

BP402T. MEDICINAL CHEMISTRY – I (Theory)

45 Hours

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

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

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

Unit-III

10 Hours

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, 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: Sulpiride.

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

Barbiturates: Phenobarbitone, Metharbital.

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

07 Hours

Drugs acting on Central Nervous System

General anesthetics:

Inhalation anesthetics: Halothane*, Methoxyflurane, Enflurane, Sevoflurane, Isoflurane, Desflurane.

Ultra-short acting barbiturates: Methohexital sodium*, Thiopental 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.

BP406P. MEDICINAL CHEMISTRY – I (Practical)

4 Hours/week

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

Recommended Books (Latest Editions)

- Wilson and Gisvold's Organic Medicinal and Pharmaceutical Chemistry by Block J.H. and Beale J.M., Lippincott Williams and Wilkins.
- Foye's Principles of Medicinal Chemistry by Lemke T.L., Williams D.A., Roche V.F. and Zito S.W., Lippincott Williams and Wilkins.
- Burger's Medicinal Chemistry and Drug Discovery by Abraham D.J., Vol I to IV. John Wiley and Sons Inc., New York.
- Synthesis of Essential Drugs by Vardanyan R.S. and Hraby V.J., Elsevier.
- Medicinal and Pharmaceutical Chemistry by Singh H. and Kapoor V.K., Vallabh Prakashan, Delhi.
- An Introduction to Medicinal Chemistry by Patrick Graham L., Oxford University Press.
- Medicinal Chemistry: A Biochemical Approach by Nogrady T., Oxford University Press, New York.
- The Organic Chemistry of Drug Design and Drug Action by Silverman R.B., Elsevier.
- Essentials of Medicinal Chemistry by Korolkovas A., John Wiley and Sons Inc., New

York.

- Textbook of Drug Design and Discovery by Larsen P.K., Liljefors T. and Madsen U., Taylor and Francis Inc.
- Practical Organic Chemistry by Mann F.G. and Saunders B.C., Orient Longman Limited.
- Vogel's Textbook of Practical Organic Chemistry by Furniss B.S., Hannaford A.J., Smith P.W.G. and Tatchell A. R., Dorling Kindersley (India) Pvt. Ltd. (Pearson Education Ltd.).
- The Organic Chemistry of Drug Synthesis by Lednicer, Vol. 1-5.
- Pharmacopoeia of India, the Controller of Publications, Delhi.
- The Chemistry of Organic Medicinal Products by Jenkins G.L., Hartung W.H., Hamlin K.E. and Data J.B., PharmaMed Press Hyderabad.