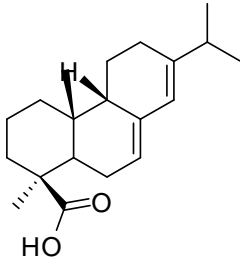
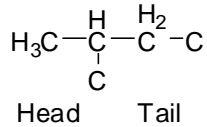


terpenoids

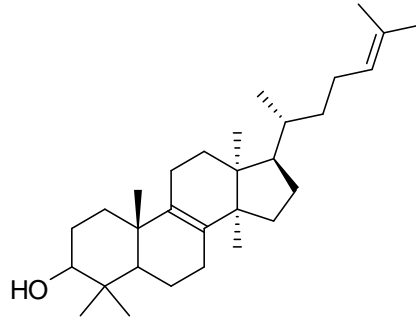
In general, **terpenoids**, may be defined as natural products whose structures are considered to be divided into several isoprene units; therefore, these compounds are invariably termed as **isoprenoids**. Besides, this particular group of compounds is sometimes collectively referred to as the **terpenes** in relatively older texts. Logically, the *-oid* suffix seems to be more acceptable and convincing, as it is in the same vein for steroids, alkaloids, flavonoids, etc., However, the *-ene* suffix must be solely confined to the unsaturated hydrocarbon belonging to this specific class of compounds. It has now been established experimentally that the **isoprene units** come into being through the biogenetic means starting from acetate *via* mevalonic acid. Each such unit essentially consists of five-carbons having two unsaturated bonds and possesses a branched chain. The **terpenoids** usually have a number of such **isoprene units** joined together *in a head to tail manner*, as exemplified below:

Terpenoids are broadly classified on the basis of the number of **isoprene units** incorporated into a **specific unsaturated hydrocarbon terpenoid molecule**, as

- (a) **Monoterpenoids**: These are built up of *two* isoprene units and have the **molecular formula $C_{10}H_{16}$** ;
- (b) **Sesquiterpenoids**: These are composed of *three* isoprene units and have the **molecular formula $C_{15}H_{24}$** ;
- (c) **Diterpenoids**: These are comprised of *four* isoprene units and have the **molecular formula $C_{20}H_{32}$** ;
- (d) **Triterpenoids**: These contain *six* isoprene units and have the **molecular formula $C_{30}H_{48}$** ; and
- (e) **Tetraterpenoids** These are made up of *eight* isoprene units and have the **molecular formula (Carotenoids): formula $C_{40}H_{64}$**



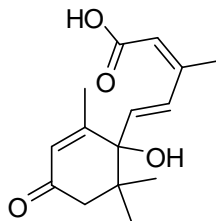
abietic acid diterpene



lanosterol triterpenoid

Sesquiterpenoid

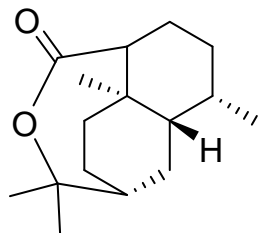
Consist of 3 isoprene units, could be mono, di or tri cyclic compounds, example abscisic acid.



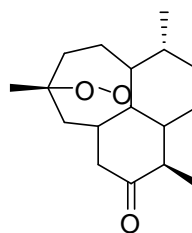
abscisic acid
monocyclic sesquiterpenoid

Sesquiterpenoid Lactones Interestingly, another class of compounds essentially bearing such characteristic features as a **methylene, lactone system**; **β -unsaturated carbonyls**, and **epoxides** and obviously chemically distinct from the **sesquiterpenoids** are collectively termed as **sesquiterpenoid lactones**. The specific and vital **biological nucleophilicities** *e.g.*; thiol and amino moieties present in the enzymes, help in the augmentation of faster and reactive approach to receptor

sites by these **sesquiterpenoid lactones**. Thus, the overall effect is evidenced by marked and pronounced biological activities, for instance: modified antimicrobial activity, enhanced antitumour properties.



Eudesmanolide



Artemisinin

Artemisinin

Biological Source It is obtained from the leaves and the closed, unexpanded flower heads of *Artemisia annua* Linn., belonging to family *Asteraceae*. This particular herb has been used in the Chinese system of medicine exclusively for the treatment of malaria since more than one thousand years.

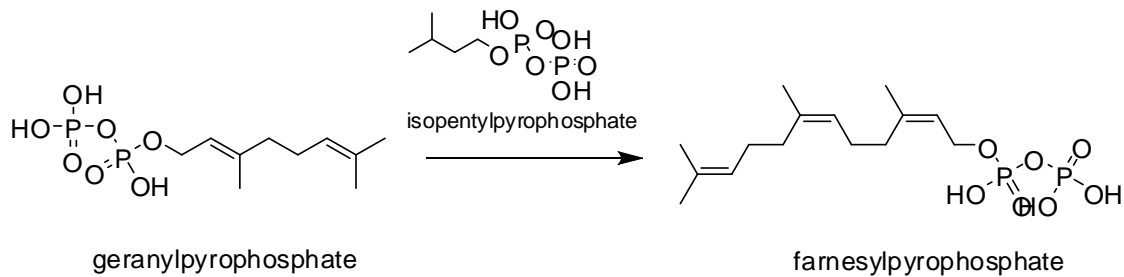
Uses

1. The drug and its derivatives are used as fast acting blood schizontocides in the control and management of malarial fever caused by *plasmodium vivax* strain.
2. These drugs are found to be active against both chloroquine resistant and chloroquine sensitive strains of *Plasmodium falciparum*.
3. These drugs are found to show extremely encouraging therapeutic effects specifically in the treatment of *Cerebral malaria*.

Matricarin from chamomile also sesqueterpenoid compound.

Biosynthesis of sesquiterpene

The starting unit of these compounds is farnesylpyrophosphate (FPP) which is produced by the reaction of geranyl pyrophosphate (GPP, the monoterpene precursor) with isopentylpyrophosphate (IPP)

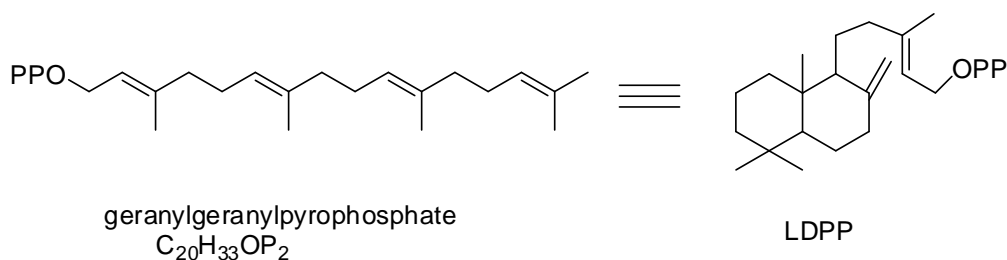
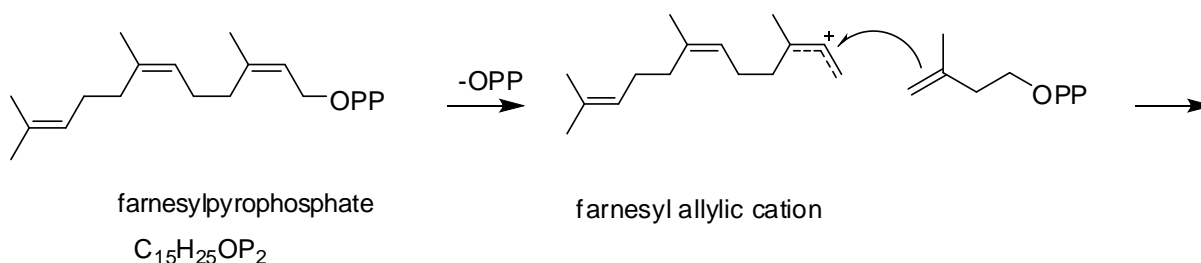


Biosynthesis of sesquiterpene

Diterpenoids

Generally, **diterpenoid** represent a broad class of non-volatile C₂₀ compounds that have been essentially obtained from **geranyl pyrophosphate**.

Biosynthesis of diterpenoid



Biosynthesis of diterpenoid

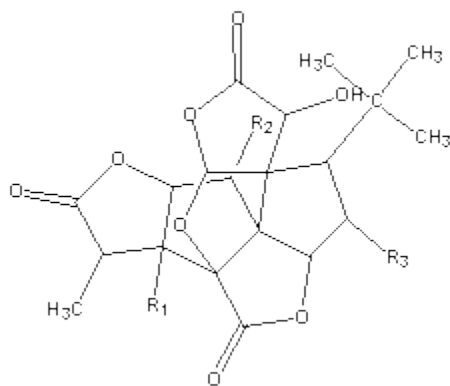
Ginkgolide-B

Biological Source It is obtained from the root bark and leaves of *Ginkgo biloba* L., belonging to family *Ginkgoaceae*.

Geographical Source It is cultivated in the south eastern United States. The priests in China and Japan have confined this specimen to their temple grounds. It

is a dioecious tree attaining a maximum height of 30 meters and has been cited in literatures as a living fossil that still survived unchanged in the region of eastern Asia since 200 million years.

Chemical Structure Ginkgolide-B is the most active member of the family significant therapeutic efficacy in the treatment of severe sepsis.



Uses

1. The standardized dehydrated acetone–water extract of the dried leaves equivalent to 6% **terpenoids** and 24% **flavone glycosides** is sold commercially in Europe as an approved drug to enhance blood fluidity and circulation
2. In USA it is sold as food supplement

Taxol

Alkaloidal terpene

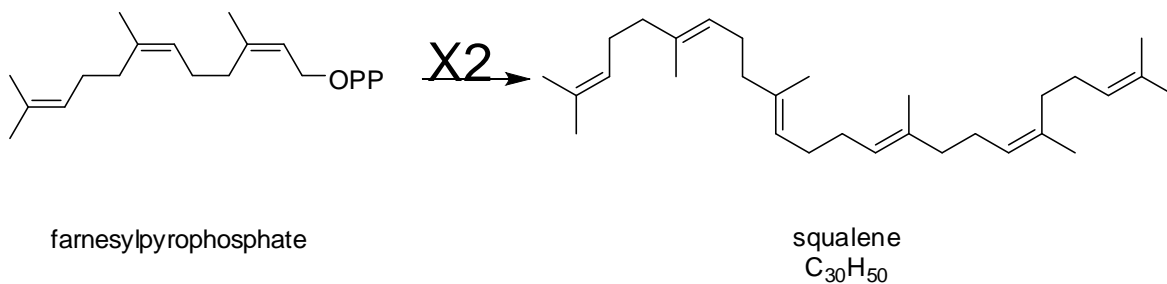
Uses

1. **Taxol** is primarily employed in the treatment and management of *metastatic carcinoma of the ovarian glands* after the failure of follow-up chemotherapy.
2. It is also used in the treatment of breast cancer usually after the observed failure of combination chemotherapy for metastatic disease.

3. Because of its hydrophobic nature the injectable concentrate of taxol formulation meant for intravenous infusion is normally solubilized duly in polyoxyethylated castor oil. However, before injection it should be appropriately diluted in normal saline or dextrose solution.

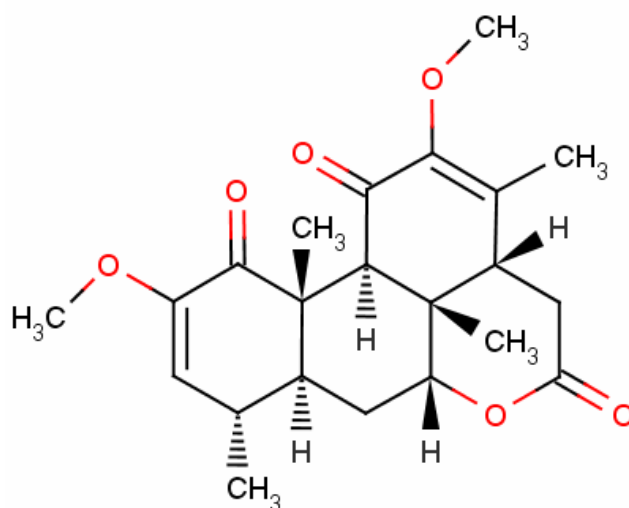
Triterpenoids

Triterpenoids, generally are obtained by biogenesis from six isoprene units, They are found to share commonly the acyclic precursor **squalene** (C₃₀). Based on the various possible modes, whereby ring closure in squalene takes place may ultimately give rise to a large number of triterpenoids having a variety of skeleton structures. In actual practice, more than 4000 naturally occurring triterpenoids have been isolated and identified, and over 40 varying skeleton types have been established. The **triterpenoids** may be categorized into two major groups, namely: the tetracyclic and the pentacyclic compounds: the former ones of the steroidal types with C-27 carbon atoms present in the skeleton while the latter are of the triterpenoid types with C-30 carbon atoms



Biosynthesis of triterpene

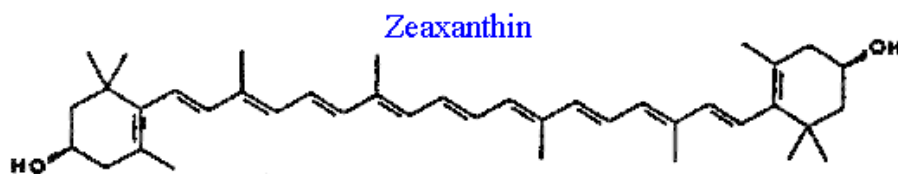
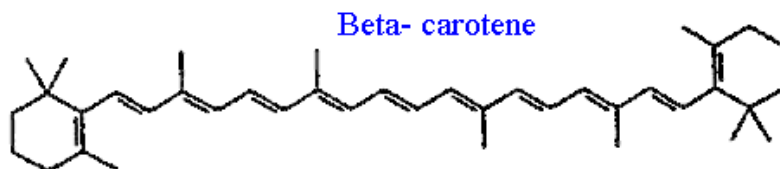
Note: look in glycoside chapter for tricyclic and steroidal terpenoid



Quassin

Tetraterpenoid

In nature yellow, orange, red and purple colours are mostly by virtue of the presence of **carotenoids**. They essentially consist of an important group of C₄₀ **tetraterpenoids**. Invariably, there are two specific regions in a living plant wherein the **biogenesis of carotenoids** usually occurs, namely: chloroplasts and chromatophores of bacteria and fungi.



Carotenoids are C₄₀ isoprenoids which consist of eight isoprene units and can be divided in two major groups: carotene and xanthophylls. Carotenes are linear or cyclized hydrocarbons such as lycopene, α-carotene and β-carotene. Xanthophylls are oxygenated derivatives (epoxy, keto or hydroxyl groups) of carotenes; for example: lutein, zeaxanthin

An important branch-point in the biosynthesis of carotenoids lies in the cyclization of the linear pink *all-trans*-lycopene into carotenes

