GROUP - (B) ELECTIVE PAPER
PAPER V. MEDICINAL CHEMISTRY

M.M. - 75 | 60 Hrs. (2 Hrs./Week)

Units	Topics
I	**Drug Design:** 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 considerations. Theories of drug activity: occupancy theory, rate theory, induced fit theory. Quantitative structure activity relationship. History and development of QSAR. Concepts of drug receptors. Elementary treatment of drug receptor interactions. Physicochemical parameters: Lipophilicity, partition coefficient, electronic ionization constants, steric, Shelton and surface activity parameters and redox potentials. Free-Wilson analysis, Hansch analysis, relationships between Free-Wilson and Hansch analysis, LD-50, ED-50 (Mathematical derivations of equations excluded).
II	**Pharmacokinetics:** 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.
	Pharmacodynamics: Introduction, elementary treatment of enzyme stimulation, enzyme inhibition, sulphonamides, membrane active drugs, drug metabolism, xenobiotics, biotransformation, significance of drug metabolism in medicinal chemistry.
III	**Antineoplastic Agents:** 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, cyclophoshamide, melphalan, uracil, mustards, and 6-mercaptopurine. Recent development in cancer chemotherapy. Hormone and natural products.
	Cardiovascular Drugs: Introduction, cardiovascular diseases, drug inhibitors of periopheral sympathetic function, central intervention of cardiovascular output. Direct acting arteriolar dilators.
	Synthesis of amyl nitrate, sorbitrate, diltiazem, quinidine, verapamil, methyldopa, atenolol, oxyprenolol.
IV	**Local Antiinfective Drugs:** Introduction and general mode of action.
	Synthesis of sulphonamides, furazolidone, nalidixic acid, ciprofloxacin, norfloxacin, dapsone, amino salicylic acid, isoniazid, ethionamide, ethambutal, fluconazole, econozole, griseofulvin, chloropquin.
	Psychoactive Drugs - The Chemotherapy of Mind: Introduction, neurotransmitters, CNS depressants, general anaesthetics, mode of action of hypnotics, sedatives, anti-anxiety drugs, benzodiazipines, buspirone, neurochemistry of mental diseases. Antipsychotic drugs - the neuroleptics, antidepressants, butyrophenones, serendipity and drug development, stereochemical aspects of psychotropic drugs.
	Synthesis of diazepam, oxazepam, chlorazepam, alprazolam, phenytoin, ethosuximade, trimethadione, barbiturates, thiopental sodium, glutethimide.
V	**Antibiotics:** Cell wall biosynthesis, inhibitors, β-lactam rings, antibiotics inhibiting protein synthesis. Synthesis of penicillin G, penicillin V, ampicillin, amoxycillin, chloramphenicol, cephalosporin, tetracycline and streptomycin.