pharmacognosy

3rd stage/1stterm

Saponin Glycosides

&

Flavonoid Glycosides

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Lec .6

Saponin Glycosides

- Saponins are a group of glycosides which is widely distributed in the higher plants.
- The aglycones of this glycosides are referred to as **sapogenins.**

This group of plants glycosides have two common characteristics:

1. They foam in aqueous solution upon shaking, therefore they are called **saponin** (from latin: sapo,soap)

2. They cause **hemolysis** of red blood cells when injected into blood stream, but when taken by mouth saponins are comparatively harmless.

- Plants materials containing saponins have long been used in many parts of the world for their **detergent properties** and as **fish poisons.**

- Much of the research conducted on the saponin-containing plants was used to discover precursors for steroid hormones as cortisone.

- This substance was originally isolated from the **adrenal cortex a**nd later synthesized from certain **bile acids of the cattles.**
- Since animal sources are in limited supply, so many investigations had been performed and the most important plant steroid for the partial synthesis of steroid hormones are: **diosgenin, botogenin, hecogenin, gitogenin, sarsapogenin and smilagenin**

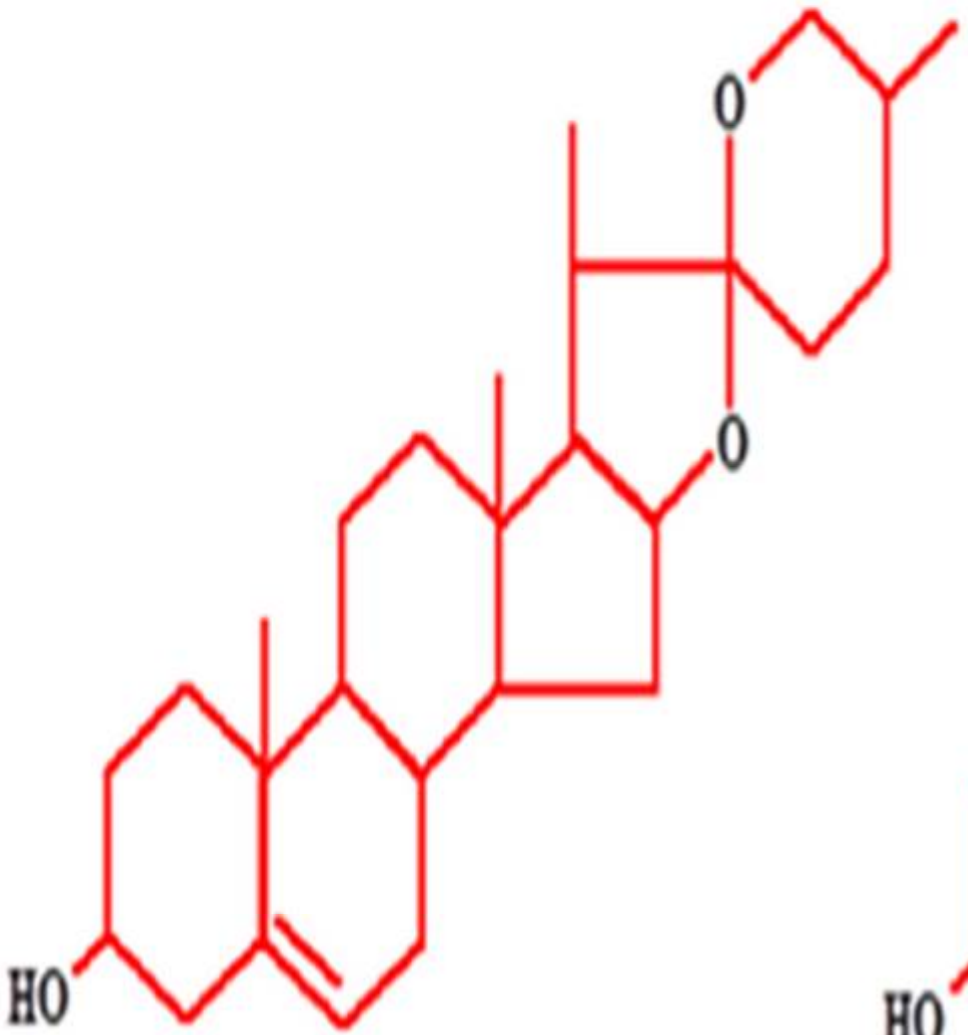
- Some of our valuable food materials contain significant amount of saponins eg. Beans, lentils , عدسsoybeans, spinach and oats . الشوفان
- Toxicity is minimized during ingestion by **low absorption and by hydrolysis.**

According to the structure of the aglycon or sapogenin, saponins can be classified into either

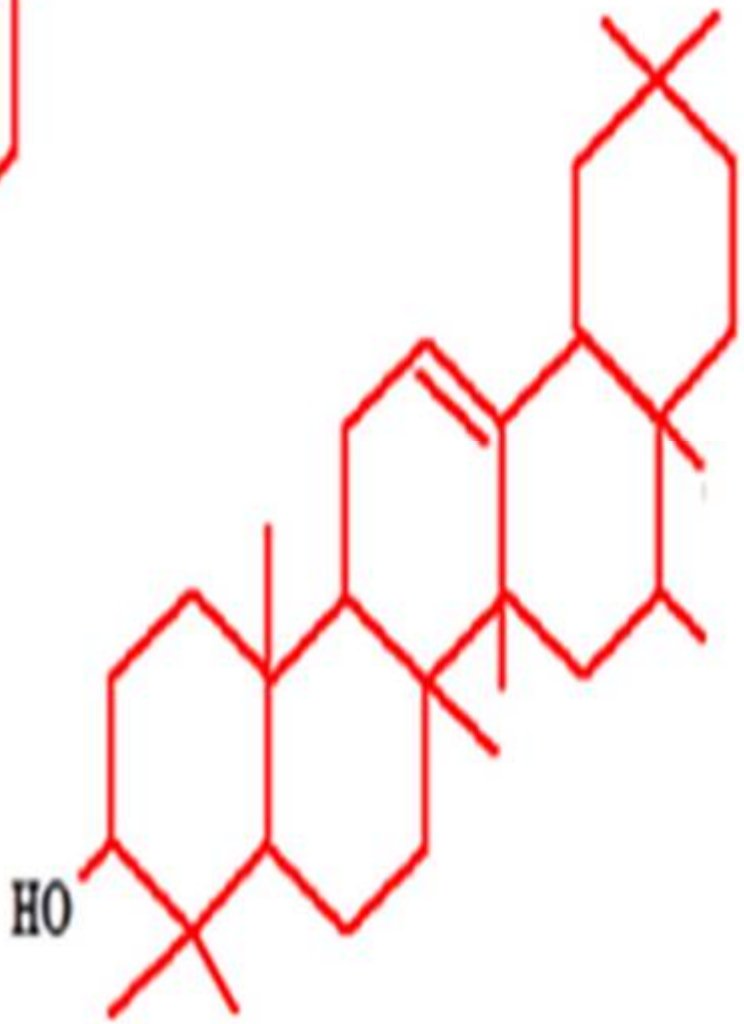
1. Neutral saponins: steroidal commonly tetracyclic triterpinoids C27.

2. Acid saponins: are pentacyclic triterpenoid C30.

Both types of saponins have the glycosidic linkage at position 3.



Steroida triterpenoid



Pentacyclic triterpenoid

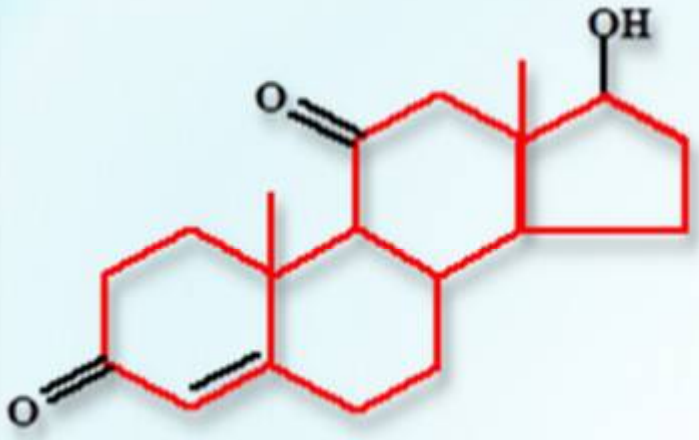
- The steroidal saponins are **less widely distributed** in nature **than pentacyclic triterpenoid** type.

- Steroidal saponins are of great pharmaceutical importance because of their relationship to compounds such as the **sex hormones, cortisone, vitamin D and cardiac glycosides.**

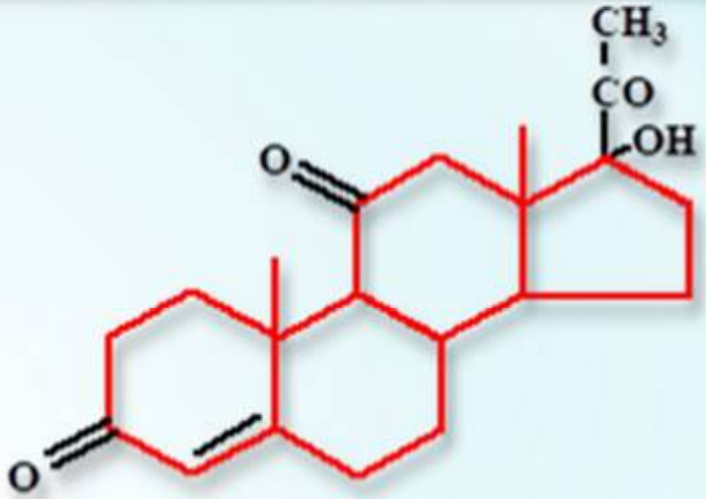
Medicinal importance of saponins:

1. The steroidal saponins are structurally related to modern synthetic compounds that have a therapeutic significance, such as **adrenocortecoids and the sex hormones**. So, they are a suitable precursors in the partial synthesis of these hormones, e.g., Diosgenin (sapogenins) isolated from the rhizome of Dioscoria species.

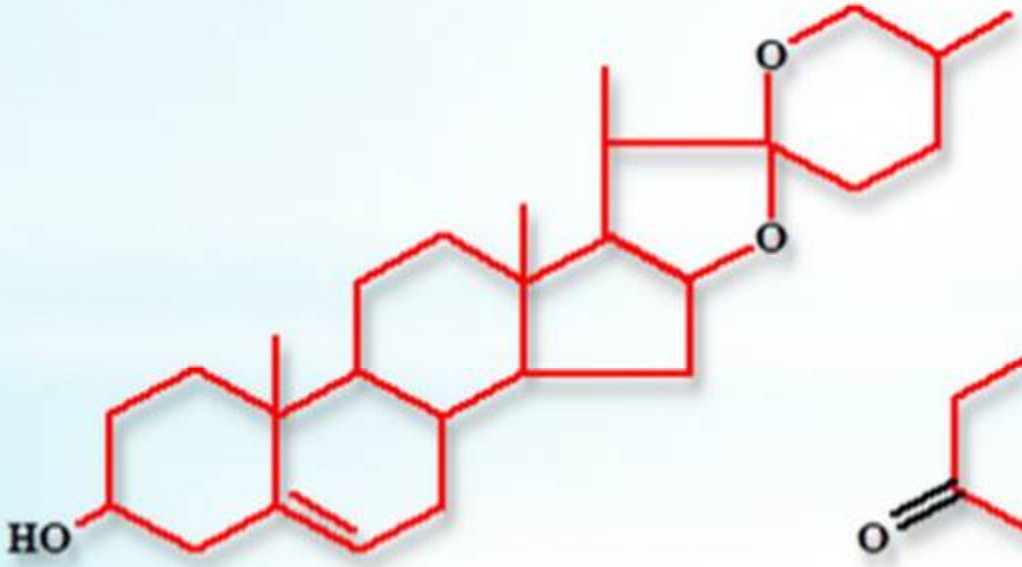
2. Saponins increase the **rate of absorption of many** pharmacological active substances (e.g., cardiac glycosides).



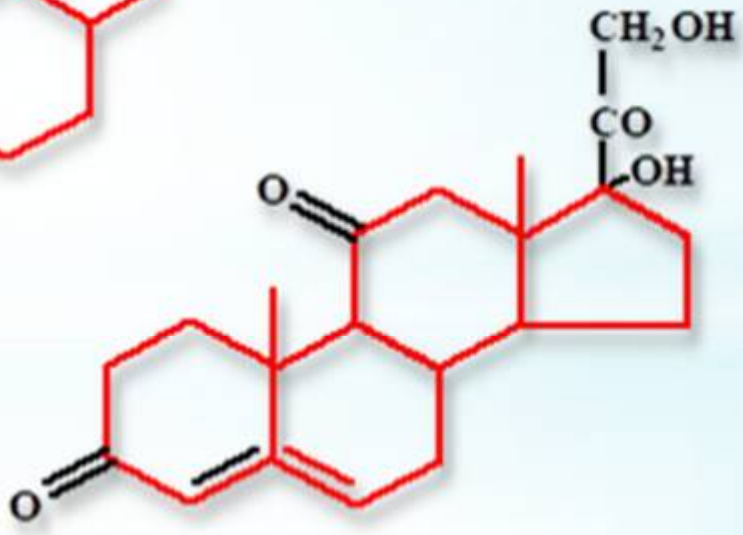
Testosterone



Progesterone



Diosgenin



Cortisone

3. Many saponin-containing drugs are used as **expectorants** (e.g., Ipeca, Senaga and liquorice) as their contents of saponins stimulate bronchial secretion and also activate the ciliary epithelium of the bronchi.

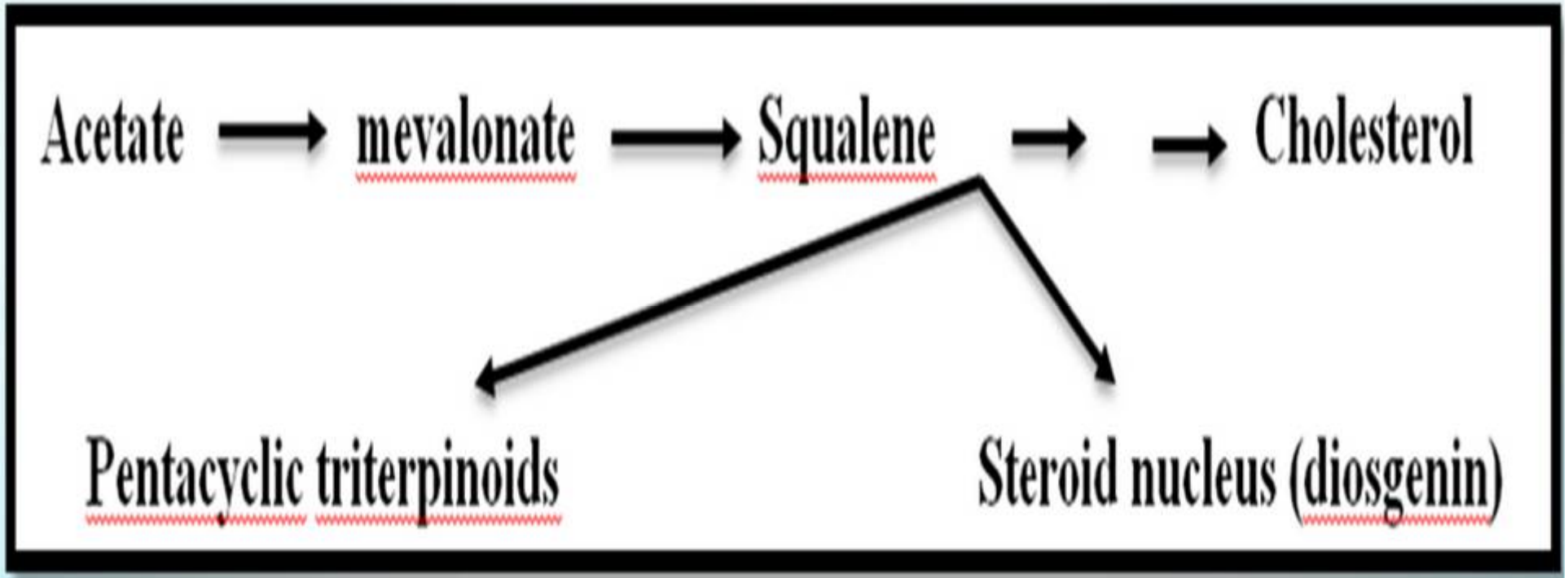
4. The triterpenoidal saponin glycoside, glycyrrhizin, is the main **sweet principle** of liquorice.

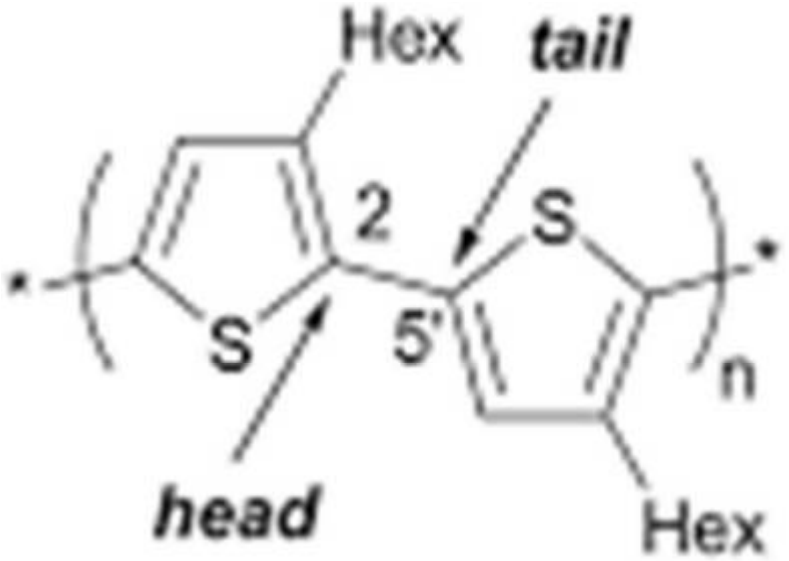
5. Beside being a valuable **flavouring and sweetening agent**, liquorice **has demulcent, expectorant and antispasmodic action.** All these activities attributed to the saponin, glycyrrhizin.

6. Recently, glycyrrhizin was shown to be effectively in **gastric ulcer treatment** and have a cortisone like action in **rheumatic arthritis and other inflammatory diseases.**

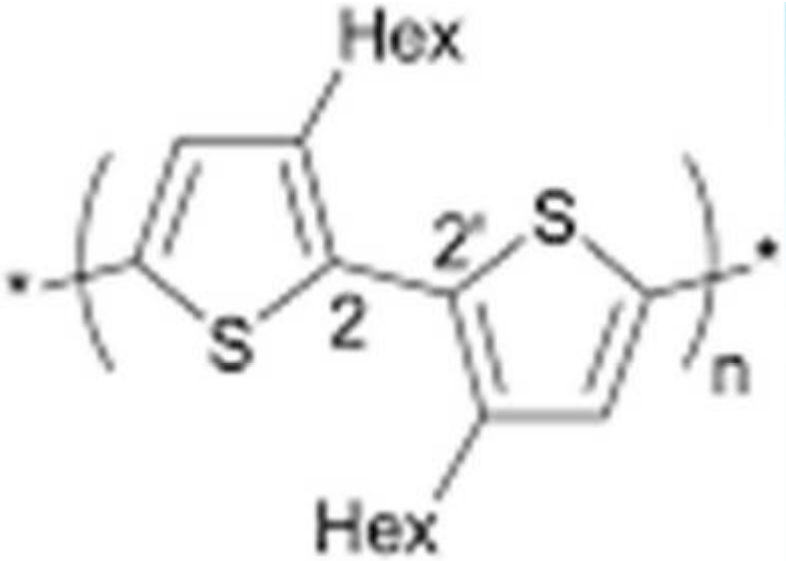
Biosynthesis:

the pathway may be considered to be the same for both types and involved a head to tail coupling of acetate units.

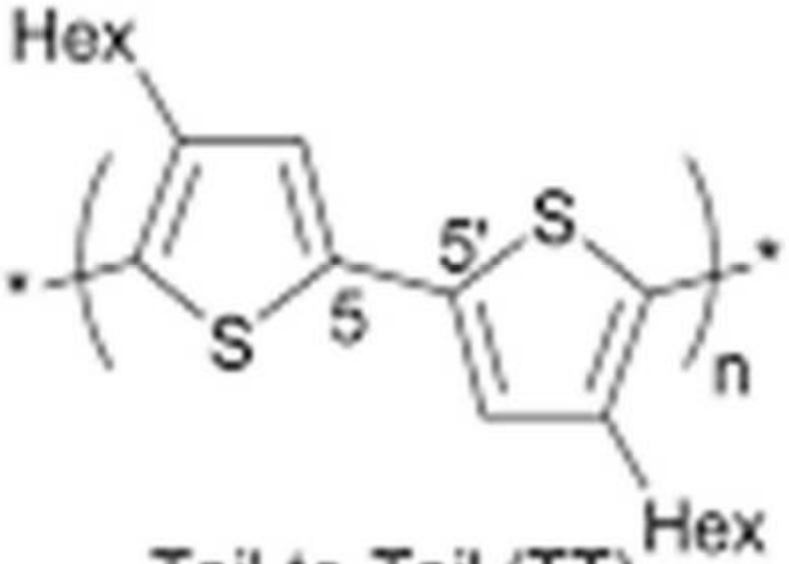




Head-to-Tail (HT)



Head-to-Head (HH)



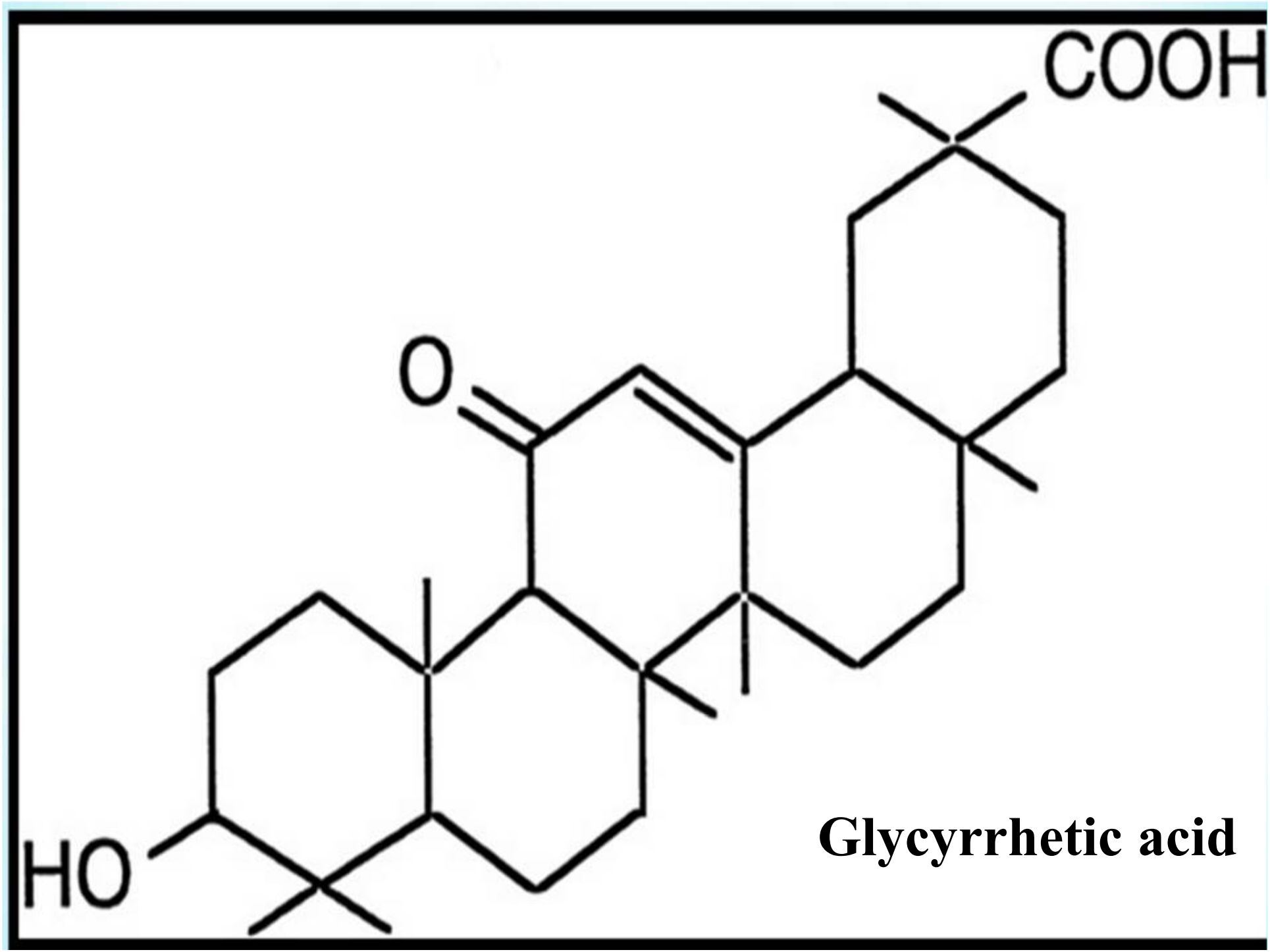
Tail-to-Tail (TT)

Drugs containing saponin glycosides:

1. Glycyrrhiza (licorice root)

- Is the **dried rhizome** and **roots** of **Glycyrrhiza glabra** (Fam: Fabaceae).
- Glycyrrhiza is of greek origin and means sweet root, glabra means smooth.

- Glycyrrhiza contains saponin like glycoside, glycyrrhizin (glycyrrhizic acid) which is 50 times as sweet as sugar.
- Upon hydrolysis, the glycoside loses its sweet taste and it converted to the aglycon glycyrrhizic acid plus two molecules of glucuronic acid. Other constituents include flavonoid glycosides.



Glycyrrhetic acid

Uses:

- Glycyrrhiza possesses **demulcent and expectorant** properties.
- It's used as **flavoring agent** and masks the taste of bitter drugs such as aloe and ammonium chlorid.
- Commercially licorice is **added to chewing gums**, chocolate candy, cigarettes, smoking mixture, chewing tobacco, its added to beer, it **increases foaminess.**
- glycyrrhetic acid is utilized for its **anti-inflammatory** properties in dermatogical practice and licorice root extract is employed in the **treatment of peptic ulcer and Addisons disease.**

2. Ginseng

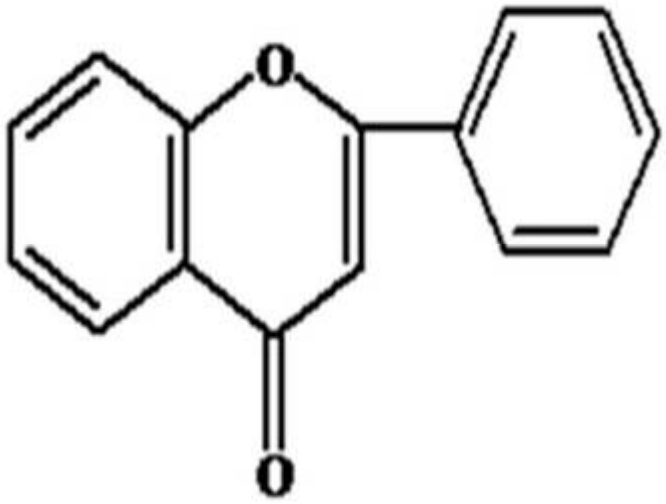
- Is the root of the perennial herbs **Panax quinquefolius** and **Panax ginseng** (Fam: Araliaceae).
- Ginseng contains a complex mixture of triterpenoid saponins that can be either steroidal Triterpenes or pentacyclic related to oleanoic acid.
- These glycosides include: ginsenosides with a steroidal triterpene aglycone, and panaxosides.

- Ginseng has **tonic, stimulant, diuretics and carminative properties.**
- it has **antistress activity** and also act on **central nervous system** and **endocrine secretions.**
- its employed in the treatment of **anemia, diabetes, insomnia, gastritis and sexual impotence.**

Flavonoid Glycosides

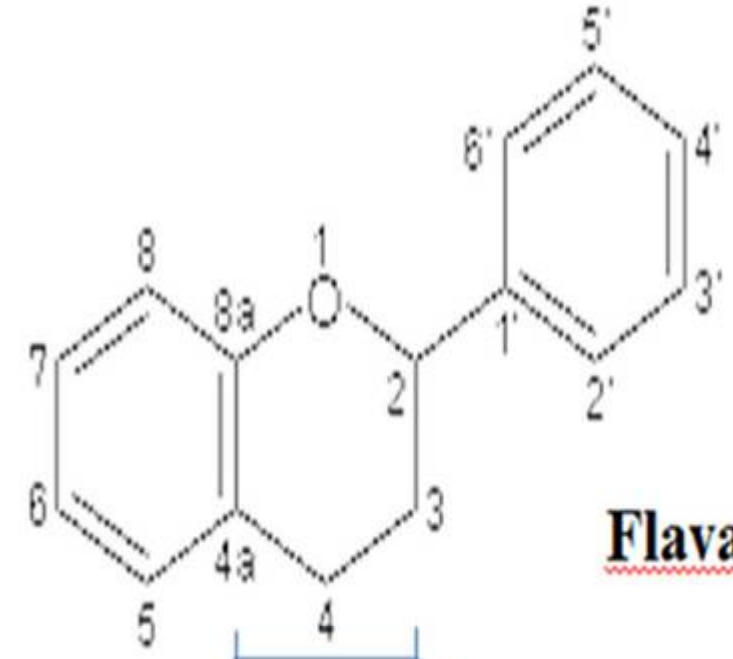
- Flavonoid is one of the largest class of naturally occurring plant products, they present either in the free state or as their respective glycosides.
- According to their name, they are usually **yellow-coloured** compounds (flavous is a latin word yellow colour).
- Flavonoids are found in **fruits, vegetables, grains, bark, roots, stems, flowers, tea and wine**.
- These natural products were known for their beneficial effects on health long before flavonoids were isolated as the effective compounds.

All derived from the same parent nucleus, 2-phenyl-benzopyran (flavan), thus they have a basic C-15 skeleton.



Flavone

Benzopyrone

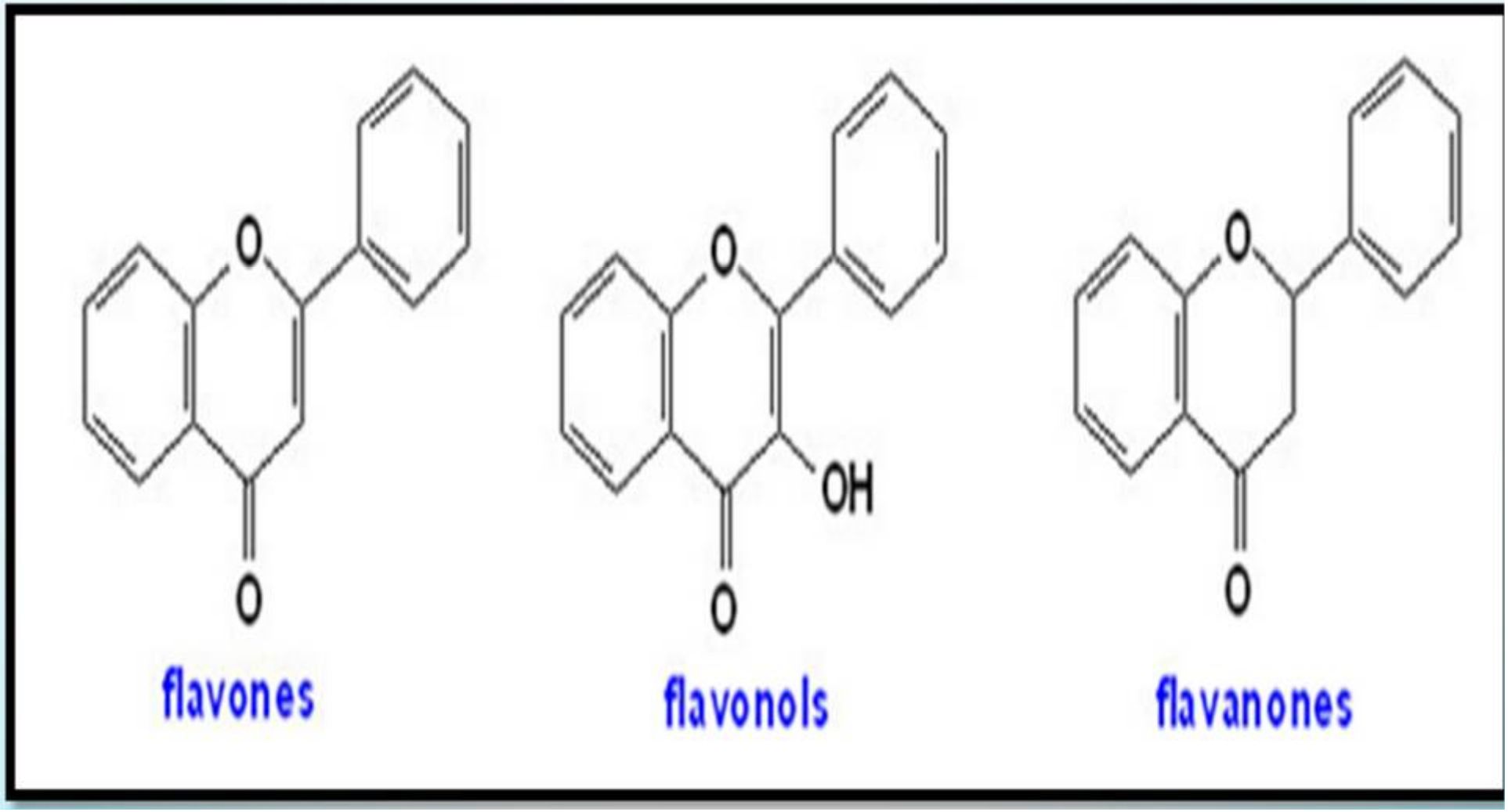


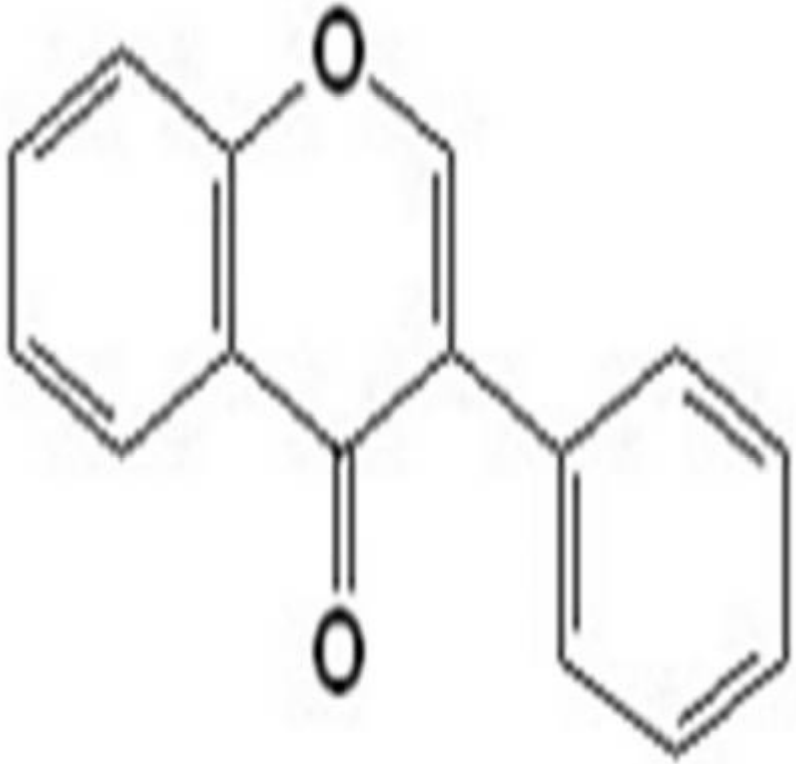
Flavan

Pyran

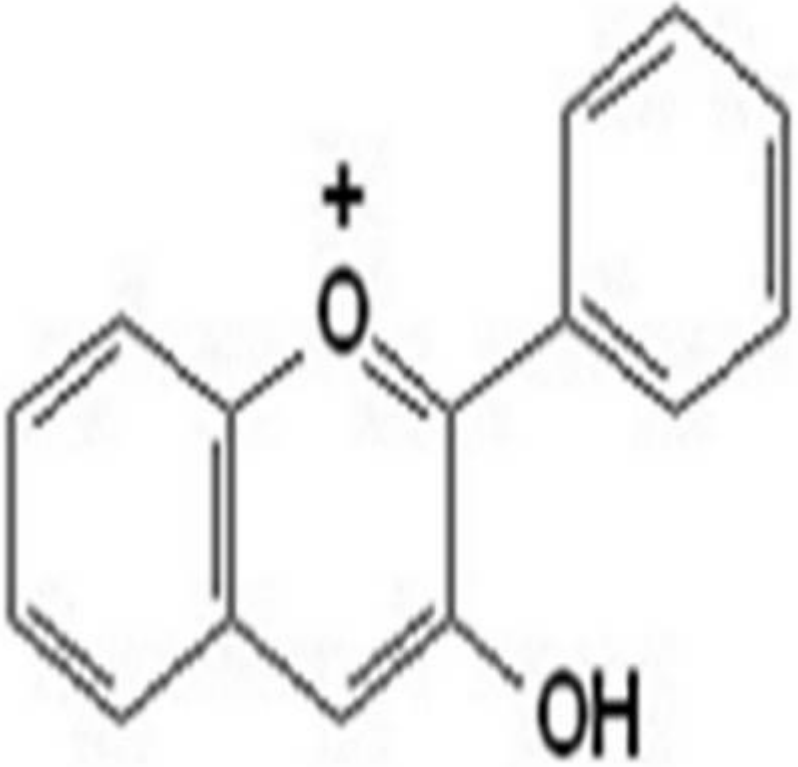
Benzopyran

According to the oxidation level of central pyran ring, they can be classified into: **flavones, flavonols, , isoflavones, flavanones, and anthocyanidins.**



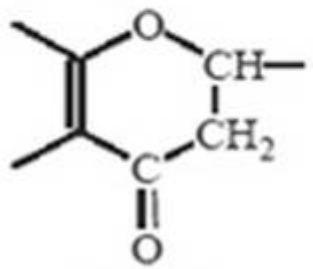


isoflavones

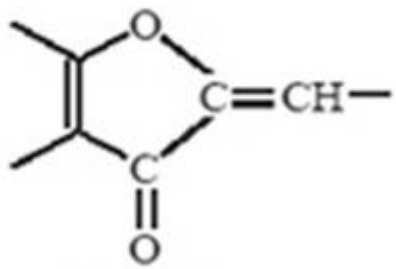


anthocyanidins

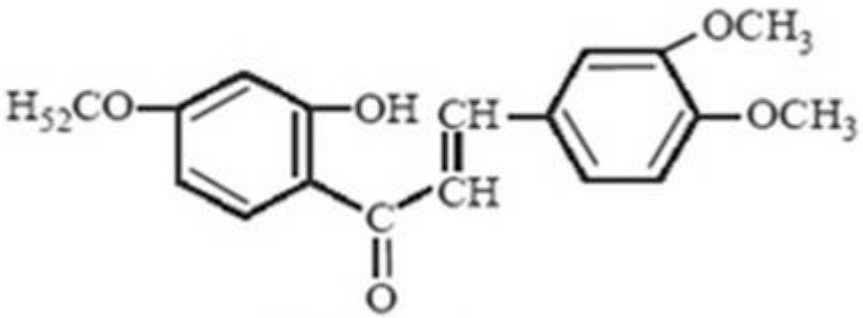
In certain specific instances either the **6-membered heterocyclic ring (pyrones)** is **replaced** by a **5 membered heterocyclic ring (aurones)** or **exists** in an **open-chain isomeric form (chalcones).**



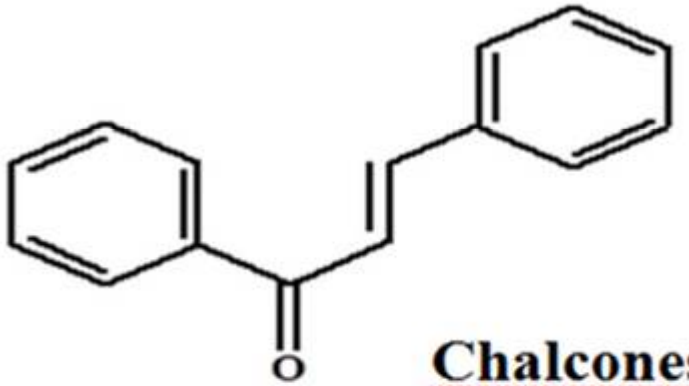
Pyrones
(6-membered)



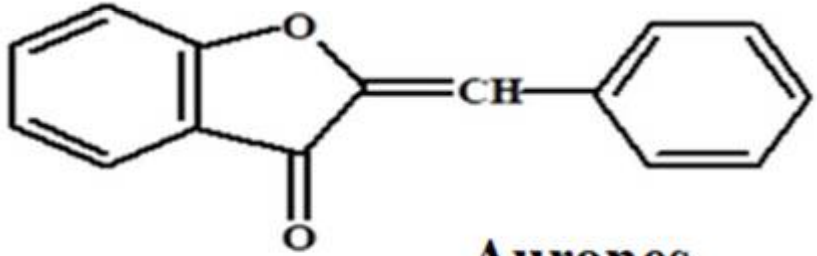
Aurones
(5-membered)



Chalones
(Open-Chain isomeric form)



Chalcones



Aurones

- Chalcones, have no central pyrone ring, so they **are not true flavonoidal compounds.**
- Aurones are **oxidized forms** that are obtained by enzymatic oxidation. they have **five membered pyrone ring.**

Properties of flavonoids:

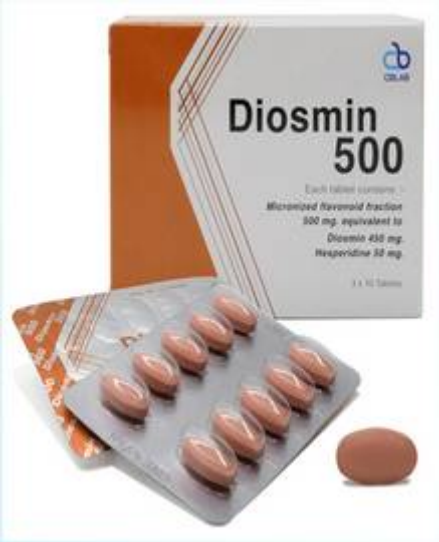
- Flavonoidal glycosides are **soluble in water and alcohol**.
- **Ethylacetate** is the solvent of choice for the extraction of flavonoids from aqueous solution.
- Flavonoids **dissolve in alkalis** give intense **yellow color** solution, on the addition of **acid become colorless**.
- Flavonoids exhibit **strong fluorescence under UV light.**
- Flavonoids **biosynthesis** is derived from **shikimate pathways.**

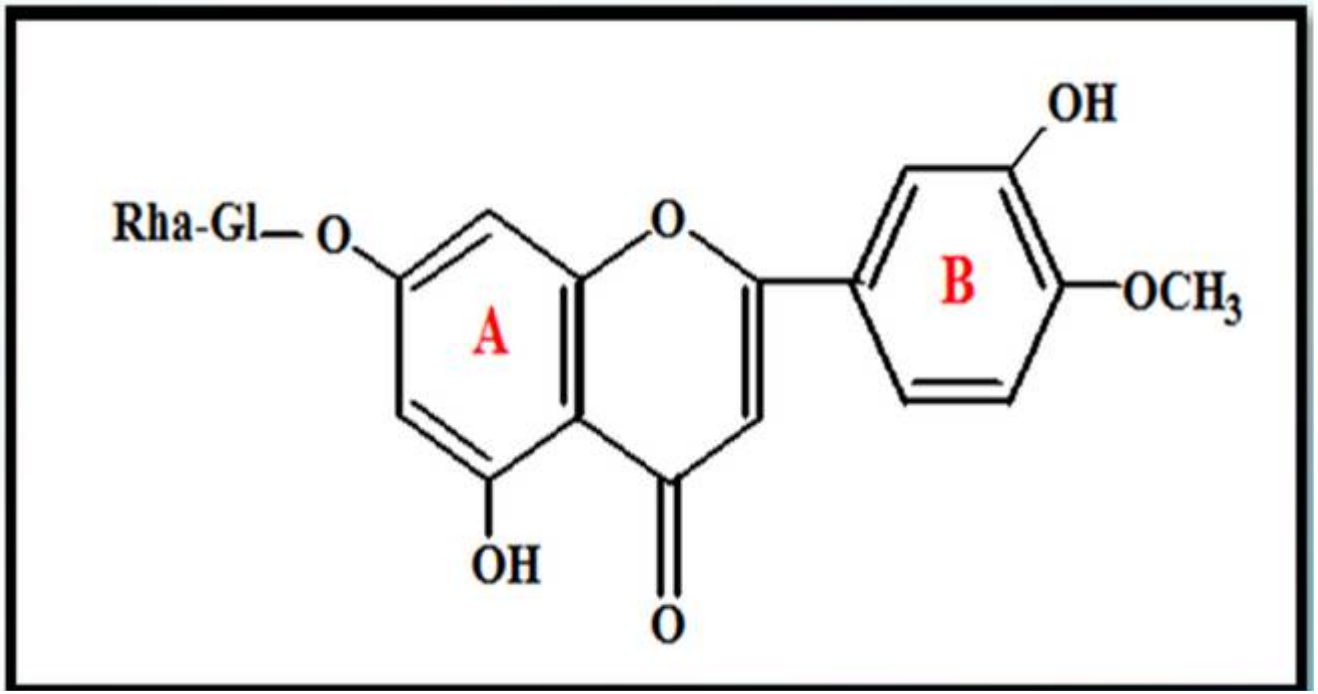
Examples of flavonoid glycosides:

1. Diosmin: flavone glycoside

Occurance: **Barosma crenulata** F. Rutaceae.

- It has **diuretic and diaphoretic** action.
- Upon hydrolysis, diosmin yields **rhamnose, glucose and diosmetin.**





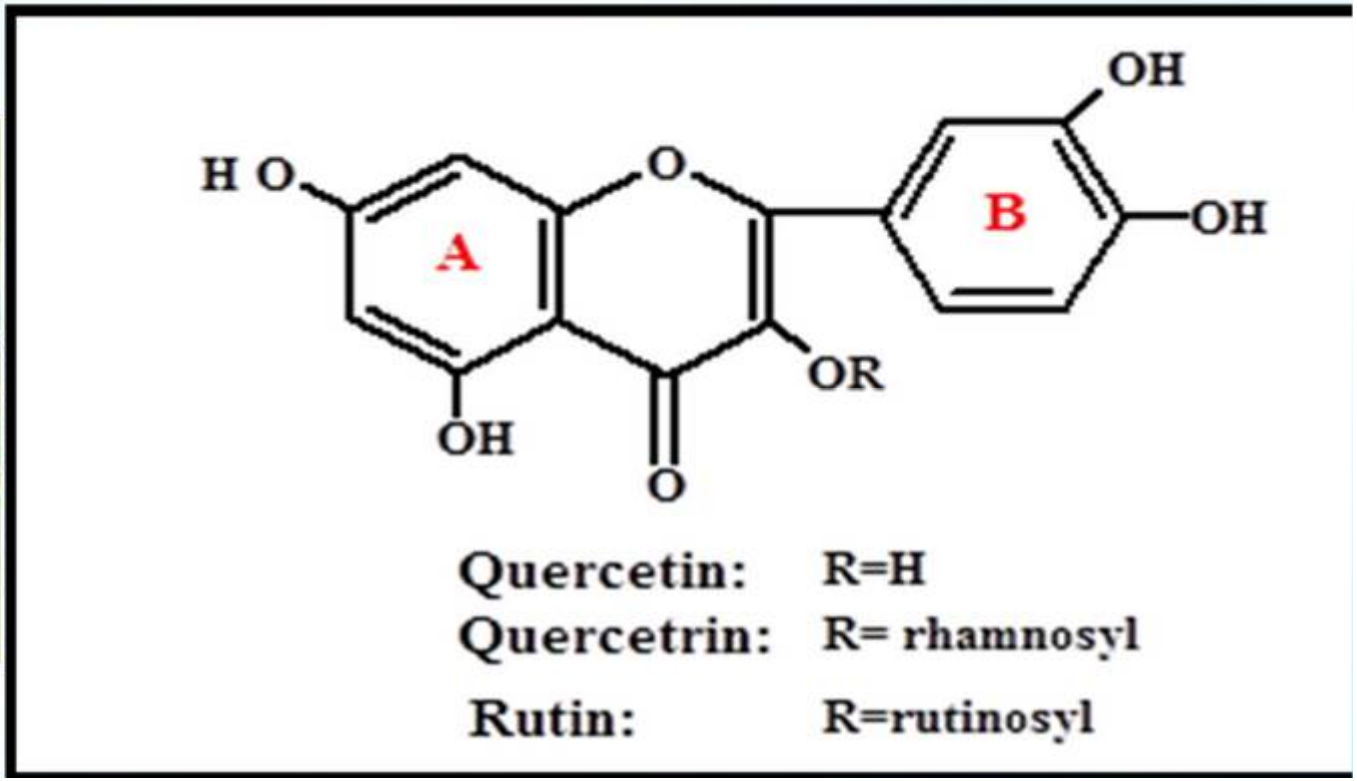
2. Rutin and quercetrin: are examples of flavonol glycosides

a. Rutin occurs in the leaves of **buckwheat**.

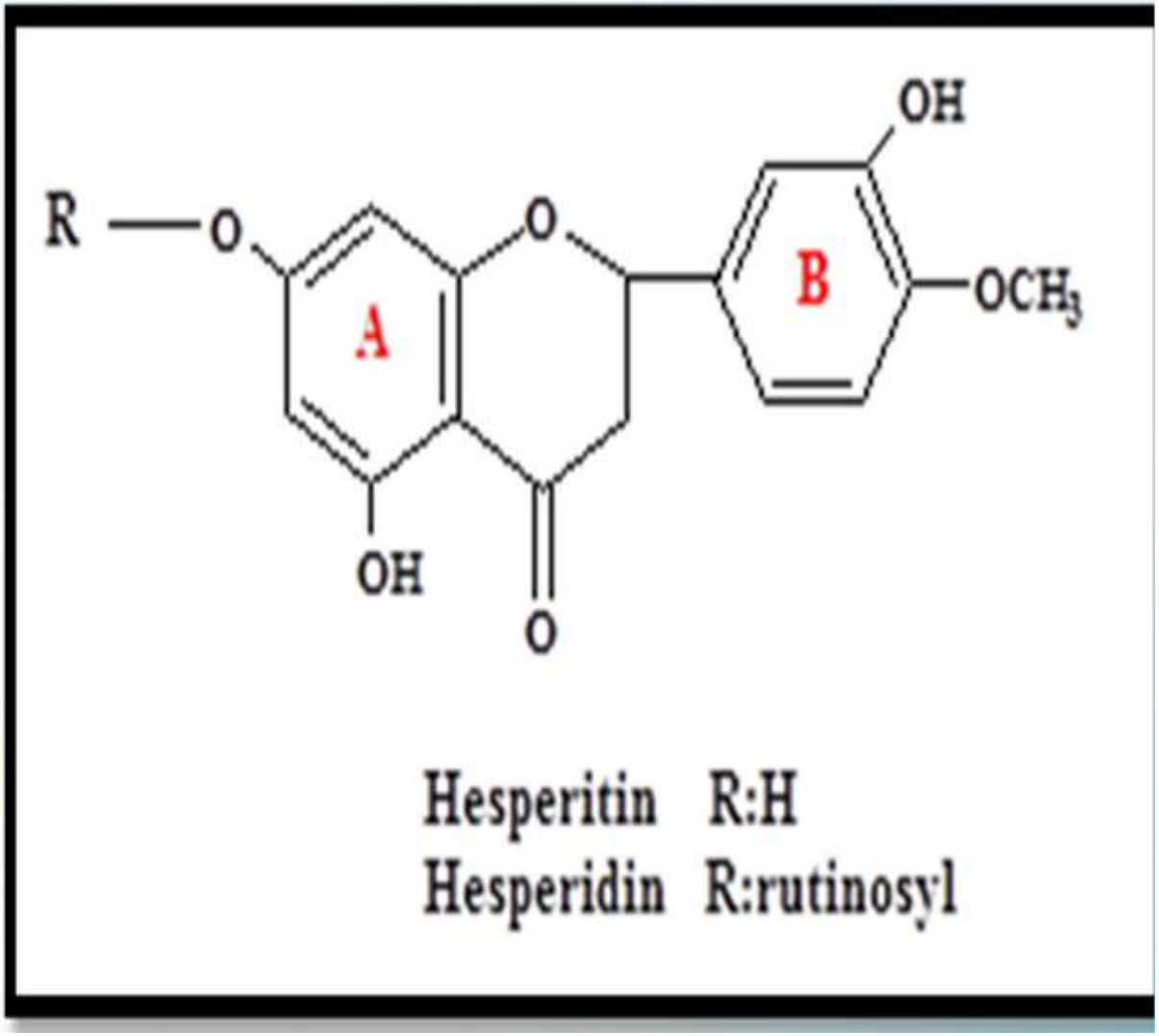
- It is the 3-rhamnoglucoside (called rutinose) of the genin quercitin.
- It gives on hydrolysis the **aglycone (quercitin)** beside **one** molecule of **glucose**, and **one** molecule of **rhamnose.**
- Rutin is used to decrease **capillary fragility**.

b. Quercitrin

- is quercitin 3-O-rhamnoside.
- It occurs in the bark of **Quercus tinctoria**.
- Quercitrin yield upon acid hydrolysis **rhamnose** and **quercetin.**
- The aglycone quercetin has a **diuretic action.**

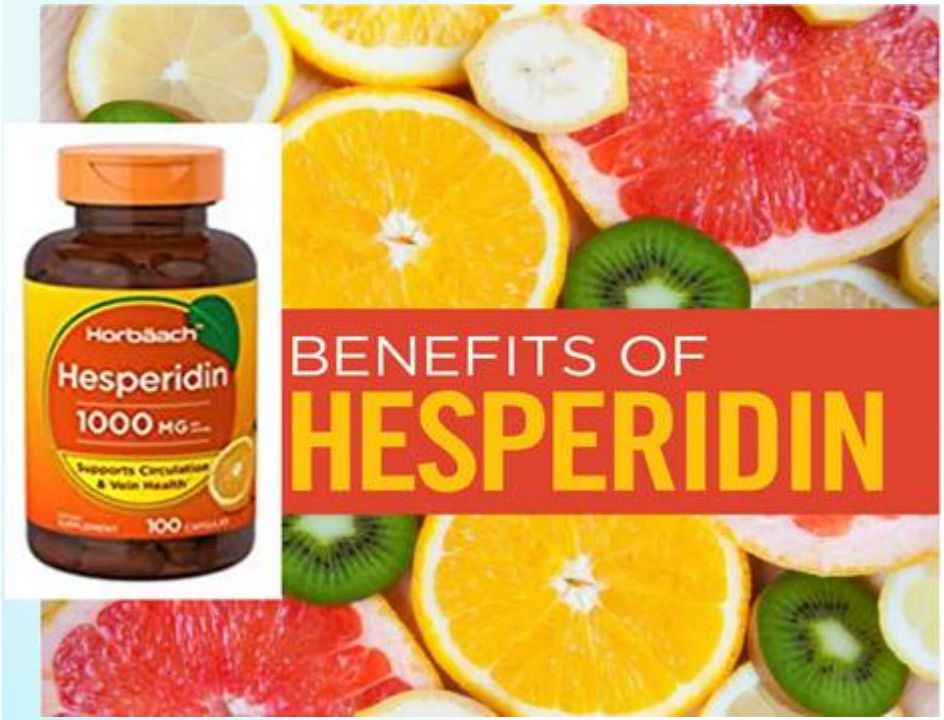


3. Hesperidin: it is an example of flavanones. It is the main flavonoidal glycoside of **citrus fruits**.



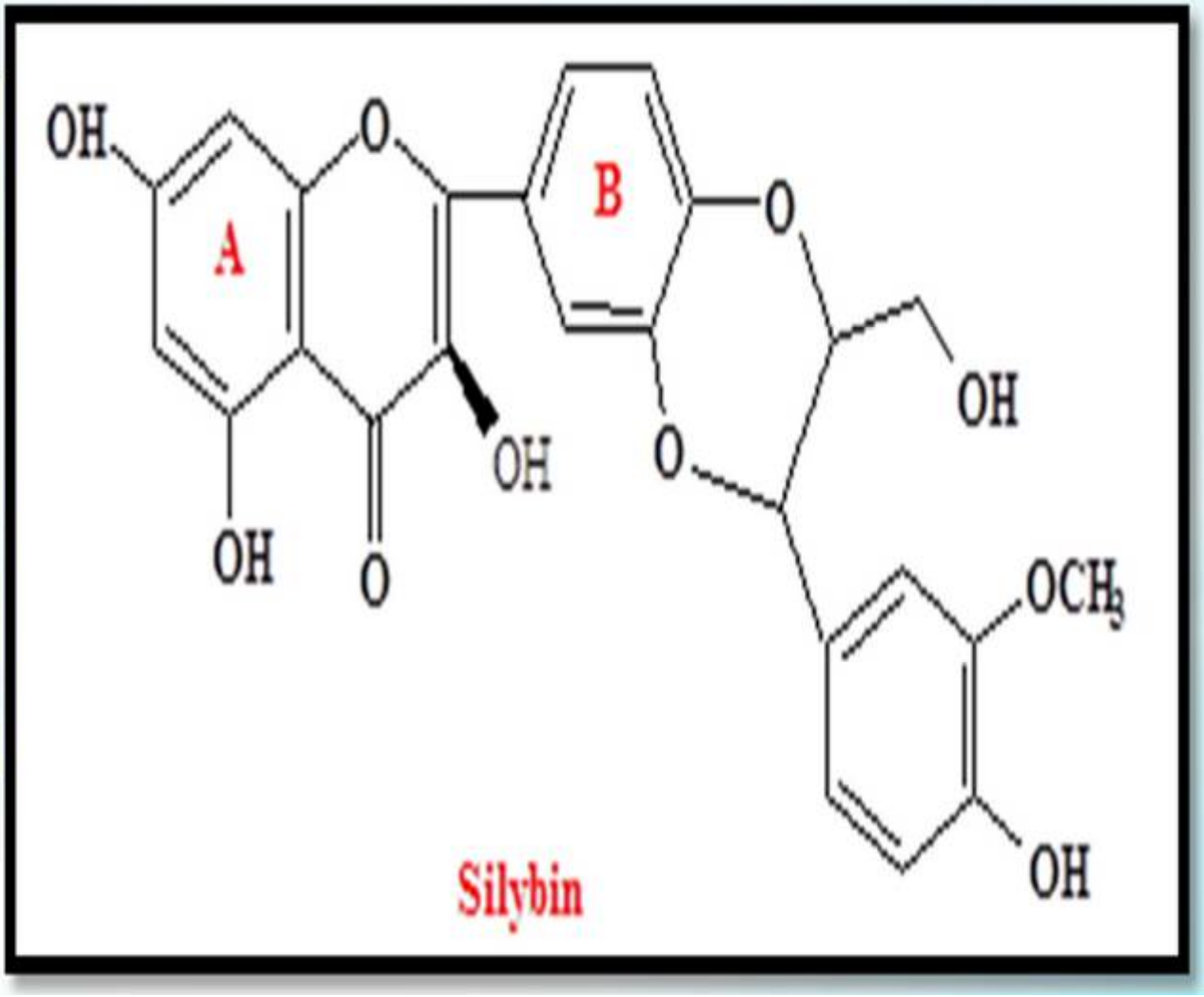
Upon hydrolysis by acid, hesperidin gives **rhamnose, glucose and hesperitin.**

Uses: Hesperidin is necessary for **absorption and retention of vit C that lead to decrease capillary fragility**.



4. Silymarin

The leaves and fruits of **Silybum marianum** family Compositae contain **silymarin (silybin).**



Uses:

1. Silymarin is a very effective **lipotropic and hepato protective therapy.**

2. It is a **free radical scavenger**.

3. **Supportive** treatment of acute and **chronic alcoholic poisoning** and **toxin induce hepatitis**.

4. It is used for treatment of **liver cirrhosis** caused by plant toxins (mushroom),

silymarin is applied as

Intravenous injection.

Thank you