

Elective Paper IV (Group B)
Medicinal Chemistry

60 Hrs.

I Drug Design

15 hrs

Development of new drugs, procedures followed in drug design, concept of lead Compound and lead modification, concepts of prodrugs and soft drugs, structure-activity relationship (SAR) factors affecting bioactivity, resonance, inductive effect, isosterism, bio-isosterism, spatial, consideration. Theories of drugs activity; occupancy theory, rate theory, induced fit theory. Quantitative structure activity relationship. History and development of QSAR. Concepts of drugs receptors. Elementary treatment of drug receptors. Elementary treatment of drug receptor interactions. Physico-chemical parameters; lipophilicity, partition coefficient, electronic ionization constants, steric, Stereoelectronic surface activity parameter and redox potentials. Free-Wilson analysis, relationship between free-Wilson and Hansch analysis. LD-50, ED-50 (Mathematical derivations of equations excluded).

II Pharmacokinetics

5 hrs

Introduction to drug absorption, disposition, elimination using pharmacokinetics important pharmacokinetic parameters in defining drug disposition and in therapeutics. Mention of uses of pharmacokinetics in drug development process

III Pharmacodynamics

5 hrs

Introduction elementary treatment of enzyme stimulation, enzyme inhibition, sulphonamides, members active drugs, drug metabolism xenobiotics, biotransformation significance of drug medicinal chemistry.

IV Antineoplastic Agents

5 hrs

Introduction, cancer chemotherapy, special problems, role of alkylating agents and antimetabolites in treatment of cancer. Mention of carcinolytic antibiotics and mitotic inhibitors. Synthesis of mechlorethamine, cyclophosphamide, melphalan, uracil, mustards, and 6-mercaptopurine. Recent development in cancer chemotherapy. Hormone and natural products.

V Cardiovascular Drugs

5 hrs

Introduction, cardiovascular diseases, drug inhibitors of peripheral sympathetic function, central intervention of cardiovascular output. Direct acting arteriolar dilators. Synthesis of amyl nitrate, sorbitrate, diltiazem, quinidine, verapamil, methyldopa, atenolol, oxyprenolol

VI Local Antiinfective Drugs

10 hrs

Introduction and general mode of action. Synthesis of sulphonamides, furazolidone, nalidixic acid, ciprofloxacin, norfloxacin, dapsone, amino salicylic acid, isoniazid, ethionamide, ethambutol, fluconazole, econazole, griseofulvin, chloroquine and primaquine.

VII Psychoactive Drugs-The Chemotherapy of mind

7 hrs

Introduction ,neurotransmitters, CNS depressants, general anaesthetics, mode of action hypnotics, sedatives, anti-anxiety drugs ,benzodiazepines, buspirone, neurochemistry of mental diseases.Antipsychotic drugs-the neuroleptics antidepressants, butyrophenones, serendipity and drugs development, stereochemical aspects of psychotropic drugs. Synthesis of diazepam, oxazepam, chlorazepam, alprazolam phenytoin, ethosuximide, trimethadione, barbiturates, thiopental sodium, guletehimide.

VII Antibiotics

8 hrs

Cell wall biosynthesis, inhibitors, -lactone rings, antibiotics inhibiting protein synthesis. Synthesis of penicillin G, ampicillin, amoxicillin, chloramphenicol, cephalosporin, tetracycline and streptomycin.

Books Suggested:

1. Introduction to medicinal chemistry , Wiley-VCH
2. Wilson and Gisvold's Text Book of organic Medicinal & Pharmaceutical Chemistry, Ed Robert F. Dorge.
3. An Introduction to Drug Discovery, vol-1 (Chapter-9 and Ch-14), Ed.M.E.Wolff, John Wiley.
4. Burger's Medicinal Chemistry & Drug Discovery, Vol-1(Chapter-9 & Ch-14), Ed.M.E.Wolff, John Wiley
5. Goodman and Gilman's pharmacological Basis of Therapeutics, McGraw-Hill.
6. The Organic Chemistry of Drug Design and Drug Action, R. B. Silverman, Academic Press.
7. Strategies for Organic Drug Synthesis and Design, D.Lednicer, John Wiley.