Terpenes/Terpenoids

- Large structurally diverse family of natural products >35,000
- Formed from **C_5 Isoprene** units joined together

  The fundamental building block for terpenes
- **Joining of C5 units through Head to tail** or **Tail to tail** fashion
- Classification is based on the **number of isoprene units** forming the carbon skeletons
- Stereoisomers, optical isomers
- Each member of a terpenoid subgroup is derived from a single parent compound(i.e. Monoterpenes from geranyl-PP)

Classification of Terpenoids

- Most natural terpenoid hydrocarbon have the general formula $(C_5H_8)_n$. They can be classified on the basis of value of n or number of carbon atoms present in the structure.

S.No.	Number of carbon atoms	Value of n	Class
1.	10	2	Monoterpenoids($C_{10}H_{16}$)
2.	15	3	Sesquiterpenoinds($C_{15}H_{24}$)
3.	20	4	Diterpenoids($C_{20}H_{32}$)
4.	25	5	Sesterpenoids($C_{25}H_{40}$)
5.	30	6	Triterpenoids($C_{30}H_{48}$)
6.	40	8	Tetraterpenoids($C_{40}H_{64}$)
7.	>40	>8	Polyterpenoids(C_5H_8)n

- Each class can be further subdivided into subclasses according to the number of rings present in the structure:

i) Acyclic Terpenoids: They contain open structure.

ii) Monocyclic Terpenoids: They contain one ring in the structure.

iii) Bicyclic Terpenoids: They contain two rings in the structure.

iv) Tricyclic Terpenoids: They contain three rings in the structure.

v) Tetracyclic Terpenoids: They contain four rings in the structure.

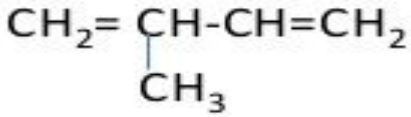
Terpenoid-Classification

SR NO.	NO. OF CARBON ATOM	VALUE n	CLASS
1	**10**	**2**	**MONOTERPENOIDS (C10H16)**
2	**15**	**3**	**SESQUITERPENOIDS (C15H24)**
3	**20**	**4**	**DITERPENOIDS (C20H32)**
4	**25**	**5**	**SESTERPENOIDS (C25H40)**
5	**30**	**6**	**TRITERPENOIDS (C30H48)**
6	**40**	**8**	**TETRATERPENOIDS (C40H64)**
7	**>40**	**>8**	**POLYTERPENOIDS (C5H8)n**

Structural Characteristics
1. Isoprene Rule

ISOPRENE RULE

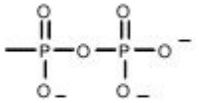
- In 1887, Wallach proposed the isoprene rule.
- "It states that the skeleton structures of all terpenoids are built up of isoprene units or 2-methyl 1,3-butadiene".



2. Special Isoprene Rule

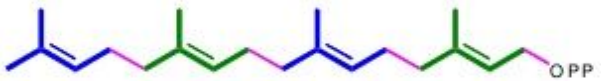
The precursor to C_{10} terpenoids (*monoterpenes*) is geraniol diphosphate, which consists of two C_5 "isoprene units" that are joined "head-to-tail"

head - tail head - tail

PP = 

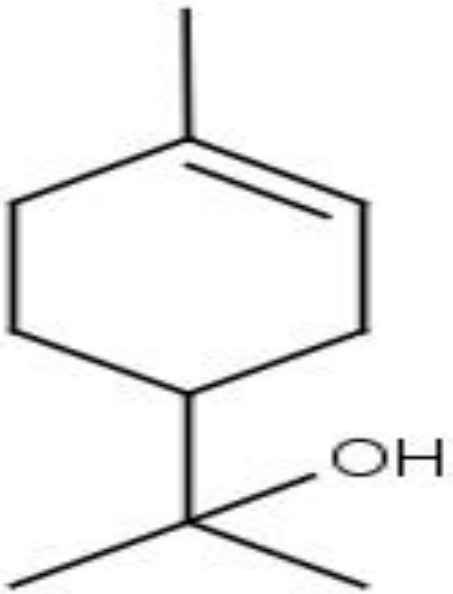
C_{15} *sesquiterpenoids* are derived from farnesyl diphosphate, which consists of three C_5 "isoprene units" that are joined "head-to-tail"

C_{20} *diterpenoids* are derived from geranylgeranyl diphosphate, which consists of four C_5 "isoprene units" that are joined "head-to-tail"



In monoterpene cryptone contain nine carbon atoms not exact multiple of five. Therefore it fails to obey the Isoprene rule

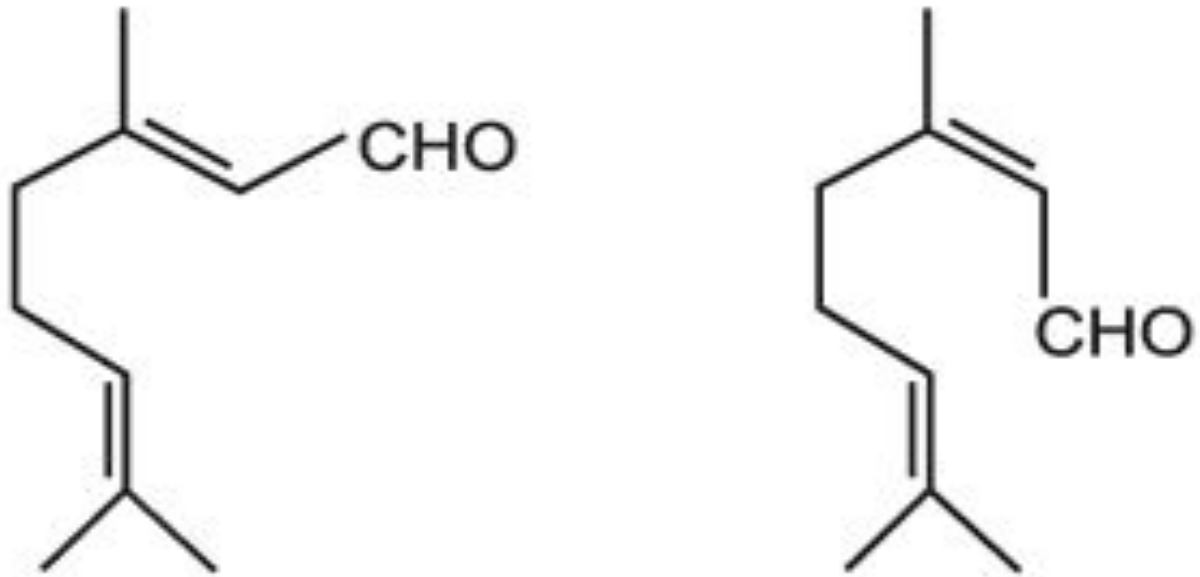
a) α – Terpinol $C_{10}H_{18}O$



b) Citral - $C_{10}H_{16}O$

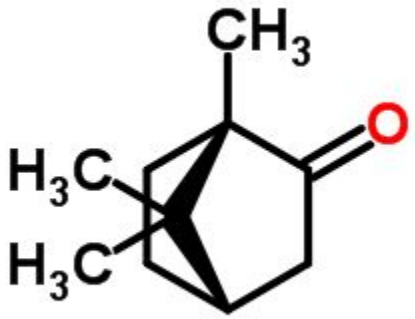
it is cyclic terpenoid. The chief constituents of lemon grass oil, by steam distillation. Used as flavouring agent in perfumes and cosmetics

Figure 1. Chemical structure of the citral.



c) Champhor - $C_{10}H_{16}O$

It is bicyclic monoterpenoids occures in camphore tree it is used as plastisiser for the production of celluloid as disinfectants and pain reliever.



D) A – pinene C_{10} H_{16}

It is bicyclic monoterpenoids occure in terpentine oil it is used as terpenes and also used in paint thiner

Alkaloids

Origin, History, Introduction

- the term "alkaloid" (alkali-like) is commonly used to designate basic heterocyclic nitrogenous compounds of plant origin that are physiologically active.
- The term alkaloid ot Pflanzenlkalien was coined by Meissner, a German pharmacist, in 1819.
- The mankind has been using alkaloid for various purposes like poisons, medicines, poultices, teas etc.
- The French chemist, Derosne in 1803, isolated Narcotine.

NICOTINE

- Nicotine is a potent parasympathomimetic alkaloid found in the nightshade family of plants (Solanaceae) and a stimulant drug .
- It is made in the roots of and accumulates in the leaves of the nightshade family of plants.

CHEMISTRY

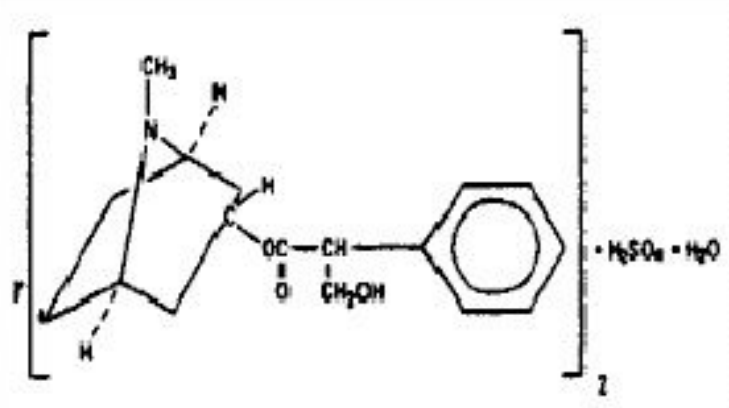
Nicotine is a hygroscopic, colorless oily liquid that is readily soluble in alcohol, ether or light petroleum. It is miscible with water in its base form.

- nicotine forms salts with acids that are usually solid and water soluble.
- Nicotine is optically active, having two enantiomeric forms. The naturally occurring form of nicotine is levorotatory (−)-nicotine. The dextrorotatory form, (+)-nicotine is physiologically less active than (−)-nicotine. (−)-nicotine is more toxic than (+)-nicotine.

Atropine

- Alkaloid of the belladonna plant.
- *Belladonna:* Beautiful Lady.
- Preparations of belladonna were known to the ancient Hindus and have been used by physicians for many centuries.
- In India, the root and leaves of the jimson weed plant were burned and the smoke inhaled to treat asthma.

Atropine



- Formed by combination of an aromatic acid, tropic acid, and a complex organic base, tropine
- Naturally occurring atropine is *l*(-)-hyoscyamine
- Commercial preparation is racemic

Classification of alkaloids is according to the nature of the hetrocyclic ring or nucleus present in the molecule

Types of the alkaloid classifications

- **By the chemical structure:**

1) derivatives of pyrrolidine (sthrahidrine, turicine)
2) derivatives of tropane (atropine, cocaine)
3) derivatives of pyperidine (lobeline, coniine)
4) derivatives of pyridine (nicotine, anabasine)
5) derivatives of pyrrolysidine (platyphylline)
6) derivatives of quinolysidine (pahicarpine, lupinine)
7) derivatives of quinoline (quinine)
8) derivatives of isoquinoline (papaverine, morphine)
9) derivatives of indol (reserpine, strychnine)
10) derivatives of purine (caffeine, theobromine, theophylline)

Importance of alkaloids

Pharmacological actions:

The alkaloids have a wide range of pharmacological actions:

	Pharmacological action	Example
1	Analgesic & narcotic	Morphine, Codeine
2	CNS stimulant	Strychnine
3	Mydriatic	Atropine
4	Miotic/Glaucoma	Pilocarpine
5	Hypertensive	Ephedrine
6	Antihypertensive	Reserpine
7	Antineoplastic/anti cancer	Vinblastine, Vincristine
8	Emetic	Emetine, Sanguinarine
9	Cardiac arrhythmia/dysrhythmia	Quinidine
10	Skeletal muscle relaxant	(+)-Tubocurarine
11	Oxitocic	Ergonovine (also known as Ergometrine) and it's derivatives

Vitamins

ABCDE...Vitamins!

VITAMINS

- Vitamins are made up of carbon, hydrogen and oxygen.
- Vitamins are called micronutrients because they are needed in only very small quantities. They all have chemicals names but they are usually referred to by letters.

MAIN FUNCTIONS

- Vitamins are essential to the body:
  - To maintain health
  - To help prevent deficiency diseases such as Beriberi (weakened muscles, heart, nerves and digestive system) and rickets (softening of the bones)
  - To regulate the repair of body cells
  - To help combat the ageing process
  - To help to process carbohydrates and release energy in the body

VITAMINS - Two main categories

Water soluble

B

C

Fat Soluble

A

D

E

K

Water soluble	Fat Soluble
• Cannot be stored in body - regular supply needed	• Can be stored in body - regular supply not needed
• Excess is excreted in urine - no danger of toxic levels	• Can accumulate to toxic levels if large amounts ingested
• Unstable to heat and light, leach into cooking liquids	• Fairly stable at normal cooking temperatures

Vitamin A – 2 forms; Retinol and Beta-Carotene

Retinol

Named because of its concern with retina of eye

Only found in animal foods

Beta-Carotene

Plant sources

Present with chlorophyll in plants, converted to Vitamin A in gut wall

Vitamin A - Retinol and Beta-Carotene

Functions

- Regulates growth
- Promotes healthy skin
- Maintenance of healthy tissues
- Helps eye adapt to dim light

The moisturising vitamin!

Sources

Retinol - Cod liver oil, Liver, Dairy products, Herrings, Egg yolk

Beta-Carotene

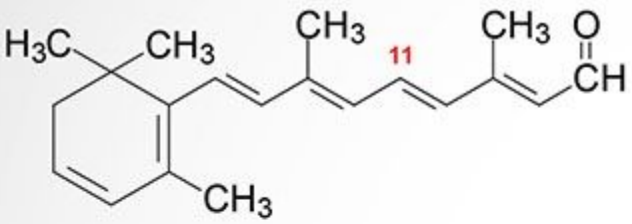
Dark green leafy vegetables, Broccoli, Carrots, Deep orange fruits and vegetables

Vitamin A - Retinol and Beta-Carotene

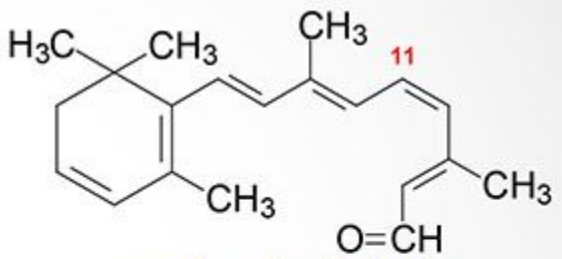
Effects of deficiency

- Retarded growth, malformed bones
- Long term-may lead to night blindness
- Susceptibility to infection
- Excess beta-carotene may lead to liver and bone damage

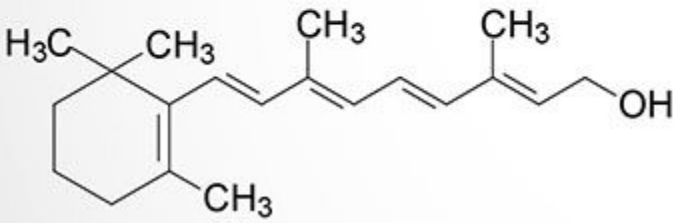
Structure of Vit A



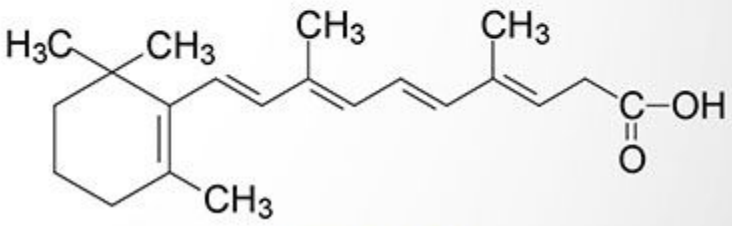
All-*trans*-retinaldehyde



11-*cis*-retinaldehyde



Retinol



Retinoic acid

Vitamin D -Calciferols

Functions

- Absorption and laying down of calcium and phosphorous in bones and teeth
- Regulates calcium balance between bones and blood
- Prevents rickets

Sources

Sunlight conversion

Fish liver oils

Dairy products

Oily fish

Margarine

Vitamin D -Calciferols

Effects of deficiency

- *Rickets in children and *osteomalacia in adults

  * Conditions where bones are soft and cannot take weight of body

- **Osteoporosis

  **Bones become light, less dense and prone to fractures

- Dental caries

Vitamin E - Tocopherol

Functions

- Protects tissues against damage
- Promotes normal growth and development
- Helps in normal red blood cell formation

Sources

Pure vegetable oils

Wheat

wholemeal bread and

Cereals

egg yolk

nuts

sunflower seeds

Vitamin E - Tocopherol

Effects of deficiency

Deficiency is very rare but it could affect the central nervous system

Vitamin K - Napthoquinone

Functions

- Needed for blood clotting, which means it helps wounds heal properly.
- There is increasing evidence that vitamin K is also needed to help build strong bones.

Sources

Green leafy veg

Vegetable oil

Cereals

Vitamin K - Napthoquinones

Effects of deficiency

Deficiency is very rare but individuals with liver damage and new born infants are at a higher risk

Vitamin B_1 - Thiamin

Functions

- Essential for release of energy from carbohydrates
- Necessary for appetite and good health
- Needed for normal functioning of nervous system

Sources

Meat
Oatmeal
Breakfast cereals
Wheat
Fortified white flour
Milk
Eggs
Vegetables

Vitamin B_1 - Thiamin

Deficiency

- Fatigue, depression, irritability
- Beri-beri - disease of nervous system

Vitamin B_2 -Riboflavin

Functions

- Metabolism of carbohydrates, proteins and fats
- Growth, repair, development of body tissues - healthy skin, eyes and tongue
- The principal growth promoting factor in the vitamin B complex

Sources

Offal

Milk

Cheese

Eggs

Yeast extracts

Green Vegetables

Vitamin B_2 -Riboflavin

Deficiency

- Loss of appetite
- Swollen tongue, cracked lips, eye infection,

Vitamin B3 -Niacin

Functions

- Metabolism of carbohydrates, proteins and fats
- Needed for normal functioning of nervous system

Sources

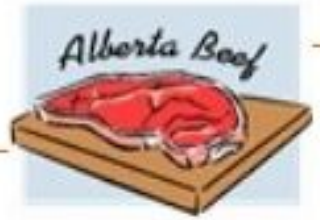
Meat, Offal

Yeast extracts

Yeast

Bran, wheat, flour

Some pulses, dried fruit



Vitamin B3 -Niacin

Deficiency

- Fatigue, depression, irritability
- Beri-beri - disease of nervous system

Vitamin B9 -Folic Acid

Functions

- Red blood cell formation
- Development of brain, spinal cord and skeleton in foetus
- Reduces risk of neural tube defects e.g. spina bifida
- May play role preventing heart attacks, strokes and cancer

Sources

- Fortified cereals
- Green leafy vegetables
- Potatoes
- bread
- Milk
- Wheat

Vitamin B9 -Folic Acid

Deficiency

- Fatigue in mild cases
- Anaemia in severe cases
- Neural tube defects

Important to take folic acid prior to conception and vital during first 3 months pregnancy

Vitamin C -Ascorbic Acid

Functions

- Critical to immune system
- Formation of connective tissue, collagen
- Helps absorption of iron
- Prevents scurvy
- Promotes healing of wounds and healthy blood vessels
- Acts as antioxidant, protects cholesterol

Sources

- Rosehips, blackcurrants,
- green peppers, kiwi, citrus
- fruits, strawberries,
- spinach, cabbage,
- broccoli

Vitamin C -Ascorbic Acid

Deficiency

- Weakening of connective tissue
- Susceptibility to infection
- Incomplete iron absorption
- Delayed healing of wounds
- Prevent scurvy - pale skin with spots, bleeding, soft gums.

Structure of Vit C