

The University of Jordan

Faculty: Pharmacy
Program: Bsc

Department: Pharmaceutical Sciences
Academic Year/ Semester 2013/1st

Medicinal Chemistry I (1201401)

Credit Hours	3	Level		Pre-requisite	1201215 + 1203364
Coordinator / Lecturer	Dr Ghadeer Suaifan	Office number	206	Office phone	23312
Course website		E-mail	Gh.suaifan@ju.edu.jo	Place	Pharmacy Lecture room

Office hours					
Day/Time	Sunday	Monday	Tuesday	Wednesday	Thursday
11-12	x		x		x

Course Description

1. Introduction to Medicinal Chemistry

2. Trip through the Body

- * Absorption: oral, injection, transdermal
- * Distribution: blood, membranes, blood-brain barrier
- * Pharmacodynamics
- * Metabolism and Elimination: kidneys, liver

3. Review of Organic Functional Groups and Acid-Base Concepts

- * Chemical bonding
- * Functional groups
- * Electron donating and withdrawing groups
- * Acids and bases

4. Acid-Base Concepts

- * Henderson-Hasselbach equation; Estimating pKa and pKb

5. Review of Physico-Chemical Properties Related to Drug Action

- * Solubility
- * Partition coefficients

6. Drug-Targets interaction

- * Forces in Drug/Receptor complex interaction

7. Review of Stereochemistry Related to Drug Action

- * Geometric isomers
- * Optical isomers
- * Conformational isomers
- * Isosterism and bioisosterism.

8. Receptors as Drug Targets

- * Receptors: Introduction
- * Receptor Classification: ion channels, G-proteins, Tyr kinase, nuclear
- * Types of Ligands: agonists, antagonists, inverse agonists
- * Receptor Theories: occupancy, rate, residence time, induced-fit, macromolecular perturbation, activation-aggregation

9. Prodrugs and drug Latentiaotion

10. Quantitative Structure-Activity Relationships

11. Drug Metabolism

- * Metabolic Reactions: Phase I, Phase II
- * Metabolism Issues: metabolite activity, metabolic inhibition

Learning Objectives

Upon completion of this course, students will

- 1) Understand the physicochemical properties of medicinal agents, such as chemical bonding, ionization, lipophilicity and stereochemistry, so that the student is able to relate this information to absorption, distribution, receptor interactions and excretion.
- 2) Be Familiar with the importance and limitations of the partition coefficient as a tool in drug design.
- 3) Understand drug-receptor/active-site interactions and the various responses these interactions can induce.
- 4) Understand the rationale for prodrugs or soft drugs development.
- 5) Recognize functional groups that should be masked to obtain specific delivery objectives.
- 6) Recognize structural features that provide an opportunity for inserting metabolically sensitive functional groups.
- 7) Know the most important pathways of drug metabolism and the enzymes involved, so that the student is able to relate this information to drug action, drug-drug interactions, and to some drug toxicities.

Intended Learning Outcomes (ILOs):

Successful completion of the course should lead to the following outcomes:

A. Knowledge and Understanding: Student is expected to **understand**

A1- Define medicinal chemistry and what medicinal chemists know.

A2- Define the major biological targets for drugs and how these drugs achieve their pharmacological effect

A3- Understand the covalent and non-covalent interactions of the body targets with small molecules such as drugs

A2- Understand the drug-receptor/active-site interactions and the various responses these interactions can induce.

A3- Understand the mechanisms of bond making and bond breaking involved drug receptor/active-site interactions.

A4- Understand the various pathways to “drug discovery” and the qualities that a good drug candidate should possess.

A5- Understand the relationship between the structure of a drug and its pharmacological activity.

A6- Understand the methods and techniques involved in the design and development of pharmaceutical agents.

A7- Understand the state of the art approaches to the development of therapeutics for various disorders.

B. Intellectual Analytical and Cognitive Skills: Student is expected to

B2-To differentiate the various pathways of drug receptor interactions and to reconcile these interactions with theoretical and experimental models.

B3- To construct logical schemes for sequential movement of electrons in these processes.

B4- To predict good and poor candidates among several.

C. Subject-Specific Skills: Student is expected to

C1- Define drugs and their common targets, mechanism of action, pharmacodynamics and how drugs are transported and metabolized

D. Transferable Key Skills: Students is expected to

D1-

D2-

ILOs: Learning and Evaluation Methods

ILO/s	Learning Methods	Evaluation Methods
	Lectures and Discussions, Homework and Assignments, Projects, Presentation, ...	Exam, Quiz, presentation, project, assignments, ...

Course Contents

Content	Main Reference	Week	ILO/s
1. Introduction to Medicinal Chemistry	Reference 1 and 2	<u>1</u>	
2. Trip through the Body	Reference 1 and 2	1	
3. Review of Organic Functional Groups and Acid-Base Concepts	Reference 1 and 2	<u>2</u>	
4. Acid-Base Concepts	Reference 1 and 2	<u>3</u>	
5. Review of Physico-Chemical Properties Related to Drug Action	Reference 1 and 2	<u>4</u>	
6. Drug-Targets interaction	Reference 1	<u>5</u>	
7. Review of Stereochemistry Related to Drug Action	<u>To be addressed</u>		
8. Receptors as Drug Targets	<u>To be addressed</u>		
9. Prodrugs and drug Latentiaotion	<u>To be addressed</u>		
10. Quantitative Structure-Activity Relationships	<u>To be addressed</u>		
11. Drug Metabolism	<u>To be addressed</u>		

Learning Methodology

Lectures will be presented as a combination of formal lectures and interactive tutorial sessions. Learning is an active process, and as such, you must engage with the material. This means reading the textbook (and beyond) **before** and **after** lectures and discussing the concepts with your classmates and lecturers. Do not be afraid to ask questions – everyone benefits from a robust and open discussion of the topics.

Assignment questions are issued so that you will have the opportunity to use the information provided in the lectures and textbook and to test your degree of understanding of those topics as well as further explore the literature to extend your knowledge in contemporary medicinal chemistry.

Projects and Assignments

Students will be intellectually evaluated during classes based on their interaction.

Evaluation

Evaluation	Point %	Date
Midterm Exam	<u>30%</u>	<u>To be announced</u>
Quiz 1	<u>10%</u>	<u>To be announced</u>
Quiz 2	<u>10%</u>	<u>To be announced</u>
Final Exam	<u>50%</u>	<u>To be announced</u>

Main Reference/s:

1. An Introduction to Medicinal Chemistry, 5th edition; Graham L. Patrick; Oxford University Press Inc., New York, 2005
2. Foye's Principles of Medicinal Chemistry, 5th edition; David A. Williams, William O. Foye, Thomas L. Lemke; Lippincott Williams & Wilkins: Philadelphia, 2002.
3. Wilson and Gisvold's Textbook of Organic Medicinal and Pharmaceutical Chemistry, 11th edition; Delgado & Remers, Eds.; Lippincott Williams & Wilkins: Philadelphia, 2004 ("W&G").

References:

- Burger's Medicinal Chemistry, 6th ed., Vol. 1-6; D.J. Abraham, Ed.
- Burger's Medicinal Chemistry, 5th edition, Vol. 1-5; M.E. Wolff, Ed.
- Burger's Medicinal Chemistry, 4th edition, Vol. 1-3; M.E. Wolff, Ed.
- Organic Chemistry of Drug Synthesis, Vol. I-6, Daniel Lednicer and Lester A. Mitscher
- Goodman & Gilman's the Pharmacological Basis of Therapeutics, 10th ed., Joel G. Hardman & Lee L. Limbird, Eds.; Alfred Gilman, Contrib. Ed
- The Pharmacological Basis of Therapeutics, 4th ed., Louis S. Goodman and Alfred Gilman

NOTE:

Students are required to sign an attendance sheet on days exams are given.

During examinations, students may not use notes, books, cell phones, or any other electronic device and **all must be kept out of sight and sound during the exam.** Calculators with basic scientific functions including exponent and log functions may only be used when the class is notified in advance that they are permitted. Violation of these rules will result in a grade of 0 for the examination. **No warnings will be given.**

Students missing a midterm examination should provide valid documentation within 48 h of the examination to receive an excused absence. **Makeup exams must be completed on the scheduled exam day or a grade of “0” will be entered.** Unexcused absences will result in a score of “0” being entered.

Special arrangements can be made for disabled students who cannot take examinations in the normal manner. All such arrangements must be made well in advance by contacting the course coordinator Dr Ghadeer Suaifan.