

# FIRST SEMESTER 2022-2023 Course Handout (Part - I)

11-08-2023

Course No. : PHA F312

Course Title : Medicinal Chemistry-I Instructor-in-Charge : P. YOGEESWARI

Instructors : Purbali Chakravarty, Daraksham Begum, Suraj S

### 1. 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 physicochemical 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.

#### 2. Text Book:

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

## 3. 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,  $18^{th}$  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.

# 5. Course Plan

#### a) Lectures

| Lec.  | Learning Objectives       | Topic to be Covered                       | Ref.    |
|-------|---------------------------|---|---------|
| No.   |                           |   |         |
| 1-3   | Outline of Medicinal      | Physiochemical properties of drug         | Various |
|       | Chemistry                 | molecules, structural features and        | Sources |
|       |                           | pharmacological activity,                 |         |
|       |                           | biopharmaceutical properties of drug      |         |
|       |                           | substance, Drug discovery design and      |         |
|       |                           | development., Metabolism, drug receptor   |         |
|       |                           | interaction,                              |         |
| 4-5   | Blood brain barrier (BBB) | The anatomy of BBB and challenges in      | Various |
|       | and drug targeting and    | drug targeting for brain disorders and    | Sources |
|       | delivery                  | delivery strategies.                      |         |
| 6-8   | Cholinergic drugs         | Design and chemistry of cholinergics,     | TB-17,  |
|       |                           | anticholinergics, and anticholinesterases | RB.4-22 |
| 9-0   | Adrenergic drugs          | Biosynthesis, metabolism, SAR, and        | TB-18-  |
|       |                           | synthesis of related drugs .              | RB.4-23 |
| 11-13 | Local anesthetics and     | Mode of Action, Syntheses, SAR            | TB-16   |
|       | volatile anesthetics      |   |         |
| 14-18 | Sedative hypnotics and    | Mode of Action, Syntheses, SAR            | TB-10   |
|       | antianxiety drugs         |   |         |
| 19-   | Antiepileptics            | Mode of Action, Syntheses, SAR            | TB-11   |
| 22    |                           |   |         |
| 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-    | Mode of Action, Syntheses, SAR of anti | TB-22 |
|       | histaminic drugs        | allergics and antiulcer drugs          |       |
| 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 alos 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<br>(%) | Date & Time           | Nature of<br>Component |
|--------------------------|-----------|------------------|-----------------------|------------------------|
| Surprise Quiz/ Class     | 10-15 min | 5                | -                     | OB                     |
| interaction- Pre midsem  |           |                  |                       |                        |
| Midsem test              | 90 min    | 30               | 10/10 - 2.00 - 3.30PM | СВ                     |
| Surprise Quiz/ Class     | 10-15 min | 5                | _                     | OB                     |
| interaction- Post midsem |           |                  |                       |                        |
| Practical/Assignments/   | -         | 25               | Continuous evaluation | -                      |
| Seminars                 |           |                  |                       |                        |
| Comprehensive Exam       | `180 min  | 35               | 11/12 FN              | CB & OB                |

- 6. **Mid-Semester Grading:** Will be announced after Midsem test.
- **7.** <u>Make-up</u>: 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.

#### 8. **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.** <u>Notices</u>: Notices concerning the course will be displayed on the CMS portal and email. <u>Honesty and Integrity Policy</u>: 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