



Philadelphia University  
Faculty of Pharmacy  
Department of Pharmaceutical Science  
First Semester, 2017/2018

**Course Syllabus**

<b>Course Title: Pharmaceutical Medicinal Chemistry - 3</b>	<b>Course code: 0510411</b>
<b>Course Level: 4th level</b>	<b>Course prerequisite: Pharmaceutical Medicinal Chemistry-2 (0510312)</b>
<b>Lecture Time:</b>	<b>Credit hours: 3 hours</b>

**Academic Staff Specifics**

Name	Rank	Office Number and Location	Office Hours	E-mail Address
1. Dr. Pran Kishore Deb	Assistant	Pharmacy Building		1. <a href="mailto:pdeb@philadelphia.edu.jo">pdeb@philadelphia.edu.jo</a>
2. Dr. Soha Tefah	Professor			2. <a href="mailto:s_tefah@philadelphia.edu.jo">s_tefah@philadelphia.edu.jo</a>

**Course module description:**

The first part of the subject deals with drugs used in cancer with main emphasis on alkylating agents, platinum based drugs, antimetabolites, antibiotics, mitotic inhibitors and combination therapy. The second part of the course will concentrate on studying diuretics and respiratory drug development. The last part will study the design and development of cardio vascular drugs that are specially used in the treatment of hypertension such as  $\beta$ -blockers, ACE inhibitors, calcium channel blockers. In all the above mentioned groups, chemical structure will be extensively studied in attempt to build a suitable SAR and try to modify structures to improve activity and minimize toxicity.

**Course module objectives:**

Student will be able to have full knowledge of the drug groups to be studied including their **metabolism** in the body, the possible **mechanism of action**, the relationship between their chemical structure (**SAR**) and the **pharmacological activity** as well as the **toxicity** and the factors affecting the **pharmacokinetic and pharmacodynamics** properties of the drug molecule. Also the student will be able to expect some **molecular properties** related to the chemical structure of the drug that has great effect on all drug aspects inside the body.

**Teaching methods:**

Lectures as power point presentations, seminars and discussion groups

## Learning outcomes

At the end of the course, the student should acquire

- A. Knowledge and understanding
  - a. Describes the basic concepts of drug design and development;
  - b. Explain the active site topology controlling specific catalytic processes that require regulation in different therapeutic scenario;
  - c. Describe the logical structural modifications of drugs to alter their pharmacodynamics including toxicity and pharmacokinetics
  - d. Explain how multidisciplinary scientific considerations during the lead optimization process combine to produce a successful drug;
  - e. Recognize the requirements of drug development that vary depending upon the specific target and the therapeutic area;
  - f. Describe the structure-activity relationships (SAR) of anticancer, cardiovascular agents, diuretics, and hormones.
  
- B. Cognitive Skills
  - a. Gain insight into the concepts of design and development of drugs and their structure-activity relationship.
  - b. Demonstrate how structural modifications of drugs can be used to alter their pharmacodynamics and pharmacokinetics.
  - c. Explain the role and therapeutic potential of various organic and inorganic compounds in biological processes.
  
- C. Communication Skills
  - a. Express the knowledge pertinent to SAR of drugs to suggest a suitable drug for patients.
  - b. Demonstrate and suggest the lead molecule to pharmaceutical chemists to develop new drugs with improved efficacy.
  - c. Access resources to gain information related to drugs SAR, pharmacodynamics and pharmacokinetics in both printed and electronic formats to practice and develop life-long self-directed learning.
  
- D. Transferable Skills
  - a. Demonstrate the interactions of various drugs with receptors/enzymes from a pharmacodynamics perspective and then relate this to pharmacokinetic drivers leading to their structure-activity relationships.
  - b. Demonstrate effective written and oral communication skills, especially the ability to transmit complex technical information in a clear and concise manner.
  - c. Demonstrate ability to search and use the literature in both printed and electronic formats as well as and develop the habit of life-long self-directed learning.

### **Assessment instruments:**

- Formative Assessments (Workshops / Tutorials, online quizzes)
- Summative Assessments

<b><u>Allocation of Marks</u></b>	
<b>Summative Assessments</b>	<b>Mark</b>
First examination	<b>20</b>
Second examination	<b>20</b>
Short reports, presentations, quizzes, home works	<b>20</b>
Final examination:	<b>40</b>
Total	<b>100</b>

### **Documentation and academic honesty**

- Documentation style (with illustrative examples)
- Protection by copyright
- Avoiding plagiarism.

### **Course/ module components**

- **Books (title , author (s), publisher, year of publication)**
  1. An introduction to Medicinal Chemistry by Graham L. Patrick. Fourth edition, Oxford, 2009.
  2. Wilson and Griswold's Text Book of Organic Medicinal and Pharmaceutical Chemistry by John M. Beale, Jr. and John H. Black, Twelfth edition, Lippincott Williams and Wilkins 2011.
  3. Foyes principle of medicinal chemistry by David H. Williams, Thomas L. Leuke, Williams O. Foye. Lippincott William and Wilkins. Seventh edition, 2012, ISBN.

**Course/module academic calendar:**

week	Basic and support material to be covered
1 - 6	<b>Introduction to medicinal chemistry-III</b> <b>Anticancer agents</b> <ul style="list-style-type: none"> <li>➤ An introduction</li> <li>➤ Alkylating agents</li> <li>➤ Platinum based drugs</li> <li>➤ Antimetabolites</li> <li>➤ Antibiotics</li> <li>➤ Plant extracts (mitotic inhibitors and topoisomerase inhibitors)</li> <li>➤ Combination therapy</li> </ul>
	<b>First Examination</b>
7 - 8	<b>Diuretics</b> <ul style="list-style-type: none"> <li>➤ Carbonic anhydrase inhibitors (CAIs)</li> <li>➤ Loop diuretics</li> <li>➤ Thiazide and thiazide-like diuretics</li> <li>➤ Potassium-sparing diuretics</li> <li>➤ Osmotic diuretics</li> </ul>
9- 10	<b>Respiratory drug development</b> <ul style="list-style-type: none"> <li>➤ Introduction to adrenergic receptors</li> <li>➤ Design and development of <math>\beta_2</math> - agonists and treatment of asthma</li> </ul>
<b>Second examination</b>	
11 - 14	<b>Cardiovascular drug development</b> <ul style="list-style-type: none"> <li>➤ Antihypertensive agents-An introduction</li> <li>➤ Design and development of selective <math>\beta_1</math> - antagonists (beta blockers)</li> <li>➤ Angiotensin converting enzyme inhibitors (ACE inhibitors)</li> <li>➤ Angiotensin II receptor antagonists</li> <li>➤ Calcium channel blockers</li> <li>➤ Direct acting vasodilator</li> </ul>
15	<b>Gastric drug development</b> <ul style="list-style-type: none"> <li>➤ Design and development of proton pump inhibitors (PPIs)</li> <li>➤ <math>H_2</math> receptor antagonists</li> </ul>
<b>Final examination</b>	

**Expected workload:**

On average students need to spend 2 hours of study and preparation for each 50-minute lecture/tutorial.

**Attendance policy:**

Absence from lectures and/or tutorials shall not exceed 15%. Students who exceed the 15% limit without a medical or emergency excuse acceptable to and approved by the Dean of the relevant college/faculty shall not be allowed to take the final examination and shall receive a mark of zero for the course. If the excuse is approved by the Dean, the student shall be considered to have withdrawn from the course.