18BP066 MEDICINAL CHEMISTRY – III

Hours Per Week :

L	T	P	CP	CL
3	1	4	2	4

Total Hours :

L	T	P
45	1	60

WA/RA	SSH/HSH	CS	SA	S	BS

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.

COURSE OUTCOMES:

Upon completion of the course, the student will be able to achieve the following outcomes:

COs	Course Outcomes	POs	PSOs
1	Understand the importance of drug design and different techniques of drug design	1, 4	1, 2
2	Understand the chemistry of drugs with respect to their biological activity	1, 4	1, 2
3	Know the metabolism ,adverse effects and therapeutic value of drugs	1, 4	1, 2
4	Know the importance of sar of drugs	1, 3, 4	1, 2

COURSE CONTENT: 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 (*)

UNIT – I **10 HOURS**

Anti-biotics : Historical background, Nomenclature, Stereo chemistry, Structure activity relationship, Chemical degradation classification and important products of the following classes.

Lactic anti-biotics: Penicillin, Cepholosporins, â- Lactamase inhibitors, Monobactams.

Aminoglycosides: Streptomycin, Neomycin, Kanamycin.

Tetracyclines: Tetracycline, Oxytetracycline, Chlortetracycline, Minocycline, Doxycycline.

UNIT – II **10 HOURS**

Anti-biotics : 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, Artesunete, Artemether, and Atovoquone.

UNIT – III **10HOURS**

ANTI-TUBERCULAR AGENTS

Synthetic anti tubercular agents: Isoniozid*, Ethionamide, Ethambutol, Pyrazinamide, Para amino salicylic acid.*

Anti-tubercular anti biotics: 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.

UNIT – IV **08HOURS**

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 SulfonesHistorical 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 – V **07HOURS**

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, Taft's steric parameter and Hanschanalysis. Pharmacophore modeling and docking techniques.

Combinatorial Chemistry: Concept and applications chemistry: solid phase and solution phase synthesis.