

Alkaloids

- Alkaloids are naturally occurring simple or nitrogenous compounds which are widely distributed in plants and possess physiological and pharmacological activity.
- Alkaloids means 'Alkali like' compounds
- They are secondary metabolites which help for survival of plants ie; protect the plant from herbicides and insects
- Variety of alkaloids found in plants have been isolated or extracted from bark, roots, leaves, seeds, fruit etc.
- They perform physiological function such as pain reliever, stimulates CNS, fight against infectious microorganism
- Some alkaloids are used as therapeutic agents
- Most of the alkaloids are toxic yet they have medicinal use.

Egs: Cocaine, nicotine, caffeine, morphine, atropine etc.

- ❖ **Definition:** Alkaloids are defined as biologically active basic nitrogenous compounds (generally in heterocyclic ring) obtained from natural sources, mostly optically active and possess physiological and pharmacological activity.

General characteristics of alkaloids:

- ❖ Alkaloids are colourless, crystalline solids and non-volatile in nature. Some are coloured, liquid and volatile Eg; Nicotine
- ❖ They are poorly or insoluble in water but readily soluble in organic solvent and optically active (laevorotatory)
- ❖ They are basic in nature so form salts with inorganic & organic acids
- ❖ Most of alkaloids are bitter & highly poisonous
- ❖ Have intense physiological action
- ❖ In regulated amount they serve as medicines but in large quantity act as poison.

Classification of alkaloids based on heterocyclic ring / chemical composition:

- Pyridine alkaloid Eg; Nicotine
- Piperidine alkaloid Eg; Piperine
- Pyridine – Piperidine alkaloid Eg; Anabasine
- Indole alkaloid Eg; LSD
- Isoquinoline alkaloid Eg; Morphine
- Purine alkaloid Eg; Caffeine, Theobromine
- Quinoline alkaloid Eg; quinine

- Imadazole alkaloid Eg; Histamine
- Pyrrolidine alkaloid Eg; Hygrine
- Tropane alkaloid Eg; Atropine, Cocaine

Classification according to biosynthesis from amino acids:

- **True alkaloids** – They are synthesized from amino acids having heterocyclic ring containing nitrogen. Eg; Atropine, quinine, reserpine etc.
- **Proto alkaloids** - They are synthesized from amino acids but does not contain heterocyclic ring containing nitrogen. Eg; Ephedrine
- **Pseudo alkaloids** – They contain heterocyclic containing nitrogen but not synthesized from amino acids. Eg; Caffeine

LSD (Lysergic acid diethylamide):

- LSD contains indole heterocyclic ring nucleus in its structure
- It was invented in 1938 by the Swiss chemist, Albert Hoffman, who was interested in developing medicines from compounds in ergot, a fungus that attacks rye.
- First isolated from the fungus claviceps purpurea

Physiological action of LSD:

- ❖ LSD is used in the treatment of pain and migraine headache
- ❖ Induction of uterine contraction during child birth
- ❖ As hallucinogenic drug. It produces visual and auditory hallucinations.
- ❖ To relieve anxiety and pain in terminal cancer patient.

However therapeutic uses of LSD was abandoned for political reasons in the mid-1970s.

Physical effects of LSD:

- ❖ LSD increases temperature, Blood pressure and heart rate.
- ❖ It dilates the pupils, causes dryness of mouth, nausea and profuse sweating
- ❖ It also causes muscle numbness, weakness of muscles and tremors.

Morphine

- ❖ Morphine contains isoquinoline as heterocyclic ring.
- ❖ Found in seeds of opium poppy.
- ❖ Morphine was discovered by Freidrich Wilhelm Adam Serturner in 1805.

Physiological importance:

- ❖ Used as analgesic and pain reliever.
- ❖ In treatment of pulmonary edema.
- ❖ Used as hypnotic agent ie; causes sleepiness and depression.
- ❖ Beneficial in reducing symptoms of shortness of breaths
- ❖ As sedative drugs during post-operative period. Prolong intake leads to addiction.

Reserpine

- ❖ It is indole alkaloid
- ❖ First isolated in 1952 from dried roots of Rauwolfia serpentina (Sarpaganda)
- ❖ Biosynthetically reserpine is synthesized from tryptophan.

Biological importance of Reserpine:

- ❖ It is antipsychotic & antihypertensive drug.
- ❖ Used for the control of high blood pressure, for relief of psychotic symptoms.
- ❖ Used in the treatment of asthma, headache & in dermatological disorders.

Piperine

- ❖ Piperine is the alkaloid responsible for the **pungency of black pepper and long pepper**
- ❖ Piperine occurs in the ripe and unripe fruit of Piper nigrum.
- ❖ Piperine was discovered in 1819 by Hans Christian Orsted who isolated it from piper nigrum

Biological importance of Piperine:

- ❖ Piperine improves digestion, cures ulceration
- ❖ It increases the absorption of selenium, vitamin B6 and β -carotene as well as other nutrients.
- ❖ It helps in the cure of asthma complication, thus used in traditional medicines
- ❖ Used as insecticide
- ❖ It has high thermogenic activity as it increases the body's metabolic rate. Thus believed to help in weight loss activity.
- ❖ It has anti-cancer (fight against colon cancer), anti-inflammatory properties
- ❖ It also has anti-thyroid, antipyretic, and analgesic activities.
- ❖ It prevents and minimizes diarrhoea produced by various oils.

Cocaine

- Cocaine is an alkaloid obtained from coca leaves.
- It is tropane alkaloid.

Biological importance of Cocaine:

- Cocaine stimulates the central nervous system
- Used as topical anesthesia (Local anesthesia) in eye surgery and dentistry.
- It increases alertness, feeling of well being & euphoria (happy feeling), feelings of competence & sexuality.
- Used as recreational drug.

Side effects:

- Continuous usage causes itching, hallucinations, increased body temp.
- Chronic effects damage brain cell function, causes strokes, imbalances neurotransmitter concentration.

Theobromine

- Also known as Xanthine

- It is a purine alkaloid
- Bitter alkaloid found in cocoa plant, chocolates, leaves of tea, coffee seeds etc.
- Its name derived from Theobroma, the name of genus of cocoa tree.

Biological importance of Theobromine:

- Used as vasodilator, hence used in treatment of high BP.
- Used as diuretic.
- Used as heart stimulant
- Used as remedy for dropsy (a condition in which water gets collected in the body in the body tissues, skin and cavities).
- Used in the treatment of edema & other circulatory problems like atherosclerosis, hypertension & other vascular diseases.

Caffeine

- ❖ Caffeine is a purine alkaloid
- ❖ Found in seeds of coffee plants, tea leaves, fruit of guarana berries etc;
- ❖ In 1819, the German chemist Friedlieb Ferdinand Runge isolated relatively pure caffeine for the first time; he called it "Kaffeebase" (i.e. a base that exists in coffee)
- ❖ In 1827, M. Oudry isolated "théine" from tea, but it was later proved by Mulder and by Carl Jobst that theine was actually caffeine.
- ❖ In 1895, German chemist Hermann Emil Fischer (1852–1919) first synthesized caffeine from its chemical components and two years later, he also derived the structural formula of the compound. This was part of the work for which Fischer was awarded the Nobel Prize in 1902.

Biological importance of caffeine:

- ❖ Caffeine is a CNS (Central nervous system) and metabolic stimulant.
- ❖ Used both recreationally & medically to reduce physical fatigue
- ❖ Produces increased wakefulness, faster & clearer flow of thoughts, increases focus & better general body co-ordination
- ❖ In moderate dose reduces depression & lower suicide risk
- ❖ Improves performance during sleep deprivation.
- ❖ World's most widely consumed, legal & unregulated psychoactive drug.

Nicotine

- Nicotine belongs to pyridine class of alkaloids
- Found in night shade family of plants ie; solanacea.
- Isolated from leaves of **Nicotiana tabacum**
- Synthesis take place in roots & accumulation occurs in leaves.

Biological importance of nicotine:

- In small quantity stimulates CNS but after a while causes depression
- Has mood altering effect. Increases neurotransmitter level which can increase concentration, memory, alertness. Also reduces pain, anxiety in person.
- Nicotine reduces appetite & increases metabolism, so smokers may lose weight.
- It was widely used as insecticide in agriculture.

Effects of Nicotine:

- Nicotine consumed through smoking, chewing tobacco, snuff etc initially increase release of neurotransmitters which causes stimulant and relaxant effect. As concentration of nicotine reduces, neurotransmitter concentration decreases which leads to depression. This causes addiction for nicotine.
- Low nicotine content tobacco used for smoking causes asthma and lung cancer
- Constricts blood vessels in skin, producing cold thin wrinkles (faster aging)
- Chewing tobacco can cause mouth or throat cancer

Atropine

- ✓ Found in roots & stems of plant *Atropa belladonna* (Deadly night shade), in thorn apple (fruit of datura)
- ✓ It is a tropane alkaloid
- ✓ It is a white crystalline solid, have bitter taste & highly poisonous.

Biological importance of Atropine:

- ✓ It dilates the eye pupil & paralyses the muscle of the eye temporarily. Hence used in eye surgery.
- ✓ It lowers the parasympathetic activity of all muscles & glands regulated by peripheral nervous system.
- ✓ Used in medicine as an antispasmodic, a substance which relieves muscle spasms such as those of intestines
- ✓ Atropine injections are used in the treatment of bradycardia (slow heart rate of less than 60 beats per minute).