LJ UNIVERSITY

LJ INSTITUTE OF PHARMACY

SEMESTER: VI

Subject Name: Medicinal Chemistry III
Subject Code: BP603TP

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

Objectives: 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 scheme and examination scheme:

Teaching Scheme				Evaluation Scheme			
				Theory		Practical	
Theory	Tutorial	Practical	Total	External	Internal	External	Internal
3	1	4	8	75	25	35	15

Sr. No.	Course Contents	Hours
1	**Antibiotics** Historical background, Nomenclature, Stereochemistry, Structure activity relationship, Chemical degradation classification and important products of the following classes **β-Lactam antibiotics:** SAR, Penicillin, Cephalosporins, β- Lactamase inhibitors, Monobactams **Aminoglycosides:** SAR, Streptomycin, Neomycin, Kanamycin **Tetracyclines:** SAR, Tetracycline, Oxytetracycline, Chlortetracycline, Minocycline, Doxycycline	10
2	**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 sulphate, Chloroquine*, Amodiaquine, Primaquine phosphate, Pamaquine*, Quinacrine hydrochloride, Mefloquine. **Biguanides and dihydro triazines:** Cycloguanil pamoate, Proguanil. **Miscellaneous:** Pyrimethamine, Artesunate, Artemether, Atovaquone.	10
3	**Anti-tubercular Agents**	10

	Synthetic anti tubercular agents: Isoniazid*, Ethionamide, Ethambutol, Pyrazinamide, Para amino salicylic acid. * **Anti-tubercular antibiotics:** Rifampicin, Rifabutin, Cycloserine Streptomycin, Capreomycin sulphate **Urinary tract anti-infective agents** **Quinolones:** SAR of quinolones, Nalidixic Acid, Norfloxacin, Enoxacin, Ciprofloxacin*, Ofloxacin, Lomefloxacin, Sparfloxacin, Gatifloxacin, Moxifloxacin **Miscellaneous:** Furazolidine, Nitrofurantoin*, Methenamine **Antiviral agents:** Amantadine hydrochloride, Rimantadine hydrochloride, Idoxuridine trifluoride, Acyclovir*, Ganciclovir, Zidovudine, Didanosine, Zalcitabine, Lamivudine, Loviride, Delavirdine, Ribavirin, Saquinavir, Indinavir, Ritonavir	
4	**Antifungal agents:** **Antifungal antibiotics:** Amphotericin-B, Nystatin, Natamycin, Griseofulvin **Synthetic Antifungal agents:** Clotrimazole, Econazole, Butoconazole, Oxiconazole Tioconazole, 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 Sulphonamides: Sulphathiazole, Sulfisoxazole, Sulphamethizine, Sulfacetamide*, Sulphapyridine, Sulfamethoxazole*, Sulphadiazine, Mafenide acetate, Sulfasalazine **Folate reductase inhibitors:** Trimethoprim*, Cotrimoxazole **Sulfones:** Dapsone*.	08
5	**Introduction to Drug Design** Various approaches used in drug design. Physicochemical parameters used in quantitative structure activity relationship (QSAR) such as partition coefficient, Hammett's electronic parameter, Taft's steric parameter and Hansch analysis Pharmacophore modelling and docking techniques. **Combinatorial Chemistry:** Concept and applications of Combinational chemistry: solid phase and solution phase synthesis.	07
Total Hours		45

Study of the development of the following classes of drugs, Classification, mechanism of action, uses of drugs mentioned in the course, Structure activity relationship of selective class of drugs as specified in the course and synthesis of drugs superscripted by (*)

Practical

I Preparation of drugs and intermediates

1. Sulphanilamide
2. 7-Hydroxy, 4-methyl coumarin
3. Chlorobutanol
4. Triphenyl imidazole
5. Tolbutamide
6. Hexamine

II Assay of drugs

1. Isonicotinic acid hydrazide
2. Chloroquine
3. Metronidazole
4. Dapsone
5. Chlorpheniramine maleate
6. Benzyl penicillin

III Preparation of medicinally important compounds or intermediates by Microwave irradiation technique
IV Drawing structures and reactions using chem draw®
V Determination of physicochemical properties such as log P, clog P, MR, Molecular weight, Hydrogen bond donors and acceptors for class of drugs course content using drug design software Drug likeliness screening (Lipinski's RO5)

Recommended Books:

1. Wilson and Griswold'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. Text book of practical organic chemistry- A.I.Vogel.