

M.Pharm.Semester-I to IV

Prospectus No. 20121430

संत गाडगे बाबा अमरावती विद्यापीठ
SANT GADGE BABA AMRAVATI UNIVERSITY

आयुर्विज्ञान विद्याशाखा
(FACULTY OF MEDICINE)

अभ्यासक्रमिका
औषधिनिर्माण पदव्युत्तर परीक्षा

सत्र-१ व ३, हिवाळी-२०११ व सत्र-२ व ४, उन्हाळी-२०१२

PROSPECTUS
OF
MASTER OF PHARMACY (PHARMACEUTICAL CHEMISTRY)
EXAMINATIONS
SEMESTER-I & III, WINTER-2011
SEMESTER-II & IV, SUMMER-2012



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M. Pharm. (Pharmaceutical Chemistry)

Semester – II

Subject code : MPC – 201

Subject : ADVANCED ORGANIC CHEMISTRY

THEORY : 60 Hours (4 hrs. /week)

SECTION-A

1. STEREOCHEMISTRY

Molecular dissymmetry, compounds with one, two or more unequal asymmetric carbon atoms and racemic modifications and its resolution, configuration absolute and relative, synthesis of optically active compounds, conformations in cyclic compounds, optical isomerism, shapes of cyclohexanes, five and six-membered heterocyclic rings including methods of preparation and their reaction mechanisms, shapes of rings other than six membered. Stereoselective synthesis, role of inductive, resonance and steric effects in structure and reactivity.

2. MECHANISM, STEREOCHEMISTRY AND APPLICATIONS OF

Birch reduction, Clemensen reduction, Meerwein-Ponndorf reduction, Oppenauer oxidation, Wolf Kishner reduction, Wittig Reaction, Pinacol and related rearrangements, Beckmann rearrangement, Hoffman rearrangement, Claisen rearrangement, Schmidt, Lossen and Curtius rearrangement, Grignard Reagents and hydrides, Aldol condensation Cannizzaro's reaction, Reformatsky reaction, Perkin reaction, Knoevenagel reaction, Haloform reaction and Mannich reaction, Whitmore-1,2-shift, Baeyer-Villiger oxidation, Benzilic acid rearrangement, Fries rearrangement, Cope rearrangement, Sandmeyer reaction, Gomberg reaction, Phase Transfer Catalysis, Allylic bromination, ozonolysis, free radical reactions, use of diazomethane and peracids in synthesis, Study of some reduction of synthetic importance: Reduction with metallic hydroxides, hydrogenation.

SECTION-B

3. PERICYCLIC REACTIONS

Basic theory, orbital symmetry rules and their applications, mechanism, types of pericyclic reactions-cycloaddition, electrolytic reaction, and sigmatropic rearrangement

4. PHOTOCHEMICAL REACTIONS

Introductions and basic principles, photochemistry of carbonyl compounds, photo rearrangements, photochemistry of alkenes and dienes.

5. SYNTHON APPROACH

a. Definition of terms- disconnection, synthon, functional group interconversions.

b. Basic rules in disconnection.

c. Use of synthon approach in synthesis of following components: Trimethoprim, Ibuprofen, Propranolol, Piroxicam.

6. Green Chemistry Approach: Purposes and Application.

Reference Books

1. Advanced Organic chemistry, Reaction mechanisms and structure, J. March, John Wiley and Sons, N.Y.
2. Mechanism and structures in Organic chemistry, E.S Gold, Hold Richard and Winstone, New York.
3. The Organic chemistry of Drug Design and Action, R.B. Silverman, Academic press In., San Diego, 1992.
4. Asymmetrical Synthesis, R.A Aitkin and S.M. Kilengi, Ed., Blackie Academic and professional London, 1995.
5. Organic chemistry, Clayden, Greeves, Warren and Wothers., Oxford University press 2001.
6. Organic chemistry, Vol I and II. I. L. Finar. ELBUS, Sixth ed., 1995.
7. A guide to mechanisms in Organic chemistry- Peterskyes Orient Longman, New Delhi.
8. Reactive intermediates in Organic chemistry- Tandom and Gowel.
9. Molecular reaction and photochemistry- C.H. Deupuy and O.L. Chapman
10. Drug stereochemistry Wainer Stering 1st Edn. 1996 Marcel Decker.
11. Photochemistry and Pericyclic reactions, Jagdamba Singh, Jaya Singh, 2nd edition, New edge International Publishers.
12. Reaction Mechanism In Organic Chemistry, S. M. Mukherji, S.P.Singh, 3rd edition, Macmillan India Ltd.
13. Comprehensive book of stereochemistry- by Eliel
14. Text Book of Organic chemistry – by Morrison and Boyd
15. Text Book of Organic chemistry – by S. K. Ghosh

Subject code : MPC – 202

Subject : ADVANCED MEDICINAL CHEMISTRY

THEORY : 60 Hours (4 hrs. /week)

SECTION-A

1. MEDICINAL CHEMISTRY OF

- a. Antiviral Agents and agents under development of HIV infection.
- b. Immunosuppressant and Immunostimulants.
- c. Agents used in Neurodegenerative disease Like Alzheimer's and Parkinsonism.
- d. GABAnergic Agonists.

e. Antidiabetic agents like Peroxisome Proliferator Activated Receptors inhibitors, Dipeptidyl Peptidase 4 (DPP 4) Inhibitors like Sitagliptin, Vildagliptin, Protein Tyrosine Phosphatase 1 B (PTP 1 B).

f. Antihypertensives like Direct Renin Inhibitors e.g. Aliskiren

2. GASTRIC PROTON PUMP INHIBITORS

Introduction, Gastric acid secretion and its inhibitors, test assay for studying gastric acid inhibitors, irreversible gastric proton pump inhibitors

3. PROTEINS AND PEPTIDE DRUGS

Chemistry, structure and stability, Reactivity of proteins and peptides. Different ways to synthesize these Drugs- Study of insulin, Relaxin, Somatostatin, DNase interferon.

4. COMBINATORIAL CHEMISTRY

a. Introduction

b. Combinatorial approaches

c. Chemical peptide and small molecule libraries

d. Applications, methodology

e. Combinatorial Organic Synthesis

f. Assays and screening of combinatorial libraries synthetic methodologies including solid-phase synthesis (SPS) and solution phase chemistry, Library Purification Methodology.

SECTION-B

5. STRATEGIES IN THE SEARCH FOR NEW LEAD COMPOUNDS

Introduction, improvement of existing drugs, systematic screening including extensive screening, random screening and High-throughput screening, screening of synthetic intermediates, selective optimization of side activities (SOSA) approach, new use for old drugs – An illustrative study with suitable examples.

6. CHIRAL TECHNOLOGY

Introduction to chirality and Techniques used in asymmetric synthesis of Vitamin C, Ampicillin, dextra-propoxyphen, Citrenolol, propranolol.

7. PRODRUG DESIGN

Introduction, chemical bond, gastrointestinal absorption, parenteral administration, distribution, transdermal absorption, pharmacokinetics and biopharmaceutical aspects, rational of prodrug design and practical considerations.

REFERENCES

1. Burger: Medicinal Chemistry series, John Wiley & Sons N.Y.
2. Foye: Principals of Medicinal Chemistry (Varghese & Co.)
3. Lednicer: Organic drug synthesis Vol.1,2,3,4; John Wiley & Sons N.Y.

4. Ariens: Medicinal Chemistry series.

5. Elies & West: Progress in Medicinal Chemistry series.

6. Wilson & Gisvold: Text book of Medicinal Chemistry, J. B. Lippin

7. Comprehensive Medicinal Chemistry series I-IV, Academic Press.

8. Combinational Chemistry-synthesis and applications- Stephen R. Wilson

9. Recent advances in chiral separations, Ed. Stevenson & Wi, Latest 1990, Plenum Press.

10. Chiral Technology, R. A. Steldon, Marcell Dekker Inc. New York.

11. Combinatorial Chemistry Ed. Fennirl Hicham 2000 Oxford University

Subject code: MPC -203

Subject : MODERN ANALYTICAL TECHNIQUES

THEORY : 60 Hours (4 hrs./week)

SECTION-A

1 Spectroscopic methods: Theory, Instrumentation, chemical applications and structural elucidation by UV, IR, FTIR, Near IR (NIR), Raman, ¹H NMR, ¹³C NMR (2-D NMR, COSY), Mass Spectrometry (MALDI, TOF, Quadrapole Analysers), Electron Spin Resonance and Atomic and Molecular Emission spectroscopy, X – Ray Crystallography, Refractometry, Circular Dichroism.

2 Separation Techniques: Fundamental principles, theory, instrumentation and applications of Gas-liquid chromatography, HPLC, Gel chromatography, GC-MS, HPTLC, normal and reverse phase chromatography, and Ion Pair Chromatography. Counter-current chromatography, droplet counter-current chromatography, solvent system, ion exchange affinity, size exclusion, cation/anion exchange, gel electrophoresis for protein and DNA

SECTION-B

3 Thermal Analysis: Theory, Instrumentation and applications of Thermogravimetric Analysis (TGA) and Differential Thermal Analysis (DTA), Differential Scanning Calorimetry (DSC).

4 Immunochemical Techniques: Immunoelectrophoresis, Immunoprecipitation, ELISA, Radioimmunoassay.

References:

- (1) Theory and applications of ultraviolet spectroscopy – M. Orchin and H. H. Jaffe, John Wiley and Sons, N. Y.
- (2) Spectrometric identification of organic compounds – Silverstein, Basseler, Morrill, John Wiley and Sons, N. Y.
- (3) Instrumental Methods of Analysis– Willard, Merritt, Dean, CBS-Publishers and Distributors, Delhi
- (4) Applications of Absorption Spectroscopy of Organic Compounds – J. R. Dyer, Prentice Hall, London

- (5) Chemical Applications of Infra-red spectroscopy – C. N. R. Rao., Academic Press, N. Y.
- (6) Quality assurance of drugs in Pharmaceutical chromatography by P.D.Sethi.
- (8) Introduction to High Performance Liquid Chromatography – R. J. Hamilton, Chapman and Hall, London
- (9) Pharmaceutical Analysis Modern Methods-Part A and Part B – J. W. Munson, Marcel and Dekker
- (10) Indian Pharmacopoeia-2007
- (11) Martindale: The complete Drug Reference – 2007
- (12) Impurities Evaluation of Pharmaceuticals- Satinder Ahuja
- (13) Modern Instrumental Analysis, Vol 47(Comprehensive Analytical Chemistry) - Satinder Ahuja , Neil Jespersen
 1. An introduction to thermogravimetry by Keatch/Dollimore
 2. Jenkins Quantitative Pharmaceutical chemistry, Adelbert M. Khevel, Frans Diangani
 3. Thermal analysis: theory and application by R.T.Sane, Jagdish K. Gadge
- (14) Practical HPLC Method Development, 2nd Edition- Lloyd R. Snyder, Joseph J. Kirkland, Joseph L. Glajch

Subject code : MPC – 204

Subject : RATIONAL DRUG DESIGN

THEORY: 60 Hours (4 hrs. /week)

SECTION-A

1. DRUG DISCOVERY

- a. Historical Perspective
- b. Drug Discovery studies in Direct Drug Design(Structure based) ND Indirect Drug Design
- c. Target Selection and Lead Identification
 - i) Natural Product Sources
 - ii) Fermentation/ microbial sources
 - iii) Synthetic
- d. Introduction to Pharmacogenomics.

2. APPROACHES TO THE RATIONAL DESIGN OF ENZYME INHIBITORS

a. Introduction

- i) Enzyme inhibitors in Medicine
- ii) Enzyme inhibitors in basic Research
- iii) Drug Design based on Antagonism and Enzyme Inhibition

b. Rational design of non covalently & covalently binding enzyme inhibitors

Rapid reversible inhibitors, slow & tight binding inhibitors, Transition state analogs, multisubstrate inhibitors.

3. QUANTITATIVE STRUCTURE ACTIVITY RELATIONSHIP

- a. History and development of QSAR
- b. Drug-Receptor Interactions
- c. Quantitative model parameters: lipophilicity, electronic and steric factors
- d. Hansch Analysis, Free Wilson analysis, relationship between them and their application.
- e. Statistical methods-regression analysis, partial-least square analysis (PLS) and other multivariate statistical methods
- f. 2D, 3D, 4D QSAR & CoMFA and CoMSIA approaches.

SECTION-B

4. MOLECULAR MODELING

- a. Introduction to Molecular Modeling- concepts and methods
- b. Molecular mechanics-Force field (potential energy function)
- c. Quantum Mechanics- Calculation of affinity, unknown receptors, Pharmacophore models
- d. Known receptor sites
- e. Searching for similarity, molecular comparison and finding common pattern
- f. Energy Minimization methods- Steepest, descent, conjugate gradients, Newton methods (Non mathematical)
- g. Conformational Analysis
 - i) Systematic search
 - ii) Monte Carlo Simulations
 - iii) Molecular Dynamics Simulations
- h. Ligand design based on 3D structure

5. Introduction to recent advances in drug design

Quantitative structure pharmacokinetic relationship (QSPR), Bioinformatics, Genomic & Proteomics

6. Study of software for QSAR, Docking, Molecular modeling and protein sequencing.

Reference Books

1. QSAR & Strategies in the design of Bioactive Compound J. K. Seydel Latest after 1984 Deuts che Bibliofech.
2. Nucleic acid targeted Drug Design Propst & Thomas 1997 Marcel Decker.
3. Structure based Drug Design Pandi veera Pandian 1997 Merck Decker
4. A Guide to chemical Basis of Drug Design Burger Alfred 1997 Wiley interscience.

5. Computer aided Drug Design Perun 1st 1989 / Latest Marcel Decker
6. Computational Medicinal Chemistry for Drug Design Patrick Bultinck 1st 2004 Marcel Decker.
7. Nucleic acid targeted Drug Design Propst & Thomas 1997 Marcel Decker
8. Principles of Drug Design by Smith
9. Strategy of Drug Design by Brucell
10. The organic chemistry of the Drug Design and Drug action by Richard B. Silverman
11. Introduction to Quantitative Drug Design by Y.C.Martin
12. Drug Design volumes by Ariens
13. QSAR: Hansch Analysis and Related Approaches by Hugo Kubinyi
14. Textbook of Drug Design and Discovery, Third Edition, Larsen, Liljeors and Madsen

Subject code : MPC – 205

Subject : CHEMISTRY OF NATURAL PRODUCTS

THEORY : 60 Hours (4 hrs. /week)

SECTION-A

1. **NATURAL PRODUCTS AS LEAD FOR NEW PHARMACEUTICALS**
 - a. Introduction
 - b. Primary and secondary metabolites in plants
 - c. Study of natural products as leads like cannabinoids, etoposide, teniposide, khellin, artemisin etc.
2. **ALKALOIDS**
 - a. Detailed chemistry and properties of alkaloids
 - b. Isolation, purification and structural elucidation of morphine, vincristine, reserpine, ephedrine, atropine, β -Carotene, Digoxin, Digoxin.
3. **STEROIDS**
 - a. General introduction
 - b. Stereochemistry, nomenclature and structural elucidation of sterols (cholesterol), sapogenin (diosgenin), and solasodine.
4. **FLAVONOIDS**
Detailed chemistry and properties of Flavonoids and chemical account of rutin & quercetin

SECTION- B

5. **ANTIBIOTICS**
 - a. β - Lactum Antibiotics
Mechanism of action, penicillins, cephalosporins, nocardicilins and monobactams, carbopenams and penams, β -

- Lactamaseinhibitors and other β -Lactum agents
- b. Non β –Lactum Antibiotics
Aminoglycosides, macrolides, linomycins & polypeptide antibiotics

6. **ROLE OF RECOMBINANT DNA TECHNOLOGY AND DRUG DISCOVERY**

Cloning DNA, expression of clonal DNA, manipulation of DNA sequence information new biological targets for drug developments, novel biotechnology derived pharmaceutical products. Antibody, antisense oligonucleotide therapy and gene therapy.

7. **Advances of the active constituents of some drugs used in the following indigenous system of medicines**

1. Diabetic Therapy- Gymnes sylvestre, salacia reticulata, pterocarpus marsupium, swertia, chirata, trigonella, foenum-graccum
2. Liver Disfunction- phyllanthus niruri
3. Antitumor- curcuma longa linn, taxol, teniposide, etoposide.

Reference Books

1. Natural product chemistry by Nakanishi Goggolo
2. Modern methods of plant analysis – Peech and M. V. Tracey
3. Phytochemistry Vol I & II by Miller, Jan, Nostrant, Rein Hid
4. Recent advances in Phytochemistry Vol. I & IV – Scilicet, Runeckles
5. Natural Product Chemistry “A laboratory guide” by Rapheal Ikan.
6. The alkaloid chemistry and physiology by THF Manske
7. Introduction to molecular Phytochemistry – CH Wells, Chapmanstall
8. Organic chemistry of natural products Vol I & II by Gurudeep Chatwal
9. Organic chemistry of natural products Vol I & II by O. P. Agarawal
10. Organic chemistry Vol I & II by I. L. Finar
11. Elements of Biotechnology by P. K. Gupta
12. Pharmaceutical Biotechnology by S. P. Vyas and V. K. Dixit
13. Biotechnology by Purohit and Mathoor
14. Phytochemical methods by Harborne

Subject code : MPC-206

Subject : LABORATORY COURSE-2

Practical 8 hrs. /week (Minimum 20 practical should be conducted)

1. Mixture analysis of 2/3 organic compounds (At least 6)
2. Synthesis of drugs using 3/4 steps, and/ OR Synthons approach and their structure confirmation molecular distillation, fractional crystallization and purification by column chromatography, preparative TLC and structural confirmation by spectroscopic

methods. (At least 4)

3. Isolation, characterization like melting point, mixed melting point, molecular weight determination, functional group analysis co chromatographic techniques for identification of isolated compounds and interpretation of UV&IR data of following (Any 3)

Eugenol from Clove, Curcumin from Turmeric, Sennosides from Senna, Hesperidine from Orange peel, Embelin from embela Ribes, Glycyrrhizin from glycyrrhiza glabra, Plumbigin from Plumbago Rosea, Solarin from potato, Naringen from grape fruit peel, Trimystin and Myristin from Nutmeg, Azylic acid from Castor oil, Pectin from Orange peel, Lycopene from Tomato peel, Epicatechin from Cashew kernel, outer kernel, Piperin from Black pepper

3. To perform the following reaction of synthetic importance (Any 8)
Birch reaction, Clemmenson's reduction, Meerwin-Ponndorf, s reduction, Grignard reaction, Oppeneaur oxidation, Benzylic acid rearrangement, Beckmann rearrangement, Friedal Craft Acylation and Alkylolation, Claisen condensation etc.

REFERENCES

1. Organic synthesis: Fisher and William Son (CBA Publisher)
2. Mann and Saunders, 'Practical Organic chemistry' (Orient Longman)
3. A.I.Vogel, 'Practical Qualitative and Quantitative Organic Chemistry, (Orient Longman)
4. Systematic Identification of Org. Compounds Shriner & Herman 1998, John Wiley & sons
5. Reaction Synthesis in Organic Chemistry Laboratory Tiezel/ Ether 1989, University Science.
