

**FACULTY OF SCIENCES**  
**SYLLABUS**  
**FOR**  
**M.Sc. Chemistry**  
**(Pharmaceutical Chemistry)**

**(Semester III-IV)**  
**Session: 2016-17**



**KHALSA COLLEGE**  
**AMRITSAR**  
*(An Autonomous College)*

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(ii) Subject to change in the syllabi at any time.  
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## Scheme of Courses

**Eligibility:**-The candidate having passed B.Sc. degree (10+2+3 system of education) with Chemistry as one of the elective subject or B. Pharmacy(10+2+4 system of education) with at least 50% marks from Guru Nanak Dev University or any other examination recognized equivalent there to by the University.

### Semester-III

Course-MPCH-516	Biophysical Chemistry	50	45
Course-MPCH-517	Organic Synthesis-III ( <i>Photochemistry &amp; Pericyclic</i> )	50	45
Course-MPCH-518	Pharmacology-II	50	45
Course-MPCH-519	Medicinal Chemistry-III	50	45
Course-MPCH-520	Drug Design and Development-I	50	45
	Project/Dissertation		Satisfactory/unsatisfactory
	<b>Total Marks:</b>	<b>250</b>	<b>225</b>

### Semester- IV

		<b>Max. Marks</b>	<b>Hrs.</b>
Course-MPCH-521	Drug Design and Development-II	50	45
Course-MPCH-522	Organic Synthesis-IV ( <i>Natural Products</i> )	75	60
Course-MPCH-523	Medicinal Chemistry-IV	50	45
Course-MPCH-524	Physical Chemistry-II ( <i>Analytical Techniques</i> )	75	60
	<b>Total Marks:</b>	<b>250</b>	<b>210</b>
	Project/Dissertation		Satisfactory/unsatisfactory

*M.Sc. Chemistry*  
*(Pharmaceutical Chemistry)*

*Semester-III*

*M.Sc. Chemistry (Pharmaceutical Chemistry) Semester-III*  
**MPCH-516: Biophysical Chemistry**

**45 hrs.**

**Time: 3 Hrs.**

**Max. Marks: 40+10(Internal Assessment)**

**Instructions for paper setters and candidates**

- I. Examiner will make five sections of paper namely Section-I, II, III, IV and V
- II. Examiner will set total of NINE questions comprising ONE compulsory question of short answer type covering whole syllabi and TWO questions from each unit.
- III. Section-I will consist of eight short questions carrying 1 Mark each.
- IV. Section-II, III, IV and V of paper will consist of EIGHT questions in total having TWO questions from each unit of the syllabus and each question carry 8 Marks.
- V. The students are required to attempt FIVE questions in all, taking ONE Compulsory question of section-I and one question from each section i.e. II, III, IV and V.

**UNIT-I**

**10 Hrs**

1. **Water:** Structure and Interactions, Water as a solvent, proton mobility.
2. **Biophysical Interactions:** Intermolecular interactions effecting conformation of biomolecules; non-covalent interactions e.g., ionic bonds, dipole-dipole interactions, hydrophobic interactions, hydrogen bonds, dispersion forces etc.

**UNIT-II**

**11Hrs**

3. **Bioenergetics:** Standard free energy change in biochemical reactions, exergonic, endergonic. Hydrolysis of ATP, synthesis of ATP from ADP.
4. **Statistical Mechanics in Biopolymers:** Chain configuration of macromolecules, statistical distribution end to end dimensions, calculation of average dimensions for various chain structures. Polypeptides and proteins structures, Introduction to protein folding problem.

**UNIT-III**

**11Hrs**

5. **Thermodynamics of Biopolymer Solutions:** Thermodynamics of biopolymer solutions, osmotic pressure, membrane equilibrium, muscular contraction and energy generation in mechanochemical system.

6. **Cell Membrane and Transport of Ions:** Structure and functions of cell membrane, ion transport through cell membrane, irreversible thermodynamic treatment of membrane transport, nerve conduction.

#### UNIT-IV

7. **Experimental Techniques for the Determination of Size, Shape and Molecular Mass of Biopolymers:** 13Hrs
- i). **Viscosity:** Measurement, relation to geometry and correlation with hydrodynamic properties.
  - ii). **Diffusion:** Fick's law of diffusion, diffusion coefficient and its interpretation, frictional coefficient.
  - iii). **Ultra centrifugation:** Svedberg equation, sedimentation equilibrium, density gradient sedimentation.
  - iv). **Electrophoresis:** General Principles, Double layer, Techniques: Moving Boundary Electrophoresis, Zonal Electrophoresis, Isoelectric Focusing.
  - v). **Osmotic Pressure:** Second virial coefficient, molecular mass and geometry from O.P. data, Donnan membrane effect, Drug absorption.
  - vi). **Optical Properties of Biomacromolecules:** Light scattering, Fundamental concepts, Rayleigh scattering, Scattering by larger particles.
  - vii). **Solubility of Biomolecules:** As solutions of polyelectrolytes, Debye-Huckel theory, Applications to proteins purification.
  - viii). **Stability of Biomolecules in Solutions:** Denaturation, Method of Stabilization.
  - ix). Micells, Reverse micelles and liquid membranes-conformation and bioprocess applications.

#### Books Recommended:

1. Physical Chemistry and its Biological Applications by W.S. Brey (Chapter 5 and 12). Academic Press (1978).
2. Physical Chemistry for the Biosciences by Raymond Chang University Science Books, California, (2005).
3. Principles of Physical Biochemistry by Kensal E. van Holde, W. Curtis Johnson and P. Shing Ho, Prentice-Hall International, Inc., New Jersey (1998).
4. Physical Chemistry for the Life Sciences by Peter Atkins and Julio de Paula, W.H.

- Freeman and Company, New York (2006).
5. Biochemistry by D. Voet and J.G. Voet, John Wiley and Sons, 1995.
  6. Physical Chemistry: Principles and Applications in Biological Sciences. By Tinoco I., Jr. Sauer, K., Wang, J.C. and Puglisi, J.D. 4<sup>th</sup> Edition, Pearson Education, Inc., New Delhi (2007).

*M.Sc. Chemistry (Pharmaceutical Chemistry) Semester-III*  
**MPCH-517: Organic Synthesis-III**  
*Pericyclic and Photochemistry*

**45 hrs.**

**Time: 3 Hrs.**

**Max. Marks: 40+10(Internal Assessment)**

**Instructions for paper setters and candidates**

- I. Examiner will make five sections of paper namely Section-I, II, III, IV and V
- II. Examiner will set total of NINE questions comprising ONE compulsory question of short answer type covering whole syllabi and TWO questions from each unit.
- III. Section-I will consist of eight short questions carrying 1 Mark each.
- IV. Section-II, III, IV and V of paper will consist of EIGHT questions in total having TWO questions from each unit of the syllabus and each question carry 8 Marks.
- V. The students are required to attempt FIVE questions in all, taking ONE Compulsory question of section-I and one question from each section i.e. II, III, IV and V.

**UNIT-I**

**1. (a) Pericyclic Reactions**

**12 Hrs**

Molecular orbital symmetry, Frontier orbitals of ethylene, 1,3-butadiene, 1,3,5-hexatriene, allyl system, classification of pericyclic reactions FMO approach. Woodward-Hoffmann correlation diagrams method and Perturbation of molecular orbital (PMC) approach for the explanation of pericyclic reactions under thermal and photo-chemical conditions.

Electrocyclic reactions – conrotatory and disrotatory motions,  $4n$ ,  $4n+2$ , allyl systems secondary effects. Cycloadditions – antarafacial and suprafacial additions, notation of cycloadditions ( $4n$ ) and ( $4n+2$ ) systems with a greater emphasis on ( $2+2$ ) and ( $4+2$ ) cycloaddition-stereochemical effects and effects of substituents on the rates of cycloadditions, 1,3-dipolar cyclo-additions and cheletropic reactions.

**UNIT-II**

**1. (b) Pericyclic Reactions**

**10 Hrs**

Sigmatropic Rearrangements-suprafacial and antarafacial shifts [1,2]- sigmatropic shifts involving carbon moieties retention and inversion of configuration, (3,3) and (5,5) sigma-tropic rearrangements, detailed treatment of Claisen and Cope rearrangements, fluxional tautomerism, aza-cope rearrangements, introductions to Ene reactions, simple problems on pericyclic reactions. Electrocyclic rearrangement of cyclobutenes and 1,3-cyclohexadienes.

**UNIT-III**

**2. Photochemistry**

**(i) Photochemical Reactions**

**3 Hrs**

Interaction of electromagnetic radiation with matter, types of excitations, fate of excited molecule, quantum yield, transfer of excitation energy, actinometry.

**(ii) Determination of Reaction Mechanism**

**3 Hrs**

Classification, rate constants and life times of reactive energy states –determination of rate constants of reactions. Effect of light intensity on the rate of photochemical reactions.

Types of photochemical reactions – photodissociation, gas-phase photolysis.

**(iii) Photochemistry of Alkenes**

**5 Hrs**

Intramolecular reactions of the olefinic bond – geometrical isomerism, cyclisation reactions, rearrangement of 1,4- and 1, - dienes.

**UNIT-IV**

**(iv) Photochemistry of Carbonyl Compounds**

**6 Hrs**

Intramolecular reactions of carbonyl compounds – saturated, cyclic and acyclic,  $\beta$ ,  $\gamma$ - unsaturated and  $\alpha,\beta$ -unsaturated compounds, Cyclohexadienones. Intermolecular cycloaddition reactions – dimerisations and oxetane formation.

**(v) Photochemistry of Aromatic Compounds**

**3 Hrs**

Isomerisations, additions and substitutions.

**(vi) Miscellaneous Photochemical Reactions**

**3 Hrs**

Photo-Fries reactions of anilides. Photo-Fries rearrangement. Barton reaction. Singlet molecular oxygen reactions. Photochemical formation of smog. Photodegradation of polymers. Photochemistry of vision.

**Books Recommended:**

1. Pericyclic reactions: A Mechanistic study by S. M. Mukherji
2. The Conservation of Orbital Symmetry by R. B. Woodward and R. Hoffman
3. Organic Photochemistry – Chapman and Depuy.
4. Organic Photochemistry – W.H. Horsepool.
5. Photochemistry of Excited States – J.D.Goyle.
6. Fundamentals of Photochemistry by K.K. RohtagiMukherji



*M.Sc. Chemistry (Pharmaceutical Chemistry) Semester-III*  
**MPCH-518: Pharmacology-II**

**45 hrs.**

**Time: 3 Hrs.**

**Max. Marks: 40+10(Internal Assessment)**

**Instructions for paper setters and candidates**

- I. Examiner will make five sections of paper namely Section-I, II, III, IV and V
- II. Examiner will set total of NINE questions comprising ONE compulsory question of short answer type covering whole syllabi and TWO questions from each unit.
- III. Section-I will consist of eight short questions carrying 1 Mark each.
- IV. Section-II, III, IV and V of paper will consist of EIGHT questions in total having TWO questions from each unit of the syllabus and each question carry 8 Marks.
- V. The students are required to attempt FIVE questions in all, taking ONE Compulsory question of section-I and one question from each section i.e. II, III, IV and V.

**UNIT-I**

**10Hrs**

1. **Drugs Acting on Cardiovascular System:** Cardiac glycosides and drug for congestive cardiac failure, coronary insufficiency and antianginal, antihypertensive drugs, antiarrhythmic drugs.
2. **Drugs Acting on Kidney:** Diuretics, antidiuretics.

**UNIT-I**

**11Hrs**

3. **Drugs Acting on Gastrointestinal Tract:** Drugs for treatment of peptic ulcer, emetics, antiemetics and prokinetic agents, purgatives and anti diarrhoeal agents.
4. **Drugs acting on Haemopoietic System:** Anti anaemic agents, drugs for coagulation disorders, fibrinolytic agents, antiplatelet drugs, drugs used in bleeding disorders, agents used in hyperlipidemia.

**UNIT-III**

**11Hrs**

5. **Hormones and Related Drugs:** Introduction to endocrine pharmacology, pituitary hormones, oxytocic and tocolytic agents, thyroid hormones and anti thyroid agents, hormones of pancreas and antihyperglycemic agents, adrenal corticosteroids and corticosteroid antagonists, gonadal hormones and their inhibitors, oral contraceptives, drugs regulating calcium homeostasis.
6. **Drugs acting on Respiratory System:** Bronchitis, Asthma, Cough.

**UNIT-IV**

**13Hrs**

7. Introduction to the antimicrobial therapy
  1. Chemotherapeutic Agents Part- I
    - 2.1. Beta- lactam and other cell- wall & membrane- active antibiotics
    - 2.2. Tetracyclins, macrolides, chloramphenicol & streptogramins
    - 2.3. Aminoglycosides & spectinomycin

- 2.4. Sulfonamides, trimethoprim & quinolones
2. Chemotherapeutic Agents Part- II
  - 3.1. Antimycobacterial agents
  - 3.2. Antifungal agents
  - 3.3. Antiprotozoal agents
  - 3.4. Antiviral agents
4. Cancer chemotherapy
5. Immunopharmacology
6. Neurodegenerative disorders: Pathophysiology and drug therapy of Alzheimer's disease, Multiple sclerosis, Huntington's chorea.
7. Drug therapy of inflammatory disorders: Disease modifying antirheumatic agents (DMARDs), Gout and Inflammatory Bowel disease

**Books Suggested (Latest editions unless specified):**

1. K.D.Tripathi, Essentials of Medical Pharmacology, 6th edition, Jaypee Brothers, New Delhi, India.
2. P.K.Das, S.K.Bhattacharya and P.Sen, Pharmacology B.I.Churchill Livingstone Pvt. Ltd., New Delhi, India,
3. C.R.Craig and R.E. Stitzel, Modern Pharmacology, 6th Edition, Little Brown and Company, New York, U.S.A.
4. J.G.Hardman and L.E.Limbird (eds), Goodman and Gilman's The Pharmacological Basis of Therapeutics, 11th edition, Mc Graw Hill, New-York, U.S.A.
5. B.G.Katzung. Basic and Clinical Pharmacology, 10th edition, Prentice Hall, International Inc., New Jersey, U.S.A.

**Recommended Books (Latest editions unless specified):**

1. J.G. Hardman and L.E. Limbird (Eds), Goodman and Gilman's The Pharmacological Basis of Therapeutics, 11th Edition, McGraw Hill, New-York, U.S.A.
2. B.G. Katzung, Basic and Clinical Pharmacology, 10th ed (or The Latest Ed. Available), McGraw Hill.
3. J.E.F. Reynolds, Martindale, The Extra Pharmacopoeia. The Pharmaceutical Press, London, U. K.
4. T.M. Speight (Ed.), Avery's Drug Treatment: Principles and Practice of Clinical Pharmacology and Therapeutics, 3rd Edition, ADIS Press, Aucland, 1987.

*M.Sc. Chemistry (Pharmaceutical Chemistry) Semester-III*  
**MPCH-519: Medicinal chemistry-III**

**45 hrs.**

**Time: 3 Hrs.**

**Max. Marks: 40+10(Internal Assessment)**

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- II. Examiner will set total of NINE questions comprising ONE compulsory question of short answer type covering whole syllabi and TWO questions from each unit.
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**Unit-I**

**1. Introduction to Pharmaceuticals**

**11 Hrs**

Historical Development, Classification of Drugs, Nomenclature of Pharmaceuticals, Drug metabolism reactions. Structure, stereochemistry, nomenclature, mode of action, specific clinical applications and structure activity relationships of following classes of drugs and synthesis/commercial routes to specified drugs.

**Vitamin and Hormones**

**Hormones:** Sex hormones and related compounds. (Estrogens, Androgens, Progestational agents, Anabolic steroids, Contraceptives), Adrenal cortex hormones, Thyroid hormones and antithyroid drugs, Pancreatic hormones, Hypothalamus hormones.

**Vitamins:** Fat soluble vitamins (A, D, E and K), water soluble vitamins (Folic acid, B<sub>12</sub> and C).

**Commercial routes to:** Testosterone, cortisone, Progesterone, Vit. A, D, E, K Folic acid and Vit. C.

**Unit II**

**Cardiovascular drugs:**

**11Hrs**

Vasodilators, Antihypertensive agents, Antihypercholesterolemic drugs, Antiarrhythmic, drugs, Sclerosing agents, Coagulants and anticoagulants, Cardiotonic compounds, Synthetic hypoglycemic agents. **Commercial Synthetic route to:** Papverine, oxprenolol, atenolol,

propranolol, practolol, Nafidipine, Quinidine, Clofibrate, captopril, Diltiazem, Verapamil, clonidine, prazosine, Dipyridiamole, Pentoxifylline Procainamide, Enalapril, Guanethidine.

### Unit-III

#### **Analgesics and Antitussives**

**12 Hrs**

Morphine and related opioids, Narcotic antagonists, **Synthetic analgesics-Antitussives:** Opium alkaloid, Morphine analogs, Synthetic non-narcotic antitussives, mucolytic agents. **Commercial routes to** : Meperidine, Methadone dextro- Propoxyphene, Buprinorphine, Pentanorphine, Pentazocine, dextromrthorphan, Bromohexine, Papaverine, Levopropoxyphene, cyclazocine.

#### **Antipyretics and Non-steroidal Anti-inflammatory Agents:**

Salicylic acid derivatives. Indolyl and Arylacetic acid derivatives. Pyrazole derivatives. Aminophenol derivatives, Arylpropionic acid derivatives, Salol Principle, Anti-Gout Drugs. **Commercial Synthetic Route To:** Ibuprofen, Naproxen, Fenoprofen, Piroxicam, Indomethacin, Sulindac, Diclofenac, Ibufenac, Ketoprofen, Oxyphenbutazone, Phenylbutazone, Zompirac.

### Unit-IV

#### **Diuretics:**

**11Hrs**

Osmotic agents, Acidifying salts. Mercurials, Purines and related heterocycles, Sulfonamides, Benzothiadiazene and related compounds, Chlorothiazides and analogs, Sulfamoylbenzoic acid and analogs, Endocrine antagonists, miscellaneous diuretics. **Commercial Synthetic Routes to** Furosemide, Methalthiazide methylchlorothiazide: Chlorothiazide, Triameterene, Hydrochlorothiazide, Amelorida, Chlorthalidone.

#### **Books Recommended:**

1. Wilson and Gisvolds Textbook of Organic Medicinal and Pharmaceuticals Chemistry, 8<sup>th</sup> Edition, edited by R.F. Doerge, J.B. Lippincott Company, Philadelphia, 1982.
2. Pharmaceutical Chemicals in Perspective, B.G. Reuben and H.A. Wittcoff, John Wiley & Sons, New York, 1989.
3. W.O. Foye, T.L. Lamke, D.A. Williams, Principles of Medicinal Chemistry, 5<sup>th</sup> Edition, Lippincott Williams and Wilkins, 2002.

*M.Sc. Chemistry (Pharmaceutical Chemistry) Semester-III*

**MPCH-520: Drug Design and Development-I**

**45 hrs.**

**Time: 3 Hrs.**

**Max. Marks: 40+10(Internal Assessment)**

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- I. Examiner will make five sections of paper namely Section-I, II, III, IV and V
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- IV. Section-II, III, IV and V of paper will consist of EIGHT questions in total having TWO questions from each unit of the syllabus and each question carry 8 Marks.
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**UNIT-I**

**11Hrs**

1. **Introduction History and Objective of drug designing:** Economic aspects of drug designing. Procedures followed in drug designing. Lead based methods. Approaches to lead discovery. Drug discovery without a lead-de Novo drug designing.
2. **Structure Activity Relationships:** Quantitative analysis of structure activity relationships. Hansch Paradigm for pharmaceuticals - Apparent lack of structure-activity relationships. Apparent structure activity relationships, True structure activity relationships. **Extra-thermodynamic parameters:** Electronic, Steric and Hydrophobic substituents constant. Structural and theoretical parameters. Bioisostereism. Hansch analysis, Free and Wilson method Physicochemical parameters, Craig Plot, Topliss operational scheme. Cluster analysis. Pattern recognition. Partition coefficient and its significance.

**UNIT-II**

**12Hrs**

3. **Drug Designing and molecular orbital method:** Molecular orbital calculations and chemical reactivity. Perturbation theories of drug action. Pullman's dipositive bond theory. Role of charge transfer processes in drug action. Conformational aspects and molecular orbital calculations. Molecular orbital approach to drug design with specific example of thiadiazine antihypertensives.
4. **Pharmacokinetics in Drug designing:** Pharmacokinetics, Environmental pharmaco kinetics. Single and two compartment pharmacokinetics. Pharmacokinetics of drug metabolism. Dissection of a drug molecule into biofunctional moieties. Modulation of pharmacokinetics by molecular manipulations : modulation of distribution of pharmacea over various compartments, modulation

of time-concentration relationship. Biopharmaceutics. Generic equivalence and non-equivalence. Role of biopharmaceutics in Drug designing.

**UNIT-III**

**11Hrs**

**5. Drug Receptor-Interaction:** Historical, Receptor theories and forces involved in drug receptor interaction. Stereochemical and conformational aspects of drug receptor interaction. Agonists and Antagonists. Designing or receptor antagonists. Receptor binding as a tool in designing biologically active steroids

**6. Peptidomimetics :** Rational design of Peptidomimetics, nonpeptide, ligands for peptide receptors, Applications of oligonucleotides in antiviral and antitumoral chemotherapy. Antisense nucleotides design.

**UNIT-IV**

**11Hrs**

**7. Prodrug Approach:** Basic concept, Common prodrugs. Reversal of prodrugs - chemical and enzymatic. Application of prodrug approach to alter taste and odour, reduction of pain at injection site, reduction of gastrointestinal irritability. Alteration of drug solubility, increasing chemical stability. Prevention of presystemic metabolism. Prolongation of drug action, site specific drug delivery. Reduction in drug toxicity. Alteration of drug metabolism. (7 Hrs)

**Books Recommended :**

1. The Organic Chemistry of Drug Design and Drug Action, by R. B. Silverman, Academic Press, 1992.
2. Drug Designs - A series of monographs in medicinal chemistry edited by A. J. Ariens. 1st edition, Vol. I, II, V, VIII & IX (only relevant chapters).
3. Comprehensive Medicinal Chemistry, Pergamon Press, 1990, Vol. 4.

*M.Sc. Chemistry*  
*(Pharmaceutical Chemistry)*

*Semester-IV*

*M.Sc. Chemistry (Pharmaceutical Chemistry) Semester-IV*  
**MPCH-521: Drug Design and Development-II**

**45 hrs.**

**Time: 3 Hrs.**

**Max. Marks: 40+10(Internal Assesment)**

**Instructions for paper setters and candidates**

- I. Examiner will make five sections of paper namely Section-I, II, III, IV and V
- II. Examiner will set total of NINE questions comprising ONE compulsory question of short answer type covering whole syllabi and TWO questions from each unit.
- III. Section-I will consist of eight short questions carrying 1 Mark each.
- IV. Section-II, III, IV and V of paper will consist of EIGHT questions in total having TWO questions from each unit of the syllabus and each question carry 8 Marks.
- V. The students are required to attempt FIVE questions in all, taking ONE Compulsory question of section-I and one question from each section i.e. II, III, IV and V.

**UNIT-I**

**11Hrs**

**1. The Importance of Biotechnology for the Discovery of Better and Safer Drugs:** Introduction, Production of Human Proteins, the First Generation of New Drugs from Recombinant DNA, Natural Proteins, as leads for structurally modified proteins: The Second Generation of Products from Biotechnology, Examination of the Structure and Function of Proteins as Tools for the Evaluation of Pathological Processes: The Third Generation of Products from Biotechnology.

**UNIT-II**

**12Hrs**

**2. Designs of Enzyme inhibitor:** Mechanism of enzymatic catalysis. Transition state analogs as enzyme inhibitors. Kinetics of irreversible enzyme inhibition. Design of Reversible and irreversible enzyme inhibitors with following examples: chymotrypsin. Subtilisin, Elastase. Pepsin. Cholinesterase, Diaminases. Carboxypeptidase. Glutamin synthetase, Trisphosphate isomerase, Aldolase, Enolase, Decarboxylases, Lysozyme and other glycosyl transferring enzymes. Creatine Kinase, adenylate kinases. Aspartate transcarbamoylase. Natural products as enzyme inhibitors. Penicillin, Cephalosporin, Leupeptin. Nojirimycin, Pentastatin, Captopril, Sulphonamides.

**UNIT-III**

**11Hrs**

**3. Computer Aided Drug Designing:** Computer requirement hardware, software, Data base and information retrieval techniques. Graphical description of chemical structure. Molecular interactions and interactive graphics. Modelling in medicinal chemistry-uses and limitations. Logical structural approaches. Activity feature selection within a group of compounds, Activity profile selection. Topological and topographical descriptors.



**UNIT-IV**

**11Hrs**

**4. Search for Better and Safer Drugs: Impact of External Factors- The Social, Financial, and Working Environment:** Drug Therapy and Public Opinion, Drug Research and Financial Constraints, Working Climate for Innovative Drug Research. (4 Hrs)

**Books Recommended :**

1. The Organic Chemistry of Drug Design and Drug Action, by R. B. Silverman, Academic Press, 1992.
2. Drug Designs - A Series of Monographs in Medicinal Chemistry Edited by A. J. Ariens. 1st edition, Vol. I, II, V, VIII & IX (only relevant chapters).
3. Comprehensive Medicinal Chemistry. Pergamon Press. 1990, Vol. 4.
4. Modern Drug Research , Paths to Better and Safer Drugs, Medicinal Research Series, Volume 12, Edited by Yvonne Connolly Martin Eberhard Kutter Volkhard Austel

*M.Sc. Chemistry (Pharmaceutical Chemistry) Semester-IV*  
**MPCH-522: Organic Synthesis-IV**  
*Natural Products*

**60 hrs.**

**Time: 3 Hrs.**

**Max. Marks: 60+15(Internal Assessment)**

**Instructions for paper setters and candidates**

- I. Examiner will make five sections of paper namely Section-I, II, III, IV and V
- II. Examiner will set total of NINE questions comprising ONE compulsory question of short answer type covering whole syllabi and TWO questions from each unit.
- III. Section-I will consist of eight short questions carrying 1.5 Mark each.
- IV. Section-II, III, IV and V of paper will consist of EIGHT questions in total having TWO questions from each unit of the syllabus and each question carry 12 Marks.
- V. The students are required to attempt FIVE questions in all, taking ONE Compulsory question of section-I and one question from each section i.e. II, III, IV and V.

**UNIT-I**

**1. Studies on Biosynthetic Pathways of Natural Products**

**10 Hrs**

- a) The acetate hypothesis, poly-ketoacids, their addol type cyclisations and meta orientations of hydroxyl groups in naturally occurring phenols.
- b) Isoprene rule, mechanism of formation of mevalonic acid from acetyl coenzyme, Biogenetic isoprene rule. Geranyl pyrophosphates and its conversion into alphapinene, thujene and borneol. Farnesyl pyrophosphate, geranyl, geranyl pyrophosphate and mechanistic considerations for their interconversions into cadinene and abietic acid.

**2. Terpenoids**

**5 hrs**

General classification, General Methods of structure determination, Chemistry of Camphor, Abietic acid, Santonin biosynthetic studies on tri and tetra terpenoids.

**UNIT-II**

**3. Carbohydrates**

**8 Hrs**

Conformation of monosaccharides, structure and functions of important derivatives of monosaccharides like glycosides, deoxy sugars, myoinositol, amino sugars, N-acetylmuramic acid, sialic acid, disaccharides and polysaccharides. Structural polysaccharides-cellulose and chitin. Storage polysaccharides – cellulose and chitin. Storage polysaccharides-starch and glycogen. Structure and biological functions of glucosaminoglycans or mucopolysaccharides. Carbohydrate metabolism-Kreb's cycle, glycolysis, glycogenesis and glycogenolysis, gluconeogenesis, pentose phosphate pathway.

**4. Amino-acids, Peptides and Proteins**

**8 Hrs**

Chemical and enzymatic hydrolysis of proteins to peptides, amino acid sequencing. Secondary structure of proteins, forces responsible for holding of secondary structures.  $\alpha$ -helix,  $\beta$ -sheets,

super secondary structure, triple helix structure of collagen, Tertiary structure of protein-folding and domain structure. Quaternary structure.

Amino- acid metabolism-degradation and biosynthesis of amino acids, sequence determination: chemical/enzymatic/mass spectral, recemization/detection. Chemistry of oxytocin and tryptophan releasing hormone (TRH)

### UNIT-III

#### 5. Nucleic Acids

6 Hrs

Purine and pyrimidine bases of nucleic acids, base pairing via H-bonding. Structure of ribonucleic acids (RNA) and deoxyribonucleic acids (DNA), double helix model of DNA and forces responsible for holding it. Chemical and enzymatic hydrolysis of nucleic acids. The chemical basis for heredity, and overview of replication of DNA, transcription, translation and genetic code. Chemical synthesis of mono and trinucleoside.

#### 6. Steroids

5 Hrs

General biosynthetic studies on steroids, chemistry of Cholesterol, cortisone, progesterone, oestrone, transformations in steroid molecules.

#### 7. Alkaloids

5Hrs

Classification, chemistry of nicotine, quinine, papaverine, morphine and reserpine.

### UNIT-IV

#### 6. Haemin and Chlorophyll

5Hrs

Structure and synthesis of Porphyrins. Chemistry of Haemin and chlorophyll.

#### 7. Antibiotics

5Hrs

Introduction, chemistry of pencillins, streptomycines, chloromphenicol, tetracyclins.

#### 8. Prostaglandins

3Hrs

General study, nomenclature, structure of PGE and synthesis of PGE1, PGE2, PGF2x

#### Books Recommended:

1. Primary Metabolism: A Mechanistic Approach by J. Staunton, Oxford University Press, 1978.
2. Secondary Metabolism by J. Mann, Oxford University Press, Oxford, 1980.
3. Natural Product Chemistry - A mechanistic, Biosynthetic and Ecological Approach by Kurt B. G. Torssell, Swadish Pharmaceutical Society, 1997.
4. Principles of Biochemistry by A. L. Lehninger, CBS Publishers, New Delhi.
5. Fundamental of Biochemistry by D. Voet, J.G. Voet and C.W. Pratt, John Willey & Sons Inc., New York, 1999.

*M.Sc. Chemistry (Pharmaceutical Chemistry) Semester-IV*  
**MPCH-523: Medicinal Chemistry-IV**

**45 hrs.**

**Time: 3 Hrs.**

**Max. Marks: 40+10(Internal Assessment)**

**Instructions for paper setters and candidates**

- I. Examiner will make five sections of paper namely Section-I, II, III, IV and V
- II. Examiner will set total of NINE questions comprising ONE compulsory question of short answer type covering whole syllabi and TWO questions from each unit.
- III. Section-I will consist of eight short questions carrying 1 Mark each.
- IV. Section-II, III, IV and V of paper will consist of EIGHT questions in total having TWO questions from each unit of the syllabus and each question carry 8 Marks.
- V. The students are required to attempt FIVE questions in all, taking ONE Compulsory question of section-I and one question from each section i.e. II, III, IV and V.

**Unit-I**

**11Hrs**

Structure, stereochemistry, Mode of action, Structure activity relationships, specific clinical applications of following classes of pharmaceuticals with synthetic/commercial route to the indicated examples.

**Antibacterials:**

Penicillines, Cephalosporins, Tetracyclines, Aminoglycosides, Chloramphenicol, Macrolides, Lincomycins, Polypeptides antibiotics, Polyene antibiotics. Sulfonamides and Sulfones fluoroquinolones, Trimethoprim and other unclassified antibiotics.

**Antimycobacterials:** Sulfanilamides, p-Aminosalicylic acid derivatives, Thioamides, Thiourea, derivatives, Thiosemicarbazones, Isoniazid, Kanamycin sulfate, Capreomycin, Rifaampin, Pyrazinamide, Anthionamide, Clofazimine, Cyclosporin, Dapsone, Sulfazem.

**Commercial synthetic / semi-synthetic routes to :** 6-aminopenicillanic acid, ampicillin, amoxycillin, production of penicillin, 7-aminocephalosporanic acid, cephalexin, ceftizoxime, cefaclor, cephalothin, **Tetracyclins:** doxycycline, nalidixic acid, sulfadiazine, Norflaxacin, Ciproflexacin, O-flaxacin, Amiflaxacin, Difloxacin, Chloramphenicol, Nitrofluranton, Sulfamethoxazole, Acetylsulfoxiazole, Trimethoprim.

**Unit-II**

**11Hrs**

**Antimalarials:**

Cinchona alkaloids, 4-Aminoquinolines, 8-Aminoquinolines, 9-Aminoacridines, Biguanides, Pyrimidines and Sulfones, Mefloquine, Sulfonamides.

**Commercial synthetic routes to :** Chloroquine, pamaquine, primaquine, proguanil, Amodiaquine,

Mefloquine, Pyremethamine, Sontoquine.

### Unit-III 11Hrs

Antiamoebic and Antiprotozoal Drugs:

Emetine hydrochloride, 8-Hydroxyquinoline, Iodochlorohydroxyquinol Metronidazole, Diloxanide furoate, Bilamical hydrochloride, Hydroxystilbamidine isothionate, Pentamidine isothionate, Nifurtimox, Suramin sodium, Carbarsone, Glycobiarsol, Melarsoprol, Sodium stibogluconate, Dimercapool, Diethylcabamazine citrate, Centarsona, Acetarsona, Antimony potassium tartarate, Bismuth sodium thioglycollate, Sulphonamide, Stibiophen. Bismuth sodium thioglycollamate, Furazolidone.

**Commercial synthetic routes to :** Metronidazole, ronidazole, flunidazole, iodoquinol, nifurfimax, benzindazole, tryparsamide.

**Anthelmintics:** Introduction, Tetrachloroethylene, Piperazines, Gentian violet, Pyrvinium pamoate, Thiabendazole, Mabendazole, baphenium hydroxynaphthoate, Dichlophen, Niclosamide, Levamisole hydrochloride, Tetramisole, Niridazole, Biothional, Antimonypotassium tartarate, Stibiophen, Sodium Stibiocaptate.

### Unit-IV

12Hrs

**Antifungal Drugs:** Fatty acids and their derivatives (Propionic acid, zinc propionate, sodium caprylate, zinc caprylate, undecylenic acid, Zinc undecylenate, Triacetin), Salicylanilids, Salicyclic acid, Tolnaftate, pchloromethoxylenol, Acrisocrin, Fluconazole, Itraconazole, Haloprogin, Clotrimazole, Econazole, Miconazole, Ketoconazole, Flucytosine, Griseofulvin, Polyene antibiotics (Nystatin, Amphoetericin-B), Chlorophenesin, Dithranol.

**Commercial synthetic routes to:** Miconazole, Clotrimazole, Econazole, Fluconazole, Griseofulvin, Ketoconazole, Naftidine, Tolnaftate, Flucytosin.

### Books Recommended:

1. Wilson and Gisvolds Textbook of Organic Medicinal and Pharmaceuticals Chemistry, 8th edition, edited by R.F. Deorge, J.B. Lippincott Company, Philadelphia, 1982.
2. Pharmaceutical Chemicals in Perspective. B.G. Reuben and H.A. Wittcoff, John Wiley & Sons, New York, 1989.
3. W.O. Foye, T.L. Lamke, D.A. Williams, Principles of Medicinal Chemistry, 5<sup>th</sup> Edition, Lippincott Williams and Wilkins, 2002.

*M.Sc. Chemistry (Pharmaceutical Chemistry) Semester-IV*  
**MPCH-524: Physical Chemistry-II**  
*Analytical Techniques*

**60 hrs.**

**Time: 3 Hrs.**

**Max. Marks: 60+15(Internal Assessment)**

**Instructions for paper setters and candidates**

- I. Examiner will make five sections of paper namely Section-I, II, III, IV and V
- II. Examiner will set total of NINE questions comprising ONE compulsory question of short answer type covering whole syllabi and TWO questions from each unit.
- III. Section-I will consist of eight short questions carrying 1½ Mark each.
- IV. Section-II, III, IV and V of paper will consist of EIGHT questions in total having TWO questions from each unit of the syllabus and each question carry 12 Marks.
- V. The students are required to attempt FIVE questions in all, taking ONE Compulsory question of section-I and one question from each section i.e. II, III, IV and V.

**UNIT-I**

**1.(a) Potentiometric Methods**

**15Hrs**

Reference electrodes: Calomel electrodes, silver- silver chloride electrodes, precautions in the use of reference electrodes, metallic indicator electrodes and its types, metallic redox indicators, membrane indicator electrodes, classification of membranes, properties of ion-selective electrodes, the glass electrodes for pH measurement, composition and structure of glass membrane, the hygroscopicity of glass membrane, conduction across glass membrane, the membrane potential, the boundary potential, the potential of glass electrode, the alkaline and error, the glass electrodes for other cations, crystalline membrane electrode and their conductivity, the fluoride electrode, the electrode based on silver salts.

**UNIT-II**

**1.(b) Potentiometric Methods**

**8Hrs**

Direct potentiometric measurement, sign conventions, the electrode calibration method, calibration curves for concentration measurements, potentiometric pH measurements with a glass electrode, errors affecting pH measurements with glass electrode.

**2. Thermal Methods**

**7Hrs**

Thermogravimetric methods(TG) :Instrumentation, The balance, Furnace, instrument control, applications, Differential thermal analysis(DTA), instrumentation, general principles, applications, Differential scanning calorimetry(DSC), applications.

### UNIT-III

#### 3.Coulometric Methods

15Hrs

Current-Voltage relationships during an electrolysis, operation of a cell at a fixed applied potential, initial thermodynamic potential, estimation of required potential, current changes during an electrolysis at constant applied potential, potential changes during an electrolysis at constant applied potential, constant current electrolysis, electrolysis at a constant working electrode potential, An introduction to coulometric methods of analysis, units for quantity of electricity, types of coulometric methods, applications, coulometric titrations, applications of coulometric titrations, comparison of coulometric and volumetric titrations.

### UNIT-IV

#### 4. An Introduction to Chromatographic Separations

15Hrs

General description of chromatography, classification of chromatographic methods, Elution chromatography on columns, chromatograms, effect of migration rates and band broadening on resolution, Migration rates of species, partition coefficients, retention time, relationship between retention time and partition coefficients, the rates of solute migration(capacity factor), differential migration rates, the shape of chromatographic peaks, methods for describing column efficiency, definition of plate height, experimental evaluation of H and N, kinetic variables affecting band broadening, relationship between plate height and column variables.

#### Books Recommended:

- 1.Solid State Chemistry : A.R.WEST
- 2.Principles of Instrumental Analysis: Skoog and West
- 3.Principles of Instrumental Analysis : Willard, Merit and Dean