



**BIRLA INSTITUTE OF TECHNOLOGY AND SCIENCE, Pilani**  
**Pilani Campus**  
**AUGS/ AGSR Division**

**SECOND SEMESTER 2020-21**  
**COURSE HANDOUT**

**Date: 12.03.2021**

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  
**Practical Instructors** : Amritansh Bhanot, Amit Sharma, Prashant Auti

**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:** Donald J. Abraham and David P. Rotella (Editors), 'Burger's Medicinal Chemistry, Drug Discovery, and Development', Wiley, Seventh Edition, Volumes 1 to 3, 2010.

**3. Reference Books:**

1. R B Silverman and M W Holladay, 'The Organic Chemistry of Drug Design and Drug Action', Elsevier, 3<sup>rd</sup> Ed, 2014.
2. G L Patrick, 'An Introduction to Medicinal Chemistry, Oxford Univ Press, 6<sup>th</sup> Ed, 2017.
3. Mike Lancaster, 'Green Chemistry: An Introductory Text', RSC, 3<sup>rd</sup> Ed, 2016.

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

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

**4. Course Plan:**

Module No.	Lecture Session	Reference	Learning outcomes
1	Introduction, drug design process, difficulties encountered	Vol 3: Ch 3; RB 1: Ch 1	Overview of drug design
2	Drug discovery methods such as Me too drugs, analog design, Peptidomimetics, Diversity oriented synthesis, etc	TB Vol 1: Ch 4, 6, 15; Vol 2: Ch 4	Methods of drug discovery
3	Rational drug design including Target identification, target validation, lead optimization, preclinical experiments	Vol 2: Ch 6, 12; RB 1: Ch 1, 2	Drug development Process in Industry
4	Protein drug interactions and their uses in drug design	Vol 2: Ch 3	Use of Drug-receptor interactions
5	Solid-phase organic synthesis – solid support, linker method, deconvolution method with examples; Solution phase organic synthesis	TB Vol 1: Ch 8; RB 2: Ch 16	Combinatorial chemistry methods and components



**BIRLA INSTITUTE OF TECHNOLOGY AND SCIENCE, Pilani**  
**Pilani Campus**  
**AUGS/ AGSR Division**

6	Prodrugs, Advantages, carrier linked prodrugs, Bio precursors, Tripartate prodrugs, mutual pro drugs, prodrug design	Vol 3: Ch 6; RB 1: Ch 9	How to make Prodrugs
7	Bioisosters, Bioisosterism in drug design, Case studies and methods	RB 1: Ch 2	Bioisosterism as method of drug modification
8	2D QSAR: descriptors, process and statistical methods; 3D QSAR: CoMFA process, comparison of other 3D QSAR methods; Applications of both the methods	TB Vol 1: Ch 1, 13	Evolution of Computer Aided Drug Design
9	Pharmacophore modeling: ligand based and structure based, uses and limitation	TB Vol 1: Ch 11	Design drugs using Pharmacophore modeling
10	Structure aided drug design: Molecular modeling: inspection, virtual screening, de novo generation;	Vol 2: Ch 1, 9, 15, 16	Drug design using molecular modeling
11	Principles of Green Chemistry in drug synthesis and related examples	RB 3: Ch 1	Green chemistry methods

**5. Evaluation Scheme:**

Component	Duration	Weightage (%)	Date & Time	Nature of component (Close Book/ Open Book)
Mid-Semester Test	90 Min.	35		Closed book and/or open book
Comprehensive Examination	120 Min.	40	24/6/2021 AN	Closed book and/or open book
Continuous assessment*		25		

\*Continuous assessment will be based on theory covered and will be in terms of home assignments, quizzes, projects, laboratory, viva-voce, presentations, etc. Exact topics and number will be announced in class.

\*\* It is strongly advised that all students prepare their own notes and relevant information from lectures, text and reference books as given in handouts. Only notes and books (given in hand out) will be allowed for consultation during open book assessments. Photocopies of any material, written or printed will not be permitted due to copyright issues.

\*\*\* Recent developments in the area/topic will be discussed in class and hence some information may differ from the information in text or reference material. Such discussions held in class will be considered as primary source of information in assessments and hence students are expected to take note.

**6. Chamber Consultation Hour:** Room No. 3170 X, Time to be announced in class.

**7. Notices:** Notices concerning the course will be displayed on the Pharmacy Group Notice Board only.

**8. Make-up Policy:** 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.

**9. Note (if any):** Students are advised to read, collect additional information on the above mentioned topics. All evaluation components are equally important, irrespective of weightage. Hence, students failing to attend scheduled classes, or absenting themselves in one or many of the evaluation components, may become ineligible for obtaining a valid grade at the end of the semester. Attendance in all lectures and assessments are equally important as they are all integral components of learning, irrespective of weightage and may be taken into consideration during grading.

**Instructor-in-Charge**  
**Course No. PHA G621**