## BIRLA INSTITUTE OF TECHNOLOGY AND SCIENCE, PILANI INSTRUCTION DIVISION

## **Course Handout Part II**

(Semester I; 2016-17) Date: 26-7-2016

In addition to part-I (General handout) this portion gives further details regarding the course.

Course No: CHEM F335

Course Title: Organic Chemistry and Drug Design

Instructor-in-charge: Dr Dalip Kumar

- 1. Scope and objective of the course: To familiarize the students with basic aspects of drug discovery and more importantly, the applications of organic chemistry in drug design, important drug targets, marketed drugs, synthesis of drugs; the overall objective is to have a reflective teaching and learning environment
- 2. **Text Book (T1):** An Introduction to Medicinal Chemistry by Graham L. Patrick, Oxford University Press, 3'rd Ed. **Reference Books (R)**: R1 The organic chemistry of drug design and drug action 2<sup>nd</sup> edition by Richard B Silverman. R2 medicinal chemistry by Ashutosh Kar, New Age International Publishers, 6<sup>th</sup> edition.
- **3. Learning Outcomes**: drugs and listing of biological targets (at least for ten marketed drugs); relationship between chemical structure and biological activity; use of computational software's in drug design; rationally design and synthesis of new drug entities

## 4. Course Plan:

Lec. No.	Learning objectives	Topics to be Covered			
1-6	Drugs and Drug targets	Introduction, drug targets, intermolecular bonding forces, classification of drugs, naming of drugs and medicines, Structures and functions of protein, enzymes, receptors, and nucleic acids, receptors and signal transduction; miscellaneous drug targets	T1: 1-10		
7-10	Pharmacokinetics and pharmacokinetics	Molecular interaction with receptors and enzymes; absorption, distribution, metabolism, and elimination of drugs, concept of prodrugs			
11-14	Drug discovery, design, and development	finding a lead; choosing a disease, target, and bioassay; finding leads from natural drugs, synthetic compounds libraries, existing drugs; optimizing target interactions; SAR; drug development: preclinical and clinical trials; patenting and regulatory affairs	T1: 12-15		
15-18	Quantitative structure-activity relationships	Physicochemical properties, Hansch equation, the Craig plot, the topless scheme, bioisosteres, the free-wilson approach, planning a QSAR study, three-dimensional QSAR	T1:18		
19-23	Antibacterial agents	Sulfonamides; penicillins; cephalosporins; β-lactam antibiotics: introduction, classification, synthesis, and design; Cephalosporins - Discovery, structure elucidation and synthesis of cephalosporin-C.	T1:19		
24-28	Antiviral agents	Against DNA viruses; against RNA viruses/HIV; protease inhibitors, broadspectrum antiviral agents: introduction; synthesis, and design			
29-32	Antimalarial agents	Introduction, classification, mechanism of action, synthesis of selected antimalarial drugs	R2		
33-36	Anticancer agents	Cancer; drugs acting on nucleic acids; antimetabolites; hormone-based therapies; inhibitors of signaling pathways	T1: 21		
37-40	Analgesics, Antipyrics and Antiinflammatory agents	Morphine and morphine-like drug molecules, meperidine, methadone, paracetamol, aspirin, acetaminophen, indomethacin, phenylbutazone, mefenamic acid, ibuprofen, diclofenac, naproxen, celecoxib	R2		

**Evaluation components:** 

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Component	Duration	Weightage (%)	Remarks	Date and Time			
Mid-semester Test	90 min	30	Closed Book				
Tutorial tests + presentation	10 min each	30	Continuous				
Comprehensive Examination	3 hrs	40	Partially closed and open Book				

- **5.** Make-up(s) will be granted only for genuine reasons decided by the instructor.
- **6. Notices:** All the notices pertaining to this course will be displayed on Chemistry Dept. Notice Board only.