

(B. Pharm)
(Semester - IV)

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Course Code	BP402T
Course Title	Medicinal Chemistry I -Theory

Scope:

This subject is designed to impart fundamental knowledge on the structure, chemistry and therapeutic value of drugs. The subject emphasizes on structure activity relationships of drugs, importance of physicochemical properties and metabolism of drugs. The syllabus also emphasizes on chemical synthesis of important drugs under each class.

Objectives:

Upon completion of the course, the student shall be able to -

1. Understand the chemistry of drugs with respect to their pharmacological activity.
2. Understand the drug metabolic pathways, adverse effect and therapeutic value of drugs.
3. Know the Structural Activity Relationship (SAR) of different class of drugs.
4. Write the chemical synthesis of some drugs.

Course Learning Outcomes (CLO):

After successful completion of the course, student will be able to -

1. Understand the basic principles of medicinal chemistry.
2. Explain the fundamentals of drug metabolic pathways.
3. Describe classification, mechanism of action and uses of different class of drugs of ANS and CNS.
4. Discuss structure activity relationship studies of different class of drugs.
5. Report synthetic protocol of some drugs.

Syllabus:

Teaching hours: 45 Hours

Study of the development of the following classes of drugs, Classification, mechanism of action, uses of drugs mentioned in the course, Structure activity relationship of selective class of drugs as specified in the course and synthesis of drugs superscripted (*)

UNIT I

10 Hours

Introduction to medicinal chemistry.

History and development of medicinal chemistry.

Physicochemical properties in relation to biological action:

Ionization, Solubility, Partition Coefficient, Hydrogen bonding, Protein binding, Chelation, Bioisosterism, Optical and Geometrical isomerism.

Drug metabolism:

Drug metabolism principles - Phase I and Phase II.

Factors affecting drug metabolism including stereochemical aspects.

UNIT II

10 Hours

Drugs acting on Autonomic Nervous System

Adrenergic Neurotransmitters:

Biosynthesis and catabolism of catecholamine.

Adrenergic receptors (Alpha & Beta) and their distribution.

Sympathomimetic agents: SAR of Sympathomimetic agents:

Direct acting agents:

Nor-epinephrine, Epinephrine, Phenylephrine*, Dopamine, Methyldopa, Clonidine, Dobutamine, Isoproterenol, Terbutaline, Salbutamol*, Bitolterol, Naphazoline, Oxymetazoline and Xylometazoline.

Indirect acting agents:

Hydroxyamphetamine, Pseudoephedrine, Propylhexedrine.

Agents with mixed mechanism:

Ephedrine, Metaraminol.

Adrenergic Antagonists:

Alpha adrenergic blockers:

Tolazoline*, Phentolamine, Phenoxybenzamine, Prazosin, Dihydroergotamine, Methysergide.

Beta adrenergic blockers:

SAR of beta blockers, Propranolol*, Metipranolol, Atenolol, Betaxolol, Bisoprolol, Esmolol, Metoprolol, Labetalol, Carvedilol.

UNIT III

10 Hours

Drugs acting on Autonomic Nervous System

Cholinergic neurotransmitters:

Biosynthesis and catabolism of acetylcholine.

Cholinergic receptors (Muscarinic & Nicotinic) and their distribution.

Parasympathomimetic agents: SAR of Parasympathomimetic agents

Direct acting agents:

Acetylcholine, Carbachol*, Bethanechol, Methacholine, Pilocarpine.

Indirect acting/ Cholinesterase inhibitors (Reversible & Irreversible):

Physostigmine, Neostigmine*, Pyridostigmine, Edrophonium chloride, Tacrine hydrochloride, Ambenonium chloride, Isoflurophate, Echothiophate iodide, Parathion, Malathion.

Cholinesterase reactivator:

Pralidoxime chloride.

Cholinergic blocking agents: SAR of cholinolytic agents:

Solanaceous alkaloids and analogues:

Atropine sulphate, Hyoscyamine sulphate, Scopolamine hydrobromide, Homatropine hydrobromide, Ipratropium bromide*.

Synthetic cholinergic blocking agents:

Tropicamide, Cyclopentolate hydrochloride, Clidinium bromide, Dicyclomine hydrochloride*, Glycopyrrolate, Methantheline bromide, Propantheline bromide, Benztropine mesylate, Orphenadrine citrate, Biperiden hydrochloride, Procyclidine hydrochloride*, Tridihexethyl chloride, Isopropamide iodide, Ethopropazine hydrochloride.

UNIT IV

08 Hours

Drugs acting on Central Nervous System

Sedatives and Hypnotics:

Benzodiazepines:

SAR of Benzodiazepines, Chlordiazepoxide, Diazepam*, Oxazepam, Clorazepate, Lorazepam, Alprazolam, Zolpidem

Barbiturates:

SAR of barbiturates, Barbitol*, Phenobarbital, Mephobarbital, Amobarbital, Butobarbital, Pentobarbital, Secobarbital.

Miscellaneous:

Amides & imides: Glutethimide.

Alcohol & their carbamate derivatives: Meprobamate, Ethchlorvynol.

Aldehyde & their derivatives: Triclofos sodium, Paraldehyde.

Antipsychotics:

Phenothiazines:

SAR of Phenothiazines - Promazine hydrochloride, Chlorpromazine hydrochloride*, Triflupromazine, Thioridazine hydrochloride, Piperacetazine hydrochloride, Prochlorperazine maleate, Trifluoperazine hydrochloride.

Ring Analogues of Phenothiazines:

Chlorprothixene, Thiothixene, Loxapine succinate, Clozapine.

Fluoro butyrophenones:

Haloperidol, Droperidol, Risperidone.

Beta amino ketones:

Molindone hydrochloride.

Benzamides:

Sulpiride.

Anticonvulsants:

SAR of Anticonvulsants, mechanism of anticonvulsant action

Barbiturates:

Phenobarbitone, Metharbital.

Hydantoins:

Phenytoin*, Mephenytoin, Ethotoin

Oxazolinediones:

Trimethadione, Paramethadione

Succinimides:

Phensuximide, Methsuximide, Ethosuximide*

Urea and monoacylureas:

Phenacemide, Carbamazepine*

Benzodiazepines:

Clonazepam

Miscellaneous:

Primidone, Valproic acid, Gabapentin, Felbamate

UNIT V

07 Hours

Drugs acting on Central Nervous System

General anesthetics:

Inhalation anesthetics:

Halothane*, Methoxyflurane, Enflurane, Sevoflurane, Isoflurane, Desflurane.

Ultra short acting barbiturates:

Methohexital sodium*, Thiamylal sodium, Thiopental sodium.

Dissociative anesthetics:

Ketamine hydrochloride.*

Narcotic and non-narcotic analgesics:**Morphine and related drugs:**

SAR of Morphine analogues, Morphine sulphate, Codeine, Meperidine hydrochloride, Anileridine hydrochloride, Diphenoxylate hydrochloride, Loperamide hydrochloride, Fentanyl citrate*, Methadone hydrochloride*, Propoxyphene hydrochloride, Pentazocine, Levorphanol tartrate.

Narcotic antagonists:

Nalorphine hydrochloride, Levallorphan tartrate, Naloxone hydrochloride.

Anti-inflammatory agents:

Sodium salicylate, Aspirin, Mefenamic acid*, Meclofenamate, Indomethacin, Sulindac, Tolmetin, Zomepirac, Diclofenac, Ketorolac, Ibuprofen*, Naproxen, Piroxicam, Phenacetin, Acetaminophen, Antipyrine, Phenylbutazone.

Tutorials**Teaching hours: 15 Hours**

Tutorials will be based on above syllabus.

Suggested Readings[^]: (Latest edition)

1. Wilson, C. O., Beale, J. M., & Block, J. H. *Wilson and Gisvold's textbook of organic medicinal and pharmaceutical chemistry*. Lippincott Williams & Wilkins.
2. Foye, W. O. *Foye's principles of medicinal chemistry*. Lippincott Williams & Wilkins.
3. Burger, A., & Abraham, D. J. *Burger's medicinal chemistry and drug discovery* (Vol. I–IV). Wiley.
4. Smith, H. J., & Williams, H. *Introduction to the principles of Drug design*. Elsevier.
5. Remington, J. P. *Remington: the science and practice of pharmacy* (Vol. 1 & 2). Lippincott Williams & Wilkins.
6. Reynolds, J. E. F., *Martindale: the extra pharmacopoeia*. Pharmaceutical Press, London.
7. Finar, I. L. *Organic Chemistry, Volume 2: Stereochemistry And The Chemistry Natural Product.*, Pearson Education India.
8. Lednicer, D. *The organic chemistry of drug synthesis* (Vol. 1-5). John Wiley & Sons.
9. Indian pharmacopoeia, Indian Pharmacopoeial Commission.
10. Furniss, B. S. *Vogel's textbook of practical organic chemistry*. Pearson Education India.

L= Lecture, T= Tutorial, P= Practical, C= Credit

[^] this is not an exhaustive list
