Third Year B.Pharm Sem VI

MC-III (Revised 2019) MCQ Question Bank

1) The following structure is a synthetic antibacterial agent called ciprofloxacin.

What is its mechanism of action?

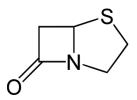
- a) Topoisomerase poison
- b) Metallating agent
- c) Chain terminator
- d) Antisense agent
- 2) What crucial feature of a penicillin is involved in its mechanism of action?
- a) Carboxylic acid
- b) β-lactam ring
- c) Acyl side chain
- d) Thiazolidine ring

3) What is the target for clavulanic acid?

- a) The transpeptidase enzyme
- b) L-ala racemase
- c) β-lactamase
- d) Penicillin acylase

4) Which of the following antibiotics is a macrolide?

- a) Chloramphenicol
- b) Doxycycline
- c) Erythromycin
- d) Streptomycin
- 1. Identify the following structure



- a) β-lactam
- b) Penam
- c) Thiazolidine-5-one
- d) Thiazepine-5-one

Q.1 Which is naturally occurring anti-malaria drug?

- A. Quinacrine
- **B.** Artemisinin
- C. Chloroquine
- D. Mefloquine
- Q.2 All of the following antimalarial drugs are 4-quinoline derivatives, EXCEPT:
 - A. Chloroquine
 - B. Mefloquine
 - C. Primaquine
 - D. Amodiaquine
- Q.3 Cinchonism side effect is seen with which of the following antimalarial drugs
 - A. Quinine
 - B. Chloroquine

| A. Econazole | | | | | |
|--|-----------------|--|--|--|--|
| B. Terconazole | | | | | |
| C. Ticonazole | | | | | |
| D. Naftifine HCl | | | | | |
| Q.5 Butoconazole Nitrate containsring A. Imidazole | | | | | |
| B. Triazole | B. Triazole | | | | |
| C. Indole | | | | | |
| D. Pyrimidine | | | | | |
| | | | | | |
| Q.6 Drug containing bis-triazole ring | | | | | |
| A. Fluconazole | | | | | |
| B. Itraconazole | | | | | |
| C. Tinidazole | | | | | |
| D. Ticonazole | | | | | |
| | | | | | |
| Q.7 Which one of the following drug is Thioester of β -napthol | | | | | |
| A. Tolnaftate | | | | | |
| B. Naftifine | | | | | |
| C. Griseofulvin | | | | | |
| D. Nystatin | | | | | |
| Q.8 West African sleeping sickness is treated by | | | | | |
| A. Eflornithine | A. Eflornithine | | | | |
| A. Diloxanide furoate | | | | | |
| | | | | | |
| B. Idoquinol | | | | | |
| B. IdoquinolC. Ornidazole | | | | | |
| | | | | | |
| C. Ornidazole | | | | | |
| C. Ornidazole Q.9 Praziquantel belongs to | | | | | |
| C. Ornidazole Q.9 Praziquantel belongs to A. Isoquinoline | | | | | |
| C. Ornidazole Q.9 Praziquantel belongs to A. Isoquinoline B. Amides | | | | | |

Q.4 Which one of the following is Squalene epoxidase Inhibitor

C. Primaquine

D. Mefloquine

| Q.10 Drug which inhibits DNA, RNA, and protein synthesis in schistosomes |
|---|
| A. Oxaminiquine |
| B. Diethylcarbamazepine Citrate |
| C. Praziquantel |
| D. Niclosamide |
| |
| |
| 2. To obtain penicillinase resistant penicillins, strategy used is introduction of or acyl amino side chain |
| a) bulky groups with electron withdrawing substitutents |
| b) bulky groups with electron donating substitutents |
| c) hydrophilic group like amino group |
| d) hydrophobic group like amino group |
| |
| 3. Example of a β-lactamase inhibitor is |
| a) Cloxacillin |
| b) Methicillin |
| c) Dicloxacillin |
| d) Clavulanic acid |
| |
| 4. In tetracycline, the pka value of conjugated trione system is in the range of |
| a) 7.2-7.8 |
| b) 9.1-9.7 |
| c) 2.8-3.3 |
| d) 6.4-6.8 |
| |
| 5. Starting materials for synthesis of Pamaquine are |

a) 4-methoxy 2-nitrobenzenamine and glycerol

- b) 3-chloroaniline and diethyl ethoxymethylenemalonate
- c) 2,4-dichloro-5-fluoro-benzoyl chloride and diethyl malonate
- d) 2-(4-chlorabenzoyl)-benzoic acid and ethylene diamine
- 6. Pivampicillin is example of
- a) Bipartite prodrug

b) Tripartite prodrug

- c) Bioprecursor
- d) Mutual prodrug
- 7. Azithromycin consists of
- a) 14 membered macrocyclic lactone ring
- b) 14 membered macrocyclic lactam ring
- c) 15 membered macrocyclic lactone ring
- d) 15 membered macrocyclic lactam ring
- 8. Identify the following drug

- a) Chloramphenicol
- b) Cefotaxime
- c) Clindamycin
- d) Clarithromycin

- 9. Ethambutol is marketed for antitubercular activity as
- a) S, R (+) enantiomer
- b) R, S (+) enantiomer
- c) S, S (+) enantiomer
- d) R, R (-) enantiomer
- 10. Identify the following drug

- a) cycloserine
- b) clindamycin
- c) chloramphenicol
- d) Capreomycin
- 11. Gatifloxacin exhibits its antibacterial activity by binding to the
- a) DNA polymerase
- b) DNA dependent RNA polymerase
- c) 50S ribosomal subunit
- d) DNA gyrase and Topoisomerase
- 12. Purine dideoxynucleoside analog of inosine is
- a) Zalcitabine
- b) Didanosine
- c) Lamivudine
- d) Idoxuridine

| a) 1,2,4-triazole |
|---|
| b) 1,2,3-triazole |
| c) Tetrazole |
| d) Imidazole |
| |
| 14. Itraconazole is a |
| a) 1,2,4-Triazole antifungal agent |
| b) Imidazole antifungal agent |
| c) Benzimidazole antifungal agent |
| d) 1,2,3-Triazole antifungal agent |
| |
| 15. Drug of choice for treatment of river blindness is |
| a) Ivermectin |
| b) Praziquantel |
| c) Eflornithine |
| d) Diethylcarbamazine |
| |
| 16. Prontosil on metabolic activation leads to formation of |
| a) Sulfacetamide |
| b) Sulfanilamide |
| c) Sulfadiazine |
| d) Sulfapyridine |
| |
| |
| 17. Starting material and reagent used for the synthesis of Dapsone are |

13. Ribavirin consists of following heterocyclic ring

| a) 1-chloro-4-nitro benzene and sodium sulfite | | |
|---|--|--|
| b) 2-nitro aniline and sodium sulfite | | |
| c) 1-chloro-4-nitro benzene and sodium sulfide | | |
| d) 2-nitro aniline and sodium sulfide | | |
| | | |
| 18. Electronic parameter used in QSAR is | | |
| a) Hammett constant | | |
| b) Taft constant | | |
| c) Dipole moment | | |
| d) Verloop parameter | | |
| | | |
| | | |
| 19. Structure Based Drug Design approach used in drug design is | | |
| a) 2D-QSAR | | |
| b) Molecular Docking | | |
| c) Pharmacophore modeling | | |
| d) 3D-QSAR | | |
| | | |
| 20. Wang resin used in combinatorial chemistry has the following linker | | |
| a) p-benzyloxybenzyl chloride | | |
| b) p-benzyloxybenzyl alcohol | | |
| c) p-benzyloxybenzoic acid | | |
| d) p-benzyloxybenzamide | | |
| | | |
| | | |

5) The following structure is a synthetic antibacterial agent.

To which group of compounds does the structure belong?

- a) Aminoacridines
- b) Aminoglycosides
- c) Fluoroquinolones
- d) Tetracyclines
- 6) Which of the following is a β -lactam antibiotic?
- (a) Penicillin + cephalosporin
- (b) Streptomycin + gentamycin
- (c) Minocyclin + doxycycline
- (d) Chloramphenicol

7) Which of the following is not present in macrolide?

- (a) A large lactone ring
- (b) A glycosidically linked amino sugar
- (c) A spiroketal group
- (d) A ketone group
- 9) Which enzyme combination is involved in ergosterol biosynthesis?
- A) Lanosterol 14alpha demethylase and Squalene epoxidase_
- B) Lanosterol epoxidase and Squalene16alpha demethylase
- C) Lanosterol epoxidase and Squalene14alpha demethylase

| D) Lanosterol 16alpha demethylase and Squalene epoxidase | | | |
|---|--|--|--|
| 10) Which of these is not a Polyene? | | | |
| A) Terbinafine | | | |
| B) Nystatin | | | |
| C) Amphotericin B | | | |
| D) None | | | |
| | | | |
| 11) What is an Allylamines mode of action? | | | |
| A) Inhibit ergosterol synthesis via Lanosterol epoxidase | | | |
| B) Inhibit ergosterol synthesis via Squalene 14alpha demethylase | | | |
| C) Inhibit ergosterol synthesis via Squalene epoxidase | | | |
| D) Inhibit ergosterol synthesis via Lanosterol 14alpha demethylase | | | |
| | | | |
| 12) The drug used for malaria chemoprophylaxis and treatment: a) Chloroquine_ b) Quninidine | | | |
| c)Quinine d) Sulfonamides | | | |
| | | | |
| | | | |
| d) Sulfonamides | | | |
| d) Sulfonamides 14) Which of the following agent has trioxane ring? | | | |
| d) Sulfonamides 14) Which of the following agent has trioxane ring? (a) Artemether | | | |
| d) Sulfonamides 14) Which of the following agent has trioxane ring? (a) Artemether (b) Metronidazole | | | |
| d) Sulfonamides 14) Which of the following agent has trioxane ring? (a) Artemether (b) Metronidazole (c) Halofantrine | | | |

a) β- lactam

- b) Tetracycline
- c) Aminoglycoside
- d) Monobactam
- 2. Chemically tetracycline is a derivative of
- a) A large macrocyclic lactone ring
- b) 6-Amino penicillanic acid (6-APA)

c) Octahydronapthacene

- d) 7-Amino cephalosporinic acid (6-APA)
- 3. Identify the moiety present in the given drug structure.

a) Azetidine & 2-aminothiazole

- b) Methoxyimino & 2-aminothiazole
- c) Pyridinium & 2-aminothiazole
- d) Triazine & 2-aminothiazole
- 4. Streptomycin shows the presence of

a) Streptidine, Streptose amino sugar, N-Methyl-L glucosamine amino sugar

- b) Amino sugar, 2-deoxystreptamine, N-Ethyl-L glucosamine amino sugar
- c) Streptidine, Streptose amido sugar, N-Methyl-L glucosamine amino sugar
- d) Streptidine, Streptose amino sugar, N-Ethyl-L glucosamine amino sugar

| 5. Which of the following antibiotic is macrolide? |
|---|
| a) Chloramphenicol |
| b) Doxycycline |
| c) Oleandomycin |
| d) Erythromycin |
| 6. Prodrug with two pharmacologically active compounds are called as |
| a) Mutual prodrug |
| b) Bioprecursor |
| c) Polymeric prodrug |
| d) Biotransformation |
| 7. Identify an active metabolite from the following |
| a) Chloroquine |
| b) Cycloguanil |
| c) Mefloquine |
| d) Pyrimethamine |
| 8. Endoperoxide 1, 2, 4-trioxane ring is responsible for the antimalarial action of |
| a) Artemether |
| b) Primaquine |
| c) Pyrimethamine |
| d) Quinacrine |
| |
| |
| |
| |
| 9. Identify the bioisostere of nicotinamide? |
| a) Ethionamide |
| b) Pyrazinamide |

| c) Cycloserine | | | |
|---|--|--|--|
| d) Ethambutol | | | |
| 10. Identify antibiotic which is cyclic analogue of D-alanine? | | | |
| a) Cycloserin | e | | |
| b) Rifampicin | | | |
| c) Capreomyc | in | | |
| d) Streptomyo | in | | |
| 11. Select the | naphthyridine containing compound? | | |
| a) Norfloxacii | 1 | | |
| b) Ciprofloxao | cin | | |
| c) Nalidixic a | cid | | |
| d) Lomefloxae | cin | | |
| 12. Which of carbohydrate | the following is synthetic analogue of deoxyguanosine having acyclic moiety. | | |
| a) Amant | radine | | |
| b) Riman | tadine | | |
| c) Acycle | ovir | | |
| d) Zidovi | udine | | |
| 13. Idoxuridine consists of | | | |
| a) halogo |) halogenated deoxyuridine | | |
| b) haloge | nated cytidine | | |
| c) haloge | halogenated adenine | | |
| d) haloge | nated thymidine | | |
| | | | |
| 14. Identify antifungal antibiotic with heterocyclic benzofuran moiety? | | | |
| a) Amphotericin-B | | | |
| b) Nystatin | | | |

- c) Natamycin
- d) Griseofulvin.
- 15. Identify the prodrug with imidazole nucleus used for the treatment of amoebiasis?
- a) Metronidazole
- b) Iodoquinol
- c) Ornidazole
- d) Diloxanide furoate
- 16. Identify the given drug

- a) Dapsone
- b) Trimethopri
- c) Sulfamethoxazole
- d) PAS
- 17. Identify a carrier linked prodrug of sulphonamide used for ulcerative colitis?
- a) Sulfasalazine
- b) Sulfapyridine
- c) Sulfamethoxazole
- d) Sulfacetamide
- 18. Identify the QSAR parameter, which is a measure of electron withdrawing or electron donating ability of a substituent.
- a) Hammett constant
- b) Taft constant
- c) Molar refractivity
- d) Partition coefficient
- 19. Which of the following statement best describes the lead compound?

a) A compound that contains the element lead.

b) A compound from the research laboratory that is chosen to go forward for preclinical &

clinical trials.

c) A molecule that shows some activity or property of interest & serves as the starting

point for the development of a drug.

d) The first compound of a structural class of compounds to reach the market.

20. Solid phase synthesis is frequently used in combinatorial chemistry. Which means?

a) Reactions are carried out without solvent

b) Reagents and reactants are attached to a solid phase support

c) Reagents are used in the solid phase

d) Molecules are constructed on a solid phase support

15) Which one of the following antiviral agent exhibits the greatest selective toxicity for the

invading virus? (a) Interferon

(b) Amantadine

(c) Acyclovir

(d) Zidovudine

16) Amantadine is the drug used as

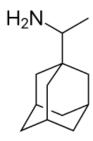
(a) Antibacterial

(b) Antiviral

(c) Antifungal

(d) Antiprotozoal

17) Identify following drug



- a) Amantadine
- b) Rimantadine
- c) Nifedipine
- d) Amlodipine
- 18) Structure given below is of antiviral drug. Which viral infection does it treat?

- a) HIV
- b) HSV
- c) H1N1
- d) COVID-19
- 19) Thymidine, Trityl chloride and methyl sulfonyl chloride are starting materials for synthesis of
- a) Chlorambucil
- b) Cyclophosphamide
- c) Zidovudine
- d) Acetohexamide
- 1. Identify prodrug of tetracycline.
- a. Doxycycline
- b. Oxytetracycline
- c. Rolitetracycline
- d. Methacycline
- 2. Identify second generation cefalosporin from following.

- a. Cefalexin
- b. Cefuroxime
- c. Cefepime
- d. Cefixime
- 3. Identify the acid catalyzed degradation product of penicillin.
- a. Penillic acid
- b. Penicilloic acid
- c. Penicillin V
- d. 6 Amino penicillanic acid
- 4. Aztreonam acts by
- a. inhibiting mucopeptide synthesis in the bacterial cell wall
- b. inhibiting polypeptide synthesis in the bacterial cell wall
- c. inhibiting mycolic acid synthesis in the bacterial cell wall
- d. inhibiting synthesis in the bacterial cell wall
- 5. Amodiaquine is derivative of
- a. 2-amino quinoline
- b. 4-amino quinoline
- c. 3-amino quinoline
- d. 5-amino quinoline
- 6. Sultamicillin is
- a. Polymeric prodrug
- b. Carrier linked prodrug
- c. Mutual prodrug
- d. Bioprecursor prodrug
- 7. Identify correct structure for chloramphenicol.

b.

c.

d.

8. Identify azalide from following.

- a. Erythromycin
- b. Clarithromycin
- c. Azithromycin
- d. Roxithromycin

9. Identify the wrong statement in context to azoles

a. They contain a midine nitrogen in imidazole ring which prevents binding with heme moiety of ${\rm CYP450}$

- b. They produce fungicidal effect at micromolar concentrations
- c. They produce fungistatic effect at nanomolar concentrations
- d. They targets lanosterol 14α -demethylase enzyme

10. Which one of the following prodrug is used for treating E. histolytica infection

- a. Diloxanide furoate
- **b.** Idoquinol
- c. Ornidazole
- d. Pentamidine Isethionate

11. When given together probenecid prolongs duration of action of which of following drug?

- a. Ethambutol
- b. Rifampicin
- c. Para amino salicylic acid
- d. Cycloserine

| 12 Which of following feature in rifemnicin is necessary for engrave hinding? |
|--|
| 12. Which of following feature in rifampicin is necessary for enzyme binding? |
| a. double bonds in macrocyclic ring |
| b. Free hydroxy groups at C1, C8, C21 and C23 |
| c. Macrocyclic ring |
| d. lactone group |
| 13. Mechanism of action of pyrazinamide is |
| a. Enzyme dependent |
| b. pH dependent |
| C. Carbohydrate dependent |
| c. Fat dependent |
| 14. Identify the enzyme inhibited by saquinavir. |
| a. Reverse transcriptase b. Protease |
| |
| c. Thymidine kinase |
| d. DNA dependent RNA polymerase |
| 15. Identify guanosine analogue from the following. a. Indinavir |
| |
| b. Amantadine c. Ribavirin |
| |
| d. Idoxuridine 16. In Hammett constant Substituted bengain acid with positive as value would be |
| 16. In Hammett constant Substituted benzoic acid with positive σ_X value would be |
| than benzoic acid itself a. More acidic |
| b. Less acidic |
| |
| c. Equally acidic d. Basic |
| 17. Which one of the following substituent leads to positive Es value |
| • |
| a. Hydrogen |
| b. n-propyl |
| c. i-butyl |
| d. cyclopentyl |
| 18. Which one of the following resin leads to Racemization problem? a. Rink resin |
| b. Barlos resin |
| |
| c.Wang resin |
| d. Dihydropyran- functionalized resin |
| 19. Sulfapyridine and 5-aminosalicylic acid are metabolized products of |
| a. Mafenide acetate |
| b. Sulfasalazine |
| c. Sulfadiazine |
| d. Sulfacetamide |
| 20. Dapsone in combination withdrug is used to treat polycystic carinii pneumonia |

a. Trimethoprim

- b. Atovaquone
- c. Rifampin
- d. Isoniazid

DTQ:

I. Answer ANY ONE of the following two questions

8M

4M

Q.1. A. Answer the following with reference to structures given below.

(c)

- i) Give the structure of an active form of (a).
- ii) Give the structure of synthetic prodrug of (c).

(b)

- iii) Give the structure of synthetic precursor of (b).
- iv) Which of the above molecule is acid stable & mention structural modification responsible for the same.

B. Answer the following with reference to structures given below.

4M

$$(b) \begin{picture}(20,20) \put(0,0){\line(1,0){100}} \put(0,0){\line(1,0$$

- i) Give the structure of mandelic acid analogue of (a)
- ii) Give the structure of an inhibitor of the enzyme that degrades (a).
- iii) Identify (b)
- iv) Give structural modifications in tetracyclines such that (b) is not formed.

Q.5. Answer the following in brief

8M

- Explain with structures, structural modification in quinolone antibacterial class for reducing phototoxicity.
- ii) Give the generic name and draw the structure of:5-(4-chlorophenyl)-6-ethylpyrimidine-2, 4-diamine
- iii) Name the enzymes inhibited by the following drugs: Ketoconazole, Naftifine
- iv) Write the synthetic scheme for Clotrimazole.

Q.1.A With the help of given lead structure predict the effect of the following structural changes on biological activity of cephalosporins. Write related example with structure and name for each.
4M

- i. Replacement of the hydrogen at C-7 α with an methoxy (X = OCH₃)
- ii. Z is a 5-membered heterocycle versus a 6-membered heterocycle.
- Presence of a phenylglycyl substituent at position 7
- iv. Presence of an alkoximino substituent at the α -carbon

Q. II Answer ANY FOUR of the following five questions

- Q.1. A. Outline chemical synthesis with reagents and reaction conditions for any one of following. Ciprofloxacin or Cloxacillin 4M
 - B. Explain sulphate conjugation metabolic reaction with suitable example and mechanism in detail.
 4M
- Q.2. A. Explain whether combination therapy of Rifampin and ethambutol is synergistic or antagonistic with mechanism.
 4M
 - B. Illustrate signal transduction involving G protein and adenylate cyclase. 4M
- Q.3. A Classify kinase receptors, write in brief activation of MAP-KINASE pathway 4M
 - B. Outline chemical synthesis with reagents and reaction conditions for any one of following: Dapsone or Pyrimethamine 4M
- Q.4. Answer in brief
 - i. 'Lipids can act as drug targets' elucidate with suitable examples
 - ii. Arrange the following molecules as per increasing hydrogen bond acceptor capability

- iii. Explain the following terms: 'proteomics' and 'proteome'
- iv. Explain role of bioisosterism in drug action with one example.

Q.5. Answer in brief: 8M

- i. Discuss the significance of F at 6th, piperazine at 7th and an introduction of -OCH₃ group at 8th position of fluoroquinolones. Give the structure and generic name of one such drug.
- Write the class for pyrimethamine and explain mechanism for it's combination therapy.
- iii. Enlist chemical features of azoles as antifungal agents using suitable examples
- iv. Write generic name and structure of 1-[((2-chlorophenyl)diphenyl)methyl]-1*H*-imidazole

I. Answer ANY ONE of the following two questions

8M

Q.1 A. Predict the impact of the following structural features on the antibacterial activity of tetracyclines. Support your answer with relevant structures.

- i. Replacement of amide at C-2 with aldehyde or nitrile
- ii. Presence of electron withdrawing group (-Cl or -NO₂) and electron donating group [-N(CH₃)₂] at C-7
- iii. Alkyl substitution at C-11a
- iv. Replacement of dimethyl amino group at C-4 with primary amine.
- **B.** Predict any two metabolites for each of the following (draw structures):

Primaquine and Isoniazid.

4M

Q.5. Answer the following questions:

8M

- i) Classify following antimalarials into their respective chemical class and give their structures: Mefloquine, Proguanil
- ii) Give the effect of the following structural modifications with suitable example:

1] $R8 = -OCH_3$

2] R7 = Heterocyclic substituent

iii) Write the generic name and mechanism of action of following drug:

iv) List the important structural features of azole antifungal agents

Q III Answer Any 4 of the following questions:

Q.1.A. Match the following:

(4M)

| Generic | Chemical Class | Mechanism of Action |
|---------------------------|-----------------------------------|------------------------------|
| Name | | |
| Amphotericin Allylamine I | | Lanosterol 14α-demethylase |
| | | inhibitor |
| Fluconazole | Polyene antibiotic | Squalene epoxidase inhibitor |
| Naftifine | Naurally occurring spiro compound | Metabolic spindle poison |
| Griseofulvin | Azole antifungal | Binds to cell membrane |
| | | ergosterol |

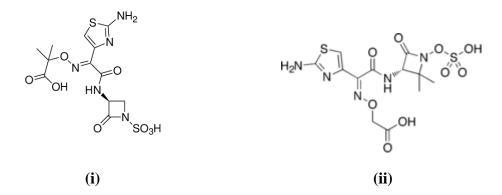
Ans.

| Generic | Chemical Class | Mechanism of Action | |
|--------------|-----------------------------------|--------------------------------------|--|
| Name | | | |
| Amphotericin | Polyene antibiotic | Binds to cell membrane ergosterol | |
| Fluconazole | Azole antifungal | Lanosterol 14α-demethylase inhibitor | |
| Naftifine | Allylamine | Squalene epoxidase inhibitor | |
| Griseofulvin | Naurally occurring spiro compound | Metabolic spindle poison | |

B. Explain briefly the structural features of macrolide antibiotics. What structural modifications are made in macrolides to increase the acid stability? Give examples. **(4M)**

Ans. Macrocyclic 14 or 15 membered ring, lactone, amino sugar-desosamine, neutral sugar-cladinose. Clarithromycin-OH group at position 6 is converted to methoxy making the drug acid stable. Nitrogen introduction in macrocyclic ring in Azithromycin renders acid stability.

C. With respect to the following structures answer the following questions. (4M)



a) Identify the chemical class of both the drugs.

Ans. Monobactams

b) Identify the structures and mention the generic names of the drugs.

Ans. (i) Aztreonam (ii) Tigemonam

c) Draw the structure of salt form of structure (i)

Ans. Hydrochloride salt

d) Comment on spectrum of activity of drugs (i) and (ii).

Ans. Tigemonam and Aztreonam are active against gram negative bacteria only. Hence they are classified as narrow spectrum β -lactams.

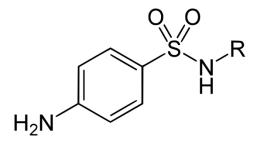
Q.2.A. Give the synthetic scheme for synthesis of Chloroquine. (4M)

ChynH₂ + H₃C₂O₁ O₂CH₃
$$\frac{100 \text{ °C}}{\text{H}_3\text{C}}$$
 ChynH₂ + H₃C₂O₁ O₂CH₃ $\frac{250 \text{ °C}}{\text{H}_3\text{C}}$ II

3-chlorooniline (I) diethyl ethoxymethylene-molenote

ChynH₂ ChynH₃ ChynH₃

Chloroquine



a) Name the class and use of drugs containing the above scaffold.

Ans. Sulfonamides, antibacterial agents

b) Comment on the nature of the drugs.

Ans. Weakly acidic compound

c) Name the target of these drugs.

Ans. Bacterial Dihydropteroate synthase.

d) Give the structure of any prodrug belonging to the above class.

Ans. Prontosil or Sulfasalazine (structure)

C. Justify the following statements. (Any 2)

(4M)

a) Antitubercular drug, para amino salicylic acid increases the levels of Isoniazid on coadministration.

Ans. Same drug metabolizing enzyme, PAS blocks enzyme and prevents INH from getting metabolized.

b) Pyrazinamide is a prodrug.

Ans. Pyrazinamide is converted to pyrazinoic acid which possess antitubercular activity.

c) Antitubercular drugs are given in combination.

Ans. To overcome resistance problem by incorporating drugs acting by different MOA.

Q.3. A. Answer the following questions:

(4M)

(a) Explain the metabolism of Albendazole and identify the active metabolite.

Ans.

Sulfoxide

(b) Explain the mechanism of action of Praziquantel.

Ans. Praziquantel increases cell membrane permeability of susceptible worms, resulting in the loss of extracellular calcium.

Massive contractions and ultimate paralysis of the fluke musculature occurs, followed by phagocytosis of the parasite.

B. Give the synthetic scheme for the synthesis of Ciprofloxacin. (4M)

C. Classify prodrugs and explain two applications of prodrugs with suitable examples.

(4M)

Q.4. A. Write a short note on importance of partition coefficient parameter in QSAR studies.

(4M)

B. Identify the following drug, its chemical class and explain the MOA of the drug (4M)

Ans. Atovaquone, Naphthoquinone class, inhibition of electron

transport chain

C. Explain the structural features of aminoglycosides. (4M)

Q.5. A. Write a short note on antiretroviral drug therapy. Highlight the importance of combination therapy in AIDS. (4M)

Ans. Reverse transcriptase inhibitors (NRTI and NNRTI), Protease inhibitors (only str features). Examples, one structure of each class, MOA, side effects etc. Role of combination therapy in AIDS, few examples.

B. Write a short note on solid phase synthesis in combinatorial chemistry. (4M)

Ans. Importance of solid phase synthesis, advantages, steps involved, solid supports used, linkers used, applications

C. With reference to the quinoline derivatives used as antimalarial drugs (4M)

- a) Draw the structure of the first 8-amino quinoline introduced as antimalarial agent
 Ans. Pamaquine
- b) Give example of drug of quinoline methanol class.

Ans. Mefloquine

c) Mention the chemical class of Quinacrine.

Ans. 9-aminoacridine

d) For 4-amino quinolines, comment the nature of substituent at 7th position required for antimalarial activity.

Ans. Electron withdrawing groups like chloro, trifluoromethyl, nitro group

Q6. A) State whether the following statements are true or false with Justification. (4M)

- i. Ampicillin is an acid stable penicillin True 1M, Justification 1M,
- ii. Aged solutions of tetracyclines are inactive. True 1M, Justification 1M,
- B) Match the following.

4M

| | Chemical class | | Generic name |
|-----|-----------------------------|---|---------------|
| i | 7-Chloro-4-Amino Quinolines | A | Amodiaquine |
| ii | Acridine derivatives | В | ,Quinacrine |
| iii | Diamino pyrimidines | С | Pyrimethamine |
| iv | Biguanides | D | Proguanil; |
| | | Е | Primaquine; |

C) Each class with structure and use.1M*4=4M

4M

Q7. A) synthesis of miconazole 3M

and its mechanistic class. 1M

B) Chemical features of artemisinin 2M

& improvement made to obtain hydrophilic & lipophilic derivative of artemisinin? 2M

- C) Answer the following ,each question carries 1M*4=4M
- Q8. A) Write a note on application of following parameters used in QSAR? 4M

- i)Tafts steric parameter 2M
- ii.Hansch analysis 2M
- B) Mechanistic class 1 Structures 1M*2=4M
- C) Synthesis of chloramphenicol 3M and therapeutic use. 1M
- Q9. A) With reference to prodrugs, answer the following questions.

4M

4M

- a) How prodrug approach can be used for improving absorption and distribution of a drug molecule? Explain with suitable example.2M
- b) i) Give one example of carrier based prodrug and the enzyme activating it.1M
 - ii) Give one example of bioprecursor type prodrug and the enzyme activating it.1M
- B) Give structural features of aminoglycoside class of antibiotic? 4M

Atleast 4 structural features

C) Match the following 4M (1Mark for each correct matching)

| Generic name | Chemical class | Mechanism of action |
|--------------|--------------------------------------|---|
| Isoniazid | Carboxylic acid hydrazide derivative | Mycolic acid synthesis inhibitor |
| PAS | Salicylic acid derivative | Folic acid synthesis inhibitor |
| Pyrazinamide | Pyrazine derivative | FASI (Fatty acid synthesis inhibitor) |
| Streptomycin | an aminoglycoside antibiotic | blocking the ability of 30S ribosomal subunits to make proteins |

- Q10. A) Write a note on solution phase synthesis in combinatorial chemistry? 4M
 - B) Explain in brief acyclic nucleoside analogs used as antiviral agents 4M
- C) Classify the following cephalosporins based on generation and give their structures and also suggest suitable route for administration: cephalexin, cefuroxime, cefotaxime.

 4M
- Q.11. A. Outline the chemical synthesis of Mebendazole with reagents and reaction conditions
- B. Answer the following with reference to structures given below.

- i. Identify name of both the compounds.
- ii. Which one is more chemically stable and why?
- iii. Which one of two is naturally obtained?

Ans: One mark for example for i. Two for ii and one mark for iii.

C. Discuss mode of action of Artemisinin and draw structures of their oil and water soluble derivatives.

4M

ANS: One mark for structure and 3 for mechanism

Q.12 A. Match the following.

4M

| Biological source of the drug | Chemical moiety of the related drug | MOA of related drug |
|-------------------------------|-------------------------------------|--|
| Streptomyces capreolus | a. isoxazolidone | A. Inhibition of protein synthesis by binding to 30s ribosomal subunit |
| 2. Streptomyces orchidaceus | b. Cyclic polypeptide | B. Inhibition of RNA synthesis |
| 3. Streptomyces griseus | c. aminoclycoside | C. Inhibition of cell wall synthesis |
| 4. Streptomyces mediterrani | d. Ansamysin | D. Inhibition of protein synthesis by binding to 70s ribosomal subunit |

ANS: 1.- D., b. 2.- a. - C 3.-c. A. 4.d. -B

Q.12 B. What is solid phase peptide synthesis? Discuss in brief different types of resins used in solid phase peptide synthesis.

C. Define Prodrug. Differentiate between bipartite and tripartite prodrugs. Give one example with structure for each.

ANS: One mark for definition and 2 for comparison and one mark for structure.

Q. 13 A. Match the following pairs

| A | В | С |
|--------------|-------------------------|------------------------------|
| Tolnaftate | Imidazole | 14α- demethylase inhibitor |
| Natamycin | Thioester of β-naphthol | Microtubule inhibitor |
| Butoconazole | Spiro benzofuran | Squalene epoxidase inhibitor |
| Griseofulvin | α,β-unsaturated lactone | Fungal membrane disrupter |

Ans:

| A | В | С |
|--------------|-------------------------|------------------------------|
| Tolnaftate | Thioester of β-naphthol | Squalene epoxidase inhibitor |
| Natamycin | α,β-unsaturated lactone | Fungal membrane disrupter |
| Butoconazole | Imidazole | 14α- demethylase inhibitor |
| Griseofulvin | Spiro benzofuran | Microtubule inhibitor |

B. Answer the following

$$\begin{array}{c} H_3C \\ \\ HN \\ \\ NH \\ \end{array} \begin{array}{c} CH_3 \\ \\ NH \\ \end{array} \begin{array}{c} NH \\ \\ Cyclization \\ \\ B \\ \end{array}$$

- i. Write name of drug A
- ii. Draw structure of active metabolite B
- iii. Write name of the metabolizing enzyme
- iv. Suggest suitable combination therapy for drug A.

Ans: one mark each

C. Outline the chemical synthesis of **Acyclovir** with reagents and reaction conditions.

4M

2M

2M

4M

Q. 14 A. Answer the following.

i. Write the name and structure of the naphthyridine containing antibacterial agent.

Ans: One mark for example and structure

ii. Write the name and structure of the active fluroquinolone compound when ring condensation occurs at 1 and 8

Ans: One mark for example and structure

- B. Give equations for the following and explain the term.
 - i. Hydrophobicity constant
 - ii. Taft's steric factor
 - iii. Hammett substituent constant
 - iv. Linear Hansch model

Ans: one mark each

C. With reference to lead given below, Identify the generic name of the drug and its duration of action if R is replaced by following heterocyclic substituent's.

$$H_2N$$
 S
 NH
 R

| R | Generic name of compound | Long/Intermediate/short acting |
|----------------------|--------------------------|--------------------------------|
| H ₃ C N | | |
| H ₃ C | | |
| N N | | |
| H ₃ C N O | | |

Q.15. A. Identify the given drug, comment on its mode of action and suggest its suitable combination therapy

4M

| B. What are aminoglycosides? Explain structural features for the same. | | |
|--|----|--|
| C. Discuss mechanism of action of antiviral nucleoside antimetabolites with suitable | | |
| example. | 4M | |