SECOND SEMESTER 2015-2016

Course Handout (Part II)

Date: 15/01/2016

In addition to part I (General Handout for all courses appended to the time table) this portion gives further specific details regarding the course.

Course No. : **PHA G621**
Course Title : **Advanced Medicinal Chemistry**
Instructor-in-Charge : **Hemant R. Jadhav**
Instructor : **Pankaj Wadhwa**

1. Scope and Objective of the Course :

This course is designed to familiarize the students to improve their knowledge of medicinal chemistry by learning how to take a rational, physical, chemical, organic approach to drug design and drug development in relation to the chemistry of drug action. Emphasis is also made on planning and designing of molecules to enhance their medicinal activity.

2. Text Book : Manfred E Wolff- "Burger's Medicinal Chemistry and Drug Discovery", Wiley-Interscience, Fifth Edition, N.Y., 1995, Vol. I - V.

3. Reference Books :

1. Richard B Silverman- " The Organic Chemistry of Drug Design and Drug Action", Academic Press, Inc., Calif., 1992
2. P.M.Dean, G. Jolles and C.G.Newton- " New Perspectives in Drug Design", Academic Press Ltd., N.Y., 1995
3. Joseph G. Cannon- " Pharmacology for Chemists", Oxford Univ. Press-ACS, N.Y., 1999

Besides the above relevant information on the topics are also available in following:

4. "Annual Reports in Medicinal Chemistry "- Academic Press Inc, Various volumes.
5. Journal of Medicinal Chemistry-ACS-Different Volumes.
6. Chemical Reviews-ACS-Various Volumes

4. Course Plan:

Lecture	Objective	Topics to be covered	Reference
1-6	Drug development	Introduction, drug design process, Target identification, target validation, lead optimization, preclinical data package	T.B.:10-13
7-12	Computer Aided Drug Design	QSAR: lipophilicity, steric and electronic parameters, Structure aided drug design, inspection, virtual screening, de novo generation,	T.B.:14-15
13	Drug-receptor interaction	Receptor types, Radio ligand binding assays	T.B.:11
14-16	Bioisosterism	Bioisosters, Bioisosterism in drug design, Case studies	R.B.: 5, 6

17-18	Prodrugs	Utility, Advantages, carrier linked prodrugs, Bio precursors, Tripartate prodrugs, mutual pro drug	T.B.: 23
19-21	Dendrimers	Introduction, Application in medicinal chemistry	R.B.: 4, 5
22-25	Combinatorial chemistry	Solid-phase organic synthesis – solid support, linker method, deconvolution method with examples	R.B.: 4, 5, 6
26-27	Hypocholestro-lemic agents	Lipoproteins, HMG-Cop A reductase inhibitors, fibric and derivative and bile acid sesquestrants	R.B.: 6
28-30	Fluoroquinolone antibacterials	Development, mode of action, structural features and SAR. Synthetic approaches and screening	T.B.: 51
31-34	Anti-HIV agents	Virus life cycle, Different targets with examples, NNRTI inhibitors its synthesis and screening	R.B.: 5, 6
35-37	Anticancer agents	Mechanism of action SAR, synthesis of alkylating agents, antimetabolites and its recent advances. In vitro cytotoxicity assays.	R.B.: 4, 5, 6
38-40	COX-2 inhibitors	Biosynthesis of eicosanoids, Side effect due to inhibition of Cox-1, Selective Cox-2 inhibitors with synthesis and screening technique	R.B.: 6

5. Evaluation:

Component	Duration	Weightage (%)	Date & Time	Remarks
Mid semester Test	90 min.	30	15/3 11:00 - 12:30 PM	CB
Assignment(s)*		40		
Compre. Exam	3 hrs.	30	5/5 AN	CB & OB

***** Assignment(s) may be practical / theory oriented, for which a proper report in a standard format should be submitted as per deadline(s) that would be announced. It may also include a viva and/or a seminar presentation.

Reading Assignments: Students are advised to read, collect additional information on the above mentioned topics as per given schedule.
Chamber consultation hour: To be announced in class.
Notices: Notices concerning the course will be displayed on the Pharmacy Group Notice Board only.
Make-Ups: Generally make-up will be considered for regular students only. It is solely dependent on the "genuineness" of the circumstances. The make-up application should be personally given to instructor-in-charge.

Instructor-in-Charge
PHA G621