Contents

Syllabus

BP601T Medicinal Chemistry III (Theory)

Study of the development of the following classes of drugs, classification, mechanism of action, uses of the 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 hrs

ANTIBIOTICS

Historical back ground, Nomenclature, Stereochemistry, Structure activity relationship, Chemical degradation, Classification and Important products of the following classes.

β-Lactam antibiotics: Penicillins, Cephalosporins, *β-Lactamase inhibitors*, Monobactams.

Aminoglycosides: Streptomycin, Neomycin, Kanamycin.

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

Unit II — 10 hrs

ANTIBIOTICS

Historical back ground, Nomenclature, Stereochemistry, Structure activity relationship, Chemical degradation, Classification and Important products of the following classes.

Macrolides: Erythromycin, Clarithromycin, Azithromycin.

Miscellaneous: Chloramphenicol*, Clindamycin.

Prodrugs: Basic concept and applications of prodrug 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.

Unit III — 10 hrs

ANTI-TUBERCULAR AGENTS

Synthetic anti-tubercular Agents: Isoniazid*, Ethionamide, Ethambutol, Pyrazinamide, Para amino salicylic acid*.

URINARY TRACT ANTI-INFECTIVE AGENTS

Quinolones: SAR of quinolones, Nalidixic acid, Norfloxacin, Enoxacin, Ciprofloxacin*, Ofloxacin, Lomefloxacin, Sparfloxacin, Gatifloxacin, Moxifloxacin.

Miscellaneous: Furazolidone, Nitrofurantoin*, Methenamine.

ANTIVIRAL AGENTS

Amantadine hydrochloride, Rimantadine hydrochloride, Idoxuridine, Trifluridine, Acyclovir*, Ganciclovir, Zidovudine, Didanosine, Zalcitabine, Lamivudine, Loviride, Delavirdine, Ribavirin, Saquinavir, Indinavir, Ritonavir.

Unit IV **08 hrs**

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*.

Antiprotozoal Agents: Metronidazole*, Tinidazole, Ornidazole, Diloxanide, Iodoquinol, Pentamidine isethionate, Atovaquone, Eflornithine.

Anthelmintics: Diethylcarbamazine citrate*, Thiabendazole, Mebendazole*, Albendazole, Niclosamide, Oxamniquine, Praziquantel, Ivermectin.

SULFONAMIDES AND SULFONES

Historical development, Chemistry, Classification and SAR of sulfonamides:

Sulfamethizole, Sulfisoxazole, Sulfamethazine, Sulfacetamide*, Sulfapyridine, Sulfamethoxazole*, Sulfadiazine, Mafenide acetate, Sulfasalazine.

Folate reductase **Inhibitors:** Trimethoprim*, Cotrimoxazole.

Sulfones: Dapsone*.

Unit V **07 hrs**

INTRODUCTION TO DRUG DESIGN

Various approaches used in drug design.

Physicochemical parameters used in quantitative structure activity relationship (QSAR) such as Partition coefficient, Hammett electronic parameter, Taft steric parameter and Hansch analysis.

Pharmacophore modeling and docking techniques.

Combinatorial Chemistry: Concept and applications of combinatorial chemistry: Solid phase and solution phase synthesis.