

VEER NARMAD SOUTH GUJARAT UNIVERSITY
M.Sc. (PHARMACEUTICAL CHEMISTRY) SEMESTER-III
TO COME IN FORCE FROM JUNE-2011 (REVISED IN B O S Dated 18-01-2011)

Paper-I (Chemistry in Industry-I)

Max. Marks: 70

Total Periods: 45

UNIT-I: Unit Processes

(15 Periods)

Nitration: Nitrating agents. Mechanism of aromatic nitration. Industrial chemicals derived from Benzene, Naphthalene, Anthracene using nitration unit process.

Sulphonation and Sulfation: Sulphonating and Sulfating agents. Mechanism of aromatic Sulphonation. Industrial chemicals derived from Benzene, Naphthalene, Anthracene using Sulphonation unit process.

Halogenation: Halogenating agents. Industrial chemicals derived from Benzene, Naphthalene, Anthracene using Halogenation unit process.

Amination: Aminating agents, Amination by reduction, Amination by Ammonolysis. Industrial chemicals derived from Benzene, Naphthalene, Anthracene using Amination unit process.

Hydroxylation: Industrial chemicals derived from Benzene, Naphthalene, Anthracene using hydroxylation unit process.

Alkylation: Alkylating agents. Industrial chemicals derived from Benzene, Naphthalene, Anthracene using alkylation unit process.

Recommended books:

1. Unit process in Organic Synthesis by P. M. Groggins.
2. Chemical Process Industries by R. N. Shreve.
3. Riegel's Hand-Book of Industrial Chemistry, Ed. by James A. Kent.
4. Industrial Chemicals by Faith, Keyes, Clark.

**Unit II: Chemical Engineering Principles, Chemical Safety and Management, Waste
(15 Periods)**

Chemical Engineering Principles: Stoichiometry, material balance, and energy balance, thermochemistry, heat flow, mechanisms, condensation and evaporation, heat exchangers, evaporators, mass transfer, principles, distillation (principles, types and concept of theoretical plates), extraction and leaching, Filtration, Crystallization, drying, industrial dryers, pumps, pipelines, industrial reactors and other vessels.

Chemical Safety and Management: A basic course in chemical laboratory safety, MSDS of chemicals, safe handling and storage of chemicals, Environment safety, care and use of safety equipment, Risk assessment, Hazard classification and management.

Waste and its disposal: Solid waste, Waste management disposal methods, Types of recycling, Hazardous waste: Management and disposal/destruction technologies, Waste separation technologies, Waste bioremediation technologies, Human resource and management

Recommended book:

Handouts from the teacher

Unit III: Green Chemistry

(15 Periods)

Introduction: Twelve principles of Green chemistry, Atom economy and Waste minimization.

Green solvents and their applications: Ionic liquids, types, properties and applications, ILs as solvents, Use of ionic liquids in reactions such as Heck reaction, Knoevenagel condensation

Super critical fluids: The phase diagram of CO₂, Supercritical CO₂, its properties and applications in dry cleaning and decaffeination of coffee.

Microwave assisted synthesis: Mechanism and advantages with some examples, Biobased materials: Basic idea on Biopesticides, Biofertilizers, Biosurfactant, Biofuels, Biodegradable polymers.

Aqueous phase reaction:

1. Baeyer-Villiger Oxidation
2. Claisen-Schmidt Reaction
3. Diels-Alder reaction
4. Knoevenagel Condensation

Green approach in the synthesis of:

1. Adipic acid
2. Methyl methacrylate
3. Catechol
4. Paracetamol

Recommended books:

1. Green chemistry Ahluwalia Narosa Pub 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 Network, University of York, RSC, 2002.

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M.Sc. (PHARMACEUTICAL CHEMISTRY) SEMESTER-III
TO COME IN FORCE FROM JUNE-2011 (REVISED IN B O S Dated 18-01-2011)

Paper-II (Synthetic drugs-I)

Max. Marks: 70

Total Periods: 45

UNIT-I:

(15 Periods)

- Antibiotics that interfere with the biosynthesis of bacterial cell-wall:
 - A. The β -lactam antibiotics:
 1. The Penicillin
 2. The Cephalosporins
 - B. The non-lactam antibiotics:
 - C. Bacitracin, Vancomycin and Cycloserine

- Antibiotics that interfere with the protein biosynthesis in micro-organisms:
 - A. The non-lactam antibiotics:
 1. Macrolide antibiotics: Erythromycin
 2. Tetracyclines
 3. Lincomycin
 4. Chloramphenicol

- Structural formulae and therapeutic uses of following non-lactam antibiotics:
 - A. Aminoglycoside antibiotics
 - B. Non-classifiable antibiotics: Novobiocin, Nalidixic acid, Norfloxacin, Ciprofloxacin

- Structure activity relationships (SAR) among Penicillin and Tetracyclines.
- Synthesis of Penicillin V, Ampicillin, Cephalosporin, Chloramphenicol

Recommended books:

1. Medicinal Chemistry by V. K. Ahluwalia.
2. Medicinal Chemistry by Ashutoshkar (Wiley Eastern Ltd., 1993)
3. Principles of Medicinal Chemistry by William O. Foye (Ed.), Lea and Febiger, Philadelphia.

UNIT-II :

(15 Periods)

(A) CNS Depressants: General anaesthetics, antianxiety drugs, Sedatives and Hypnotics, Mode of action of Hypnotics.

(B) Antipsychotic Drugs: Antidepressants and Neuroleptics

Synthesis of the following: Thipental (Pentothal), Amobarbital (Amytal), Diazepam, Chlorazepam, alprazolam, glutethimide, Nikethamide

(C) Local Anaesthetics:

Synthesis of only the following drugs: Cocaine, Procaine, Lidocaine (xylocaine), Dibucaine (Nupercaine). SAR and Mode of Action of Local anaesthetic.

(D) Analgesics:

Synthesis of only the following: Meperidine (Pethidine), Ibuprofen, Meclofenamate sodium, Oxypfenbutazone, Paracetamol, Novalgin.

Recommended books:

1. Medicinal Chemistry by V. K. Ahluwalia.
2. Medicinal Chemistry by Ashutoshkar (Wiley Eastern Ltd., 1993)
3. Principles of Medicinal Chemistry by William O. Foye (Ed.), Lea and Febiyer, Philadelphia.

UNIT-III:

(15 Periods)

(A) Antihistamine or Antiallergenic Drugs:

Synthesis of only the following drugs: Diphenhydramine (Benadryl), Antazoline, Chlorpheniramine, Pyrilamine.

(B) Antituberculosis and Antileprotic Agents:

Synthesis of only the following drugs: Isoniazid (INH), Ethionamide, Ethambutol, DDS (Dapsone)

(C) Sulfonamides:

Synthesis of only the followings: Sulfacetamide, Sulfadiazine, Sulfamethoxine (Sulfadoxine), Sulfamethoxy-Pyrazine (Sulfalene), Sulfathiazole, Succinyl sulfathiazole (Sulfasuxidine). SAR and Mode of Action of Sulfonamides.

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2. Medicinal Chemistry by Ashutoshkar (Wiley Eastern Ltd., 1993)
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Paper-III (Drug Metabolism, Pharmacokinetics and Pharmacognosy-I)
Max. Marks: 70 **Total Periods: 45**

Unit I: An Introduction to Drug Discovery **(15 Periods)**

Introduction, Stereochemistry and drug design, structurally rigid groups, Conformation, Configuration, Solubility and drug design, the importance of water solubility, Solubility and drug structure, Salt formation, the incorporation of water solubilizing groups in a structure, the type of group, reversibly and irreversibly attached groups, the position of the water solubilizing group, methods of introduction, Questions.

Recommended book:

1. Fundamentals of Medicinal Chemistry by G. Thomas Wiley (Page 57-70)

Unit II: The SAR and QSAR Approaches to Drug Design **(15 Periods)**

Structure–activity relationships (SARs), Changing size and shape, Introduction of new substituents, the introduction of a group in an unsubstituted position, the introduction of a group by replacing an existing group, Quantitative structure–activity relationships (QSARs), Lipophilicity, Partition coefficients (P), Lipophilic substitution constants, Electronic effects, the Hammett constant, Steric effects, the Taft steric parameter, Molar refractivity, Other parameters, Hansch analysis, Craig plots, the Topliss decision tree, Questions.

Recommended book:

1. Fundamentals of Medicinal Chemistry by G Thomas Wiley (Page 71 – 92)

Unit III: Drug Metabolism **(15 Periods)**

Introduction, The stereochemistry of drug metabolism, Biological factors affecting metabolism, Environmental factors affecting metabolism, Species and metabolism, Secondary pharmacological implications of metabolism, sites of action, Phase I metabolic reactions, Oxidation, Reduction, Hydrolysis, Hydration, Other Phase I reactions, Phase II metabolic routes, Pharmacokinetics of metabolites, Drug metabolism and drug design, Prodrugs, Bioprecursor prodrugs, Carrier prodrugs.

Recommended book:

1. Fundamentals of Medicinal Chemistry by G Thomas Wiley (Page 181-196)

UNIT-III ORGANOMETALLICS AND THEIR ROLE IN ORGANIC SYNTHESIS
(15 Periods)

(i) Review on organometallic compounds, Nomenclature, Carbon-metal bonds in organometallic compounds, Synthesis and applications of Organolithium, Organozinc, Organopalladium, Organocopper and Lithium diorganocuprate.

(ii) Basic concept of organoboranes, Preparation of organoboranes, Stereochemistry of hydroboration, Mechanism of hydroboration – oxidation, Synthetic applications.

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Practicals

Marks: 200 [External-120 + Internal-60 + Viva-20]

I. Organic Separation:-

Separation and identification of components in a mixture containing three components.

(Candidate will prepare at least *two* derivatives. Each student should *carry out 8* separations)

II. Organic Estimations:- (at least 5)

1. Estimation of Ascorbic acid in lemon juice by any suitable method.
2. Estimation of glucose in blood.
3. Estimation of uric acid in serum and urine.
4. Estimation of Acid values, Iodine number, Saponification value of different oil sample.
5. Estimation of protein by Folin – phenol method.
6. Quantitative analysis of constituents in ores and alloys.
7. Estimation of Sulpha drugs.
8. Estimation of Benzyl Penicillin.

III. Paper Chromatography:- (Any Five)

1. Binary mixture of amino acids.
2. Ternary mixture of amino acids
3. Sugars.
4. Dyes

Reference Books for Practicals:-

1. Elementary Practical Organic Chemistry Part-I Small Scale Preparations by A.I. Vogel.
2. Elementary Practical Organic Chemistry Part-II Qualitative Organic Analysis by A.I. Vogel.
3. Elementary Practical Organic Chemistry Part-III Qualitative Organic Analysis by A.I. Vogel.
4. Practical Pharmaceutical Chemistry by A. H. Bakett, Volume I & II.
5. Comprehensive Practical Organic Chemistry Qualitative Analysis by Ahluwalia & Aggarwal.
6. Organic Qualitative Analysis by Vogel's (ELBS).
7. Comprehensive Practical Organic Chemistry Preparation and Quantitative Analysis by Ahluwalia & Aggarwal.
8. Practical Physical Chemistry by J. B. Yadav.

VEER NARMAD SOUTH GUJARAT UNIVERSITY
M.Sc. (PHARMACEUTICAL CHEMISTRY) SEMESTER-IV
TO COME IN FORCE FROM JUNE-2011 (REVISED IN B O S Dated 18-01-2011)

Paper-I (Chemistry in Industry-II)

Max. Marks: 70

Total Periods: 45

Unit I: Introduction to Environmental Chemistry

(15 Periods)

Concept and scope, the natural cycles of environment (Hydrological, Oxygen, Nitrogen, Phosphorous and Sulphur cycles).

Air pollutants: Particulates, Aerosols, SO_x, NO_x, CO_x and Hydrocarbon emission, Photochemical smog, Global warming, Ozone layer depletion, Water pollutants, water-quality parameters and standards: physical and chemical parameters (colour, odour, taste and turbidity), Dissolved oxygen, BOD, COD, Total organic carbon, Total nitrogen, Total sulfur, Total phosphorus and Chlorine, Chemical speciation, Waste and pollutants in soil, waste classification and disposal.

Radiation pollution: Classification & effects of radiation, effects of ionizing radiation on man, Effects of non ionizing radiation on life, radioactivity and Nuclear fall out, protection and control from radiation.

Recommended books:

1. Basic Concept of environmental Chemistry by Des. W. Connell
2. Environmental Chemistry, 7th Ed., By S. E. Manahan.
3. A textbook of environmental chemistry, By O. D. Tyagi and M. Mehara
4. Fundamental concept of environmental chemistry, By G. S. Sodhi.

Unit II: Chemical Mathematics and Introduction to Computers

(15 Periods)

Sampling, Data collection and recording. Errors: systematic and random, Accuracy and precision, Relative and absolute errors, Central tendency – concept; arithmetic mean, mode, median for ungrouped and grouped data, Measures of dispersion: absolute and relative measures; range, standard deviation, variance, Normal distribution curve, Skewness

Probability - normal, Poisson and binomial, rejection of data, Q-test

Elements of Computer, fundamentals of C language, simple algorithms and computational methods, programming in Chemistry, development of small computer codes involving simple formulae in chemistry, such as van der waals equation, pH titration, kinetics, radioactive decay.

Recommended books:

1. Fundamentals of Computers by Rajaraman.
2. D. A. Skoog, D.M. West, F.J. Holler, S.R. Crouch, Analytical Chemistry - An Introduction, 7th Edition (2000), Saunders College Publishing, Philadelphia, London.

Unit III: Chemistry of Heterocyclic compounds.

(15 Periods)

Nomenclature of heterocycles, classification of heterocyclic compounds, principles of heterocyclic synthesis involving cyclization reactions and reactivity and tautomerism of aromatic, heterocyclic compounds and their mechanism containing two heteroatom (O, S and N) and their condensed systems.

Five –membered and benzo fused five-membered: heterocycles (Oxazole, Isoxazole, Thiazole, Isothiazole, Pyrazole, Imidazole, Benzoxazole, Benzthiazole, Benzopyrazole, and Benzimidazole).

Six –membered and benzo fused six-membered heterocycles with two heteroatom:

Pyridazine, primidine, pyrazine, quinoxazine, quinazoline, phenoxazine, phenothiazine and phenazine.

Recommended books:

1. Chemistry of Heterocyclic Compounds by Badger (Academic Press, 1963)
2. Heterocyclic Compounds by R.C. Elderfield (Ed.), Vol 1-9 (Wiley, New York, 1960-65).
3. An Introduction to the Chemistry of Heterocyclic Compounds by R. M. Acheson (John Wiley & Sons Ltd., NY, 1967).

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Paper-II (Synthetic drugs-II)

Max. Marks: 70

Total Periods: 45

UNIT-I :

(15 Periods)

(A) Antimalarials:

Synthesis of only the followings: Mefloquine, Chloroquine, Primaquine, Pyrimethamine (Daraprim). SAR and Mode of Action of Antimalarial drugs.

(B) Antineoplastic Agents (Cancer Chemotherapy):

Synthesis of only the following drugs: Mechlorethamine, Cyclophosphamide, Melphalan, 6-Mercaptopyrine.

Recommended books:

1. Medicinal Chemistry by V. K. Ahluwalia.
2. Medicinal Chemistry by Ashutoshkar (Wiley Eastern Ltd., 1993)
3. Principles of Medicinal Chemistry by William O. Foye (Ed.), Lea and Febiger, Philadelphia

UNIT-II:

(15 Periods)

(A) Diuretics:

Synthesis of only the following drugs: Acetazolamide, Chlorothiazide, Hydroflumethiazide, Furosemide, Ethacrynic acid. Classification and Structural Variation of Diuretic drugs.

(B) Insulin and Oral Hypoglycemic Agents: (Anti-diabetic agents or drugs affecting sugar metabolism)

Synthesis of only the followings: Tolbutamide, Chlorpropamide, Glibenclamide, Phenformin.

(C) Cardiovascular Drugs:

Cardiotonic steroids (Digitalis)

- (1) Antiarrhythmic agents
- (2) Antianginal drugs

Synthesis of only the followings: Amyl nitrate, Sorbitrate, Diltiazem, Verapamil, Methyldopa, Atenolol, Oxyprenolol.

Recommended books:

1. Medicinal Chemistry by V. K. Ahluwalia.
2. Medicinal Chemistry by Ashutoshkar (Wiley Eastern Ltd., 1993)
3. Principles of Medicinal Chemistry by William O. Foye (Ed.), Lea and Febiger, Philadelphia

Unit III:**(15 Periods)****(A) Metals and its complexes as therapeutic agents:**

Generals remarks, Anticancer drugs (Platinum Complexes), Antimalarials Drugs (Gold, Copper and its complexes)

(B) Radio pharmaceuticals:

Introduction, isotopes, Types of Radionucleides, units of Radioactivity, Half Life of Radio Elements, Production of Radio Isotopes, Measurement of Radio Activity, Handling and Storage of Radioactive materials.

(C) Drug Delivery Systems:

Transdermal drug delivery system, mucosal drug delivery system, nasal drug delivery system, ocular drug delivery system, intrauterine drug delivery system, liposomes and nanoparticles drug delivery system, biodegradable drug delivery system, hydrogel based drug delivery system.

Recommended books:

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2. Medicinal Chemistry by Ashutoshkar (Wiley Eastern Ltd., 1993)
3. Principles of Medicinal Chemistry by William O. Foye (Ed.), Lea and Febiyer, Philadelphia

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Paper-III (Drug Metabolism, Pharmacokinetics and Pharmacognosy-II)
Max. Marks: 70 **Total Periods: 45**

Unit I: Computer Aided Drug Design and Combinatorial Chemistry (15 Periods)

Computer Aided Drug Design:

Introduction, Molecular modeling methods, Computer graphics, Molecular mechanics, creating a molecular model using molecular mechanics, Molecular dynamics, Conformational analysis, Quantum mechanics, Docking, Questions.

Combinatorial Chemistry:

Introduction, the design of combinatorial synthesis, the general techniques used in combinatorial synthesis, the solid support method, Parallel synthesis, Furka's mix and split technique, Encoding methods, Sequential chemical tagging methods, Still's binary code tag system, Computerized tagging, Combinatorial synthesis in solution, Screening and deconvolution, Question.

Recommended book:

1. Fundamentals of Medicinal Chemistry by G Thomas Wiley (Page 95 – 130).

Unit II: Pharmacokinetics (15 Periods)

Introduction to pharmacokinetics, General classification of pharmacokinetic properties, Pharmacokinetics and drug design, Pharmacokinetic models, intravascular administration, intravenous injection (IV bolus), Clearance and its significance, intravenous infusion, extra vascular administration, Single oral dose, the calculation of t_{max} and C_{max}, repeated oral doses, the use of pharmacokinetics in drug design, Questions.

Recommended book:

Fundamentals of Medicinal Chemistry by G Thomas Wiley (Page 159 – 178).

UNIT III: Pharmacognosy (15 Periods)

Definition and scope of Pharmacognosy, Various system of classification of drugs of nature origin, Occurance, Distribution, and therapeutic efficiency of following category of drugs:

- Laxatives: Aloes, Caster oil, Isapaghula, Senna
- Cardiotonics: Digitalis, Arjuna
- Carminatives and G.I. regulators: Coriander, Ajowan, Cardamom, Ginger, Black pepper, Asafoetida, Cinnamon, Clove
- Astringents: Catechu
- Drug active on nervous system: Belladonna, Aconite, Aswagandha, Ephedra, Opium, Cannabis, Nuxvomica
- Antihypertensive: Rauwolfia
- Antitussives: Tulsi
- Antirheumatics: Guggul, Colchicum
- Antitumor: Vinca

- Antidiabetics: Pterocarpus, Gymnema sylvestris
- Diuretics: Gokhru, Punarnava

Recommended book:

Fundamentals of Medicinal Chemistry by G Thomas Wiley

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Practicals
Marks: 200 [External-120 + Internal-60 + Viva-20]

I. Organic Preparations:- (Three Step preparation at least 7)

1. Preparation of Aspirin from phenol to Salicylic acid
2. Preparation of Sulphanilamide from Acetanilide via p-acetamidobenzene nyl chloride and p-acetamidobenzenesulphonamide.
3. Preparation of Benzocaine from p-Nitro toluene via p-Nitro benzoic acid and p-Amino benzoic acid.
4. Preparation of 4-methyl-7-hydroxy-8-acetylcoumarin from resorcinol via 4-methyl-7-hydroxy coumarin and 4-methyl-7-acetoxy coumarin.
5. Preparation of p-Bromochlorobenzene from acetanilide via p-bromoacetanilide and p-Bromoaniline.
6. Preparation of p-Nitro Chlorobenzene from acetanilide via p-nitroacetanilide and p-nitroaniline.
7. Preparation of Salicylic acid from phenol.
8. Preparation of Paracetamol from phenol.

II. Isolations:- (at least 5)

1. Isolation of Caffeine from tea leaves.
2. Isolation of Casein from milk.
3. Isolation of Nicotine diplicate from tobacco.
4. Isolation of Eugenol from cinnamon leaf oil or clove.
5. Isolation of Cucumarin from turmeric.
6. Isolation of Carotene from carrots.
7. Isolation of piperine from black pepper.

III. Thin Layer Chromatography:- (at least 3)

Chromatographic Separation of sugar, amino acids:

Reference Books for Practicals:-

9. Elementry Practical Organic Chemistry Part-I Small Scale Preparations by A.I. Vogel.
10. Elementry Practical Organic Chemistry Part-II Qualitative Organic Analysis by A.I. Vogel.
11. Elementry Practical Organic Chemistry Part-III Qualitative Organic Analysis by A.I. Vogel.
12. Practical Pharmaceutical Chemistry by A. H. Bakett, Volume I & II.
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