

Department of Chemistry
Subject- Drug Chemistry
Syllabus of I Year Diploma Program (UG)

Title of Program: Medicinal Chemistry

Year	Semester	Course No.	Course Code	Contact Hours	Credits (1Credit=15 H)	Total Marks	
2	I	DT I	DDCT 101	30	2	75	
		DL I	DDCL 101	60	2	75	
	II	DT II	DDCT 202	30	2	75	
		DL II	DDCL 202	60	2	75	
	Annual	DP I	DDCP 101	30	1	50	
	Industrial and or Incubation and or Research and or Field Training				30	1	-
	Total				240	10	350

D: Diploma, *: Departmental Code (D: Drug, C: Chemistry, MI: Microbiology, CSE: Computer Science (Entire), etc)

C: Course, T: Theory, L: Lab (Practical), P: Project

Total No. of Courses: 6 (Theory: 02, Practical: 02, Project: 01)

Theory and Practical: Semester, Project: Annual

Semester I

DT-I: DDCT 101: Introduction to Medicinal Chemistry

(Contact Hrs: 30 Credits: 2)

Learning Objectives:

Students will be able to learn

1. Various areas related to Medicinal Chemistry and apprise them with their prevalent in their future studies and their applications in various spheres of medicinal chemistry.
2. Identification of various drugs.

Unit I: A General introduction to Medicinal Chemistry

(15)

Definitions and explanation of terms used in Medicinal Chemistry (hits, lead, lead development, molecular libraries, toxicity studies, high throughput screening, ADME etc.), nomenclature of drugs. Historical perspective, significance of medicinal chemistry - last 150 years serendipity, natural products in drug discovery. Introduction to modern drug discovery- rational design, molecular

modeling, genetics and DNA technology. Classification of Drugs: Classification of drugs based on: Therapeutic classes, Drug targets, Mechanism of action, Chemistry, etc.

Unit II: Drug Metabolism and Physicochemical Properties (15)

Passage of molecule through biological barriers: membrane transport (paracellular, transcellular)

Drug absorption: drug dosage form, gastric emptying, gastric permeability to drug, first pass effect

Drug distribution: drug-plasma binding, blood brain barrier, drug accumulation in tissues

Drug elimination:

a) drug excretion

b) drug biotransformation

c) Biotransformation reactions: functionalization, conjugation reactions, reactions leading to toxic metabolites

Prodrugs: concept of prodrugs, examples and applications, carrier prodrugs, bioprecursor

Prodrugs

Preparation of water soluble salts: drug ionization, pKa, acids and bases used for salt formation, physicochemical properties, pH

Strategies for enhancing oral bioavailability and brain penetration: physicochemical properties, metabolic stability, structural rigidity

Learning Outcomes:

After completion of the unit, Student will be able to learn

1. Fundamentals of pharmaceuticals
2. Define scope and significance of pharmaceuticals
3. Fundamentals of drugs
4. Theoretically predict absorption distribution, metabolism and excretion of drugs and related concept of prodrugs

Reference Books:

1. Foye's Principles Of Medicinal Chemistry W. O. Foye, Lippincott Williams & Wilkins, 6th edition, 2008.
2. Textbook Of Medicinal And Pharmaceutical Chemistry Wilson And Gisvold, Lippincott Williams & Wilkins, Philadelphia, 11
3. Burger's Medicinal Chemistry & Drug Discovery (Vol. 1- 6) A. Burger And M.E. Wolff; John Wiley & Sons-New Jersey, 6th edition, 2003

4. Pharmaceutical Substances: Synthesis, Patents, Applications (N-Z) Kleemann Georg ThiemeVerlag-Stuttgart. Thieme, 4th edition, 2001
5. The Organic Chemistry of Drug Synthesis (Vol. 1-6) Daniel Lednicer John Wiley & Sons INC 1999
6. The Organic Chemistry of Drug Design And Drug Action. R. B. Silverman Elsevier Publication 2
7. Organic Synthesis-The Disconnection Approach, S Warren, John Wiley & Sons- Chichester, 2

DL-I: DDCL 102: Basic Medicinal Chemistry Practicals

(Contact Hrs: 60 Credits: 02)

Learning Objectives:

Students will be able to-

1. Know qualitative and quantitative tests for carbohydrates and amino acids
2. Study absorption spectroscopy for drug analysis
3. Learn multi component analysis for drugs in combination with various methods
4. Calibrate Abbe's Refractometer

List of Practical's (15)

1. Understanding of MSDS sheets and introduction to laboratory
2. Qualitative and Quantitative tests for Carbohydrates Methods: DNS, Folin- Wu Method (Blood Sugar)
3. Qualitative and Quantitative tests for Amino acids, Proteins
4. Precipitation of proteins-Methods: Folin Lowery Method, Biuret Method
5. Estimation of Cholesterol
6. Demonstration and applications of Absorption spectroscopy (UV, Visible) (Any two)
7. Application of paper chromatography to reaction monitoring, purity assessment of drugs, separation of the mixtures. (Any three)
Multi component analysis for drugs in combination.
8. Using simultaneous equation method, using isoabsorption point method,
9. Using solvent extraction method,
10. Using colorimetric and UV methods.
Refractometry-
11. Calibration of Abbe's Refractometer,
12. Estimation of refractive index of natural oils and laboratory solvents (Any three)
13. Determination of the percentage of glycerin in the unknown by calibration curve.

Learning Outcomes:

After completion of the unit, Students will be able to understand

1. Understand chemical hazards of various chemicals
2. Precipitation process of proteins
4. How to measure the refractive index of various solvents
3. Process of estimation of glycerin percentage from unknown sample

Reference Books:

1. Indian Pharmacopoeia
2. United States pharmacopoeia
3. British pharmacopoeia

Semester II

DT-II: DDCT 103: Pharmaceutical Drug Chemistry
(Contact Hrs: 30 Credits: 2)

Learning Objectives:

Students will be able to

1. Get information about various drugs
2. Learn the theory of molecular targets

Unit I: Small molecules as drugs (examples from current drugs to be used) (15)

Small molecules as drugs, Strategies for hit identification: Strategies for identification of hits: design of analogs, systematic and random screening, High throughput screening, investigation of reaction intermediates, development of new leads from old drugs, rational approaches to drug discovery and design (high throughput virtual screening, molecular modeling, ligand based and receptor based drug design strategies), Hit to lead development: examples of drugs derived from lead screening

Unit II: Molecular targets (examples from current targets to be used) (15)

General aspects : drug targets, concepts of drug binding, affinity, selectivity

Enzymes as drug targets:

- a) definitions and concepts-enzyme, apoenzyme, holoenzyme, coenzyme
- b) targeting human enzymes in physiological conditions
- c) targeting human enzymes selective to pathogens

Receptors as drug targets: a) Types and properties of receptors: GPCRs, Ligand gated ion channels, nuclear receptors, voltage gated ion channels, receptors with intrinsic, enzyme activity, receptors coupled to cytosolic proteins

b) Types of bonds in ligand receptor interactions, role of functional groups

c) Types of inhibition of drug-receptor interaction: competitive, noncompetitive, allosteric interactions

d) Cellular responses to ligand-receptor interactions

Target identification methods:

Brief overview of target identification, biopharmaceutical therapy, identification of druggable targets by proteome investigation, cellular screening, intracellular receptors and enzymes, transgenic animals, brief overview of drug metabolism and toxicity

Learning Outcomes:

After completion of the unit, Student will be able to-

1. Know about various drug molecules
2. Learn strategies for hit identification
3. Study about molecular targets
4. Get knowledge about biopharmaceutical theory

Reference Books:

1. Foye's Principles Of Medicinal Chemistry W. O. Foye, Lippincott Williams & Wilkins, 6th edition, 2008.
2. Textbook Of Medicinal And Pharmaceutical Chemistry Wilson And Gisvold, Lippincott Williams & Wilkins, Philadelphia, 11
3. Burger's Medicinal Chemistry & Drug Discovery (Vol. 1- 6) A. Burger And M.E. Wolff; John Wiley & Sons-New Jersey, 6th edition, 2003
4. Pharmaceutical Substances: Synthesis, Patents, Applications (N-Z) Kleemann Georg ThiemeVerlag-Stuttgart. Thieme, 4th edition, 2001
5. The Organic Chemistry of Drug Synthesis (Vol. 1-6) Daniel Lednicer John Wiley & Sons INC 1999
6. The Organic Chemistry of Drug Design And Drug Action. R. B. Silverman Elsevier Publication 2
7. Organic Synthesis-The Disconnection Approach, S Warren, John Wiley & Sons- Chichester, 2

DL-II:DDCL104: Medicinal Chemistry Practicals

(Contact Hrs: 60 Credits: 02)

Learning Objectives:

Students will be able to-

1. Know analysis of paracetamol
2. Study estimation of aldehyde, protein and Vit-C
3. Test ninhydrin in amino acids
4. Get knowledge about preparation and evaluation of various pharmaceutical products

List of Practical's (15)

1. Analysis of paracetamol by titration method.
2. Estimation of amount of carboxylic group by 2,4-DNP
3. Preparation of Aspirin from salicylic acid.
4. Estimation of aldehyde in lemon oil and cinnamon oil.
5. Estimation of protein from food by Lowry method
6. Estimation of Vit-C by titration method.
7. Detection of Amino acid using ninhydrin by spectrophotometry
8. Dissolution testing:
 - Conventional marketed formulations representing- soluble drug, poorly soluble drug (selection of medium)
9. Representative examples of monophasic liquids (Preparation and evaluation) (Any two)
10. Representative examples of emulsions (Preparation and evaluation) (Any two)
11. Representative examples of suspensions (Preparation and evaluation) (Any Two)
12. Representative examples of semisolid dosage forms e.g. ointments, creams , gels etc. (Preparation and evaluation) (Any two)

Learning Outcomes:

After completion of the unit, Student will able to

1. Prepare Aspirin from salicylic acid
2. Estimate aldehyde in various oil
3. Analyse various cosmetic products by using various instruments
4. Understand processes involved in preparation and evaluation of various pharmaceutical products

Reference Books:

1. Pharmacopoeias

2. Pharmaceutical Production Facilities: Design and Applications G.C.Cole
3. New York Ellis Horwood 1990
4. Husa's Pharmaceutical Dispensing Martin E. W. Easton Mack Pub. Co. 1971
5. Transdermal Delivery of Drug A. Kydonieus Florida, CRC Press, 1987
6. Transdermal Controlled System Medications Y. W. Chien, New York, Marcel Dekker 1987
7. The Theory and Practice of Industrial Pharmacy, Lachman Bombay, K. M. Warghese Co. 1976
8. The Theory and Practice of Industrial Pharmacy, Lachman Bombay, K. M. Warghese Co. 1976
9. Pharmaceutical Dosage Forms Vol. I & II, Liebermann, New York, Marcel Dekker, 1996.
10. Drug Delivery Devices: Fundamentals and Applications, Tyle New York, Marcel Dekker 1988

DP-I: DDCP 105: Project
(Contact Hrs. 60, Credits: 2)

Industrial and or Incubation and or Research and or Field Training
(Contact Hrs. 60, Credits: 2)

BOS Sub-Committee

1. Dr. P. A. Bharad (Chairman)
2. Dr. A. R. Mali (Member)

Expert Committee

1. Name of Academic Expert- Dr. Suhit Gilda
2. Name of Industrial Expert- Dr. Ashok Ravalekar