TIRUPATI COLLEGE OF POLYTECHNIC AND PHARMACY, RATIA

D. PHARMACY SECOND YEAR

PHARMACOLOGY AND TOXICOLOGY

SECTION -A

Question no. 01 (Part - A) Fill in the blanks with answers. **1×10=10**

1) The active principles of thyroid gland are **T_3** and **T_4**.
2) Acetazolamide is a potent inhibitor of **carbonic anhydrase.**
3) Cycloserine is a broad spectrum antibiotic produced by **streptomyces archidaceus.**
4) Cefatoxime is a **3rd** generation cephalosporin.
5) Lidocaine belongs to class **IB (sodium channel blockers).**
6) Monoamine oxidase inhibitors are used as **antidepressant** drug.
7) Diazepam is a **benzodiazepine** derivative.
8) The antiemetic drugs have **$5HT_3$** antagonistic properties.
9) Thiabendazole is used as **anthelmintic** drug.
10) **Ceftriaxone** remains the drugs of first choice for meningococcal infection.
11) **Spironolactone** is an aldosterone agonist.
12) Insulin is given by **intravenous** route.
13) **Adrenaline** is the neurotransmitter at adrenergic nerve endings.
14) Analgesics can be classified into **opioid** and **non-opioids.**
15) **Ciprofloxacin** is the antibiotic used in urinary tract infection.
16) Diuretics **increase** the flow of urine from the body and causes loss of electrolytes.
17) Corticosteroids are classified as **mineralocorticoid** and **glucocorticoid.**
18) **Mannitol** is the example of osmotic laxative.
19) **Desferroxamine** is the antidote used in iron poisoning.
20) **Isosorbide dinitrate** is the example of the drug given by sublingual route.
21) **Acetylcholine** is the neurotransmitter at the cholinergic nerve endings
22) **Alcohol** is a CNS depressant.
23) **Warfarin** is an example of an oral anticoagulant.
24) Digitalis has **positive ionotropic** and **cardiotonic** effect on heart.
25) Hardening of arteries due to deposition of lipid material is called **artherosclerosis.**
26) Zidovudine is an important **antiviral** drug.
27) Phenytoin is primary drug for all types of **epilepsy.**
28) Cocaine occurs in leaves of ***Papaver somniferum***.
29) Baclofen is a derivative of **GABA agonist**.
30) Norepinephrine is a potent agonist of **α (alpha)** receptors.
31) H_1 antagonists are useful in **emesis.**

32) Tolmetin is an **analgesic, antipyretic and anti-inflammatory** agent.
33) Clonidine is selective **α_2 (alpha 2)** adrenergic agonist.
34) Digitalis causes direct inhibition of **Na^+/K^+ ATPase pump.**
35) Reserpine is an alkaloid obtained from root of ***Rauwolfia serpentina***.
36) Angiotensin is converted to angiotension II by **renin and ACE inhibitor**.
37) Methyl dopa inhibits **COMT** enzyme required for biosynthesis of catecholamines.
38) Salbutamol is used as β_2 agonist in **uterine relaxant and bronchial dilator.**
39) **Chlorpromazine** is the drug of choice in psychosis.
40) Dopamine cannot be used in parkinsonism as it does not **cross BBB.**
41) **Carbidopa** and **benserzide** are extracerebral decarboxylase inhibitor.
42) Castor oil is hydrolysed and releases **ricinoleic acid.**
43) Ulcer healing agents are **H_1** receptor antagonist.
44) Proton pump inhibitor is **omeprazole.**
45) **Verapamil** is a calcium channel blocker.
46) Imunosuppressants are used in **inhibition of immunity in autoimmune disorder.**
47) Streptokinase is a **thrombolytic** drug.
48) Dobutamine is a relative cardiac β_1 adrenoceptor stimulant.
49) **Naloxone** is a narcotic antagonist.
50) Antispasmodic are used to decrease **spasm.**
51) Captopril is an **ACE** inhibitor.
52) **Intrathecal** injection is given in lumber subarachanoid space.
53) Betadine, containing a povidone - iodine complex, is the most commonly used as **antiseptic an disinfectant**.
54) Agents capable of lysing of an already formed dot or thrombus are called **thrombolytic**.
55) Stage II of anaesthesia is also called **stage of delirium.**
56) Artherosclerosis is characterized by **increase in lipoproteins.**
57) Lidocaine is used as **anaesthetic** and **antiarrhythmic**.
58) Surgery is performed in the state **3^{rd}** of anaesthesia.
59) Ketoconazole is an antibiotic specific for **fungus.**
60) On intradermal injection, histamine produces a triple response, which is characterized by **flush, flare and wheal.**
61) **Levonorgesterol** hormones are used as oral contraceptives.
62) Bacteriostatic action is defined as **agents that suppress the growth of bacteria.**
63) **Quinine** is an antimalarial from plant source.
64) **Thiopentone** is an ultra short acting barbiturate used as an intravenous anesthetic.

65) When a drug nullifies or decreases the effect of another simultaneously given drug, the effect is called **antagosim.**

66) Autocoids may be defined as **substances formed in various tissues, have complex physiological and pathological actions and act locally at site of synthesis.**

67) **Ketamine** is an example of non-barbiturate general intravenous anesthetic.

68) **Levodopa** is the drug of choice in parkinsonism.

69) Pernicious anemia is caused by deficiency of **vit B_{12}.**

70) **Rifampicin** is the example of antitubercular drug.

71) CPZ is used as an **antipsychotic agent**.

72) **ORS** is the commonly used antidiarrhoeal preparation.

73) Ethyl alcohol is metabolized in the body to **CO_2 and H_2O**.

74) Tachycardia may be defined as **increase in heart rate.**

75) Tetracycline have **teeth discoloration** and as major side effect.

76) Carbidopa inhibits **dopa decarboxylse** enzyme required for biosynthesis of catecholamine.

77) Pirenzepine hydrochloride has a dose of **100-150** mg per day.

78) Tropicamide is a short acting **mydriatic** and **cycloplegic.**

79) **Insulin** is a drug of choice in IDDM.

80) Diuretics increase **rate of formation of urine** and cause **excretion** of electrolytes from the body.

81) Anesthetics can be classified as **general** and **local.**

82) **Castor oil** is an example of stimulant laxative.

83) **Niclosamide** is the drug of choice for tapeworm infection.

84) Cyanocobalamine is also known as **Vitamin B_{12}**.

85) Insulin is secreted by **ß cells of Islet of langerhans**

86) **Lignocaine** is an example of local anesthetic and used as an antiarrhythemic drug.

87) Digitalis is specifically used in the treatment of **congestive heart failure**

88) **Methotrexate** is an example drug specific for cancer. (antimetabolite).

89) When the presence of drug enhances the effect of another drug, the phenomenon is called **Synergism.**.

90) **Fomepizole** is the antidote in methanol poisoning.

91) Drug which influence the formation of blood are called **Haematinics.**

92) Whitfield's ointment is a combination of **Salicylic acid** and **Benzoic acid** used in the treatment of fungal infections.

93) Streptokinase is **Fibrinolytic** drug.

94) Griseofulvin an antibiotic is specifically used for treatment of **Fungal** infections.

95) **Camptotheca** is an example of anticancer drug from plant source.

96) Bacteriostatics action is defined as **preventing infection by inhibiting the growth or action of microorganism.**

97) Cycloserine is a broad spectrum antibiotic produced by **Streptomyces Orchidaceous.** .

98) Prostaglandin **PGE_1** and **PGE_2** inhibit the secretion of acid.

99) The most commonly used drug against amoebiasis is **Metronidazole**.

100) Phenargan contains **Promethazine** used as **Antihistamine.**

101) Stage II of anesthesia is also called as **Excitement stage**.

102) **Lithium** is an example of drug of choice in manic depression.

103) CTZ stands for **Chemo-receptor trigger zone**.

104) Chloramphenicol cannot be given to infants because **it develops grey baby syndrome**.

105) Bacteriostatic action is defined as **Inhibition of growth and multiplication of bacteria.**

106) Autacoids may be defined as **biological factors which act like local hormones**.

107) Oral contraceptives contain **Estrogen** and **Progestin** hormones.

108) The causative agent for taeniasis is **Cestode.**

109) **Pharmacokinetics** of a drug will tell us about the complete fate of the drug in body.

110) NSAID stands for **Non-steroidal anti inflammatory drugs**

111) Thiabendazole is used as **Anthelmintic drug**.

112) Drug which inhibit the effect of acetylcholine are called **Anticholinergic drugs**.

113) **Carbidopa** abolishes the therapeutic effect of levodopa by enhancing its peripheral decarboxylation.

114) Captopril is an **Angiotensin converting enzyme** inhibitor.

115) **Nifedipine** is a calcium channel blocker.

116) H_1 antagonists are useful in **Allergic reactions**

117) Drugs which mimic the action of acetylcholine are called as **Cholinergic drugs**

118) **Nanoparticles** is example of new drug delivery system.

119) **Sodium thiopental** is an ultra short acting barbiturate used as an intravenous anaesthetic.

120) **Phenytoin** is the drug of choice in grandmal epilepsy.

121) **Isoniazid** is example of antitubercular drug.

122) Malaria is caused by **parasite called plasmodium**

123) Ascorbic acid is also called as **Vitamin C** and its deficiency causes **Scurvy.**

124) Hormones of posterior pituitary gland are **Oxytocin** and **Vasopressin.**.

125) Heparin is administered by **intravenous** route.

Question no. 01 (Part - B) Write the uses of following drugs. Each question carries two marks.

1) **Pyrazinamide:** It is used as tubercular meningitis. It is bactericidal in nature.
2) **Methylxanthine:** Used in bronchial asthma and apnoea in premature infants. It is CNS and cardiac stimulant also.
3) **Guanithidine:** It is used as adrenergic neuron blocker agent and used in hypertensive.
4) **Primaquine:** Used in radical cure of vivax malaria. It is also used in falciparum malaria.
5) **Clonidine:** Used as antihypertensive drug. It is used in combination with diuretic.
6) **Diphenhydramine:** It is used to treat allergic skin reactions, motion sickness and post-operative vomiting. It has sedative property also.
7) **Diphenoxylate:** It is synthetic opioid, used to reduce gastric motility to treat diarrhoea.
8) **Ibuprofen:** It is used to relieve pain in rheumatoid arthritis, osteoarthritis , acute gout, neck pain, and in malignant disease. It has analgesic, antipyretic and anti- inflammatory effect.
9) **Ketamine:** It is used for dissociative anesthesia in children. It is also used in dressings of burns. It can be used with diazepam in cardiac trauma surgery.
10) **Chlorambucil:** It is used as anticancer drug for long term maintenance therapy. This drug is also used for chronic lymphatic leukaemia and Hodgkin therapy and it also has immunosuppressant properties.
11) **Propranolol:** It is used to treat cardiac arrhythmia, auricular fibrillation, angina pectoris, arterial hypertension and hyperthyroidism in children.
12) **Aspirin:** It is used as analgesic, antipyretic and anti-inflammatory. It is also used in acute rheumatic fever.
13) **Frusemide :** It is used as a diuretic, used to treat oedema and for management of hypertension.
14) **Morphine:** It is used for control of moderate to severe, acute and chronic pain especially associated with neoplasm. Morphine is also used to suppress responses to intra-operative surgical stimuli.
15) **Isoflurane:** It is used as an inhalation anaesthetic. This drug has higher margin of safety.
16) **Lithium salt:** It is used in acute mania. It is also used in prophylaxis of bipolar disorder and in cancer chemotherapy**.**
17) **Clomiphene:** It is an ovulation inducing agent and used to treat infertility.
18) **Methyl dopa:** Used as antihypertensive drug especially in combination with diuretics.
19) **Piroxicam:** It is used for short term analgesic as well as long term anti-inflammatory drug in acute gout, injuries, rheumatoid arthritis etc.
20) **Levodopa:** It is used in the treatment of Parkinson's disease and to control the neurological symptoms of chronic manganese poisoning.
21) **Chloraguanil:** It is used for prophylaxis and treatment of chloroquine resistance malaria in combination with dapsone
22) **Cocaine:** It is used for ocular anaesthesia. Cocaine also has antihypertensive effect.

23) **Cotrimoxazole:** It is used in urinary tract infection, respiratory tract infection, typhoid, bacterial diarrhoea and dysentery.
24) **Acyclovir:** It is an antiviral drug used against herpes simplex virus and chicken pox.
25) **Griseofulvin:** Used orally for skin, nail and hairs infection. It is antifungal in nature.
26) **Fluoxentin:** It is used in children or older for depression.
27) **Insulin:** It is used as antidiabetic and mainly used in treatment of type-I diabetes.
28) **Phenytoin:** It is used in treatment of partial seizures. It is also effective in status epilepticus.
29) **Adrenaline:** It is used to relieve bronchial spasm in acute asthma, to treat heart block, to treat acute allergic reaction, to control superficial haemorrhage of nose and throat.
30) **Halothane:** It is used during labour pain to prolong delivery. It is also used for induction and maintenance of anaesthesia.
31) **Hyoscine:** It is used as a sedative and prophylactic against motion sickness.
32) **Ephedrine:** It is used to relieve acute asthma, heart block, allergy like hay fever, rhinitis. It is also used with local anaesthetic to prolong local anaesthetic effect.
33) **Streptomycine:** It is used in tuberculosis, plague, subacute bacterial endocarditis.
34) **Procaine:** It is used as local anaesthetic.
35) **Zidovudine:** It is used as an antiviral drug. It is used in HIV infected patient only in combination with atleast two antiviral drugs.
36) **Chloroquine:** It is used to treat malarial infection, amoebic hepatitis, diarrhoeal and dysentric symptoms. Chloroquine is also useful in the treatment of rheumatoid arthritis (due to Anti inflammatory property)
37) **Dimercaprol:** It is used as an antidote in poisoning by As, Hg, Au, Bi, Ni, and Sb. It is also used as adjuvant to calcium disodium edentate in lead poisoning.
38) **Atropine:** It is used as an antispasmodic and as a mydriatic in ophthalmologic practice.
39) **Diloxanide:** It is the drug of choice for mild intestinal amoebiasis.
40) **Vincristine:** It is used as an anticancer drug and in acute leukemia in children.
41) **Desferoxamine:** It is an iron chelating agent and used in acute iron poisoning.
42) **Prednisone:** Used for allergic, inflammatory, autoimmune disease.
43) **Clofibrate:** Used as hypolipidaemic drug.
44) **Amikacin:** It is effective in tuberculosis and to treat respiratory infections.
45) **Tolbutamide:** It is used to control blood glucose level in treatment of diabetes when blood glucose is unsatisfactory despite treatment with diet.
46) **Ranitidine and Cimetidine:** It is used in duodenal ulcer, gastric ulcer and stress ulcer. It can also be used in zollinger-ellison syndrome.
47) **Dapsone:** It is used in leprosy. Dapsone is also used in combination with Pyrimethamine for chloroquine resistant malaria.

48) **Lidocaine:** It is mainly used for its local anesthetic activity and topical application. Lidocaine is also useful to produce dental analgesia and for treatment of arrhythmias.
49) **Digoxin:** It is used in congestive heart failure and cardiac arrhythmias.
50) **Pentazocine:** It is indicated for postoperative and moderate to severe pain in burns, trauma, fracture, cancer etc.
51) **Glibenclamide:** It is used in type-2 diabetes mellitus.
52) **Phentolamine:** It is more suitable α-blocker for local infilteration. It is also used for diagnosis and intraoperative management of pheochromocytoma.
53) **Vinblastine:** It is used with other drugs in Hodgkin and testicular carcinoma. It is an anticancer drug.
54) **Mercaptopurine:** It is used with other drugs to treat a certain type of cancer, crohn's disease, ulcerative colitis, and lymphoblastic lymphoma.
55) **Loperamide:** This medication is used to treat sudden diarrhoea by slowing down the movement of the gut. Loperamide treats only the symptoms, not the cause of the diarrhea.
56) **Oxytocin:** This drug is used to induce the labour pain in women during delivery. It is also used to help abort the fetus in cases of incomplete abortion or miscarriage and control bleeding after child birth. Oxytocin is a uterine stimulant.
57) **Dinoprost:** Used for aborting second-trimester pregnancy in cases of intrauterine fetal death and congenital abnormalities incompatible with life. It is also injected intra-arterially for use as a vasodilator to assist in angiography.
58) **Chlorpromazine (Largactil):** Chlorpromazine is used to treat certain mental or mood disorders, anxiety and restlessness before surgery. This drug is also used to treat severe behavioral and conduct disorders in children, nausea and vomiting, and severe hiccups.
59) **Phenylbutazone:** Used in humans for the treatment of rheumatoid arthritis and gout. It is also used to treat ankylosing spondylitis and other musculoskeletal disorders.
60) **Mebendazole**: Mebendazole is used to treat intestinal worm infections such as pinworm, roundworm, hookworm, and tapeworm.
61) **Mepacrine:** Mepacrine is used as an antiprotozoal and antirheumatic.
62) **Phenobarbitone and Primidone:** These drugs are used alone or with other medications to control seizures. These drugs are also used for a short time during periods of anxiety.
63) **Diazepam:** Diazepam also is used for the treatment of agitation, tremors, seizures, and hallucinations resulting from alcohol withdrawal. It is used for relief of muscle spasms in some neurological diseases and for sedation during surgery.
64) **Verapamil:** Verapamil is a calcium channel blocker and used to treat hypertension (high blood pressure), angina (chest pain), and certain heart rhythm disorders.

65) **Sodium cromoglycate:** Sodium cromoglycate is used to treat asthma and other allergic conditionsn general this drug is used as a preventative medication for allergic rhinitis, allergic conjunctivitis, food allergies and asthma.
66) **Spironolactone:** Spironolactone is used to treat high blood pressure and heart failure. It is also used to treat swelling (edema) caused by certain conditions (such as heart failure, liver disease).
67) **Isoprenaline:** Its primary use is for bradycardia or heart block. It is also used for treatment of asthma, chronic bronchitis.
68) **Dimercaprole:** Dimercaprol is used as an antidote in the treatment of arsenic poisoning, lead poisoning and mercury poisoning.
69) **Diethylcarbamazine:** It is used in filariasis, tropical eosinophilia and Loa-Loa.
70) **Nystatin:** Nystatin is an antifungal medication which prevents fungal growth on skin.
71) **Gentamicin:** It is used to treat minor skin infections. Gentamicin works by stopping the growth of certain bacteria. This antibiotic only treats bacterial infections. It will not work for virus or fungus infections.
72) **Captopril:** Captopril is used to treat high blood pressure (hypertension), congestive heart failure, kidney problems caused by diabetes, and to improve survival after a heart attack.
73) **Rifampicin:** It is a rifamycin antibiotic used to prevent and treat tuberculosis and other infections. This antibiotic treats only bacterial infections. It will not work for viral infections (e.g., common cold, flu).
74) **Fluorouracil:** It is used topically to treat pre-cancerous and cancerous skin growths. Fluorouracil belongs to a class of medications known as anti-metabolites. It works by blocking the growth of abnormal cells that cause the skin cancer.
75) **Emetin:** This drug is used as antiprotozoal and to induce vomiting. In some cases, it was given with opioids to reduce nausea.
76) **Scopolamine:** It is used to treat postoperative nausea and vomiting and sea sickness, leading to its use by scuba divers. It is sometimes used as a premedication to surgery, mostly commonly by injection.
77) **Methotrexate:** Methotrexate is used to treat certain types of cancer of the breast, skin, head and neck, or lung. It is also used to treat severe psoriasis and rheumatoid arthritis.
78) **Pilocarpine:** This drug is used to treat glaucoma and dry eye and dry mouth conditions.
79) **Omeprazole:** Omeprazole is used to treat symptoms such as heartburn, difficulty swallowing, and persistent cough. This medication helps to prevent ulcers, and may help prevent cancer of the esophagus.
80) **Codeine:** Codeine is used to treat mild to moderate pain and to relieve cough. Codeine is also used to treat diarrhea and diarrhea. It is useful in cancer pain.

81) **Salbutamol:** Salbutamol is typically used to treat bronchospasm (due to any cause, allergen asthma or exercise-induced), as well as chronic obstructive pulmonary disease. Salbutamol has been used to treat acute hyperkalemia,

82) **Metronidazole:** Metronidazole is used to treat a variety of infections. This antibiotic only treats bacterial and protozoal infections. Metronidazole can also be used in combination with anti-ulcer medications to treat certain types of stomach ulcers.

83) **Ethosuximide:** It is used in absence seizures. It is mainly used to control the petitmal epilepsy.

Section - B

Each question carries three marks. Attempt any five questions. **3×5=15**

Question no. 1 Classify laxative with examples.

Question no. 2. Define and classify hypnotics.

Question no. 3 List out factor modifying drug action.

Question no. 4 Give the side effects of: (a) Penicillin (b) Atropine

Question no. 5 What are cough suppressants and expectorants, give two examples of expectorants.

Question no. 6 Name the drugs used in Glaucoma.

Question no. 7 Describe the role of iron as haematinic.

Question no. 8 Describe dales vasometer reversal phenomena.

Question no. 9 Give a short note on organophosphorus poisoning.

Question no. 10 Explain antagonism with examples.

Question no. 11 Explain synergism with examples.

Question no. 12 Give a short note on cotrimoxazole.

Question no. 13 Define antibiotics and chemotherapy.

Question no. 14 define pharmacodynamics and pharmacokinetics.

Question no. 15 Give a short note on biotransformation.

Question no. 16 Give a short note on antitubrecular drugs.

Question no. 17 Give a short note on antihelminthic drugs.

Question no. 01 Classify laxative with examples.

Ans. Laxatives are those dosage forms which are used to treat constipation.

Classification

(a) Bulk forming laxative- Bran, Plantago seeds, Agar, Methylcellulose, Isapaghula husk.

(b) Faecal laxative- Docusate sodium, Liquid paraffin.

(c) Osmotic laxative- Magnesium sulphate, Magnesium hydroxide, Sodium phosphate, Sodium sulphate, magnesium citrate, Lactulose, Sorbitol, Polyethylene glycol.

(d) Stimulant purgatives- Phenolphathalein, Bisacodyl, Castor oil, Senna.

(e) Others- 5HT4 agonist- Cisapride.

Question no 2. Define and classify hypnotics.

Ans. Hypnotic is a drug that induces sleep. Both sedative and hypnosis may be considered as different grades of CNS depressants.

Classification:

(a) Benzodiazepines: Diazepam, Chlordiazepoxide, Clonazepam, Flurazepam, Alprazolam, Lorazepam, Midazolam.

(b) Newer agent: Zolpidem, Zopiclone.

(c) Barbiturates: Phenobarbitone, Pentobarbitone, Secobarbitone, Hexobarbitone.

(d) Miscellaneous: Paraldehyde, Chloral hydrate, Meprobamate

Question no. 3 List out factor modifying drug action.

Ans. There are various pharmacokinetic and pharmacodynamic factors which effect the drug action.

Pharmacodynamic factors: Body weight, Age, Sex, Species and race, Diet and environment, Genetic factors, and diseased state of patient.

Pharmacokinetic factors: Dose, repeated dosing, route and time of drug administration psychological factors, and presence of other drugs.

Question no. 4 Give the side effects of: (a) Penicillin (b) Atropine

Ans. (a) Penicillin: Serious side effects of penicillin are diarrhoea, fever, chills, body aches, and unusual weakness, severe skin rash, itching, or peeling. Some other side effects are nausea, vomiting, stomach pain, vaginal itching or discharge, headache, swollen black, or "hairy" tongue.

(b) Atropine: Most of the side effects of atropine are directly related to its antimuscarinic action. Dryness of the mouth, blurred vision, photophobia and tachycardia commonly occur with chronic administration of therapeutic doses. It also include palpitation, dilated pupils, difficulty in swallowing, hot dry skin, thirst, dizziness, restlessness, tremor, fatigue and ataxia. Depression and circulatory collapse occur only with severe intoxication.

Question no. 5 What are cough suppressants and expectorants, give two examples of drugs used as expectorants.

Ans. Cough suppressants: Cough suppressants are a class of cough medication that are intended to suppress cough. They act by inhibiting cough centre in the medulla.

Expectorants: They increase the production of respiratory tract secreations which coats and cover the irritated mucosa. They are also called mucokinetic agents.

Classification:

(a) Central cough suppressants- Codeine, pholcodeine, Noscapine, Dextromethorphan.

(b) Pharyngeal demulcents- Lozenges, Cough drops, Linctuses.

(c) Expectorants- potassium iodide, Guaiphenesin, Ammonium chloride, Ipecacuanha.

Question no. 6 Name the drugs used in Glaucoma.

Ans. Glaucoma is an eye disease characterized by increased intraocular pressure. Two categories of drug may be used in treatment of Glaucoma disease.

(i) Drugs that decrease the formation of aqueous humor: Timolol, betaxolol, levobunolol, apraclonidine, brimonidine, acetazolamide & dorzolamide

(ii) Drugs that increase the drainage of aqueous humor: Carbachol, pilocarpine, physostigmine, echothiophate & latanoprost.

Classification of above given drugs (category wise)

(a) ß blockers: e.g. Timolol, betaxolol, carteolol

(b) Cholinergics: Pilocarpine, carbachol

(c) Adrenergic agonists: Dipivefrine, adrenaline

(d) Carbonic anhydrase inhibitors: Acetazolamide, methazolamide, dorzolamide

(e) PG Analogs: Latanoprost, bimatoprost

Question no. 7 Describe the role of iron as haematinic.

Ans. Iron an important inorganic constituent present in the body required for formation of RBCs. Iron is absorbed all over the intestine. It is distributed as hemoglobin in erythrocytes and stored as ferritin and haemosiderin in liver, spleen, bone marrow and as transferrin which are iron-binding blood plasma glycoprotein. Oral route is preferred route because it is inexpensive and better absorbed as ferric salts.

Iron preparations:

• Oral iron preperation-Ferrous sulphate, ferrous gluconate

• Parenteral iron preparation-Iron dextran, Iron-sorbitol-citric acid

Question no. 8 Describe dales vasometer reversal phenomena.

Ans. Epinephrine is a mixed agonist which produces an increase in systolic but decrease in diastolic blood pressure. A secondary fall occurs when concenteration of epinephrine decreases. The overall effect of epinephrine is rise in blood pressure due to alpha adrenoreceptor action. If an alpha blocker is administered before epinephrine injection, it produces a fall in blood pressure instead of a rise. This phenomena is known as dales vasomotor reversal, named after its discoverer.

Question no. 9. Give a short note on organophosphorus poisoning.

Ans. Anticholinesterases (organophosphate) are easily available and extensively used in agricultural and household insecticides. So, accidental as well as suicidal poisoning is common because they are lipid loving and easily penetrate the skin. Various symptoms of organophosphate poisoning are :

(i) Irritation of eye, salivation, sweating increases.

(ii) Fall in B.P, bradycardia or tachycardia, cardiac arrhythmias occurs.

(iii) Death is generally due to respiratory failure.

Treatment: Terminate further exposure to the poison. Maintain proper fresh air and wash the skin and mucous membrane with water and do gastric lavage according to need. Maintain B.P and control of convulsion with use of diazepam. Use specific antidotes like

Atropine- Atropine 2 mg i.v. repeated every 10 minutes till dryness of mouth and dilation of pupil occurs.

Pralidoxime- Pralidoxime is injected i.v. slowly in a dose of 1-2 g. Treatment should be started as early as possible.

Question no. 10 Explain antagonism with examples.

Ans. Antagonism: When one drug decreases or abolishes the action of another drug, they are said to be atagonistic. Depending on the mechanism, antagonism may be:

(i) Physical antagonism- Charcoal adsorbs alkaloids and can prevent their absorption- used in alkaloid poisoning.

(ii) Chemical antagonism- When tannins and alkaloids are given together insoluble alkaloidal tannate is formed.

(iii) Functional antagonism- Acetylcholine decreases the heart rate and Epinephrine increases the heart rate.

(iv) Receptor antagonism- Naloxone is antagonist to morphine.

Question no. 11 Explain synergism with examples.

Ans. When the action of one drug is facilitated or increased by the other, they are said to be synergistic drugs. In the synergism drugs can have same action in one direction or one may be inactive but still enhance the action of the other when given together.

(i) Additive: The effect of the two drugs is in the same direction and simply adds up.

Effect of drugs A+ B = effect of drug A+ effect of drug B

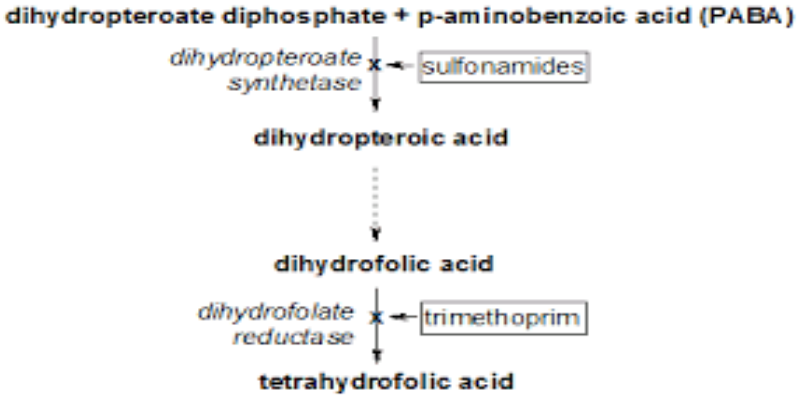
(ii) Supraadditive: The effect of combination is greater than the individual effects of the components.

Effect of drugs A+ B > effect of drug A+ effect of drug B

Question no. 12 Give a short note on cotrimoxazole.

Ans. The combination of trimethoprim and sulfamethoxazole is co-trimoxazole. The ratio of trimethoprim and sulfamethoxazole is 1:5 to attain the right plasma concentration. Both these drugs show synergistic effect on bacterial growth.

Mechanism of action: Sulfonamides inhibit the conversion of PABA (para amino butyric acid) to dihydrofolic acid and trimethoprim inhibits dihydrofolate reductase and thus prevents the reduction of DHF to THF (tetrahydro folic acid). The two drugs thus block sequential steps in folic acid synthesis and the combination is synergistic. Given alone, both are bacteriostatic but combination is bactericidal.



Question no. 13 Define antibiotics and chemotherapy

Ans. Antibiotics: Antibiotics are chemical substances synthesized by various species of microorganisms and produce suppression of growth and destruction of other microorganisms. An antibiotic is said to have a narrow spectrum of activity if it is effective against either gram-positive or gram- negative bacteria. A broad spectrum antibiotic is effective against both gram-positive and gram-negative bacteria and also against rickettsiae and chlamydia.

Chemotherapy: Chemotherapy is the use of drugs to destroy cancer cells. However, when most people use the word chemotherapy they are referring specifically to drug treatments for cancer that destroy cancer cells by stopping their ability to grow and divide. Chemotherapy may be given with a curative intent, or it may aim to prolong life or to reduce symptoms. Along with hormonal therapy and targeted therapy, it is one of the major categories of medical oncology. Drugs used in chemotherapy are mustine, vincristine, procarbazine, prednisolone etc.

Question no. 14 Define pharmacodynamics and pharmacokinetics.

Ans. Pharmacodynamics : It is the study of the biochemical and physiological effects of drugs on the body and the mechanisms of drug action. It shows the relationship between drug concentration and its effect. A drug's pharmacodynamics can be affected by physiologic changes due to disorders, aging, or other drugs. Disorders that affect pharmacodynamic responses include genetic mutations, thyrotoxicosis, malnutrition, myasthenia gravis, parkinson disease, and some forms of insulin-resistant diabetes mellitus.

Pharmacokinetics: It is defined as study of the absorption, distribution, metabolism and excretion of the drug; i.e. the movement of the drug into, within and out of the body. Various factors also effects the pharmacokinetic of a drug.

Question no. 15 Give a short note on biotransformation

Ans. Biotransformation: It is the process of biochemical alteration of the drug in the body. Body treats most of the drugs as foreign substances and tries to inactivate and eliminate them by various biochemical reactions. The most important organ of biotransformation is the liver. But drugs are also metabolized through to a small extent by the kidney, gut mucosa, lungs, blood and skin. The chemical reactions of biotransformation can take place in two phases. Phase I (non-synthetic reactions) and Phase II (synthetic reaction)

Phase I reactions: phase I reactions convert the drug to a more polar metabolite by oxidation, reduction or hydrolysis.

Phase II reactions: In phase II reactions endogenous water soluble substances like glucuronic acid, sulfuric acid, glutathione an amino acid combine with the drug or its phase I metabolite to form a highly polar conjugate, which is inactive and gets readily excreted by kidneys.

Question no. 16 Give a short note on antitubrecular drugs.

Ans. Tuberculosis is a chronic granulomatous disease caused by *Mycobacterium tuberculosis.* It is a systemic disease that effect the respiratory system and other organs also. The most common medications used to treat tuberculosis include:

First line drugs: These drugs are used in initial phase, generally three drugs are used concurrently. Examples of these type of drugs are: Isoniazid, Rifampin (Rifadin, Rimactane), Ethambutol (Myambutol) and Pyrazinamide

Second line drugs: These drugs are generally indicated when organism is resistant to first line drugs. Treatment is started with 5-6 drugs**. Examples:** Ethionamide, Thiacetaone, Para aminosalicylic acid, Ciprofloxacin

Other drugs: Amikacin, tetracycline and flouroquinolones, which are used in combination therapy.

Question no. 17 Give a short note on antihelminthic drugs

Ans. Anthelmintic drugs: Anthelmintic are drugs that either kill or expel infesting helminthes. In human body, G.I.T is abode of many helminthes, but some also live in tissues. They harm the host by depriving him of food, causing blood loss, injury to organs. It is a major cause of ill health. The choice of drugs for helminthiasis are given following.

(i) For roundworm causing infection: Mebendazole, Albendazole, Pyrantel

(ii) For Hookworm causing infection: Levamisole

(iii) For Guinea worm causing infection: Metronidazole, Praziquantel

(iv) For Tape worm causing infection: Niclosamide, Albendazole

Question no. 18 Discuss the classification of NSAIDS.

Ans. The non-narcotic analgesic agents also have anti-inflammatory properties. Aspirin being the prototype, they are also called aspirin like or non-steroidal anti-inflammatory drug (NSAIDS). These drugs are heterogeneous group of compounds and share a common mechanism of inhibiting the cycloxygenase (COX) that is the key enzyme responsible for the biosynthesis of prostaglandins. Non narcotic analgesics have three important properties namely, analgesic, antipyretic and anti-inflammatory. On the basis of inhibiting the enzyme COX; NSAIDs are classified as follows:

(i) Non-selective COX inhibitors:

Salicylate: Aspirin.

Propionic acid derivatives: Ibuprofen.

Indole derivative: Indomethacin.

Aryl-acetic acid derivatives: Diclofenac.

(ii) Preferential COX 2 inhibitors: Nimesulide, Nabumetone, Meloxicam

(iii) Highly selective COX 2 inhibitors: Celecoxib, Rofecoxib.

(**iv) Drugs with anti-pyretic effects**: Paracetamol, Metamizole, Nefopam

Section - C

Each question carries five marks. Attempt any five questions. **5×5=25**

Question no. 01. Give a short note on oral contraceptives.

Question no. 02. Give a short note on oral hypoglycaemic agents.

Question no. 03. Discuss the pharmacology of tetracycline.

Question no. 04. Discuss the pharmacology of penicillin.

Question no. 05. Discuss the neuromuscular blocking agents in short.

Question no. 06. Discuss briefly intravenous general anasthetics.

Question no. 07. Which drugs are used tn the treatment of parkinsons.

Question no. 08. Explain beta blockers.

Question no. 09. Give a short note on sulphonamides.

Question no. 10. Discuss anticonvulsant drugs.

Question no. 11. Define the term bioavilability.

Question no. 01. Give a short note on oral contraceptives.

Ans. Oral Contraceptives: Contraceptives are drugs which prevent conception. Since they control fertility, they are also called as antifertility agents. The type of preparations of oral contraceptives is:

(1) Combination of estrogens and progestins (2) Sequential use of estrogens followed by estrogen-progestin combination (3) Progestins alone

Method of administration:

(1) Combination method: The estrogen-progestin combination can be administrated from the 5^{th} to 25^{th} day of a 28 day cycle. In combination method, FSH (Follicle stimulating hormone) rise and LH (Leutenizing Hormone) peak is suppressed. Therefore follicular growth is not initiated. This prevents ovulation.

(2) Sequential method: Estrogen alone is given from the 5^{th} to 20^{th} day. From the 21^{st} to 25^{th} day, estrogen progestin combination is given. In sequential method, the estrogen suppresses FSH (Follicle stimulating hormones) secretion but stimulates LH (Leutanizing hormone) secretion. This unusual rise of LH suppresses the early FSH rise. So growth of follicle is not initiated and ovulation is prevented.

(3) Progestin alone as contraceptive: Small doses of progestin administered alone can act as contraceptives. Progestin alone as contraceptive is less reliable. These drugs are suitable in patients for whom estrogen is undesirable.

Question no. 02. Give a short note on oral hypoglycaemic agents.

Ans. Oral hypoglycemic agent is those drugs that lower blood glucose level on oral administration by release of insulin from pencrease. These are effected in Type-II diabetes.

Classification of oral hypoglycemic agents as:

(1) Sulfonylureas derivatives: Tolbutamide, Chlorpropamide, Glipizide.

(2) Biguanides: Phenformin, Metformin**.**

Pharmacological actions:

1) These drugs lower sugar level in blood.

2) They are effective only in presence of functional pancreas.

3) They increase body weight.

Mechanism of action:

1) Stimulation of synthesis and release of insulin.

2) Increase in number of beta cells.

3) Inhibition of glycogenolysis and gluconeogenesis.

Therapeutic uses: Treatment of maturity onset diabetes, insulin resistant diabetes and diabetes insipidus.

Question no. 03. Discuss the pharmacology of tetracycline

Ans. Tetracycline's are broad spectrum antibiotics. They are effective against gram-positive, gram-negatives organisms, actinomyces, rickettsiae etc. chlortetracycline was the first tetracycline to be obtained from 'Streptomyces aureofaciens'.

Classification:

(i) Short acting drugs: Chlortetracycline, Tetracycline, Oxytetracycline, Intermediate acting ($t^{1/2}$ 12 hr), Demeclocycline, Methacycline

(ii) Long acting drugs: Doxycycline, Minocycline

Mechanism of action: Tetracycline's are bacteriostatic. They act by inhibition of enzyme systems and protein synthesis or by Chelation of cations like calcium and magnesium.

Adverse reactions: Gastrointestinal disturbances like nausea, vomiting, Liver damage like jaundice and fatty liver , Dental effects like yellow staining of teeth, Hepatotoxicity, Phototoxicity, Renal toxicity

Question no. 04. Discuss the pharmacology of penicillin.

Ans. Penicilline is obtained from the fungus Penicillium notatum.

Mechanism of action: Penicillin act by interfering with cell wall synthesis.

Side effects: Diarrhea, fever, chills, body aches, flu symptoms, severe skin rash, itching, or peeling, agitation, confusion, unusual thoughts or behavior, Seizure (black-out or convulsions).

Uses: - It is used to treat gonorrhoea and syphilis, meningitis, tetanus, diphtheria, anthrax. It is used in respiratory tract infections. It is used to treat rheumatic fever.

Question no. 05. Discuss the neuromuscular blocking agents in short.

Ans. Neuromuscular blocking agents are those drugs that block the transmission of nerve impulse at neuromuascular junction and cause skeletal muscle relaxation. These drugs may act by following three ways: by inhibiting acetylcholine synthesis, by inhibiting acetylcholine release or by interfering with the action of acetylcholine. Based on these mechanism drugs are classified as:

(i) Non- depolarizing blockers: d-tubocurarin, gallamine

(ii) Depolarizing blockers: Succinylcholine

(iii) Dual action blocker: benzoquinonium

Question no. 06. Discuss briefly intravenous general anesthetics.

Ans. These are drugs which on I.V. injection produce loss of consciousness. They are generally used because of rapidity of onset of action. Examples of such type of drugs are:

(i) Thiopentone sodium: It is an ultra short acting barbiturate, highly soluble in water. Thiopentone is a poor analgesic. It is a weak muscle relaxant, does not irritate air passage. Thiopentone is a commonly used inducing agent. Shivering and delirium may occur during recovery. Postanaesthetic nausea and vomiting are uncommon. It is used for rapid control of convulsions.

(ii) Propofol: It is used both for induction as well as maintenance. It is an oily liquid employed as 1% emulsion. In subanesthetic doses it is drug of choice for sedating patients in intensive care units.

Question no. 07. Which drugs are used in the treatment of parkinsonism.

Ans. Parkinsonism is a chronic, progressive, motor disorder characterized by tremor, bradykinesia, rigidity, and postural instability. Antiparkinsonian drugs can only help to alleviate the symptoms and improve the quality of life.

Classification:

(i) Dopaminergic Precursors : Levodopa

(ii) Selective monoamine oxidase B inhibitors: Selegiline, Rasagiline

(iii) COMT (**catechol-o-methyltransferase enzyme**) **inhibitors :** Entacapone, Tolcapone

(iv) Dopamine receptor agonists : Apomorphine, Bromocriptine ,Pramipexole, Ropinirole

(v) Anticholinergics - Diphenhydramine, Dimenhydrinate, Scopolamine, Benztropine

Question no. 08. Explain beta blockers.

Ans. These drugs inhibit adrenergic response mediated through the β receptor.

Classification:

(a) Nonselective beta blockers: Propranolol, sotalol, timolol, pindolol, labetolol, carvedilol.

(b) Cardioselective: metoprolol, atenolol, esmolol.

Pharmacological action:

(i) On CVS: it decreases heart rate, force of contraction, and cardiac output.

(ii) On CNS: Forgetfulness, increased dreaming and nightmars.

(iii) Local anaesthetic effect: Propranolol is a local anesthetic.

(iv) On Eye: It lowers the intraocular tension.

Side effects: bradycardia, g.i.t upset, nightmars, forgetfulness.

Uses: Used in hypertension, angina pectoris, cardiac arrhythmias and myocardial infarction. They can also be used in glaucoma and migraine.

Question no. 09. Give a short note on sulphonamides

Ans. Sulphonamide. Sulfonamides are antimicrobial agents which contain a sulfonamide (SO_2NH_2) group. They are derivatives of the parent compound, para amino benzene sulfonamide.

Mechanism of action: They inhibit the enzyme folic acid synthetase so folic acid (which is essential for bacterial growth) is not synthesized.

Classification:

(a) Sulfonamides for systemic infections:

(i) Short acting: sulfadiazine, sulfadimidine, sulfafurazole, sulfamethizole

(ii) Intermediate acting: sulfamethoxazole,

(iii) Long acting: sulformethoxine, sulfadimethoxine, sulfamethoxypyridazine

(b) Sulfonamides for bowel diseases: Sulfasalazine

Therapeutic uses: 1) Acute bacillary dysentery. 2) Ulcerative colitis 3) Urinary tract infection and cancroids

4) Meningococcal meningitis 5) Trachoma and inclusion conjunctivitis

Question no. 10. Discuss anticonvulsant drugs.

Ans. Epilepsy: Epilepsy is a common neurological abnormality. Epilepsy is a chronic disorder characterized by recurrent seizures often accompanied by episodes of unconsciousness and amnesia. It is a disorder or brain function. Depending upon the cause and symptoms epilepsy is of various types**.** These are the agents that prevent or diminish the severity of convulsive seizures.

Classification:

(i) Hydantoins: Phenytoin

(ii) Barbiturates : Phenobarbitone

(iii) Iminostilbene : Carbamazepine

Question no. 11 Define the term bioavilability.

Ans. Bioavailability is used to explain the extent of absorption of the drug or the availability of the drug at receptor sites, and the therapeutic effectiveness of the preparation. It is determined by concentration time curve in blood. Difference in bioavailability is seen mostly with poorly soluble and slowly absorbed drugs. Bioavailability of an oral dosage form can be determined by comparing the AUC after oral administration of a single dose with that obtained when the same dose is given by I.V. route (100% absorption). Two preparations of a drug are considered bioequivalent when the rate and extent of bioavailability of drug from them is same under suitable test conditions.

SECTION- D

Attempt any three questions. Each question carries ten marks (3x10=30)

Question no. 01. Classify antihypertensive drugs. Give a detailed account of any two antihypertensive drugs.

Question no. 02. Define ADME of drugs and discuss the factors affecting absorption of drugs.

Question no. 03. Write a detailed note on various classes of diuretics. Dicuss thiazide diuretics in detail

Question no. 04. Classify in detail the drug of choice and multiple drug therapy in tuberculosis

Question no. 05 Give detail about different routes of administration.

Question no. 06. Discuss the causes and treatment of peptic ulcers.

Question no. 07. Give the classification of anasthetics and discuss inhalation anasthetics in detail.

Question no. 01. Classify antihypertensive drugs. Give a detailed account of any two antihypertensive drugs

Ans. Hypertension is an elevation of systolic/diastolic blood pressure above 140/90 mm. of Hg. Blood pressure is determined by cardiac output and total peripheral vascular resistance. When the blood pressure is increased it is called hypertension.Prolonged hypertension damaged the blood vessels of heart, brain and kidneys so antihypertensive drugs are used.

Classification:

(i) Diuretics: Diuretics enhance the excretion of sodium and water resulting in decrease in B.P. For example: Hydrochlorothiazide, furosemide, spironolactone, triamterene etc.

(ii) Angiotensin converting enzyme inhibitors: Angiotensin II is powerful vasoconstrictor. So it causes high B.P. Angiotensin II inhibitor drugs are following: Captopril, enalapril, lisinopril, ramipril, perindopril etc.

(iii) Angiotensin II receptor antagonists: For example: Losartan, valsartan etc.

(iv) Sympatholytics: e.g. Clonidine, methyldopa, prazosin, terazosin, propranolol etc.

(v) Ca^{++} channel blockers: e.g. Verapamil, nifedipine etc.

(vi) Vasodilators: e.g. Hydralazine, Minoxidil. Etc.

Here two sympatholytic drugs are discussed in detail.

1. Clonidine: It is a moderate antihypertensive agent. On the administration of clonidine through the intravenous route, it produces a short hypertensive response followed by fall in systolic and diastolic B.P. It is a selective α_2 adrenergic agonist present in the vasomotor center and blocks the release of noradrenaline from sympathetic nerve endings. This leads to lowering of B.P. and cause bradycardia. Thus its main site of action is the CNS. It causes reduction in cardiac output, stroke volume and total peripheral resistance. α_2 adrenergic blocking agent antagonizes the effect of clonidine. It is well absorbed from gut. It is metabolized in liver and excreted unchanged in urine.

Side effects: Sedation, dizziness, dryness of mouth, nausea, indigestion, weight gain, nightmares, insomnia and anxiety.

Uses: It is manly used for essential hypertension of mild to moderate degree and for migraine. It is also used for opiate, alcohol and nicotine withdrawal.

(2) Methyldopa: It is α methyl analogue of dopa. It is useful for mild to moderate hypertension. Its main action is through the CNS. It has no effect on cardiac output and heart rate. It is popular in pregnancy. Its mechanism of action is due to the fact that it gets metabolized into α-methyl noradrenaline, which is a selective α_2 adrenergic agonist. It also inhibits the release of rennin from the kidneys. The side effects of methyl dopa are sedation, fatigue, depression, oedema and allergic reaction, diarrhoea.

Question no. 02. Define ADME of drugs and discuss the factors affecting absorption of drugs.

Ans. ADME is defined as study of the absorption, distribution, metabolism and excretion of the drug; i.e. the movement of the drug into, within and out of the body. It is pharmacokinetic behavior of the drug.

(a) Absorption of drugs: For a drug to show its desired effect it has to be absorbed from the site of administration and reach to the particular site through circulation. Thus absorption is movement of drug from its site of administration into the circulation.

(b) Distribution: It involves the transport of the drug from site of administration to the site on action.

(**c) Metabolism**: metabolism describes the process of metabolic transformation of a drug.

(d) Excretion: Process of elimination of the drug from the body is called excretion.

There are various factors which affects the **ADME** pathway of drugs are particle size, the nature of drug, solubility, the pH and presence of food in stomach.

1) Particle size: Smaller the particle size, larger will be the area of absorption. e.g. Griseofulvin, digoxin.

2) Concentration gradient: Drugs mainly absorbed through passive diffusion which depends on the concentration gradient, and they absorb faster than from dilute solution.

3) Route of administration: It also affects the absorption of drug in blood circulation. For example non-ionized lipid soluble drugs absorbed from stomach and intestine according to lipid content. Acidic drug are unionized drugs and absorb from the stomach and basic drugs are largely ionized drugs and absorbed only in the intestine at basic pH.

4) Presence of food: Presence of food in stomach and intestine dilutes the drug and retards absorption. Thus most drugs are absorbed better in empty stomach. e.g. Ampicillin, Rifampicin.

5) Disintegration and dissolution time: The drug taken orally should break up into individual particles to be absorbed. Liquids are absorbed faster than solids. Delay in disintegration and dissolution rate results in delayed absorption.

6) Formulation: Various additives are in pharmaceutical preparations to produce desired absorption and pharmacological effect. Inert substances such as diluents like starch and lactose may sometimes interfere with the absorption.

7) Lipid solubility: Lipid soluble drugs are absorbed faster and better by dissolving in the phospholipids of the cell membrane.

8) Area and vascularity of the absorbing surface: The larger the area of absorbing surface and more will be the vascularity and better will be the absorption. Thus most drugs are absorbed from the small intestine because it has large surface area.

9) Gastrointestinal motility: If gastric emptying time is faster, the passage of the drug to the intestine is quicker and hence absorption is faster.

10) Diseased state: of the gut like malabsorption and achlorhydria result in reduced absorption of drugs. Particularly acidic drugs are poorly absorbed in presence of achlorhydria.

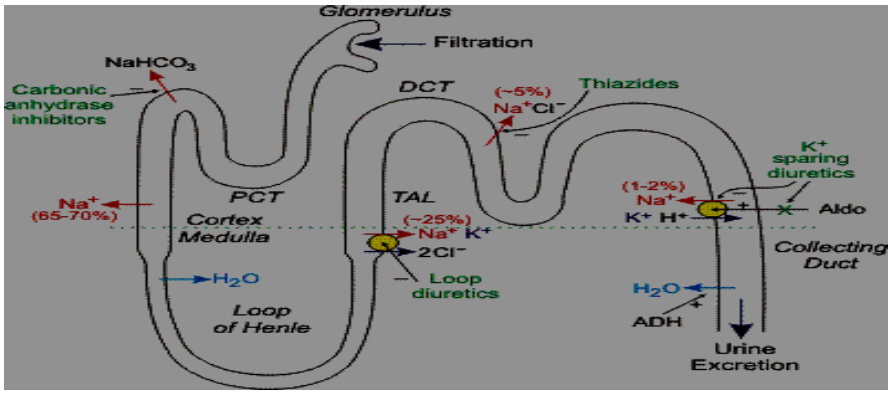
11) Metabolism: Some drugs may be degraded in the gastrointestinal tract. Such drugs should be given in higher doses or by alternative routes. e. g. Nitroglycerine.

Question no. 03. Write a on various classes of diuretics. Dicuss in detail

Ans. Diuretics are drugs which increase the rate of urine formation and the flow of urine. The urine flow may also be increased by suppressing the secretion of antidiuretic hormones from posterior pituitary.These drugs are used for the relief of edema. They are useful for the elimination of toxic products through urine also.

Classification of diuretics

1) **Thiazide diuretics:** Chlorthalidone, Metolazone
2) **High-ceiling or loop diuretics:** Frusemide, Bumetanide
3) **Potassium sparing diuretic:** Spironolactone, Triametrene, Amiloride
4) **Carbonic anhydrase inhibitor** – Acetazolamide
5) **Osmotic diuretic-** Mannitol, Glycerol, urea isosorbide.



Site of action of diuretics

(1) Thiazides: Chlorthiazide was the first thiazide diuretic discovered.

Mechanism of action: These groups of drugs bind to the Cl^- site of Na^+Cl^- cotransport system and block the system. They thus increase the excretion of Na^+ and Cl^- in the early distal tubule. Thiazides also inhibit the carbonic anhydrase activity and increase bicarbonate loss. They increase the excretion of Mg^+ and K^+.

Side effects: Hypokalemia, hyponatraemia, dehydration, hypovolaemia, fatigue, anorexia, rashes.

Uses: It is used in edema, hypertension, renal stones, hypercalciuria, diabetes insipidus and congestive heart failure.

(2) High-ceiling or loop diuretics:

Furosemide: It is a potent diuretic being effective orally. It has structural similarity to thiazides. The diuretic effect goes increasing with increasing dose. It is active even in patients with relatively renal failure. Furosemide increases Ca^{++} excretion as well as Mg^{2+} excretion. It increases blood uric acid level.

Mechanism of action: Furosemide acts by inhibiting $NaCl^-$ reabsorption in the thick ascending limb of the Henle's loop. It blocks the Na^+, K^+, and $2Cl^-$ symporter in the thick ascending limb of the Henle's loop because of which it is called a loop diuretic.

Uses: It is used in edema, hypertension and hypercalcemia.

Side effects: Hypokalemia and metabolic alkalosis, hyponatraemia, dehydration, hypovolaemia, ototoxicity, allergic reactions

(3) Potassium sparing diuretic:

Spironolactone: It enhances the Na^+ reabsorption through Na^+ channels in the collecting tubule and enhances K^+ secretion.

Mechanism of action: Spironolactone binds to the mineralocorticoid receptors on the distal tubule and collecting duct and competitively inhibits the action of aldosterone. It also reduces potassium loss due to other diuretics.

Side effects: Gynaecomastia, impotence in men, hirsuitism and hyperkalaemia.

Uses: They are used with loop diuretics and thiazides to prevent potassium loss. They are also used in oedema, hypertension and primary and secondary aldosteronism.

(4) Osmotic diuretics: Mannitol is a pharmacologically inert substance. When given IV, mannitol gets filtered by the glomerulus but is not reabsorbed. It causes water to be retained in the proximal tubule and descending limb of Henle's loop by osmotic effect resulting in water diuresis.

Side effects: Headache, nausea, hyponatraemia and allergic reactions.

Uses: To maintain urine volume and prevent oligouria. To reduce intracranial and intraocular pressure.

Question no. 04. Classify in detail the drug of choice and multiple drug therapy in tuberculosis

Ans: Tuberculosis is a chronic granulomatous disease caused by *Mycobacterium tuberculosis.* It is a systemic diseases that effect the respiratory system and other organs also. The most common medications used to treat tuberculosis include:

First line drugs : These drugs are used in initial phase, generally three drugs are used concurrently. Examples of thses type of drugs are: Isoniazid, Rifampin (Rifadin, Rimactane), Ethambutol (Myambutol) and Pyrazinamide

Second line drugs: These drugs are generally indicated when organism is resistant to first line drugs. Treatment is started with 5-6 drugs**.** Examples**:** Ethionamide, Thiacetaone, Para aminosalicylic acid, Ciprofloxacin

Other drugs: Amikacin, tetracycline and flouroquinolones, which are used in combination therapy.

Some important drugs are discussed here:

(1) Isoniazid: Isoniazid is the most effective and cheapest drug. It is effective both in acidic and alkaline medium.

Mechanism of action: Isoniazide inhibits the synthesis of mycolic acids which are important components of mycobacterial cell wall. It is a prodrug freely enters the mycobacteria and is converted to an active form by an enzyme catalase-peroxidase present in the mycobacteria. This active from covalently binds certain enzymes and thereby inhibits mycolic acid synthesis. It completely absorbed orally, metabolised by acetylation.

Adverse effects: Peripheral neuritis, hepatitis, anorexia, nausea, vomiting and jaundice.

(2) Rifampicin: Rifampicin is a semisynthetic derivative of rifamycin, an antibiotic obtained from streptomyces mediterranei. Rifampicin is bactericidal to M. tuberculosis, M. leprae and atypical mycobacteria. Rifampicin is highly effective tuberculocidal.

Mechanism of action: Rifampicin binds to the β subunit of the DNA dependent RNA polymerase and inhibits RNA synthesis in the bacteria. It is bactericidal. In therapeutic concentrations, rifampicin cannot bind human RNA polymerase and it therefore selectively destroys the bacteria.

Adverse effects: Hepatotoxicity, gastrointestinal disturbances, flu-like syndrome, CNS symptoms like headache, drowsiness, ataxia, confusion; hypersensitivity reactions like fever, skin rashes, urticaria may occur.

Uses: It is used in tuberculosis and atypical mycobacterial infections. It can also be used in leprosy, pneumococcal meningitis, brucellosis, resistance staphylococcal infections.

(3) Pyrazinamide: It is an analogue of nicotinamide.

Mechanism of action: It is tuberculocidal. It requires an acidic pH (5.5) for its tuberculocidal activity. Pyrazinamide is converted to its active metabolite pyrazinoic acid by an enzyme pyrazinamidase present in the mycobacteria. This metabolite may inhibit the synthesis of mycolic acids by the mycobacteria. If used alone resistance may develops.

Adverse effects: Hepatotoxicity, anorexia, vomiting, fever and rashes.

(4) Streptomycin: It is tuberculocidal drug, acts only against extracellular organisms due to poor penetrating power. Due to disadvantage of the ototoxicity and nephrotoxicity it is least preferred.

(5) Ethionamide: The tuberculostatic drug is effective against both intra and extracellular organisms. It is also effective in atypical mycobacteria. Anorexia, nausea, vomiting and metallic taste in the mouth are most common. It is a second line drug and used only when primary drugs are ineffective.

(6) Para-aminosalicylic acid: PAS related to sulfonamides is tuberculostatic. Gastrointestinal effects like nausea, anorexia, epigastric pain and diarrhoea make it poorly tolerated drugs.

Common antitubercular regimens:

(A) Short course of 6 months:

1. Isoniazid + rifampicin + pyrazinamide daily and ethambutol or streptomycin for 2 months.

2. Then isoniazid + rifampicin for 4 months, or isoniazid + thiacetazone for 4 months.

(B) Longer course of 9 months

1. Isoniazid + rifampicin with pyrazinamide or ethambutol for first 2 months.

2. Isoniazid + rifampicin for next 7 months.

(C) 12 month course: Isoniazid + thiacetazone

(**D) Directly observed therapy (DOT**): The drugs are administered directly to the patients thrice weekly on alteration days under the supervision of health workers to ensure the consumption of drugs.

Question no. 05 Give detail about different routes of administration.

Ans. Most drugs can be administered by a variety of routes. The choice of appropriate route in a given situation depends both on drug as well as patients related factors. Routes can be broadly divided into different types, given as below:-

(1) Local routes: (a) Topical route (b) Route through deep tissues (c) Arterial supply

(2) Systemic routes: (a) Oral route (b) Sublingual or buccal route (c) Rectal route (d) Cutaneous route (e) Inhalation route (f) Nasal route (g) Parenteral route which includes subcutaneous, intramuscular, intravenous and intradermal route.

(1) Local routes: These routes can only be used for localized lesions at accessible sites. Systemic absorption from these routes is minimal or absent.

(a) Topical route: Topical route refers to the surface for localized action. It is simplest mode as well as encouraging to the patient. Some drugs are applied through skin such as ointments, creams, lotions, pastes, powder, dressings, spray etc. Some dosage forms are used through mucous membrane but their use depends upon their sites. For example ophthalmic are used through eyes.

(b) Deeper tissues: In deeper tissues, drug is administered by needle /syringe e.g. intra articular injection.

(c) Arterial supply: Close intrarterial injection is used for contrast media in angiography.

(2) Systemic routes: The drug administered through systemic routes is intended to be absorbed into blood and distributed in all body.

(a) Oral route: It is oldest and common mode of drug administration. It is safer, more convenient, does not need assistance, non invasive and painless. No sterilization of drug is required so it is cheaper. Both solids and liquid dosage forms can be used.

Disadvantages: 1) Action of the drug is slower.

2) Unpalatable drugs are difficult to administer, may cause vomiting.

3) Drug may be destroyed by juices.

(b) Sublingual or buccal route: The tablet is placed under the tongue or crushed in the mouth and spread over the mucosa. Drug action is rapid and liver is by passed e.g. nitroglycerine.

Disadvantages: Only lipid soluble and non irritating drug can be administered.

(c) Rectal route: - Certain irritant and unpleasant drugs can be put into rectum as suppositories or retention enema for systemic effects. This route can also be used when the patient is having recurrent vomiting or is unconscious.

(d) Cutaneous route: - Highly lipid soluble drugs can be applied over the skin for prolonged absorption. The liver is by passed.

(e) Inhalation route: - Volatile liquid and gases are given by inhalation for systemic action, e.g. general anaesthetics.

(f) Nasal route: - The mucous membrane of the nose can readily absorb many drugs. Digestive juices and liver are by past.

(g) Parenteral route: - This refers to administration by injection which takes the drug directly in to blood without crossing the intestinal mucosa. Action is faster, gastric irritation and vomiting not occur. This route can be used in unconscious, uncooperative patients or vomiting patients. Liver is bypassed.

Disadvantages: 1) The preparations have to be sterilized.

2) It is costlier, invasive, and painful.

3) Assistance is required.

The important parenteral routes are subcutaneous, intramuscular, intravenous and intradermal injection.

Question no. 06. Discuss the causes and treatment of peptic ulcers.

Ans‡ Peptic ulcer is thought to result from an imbalance between acid-pepsin secretion and mucosal defense factors.

Classification:

(i) Drugs that neutralise gastric acid (antacids) : Magnesium hydroxide, Aluminium hydroxide, Calcium carbonate.

(ii) Drugs that reduce gastric acid secretion

H2 receptor blockers- Cimetidine, Ranitidine, Famotidine

Proton pump inhibitors- Omeprazole, Lnsoprazole, Pantoprazole

Muscarinic antagonists- Pirenzepine, Telenzepine

(iii) Ulcer protectives- Sucralfate, Bismuth compounds

(iv) Other drugs- Carbenoxolone, Cisapride, Prostaglandins

Antacids: Antacids are basic substances. Given orally they neutralize the gastric acid and raise the pH of gastric contents. So peptic activity of enzyme pepsin is reduced, as pepsin is active only below pH 4.

Uses: Antacids are used as adjuvants in hyperacidity, peptic ulcer and reflux oesophagitis.

H_2 receptor blockers: These drugs bind to the histamine H_2 receptors on the perietal cells. It competitively inhibit the action of histamine on these receptors and thereby reduce gastric secretion.

Adverse effects: Diarrhoea, dizziness, muscle pain and headache.

Uses: Peptic ulcer, Gastritis, Prevention of stress induced ulcers, Zollinger-ellison syndrome, Preanaesthetic medication

Proton pump inhibitors: Proton pump inhibitors are inactive prodrugs, they accumulate in the perietal cells, where they quickly get activated in the acidic environment to sulfenamide. The active form firmly binds the proton pump by covalent bonds and specifically and irreversibly inhibits H^+K^+ATPase. It thereby inhibits gastric secretion.

Adverse effects: Abdominal pain, Diarrhoea, dizziness, muscle pain and headache and rashes.

Uses: Peptic ulcer, Gastroesophageal reflux disease, Prevention of drug induced ulcers, Zollinger-ellison syndrome, Dyspepsia

Ulcer protective: Sucralfate is a salt of sucrose and sulfated aluminium hydroxide. In acidic medium (pH < 4) or water, sucralfate polymerizes to form a sticky, viscid gel which firmly adheres to the base of the ulcers. The negatively charged sucralfate gets attracted to the positively charged proteins in the ulcer base. It remains there for over 6 hours acting as a physical barrier and prevents contact with acid and pepsin.

Bismuth salts on oral administration chelate protein in the ulcer base and form a protective coating over the gastric mucosa. They also inhibit the growth of H. *pylori* on gastric mucosa and stimulate the production of mucus and prostaglandins. They are used for the prevention of traveller's diarrhoea.

Question no. 07. Give the classification of anasthetics and discuss inhalation anasthetics in detail.

Ans. Anaesthetics: General anaesthetics are agents that bring about reversible loss of sensation and consciousness.

Classification:

(1) Inhalation anesthetic: Nitrous oxide, Cyclopropane, Ether, halothane, isoflurane and enflurane.

(2) Intravenous anesthetic:

(a) Inducing agents: Thiopentone sodium, methohexitone, propofol

(b) Dissociative anaesthetic: Ketamine

(c) Opioid analgesic: Fentanyl

(d) Benzodiazepines: Diazepam, Lorazepam, Midazolam.

(1) Inhalational anaesthetics: Inhalational anaesthetics are administered at a specific concentration. Since the brain is a highly perfused organ, steady state can be achieved quickly.

Pharmacological actions:

CNS: Inhaled anaesthetics increase cerebral blood flow by decreasing cerebral vascular resistance.

Kidney and liver: Volatile anaesthetics decrease glomerular filteration rate, renal and hepatic blood flow.

Respiratory system: Inhalational anaesthetics depress the respiratory system.

Nitrous oxide:

Advantages: It is a gas with slightly sweetish odour. It is a strong analgesic with rapid and smooth induction. Recovery is rapid.

Disadvantages: It is less potent and should be used with other agents. Poor muscle relaxant.

Uses: Nitrous oxide is used as an adjuvant to other anaesthetic. It is used along with oxygen to provide analgesia and sedation during dental procedures.

Ether: Ether is a colorless volatile liquid. It is highly inflammable, vapours are irritating.

Advantages: Potent, reliable and inexpensive. Good analgesic. It is a bronchodilator.

Disadvantages: It is irritating.Recovery is low.Postoperative nausea and vomiting.

Uses: It is not preferred now because of its flammability and irritant property.

Halothane: It is a colorless volatile liquid with a sweet odour. It is non-irritant and non-inflammable.

Advantages: Potent, non-inflammable anesthetic.Induction is smooth and rapid.Recovery is rapid.

Disadvantages: Neither a good analgesic nor a muscle relaxant.It causes respiratory depression. It reduces renal blood flow and GFR.

Uses: It is used along with analgesic and muscle relaxant as an anaesthetic.