

CH-403 Medicinal Chemistry (PG-333) 60Hrs

1. Introduction to Medicinal Chemistry: 2 Hrs

Introduction to important functional groups in medicinal chemistry, a century of drug research.

2. Drug design: 6 Hrs

Strategies for drug research including various targets, lead generation/ sources for drugs, receptor and drug receptor interactions; enzymes and design of inhibitors; concept of Prodrugs, hard and soft drugs.

3. Combinatorial Chemistry: 7 Hrs

Introduction; solid support and linkers; combinatorial synthesis of compounds on solid phase, split and mix method, premix method, spatially addressable parallel chemical synthesis, multiple synthesis; Identification of active compounds from combinatorial libraries; Analytical methods for characterization of combinatorial libraries; Application of combinatorial libraries using solid phase chemistry.

4. Computational approaches: 7 Hrs

Structure activity relationship, concept of QSAR, physicochemical parameters- lipophilicity, partition coefficient, electronic-ionization constants, H-bonding, steric parameters, Hammett equation. Isosterism, bioisosterism.

5. Biodisposition and implications: 10 Hrs

Pharmacokinetics; concepts including absorption, distribution, metabolism and excretion of the drug, pharmacokinetic parameters; drug metabolism including phase I and phase II biotransformations; mention of the uses of pharmacokinetics in drug development process. Molecular toxicology, avoidance of toxic intermediates,

6. Neuroactive agents: 10 Hrs

The chemotherapy of the mind: Introduction, neurotransmitters, CNS

depressant, General anaesthetics, mode of action of hypnotics, sedatives, antianxiety agents, benzodiazepines, buspirone, neurochemistry of mental diseases. Antipsychotic drugs- the neuroleptics, antidepressants, butyrophenone, serendipity and drug development, stereochemical aspects of neuroactive drugs. Synthesis of Diazepam, Oxazepam, Chlorazepam, barbiturates.

7. Cardiovascular agents: 5 Hrs

Introduction , cardiovascular diseases, drug inhibitors of the peripheral sympathetic function, central intervention of the cardiovascular output, direct acting arteriolar dilators, synthesis of amyl nitrate, sorbitrate, diltiazam, quinidine, verapamil, methyldopa, atenolol, oxeprenolol.

8. Antineoplastic agents: 7 Hrs

Introduction, cancer chemotherapy, role of alkylating agents and antimetabolites in the treatment of cancer. Mention of carcinolytic antibiotics and mitotic inhibitors; synthesis of mechlorethamine, cyclophosphamide, melphalan, uracil, mustards, 6- mercaptopurine. Recent development in cancer chemotherapy, the hormones and natural products.

9. Local anti-infective drugs: 6 Hrs

Introduction and general mode of action, synthesis of sulphonamide, furazolidone, naxilidic acid, eiprofloxacin, dapson, aminosalicic acid, isoniazid, ethionamide, ethambutol, fluconazole, econozole, gresiofulvin, chloroquin, primaquin.

Books

Suggested:

1. Comprehensive Medicinal Chemistry, Vols. 1-6, Corvin Hansch (editor) 1990.
2. Burger's Medicinal Chemistry, 4th edition, 3 parts; M.E. Wolff, Ed. (RS 403.B8-1979-pt. 1,2 &3).
3. Principles of Medicinal Chemistry, W.O. Foye (editor), 4th edition, 1995.
4. Molecular Mechanism of Drug Action, C. J. Coulson, 1998.
5. Medicinal Chemistry : A Biochemical Approach, Thomas Nogrady, 2nd edition, 1998.
6. Wilson and Gisvold's Textbook of Organic, Medicinal and Pharmaceutical

Chemistry, J.N. delago and W.A. Remers (editors) 9th edition 1991. 7. Organic
Chemistry of Drug Synthesis, Vol. I, Daniel Lednicer and Lester A.,
Mitscher (RS 403.L38-Vols. 1,2 and 3). 8. The Pharmacological Basis of
Therapeutics, Louis S. Goodman and Alfred
Gilman (RM
101.G63-1970).