The University of Jordan

Faculty: Pharmacy
Department: Pharmaceutical Sciences
Program: Bsc
Academic Year/ Semester: 2013/1st

Medicinal Chemistry I (1201401)

<table>
<thead>
<tr>
<th>Credit Hours</th>
<th>3</th>
<th>Level</th>
<th>Pre-requisite</th>
<th>1201215 + 1203364</th>
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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

<table>
<thead>
<tr>
<th>Day/Time</th>
<th>Sunday</th>
<th>Monday</th>
<th>Tuesday</th>
<th>Wednesday</th>
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<tbody>
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<td>11-12</td>
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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 Latentiation

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

<table>
<thead>
<tr>
<th>ILO/s</th>
<th>Learning Methods</th>
<th>Evaluation Methods</th>
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<tbody>
<tr>
<td></td>
<td>Lectures and Discussions, Homework and Assignments, Projects, Presentation, ...</td>
<td>Exam, Quiz, presentation, project, assignments, ...</td>
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## Course Contents

<table>
<thead>
<tr>
<th>Content</th>
<th>Main Reference</th>
<th>Week</th>
<th>ILO/s</th>
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<tbody>
<tr>
<td>1. Introduction to Medicinal Chemistry</td>
<td>Reference 1 and 2</td>
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<tr>
<td>2. Trip through the Body</td>
<td>Reference 1 and 2</td>
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<tr>
<td>3. Review of Organic Functional Groups and Acid-Base Concepts</td>
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<tr>
<td>4. Acid-Base Concepts</td>
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<tr>
<td>5. Review of Physico-Chemical Properties Related to Drug Action</td>
<td>Reference 1 and 2</td>
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<tr>
<td>6. Drug-Targets interaction</td>
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<tr>
<td>7. Review of Stereochemistry Related to Drug Action</td>
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<td>11. Drug Metabolism</td>
<td>To be addressed</td>
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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

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<td>Quiz 2</td>
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<tr>
<td>Final Exam</td>
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Main Reference/s:


References:

- 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.