**Semester –VI**

**Pharmaceutical Chemistry – II 3 Hrs/week**

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| Sr. No. | Topic | Hours | **MARKS** |
| 1 | Pharmacodynamics |  |  |
| 1.1 | Drug Targets at Molecular Level –  Cell Structure  Lipids, Carbohydrates, Proteins and Nucleic Acids as drug targets | 2 | **3** |
| 1.2 | Intermolecular Bonding Forces like Electrostatic, Hydrogen Bonding, van der Waal’s Interactions, Dipole-dipole and Ion-dipole Interactions and Hydrophobic Interactions | 3 | **5** |
| 2 | Proteins as Drug Targets |  |  |
| 2.1 | Primary, Secondary, Tertiary and Quarternary structure of proteins and Post translational Modifications (Self Study) | 1 | **2** |
| 2.2 | Proteins as Drug Targets / Drugs  Monoclonal Antibodies, Peptides  Introduction to Proteomics | 2 | **3** |
| 2.3 | Enzymes as Drug targets |  |  |
| 2.3.1 | Enzyme Inhibitors – Reversible and Irreversible (Self Study) | 1 | **1** |
| 2.3.2 | Enzyme Inhibitors against microorganisms, viruses, body’s own enzymes | 1 | **1** |
| 2.4 | Receptors as Drug Targets |  |  |
| 2.4.1 | Types of Receptors and signal transduction - Ion Channels, G-Protein Coupled Receptor (GPCR), Kinases, Nuclear Receptors | 3 | **5** |
| 2.4.2 | Concept of Agonist, Antagonist, Partial agonist, Inverse agonist, Concept of desensitization/sensitization, Tolerance, Affinity, Efficacy, Potency (Self Study) | 1 | **2** |
| 3 | Nucleic Acids as Drug target |  |  |
| 3.1 | Primary, Secondary and Tertiary Structure of DNA (Self Study) | 1 | **1** |
| 3.2 | DNA Intercalation, DNA Alkylation, Antisense Therapy | 1 | **1** |
| 4 | Pharmacokinetics and Physicochemical Properties of Drug Action |  |  |
| 4.1 | Solubility, Partition Coefficient, Acidity-Basicity, pKa, Bioisosterism, Stereochemistry (geometrical, optical and conformational), Protein Binding | 2 | **3** |
| 4.2 | Drug Metabolism – Phase I and Phase II Reactions | 4 | **6** |
| 5 | Tools of the Trade (Structure Activity Relationship – SAR)  Introduction to the concepts of SAR –A Case Study | 1 | **2** |
| Discussion on the following classes of drugs including receptor structure, classification, chemical nomenclature, structure including stereochemistry, generic names, chemistry, SAR, metabolism, molecular mechanism of action, introduction to rational development, drug resistance, if any, of following classes of drugs | | |  |
| 6 | Antiinfective Agents |  |  |
| 6.1 | Antibiotics  Penicillins (natural and semisynthetic penicillins like Penicillins G, Penicillins V, ampicillin\*, amoxicillin, cloxacillin\*, oxacillin, naficillin, methicillin and ampicillin prodrugs like bacampicillin and hetacillin)  -lactamase inhibitors like clavulinic acid, (self study – tazobactam)  Cephalosporins (cephalexin, cefadroxil, cefazolin, cefamandole, cefoxitin, cefuroxime, cefotaxime, ceftriaxone, cefpodoximeproxetil)  Tetracyclines (tetracycline, chlortetracycline, oxytetracycline, doxycycline, and minocycline and its prodrug – rolitetracycline); Macrolides, (erythromycin, roxithromycin, azithromycin - only highlights of structure to be discussed);  Aminoglycosides (gentamicins, and neomycins, - only highlights of structure to be discussed)  Carbapenems (Emepenem, Meropenem)  Monobactams (Aztreonam, Tigemonam)  Chloramphenicol, Linezolid,  Only highlights of structures of Vancomycin, Bacitracin, Polymyxin B | 7 | **11** |
| 6.2 | Sulfonamides (Self study)  Short, intermediate and long acting sulfonamides, sulfonamides for ophthalmic infections, ulcerative colitis and for reduction of bowel flora.  Sulfamethoxazole, sulfadiazine\*, sulisoxazole, sulfacetamide, sulfasalazine. | 1 | **2** |
| 6.3 | Fluoroquinolones  Norfloxacin, ciprofloxacin\*, sparfloxacin, gatifloxacin, levofloxacin, lomefloxacin | 2 | **3** |
| 7 | Antiparasitic Agents |  |  |
| 7.1 | Antimalarial Agents  Natural products like cinchona alkaloids (with stereochemistry and drug action) and artemisinin and its derivatives like artether, artemether and artesunate, Synthetic antimalarials such as 8- aminoquinolines e.g. primaquine\*, 4- aminoquinilines e.g. chloroquine\*, Quinolinemethanols e.g. mefloquine; misc like halofantrine, lumefantrine and; DHFR inhibitors like pyrimethamine\* and proguanil, cycloguanil, atovaquone, sulfadoxine  Combination therapy. | 3 | **6** |
| 7.2 | Drugs for treatment of amoebiasis, giardiasis and trichomoniasis (Self Study)  Metronidazole\*, tinidazole, secnidazole, diloxanidefuroate\*, nitazoxanide | 1 | **1** |
| 7.3 | Anthelmintics  Albendazole, Mebendazole\*, Thiabendazole, Diethylcarbamazine, Ivermectin, Praziquantel, PyrantelPamoate | 1 | **1** |
| 7.4 | Drugs for the treatment of pneumocystis, trypanosomiasis, leishmaniasis(Self Study)  Atovaquone, pentamindine, co-trimoxazole, trimetrexate, benznidazole, eflornithine, melarsoprol, suramin, nifurtimox, sodium stibogluconate, miltefosine) | 1 | **1** |
| 8 | Antimycobacterial Agents  Antitubercular drugs  PAS\*, ethionamide, isoniazid, pyrazinamide, ethambutol\*, antitubercular antibiotics (streptomycin, rifampin, rifapentine, capreomycin, cylcoserine – the first four only highlights of structure to be discussed), fluoroquinolones, bedaquiline.  Antileprotic drugs.  Dapsone\*, clofazimine, rifampin.  Combination therapy | 3 | **5** |
| 9 | Antifungal Agents  Natural products like griseofulvin , amphotericin B and nystatin (later two only general aspects of structure related to activity )  Antifungal azoles like clotrimazole\*, ketoconazole, fluconazole, and itraconazole  Allyl amines like naftifine, and terbinafine,  Flucytosine  Miconazole, econazole, flutrimazole, sulconazole,sertaconazole, voriconazole, butenafine and tolnaftate | 2  1 | **5** |
|  |  | 45 | **70** |

\*Synthesis to be taught

Latest Editions of the following books to be adopted.

1. An Introduction to Medicinal Chemistry, Graham L. Patrick, Oxford University Press.
2. Fundamentals of Medicinal Chemistry, Gareth Thomas, Wiley, New York.
3. The Organic Chemistry of Drug Design and Drug Action, Richard B.Silverman, Academic Press.
4. Foye’s Principles of Medicinal Chemistry, Thomas L. Lemke, David A Williams, Lippincott Williams & Wilkins.
5. Wilson and Gisvold’s Textbook of Organic Medicinal and Pharmaceutical Chemistry, John M. Beale, John H. Block, Lippincott Williams & Wilkins.
6. Medicinal Chemistry, AshutoshKar, New Age International Publishers.
7. Introduction to Medicinal Chemistry, Alex Gringauz, Wiley.

QUESTION PAPER FORMAT  
Q1 (15 marks)

1. Drug target 1.1 (1) [3-1=2]

2. Intermol forces 1.2 (1) [5-1=4]

3. Protein structure 2.1 (1) [2-1=1]

4.Protein structure2.1 (1) [1-1=0]

5.Protein target 2.2 (1) [3-1=2]

6.Enzyme inhib2.3.1 (1) [1-1=0]

7.Enzymeinhib 2.3.2 (1) [1-1=0]

8. Types receptor 2.4.1 (1) [5-1=4]

9. DNA struct 3.1 (1) [1-1=0]

10.DNA target 3.2 (1) [1-1=0]

11.Solubility etc 4.1 (1) [3-1=2]

12.13. drug metabolism 4.2 (2) [6-2=4]

14,15 tools of trade 5 (2) [2-2=0]

Q2 (11)

A (4) short not/explain/define/etc on 1.2 intermolecular forces

B (3) Antibiotics

C (2) protein as targets (2) Sulfonamides(2)

Q3 (11)

A (4) short note/explain/define/etcreceptors and signal transduction

B (3)synthesis/Question: Antimalarials

C (2) concept of agonist (2) fluoroquinolones

Q4 (11)

A (4) drug metabolism

B(3) synthesis/Question Antibiotics

C(2) solubiliy,Pka,bioisosterismetc (1)fluoroquinolone (1) drugs for pneumocystis etc

Q5 (11)

A(3) short note/explain/define/etcantibiotics

B (3)synthesis/antimycobacterials

C(3) short note/explain/define/etcantimalarials

D (2)amoebiasis/anthemintics

Q6(11)

A(3) synthesis antifungals

B(2) antifungal (2) antimycobactrial

C(2)tools of the trades SAR (2) antibiotics