OC H 562: MEDICINAL CHEMISTRY AND ADVANCED STEREOCHEMISTRY

Course Outcome

- Students will gain an understanding on the classification and nomenclature of drugs, modern theories of drug action and drug design.
- Students will able to know classification, synthesis and mode of action of antipyretic analgesis drugs, general anesthetics, local anesthetics, cardiovascular drugs, antineoplastic agents and antiviral drugs with suitable examples.
- Students will understand the classification and synthesis of various sedative, hypnotic agents, anticonvulsants, antihistaminic agents, non-steroidal hormones and prostaglandins.
- Students will acquire knowledge about nomenclature, classification and biological role of prostaglandins, Structural elucidation, stereochemistry and total synthesis of prostaglandins.
- Students will also learn advanced stereochemistry topics such as asymmetric synthesis by employing chiral pool, chiral auxiliaries, chiral reagent and chiral catalysts.

UNIT-I **[12 Hours]**

Drugs: Classification and nomenclature of drugs. Theories of drug action-Occupancy theory, Induced fit theory and Perturbation theory. Analogues and Prodrugs, Factors governing drug design. Variation method of drug designing, Physico-Chemical factors and factors governing the ability of drugs.

Antipyretic Analgesics: Introduction and classification, synthesis & mode of action of Phenacetin, Cinchophen, Phenazone and Mefenamic acid.

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

Local anesthetics: Introduction and classification, synthesis & mode of action of benzocaine, α-Eucaine, Lignocaine hydrochloride and Dibucaine hydrochloride.

UNIT-II **[12 hours]**

Cardiovascular drugs: Introduction and classification, Synthesis & mode of action of Hydralazine, Methyldopa, Diazoxide, Procainamide, and Prenylamine.

Antimalarials: Introduction and classification, Synthesis & mode of action of Chloroquinephosphate and pyrimethanin.

Antineoplastic agents: Introduction and classification, Synthesis & mode of action of Mechlorethamine hydrochloride, Methotrexate and Flurouracil.

Antiviral drugs: Introduction and classification, Synthesis & mechanism of action of Methisazone and Amantidine hydrochloride.

UNIT-III **[12 Hours]**

Sedative and Hypnotic Agents - Introduction and classification. Synthesis of Barbitone, Pentobarbital, Triazolam.

Anticonvulsants- Synthesis of Phenytoin sodium and Trimethadione.

CNS stimulants-Introduction and classification. Synthesis and mechanism of action of Caffeine and Phetermine.

Antihistaminic agents- Synthesis of Diphenhydramine HCI and Pheniramine.

Non steroidal hormones: Study of the Oxytocin and Vasopressin.

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).

UNIT-IV Advanced Stereochemistry **[12 Hours]**

Asymmetric Synthesis: The Chiral pool; Alpha amino acids in the synthesis of Benzodiapines, Carbohydrates (Benzyl D-Mannose to Swainsonine) Preparation of tomolal from D-mannitol, Felion-Ahn model and Cram's chelation control. Enantiomeric excess and its determination.

Chiral Auxiliaries: Oxazolidinones, Chiral sulfoxides in controlling the reduction ofketones, Use of chiral Auxillaries in Diels-Alder and aldol reactions.

Chiral Reagents: BINOL, DIBAL, Tartarates, Lithium diamides.

Chiral Catalysts: Rhodium and Ruthenium catalysts with Chiral phosphine ligands like (R)-BINAP, (R,R)-DIOP.

Asymmetric amplification and autocatalysis.

References

1. Medicinal Chemistry, A. Kar, New Age, (2005).
2. Medicinal Chemistry, G. R. Chatwal, Himalaya, (2002).
3. Principles of Drug Action-The Basis of Pharmacology, A. Goldstein, W. B. Pratt, P. Taylor, Churchill Livingstone, NY (1990).
4. Organic Chemistry, Vol II, I. L. Finar, Longmann ELBS, (1973).
5. Stereochemistry of Organic Compounds, D. Nasipuri, New Age International, (1991).
6. Stereochemistry of Organic Compounds, P. S. Kalsi, Wiley Eastern, (1994).
7. Stereochemistry of Carbon Compounds, E. L. Eliel, John Wiley, (1990).