Benghazi University

Faculty of Pharmacy

Pharmaceutical Chemistry Dep.

Course title; Medicinal Chemistry (I)

Course Specifications;

Program on which the course is given: Bachelor of pharmaceutical sciences

Academic year: level third year

Date of course specification approval:

1. Basic Information;

Title; Medicinal chemistry I Code: Credit hours; 5 hours

Lecture; Theory (3 hrs) and Practical ;(2hrs) Total; (5hrs) hour/week.

2. Course Description;

This course provides an understanding of current drug targets and the design and development of drug candidates to cure diseases based on the modulation of these targets. This course is the first part of the medicinal chemistry class (I) and is focused on basic concepts of medicinal chemistry. Study the physicochemical properties of the drugs . In class we will discuss structure-activity relationship, drugs that target nucleic acids, and different groups of antiviral and anticancer agents. Furthermore, and principle of drug design and an introduction to the drug discovery process including QSAR and computer based studies will be covered.

3. Course Objectives;

At the end of this course, learner should be able to:

a. Provide the basic knowledge of medicinal chemistry, through identification of the chemistry of different drug classes including and anti-microbial, antibiotics, antiviral, antifungal, anti-infective and anticancer agents.

b. Study the physicochemical properties of the drugs and study their distribution, metabolism and excretion.

c. Provide the basics of the concept of drug design and prodrug approaches.

4. Intended Learning Outcomes ;

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

A. Knowledge and understanding:

A1) To be able to discuss physicochemical properties of active ingredients.

A2) To be predict qualitatively pharmacokinetic properties from molecular structures.

A3) To be able to illustrate theoretical aspects dealing with modern drug discovery, including quantitative structure-activity relationship

A4) To show an understanding of the prodrug concept and its useful applications.

A5) To be able to predict the outcomes of different metabolic routes for a general medicinal compounds**.**

5. Theory content;

NO	Topic details	NO. Of Hours
1.	**1-Principle of medicinal chemistry\ introduction** 1.1. Definition of Medicinal Chemistry and pharmaceutical Chemistry 1.2. Drug Discovery 1.3. Pharmacokinetics: 1.3.1. Drug distribution and biological membrane. 1.3.2. Drug absorption and biological membrane. 1.3.3. Elimination of drugs from the body. 1.4. Physical-chemical properties of drugs related to biological activity. 1.4.1. Factors affecting drug action of the active site: a. Structurally NON-specific drug: 1. Important physical properties : • Solubility • Vapor pressure • Partition coefficient • Surface activity • Acid-base properties • Pka (dissociation constant) b. Structurally specific drugs. • Receptors and drug receptors interactions: 1. Concept of specific drug receptors 2. Receptors isolation teq. 3. Theory and assumption of drug interactions • Structural features and pharmacological activity: Stereochemical definitions. 2- Optical isomerism and pharmacological activity. 3- Influence of optical activity 4- Effect of conformational isomerism and pharmacological activity. 1.5. Bioisosteres: 1.5.1. Classical and non-classical bioisosters.	**(7 hrs)**

2.	**Drug metabolism or biotransformation** 2.1.Introduction 2.2. Main idea of Biotransformation. 2.3. Phase I: Functionalization Reactions: including, definition, oxidative, reductive, and hydrolytic reactions, role of microsomal cytochrome P450 monooxygenases. 2.4. Phase II: Conjugation Reactions: including, definition and purpose, conjugating systems, and results of phase II Reaction. 2.5.consequences of Drug Metabolism: • Termination of Drug Action • Bioactivation • Drug-drug Interactions • Stereochemical Implications • Lead Modification Approach-Drug design 2.6. Factors Affecting Drug Metabolism	**(2 hrs)** **(2hrs)** **(1hr)** **(2hrs)**
3.	**Antifungal Agents** 3.1.Define fungal infections and the treatment options 3.2.Categorize antifungals 3.3.Describe the chemistry and utility of antifungal antibiotics 3.4.Describe the mode of action and structure of azole antifungals 3.5.Discuss the utility of pyrimidine antifungals 3.6.Discuss the other structural classes of antifungals 3.7.List some new drugs in the antifungal category	**(2hrs)**
4.	**Antiamoebic Drugs** 4.1.Introduction. 4.2.Treatment of Amebiasis: 4.3.Nitroimidazole derivatives. Mode of action.	**(1hr)**
5.	**Anthelmintics** 5.1. Introduction. 5.2. Classification: a. Benzimidazole derivatives. b. Piperazine derivatives. c. Heterocyclic compounds	**(1hr)**
6.	**Antimalarial drugs** 6.1.Brief introduction to malaria. 6.2.Life cycle of malaria.	**(1hr)**

	6.3.Chemotherapy of malaria. 6.4.Antimalarial agent; Quinine (SAR), mechanism of action. 6.5.Antimalarial Agents (other members).	**(1hr)**
7.	**Diagnostic agent** 7.1.Introduction. 7.2.Classification. 7.3.Explain how diagnostic agents work. 7.4.Explaining, giving examples and structures of some diagnostic agents.	**(1hr)**
8.	**Antimycobacterial Agents** 8.1.Introduction 8.2.TB 8.3. Anti TB 8.4.First line treatment 8.5.SAR of Rifampicin 8.6.Second line treatment 8.7. Leprosy Treatment of leprosy.	**(1hr)** **(1hr)**
9.	**Chloramphenicol** 9.1. Introduction to Amphenicols, mode of action, SAR, metabolism, development of resistant, prodrugs, uses and adverse effects.	**(1hr)**
10	**Cancer chemotherapy** 10.1. Introduction. 10.2. Antineoplastic drugs: 10.3. Alkylating agents. 10.4.Antimetabolites. 10.5.Hormone-based therapies. 10.6. Antibiotics. 10.7.Miscellaneous Agents	 **(1hr)** **(2hrs)** **(2hrs)** **(2hrs)**
11	**Drug design concept** 11.1. Introduction 11.2. Drug discovery 11.3. Drug design 11.4. Drug development	 **(1hr)** **(2hrs)** **(2hrs)** **(2hrs)**

12	**Tetracyclines** 12.1. Introduction, Classifications, Mechanism of Antibacterial activity, General structure of tetracyclines, Chemistry of tetracyclines. SAR of tetracyclines, Mechanism of uptake and resistance to tetracyclines, Tetracycline derivatives (Tetracycline, Chlortetracycline, Demeclocycline, Oxytetracycline, Doxycycline, Minocycline, Methacycline, Rolitetracycline), Adverse effects, Clinical uses.	**(1hr)** **(1hr)**
13	**Sulfonamides :**Introduction, Classifications, Mechanism of action	**(3hrs)**
14	**Quinolones:**Introduction, Classifications, Mechanism of action	**(2hrs)**
15	**Antiseptic and Disinfectants: :**Introduction, Classifications, Mechanism of action	**(1hr)**
16	**B-lactam Antibiotics: :**Introduction, Classifications, Mechanism of action	**(9hrs)**
17	**Aminoglycosides :**Introduction, Classifications, Mechanism of action	**(2hrs)**
18	**Macrolldes and Lincomycin:**Introduction, Classifications, Mechanism of action	**(2hrs)**
19	**Antiviral : :**Introduction, Classifications, Mechanism of action	**(5hrs)**

Topics hours = 61 hours

Practical content

Experiment title
Detremination of actual content of Ibuprofen mylen in different commercial Ibuprofen tablets
Determination Benzylpenicillin
Determination of Betadine
Limit test for chloride
Limit test for sulphate
Optical activity
Determination of glibinclamide **Should be changed will be in forth year**
Training on Chemdraw software
Training on SWISS ADME software

6. **Teaching and Learning Methods;**

(All methods below can be used)

5.1. Tutorial.

5.2. Presentation.

5.3 Data show.

c. **Weighing of Assessments**;

Assessment Examination:	60 marks/300
Final Examination;	180marks/300
Oral Examination	None
Practical Examination	60marks/300
Other types of examination	----------

7. **Reading list ;**

WG: Wilson and Gisvold's Textbook of Organic Medicinal and Pharmaceutical Chemistry, by Wilson, Beale, Block, and Gisvold, 12th ed. Lippincott Williams & Wilkins, ISBN: 9780781779296. 2010

F: Foye's Principles of Medicinal Chemistry, by Lemke and Williams, 6th ed. Lippincott Williams & Wilkins, ISBN: 9780781768795. 2008

An Introduction to Medicinal Chemistry, by Graham Patrick, 4th ed. Oxford University Press, USA; ISBN: 9780199234479. 2009

Medicinal Chemistry: An Introduction, by Garth Thomas, 2nd ed. John Wiley & Sons, ISBN: 9780470025987. 2008

8. **Disclaimer**;

Teaching policies and regulations for this course are not open for discussion or negotiation. This syllabus has been constructed to be as complete as possible but is by no means a binding document. I reserve the right to alter policies and regulations as needed.

Course coordinator: **Dr. Eman Bobtaina**

Head of Department: **Dr. Ruwida Snini Edited in 2018/2019**