

Oxidation Reactions: Introduction and different oxidative processes, Mechanism of oxidation reaction with chromium (Jones, Sarett, Collins & PCC), Lead tetra acetate, Oxone, Osmium tetroxide, MnO₂ and manganese salts, peracids and peresters, periodic acid, Ozone, Dess-Martin periodinane, TEMPO, CAN, Swern oxidation and their synthetic importance in functional group transformation.

Halogenation Reactions: Halogenation of olefins and carbonyl compounds, Benzylic and Allylic halogenation, Dehalogenation reactions. Dehydrogenation with S, Se, Pt, Pd, Ni.

UNIT-III

[15 Hours]

Basic principles and technologies used in disconnection approach. Synthons and synthetic equivalents. Interconversion of functional groups. One group C-X and two group C-X disconnections.

Protecting groups: Principle of protection of hydroxyl, amino, carboxylic and carbonyl groups and their synthetic applications.

Retrosynthetic analysis: Analysis of alcohols, carbonyl compounds, cyclic and acyclic alkanes, benzocaine, p-methoxyacetophenone, acetone cyanohydrin, 2-methyl-6-methoxy-indole-3-acetic acid, 6-methylquinoline & 1-phenyl-4-p-methoxyphenyl-1,3-butadiene, Limonene, Danishefsky's pentalenolactone, Benziodarone, nitrofurazone, Warfarin and Juvabione.

References :

1. Modern Organic Reactions- H.O. House
2. Organic Synthesis- R.E. Ireland (Prentice Hall India) 1969.
3. Art in Organic Synthesis- Anand, Bindra & Ranganath (Wiley) 1970.
4. Organic Synthesis a Disconnection Approach- Stuart
5. Advanced Organic Chemistry, IV ed., Part A & B- Carey & Sundberg (Kluwer-Academic) 2001.
6. Modern Methods of Organic Synthesis-N. Carruthers (Cambridge University), 1996.
7. Selected Organic Synthesis-Ian Fleming (John Wiley & Sons) 1973.

OC H 552: Medicinal Chemistry

COURSE OUTCOME:

- Students will gain an understanding on the classification and nomenclature of drugs, modern theories of drug action and drug design.
- Students will be able to know classification, synthesis and mode of action of antipyretic analgesic drugs, general anesthetics, local anesthetics, cardiovascular drugs, antineoplastic agents and antiviral drugs with suitable examples.
- Students will understand the classification, nomenclature, source and deficiency diseases and biological functions of various vitamins, chemistry of penicillins, cephalosporins, streptomycin, chloramphenicol and tetracyclins.
- Students will acquire knowledge about nomenclature, classification and biological role of prostaglandins, structural elucidation, stereochemistry and total synthesis of prostaglandins.

UNIT-I:**[15 Hours]**

Drugs: Introduction, Classification and nomenclature of drugs. Theories of drug action- Occupancy theory, Rate theory, Induced fit theory and Perturbation theory. Analogues and Prodrugs, Factors governing drug design. Rational approach to drug design, Variation method of drug designing, tailoring of drugs, Physico-Chemical factors and biological activities. Factors governing the ability of drugs, Isosterism and Bio-isosterism.

Antipyretic Analgesics: Classification, synthesis of Phenacetin, Aspirin, Cinchophen, Phenazone and Mefenamic acid, mode of action.

General Anesthetics: Introduction and classification, synthesis of methoxyfluorane, Thiopental sodium and Fentanyl citrate, Mode of action.

Local anesthetic: Introduction and classification, synthesis of benzocaine, α -Eucaine, Lignocaine hydrochloride and Dibucaine hydrochloride, Mode of action.

UNIT-II:**[15 Hours]**

Cardiovascular drugs: Introduction, classification, Synthesis of Hydralazine, Methyldopa, Diazoxide, Procainamide, Propranolol, Bretylium tosylate, Isoxsuprine, Prenylamine & their mode of action.

Antimalarials: Introduction and classification, Synthesis of Chloroquine phosphate, Pamaquine, Meparine hydrochloride, Proguanil hydrochloride, pyrimethamine and dapsone, Mode of action.

Antineoplastic agents: Introduction and classification, Synthesis of Mechlorethamine hydrochloride, Busulfan, triethylenemelamine, Methotrexate, Mercaptopurine and Flurouracil, Mode of action.

Antiviral drugs: Introduction, classification, mechanism of action study of somerepresentative drugs like Methisazone, Idoxuridine, Amantidine hydrochloride.

UNIT-III:**[15 Hours]**

Vitamins: Introduction, Classification and Nomenclature-Source and Deficiency diseases, Biological, functions of Vitamins, Study of Vitamin A₁, Vitamin B₁, B₂ and B₆, Vitamin H, Vitamin C, Vitamin E, Vitamin K₁.

Antibiotics: Introduction, Classification, Chemistry of Pencillin V, Cephalosporine C, Streptomycin, Chloramphenicol and Tetracyclin.

Prostaglandins: Introduction, Nomenclature, Classification and Biological role of Prostaglandins, Structural elucidation and stereochemistry of PGE₁, PGE₂ and PGE₃. Total synthesis of PGE₁ (Corey's method & Up John's synthesis).

References:

1. Medicinal Chemistry- Ashutosh Kar (New Age.) 2005,
 2. Medicinal Chemistry- G. R. Chatwal (Himalaya) 2002.
 3. Natural Products Chemistry, Vol-I & II- G. R. Chatwal (Himalaya) 1990.
 4. Principles of Drug Action, II ed.- A. G. Oldstein Lewis Arnold & Suner M. Kalman (Wiley Int. Ed.)
 5. Organic Chemistry, Vol I & II, I. L. Finar (Longman ELBS, London) 1973.
 6. Chemistry of Natural Products, Vol-I & II – O. P. Agarwal (Goel Gorakhpur) 1985.
- Chemistry of Natural Products: A Unified Approach-N R Krishnaswamy (University Press) 1999

A) Chemistry of Natural Products-[Sujata V. Bhat](#), [B.A. Nagasampagi](#), [Meenakshi Sivakumar](#) (Springer-Narosa) 2005.