Marwadi University
Faculty of Pharmacy

Subject code: 13PH0601
Subject name: Medicinal chemistry-III

Scope: 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 the chemistry, mechanism of action, metabolism, adverse effects, Structure-Activity Relationships (SAR), therapeutic uses, and synthesis of important drugs.

Objective: Upon completion of the course the student shall be able to:
1. Understand the importance of drug design and different techniques of drug design.
2. Understand the chemistry of drugs with respect to their biological activity.
3. Know the metabolism, adverse effects and therapeutic value of drugs.
4. Know the importance of SAR of drugs.

Teaching and assessment scheme:

Teaching Scheme (Hours)			Credits	Theory/ Tutorial Marks			Practical Marks		Total Marks
Theory	Tutorial	Practical		CSE	IA (I)	ESE (E)	TW	Viva (V)	
3	1	4	6	10	15	75	15	35	150

Theory syllabus: **Teaching hours: 45 Hours**

Unit-1 **10 Hours**

Antibiotics: Historical background, Nomenclature, Stereochemistry, Structure-activity relationship, Chemical degradation classification, and important products of the following classes.
Beta-Lactam antibiotics: Penicillin, Cephalosporins, Beta-Lactamase inhibitors, Monobactams.
Aminoglycosides: Streptomycin, Neomycin, Kanamycin.
Tetracyclines: Tetracycline,Oxytetracycline, Chlortetracycline, Minocycline, Doxycycline.

Unit-2 **10 Hours**

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 Prodrugs: Basic concepts and application of prodrugs design. Antimalarials: Etiology of malaria. Quinolines: SAR, Quinine sulfate, Chloroquine*, Amodiaquine, Primaquine phosphate, Pamaquine*, Quinacrine hydrochloride, Mefloquine. Biguanides and dihydro triazines: Cycloguanil pamoate, Proguanil. Miscellaneous: Pyrimethamine, Artesunate, Artemether, Atovaquone.

Unit-3 **10 Hours**

Synthetic anti-tubercular agents: Isoniazid*, Ethionamide, Ethambutol, Pyrazinamide, Para aminosalicylic acid.* Anti-tubercular antibiotics: Rifampicin, Rifabutin, Cycloserine Streptomycin, Capreomycin sulfate Urinary tract anti-infective agents Quinolones: SAR of quinolones, Nalidixic Acid, Norfloxacin, Enoxacin, Ciprofloxacin*, Ofloxacin, Lomefloxacin, Sparfloxacin, Gatifloxacin, Moxifloxacin Miscellaneous: Furazolidone, Nitrofurantoin*, Methanamine Antiviral agents: Amantadine hydrochloride, Rimantadine hydrochloride,

Idoxuridine trifluoride, Acyclovir*, Gancyclovir, Zidovudine, Didanosine, Zalcitabine, Lamivudine, Loviride, Delavirding, Ribavirin, Saquinavir, Indinavir, Ritonavir.

Unit-4 — 8 Hours

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*. Anti-protozoal Agents: Metronidazole*, Tinidazole, Ornidazole, Diloxanide, Iodoquinol, Pentamidine Isethionate, Atovaquone, Eflornithine. Anthelmintics: Diethylcarbamazine citrate*, Thiabendazole, Mebendazole*, Albendazole, Niclosamide, Oxamniquine, Praziquantel, Ivermectin. Sulphonamides and Sulfones: Historical development, chemistry, classification, and SAR of Sulfonamides: Sulphamethizole, Sulfisoxazole, Sulphamethizine, Sulfacetamide*, Sulphapyridine, Sulfamethoxaole*, Sulphadiazine, Mefenide acetate, Sulfasalazine Folate reductase inhibitors: Trimethoprim*, Cotrimoxazole Sulfones: Dapsone*.

Unit-5 — 7 Hours

Introduction to Drug Design: Various approaches used in drug design. Physicochemical parameters used in a quantitative structure-activity relationship (QSAR) such as partition coefficient, Hammet's electronic parameter, Taft's steric parameter, and Hansch analysis Pharmacophore modeling and docking techniques. Combinatorial Chemistry: Concept and applications of Combinational chemistry solid phase and solution phase synthesis.

Tutorials will be based on the above syllabus. **Teaching hours: 15 Hours**

Practical syllabus: **Teaching hours: 04 Hours/week**

1. Preparation of Sulphanilamide.
2. Preparation of 7-Hydroxy, 4-methyl coumarin.
3. Preparation of Chlorobutanol.
4. Preparation of Triphenyl imidazole.
5. Preparation of Tolbutamide.
6. Preparation of Hexamine.
7. Assay of Isonicotinic acid hydrazide.
8. Assay of Chloroquine.
9. Assay of Metronidazole.
10. Assay of Dapsone.
11. Assay of Chlorpheniramine maleate.
12. Assay of Benzylpenicillin.
13. Preparation of medicinally important compounds or intermediates by microwave irradiation technique.
14. Drawing structures and reactions using chem draw©.
15. Determination of physicochemical properties such as logP, clogP, MR, Molecular weight, Hydrogen bond donors and acceptors for a class of drugs course content using drug design software Drug likeliness screening (Lipinskies RO5).

Recommended References (Latest edition):

1. 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.
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. Textbook of practical organic chemistry- A.I.Vogel.