VEER NARMAD SOUTH GUJARAT UNIVERSITY

M.Sc. – PHARMACEUTICAL CHEMISTRY

SEMESTER-2

TO COME IN FORCE FROM JUNE-2008

PAPER- (I) MEDICINAL CHEMISTRY-I

COURSE NO. - CPC- 201

Max. Marks: 70 Total Periods: 60

SECTION -1

Study of general classification, structure, synthesis, physiochemical aspects, medicinal uses and overview of structure activity relationship & mode of action of following classes of drugs.

UNIT-I : (a) Psycoactive Drugs-Chemotherapy of Mind (CNS Depressents)

- General Anesthetics
- Sedatives and Hypnotics (Barbiturates)
- Anti-Anxiety Drugs
- Mode of action of Hypnotics
- SAR of Barbiturates.

(b)Anti Psycoactive Drugs or CNS Stimulants (Anti Depressants)

- Introduction
- Neuroleptics
- Synthesis of following:
  - Thiopental (Pentothal)
  - Aminobarbital (Amytal)
  - Diazepam (Viz. Librium)
  - Chlorazepam
  - Alprazolam
  - Glutethimide
  - Nikethamide

UNIT-II : Local Anaesthetics

- Introduction
- Synthesis of following:
  - Cocaine
  - Procain
  - Lidocain (Xylocain)
  - Dibucaine (Nupercaine)

UNIT-III : Analgesic, Antipyretic, Anti- Inflammatory Agents

- Introduction
- Synthesis of following:
  - Meperidine
  - Ibuprofen
  - Meclofenamate sodium
  - Diclofenac sodium
  - Oxyphenbutazone
  - Paracetamol

- Novalgin
- Antipyrine

UNIT-IV : Anti Histamine or Anti-Allergenic Drugs

- Introduction
- Synthesis of following:
  - Diphenyl dramine(Benadryl)
  - Antazoline (Phenezidine)
  - Chlorpheniramine
  - Pyrilamine
  - tripenramine

UNIT-V : Diuretics

- Introduction
- Classification
- Structural Variation
- SAR and Mode of action of Diuretics.
- Synthesis of following:
  - Acetazolamide
  - Chlorothiazide
  - Hydroflumethiazide
  - Furosemide
  - Ethacrynic acid

UNIT-VI : Cardiovascular Drugs

- Cardiotonic steroids (Digitalis), Anti-arrhythmic agents, Anti-hypertensive agents, Anti-coagulants.
- Synthesis of following:
  - Quinidine
  - Procainamide
  - Bretyliumtosylate
  - Hydralazine
  - Guanethidene
  - Phenoxybenzamine
  - Dicumarol
  - Warfarin

Recommended Books:

1. Burger's Medicinal Chemistry and Drug Discovery (5/e), 1997, Vol. 1, 2, 3, 4,5, Edited by ManFred E. Wolff (John Wiley & Sons, inc., New York).
2. Principles of Medicinal Chemistry, Vol. I & II (5/e), by S. S. Kadam, K. R. Mahadik, K. G. Bothra (Nirali Prakashan).
3. Principles of Medicinal Chemistry by William O. Foye (ed.), Lea and Febiyer, Philadelphia.
4. Wilson and Gisvold's Text-book of Organic Medicinal and Pharmaceutical Chemistry (5/e, 1982) by Robert F. Doerge (J. B. Lippincott Company, Philadelphia/Toppan Co. Ltd., Tokyo).

5. Essential of Medicinal Chemistry (2/e) by Andrejus Korolkovas (A Wiley Interscience Publication, 1988, John Wiley & Sons, Canada).
6. Medicinal Chemistry by Ashutoshkar (Wiley Eastern Ltd., 1993).
7. The Pharmaceutical Basis of Therapeutics by Goodman and Gilman (The Macmillan Co.).
8. The Organic Chemistry of Drug Synthesis, Vol. I, II & III (1980), Ed. By D. Lednicer and L. A. Mitscher (John Wiley and Sons, New York).
9. Topics in Medicinal Chemistry, Vol. I & II by Rabinowitz and Myerson (Editor) (Interscience, 1968).
10. Adhunik Sanshleshit Aushodhonu Rasayanvighyan, Dr. Anamik Shah, University Granth Nirman Board, Ahmedabad, Price Rs. 135/-.

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PAPER- (II) MODERN ANALYTICAL TECHNIQUES-I

COURSE NO. - CPC- 202

Max. Marks: 70 Total Periods: 60

SECTION -1

UNIT-I : Adsorption and Partition Chromatography (10 Periods)

Classification, Definition of terms, Principle and basic theory.

Technique and Applications of

- Column
- Paper
- Thin Layer Chromatography.

Selection of stationary and mobile phase – Detection techniques – Elementary idea of HPTLC.

UNIT-II : High Performance Liquid Chromatography: (10 Periods)

Review of components of Instrument – Criteria in selection of mobile phase – Stationary phases including bonded phase supports used in LSC and LLC – Normal phase and Reversed phase chromatography – Isocratic and Gradient Elution – Detectors : UV absorption and RI detector – Method of introducing sample.

UNIT-III : Gas Chromatography: (10 Periods)

Selection of mobile phase – Selection of stationary phase in GLC and GSC – Detectors : FID (with modifications), TCD and ECD, Their comparison, Packed column, WCOT, SCOT (advantages and disadvantages) –Temperature programming – Derivatisation in GC – Quantitative Analysis.

SECTION -2

UNIT-IV : Ion Exchange Chromatography (10 Periods)

Resins used – Principle of exchange – Factors affecting the exchange – Capacity of resin and its determination – Techniques – IEC with eluent suppressor columns – Applications.

UNIT-V : Hyphenated Techniques (10 Periods)

GC-MS, GC-TLC, LC-MS Etc. Principle and Applications.

UNIT-VI : SOLVENT EXTRACTION (10 Periods)

The Distribution Law, Extraction Process, Liquid-Liquid Extraction, Factors affecting extraction, Techniques for solvent extraction, Completion of Analysis, Classification,

Types of Extraction System, Transition of Substances from aqueous phase solid-liquid extraction.

Reference books:

1. Instrumental Analysis by R. D. Braun, McGraw-Hill.
2. Modern Methods of Chemical Analysis (2nd ed.), Pecsok, Shields, Cairns & McWilliom, John Wiley & Sons.
3. Principles of Instrumental Analysis (5th ed.) by Skoog, Holler and Nieman (Saunders College Publishings).
4. Thin Layer Chromatography, E. Stahl.
5. High Performance Liquid Chromatography, Dr. P.D. Sethi.

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PAPER- (III) GREEN AND COMBINATORIAL CHEMISTRY

COURSE NO. - CPC- 203

Max. Marks: **70** Total Periods: 60

SECTION -1

UNIT-I : BASIC PRINCIPAL OF GREEN CHEMISTRY

TWELVE PRINCIPLES: (10 Periods)

Prevention of Waste / By – products, Maximum incorporation of the Reactants into the final products, Prevention or minimization of hazardous products, Designing safer chemicals, Energy requirements for synthesis, Selection of starting materials, Use of protecting groups, Use of catalyst, products designed should be biodegradable, Designing of manufacturing plants, Strengthening of analytical techniques.

UNIT-II : (A) GREEN REAGENTS (10 Periods)

- Dimethylcarbonate
- Polymer supported Reagents

(B) GREEN CATALYSTS

- Acid Catalysts
- Oxidation Catalysts
- Basic Catalysts
- Polymer Supported Catalyst

UNIT-III : ALTERNATE RENEWABLE ENERGY SOURCES (10 Periods)

(A) MICROWAVE INDUCED GREEN SYNTHESIS

- Introduction
- Applications
- Conclusion

(B) ULTRASOUND ASSISTED GREEN SYNTHESIS

- Introduction
- Applications of Ultrasound
- Conclusion

SECTION -2

UNIT-IV : GREEN CHEMISTRY: AQUEOUS PHASE REACTIONS : (10 Periods)

(A) GENERAL INTRODUCTION

- Wittig-Horner Reaction
- Claisen-Schmidt Condensation
- Heck Reaction
- Strecker Synthesis
- Wurtz Reaction
- Polymerization Reactions
- Photochemical Reactions
- Miscellaneous Reaction in aqueous phase

(B) VERSATILE IONIC LIQUIDS AS GREEN SOLVENTS (ALTERNATIVE SOLVENTS)

- Introduction
- Green Solvents
- Reaction in Acidic Ionic Liquids
- Reaction in Neutral Ionic Liquids
- Fluorous solvents,

UNIT-V :GREEN CHEMISTRY: MANUFACTURE AND USES OF IMPORTANT CHEMICALS: (10 Periods)

(A) Synthesis Involving Basic principles of Green Chemistry:

- Synthesis of Styrene
- Synthesis of Adipic Acid, Catechol and 3-dehydroshikimic acid
- Synthesis of Methyl Methacrylate
- Selective alkylation of Active Methylene group
- Free Radical Bromination
- Synthesis of Ibuprofen
- Synthesis of Paracetamol

UNIT-VI : COMBINATORIAL CHEMISTRY: (10 Periods)

- Introduction,
- Combinatorial synthesis for drug optimization
- Combichem for drug discovery
- Combichem- solid phase techniques
- Solid supports, the Anchor/Linker
- Methods of parallel synthesis: Houghton's tea bag procedure, Automated parallel synthesis
- Methods in mixed combinatorial synthesis
- General principles, The mix and split method, mix and split in the production of positional scanning libraries.
- Isolation of active component in a mixture- Deconvolution.
- Structure determination of Active compound
- Limitation of Combinatorial synthesis
- Examples of Combinatorial Chemistry.

Reference Books:

1. New Trends in Green Chemistry, 2nd edition, V.K.Ahluwalia and M. Kidwai, Anamaya Publisheres, New Delhi.

2. Green Chemistry, Theory and Practice, Pual T. Anastas and John C. Warner, Oxford University Press, 2000, New York, USA.
3. Green Chemistry : An Introductory Text, Mike Lancaster, Green Chemistry Netweork, University of York, RSC, 2002.
4. Handbook of Green Chemistry and Technology, edited by: james Clark and Duncan Macquarrie, Blackwell publishing.
5. Green Chemical Synthesis and Processes, Paul T. Anastas, Luren G. Heine and Tracy C. Williamsons (Editors), ACS Publication, 2000.
6. Green Chemistry and Technology, Edited by: James Clark and Duncan Macquarries, Blackwell Publishing.
7. Foye's Principals Of Medicinal Chemistry, 5^{th} edition by David A. Williams and Thomas L. Lenke.