SYNOPSIS: Introduction & classification of drugs

- The chemicals of low molecular masses ranging from 100 to 500U that react with macromolecular targets to produce a biological response are called “Drugs”.
- Eg: Antihistamine drugs, Analgesic drugs, Antipyretic drugs etc.
- The drug that produces biological response therapeutically and that are useful in diagnosis, prevention and treatment of diseases are known as medicines.
- Eg: Paracetamol, Aspirin, Ibuprofen etc.
- Drugs are the chemical substances which react with macromolecular targets and produce biological response.
- Medicines are the drugs with therapeutic use and they react with macromolecular targets and produce biological responses which are useful in diagnosis, prevention and treatment of diseases.
- Use of chemicals for therapeutic effect is called chemotherapy.
- CLASSIFICATION OF DRUGS

- **On the basis of drug action**: Based on the action of drug in biochemical processes, drugs are classified into different categories such as antihistamines, sedatives, hypnotic drugs etc. Histamine causes inflammation. To prevent inflammation antihistamines are used.
- **On the basis of molecular targets**: Based on the reaction of drug with biomolecules such as nucleic acids, proteins, lipids etc., these are classified into different types. These biomolecules are called target molecules. Drugs with similar structure have similar mechanism of action on biomolecular targets.
- **On the basis of chemical structure**: The drugs with common structural features have similar pharmacological activity. Sulphanamides have common structural aspects and therefore used for similar problems.
- **On the basis of pharmacological action**: 
Chemistry in Everyday Life

- Drugs are classified on the basis of pharmacological action. This enhances the range of drugs used by doctors for treatment of a particular problem. For example, analgesics are used as painkillers.
- Antiseptics are used to kill or prevent the growth of bacteria.
- Antipyretics are used to control body temperature during fever.

**Catalytic action of enzymes**

- Enzymes provide active sites for holding the substrate molecules through a variety of interactions like ionic bonding, hydrogen bonding, Vanderwaal’s forces and dipole-dipole interactions.
- They also provide such functional groups that will attack the substrate to facilitate the chemical reaction as the reagent attacks.

**Drug - enzyme interaction:**

- Drugs interact with the active sites on enzyme and inhibit the catalytic activity of the enzyme.
- Drugs which act as competitive inhibitors, preferentially attach to the active sites prior to substrate molecules.
- Some drugs attach to sites other than active sites. They are called allosteric sites. By this attachment the shapes of active sites radically are affected so that the substrate molecules cannot recognize the active sites. Drugs block active sites.

**Drug - Receptor Interaction**

- Receptors are proteins that are crucial to body’s communication process. These are present in cell membranes but a small active part of it projects out of the membrane. Chemical substances, which acts messengers between neurons are received at the active projections and pass on the messages. Different receptors are selective towards chemical messengers since it depends on their shape, structure and amino acid composition of the receptor protein.
- Drugs that bind to the receptor sites and inhibit its natural function are called antagonists. These help to block message transfer when required. Some other types of drugs act as agonists and help transfer of message (or) even mimic natural chemical messengers. Thus these act as substitutes for the lack of chemical messengers in the body.

**ANALGESICS**

- The chemical substances which relieve the pain either completely or partially are called analgesics.
- These do not produce any disturbance in nervous system.
- These are two types

**NARCOTIC ANALGESICS**

- Narcotic analgesics are alkaloids.
- Narcotic analgesics relieve the pains most effectively but these are addictive.
- These are used to reduce severe pain like post operative pains, pains due to cancer, cardiac pains and they induce sleep.
- When these are taken in high doses they produce toxic effects like coma and sometimes even lead to death.
- Morphine, heroin and codeine etc., are important narcotic analgesics.
- Morphine and its related drugs are called opioids or opiates since these are derived from opium poppy.

- Morphine controls diarrhoea, cough etc
- Morphine and its related drugs do not control pain but they modify emotional reaction to pain.
- When one of the phenolic groups in morphine is methylated, it converts into codeine. Phenyl trimethyl ammonium hydroxide is used for methylation.
- Analgesic action of codeine is less than morphine.
- Narcotic drugs produce euphoria. Due to this users addict to these drugs.
Narcotic drugs produce respiratory depression, constipation due to increase in biliary track pressure which increases histamine production.

**NONNARCOTIC ANALGESICS**
- Non-narcotic analgesics are used to relieve mild pains like headache, back ache etc.,
- These are non-addictive and generally do not produce toxic effects.
- Compounds of salicylic acid, aniline, phenol, pyrazole, quinoline etc are used as non-narcotic drugs
- Some of these act as antiinflammatory and anti pyretics also.
- Some of these prevent clotting of blood.
- Aspirin, ibuprofen are important non-narcotic analgesics.
- Aspirin inhibits platelet function and minimizes myocordial problems.
- Aspirin inhibits the synthesis of prostaglandins that stimulate inflammation and causes pain.
- Ibuprofen is used for treatment of rheumatoid arthritis and osteoarthritis.
- It stops acute flares.
- Ibuprofen is -methyl-4-(2-methylpropyl) benzene acetic acid

**PREPARATION OF ASPIRIN**

Aspirin is acetylsalicylic acid

**ANTIPYRETICS**
- Antipyretics are the drugs which control body temperature during the fever.
- Antipyretic action was first identified by using aniline and acetanilide.
- These are derived from coal tar.
- Analgin, phenacetins, paracetamol etc., are important antipyretics.
- Aniline, acetanilide etc., are also antipyretics but they are more toxic. Aminophenols are less toxic and para aminophenol is least toxic.
- Some antipyretics can also act as analgesics
- Paracetamol is used for arthritic, rheumatic condition linked with musculoskeletal pains.
- It is used to control headache and myalgias.
- It is particularly used for aspirin sensitive patients.
- Phenacetin is prepered from p-nitrophenol.
- It can also be prepared from aniline.
- It is an analgesic and antipyretic drug.
- It is more toxic than paracetamol.
- On prolonged usage it can damage kidney
- Analgin is used as antipyretic and analgesic.

- [N-(4-ethoxphenyl) acetamide(phenacetian

**TRANQUILIZERS**
- Tranquilizers are the chemical substances which decrease the anxiety.
- Tranquilizers are used in the management of psychoses and neuroses.
Chemistry in Every day life

- They exert action on lower brain area.
- They also act as muscle relaxants, antihypertensive, antiemetic and antipileptic.
- Tranquilizers are of two types.
- Major tranquilizers are used for psychoses.
- Minor tranquilizers are used for neuroses.
- Barbituric acid, Luminal, Valium etc., are important Tranquilizers.
- Sedatives produce relaxation and rest, without inducing sleep but hypnotics induce sleep.
- Some drugs may be used as both sedatives and hypnotics.
- Luminal is a good sedative as well as hypnotic drug.
- Some drugs act only as sedatives. Example: KBr.
- Some drugs act only as hypnotics example thiopentone.
- Sedatives and hypnotics are classified into barbiturates and nonbarbiturates.
- Barbiturates are substituted pyrimidine derivatives. Their depressant action depends on dosage and route of administration.
- The basic structure of these barbiturates is barbituric acid.

- Barbiturates exert depressant action on cerebrospinal axis.
- Barbituric acid is a condensation product of melonic acid and urea.
- When two hydrogen atoms in barbituric acid are replaced with alkyl, alkenyl or aryl groups at 5th position, the product exhibits central nervous system activity.
- Luminal can also be called as phenobarbitone or phenobarbital.
- Tranquilizers are neurologically active drugs.
- These effect the message transfer mechanism and are used for the treatment of stress and mental diseases. eg: seconal, serotonin etc.
- If these are taken in small doses they act as sedatives and in large doses they act as hypnotics.
- Diazepam is a benzodiazepine.
- Serotonin and dopamine are both amines.
- Dopamine plays important role in controlling movement, motivation and cognition.
- Serotonin stabilises the mental process.
- Amphetamine is a powerful stimulant.
- Structures of amphetamine, serotonin, adrenaline and noradrenaline are similar.
- These are derivatives of 2-phenyl ethylamine.
- There are powerful tranquilizers.
- Adrenal gland secretes two hormones called adrenaline and noradrenaline.
- Adrenaline increases blood pressure and heart beat.
- Noradrenaline increases blood pressure and transmits impulses from the end of one nerve fibre to the next.
- If the level of noradrenaline is low, person suffers from depression.
- In serotonin nitrogen is connected to benzene ring.

Serotonin

- ANTISEPTICS AND DISINFECTANTS

- Antiseptics are the chemical substances which kill or prevent the growth of bacteria.
- These are surface activatants and applicable, where there is a possibility of growth of bacteria.
- These are applied to wounds, cuts, ulcers and diseased skin surfaces.
• These are not injected into the body.
• Alcoholic Iodine called tincture of iodine containing alcoholic iodine and KI in aq solution is one of the best example of antiseptic.
• Tincture of iodine contains at about 2-3% iodine.
• Iodoform, Boric acid etc., are some important antiseptic chemical substances.
• Soaps contain bithionol or bithional which is an antiseptic.
• Boric acid dilute solution is a weak antiseptic for eyes.
• Derivatives of formaldehyde and chloro derivatives of organic compounds can also be used as antiseptics.
• Dettol is one of the most common antiseptic, which contains chloroxylenol and terpineol.

• These antiseptics can also be used as disinfectants, which depends on the concentration of the compound.
• Disinfectants are applied to inanimate objects like floor, drainages etc.
• For example 0.2% phenol acts as antiseptic and 1% phenol is used as disinfectant.
• Aqueous solutions of chlorine, sulphur dioxide in low concentrations can also be used as disinfectants but these cannot be used as antiseptics.
• 4% aq solution of formaldehyde is called formalin.
• Formalin is one of the best disinfectant which is used to preserve biological specimens.

• **ANTIMICROBIALS, ANTIFERTILITY DRUGS & ANTIBIOTICS**

**ANTIMICROBIALS**

• Diseases in humans and animals are generally due to microorganisms like bacteria, virus etc.
• The diseases due to microorganisms are called pathogens.
• Body has most efficient mechanism, which kill or prevent the growth of microorganism.
• Microorganisms destruct the cell metabolism which results in the infection. Toxic substances produced by microorganisms are responsible for infections.
• Antimicrobials are the drugs which kill or prevents the growth of microorganisms. They increase the immunity or resistance to the body.
• Several antimicrobials are present in natural secretions of the body for example lactic acid is present in sweat, HCl is present in stomach.
• Lysozyme is present in tears, nasal secretion, saliva & sweat etc., also contain natural antimicrobials.
• These secretions prevent the infections.
• Lysozyme, lactic acid & hydrochloric acid are natural antimicrobials where as penicillin, chloramphenicol, sulphadiazine etc., are artificial antimicrobials.

**ANTIFERTILITY DRUGS**

• Antifertility drugs are the oral pills used for the birth control.
• These are generally steroids.
• These pills are mixture of synthetic estrogen and progesterone derivatives.
• These are more potent than natural hormones.
• Norethindrone is synthetic progesterone drug used as antifertility drug.
• Ethynyl estradiol contains estrogen and progesterone derivatives.
• These control the female menstrual cycle and ovulation.
• Eg:- Mifepristone, Oral pills, Norethindrone & Novestrol etc.,
• **ANTIBIOTICS** :
  - Antibiotics prevent the growth of microorganism.
  - These antibiotics are generated by the microorganisms which prevent the growth (or) kill the other microorganisms.
  - Antibiotic is a product of metabolism
  - Synthetic antibiotics are produced from chemicals which in low concentrations kill or prevent the growth of microorganisms.
  - Antibiotics are two types
    - If they kill bacteria, they are called bactericidals.
      - Eg: Penicillin, aminoglycosides and ofloxacin etc.
    - If they inhibit growth of bacteria, they are called bacteriostatics
      - Eg: Erthromycin, tetracycline, chloramphenecol etc.
  - Ampicillin and amoxicillin are semi synthetic modifications of penicillin
  - They are used for penicillin sensitive patients.
  - Penicillin, benzyl penicillin, para hydroxy benzyl penicillin, chloramphenicol, sulphadiazine etc., are important antibiotics.
  - The molecular formula of penicillin is $C_{9}H_{11}O_{4}N_{2}SR$
  - Broad spectrum antibiotics attack on complete range of microorganisms
  - Chloramphenicol is a broad spectrum antibiotic.
  - Sulphadiazine is a sulpha drug.
  - Antibiotics, antiseptics and disinfectants are antimicrobial drugs
    - The bacteria, spirochete causes syphilis.
    - The medicine arsphenamine, known as salvarsan is used for treatment of syphilis.
  - Antibiotics which kill or inhibit a wide range of gram-positive and gram-negative bacteria are said to be broad spectrum antibiotics. Those effective mainly against gram-positive or gram-negative bacteria are narrow spectrum antibiotics.

• **PENICILLIN**

• **ANTACIDS, ANTIHISTAMINES, FOOD PRESERVATIVES & ARTIFICIAL SWEETENERS**
  - The chemical substances which neutralise the excess of acid generated in stomach and maintain the normal pH value are called antacids.
  - These are basic in nature.
  - Magnesium hydroxide, magnesium carbonate, aluminium hydroxide gel, aluminium phosphate, sodium bicarbonate etc., are some important antacids.
  - Omeprazole, lansoprazole are the antacids now are in use.
  - These antacids cannot control the cause, but temporarily decreases the irritation, by preventing the generation of acid.
  - Excess acid is responsible for ulcers.

  - Metal hydroxides are good antacids.

• **ANTIHYSTAMINES** :
  - Histamine is a chemical that stimulates the secretion of pepsin and hydrochloric acid in the stomach.
• It is responsible for the nasal congestion associated with common cold and allergic response to pollen, food product dustmite, house dust, sheep wool, human hair etc.,
• Histamine is a potent vasodilator.
• Antihistamine is a chemical that interferes with the natural action of histamine by binding sites of receptor where histamine exerts its effect.
• Examples: Dimetap (chloro or bromo pheniramine), Sardane (terfenadine) and cimetidine etc.
• Ranitidine (zintac) is largely using as antihistamine drug.
• It does not affect secretion of acid in the stomach.
• Drowsiness, retarded ability to perform work and impaired alertness are the side effects of this drug.

**FOOD PRESERVATIVES:**
• Food preservatives are the chemical substances used to preserve food stuffs for long time.
• These act as antioxidants, emulsifiers, antifoaming agents. They do not have nutritive values.
• Antioxidants retard the action of oxygen on food and preserve it.
• The antioxidant action is due to the fact that they react easily with oxygen and prevents the action of oxygen on food stuff.
• They prevent the generation of free radicals which damage the food.
• Some antioxidants like SO₂ are used to preserve wine, beer, dry fruits and vegetables etc.
• When (BHT) butylated hydroxy toluene or (BHA) butylated hydroxy anisole is mixed with citric acid or ascorbic acid, their effect further increases.
• Butylated hydroxy Toluene Butylated hydroxy anisole
• Food preservatives prevent loss of colour, flavour, freshness by preventing the growth of microorganisms.
• The most important food preservative is sodium benzoate, C₆H₅ COONa.
• Salts of propionic acid and sorbic acid are also used as food preservatives.
• Edible colours are dyes generally.
• These are harmful for children and asthma patients.
• Tetrazine is toxic whereas carotene is safe.

• Minerals, vitamins and amino acids have nutritional values whereas remaining have no nutritional values.

**ARTIFICIAL SWEETENERS:**
• The chemical substances which produce sweetness instead of natural sweeteners like sucrose are called artificial sweeteners.
• These have great value in controlling calories and are used even to diabetic persons.
• The important artificial sweeteners are aspartame, saccharin, sucrolose & alitame etc.
• Sucrolose is 600 times sweeter than sucrose. Saccharin is about 550 times sweeter than sucrose.
• Alitame is about 200 times & aspartame is about 100 times sweeter than sucrose.
• Saccharin and aspartame are most widely used artificial sweeteners.
• Alitame is a high potency sugar, it is stable but control of sweetness of food is difficult.
• Ortho sulphobenzimide is called saccharin. Sucrolose is a trichloro derivative of sucrose
• Saccharin is derived form purine.
• Some artificial sweeteners are used only in cold conditions because these desassociate at high temperatures. Examples: methyl esters with dipeptide linkage (aspartame)
Aspartame is used in cold foods & soft drinks.

ASPARTAME
- 100 times sweeter than sugar
- Saccharin

SUCROLOSE
- 200 times sweeter than sucrose

CLEANSING AGENTS
- Soaps and detergents are used as cleaning agents.
- These improve cleansing properties of water and help in removal of fats which bind other materials to the fabric or skin.

SOAPS:
- Soaps are made by saponification (soap formation) i.e. alkaline (NaOH / KOH) hydrolysis of glyceryl esters of higher fatty acids like stearic, oleic and palmitic acids.
- Soaps are thus Na or K salts of higher fatty acids. Potassium salts of higher fatty acids are soft to skin than sodium salts.
- Toilet soaps are made from better grades of fats & oils, squeezing out unreacted alkali and adding colours and perfumes.
- Floating soaps are made by incorporating tiny air bubbles into soap during hardening stage of manufacture of soap.
- Transparent soaps are made by first dissolving the soap in ethanol and then evaporating the excess solvent.
- In medicated soaps, medicinal chemicals deodorants / glycerol / a gum called rosin / sodium silicates / borax / sodium carbonate / trisodium phosphate etc. are added depending on use.
- Rosin forms Na - rosinate which gives lather extensively especially for shaving soaps.
- Soap powders / scouring soaps are made by mixing soap with a scouring agent (an abrasive) such as powdered pumice (or) finely divided sand and also builders like Na₂CO₃ and Na₃PO₄. Builders make soaps to act more rapidly.
- The cleaning action of a soap is due to soap lather, which reduced the interfacial tension and solubilises the oily dirt into water by emulsification.
- Hard water cannot form lather with soap and hence soaps are not functional in hard water.

DETERGENTS:
- Synthetic detergents are cleaning agents with all properties of a soap but they contain no soap
- Detergents can form lather extensively both with hard, soft and even ice cold water.
- Detergents are of three categories
  - Anionic detergents:
    - Ex.: Sodium salts of sulphonated long chain alcohols or hydrocarbons like Sodium lauryl sulphate CH₃(CH₂)₁₀ CH₂OSO₃⁻ Na⁺ (NaLS)
  - Cationic detergents:
    - Ex: Quaternary ammonium salts of amines with acetates, chlorides or bromides as anionis like cetyl trimethyl ammonium bromide (CTAB)
• \([\text{CH}_3\text{(CH}_2\text{)}_{15}\text{N(CH}_3\text{)}_3]^+\text{Br}^-\]

• CTAB is used in hair conditioners.
• The cationic part is involved in cleansing action.
• Non-ionic detergents:
• Ex.: Ester of stearic acid and polyethylene glycol
  \(\text{CH}_3\text{(CH}_2\text{)}_{16}\text{COO(CH}_2\text{CH}_2\text{O)}_n\text{CH}_2\cdot\text{CH}_2\text{OH.}\)
• These are used in dish-washing.
• Detergents cause pollution of water by forming foams and the branched long chain part of them undergoes biodegradation very slowly only. Straight chain type part biodegrades more easily and hence are better.