

SEMESTER IV

BP401T. PHARMACEUTICAL ORGANIC CHEMISTRY –III (Theory)

Note: Emphasize on definition, types, mechanisms, examples, uses/applications

UNIT-I

Stereo isomerism

Optical isomerism – Optical activity, enantiomerism, diastereoisomerism, meso compounds
Elements of symmetry, chiral and achiral molecules. DL system of nomenclature of optical isomers, sequence rules, RS system of nomenclature of optical isomers

Reactions of chiral molecules

Racemic modification and resolution of racemic mixture. Asymmetric synthesis: partial and absolute

UNIT-II

Geometrical isomerism-Nomenclature of geometrical isomers (Cis Trans, EZ, Syn Anti systems)
Methods of determination of configuration of geometrical isomers. Conformational isomerism in Ethane, n-Butane and Cyclohexane.

Stereo isomerism in biphenyl compounds (Atropisomerism) and conditions for optical activity. Stereospecific and stereoselective reactions

UNIT-III

Heterocyclic compounds:

Nomenclature and classification, Synthesis, reactions and medicinal uses of following compounds/derivatives Pyrrole, Furan, and Thiophene. Relative aromaticity and reactivity of Pyrrole, Furan and Thiophene

UNIT-IV

Synthesis, reactions and medicinal uses of following compounds/derivatives Pyrazole, Imidazole, Oxazole and Thiazole. Pyridine, Quinoline, Isoquinoline, Acridine and Indole. Basicity of pyridine
Synthesis and medicinal uses of Pyrimidine, Purine, azepines and their derivatives

UNIT-V

Reactions of synthetic importance

Metal hydride reduction (NaBH_4 and LiAlH_4), Clemmensen reduction, Birch reduction, Wolff Kishner reduction, Oppenauer-oxidation and Dakin reaction, Beckmanns rearrangement and Schmidt rearrangement, Claisen-Schmidt condensation

BP402T. MEDICINAL CHEMISTRY – I (Theory)

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

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, 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

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*, 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, Anileridine 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

1,3-pyrazole, 1,3-oxazole, Benzimidazole, Benzotriazole, 2,3- diphenyl quinoxaline, Benzocaine, Phenytoin, Phenothiazine, Barbiturate

II. Assay of drugs

Chlorpromazine, Phenobarbitone, Atropine, Ibuprofen, Aspirin, Furosemide

III. Determination of Partition coefficient for any two drugs

BP 403 T. PHYSICAL PHARMACEUTICS-II (Theory)

UNIT-I

Colloidal dispersions: Classification of dispersed systems & their general characteristics, size & shapes of colloidal particles, classification of colloids & comparative account of their general properties. Optical, kinetic & electrical properties. Effect of electrolytes, coacervation, peptization & protective action.

UNIT-II

Rheology: Newtonian systems, law of flow, kinematic viscosity, effect of temperature, non-Newtonian systems, pseudoplastic, dilatant, plastic, thixotropy, thixotropy in formulation, determination of viscosity, capillary, falling Sphere, rotational viscometers

Deformation of solids: Plastic and elastic deformation, Heckel equation, Stress, Strain, Elastic Modulus

UNIT-III

Coarse dispersion: Suspension, interfacial properties of suspended particles, settling in suspensions, formulation of flocculated and deflocculated suspensions. Emulsions and theories of emulsification, microemulsion and multiple emulsions; Stability of emulsions, preservation of emulsions, rheological properties of emulsions and emulsion formulation by HLB method.

UNIT-IV

Micromeritics: Particle size and distribution, mean particle size, number and weight distribution, particle number, methods for determining particle size by different methods, counting and separation method, particle shape, specific surface, methods for determining surface area, permeability, adsorption, derived properties of powders, porosity, packing arrangement, densities, bulkiness & flow properties.

UNIT-V

Drug stability: Reaction kinetics: zero, pseudo-zero, first & second order, units of basic rate constants, determination of reaction order. Physical and chemical factors influencing the chemical degradation of pharmaceutical product: temperature, solvent, ionic strength, dielectric constant, specific & general acid base catalysis, Simple numerical problems. Stabilization of medicinal agents against common reactions like hydrolysis & oxidation. Accelerated stability testing in expiration dating of pharmaceutical dosage forms. Photolytic degradation and its prevention

BP 407P. PHYSICAL PHARMACEUTICS- II (Practical)

Determination of particle size, particle size distribution using sieving method
Determination of particle size, particle size distribution using Microscopic method
Determination of bulk density, true density and porosity

Determine the angle of repose and influence of lubricant on angle of repose

Determination of viscosity of liquid using Ostwald's viscometer
Determination sedimentation volume with effect of different suspending agent

Determination sedimentation volume with effect of different concentration of single suspending agent

Determination of viscosity of semisolid by using Brookfield viscometer

Determination of reaction rate constant first order.

Determination of reaction rate constant second order
Accelerated stability studies

BP 404 T. PHARMACOLOGY-I (Theory)

UNIT-I

General Pharmacology

Introduction to Pharmacology- Definition, historical landmarks and scope of pharmacology, nature and source of drugs, essential drugs concept and routes of drug administration, Agonists, antagonists(competitive and non competitive), spare receptors, addiction, tolerance, dependence, tachyphylaxis, idiosyncrasy, allergy.

Pharmacokinetics- Membrane transport, absorption, distribution, metabolism and excretion of drugs
.Enzyme induction, enzyme inhibition, kinetics of elimination

UNIT-II

General Pharmacology

Pharmacodynamics- Principles and mechanisms of drug action. Receptor theories

and classification of receptors, regulation of receptors. drug receptors interactions signal transduction mechanisms, G-protein-coupled receptors, ion channel receptor, transmembrane enzyme linked receptors, transmembrane JAK-STAT binding receptor and receptors that regulate transcription factors, dose response relationship, therapeutic index, combined effects of drugs and factors modifying drug action.

Adverse drug reactions. Drug interactions (pharmacokinetic and pharmacodynamic) Drug discovery and clinical evaluation of new drugs -Drug discovery phase, preclinical evaluation phase, clinical trial phase, phases of clinical trials and pharmacovigilance.

UNIT-III

Pharmacology of drugs acting on peripheral nervous system

Organization and function of ANS.

Neurohumoral transmission, co-transmission and classification of neurotransmitters. Parasympathomimetics, Parasympatholytics, Sympathomimetics, sympatholytics. Neuromuscular blocking agents and skeletal muscle relaxants (peripheral).

Local anesthetic agents.

Drugs used in myasthenia gravis and glaucoma

UNIT-IV

Pharmacology of drugs acting on central nervous system

Neurohumoral transmission in the C.N.S. special emphasis on importance of various neurotransmitters like with GABA, Glutamate, Glycine, serotonin, dopamine.

General anesthetics and pre-anesthetics.

Sedatives, hypnotics and centrally acting muscle relaxants. Anti-epileptics

Alcohols and disulfiram

UNIT-V

Pharmacology of drugs acting on central nervous system

Psychopharmacological agents: Antipsychotics, antidepressants, anti-anxiety agents, anti-manics and hallucinogens. Drugs used in Parkinsons disease and Alzheimer's disease. CNS stimulants and nootropics.

Opioid analgesics and antagonists

Drug addiction, drug abuse, tolerance and dependence.

BP 408 P.PHARMACOLOGY-I (Practical)

Introduction to experimental pharmacology.

Commonly used instruments in experimental pharmacology. Study of common laboratory animals.

Maintenance of laboratory animals as per CPCSEA guidelines.

Common laboratory techniques. Blood withdrawal, serum and plasma separation, anesthetics and euthanasia used for animal studies.

Study of different routes of drugs administration in mice/rats.

Study of effect of hepatic microsomal enzyme inducers on the phenobarbitone sleeping time in mice.

Effect of drugs on ciliary motility of frog oesophagus Effect of drugs on rabbit eye.

Effects of skeletal muscle relaxants using rota-rod apparatus. Effect of drugs on locomotor activity

using actophotometer. Anticonvulsant effect of drugs by MES and PTZ method.
Study of stereotype and anti-catatonic activity of drugs on rats/mice. Study of anxiolytic activity of drugs using rats/mice.
Study of local anesthetics by different methods.

BP 405 T.PHARMACOGNOSY AND PHYTOCHEMISTRY I (Theory)

UNIT-I

Introduction to Pharmacognosy:

Definition, history, scope and development of Pharmacognosy Sources of Drugs – Plants, Animals, Marine & Tissue culture

Organized drugs, unorganized drugs (dried latex, dried juices, dried extracts, gums and mucilages, oleoresins and oleo- gum -resins).

Classification of drugs:

Alphabetical, morphological, taxonomical, chemical, pharmacological, chemo and sero taxonomical classification of drugs

Quality control of Drugs of Natural Origin:

Adulteration of drugs of natural origin. Evaluation by organoleptic, microscopic, physical, chemical and biological methods and properties.

Quantitative microscopy of crude drugs including lycopodium spore method, leaf constants, camera lucida and diagrams of microscopic objects to scale with camera lucida.

UNIT-II

Cultivation, Collection, Processing and storage of drugs of natural origin:

Cultivation and Collection of drugs of natural origin Factors influencing cultivation of medicinal plants.

Plant hormones and their applications. Polyploidy, mutation and hybridization with reference to medicinal plants

Conservation of medicinal plants

UNIT-III

Plant tissue culture:

Historical development of plant tissue culture, types of cultures, Nutritional requirements, growth and their maintenance.

Applications of plant tissue culture in pharmacognosy. Edible vaccines

UNIT IV

Pharmacognosy in various systems of medicine:

Role of Pharmacognosy in allopathy and traditional systems of medicine namely, Ayurveda, Unani, Siddha, Homeopathy and Chinese systems of medicine.

Introduction to secondary metabolites:

Definition, classification, properties and test for identification of Alkaloids, Glycosides, Flavonoids, Tannins, Volatile oil and Resins

UNIT V

Study of biological source, chemical nature and uses of drugs of natural origin containing following drugs

Plant Products:

Fibers - Cotton, Jute, Hemp

Hallucinogens, Teratogens, Natural allergens

Primary metabolites:

General introduction, detailed study with respect to chemistry, sources, preparation, evaluation, preservation, storage, therapeutic used and commercial utility as Pharmaceutical Aids and/or Medicines for the following Primary metabolites: **Carbohydrates:** Acacia, Agar, Tragacanth, Honey **Proteins and Enzymes :** Gelatin, casein, proteolytic enzymes (Papain, bromelain, serratiopeptidase, urokinase, streptokinase, pepsin). **Lipids(Waxes, fats, fixed oils)** : Castor oil, Chaulmoogra oil, WoolFat, Bees Wax **Marine Drugs:** Novel medicinal agents from marine sources.

BP409 P. PHARMACOGNOSY AND PHYTOCHEMISTRY I (Practical)

Analysis of crude drugs by chemical tests: (i) Tragacanth (ii) Acacia (iii) Agar (iv) Gelatin (v) starch (vi) Honey (vii) Castor oil

Determination of stomatal number and index

Determination of vein islet number, vein islet termination and palisade ratio. Determination of size of starch grains, calcium oxalate crystals by eye piece micrometer

Determination of Fiber length and width

Determination of number of starch grains by Lycopodium spore method

Determination of Ash value

Determination of Extractive values of crude drugs

Determination of moisture content of crude drugs

Determination of swelling index and foaming.