Pentacyclic Triterpenoid Saponins

- Pentacyclic triterpenoid saponins are rare in monocotyledons
- Pentacyclic triterpenoid saponins are abundant in many dicotyledonous families (particularly in the families Caryophyllaceae, Sapindaceae, Polygalaceae and Sapotaceae).
- Pentacyclic triterpenoid Saponins consist of Aglycon Part (Sapogenin) attached to a chain of sugar or uronic acid units or both, often in the 3-position.

Triterpenoid Saponins can be classified after Sapogenin part in three types:

- $\alpha$-amyrin
- $\beta$-amyrin
- Lupeol

![Chemical structures of $\alpha$-amyrin, $\beta$-amyrin, and Lupeol](image)

- Name; Saop Bark, Panama Wood, Quillaia (from the Chilean word quillean, to wash)
- Latin Name; Quillaja saponaria,
- Family: Rosaceae
- Used Parts: The barks

- Flat strips (1m long X 20 cm broad X 3-10 mm thick).
- Cork is less or completely removed.
- Outer surface has few reddish or blackish-brown patches.
- Inner surface is yellowish-white and fairly smooth.

- Large crystals of calcium oxalate may be seen with naked eye.
- Powdered drug produce an abundant froth when shaken with water.
- Taste is acrid and astringent
• About 10 % Saponins, which on hydrolysis yield the principal sapogenin quillic acid and gypsogenin together with sugars, uronic acids and acyl moieties.
• BP ethanol (45%) soluble extractive not less than 22.0%.
• Sugars
• Starch and calcium oxalate.

1. Emulsifying agent

• Name: Liquorice, Licorice root; Glycyrrhiza, Glycyrrhiza glabra L.
• Family: Leguminosae, Paplionaceae
• Used Parts: dried unpeeled roots and stolons.

• Liquorice occur in straight pieces (14-20 cm length, 5-20 mm diameter).
• Unpeeled one has dark, reddish-brown cork.
• Peeled one has a yellow, fibrous exterior.
• Fracture is fibrous
• Odour is faint and characteristic
• Taste is sweet and free from bitterness.

2. Salt of Saponin called glycyrrhizin, which give sweet taste to licorice.
Glycyrrhizin is potassium and calcium salts of glycyrrhizinic acid.
Glycyrrhizinic acid is the diglucoronic acid of glycyrrhetic (glycyrrhetinic) which has a triterpenoid structure.

1. Flavonoids (gives yellow colour to the drug) liquiritin and isoliquertin liquorice (chalcone), which have aldose-reductase inhibition effect and may prevent diabetic complications.
2. Polysaccharides have activity on reticuloendothelial system and on immune system.
3. 5-15% sugars
- Flavouring agent
- Demulcent
- Mild expectorant
- Treatment of rheumatoid arthritis
- Treatment of Addison disease and various inflammatory conditions.
- Flavonoids have antimicrobial properties and possess spasmolytic and anti ulcerogenic activity.
- Liquiritin cream for the treatment of skin stains.
- Liquorice relief peptic ulcer pain.
• Glycyrrhizin gel can act as vehicle for various drugs used topically (enhance skin penetration by the drug.
• Liquorice is used in the manufacturing tobacco.
• Liquorice is used as common drinks
• Liquorice is added to the cough syrup.

• Name: Senega
• Latin Name: Polygala senega
• Family: Polygalaceae
• Used Parts: After BP and EP dried root crown and the root.
• Origin: N. America

• Polygala is a herb some 20-30 cm tall
• Senega occurs in pieces 5-10 cm long and 2-12 mm in diameter.
• The lower part is yellowish but the crown is somewhat darker.
• The latter is knotty and bears numerous often purplish buds and the remains of aerial stems (not exceed 2%).

• 6-12 % triterpenoid saponins
• Crude saponin mixture called senegin (presneginin is the aglycone)
• Oligosaccharide multi-ester (named senegoses)

• Stimulant expectorant in chronic bronchitis
• Often prescribed with other expectorant such as ipecacunha and ammonium carbonate.

• Name: Primula, cowlips, oxlip
• Latin Name: Primula veris, Primula elatior
• Family: Primulaceae
• Primula root consist after EP/BP of the dried rhizome and root of Plant Primula.
• Origin: Europe

• Herb
• The drug is grayish-brown
• Whole or cut with pieces of rhizome (5 cm long)
• 5-10 % Saponins of the oleane type
• Phenolic glycosides (primulaverin called primulaveroside).
• Primulaveroside consist of primeverose and methyl 5-methoxysalicylate, and the latter being responsible for the odour of the drug.
- Stimulant expectorant in chronic bronchitis
- Expectorant

- Name: *Aesculus hippocastanum*
- Family: Hyppocastanaceae
- Used parts: The seeds

- 20 % Saponins in the died seeds
- Aescin is the mixture of Saponins
- Acid hydrolysis of aescin gives aescigenin (sapogenin and sugars and glucuronic acid and esters)
- Flavones
- Coumarins
- Tanins
- Aescin Anti-inflammatory
- Inhibiting the activity of lysosomal enzymes
- Coumarins cause thinning of the blood, so that it is contraindicated with anticoagulants
- Tannins tone the blood vessel walls
- Flavonoids are anti inflammatory

**الصابونينات الستيروئيدية**

**STEROIDAL SAPONINS**

- Plant materials containing saponins have long been used in many parts of the world for their detergent properties (*Saponaria officinalis*, Caryophyllaceae; *Quillaja saponaria*, Rosaceae).
- Steroidal (tetracyclic triterpenoids)
- Pentacyclic triterpenoids
- Both have glycosidal linkage at C-3 and have a common biogenetic via mevalonic acid and isoprenoid units.
- Steroidal alkaloids possess a heterocyclic nitrogen-containing ring.
- Saponins frothing aqueous solution.
- They have haemolytic property
- They have a high molecular weight
- They have a high polarity
- They are hydrolyzed by acids to given aglycone (sapogenin), sugars and related uronic acids.

The Steroidal saponins are:
1. Les widely distributed than pentacyclic triterpenoid type.
2. Present in many monocotyledonous families (Dioscoreaceae, Agavaceae, Smilacaceae.

3. Present also in Dicotyledones in form of Diosgenin in (Leguminosae) and in form of steroidal alkaloids saponins (Solanaceae, Scrophulariaceae).

- Because of their relationship to compounds such as sex hormones, cortisone, diuretic steroids, vitamin D and the cardiac glycosides, saponins are of great importance.
- As starting materials for synthesis of these compounds (Diosgenin, yamogenin).

Wild and cultivated plants are used.
- *Dioscorea sylvatica*, *D. mexicana* are origin in Central America
- *D. panthaica*, *D. nipponica*, are native in China
- *D. floribunda*, *D. deltoidea*, are native in Guatemala
- *D. tokoro* is native in Japan

- Used Parts: Tubers of Dioscoreas (yams).
- They are rich in starch.
- Some of them contain steroidal saponins, or alkaloids.

- Sapogenins are separated by acid hydrolysis of the saponins, Previous fermentation of the material for some 4-10 days to give a better yield.

- Average content of Diosgenin is about 1-8 %.
- Uses: In the isolation of Diosgenin, which is widely used in the manufacturing of steroidal Hormones and other steroidal active compounds.

*A. sisalana* is native in Subtropical America and cultivated in Kenya for the fiber sisal or its saponin.
- *A. rigida* is native in Mexico
- *Used Parts: Leaves*
- *Active Compounds: Steroidal Saponins.*
- *Isolation of steroids: Juice of leaves is separated, allowed to ferment about 7 days. Filtration and drying. concentrate contains about 12% hecogenin and other sapogenins.*
*Average content of the active compound in the leaves 0.01% Hecogenin*
• Diosgenin in oily embryo of seeds.
• Saponins (furostanol glycosides (trigofenosides A-G)).

Fermentation of the seeds prior to acid hydrolysis increase the yield of sapogenin (like Dioscoreae).
• Acetone extract contains Enzymes.
• The seeds are very hard
• Have specific odour
• The embryo and hilum are very clear
• The color is brown to red or brown to yellow
• Is mentioned in BP

• Is the number of milliliter of the Water, which are needs to completely swell of 1 g of the Drug.
• As a source of sapogenin
• Insulin-stimulating agent in vitro and in vivo
• Ant diabetic
• Cholesterol-lowering agent
• Anti-ulcer
• Anti-cancer.

1. Large genus produce steroidal alkaloids C27.
2. Steroidal alkaloids can be employed in partial synthesis of steroidal drugs.
   Examples of Steroidal alkaloids sapogenins ,solasodine from Solasonin.
**Name: soy, soja, (Glycine max, Family Leguminosae)**

1. **Used parts:** The Seeds
2. **Contents:** Steroidal Saponins fixed oil, Protein, phytosterols, stigmasterol, sitosterol.

Sapogenins are used for:
- Steroid synthesis,
- soap-making materials such as fixed oil (unsaponifiable matter).

- **Name:** Soya bean, *Glycine max*, Family: Leguminusae, Papilionaceae
- Pure stigmasterol with unsaturated side-chain can replace Diosgenin in steroid synthesis.
- The saturated side-chain of sitosterol must be microbiologic removed.
- Phytosterols found also in cotton-seed oil, tall – oil (wood pulp).

- **Name:** Sarsaparilla; Root of *smilax* and the rhizome (Family Liliaceae, Smilacaceae).
- Drug is odorless sweetish with an acrid taste.
- Contents; smilagenin, sarsapogenin (isomer at 25 C)

- Mexican Smilax has Bundles with Rhizomes (length about 65 m).
- Rhizomes have diameter 6-3.5 mm
- The color of the Rhizomes is gray to red or red to yellow
- The Hypodermal and Endodermal cells have Horse-shoe thickening (homogenizes).
- **Mexican Smilax:** is Native in Mexico, Guatemala, Smilax *Aristolochiaefolia*
- **Honduras Smilax is native in** Guatemala Jamaica; *S.regelii*
- **Ecuadorian, Peruvian Smilax, S. Febrifuga** is native in Central American
- The principal crystalline glycoside of *smilax aristolochiaefolia* is parillin (sarsapogenin, sarsapenoside).
- Sarsapenoside gives by acidic hydrolysis sarsapogenin and three molecules glucose and one rhamnose.
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- Sarsapenoside gives by acidic hydrolysis sarsapogenin and three molecules glucose and one rhamnose.
- Sarsaparilla is used in the treatment of:
- Syphilis
• Rheumatism
• Certain skin diseases
• Include in the BHP, where is indicated in the treatment of psoriasis and eczema and for rheumatism and rheumatoid arthritis.

Panax ginseng  Family Araliaceae, is native in China
• The most expensive ginseng is that derived from Korean root (Korean ginseng)

P. ginseng root contains
1. Saponins, Steroidal and pentacyclic triterpenoid saponins, in the form of dammarane type; ginsenosides and panaxosides). Panaxosides give on hydrolyses panaxadiol, panaxatriol and dioscin.

Polysaccharides (glycans, panaxans, quinquefolans); glucopyranose units with C-3 branching and small component of peptide. (glycans have hypoglycaemic, antiulcer and immunological effects).

1. Acetylenic compounds (C17, C14 polyacetylenic alcohols; panaxynol and panaxydol): They have anti tumor properties, (the cytotoxic activity of the C17 polyacetylenes against leukemia cells is 20 times more active than the of C14 compounds

1. Treatment of Anaemia
2. Diabetes
3. Gastritis
4. Sexual impotence
5. Improvement of stamina concentration
6. Resistance to stress and diseases

Name; *Ruscus aculeatus*, Family Liliaceae
Used Parts: rhizomes
Active compounds: Saponins from spirotanol and furostanol. 1β-hydroxydiosgenin (ruscogenin); has glucose on position C-1.

- The alcoholic extract ruscogenins has anti-inflammatory activity, reduce the capillary permeability and conjunct peripheral blood vessels
- The extract is added to the Ointments and Suppositories