Department	Pharmacy

Course Name	Medicinal Chemistry-1	Course No.	201411
Prerequisite	Pharmaceutical organic chemistry-2 , Pharmacology-1-	Credit Hours	2
Number & date of course plan approval		Brief Description	See form QF02/0409

Intended Learning Outcomes	1. Students should be capable of dealing with the physicochemical properties of therapeutic agents and relating these properties to their action. 2. To understand and to predict metabolic pathways of particular drugs. 3. To be familiar with particular drug classes and their structure-activity relationship (SAR). 4. Have a good knowledge in drug chemistry and synthesis.
Course Topics	1. Physicochemical Properties in Relation to Biological Action. 2. Drug Latentiation and Prodrugs. 3. Metabolic Changes of Drugs and Related Organic Compounds. 4. Enzymes as Drug Target. 5. Receptors as Drug Target. 6. Making Drugs More Resistant to Enzymatic and Chemical Hydrolysis. 7. Optimizing Hydrophilic / Hydrophobic properties of Drugs.
Text Books	1. An introduction to Medicinal chemistry, 4th edition, Graham Patrick, Oxford University Press, 2008. 2. Foye's Principles of Medicinal Chemistry, 6th edition, Thomas L. Lemke and David A. Williams, Lippincott Williams & Wilkins, 2008. 3. The Organic Chemistry of Drug Design and Drug Action, 2nd edition, Richard B. Silverman, Elsevier, 2004.
References	1. Wilson and Gisvold's Textbook of Organic Medicinal and Pharmaceutical Chemistry, 12th edition, J. N. Delgado and W. A. Remers, Lippincott-Raven, 2011. 2. Burger's Medicinal Chemistry and Drug Discovery, 6th edition, M. E. Wolff, 2003. 3. The Organic Chemistry of Drug Synthesis, Vol. 1-6, D. Lednicer and L. A. Mitscher, John Wiley and Sons.
Grade Determination	1st Exam = 25% 2nd Exam = 25% Final Exam = 50%

Course Outline				
Week	**Hours**	**Subjects**	**Chapters in Textbook**	**Notes**
1st	1 1	**Introduction** - Drug discovery from natural products.	1/1	
2nd	1 1	**Physicochemical Properties in Relation to Biological Action** - Solubility in water. - Partition coefficient. - Acid/ base partition.	1/1	
3rd	1 1	**Physicochemical Properties in Relation to Biological Action** - Bonding forces. - Isosterism & Bioisosterism. - Geometric isomers. - Conformational Isomerism. - Optical isomerism.	1/1	
4th	1 1	**Drug Latentiation and Prodrugs** - Basic concepts. - Prodrugs of functional groups. - Bioprecursors of prodrugs. - Chemical delivery systems.	14/1	
5th	1 1	**Metabolic Changes of Drugs and Related Organic Compounds** - General pathways of drug metabolism. - Sites of drug biotransformation. - Factors affecting drug metabolism.	11/1	
6th	1 1	**Metabolic Changes of Drugs and Related Organic Compounds** - Phase I metabolic pathways.	11/1	
7th	1 1	**Metabolic Changes of Drugs and Related Organic Compounds** - Phase II metabolic pathways.	11/1	
8th	1 1	**Optimizing Access to the Target:** **Making Drugs More Resistant to Enzymatic and Chemical Hydrolysis** - Steric Shield - Electronic Effects of Bioisostere - Stereoelectronic Modification	14/1	
9th	1 1	**Optimizing Access to the target:** **Making Drugs More Resistant to Enzymatic and Chemical Hydrolysis** - Metabolic Blockers	14/1	

		- Removal or Replacement of Susceptible Groups - Self-destructive Drugs		
10th	1 1	**Optimizing Access to the target:** **Optimizing Hydrophilic/Hydrophobic Properties** - Variation of Alkyl or Acyl Substituents to vary polarity - Variation of Polar Substituents to vary polarity - Variation of *N*-alkyl to vary pKa	14/1	
11th	1 1	**Optimizing Access to the target:** **Optimizing Hydrophilic/Hydrophobic Properties** - Variation of aromatic to vary pKa - Bioisosteres of Polar Groups	14/1	
12th	1 1	**Receptors as Drug Targets** Design of Agonists: - Binding Groups - Position of the Binding Groups - Size and Shape - Allosteric Modulators	8/1	
13th	1 1	**Receptors as Drug Targets** Design of Antagonists: - Antagonists acting at the binding site - Antagonists acting out with the binding site - Antagonists as Molecular Labels - Partial Agonist - Inverse Agonist	8/1	
14th	1 1	**Enzyme as Drug Targets** Inhibitors acting at the active site of an enzyme Reversible Inhibitors Irreversible Inhibitors Inhibitors acting at the allosteric binding site Competitive and Non-competitive Inhibitors	7/1	
15th	1 1	**Enzyme as Drug Targets** Transition-state Analogues Suicide Substrates Isozyme selectivity of inhibitors Medical Uses of Enzyme Inhibitors	7/1	

Approved by Dept. Chair		Date of Approval	

Extra Information: (Updated every semester and filled by course instructor)

Course Instructor	
Office No.	
Extension **Email**	
Office hours	