



**ACADEMIC-GRADUATE STUDIES AND RESEARCH DIVISION**  
**FIRST SEMESTER 2022-2023**  
**Course Handout (Part - I)**

Dt 12-08-2023

**Course No.** : PHA F312  
**Course Title** : Medicinal Chemistry-I  
**Instructor-in-Charge** : P. YOGESHWARI  
**Instructors** : Purbali Chakravarty, Daraksham Begum, Suraj S

**1.Course Description:**

Study of important classes of drugs predominantly acting on CNS, ANS, SNS. Structure, properties, therapeutic and pharmaceutical importance and the uses of drug molecules both of natural and synthetic origin. Study of physicochemical properties, mechanism of action, S.A.R. and metabolism, factors affecting metabolism of drugs. Special emphasis on important topics such as Cholinergic drugs, Adrenergic drugs, Local anaesthetics and general anaesthetics, Sedatives, hypnotics and anti-anxiety drugs, Antiepileptics, Antihistamines, NSAIDS, Thyroid and Antithyroid Drugs, Drugs for Erectile Dysfunction.

**2. Scope and Objective of the Course:**

This course deals with the study of important classes of drugs that are listed below. Various aspects like structure, properties, therapeutic and pharmaceutical importance and the uses of drug molecules both of natural and synthetic origin will be covered. Study of physico-chemical properties, mechanism of action, S.A.R. and metabolism of drugs dealt here under will also be emphasized.

**Learning outcomes (course benefits): Students who have undergone would be able to**

- ) Demonstrate the importance of various means of drug discovery including natural therapeutics.
- ) Understand the importance of physicochemical properties of drugs and their pharmacodynamics and kinetic profiles.
- ) Understand how current drugs were developed and how new scientific techniques will provide future drugs.
- ) Understand a working knowledge of chemical structures and their uniqueness for various therapeutic properties that include local anesthetics, cholinergic, adrenergic, and various centrally acting drug classes

- ) Develop an understanding of theoretical and practical ways to derive synthetic protocol of any given organic chemical drug structure by a retrosynthetic analytical approach.

### 3. Text Book :

1. William O Foye- "Principles of Medicinal Chemistry", sixth edition, Lea and Febiger, Phil., 2008, Or (latest)

### Reference Books :

1. Robert F Doerge- " Wilson and Gisvold's Textbook of Organic Medicinal and Pharmaceutical Chemistry", Eleventh Edition, J.B. Lippincott Company, Phil.,2010.
2. Remington's Pharmaceutical Sciences, 18<sup>th</sup> ed., 1990.
3. D. Sriram & P. Yogeeswari. Medicinal Chemistry, Pearson Education, second Edition, 2010.
4. Introduction to medicinal chemistry by graham L Patrick. Fourth edition, Oxford university press 2008.

### 4. Course Plan

#### a) Lectures

Lec. No.	Learning Objectives	Topic to be Covered	Ref.
1-3	Outline of Medicinal Chemistry	Physiochemical properties of drug molecules, structural features and pharmacological activity, biopharmaceutical properties of drug substance, Drug discovery design and development., Metabolism, drug receptor interaction,	Various Sources
4-5	Blood brain barrier (BBB) and drug targeting and delivery	The anatomy of BBB and challenges in drug targeting for brain disorders and delivery strategies.	Various Sources
6-8	Cholinergic drugs	Design and chemistry of cholinergics, anticholinergics, and anticholinesterases	TB-17, RB.4-22
9-10	Adrenergic drugs	Biosynthesis, metabolism, SAR, and synthesis of related drugs .	TB-18- RB.4-23
11-13	Local anesthetics and volatile anesthetics	Mode of Action, Syntheses, SAR	TB-16
14-18	Sedative hypnotics and	Mode of Action, Syntheses, SAR	TB-10

	antianxiety drugs		
19- 22	Antiepileptics	Mode of Action, Syntheses, SAR	TB-11
23-27	Antipsychotics	Mode of Action, Syntheses, SAR	TB-12
28-30	Opoid analgesics	Mode of Action, Syntheses, SAR	TB-14
31-32	Anti parkinsonial drugs	Mode of Action, Syntheses, SAR	
33-36	Histaminic and anti-histaminic drugs	Mode of Action, Syntheses, SAR of anti allergics and antiulcer drugs	TB-22
37-40	NSAIDS	Mode of Action, Syntheses, SAR	TB-25

**b) Practical/Laboratory Experiments:**

Experiments include synthesis of drug and drug-like compounds involving more than one step. Lab sheets for each experiments would be uploaded in the CMS for students to refer beforehand and perform the experiment.

**List of experiments:**

Online videos demonstrating GLP, general synthesis, medicinal chemistry laboratory setup, equipment, safety measures and industrial scale up and few interesting topics would be uploaded as videos for self-study and discussion further. Alongside each lab classes would also be conducted with few live/recorded videos on experiments listed below but not limited. As we proceed with this plan, there could be few changes and modifications to the way lab is conducted based on the feasibility and feedback system. Lab evaluation would be continuous in terms of viva-voce, quiz or assignments.

**Exp.1.** PREPARATION OF p-BROMO ACETANILIDE FROM ANILINE- 2 steps (2 classes)

**Exp.2.** CONDENSATION OF ACETOPHENONE AND 2, 4-DINITRO PHENYLHYDRAZINE- 2 steps (2 classes)

**Exp.3.** PREPARATION OF 6-METHOXY-2-METHYLQUINOLIN-4-OL ANALOGUES- 2 steps (2 classes)

**Exp.4.** SYNTHESIS OF 4-AMINO DIPHENYL ETHER FROM 1-IODO-4-NITROBENZENE- 2 steps (2 classes)

**Exp.5.** CONDENSATION OF O-PHENYLENEDIAMINE AND P-AMINO BENZOIC ACID- 2 steps (2 classes)

**5. Evaluation:**

Component	Duration	Weightage (%)	Date & Time	Nature of Component
Surprise Quiz/ Class interaction- Pre midsem	10-15 min	5	-	OB
Midsem test	90 min	30	12/10 - 4.00 - 5.30PM	CB
Surprise Quiz/ Class interaction- Post midsem	10-15 min	5	-	OB
Practical/Assignments/ Seminars	-	25	Continuous evaluation	-
Comprehensive Exam	~120 min	35	16/12 FN	CB & OB

**Mid-Semester Grading:** Will be announced after Midsem test.

**6.Make-up:** Prior approval or intimation to take a make-up is mandatory. It is solely at the discretion of the instructor-in-charge, depending upon the genuineness of the circumstances, to allow or disallow a student to appear for a make-up evaluation component. No makeup will be granted for Assignments/Quizzes under any circumstances.

### **5. Grading Procedure:**

- Grading will be done by “bunching” procedure. Total marks obtained by the students will be arranged in descending order, ‘bunches’ will be identified and grades awarded accordingly. Fine grading system (A, A-, B, B-....) will be followed.
- It is not mandatory for the instructor-in-charge to award all the grades (A to E); subjective judgment will be used for awarding the grades.
- As specified in Handout – Part I, appended to the timetable, the instructor in-charge reserves the right to award a NC report in case the student does not make himself/ herself available for any of the evaluation component mentioned above.
  - Borderline cases during grading will be judged on the basis of regularity to classes and consistency or progress in the performance in evaluation components.

**9. Common consultation hours:** To be announced in class.

**10. Notices:** Notices concerning the course will be displayed on the CMS portal and email.

**Honesty and Integrity Policy:** Academic honesty and integrity are to be maintained by all the students throughout the semester and no type of academic dishonesty is acceptable.

**Instructor - in –Charge,  
PHA F312**