TEACHING PLAN: MEDICINAL CHEMISTRY -III

SCHOOL: (SOP) SCHOOL OF PHARMACY		**ACADEMIC SESSION: 2023-24**		**FOR STUDENTS' BATCH: 2023-24**	
1	**Course No.**	BP601T			
2	**Course Title**	MEDICINAL CHEMISTRY -III			
3	**Credits**	**4**			
4	**Learning Hours**		**Contact Hours**	**45**	
			Assessment	**10**	
			Guided Study	**20**	

5	**Course Objective**	This subject is designed to impart fundamental knowledge on the structure, chemistry and therapeutic value of drugs. The subject emphasis on modern techniques of rational drug design like quantitative structure activity relationship (QSAR), Prodrug concept, combinatorial chemistry and Computer aided drug design (CADD). The subject also emphasizes on the chemistry, mechanism of action, metabolism, adverse effects, Structure Activity Relationships (SAR), therapeutic uses and synthesis of important drugs..
6	**Course Outcomes**	Upon completion of the course the student shall be able to 1. understand the chemistry of drugs with respect to their pharmacological activity 2. understand the drug metabolic pathways, adverse effect and therapeutic value of drugs 3. know the Structural Activity Relationship (SAR) of different class of drugs 4. write the chemical synthesis of some drugs
7	**Outline syllabus:**	

7.01	**Paper Code**	**Unit**	**Introduction**	**Page Numbers[2]**	**Lectures**
7.02	**Paper Code. Unit I**	(a)	Antibiotics Historical background, Nomenclature, Stereochemistry, Structure activity relationship, Chemical degradation classification and important products of the following classes. β-Lactam antibiotics: Penicillin, Cepholosporins, β- Lactamase inhibitors, Monobactams	7-9	1
		(b)	Aminoglycosides: Streptomycin, Neomycin, Kanamycin	12-18	1
		(c)	Tetracyclines: Tetracycline,Oxytetracycline, Chlortetracycline, Minocycline, Doxycycline	18-21	4

7.03	**Paper Code. Unit II**	(a)	Antibiotics Historical background, Nomenclature, Stereochemistry, Structure activity relationship, Chemical degradation classification and important products of the following classes. Macrolide: Erythromycin Clarithromycin, Azithromycin. Miscellaneous:Chloramphenicol*, Clindamycin.	38-42	3
		(b)	Prodrugs: Basic concepts and application of prodrugs design.	39-43	3

			Antimalarials: Etiology of malaria. Quinolines: SAR, Quinine sulphate, Chloroquine*, Amodiaquine, Primaquine phosphate, Pamaquine*, Quinacrine hydrochloride, Mefloquine. Biguanides and dihydro triazines: Cycloguanil pamoate, Proguanil. Miscellaneous: Pyrimethamine, Artesunete, Artemether, Atovoquone		
7.04	**Paper Code. Unit III**	(a)	Anti-tubercular Agents Synthetic anti tubercular agents: Isoniozid*, Ethionamide, Ethambutol, Pyrazinamide, Para amino salicylic acid.* Anti tubercular antibiotics: Rifampicin, Rifabutin, Cycloserine Streptomycine, Capreomycin sulphate	53-58	4
		(b)	Urinary tract anti-infective agents Quinolones: SAR of quinolones, Nalidixic Acid,Norfloxacin, Enoxacin, Ciprofloxacin*, Ofloxacin, Lomefloxacin, Sparfloxacin, Gatifloxacin, Moxifloxacin Miscellaneous: Furazolidine, Nitrofurantoin*, Methanamine.	61-65	3
		(c)	.Antiviral agents: Amantadine hydrochloride, Rimantadine hydrochloride, Idoxuridine trifluoride, Acyclovir*, Gancyclovir, Zidovudine, Didanosine, Zalcitabine, Lamivudine, Loviride, Delavirding, Ribavirin, Saquinavir, Indinavir, Ritonavir.		3
7.05	**Paper Code. Unit IV**	(a)	Antifungal agents: Antifungal antibiotics: Amphotericin-B, Nystatin, Natamycin, Griseofulvin. Synthetic Antifungal agents: Clotrimazole, Econazole, Butoconazole, Oxiconazole Tioconozole, Miconazole*, Ketoconazole, Terconazole, Itraconazole, Fluconazole, Naftifine hydrochloride, Tolnaftate*	72-75	4
		(b)	Anti-protozoal Agents: Metronidazole*, Tinidazole, Ornidazole, Diloxanide, Iodoquinol, Pentamidine Isethionate, Atovaquone, Eflornithine	88-92	3
		(c)	Sulphonamides and Sulfones Historical development, chemistry, classification and SAR of Sulfonamides: Sulphamethizole, Sulfisoxazole, Sulphamethizine, Sulfacetamide*, Sulphapyridine, Sulfamethoxaole*, Sulphadiazine, Mefenide acetate, Sulfasalazine. Folate reductase	93-95	1

			inhibitors: Trimethoprim*, Cotrimoxazole. Sulfones: Dapsone*		
7.06	**Paper Code. Unit V**	(a)	Introduction to Drug Design Various approaches used in drug design. Physicochemical parameters used in quantitative structure activity relationship (QSAR) such as partition coefficient, Hammet's electronic parameter, Tafts steric parameter and Hansch analysis.	113-117	7
		(b)	Combinatorial Chemistry: Concept and applications chemistry: solid phase and solution phase synthesis		
		(C)	Pharmacophore modeling and docking techniques.		
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8	**Course Evaluation**	
8.1	**CA: 30%**	
8.11	**Attendance**	--
8.12	**Homework**	4 Assignments, 10%
8.13	**Quizzes**	4 Quizzes, 80%
8.14	**Projects**	1 Project, 5%
8.15	**Presentation**	1 Presentation, 5%
8.16	**Any other**	--
8.2	**MTE**	20%
8.3	**End-term examination: 50%**	
9	**Text Books & References**	
9.1	**Text book**	Wilson and Giswold's Organic medicinal and Pharmaceutical Chemistry. 2. Foye's Principles of Medicinal Chemistry. 3. Burger's Medicinal Chemistry, Vol I to IV. 4. Introduction to principles of drug design- Smith and Williams. 5. Remington's Pharmaceutical Sciences. 6. Martindale's extra pharmacopoeia. 93 7. Organic Chemistry by I.L. Finar, Vol. II. 8. The Organic Chemistry of Drug Synthesis by Lednicer, Vol. 1-5. 9. Indian Pharmacopoeia. 10. Text book of practical organic chemistry- A.I.Vogel.

QUESTION BANK

1. Define antibiotics? Classify them with examples. Write a note on beta lactamase inhibitors.

2. Define antimalarial agents and classify them with examples. Give the mechanism of action and outline the synthesis of chloroquine.
3. Define and classify Sulphonamides with examples. Write the SAR and chemistry of sulpha drugs. Write the synthesis of Trimethoprim.
4. What are beta lactum antibiotics? Classify then with structural examples. Write a note on chemistry and degradation of beta lactum antibiotics.
5. Define anthelminthics? Classify them with structural examples. Give the synthesis of diethyl carbamazine citrate and mebendazole.(2+4+4)
6. Define and classify antimalarial agents with examples. Write the mechanism and synthesis of chloroquine.
7. What are beta lactum antibiotics? Give the degradation products of penicillins. Write a note on beta lactamase inhibitors.
8. What are antimalarial drugs? Explain the life cycle of malaria. Write the SAR of, (i). 9-amino quinolines (ii). 7-chloro 4-amino quinolines.
9. Define and classify sulphonamides. Give the SAR and chemistry of sulphonamides. Write the synthesis of sulphamethoxazole.
10. Write the structure and uses of three drugs each from cephalosporins and tetracyclins. Discuss in detail SAR of tetracyclines.
11. Whar are antimalarial agents? Classify them with examples. Give the mechanism of action of quinolines and outline the synthesis of Pamaquine.
12. What are therapeutic agents? Classify sulphonamides will examples. Outline the synthesis of Trimethoprim and Sulphamethoxazole.
13. Define antimalarials? Give the mechanism of action and SAR of quinolines and its analogues. Give the synthesis of Chloroquine.
14. What are anthelmintics? Classify with suitable examples. Outline the synthesis and mechanism of action of Mebendazole.
15. What are beta lactamase antibiotics? Give the two degradation products of penicillins and cephalosporins. Write a note on beta lactamase inhibitors.
16. Write four structures of cephalosporin class antibiotics. Write a note on beta lactamase inhibitors and SAR of tetracyclines.
17. What are antimalarial drugs? Write the malarial life cycle and different drugs acting on the different stages. Write the SAR of quinolines.
18. Classify sulphonamides with suitable examples. Explain the SAR among antibacterial sulphonamides. Give the synthesis of Sulphacetamide and Sulfamethoxazole.
19. What are antifungal agents? Describe in detail about polyene antifungal agents.
20. Classify antimalarial agents. Describe the life cycle of malaria.
21. What are antibiotics? Classify with examples. Discuss the SAR & MOA of tetracyclines.
22. Classify antimalarial agents with suitable examples. Outline the synthesis of chloroquine.
23. Discuss in detail about polyene antibiotic antifungal agents. Write the synthesis of sulfamethoxazole.
24. Define antibiotics? Classify them with xamples? Discuss the chemistry and mechanism of action of amino glycoside antibiotics.
25. What are antibiotics? Classify them with examples. Write a note on lactamase inhibitors.
26. What are antimalarial agents? Classify them with examples. Give the mechanism of action and outline the synthesis of Pamaquine.
27. Define and classify Sulphonamides with examples. Write the SAR and chemistry of sulpha drugs.
28. What are beta lactamase antibiotics? Give the degradation products of penicillins. Outline the chemistry and synthesis of Chloramphenicol.
29. A) What are antimalarial drugs?explain the life cycle of malaria.

B) Outline the synthesis of Pamaquine and Chloroquine.

30. What are sulphonamides? Classify them with and comment on combination therapyof Trimethoprim and Sulphamethoxazole.

1. Write the SAR of tetracyclines.
2. What are aminoglycosides? Write the mechanism and chemistry of aminoglycoside antibiotics.
3. Discuss chemistry of macrolide antibiotics. Give their uses and side effects.
4. Write a note on urinary tract anti-infective agents.
5. What are first line anti-tubercular drugs? Write the structure of any two anti-tubercular drugs. Give the synthesis of para-amino salicylic acid.
6. What are anti-viral drugs? Classify them with suitable examples.
7. Add a note on synthetic anti-fungal agents. Give the synthesis of Tolnaftate.
8. Define and classify anthelmintics. Write the synthesis of Diethyl carbamazine citrate.
9. Write a note on combinatorial chemistry and its applications.
10. Write the SAR of aminoglycoside antibiotics.
11. What are tetracyclines? Write the mechanism of action and chemistry of tetracyclines.
12. Discuss the chemistry and mechanism of action of macrolide antibiotics.
13. Write the chemistry and synthesis of Chloramphenicol.
14. Define and classify anti-tubercular agents with examples. Give the synthesis of isoniazid.
15. What are anti-viral drugs, classify them with suitable examples.
16. Add a note on synthetic antifungal agents. Give the synthesis of Tolnaftate.
17. Define and classify protozoal agents with structural examples. Write the mechanism and synthesis of Metronidazole.
18. Write a note on QSAR and its significance.
19. Discuss the chemistry and mechanism of action of aminoglycoside antibiotics.
20. Discuss the stability and SAR of tetracyclines.
21. Describe the chemistry and synthesis of Chloramphenicol.
22. Write a note on macrolide antibiotics.
23. Enlist various antitubercular drugs. Write the synthesis of INH.
24. Give the synthesis, mechanism of action and uses of Nitrofurantoin.
25. Name any four antiamoebic drugs. Give the synthesis of Metronidazole.
26. What are anti-fungal antibiotics? Explain their mechanism of action.
27. Write a note on combinational chemistry and its applications.
28. Write a note on beta lactamase inhibitors.
29. What are aminoglycosides? Write the mechanism of action and chemistry of aminoglycosides antibiotics.
30. Write the SAR of 7-chloro 4-amino quinolines.
31. Write the chemistry and mechanism of action of Erythromycin.
32. What are first line anti-tubercular drugs? Write the structure of ant two anti-tubercular drugs. Give the synthesis of para amino salicylic acid.
33. What are anti-viral agents? Classify them with suitable examples.
34. Add a note on synthetic anti-fungal agents. Give the synthesis of Tolnaftate.
35. Define and classify anthelmintics. Write the synthesis of Diethyl carbamazine citrate.
36. Explain the modern concept of rational drug design.
37. Write the SAR and MOA of tetracyclines.
38. Explain with examples quinolines as urinary tract anti-infective agents.
39. Write a note on anti-fungal antibiotics.
40. Classify anti-viral agents. Give the synthesis of Acyclovir.
41. Write a note on prodrug concept and combinational chemistry in drug discovery.
42. What are Sulphonamides? Explain their SAR.
43. Discuss the stability of tetracyclines.
44. Write the synthesis and MOA of Chloramphenicol.
45. Write a note on macrolide antibiotics.

46. Write the synthesis of Chloroquine and Pamaquine.
47. What are aminoglycosides? Write the MOA and chemistry of aminoglycoside antibiotics.
48. Write a note on anti-tubercular antibiotics.
49. Write a note on prodrug designing.
50. Classify anti-fungal agents. Give the MOA and synthesis of Tolnaftate.
51. Classify penicillins with examples. Give their mechanism of action.
52. Write the chemistry and MOA of Erythromycin.
53. Classify anthelmintics. Write the synthesis of Diethyl carbamazine and Mebendazole.
54. Define and classify urinary tract anti-infectives and give the synthesis of Ciprofloxacin.
55. Discuss about beta lactamase inhibitors.
56. Give the examples of substituted imidazole's as antifungal agents. Give the synthesis of Miconazole.
57. Write the methods and applications of combinational chemistry.
58. Outline the synthesis of chloroquine. Discuss about SAR of quinolines.
59. Discuss about miscellaneous anti-malarial agents.
60. Write a note on urinary tract anti-infectives. Outline the synthesis of Ciprofloxacin.
61. Add a note on folate reductase inhibitors. Explain the synergetic action of Sulphamethoxazole and Trimethoprim.
62. What are anti TB drugs? Enlist the problems associated with the treatment. Give the structure of para amino salicylic acid and INH.
63. Write the degradation of penicillin.
64. Comment on combination therapy of trimethoprim and sulphamethoxazole.
65. Discuss the chemistry of quinolines. Write the synthesis of nitrofurantoin.
66. Explain the different parameters used in QSAR study.
67. Write the degradation products of penicillin.
68. Explain the life cycle of malaria. Write the different drugs acting on various stages.
69. Write the synthesis of pamaquine and chloramphenicol.
70. Write the structure and uses of the following:A) Rifampicin B) Sparfloxacin C) Getifloxacin D)Gancyclovir.
71. Classify the cephalosporins based on generation.
72. Write the degradation products of penicillins.
73. Write the SAR of tetracyclines.
74. What are aminoglycosides antibiotics? Write the MOA and chemistry of aminoglycoside antibiotics.
75. Discuss chemistry of macrolide antibiotics. Give their uses and side effects.
76. Define and classify urinary tract anti-infective agents with structural examples.
77. What are first line anti-tubercular drugs? Write the structure of any two anti-tubercular drugs. Give the synthesis of para amino salicylic acid.
78. Add a note on synthetic antifungal agents. Give the synthesis of Tolnaftate.
79. Define and classify anthelmintics. Write the synthesis of Mebendazole.
80. Write a note on combinational chemistry and its applications.
81. Write a short note on aminoglycoside antibiotics.
82. Add a note on beta lactamase inhibitors.
83. Write the SAR of 4-amino quinolines as anti-malarials.
84. Give the chemistry and mechanism of macrolide antibiotics.
85. Write the synthesis of ant two anti-tubercular drugs.
86. Write a note on urinary tract anti-infectives. Outline the synthesis of Ciprofloxacin.
87. Name any four antiamoebic drugs. Give the synthesis of Metronidazole.
88. Define and classify anti-fungal agents with structural examples.
89. Explain modern concept of rational drug design.

SHORT ANSWERS (2 marks):

1. Write the structure and uses of Cephalexin.
2. Write the structure and uses of Chloramphenicol.
3. Give the synthesis of Isoniazid.
4. Give the structure and uses of Ciprofloxacin and Nitrofurantoin.
5. List out important anti-viral agents. Give the structure and uses of any one anti-viral drugs.
6. Write the structure of any two anti-tubercular antibiotics.
7. Write the structure and uses of Miconazole.
8. What are antiprotozoal agents? Give the structure and uses of Iodoquinol.
9. Give the synthesis of Dapsone.
10. What is lad molecule? How are they useful in drug discovery?
11. Write the structure and uses of Cephaloridine.
12. Write the structure and uses of Cindamycin.
13. Give the synthesis of Pamoquine.
14. Give the structure and uses of Ciprofloxacin and Nitrofurantoin.
15. List out important antifungal antibiotics. Give the structure and uses of any one anti-fungal antibiotic drug.
16. Write the synthesis of para amino salicylic aid.
17. Write the structure and uses of Miconazole.
18. What are antiprotozoal agents? Give the structure and uses of Iodoquinol.
19. Give the structure and uses of folate reductase inhibitors.
20. What is Hammet's electronic parameter? How is it useful in drug discovery?
21. Write the structure and uses of Cephalexin.
22. Write the structure and uses of Proguanil.
23. Write the structure and uses of furazolidone.
24. List out important anti-viral agents. Give the structure and uses of any one anti-viral drug.
25. Write the synthesis of PAS.
26. Enlist the problems associated with the treatment of TB.
27. Write briefly on anti-fungal imidazoles.
28. Write the structure and uses of Mebendazole.
29. Explain the synergistic action of Sulphamethoxazole and Trimethoprim.
30. Enlist the parameters of QSAR.
31. Write the structure and uses of Ampicillin.
32. Write the structure and uses of Chloramphenicol.
33. Give the synthesis of Isoniazid.
34. Outline the synthesis of Nitrofurantoin.
35. Give the structure and uses of any one anti-viral drug.
36. Define anti-tubercular agents? Name the causative organism for tuberculosis.
37. List out antifungal agents having imidazole nucleus.
38. What are anti-protozoal agents? Give the structure and uses of Ornidazole.
39. Give the synthesis of Mebendazole.
40. Mention the electronic parameters used in QSAR.
41. What are monolactam antibiotics and give examples.
42. Write the structure and uses of Ethambutol and Pyrazinamide.
43. Write the structure and uses of Zidovudine.
44. Write the structure and uses of Clindamycin.
45. List out important anti-fungal agents. Give the structure and uses of any one antifungal drug.
46. Give the synthesis of Dapsone.
47. What are anti-protozoal agents? Give the structure of Iodoquinol.
48. What are urinary tract anti-infective agents? Write the structure and uses of Sparfloxacin.
49. Write the synthesis of Isoniazid.
50. Define and classify prodrugs.
51. Write the structure and uses of Oxytetracycline and Doxycycline.
52. Write the structure and uses of Clindamycin and Proguanil.

53. Give the synthesis of Trimethoprim.
54. Give the structure and uses of Amantadine and Zidovudine.
55. What are antiamoebic agents? Write the structure and uses of Tinidazole.
56. Give the synthesis of Nitrofurantoin.
57. Write the structure and uses of Sulfaisoxazole and Mefenide acetate.
58. Write the structure and uses of Diloxanide furoate and Iodoquinol.
59. What are causative organisms for TB.
60. Write the synthesis of para amino salicylic acid.
61. Write the structure and uses of Sparfloxacin.
62. What are anthelmintics? Give examples.
63. Name any two antibiotics used as anti-tubercular drugs.
64. Give the synthesis of Sulphamethoxazole.
65. Write the structure and uses of Carbasone.
66. Mention the steric parameters used in QSAR.
67. Write the structure and uses of Streptomycin.
68. Write the structure and uses of Clindamycin.
69. Write the synthesis of Metronodazole.
70. Write the synthesis of Nitrofurantoin.
71. Write the structure and uses of Nalidixic acid.
72. Outline the synthesis of Dapsone.
73. Synthesis and uses of Thiabendazole.
74. Name any two sulfonamides used in treatment of Burn therapy.
75. What are structure and uses of chlorguanide.
76. Write the structure and uses of any two 8-amino quinolines.
77. Structure and uses of Nystatin.
78. Applications of drug design.
79. Write the structure and uses of Diethyl carbamazine.
80. Write the chemical structure and uses of macrolide antibiotic.
81. Write the structure and uses of Cephalexin.
82. Write the structure and uses of Chloramphenicol.
83. Give the synthesis of Isoniazid.
84. Give the structure and uses of Ciprofloxacin and Nitrofurantoin.
85. List out important antiviral agents. Give the structure and uses of any one antiviral drug.
86. Write the structure of ant two anti-tubercular antibiotics.
87. Write the structure and uses of Miconazole.
88. What are anti-protozoal agents? Give the structure and uses of Iodoquinol.
89. Give the synthesis of Diethyl carbamazine citrate.
90. What is lead molecule? How are they useful in drug discovery?
91. Give the MOA of Tetracyclines.
92. Give the structure and uses of Clindamycin.
93. Give the synthesis of Acyclovir.
94. Outline the synthesis of Nitrofurantoin.
95. Write the structure and uses of Nalidixic acid.
96. Write the structure and uses of Zidovudine.
97. Give the synthesis of Diethyl carbamazine citrate.
98. Write a note on crystalluria.
99. Outline the synthesis of Dapsone.
100. Define prodrug. Give examples.

			Total hours	**75**