6th SEMESTER

Course Code	Course Title	Teaching Load			Marks		Exam (hrs)		Credits
		L	T	P	Int.	Ext.	Int.	Ext.	
BP601T	Medicinal Chemistry – III	3	1	-	25	75	1	3	4

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), Pro-drug 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, 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.

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 (*).

Module 01 **10 Hours**

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.

Aminoglycosides

- Streptomycin, Neomycin, Kanamycin.

Tetracyclines

- Tetracycline, Oxytetracycline, Chlortetracycline, Minocycline, Doxycycline.

Module 02 **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.

Pro-drugs

- 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, Artesunete, Artemether, Atovoquone.

Module 03 **10 Hours**

Anti-Tubercular Agents

Synthetic Anti-Tubercular Agents

- Isoniozid*, Ethionamide, Ethambutol, Pyrazinamide, Para amino salicylic acid*.

Anti-Tubercular Antibiotics

- Rifampicin, Rifabutin, Cycloserine Streptomycine, Capreomycin sulphate.

Urinary Tract Anti-Infective Agents

Quinolones

- SAR of quinolones, Nalidixic Acid,Norfloxacin, Enoxacin, Ciprofloxacin*, Ofloxacin, Lomefloxacin, Sparfloxacin, Gatifloxacin, Moxifloxacin.

Miscellaneous

- Furazolidine, Nitrofurantoin*, Methanamine.

Antiviral Agents

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

Module 04 **08 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, Praziquantal, 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*.

Module 05 **07 Hours**

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.
- Pharmacophore modeling and docking techniques.

Combinatorial Chemistry

- Concept and applications of combinatorial chemistry: solid phase and solution phase synthesis.

Recommended Books (Latest Editions)

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. Text book of practical organic chemistry- A.I.Vogel.