

BP402T. MEDICINAL CHEMISTRY – I (Theory)

UNIT- I

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 stereo chemical aspects.

UNIT- II

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: 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*, Metibranolol, Atenolol, Betazolol, Bisoprolol, Esmolol, Metoprolol, Labetolol, Carvedilol.

UNIT-III

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, Isofluorophate, 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.

UNIT- IV

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.

Fluro 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 **Oxazolindione diones:**

Trimethadione, Paramethadione **Succinimides:**

Phensuximide, Methsuximide, Ethosuximide* **Urea and**

monoacylureas: Phenacemide, Carbamazepine*

Benzodiazepines: Clonazepam

Miscellaneous: Primidone, Valproic acid, Gabapentin, Felbamate

UNIT – V

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.

BP406P. MEDICINAL CHEMISTRY – I (Practical)

I Preparation of drugs/ intermediates

- 1 1,3-pyrazole
- 2 1,3-oxazole
- 3 Benzimidazole
- 4 Benzotriazole
- 5 2,3- diphenyl quinoxaline
- 6 Benzocaine
- 7 Phenytoin
- 8 Phenothiazine
- 9 Barbiturate

II Assay of drugs

- 1 Chlorpromazine
- 2 Phenobarbitone
- 3 Atropine
- 4 Ibuprofen
- 5 Aspirin
- 6 Furosemide

III Determination of Partition coefficient for any two drugs