

MEDICINAL CHEMISTRY – I (Theory)

Course Content:

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

A. History and development of medicinal chemistry

B. Physicochemical properties in relation to biological action

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

C. Drug metabolism

- Drug metabolism principles- Phase I and Phase II.
- Factors affecting drug metabolism including stereo chemical aspects.

UNIT- II

10 Hours

Drugs acting on Autonomic Nervous System

A. Adrenergic Neurotransmitters:

- Biosynthesis and catabolism of catecholamine.
- Adrenergic receptors (Alpha & Beta) and their distribution.
- Sympathomimetic agents: SAR of Sympathomimetic agents**
- Direct acting: 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.

B. Adrenergic Antagonists:

- **Alpha adrenergic blockers:** Tolazoline*, Phentolamine, Phenoxybenzamine, Prazosin, Dihydroergotamine, Methysergide.
- **Beta adrenergic blockers:** SAR of beta blockers, Propranolol*, Metibranolol, Atenolol, Betazolol, Bisoprolol, Esmolol, Metoprolol, Labetolol, Carvedilol.

UNIT-III

10 Hours

C. Cholinergic neurotransmitters:

- Biosynthesis and catabolism of acetylcholine.
- Cholinergic receptors (Muscarinic & Nicotinic) and their distribution.

D. 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.

E. 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, Biperidine hydrochloride, Procyclidine hydrochloride*, Tridihexethyl chloride, Isopropamide iodide, Ethopropazine hydrochloride.

UNIT- IV

08 Hours

Drugs acting on Central Nervous System

A. Sedatives and Hypnotics:

- **Benzodiazepines:** SAR of Benzodiazepines, Chlordiazepoxide, Diazepam*, Oxazepam, Chlorazepate, 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.

B. 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 buterophenones:** Haloperidol, Droperidol, Risperidone.

- **Beta amino ketones:** Molindone hydrochloride.

- **Benzamides:** Sulpieride.

C. Anticonvulsants: SAR of Anticonvulsants, mechanism of anticonvulsant action

- **Barbiturates:** Phenobarbitone, Methabarbital.
- **Hydantoins:** Phenytoin*, Mephenytoin, Ethotoin
- **Oxazolidine diones:** 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, Anilerdine hydrochloride, Diphenoxylate hydrochloride, Loperamide hydrochloride, Fentanyl citrate*, Methadone hydrochloride*, Propoxyphene hydrochloride, Pentazocine, Levorphanol tartarate.

• **Narcotic antagonists:** Nalorphine hydrochloride, Levallorphan tartarate, Naloxone hydrochloride.

• **Anti-inflammatory agents:** Sodium salicylate, Aspirin, Mefenamic acid*, Meclofenamate, Indomethacin, Sulindac, Tolmetin, Zomepirac, Diclofenac, Ketorolac, Ibuprofen*, Naproxen, Piroxicam, Phenacetin, Acetaminophen, Antipyrine, Phenylbutazone.

Recommended Books (Latest Editions)

1. Wilson and Giswold's Organic medicinal and Pharmaceutical Chemistry.
2. Foye's Principles of Medicinal Chemistry.
3. Burger's Medicinal Chemistry, Vol I to IV.
4. Introduction to principles of drug design- Smith and Williams.
5. Remington's Pharmaceutical Sciences.
6. Martindale's extra pharmacopoeia.

7. Organic Chemistry by I.L. Finar, Vol. II.
8. The Organic Chemistry of Drug Synthesis by Lednicer, Vol. 1-5.
9. Indian Pharmacopoeia.
10. Text book of practical organic chemistry- A.I.Vogel.