



كلية الصيدلة جامعة الزيتونة الأردنية
Faculty of Pharmacy
Al-Zaytoonah University of Jordan

" نحو تعليم صيدلاني متميز "
Toward Excellence in Pharmaceutical
Education

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" Tradition and Quality "

Detailed Course Description - Course Plan Development and Updating Procedures/ Pharmacy Department	QF02/0408-3.0E
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Faculty	Pharmacy	Department	Pharmacy
Course number	0201411	Course title	Medicinal Chemistry I
Number of credit hours	2	Pre-requisite/co-requisite	201313, 201211, 201335

Brief course description

This course explores the role of organic chemistry in the design and action of drugs. It addresses principles of drug discovery, drug development, and drug/receptor interactions, types of chemical bonds involved in drug-receptor interactions, drug mechanism of action, and drug metabolism. Aspects of biochemistry and physical organic chemistry are covered as necessary to understand the chemistry of drug action and metabolism in the body.

Course goals and learning outcomes	
Goal 1	✓ To introduce the knowledge of the relationship between different classes of pharmaceutical compounds and their physicochemical properties (relation to absorption, distribution, and elimination).
Learning outcomes	1.1 Recognize the physicochemical properties that affect drug bioavailability. 1.2 Classify the functional groups into acidic, basic, and neutral moieties. 1.3 Perceive isosterism and bioisosterism concept in drug modification.
Goal 2	✓ To understand the chemistry of drug metabolism in the body.
Learning outcomes	2.1 Address the metabolic pathways and distinguish between the metabolic phases and their corresponding enzymes. 2.2 Predict and draw the chemical structures of drug metabolites. 2.3 Understand the significance of prodrug and its aim.
Goal 3	✓ To explore drug/receptor interaction.
Learning outcomes	3.1 Understand drug/receptor complex formation and differentiate between the bonding forces mediating complex formation. 3.2 Differentiate between enzyme and protein as drug targets. 3.3 Understand the mechanism of ligand as agonist, antagonist, partial agonist, activator, (reversible and irreversible) inhibitor, suicide inhibitor, transition-state analogue.
Textbook	1- An Introduction of Medicinal Chemistry, 4 th edition, Graham Patrick, Oxford University Press, 2008. 2- Foye's Principles of Medicinal Chemistry, 6 th edition, Thomas L. Lemke and David A. Williams, Lippincott Williams & Wilkins, 2008.



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Supplementary references	<ol style="list-style-type: none"> Burger's Medicinal Chemistry and Drug Discovery, 6th edition, M. E. Wolff, 2003. The Organic Chemistry of Drug Synthesis, Vol. 1-6, D. Lednicer and L. A. Mitscher, John Wiley and Sons.
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Course timeline				
Week	Number of hours	Course topics	Pages (textbook)	Notes
01	1 1 1	Physicochemical Properties in Relation to Biological Action <ul style="list-style-type: none"> - Solubility in water. - Partition coefficient. - Acid/ base partition. - Bonding forces. - Isosterism & Bioisosterism. - Geometric isomers. - Conformational Isomerism. - Optical isomerism. 	Textbooks 1-4/	
02	1 1 1	Physicochemical Properties in Relation to Biological Action <ul style="list-style-type: none"> - Isosterism & Bioisosterism. - Geometric isomers. - Conformational Isomerism. - Optical isomerism 	Textbooks 1-4/	
03	1 1 1	Prodrugs <ul style="list-style-type: none"> - Basic concepts. - Prodrugs of functional groups. - Chemical delivery systems. 	Textbooks 1-4/	
04	1 1 1	Metabolic Changes of Drugs and Related Organic Compounds <ul style="list-style-type: none"> - General pathways of drug metabolism. - Sites of drug biotransformation. - Factors affecting drug metabolism. 	Textbooks 1-4/	



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05	1 1 1	Metabolic Changes of Drugs and Related Organic Compounds - Phase I metabolic pathways.	Textbooks 1-4/	
06	1 1 1	Metabolic Changes of Drugs and Related Organic Compounds Phase II metabolic pathways	Textbooks 1-4/	
07	1 1 1	Making Drugs More or Less Resistant to Enzymatic and Chemical Hydrolysis - Steric Shield - Electronic Effects of Bioisostere - Stereoelectronic Modification	Textbooks 1-4/	
08	1 1 1	Making Drugs More or Less Resistant to Enzymatic and Chemical Hydrolysis - Metabolic Blockers - Removal or Replacement of Susceptible Groups - Self- destructive Drugs	Textbooks 1-4/	
09	1 1 1	Optimizing Hydrophilic/Hydrophobic Properties - Variation of Alkyl or Acyl Substituents to vary polarity - Variation of Polar Substituents to vary polarity	Textbooks 1-4/	
10	1 1 1	Optimizing Hydrophilic/Hydrophobic Properties - Variation of <i>N</i> -alkyl to vary pKa - Variation of aromatic to vary pKa - Bioisosteres of Polar Groups	Textbooks 1-4/	



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11	1 1 1	Receptors as Drug Targets <ul style="list-style-type: none"> - Design of Agonists: - Binding Groups - Position of the Binding Groups - Size and Shape - Allosteric Modulators 	Textbooks 1-4/	
12	1 1 1	Receptors as Drug Targets <ul style="list-style-type: none"> - Design of Antagonists: - Antagonists acting at the binding site - Antagonists acting out with the binding site - Antagonists as Molecular Labels - Partial Agonist - Inverse Agonist 	Textbooks 1-4/	
13	1 1 1	Enzyme as Drug Targets <ul style="list-style-type: none"> - Inhibitors acting at the active site of an enzyme - Reversible Inhibitors - Irreversible Inhibitors - Inhibitors acting at the allosteric binding site 	Textbooks 1-4/	
14	1 1 1	Enzyme as Drug Targets <ul style="list-style-type: none"> - Competitive and Non-competitive Inhibitors - Transition-state Analogues - Suicide Substrates - Isozyme selectivity of inhibitors - Medical Uses of Enzyme Inhibitors 	Textbooks 1-4/	
15	1 1 1	Case Study I: Design of ACE Inhibitors	Textbook 1/	
16	1 1 1	Case Study II: Current Research into Antidepressant Agents	Textbook 1/	



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Theoretical course evaluation methods and weight	First exam 25% Second exam 25% Final exam 50%	Practical (clinical) course evaluation methods	Semester students' work = 50% (Reports, research, quizzes, etc.) Final exam = 50%
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Approved by head of department		Date of approval	
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Extra information (to be updated every semester by corresponding faculty member)

Name of teacher	Dima A. Sabbah, Ph.D.	Office Number	227
Phone number (extension)	311	Email	dima.sabbah@zuj.edu.jo
Office hours	10-11 (Sun., Tue.) 11-12 (Mon., Wed.)		