

**Subject Code: 02CY0504****Subject Name: Medicinal Chemistry****M.Sc. Sem - III****Objectives:**

- To understand drug metabolism and its action in human body.
- To learn theories and principles related to medicinal chemistry.
- To learn various nucleophilic, substitution and electrophilic reactions in organic chemistry.
- To create an interest of students to learn medicinal chemistry.

**Credits Earned:** 6 Credits**Course Outcomes:** After completion of this course, student will be able to

- Understand Drug metabolism and mechanism pathways.
- Explain Nucleophilic and electrophilic reaction mechanisms, catalyst and rearrangements reactions.
- Recognise and comment on different synthetic strategies and methods for stereocontrol when faced with a synthetic scheme.
- Able to draw mechanisms for reactions involving heterocycles as starting materials, intermediates and products, and to propose syntheses of heterocycles from the major classes.

**Pre-requisite of course:** NA.**Teaching and Examination Scheme**

Teaching Scheme (Hours)			Credits	Theory Marks			Tutorial/ Practical Marks		Total Marks
Theory	Tutorial	Practical		ESE (E)	Mid Sem (M)	Internal (I)	Viva (V)	Term work (TW)	
4	0	3	6	50	30	20	25	25	150

**Contents:**

Unit	Topics	Contact Hours
1	<b>Introduction and Important terminology used in Medicinal Chemistry</b> <b>Drug design:</b> i) Development of new drugs, procedures followed in drug design, concepts of lead identification and lead modification, structure-activity relationship (SAR), and bio-isosterism. ii) History and development of QSAR. Physicochemical parameters: Lipophilicity, electronic parameters, steric parameters, Free Wilson analysis, Hansch analysis, Relationship between Free-Wilson and Hansch analysis.	12
2	<b>Pharmacokinetics</b> ADME, prodrugs and polymorphism. <b>Pharmacodynamics:</b> Introduction, principles of drug action, mechanisms of drug action, introduction to the concept of receptors and drug receptor interactions, Dose-response relationships, drug potency and efficacy, combined effect of drugs.	12
3	<b>i) Antibiotics</b> Introduction, Classification, Selected Synthesis, properties and uses of following antibiotics drugs: Oxacillin, Cloxacillin, Floxacillin, Ampicillin, Cephalexin, Cefadroxil, cephalosporin. <b>ii) Anticancer</b> Introduction to chemotherapeutic agents, Antimalarials, antiprotozoals, ntileprosy, antitubercular, antifungal, antianaerobics anthelmintics and antiinfestive drugs and antiviral.	12
4	<b>Central Nervous system:</b> Introduction and selected synthesis of Anaesthetic (local & general), analgesics, antipyretics, (steroidal and nonsteroidal anti-inflammatory drugs), old concepts of sedative and hypnotic tranquilizers (major and minor), antiepileptics, anticonvulsants, antidepressants and antimaniacs. Drugs used in movement disorder, antiemetics, CNS stimulants and activators.	12
5	<b>Cardiovascular drugs</b> Introduction and selected synthesis of Antiarrhythmic agent, antihypertensive, vasodilators (peripheral and coronary), coagulants and anticoagulants, antithrombotic and antiplatelet drugs. <b>Genito urinary system Drugs:</b> Urinary infectives, diuretics and anti-diuretics, analgesics, spermicidal, contraceptives	12
	<b>Total Hours</b>	60

**References:**

1. 'Wilson and Gisvold's Textbook of Organic Medicinal & Pharmaceutical Chemistry', Robert F. Derge, Ed.
2. 'The Organic Chemistry of drug design and drug action', R. B. Silverman.
3. 'Strategies for organic drug synthesis & design', D. Lednicer, *John Wiley*.
4. 'Principles of Medicinal Chemistry', William O. Foye, Lippincott, Williams and Wilkins.
5. 'Total synthesis of Natural products', Apsimon (Series).
6. 'Textbook of Medicinal Chemistry', A. Kar, *Asian Age Publication*.
7. 'Analog based Drug Discovery', János Fischer and C. Robin Ganellin.
8. 'Goodman and Gilman's Text book of Pharmacology', Goodman and Gilman.
9. 'Textbook of Medicinal Chemistry', A Kar, *Asian Age Publication*.
10. 'Medicinal Chemistry', Sriram D and Yogeshwari P, *Pearson Education*.
11. 'Medicinal Chemistry', Ahluwalia V K, Chopra Madhu, *Ane Books India*.
12. 'Burger's medicinal chemistry and drug design', Manfred E. Woltz, *John Wiley and Sons*
13. 'Principles of medicinal chemistry', William A. Foye (ed), Lea and Febiger, *Philadelphia*.
14. 'Medicinal chemistry', Ashutoshkar, Nirali publication.
15. 'The organic chemistry of drug synthesis', D. Lednicer and L.A. Mitscher, *John Wiley and Sons*
16. Doerge, J.B. *Lippincott Company, Philadelphia/Toppan Co. Ltd, Tokyo*.
17. 'Topics in medicinal chemistry', Rabinowitz Myerson, *Interscience*.
18. 'The pharmaceutical basis of therapeutics', Geoman and Gilman, *McMillan Co.*

**Suggested Theory distribution:**

The suggested theory distribution as per Bloom's taxonomy is as per follows. This distribution serves as guidelines for teachers and students to achieve effective teaching-learning process

Distribution of Theory for course delivery and evaluation					
Remember	Understand	Apply	Analyze	Evaluate	Create
20%	25%	20%	15%	10%	10%

**Suggested List of Experiments:**
**Multistep synthesis of Organic compounds:**

1. To prepare 7-hydroxy 4-methyl 8-morpholine-yl coumarin from resorcinol.
2. To prepare hydantoin from glycine.
3. To prepare benzocaine (p-amino ethyl benzoate) from p-nitro toluene.
4. To prepare acetyl salicylic acid (Aspirin) from salicylic acid.
5. To prepare 5, 5 – diphenylhydantoin (Phenytoin) from benzil.
6. To prepare 5-chloro 3-methyl 1-phenyl 1H-pyrazoline-4-carboxaldehyde from ethyl acetoacetate.

**Reference Books:**

1. An Introduction to Experimental Organic Chemistry- Robert, Gilbert, Rodewald&Wingrove., Middleton.
3. Hand Book of Organic Analysis- H. T. Clarke.
4. Text Book of Practical Organic Chemistry-A.I. Vogel.

**Instructional Method:**

- a. The course delivery method will depend upon the requirement of content and need of students. The teacher in addition to conventional teaching method by black board, may also use any of tools such as demonstration, role play, Quiz, brainstorming, MOOCs etc.
- b. The internal evaluation will be done on the basis of continuous evaluation of students in the laboratory and class-room.
- c. Practical examination will be conducted at the end of semester for evaluation of performance of students in laboratory.
- d. Students will use supplementary resources such as online videos, NPTEL videos, e-courses, Virtual Laboratory.
- e. Use of hazardous/toxic chemicals should be avoided as far as possible in laboratory.
- f. All students in the laboratory must wear safety goggles and lab coats during lab session.

**Supplementary Resources:**

1. <http://www.organic-chemistry.org/reactions.htm>
2. <http://www.organic-chemistry.org/books/>
3. [https://www.youtube.com/watch?v=Z\\_GWBW\\_GVGA](https://www.youtube.com/watch?v=Z_GWBW_GVGA)
4. [https://www.youtube.com/results?search\\_query=organic+rearrangements](https://www.youtube.com/results?search_query=organic+rearrangements)
5. <http://www.nptel.ac.in/courses/104103069/#>
6. <http://ocw.mit.edu/courses/chemistry/>
7. <http://vlab.amrita.edu/index.php?sub=2>
8. [http://www.vlab.co.in/ba\\_labs\\_all.php?id=9](http://www.vlab.co.in/ba_labs_all.php?id=9)