{16}O_2$ .

Molecular weight: 2.5 deg C.

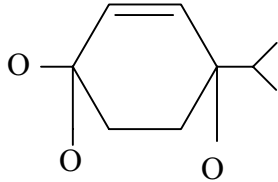


Fig 21.4: Structure of Ascaridol

### Argopyrene

Source: *Artemisia drancuculans*.

### Azadirachtin

Source: *Azadirachta indica*.

Molecular formula:  $C_{36}H_{44}O_{16}$ .

Molecular weight: 720.

### Carnosolic acid

Source: *Salvia officinalis*.

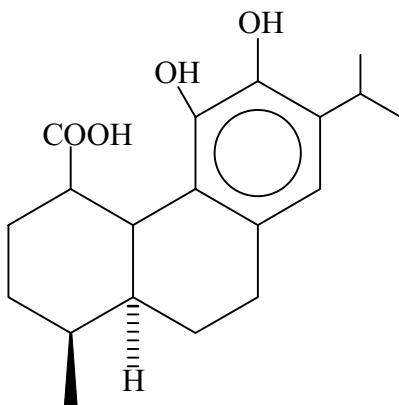


Fig 21.5: Structure of Carnosolic acid.



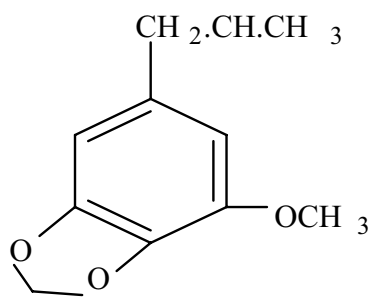


Fig 21.8: Structure of Myristicin

### Nepetalactone

Source: *Nepeta cataria*.

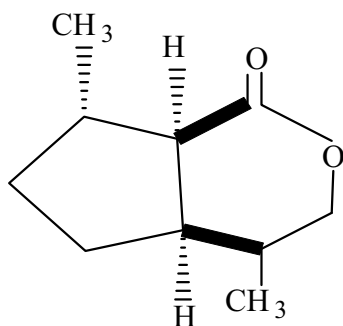


Fig 21.9: Structure of Nepetalactone

### Picrosalvin

Source: *Rosmarinus officinalis*.

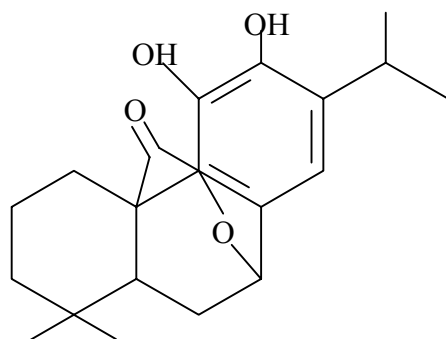


Fig 21.10: Structure of Picrosalvin

### Prostratin

Source: *Homolanthus nutans*.

Molecular formula:  $C_{22}H_{30}O_6$ .

Biological activity: Anti HIV.

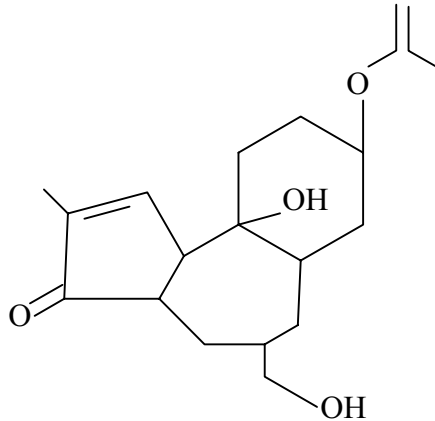


Fig 21.10: Structure of Prostratin

### **Rosmarinic acid**

Source: Rosmarinus officinalis.

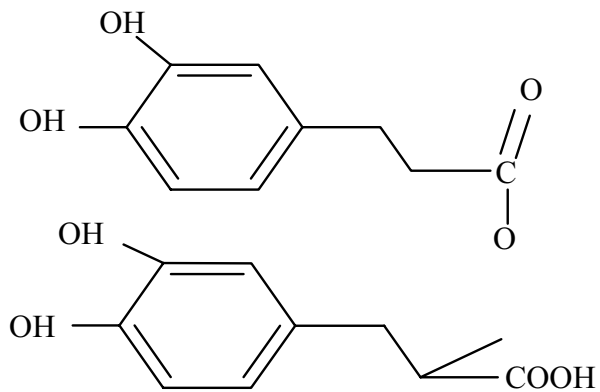


Fig 21.11: Structure of Rosmarinic acid.

### **Stevioside**

Source: Stevia species.

Molecular formula:  $C_{38}H_{60}O_{18}$ .

Molecular weight: 804.9.

Melting point: 201-5 deg C.

Solubility:

A. Soluble in water and ethanol.

B. Insoluble in methanol.

Biological activity: Hypolipidemic.



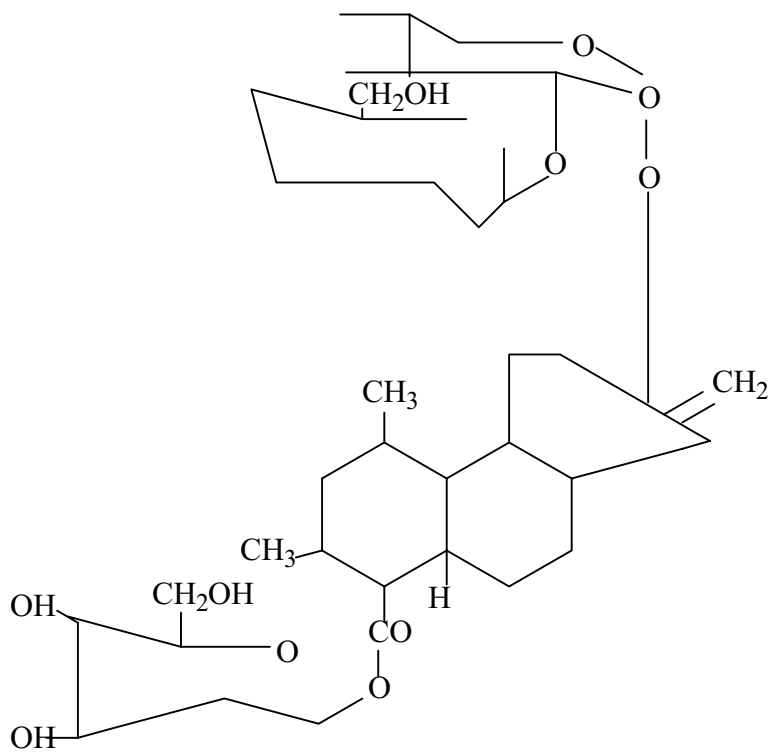


Fig 21.12: Structure of Stevioside

### **Taxol**

Source: *Taxus brevifolia*.

Molecular formula:  $C_{47}H_{51}NO_4$ .

Molecular weight: 853.99.

Melting point: 213-6 deg C.

Biological activity: Antitumor.

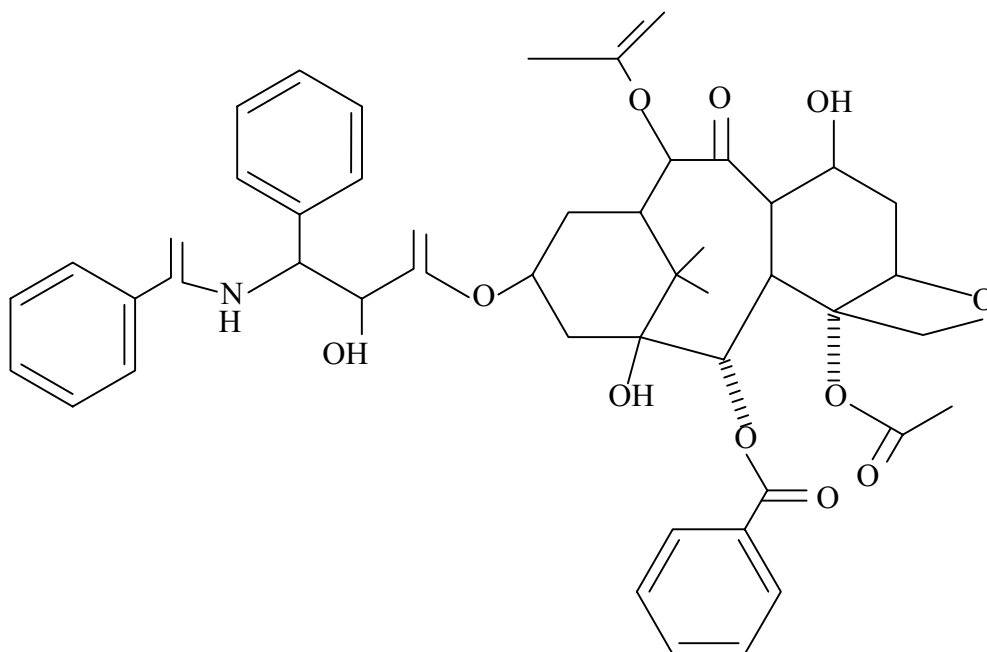


Fig 21.13: Structure of Taxol

### **Taxine**

Source: *Taxus baccata*.

Molecular formula:  $C_{37}H_{49}NO_{10}$ .

Molecular weight: 667.87.

Melting point: 121-4 deg C.

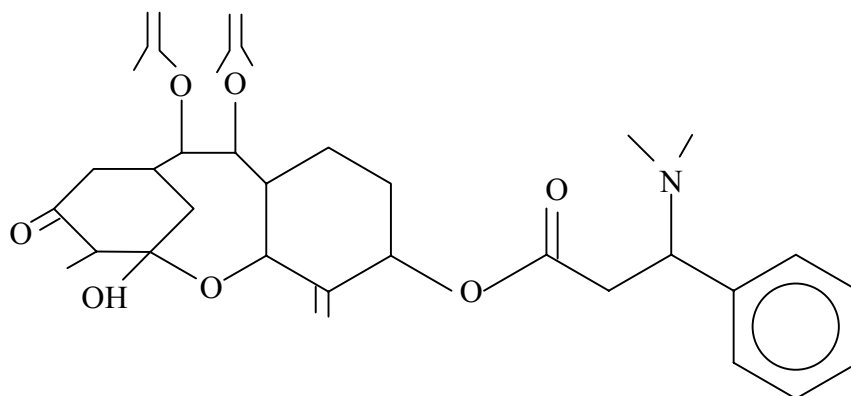


Fig 21.14: Structure of Taxine

### **Ursolic acid**

Source: *Salvia officinalis*.

Molecular formula:  $C_{18}H_{16}O_7$ .

Molecular weight: 456.7.

Biological activity: Antibacterial.



## Chapter 22- Miscellaneous compounds

### Abrin

Chemical class: Lectin

Source: Abrus precatorius.

Molecular formula:  $C_{12}H_{14}N_2O_2$ .

Molecular weight: 216.28.

Melting point: 216.28 deg C.

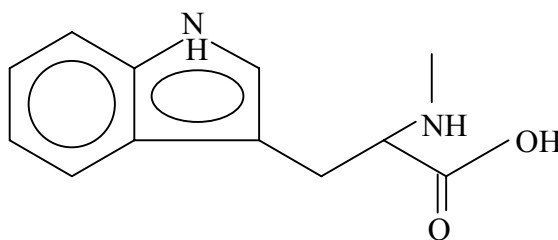


Fig 22.1: Structure of Abrin

### Asarone

Source: Acorus calamus.

Biological activity: Carcinogenic.

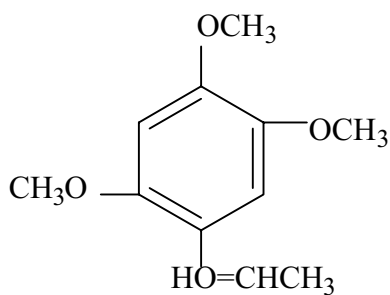


Fig 22.2 : Structure of Asarone.

### Betulinic acid

Source: Betula alba.

Biological activity: Anticancer.

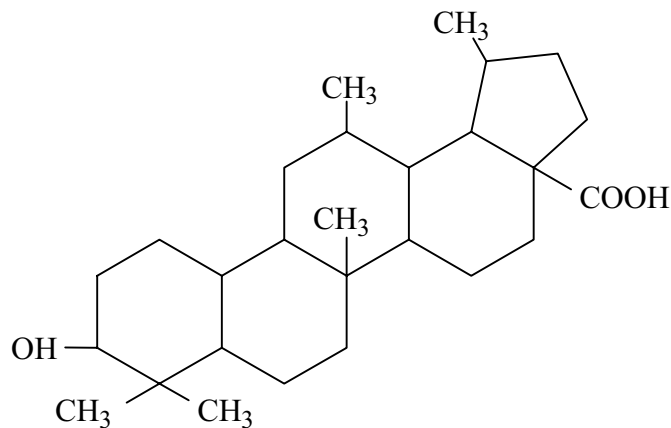


Fig 22.3: Structure of Betulinic acid

### **Curcumin**

Source: *Curcuma longa*.

Molecular formula:  $C_{21} H_{20} O_6$ .

Molecular weight: 368.91.

Melting point: 183 deg C.

Biological activity: Hepatoprotective and anti-inflammatory.

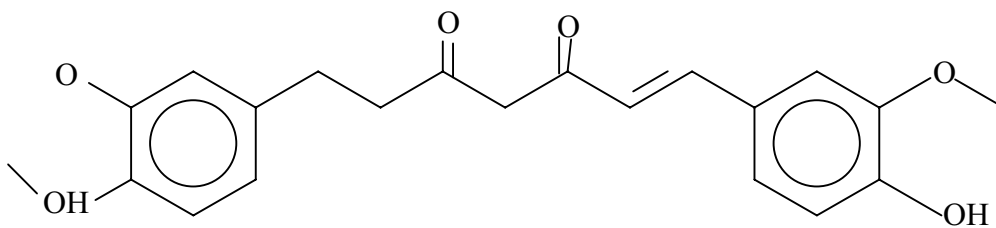


Fig 22.4: Structure of Curcumin.

### **Plaunotol**

Source: *Croton sublyratus*.

Molecular formula:  $C_{20} H_{34} O_2$ .

Molecular weight: 306.49.

Biological activity: Antiulcer.

### **Usnic acid**

Source: *Usnea palmata*.

Molecular formula:  $C_{18} H_{16} O_7$ .

Biological activity: Antibacterial.

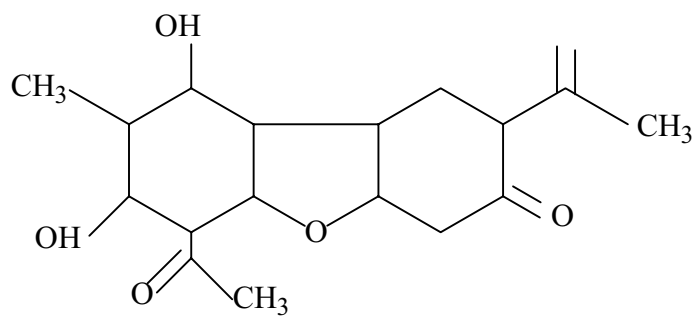


Fig 22.5: Structure of Usnic acid.

## **Glossary**

**Active constituent** – an herbal drug or herbal drug preparation its entirety is regarded as active constituent.

**Adaptogen**- an agent that invigorates or strengthens the system

**Alterative**- an agent used for purifying blood.

**Anabolic**- an agent having steroidal action.

**Analeptic**- an agent used to boost respiration and circulation.

**Anodyne**- an agent that relieves pain on local application.

**Antiarrhythmic**- an agent used for treatment of heart disease.

**Antibiotic**- an agent used for killing microorganisms.

**Anticoagulant**- an agent used for preventing blood clotting.

**Antidepressant**- an agent used for counteracting depression.

**Anthelmintic**- an agent used to kill worms.

**Antiperiodic**- an agent used for preventing relapsing fever.

**Antipruritic**- an agent used to cure itching.

**Antirheumatic**- an agent used for curing arthritis and rheumatism.

**Analgesic**- an agent used for preventing pain.

**Anti-inflammatory**- an agent used for preventing inflammation.

**Antipyretic**- an agent used for lowering the fever.

**Antiseptic**- an agent used for preventing the growth of microorganisms.

**Antispasmodic**- an agent used for relieving the spasms of voluntary and involuntary muscles.

**Aphrodisiac**- an agent used to stimulate sex urge and maintain vitality.

**Aperient**-an agent used for mild laxation.

**Ayurveda-** the ancient healing system of India.

**Bruising-** a process of smashing of different parts of a medicinal herb in a pestle and mortar.

**Cathartic-**an agent used to relieve severe constipation

**Carminative-** an agent used to dispel gas from the intestine and prevent distension.

**Cholagogue-** an agent used to promote the flow of bile.

**Choleretic-** an agent that stimulates the formation of bile

**Convulsant-** an agent which induces seizures.

**Counterirritant** - (See Rubefacient.)

**Crude drug-** the form of the medicinal herb unchanged by processing other than separation of parts, drying or grinding.

**Decoction-** a process of boiling a coarsely bruised drug in water in tinned pots with covers for a definite period.

**Diaphoretic-** an agent used for increasing perspiration through the skin.

**Diuretic-** an agent used for increasing urine flow.

**Ecbolic-** an agent used for stimulating uterine musculature.

**Elutriation-** a process of separation of the coarser particles of a powder from the finer ones.

**Emetic-** an agent used for inducing vomiting.

**Emollient-** an agent, which softens the skin.

**Expectorant-** an agent used to promote the expulsion of mucus from the respiratory tract.

**Expression-** a process of pressing out juice or oil from plant products.

**Extract-** an process of manufacturing of concentrated preparations of the active principles of the vegetable drugs.

**Fluid extract-** a liquid extract of raw plant material, usually of a concentration ratio of 1 part raw herb to 1 part solvent.



**Febrifuge-** an agent used to reduce fever.

**Hemostatic-** an agent used to prevent flow of blood.

**Hepatoprotective-** an agent used for preventing injury to the liver.

**Hygroscopic-** a substance that readily attracts and retains water.

**Hypnotic-** an agent used to induce sleep.

**Hypolipidemic-** an agent which reduces high levels of cholesterol.

**Incineration-** a process of heating the organic substances with access of air, so that all the carbonaceous matter is burnt.

**Infusion-** a process of treating a moderately comminuted drug in a muslin bag soaked in cold or hot water.

**Levigation-** a process of grounding of solid substance with water to make a paste and dry.

**Maceration-** a process of soaking a ground up drug in a solvent and expression of fluid from it.

**Marker compound-**chemically defined constituents of an herbal drug, which are of interest for control purposes, independent of whether they have any therapeutic activity or not.

**Medicated oil-** oil preparation obtained by steeping the medicinal herb in oil for several days or months.

**Sifting-** a process of passing a powdered drug through a sieve to obtain powder of uniform strength.

**Nervine-** an agent used for improving the function of the nerves.

**Nootropic-** an agent having memory enhancing activity.

**Pharmacy-** the study of scope, the preparation of materials in suitable forms for use in Medicine.

**Rubifacient-** an agent used for increasing the blood supply to the skin, when applied locally.

**Sedative-** an agent used for calming the functional activity of the body.

**Standardisation-** a process of fixing the quantity of active constituent of a medicinal agent.

**Stimulant-** an agent used for boosting metabolism and circulation.

**Stomachic-** an agent used to promote stomach function.

**Tincture-** alcoholic solution of active constituents of vegetable drugs.

**Trituration-** the process of rubbing solid substances into finer ones with the help of a pestle and mortar.

**Tonic-** an agent used to increase energy and vigor in a specific part of the body.

**Vasodilator-** an agent used to dilate the blood vessels.

**Vulnerary-** an agent used to promote the healing of new cuts and wounds.

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