

MEDICINAL CHEMISTRY – III (Theory)

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

Antibiotics

Historical background, Nomenclature, Stereochemistry, Structure activity relationship, Chemical degradation classification and important products of the following classes.

- **β-Lactam antibiotics:** Penicillin, Cephalosporins, β- Lactamase inhibitors, Monobactams
- **Aminoglycosides:** Streptomycin, Neomycin, Kanamycin
- **Tetracyclines:** Tetracycline, Oxytetracycline, Chlortetracycline, Minocycline, Doxycycline

UNIT – II

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 sulphate, Chloroquine*, Amodiaquine, Primaquine phosphate, Pamaquine*, Quinacrine hydrochloride, Mefloquine.
- Biguanides and dihydro triazines:** Cycloguanil pamoate, Proguanil.
- Miscellaneous:** Pyrimethamine, Artesunate, Artemether, Atovaquone.

UNIT – III

10 Hours

Anti-tubercular Agents

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*, Methanamine.

Antiviral agents:

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

UNIT – IV**08 Hours****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 Sulfonamides: Sulphamethizole, Sulfoxazole, Sulphamethazine, Sulfacetamide*, Sulphapyridine, Sulfamethoxazole*, Sulphadiazine, Mefenide acetate, Sulfasalazine.

Folate reductase inhibitors: Trimethoprim*, Cotrimoxazole.

Sulfones: Dapsone*.

UNIT – V**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, Hammett's electronic parameter, Taft's 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.