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Diploma in Pharmacy 2nd Year
Pharmacology
Chapter 1 : General Pharmacology

Chapter 1

General Pharmacology

Introduction

Pharmacology is derived from Greek word

Pharmacon means ***drug***

logos means to study

Pharmacology means to study about drug and its action.

Definition

Pharmacology is a branch of science in which we study about drugs and their Interaction with the living organism.

Or

It can be defined as " Pharmacology is a branch of science in which we study about Pharmacokinetic and Pharmacodynamics "

Pharmacokinetics :

- It is a Greek word which means the " the action of body on the drugs "
- Pharmacokinetic Involves the study of drug's absorption, distribution, metabolism, and excretion .

Pharmacodynamic :

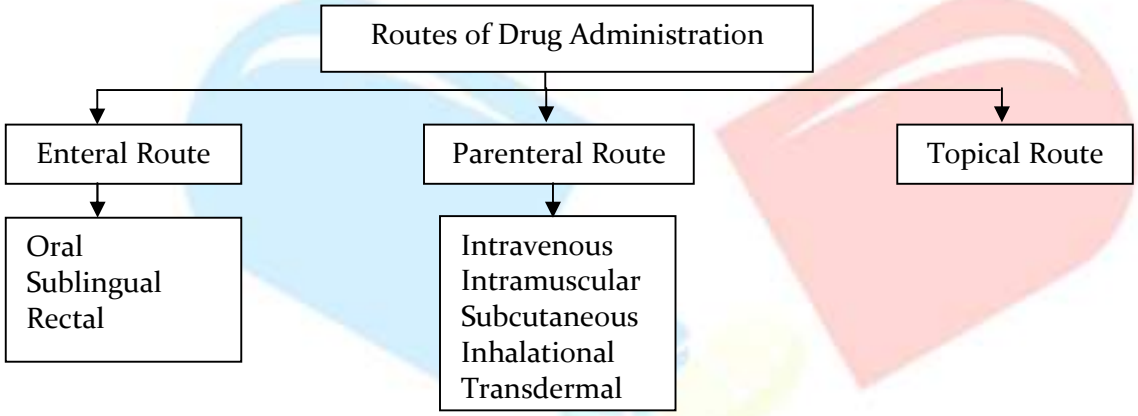
- It is also a Greek word which means " the action of drugs on the body "
- Pharmacodynamics involves the study of concentration of drugs on the site of action , result of effect , timing of drug's action , adverse effect etc.

Scope Of Pharmacology

- **Pharmacotherapeutics :** A person who has knowledge of Pharmacology can treat the patients , because he Knows selection of appropriate drugs , their dosage , and half life .
- **Preclinical Clinical trails :** A pharmacologist can work in the field of preclinical trails .
- **Clinical Trails :** A pharmacologist can work in the field of clinical trails .
- **Drug discovery :** A pharmacologist can go in the field of research and discover many of new drugs .
- **Toxicology :** A pharmacologist can reduce the toxicity effect, and turn adverse effects .

Various Route of Drug Administration

- Route of drug administration is the path by which the drug is introduced into the body.
- For the treatment of a disease, the drug is introduced into the body through a specific site.
- The choice of route for the drug administration depends on:
    - Properties of the drug like water or lipid solubility, ionisation, etc.
    - Therapeutic objectives, i.e., rapid onset of action or long-term administration or restricted to a local site.



Enteral Route

- It is the safest, most economical, and convenient route of drug administration.
- Tablets, capsules, powders, mixtures, emulsions and gels are taken orally.
- Solution form of drug gets rapidly absorbed through enteral route.

Oral Route

- In this route the drug is placed in oral cavity and is swallowed along with water or milk etc.
- Drug is administered through mouth.
- It is also known as per oral (p.o.).
- The main advantages of this route are that the patient is able to self-administer drug and chances of systemic infection are reduced.
- Activated charcoal (antidote) is used in the treatment of toxicities or overdose related problems of oral route.

Advantages

- Safe, convenient and painless method therefore most preferred.
- Economical, sterilisation is not required.
- For oral drug administration any assistance is not required.
- Less chances of acute drug reaction.

Disadvantages

- Sometimes complete drug is not absorbed.
- First-pass metabolism takes place in liver where drug reaches through the portal vein.
- Gastric mucosa irritation by certain drugs leads to nausea and vomiting.
- Not effective in emergencies.
- Unpleasant taste of drugs.
- Route not preferred in unconscious and uncooperative patients.
- Low gastric pH, digestive and liver enzymes destroy drug, before its distribution into circulation.

Sublingual/Buccal Route

- Drug (small size tablet) is kept beneath the tongue (without water) to disintegrate and get absorbed in mouth, e.g., nitroglycerine tablets.
- The drug enters the systemic circulation through diffusion into the capillary network.
- In buccal route drug kept within the mouth around the cheeks or buccal cavity, where it disintegrates and get absorbed.

Advantages

- Rapid absorption of drugs due to highly vascularised site therefore fast onset of action.
- Stomach enzymes and acids are not involved so the drug remains stable.
- Drugs do not undergo first-pass metabolism.
- In case of any side effects drug can be withdrawn.
- Drugs can be administered easily.
- Less chances of infection.
- No involvement of GI environment.

Disadvantages

- It is sometimes inconvenient to keep drug in mouth.
- Small doses are required to keep in mouth.
- Drugs having high molecular weight cannot be absorbed (e.g., insulin).
- Unpleasant, distasteful, irritant drugs cannot be administered through this route.

Rectal Route

- Suppositories are drugs that are administered through rectal route.
- Drug is formulated with waxy additives in which drug is dissolved or liquefy on insertion into the rectum.
- Drug absorbance occurs directly through thin, highly vascularised wall of rectum.
- This route is used to avoid the destruction of drug by intestinal enzymes or by low pH of stomach.
- The drug is administered in the form of suppositories, through rectal route, when patient is not able to take drug orally (due to vomiting, in consciousness) or have restrictions on eating (mostl after surgery).

Advantages

- Useful when patient is suffering from nausea and vomiting.
- By-pass first pass metabolism can be avoided, since absorption occurs from external haemorrhoidal veins.
- Gastric irritant drugs are administered through this route.

Disadvantages

- Rectal inflammation.
- Irregular absorption.

Parenteral Route

→ All the route of drug administration other than the enteral route comes under parenteral route.

→ but this route mainly includes subcutaneous, intramuscular, an intravenous injections.

→ This route is useful when:

1) Drug is poorly absorbed from the gut,
2) Digestive enzymes destroy the drug,
3) To avoid first pass metabolism by liver
4) Rapid action of drug desired.

Intravenous (IV) Route

- In this Route the drug is directly injected into Vein through injection.
- Which absorbed directly into blood stream.
- Injection Inject at a angle of 25°

Advantages

- 100% bioavailability.
- Large quantities.
- Emergency situations.
- Diarrhoea and vomiting.
- No first-pass metabolism.

Disadvantages

- Inconvenient and painful causing irritation, cellulitis and thrombophlebitis.
- Repeated injections not suitable.
- Safety level is very low.
- Technical and trained person required.
- Infection may occur.
- Costly.

Intramuscular (IM) Route

- The drug is injected into muscles than the drug reach into Blood Circulation.
- Injection Inject at a angle of 90°

Advantages

- Uniform absorption.
- Onset of action is fast.
- Prevent first pass metabolism.
- No GIT related factors.

Disadvantages

- Only 10ml drug may be administered.
- Local pain and infection.
- Expensive.

Subcutaneous (SC) Route

- Drug is deposited into loose subcutaneous tissue which is richly supplies by nerves.

Advantages

- Self-administering.
- Onset of action is fast.
- Prevent first pass metabolism.
- No GIT related factors

Disadvantages

- Painful.
- Irritant drugs cause tissue damage.
- Maximum 2ml of dose may be injected.

Inhalational Route

- It delivers drug throughout the respiratory tract, mucous membranes and pulmonary epithelium, as well as giving fast effect as intravenous injections.
- Gases or aerosol forms of drugs like anaesthetics are administered through this route.
- This route is effective in treatment of patients with respiratory complications such as asthma, or chronic obstructive pulmonary disease.
- Systemic side effects related to drugs (e.g., albuterol and corticosteroids fluticasone) can be minimised in this route.

Advantages

- Surface area of the respiratory endothelium is large causing rapid absorption.
- Instant absorption of drug and rapid onset of action.
- No hepatic first-pass metabolism of drug.

Disadvantages

- Specialised equipment required for drug delivery, e.g., inhalers.
- Bioavailability of drug depends on the patient's inhaler technique and drug particle size of drug.
- Due to use of inhaler dose regulation is difficult.

Transdermal Route

- Transdermal patches are employed to deliver systemic effect of drug through skin.
- The rate of absorption depends on physical characteristics of the skin and application site.
- Transdermal patch provides sustained delivery of drugs,
- e.g., antianginal drug (nitro-glycerine), antiemetic (scopolamine), and contraceptive patch.

Advantages

- Sustained effect.
- No hepatic first-pass metabolism.
- Convenient and good patient compliance.

Disadvantages

- Relatively slow onset.
- Excessive absorption may give inflamed, rough, abraded on skin.
- This route is preferred for highly lipophilic drugs.

Topical Route

- In topical route drug is applied on the surface of skin (epidermis) or mucous membrane, by means of special formulations, e.g., creams, ointments, gels, lotions, sprays, powders, and aerosols.
- By the topical route local (affecting a small area) to systematic (affecting the entire body) effects can be obtained.
- The drug is absorbed through the pores present in skin (e.g., sweat glands and hair follicles, etc.).
- These dosage forms treat skin infections, minimise inflammation, and protect skin.

Advantages

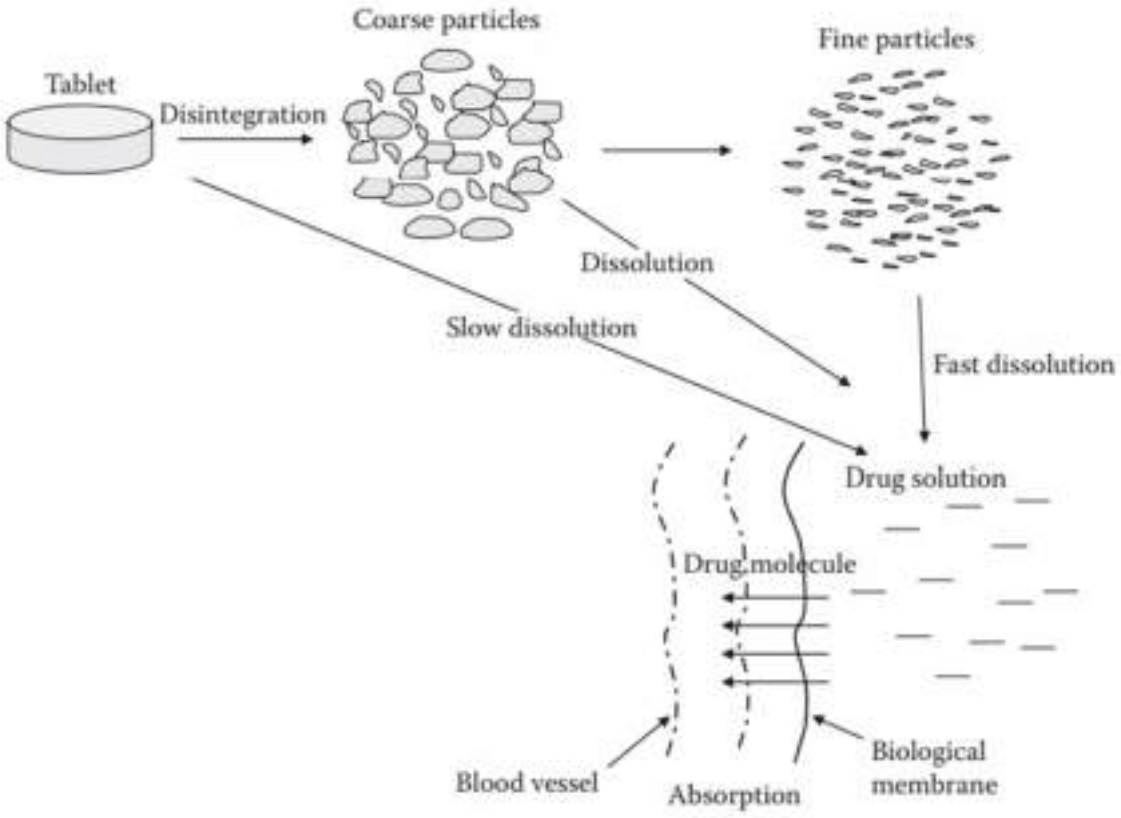
- Drug can be applied easily.
- Less complication than oral delivery as drugs poorly absorbed systemically.
- Fast action on application site.

Disadvantages

- Skin irritation
- Improper absorption of certain drugs.
- Ointments have longer duration of action due to sticky and oily texture.

Drug Absorption

- → It is Defined as the movement of drug molecule from its site of administration to the systemic circulation in unchanged form.
- → When we take any drug through oral route it goes into stomach in which disintegrants and dissolution takes place then drug reach into intestine.
- → Now after dissolution drug absorbed into blood from intesting through membrane.



Types of Drug Absorption

- ➢ Passive diffusion /simple diffusion
- ➢ Filtration / Pore transport
- ➢ Endocytosis .
- ➢ Facilitated diffusion .
- ➢ Active Transpor

- ❖ **Passive diffusion /simple diffusion :** In this type of absorption the drug is transported from higher concentration to low concentration.

- **Filtration / Pore transport :** In this type of absorption the drug molecules are pass through the space between tow cells.
- **Endocytosis :** In this type of absorption the cell membrane engulfs the drug molecule and take inside the cell.
- **Facilitated diffusion :** In this type of absorption a carrier protein helps in the entering of drug inside the cell or membrane from higher concentration to low concentration , and no energy required in this process.
- **Active Transport :** In this type of absorption the carrier molecule combines with drug molecule and transports it inside the membrane , and energy is required in this process.

Factors Affecting Absorption of Drugs

- **Physical State of Drug :** the liquids are betterly absorbed than solid medicaments .
- **Particle Size Smaller :** Particle size of drug absorbed easily .
- **Surface area of absorbing site :** Larger absorbing surface area provides greater absorption of drug .
- **Physical and mental state of the patient :** abnormal / disturbed physiological conditions affect the absorption of drugs like infection , fever ,emotional upset etc.
- **Functional condition of GIT :** Increased peristaltic movement of GIT decreases the absorption of drugs .
- **pH of drug Acidic :** drugs are rapidly absorbed in stomach while basic drugs are rapidly absorbed in intestine
- **Presence of food and other things in GIT :** The presence of food in GIT may reduce the absorption of drugs , because no direct connation with walls of GIT .

Bioavailability

→ Bioavailability is the friction of administered drug that reaches the systemic circulation.

→ Bioavailability is expressed as the fraction of administered drug that give access to the systemic circulation in a chemically unchanged form.

→ For Example

If 100 mg of a drug administered orally and 60 mg is this drug are absorbed unchanged the bioavailability 0.6 / 60 %

→ Bioavailability is defined as the rate and amount of absorption of unchandged drug from its dosage forms and become available at the site of action

→ Bioavailability is made up of two words

Bio means ***Living Organisms***

Availability means ***to be present (at site of action)***

Factors Affecting Bioavailability

- Physical State of Drug
- Particle Size
- Solubility of Drug
- PH of Fluid
- Effect of first pass metabolism

Distribution of drug

→ Distribution of drug means delivery/transportation of drug to body's tissues.

→ Body Fluid function s solvent for maximum drug, and the drugs reach their site of action by this solvent system.

Factors Affecting Drug distribution

- Age (fat content , skeletal muscles , Plasma protein)
- Pregnancy.
- Obesity.
- Disease conditions .
- Drug interaction.
- Diet.

Biotransformation of Drugs

→ Also known as drug Metabolism

→ It is Defined as the conversion of drug from one chemical form to another.

Or

→ The chemical alteration of the drug in the body , in which nonpolar drugs are converted into polar form and lipid soluble compounds are converted into lipid insoluble form.

Drug Metabolizing organs.

- Liver is the heart of metabolism
- Because of its relative richness of enzymes in large amount
- Schematic Chart of Metabolizing Organs
- Liver > Lungs > Kidney > Intestine > Placenta > Skin > Brain > Testes > Muscles > Spleen

Types of Biotransformation Reaction

⇨ Mostly Biotransformation are done by microsomal enzymes Such as Cytochrome P-450 Oxidose, Glucuronyl transferace.

⇨ It is divided into two types

- Phase 1 Reaction
- Phase 2 Reaction

Phase 1 Reaction

- In this reaction the drugs can be metabolized by Oxidation, Reduction, Hydrolysis and Increase polarity (Water Solubility) of drugs.
- So drugs can easily excreta from kidney.
- There are no-synthetic reaction.

- **Example :** Aspirin $\xrightarrow[\text{Hydrolysis}]{\text{Enzyme}}$ Salicylic acid

Phase 2 Reaction

- This Reaction is faster than phase 1 Reaction and also those not excreted after phase 1 Reaction can excreted through phase 2 Reaction
- It involve Conjugation with an endogenous substance such as glucuronic acid , sulfate etc. Acetylation , Methylation, Glycine.
- These Reaction are more polar.
- So the drugs can easily excreted by the kidney & Liver.
- **Example :** Salicylic acid $\xrightarrow[\text{Acid}]{\text{Glucumic}}$ Excreation

Factors Affecting drug Metabolism

- **Inhibitors :** certain drugs like omeprazole , ciprofloxacin inhibit enzymes that metabolise a drug .
- **Stimulators :** certain drugs like phenobarbitone , rifampicin can increase the activity of enzymes that metabolise a drug .
- **Age :** Young children show poor drug metabolism because metabolic enzymes are not developed properly in them .
- **Sex :** In comparison to males , females have lesser ability for drug metabolise .
- **Body Temperature :** High temperature of body provides fast metabolism of drugs .

Excretion of Drugs

- It is a process of body in which drugs or metabolites are removed from the body.
- Drug excretion is the removal of drug from the body either as a metabolite or unchanged drug.
- There are two types of excretion :

  Renal Excretion : Kidneys are main organs for excretion , and the excretion is done through kidneys is called renal excretion .

  Non- Renal Excretion : The excretions by all other organs except kidneys are called Non renal excretion . (lungs , intestine , salivary glands , sweat glands).
- It is the Last step of Pharmacokinetics

Route of Drug excretion

- There are many different route of excretion like

⇨ **Urine**

- Most of Drugs are excreted through the kidney by the process of urination
- Water soluble drugs excreted out through this.

⇨ **Milk**

- It is also Known as mammary excretion.
- A drug that is excreted in milk can enter to breast feeding infant and therefore it is significant.

⇨ **Skin (Sweat)**

- Some of Drugs is excreted in the form of sweat from skin
- Sweat show the excretion of compound like Benzonic acid, Salicylic acid and Alcohol etc

⇨ **Salivary Excretion**

- This involves the excretion of drugs through the saliva.

⇨ **Faeces**

- Some of drugs in liver is absorbed in bile which further excreted through Faeces.

⇨ **Lungs**

- Some Drugs in the form of gases and volatile lipids are eliminated through exhalation by lungs.

General Mechanism of Drug Action

→ Most of Drugs Produce their action by interacting with a target biomolecules like – Protein etc.

→ How any drugs produce their action is known as Mechanism of Drug action

→ The general Mechanism of action of drugs can be classified into the following four classes

1. Transport Process
2. Enzymes
3. Ion Channels
4. Receptors

Factors Modifying drug action

- Body Weight
- Age
- Sex
- Route of administration
- Time of administration
- Diet and environmental factors
- Genetic Factors
- Emotional Factors
- Presence of disease
- Metabolic disturbance

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Diploma in Pharmacy 2nd Year
Pharmacology
Chapter 2 : Drugs Acting On Peripheral Nervous System

Chapter 2

Drugs Acting On Peripheral Nervous System

→ **CNS :** Includes the brain and spinal cord.

→ **PNS :** It consists of the nerves branched out from the brain and spinal cord . These nerves form the communication network between the CNS and body parts.

- **Somatic Nervous System :** It is a part of PNS and associated with the voluntary control of body movement via skeletal muscles . sensory and motor neurons found in it.
- **Autonomic Nervous System :** It is a part of PNS also, and associated with Involuntary Physiological Process e.i. Heart rate , blood pressure , digestion etc.

Neurotransmitters

→ Neurotransmitters are chemical compounds present in the brain.

→ They are made up of amino acids and some of them are hormones.

→ They transmit information from one neuron to the other.

→ Major body functions like movement, emotional response, and the physical ability to experience pleasure and pain are controlled by neurotransmitters.

→ Neurotransmitters are specific chemical signals allowing communication between nerve cell and effector cells/organs.

Substances acting as neurotransmitters can mainly be categorised into the following three classes:

- Amino acids (primarily glutamic acid, Gamma-Aminobutyric Acid (GABA). aspartic acid, and glycine).
- Peptides (vasopressin, somatostatin, neurotensin, etc.)
- Monoamines (NE, dopamine and serotonin) plus Ach

Classification of Neurotransmitters

The neurotransmitters can be classified:

On the Basis of Secretion Site: These are of two types:

1. **Neurotransmitters of Sympathetic Nervous System:** In this, two neurotransmitters are present:
   - Acetylcholine (ACh) (liberated at the ganglion) acts as a neurotransmitter for the preganglionic sympathetic nerves.
   - Nor-adrenaline (NA) acts a neurotransmitter for the postganglionic sympathetic nerves.

2. **Neurotransmitters of Parasympathetic Nervous System :** In parasympathetic nervous system, only Ach is released at the ganglion and it acts as a neurotransmitter for the preganglionic parasympathetic nerves. Acetylcholine (ACh) also acts as a neurotransmitter for the postganglionic parasympathetic nerves.

Steps Involved In Neurohumoral Transmission

- ⇨ Initiation Of an Action Potential and Axonal Conduction.
- ⇨ Arrival of an AP at nerve terminal , resulting in the release of the transmitter.
- ⇨ Events at the synaptic cleft and post - junctional sites.
- ⇨ Termination of effect of released Transmitter.

Cholinergic Drugs (Parasympathomimetic Agents)

→ Cholinergic Drugs are those drugs which give action similar to acetylcholine.
→ They give their action by directly binding to the cholinergic receptors or by indirect process.

Classification

Cholinergic Drugs

Direct Acting Cholinergic Agonist	Indirect Acting Agents (Anticholinestrase
Example : Acetylcholine, Methacholine, Carbachol, Bethanechol. Pilocarpine.	Example : Physostigmine, Neostigmine

1. Direct Acting Cholinergic Agonist

➢ These drugs produced actions similar to ACh by directly interacting with cholinergic receptors . Acetylcholine , Methacholine , Carbachol , Bethanechol. Pilocarpine.

2. Indirect Acting Agents (Anticholinestrase)

➢ These drugs inhibit the enzyme cholinestrase , this enzyme inactivates the Acetylcholine . Physostigmine (this can cross blood brain barrier) Neostigmine (this can't cross blood brain barrier).

Pharmacological Actions

1. **Muscarinic Action**
    - Heart : bradycardia (slow down heart rate)
    - Blood Vessels : dilates blood vessels , lowers blood pressure
    - Respiratory System : bronchoconstriction
    - smooth muscles : contracts smooth muscles
    - Exocrine Gland : Increase secretion (saliva ,HCL , Pancreatic Juice)
    - GI Tract : Increase peristalsis Movement .
    - Urinary Bladder : Contraction
    - Eye : Contraction of Pupils
2. **Nicotinic Action**
    - Skeletal Muscle : Contraction
    - CNS : ACh does not cross BBB , but if injected directly into brain and stimulates initially and then depresses.

Indication

1. Acetylcholine is mainly used in experimental studies , and has limited clinical value because of following reasons.
    - It is rapidly hydrolysed by the Pseudocholinesterases.
    - It spread widely and diffuses in easily and thus does not produce a selective pharmacological action.
    - It can not be administered orally as it immediately hydrolysed and degraded by gastric enzymes.
2. Methacoline is not used nowadays.
3. Carbachol shows action on M and N receptors non selectively , so no longer in use.
4. Bethanechol Is in use as
    - In case of gastroparesis , postoperative abdominal distension.
    - In case of urinary bladder retention.

Dose

- Bethanechol : 5 or 10 mg tablets , 10-30 mg 3-4 times in a day.

Contraindications

- Hyperthyroidism : Choline ester may precipitate cardiac arrhythmias.
- Bronchial Asthma : Choline ester may precipitate bronchospasm.
- Peptic ulcer : Choline ester may increase gastric acid secretion.
- Myocardial Infarction : Choline ester may cause hypotension and form conduction block.

Pilocarpine

→ It is a alkaloid cholinergic drug , and it is a selective muscarinic agonist , therefore most of muscarinic effects can be predicted .

Pharmacological action

- Eye : miosis
- CVS : when administered intravenously a brief fall in blood pressure is seen.
- Sweat Glands : Excessive amount of sweat secret . (termed as diaphoresis)

Indication

- Pilocrpine is commonly used in glaucoma as eye drops.

Dose

- It is used 1-4 % pilocarpine nitrate in eye drops.

Contraindications

- During pregnancy and lactation
- In children because its safety and efficacy is not clear.
- In older people may cause diarrhoea , urinary frequency and dizziness.

Anticholinergic Drugs

→ These are the drugs which occupy the ACh receptors and do not allow ACh to bind to the receptors .

→ Anticolinergic Drugs are also called " Parasympatholytic "

- AntiParasympathetic Agents "
- Cholinergic blocking Agents "
- Cholinergic antagonist

Classification

Anticholinergic Drugs

Anti Muscarinic Agents	Anti Nicotinic Agents
Example : Atropine, Ipratropium, Tropicamide.	Example : Trimethaphan, Pentolinium.

1. Anti Muscarinic Agents :

- These act by inhibiting the action of Ach by blocking the muscarinic acetylcholine receptors.
- Example : Atropine , Ipratropium , Tropicamide.

2. Anti Nicotinic Agents :

- These act by inhibiting the action of Ach at nicotinic acetylcholine receptors.
- Example : Trimethaphan , Pentolinium.

Atropine

→ It is most common anti muscarinic agent . It is an alkaloid and blocks the all types of muscarinic receptors.

Pharmacological Action

- CNS : Mild stimulation
- Eye : Mydriasis
- CVS : It cause bradycardia initially and then tachycardia.
- Respiratory System : Bronchodilation
- Secretion : Secretions of sweat , saliva , and gastric are reduced.
- GIT : Relaxation , decrease peristaltic movement so it used as antispasmodic and anti diarrhoeal drug.

Indication

- For dilation of pupil.
- Pre - Anaesthetic
- In bronchial Asthma and COPD.
- In hypersalivation.
- To treat diarrhoea
- As antidote for organophosphorus poisoning.
- To treat parkinsonism

Dose :

- It is given IV , IM and SC, routes.
- 0.4-0.6mg for preoperative and pre anaesthetic,
- 1% solution in eye drop for mydriasis

Contraindication

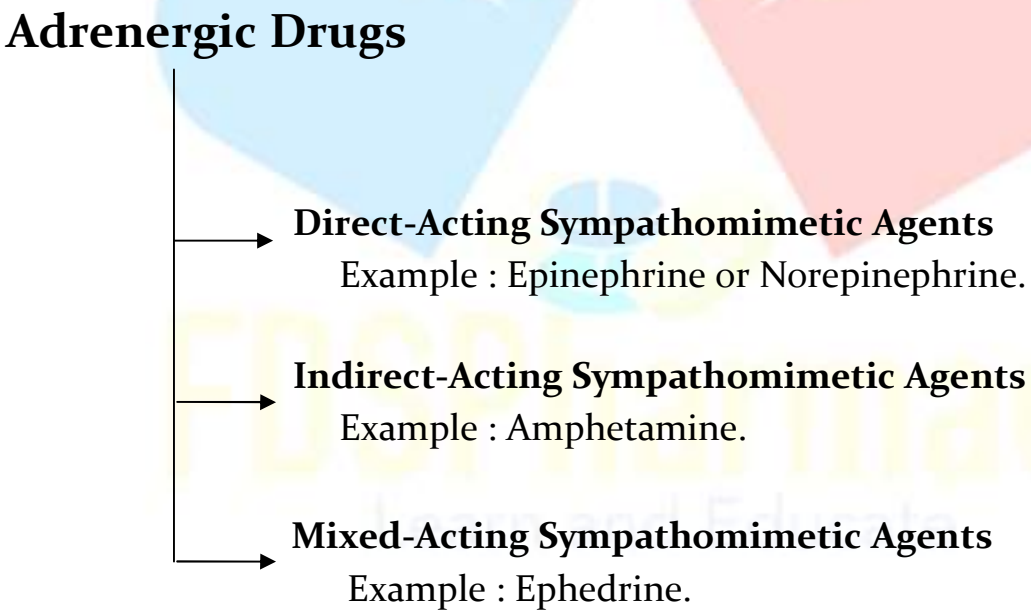
- In glaucoma condition
- In infants suffering from Down' syndrome (delay in development of body and brain)
- In patients are hypertensive with atropine .

Adrenergic Drugs

- → Adrenergic drugs or adrenergic agonists or sympathomimetic agents are drugs causing stimulation of the adrenergic receptors in the sympathetic nervous system.
- → They are named so as they mimic the actions of major neurotransmitters of the sympathetic nervous system, i.e., epinephrine and norepinephrine.

Classification

- ⇨ On the basis of effects they produce on the organ cells, the sympathomimetic drugs can be categorised into three classes ;



1. **Direct-Acting Sympathomimetic Agents :** They stimulate the adrenergic receptors directly, e.g., Epinephrine or Norepinephrine.
2. **Indirect-Acting Sympathomimetic Agents :** They act by stimulating the release of nor-epinephrine from the terminal nerve endings, e.g., Amphetamine.
3. **Mixed-Acting Sympathomimetic Agents :** They act both directly (stimulating adrenergic receptor sites) and indirectly (stimulating release of nor-epinephrine from the terminal nerve endings), e.g., Ephedrine.

Location of adrenergic receptors

1. α1 : Smooth muscles = Heart , , Bladder , spleen , Ureters, (contraction) eye (mydriasis)
2. α2 : Pancreas (decrease insulin)
3. ß1 : Heart (Increase heart rate)
4. ß2 : Smooth muscles = heart , bronchi , uterus , GIT , (relaxation)

Pharmacological Action

- **Cardiovascular system :** Stimulate the α1 receptor and increase the contraction force of heart and then output of blood.
- **Respiratory system :** Stimulate ß2 receptor and dilate the bronchi smooth muscles.
- **Pancreas :** Bind to α2 receptor of pancreas and decrease the release of insulin ,so give hyperglycemic effect.

Indication

- To control bleeding
- To slow the absorption of local anaesthetics.
- To increase blood pressure

Contraindication

- α1 receptor agonist is contraindicated in hypertension .
- α2 receptor agonist is contraindicated in low blood pressure .

Dose

- Amphetamine 5-10mg tablet in the morning and midday
- Epinephrine in acute asthma 0.01ml/ml, in cardiac arrest 0.01ml/ml

Anti- Adrenergic Drugs

- → The drugs block the effect or actions that occur by release of adrenaline are called antiAdrenergic Drugs.
- → These drugs are also called " Adrenergic Blocking Agents " " Adrenoceptor antagonist " .

Classification

Anti- Adrenergic Drugs

α - Adrenoceptor Blocking Drugs	**β - Adrenoceptor Blocking Drugs**
Example : Prazosin , Yohimbine , Phenoxybenzamine	Example : Atenolol , Butoxamine , propranonol

1. **α - Adrenoceptor Blocking Drugs :** The effects of catecholamine facilitated via α receptors are blocked by these agents. Furthermore, depending on the ability of these drugs to dissociate from the receptors, they may either be reversible or irreversible.
    - **Example :** Prazosin , Yohimbine , Phenoxybenzamine
2. **β - Adrenoceptor Blocking Drugs :** The effects of catecholamine facilitated via the β - adrenoceptors are blocked by β - adrenoceptor blocking drugs. They can further be categorised as selective or non-selective β - adrenoceptor blocking agents.
    - **Example :** Atenolol , Butoxamine , propranonol

Pharmacological Actions

- On Eye : miosis
- Decrease the heart rate
- Bronchodilation
- Vasodilation .
- Lower blood pressure
- Increase intestinal motility .

Indication

- To treat hypertension
- In congestive heart failure
- In migraine
- Angina pectoris

- Anxiety
- Parkinson's disease

Contraindication

- Hepatic and renal disease
- Peptic ulcer
- Any drug allergy
- Coronary artery disease

Doses

- Atenolol : 25 - 100mg daily
- Propanolol : 80 - 240 mg 12 hourly
- labetalol : 200 -600 mg 12 hourly

Neuromuscular Blocking Agents (Skeletal Muscle Relaxant)

→ The drugs are used to block the transmission of nerve impulses at the skeletal neuromuscular junction and cause skeletal muscle relaxation are called Neuromuscular Blocking Agent.

→ They are used to reduce spasm and pain in skeletal muscles.

Classification

Drugs Acting Peripherally at the Neuromuscular Junction

1) **Non Depolarising Agents**
   a) long acting (60 - 120 minutes) e.g. tubocuratine ,Dexacurium
   b) Intermediate acting (20 -50 minutes) e.g. Atracurium
   c) Short Acting (10 -20) e.g. Mivacurium
2) **Depolarising Agents :** Succinyl Choline

Pharmacological Action

- Skeletal muscle : parental Administration of Tubocurarine results in weakness of Motor Impulses .
- CVS : These agents produce Hypotention and cardiac arrhythmia (increase or decrease in heart rate)

Indications

- ❖ Adjuvant (helping) to general Anaesthesia : Neuromuscular Blocking Agents are use with general anaesthesia to achieve adequate (as need) muscle relaxation.
- ❖ In Convulsant : These drugs are used for muscle relaxation in epileptic condition.
- ❖ In sever tetanus : Tetanus cause a painful muscle contraction , these drug are used only in severe case of tetanus.

Contraindications

- ➢ Heart patients : These are contraindicated in heart patients .
- ➢ Asthma patients ; These are contraindicated in asthma patients .

Dose

- d -tubocurarine 0.5 - 0.6 mg/kg
- Dexacurium 0.03 -0.05 mg / kg
- Atracurium 0.4 -0.5 mg / kg
- mivacurium 0.15 -0.2 mg/kg
- Succinyl Choline 1.0 -1.5

Drugs Used in Myasthenia Gravis

Myasthenia Gravis

- → It is an autoimmune disorder in which antibodies are produced that blocks od destroy Muscles receptors
- → Patients with Myasthenia show severe muscular weakness.
- → Breakdown in communication between nerves and muscles.

Drugs used In Myasthenia Gravis

1) Anticolinesterases: Pridostigmine
2) Immunosuppression : Cyclosporine , Azthioprine
3) Intravenous Immune Globulin (IVIG)
4) Immunoabsorption : this procedure helps to remove anti AChR ABs (Acetycholine Receptor Antibodies)
5) Plasma Exchange : It helps to remove the abnormal antibodies .

Local Anaesthetic

→ The drugs are used to block the sensation in a limited area are call Local anaesthetics .

Or

→ we say The drugs are used to abolish the sensory perception over a local area are called local anesthetics.

Classification Of Local anaesthetics

1. Injectable Anaesthetics
   - Short duration : procaine
   - Intermediate duration : Lignocaine (lidocaine)
   - Long duration : Tetracaine
2. Surface Anaesthetics : Cocaine , Lignocaine

Pharmacological Action Of Local Anaesthetics

The local anaesthetic have the following two types of actions :

- Local Action
- systemic action

1) Local Action

- They block the nerve ending
- They block the neuromuscular junction
- They delay the release of acetylcholine from motor neuron.

2) Systemic Action

a) CNS

- They stimulate the CNS in starting and then depress
- They produce restlessness , mental confusion.

B) CVS

- Heart : Cardiac depression
- Blood Vessels : Vasodilation
- Lower Blood pressure

Indications

- These are used for infiltration anaesthetics (anaesthetic of an operative site by local injection)
- These are used as antiarrhythmic agents.
- These are used to treat status epilepsy.

Contraindications

- These are contraindicated in coronary disease.
- These are contraindicated in heart failure.
- These are contraindicated in heart block.
- These are contraindicated in liver disease.

Dose

- Lignocaine : 4mg/ kg and should not exceed 300 mg or 500mg
- Procaine : 12mg/kg and should exceed 1000mg

Non-Steroidal Anti-Inflammatory Drugs (NSAIDs)

→ The drugs are used to treat Inflammation , and mild to moderate Pain and fever are called Non steroidal anti Inflammatory drugs .

Analgesic

- Analgesic are those drugs which used in the treatment of pain.
- NSAIDs reduce only slow pain
- These drugs can not used in severe pain.
- Eg : Aspirin etc,

Anti-Pyretics

- Antipyretics are those drugs which to reduce the high blood temperature.
- These drugs reduce only high body temperature not normal body temperature.
- Mainly antipyretics drugs used in the treatment of fever
- Eg : Paracetamol etc.

Anti-Inflammation Agent

- Anti-inflammatory are those drugs which used to reduce the inflammation in the body.
- Eg :Ibuprofen

Classification of (NSIADs)

1) **Non -Selective COX inhibitors**
    - Salicylates : Aspirin
    - pyrazolone Derivatives : Phenylbutazone
    - Indole Derivatives : Indomethacin
    - Propionic Acid Derivatives : Ibuprofen
    - Aril Acetic Acid Derivatives : Diclofenac
    - Oxycame Derivatives : Piroxicam
2) **Preferential COX 2 inhibitors :** Nimesulide , meloxicam
3) **Selective COX - 2 inhibitors :** Selecoxib , Rofecoxib
4) **Analgesic Antipyretics with poor Anti inflammatory Action** :
    - Paraaminophenol Derivatives : Paracetamol (acetaaminophen)
    - Pyrazolone Derivatives : Metamizol .

Indications

- Analgesia : NSIADs are used to eliminate or treat mild to moderate pain like :
    - Headache
    - Toothaches
    - Muscle aches
    - Arthritis
    - Migraine
    - Dysmenorrhea
- Antipyresis : NSIADs are used to treat fever / to normalize body temperature .
- Anti Inflammation : NSIADs are used to stop inflammation and pain like :
    - Rheumatoids
    - Osteoarthritis
    - Ankylosing spondylitis
    - Bursitis

Contraindication

- With NSIADs hypersensitivity (an exaggerated response by immune to a drug).
- In peptic Ulcer,
- In children suffering from chicken pox or influenza.
- In chronic liver disease
- In during pregnancy.
- In breastfeeding mother.

Dose

1) Aspirin :

- Adults : 325 -650mg orally 4 -6 Hours as need and should not exceed 3.9 g/day
- Children under 12 years : 10-15mg/kg

2) Paracetamol

- Adults : 500- 650 mg , duration 4-6 hours , and should not exceed 4000mg/day
- Children under 18 years : 15mg/kg duration 6 hours.

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Diploma in Pharmacy 2nd Year
Pharmacology
Chapter 3 : Drugs Acting on Eye

Chapter 3

Drugs Acting on Eye

→ These are the drugs which used to treat eye problems , like inflammation , Infection and reduction of intraocular pressure.

Miotics

➢ The drugs are used to produce miosis / constriction of pupils are called Miotics.

Classification

1. Muscarinic Agents : Pilocarpine , carbachol and other cholinergic drugs .
2. α adrenergic blockers(relaxation of dilator muscle) : Tolazoline and other alpha blocker . pupil get constricted when iris dilated .

Indications

❖ These drugs are used to treat glaucoma .
❖ To control mydratic effect.
❖ To prevent or break adhesion (attachment) between iris and lens.

Contraindications

◈ These are contraindicated during pregnancy.
◈ These are contraindicated during lactation.
◈ These are contraindicated during in children because its safety is not clear.
◈ These are contraindicated in patients are above 65 years.
◈ These are contraindicated in patients are suffering from cataract.

Dose

- Pilocarpine : 1-4% pilocarpine nitrate in eye drops.
- Tolazoline ; 1-2mg/kg intravenously over 10 minutes.

Mydriatics

- The drug are used to dilate the pupil to perform easier and detailed examination.

Classification

1. Adrenergic agonist : Adrinaline , Phenylephrine.
2. Cholinergic Antagonist : Tropicamide , Atropine , cyclopentolet.

Indications

- These are used to dilate the pupil.
- These are used for examination of retina and optic nerves.
- These are used for diagnosis of iris problems.
- These are used to determine refractive error (it is a disease in which eye can not focus the image clearly and result in blurred vision.

Contraindications

- It is contraindicated in hypertension.
- In Glaucoma.
- In intraocular pressure.

Doses

- Tropicamide : 0.5% solution dilate for 30 minutes nearly.
- Atropine : 1% solution 7-12 days
- Cyclopentolate : 1-2 % 24 hours

Glaucoma

- → Glaucoma is a ocular (eye) Disease in which intraocular pressure is increased and damage the optic nerves which causes visual loss.
- → When drainage canal of aqueous humorous get blocked , the intraocular pressure increases.

There are two types of glaucoma :

- Open Angle Glaucoma
- Narrow / Closed Angle Glaucoma

Classification

1. Osmotic Agent : Mannitol, Glycerol
2. β- Adrenergic blockers : Timolol, Betaxolol, Brimonidine
3. Miotics : Pilocarpine,

Indication

- For the treatment of glaucoma
- Reduce Intraocular Pressure
- Eye pain etc

Dose

- Timolol 0.23 – 0.5 % one drop B.D
- Brimonidine 0.2% B.D

Contraindication

- Peptic Ulcer
- Hyyotension
- Epilepsy etc

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Diploma in Pharmacy 2nd Year
Pharmacology
Chapter 4 : Drugs Acting on the Central Nervous System

Chapter 4

Drugs Acting on the Central Nervous System

General Anaesthetics

- → The drugs which produce reversible loss of all sensation , conscious ness and Movement .
- → there are three component of anaesthesia :
  - Analgesia (pain killer)
  - Amnesia (loss of memory)
  - Immobolisation (loss of movement)

Anaesthetics are of two types :

1. Local Anaesthetics : These act locally and eliminate the sensory perception over a local area.
2. General Anaesthetics : These eliminate the sensation of complete body and are used as an adjunct to surgical operations to make patient unaware and unresponsive to painful conditions.

→ General anaesthetics are drugs producing analgesia, unconsciousness, reversible loss of all sensation, and relaxing the patient's muscles.

Stages of Anaesthesia

Stage -1 :

Analgesia :

- It starts with administration of anaesthetics
- Beginning of loss of consciousness , reflexes and respiration remain normal , and feel dream like condition.
- loss of pain sensation.

Stage -2 :

Excitement or Delirium :

- It starts with unconsciousness to beginning of irregular respiration.
- Patient may shout , struggle for movement , jaws are tightly closed.
- Heart rate and BP may rise , and pupils dilate
- Involuntary Urination , and defecation.
- No operative procedure carried out in this stage.

Stage -3 :

Surgical Anaesthesia :

- All the surgical procedures are done in this stage.
- plane 1 : respiration becomes regular , and eyes become fixed.
- plane 2: loss of corneal and laryngeal reflexes
- plane 3 : pupils start dilating and light reflexes
- plane 4: Dilated pupils , decrease muscle tone , BP falls.

Stage 4:

Medullary paralysis :

- This stage must be avoided , otherwise patient may be died . In this stage medulla depresses and leads to stop respiration and then death .

Classification of General Anaestheics

1) Inhalation Anaestheics
    - Enflurane
    - Desflurane
    - Halothane
    - Ether
2) Intravenous Anaestheics
    - Thoipental sodium
    - ketamine hydrochloride
    - Diazepam
3) Gaseous Anaestheics
    - Nitrous Oxide

Pharmacological Action Of general anaestheics

→ These drugs work on central nervous system and depress it , and induce sleep , loss of pain sensation and unconsciousness.

Indication , Contraindication and doses of some commonly used general anaesthetics

Inhalation Anaestheics

Halotane

- **Indication :** It is used for general Anaesthesia in combination with other anaesthetic agents .
- **contraindication :** It should not be used in patient having intracranial hemorrhage .
- **Dose :** 2-3 % in oxygen vapor .

Intravenous Anaestheics

Thiopental sodium

- **Indication :** It is used for general Anaesthesia in combination with other anaesthetic agents .
- **Contraindication :**
    - It is contraindicated in myasthenia gravis .
    - in Prolonged asthma
    - in low blood pressure
    - in porphyria
- **Dose:** 2.5 % solution for IV

Gaseous Anaestheics

Nitrous Oxide

- **Indication** : It is used to maintain surgical anaesthesia in combination with other anaesthetic agents.
- **Contraindication :**
    - It is contraindicated in patients suffering from chronic respiratory problems.
    - In pregnancy
    - In vitamin B12 deficiency patients
- **Dose :** It should be mixed with at least 30% oxygen .

Sedative & Hypnotics

- → **Sedatives :** Sedatives are those drugs which reduce excitement,emotions, tension and induce calmness . It is also used as antianxiety.
- → **Hypnotics :** hypnotics are those drugs which produce sleep , just like natural sleep.
- → When we increase sedative drugs dose then they produce hypnotics effects.
- → Sedative-hypnotic agent is a chemical substance which reduces tension and anxiety and induces calmness (sedative effect) or sleep (hypnotic effect). Low doses of these drugs exert a calming effect and higher doses have a sleep- inducing effect.
- → Sedative-hypnotic drugs depress the CNS.

Classification

1) Urea derivatives : Barbiturate
    - Long acting barbiturate : Phenobarbitone , Mephobarbitone.
    - Short acting barbiturate : Butobarbitone , secobarbitone.
    - Ultra short acting barbiturate : Thiopentone , hexobarbitone
2) Benzodiazepines :
    - Sedative and hypnotics : diazepam , clonazepam , Alprazolam , flurazepam.
3) Newer Non Benzodiazepine Hypnotics : Zopiclone , zolpidem.
4) Miscellaneous : Chloral hydrates, Triclofos.

Pharmacological Action

- ❖ **CNS Depression :** They depress the CNS and cause sedation and hypnotic effects . over dose can cause death when respiratory and vasomotor center depressed.
- ❖ **CVS :** they lower blood pressure , reduce cardiac output , and induce vasodilatation.

Indications

- They are used as sedative and hypnoti cs.
- They are as anticovusant.
- They are used as anaesthetics in combination of other anaesthetic drugs.

Contraindications

- They are contraindicated in porphyria.
- They are contraindicated in Liver and kidney diseases
- They are contraindicated in pulmonary diseases .

Dose

- **Diazepam :** Tablets 2 , 5, and 10mg and slow releasing capsule 15 mg
- **Alprazolam :** Tablets (0.25 , 0.5 , 1 , and 2 mg)
- **Clonazepam :** Injection and tablets (0.25 , 0.5 , 1 , and 2 mg)

Anti-Convusant Drugs / Antiepileptic / Antiseizure drug

- → The drugs are used to treat convulsion are called anticovulsant drugs . Convulsion is a nervous system disorder , due to abnormal electrical activity in brain cells.
- → This disorder may result involuntary muscle movement , uncontrolled shaking of the body.

Classification

1. **Hydantoin Derivatives :** Phenytoin, Methatoin, and Ethotoin.
2. **Barbiturates :** Phenobarbiturate, Primidone.
3. **GABA Transaminase Inhibitors :** Sodium Valproate, Vigabatrin.
4. **GABA agonist :** Gabapentin
5. **Benzodiazepines :** Diazepam , clonazepam
6. **Iminostilbenes :** Carbamazepine, Oxcarbazepine.

Pharmacological Action

- ⇨ These drugs stabilize cell membranes and suppress the abnormal electric impulses in the cerebral cortex.
- ⇨ They mainly work on GABA receptors , sodium and calcium channels.

Indications

- ⇨ These drugs are used to treat various types of Epilepsy.
  - Generalised (Tonic clonic , pettimal)
  - partial seizure (simple , complex)
  - Status

Contraindications

- ❖ These are contraindicated in liver diseases.
- ❖ These are contraindicated in certain blood diseases.
- ❖ These are contraindicated in narrow angle glaucoma.

Doses

- **Phenytoin :** 50 mg and 100mg tablets , 50 mg IV , and it should not exceed 50mg / minute .
- **Phenobarbitone :** 60mg 1-3 times a day . 3-6 mg /kg / day in children . It is the first effective antiepileptic drug was introduced in 1912 . and it broad spectrum antiseizure drug .
- **Sodium Valproate :** 100mg and 200 mg tablets and 200mg/5ml syrup. and in children 10mg/kg in tow divided doses .
- **Carbamazepine :** 100mg initial dose and gradually increased to 600-1200mg /day in divided dose . it is available as 100 ,200, 400 mg tablets

Anti-Anxiety Drugs / Anxiolytic Drugs

- → Anxiety is a CNS disorder.
- → Some symptoms of anxiety are below :
  - Too much worry and fear about everyday situation
  - Feeling nervous and restlessness
  - Tension , panic
  - Increased heart rate and breathing
  - Sweating
- → The antianxiety agents (or anxiolytic drugs) are used for controlling the effects of stress and the feelings of discomfort, tension, fearful anticipation of untoward events, and dysphoria in patients with neuroses and mild depressive states.
- → These agents also produce skeletal muscle relaxant effects.
- → The drugs are used to treat anxiety are called antianxiety drugs .

Classification

1. **Benzodiazepines :** Diazepam , clonazepam , alprazolam , lorazepam , oxazepam , Clobazam , chlordiazepoxide.
2. **Non Benzodiazepines anxiolytics :** meprobamate , tybamate , zopiclon , zolpidem.

Pharmacological Action

- ❖ These drugs show anxiolytic , sedative & hypnotic , anticonvulsant , and central muscle relaxant actions.

Indications

- ➢ **In Anxiety :** They are used to treat anxiety.
- ➢ **In depression :** Alprazolam is used to treat mild depression
- ➢ **In Insomnia :** They are used to treat insomnia .
- ➢ **In convulsant :** They are used to treat convulsant.
- ➢ **In drug withdrawal :** Diazepam and chlordiazepoxide are used to reduce alcohol withdrawal symptoms.

Contraindications

- ▪ These are contraindicated in liver diseases.
- ▪ These are contraindicated in certain blood diseases.
- ▪ These are contraindicated in narrow angle glaucoma.

Doses

- ⇨ **Diazepam :** Tablets 2 , 5, and 10mg and slow releasing capsule 15 mg
- ⇨ **Alprazolam :** Tablets (0.25 , 0.5 , 1 , and 2 mg)
- ⇨ **Clonazepam :** Injection and tablets (0.25 , 0.5 , 1 , and 2 mg)
- ⇨ **Lorazepam :** 1-4mg /day
- ⇨ **Chlordiazepoxide :** 10-30mg /day

Anti-Depressant drugs

→ Depression : According to WHO Depression is a mental disorder presents with depressed mood , loss of interest or pleasure , feeling of guilt or low self confidence , disturbed seep and appetite , low energy , and poor concentration.

→ Types of Depression

- **Unipolar depression :** In this type of depression mood swings always in the same direction.
- **Bipolar depression :** In this type of depression mood swings in two directions depression and mania . In mania condition the affected person feel more energetic , and exaggerated self confidence.

→ The drugs are used to treat depression are called antidepressant drugs.

Classification Of Antidepressant drugs

1. **Monoamine Oxidase Inhibitors (MAOIs):** Phenelzine , Isocarboxazid
2. **Tricyclic Antidepressant (Reuptake (reabsorb) Inhibitor) :** Imipramine , Amitiptyline.
3. **Selective Serotonin Reuptake Inhibitors(SSRIs) :** Fluoxetine , setraline
4. **Atypical Antidepressant :** Trazodone , Venlafexine.

Pharmacological Action

- **ANS :** They cause blurred vision , dry mouth , and constipation.
- **CVS :** they cause Hypotension (due to α blocking effect) and toxic effect on myocardium.
- They inhibit the reuptake (reabsorption) of neurotransmitter Like Dopamine , Norepinephrine , serotonin.

Indications

- They are used to treat depression.
- They are used to treat migraine, and panic disorder
- Have a high risk of suicide.

Contraindications

- In having a history of myocardial infarction , heart failure,
- Narrow angle glaucoma.

Dose

- **Phenelzine Sulphate (Nardil) :** 45-60mg/day . upto 75 mg
- **Isocarboxazid :** 10-30 mg /day , upto 50 mg
- **Imipramine :** 75 mg tablet daily , upto 150 mg a day .
- **Amitriptyline :** 75 mg tablet daily , upto 150 mg a day .
- **Fluoxetine :** initial dose is 20mg /day , up to 30-40 a day .
- **Setraline :** 50mg / day

Anti-psychotics / Neuroleptics

- → Schizophrenia is one of the major forms of psychiatric illness which affects young people, is often chronic, and high disabling.
- → Symptoms of schizophrenia
    - Hallucination (in which a person hears , sees, smell , taste ,or feel things that seems to real but only exit in his mine) and delusions.
- → The drugs are used to treat schizophrenia (mood-altering) are called antipsychotics.
- → It may be called mood stabilizing agents.

Classification

1. **Phenothiazine derivatives :** Chlorpromazine
2. **Butyrophenones :** Haloperidol
3. **Rauwolfia Alkaloids :** Reserpine
4. **Atypical Neuroleptics :** Clozapnie , Risperidone
5. **Substituted Benzamide :** Sulpiride
6. **Indole Derivatives :** Malingole.

Pharmacological Actions

- ❖ They prevent the excess of dopamine in the brain.
- ❖ In normal individuals , chlorpromazine makes them to loss interest from surroundings.
- ❖ They act as α adrenergic blocking agents.
- ❖ They cause hypotension.

Indications

- ◈ They are used to treat Schizophrenia .
- ◈ They are used to treat manic depression
- ◈ They are used for controlling aggressive and destructive behavior in children
- ◈ they are used to control vomiting and Hiccups

Contraindications

- ⅄ These are contraindicated in severe allergy
- ⅄ In severe cardiac diseases
- ⅄ In narrow angle glaucoma
- ⅄ In History of seizure disorder

Doses

- **Chlorpromazine :** 10-100 mg TDS (thrice a day) Max 800 mg a day)
- **Haloperidol :** 2-20mg/day
- **Clozapnie :** 100-300mg/day
- **Risperidone :** 2-8mg/day

Nootropic Agents / Cognitive Enhancer / Smart drugs

→ Nootropics, also known as “smart drugs” are a diverse group of medicinal substances whose action improves human thinking, learning, and memory,

Or

→ Nootropics are those substances which increase mental functions including : Memory, Motivation, Concentration, Attention

Classification

1. **Cholinergic Activators :** Piracetam
2. **Seratonergics :** Theamine
3. **Dopaminergic :** L- Dopa
4. Some other examples Aniracetam , Oxiracetam , Hydergine , Vincamine Meclofenoxate .

Pharmacological Actions

- They increase Metabolism in brain .
- They increase cerebral circulation .
- They protect brain from Chemical damage .

Indications

- They are used to treat alzheimer's disease.
- They are used in those who are suffering from learning and attention problems,.
- They are used to increase intelligence , smartness.
- They are used to treat amnesia.
- They are used to treat dementia (abnormalities in to remember , think , and decision)

Contraindications

- In Hypersensitivity
- In pregnancy
- In lactation

Dose

- **Piracetam :** 2-3mg /day in divided dose
- **Hydergine :** 4.5- 9 mg / day

Centrally Acting Muscle Relaxant

→ The centrally acting muscle relaxants are a group of drugs that act in the central nervous system (CNS) to mitigate tension and spasm of skeletal muscles.

OR

→ The drugs are used to relax the skeletal muscle by acting on central nervous system are called " centrally acting muscle relaxants .

→ They are used to treat spasm and pain in muscles .

Classification

1) **Barbiturates :** phenobarbitone
2) **Benzodiazepines :** Diazepam
3) **GABA derivatives :** Baclofen
4) **Mephenesin Congeners :** Mephenesin , Meprobamate ,Chlormezanon

Pharmacological actions

- **Voluntary Muscles :** They relax the voluntary muscles by depressing the CNS.
- **CNS :** They depress the CNS , Show sedative effects.

Indications

- **Muscles Spasm :** They are used to treat spasm and pain of muscles , and rheumatic disorders.
- **Anxiety and Tension :** They are used in treatment of anxiety and tension .
- **Tetanus :** They are used in treatment of tetanus in combination with other medicines .
- **Convulsion :** They are also used in treatment of convulsion.

Contraindication

- Mephenesin Congeners and Baclofen are contraindicated in hypersensitivity .

Doses

- **Diazepam :** Tablets 2 , 5, and 10mg and slow releasing capsule 15 mg
- **Phenobarbitone :** 30-120 mg /day in divided dose.
- **Mephenesin :** Ointment as required.
- **Baclofen :** 10-15 mg/day orally

Opioid (Narcotic) Analgesics

- → Analgesics are those substances which reduce pain by acting on central nervous system.
- → Opioid Analgesics are pain killers that obtained from opioids.
- → They reduce pain without disturbing other sensory functions , or without causing unconsciousness.
- → Opioid Analgesics may be natural , semi synthetic , or synthetic.

Classification

1) **Morphine :** It is a potent analgesic drug .It is also called gold standard drug for treating severe pain .It has a high potential for addiction .
   Eg : Morphine , dimorphine , Codeine.
2) **Synthetic :** Pethidine , tramadol Methadone , pentazocine , cyclazocine.

Pharmacological Action

1) CNS : It interacts with different types of brain receptors and produces following actions
   - Analgesia : It reduces pain sensation.
   - Euphoria : It produces a feeling of excitement and happiness.
   - sedation : it causes sleep .
   - vomiting : It stimulates Chemoreceptor Trigger Zone in medulla and causes vomiting.
   - respiratory depression : It depresses the respiratory volume , and may cause death.
   - antitussive : It suppresses the cough reflex by depressing cough center in medulla .
2) Action on GIT : It causes constipation , It inhibits peristaltic movement.
3) CVS : it causes Vasodilatation.
4) It causes pain in bile duct.
5) It causes urine urgency and difficulty in urination.
6) It prolongs labours.

Indications

- Analgesia : they are used to relief severe pain.
- They are used to treat Diarroea.
- They are used to relief cough.
- They are used as pre anaesthetic.

Contraindications

- In head injury .
- In Bronchial Asthma .
- Undiagnosed abdominal pain .
- Chronic lungs diseases
- In Hypothyrodism .
- In Hepatic failure.

Doses :

- **Morphine :** (10-15mg oral) 0.1 - 0.2 mg /kg (4 hours) as need to manage pain
- **Codeine :** 30 -60 mg
- **Pethidine :** 50-100 mg oral
- **Tramadol :** 50-100 mg oral
- **Methadone :** 2.5-10mg oral
- **Pentazocine :** 50-100 mg oral

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Chapter 5 : Drugs Acting on the Cardiovascular System

Chapter 5

Drugs Acting On Cardiovascular System

Anti-Hypertensive Drugs

→ A condition in which the blood pressure of systemic artery increased beyond the normal pressure is known as Hypertension.

→ Normal range

- Systolic = 120 above
- Diastolic = 80 above

→ The drugs are used to treat High Blood Pressure are called Anti-hypertensive Drugs.

Classification

1) Diuretics :

- **Thiazides :** Chlorothiazide , Hydrochlorothiazide . chlorthalidone.
- **Potassium Sparing Diuretics :** Spironolectone , Amiloride.
- **Loop Diuretics :** Furosemide , Bumetanide.

4) Adrenergic drugs :

- **α blocker :** Prazosin , Doxazosin.
- **ß Blockers :** Atenolol , Propranolol.
- **α& ß blockers :** Labetalol , Carvedilol.

5) Calcium Channel Blockers : Verapamil , Amlodipine , Nifedipine.

6) Vasodilators : Hydralazine.

Pharmacological action

- Vasodilation , and lower SBP and DBP.
- Increase Blood Flow (Renal , Coronary etc.)
- Effect on CVS : Hypotension , fall in BP
- On Eye : miosis
- Decrease the heart rate
- Bronchodilation

Indication

- To treat hypertension.
- In congestive heart failure.
- In migraine.

Contraindication

- Hepatic and renal disease
- Peptic ulcer
- Any drug allergy
- Coronary artery disease

Doses

- Prazosin (1-15 mg/d)
- Doxazosin .(1-20 mg/d)
- Atenolol : (25 - 100mg daily)
- Propanolol : (80 - 240 mg 12 hourly)

Anti Anginal Drugs

→ Angina is referred to chest pain due to low or no blood supply to the Heart muscles .
→ The Drugs are used to treat Angina pectoris are called anti anginal Drugs

Classification

1. **Vasodilators :**
   - **Nitrites and nitrates :** Isosorbide dinitrate Nitro -glycerine .
   - **Calcium Channel Blockers :** Verapamil , amlodipine , Nifedipine
   - **Potassium Channel Opener :** Nicorandil
2. **ß adrenoceptor antagonist (ß blockers) :** Atenolol , Prapranolol , Metoprolol.

Pharmacological Actions

- **Dilation :** they dilate the coronary arteries.
- **Blood Flow :** Reduce oxygen demand by increasing blood flow to the heart muscles.
- They dilate peripheral Blood vessels and decrease the load of heart.

Indications

- They are used to angina
- In MI
- Chronic heart failure

Contraindications

- Hypotension
- Low blood volume
- Pulmonary oedema
- left ventricle failure
- Cardiomyopathy (disease of heart muscles)
- Close angle Glaucom

Doses

- Isosorbide dinitrate : (5-10 mg sublingual) (20-40 mg sustained release oral)
- Nitro Glycerine : (0.5 mg sublingual) (5-15 mg oral) (5-20 ug /min i.v.)
- Nicorandil (5-20mg/ BD)

Anti- Arrhythmic Drugs

Arrhythmia

- → Cardiac arrhythmia is an abnormalit of heart rhythm
- → Arrhythmia is improper beating of heart whether irregular, toofast, or too slow.
- → Anti – Arrhythmic drugs may be used to control or correct cardiac rhythm.
- → The drugs are used to treat Arrhythmia are called Anti- Arrhythmic Drugs.
- → Also Known as Anti-dysrhythmic drugs, Anti-Fibrillatory drugs.

Classification

1) **Sodium Channel Blocker :** Quinidine , Procanamide , Lidocaine , Phenytoin.
2) **Beta blockers :** Atenolol , Propranolol
3) **Potassium channel blockers :** amiodaron , bretylium.
4) **Calcium Channel Blockers :** Verapamil , Nifedipine.

Pharmacological Actions

- They block mayocardial Na + Channels.
- They slow down heart rate.
- They block potassium channel in myocardium.

Indication

- Arrhythmia
- Atrial fibrillation (irregular or rapid heart rate)

Contraindications

- Hypersensitivity
- Coronary artery diseases
- Severe hepatic disorder

Doses

- Quinidine : (100-200mg/tds) oral
- Procainamide : (.5-1 g/d) oral
- Amiodaron (400-600mg/d) orally
- Sotlol (40-80mg/bd) orally.

Drugs Used In Atherosclerosis

Atherosclerosis

- → Formation of Plaque inside the arteries is referred to as a state of Atherosclerosis.
- → With the Time plaque harder and narrows the arteries.
- → As the arteries are narrowed the flow of oxygen rich blood to heart as well as to other areas of the body is reduced or stopped.

Drugs Used In Atherosclerosis

Classification

1. **HMG-CoA Reductase Inhibitors (Satins) :** Atorvastatin , Lovastatin .
2. **Bile Acid Sequestrants (Resins) :** Cholestyramine , Colestipol .
3. **Fibric Acid Derivatives (Fibrates) :** Clofibrate , Fenofibrate .
4. **Triglyceride Synthesis and lipolysis Inhibitors :** Nicotinic Acid , Probucol .
5. **Others :** Omega 3 fatty acids

Pharmacological Action

- They slow or inhibit the production / synthesis of cholesterol.
- They prevent deposition of lipids in blood vessels (formation of plaque)
- They bind with bile and prevent reabsorption of bile from GIT.

Indications

- They are used to treat hyperlipidemia.
- They are used to reduce the risk of MI.
- They are used to remove plaque in blood vessels.
- These are used to maintain or reduce cholesterol level.

Contraindication

- Liver Diseases
- In pregnant & lacting women
- Hypersensitivity
- Gall bladder disorder

Dose

- Cholestyramine (4 g / d in starting in divided dose)
- Colestipol (2-16 g /d in divided dose)
- Clofibrate (1.5 - 2 g /d in divided dose)
- Fenofibrate (50-150mg/ d) .
- Atorvastatin (10-20mg/d)
- Lovastatin (20-80mg/d)

Drugs used in congestive Heart failure

- → When a heart fails to pump blood in a quantity sufficient to fulfill the body requirements a condition of Congestive Heart Failures.
- → Also Known as heart failure.

CHF due to

- Narrowing of arteries
- Congenital Heart defects
- Infection or defect in heart valve
- Myocarditis (Infection of heart muscles)
- Cardiomyopathy (disease of heart muscles)

Symptoms

- Fatique
- Swelling or odema
- Shortness of breath
- Increased Urination

Classification

1) Drugs with Positive Inotropic Effects :

- **Cardiac glycosides :** Digoxin , Digitoxin , Oubain .
- **Bipyridines Or Phosphodiestrase Inhibitors :** Amrinone , Milrinone .
- **ß adrenergic agonist :** Dobutamine , Dopamine .

2) Drugs without Positive Inotropic Effects :

- **Diuretics :** Chlorothiazides , Furosemide , spironollectone.
- **ACEI :** Captopril , ramipril.
- **ß Blockers :** Atenolol , propranolol.
- **Vasodilators :** Nitrates , Hydralazine.

Pharmacological Action :

- Heart : They Provide strength the heart muscles and increase the contraction force of heart.
- Kidney : They Increase the blood flow to the kidney this increase urination and relifes odema patient with cardiac odema
- Effect on CNS : Digitalis may produced symptoms of visual disturbances such as blurring of vision etc.
- They cause Vasodilation.

Indications

- They are used to treat Congestive heart failure.
- Circulatory Shock
- Cardiac Arrhythmia etc.

Contraindications

- Hypersensitivity
- Aortic Diseases
- Hypokalamia
- Pulmonic Valve disease

Doses

- Milrinone : (0.375mcg/kg/min) maximum 1.13 mg kg /d .
- Amrinone (5-15mcg /kg/min) maximum 10mg /d .
- Dobutamine (2.5-10mcg/kg/min) maximum 40 mcg /kg In divided dose
- Dopamine (0.2-1mg /kg/min) maxm. 300-1200 mg in divided dose .

Drug Therapy For Shock

→ Shock is a condition in which our body cells does not get proper amount of oxygen (Hypoxia)
→ Which result in decreasement in tissue perfusion

↓ Oxygen (02) → ↓ Tissue Perfusion → Cell Death → Organ Damage → Like Heart etc..,,

Classification

1) **Sympathomimetics Amines :** Dobutamines, Adrenaline
2) **α-adrenorecptor blocking agent :** Pentolamine, Phenoxybenzamine.
3) **Dextrox :** Vasodilators, Diuretics.

Pharmacological Action

- Increase in Heart Rate
- Increase in Cardiac output
- Increase in Positive Inotropic effect

Indication

- It is used to treat shock.
- It is used to treat septic shocks (due to infection)
- It is used to treat CHF

Contraindication

- Severe Hypertension
- Hypokalamia
- Myocarditis
- Arrhythmias.

Doses

- Dopamine 0.2 -1 mg / kg / min
- Dobutamine 2.5 – 10 mg / kg / min

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Diploma in Pharmacy 2nd Year
Pharmacology
Chapter 6 : Drugs Acting on Blood and Blood Forming Organs

Chapter 6

Drugs Acting on blood and Blood forming Organs

- Blood is a fluid connective tissue that transports oxygen, nutrients and growth factors to individual cells of the body.
- The main components of blood are cell (like RBCs, WBCs, platelets), proteins (like coagulation factors, amino acids, growth factors, factors of the complement system), monosaccharide (ribose, glucose), minerals (Na+, K+, Cl-, HCO_3-), and water.
- **Haematologic System :** The system responsible for formation of blood is called Haematlogic System.
- **Haematopoiesis :** The process of blood forming is called Haematopoiesis .

Blood Forming Organs

- **Bone Marrow :** Bone marrow contains cells that produce blood cells and platelets and it is responsible for making billions of new blood cells each day.
- **Spleen :** The spleen stores and filters blood and makes white blood cells that protect you from infection.
- **Liver :** The liver produces proteins that are important in blood clotting. It is also one of the organs that break down old or damaged blood cells.

Hematinic agents

- The drugs are used to treat Anaemia are called Haematinics.

Or

- The substances are used to treat deficiency of Iron are called haematinics.

Anaemia

- Anaemia is the decrease in number of red blood cells or hemoglobin content caused by blood loss, deficient erythropoiesis, excessive hemolysis, or combination of these changes.
- Iron deficiency anaemia is probably the most common nutritional deficiency in the world

Types of Drugs are used as Haematinics :

- **Iron :** Ferrous Sulfate, Ferrous Fumarate, Ferrous Ammonium Citrate,
- **Folic acid :** leucovorin, Citrovorum
- **Others :** Pyridoxine, Riboflavin.

Pharmacological Action

- It play an important role in formation of haemoglobin .
- It involves in formation of some Hormone like Thyroid Hormone .
- Iron is necessary for the production of hemoglobin.
- Iron-deficiency can lead to decreased production of hemoglobin and a microcytic, hypochromic anemia.

Indication

- It is used to treat anaemia.
- It is used to treat iron deficiency.

Contraindications:

- Stomach upset
- Nausea
- Vomiting

Dose

- ✓ Ferrous Sulphate 0.3g Tds
- ✓ Ferrous Fumarate 0.2g Tds
- ✓ Ferrous Gluconate 0.6g tds .
- ✓ Ferric Ammonium Citrate 1.0 g tds .
- ✓ Folic Acid : 0.1 -.08 mcg or 1 mg daily

Anti-Coagulants

- → Agents decreasing the coagulation ability of blood are known as anticoagulants. They do not dissolve clot that have already formed but are used to inhibit the formation of new clots.
- → Examples of these agents are heparin and warfarin. Heparin is given intravenously to patients at risk of formation of thrombus and warfarin is administered orally.
- → The drugs prevent coagulation of blood are called anticoagulants .
- → **Coagulation** (or clotting) is the process through which blood changes from a liquid and becomes thicker, like a gel.

Classification

1. **Injectable Anticaogulants :** Heparin , Ancrod , Lepirudin.
2. **Oral Anticaogulants :**
    - **Coumarin :** Bishydroxy Coumarin ., Warfarin Sodium , Acenocoumarin.
    - **Indandione Derivatives :** Phenindion.

Pharmacological Action

1) **Heparin :**
   - It prevent blood clotting in vivo (inside the body) as well as in Vitro.
   - It Activates antithrombin III , which inactivates factors IX , and X . in this way coagulation is prevented.
2) **Coumarin :**
   - Coagulation factors II , VII , IX and X are present in inactive form , until they are carboxylated.
   - These drugs act on Vitamin K and prevent the synthesis of chemical that carboxylate these factors.

Indications

- Low blood platelets.
- Bleeding
- In unstable angina.
- To prevent coagulation in Heart failure.
- To prevent clotting during open heart surgery.

Contraindications

- Recent trauma ,
- Recent surgery ,
- Recent abortion ,
- Recent stroke ,
- Severe Hypertension ,
- Severe Diabetes ,
- Severe liver damage ,
- Peptic ulcer ,
- Bleeding disorder .

Doses

- ✓ Heparin 5000-10000 unit /ml i.v
- ✓ Warfarin 5-10 mg /d

Anti-Platelet Agents

- → The drugs prevent platelet aggregation are called Anti- Platelet drugs .
- → Also Known as Anti – Thrombotic Drugs
- → **Platelet aggregation**, the process by which platelets adhere to each other at sites of vascular injury, has long been recognized as critical for hemostatic plug formation and thrombosis.

Classification

- ➢ **Thromboxan (TxA2) synthesis Inhibitors :** Low dose of Aspirin , Dazoxiben.
- ➢ **Phosphodiesterase Inhibitors :** Dipyridamol.
- ➢ **ADP - Induced Platelet Aggregation Inhibitors :** Ticlopidine , Clopidogrel.
- ➢ **Glycoprotein IIb / IIIa receptor Blockers :** Tirofiban , Eptifibatide.

Pharmacological Action

- **Thromboxan (TxA2) synthesis Inhibitors :** Low dose of Aspirin . acts on Cox 1 and reduces the production of TXA2 . (this TxA2 causes platelet aggregation)
- **Phosphodiesterase Inhibitors :** Dipyridamol . It increases the concentration of cyclic adenosine monophosphate (cAMP) levels and it prevents platelet aggregation.
- **ADP - Induced Platelet Aggregation Inhibitors :** Ticlopidine , Clopidogrel . It blocks the P2 Y12 receptor of platelet , which activates the platelets and cause aggregation.
- **Glycoprotein IIb / IIIa receptor Blockers :** Tirofiban , Eptifibatide . They block Glycoprotein IIb / IIIa receptor of platelet which activates platelet aggregation.

Indications

- As a Anti-Platelet
- Unstable angina
- Acute MI
- In Post MI Patients
- Cerebrovascular Diseases
- Prosthetic heart valves (artificial valve)

Contraindications

- Severe diabetes
- Liver damage
- Peptic ulcer

Dose

- ✓ Aspirin 75-150mg /d oral
- ✓ Dipyridamol 150-300 mg /d
- ✓ Ticlopidine 250- 500 mg /d
- ✓ Tirofiban 0.4mcg/kg/min i.v.

Thrombolytic Drugs (Fibrinolytics)

- → Also Known as Fibrinolytics.
- → These are those drugs which are used to breaks the clot/thrombus, inside the blood vessels (mainly in coronary artery)
- → The give their action by activating fibinolytic system.

Classification

1. **Non-fibrin specific**
    - Streptokinase
    - Anistreplase
    - Urokinase
2. **Fibrin specific**
    - Tissue plasminogen Activators (t-PA)
    - Alteplase
    - Reteplase
    - Tenecteplase

Pharmacological Actions

- Thrombolytic work by dissolving a major clot quickly.
- This helps restart blood flow to the heart and helps prevent damage to the heart muscle.
- Thrombolytic can stop a heart attack that would otherwise be larger or potentially deadly.

Indication:

- Stroke
- Myocardial Infarction
- Used for dissolving the clotting

Contraindications:

- Pregnancy
- Bleeding disorder
- Diabetics
- Cardiovascular disorder

Doses

- ✓ Urokinase 4400 IU/kg
- ✓ Alteplase For MI 15 mg i.v. For pulmonary embolism 100mg i.v
- ✓ Streptokinase 250,000 IU /2ml

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Diploma in Pharmacy 2nd Year
Pharmacology
Chapter 7 : Drugs Acting on Respiratory System

Chapter 7

Drugs Acting on Respiratory System

Bronchodilators

- → Bronchodilators are a type of medication that make breathing easier.
- → They do this by relaxing the muscles in the lungs and widening the airways (bronchi).
- → They're often used to treat long-term conditions where the airways may become narrow and inflamed.
- → The drugs dilate (widen) the diameter of bronchi are called Bronchodilators.

Classification

1) **Sympathomimetic Agents (ß2 Blockers)**
    - **Short acting agents :** Salbutamol , Terbutaline.
    - **Long acting agents :** Salmeterol , fenoterol , Formeterol .
2) **Xanthine derivatives :** Thyeophyline , aminophyline.
3) **Anti-Colinergic agents :** Ipratropium Bromide , atropine.

Pharmacological Actions

- They dilate the bronchi.
- They Increase the CNS Performance.
- They have Positive inotropic effect
- They relax smooth muscles
- They increase the acid and pepsin in the stomach etc

Indications

- Acute bronchospasm
- Asthma
- Bronchitis
- Emphysema

Contraindications

- Hypertension
- Coronary arteries disease.
- Uncontrolled arrhythmias.
- Previous history of stroke.
- Peptic Ulcer

Doses

- ✓ Salbutamol 2-4 mg oral , 0.25-.5 mg i.m./s.c. 100-200 mcg inhalation
- ✓ Terbutaline 5 mg oral
- ✓ Thyeophyline 100-300mg TDS oral
- ✓ Aminophylline 250-500 mg / oral

Expectorant

- → An expectorant is a medicine you can use when you have a cough that produces mucus. Expectorants help thin the secretions in your airway and loosen up mucus, so you can make your cough more productive.
- → The drugs are used to treat protuctive cough (with sputum) are called expectorants .

Classification

- ➢ **Directly acting (secretion enhancer / expectorant) :** Sodium citrate , sodium acetate , potassium citrate , potassium acetate , Potassium iodide , Guaiphensine , Tolu balsam , Vasaka, Terpine Hydrate , ammonium chloride ,
- ➢ **Mucolytics :** Bromhexine , ambroxol , Acetyl Cystieine , carbocisteine .

Pharmacological Actions

- ▪ Expectorants reduce the viscosity of tenacious secretions by irritating the gastric vagal receptors that stimulate respiratory tract fluid, thus increasing the volume but decreasing the viscosity of respiratory tract secretions.

Indications

- Expectorants also help to relieve chest congestion that occurs because of a cold, the flu, or allergies.
- Potassium iodide has been used to increase the water content of secretions and improve breathing in people with conditions such as asthma, chronic bronchitis, or emphysema.
- Expectorants aim to make coughing up mucus easier, they do not actually stop coughing

Contraindications

- ⮝ Pregnancy
- ⮝ Lactation

Dose

- ✓ Guaiphensine 100-200mg TDS
- ✓ Tolu balsam 0.3-0.6 g TDS
- ✓ ammonium chloride 50-200mg TDS

Anti-Tussive Agents

- → Antitussives are medicines that suppress coughing, also known as cough suppressants.
- → The drugs are used to Non- Productive Cough are called anti-tussive drugs
- → A **non-productive cough,** also known as a dry cough, refers to a cough that does not produce sputum.

Classification :

1. **Centrally Acting Anti-Tussives :**
   - **Opioids/Narcotics :** Codeine, Pholcodeine, Ethylmorphine, and Morphine
   - **Non-Opioids/ Non-Narcotics :** Noscapine, Dextromethorphan, Oxeladin, and Chlophedianol
2. **Peripherally Acting Anti-Tussives :**
   - **Antihistamines :** Promethazine. Chlorpheniramine. Diphenhydramine,
   - **Musocalanaesthetics :** Benzonatate and Chlophedianol.
   - **Hydrating Agents :** Steam and Aerosols.
   - **Miscellaneous :** Bromhexine

Pharmacological Action

- They inhibit reflex by suppressing the cough center in CNS (medulla)
- Constipation
- CNS depression
- Sedation
- They have anti- allergic action
- They cause dryness of mouth , blurring of vision , constipation.

Indication

- Common Cold & Cough
- Allergic Diseases
- Mild to Moderate Pain
- Diarrhoea

Contraindication

- Hypertension
- Cardiovascular diseases
- Urination retention

Doses

- ✓ Chlorpheniramine 2-4 mg oral
- ✓ Diphenhydramine 25-50 mg oral
- ✓ Promethazine 25-50 mg oral

Mucolytics Agents

- → The drugs dissolve the thick mucus (sputum) are called Mucolytics .
- → These are agents that reduce viscosity (liquefaction) of respiratory tract secretions without increasing their amount.
- → Mucolytics are drugs used to manage mucus hypersecretion and its sequelae like recurrent infections in patients of COPD, cystic fibrosis, and bronchiectasis.
- → They belong to a group of agents called mucoactive agents.

Classification

- ➢ Bromhexine
- ➢ Ambroxol (It is metabolite of bromhexine and less gastric irritant).
- ➢ Acetylcysteine (It could be given orally or by inhalation).

Pharmacological Action

- ▪ These drugs break the thick , strong sputum and decrease the viscosity of sputum , and sputum comes out easily

Indication

- Difficulty in Coughing
- TB
- Pneumonia
- COPD

Contraindication

- ⅄ Peptic Ulcer
- ⅄ Pregnancy
- ⅄ Bronchospasm

Doses

- ✓ Bromhexine , 8 mg TDS
- ✓ ambroxol , 15-30 mg TDS
- ✓ Acetyl Cystieine , 200-600 mg oral TDS
- ✓ Carbocisteine 250-750 mg TDS

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Diploma in Pharmacy 2nd Year
Pharmacology
Chapter 8 : Drugs Acting on Gastro Intestinal Tract

Chapter 8

Drugs Acting on Gastro Intestinal Tract

Anti- Ulcer Drugs

- → **Ulcer :** A sore (wound) develops on the lining of the oesophagus , Stomach , or small intestine.
- → If ulcer is in stomach it is called Gastric Ulcer,
- → If in Duodenum it is called Duodenal Ulcer,
- → If both type of ulcer is called Peptic Ulcer.
- → The Drugs are used to treat ulcer / Sore are called anti ulcer drugs

Classification

1) **Anti-secretory Agents (reduce gastric acid secretion)**
   - **H2 Antagonists :** Cimetidine , Ranitidine.
   - **Proton Pump inhibitors :** Omeprazole , pantoprazole , Lansoprazole, raeprazole.
   - **Anti-cholinergic drugs :** pirenzepine.
   - **Prostaglandin Analogues :** Misoprostol , Enprostil.
2) **Antacids : (Neutralize gastric acid)**
   - **Systemic :** Sodium Bicarbonate , Sodium citrate .
   - **Non- Systemic :** Magnesium Hydroxide , aluminium Hydroxide Gel , Magaldrate , Calcium Carbonate.
3) **Ulcer Protectives :** Sucralfate and Colloidal Bismuth Subcitrate (CBS)
4) **Ulcer Healing Drugs :** Carbenoxolone sodium.
5) **Anti- Helicobacter pylori Drugs :** amoxicilin , Metronidazole , Clarithromycine.

Pharmacological Action

- **Pirenzepine :**
  - It reduces gastric acid secretion therefore it is used in peptic ulcer.
  - It also reduces Muscle Spasm.
- **Sodium Bicarbonate :**
  - It is a water soluble, Strong base.
  - It gives immediate effect but has a short duration of action.

Indications

- In peptic Ulcer
- Ulcer Healing
- Ulcer Pain
- Bleeding peptic ulcer

- To relieve heart burn.
- Mouth ulcer.

Contraindication

- Hepatic failure
- Renal Impariment
- Hypersensitivity
- Pregnancy

Doses

- ✓ Cimetidine 0.02g tab. bd
- ✓ Ranitidine 0.15 g tab. Bd
- ✓ Sucralfate 10ml four time a day
- ✓ Carbenoxolone 20-50 mg 4 time a day

Anti – Emetics

- → Anti-emetics are effective against vomiting and nausea.
- → They are used to treat motion sickness and side effects of opioid analgesics, general anaesthetics, and chemotherapy against cancer.

Classification

I. **Anti Cholinergic drugs :** Hyoscine , Dicyclomine .
II. **H1 Anti-Histaminics :** Promethazine , Diphenhydramine , Cyclizine .
III. **Neuroleptics :** Chlorpromazine , Haloperidol .
IV. **Prokinetic drugs :** Metoclopramide , Domperidone .
V. **5-HT3 Antagonist :** Ondansetron , Granisetron .
VI. **Adjuvant Anti-emetics :** Dexamethasone , Benzodiazepines , Canabinoids .

Pharmacological Action

- Anti-emetics work by targeting specific neurotransmitters and receptors in the brain and gut that are involved in the control of nausea and vomiting

Indications

- They are used to treat Vomiting
- To treat nausea .
- To treat Motion sickness .
- To treat post anaesthetic nausea and vomiting .
- Morning sickness (vomiting during pregnancy , in first three months)

Contraindications

- Hypersensitivity
- Coma
- Severe CNS depression etc,

Doses

- ✓ Hyoscine 0.2 – 0.4 mg oral
- ✓ Promethazine 25 mg twice a day
- ✓ Domperidone 10 – 40 mg TDS
- ✓ Cinnarizine 75 mg OD

Laxative (Purgative)

- → The drugs are used to treat constipation are called laxative .
- → These drugs make the stool soft and increase the bowel movement and ease to Defecate (to pass stool) .
- → If the laxatives are taken in high dose and cause diarrhoea(watery stool) it is called purgative .

Classification

- **Bulk Forming - Dietary fiber :** Bran , Psyllium , Ispagula , Methylcelulose .
- **Stool softener :** Docusates (DOSS) , Liquid paraffin.
- **Stimulant :** Senna , Cascara sagrada , castor oil .
- **Osmotic Purgatives :** Mag. sulphate . Mag. Hydroxide

Pharmacological Action

- **Bulk Forming - Dietary fiber :** Bran , Psyllium , Ispagula , Methylcelulose These drugs increase the weight and size of stool by absorbing water, and make the stool soft , so stool passes easily.
- **Stool softener :** Docusates (DOSS) , Liquid paraffin. It is a surfactant ingredient (a substance when it is added to a liquid , reduces its surface tension , so that increases its spreading and wetting property) It mixes the water in bowel with the stool and softens it.
- **Stimulant :** Senna , Cascara sagrada , castor oil . They increase the peristalsis movement by direct acting on the enteric nervous system and ease the stool passage.
- **Osmotic Purgatives :** Mag. sulphate . Mag. hydroxide These agents stimulate the intestine to absorb water in excess amount from the body and pore the water into stool , so stool becomes soft and easier to pass out.

Indications

- Constipation
- Preoperative bowel preparation
- Fecal impaction
- Hemorrhoids
- Anal fissures

Contraindications

- Abdominal pain
- Nausea, and vomiting
- Rectal bleeding
- Gastrointestinal obstruction
- Diarrhea
- Inflammatory bowel disease

Dose

- ✓ Docusates 100-400 mg /day
- ✓ Liquid paraffin 15-30 ml /day
- ✓ Senna 10-40 mg at bed time
- ✓ Mag. sulphate 5-15 g in 150 ml water

Anti Diarrhoeal Drugs

- → **Diarrhoea :** it a condition in which patients pass loss and watery Stool more than three times a day .
- → Acute Diarrhoea : If diarrhoea continues for 1-2 days it is called Acute diarrhoea .
- → And if continues for more than 2 days it is called prolonged diarrhoea.
- → In diarrhoea motility of GIT increased , fluid absorption decreased and causes a loss of water and electrolytes in the body (Na+)
- → The drugs are used to treat diarrhoea are called anti- Diarrhoeal drugs .

Classification

- ➢ **Anti-Motility agents :** Morphine , Codeine , Loperamide ,Bismuth subsalicylate.
- ➢ **Cannabinoids Receptor Agonist :** Tetrahydrocannabinol.
- ➢ **Adsorbents :** Kaolin , Pectine, Chalk , Charcoal , methyl Cellulose.
- ➢ **Anti-spasmodic Agents :** dicyclomine , Mebeverine.
- ➢ **Anti-Microbial drugs :** refaximin , ciprofloxacin.
- ➢ **Probiotics :** Lactobacillus , Bifidobacterium.

Pharmacological Action

- **Anti-Motility agents :** Morphine , Codeine , Loperamide ,Bismuth subsalicylate. these drugs decrease the ability of intestine to contract.
- **Cannabinoids Receptor Agonist :** tetrahydrocannabinol . The drugs reduce the intestinal motility by decreasing the release of Acetylcholine from enteric nerve (The nervous system controls the function of GIT).
- **Adsorbents :** Kaolin , Chalk , Charcoal , methyl Cellulose . They absorb water , toxin ,and bacteria from GIT , and give relief.
- **Anti-spasmodic Agents :** dicyclomine , Mebeverine . They relax the smooth muscles and rid from spasm and pain in bowel.
- **Anti-Microbial drugs :** refaximin , ciprofloxacin . These drugs kill the microorganism or prevent their growth.
- **Probiotics :** Lactobacillus , Bifidobacterium . These are good bacteria of large intestine , if diarrhoea is due to lack of them , the use of Probiotics treats the diarrhoea.

Indications

- Treat diarrhea
- To reducs intestinal motility
- Abdominal Pain
- Spasm etc

Contraindication

- Gastrointestinal obstruction
- Inflammatory bowel disease
- Allergic reactions
- Renal and hepatic impairment
- Fecal incontinence

Doses

- ✓ Codeine 60mg Tds
- ✓ Loperamide 4 mg 2 mg after per motion
- ✓ Probiotic (Econorm) 250 mg
- ✓ Kaolin 26.2 mg after each loss motion
- ✓ Methyl Cellulose 2 tabs .1000 mg with 8 oz of water

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Diploma in Pharmacy 2nd Year
Pharmacology
Chapter 9 : Drugs Acting on Kidney

Chapter 9
Drugs Acting on Kidney
Diuretics

- → Drugs promoting urine output are known as diuretic drugs.
- → They act directly on the kidneys and primarily increase the excretion of water and ions (sodium (Na), chloride (er) or bicarbonates (HCO;)] from the body.
- → Diuretics are also used for treatment of cardiac oedema (accumulation of fluid in extra vascular tissues).
- → It is also employed in the treatment of various disorders like nephrotic syndrome, diabetes insipidus, hypertension, nutritional oedema, oedema of pregnancy, and cirrhosis of liver.
- → They also decrease the intracellular and cerebrospinal fluid pressure.

Classification

1. **High Efficacy Diuretics (Inhibitors of Na -K- 2CI Co-transport)**
    - **Sulphamoyl Derivatives :** Furosemide, Bumetanide.
    - **Phenoxyacetic Acid Derivatives :** Ethacrynic acid.
    - **Organomercurials :** Mersalyl.
2. **Medium Efficacy Diuretics (Inhibitors of Na- CI Symporter)**
    - **Benzothiadiazines (Thiazides) :** Chlorothiazide, Hydrochlorothiazide, Benzthiazide, Hydroflumethiazide, Clopamide.
    - **Thiazide like Diuretics (Related Heterocyclics) :** Chlorthalidone Metolazone, Xipamide. Indapamide.
3. **Weak or Adjunctive Diuretics**
    - **Carbonic Anhydrase Inhibitors :** Acetazolamide.
    - **Aldosterone Antagonists :** Spironolactone.
    - **Osmotic Diureties :** Mannitol, Isosorbide, Glycerol.
    - **Xanthines :** Theophylline.

Pharmacological actions

- The act by diminishing sodium reabsorption at different sites in the nephron, thereby increasing urinary sodium and water losses.
- Diuretics drugs increase urine output by the kidney.

Indications:

- Hypertension
- Oedema
- Diabetes
- Congestive Heart failure
- Hypercalcemia
- Certain Kidney disease

- Liver cirrhosis (damage)
- Poisoning

Contraindication

- Hypokalemia
- Hypotension
- Hyponatremia

Doses

- ✓ Chlorothiazide (125- 500 mg/ d)
- ✓ Hydrochlorothiazide (12.5 -50 mg/d)
- ✓ Spironolectone (25-50 mg/d)
- ✓ Amiloride (5-10 mg/d)
- ✓ Furosemide (20-80mg/d)
- ✓ Bumetanide (0.5- 2 mg/d)

Antidiuretics

- → The drugs decrease the volume of urine are called antidiuretics.
- → These drugs inhibit urine formation by increasing the reabsorption of water in the kidney.

Classification

- **Antidiuretic hormones and its Analogues :** Vasopressin (ADH) , Desmopressin , Lypressin , Terlipressin . (these last 3 are analogues of Vasopressin)
- **Thiazides (in patients with Diabetes insipidus) :** Amiloride
- **Miscellaneous** : Carbamazepine , Chlorpropamide , Indomethacin

Pharmacological Actions

- These drugs act on kidney and increase the reabsorption of water.

Indications

- To treat bedwetting.
- Thiazides are used to treat Diabetes Insipidus (increased urine volume due to problem in hypothalamus or in pituitary or in kedney)

Contraindication

- In Ischemic heart disease
- In hypertension
- Chronic nephritis
- Psychogenic polydipsia (a mental disorder in which patient urge to drink water and drink all day , although he doesn't need)

Doses

- ✓ Vasopressin 5-10 U injection
- ✓ Lypressin 10 U i.m. or s.c

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Diploma in Pharmacy 2nd Year
Pharmacology
Chapter 10 : Hormones and Hormones Antagonists

Chapter 10

Hormones and Hormones Antagonists

→ The word Hormone has originated from a Greek word Hormaein which meant to impel.
→ Thus, hormone is a substance which is secreted by specialised cells and transported to a distant site to exert its action upon specific tissues.
→ Hormones are synthesised and discharged by endocrine glands directly into the blood-circulation without the intervention of a duct therefore known as ductless glands.
→ If a hormone acts on other endocrine gland or tissue, it just stimulates or inhibits its function.

Classification

1) **Pituitary :**
   i. **Anterior Pituitary :**
      - Growth Hormone (GH) and Prolactin (Pri).
      - Adrenocorticotropic Hormone (ACTH. Corticotropin).
      - Thyroid Stimulating Hormone (TSH. Thyrotropin),
      - Gonadotropins Follicle Stimulating Hormone (FSH) and Luteinizing Hormone (LH).
   ii. **Posterior Pituitary :**
      - Oxytocin,
      - Antidiuretic Hormone (ADH, Vasopressin).
2) **Thyroid :** Thyroxine (T_4). Triiodothyronine (T) and Calcitonin.
3) **Parathyroid:** Parathormone (PTH).
4) **Pancreas:** Insulin and Glucagon.
5) **Adrenals:**
   i. **Cortex**
      - Glucocorticoids (hydrocortisone),
      - Mineralocorticoids (aldosterone),
      - Sex steroids (dehydroepiandrosterone).
   ii. **Medulla:** Adrenaline and Noradrenaline
6) **Gonads:**
      - Androgens (testosterone).
      - Estrogens (estradiol).
      - Progestins (progesterone).

Hormone Antagonists

→ Hormone antagonists are the chemical substances that prevent the function of the endocrine glands, the biosynthesis of their secreted hormones, or the action of hormones upon their specific sites.

Examples of hormone Antagonists

- LH-RK Antagonist
- Antiandrogen
- Antioestrogen etc,.

Thyroid Hormones

→ The thyroid gland releases triiodothyronine (T_3) and thyroxine (T_4). These hormones play an important role in regulation of your weight, energy levels, internal temperature, skin, hair, nail growth, metabolism and is an important part of the endocrine system.

→ Thyroid hormones are released by thyroid gland and regulated by TSH (Thyroid stimulating hormone)

→ which is released by anterior pituitary gland .

→ Thyroid hormones :

- T_3 (Triiodothyronine)
- T_4 (Thyroxine)

→ Reverse triiodothyronine (RT_3).

- Calcitonin

Physiological Roles

- Thyroid hormones play a vital role in regulating metabolism, energy expenditure, and body temperature.
- They also influence heart rate, respiratory rate, and other vital functions.
- They play a role in growth and development, particularly in the development of the brain and nervous system

Pathological Roles

- Hypothyroidism occurs when the thyroid gland doesn't produce enough hormones. This can result in a variety of symptoms, including fatigue, weight gain, and sensitivity to cold.
- Hyperthyroidism occurs when the thyroid gland produces too much hormone. This can result in symptoms such as weight loss, rapid heartbeat, and sensitivity to heat.
- Thyroid disorders can be caused by a variety of factors, including autoimmune disorders, iodine deficiency, and certain medications

Clinical Uses

- ✓ Thyroid hormone replacement therapy is used to treat hypothyroidism, a condition where the thyroid gland is not producing enough hormones.
- ✓ Thyroid hormones can also be used to treat thyroid cancer, by suppressing the production of thyroid-stimulating hormone.
- ✓ Thyroid hormones are also used to treat goiter, a condition where the thyroid gland enlarges, by reducing the size of the gland.
- ✓ Hyperthyroidism can be treated with medications that reduce thyroid hormone production or block the effects of thyroid hormones.
- ✓ Thyroid function tests can be used to diagnose thyroid disorders.
- ✓ Radioactive iodine therapy can be used to treat hyperthyroidism by destroying the thyroid gland.

Anti-thyroid Drugs

- → Anti-thyroids are the drugs which block the formation of thyroid hormone or prevent their secretion .
- → It is also called Thyroid Antagonist or Thionamide drugs .

Classification

1) **Inhibit Hormone Synthesis (Anti-thyroid Drugs) :**
   - Propylthiuracil
   - Methimazole
   - Carbimazole
2) **Inhibit Iodide Trapping (Ionic Inhibitors) :**
   - i) Thiocyanates (-SCN)
   - ii) Perchlorates (-ClO_4)
   - iii) Nitrates (-NO_3)
3) **Inhibit Hormone Release :**
   - i) Iodine
   - ii) Iodides of Na and K
   - iii) Organic iodide
4) **Destroy Thyroid Tissue :** Radioactive iodine

Indications

- ⇨ Radioactive iodide uptake test is used for evaluation of thyroid gland functioning.
- ⇨ It is used in the treatment of thyrotoxicosis (occurring due to multi- nodular hyperthyroidism) and toxic adenomas.

Parathormone (Parathyroid Hormone, PTH)

- → Parathormone (PTH) is a hormone produced by the parathyroid glands that plays a crucial role in maintaining calcium and phosphate levels in the body.
- → Parathormone aslo called Parathyroid hormone (PTH)

Physiological Role

- PTH increases blood calcium levels by increasing bone resorption, which releases calcium into the bloodstream. It also decreases excretion of calcium by the kidneys and increases absorption of calcium from the intestines.
- PTH stimulates the production of active vitamin D, which promotes intestinal absorption of calcium and phosphate.
- PTH also regulates phosphate levels in the body by decreasing reabsorption of phosphate by the kidneys.

Pathological role

- Low level of parathormone indicates the Hypoparathyroidism , and it increases the phosphorus level in blood .
- High Production of parathormone indicates Hyperparathyroidism which causes Increase blood calcium level , that can lead to kidney stone , bone thinning , (osteoporosis) and causes weakness of body and fatigue.

Clinical uses

- ✓ PTH can be used as a diagnostic tool to differentiate between primary and secondary hyperparathyroidism.
- ✓ PTH analogs, such as teriparatide, can be used to treat osteoporosis by increasing bone formation.
- ✓ PTH can be used in the treatment of hypoparathyroidism to increase blood calcium levels.

Calcitonin

→ Calcitonin is a hormone , secreted by thyroid gland , and acts just opposite to PTH (parathyroid Hormone) and reduces calcium level .

Physiological Role

- Calcitonin helps to regulate calcium and phosphate homeostasis in the body.
- It decreases the concentration of calcium and phosphate in the blood by inhibiting the activity of osteoclasts, which are cells that break down bone tissue and release calcium and phosphate into the blood.
- Calcitonin also increases the excretion of calcium and phosphate in the urine

Pathological Role

- If too much calcitonin found in blood it may be a sign of thyroid cancer , which is called MTC (Medullary Thyroid Cancer)
- Low level of Calcitonin during or after thyroid cancer treatment means that your cancer treatment is effective . or may be due to a problem in thyroid gland , pituitary or in hypothalamus .

Clinical Uses

- ✓ Calcitonin is used as a medication to treat osteoporosis, a condition in which bones become weak and brittle. It works by inhibiting bone resorption and promoting bone formation.
- ✓ It is also used to treat hypercalcemia (high levels of calcium in the blood) associated with malignancy, as it can help to lower blood calcium levels.
- ✓ Calcitonin can also be used to relieve pain associated with osteoporosis or vertebral fractures.

Vitamin D

- → Vitamin D is a fat-soluble vitamin that plays an important role in the body's calcium and phosphate homeostasis.
- → Sources of vitamin D are foods and exposure to sun light , but it biologically inactive , and by hydrolisation process of the body becomes active . Vitamin D3 and D 2 are most essential for Human body .

Pathological Roles

- Vitamin D helps the body absorb and utilize calcium and phosphorus, which are essential for building and maintaining strong bones and teeth.
- It also regulates the immune system and promotes the growth and differentiation of cells, including those in the skin and bone.
- Vitamin D may also have a role in reducing the risk of certain cancers, autoimmune diseases, and cardiovascular disease.

Pathological Role

- ⅄ Vitamin D deficiency can lead to rickets in children, which is a condition characterized by weak and deformed bones. In adults, a deficiency can lead to osteomalacia, which causes weak bones and muscle weakness.
- ⅄ Low levels of vitamin D have also been linked to an increased risk of falls, fractures, and other musculoskeletal disorders.

Clinical Uses

- ✓ Vitamin D supplements are often prescribed to individuals who are at risk of deficiency, such as those with limited sun exposure, older adults, and people with certain medical conditions or medications that interfere with vitamin D absorption.
- ✓ Vitamin D supplements may also be used to treat osteoporosis, a condition characterized by weakened bones.
- ✓ Vitamin D may have a role in the prevention and treatment of other conditions, such as multiple sclerosis, depression, and chronic pain, but more research is needed to fully understand its potential benefits.

Insulin

→ Insulin is a hormone secreted by pancreas and control the glucose level in blood stream and helps in utilisation of glucose by body tissues.

Physiological role

- Insulin helps to regulate blood glucose levels by promoting the uptake and storage of glucose in the liver, muscle, and adipose tissue.
- It promotes the synthesis of glycogen in the liver and muscle, and inhibits the breakdown of glycogen in these tissues.
- Insulin also enhances the uptake of amino acids by the muscle, which promotes protein synthesis and tissue growth.
- Additionally, insulin inhibits lipolysis in adipose tissue, which reduces the release of free fatty acids into the bloodstream

Pathological role

- In type 1 diabetes, the beta cells in the pancreas are destroyed, leading to a lack of insulin production and uncontrolled hyperglycemia.
- In type 2 diabetes, the body becomes resistant to the effects of insulin, leading to elevated blood glucose levels.
- Other conditions such as insulinoma (a rare tumor of the pancreas that secretes excess insulin) and insulin resistance syndromes can also result in abnormal insulin secretion or function

Clinical Uses

- ✓ Insulin is a mainstay treatment for type 1 diabetes and may also be used in certain cases of type 2 diabetes when other medications have failed.
- ✓ It may also be used in gestational diabetes and other forms of diabetes that occur during pregnancy.
- ✓ In some cases, insulin therapy may be used in critical care settings to manage hyperglycemia and maintain normal glucose levels.
- ✓ Insulin may also be used off-label for bodybuilding and athletic performance enhancement, although this practice is not recommended and can be dangerous.

Oral hypoglycemic agents

- → Oral hypoglycemic agents (OHAs) are medications used to treat type 2 diabetes by lowering blood glucose levels.
- → These agents work by increasing insulin sensitivity, increasing insulin secretion, or reducing glucose production in the liver

Classification

- **Sulfonylurea :** Tolbutamide , Glibenclamide , Glimepiride . They stimulate release of insulin .
- **Biguanides :** Metformin , Phenformin , Buformine . they increase the insulin action
- **Meglitinide analogues :** Repaglinide , Nateglinide . They directly stimulate the pancreas to release the insuline
- **Thiazolidinediones :** Rosiglitazne , Pioglitazone . They decreases the blood sugar level without increasing the insu lin secretion .
- **α -Glucosidase Inhibitors :** Miglitol , Voglibose . They inhibit the digestion of carbohydrates and decrease blood sugar level .

Physiological role

- OHAs work by improving the body's response to insulin, which is a hormone that regulates blood sugar levels.
- OHAs can reduce insulin resistance and increase insulin sensitivity, which helps the body use glucose more effectively and lower blood sugar levels.
- OHAs can also reduce glucose production in the liver, which can help control fasting blood sugar levels.

Pathological role

- OHAs are used to treat type 2 diabetes, which is a chronic condition characterized by high blood sugar levels due to insulin resistance and/or insufficient insulin secretion
- Type 2 diabetes can lead to complications such as cardiovascular disease, kidney disease, nerve damage, and vision loss if not properly managed.

Clinical uses

- ✓ OHAs are used in conjunction with lifestyle modifications such as diet and exercise to manage type 2 diabetes.
- ✓ Different types of OHAs are available, including biguanides, sulfonylureas, meglitinides, thiazolidinediones, DPP-4 inhibitors, GLP-1 receptor agonists, and SGLT-2 inhibitors.
- ✓ The choice of OHA depends on various factors such as the patient's age, health status, kidney function, and other medications they may be taking.
- ✓ OHAs are typically used as first-line therapy for most patients with type 2 diabetes, and insulin therapy may be added later if blood sugar levels are not adequately controlled.
- ✓ OHAs can also be used to manage prediabetes and gestational diabetes, which are conditions characterized by elevated blood sugar levels but not as high as in type 2 diabetes.

Estrogen

- → Estrogen or Oestrogen is a female sex hormone produce by the ovary , adrenal gland and placenta (During pregnancy) .
- → This is responsible for development and control of reproductive system and secondary sex characteristic in females .
- → Note : any natural or synthetic substance which mimics the effect of natural hormone is called estrogen .

Physiological roles

- **Development and maintenance of female reproductive organs :** Estrogen plays a vital role in the development of female reproductive organs such as the uterus, fallopian tubes, and vagina. It also helps in maintaining the function and health of these organs.
- **Development of secondary sexual characteristics :** Estrogen is responsible for the development of secondary sexual characteristics in females such as the growth of breasts, distribution of body fat, and changes in body hair.
- **Bone health :** Estrogen helps maintain bone density and prevent osteoporosis in both men and women.
- **Cardiovascular health :** Estrogen has a protective effect on the cardiovascular system by reducing the risk of heart disease.
- **Brain function :** Estrogen has an important role in cognitive function, memory, and mood regulation.

Pathological role

- **Breast Cancer :** High levels of estrogen can promote the growth of breast cancer cells.
- **Endometrial Cancer :** Estrogen can increase the risk of endometrial cancer if the levels are not balanced.
- **Blood Clots :** Estrogen can increase the risk of blood clots, which can lead to deep vein thrombosis or pulmonary embolism.
- **Ovarian Cancer :** Estrogen can increase the risk of ovarian cancer.

Clinical uses

- ✓ Hormone replacement therapy: Estrogen is used in hormone replacement therapy (HRT) to alleviate symptoms of menopause such as hot flashes, vaginal dryness, and mood swings.
- ✓ Contraception: Estrogen is used in combination with progestin as an oral contraceptive.
- ✓ Osteoporosis treatment: Estrogen is sometimes used to treat osteoporosis in postmenopausal women.
- ✓ Gender-affirming hormone therapy: Estrogen is used in gender-affirming hormone therapy for transgender women to develop feminine characteristics.

Progesterone

→ Progesterone is a steroid hormone that plays a significant role in the menstrual cycle, pregnancy, and overall reproductive health

Physiological Role

- **Menstrual Cycle :** Progesterone prepares the uterus for implantation and maintains the lining of the uterus during the second half of the menstrual cycle.
- **Pregnancy :** Progesterone plays a crucial role in maintaining a healthy pregnancy by thickening the uterus lining, preventing the uterus from contracting and helping the body prepare for breastfeeding.
- **Breast Development :** Progesterone works with estrogen to promote breast development during puberty and pregnancy.
- **Bone Health :** Progesterone is essential for maintaining bone health and reducing the risk of osteoporosis

Pathological Role

- **Hormonal Imbalance :** A lack of progesterone can cause menstrual irregularities and infertility in women.
- **Miscarriage :** Low progesterone levels in early pregnancy can increase the risk of miscarriage.

Clinical Uses

- ✓ **Hormone Replacement Therapy (HRT) :** Progesterone is used in combination with estrogen in HRT to manage menopausal symptoms, such as hot flashes, vaginal dryness, and mood swings.
- ✓ **Infertility Treatment :** Progesterone supplements are used to support the early stages of pregnancy in women who have difficulty conceiving.
- ✓ **Premenstrual Syndrome (PMS) :** Progesterone supplements can alleviate symptoms of PMS, such as bloating, breast tenderness, and mood swings.
- ✓ **Endometriosis :** Progesterone therapy can help to manage the symptoms of endometriosis, such as pelvic pain and heavy periods.
- ✓ **Menstrual Disorders :** Progesterone is sometimes used to treat menstrual disorders, such as heavy or irregular periods.

Oxytocin

→ Oxytocin is a hormone produced by the hypothalamus and released from the posterior pituitary gland. It plays a crucial role in a wide range of physiological processes, including childbirth, lactation, and social bonding.

Physiological Role

- **Labor and Delivery :** Oxytocin stimulates uterine contractions, facilitating labor and delivery. It also plays a role in the dilation of the cervix during childbirth.
- **Lactation :** Oxytocin helps stimulate the let-down reflex, which enables milk to be released from the mammary glands during breastfeeding.
- **Social Bonding :** Oxytocin has been linked to social bonding, particularly between mother and child, and between romantic partners. It promotes trust, empathy, and affection, which is why it is often referred to as the "love hormone."

Pathological Role

- **Autism :** Research suggests that individuals with autism have lower levels of oxytocin, which may contribute to social difficulties and a lack of emotional connection with others
- **Anxiety and Depression :** Oxytocin has been shown to have anxiolytic (anti-anxiety) and antidepressant effects in animal models and human studies.
- **Eating Disorders :** There is some evidence that oxytocin may play a role in the regulation of food intake and body weight, and its use has been explored as a potential treatment for anorexia and bulimia

Clinical Uses

- ✓ **Induction of Labor :** Oxytocin is commonly used to induce labor in women who have gone past their due dates or have medical conditions that require early delivery.
- ✓ **Postpartum Hemorrhage :** Oxytocin is also used to prevent and treat postpartum hemorrhage by promoting uterine contractions.
- ✓ **Breastfeeding :** Oxytocin nasal spray has been used to improve lactation and milk ejection in breastfeeding mothers.
- ✓ **Autism :** Research is ongoing to explore the potential use of oxytocin as a treatment for social and communication difficulties in individuals with autism.
- ✓ **Anxiety and Depression :** Oxytocin is being investigated as a potential treatment for anxiety and depression, particularly in individuals who do not respond well to traditional medications.

Corticosteroids

- → Corticosteroids are a class of hormones produced naturally by the adrenal cortex, which play a crucial role in maintaining the normal functioning of the body. They are also available in synthetic form for therapeutic use.
- → There are two main types of corticoster oids glucocoticoids (Cortisol) and mineralocorticoids (Aldosterone) .

Physiological roles

- Corticosteroids help regulate the body's metabolism of carbohydrates, fats, and proteins.
- They regulate the body's response to stress, by increasing blood sugar levels, blood pressure, and suppressing the immune response.
- They also play a role in maintaining the balance of salt and water in the body.

Pathological roles

- Inflammatory disorders such as rheumatoid arthritis, asthma, and other autoimmune disorders can cause inflammation, swelling, and pain. Corticosteroids can help to suppress the immune response and reduce inflammation
- Allergic reactions can also cause inflammation, and corticosteroids can help to reduce symptoms such as itching, swelling, and hives.
- Corticosteroids can also be used to treat certain types of cancer, by slowing down the growth of cancer cells

Clinical uses

• Corticosteroids are commonly used to treat inflammation and pain associated with rheumatoid arthritis, lupus, and other autoimmune disorders.

• They are also used to treat severe allergic reactions, such as anaphylaxis, and to reduce swelling and inflammation associated with asthma and other respiratory conditions.

• Corticosteroids can be used to treat skin conditions such as eczema and psoriasis, and to reduce inflammation and pain associated with certain types of cancer

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Diploma in Pharmacy 2nd Year
Pharmacology
Chapter 11 : Autocoids

Chapter 11
Autocoids

- Autacoids. Autacoids from the Greek autos ("self") and akos ("cure") are endogenous organic molecules with potent pharmacologic effects, that are not part of traditional immune or autonomic groups. Histamine and serotonin (5-hydroxytryptamine) are two important amine autacoids.
- Autocoids are chemical signals produced by different cells of the body.
- They act locally at the site where they are synthesised and released (eg, at the site of inflammation within the inflaminatory pockets).
- Autocoids are chemical substances that are produced within the cells and are released in response to different stimuli to cause various physiological actions.
- Mostly the action of autocoids is localised bat large amounts of them can be transported through circulation to exert their effects at sites, other than where, they are synthesised. Since autacoids act locally, they are also described as local hormones

Classification

- **Amines :** Histamine*, Serotonin*
- **Polypeptides :** kinins, angiotensin,
- **Lipids** : Prostaglandines, Leukotriens, Thromboxanes

Histamine

- Histamine is a signaling molecule, sending messages between cells. It tells stomach cells to make stomach acid. And it helps our brain stay awake.

Physiological Roles

1. **On CNS :** Stimulates sensory neuron and give a feeling of itching , and other senses.
2. **On CVS :**
   - Dilation of blood vessels.
   - Increases permeability of capillaries.
   - Histamine shock : large amount of histamine causes too much vasodilation and causes death.
   - Increases contraction force of heart.
3. **Inflammation and Allergic reaction :** when antigen interacts with IGE antibody present on the mast cell surface , the mast cell releases histamine which causes inflammation and allergic reaction.
4. **Gastric Acid Secretion :** H2 receptor present in gastric mucosa and when histamine binds with it , it stimulates acid secretion.

Antihistamines

- → Antihistamines are drugs that block the effects of histamine, a chemical released in the body during an allergic reaction.
- → They are used to treat symptoms such as itching, runny nose, watery eyes, and sneezing caused by allergies, hay fever, and the common cold. Some common examples of antihistamines include diphenhydramine (Benadryl), loratadine (Claritin), and cetirizine (Zyrtec).
- → Antihistamines are available over-the-counter and by prescription and can cause drowsiness in some people.

Following are the three types of histamine receptor antagonists :

1. **H-Antagonists :** These are classical antihistamines blocking the physiological effects of histamine and used in allergic disorders.
2. **H-Antagonists :** Cimetidine, Ranitidine and Famotidine are H-antagonists reducing gastric HCI secretion and used in peptic ulcer diseases.
3. **H-Antagonists :** Thioperamide an H-antagonist regulates histamine release from histaminergic neurons of CNS by presynaptic auto-regulatory mechanism. It is not recommended to be used therapeutically.

Clinical uses

- Antihistamines are used to relieve or prevent the symptoms of hay fever and other types of allergy.
- They work by preventing the effects of a substance called histamine, which is produced by the body.
- Histamine can cause itching, sneezing, runny nose, and watery eyes.

Adverse effects

- Sleepiness (drowsiness) and reduced co-ordination, reaction speed and judgement – do not drive or use machinery after taking these antihistamines. dry mouth. blurred vision. difficulty peeing.

5HT (Serotonin)

- → 5HT (5HydroxyTryptamine is an important neurotransmitter , it is synthesised by amine acid Tryptophan.
- → It is widely found in animal and plant , High concentration of 5HT is found in intestine , platelets and brain.

Physiological Roles

1. **On CVS :** It shows a complex action on CVS which depends on dose injected .
    - **On blood vessels :** In starting induces vasoconstriction but later induces vasodialation.
    - **On Heart :** It induces a positive chronotropic effect (Increases heart rate) and positive inotropic effect is also seen.
    - **On blood pressure :** Its action on BP is complex . It may either cause hypotension or hypertension.
2. **Action on Smooth Muscles :** It causes contraction of intestine bronchi , and uterus .
3. **On Digestive System :** It increases intestinal motility , and induces Emesis (vomiting)
4. **On Lungs :** It causes contraction of bronchi .
5. **Uterus :** It increases contraction of Uterus .
6. **On CNS :**
    - It regulates the sleep , mood and appetite .
    - **Migraine :** Contraction and relaxation of brain vessels due to 5-HT causes a repeated and severe pain .
7. **Allergic and Inflammatory actions :** It involves in allergic and Inflammatory reactions

5-HT Antagonists

→ 5-HT receptor antagonists (also called serotonin receptor antagonists or serotonin blockers) are a class of medicines that are used for the prevention and treatment of nausea and vomiting, particularly that caused by chemotherapy, radiation therapy, or postoperatively.

Classification

- Cyproheptadine,
- Ketanserin,
- Ondansetron,
- Granisetron,
- Clozapine,
- Olenzapine etc.

Clinical uses

- **Cyproheptadine**
  - It is used in skin allergy like pruritis and urticaria .
  - It is used to treat Carcinoid tumor(slow growing tumor at any part of the body) it is used to treat Migraine
- **Ketanserin :** It is used as antihypertensive drug , it dilates blood vessels .
- Ondansetron and Granisetron these are used as anti-emetics
- Clozapine , Olenzapine , Resperidone these are used as antipsychotics .

Adverse effects

- Dry mouth
- Drowsiness
- Weight gain .

Prostaglandins

- → Prostaglandins are a group of naturally occurring lipids that play important roles in various physiological processes.
- → They are derived from the essential fatty acid arachidonic acid and are produced by many tissues in the body, including the lining of the stomach, blood vessels, and reproductive tract.

Physiological Roles

1) **GI Tract :** PGE2 and PGI2 reduce acid secretion and increase the secretion of mucus in the stomach . Misoprostol , Rantidine are used to prevent ulcer due to NSAIDs .
2) **Cardiovascular System** : PGD2 , PGE2 and PGI2 cause vasodilation , PGF 2α Constricts pulmonary veins and arteries . TXA 2 is a Vasoconstrictor .
3) **Platelets :** PGI2 inhibits platelet aggregation . It is used during Haemodialysis to prevent platelets aggregation .
4) **Eye :** PGF2α decreases the ocular pressure . Its analogues are used in glaucoma e.g. Latanoprost , Bimatoprost etc.
5) **Uterus :** PGE2 (low concentration) and PGF 2α Contract Pregnant Uterus , PGs are commonly used for abortion . They can used for Induction of labour .
6) **Male reproductive system :** PGE1 is useful in the treatment of erectile dysfunction . e.g. alprostadil

Clinical Uses

- Abortion
- Induction of labour
- PPH : To treat postpartum hemorrhage (excessive bleeding after childbirth) e.g. Carboprost (i.m.) Misoprost (oral)

Adverse effects

- Nausea,
- Vomiting,
- diarrhoea,
- fever,
- lushing (reddening of skin)
- hypotension etc.

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