M.PHARM (PHARMACEUTICAL CHEMISTRY)

MPH 2B.1 ADVANCED MEDICINAL CHEMISTRY-I 3 Hrs/Week
THEORY

UNIT – I

1. Physico-chemical properties in relation to Biological action:

Complex events between drug administration and drug action, route of administration, absorption, site of loss (storage site, protein binding, neutral fat), metabolism and excretion, biological activities of Homologous series, drug receptor interactions, isosterism, steric features of drugs, concept of drug receptor, forces involved theories on interaction, selected physico-chemical properties influencing biological action like ionization, hydrogen bonding chelation, oxidation-reduction potential, surface activity, solubility and partition coefficient.

Receptors, their types, location, isolation, Transduction mechanism

UNIT – II

2. Metabolism of drugs:

Role of cytochrome P-450 monooxygenase in oxidative biotransformation, oxidation of aromatic moieties, olefins, benzylic carbon all cyclic carbon, carbon nitrogen systems, carbon oxygen systems, carbon sulphur systems with examples of drugs, reductive reactions involving aldehydes, ketones, nitro and azo compounds, hydrolytic reactions with examples conjugation pathway with glucoronic acid, glycine, glutamine with specific example, acetylation and methylation of drugs.

Stereo chemical aspects of drug metabolism, production of pharmacologically active metabolites. Relationship of drug metabolism and drug design.

UNIT – III

3. Combinatorial chemistry:. High through put organic synthesis : Solid phase organic synthesis : Solution phase synthesis ;

Library construction strategy: Parallel synthesis, pooled synthesis,

Compound design within combinatorial library: Library diversity, controlling Molecular properties.

Looking for leads, Discovery Library : Synthesis of oligomers, efficient constructions, branching strategy, leveraging knowledge, targeted libraries.

The fundamentals of Pharmacophore under lying in combinatorial chemistry.

UNIT – IV

4. Strategies for synthesis of Candidate Drug:

- Target selection
- Retro- synthesis (The disconnection approach, Consecutive versus convergent synthesis)
- Various strategic approaches including **LHASA**
- Strategic bond approach
- Strategic bond in ring approach
- Degradation techniques as a tool for Retro-synthesis.

REFERENCES:

1. Medicinal Chemistry by Alfred Burger
2. Drug Design by Ariens
3. Introduction to the principles of drug design by Smith and Williams
4. Strategy of drug design by Purcell
5. Textbook of medicinal and pharmaceutical chemistry by Wilson and Gisvold
6. Principles of medicinal chemistry by William Foye
7. Combinatorial library design & Evaluation by Arup. K. Ghosh & Vellarkad. N. Vishwanathan by Marcel Dekker. NYC

MPH-2B.2 ADVANCED MEDICINAL CHEMISTRY-II 3 Hrs/Week

THEORY

UNIT – I

A Revisit to 2-D QSAR: Free- Wilson Model, Fugita- Ban Model, Hansch analysis, Electronic factors, steric factors, & hydrophobic factors. Comparison between Free-Wilson model and Hansch analysis. Molecular Connectivity Index (**MCI**).

UNIT – II

- Recent techniques and applications in **Pharmacophore Mapping**.
- **3-D QSAR Analysis:** Receptor independent 3-D QSAR Analysis, Receptor dependent **3-D QSAR** Analysis.
- Receptor pre-organization for activity and its role in identifying Ligand-binding sites on
- Docking molecules into protein binding sites
- *de-novo* Ligand design

UNIT – III

Enzyme Inhibitors: A detailed study of the following types of enzyme inhibitors, related drugs and their pharmaceutical significance;

a) P.G.Synthetase (cycloxygenese and lipoxygenase inhibitors)
b) Phosphodiesterase (PDE) inhibitors.
c) Carbonic anhydrase inhibitors.
d) Angiotensin converting enzyme (ACE) Inhibitors
e) Acetyl choline Esterase (AchE) inhibitors.

UNIT – IV

Miscellaneous classes of drugs: Recent advances in the following classes of drugs:

a) Proton-pump Inhibitors as antiulcer agents.
b) Immunosuppressive and immunostimulant agents.
c) Antiviral agents
d) Beta – Adrenergic blockers (Beta 1 and Beta 2)

REFERENCES:

1. Medicinal Chemistry by Alfred Burger
2. Drug Design by Arie4ns.
3. Introduction to the principles of drug design by Smith & Williams.
4. Strategy of drug design by Purcell.
5. Textbook of medicinal and pharmaceutical chemistry by Wilson and Gisvold.
6. Principles of medicinal chemistry by William Foye
7. Organic synthesis by Michael. B .Smith Mac Graw Hill

MPH-2B.3 ADVANCED MEDICINAL CHEMISTRY-III 3 Hrs/Week
THEORY

UNIT – I

I. **Psychopharmacological agents: a)** Biochemical basis of mental disorders:- Abnormal protein factors, endogenous amines and related substances, faulty energy metabolism, genetic factors and nutritional disorders, Phenothiazines; chemistry and synthesis and evaluation methods. The important pharmacological activities of phenothiazines. SAR of phenothiazines, Toxicity and clinical significance of phenothiazines.

b) Antidepressants: MAO inhibitors and tricyclic antidepressants and Miscellaneous. Mechanism of action, clinical and biological uses, side effects and their SAR studies. Synthesis of clinically useful drugs of each of the above classes.

UNIT – II

II**. Chemotherapy of cancer**: Molecular Biology of Carcinogenesis. A detailed classification of antineoplastic agents, mechanisms of action of different classes; Alkylating agents and radiomimetic agents, antimetabolites their SAR studies, sex hormones and analogs, antibiotics. A mention of natural products used in cancer treatment; vinca alkaloids (Vincristine and Vinblastine) podophyllum and Taxol.

UNIT – III

III. **Drugs Related to Hormones and other autocoids**: A study of the following hormones autocoids with a special reference to their agonists and antagonists;

a) Peptide Hormones: Insulin, Vasopressin and oxytocin,
b) Histamine (H^1 and H^2) and 5-HT.
c) Thyroid Hormones (T_3 and T_4)
d) Prostaglandins
e) Angiotensins

UNIT – IV

IV. Study of the following with emphasis on recent advances:

a) Antilipedemic agents
b) Biomarkers
c) Diagnostic agents
d) Antiparkinsonian agents
e) Antialzehimer agents
f) Antirheumatics and antigout agents
g) Orphan drugs

REFERENCES:

2. Medicinal Chemistry Vol. I & II by A. Burger.
3. Drug Design by Ariens.
4. Principles of Medicinal Chemistry by Foye.
5. A.T.B. of organic, Pharmaceutical and Medicinal Chemistry by Wilson, Gisvold, & Duerge
6. Progress in Drug Research by E. Zucker.

MPH-2B.4 ADVANCED MEDICINAL CHEMISTRY-III 6 Hrs/Week
PRACTICAL
(A minimum of 20 experiments shall be conducted)

1. Synthesis of various Barbiturates and determination of pKa value of Barbiturates in relation to their biological activity.
2. Synthesis of local anesthetics and evaluation of their biological activity.
3. Synthesis of some Anticonvulsants (other than Barbiturates) and their evaluation.
4. Synthesis and evaluation of non-narcotic analgesics.
5. Suitable synthesis and the evaluation of drugs based on theory topics.

MPH-2B.5 CHEMISTRY OF NATURAL PRODUCTS 3 Hrs/Week
THEORY

UNIT – I

1. General methods of isolation and separation of plant constituents. Qualitative reactions employed for the detection of plant constituents. .Application of G.L.C., HPLC and counter current distribution to separation and analysis of plant constituents Determination of Organic structures through Interpretation of **- Infrared spectroscopy**, **H^1 N.M.R & C^{13} N.M.R**, **MASS spectroscopy**.

2. Study of biogenesis: The acetate hypothesis, Isoprene rule Biogenetic hypotheses relation to alkaloids.

UNIT – II

3. Alkaloids: Isolation and study of the constitution of ergot alkaloids, opium alkaloids, atropine and reserpine.

4. Steroids: Chemistry and stereo-chemistry of cholesterol. Preparation and chemistry of corticosteroids.

5. Glycosides: A general study of glycosides with detailed treatment of cardiac glycosides, Digoxin, Scilarin-A and ovabain.

UNIT – III

6. Antibiotics: A general study of the chemistry of antibacterial antibiotics, antifungal antibiotics and anti viral antibiotics with detailed treatment of newer semi synthetic penicillins and cephalosporins.

UNIT – IV

7. Vitamins: Detailed study including commercial preparations of vitamin-A, vitamin - C, cyanacobalamin, Nicotinamide, folic acid, thiamine, riboflavine and pyridoxine.

REFERENCES:

1. Organic Chemistry by I.L.Finar.
2. Alkaloids – Chemical and biological perspective by S. William Pelletier.
3. Alkaloids by Manske.
4. Hormone Chemistry Butt.
5. Steroids by Fischer and Fischer.
6. Pharmacognosy by Trease and Evans.
7.

MPH-2B.6 CHEMISTRY OF NATURAL PRODUCTS 3 Hrs/Week
PRACTICAL
(A minimum of 20 experiments shall be conducted)

1. Exercise involving the extraction, isolation and separation characterization by modern methods and quantitative estimation of therapeutically important phytoconstituents.
2. Screening of natural products for biological activities mentioned as below:
   a) Anti-inflammatory activity
   b) Hypoglycemic activity
   c) Diuretic activity
   d) Cardiac activity
   e) Antimicrobial activity
   f) Anti-neoplastic activity
   g) Psychopharmacological activity
   h) Anti-fertility activity.

MPH-2B.7 SEMINAR / ASSIGNMENT

MPH-2B.8 COMPREHENSIVE VIVA