Chhattisgarh Swami Vivekanand Technical University, Bhilai

Scheme of Teaching and Examination

Master of Pharmacy (M. Pharm)

(Pharmaceutical Chemistry)

II Semester

S. No.	Board of study	Subject Code	Subject	Periods per week			Scheme of Examination			Total Marks	Credit L+(T+P)/2
							Theory/Practical				
				L	T	P	ESE	CT	TA		
1	Pharmacy	573211(41)	Pharmaceutical Chemistry-I (Advanced Medicinal Chemistry)	4	1	-	100	20	20	140	
2	Pharmacy	573212(41)	Pharmaceutical Chemistry-II (Advanced Organic Chemistry)	4	1	-	100	20	20	140	
3	Pharmacy	573213(41)	Pharmaceutical Chemistry-III (Natural Products)	4	1	-	100	20	20	140	
4	Pharmacy	573214(41)	Pharmaceutical Chemistry-IV (Drug Design)	4	1	-	100	20	20	140	
5	Pharmacy	573221(41)	Pharmaceutical Chemistry-I Lab	-	-	6	100	-	50	150	
6	Pharmacy	573222(41)	Pharmaceutical Chemistry-II Lab	-	-	6	100	-	50	150	
7	Pharmacy	573223(41)	Pharmaceutical Chemistry-III Lab	-		6	100	-	40	140	
Total				**16**	**4**	**18**	**700**	**80**	**220**	**1000**	

L- Lecture, T- Tutorial, P- Practical,

Duration of Theory paper 3 hours

ESE – End Semester Examination, CT – Class Test, TA- Teacher Assessment

Chhattisgarh Swami Vivekanand Technical University, Bhilai

Semester: M. Pharm. 2nd Semester Branch: Pharmacy
Subject: Pharmaceutical Chemistry-I (Advanced Medicinal Chemistry)
Code: 573211(41)
Total Theory Period: 40. Total Tutorial Period: 12
Total marks in the end Semester: 100
Minimum of class test to be conducted: 02

UNIT 1.
Microbial transformation technology in the production of certain drugs. Microbial conversions of drugs like steroids, prostaglandins and antibiotics. These should include some biotechnology-oriented chapters like enzymes immobilization techniques.

UNIT 2.
Synthesis of following drugs describing reaction conditions mechanism and strategies involved
a) Antiviral agents and agents under development of HIV infection.
b) Antineoplastic agents.
c) Antihypertensive agents.
d) Prostaglandins, leukotrienes and other eicosanoids.
e) Cetrizine, Fexofenadine, Linezolide, Risperidone, Ziprasidone, Diazepam, Dapsone, Ethinyl estradiol, Vit. B, Diphenhydramine.

UNIT 3.
Stereochemistry and drug action. Role of chirality in selective and specific therapeutic agents. Case studies, Enantio selectivity in drug adsorption, metabolism, distribution and elimination.

UNIT 4.
Steroidal receptor, natural hormones and currently used synthetic derivatives, SAR, comparison of activity, transformation of phytosterols into steroidal drugs

UNIT 5.
Mechanism of action Penicillins, Cephalosporins, Nocardicins and Monobactums, Carbapenems, β-lactamase inhibitors and other β-lactum agents.

UNIT 6.
Amino glycosides, macrolides, linomycins and polypeptide antibiotics.

REFERENCES

- Organic Chemistry of Natural Products Vol I and II by Gurdeep and Chatwal.
- Organic Chemistry of Natural Products Vol I and II by O.P. Agarwal.
- Organic Chemistry Vol I and II by I.L. Finar
- Burger's Medicinal Chemistry.
- A Biomedical basis – Medicinal chemistry by Thomas Nogrady.
- Comprehensive Medicinal Chemistry – Corwin and Hansch.
- Principles of Medicinal Chemistry by William Foye.
- Wilson and Gisvold's Text book of Organic Medicinal and Pharmaceutical Chemistry.

Chhattisgarh Swami Vivekanand Technical University, Bhilai

Semester: M. Pharm. 2nd Semester | Branch: Pharmacy
Subject: Advanced Organic Chemistry/ Pharmaceutical Chemistry-II | Code: 573212(41)
Total Theory Period: 40 | Total Tutorial Period:12
Total marks in the end Semester: 100
Minimum of class test to be conducted: 02

UNIT 1.
Techniques in drug development and synthesis will be deals at advanced level.
a) Chemical bonding (localized, delocalized and Bonding weaker than covalent).
b) Reaction intermediates (carbocations, carbanions, free radicals, carbenes and nitrenes).
c) Various types of mechanisms and methods of determining them.
d) Acids and Bases.
e) Effect of structure on Reactivity.

UNIT 2.
a) Substitution reactions (aliphatic nucleophilic, aromatic electrophilic, aliphatic electrophilic, aromatic nucleophilic and free radical).
b) Addition reactions (both carbon-carbon and carbon-heteroatom multiple bonds).
c) Elimination reactions and Rearrangement reactions.
d) Oxidation reduction reactions and the reagents used for such reactions.
e) Protection and deprotection of various groups.

UNIT 3.
Synthetic methodologies for obtaining drugs
a) Disconnection approach.
b) Synthones for carbon-carbon bond formation.
c) Difunctional compounds.
d) Selective functional group interconversions (FGI).
e) Retrosynthetic analysis.

UNIT 4.
Synthetic approaches for attaching heterocyclic ring systems in drug molecules having five membered and six membered heteroaromatic rings and fused ring systems. Biological importance of heterocycles.

UNIT 5.
Photochemical & Pericyclic reactions- Basic theory, orbital symmetry rules and their applications. Mechanism, Types of pericyclic reactions cyclo addition, elctrocyclic reaction, sigmatrophic rearrangement.

UNIT 6.
A study of the following reactions of synthetic importance- Birch reduction, Mannich reaction, Meerwin-Pondroff's reduction, Oppeneaur oxidation, Beckmann rearrangement, Grignard reaction, Hoffman rearrangement, Ozonolysis., Reformatsky reaction,Michael reaction.

REFERENCES

- "Advanced Organic chemistry, Reaction mechanisms and structure", J. march, John Wiley and sons, N.Y.

- “Mechanism and structure in organic chemistry”, E.S.Gould, Hold Rinchart and Winston,NewYork.
- “The Organic Chemistry of Drug Design and Action” R.B.Silverman, Academic press Inc., San Diego, 1992.
- “Chitotechnology” R.A. Steldon, Marcell Dekker Inc., Newyork 1993.
- “Asymmetric synthesis”, R.A. Aitken and S.M.Kilengi, Ed., Blackie Academic and professional London, 1992.
- “Organic Chemistry” Clayden, Greeves, Warren and Woihers., Oxford University Press 2001.
- “Organic Chemistry” Vol I and II. I.L. Finar. ELBS, Sixth ed., 1995.
- A guide to mechanisms in Organic Chemistry – Peterskyes (Orient Longman, New Delhi).
- Reactive intermediates in organic chemistry – Tandom and Gowel.
- Molecular reaction and Photochemistry – C.H. Depuy and O.L.Chapman.

Chhattisgarh Swami Vivekanand Technical University, Bhilai

Semester: M. Pharm. 2nd Semester Branch: Pharmacy
Subject: Natural Products/ Pharmaceutical Chemistry -III Code: 573213(41)
Total Theory Period: 40. Total Tutorial Period: 12
Total marks in the end Semester: 100
Minimum of class test to be conducted: 02

UNIT 1.

Molecular basis of drug action

a) Receptor: Drug Receptor Interaction: Basic ligand concept, agonist, antagonist, partial agonist, inverse agonist, receptor Theories - Occupancy, Rate & Activation Theories, receptor Binding Assays, determination of B-max and Kd by transforming data with Hill plot and Scatchered plot., above concepts with special reference to Opioid, Histaminergic, Adrenergic and GABA nergic receptors.
b) Enzyme Inhibition – Enzyme structure: primary, secondary, tertiary and quaternary, enzyme kinetics, enzyme Inhibitors - reversible, irreversible, Kcat inhibitors. Transition state analogs, enzyme Inhibitors as drugs - ACE, leukotrienes, Lipoxygenase, Cycloxygenase, Aromatase, Xanthine oxidase, DNA PolymeraseInhibitors, HIV - Protease / Reverse Transcriptase, Integrase and Cytochrome P-450 Inhibitors.
c) Drug binding to nucleic acid, Antimalarial, anticancer, antiviral.

UNIT 2.

Synthon approach- Definition of terms disconnection, synthon, functional group interconversion (FGI), Basic rules in Disconnection, Use of synthon approach in synthesis of following compounds: Trimethoprim, Terfenadine, lbuprofen, Propanolol, Fentanyl, Ciprofloxacin, Cimetidine Piroxicam, Rosiglitazone, Diclofenac, Captopryl, Nifedipine, Losartan.

UNIT 3.

Combinatorial Chemistry- Introduction, combinatorial approaches, chemical peptide and small molecule libraries, applications, methodology, combinatorial organic synthesis, assays and screening of combinatorial libraries, introduction to High Throughputs Screening (HTS).

UNIT 4.

Biosynthesis of vitamin A,C&E.

UNIT 5.

Natural products as leads for new pharmaceuticals- Cannabinoids, asperlicin, etoposide, teniposide, echinocandins, teprotide, khellin, cromoglycate.

UNIT 6.

The natural products obtained by terrestrial & microbial sources by spectral data.

a) **Alkaloids-** General introduction and classification, isolation and purification methods, general methods employed for determining the structure of alkaloids, constitution of morphine, reserpine and quinine.
b) **Flavonoid-** Detailed chemical account of rutin and quercetin.
c) **Triterpenoids-** A general chemical treatment and structural elucidation of terpenoids.
d) **Coumarins-** General methods of isolation and purification and structural determination of Xanthotoxin and psoralene.

BOOKS RECOMMENDED

- Burger: Medicinal Chemistry (John Wiley & Sons N.Y.)
- Foye: Principles of Medicinal Chemistry (Varghese & Co.)
- Ariens : Medicinal Chemistry Series.
- Ellis and West : Progress in Medicinal Chemistry Series.
- Comprehensive Medicinal Chemistry - Series -I-VI (Academic Press).
- Nanochemistry: A chemical approach to nanomaterials, G Ozin, A. Arsenault, (RSCPublishing).
- Microwaves in organic and medicinal Chemistry, C.O. Kappe, A. Stadler, (Wiley- Vch) June 2005.
- Phytochemistry Voi. I and II by Miller, Jan Nostrant Rein Hld.
- Recent advances in Phytochemistry Vol. I to IV – Scikel Runeckles.
- Natural Product Chemistry Nakanishi Gggolo.
- Natural Product Chemistry "A laboratory guide" – Rapheal Khan.
- The Alkaloid Chemistry and Physiology by THF Manske.
- Organic Chemistry of Natural Products Vol I and II by Gurdeep and Chatwall.
- Organic Chemistry of Natural Products Vol I and II by O.P. Agarwal.
- Organic Chemistry Vol I and II by I.L. Finar.

Chhattisgarh Swami Vivekanand Technical University, Bhilai (C.G.)

Semester: M. Pharm. 2nd Semester | Branch: Pharmacy
Subject: (Pharmaceutical Chemistry-IV)Drug Design | Code: 573214(41)
Total Theory Period: 40. | Total Tutorial Period: 12
Total marks in the end Semester: 100
Minimum of class test to be conducted: 02

UNIT 1.
Historical perspective, Drug Discovery Strategies in Direct Drug Design (Structure based) and Indirect drug design, Target selection and lead identification, Natural product sources, Fermentation / Microbial sources, Synthetic, Introduction to Pharmacogenomics.

UNIT 2.
Drug Design- Synthesis of compounds in accordance with the molecular structure, biological activity concept with special references to analgesics, neuromuscular blocking agents, anti-fertility drugs and compounds containing bridge head nitrogen atom and bactericidal & bacteriostatic agents (sulphonamides, mercury compounds and antiseptics).

UNIT 3.
QSAR: Parameters, Lipophilicity, electronic, Stearic factors, Quantitative Models, Hansch analysis, Free Wilson Analysis, Mixed approach, Other QSAR Approaches, Applications of Hansch Analysis, Free Wilson Analysis.

UNIT 4.
Enzymes, Peptides in Drug Design.

UNIT 5.
Molecular Modeling in Drug Design- Introduction to computer aided drug design and molecular modeling: concepts and methods, molecular mechanics force fields (potential energy function), energy minimization methods, steepest, descent. conjugate gradients, Newton methods (non mathematical), conformational analysis systematic search, Monte Carlo simulations, molecular dynamics simulations, ligand design based on 3D structure of receptor /enzyme. Indirect drug design analog approach, Pharmacophore mapping, Template forcing, excluded volume & shape analysis, artificial intelligence methods.

UNIT 6.
An overall treatment of various approaches to drug design including the method of variation, e.g. Fibonacci search, Topliss tree, Craigs plot, Simplex methods, and Cluster analysis.

BOOKS RECOMMENDED

- Hugo Kubingi - QSAR, Hansch Analysis and Related approaches Vol I.
- Poul Krogsgaand Larsen: A textbook of Drug Design and Development First Edition.
- Thomas J. Penim, C.L-Propst - Computer Aided Drug Design.
- Pandi Veerapandian - Structure Based Drug design.
- Paul S. Charifson - Practical Applications of Computer Aided Drug Design (Marcel & Dekkar Inc. New York).
- Paul Leff-Receptor Based Drug Design.
- Ariens-Drug Design, Vol. VII.
- Smith-William-Introduction to the Principle of Drug Design.
- Introduction to the Principles of Drug Design by John Smith & Hywel Williams.
- Guide to Chemical Basis of Drug Design by Alfred Burger (John Wiley & Sons)
- Computer Assisted Drug Design By Edward. C. Olson (American Chemical Society, ACD symposium series)

Chhattisgarh Swami Vivekanand Technical University, Bhilai

Semester: M. Pharma. II Sem. **Branch: Pharmacy**
Subject: Pharmaceutical Chemistry –I Lab **Code: 573221 (41)**
Total Practical Periods: 72
Total Marks in End Sem. Exam: 100

PRACTICALS:

- Estimation of elements and functional groups in organic compounds.
- Isolation, characterization like melting point, molecular weight determination, functional group analysis , chromatographic technique for identification of isolated compounds and interpretation of UV and IR data.
- Suitable synthesis and the evaluation of drugs based on theory topics.

Chhattisgarh Swami Vivekanand Technical University, Bhilai

Semester: M. Pharma. II Sem. **Branch: Pharmacy**
Subject: Pharmaceutical Chemistry –II Lab **Code: 573222 (41)**
Total Practical Periods: 72
Total Marks in End Sem. Exam: 100

PRACTICALS

I. Synthesis of the following heterocyclic compounds
Benzimidazole, Benzotriazole, 2,3 diphenylquinoxaline, Oxadiazole, Thiadiazole, Isatin.

II. To perform the following reactions of synthetic importance
Birch reduction, Clemmenson reduction, Meerwin-Pondroff's reduction, Grignard reaction, Oppeneaur oxidation, Benzyllic acid rearrangement, Beckmann rearrangement, Photochemical reaction.

Chhattisgarh Swami Vivekanand Technical University, Bhilai

Semester: M. Pharma. II Sem. **Branch: Pharmacy**
Subject: Pharmaceutical Chemistry –III Lab **Code: 573223 (41)**
Total Practical Periods: 72
Total Marks in End Sem. Exam: 100

PRACTICALS

- Isolation and quantification of alkaloids.
- Isolation and quantification of glycosides.
- Isolation and quantification of phenolic compound and tannins.
- Isolation and quantification of caffeine from tea.
- Isolation of vitamin C.
- Isolation of citric acid from citrus fruits.
- isolation of flavonoid from crude drug.