16.8. SAPONIN GLYCOSIDES

Saponins are glycoside compounds often referred to as a 'natural detergent' because of their foamy texture. They get their name from the soap wort plant (Saponaria), the root of which was used historically as a soap (Latin *sapo*—soap). Foremost among this is the strong tendency to froth formation when shaken with water. The other properties are hemolytic activity, sneezing effect, toxicity, complex formation with cholesterol and antibiotic properties.

Saponins have long been known to have strong biological activity. When studying the effect that saponins have on plants, it has been discovered that saponins are the plants active immune system. They are found in many plants, they consist of a polycyclic aglycone that is either a choline steroid or tritetpenoid attached via C_3 and an ether bond to a sugar side chain. The aglycone is referred to as the sapogenin and steroid saponins are called sarsaponins. The ability of a saponin to foam is caused by the combination of the nonpolar sapogenin and the water soluble side chain.

Saponins are bitter and reduce the palatability of livestock feeds. However if they have a triterpenoid aglycone they may instead have a licorice taste as glucuronic acid replaces sugar in triterpenoids. Some saponins reduce the feed intake and growth rate of nonruminant animals while others are not very harmful. For example, the saponins found in oats and spinach increase and accelerate the body's ability to absorb calcium and silicon, thus assisting in digestion. As mentioned earlier they are composed of a steroid (C-27) or triterpenoid (C-30) saponin nucleus with one or more carbohydrate branches.

Steroid Saponins

Steroid saponins are similar to the sapogenins and related to the cardiac glycosides. They have ability to interact medically and beneficially with the cardiac glycosides, sex hormones, Vitamin D and other factors, render these phytochemicals components of great medical significance. Diosgenin is the important steroid sapogenin. Recently from these saponins steroid hormones like progesterone, cortisone etc. are obtained by partial synthesis and thus their importance has increased considerably. Some of the families with steroidal saponins are Solanaceae, Apocynaceae, Liliaceae, Leguminosae, etc.

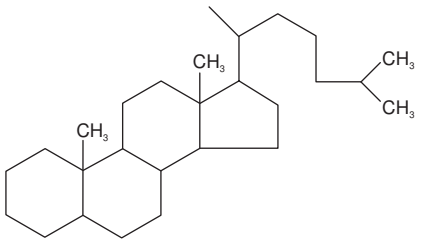
Triterpenenoid Saponins

Triterpenoid saponins, or sapogenins, are plant glycosides which lather in water and are used in detergents, or as foaming agents or emulsifiers, and have enormous medical implications due to their antifungal, antimicrobial, and adaptogenic properties. Triterpene saponins are usually β-amyrine derivatives and some are also α-amyrine and lupeol derivatives. It has a pentacyclic triterpenoid nucleus which is linked with either sugar or uronic acid. Glycyrrhizin, from licorice root, is an example of a saponin used for antiinflammatory purposes in place of cortisone.

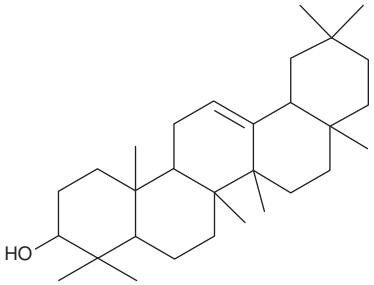
They are commonly available in dicot plants belonging to the family Rubiaceae, Compositae, Rutaceae, Umbelliferae, etc.

Saponins are rarely crystalline and generally amorphous powder with high molecular weight. They carry many asymmetric centres and are optically active. They are generally soluble in water and form colloidal solutions. These are also soluble in ethyl and methyl alcohol and are usually insoluble in organic solvents like petroleum ether, chloroform and acetone etc. They are bitter in taste and nonalkaline in nature, produce sneezing and have the property of lowering surface tension. They are hydrolysed by acids, alkalies to yield aglycone called sapogenin and one or more molecule of same or different sugars or their oxidation products. They can also be hydrolysed by enzymes, soil bacteria, and by photolysis. In mild conditions using very dilute acids (0.01–0.1 N), organic acids give rise to partially hydrolysed saponins called prosapogenin.

Saponins are extremely toxic to fishes but do not render them inedible, as saponins are not poisonous to man when taken orally. Very dilute solution of saponins hemolyses red blood corpuscles. The hemolysis take place due to the formation of complex with the cholesterol of erythrocyte membrane causing its destruction, this is a chief property of saponin, very rarely shown by any other plants product. Saponins accelerate the germination and growth of the seeds. Saponins show fungicidal, bactericidal activity, antiviral



Tetracyclic triterpenoids
(Steroidal saponins)



Pentacyclic triterpenoids

activity, antibiotic property, inflammation inhibition activity, spermicidal, antifertility, molluscicidal, etc. Saponins have been reported to possess blood purifying and abortion causing properties, anthelmintic effect, sedative property and antispasmodic effects.

Saponins find wide occurrence in plant kingdom. In a systematic study, 672 triterpenic and 125 steroidal saponins were found in 1730 species belonging to 104 families. In the whole 75% of the families showed the presence of saponins. The wide occurrence and its comparatively higher contents (0.1–30%) in plants, the saponins can be regarded as the most occurring plant materials. Saponins from the different parts of the same plants have found to possess different properties. Saponins may be distributed throughout the plant; their content is affected by variety and stage of growth. Their function in the plant is as storage in form of carbohydrate in the plant and act as immune system of the plant. Saponins have also been identified in the animal kingdom in snake venom, starfish and sea cucumber etc.

DIOSCOREA

Synonym

Yam.

Biological Source

Dioscorea is the dried rhizome of several species of *Dioscorea* like *D. villosa, D. prazeri* Prain and Burk; *D. composite; D. spiculiflora; D. deltoidea* and *D. floribunda,* belonging to family Dioscoreaceae.

Geographical Source

It is mainly found in North America, Mexico, India (Himalayas from Kashmir and Punjab up to an altitude of 3,000 m), Nepal and China.

Cultivation and Collection

It is a perennial climber growing to 3 m. The plant prefers sandy, loamy and clay soils and requires well-drained soil. The plant prefers acid, neutral and basic (alkaline) soils. It can grow in semishade or no shade. It requires moist soil. It can be cultivated in three methods, by sowing seeds or stem cuttings or by tubercles. Seeds are sown in the month of March to April in a sunny position in a warm green house and only just covered. It germinates in one to three weeks at 20°C. The seedlings are taken out as soon as they are large enough to handle and grown on in a green house for their first year. Transplanted in late spring as the plant comes into new growth. Basal stem cuttings are done in the summer. Division is done in the dormant season, never when in growth. The plant will often produce a number of shoots, the top 5–10 cm of the root below each shoot can be potted up to form a new plant whilst the lower part of the root can possibly be eaten.

Tubercles (baby tubers) are formed in the leaf axils. These are harvested in late summer and early autumn when about the size of a pea and coming away easily from the plant. They should be potted up immediately in individual pots in a greenhouse or cold frame and transplanted out in early summer when in active growth.

Characteristics

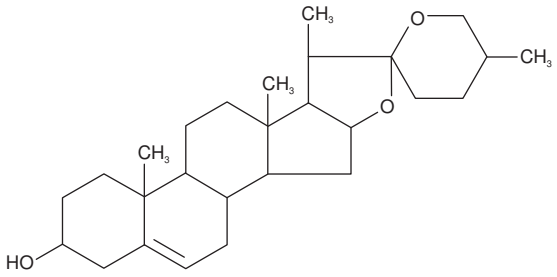
The colour of the plant is slightly brown, odourless with bitter taste and vary in size.

Microscopy

The transverse section of the drug when observed under the microscope shows the absence of epidermis, the cork is made up of few layers and next to cork it has corical parenchymatous tissue with thin wall. The major part of the drug is occupied by stele and consists of collateral type of fibrovascular bundles. The drug has indistinguishable endodermis and pericycle.

Chemical Constituents

The roots contain diosgenin (4–6%) a steroidal sapogenin and its glycoside smilagenin, epismilagenin and beta isomer yammogenin. It also contains sapogenase (enzyme), phenolic compounds and starch (75%).



Diosgenin

Uses

It is a main source of diosgenin. This is widely used in modern medicine in order to manufacture progesterone and other steroid drugs. These are used as contraceptives and in the treatment of various disorders of the genitary organs as well as in a host of other diseases such as asthma and arthritis.

Marketed Products

It is one of the ingredients of the preparations known as Explode (Herbotech Pharmaceuticals).

LIQUORICE

Synonyms

Radix Glycyrrhizae, Sweet liquorice.

Biological Source

Liquorice consists of subterranean peeled and unpeeled stolons, roots and subterranean stems of *Glycyrrhiza glabra* Linn, and other species of *Glycytrhiza*, belonging to family Leguminosae.

Geographical Source

It is mainly found in China, Europe, India, Iraq, Japan, Kurdistan, Spain, Turkey, and the United States.

Cultivation and Collection

Liquorice is often cultivated for its edible root which is widely used in medicine and as flavouring. The plant requires a deep well cultivated fertile moisture-retentive soil for good root production. Prefers a sandy soil with abundant moisture and does not flourish in clay. Slightly alkaline conditions produce the best plants. The plant thrives in a maritime climate. It is propagated using seeds and roots. The seeds are presoaked for 24 h in warm water and then sown in spring or autumn in a greenhouse. The seedlings are individually potted when they are large enough to handle, and grown them for their first winter in a green house. They are transplanted in late spring or early summer when in active growth. Plants are rather slow to grow from seed. The plant parts are procured from old plantations, being waste from the harvesting process, consisting of those side roots or runners which have eyes or buds, cut into sections about 6 inches long. They are dibbled in rows 3 or 4 feet apart, about 4 inches underneath the surface and about 18 inches apart in the rows. In the autumn, the ground is dressed with farmyard manure, about 40 tons to the acre. Plants are slow to settle in and do not produce much growth in their first two years after being moved. The young growth is also very susceptible to damage by slugs and so the plant will require some protection for its first few years. This species has a symbiotic relationship with certain soil bacteria; these bacteria form nodules on the roots and fix atmospheric nitrogen. Some of this nitrogen is utilized by the growing plant but some can also be used by other plants growing nearby.

Harvesting generally occurs in the autumn of the fourth year. The soil is carefully removed from the space between the rows to a depth of 2 or 3 feet as required, thus exposing the roots and rhizomes at the side, the whole being then removed bodily. The earth from the next space is then removed and thrown into the trench thus formed and these operations are repeated continuously. Every portion of the subterranean part of the plant is carefully saved; the drug consists of both runners and roots, the former constituting the major part. The roots are properly washed, trimmed and sorted, and either sold in their entire state or cut into shorter lengths and dried, in the latter case the cortical layer being sometimes removed by scraping. The older or 'hard' runners are sorted out and sold separately; the young, called 'soft,' are reserved for propagation.

Characteristics

Liquorice root is in long, straight, nearly cylindrical, unpeeled pieces, several feet in length, varying in thickness from 1/4 inch to about 1 inch, longitudinally wrinkled, externally greyish brown to dark brown, warty; internally tawny yellow; pliable, tough; texture coarsely fibrous; bark rather thick; wood porous, but dense, in narrow wedges; taste sweet, very slightly acrid. The underground stem which is often present has a similar appearance, but contains thin pith. When peeled, the pieces of root (including runners) are shorter, a pale yellow, slightly fibrous externally, and exhibit no trace of the small dark buds seen on the unpeeled runners here and there. Otherwise it resembles the unpeeled.

Fig. 16.14 Root and twig of *Glycyrrhiza glabra*

Microscopy

Cork consists of several rows of radially arranged thin walled tubular cells. Phelloderm is composed of parenchymatous and sometimes collenchymatous cells. Starch grains and calcium oxalate crystals are seen in phelloderm. Pericyclic fibres are found in groups. Phloem consists of sieve tissue alternating with thick walled, lignified fibres surrounded by a sheath of parenchymatous cells containing prisms of calcium oxalate. Xylem vessels and xylem parenchyma are present. Medullary rays are radially elongated. Pith is present in rhizomes and absent in root.

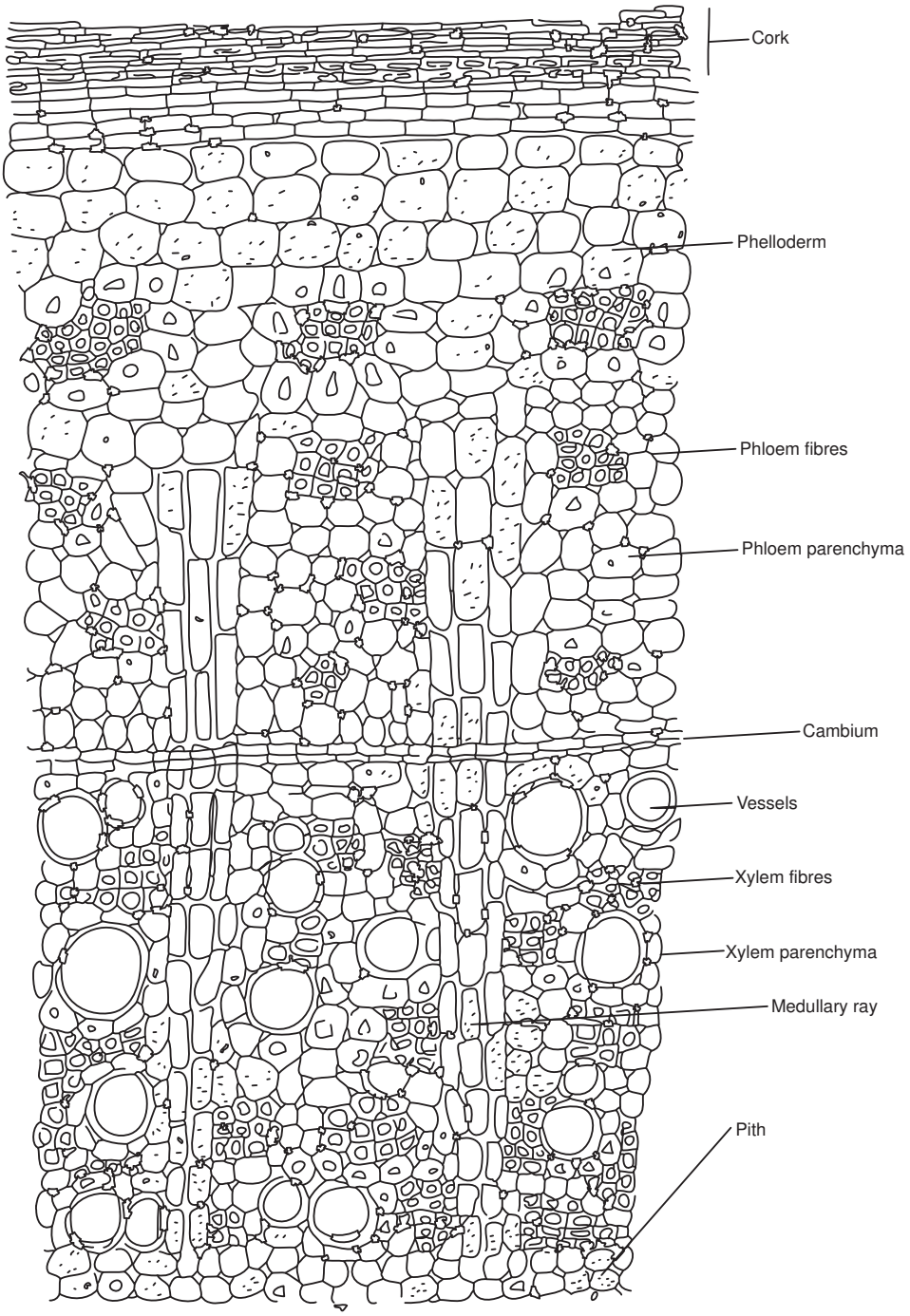
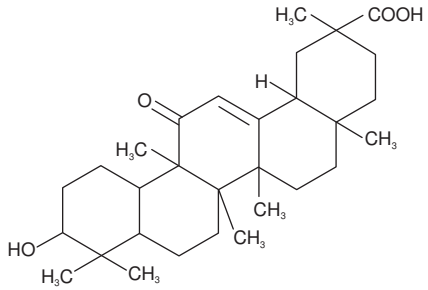


Fig. 16.15 Transverse section of Liquorice stolon

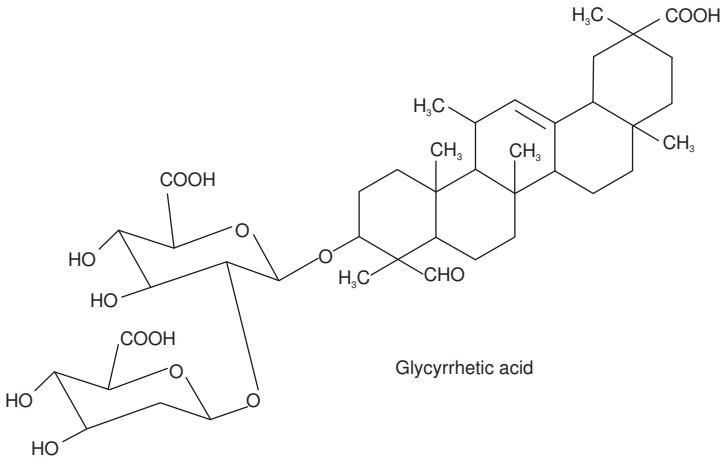
Chemical Constituents

The chief constituent of liquorice root is Glycyrrhizin (6–8%), obtainable in the form of a sweet, which is 50 times sweeter than sucrose, white crystalline powder, consisting of the calcium and potassium salts of glycynhizic acid. Glycyrrhizic acid on hydrolysis yields glycyrrhetic or glycyrrhetinic acid.

Glycyrrhizinic acid is a triterpenoid saponin having α-amyrine structure. It shows especially in alkaline solution frothing but it has very weak haemolytic property. The yellow colour of the drug is due to chalcone glycoside isoliquiritin. The drug also contains sugar, starch (29%), gum, protein, fat (0.8%), resin, asparagin (2–4%), a trace of tannin in the outer bark of the root, yellow colouring matter, and 0.03% of volatile oil.



Glycyrrhetinic acid



Glycyrrhetic acid

Chemical Test

1. When 80% sulphuric acid is added to a section or powder of the drug orange yellow colour is produced due to transformation of flavone glycoside liquiritin to chalcone glycoside isoliquiritin.

Uses

Glycyrrhiza is widely used as a sweetening agent and in bronchial problems such as catarrah, bronchitis, cold, flu and coughs. It reduces irritation of the throat and yet has an expectorant action. It produces its demulcent and expectorant effects. It is used in relieving stress. It is a potent healing agent for tuberculosis, where its effects have been compared to hydrocortisone. Glycyrrhiza is also effective in helping to reduce fevers (glycyrretinic acid has an effect like aspirin), and it may have an antibacterial action as well. It is used in the treatment of chronic inflammations such as arthritis and rheumatic diseases, chronic skin conditions, and autoimmune diseases in general. It should be used in moderation and should not be prescribed for pregnant women or people with high blood pressure, kidney disease or taking digoxin-based medication. Prolonged usage raises the blood pressure and causes water retention. Externally, the root is used in the treatment of herpes, eczema and shingles.

Marketed Products

It is one of the ingredients of the preparations known as Herbolex, Koflet, Regurin (Himalaya Drug Company), Jeevani malt (Chirayu Pharma), Eladi Bati, Madhumehari (Baidyanath), J.P. Nikhar oil, J.P. Kasantak (Jamuna Pharma), Respinova (Lupin Herbal Laboratory) and Yasti madhu (Zandu Pharmaceuticals Works Ltd.).

SHATAVARI

Synonym

Asparagus.

Biological source

The drug is derived from dried tuberous roots of *Asparagus racemosus* Willd., belonging to family Liliaceae.

Geographical Source

The plant is a climber growing to 1–2 m in length found all over India.

Characteristics

The leaves are like pine-needles, small and uniform. The inflorescence has tiny white flowers, in small spikes. The roots are finger-like and clustered. The roots are cylindrical, fleshy raberous, straight or slightly curved, tapering towards the base and swollen in the middle; white to colour, 5–15 cm in length and 1–2 cm diameter, irregular fracture, longitudinal furrows and minute transverse wrinkles on upper surface and is bitter in taste.

Fig. 16.16 *Asparagus racemosus*