האוניברסיטה העברית בירושלים
THE HEBREW UNIVERSITY OF JERUSALEM

The Hebrew University of Jerusalem

Syllabus

TOPICS IN MEDICINAL CHEMISTRY - 69921

Last update 14-02-2017

HU Credits: 2

Degree/Cycle: 2nd degree (Master)

Responsible Department: chemistry

Academic year: 0

Semester: 2nd Semester

Teaching Languages: Hebrew

Campus: E. Safra

Course/Module Coordinator: Prof. Israel Agranat

Coordinator Email: Isri.Agranat@mail.huji.ac.il

Coordinator Office Hours: Thursday 16:45-17:45,in addition :Upon advanced coordination

Teaching Staff:
Prof Israel Agranat

Course/Module description:
The main theme of the course is the process of drug discovery. The course does not replace a systematic course of Medicinal Chemistry. Emphasis is given to leads to new drugs and their applications in drug discovery. Aspects of regulations of drugs and patentability of potential drugs are also presented. At the end of the course the students will submit a written essay on a new drug.

Course/Module aims:

Learning outcomes - On successful completion of this module, students should be able to:
At the end of this course, students will be capable of successfully writing and submitting a leaned esaay on a selected new drug.

Attendance requirements(%):
100%

Teaching arrangement and method of instruction: Frontal teaching

Course/Module Content:
1. Definition, origin, objectives and methods of Medicinal Chemistry – an interdisciplinary subject; strategies and tactics; relationships with related sciences.
2. The Medicinal Chemistry space.
3. The Classifications of drug according to disease entities, therapeutic categories and drug-receptor interactions.
4. Nomenclatures of drugs.
5. Discovery, invention and management of drugs; Life-cycle management.
6. Leads in Drug Discovery.
7. Regulation of drugs; brand-name drugs and generic drugs. גרועד נקמרקעבשך
8. New drugs: New Therapeuric Entities, New Chemical Entities, New Molecular Entities, New Active Substances, New2 Biological Entities.
9. The impact of the thalidomide tragedy on regulations of drugs.
10. Intellectual property in drug discovery and invention; patentability of drugs and other types of exclusivity.
11. Chirality in drug discovery, and development.
12. Treatment of GERD and ulcer: cimetidine and related H2-blockers.

13. Treatment of GERD and ulcer: omeprazole and related proton-pump inhibitors.
14. Lowering-cholesterol drugs: atorvastatin calcium and related statins as HMS-CoA reductase inhibitors.
15. Mustards as alkylating agents: anti-cancer drugs versus chemical warfare agents. Cyclophosphamide.
16. Chemotherapy pills and abortion pills; the controversial drug RU486 (mifepristone).

Required Reading:
Topics in Medicinal Chemistry:
Course 69921, 2015
Bibliography

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"Burger's Medicinal Chemistry and Drug Discovery, 6th Edition, Wiley, Hoboken, N.J., 2003

2.D.J. Abraham and D.P. Rotella
"Burger's Medicinal Chemistry, Drug Discovery and Development, 7th Edition, 8 Volume Set, Wiley, Hoboken, N.J., 2010

3.P. Krogsgaard-Larsen, T. Liljefors and V. Madsen, Eds.,
"A Textbook of Drug Design and Development"
2nd Edition, Harvard Academic Publishers, 1996.

4.T. Nogready, "Medicinal Chemistry, A Biochemical Approach",
Second Edition, Oxford University Press, New York, 1988.

5."Comprehensive Medicinal Chemistry", Vol. 1-6,
Pergamon Press, Oxford, 1990.

6.J. Fischer and R.C. Ganellin, Eds.,
"Analogue-based Drug Discovery"
Wiley-VCH, 2006.

7.J. Fischer and R.C. Ganellin, Eds.,
"Analogue-based Drug Discovery II"
Wiley-VCH, 2010.

8.M. Sandler and H.J. Smith
"Design of Enzyme Inhibitors as Drugs",
Oxford University Press, Oxford, 1989.

9.C.R. Ganellin and B.T. Price, Eds.,

“Medicinal Chemistry: The Role of Organic Chemistry in Drug Research”, 2nd Edition, Academic Press, 1993.

10.S.M. Roberts and B.T. Price, Eds., “Medicinal Chemistry: The Role of Organic Chemistry in Drug Research”, 1st Edition, Academic Press, Orlando, FL., 1985.

11.L. L. Brunton, Ed., B. A. Chabner, B. C. Knollmann, Assoc. Eds., “Goodman and Gilman’s The Pharmacological Basis of Therapeutics”, Twelfth Edition, McGraw-Hill, New York, 2011.

12.J.R. Prous, “The Year’s Drug News, Therapeutic Targets, 1994 Edition, Prous Science Publishers, Barcelona, 1994.

13.“Annual Reports in Medicinal Chemistry”, Vol. 1-49, 1966-2014, Elsevier and Academic Press, San Diego, CA.

14.F.D. King, Ed., “Medicinal Chemistry, Principles and Practice” CRC Press, Cambridge, 2005

15.N. Claude Cohen, Ed. “Guidebook on Molecular Modeling in Drug Design” Academic Press, San Diego, 1996.

16.G. L. Patrick, “An Introduction to Medicinal Chemistry” Second Edition, Oxford University Press, Oxford, 2001.

17.S. K. Branch and I. Agranat ““New Drug” Designations for Therapeutic Entities: New Active Substance, New Chemical Entity, New Biological Entity, New Molecular Entity”, J. Med. Chem., 2014, 57, 8729-8765.

Dictionaries

1.“Dictionary of Pharmaceutical Agents” Vol. 1-3, Chapman & Hall, London, 1997.

2.“Dictionary of Drugs” CRC Press, 2010 http://dod.chemnetbase.com

3.“The Merck Index, An Encyclopedia of Chemicals, Drugs, and Biologicals”

Fifteenth Edition
The Royal Society of Chemistry, 2013.

4.“Pharmaceutical Substances; Syntheses, Patents, Applications”, Vol. 1-2, 4th Edition,
A. Kleemann, J. Engel, B. Kutscher and D. Reichert, Eds., Thieme, Stuttgart, 2001.

5.“Pharmaceutical Substances; Syntheses, Patents and Applications of the most Relevant AIPs”.
A. Kleemann, J. Engel, B. Kutscher and D. Reichert, Thieme, Stuttgart, 2009.

6.“Glossary of Terms Used in Medicinal Chemistry”
(IUPAC Recommendations 1998)
http://www.chem.qmul.ac.uk/iupac/medchem/
Pure Appl. Chem., 1998, 70, 1129-1143.

7.“Glossary of Terms Used in Medicinal Chemistry Part II
(IUPAC Recommendations 2013)
http://www.chem.qmul.ac.uk/iupac/medchem/
Pure Appl. Chem., 1913, 85, 1725-11431758.

8.Drugs@FDA
FDA Approved Products
http://www.accessdata.fda.gov/scripts/cder/drugsatfda

8.“To Market, To Market – 1983-2013”
In “Annual Reports in Medicinal Chemistry”, Vol. 19-49, 1984-2014,
Elsevier and Academic Press, San Diego, CA.

9."To Market To Market 2014, 2015"
In Medicinal Chemistry Review", Vol. 50-51, 2015-2016,Medicinal Chemistry Division of the American Chemical Society, Wasington, D.C.

Additional Reading Material:

Course/Module evaluation:
End of year written/oral examination 0 %
Presentation 0 %

Participation in Tutorials 0 %
Project work 95 %
Assignments 0 %
Reports 0 %
Research project 0 %
Quizzes 0 %
Other 5 %
Assignment of 2 Abstracts of selected two ne

Additional information: