18BP042 MEDICINAL CHEMISTRY – I

Hours Per Week :

L	T	P	CP	CL
3	1	4	2	4

Total Hours :

L	T	P
45	1	60

WA/RA	SSH/HSH	CS	SA	S	BS

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.

COURSE OUTCOMES:

Upon completion of the course, the student will be able to achieve the following outcomes:

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

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.*

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 **10HOURS**

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, Chelating, Bio isosterism, Optical and Geometrical isomerism.

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

UNIT- II **10HOURS**

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, Proposing, Di hydroergotamine, Methyser Gide.

Beta adrenergic blockers: SAR of beta blockers, Propranolol*, Metibranolol, Atenolol, Betazolol, Bisoprolol, Esmolol, Metoprolol, Labetolol, Carvedilol.

UNIT-III **10HOURS**

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, Isofluorphate, Echothiophate iodide, Parathione, Malathion.

CHOLINESTERASE RE ACTIVATOR: 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, Or phenadrine citrate, Biperidine hydrochloride, Pro cyclidine hydrochloride*, Tridihexethyl chloride, Isopropamide iodide, Ethopropazine hydrochloride.

UNIT-IV **10HOURS**

DRUGS ACTING ON CENTRAL NERVOUS SYSTEM

A. SEDATIVES AND HYPNOTICS:

BENZODIAZEPINES: SAR of Benzodiazepines, Chlordiazepoxide, Diazepam*, Oxazepam, Chlorazepate, Lorazepam, Alprazolam, Zolpidem

BARBITURTES: SAR of barbiturates, Barbital*, Phenobarbital, Mephobarbital, Am barbital, But barbital, Pentobarbital, Secobarbital

MISCELLENEOUS: Amides & imides: Glutethmide.Alcohol & their carbamate derivatives: Meprobomate, Ethchlor vynol. Aldehyde & their derivatives: Tricolors sodium, Paraldehyde.

B. ANTIPSYCHOTICS PHENOTHIAZEINES: SAR of Phenothiazeines - Promazine hydrochloride, Chlorpromazine hydrochloride*, Triflupromazine, Thioridazine hydrochloride, Piper acetazine hydrochloride, Prochlorperazine maleate, Trifluoperazine hydrochloride.

RING ANALOGUES OF PHENOTHIAZEINES: Chlorprothixene, Thiothixene, Loxapine succinate, Clozapine.

Fluro buterophenones: Haloperidol, Droperidol, Risperidone.

Beta amino ketone: Molindone hydrochloride.

Benz amides: 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 **07HOURS**

DRUGS ACTING ON CENTRAL NERVOUS SYSTEM

GENERAL ANESTHETICS:

INHALATION ANESTHETICS: Halothane*, Methoxyflurane, Enflurane, Sevoflurane, Isoflurane, Desflurane.

Ultra short acting barbitutrates: Methohexital sodium*, Thiamylal sodium, Thiopental sodium.

Dissociative anesthetics: Examine 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 tartar ate.

Narcotic antagonists: Nalorphine hydrochloride, Levallorphan tartar ate, Naloxone hydrochloride.

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