SAPONINS

- Saponins are in glycosidic structure. mostly of plant originated, give stable foams in water.
- Common among plants..
- Scrophulariaceae-----*Digitalis*---digitonoside
- Caryophyllaceae---*Çöven*----glycoside that contain gypsogenin as aglycon
- Leguminosae----*Glycyrrhiza*----glycyrrhizic acid
- Dioscoriaceae---*Dioscorea*---diosgenin
- Liliaceae---*Smilax*------sarsaponoside

SAPONINS

- They give stable foam with water
- Colourless, odorless, irritant compounds
- Amorphous
- Dissolve in boiled water, MeOH, EtOH; precipated when cooled
- They give complex compounds with cholesterol and lecithin
- Toxic compounds to fish
- Poison for blood --- they convert the red blood cell wall permeabl

SAPONINS

- They show Hemolytic effect
- Orally not effective as hemolytic since they are not resorbed
- There is no colleration between hemolytic property, toxicity and precipitation with cholesterol.
- For example; glycyrrhizic acid give foam, but doesn’t have hemolytic property
- Hemolysis property of Escin saponins is 2 times more than Primula saponins but toxicity is 10 times less

SAPONINS

- Hemolysis property is due to aglycon
- Arrangement of the sugars also effects intensity of the hemolysis
- Saponins of the leaves of *Digitalis lanata* don't have hemolysis property but they make comlexes with cholesterol
- Generally, bidesmosidic saponins are almost inactive in terms of hemolytic activity
- Acidic saponins ---- weak hemolysis

SAPONINS

- Netral saponins with branched substituents (4-5 sugar units) have maximum hemolysis index
- Monodesmosidic steroidal saponins form the strongest complexes with cholesterol
- Triterpenes form limited complexes

SAPONINS

- Saponins → hydrolysis → aglycone (sapogenin) + sugar
- The aglycon whether can be steroidal (27C) or triterpenic (30C).

SAPONINS

- As sugar units:
- a) Mostly glucose
- b) Arabinose / galactose / xylose / rhamnose
- c) Uronic acid

SAPONINS

- According to the binding of the sugars to the aglycone two different types of saponins may occur:
- 1) Monodesmosidic saponins have a single sugar chain, normally attached to the aglycon at 3rd position (C-3) and one attached through an ester linkage (acyl glycoside) at C-28 or an ether linkage at C-26.
- In acid aglycons, sugar can attach to -COOH

SAPONINS

- ✓ **STEROID GLYCOSIDES**
- ✓ **27 Carbon units**
- ✓ **Most are derived from Spirostan skeleton**

SAPONINS

- **Steroid saponin's isomers:**
- **a) normal and iso- isomers: C-25 methyl group is axially orientated in normal sapogenins (neosapogenins) and equatorially orientated in isosapogenins**

SAPONINS

- b) In Spirostan type steroids depending on A and B rings cis/trans isomers occur

	6.halka	A/B	OH
Sarsapogenol	normal	cis	3
Smilasapogenol	iso	cis	3
Tigogenol	iso	trans	3
Gitogenol	iso	trans	2,3
Digitogenol	iso	trans	2,3,15

SAPONINS

- **TRITERPENE SAPOGENINS**

- **They can found in any organ of the plant (root, leaves etc.)**
- **Mostly found in paranchymatic tissues**
- **Saponins in Dicotyledones are mostly triterpenes (except *Digitalis*)**
- **More common in nature**
- **30 Carbon units**
- **They have β- amirenol main skeleton**

SAPONINS

- Substituents are stated generally with the C number they attached to.
- Acidic or ester saponins may found among triterpenes:

A. ACID SAPONINS:

- -COOH can be found whether in
- Aglyone (C_4, C_{17}, C_{20}) or in
- Sugar or
- In both aglycon and sugar

SAPONINS

- **For example;**
- **Hederagenin ve Gypsogenin--- –COOH found in aglycon**
- **Primula saponine---- -COOH found in sugar as uronic acid**
- Glycyrrhizin**----- –COOH found both in aglycon and sugar (uronic acid)**
- **Acid sapogenins can be classified according to the number of –COOH they contain:**

SAPONINS

B) ESTER SAPONINS:

- **Secondary alcohol groups located at C-16, 21 ve 22 is esterified with acids such as acetic acid, tiglic acid**
- **For example; Escin complex**

SAPONINS

- **IDENTIFICATION**

- **Foaming property**
- Hemolysis property
- **Colour reactions are used for identification**

- **A) Steroid Saponins;**

- **1) SALKOWSKI REACTION**: Chloroform solution of Sapogenin +concentrated H_2SO_4---layering---at first a **yellow** ring occurs than **red colour** in chloroform layer indicates the presence of spirostan ring.

SAPONINS

- **2) LIEBERMANN-BURCHARD REACTION:** Solution with anhydrous acetic acid + layering with conc.H_2SO_4 → violet-puple---- blue---than stable green colours indicate presence of cyclopentanophenanthrene ring.

SAPONINS

- **B) Identification of Triterpene Saponins;**

- **1) BRIESKORN-BRINER REACTION: Solution with anhydrous acetic acid + chlorosulphonic acid----purple-red colour.**
- **2) ANISALDEHYDE TEST: Saponin+freshly prepared anisaldehyde-H_2SO_4 reagent---colour range between pink to purple**

SAPONINS

- **QUANTIFICATION**

- **1) Barit Method:** Drug+extraction with boling water---filtered and water is evaporated; than unwanted compounds are precipated with hot ethanol. Filtrate is distilled; saponins are precipitated by addition of saturated $Ba(OH)_2$ solution. Washed, dried and weighed → burned, weighed. Difference between two weighing gives the amount of saponins (Gravimetric assay)

SAPONINS

- **2) Saponins are hydrolised. Aglycon is separated, precipated and weighed (Gravimetric)**

- **3) Foaming Index:** The dilution level of 10 ml of saponin solution, forming 1 cm of foam which is shaken horizontally for 15 seconds and rested 15 minutes in a test tube of 16 mm diameter

SAPONINS

- 0.1% decoction is prepared
- 1,2,3---------10 ml of the decoction is put respectively to 10 tubes. Volume is completed to 10 ml in each tube.
- After foaming procedures; if there is foam in 1 cm height in 3rd tube;
- 0.1/100 ml X 3= 0.003 g drug amount
- 10 ml -----0.003 g
- Concentration= 0.003/10
- Dilution (Foaming Index)= 10/0.003 = 3333.33

SAPONINS

- **4) Hemolysis Index (HI): Hemolysis index values are generally large numbers. A choosen standard saponin's Hemolysis Index is accepted as 1 Standart UNIT ---it is called Hemolysis value. *Saponaria alba* saponin is accepted as standard.**
- **HI; is the dilution degree of saponin solution which have the ability to hemolysis all the erythrocytes in a 2 ml solution.**

SAPONINS

- **EFFECT and USAGE**

- **Antibiotic**
- **Antiexudative (Escin, Primula saponin, α-hederin)**
- ✓ **Expectorant**
- ✓ **Primula saponin---- Radix Primulae**
- ✓ **Senegin-----Radix Senegae**
- ✓ **Glycrrhizin----Radix Liquiritiae**
- ✓ **Gypsophila saponin**
- ✓ **Hederasaponin------*Hedera helix***

SAPONINS

- **Antispasmodic →** Ammonium glycyrrhirizate
- **Antiinflammatory →** Escin-------Semen Hippocastanae
- Glycrrhizin----Radix Liquiritiae
- **Diuretic →** Cyclamin, α-hederin, Escin

SAPONINS

- Starting material in the synthesis of steroidal hormones, vit.D and cardioactive glycosides
- Since they have foaming property, in the solution of saponins, surface tension is reduced
- In cosmetology they are added to shampoo, bath bubbles and toothpastes
- They are used in fire extinguishers
- In food industry, they are used to prepare foaming drinks

SAPONINS

- **Escin is the only saponin which can be used IV (used in therapy)**
- **Used for edema relief**
- **Used in Post-operative edemas**
- **Used to treat and prevent Thrombosis**
- **Digitalis saponins are important since they increase the solubility of cardiac glycosides**
- **Saponins have protecting effect on the plant, against pathogen fungi and microorganisms**

RADIX SARSAPARILLAE (TK), Sarsaparilla Root, Saparna kökü

- Obtained from *Smilax* species (Liliaceae)
- (*S. febrifuga, S. medica, S. ornata*)
- Grows in tropical forests in Central and South America (Mexico, Brazil)
- Named according to the preparations in the market;
- Mexican sarsaparilla-(EP)---*S. medica*
- (USP)---*S. aristolochiae folia*
- Jamaican sarsaparilla- ---*S. ornata*
- Honduran sarsaparilla--*S. regelii*

RADIX SARSAPARILLAE (TK), Sarsaparilla Root, Saparna kökü

- Roots are red with longitudinal grooved
- Highly thickened and lignified hypoderma cells, endoderma thickened in the shape of a horse shoe and raphide clusters
- In the powdered drug; raphides and starch are abundant along with schlerenchymatic tissue. Though resembles R. Ipecacuanhae with these properties, it lacks cork tissue and is differentiated with the help of this difference.

RADIX SARSAPARILLAE (TK), Saparna kökü

- Contains 2-4% steroidal saponins
- *S. medica*---Sarsaponoside (Sarsapogenin+2gl+rh) (normal isomer)
- *S. aristolochiae folia*---Perilloside (normal)
- *S. ornata*-----Smilasaponoside (Smilasapogenin+2gl+rh) (iso isomer)
- Sarsaparilloside----Bisdesmosidic saponin

RADIX SARSAPARILLAE (TK), Sarsaparilla Root

- Antisyphilitic
- Antirheumatic
- Diuretic, sudorific (leads to sweating)
- In skin diseases
- Depurative
- Jamaican sarsaparilla (*S. ornata*)---used against leprosy

RADIX SENEGAE (TK), Poligala kökü Senega root

- **Roots and rhizomes (underground parts) of *Polygala senega* (Polygalaceae)**
- **Grows in North America – in Canada and Texas**
- **With lanceolate leaves, white flowers, perennial, a plant that can grow up to 20-30 cm.**
- **Imported from Canada**
- **Drug consists of a small rhizome and the main root that is the extension of it.**

RADIX SENEGAE (TK), Poligala kökü Senega root

- **5% oil**
- **10% saponins mixture (triterpenic) (Presenegenin+Senegenin)**
- **Senegoside---- Senegenin+gl+arabinose+methyl pentose**
- **Polygalitol ----is a poliol and is the substance that gives the drug sweet taste.**

RADIX SENEGAE (TK), Poligala kökü Senega root

- **EFFECT-USAGE**

- **Expectorant: Can be used in children in chronic bronchitis without concern.**
- **Saponins reduces the surface tension of secretions. Thus they are released from the mucous membranes.**
- **In addition, it reduces the viscosity of densified bronchial secretion.**
- **Crude drug with a single dose of 1g --- is equivalent to 5% decoction of 20 g or 2.5 g tincture (1:5).**
- **Daily dose should not exceed 3 g since it leads to gastrointestinal disorders (like vomiting, diarrhea)**

RADIX SENEGAE (TK), Poligala kökü
Senega root

- **Diuretic**
- **Can also be used as a laxative.**
- **11 *Polygala* species grow in our country. The most common species in Anatolia are *Polygala amara* and *Polygala pruinosa*.**

DIOSGENOL

- First obtained from a species from Dioscoreaceae
- *D. composita*
- *Allium fuscoviolaceum*
- *Trigonella foenum graecum* seeds --1-2%
- *Dioscorea sp*.---- tubers
- *Allium sp*.----aerial parts, bulbs
- Sapogenol with steroidal structure

DIOSGENOL

- **Extracted with EtOH**
- **Acidic hydrolysis is applied**
- **Precipitated sapogenin is extracted with the solvent.**
- **Used in the semi-synthesis of steroidal hormones.**
- **Diosgenol acetate----16-dehydropregnenol acetate is obtained.**

HECOGENIN

- Obtained from *Agave* (Amaryllidaceae) and *Yucca* (Liliaceae) species.
- *Agave promontorii*
- *A. cerulata* is rich in saponins.
- Origin: North America
- *A. americana* is found in our country as an ornamental plant.
- *Agave* leaves are used.
- *Agave sisalana* (0.2%)
- Sapogenin is obtained from *A. fourcroydes*

HECOGENIN

- Leaves are separated from its fibers.
- Juice is obtained, then fermented.
- At the end of fermentation, hecogenin precipitated (along with other substances)
- This mass contains 5-10% sapogenins.
- The precipitate is hydrolyzed with acid.
- Extracted with solvents like heptane or benzene.
- Majority of this obtained sapogenin mixture consists of hecogenin.

HECOGENIN

- This compound is a sterol that contains a ketone moiety in the 12th carbon
- This name is given since it is obtained from *Hechtia texensis* (Bromeliaceae) for the first time.
- Starting material in the synthesis of steroidal hormones.