

Medicinal chemistry module syllabi

Module Number	11
Course Title	Medicinal Chemistry I
Course Code	Phar2111
Course EtCTS	7 (189hours)
Pre-requisite	Introductory Organic Chemistry, Practical Organic Chemistry
Co-requisite	Pharmacology I
Course Description	<p>Medicinal chemistry is the application of chemistry in the context of human medicine. In this course students will gain an appreciation for the drug development process, together with brief introduction to the drug discovery and designing methods, and also deals with the chemistry of various class of drugs that act on different systems and organs of human body, which includes drugs acting on; autonomic nervous system, drugs acting on the central nervous system, Histamine and histamine antagonists, non-narcotic analgesics and drugs used in gout; cardiovascular drugs; pesticides; expectorants and antitussives; nonsteroidal and steroidal hormones, local and general anesthetics. The course is designed to provide an in-depth scientifically grounded and clinically relevant medicinal chemistry learning experience for pharmacy students.</p>
Course Objectives	<p>By the end of this course the students will be able to understand methods employed in drug design, relationship between drugs and specific receptors, structures, reactions, synthesis, structural activity relationship, metabolism and degradation of selected groups of drugs like autonomic nervous system drugs, cardiovascular drugs, antihistamines, steroidal and non-steroidal hormone and related drugs.</p>
Supporting objective	<ul style="list-style-type: none">• Describe the basic concepts in medicinal chemistry,• Describe the basic concepts of drug design (the drug discovery and development process), and the strategies to achieve it.• To describe the chemical basis of drug absorption, distribution, metabolism and Elimination,• To recognize the important functional groups that act as weak acids and bases and to recognize the molecular and environmental factors that influence their precise ionization profiles.• To explore the fundamentals of drug metabolism (both biotransformation and conjugation pathways) through an

identification of drug mechanisms that include the activation of some prodrugs.

- To describe the chemical basis of drug-target interactions.
- To explore the structure-activity concepts related to the presence of specific functional groups in agonist and antagonist drug structures.
- To understand the links with specific therapeutic applications for agonists and antagonists acting at specific drug receptors
- To develop the ability to recognize superior therapeutic drug mechanisms and properties of drugs

Course Content

1. Introduction	4 hrs
1.1. Definition of medicinal chemistry and its relation to other disciplines	
1.2. Source and classification of drugs	
1.3. Nomenclature of drugs	
1.4. Sources of drugs	
1.5. Introduction to drug design, and physicochemical properties of drugs in relation to biological effect	
1.6. Stereochemistry and drug action	
1.7. Receptors and drug action	
1.8. Drug metabolism	
2. Drugs acting on the autonomic nervous system	14 hrs
2.1. Cholinergics	
2.2. Antimuscarinic drugs	
2.3. Neuromuscular blockers	
2.4. Ganglion blockers	
2.5. Adrenergic drugs	
2.6. Adrenergic blocking drugs	
3. Drugs acting on the central nervous system	14 hrs
3.1. Sedatives & hypnotics (barbiturates, ureides, amides & imides, alcohols, carbamates, aldehydes & ketones)	
3.2. Anticonvulsant drugs	
3.3. Major tranquilizers (neuroleptics)	
3.4. Minor tranquilizers (anxiolytics)	
3.5. Central skeletal muscle relaxants	
3.6. CNS stimulant drugs (Analeptics, Antidepressant drugs, CNS adrenergics, Miscellaneous stimulants)	
3.7. Anti-parkinsonian drugs	

3.8.Narcotic analgesics & antagonists	
4. Diuretics and Cardiovascular agents	
4.1.Diuretics	
4.1.1.1.Carbonic anhydrase inhibitors	10
4.1.1.2.High-ceiling or loop diuretics	hrs
4.1.1.3.The thiazide and thiazide-like diuretics	
4.1.1.4.Potassium-sparing and other diuretics	
4.2.Antianginal agents	
4.3.Anti-hypertensive agents	
4.4.Cardiac glycosides and derivatives	
4.5.Antiarrhythmic drugs	
4.6.Antilipemic drugs	
4.7.Coagulants & anticoagulants, and other cardiovascular drugs	
5. Non-narcotic analgesics & related drugs, and drugs used in gout	
5.1.Non-narcotic analgesics	
5.1.1.1.Salicylates	
5.1.1.2.p-aminophenol derivatives	4 hrs
5.1.1.3.5-pyrazolone derivatives	
5.1.1.4.3,5-pyrazolidinedione derivatives	
5.1.1.5.Miscellaneous agents	
5.2.Drugs used in the treatment of gout	
6. Histamine, Antihistamine	
6.1.Histamine and histamine receptors	
6.2.H1-antagonists	
6.3.H2-antagonists	2 hrs
7. Pesticides	
7.1.Classification	
7.2.Specific pesticides	
8. Expectorants and antitussives	2 hrs
8.1.Expectorants	
8.2.Antitussives	
9. Non-steroidal hormones and related drugs	2 hrs
9.1.Hormones of the hypothalamus	
9.2.Pituitary hormones	
9.3.Thyroid hormones and anti-thyroid drugs	3 hrs
9.4.Parathyroid hormone, calcitonin and calcium	
9.5.Pancreatic hormones	
9.6.Insulin and oral hypoglycemic drugs (antidiabetic drugs)	
10. Steroidal hormones and related drugs	
10.1. Male and female sex hormones, derivatives and related drugs	

10.2. Adrenocorticoids	
11. Local and general anesthetics	4 hrs
11.1. Local anesthetics	
11.2. General anesthetics	
	3 hrs
Total	61 hrs

Mode of Delivery

- Lecture: 61 hrs
- Tutorial: 16hr
- Independent study hour: 88 hrs
- Seminar, Assignment: 12 hrs
- Assessment: 12hr

Mode of Evaluation

- Quizzes (15%)
- Final Exam (40%)
- Seminars (10%)
- Assignments(15%)
- Case presentation(10%)
- Viva voca (10%)

Text Book

Lemke, T.L. and Williams, D.A., Roche, V.F., Zito, W.S. Foye's Principles of Medicinal Chemistry, 6th. ed. Lippincott Williams & Wilkins, 2008.

Reference Books

1. J.H. Block and J.M. Beale, Gisvold, O. Wilson & Gisvold's Textbook of Organic Medicinal and Pharmaceutical Chemistry, 11th. ed. Lippincott Williams & Wilkins, 2004.
2. Donald J. Abraham(Ed.). Burgers's medicinal Chemistry and Drug Discovery, 2006, 6th edn., voll-6, wiley-interscience(USA).
3. Patric L. G. An introduction to medicinal chemistry, 1st, Oxford University Press inc, New York, 1995.
4. Reminton's Pharmaceutical Sciences, 18th edn. Mark publishing Co. Pennsylvania, 1990.
5. King, F.D. Medicinal Chemistry, Principles and Practice, The Royal Society of Chemistry, 1997.
6. Discher, C. Modern Inorganic Pharmaceutical Chemistry, 1980