

Syllabus

(BP402T)- MEDICINAL CHEMISTRY - I

Module-1

(10 Hours)

Medicinal Chemistry

- Introduction, 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 stereo chemical aspects.

Module-2

(10 Hours)

Drugs acting on Autonomic Nervous System

- **Adrenergic Neurotransmitters:** Biosynthesis and catabolism of catecholamine.
- Adrenergic receptors (Alpha and Beta) and their distribution.

Sympathomimetic Agents: SAR of Sympathomimetic Agents

- **Direct Acting:** Nor-epinephrine, Epinephrine, Phenylephrine, Dopamine, Methyl dopa, 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*, Metibranolol, Atenolol, Betazolol, Bisoprolol, Esmolol, Metoprolol, Labetolol, Carvedilol.

Module-3

(10 Hours)

Cholinergic Neurotransmitters

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

Parasympathomimetic Agents: SAR of Parasympathomimetic Agents

- **Direct Acting Agents:** Acetylcholine, Carbachol*, Bethanechol, Methacholine, Pilocarpine.
- **Indirect acting/ Cholinesterase inhibitors (Reversible and Irreversible):** Physostigmine, Neostigmine*, Pyridostigmine, Edrophonium chloride, Tacrine hydrochloride, Ambenonium chloride, Isoflurophate, Echothiophate iodide, Parathione, 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, Biperidine hydrochloride, Procyclidine hydrochloride*, Tridihexethyl chloride, Isopropamide iodide, Ethopropazine hydrochloride.

Module-4

(08 Hours)

Drugs acting on Central Nervous System

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 and Imides:** Glutethimide.
- **Alcohol and their Carbamate Derivatives:** Meprobamate, Ethchlorvynol. Aldehyde and 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.
- **Fluro Buterophenones:** Haloperidol, Droperidol, Risperidone.
- **Beta amino Ketones:** Molindone hydrochloride.
- **Benzamides:** Sulpieride.

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.

Module-5

(07 Hours)

Drugs acting on Central Nervous System

General Anaesthetics

- **Inhalation Anesthetics:** Halothane*, Methoxyflurane, Enflurane, Sevoflurane, Isoflurane, Desflurane.
- **Ultra Short Acting Barbiturates:** Methohexital sodium*, Thiomytal 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.**