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QUESTION BANK

Based On NEP 2020

M.SC. IV SEM

MEDICINAL CHEMISTRY

- 400+ MCQs
- Brief and Intensive Notes

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M.Sc
Semester- IV
Paper-
Title- Medicinal Chemistry
Course Code: B021004T

Medicinal chemistry

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Syllabus

UNIT I	**Drug Design** Development of new drugs, procedures followed in drug design, concepts of lead compound and lead modification, concepts of prodrugs and soft drugs, structureactivity relationship (SAR), factors affecting bioactivity, resonance, inductive effect, isosterism, bio-isosterism, spatial considerations. Theories of drug activity: occupancy theory, rate theory, induced fit theory. Quantitative structure activity relationship. History and development of QSAR. Concepts of drug receptors, Elementary treatment of drug receptor interaction. Physico-chemical parameters: lipophilicity, partition coefficient, electronic ionization constants, steric, Shelton and surface activity parameters and redox potentials. Free-Wilson analysis, Hansch analysis, relationships between Free-Wilson and Hansch analysis, LD-50, ED-50 (Mathematical derivations of equations excluded).
UNIT II	**Pharmacokinetics** Introduction to drug absorption, disposition, elimination using pharmacokinetics, important pharmacokinetic parameters in defining drug disposition and in the rapeutics. Mention of uses of pharmacokinetics in drug development process.
UNIT III	**Pharmacodynamics** Introduction, elementary treatment of enzyme stimulation, enzyme inhibition sulphonamides membrane active drugs, drug metabolism, xenobiotics biotransformation, significance of drug metabolism in medicinal chemistry
UNIT IV	**Antineoplastic Agents** Introduction, cancer chemotherapy, special problems, role of alkylating agents and antimetabolites in treatment of cancer. Mention of carcinolytic antibiotics and mitotic inhibitors.
UNIT V	**Cardiovascular Drugs** Introduction, cardiovascular diseases, drug inhibitors of peripheral sympathetic function, central intervention of cardiovascular output. Direct acting arteriolar dilators. Synthesis of amyl nitrate, sorbitrate.
UNIT VI	**Local Anti infective Drugs** Introduction and general mode of action. Synthesis of sulphonamides, furazolidone, acid ciprofloxacin, norfloxacin, dapsone, ethionamide, ethambutal and chloroquin.
UNIT VII	**Psychoactive Drugs – The chemotherapy of Mind** Introduction neurotransmitters, CNS depressants, general anaesthetics, mode of action of hypnotics, sedatives, anti-anxiety drugs, benzodiazipines, buspirone, neurochemistry of mental diseases, antipsychotic drugs – the neuroleptics, antidepressants, butyrophenones, serendipity and drug development, stereochemical aspects of psychotropic drugs. Synthesis of diazepam, oxazepam and chlorazepam.
UNIT VIII	**Antibiotics** Cell wall biosynthesis, inhibitors – Lactam rings, antibiotics inhibiting, protein synthesis. Synthesis of penicillin G, penicillin V, ampicillin, amoxycillin, chloramphenicol, cephalosporin, tetracyclin and streptomycin.

Unit 1

Drug design

Lead compound and modification

- A lead compound is the one that has basic structural requirements for exhibiting the desired action.
- This means that, a lead compound has many structural spaces for further development of the structure, to give a compound with further enhanced action.
- High throughput screening is a technique, which helps to identify the lead compound out of the many synthesized compounds or those compounds which are collected from the natural source.
- Hence, it becomes utmost important to identify the lead compound, as this forms the basis for further development of the molecule(s).

Pro drug

- Prodrugs are bioreversible, inactive drug derivatives, which have the ability to convert into a parent drug in the body.
- These are activated post-administration to their pharmacologically active forms. Often prodrugs are formulated to overcome pharmacokinetic barriers such as poor solubility and absorption, extensive first-pass metabolism, or rapid excretion, and pharmacodynamic barriers such as toxicity, side effects, and poor efficacy.
- Traditional prodrug approach aims to improve physicochemical/biopharmaceutical drug properties; modern prodrugs also include cellular and molecular parameters to accomplish desired drug effect and site-specificity.

Structure- activity relationship

- The structure activity relationship (SAR) is a critical concept in medicinal chemistry and pharmacology , referring to the relationship between a chemical or molecular structure and its biological activity in the body.
- Essentially, it involves understanding how changes in the molecular structure of a substance can influence its interaction with biological targets, such as receptors or enzymes.
- This understanding is crucial for designing new drugs and optimizing existing ones, making SAR a cornerstone in drug development and discovery.

SAR analysis revolves around a few key concepts:

- **Molecular Modification**: Altering parts of a molecule to observe changes in biological activity.
- **Quantitative Structure-Activity Relationship (QSAR)**: This involves the use of statistical methods to link chemical structure with biological activity quantitatively.
- **Activity Cliffs**: Sudden changes in biological activity with small modifications in molecular structure.
- **Scaffold Hopping**: Modifying a core structure (scaffold) to generate new molecules with similar or improved properties.

Concept of drug receptor

- A receptor is the specific chemical constituent of the cell with which a drug interacts to produce it's Pharmacological effects.

- Some receptor sites have been identified with specific parts of proteins and nucleic acids. The term drug receptor or drug target denotes the cellular macromolecule or macromolecular complex with which the drug interacts to elicit a cellular response, i.e., a change in cell function.
- $D + R \rightarrow D\text{-}R$
- Interactions involved in the drug–receptor complex are the same forces experienced by all interacting organic molecules.

Theories of drug activity

Occupancy Theory

- The occupancy theory of Gaddum and Clark states that the intensity of the pharmacological effect is directly proportional to the number of receptors occupied by the drug.
- Maximal response occurs when all the receptors are occupied.

Rate theory

- The response is proportional to the rate of drug-Receptor complex formation. Effect is produced by the drug molecules based on the rates of association and dissociation of drugs to and from the receptors.
- As an alternative to the occupancy theory, Paton proposed that the activation of receptors is proportional to the total number of encounters of the drug with its receptor per unit time.

Induced-Fit Theory

- The induced-fit theory of Koshland was originally proposed for the action of substrates with enzymes, but it could apply to drug–receptor interactions as well.
- According to this theory, the receptor need not necessarily exist in the appropriate conformation required to bind the drug. As the drug approaches the receptor, a conformational change is induced, which orients the essential binding sites.

Quantitative Structure activity relationship (QSAR)

- QSAR correlate, within congeneric series of compounds, affinities of ligands to their binding sites, inhibition constants, rate constants, and other biological activities, either with certain structural features (Free Wilson analysis) or with atomic, group or molecular properties, such as lipophilicity, polarizability, electronic and steric properties.

Quantitative models

- All QSAR analyses are based on the assumption of linear additive contributions of the different structural properties or features of a compound to its biological activity, provided that there are no nonlinear dependences of transport or binding on certain physicochemical properties. (Kubinyi, 1997). 5.2.1
- Hansch analysis
  The linear free-energy-related Hansch model, also sometimes referred to as the 'extrathermodynamic approach. The model makes use of log P and Hammett constant. The equation of this model is as follows

$\text{Log } 1/C = a (\log P)\ 2 + b \log P + c\ \sigma + \ldots + k$

where P is the partition coefficient, σ is the Hammett electronic parameter, k is a constant term, and a, b, c are the regression coefficient. This equation is built on the concept that the permeation of drug in the cell,

and the binding of the drug are function of its lipophilicity, electronic properties and other linear free-energy related properties. (Hansch, and Leo, 1995).

- Free Wilson analysis

Free-Wilson approach is truly a structure-activity-based methodology because it incorporates the contributions made by various structural fragments to the overall biological activity. The equation of this model is as follows

Log BA = $\mu + \Sigma_i a_i a_j$

Where BA stands for biological activity, Xj is the jth substituent, which carries a value 1 if present and 0 if absent, aj represents the contribution of the jth substituent to biological activity.

Multiple choice questions

1. Which aspect is involved in the QSAR method?
a. Target structure
b. Target properties
c. Ligand X-ray structure
d. Ligand properties
Answer: b. Target properties
2. Which of the following is not utilized in QSAR?
a. Molecular connectivity index
b. Molecular similarity index
c. Topological polar surface area
d. Partition coefficient
Answer: b. Molecular similarity index
3. Which one of the following is classified as a quantum chemical parameter?
a. STERIMOL
b. Taft constant
c. Highest occupied molecular orbital
d. Hammett's constant
Answer: c. Highest occupied molecular orbital
4. Which of the following is analogous to the σ constant?
a. log P
b. Rf
c. pKa
d. Es
Answer: c. pKa
5. Which of the following is analogous to the π constant?
a. pKa
b. k'
c. Es
d. MW
Answer: a. pKa
6. Which of the following QSAR techniques is performed manually?
a. Hansch approach
b. Fujita Ban approach
c. Free Wilson approach
d. Topliss approach
Answer: a. Hansch approach
7. In 3D QSAR, what do blue regions indicate favourable points for?
a. Bulky groups

b. Smaller groups
c. Electron-rich groups
d. Electron-deficient groups
Answer: a. Bulky groups
8. In 3D QSAR, what do green regions indicate favourable points for?
a. Bulky groups
b. Smaller groups
c. Electron-rich groups
d. Electron-deficient groups
Answer: c. Electron-rich groups
9. In 3D QSAR, what do red regions indicate favourable points for?
a. Bulky groups
b. Smaller groups
c. Electron-rich groups
d. Electron-deficient groups
Answer: d. Electron-deficient groups
10. In 3D QSAR, what do yellow regions indicate favourable points for?
a. Bulky groups
b. Smaller groups
c. Electron-rich groups
d. Electron-deficient groups
Answer: c. Electron-rich groups
11. Which approach falls under 'Ligand-based drug designing'? [Mumbai University]
a) Molecular docking
b) Pharmacophore modelling
c) QSAR modelling
d) Both b and c
Answer: d) Both b and c
12. Which software is utilised for Phylogenetic analysis? [Mumbai University]
a) LUDI
b) MEGA
c) CHEM3D
d) CoMFA
Answer: b) MEGA
13. What type of database is EBI? [Mumbai University]
a) Protein database
b) Pathway database
c) Nucleotide database
d) Specialised database
Answer: d) Specialised database
14. Which algorithm is used for gene prediction? [Mumbai University]
a) UPGMA
b) Hidden Markov Model
c) Maximum parsimony
d) None
Answer: b) Hidden Markov Model
15. What is the purpose of the Procheck tool? [Mumbai University]
a) Alignment
b) Protein Validation
c) Simulation
d) None of these
Answer: b) Protein Validation
16. ARSA is a search engine for which database? [Mumbai University]
a) DDBJ

b) GENBANK
c) EMBL
d) UNIPROT
Answer: c) EMBL
17. Which of the following is an application of bioinformatics? [Mumbai University]
a) Design of primers
b) Grouping of proteins into families
c) Reconstructing genes from EST sequences
d) All of the above
Answer: d) All of the above
18. Which method is used for virtual screening? [Mumbai University]
a) ADMET analysis
b) QSAR modeling
c) Pharmacophore modeling
d) All of the above
Answer: d) All of the above
19. CoMFA method is used for: [Mumbai University]
a) 4D-QSAR
b) 3D-QSAR
c) 5D-QSAR
d) 6D-QSAR
Answer: b) 3D-QSAR
20. Lipinski's rule of five is used for: [Mumbai University]
a) Docking
b) Similarity search
c) Drug likeness
d) Dynamics simulation
Answer: c) Drug likeness
21. The most important physicochemical properties affecting drug action- [OXFORD]
a) Partition coefficient b) Solubility c) Acid base properties d) Chemical bonding e) Chelation f) Surface activity
a. All of the above
b. A and B both
c. D and E both
d. C and F both
Answer. a all of these
22. In QSAR, study of medicinal chemistry Q stands for- [OXFORD]
a. Qualitative
b. Quantitative
c. Both
d. Quantum
Answer. b Quantitative
23. Dimercaprol is a chelating agent used in the treatment of- [OXFORD]
a. Arsenic poisoning
b. Lead poisoning
c. Iron poisoning
d. Vanadium poisoning
Answer. a Arsenic poisoning
24. The non-polar compound dispersed [OXFORD]
a. By forming hydrogen bonding
b. By interacting with lipid
c. By forming drug receptor complex
d. by forming hydrophilic bond
Answer. b By interacting with lipid

25. pKa is a parameter which indicates the- [OXFORD]
a. Strength of drug as acid base reaction in water
b. Aqueous phase in phosphate buffer
c. Hydrophilic and lipophilic character
d. All of the above
Answer. d All of the above
26. 85% of drugs are ionised in which pH [OXFORD]
a. 2-5
b. 7-12
c. 1.5-8
d. Neutral
Answer. c 1.5-8
27. Bioisosterism is the process of- [OXFORD]
a. Replacement similar group
b. Replacement similar valence group
c. Replacement similar mass no. group
d. Addition of group having different mass no.
Answer b Replacement similar valence group
28. A drug like phenytoin & barbiturate when pKa is larger than 7 is- [OXFORD]
a. Ionised at all pH
b. unionised at pH
c. Ionised at pH 8
d. Unionised at pH 6
Answer. d Unionised at pH 6
29. A drug where pKa is 7 & unionised at all pH it is-
a. Weak acidic
b. Very weak acidic
c. Weak basic
d. Very weak basic
Answer. b Very weak acidic
30. Dissolution & pka helps in drug- [OXFORD]
a. ionisation & solubility
b. dissociation & transportation
c. Dissociation & solubility
d. None of these
Answer c Dissociation & solubility
31. Bioisosteres are similar in their-
a. Physical character
b. Chemical character
c. Both character a & b
d. Biochemical character
Answer c Both character a & b
32. Which of the following is not a bivalent? [OXFORD]
a. CO
b. CS
c. CC
d. SH
Answer d SH
33. A molecule having 3 chiral centre carbon it has-
a. 4 set of diasters
b. 9 set of enantiomers
c. 6 set of monomers
d. 9 set of diasters
Answer b 9 set of enantiomers

34. The 3D structure elucidation is done by process-
a. IR
b. FTIR
c. NMR
d. MS
Answer. c NMR
35. Which of the following is odd one regarding drug-receptor interaction- [OXFORD]
a. Hydrogen bonding
b. Electrostatic
c. Weak Wander wall Force
d. Dipole- induced dipole interaction
Answer d Dipole- induced dipole interaction
36. Which of the following is a fastest receptor-
a. Enzyme linked
b. Ion- gated
c. GPCR
d. Nuclear
Answer c GPCR
37. Which of the following is not an optically isomer- [OXFORD]
a. Enantiomers
b. Epimers
c. Disasters
d. Meso
Answer. a Enantiomers
38. Enantiomer has a higher affinity to receptor are called- [OXFORD]
a. Eudismic
b. Diastomer
c. Eutomer
d. None of these
Answer. a Eudismic
39. Which type of hydrogen bonding present when hydrogen bonding occurs between molecules?
a. Intramolecular
b. Intermolecular
c. A & B both
d. None of them
Answer b Intermolecular
40. Which compound is capable of forming a ring structure with metal atoms?
a. Ligands
b. Chelates
c. Surfactants
d. All of the above
Answer. b Chelates
41. Addition of non-polar group ___ partition co- efficient [OXFORD]
a. Improves
b. Reduces
c. No effect on
Answer. a Improves
42. Gentamicin, streptokinase can be given [OXFORD]
a. Orally
b. Parenterally
c. Both of above
d. None of above
Answer. b Parenterally
43. Distance between H-bond is

a. 2.3- 5.2 A°
b. 1.2 – 2.5 A°
c. 2.5 – 3.2 A°
d. 3.2 – 8.5 A°
Answer. c 2.5 – 3.2 A°
44. Angel of H-bond [OXFORD]
a. 1.3 – 1.8°
b. 13-18°
c. 130 – 180 °
d. 1300 – 1800 °
Answer. c 130 – 180 °
45. Strength of H-bond is [OXFORD]
a. 1-7 Kcal/mol
b. 10-70 Kcal/mol
c. 10-17 Kcal/mol
d. 17-70 Kcal/mol
Answer. a 1-7 Kcal/mol
46. p-nitrophenol contains- [OXFORD]
a. Intramolecular H-bond
b. Intermolecular H-bond
c. Both of above
d. None of above
Answer. b Intermolecular H-bond
47. Which one of the following factors related to protein-drug binding is not related to drugs?
a. Physicochemical characteristics of a drug
b. The concentration of the drug in the body
c. The affinity of the drug for binding
d. Number of binding sites on the binding agent
Answer. d Number of binding sites on the binding agent
48. The most significant protein involved in binding with a drug is [OXFORD]
a. Albumin
b. Glycoprotein
c. Lipoprotein
d. Globulin
Answer. a Albumin
49. (The order of binding of drugs to various protein is Albumin > Glycoprotein > Lipoprotein > Globulin)43. The most abundant plasma protein is
a. Albumin (HAS – Human serum albumin)
b. Glycoprotein
c. Lipoprotein
d. Globulin
Answer. a Albumin (HAS – Human serum albumin)
50. Chelating agent Dimercaprol is used in the treatment of [OXFORD]
a. Lead poisoning
b. Vanadium poisoning
c. Arsenic poisoning
d. All of above
Answer c Arsenic poisoning

Unit II

Pharmacokinetics

Pharmacokinetics is the field of science that deals with the kinetics of drug absorption, distribution and elimination

• After oral administration: The drug is absorbed (A) from the site of administration to the systemic circulation

▪ The drug is distributed (D) to all parts of the body

▪ And the drug is eliminated from the body by metabolism and/or excretion (ME)

Each process is associated with one or more parameters that are dependent on the drug, drug product and the patient

• These are the pharmacokinetic parameters and they determine the rate of the different processes

Disposition

- Pathways governing drug disposition have been broadly defined by the terms absorption, distribution, metabolism, and excretion (ADME).

Introduction to drug absorption

- Drug absorption is determined by the drug's physicochemical properties, formulation, and route of administration.
- Drugs may cross cell membranes by

- Passive diffusion
- Facilitated passive diffusion
- Active transport
- Pinocytosis

Sometimes various globular proteins embedded in the matrix function as receptors and help transport molecules across the membrane.

Elimination

- Drugs are eliminated from the body either unchanged or as metabolites. Excretory organs, the lung excluded, eliminate polar compounds more efficiently than substances with high lipid solubility.
- Lipid-soluble drugs are thus not readily eliminated until they are metabolized to more polar compounds.
- The kidney is the most important organ for elimination of drugs and their metabolites.
- Drug elimination follows first-order kinetics.

Important pharmacokinetic parameters

- Pharmacokinetics is concerned with the accurate determination of the magnitude of the independent variable in pharmacology and therapeutics, namely, the concentration of drug in the body at the biological target of interest.
- "Druglike" character for a molecule entails a molecular weight of 350–400, if possible, and sufficient water solubility to be dispersed in aqueous media with concomitant lipophilic property to dissolve into and diffuse through lipid bilayer membranes.

- In vitro assays can be used to measure the ability of a molecule to diffuse through lipid membranes (PAMPA) and biological layers of cells (i.e., Caco-2, MDCK).
- Assistance in absorption and or selectivity can be achieved by judicious choice of drug route of entry.
- The two main independent parameters in pharmacokinetics are drug clearance and volume of distribution; from these, the third important parameter of half-life can be determined.
- Clearance is mainly hepatic or renal; hepatic clearance is quantified by treating the liver as a virtual enzyme. Renal clearance is determined by glomerular filtration, active secretion, and reabsorption.
- The volume of distribution of a drug can be used to determine where it is sequestered in the body.
- Drug half-life can be used to determine dosing schedule and the time to attain a steady-state equilibrium concentration.
- Bioavailability involves the interplay of absorption and the first-pass effect, whereby an orally absorbed drug must first pass through the liver before it enters the central compartment.
- Nonlinear pharmacokinetics occur when elimination processes are saturated or the normally linear relationship between dosing and plasma concentration is exceeded either in capacity or sensitivity.
- Clearance, volume of distribution, and $t_{1/2}$ can be determined from a single i.v. dose experiment; addition of an oral dosing yields F.
- Multiple dosing experiments can quickly detect nonlinear pharmacokinetics and enzyme induction.

MULTIPLE CHOICE QUESTIONS

1 ……..is defined as rate and extent of drug absorption.
a. Bioavailability
b. Bioequivalence
c. drug disposition
d. Absorption
Answer. a. Bioavailability
2. The movement of drug from one compartment to other compartment is referred as…
a. Bioavailability
b. drug distribution
c. drug disposition
d. Absorption
Answer. b. drug distribution
3. Passive transport process involve all except…….
a. Passive difussion
b. Pore transport
c. ion-pair transport
d. Antiport
Answer. d. Antiport
4. Facilitated diffusion is also known as:
a. Active diffusion
b. Mediated diffusion
c. Ion-pair transport
d. Symport
Answer: b. Mediated diffusion
5. Which of the following is an active transport process?
a. Persorption
b. Pinocytosis

c. Phagocytosis
d. Ion-pair transport
Answer: d. Ion-pair transport
6. Drugs classified as BCS Class III have which characteristics?
a. Low solubility & Low permeability
b. High solubility & low permeability
c. Low Solubility & High permeability
d. High solubility & high permeability
Answer: c. Low Solubility & High permeability
7. Which of the following statements best describes pharmacokinetics?
a) The study of how drugs reach their target in the body and how the levels of a drug in the blood are affected by absorption, distribution, metabolism, and excretion.
b) The study of how drugs can be designed using molecular modeling based on the drug's pharmacophore.
c) The study of how a drug interacts with its target binding site at the molecular level to produce a particular pharmacological effect.
d) The study of which functional groups are important to a drug's activity, and the identification of a pharmacophore.
Answer: a) The study of how drugs reach their target in the body and how the levels of a drug in the blood are affected by absorption, distribution, metabolism, and excretion.
8.What is meant by ADME in pharmacokinetics? [OXFORD]
a) Affinity, dosage, marketing, efficacy
b) Absorption, distribution, metabolism, excretion
c) Agonism, dependence, mobility, efficiency
d) Antagonism, deficiency, mean, efflux
Answer: b) Absorption, distribution, metabolism, excretion
9. In cell uptake studies, the use of a peristaltic pump is required for: [OXFORD]
a. Single pass perfusion
b. Everted Sac Technique
c. Doluisio method
d. Everted Ring Technique
Answer: a. Single pass perfusion
10. The blood-brain barrier consists of specialized cells except:
a. Astrocytes
b. Endoblasts
c. Pericytes
d. Endothelial cells
Answer: b. Endoblasts
11. What is the formula for volume of distribution?
a. Vd = C/X
b. Vd = X/C
c. Vd = Ke/X
d. Vd = Ke/C
Answer: b. Vd = X/C
12. What is the residence time for the large intestine?
a. 2 hrs
b. 6-12 hrs
c. 4 hrs
d. 3 hrs
Answer: b. 6-12 hrs
13. What is the intestinal transit time for the Duodenum?
a. 0.5 to 1 hrs
b. 3 to 6 hrs
c. 2 hrs
d. 5 minutes

Answer: a. 0.5 to 1 hrs
14. In the majority of drugs that bind to extravascular tissues, the order of binding is:
a. Liver > Kidney > Lung > Muscles
b. Lung > Liver > Kidney > Muscles
c. Liver > Lung > Kidney > Muscles
d. Liver > Kidney > Muscles > Lung
Answer: c. Liver > Lung > Kidney > Muscles
15. Which of the following is not a phase II reaction?
a. Acetylation
b. Methylation
c. Hydrolysis of esters
d. Conjugation of glucoronic acid
Answer: c. Hydrolysis of esters
16. Clearance is defined as the ratio of:
a. Elimination rate / Plasma drug Concentration
b. Plasma drug Concentration / Elimination rate
c. Vd / AUC
d. AUC / Vd
Answer: a. Elimination rate / Plasma drug Concentration
17. The beginning of a pharmacological response is called:
a. Onset time
b. Duration of action
c. Onset of action
d. Intensity of action
Answer: c. Onset of action
18. Which of the following is a model-independent approach of pharmacokinetics?
a. Mammillary model
b. Perfusion model
c. Distributed parameter model
d. Noncompartmental analysis
Answer: d. Noncompartmental analysis
19. Absorption rate constant can be calculated by:
a. Method of residuals
b. Sigma minus method
c. Model-independent method
d. Noncompartmental analysis
Answer: c. Model-independent method
20. Bioavailability is generally in the order of:
a. Oral > Parenteral > Rectal > Topical
b. Parenteral > Oral > Topical > Rectal
c. Oral > Parenteral > Topical > Rectal
d. Parenteral > Oral > Rectal > Topical
Answer: c. Oral > Parenteral > Topical > Rectal
21. Flow-through cell belongs to which type of USP apparatus:
a. USP type 1
b. USP type 2
c. USP type 4
d. USP type 3
Answer: d. USP type 3
22. _____ is used for molecular inclusion complexation for solubility enhancement of drugs:
a. Sodium Lauryl sulfate
b. Cyclodextrin
c. CMC (Carboxymethyl cellulose)
d. HPMC (Hydroxypropyl methylcellulose)

Answer: b. Cyclodextrin
23. An IV bolus dose of 200 mg given by IV follows one-compartment kinetics described by the equation C = $e^{(-0.91t)}$. Calculate ClT (total clearance) and Vd (volume of distribution).
a. 0.0173 ml/min, 1.44 ml
b. 0.0273 ml/min, 2.5 ml
c. 0.0785 ml/min, 3.22 ml
d. 0.0673 ml/min, 4.44 ml
Answer: a. 0.0173 ml/min, 1.44 ml
24. An IV bolus dose of 25 mg given by IV follows one-compartment kinetics with a half-life of 36 hours and a volume of distribution of 27000 liters. Calculate ClT (total clearance) and C0 (initial concentration).
a. 8640 ml/min, 0.00092 mg/l
b. 5220 ml/min, 0.92 mg/l
c. 8520 ml/min, 0.92 mg/l
d. 5220 ml/min, 0.092 mg/l
Answer: b. 5220 ml/min, 0.92 mg/l
25. What does pharmacokinetics primarily study?
a) Drug effects on the body
b) Drug interactions
c) Drug movement in the body
d) Drug synthesis
Answer: c) Drug movement in the body
26. Which of the following is NOT a main parameter studied in pharmacokinetics?
a) Absorption
b) Distribution
c) Pharmacodynamics
d) Metabolism
Answer: c) Pharmacodynamics
27. Absorption of a drug refers to:
a) How a drug is spread throughout the body
b) How a drug is eliminated from the body
c) How a drug enters systemic circulation
d) How a drug is metabolised
Answer: c) How a drug enters systemic circulation
28. Bioavailability is the:
a) Amount of drug that reaches systemic circulation
b) Rate of drug metabolism
c) Degree of drug protein binding
d) Rate of drug excretion
Answer: a) Amount of drug that reaches systemic circulation
29. Which mode of drug administration typically has 100% bioavailability?
a) Intravenous
b) Oral
c) Intramuscular
d) Transdermal
Answer: a) Intravenous
30. First-pass metabolism occurs primarily in which organ?
a) Liver
b) Kidneys
c) Lungs
d) Heart
Answer: a) Liver
31. What is the main role of metabolism in pharmacokinetics?
a) To increase drug potency
b) To convert drugs into inactive forms

c) To enhance drug absorption
d) To facilitate drug distribution
Answer: b) To convert drugs into inactive forms
32. Excretion of drugs primarily occurs through:
a) Liver
b) Kidneys
c) Lungs
d) Skin
Answer: b) Kidneys
33. Clearance is defined as the ratio of:
a) Drug elimination rate to plasma drug concentration
b) Drug absorption rate to distribution rate
c) Drug metabolism rate to excretion rate
d) Drug protein binding to distribution rate
Answer: a) Drug elimination rate to plasma drug concentration
34. What does the half-life (t) of a drug measure?
a) Time for drug absorption
b) Time for drug distribution
c) Time for drug metabolism
d) Time for drug elimination
Answer: d) Time for drug elimination
35. Zero-order kinetics display:
a) Constant rate of drug metabolism
b) Proportional drug metabolism to plasma concentration
c) Variable rate of drug metabolism
d) Constant drug distribution rate
Answer: a) Constant rate of drug metabolism
36. Which statement about protein binding is correct?
a) Protein binding increases drug availability at receptor sites
b) Only protein-bound drugs can cross into other fluid compartments
c) Protein binding decreases the possibility of drug toxicity
d) Protein binding occurs primarily with alpha-amyloid protein
Answer: a) Protein binding increases drug availability at receptor sites
37. Volume of distribution (Vd) describes:
a) The rate of drug absorption
b) The spread of a drug throughout the body
c) The degree of drug protein binding
d) The clearance of a drug from the body
Answer: b) The spread of a drug throughout the body
38. In renal failure, how does decreased protein binding affect drug therapy?
a) Decreases drug efficacy
b) Increases drug toxicity
c) Increases drug absorption
d) Decreases drug distribution
Answer: b) Increases drug toxicity
39. What is the primary role of diffusion in drug distribution?
a) Transporting drugs across cell membranes
b) Binding drugs to plasma proteins
c) Facilitating drug metabolism
d) Excreting drugs from the body
Answer: a) Transporting drugs across cell membranes
40. Which pharmacokinetic parameter determines the appropriate dosing rates of medications?
a) Volume of distribution
b) Clearance

c) Half-life
d) Bioavailability
Answer: b) Clearance
41. Steady state in drug therapy is achieved when:
a) Drug absorption equals drug distribution
b) Drug metabolism equals drug excretion
c) Drug accumulation equals drug elimination
d) Drug protein binding equals drug clearance
Answer: c) Drug accumulation equals drug elimination
42. Which healthcare professional is responsible for verifying dosing, performing drug interaction checks, and monitoring drug plasma concentrations?
a) Physician
b) Nurse
c) Pharmacist
d) Clinical pharmacist
Answer: c) Pharmacist
43. The interprofessional team needs to monitor for signs of drug efficacy and toxicity primarily influenced by:
a) Drug absorption
b) Drug distribution
c) Drug metabolism
d) Drug excretion
Answer: c) Drug metabolism
44. The ultimate goal of understanding pharmacokinetics in medication therapy is to:
a) Maximize drug absorption
b) Minimize drug distribution
c) Achieve positive therapeutic outcomes while minimizing adverse reactions
d) Accelerate drug metabolism
Answer: c) Achieve positive therapeutic outcomes while minimizing adverse reactions
45. What are the main routes of enteral administration of drugs?
a) Oral
b) By injection
c) Rectal
d) Per mucosa
Answer: a) Oral
46. What are the advantages of the oral route of drug administration?
a) Easily self-administered
b) Toxicity and overdose may be overcome with antidotes
c) Drugs avoid first-pass metabolism
d) Drugs go directly into the systemic circulation
Answer: a) Easily self-administered
47. What are the advantages of the rectal route of drug administration?
a) Suitable for vomiting patients
b) Suitable for children
c) Suitable for unconscious patients
d) A way to avoid first-pass metabolism
Answer: d) A way to avoid first-pass metabolism
48. Which of the following enteral routes of drug administration avoid the first-pass metabolism in the liver?
a) Rectal
b) Sublingual
c) Oral
d) Transdermal
Answer: b) Sublingual
49. What are the main routes of parenteral administration of drugs?

a) Oral
b) By injection
c) Rectal
d) Percutaneous
Answer: b) By injection
50. What are the parenteral routes of drug administration?
a) Rectal, intramuscular, subcutaneous
b) Intravenous, intramuscular, intranasal
c) Intravenous, sublingual, transdermal
d) Transdermal, subcutaneous, by inhalation
Answer: b) Intravenous, intramuscular, intranasal

Unit III

Pharmacodynamics

Introduction

- Pharmacodynamics is the study of a drug's molecular, biochemical, and physiologic effects or actions. It comes from the Greek words "pharmakon," meaning "drug," and "dynamikos," meaning "power."
- All drugs produce their effects by interacting with biological structures or targets at the molecular level to induce a change in how the target molecule functions in regard to subsequent intermolecular interactions.

Drug metabolism and Xenobiotic transformation

- Most drugs are xenobiotics, ie, chemical substances not naturally produced by the body.
- Xenobiotics undergo various body processes for detoxification, thus reducing their toxicity and allowing them to be readily available for excretion.
- These processes allow for the chemical modification of drugs into their metabolites and are known as drug metabolism or metabolic biotransformation.
- These metabolites are the byproducts of drug metabolism and can be characterized by active, inactive, and toxic metabolites.
- Drug metabolism occurs at a specific location in the body, resulting in a low concentration of active metabolites in the systemic circulation.

Significance of drug metabolism in medicinal chemistry

- Knowledge of drug metabolism is of immense value to medicinal chemists. During drug design, molecules may be designed such that they don't form toxic metabolites.
- This knowledge can also be used as a guide to assist researchers in making molecules have optimal pharmacokinetic properties.
- The study of drug metabolism and drug design are closely related. Having knowledge of both leads to the development of safe, clinically advantageous products.

MULTIPLE CHOICE QUESTIONS

1. What is the term used to describe the relationship between the presence of a medication at the site of action and the resulting impact?
a. Pharmacokinetics
b. Pharmacodynamics
c. Pharmacotherapy
d. Pharmaceutics
Answer: b. Pharmacodynamics
2. Which of the following is NOT an effect of a drug?
a. Stimulation
b. Depression
c. Aggravation
d. Replacement
Answer: c. Aggravation
3. Which component of drug action involves the physical interaction of the drug with its target?
a. Chemical Action
b. Through Enzymes

c. Physical Action
d. None of the above
Answer: c. Physical Action
4. Which enzyme is stimulated by adrenaline?
a. Decarboxylase
b. Adenylyl cyclase
c. Acetylcholinesterase
d. Penicillinase
Answer: b. Adenylyl cyclase
5. Methicillin is responsible for activating which enzyme?
a. Decarboxylase
b. Adenylyl cyclase
c. Penicillinase
d. Glucuronyl transferase
Answer: c. Penicillinase
6. Noncompetitive inhibition of enzymes involves interaction with:
a. The active site of the enzyme
b. A neighboring area of the enzyme
c. The substrate
d. The coenzyme
Answer: b. A neighboring area of the enzyme
7. Sulphonamides were discovered to inhibit the growth of streptococci through the breakdown of which compound?
a. Prontosil
b. Sulphanilamide
c. Penicillin
d. Methicillin
Answer: a. Prontosil
8. Which of the following is NOT a route of drug excretion?
a. Bile
b. Saliva
c. Lungs
d. Muscle
Answer: d. Muscle
9. Phase 1 reactions in drug metabolism involve:
a. Conjugation reactions
b. Hydrolysis
c. Oxidation
d. None of the above
Answer: c. Oxidation
10. Which enzyme is responsible for the transformation of ethanol into acetaldehyde?
a. Alcohol dehydrogenase
b. Acetylcholinesterase
c. Penicillinase
d. Decarboxylase
Answer: a. Alcohol dehydrogenase
11. Which group is most commonly involved in conjugation reactions during Phase 2 metabolism?
a. Amino acids
b. Glucuronic acid
c. Sulphate groups
d. All of the above
Answer: d. All of the above
12. Which type of glucuronides is produced by acids?
a. Acid-type glucuronides

b. N-glucuronides
c. S-glucuronides
d. Ether type glucuronides
13. Answer: a. Acid-type glucuronides
Which enzyme is involved in the hydrolysis of esters and amides?
a. Alcohol dehydrogenase
b. Acetylcholinesterase
c. Decarboxylase
d. None of the above
14. Answer: d. None of the above
What is the primary organ involved in drug metabolism?
a. Kidneys
b. Liver
c. Intestines
d. Lungs
Answer: b. Liver
15. Which drug is known for its rapid onset of action, high therapeutic index, and short half-life?
a. Nitrous oxide
b. Ketamine hydrochloride
c. Morphine
d. Tramadol
Answer: b. Ketamine hydrochloride
16. In competitive inhibition, the drug competes with:
a. The enzyme
b. The substrate
c. The coenzyme
d. The product
Answer: b. The substrate
17. Which compound is known for being the least toxic membrane-activating chemical?
a. Nitrous oxide
b. Ketamine hydrochloride
c. Halogenated anaesthetics
d. Trichloroethylene
Answer: a. Nitrous oxide
18. Phase 2 reactions in drug metabolism involve:
a. Oxidation
b. Hydrolysis
c. Conjugation
d. Decarboxylation
Answer: c. Conjugation
19. Which enzyme catalyzes the transformation of ethanol into acetaldehyde?
a. Alcohol dehydrogenase
b. Acetylcholinesterase
c. Penicillinase
d. Decarboxylase
Answer: a. Alcohol dehydrogenase
20. Which enzyme is NOT involved in drug metabolism?
a. Glucuronyl transferase
b. Cytochrome P-450
c. Adenylyl cyclase
d. Alcohol dehydrogenase
Answer: c. Adenylyl cyclase
21. Sulphonamides were discovered through the breakdown of which compound?
a. Prontosil

b. Sulphanilamide
c. Penicillin
d. Methicillin
Answer: a. Prontosil
22. Which type of inhibition involves interaction with a neighboring area of the enzyme?
a. Competitive inhibition
b. Noncompetitive inhibition
c. Uncompetitive inhibition
d. Allosteric inhibition
Answer: b. Noncompetitive inhibition
23. What is the primary route for the excretion of endogenous and foreign substances?
a. Urine
b. Bile
c. Saliva
d. Lungs
Answer: b. Bile
24. Which enzyme is responsible for catalyzing the transformation of ethanol into acetaldehyde?
a. Alcohol dehydrogenase
b. Acetylcholinesterase
c. Penicillinase
d. Decarboxylase
Answer: a. Alcohol dehydrogenase
25. Phase 1 reactions in drug metabolism primarily involve:
a. Conjugation
b. Oxidation
c. Hydrolysis
d. Reduction
Answer: b. Oxidation
26. Which enzyme catalyzes the hydrolysis of esters and amides?
a. Alcohol dehydrogenase
b. Acetylcholinesterase
c. Decarboxylase
d. None of the above
Answer: d. None of the above
27. In Phase 2 reactions of drug metabolism, which group is commonly used to increase the polarity of the drug?
a. Amino acids
b. Glucuronic acid
c. Acetyl groups
d. None of the above
Answer: b. Glucuronic acid
28. What is the primary organ involved in drug metabolism?
a. Kidneys
b. Liver
c. Intestines
d. Lungs
Answer: b. Liver
29. Which drug is known for its rapid onset of action, high therapeutic index, and short half-life?
a. Nitrous oxide
b. Ketamine hydrochloride
c. Morphine
d. Tramadol
Answer: b. Ketamine hydrochloride
30. What is the primary route for the excretion of endogenous and foreign substances?

a. Urine
b. Bile
c. Saliva
d. Lungs
Answer: b. Bile
31. What term describes the chemical changes that occur when a drug is metabolized by the body?
a. Pharmacokinetics
b. Pharmacodynamics
c. Biotransformation
d. Pharmacotherapy
Answer: c. Biotransformation
32. Which type of drugs are incapable of undergoing biotransformation and are therefore excreted in their original form?
a. Lipophilic drugs
b. Hydrophilic drugs
c. Amphiphilic drugs
d. Aromatic drugs
Answer: b. Hydrophilic drugs
33. Which of the following is NOT a category of natural reactions in biotransformation?
a. Oxidation
b. Reduction
c. Conjugation
d. Hydrolysis
Answer: d. Hydrolysis
34. Which enzyme plays a significant role in the oxidation of drugs during biotransformation?
a. Cytochrome P-450
b. Alcohol dehydrogenase
c. Acetylcholinesterase
d. Glucuronyl transferase
Answer: a. Cytochrome P-450
35. Which enzyme is responsible for the conjugation of glucuronic acid with a drug molecule? [Uttarakhand University]
a. Cytochrome P-450
b. Alcohol dehydrogenase
c. Glucuronyl transferase
d. Acetylcholinesterase
Answer: c. Glucuronyl transferase
36. Which of the following is NOT a pharmacokinetic parameter? [Uttarakhand University]
a.. Bioavailability
b. Therapeutic index
c. Volume of distribution
d. Clearance
Answer: b. Therapeutic index
37. Which of the following is NOT a factor that affects the pharmacokinetic parameters? [Uttarakhand University]
a. Age
b. Weight
c. Genetics
d. Food intake
Answer: c. Genetics
38. The duration of action of a drug is related to: [Uttarakhand University]
a. Volume of distribution
b. Half-life
c. Bioavailability

d. Clearance
Answer: b. Half-life
39. Which of the following is NOT a type of drug metabolism? [Uttarakhand University]
a. Hydrolysis
b. Oxidation
c. Reduction
d. Photodegradation
Answer: d. Photodegradation
40. Which of the following statements about pharmacodynamics is TRUE? [Uttarakhand University]
a. It is the study of how a drug is eliminated from the body.
b. It is the study of how a drug is absorbed and distributed in the body.
c. It is the study of how a drug affects the body.
d. It is the study of how a drug is metabolized in the body.
Answer: c. It is the study of how a drug affects the body.
41. The time it takes for a drug to be reduced to half its original concentration is known as: [Uttarakhand University]
a. Bioavailability
b. Half-life
c. Pharmacodynamics
d. Therapeutic index
Answer: b. Half-life
42. Which of the following is NOT a factor influencing drug response? [Uttarakhand University]
a. Age
b. Gender
c. Genetics
d. Environmental conditions
Answer: d. Environmental conditions
43. The therapeutic index of a drug is the ratio of: [Uttarakhand University]
a. The minimum effective concentration to the maximum tolerated concentration
b. The maximum effective concentration to the minimum tolerated concentration
c. The minimum toxic concentration to the maximum tolerated concentration
d. The maximum toxic concentration to the minimum tolerated concentration
Answer: a. The minimum effective concentration to the maximum tolerated concentration
44. The time between drug administration and the onset of therapeutic effect is known as: [Uttarakhand University]
a. Absorption time
b. Distribution time
c. Onset of action
d. Metabolism time
Answer: c. Onset of action
45. Which of the following statements about drug interactions is TRUE? [Uttarakhand University]
a. They can result in an increased efficacy of the drug.
b. They can result in an increased toxicity of the drug.
c. They can result in a decreased toxicity of the drug.
d. They can result in a decreased efficacy of the drug.
Answer: b. They can result in an increased toxicity of the drug.
46. The process by which a drug is absorbed and distributed in the body is known as: [Uttarakhand University]
a. Metabolism
b. Excretion
c. Pharmacodynamics
d. Pharmacokinetics
Answer: d. Pharmacokinetics
47. The process by which a drug is eliminated from the body is known as: [Uttarakhand University]

a. Metabolism
b. Excretion
c. Pharmacodynamics
d. Pharmacokinetics
Answer: b. Excretion
48. Which of the following is NOT a route of drug administration? [Uttarakhand University]
a. Oral
b. Topical
c. Sublingual
d. Intravenous
Answer: c. Sublingual
49. Which of the following is NOT a factor influencing drug absorption? [Uttarakhand University]
a. Chemical stability of the drug
b. pH of the gastrointestinal tract
c. Blood flow to the site of administration
d. Rate of metabolism
Answer: d. Rate of metabolism
50. The concentration of a drug at the site of action is known as: [Uttarakhand University]
a. Bioavailability
b. Half-life
c. Pharmacodynamics
d. Therapeutic index
Answer: a. Bioavailability

UNIT IV

Antineoplastic agents

Introduction

- The antineoplastic agents or anticancer drugs represent a large and diverse class of medications. They generally have limited but important uses, and often have significant hepatotoxicity.
- Historically, they are categorized as
  (1) alkylating agents
  (2) antimetabolites
  (3) natural products
  (4) hormones and antagonists
  (5) miscellaneous.
- Alkylating agents
  i. Nitrogen mustards ii. Ethyleneimine iii. Alkyl sulfonate iv. Nitrosoureas v. Triazine
- Antimetabolites (act on metabolic pathway involved in DNA synthesis) i. Folate antagonist ii. Purine antagonist iii. Pyrimidine antagonist.
- Plant derivatives i. Vinca alkaloids ii. Taxanes iii. Epipodophyllotoxin
- Hormones (mainly steroids which suppress hormone secretion or antagonize hormone action) a) Glucocorticoids b) Estrogen c) Progestins d) Antiandrogens
- Miscellaneous (include Hydroxyurea, Cisplatin, Monoclonal antibodies and L.Asparginase.

Cancer Chemotherapy

- Antineoplastic chemotherapy drugs target cancerous cells by attacking the life cycle of a cell.

- Cells go through different phases as they grow and multiply. Cancer cells tend to grow quickly, meaning they go through these phases quicker. By targeting these phases, healthcare professionals hope to kill these fast-growing cancer cells.

- Depending on the type, location, and severity of cancer, healthcare professionals may also combine chemotherapy with other forms of treatment, such as : radiation therapy, surgery ,targeted therapy, hormone therapy etc.

Special problems

- Almost all antineoplastic agents have some degree of hepatotoxicity, and the liver injury is usually due to direct, intrinsic toxicity.
- Steatohepatitis can occur with L-asparaginase, methotrexate and tamoxifen
- Selected anticancer agents have also been linked to immune allergic hepatitis or to autoimmune hepatitis-like injury.

Role of alkylating agents and antimetabolites in cancer treatment

- Alkylating agents are combined with other anticancer drugs to kill cancerous cells as they cannot differentiate between cycling and resting cells. But mostly, they affect the rapidly dividing cells.
- The alkylating agents break down spontaneously or after cell metabolism and produce reactive molecular species that attack the nucleophile groups on the DNA bases and alkylate them.

- That leads to an impaired base pairing of DNA and finally causes breakage of the DNA strands. It is a potent cell cycle checkpoints activator and activates cell signalling pathways that can cause apoptosis.
- The major drawback of alkylating agents is that it targets all the cells, irrespective of whether they are normal or cancerous.

Carcinolytic antibiotics

- A clinically important group of anticancer drugs are certain cytotoxic antibiotics that inhibit DNA and/or RNA synthesis by complexing with DNA via an interposing reaction called intercalation.
- A prominent and intensely studied antibiotic is actinomycin, which is also known as actinomycin D .
- Actinomycin is particularly effective in the treatment of Wilm's tumor in the kidney (of children) and, as a member of combination protocols, against adult Ewing's and Kaposi's sarcoma.
- The drug is cell-cycle specific in the S and G, phase.

Mitosis inhibitors

- Mitotic inhibitors are used in cancer treatment because they target the process of cell division, known as mitosis.

MULTIPLE CHOICE QUESTIONS

1. Which type of cancer originates from mesodermal tissue and can develop in muscle, fat, blood vessels, and fibrous tissue?
a. Sarcoma
b. Carcinoma
c. Leukemia
d. Lymphoma
Answer: a. Sarcoma
2. Which type of cancer is characterized by abnormal proliferation of leukocytes and originates in the bone marrow?
a. Sarcoma
b. Carcinoma
c. Leukemia
d. Lymphoma
Answer: c. Leukemia
3. Which type of cancer starts in lymphocytes and can manifest as either Hodgkin lymphoma or Non-Hodgkin lymphoma?
a. Sarcoma
b. Carcinoma
c. Leukemia
d. Lymphoma
Answer: d. Lymphoma
4. Which type of cancer originates from cells that develop into melanocytes, specialized cells producing melanin?
a. Sarcoma
b. Melanoma
c. Leukemia
d. Lymphoma
Answer: b. Melanoma
5. Which type of cancer resembles both a sarcoma and a carcinoma and is highly malignant?
a. Carcinosarcoma

b. Carcinoma
c. Melanoma
d. Leukemia
Answer: a. Carcinosarcoma
6. Which type of cancer originates from epithelial cells and is the most prevalent form of cancer?
a. Sarcoma
b. Carcinoma
c. Leukemia
d. Lymphoma
Answer: b. Carcinoma
7. Which type of cancer involves germ cells producing sperm or eggs? [Uttarakhand University]
a. Germ Cell Tumors
b. Blastoma
c. Neuroendocrine Tumors
d. Teratoma
Answer: a. Germ Cell Tumors
8. Which type of cancer affects immune cells called plasma cells and is also known as Kahler disease?
a. Melanoma
b. Multiple Myeloma
c. Carcinoid Tumors
d. Neuroendocrine Tumors
Answer: b. Multiple Myeloma
9. Which type of cancer is common in children and resembles embryonic or immature tissue?
a. Germ Cell Tumors
b. Blastoma
c. Teratoma
d. Neuroendocrine Tumors
Answer: b. Blastoma
10. Which type of cancer originates from cells that release hormones into the blood in response to signals from the neurological system?
a. Germ Cell Tumors
b. Blastoma
c. Neuroendocrine Tumors
d. Teratoma
Answer: c. Neuroendocrine Tumors
11. What is the mechanism of action of etoposide in cancer treatment?
a) Inhibition of topoisomerase II
b) Suppression of nucleoside transport
c) Inhibition of mitochondrial transport
d) All of the above
Answer: d) All of the above
12. Which natural product is derived from the bark of the Pacific Yew?
a) Etoposide
b) Podophyllotoxin
c) Campothecins
d) Taxol
Answer: d) Taxol
13. Asparaginase is used primarily in the treatment of which type of cancer?
a) Lung cancer
b) Ovarian cancer
c) Leukemia
d) Breast cancer
Answer: c) Leukemia
14. What is the primary source of interferon?

a) White blood cells
b) Red blood cells
c) Platelets
d) Lymphocytes
Answer: a) White blood cells
15. Which hormone is commonly used in the treatment of prostate cancer? [Uttarakhand University]
a) Oestrogen
b) Androgen
c) Progesterone
d) Glucocorticoid
Answer: b) Androgen
16. What is the primary mode of action of mitotic inhibitors in cancer treatment?
a) Inhibition of topoisomerase II
b) Suppression of nucleoside transport
c) Binding to microtubules
d) Inhibition of hormone receptors
Answer: c) Binding to microtubules
17. Which type of therapy involves the use of substances that prevent cell division and interfere with nucleic acid binding?
a) Chemotherapy
b) Radiotherapy
c) Hormone therapy
d) Gene therapy
Answer: a) Chemotherapy
18. What is the primary vector used in genetic therapy?
a) Bacteria
b) Retroviruses
c) Fungi
d) Plasmids
Answer: b) Retroviruses
19. Which genetic disease is NOT treated using genetic therapy? [Uttarakhand University]
a) Hemophilia
b) Cystic fibrosis
c) Alzheimer's disease
d) Parkinson's disease
Answer: c) Alzheimer's disease
20. How is the cystic fibrosis gene therapy protocol unique compared to other genetic therapies?
a) It involves direct injection of the CFTR gene into the nasal or bronchial epithelium.
b) It uses retrovial vectors containing the LDL receptor gene.
c) It involves modification of hepatocytes in the liver.
d) It utilizes tumor cells containing genetic cytokines.
Answer: a) It involves direct injection of the CFTR gene into the nasal or bronchial epithelium.
21. Which class of anticancer drugs interferes with DNA to halt the growth of cancer cells by modifying biological molecules like DNA and proteins?
a. Antimetabolites
b. Carcinolytic antibiotics
c. Alkylating agents
d. Mitotic inhibitors
Answer: c. Alkylating agents
22. Which mechanism of action characterizes antimetabolites in cancer treatment?
a. Blocking DNA synthesis by crosslinking DNA strands
b. Inhibiting DNA polymerase and preventing DNA replication
c. Interfering with RNA binding to DNA and protein synthesis
d. Causing DNA strand breaks and DNA fragmentation

Answer: b. Inhibiting DNA polymerase and preventing DNA replication
23. Which medication is derived from Streptomyces parvullus and interferes with RNA binding to DNA, thereby blocking transcription?
a. Cyclophosphamide
b. Bleomycin
c. Mitomycin-C
d. Actinomycin D
Answer: d. Actinomycin D
24. What is the primary mechanism of action of bleomycin in cancer treatment?
a. Inhibiting DNA synthesis by crosslinking DNA strands
b. Preventing RNA polymerase activity and mRNA transcription
c. Causing DNA strand breaks through DNA fragmentation
d. Blocking DNA synthesis by forming crosslinks with guanine and cytosine
Answer: c. Causing DNA strand breaks through DNA fragmentation
25. Which class of anticancer drugs inhibits DNA-dependent RNA production by attaching to DNA?
a. Alkylating agents
b. Antimetabolites
c. Carcinolytic antibiotics
d. Mitotic inhibitors
Answer: c. Carcinolytic antibiotics
26. Which medication is used to treat cancers such as uterine, testicular, and osteogenic sarcomas by intercalating into DNA and inhibiting RNA polymerase activity?
a. Bleomycin
b. Mitomycin-C
c. Actinomycin D
d. Mithramycin
Answer: c. Actinomycin D
27. Which toxic effect is commonly associated with the use of alkylating agents in cancer treatment?
a. Cardiotoxicity
b. Renal toxicity
c. Hematopoietic toxicity
d. Hepatotoxicity
Answer: c. Hematopoietic toxicity
28. Which medication is derived from Streptomyces caespitosus and works by crosslinking double-stranded DNA to prevent DNA synthesis?
a. Actinomycin D
b. Bleomycin
c. Mitomycin-C
d. Mithramycin
Answer: c. Mitomycin-C
29. What is the primary role of antimetabolites in cancer treatment? [Uttarakhand University]
a. Inhibiting DNA replication by crosslinking DNA strands
b. Blocking DNA synthesis by inhibiting DNA polymerase
c. Preventing the biosynthesis or utilization of normal cellular metabolites
d. Causing DNA strand breaks and DNA fragmentation
Answer: c. Preventing the biosynthesis or utilization of normal cellular metabolites
30. Which medication is used to treat gastric adenocarcinoma, cervix, colon, rectum, breast, and lung cancer by crosslinking double-stranded DNA?
a. Bleomycin
b. Mitomycin-C
c. Actinomycin D
d. Mithramycin
Answer: b. Mitomycin-C

31. Which natural product-derived anticancer drug is a semi-synthetic derivative of podophyllotoxin and is used in the treatment of testicular, bronchial, and lymphoid malignancies?
a. Podophyllotoxin
b. Etoposide
c. Campothecins
d. Taxol
Answer: b. Etoposide
32. What is the active component of podophyllin, derived from Podophyllum species, and is used to treat leukemia, ovarian cancer, and Hodgkin's disease?
a. Etoposide
b. Podophyllotoxin
c. Campothecins
d. Taxol
Answer: b. Podophyllotoxin
33. Which natural product-derived anticancer alkaloid is primarily sourced from the Chinese tree Camptotheca acuminata and is currently obtained from seeds and bark?
a. Etoposide
b. Podophyllotoxin
c. Campothecins
d. Taxol
Answer: c. Campothecins
34. What is the source of Taxol, a natural product-derived anticancer drug effective against lymphoid malignancies, lung cancer, and prostate cancer?
a. Pacific Yew bark
b. May apple roots
c. Chinese tree bark
d. Indian Ayurvedic herb
Answer: a. Pacific Yew bark
35. Asparaginase, a natural product-derived enzyme used in treating acute leukemia, is obtained from which source?
a. Escherichia coli
b. Blood plasma
c. Leukemic cells
d. Pacific Yew bark
Answer: a. Escherichia coli
36. Interferon, a naturally occurring protein-based substance, primarily originates from which cells?
a. Red blood cells
b. White blood cells
c. Platelets
d. Neurons
Answer: b. White blood cells
37. Which class of anticancer drugs, derived from Vinca rosea Linn, binds to tubulin and prevents its polymerization, leading to mitotic spindle dysfunction?
a. Alkaloids
b. Enzymes
c. Antibiotics
d. Hormones
Answer: a. Alkaloids
38. What is the primary mechanism of action of antiestrogen drugs like tamoxifen in treating estrogen-dependent breast adenocarcinoma?
a. Inhibition of androgen synthesis
b. Stimulation of B-cell proliferation
c. Inhibition of estrogen receptors
d. Activation of T-cell cytotoxicity

Answer: c. Inhibition of estrogen receptors
39. Which category of anticancer drugs primarily interferes with nucleic acid binding by competing with glutamine's metabolic function?
a. Mitotic inhibitors
b. Hormones
c. Anti-metabolites
d. Glucocorticoids
Answer: c. Anti-metabolites
40. What is the primary vector used in genetic therapy to treat genetic diseases like cystic fibrosis and Duchenne muscular dystrophy?
a. Retroviruses
b. Adenoviruses
c. Lentiviruses
d. Herpesviruses
Answer: a. Retroviruses
41. Which factor increases the efficacy of antineoplastic drugs? [Uttarakhand University]
a. Drug-provoked mutations.
b. Additional immunotherapy.
c. Decrease in tumor blood supply.
d. Tumor cells acquire natural insensitivities.
Answer. b Additional immunotherapy.
42. Which antineoplastic alkylating drug is effective in treating tumors within the central nervous system (CNS)?
a. Thiotepa.
b. Busulfan.
c. Dacarbazine.
d. Temozolomide.
Answer. d Temozolomide.
43. Which effect of alkylating antineoplastic agents results in greater susceptibility to infection?
a. Hepatotoxicity.
b. Myelosuppression.
c. Nausea and vomiting.
d. Nonspecific cell destruction.
Answer.b Myelosuppression.
44. Which antineoplastic agent is a folic acid analogue?
a. Methotrexate.
b. Mercaptopurine.
c. Cytarabine.
d. Fluorouracil.
Answer.a Methotrexate.
45. Which antibiotic antineoplastic agent is used in combination with many chemotherapy protocols due to its low-toxicity profile?
a. Mitomycin.
b. Bleomycin.
c. Doxorubicin.
d. Daunorubicin.
Answer. b Bleomycin.
46. Which antiestrogen drug is used to treat estrogen-dependent adenocarcinoma of the breast?
a. Megestrol.
b. Tamoxifen.
c. Prednisone.
d. Testosterone.
Answer. b Tamoxifen.

47. Thalidomide, an antineoplastic drug, requires the administration of which other class of drug?
a. Antibiotic.
b. Hypertension.
c. Anticoagulant.
d. Corticosteroid.
Answer. c Anticoagulant.
48. Which biologic response modifier affects epithelial cells to reduce mucositis?
a. Palifermin.
b. Interferon.
c. Aldesleukin.
d. Sargramostim.
Answer. a Palifermin.
49. Which is most specific and is the first-line drug used to treat patients with myeloid leukemia?
a. Imatinib.
b. Busulfan.
c. Clofarabine.
d. Methotrexate.
Answer. a Imatinib.
50. Which monoclonal antibody (MAb) is specific for HER-2/Neu (of the EGFR family), an overexpressed antigen in relation to aggressive tumors?
a. Rituximab.
b. Trastuzumab.
c. Bevacizumab.
d. Alemtuzumab.
Answer. b. Trastuzumab.

UNIT V

Cardiovascular drugs

Introduction

- **Cardiovascular drug**, any agent that affects the function of the heart and blood vessels . Drugs that act on the cardiovascular system are among the most widely used in medicine .
- Drugs affect the function of the heart in three main ways. They can affect the force of contraction of the heart muscle (inotropic effects); they can affect the frequency of the heartbeat, or heart rate (chronotropic effects); or they can affect the regularity of the heartbeat (rhythmic effects).

Cardiovascular diseases

- The cardiovascular system consists of the heart and blood vessels. There is a wide array of problems that may arise within the cardiovascular system.
- Coronary artery disease (CAD): Sometimes referred to as Coronary Heart Disease (CHD), results from decreased myocardial perfusion that causes angina, myocardial infarction (MI), and/or heart failure. It accounts for one-third to one-half of the cases of CVD.
- Cerebrovascular disease (CVD): Including stroke and transient ischemic attack (TIA)
- Peripheral artery disease (PAD): Particularly arterial disease involving the limbs that may result in claudication
- Aortic atherosclerosis*:* Including thoracic and abdominal aneurysms

Drug inhibitors of peripheral sympathetic functions

- The sympathetic nervous system (SNS) has been identified as a major contributor to the pathophysiology of hypertension. It is well known that dysregulations of the SNS, such as impairments of the baroreflex or exercise pressor reflex, are a common feature of hypertension.

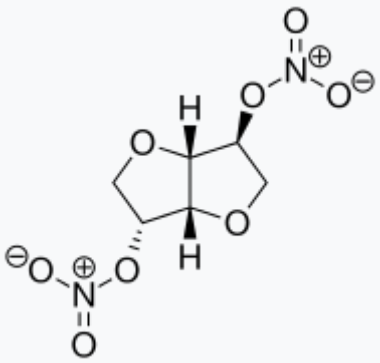
Synthesis of amyl nitrite

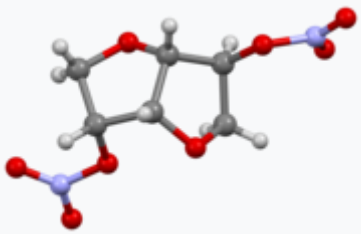
- Amyl nitrite is a chemical compound with the formula $C_5H_{11}ONO$. The alkyl group is unreactive and the chemical and biological properties are mainly due to the nitrite group.
- Alkyl nitrites are prepared by the reaction of alcohols with nitrous acid .
- $ROH + HONO \rightarrow RONO + H_2O$, where R = alkyl group
- The reaction is called esterification . Synthesis of alkyl nitrites is, in general, straightforward and can be accomplished in home laboratories. A common procedure includes the dropwise addition of concentrated sulfuric acid to a cooled mixture of an aqueous sodium nitrite solution and an alcohol.
- The intermediately-formed stoichiometric mixture of nitrogen dioxide and nitric oxide then converts the alcohol to the alkyl nitrite, which, due to its low density, will form an upper layer that can be easily decanted from the reaction mixture.
- Isoamyl nitrite decomposes in the presence of base to give nitrite salts and the isoamyl alcohol
  $C_5H_{11}ONO + NaOH \rightarrow C_5H_{11}OH + NaNO_2$
- Amyl nitrite, like other alkyl nitrites, reacts with carbanions to give oximes .

- Amyl nitrites are also useful as reagents in a modification of the Sandmeyer reaction . The reaction of the alkyl nitrite with an aromatic amine in a halogenated solvent produces a radical aromatic species, this then frees a halogen atom from the solvent. For the synthesis of aryl iodides diiodomethane is used, whereas bromoform is the solvent of choice for the synthesis of aryl bromides.

Sorbitrate

isosorbide dinitrate





Multiple Choice Questions

1. Which of these organs are situated in the thoracic cavity?
   a) Stomach
   b) Kidney
   c) Heart
   d) Ovaries
   Ans : c
2. How many times does the heart beat in one minute?
   a) 40-60
   b) 80-120
   c) 70-75
   d) 12-15
   Ans : c (CBSE)

3. All of the following agents are high ceiling diuretics except:
   a. Furosemide
   b. Amiloride
   c. Torsemide
   d. Ethacrynic acid
   Ans : d
4. Which of the following statement is true for ACE inhibitors?
   a.ACE inhibitors reduce both cardiac preload and afterload, thereby decreasing cardiac work
   b. ACE inhibitors increase both angiotensin II and bradykinin levels
   c. ACE inhibitors decrease both angiotensin II and bradykinin levels
   d. None of the above
   Ans : a
5. Choose the correct antihypertensive agents and their mechanism of action:

a. Furosemide: inhibition of epithelial sodium transport at the late distal and collecting ducts
b. Losartan: increases aldosterone secretion causing sodium and water retention
c. Clonidine: acts centrally as an a2 agonist causing inhibition of sympathetic vasomotor center
d. a and c
e. a, b and c
Ans : d

6. Which of the following is a direct renin inhibitor?
a. Olmesartan
b. Eplerenone
c. Aliskiren
d. None of the above
Ans : c

7. Which of the following is the most common symptom of myocardial infarction?
a. Chest pain
b. Dyspnea
c. Edema
d. Palpitations
Ans : a (BBAU)

8. When do coronary arteries primarily receive blood flow?
a. During inspiration
b. During diastole
c. During expiration
d. During systole
Ans : b

9. Which of the following illnesses is the leading cause of death in the US?
a. Cancer
b. Coronary artery disease
c. Liver failure
d. Renal failure
Ans : b

10. Which of the following conditions most commonly results in CAD?
a. Atherosclerosis
b. DM
c. MI
d. Renal failure
Ans : a

11. Atherosclerosis impedes coronary blood flow by which of the following mechanisms?
a. Plaques obstruct the vein
b. Plaques obstruct the artery

c. Blood clots form outside the vessel wall
d. Hardened vessels dilate to allow the blood to flow through
Ans : b (OXFORD)
12. Which of the following risk factors for coronary artery disease cannot be corrected?
a. Cigarette smoking
b. DM
c. Heredity
d. HPN
Ans : c
13. Exceeding which of the following serum cholesterol levels significantly increases the risk of coronary artery disease?
a. 100 mg/dl
b. 150 mg/dl
c. 175 mg/dl
d. 200 mg/dl
Ans : d

14. Which of the following actions is the first priority care for a client exhibiting signs and symptoms of coronary artery disease?
a. Decrease anxiety
b. Enhance myocardial oxygenation
c. Administer sublignual nitroglycerin
d. Educate the client about his symptoms
Ans : b (BBAU)
15. Medical treatment of coronary artery disease includes which of the following procedures?
a. Cardiac catheterization
b. Coronary artery bypass surgery
c. Oral medication administration
d. Percutaneous transluminal coronary angioplasty
Ans : c
16. Prolonged occlusion of the right coronary artery produces an infarction in which of he following areas of the heart?
a. Anterior
b. Apical
c. Inferior
d. Lateral
Ans : c
17. This drug reduces blood pressure by acting centrally
a) Labetalol
b) Clonidine
c) Enalapril
d) Nifedipine
Ans: b) Clonidine (B PHARMA)
18. Which is NOT correct for Phase 0 in action potential in cardiac muscle?
a) Depolarization phase
b) opening of Na+ channel
c) Repolarizing phase
d) Initiating the impulse
Ans: c) Repolarizing phase
19.Which is not a sympatholytic drug?
a. Labetalol
b. Prazosin
c. Guanethidine
d. Butoxamine

Ans: c) Guanethidine (Inhibit the vesicle release)

20. All of the following statements regarding angiotensin II are true EXCEPT:
    a. peptide hormone
    b. Angiotensin I is almost as potent as angiotensin II
    c. potent vasoconstrictor
    d. stimulates the secretion of aldosterone

Ans: b) Angiotensin I is almost as potent as angiotensin II

21. This drug is contraindicated in patients with bronchial asthma:
    a. Enalapril
    b. Nifedipine
    c. Propranolol
    d. Clonidine

Ans: c) Propranolol (BBAU)

22. Which drug may produce tachycardia?
    a. Propranolol
    b. Losartan
    c. Enalapril
    d. Nifedipine

Ans d) Nifedipine

23. Choose the group of antihypertensive drugs which diminishes the metabolism of bradykinin:
    a. CCBs
    b. Alfa blockers
    c. ACE Is
    d. Diuretics

Ans: c) ACE Is

24. Role of diuretics in hypertension:
    a. Inhibit the adrenergic transmission
    b. reduce blood volume and amount of Na+ ions in the vessels endothelium
    c. Inhibit the rennin-angiotensin-aldosterone system
    d. None

Ans: b) reduce blood volume and amount of Na+ ions in the vessels endothelium

25. Tick the diuretic agent having a potent, maximum and rapid effect:
    a. Furosemide
    b. Spironolactone
    c. Dichlothiazide
    d. Indapamide

Ans: a) Furosemide (OXFORD)

26. Tick potassium channel activator:
    a. Nifedipine
    b. Minoxidil
    c. Diazoxide
    d. B & C

Ans: d) B & C

27. All of the following statements regarding verapamil are true EXCEPT:
    a. It blocks L-type calcium channels
    b. It increases heart rate
    c. It relaxes coronary artery smooth muscle
    d. It depresses cardiac contractility

Ans: b) It increases heart rate (GPAT)

28. Choose the vasodilator which releases NO:
    a. Nifedipine
    b. Hydralazine
    c. Minoxidil
    d. Sodium nitroprusside

Ans: d) Sodium nitroprusside

29. Which of the following antianginal agents is a myotropic coronary dilator:
    a. Dipyridamole
    b. Validol
    c. Atenolol
    d. Alinidine

Ans a) Dipyridamole

30. The following agents are cardio selective beta1-adrenoceptor-blocking drugs labelled for use in angina, EXCEPT:
    a. Metoprolol
    b. Talinolol
    c. Atenolol
    d. Propranolol

Ans: d) Propranolol

31. The drug used in hypotensive shock:
    a. Noradrenaline
    b. Propranolol
    c. Dopamine
    d. Histamine

Ans: a) noradrenaline

32. Indicate the vasoconstrictor of endogenous origin:
    a. Ephedrine
    b. Nitric oxide
    c. Xylometazoline
    d. Endothelin

Ans: d) Endothelin

33. Which is right about digitalis:
    a. NaKATPase pump blocker
    b. +ve inotropic drug
    c. It causes hypokalamia
    d. All

Ans: d) All (GPAT)

34. Tick the non-glycoside positive inotropic drug
    a. Digitoxin
    b. Digoxin
    c. Dobutamine
    d. Strophanthin

Ans: c) Dobutamine

35. All of the following are recommended at the initial stages of treating patients with heart failure EXCEPT:
    a. Reduced salt intake
    b. Verapamil
    c. ACE inhibitors
    d. Diuretics

Ans: b) Verapamil

36. All of the following statements regarding inhibitors of type III phosphodiesterase are true EXCEPT:
    a. They raise cAMP concentrations in cardiac myocytes
    b. They reduce afterload
    c. They show significant cross-tolerance with beta-receptor agonists
    d. They are associated with a significant risk for cardiac arrhythmias

Ans: c) They show significant cross-tolerance with beta-receptor agonists

37. All of the following effects of ACE inhibitors may be useful in treating heart failure EXCEPT:
    a. They decrease afterload
    b. They increase circulating catecholamine levels

c. They reduce reactive myocardial hypertrophy
d. They increase myocardial beta-1 adrenergic receptor density

Ans: b) They increase circulating catecholamine levels (OXFORD)

38. This drug is a Class IC antiarrhythmic drug:
a. Flecainide
b. Sotalol
c. Lidocaine
d. Verapamil

Ans: a) Flecainide

39. This drug prolongs repolarization (in arrythmia):
a. Flecainide
b. Sotalol
c. Lidocaine
d. Verapamil

Ans: b) Sotalol

40. Which of the following ACE inhibitor is not a prodrug?
a. Ramipril
b. Enalapril
c. Perindopril
d. Lisinopril

Ans: b) Enalapril (B PHARMA)

41. Digitalis toxicity is enhanced by co-administration of :
a. Potassium
b. Quinidine
c. Potacium sparing diuretics
d. Antacids

Ans: b) Quinidine

42. Which is the most appropriate diuretic for treating acute pulmonary oedema?
a. Thiazide diuretics
b. Loop diuretics
c. Potassium sparing diuretics
d. Osmotic diuretic

Ans: b) Loop diuretics

43. Indicate the drug belonging to antagonists of heparin:
a) Aspirin
b) Dicumarol
c) Dalteparin
d) Protamine sulphate

Ans: d) Protamine sulphate (B PHARMA)

44. For digitalis-induced arrhythmias the following drug is favored:
a) Verapamil
b) Amiodarone
c) Lidocaine
d) Propanolol

Ans: c) Lidocain

45. which drug is used as antihypertensive in pregnancy
a) Losartan
b) Enalapril
c) Methyl dopa
d) All

Ans c) Methyl dopa

46. This drug increases lipoprotein lipase (LPL) activity in adipose tissue:
a) Cholestyramine
b) Lovastatin

c) Nicotinic acid
d) Gemfibrozil
Ans: d) Gemfibrozil
47. which diuretic has an anti-androgenic effect:
a) Amiloride
b) Furosemide
c) Hydrochlorothiazide
d) Spironolacton
Ans: d) Spironolacton
48. Warfarin affects the synthesis of
a) CF II
b) CF VII
c) CF IX
d) CF X
e) All
Ans: e) All
49. What is right about Clopidogrel
a) inhibits ADP-dependant platelet aggregation
b) inhibits COX-dependant platelet aggregation
c) Antagonise Gp IIb/IIIa- dependant platelet aggregation
d) All
Ans: a) inhibits ADP-dependant platelet aggregation
50. Major role of ADH (Vasopressin)
a) Vasoconstriction
b) enhance the water reabsorption
c) enhance the expression of aquaporins channel\
d) all
Ans: d) all (CBSE)

UNIT VI

Local Anti infective drugs

Introduction

- Anti-infectives are medicines that work to help treat infections. They include antibacterials, antivirals, antifungals, and antiparasitic medications.
- **Anti-infectives** are a larger class of many types of drugs that cover a broad range of infections , including antibiotics, antifungals, antiviral, and even protozoal infections.

- Treat minor infections and many serious infectious diseases, like pneumonia or tuberculosis.
- Perform routine procedures and complex surgery, such as cesarean sections or joint replacements, which carry a risk of serious infection.
- Give vital immuno-suppressive treatments, like chemotherapy, to people with cancer.

UNIT-6

Synthesis of Sulphonamides:

- Sulfonamides are a class of compounds containing the sulfonamide functional group (R-SO2-NH2).
- The key starting material for the synthesis of sulphonamides is sulfanilamide (p-aminobenzenesulfonamide).
- Sulfonyl chloride (SOCl2) is commonly used to introduce the sulfonyl group (-SO2Cl) to an amine compound.
- The sulfonyl chloride reacts with the amine group of sulfanilamide via a substitution reaction, leading to the formation of sulphonamide.

Synthesis of Furazolidone:

- Furazolidone is an antimicrobial compound used as an antibiotic.
- The primary precursor for furazolidone synthesis is 2-aminobenzothiazole.
- The synthesis involves steps such as diazotization, reduction, and oxidation to yield furazolidone.
- Diazotization is carried out using sodium nitrite (NaNO2) to convert the primary aromatic amine to a diazonium salt.
- Reduction of the diazonium salt produces an amine intermediate.
- The oxidation step introduces a nitro group to the intermediate, leading to the formation of furazolidone.

Characteristics and Applications of Furazolidone:

- Furazolidone contains a sulfonamide functional group, making it effective against a wide range of Gram-negative bacteria.
- Its mechanism of action involves the inhibition of nucleic acid synthesis in bacteria.
- Furazolidone is commonly used in the treatment of food poisoning caused by bacterial contamination.
- It is not typically used to treat tuberculosis, malaria, or typhoid fever.

Chemistry of Sulfonamides and Furazolidone:

- The synthesis of both sulphonamides and furazolidone involves reactions such as diazotization, substitution, reduction, and oxidation.
- Sulphonamides and furazolidone are important classes of compounds with applications in medicine, particularly as antibiotics.
- The introduction of specific functional groups, such as sulfonyl and nitro groups, plays a crucial role in determining the properties and activities of these compounds.

Synthesis of Acid Ciprofloxacin:

- Acid ciprofloxacin is a derivative of fluoroquinolone antibiotics.
- The synthesis typically involves the hydrolysis of a precursor compound, usually a fluoroquinolone, to introduce a carboxylic acid group.
- Fluoroquinolones are commonly used as starting materials due to their structural similarity to ciprofloxacin.
- Acid ciprofloxacin retains the broad-spectrum antibacterial activity of fluoroquinolones while possessing improved pharmacokinetic properties.

Synthesis of Norfloxacin:

- Norfloxacin is another derivative of fluoroquinolone antibiotics.
- Its synthesis often includes cyclization steps to form the quinolone ring system.
- Hydrolysis reactions may also be involved to introduce functional groups such as carboxylic acids.
- Norfloxacin exhibits antibacterial activity against Gram-negative bacteria and is commonly used in the treatment of urinary tract infections.

Synthesis of Dapsone:

- Dapsone belongs to the class of sulfone antibiotics and is used primarily in the treatment of leprosy and dermatitis herpetiformis.
- Its synthesis typically starts with sulfanilamide as a precursor.
- Diazotization reactions are commonly employed to introduce functional groups such as azo groups.
- Reduction steps are crucial in dapsone synthesis to convert functional groups such as nitro groups to primary amines.

Synthesis of Ethionamide:

- Ethionamide is an antimicrobial agent used primarily in the treatment of tuberculosis.
- Its synthesis often begins with aniline as a precursor.
- Reduction steps are essential in ethionamide synthesis to convert functional groups such as nitro groups to primary amines.
- Ethionamide is structurally related to isoniazid and exhibits bacteriostatic activity against Mycobacterium tuberculosis.

Applications and Significance:

- Acid ciprofloxacin, norfloxacin, dapsone, and ethionamide are important antibiotics used in the treatment of various bacterial infections.
- Their synthesis involves several chemical transformations, including hydrolysis, cyclization, diazotization, and reduction.
- These antibiotics exhibit different spectra of activity and are used in the treatment of specific bacterial infections, such as urinary tract infections, tuberculosis, leprosy, and dermatitis herpetiformis.

Chemical Structures and Mechanisms:

- The chemical structures of acid ciprofloxacin, norfloxacin, dapsone, and ethionamide incorporate functional groups that are crucial for their antibacterial activity.
- Hydrolysis, cyclization, diazotization, and reduction reactions play key roles in modifying the chemical structures to enhance their pharmacological properties.
- Understanding the synthesis pathways and chemical mechanisms involved in the production of these antibiotics is essential for their efficient manufacturing and pharmaceutical use.

Synthesis of Chloroquine:

- Chloroquine is an antimalarial drug used in the treatment and prevention of malaria.
- The synthesis of chloroquine typically starts with 4-aminoquinoline as a primary precursor.
- The key step in the synthesis involves cyclization reactions to form the quinoline ring system.
- Chloroquine contains a chloro group, which is introduced during the synthesis to enhance its pharmacological activity against malaria parasites.

Synthesis of Ethambutol:

- Ethambutol is an antibiotic primarily used in the treatment of tuberculosis.
- The synthesis of ethambutol often begins with ethylene diamine as a primary precursor.

- Condensation reactions are commonly involved in the synthesis to form the ethylenediamine moiety of ethambutol.
- Ethambutol contains an amine group, which is crucial for its antimycobacterial activity against Mycobacterium tuberculosis.

Chemical Structures and Mechanisms:

- Chloroquine and ethambutol are structurally distinct compounds with different mechanisms of action and therapeutic uses.
- Chloroquine contains a quinoline ring system and a chloro group, while ethambutol contains an ethylenediamine moiety.
- The synthesis pathways for chloroquine and ethambutol involve specific chemical transformations such as cyclization and condensation reactions to achieve the desired structural features.

Applications and Significance:

- Chloroquine is widely used in the treatment and prevention of malaria, particularly in regions where chloroquine-sensitive strains of malaria parasites are prevalent.
- Ethambutol is a key component of multidrug therapy for tuberculosis and is effective against drug-sensitive strains of Mycobacterium tuberculosis.
- Understanding the synthesis pathways and chemical structures of chloroquine and ethambutol is essential for their efficient production and pharmaceutical use in combating malaria and tuberculosis, respectively.

MULTIPLE CHOICE QUESTIONS

1. What is the key starting material for the synthesis of sulphonamides?
A) Sulfuric acid
B) Sulfanilamide
C) Nitrobenzene
D) Benzaldehyde
Answer: B) Sulfanilamide

2. Which reagent is commonly used in the synthesis of sulphonamides to introduce the sulfonyl group?
A) SO3
B) H2SO4
C) SOCl2
D) Na2SO4
Answer: C) SOCl2

3. In the synthesis of sulphonamides, what functional group does the sulfonyl chloride react with?
A) Hydroxyl group
B) Amine group
C) Carbonyl group
D) Carboxyl group
Answer: B) Amine group

4. Which reaction type is involved in the formation of sulphonamides?
A) Substitution
B) Elimination
C) Addition
D) Oxidation
Answer: A) Substitution

5. Which of the following is NOT a step in the synthesis of furazolidone?
A) Diazotization
B) Reduction
C) Oxidation
D) Acetylation
Answer: D) Acetylation

6. What is the primary precursor for furazolidone synthesis?
A) 2-Aminobenzothiazole
B) Furane
C) Thiophene
D) Benzene
Answer: A) 2-Aminobenzothiazole
7. Which reagent is commonly used for the diazotization step in furazolidone synthesis?
A) $NaNO_2$
B) NaOH
C) HCl
D) Na_2SO_4
Answer: A) $NaNO_2$
8. What is the purpose of the reduction step in the synthesis of furazolidone?
A) To introduce a nitrogen atom
B) To remove a functional group
C) To oxidize the compound
D) To form a diazonium salt
Answer: A) To introduce a nitrogen atom
9. Which of the following is an intermediate compound in the synthesis of furazolidone?
A) Nitrobenzene
B) Nitrofurantoin
C) 5-Nitrofurfuraldehyde
D) 2-Nitrobenzene
Answer: C) 5-Nitrofurfuraldehyde
10. What functional group is formed by the reduction of 5-Nitrofurfuraldehyde in furazolidone synthesis?
A) Nitro group
B) Amine group
C) Carboxyl group
D) Ester group
Answer: B) Amine group
11. Which chemical group is found in furazolidone?
A) Sulfonyl group
B) Nitro group
C) Sulfonamide group
D) Oxime group
Answer: C) Sulfonamide group
12. Which of the following is the primary use of furazolidone?
A) Anticoagulant
B) Antibiotic
C) Antidepressant
D) Antifungal
Answer: B) Antibiotic
13. Furazolidone is particularly effective against which type of microorganisms?
A) Gram-positive bacteria
B) Gram-negative bacteria
C) Fungi
D) Viruses
Answer: B) Gram-negative bacteria
14. What is the mechanism of action of furazolidone as an antibiotic?
A) Inhibition of cell wall synthesis
B) Inhibition of protein synthesis
C) Inhibition of nucleic acid synthesis
D) Disruption of cell membrane integrity
Answer: C) Inhibition of nucleic acid synthesis

15. Which of the following statements regarding the synthesis of furazolidone is correct?
A) It involves the introduction of a nitro group in the final step.
B) It is a one-step process starting from benzene.
C) It requires the use of acetyl chloride as a reagent.
D) It includes a diazotization step.
Answer: D) It includes a diazotization step.
16. In furazolidone synthesis, what is the role of the nitro group?
A) It acts as a leaving group.
B) It undergoes reduction to form an amine.
C) It is a precursor for the formation of a diazonium salt.
D) It forms a sulfonamide group.
Answer: B) It undergoes reduction to form an amine.
17. Furazolidone belongs to which class of compounds?
A) Amines
B) Nitro compounds
C) Sulfonamides
D) Oximes
Answer: C) Sulfonamides
18. Which of the following reagents is NOT used in the synthesis of furazolidone?
A) Sodium nitrite
B) Hydrogen gas
C) Sulfuric acid
D) Sodium hydroxide
Answer: D) Sodium hydroxide
19. What is the purpose of the oxidation step in furazolidone synthesis?
A) To form a diazonium salt
B) To introduce a nitro group
C) To remove a functional group
D) To form a carbonyl group
Answer: B) To introduce a nitro group
20. Furazolidone is commonly used in the treatment of which condition?
A) Tuberculosis
B) Malaria
C) Typhoid fever
D) Food poisoning
Answer: D) Food poisoning
21. What is the primary precursor for the synthesis of acid ciprofloxacin?
A) Quinoline
B) P-aminobenzoic acid
C) Pyridine
D) Fluoroquinolone
Answer: D) Fluoroquinolone
22. Which reaction type is commonly involved in the synthesis of acid ciprofloxacin?
A) Substitution
B) Addition
C) Elimination
D) Hydrolysis
Answer: D) Hydrolysis
23. What functional group is present in acid ciprofloxacin?
A) Carboxylic acid
B) Amine
C) Ester
D) Ketone
Answer: A) Carboxylic acid

24. Acid ciprofloxacin is an important derivative of which class of antibiotics?
A) Aminoglycosides
B) Tetracyclines
C) Fluoroquinolones
D) Cephalosporins
Answer: C) Fluoroquinolones
25. What is the primary precursor for the synthesis of norfloxacin?
A) Quinoline
B) P-aminobenzoic acid
C) Pyridine
D) Fluoroquinolone
Answer: D) Fluoroquinolone
26. Which of the following is NOT a step in the synthesis of norfloxacin?
A) Hydrolysis
B) Cyclization
C) Oxidation
D) Reduction
Answer: D) Reduction
27. What functional group is present in norfloxacin?
A) Carboxylic acid
B) Amine
C) Ester
D) Ketone
Answer: A) Carboxylic acid
28. Norfloxacin is commonly used in the treatment of which type of infections?
A) Fungal infections
B) Viral infections
C) Bacterial infections
D) Parasitic infections
29. Answer: C) Bacterial infections
What is the primary precursor for the synthesis of dapsone?
A) Aniline
B) Sulfanilamide
C) Benzene
D) Pyridine
Answer: B) Sulfanilamide
30. Which reaction type is involved in the formation of dapsone?
A) Diazotization
B) Reduction
C) Oxidation
D) Esterification
Answer: B) Reduction
31. What functional group is present in dapsone?
A) Carboxylic acid
B) Amine
C) Ester
D) Ketone
Answer: B) Amine
32. Dapsone is commonly used in the treatment of which condition?
A) Tuberculosis
B) Malaria
C) HIV/AIDS
D) Diabetes
Answer: A) Tuberculosis

33. What is the primary precursor for the synthesis of ethionamide?
A) Aniline
B) Sulfanilamide
C) Benzene
D) Pyridine
Answer: A) Aniline
34. Which reaction type is commonly involved in the synthesis of ethionamide?
A) Diazotization
B) Reduction
C) Oxidation
D) Esterification
Answer: B) Reduction
35. What functional group is present in ethionamide?
A) Carboxylic acid
B) Amine
C) Ester
D) Ketone
Answer: B) Amine
36. Ethionamide is commonly used in the treatment of which condition?
A) Tuberculosis
B) Malaria
C) HIV/AIDS
D) Diabetes
Answer: A) Tuberculosis
37. Which of the following statements regarding the synthesis of acid ciprofloxacin is correct?
A) It involves the introduction of a carboxylic acid group.
B) It requires the use of diazotization.
C) It is a one-step process starting from p-aminobenzoic acid.
D) It includes a reduction step.
Answer: A) It involves the introduction of a carboxylic acid group.
38. Which of the following statements regarding the synthesis of norfloxacin is correct?
A) It involves the introduction of an ester group.
B) It is a one-step process starting from quinoline.
C) It requires the use of diazotization.
D) It includes a cyclization step.
Answer: D) It includes a cyclization step.
39. Which of the following statements regarding the synthesis of dapsone is correct?
A) It involves the introduction of a carboxylic acid group.
B) It is a one-step process starting from benzene.
C) It requires the use of esterification.
D) It includes a diazotization step.
Answer: D) It includes a diazotization step.
40. Which of the following statements regarding the synthesis of ethionamide is correct?
A) It involves the introduction of an ester group.
B) It is a one-step process starting from sulfanilamide.
C) It requires the use of oxidation.
D) It includes a reduction step.
Answer: D) It includes a reduction step.
41. What is the primary precursor for the synthesis of chloroquine?
A) Quinoline
B) 4-Aminoquinoline
C) Benzene
D) Pyridine
Answer: B) 4-Aminoquinoline

42. Which reaction type is commonly involved in the synthesis of chloroquine?
A) Diazotization
B) Reduction
C) Cyclization
D) Esterification
Answer: C) Cyclization
43. What functional group is present in chloroquine?
A) Chloro group
B) Quinoline ring
C) Amine group
D) Carbonyl group
Answer: A) Chloro group
44. Chloroquine is commonly used in the treatment of which condition?
A) Tuberculosis
B) Malaria
C) HIV/AIDS
D) Diabetes
Answer: B) Malaria
45. What is the primary precursor for the synthesis of ethambutol?
A) Ethylene diamine
B) Ethanol
C) Ethylamine
D) Ethylene glycol
Answer: A) Ethylene diamine
46. Which reaction type is commonly involved in the synthesis of ethambutol?
A) Diazotization
B) Reduction
C) Condensation
D) Esterification
Answer: C) Condensation
47. What functional group is present in ethambutol?
A) Amine group
B) Alcohol group
C) Ester group
D) Carbonyl group
Answer: A) Amine group
48. Ethambutol is commonly used in the treatment of which condition?
A) Tuberculosis
B) Malaria
C) HIV/AIDS
D) Diabetes
Answer: A) Tuberculosis
49. Which of the following statements regarding the synthesis of chloroquine is correct?
A) It involves the introduction of a chloro group through reduction.
B) It requires the use of diazotization.
C) It is a one-step process starting from quinoline.
D) It includes a cyclization step.
Answer: D) It includes a cyclization step.
50. Which of the following statements regarding the synthesis of ethambutol is correct?
A) It involves the introduction of an ester group through esterification.
B) It is a one-step process starting from ethanol.
C) It requires the use of reduction.
D) It includes a condensation step.
Answer: D) It includes a condensation step.

UNIT VII
Psychoactive drugs

Introduction

- A psychoactive drug, psychopharmaceutical, psychoactive agent, or psychotropic drug describes any drug that affects behavior, mood, thoughts, or perception. This can include medications for anxiety and depression as well as antipsychotics, among others.
- They work by adjusting levels of brain chemicals, or neurotransmitters, like dopamine, gamma aminobutyric acid (GABA), norepinephrine, and serotonin.
- There are five major classes of legal psychotropic medications:
    - Anti- anxiety agents
    - antidepressants
    - antipsychotics
    - mood stabilisers
    - stimulants
- Some can cause very serious side effects and have special monitoring requirements by healthcare providers.

Neurotransmitter

- Neurotransmitters are substances which neurons use to communicate with one another and with their target tissues in the process of synaptic transmission (neurotransmission).
- There are more than 40 neurotransmitters in the human nervous system; some of the most important are acetylcholine, norepinephrine, dopamine, gamma-aminobutyric acid (GABA), glutamate, serotonin and histamine.

CNS depressants

- The Central nervous system is made up of your brain and spinal cord and controls functions like your blood circulation and digestion.
- CNS depressants are substances that can slow down your central nervous system.
- Some common examples include opioids, sedatives, and hypnotics. These drugs are used to treat pain, anxiety, sleep disorders, and stress.
- CNS depressants work by increasing the activity of a neurotransmitter in your brain, called gamma-aminobutyric acid (GABA).
- An increase in the activity of GABA in your brain leads to a slowdown of your brain activity. CNS depression is prevalent among people who use these substances recreationally.
- CNS depression varies in severity. Sometimes these effects can be mild, but they can also be severe and potentially dangerous.

General anaesthetics

- General anaesthetics are a diverse group of drugs used to induce a reversible loss of consciousness, providing pain relief and muscle relaxation during surgical procedures.
- They play a critical role in modern medicine by allowing patients to undergo complex and potentially painful surgeries safely and comfortably.
- General anaesthetics are classified into two main categories:
- Inhalation anaesthetics, which are gases or vapors inhaled through the lungs.
- Intravenous anaesthetics, which are administered through the bloodstream. Each class has unique properties and uses , determined by their chemical structures and pharmacological effects.
- General anaesthetics are absorbed into the body through different routes depending on their form.

- Once absorbed, these drugs are distributed throughout the body, with a particular affinity.
- The metabolism of general anaesthetics varies significantly between agents. Inhalation anaesthetics are generally less metabolized and more likely to be exhaled in their unchanged form. for fatty tissues and the central nervous system, which explains their potent anaesthetic effects.
- The elimination of general anaesthetics involves excretion through the lungs for inhalation agents and through the kidneys for metabolites of intravenous anaesthetics.

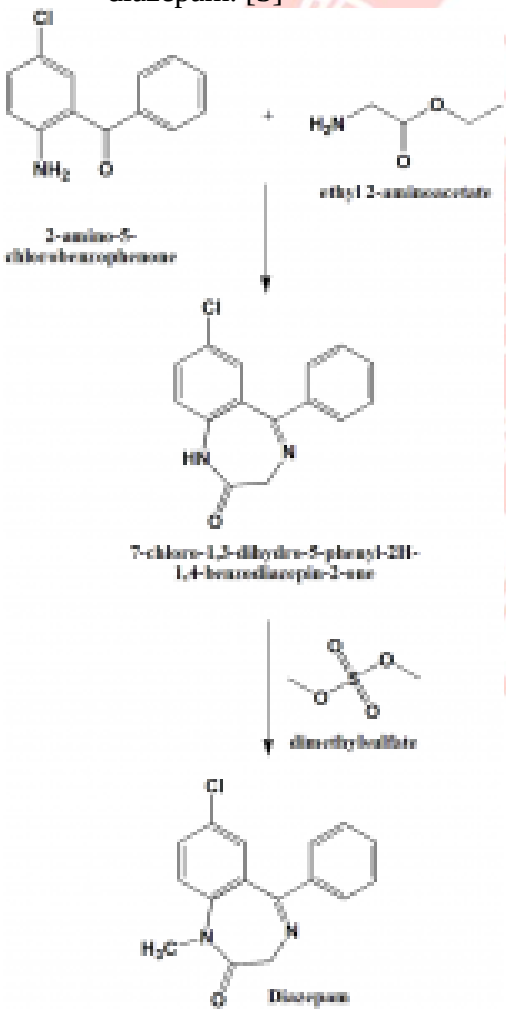
Sedatives

- Sedatives, also known as tranquilizers, are a class of drugs that slow down brain activity. They are primarily used to induce calm, reduce anxiety and alleviate tension.
- They work by enhancing the effects of certain neurotransmitters in the brain, which leads to a calming effect on the central nervous system. Common sedatives include benzodiazepines (like Valium and Xanax), barbiturates and certain antidepressants.

Anti anxiety drugs

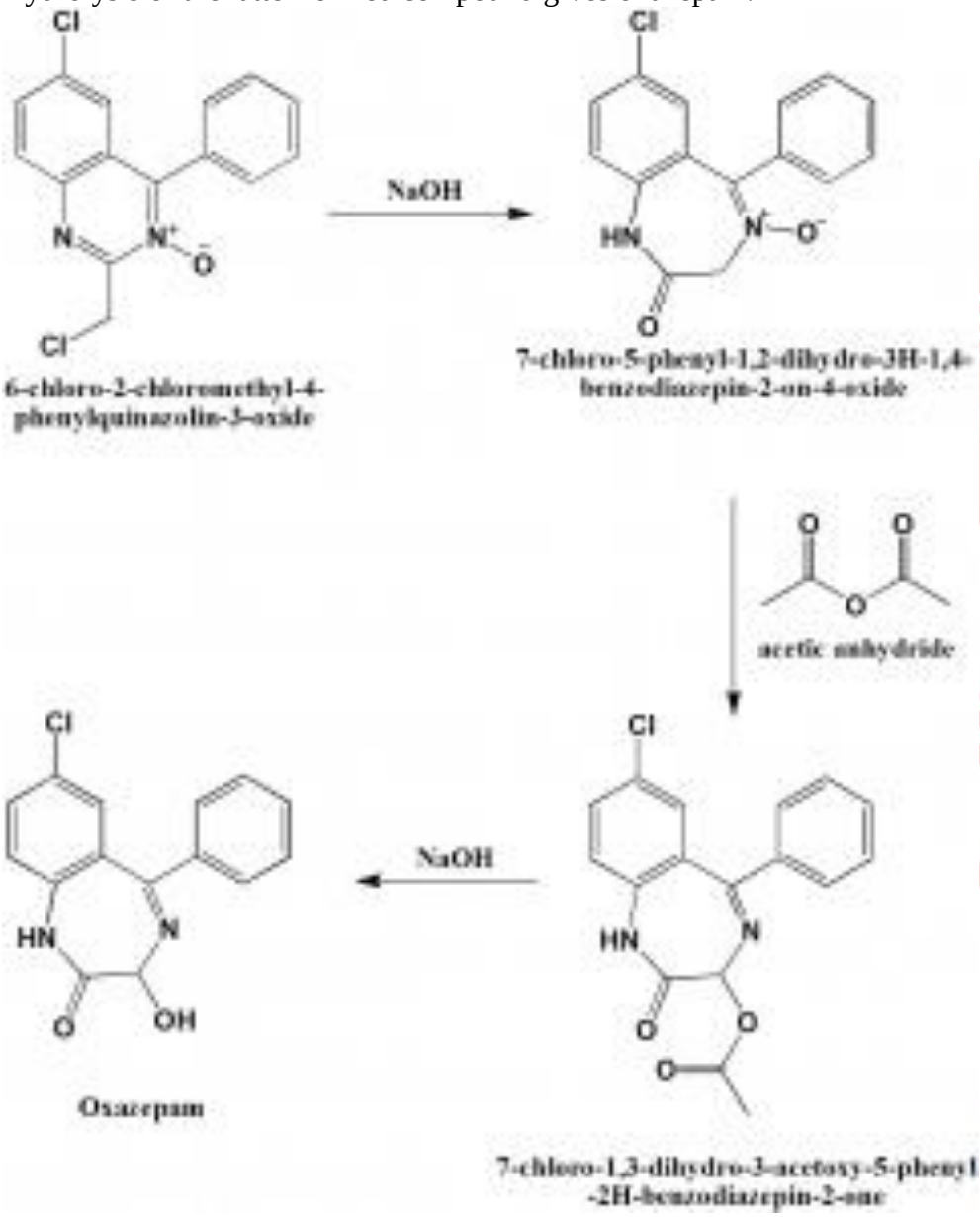
Synthesis of diazepam

- 2-amino-5-chlorobenzophenone undergoes cyclocondensation with the ethyl ester of glycine hydrochloride produces 7-chloro-1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-one.
- Methylation of the above formed compound with dimethylsulfate leads to the formation of diazepam. [3]



Oxazepam

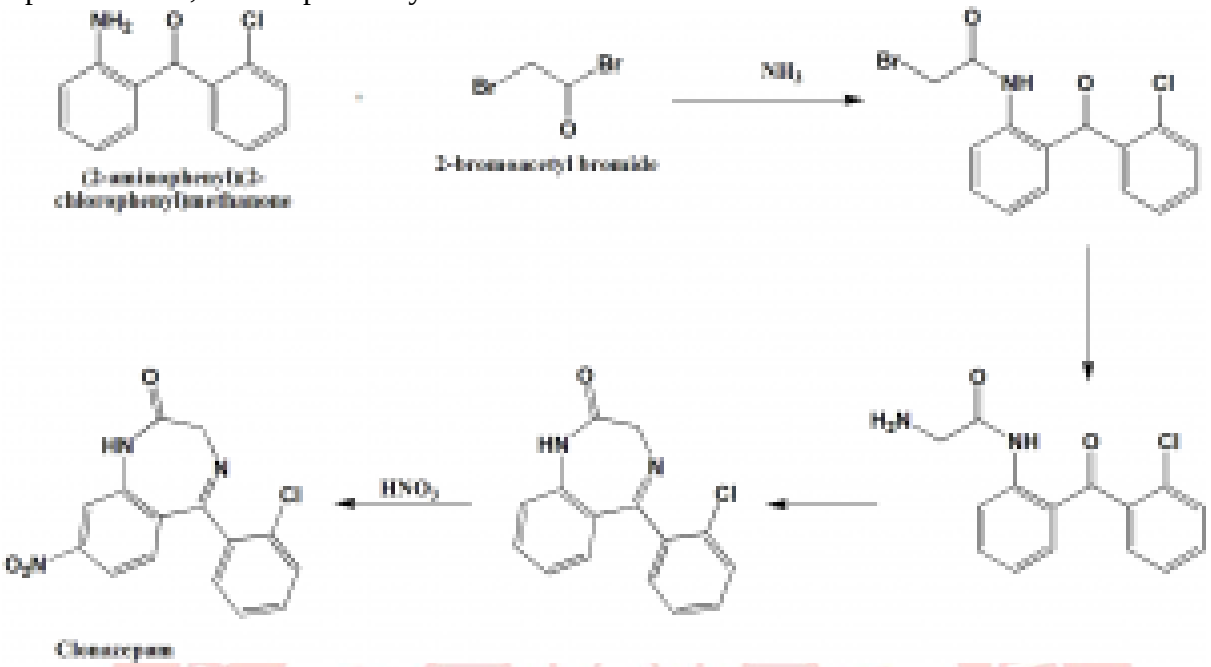
- 6-chloro-2-xhloromethyl-4-phenylquinazolin-3-oxide is treated with sodium hydroxide to give 7-chloro-5-phenyl-1,2-dihydro-3H-1,4-benzodiazepin-2-on-4-oxide.
- The above formed compound undergoes acetoxylation reaction of the 3rd position of the benzodiazepine ring by using acetic anhydride, and which reminiscent the Polonovski reaction to produce 7-chloro-1,3-dihydro-3-acetoxy-5-phenyl-2H-benzodiazepin-2-one.
- Hydrolysis of the latter formed compound gives oxazepam.



Chlonazepam

- 2-chloro-2'nitrobenzophenone is reduced to 2-chloro-2'-aminobenzophenone by hydrogen over Raney nickel.
- The amino group of the above formed compound is amidated by 2-bromoacetyl bromide to produce bromoacetamide.

- Bromoacetamide is coverted into aminoacetamide by reaction with ammonia.
- On reaction with pyridine, the above formed compound cycled into 5-(2-chlorophenyl)-2,3-dihydro-1H-1,4-benzodiazepine-2-one.
- Upon nitration, clonazepam is synthesised.



Multiple Choice Questions

1. What part of a neuron is sometimes myelinated?
   a. dendrite
   b. axon
   c. soma
   d. axon hillock
   Ans : b
2. Neurons are specialized to receive, conduct and transmit
   a. electrochemical signals
   b. action potentials
   c. electrical signals
   d. chemical signals
   Ans : a
3. Most of a neuron's DNA is contained within its
   a. cytoplasm
   b. axon
   c. nucleus
   d. endoplasmic reticulum

Answer: C (CBSE)

4. A membrane potential is the difference in electrical charge between
   a. potassium and sodium ions
   b. the inside and outside of the cell
   c. phosphoric acid and glycolipid layers
   d. resting and action potentials

Answer: B

5. A neuron fires when
   a. there is an excitatory postsynaptic potential (EPSP)
   b. hyperpolarization occurs at the axon hillock
   c. neurotransmitters dock onto receptor proteins
   d. depolarization at the axon hillock exceeds the threshold for excitation

Answer: D

6. Neurotransmitters are often stored in
   a. synaptic buttons
   b. microtubules
   c. vesicles
   d. endoplasmic reticulum

Answer: C (CBSE)

7. What effect does myelination have on axons?
   a. it protects them from damage
   b. it slows the propagation of signals along them
   c. it prevents cross talk between adjacent axons
   d. it allows them to conduct signals significantly faster

Answer: D

8. Interactions between neurons commonly occur across junctions called
   a. synapses
   b. juxtapositions
   c. presynaptic membranes
   d. postsynaptic membranes

Answer: A

9. Interactions between neurons commonly occur across junctions called
   a. synapses
   b. juxtapositions
   c. presynaptic membranes
   d. postsynaptic membranes (CBSE)

Answer: A

10. Antipsychotic drugs given for schizophrenia and psychosis are
    a. selective serotonin reuptake inhibitors
    b. acetylcholine agonists
    c. postsynaptic dopamine antagonists
    d. testosterone antagonists

Answer: C

11. Which one of the following belongs to long-acting barbiturate?
a. Pentobarbital
b. Thiopental
c. Phenobarbital
d. Hexabutal

Ans : c

12. Replacement of the oxygen at C-2 of barbituric acid by a sulphur atom
a. Has no change on activity
b. Increases a activity
c. Decreases a ctivity
d. Shows anxiolytic activity

Ans : b

13. Clorazepate is
a. 7-Chloro-1,3-dihydro-3-hydroxy-5-phenyl- 1,4-benzodiazepine-2-one
b. 7-Chloro-1,3-dihydro-1-methyl-5-phenyl 1, 4-benzodiazepine-2-one
c. 7-Chloro-2,3-dihydro-2-oxo-5-phenyl-1,4-benzodiazepine-3-carboxylic acid

d. 7-Chloro-1,3-dihydro-2-oxo-5-phenyl-1,4-
benzodiazepine-3-carboxylic acid
Ans : c
14. One of the following is not a triazolobenzodiazepine derivative:
a. Alprazolam
b. Triazolam
c. Midazolam
d. Estazolam
Ans : c
15. The drug that does not act on GABA receptor is
a. Zopiclone
b. Pentobarbitone
c. Buspirone
d. Brotizolam
Ans : c (Mumbai University)
16. One of the following is 'false' about benzodiazepines:
a. Alkyl substituents at 3-position decreases the activity.
b. The N-substituent at 1 position should be small.
c. A phenyl or pyridyl at the 5-position
decreases activity.
d. The presence of electron-attracting substituents (Cl, F, Br, NO 2) at position 7 is required for activity.
Ans : c
17. Anxiolytic drug with no drowsiness is
a. Diazepam
b. Meprobamate
c. Buspirone
d. Alprazolam
Ans : c (B PHARMA)
18. Benzodiazepines in which benzene ring at the
5-position when omitted
a. Increases the affi nity towards the receptor
b. Acts as antagonist to the receptor
c. Acts at serotonin receptor
d. Shows reduced sedative and hypnotic activity
Ans : b
19. Nordiazepam when alkylated with trifl uoromethylbromide yields
a. Diazepam
b. Halozepam
c. Oxazepam
d. Clonazepam
Ans : b
20. Which drug reverses the effects of benzodiazepine overdose?
a. Rifampin.
b. Flumazenil.
c. Nitrous oxide.
d. Carbamazepine.
Ans : b
21. Which benzodiazepine characteristic contributes to its success in treating insomnia?
a. Hypnotic.
b. Antianxiety.
c. Anticonvulsant.
d. Skeletal muscle relaxant.
Ans : a (Agra University)

22. What characterizes tolerance to a benzodiazepine?
a. Sedation that lasts longer over time.
b. Drowsiness that gets worse over time.
c. Reduced effect of the drug over time.
d. Cognitive impairment.
Ans : c
23. Which system is LEAST affected by barbiturate drugs?
a. Respiratory.
b. Cardiovascular.
c. Central nervous.
d. Gastrointestinal.
Ans : d
24. Of the following, which sedative-hypnotic is most often used in pediatric dentistry?
a. Paraldehyde.
b. Methyprylon.
c. Ethchlorvynol.
d. Chloral hydrate.
Ans : d (B PHARMA)
25. Which drug produces an antiemetic effect in patients taking drugs that often lead to nausea?
a. Alcohol.
b. Warfarin.
c. Furosemide.
d. Promethazine.
Ans : d
26. Which characteristic of buspirone limits its application in clinical dentistry?
a. Antianxiety.
b. Delayed onset of action.
c. Adverse effects are milder than benzodiazepines.
d. Does not enhance the sedative effect of other sedatives.
Ans : b
27. Which drug is a commonly used muscle relaxer that has the least antimuscarinic effect?
a. Baclofen.
b. Diazepam.
c. Orphenadrine.
d. Cyclobenzaprine.
Ans : b
28. Which drug does not have an active metabolite(s)?
a. Triazolam.
b. Lorazepam.
c. Midazolam.
d. Alprazolam.
Ans : b
29. “7-Chloro-3-hydroxy-5-phenyl-1,3-dihydro-1,4-benzodiazepin-2-one” is the IUPAC nomenclature of which drug?
a) Trihexyphenidyl
b) Propantheline
c) Oxybutynin
d) Oxazepam
Ans : d
30. Melting point of oxazepam is?
a) 152-162°C
b) 129-130°C
c) 205.5°C
d) 258.5°C

Ans : c (Agra University)
31. Match the following with correct classifications of the drugs.

i. Propantheline	A. Benzodiazepine sedative-hypnotic
ii. Oxazepam	B. Barbiturate
iii. Clozapine	C. Muscarinic antagonist
iv. Thiamylal	D. Benzpines

a) i-A, ii-C, iii-D, iv-B
b) i-C, ii-A, iii-D, iv-B
c) i-A, ii-B, iii-D, iv-C
d) i-C, ii-B, iii-A, iv-D
Ans : b
32. Mechanism of action of oxazepam is based on?
a) Blocking the Muscarinic acetylcholine receptors
b) Blocking the nicotinic acetylcholine receptors
c) Increasing the inhibitory effects of GABA
d) Both a) and c)
Ans : c
33. Correct sequence for True and False for the given statements related with the SAR of drug trihexyphenidyl

- Ring A should include an aromatic or heteroaromatic ring for binding with 5-phenyl-1,4-benzodiazepin-2-one derivatives.
- An electronegative group at 7-position of the ring A decreases the functional anxiolytic activity.
- Substitutions at 6, 8 or 9 position with electronegative group on ring A will decrease the functional anxiolytic activity.
- When Heterocycles used as ring A, drug shows best pharmacological activity.

a) TFTF
b) FTFT
c) TTTT
d)FFTF
Ans : a (BBAU)
34. Steps involved in the synthesis of Oxazepam from 6-chloro-2-xhloromethyl-4-phenylquinazolin-3-oxide in the correct sequence is?
I. Polonovski reaction
II. Treatment with sodium hydroxide
III. Hydrolysis
a) I – II – III
b) I – III
c) II
d) II – I – III
Ans : d
35. The drug Oxazepam is mainly used for?
a) Treatment of anxiety
b) Treatment of Acute alcohol withdrawal
c) Both a) and b)
d) Constipation
Ans : c
36. “5-(2-Chlorophenyl)-7-nitro-1,3-dihydro-1,4-benzodiazepin-2-one” is the IUPAC nomenclature of which drug?
a) Aspirin
b) Clonazepam

c) Esmolol
d) Cisplatin
Ans : b
37. Correct melting point of the drug Clonazepam is?
a) 745°C
b) 90°C
c) 106°C
d) 237.5°C
Ans : d (Mumbai University)
38. Match the following with correct classifications of the drugs.

i. Clonazepam	A. Vinca alkaloids cytotoxic drug
ii. Vincristine	B. Epipodophyllo toxin
iii. Etoposide	C. Benzodiazepine derivative
iv.Diclofenac	D. Anti-inflammatory agent

a) i-A, ii-C, iii-D, iv-B
b) i-C, ii-A, iii-B, iv-D
c) i-D, ii-C, iii-A, iv-B
d) i-A, ii-D, iii-C, iv-B
Ans : b
39. Mechanism of action of drug Clonazepam includes?
I. Increasing GABA affinity for GABA receptors.
II. Binding with COX-1 enzyme.
III. Prevention of conversion of arachidonic acid to thromboxane.
IV. Hyperpolarization of neuron
a) II, III, IV
b) I, IV
c) I, III, IV
d) I, II
Ans : b
40. Correct sequence for True and False for the given statements related with the SAR of Clonazepam drugs?

- **Ring A should include an aromatic or heteroaromatic ring for binding with 5-phenyl-1,4-benzodiazepin-2-one derivatives.**
- **An electronegative group at 7-position of the ring A increases the functional anxiolytic activity.**
- **Substitutions at 6, 8 or 9 position with electronegative group on ring A will decrease the functional anxiolytic activity.**
- **When Heterocycles used as ring A, drug shows poor pharmacological activity.**

a) FFTT
b) TFTF
c) TFFT
d) TTTT
Ans : d
41. Type of ring present in the structure of clonazepam?
a) Pyrrole
b) Phenyl
c) Diazepine
d) None of the above
Ans : c (B PHARMA)
42. The drug Clonazepam is used for?
a) Prevention of seizures

b) Control of seizures
c) Treatment of panic attacks
d) All of the above
Ans : d
43. Which is NOT a requirement for a local anesthetic drug?
a. Lipid solubility.
b. Water solubility.
c. Precipitate in interstitial fluid.
d. Depression of nerve conduction.
Ans : c
44. Which tissue characteristic produces an unfavorable response to intraoral local anesthetics?
a. Acidic pH.
b. Sensory neurons.
c. High-frequency nerve stimulation.
d. Nerve fibers smaller than 1 mm in diameter.
Ans : a (BBAU)
45. Most systemic adverse reactions to local anesthetics in a dental office can be treated effectively in which manner?
a. Send the patient home and reschedule the appointment.
b. Place the patient in a supine position and perform CPR.
c. Place the patient in a supine position and administer oxygen.
d. Establish an intravenous line and administer anticonvulsants.
Ans : c
46. Which component of a local anesthetic preparation is LEAST likely to cause an allergic reaction?
a. Metabisulfite.
b. Methylparaben.
c. Ester local anesthetic.
d. Amide local anesthetic.
Ans : d (Agra University)
47. Doses of local anesthetic in dentistry are represented by which fraction when compared to local anesthetic doses in medicine for compound nerve block or epidural injection?
a. 1/10.
b. 1/5.
c. 1/3.
d. 1/2.
Ans : a
48. Which local anesthetic is considered the standard choice for routine dental procedures?
a. 2% mepivacaine with levonordefrin.
b. 3% mepivacaine (without vasoconstrictor).
c. 0.5% bupivacaine with 1 : 200,000 epinephrine.
d. 2% lidocaine hydrochloride with 1 : 100,000 epinephrine.
Ans : d
49. The only amide local anesthetic marketed for topical use in dentistry is
a. articaine.
b. prilocaine.
c. lidocaine.
d. mepivacaine.
Ans : c
50. Which topical anesthetic is not indicated for use in the practice of general dentistry?
a. Cocaine.
b. Tetracaine.
c. Benzocaine.
d. Chlorobutanol.
Ans : a

UNIT- VIII
Antibiotics

- **Antibiotic**, chemical substance produced by a living organism, generally a microorganism, that is kill other microorganisms.
- Antibiotics are one of the most highly utilized and important medication classes we have in medicine.
- Antibiotics are specific for the type of bacteria being treated and, in general, cannot be interchanged from one infection to another.
- Mechanisms of Antibacterial action
- There are five principle mechanisms by which antibiotics act:
- Inhibition of cell wall synthesis This results in the construction of faulty cell walls, which are unable to control the flow of water and nutrients in/out of cell. Lysis and cell death results. Examples include penicillins, cephalosporins and vancomycin.
- Targeting of plasma membrane The membrane becomes permeable, resulting in cell death. Examples include polymyxins and tyrothricin.
- Antimetabolites Selectively target bacterial-enzyme catalysis, impeding bacterial growth. The best examples are the sulfonamides.
- Inhibition of protein synthesis Selectively block synthesis of essential proteins and enzymes. Examples include chloramphenicol and tetracyclines.
- Inhibition of nucleic acid functions Selectively target transcription and replication, which impede cell division. Examples include intercalators such as proflavine

Cell wall biosynthesis

- Some of the world's most important antibiotics, including the beta-lactams and vancomycin, target the bacterial cell wall, or peptidoglycan.
- These drugs have not only saved countless lives, they also have served as chemical probes for understanding cell wall biology.
- Because the cell must grow and divide, peptidoglycan must be dynamic while simultaneously maintaining its structural integrity.
- Additionally, structural properties of peptidoglycan such as glycan chain length, degree of crosslinking, and sites of chemical modification appear to be carefully controlled by the cell.
- To achieve this, the cell organizes its cell wall-building proteins into complexes that modulate and coordinate their activities.

Protein synthesis

- Instructions for making proteins with the correct sequence of amino acids are encoded in DNA.
- **DNA → RNA → Protein**
- Transcription is the first part of the central dogma of molecular biology: **DNA → RNA**. It is the transfer of genetic instructions in DNA to mRNA. Transcription happens in the nucleus of the cell. During transcription, a strand of mRNA is made that is complementary to a strand of DNA called a gene
- The translation is the second part of the central dogma of molecular biology: **RNA --> Protein**. It is the process in which the genetic code in mRNA is read to make a protein.

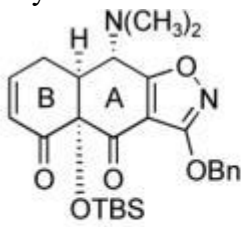
Synthesis

Chloramphenicol

Chloramphenical can be synthesized by condensation of *para*-nitrobenzoyl chloride with ethyl malonate to give *para*-nitroacetophenone, followed by bromination in acetic acid to form *Para*-nitro-α-bromoacetophenone, and reaction of this with hexamethylene tetramine, followed by hydrolysis to give *para*-nitro-α-aminoacetophenone; subsequent acetylation of the amine group and condensation with formaldehyde give a hydroxymethyl group *alpha* to the amine group. Treatment with aluminium isopropylate reduces the keto group to a secondary alcohol, and, after deacetylation, condensation of the

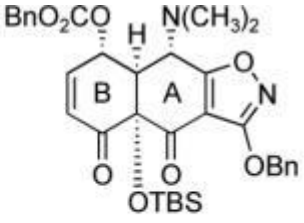
amine group with methyl dichloroacetate gives chloramphenicol . Chemical syntheses of chloramphenicol usually include a resolution step to separate stereoisomers.

Tetracyclin



1

AB Precursor to Tetracyclines lacking C5 Oxygenation



2

AB Precursor to Tetracyclines with C5 Oxygenation

Tetracyclines and tetracycline analogs are prepared by a convergent, single-step Michael–Claisen condensation of the AB precursors **1** or **2** with D-ring precursors of wide structural variability, followed by removal of protective groups (typically in two steps).

Streptomycin

Streptomycin is directly derived from glucose. Though the enzymes involved in the synthesis of N-methyl glucosamine are not yet known, it is expected that about 28 enzymes take part in the conversion of glucose into streptomycin

Multiple Choice Questions :-

1. What factors influence the yield of an antibiotic?

(a) The age of the inoculum

(b) The pH level of the medium

(c) The composition of the medium

(d) All of the above

Answer: (d) All of the above

2. When does the highest production of antibiotics occur in *Penicillium chrysogenum***?**

(a) During the second phase

(b) During the third phase

(c) During the first phase

(d) In all three phases

Answer: (a) During the second phase (BBAU)

3. What type of infections are antibiotics used to treat?

(a) Viral infections

(b) Bacterial infections

(c) Infections caused by all microorganisms

(d) None of the above

Answer: (b) Bacterial infections

4. Which fermentation process is used in the production of penicillin ?

?(a) Aerobic fermentation followed by anaerobic fermentation

(b) Anaerobic fermentation

(c) Aerobic fermentation

(d) Anaerobic fermentation followed by aerobic fermentation

Answer: (c) Aerobic fermentation

5. How is penicillin recovered after the fermentation process?

(a) As penicillin

(b) As sodium penicillin

(c) As calcium penicillin

(d) As potassium penicillin

Answer: (d) As potassium penicillin

6. Which species is utilized for the production of streptomycin?

(a) *S. ramosus*

(b) *S. griseus*

(c) *S. aureofaciens*

(d) *S. griseoflavus*

Answer: (b) S. griseus (BBAU)

7. What conditions are required for high yield of chlortetracycline ?

(a) No aeration

(b) Controlled aeration

(c) Continuous aeration

(d) Aeration does not affect the yield

Answer: (c) Continuous aeration

8. What does antibiotic resistance refer to?

(a) The body becoming resistant to the antibiotic

(b) Bacteria developing resistance to the antibiotic

(c) Both (a) and (b)

(d) None of the above

Answer: (b) Bacteria developing resistance to the antibiotic

9. Which seeds are used for inoculum preparation for the fermentation medium for penicillin?

(a) Rice seeds

(b) Corn seeds

(c) Wheat seeds

(d) Barley seeds

Answer: (d) Barley seeds

10. Which species is used for the production of tetracycline?

(a) *S. venezuelae*

(b) *S. griseus*

(c) *S. aureofaciens*

(d) *S. griseoflavus*

Answer: (c) *S. aureofaciens*

11. Which antibiotic is most likely to cause side effects such as hypotension and itching?

(a) Aztreonam

(b) Vancomycin

(c) Daptomycin

(d) Linezolid

Answer: (b) Vancomycin (Mumbai University)

12. Plant cell wall is made up of
(a) Cellulose, hemicelluloses and pectin
(b) Cellulose only
(c) Cellulose, hemicelluloses and chitin
(d) Cellulose and chitin
Answer:a) Cellulose, hemicelluloses and pectin

13 Middle lamella is made up of pectin. Pectin is chemically

(a) Glucoronic and galacturonic acid
(b) Heteropolymer of xylose, mannose and arabinose
(c) Polymer of D-glucose units
(d) N-acetyl glucosamine and N-acetyl muramic acid
Answer:a) Glucoronic and galacturonic acid
14 Which of the following statements are true regarding cellulose synthesis
(a) UDP glucose is the precursor of cellulose
(b) Cellulose is synthesized on the external surface of the cell
(c) The enzyme involved is a plasma membrane bound complex called cellulose synthetase
(d) All of these
Answer:d) All of these
15 Which of the following groups has cell wall ?
(a) Bacteria and plants only
(b) Bacteria, fungi, plants and animals
(c) Bacteria, plant and animals
(d) Bacteria, fungi and plants
Answer: d) Bacteria, fungi and plants
16. What organism lacks cell wall?
(a) Plant cells
(b) Protozoa
(c) Bacterial cells
(d) Algae
Answer: b) Protozoa (CBSE)
17.Peptidoglycan layer is present in large quantity in?
(a) Algae
(b) Fungi
(c) Gram-negative bacteria
(d) Gram-positive bacteria
Answer:d) Gram-positive bacteria
18 NAG and NAM of peptidoglycan layer is linked by _____
(a) beta-(1,4) glycosidic linkage
(b) alpha-(1,4) glycosidic linkage
(c) alpha-(1,6) glycosidic linkage
(d) beta-(1,6) glycosidic linkage
Answer: a) beta-(1,4) glycosidic linkage
19 Bayer's junctions are sites which help in joining which of the following?
(a) cytoplasmic membrane and outer membrane
(b) outer membrane and capsule
(c) cytoplasmic membrane and periplasmic space
(d) peptidoglycan layer and cytoplasmic membrane
Answer:a) cytoplasmic membrane and outer membrane
20 Bacterial cell wall is made up of
(a) N-acetyl glucosamine
(b) N-acetyl muramic acid
(c) N-acetyl glucosamine, N-acetyl muramic acid and amino acids
(d) Both a and b
Answer: d) N-acetyl glucosamine, N-acetyl muramic acid and amino acids
21 Chlorzinc Iodide is used to stain
(a) Hemicellulose
(b) Cellulose
(c) Pectin
(d) Lignin
Answer: b) Cellulose (B PHARMA)
22 Which component is present in the cell wall of fungi?

(a) Cellulose
(b) Hemicellulose
(c) Chitin
(d) Pectin
Answer: c) Chitin
23. Which of the statements are incorrect regarding plant cell wall
(a) Middle lamella is made up of pectin and lignin
(b) In certain plants, tertiary cell wall is also present which has xylan beside cellulose
(c) Secondary cell wall consists of three concentric layers (S1, S2 and S3) one after the other
(d) Primary and secondary walls are present in meristematic cells
Answer: d)Primary and secondary walls are present in meristematic cells
24. 1. Conversion of messages carried by mRNA into amino acid sequences is called_____
a) Replication
b) DNA repair
c) Translation
d) Transcription
Ans : C
25. The following set of RNA is required in the translation process except one, mark the INCORRECT?
a) Si RNA
b) rRNA
c) mRNA
d) tRNA
Ans : a (CBSE)
26. What is the size of the prokaryotic ribosome?
a) 80S
b) 70S
c) 40S
d) 60S
Ans : b
27. Name the sequence of RNA, which is recognized by a small subunit of the ribosome.
a) Rho utilization site
b) Downstream sequence
c) Upstream sequence
d) Shine Dalgarno sequence
Ans : d
28. Which of the following is considered as a start codon?
a) AUG
b) GUG
c) UAG
d) AGG
Ans : a (BBAU)
29. Name the inhibitor which blocks translation in both prokaryotes as well as eukaryotes?
a) Chlorophenicol
b) Tetracycline
c) Puromycin
d) Streptomycin
Ans : c
30. Which of the following is not true to the nature of the genetic code?
a) Codon is triplet
b) Codons are commaless
c) Codons are overlapping
d) Codons are universal
Ans : c
31. Penicillin is obtained from

a. bacteria
b. fungi
c. virus
d. protozoa

Ans : b (CBSE)

32. Penicillin is effective for

a. gram positive bacteria
b. gram negative bacteria
c. both gram positive and gram negative bacteria
d. acid-fast bacteria

Ans : b

33. Which type/s of ring/s are present in the Penicillin?

a. Pyrolle ring
b. Imidazol ring and thiazolidine ring
c. β-lactam ring and thiazolidine ring
d. β-lactam ring and pyrolle ring

Ans : c

34. Which of the following combination is correct?
a) Penicillin: inhibition of cell wall synthesis
b) Cephalosporin: inhibition of protein synthesis
c) Aminoglycoside: inhibition of cell wall synthesis
d) Fluoroquinolones: inhibition of cell wall synthesis
Ans : a

35. What is a common feature among the following group of antibiotics like Penicillin, Cephalosporins, Carbapenems, and Monobactams?
a) They all bind penicillin-binding protein-1 and inhibit their transpeptidase activity
b) They all have a β-lactam ring as a part of their biological interaction
c) None of them can penetrate the central nervous system
d) All of the above
Ans : b (BBAU)

36. Amoxycillin is similar to Ampicillin in different respects except in ……
a) Antibacterial spectrum
b) Penicillinase resistance
c) Hypersensitivity reaction
d) Oral absorption
Ans : d

37. The following cephalosporin is associated with bleeding complications
a) Cefotaxime
b) Cefuroxime
c) Cefotetan
d) Cefazolin
Ans : c

38. A 26-year-old male returns home from a holiday and complains of three days of dysuria and a purulent urethral discharge. He is diagnosed to be a case of gonorrhea.
Which of the following is the appropriate treatment?
a)Ceftriaxone IM
b) Streptomycin

c) Gentamicin
d) Vancomycin IV
Ans : a

39. Redman syndrome is toxicity associated with
a) Amoxicillin
b) Daptomycin
c) Linezolid
d) Vancomycin
Ans : d (BBAU)
40. Cilastatin is given along with Imipenem for the following reason:
a) Inhibition of beta-lactamases thus preventing inactivation of Imipenem
b) Blocking of bacterial transpeptidase and preventing degradation of Imipenem
c) Decreasing hydrolysis of Imipenem
d) Inhibition of renal tubular dipeptidase thus preventing hydrolysis of Imipenem by renal tubular dipeptidase
Ans : d
41. A 72 years old man who was administered Penicillin intravenously developed generalized urticaria, swelling of lips, hypotension, and bronchospasm within 5 minutes. The first choice of treatment is to administer
a) High dose hydrocortisone tablet
b) Chlorpheniramine injection
c) Adrenaline injection
d) Isoprenaline injection
Ans : b
42. Followings are the penicillinase-resistant penicillin, except:
a) Carbenicillin
b) Methicillin
c) Nafcillin
d) Cloxacillin
Ans : a
43. Which of the following antibiotics acts as a protein synthesis inhibitor?
a) Erythromycin, Chloramphenicol
b) Vancomycin, Cephamycin
c) Gentamicin, Tetracycline
d) Options a and c
e) Options b and c
Ans : d
44. Ototoxicity, vestibulo-toxic impairment, and nephrotoxicity are seen as major adverse effects of
a) Aminoglycosides
b) Macrolides
c) Fluoroquinolones
d) All of the above
Ans : a
45.Gray baby syndrome in neonates can be caused by
a) Penicillin
b) Chloramphenicol
c) Quinolones
d) Sulphonamides
Ans : b

46. Fanconi syndrome can be caused by
a) Tetracycline
b) Quinolones
c) Sulphonamide
d) Penicillin
Ans : a

47. Following cephalosporins can cross the blood-brain barrier and therefore can be used in the treatment of meningitis except
a) Ceftazidime
b) Ceftriaxone
c) Cefotaxime
d) Cefixime
Ans : d

48. Random use of broad-spectrum antibiotics is contraindicated.
They.....
a)Are extremely nephrotoxic
b) Can produce dependency and psychogenic symptoms
c) Can induce anaphylactoid reactions
d) Can interfere with indigenous microbiota
Ans : d

49. All of the followings are the adverse effects of tetracycline, except:
a) Ototoxicity
b) Phototoxicity
c) Fatal hepatotoxicity
d) Yellow discoloration of teeth
Ans : a

50. Which of the following group of antibiotics show bacteriostatic action?
a) Fluoroquinolones
b) Aminoglycosides
c) Macrolides
d) Monobactams
Ans :c

SAMPLE PAPER

1. In 3D QSAR, what do blue regions indicate favourable points for?
a. Bulky groups
b. Smaller groups
c. Electron-rich groups
d. Electron-deficient groups
Answer: a. Bulky groups
2. In 3D QSAR, what do green regions indicate favourable points for?
a. Bulky groups
b. Smaller groups
c. Electron-rich groups
d. Electron-deficient groups
Answer: c. Electron-rich groups
3. In 3D QSAR, what do red regions indicate favourable points for?
a. Bulky groups
b. Smaller groups
c. Electron-rich groups
d. Electron-deficient groups
Answer: d. Electron-deficient groups
4. In 3D QSAR, what do yellow regions indicate favourable points for?
a. Bulky groups
b. Smaller groups
c. Electron-rich groups
d. Electron-deficient groups
Answer: c. Electron-rich groups
5. Which of the following is an application of bioinformatics? [Mumbai University]
a) Design of primers
b) Grouping of proteins into families
c) Reconstructing genes from EST sequences
d) All of the above
Answer: d) All of the above
6. Which method is used for virtual screening? [Mumbai University]
a) ADMET analysis
b) QSAR modeling
c) Pharmacophore modeling
d) All of the above
Answer: d) All of the above
7. CoMFA method is used for: [Mumbai University]
a) 4D-QSAR
b) 3D-QSAR
c) 5D-QSAR
d) 6D-QSAR
Answer: b) 3D-QSAR
8. Lipinski's rule of five is used for: [Mumbai University]
a) Docking
b) Similarity search
c) Drug likeness
d) Dynamics simulation
Answer: c) Drug likeness
9. Bioisosterism is the process of- [OXFORD]
a. Replacement similar group
b. Replacement similar valence group
c. Replacement similar mass no. group
d. Addition of group having different mass no.

Answer b Replacement similar valence group
10. A drug like phenytoin & barbiturate when pKa is larger than 7 is- [OXFORD]
a. Ionised at all pH
b. unionised at pH
c. Ionised at pH 8
d. Unionised at pH 6
Answer. d Unionised at pH 6
11. A drug where pKa is 7 & unionised at all pH it is-
a. Weak acidic
b. Very weak acidic
c. Weak basic
d. Very weak basic
Answer. b Very weak acidic
12. Enantiomer has a higher affinity to receptor are called- [OXFORD]
a. Eudismic
b. Diastomer
c. Eutomer
d. None of these
Answer. a Eudismic
13. Which type of hydrogen bonding present when hydrogen bonding occurs between molecules?
a. Intramolecular
b. Intermolecular
c. A & B both
d. None of them
Answer b Intermolecular
14. Which compound is capable of forming a ring structure with metal atoms?
a. Ligands
b. Chelates
c. Surfactants
d. All of the above
Answer. b Chelates
15. Addition of non-polar group ___ partition co- efficient [OXFORD]
a. Improves
b. Reduces
c. No effect on
Answer. a Improves
16. ……..is defined as rate and extent of drug absorption.
a. Bioavailability
b. Bioequivalence
c. drug disposition
d. Absorption
Answer. a. Bioavailability
17. The movement of drug from one compartment to other compartment is referred as…
a. Bioavailability
b. drug distribution
c. drug disposition
d. Absorption
Answer. b. drug distribution
18. Passive transport process involve all except…….
a. Passive difussion
b. Pore transport
c. ion-pair transport
d. Antiport
Answer. d. Antiport

19. Facilitated diffusion is also known as:
a. Active diffusion
b. Mediated diffusion
c. Ion-pair transport
d. Symport
Answer: b. Mediated diffusion
20. Which of the following is an active transport process?
a. Persorption
b. Pinocytosis
c. Phagocytosis
d. Ion-pair transport
Answer: d. Ion-pair transport
21. Which of the following is not a phase II reaction?
a. Acetylation
b. Methylation
c. Hydrolysis of esters
d. Conjugation of glucoronic acid
Answer: c. Hydrolysis of esters
22. Clearance is defined as the ratio of:
a. Elimination rate / Plasma drug Concentration
b. Plasma drug Concentration / Elimination rate
c. Vd / AUC
d. AUC / Vd
Answer: a. Elimination rate / Plasma drug Concentration
23. The beginning of a pharmacological response is called:
a. Onset time
b. Duration of action
c. Onset of action
d. Intensity of action
Answer: c. Onset of action
24. Which of the following is a model-independent approach of pharmacokinetics?
a. Mammillary model
b. Perfusion model
c. Distributed parameter model
d. Noncompartmental analysis
Answer: d. Noncompartmental analysis
25. Absorption rate constant can be calculated by:
a. Method of residuals
b. Sigma minus method
c. Model-independent method
d. Noncompartmental analysis
Answer: c. Model-independent method
26. Bioavailability is generally in the order of:
a. Oral > Parenteral > Rectal > Topical
b. Parenteral > Oral > Topical > Rectal
c. Oral > Parenteral > Topical > Rectal
d. Parenteral > Oral > Rectal > Topical
Answer: c. Oral > Parenteral > Topical > Rectal
27. First-pass metabolism occurs primarily in which organ?
a) Liver
b) Kidneys
c) Lungs
d) Heart
Answer: a) Liver

28. What is the main role of metabolism in pharmacokinetics?
a) To increase drug potency
b) To convert drugs into inactive forms
c) To enhance drug absorption
d) To facilitate drug distribution
Answer: b) To convert drugs into inactive forms
29. Excretion of drugs primarily occurs through:
a) Liver
b) Kidneys
c) Lungs
d) Skin
Answer: b) Kidneys
30. Clearance is defined as the ratio of:
a) Drug elimination rate to plasma drug concentration
b) Drug absorption rate to distribution rate
c) Drug metabolism rate to excretion rate
d) Drug protein binding to distribution rate
Answer: a) Drug elimination rate to plasma drug concentration
31. What does the half-life (t) of a drug measure?
a) Time for drug absorption
b) Time for drug distribution
c) Time for drug metabolism
d) Time for drug elimination
Answer: d) Time for drug elimination
32. Zero-order kinetics display:
a) Constant rate of drug metabolism
b) Proportional drug metabolism to plasma concentration
c) Variable rate of drug metabolism
d) Constant drug distribution rate
Answer: a) Constant rate of drug metabolism
33. Methicillin is responsible for activating which enzyme?
a. Decarboxylase
b. Adenylyl cyclase
c. Penicillinase
d. Glucuronyl transferase
Answer: c. Penicillinase
34. Noncompetitive inhibition of enzymes involves interaction with:
a. The active site of the enzyme
b. A neighboring area of the enzyme
c. The substrate
d. The coenzyme
Answer: b. A neighboring area of the enzyme
35. Sulphonamides were discovered to inhibit the growth of streptococci through the breakdown of which compound?
a. Prontosil
b. Sulphanilamide
c. Penicillin
d. Methicillin
Answer: a. Prontosil
36. Which of the following is NOT a route of drug excretion?
a. Bile
b. Saliva
c. Lungs
d. Muscle

Answer: d. Muscle
37. Phase 1 reactions in drug metabolism involve:
a. Conjugation reactions
b. Hydrolysis
c. Oxidation
d. None of the above
Answer: c. Oxidation
38. Which enzyme is responsible for the transformation of ethanol into acetaldehyde?
a. Alcohol dehydrogenase
b. Acetylcholinesterase
c. Penicillinase
d. Decarboxylase
Answer: a. Alcohol dehydrogenase
39. Phase 1 reactions in drug metabolism primarily involve:
a. Conjugation
b. Oxidation
c. Hydrolysis
d. Reduction
Answer: b. Oxidation
40. Which enzyme catalyzes the hydrolysis of esters and amides?
a. Alcohol dehydrogenase
b. Acetylcholinesterase
c. Decarboxylase
d. None of the above
Answer: d. None of the above
41. In Phase 2 reactions of drug metabolism, which group is commonly used to increase the polarity of the drug?
a. Amino acids
b. Glucuronic acid
c. Acetyl groups
d. None of the above
Answer: b. Glucuronic acid
42. What is the primary organ involved in drug metabolism?
a. Kidneys
b. Liver
c. Intestines
d. Lungs
Answer: b. Liver
43. Which drug is known for its rapid onset of action, high therapeutic index, and short half-life?
a. Nitrous oxide
b. Ketamine hydrochloride
c. Morphine
d. Tramadol
Answer: b. Ketamine hydrochloride
44. What is the primary route for the excretion of endogenous and foreign substances?
a. Urine
b. Bile
c. Saliva
d. Lungs
Answer: b. Bile
41. Which of the following statements about pharmacodynamics is TRUE? [Uttarakhand University]
a. It is the study of how a drug is eliminated from the body.
b. It is the study of how a drug is absorbed and distributed in the body.
c. It is the study of how a drug affects the body.

d. It is the study of how a drug is metabolized in the body.
Answer: c. It is the study of how a drug affects the body.
42. The time it takes for a drug to be reduced to half its original concentration is known as: [Uttarakhand University]
a. Bioavailability
b. Half-life
c. Pharmacodynamics
d. Therapeutic index
Answer: b. Half-life
43. Which of the following is NOT a factor influencing drug response? [Uttarakhand University]
a. Age
b. Gender
c. Genetics
d. Environmental conditions
Answer: d. Environmental conditions
44. The therapeutic index of a drug is the ratio of: [Uttarakhand University]
a. The minimum effective concentration to the maximum tolerated concentration
b. The maximum effective concentration to the minimum tolerated concentration
c. The minimum toxic concentration to the maximum tolerated concentration
d. The maximum toxic concentration to the minimum tolerated concentration
Answer: a. The minimum effective concentration to the maximum tolerated concentration
45. The time between drug administration and the onset of therapeutic effect is known as: [Uttarakhand University]
a. Absorption time
b. Distribution time
c. Onset of action
d. Metabolism time
Answer: c. Onset of action
46. Which of the following statements about drug interactions is TRUE? [Uttarakhand University]
a. They can result in an increased efficacy of the drug.
b. They can result in an increased toxicity of the drug.
c. They can result in a decreased toxicity of the drug.
d. They can result in a decreased efficacy of the drug.
Answer: b. They can result in an increased toxicity of the drug.
47. Which type of cancer originates from mesodermal tissue and can develop in muscle, fat, blood vessels, and fibrous tissue?
a. Sarcoma
b. Carcinoma
c. Leukemia
d. Lymphoma
Answer: a. Sarcoma
48. Which type of cancer is characterized by abnormal proliferation of leukocytes and originates in the bone marrow?
a. Sarcoma
b. Carcinoma
c. Leukemia
d. Lymphoma
Answer: c. Leukemia
49. Which type of cancer starts in lymphocytes and can manifest as either Hodgkin lymphoma or Non-Hodgkin lymphoma?
a. Sarcoma
b. Carcinoma
c. Leukemia
d. Lymphoma

Answer: d. Lymphoma
50. Which type of cancer originates from cells that develop into melanocytes, specialized cells producing melanin?
a. Sarcoma
b. Melanoma
c. Leukemia
d. Lymphoma
Answer: b. Melanoma
51. Which type of cancer resembles both a sarcoma and a carcinoma and is highly malignant?
a. Carcinosarcoma
b. Carcinoma
c. Melanoma
d. Leukemia
Answer: a. Carcinosarcoma
52. Which hormone is commonly used in the treatment of prostate cancer? [Uttarakhand University]
a) Oestrogen
b) Androgen
c) Progesterone
d) Glucocorticoid
Answer: b) Androgen
53. What is the primary mode of action of mitotic inhibitors in cancer treatment?
a) Inhibition of topoisomerase II
b) Suppression of nucleoside transport
c) Binding to microtubules
d) Inhibition of hormone receptors
Answer: c) Binding to microtubules
54. Which type of therapy involves the use of substances that prevent cell division and interfere with nucleic acid binding?
a) Chemotherapy
b) Radiotherapy
c) Hormone therapy
d) Gene therapy
Answer: a) Chemotherapy
55. What is the primary vector used in genetic therapy?
a) Bacteria
b) Retroviruses
c) Fungi
d) Plasmids
Answer: b) Retroviruses
56. Which genetic disease is NOT treated using genetic therapy? [Uttarakhand University]
a) Hemophilia
b) Cystic fibrosis
c) Alzheimer's disease
d) Parkinson's disease
Answer: c) Alzheimer's disease
57. How is the cystic fibrosis gene therapy protocol unique compared to other genetic therapies?
a) It involves direct injection of the CFTR gene into the nasal or bronchial epithelium.
b) It uses retrovial vectors containing the LDL receptor gene.
c) It involves modification of hepatocytes in the liver.
d) It utilizes tumor cells containing genetic cytokines.
Answer: a) It involves direct injection of the CFTR gene into the nasal or bronchial epithelium.
58. Which medication is used to treat cancers such as uterine, testicular, and osteogenic sarcomas by intercalating into DNA and inhibiting RNA polymerase activity?
a. Bleomycin

b. Mitomycin-C
c. Actinomycin D
d. Mithramycin
Answer: c. Actinomycin D
59. Which toxic effect is commonly associated with the use of alkylating agents in cancer treatment?
a. Cardiotoxicity
b. Renal toxicity
c. Hematopoietic toxicity
d. Hepatotoxicity
Answer: c. Hematopoietic toxicity
60. Which medication is derived from Streptomyces caespitosus and works by crosslinking double-stranded DNA to prevent DNA synthesis?
a. Actinomycin D
b. Bleomycin
c. Mitomycin-C
d. Mithramycin
Answer: c. Mitomycin-C
61. What is the primary role of antimetabolites in cancer treatment? [Uttarakhand University]
a. Inhibiting DNA replication by crosslinking DNA strands
b. Blocking DNA synthesis by inhibiting DNA polymerase
c. Preventing the biosynthesis or utilization of normal cellular metabolites
d. Causing DNA strand breaks and DNA fragmentation
Answer: c. Preventing the biosynthesis or utilization of normal cellular metabolites
62. Which medication is used to treat gastric adenocarcinoma, cervix, colon, rectum, breast, and lung cancer by crosslinking double-stranded DNA?
a. Bleomycin
b. Mitomycin-C
c. Actinomycin D
d. Mithramycin
Answer: b. Mitomycin-C
63. Which natural product-derived anticancer drug is a semi-synthetic derivative of podophyllotoxin and is used in the treatment of testicular, bronchial, and lymphoid malignancies?
a. Podophyllotoxin
b. Etoposide
c. Campothecins
d. Taxol
Answer: b. Etoposide
64. Which category of anticancer drugs primarily interferes with nucleic acid binding by competing with glutamine's metabolic function?
a. Mitotic inhibitors
b. Hormones
c. Anti-metabolites
d. Glucocorticoids
Answer: c. Anti-metabolites
65. What is the primary vector used in genetic therapy to treat genetic diseases like cystic fibrosis and Duchenne muscular dystrophy?
a. Retroviruses
b. Adenoviruses
c. Lentiviruses
d. Herpesviruses
Answer: a. Retroviruses
66. Which factor increases the efficacy of antineoplastic drugs? [Uttarakhand University]
a. Drug-provoked mutations.
b. Additional immunotherapy.

c. Decrease in tumor blood supply.
d. Tumor cells acquire natural insensitivities.
Answer. b Additional immunotherapy.
67. Which antineoplastic alkylating drug is effective in treating tumors within the central nervous system (CNS)?
a. Thiotepa.
b. Busulfan.
c. Dacarbazine.
d. Temozolomide.
Answer. d Temozolomide.
68. Which effect of alkylating antineoplastic agents results in greater susceptibility to infection?
a. Hepatotoxicity.
b. Myelosuppression.
c. Nausea and vomiting.
d. Nonspecific cell destruction.
Answer.b Myelosuppression.
69. Which antineoplastic agent is a folic acid analogue?
a. Methotrexate.
b. Mercaptopurine.
c. Cytarabine.
d. Fluorouracil.
Answer.a Methotrexate.
70. All of the following agents are high ceiling diuretics except:
a. Furosemide
b. Amiloride
c. Torsemide
d. Ethacrynic acid
Ans : d
71. Which of the following statement is true for ACE inhibitors?
a.ACE inhibitors reduce both cardiac preload and afterload, thereby decreasing cardiac work
b. ACE inhibitors increase both angiotensin II and bradykinin levels
c. ACE inhibitors decrease both angiotensin II and bradykinin levels
d. None of the above
Ans : a
72. Choose the correct antihypertensive agents and their mechanism of action:
a. Furosemide: inhibition of epithelial sodium transport at the late distal and collecting ducts
b. Losartan: increases aldosterone secretion causing sodium and water retention
c. Clonidine: acts centrally as an a2 agonist causing inhibition of sympathetic vasomotor center
d. a and c
e. a, b and c
Ans : d
73. Which of the following is a direct renin inhibitor?
a. Olmesartan
b. Eplerenone
c. Aliskiren
d. None of the above
Ans : c
74. Atherosclerosis impedes coronary blood flow by which of the following mechanisms?
a. Plaques obstruct the vein
b. Plaques obstruct the artery
c. Blood clots form outside the vessel wall

d. Hardened vessels dilate to allow the blood to flow through
Ans : b (OXFORD)
75. Which of the following risk factors for coronary artery disease cannot be corrected?
a. Cigarette smoking
b. DM
c. Heredity
d. HPN
Ans : c
76. Exceeding which of the following serum cholesterol levels significantly increases the risk of coronary artery disease?
a. 100 mg/dl
b. 150 mg/dl
c. 175 mg/dl
d. 200 mg/dl
Ans : d
77. Which of the following actions is the first priority care for a client exhibiting signs and symptoms of coronary artery disease?
a. Decrease anxiety
b. Enhance myocardial oxygenation
c. Administer sublignual nitroglycerin
d. Educate the client about his symptoms
Ans : b (BBAU)
78. Medical treatment of coronary artery disease includes which of the following procedures?
a. Cardiac catheterization
b. Coronary artery bypass surgery
c. Oral medication administration
d. Percutaneous transluminal coronary angioplasty
Ans : c
79. Which of the following antianginal agents is a myotropic coronary dilator:
a. Dipyridamole
b. Validol
c. Atenolol
d. Alinidine
Ans a) Dipyridamole
80. The following agents are cardio selective beta1-adrenoceptor-blocking drugs labelled for use in angina, EXCEPT:
a. Metoprolol
b. Talinolol
c. Atenolol
d. Propranolol
Ans: d) Propranolol
81. The drug used in hypotensive shock:
e. Noradrenaline
f. Propranolol
g. Dopamine
h. Histamine
Ans: a) noradrenaline
81. Indicate the drug belonging to antagonists of heparin:
a) Aspirin
b) Dicumarol
c) Dalteparin
d) Protamine sulphate
Ans: d) Protamine sulphate (B. PHARMA)
82. For digitalis-induced arrhythmias the following drug is favoured:

a) Verapamil
b) Amiodarone
c) Lidocaine
d) Propanolol
Ans: c) Lidocain
83. which drug is used as antihypertensive in pregnancy
a) Losartan
b) Enalapril
c) Methyl dopa
d) All
Ans c) Methyl dopa
84. This drug increases lipoprotein lipase (LPL) activity in adipose tissue:
a) Cholestyramine
b) Lovastatin
c) Nicotinic acid
d) Gemfibrozil
Ans: d) Gemfibrozil
85**.** which diuretic has an anti-androgenic effect:
a) Amiloride
b) Furosemide
c) Hydrochlorothiazide
d) Spironolacton
Ans: d) Spironolacton
86. Warfarin affects the synthesis of
a) CF II
b) CF VII
c) CF IX
d) CF X
e) All
Ans: e) All
87. What is right about Clopidogrel
a) inhibits ADP-dependant platelet aggregation
b) inhibits COX-dependant platelet aggregation
c) Antagonise Gp IIb/IIIa- dependant platelet aggregation
d) All
Ans: a) inhibits ADP-dependant platelet aggregation
88. Major role of ADH (Vasopressin)
a) Vasoconstriction
b) enhance the water reabsorption
c) enhance the expression of aquaporins channel\
d) all
Ans: d) all (CBSE)
89. What is the primary precursor for furazolidone synthesis?
a) 2-Aminobenzothiazole
b) Furane
c) Thiophene
d) Benzene
Answer: A) 2-Aminobenzothiazole
90. Which reagent is commonly used for the diazotization step in furazolidone synthesis?
a) $NaNO_2$
b) NaOH
c) HCl
d) Na_2SO_4
Answer: A) $NaNO_2$

91. What is the purpose of the reduction step in the synthesis of furazolidone?
a) To introduce a nitrogen atom
b) To remove a functional group
c) To oxidize the compound
d) To form a diazonium salt
Answer: A) To introduce a nitrogen atom
92. Which of the following is an intermediate compound in the synthesis of furazolidone?
a) Nitrobenzene
b) Nitrofurantoin
c) 5-Nitrofurfuraldehyde
d) 2-Nitrobenzene
Answer: C) 5-Nitrofurfuraldehyde
93. What functional group is formed by the reduction of 5-Nitrofurfuraldehyde in furazolidone synthesis?
a) Nitro group
b) Amine group
c) Carboxyl group
d) Ester group
Answer: B) Amine group
94. Which chemical group is found in furazolidone?
a) Sulfonyl group
b) Nitro group
c) Sulfonamide group
d) Oxime group
Answer: C) Sulfonamide group
95. Which of the following is the primary use of furazolidone?
a) Anticoagulant
b) Antibiotic
c) Antidepressant
d) Antifungal
Answer: B) Antibiotic
96. Furazolidone is particularly effective against which type of microorganisms?
a) Gram-positive bacteria
b) Gram-negative bacteria
c) Fungi
d) Viruses
Answer: B) Gram-negative bacteria
97. What is the mechanism of action of furazolidone as an antibiotic?
a) Inhibition of cell wall synthesis
b) Inhibition of protein synthesis
c) Inhibition of nucleic acid synthesis
d) Disruption of cell membrane integrity
Answer: C) Inhibition of nucleic acid synthesis
98. Which of the following statements regarding the synthesis of furazolidone is correct?
a) It involves the introduction of a nitro group in the final step.
b) It is a one-step process starting from benzene.
c) It requires the use of acetyl chloride as a reagent.
d) It includes a diazotization step.
Answer: D) It includes a diazotization step.
99. Which reaction type is involved in the formation of dapsone?
a) Diazotization
b) Reduction
c) Oxidation
d) Esterification
Answer: B) Reduction

100. What functional group is present in dapsone?
a) Carboxylic acid
b) Amine
c) Ester
d) Ketone
Answer: B) Amine