

LJ UNIVERSITY

LJ INSTITUTE OF PHARMACY

SEMESTER: V

Subject Name: Medicinal Chemistry – II

Subject Code: BP504TT

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.

Objectives: Upon completion of this course the student should 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 of different class of drugs
4. Study the chemical synthesis of selected drugs

Teaching scheme and examination scheme:

Teaching Scheme				Evaluation Scheme			
Theory	Tutorial	Practical	Total	Theory		Practical	
				External	Internal	External	Internal
3	1	-	4	75	25	-	-

Sr. No.	Course Contents	Hours
1	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 (*) Antihistaminic agents: Histamine, receptors and their distribution in the human body H₁-antagonists: Diphenhydramine hydrochloride*, Dimenhydrinate, Doxylamines Succinate, Clemastine fumarate, Diphenylpyraline hydrochloride, Tripelenamine hydrochloride, Chlorcyclizine hydrochloride, Meclizine hydrochloride, Buclizine hydrochloride, Chlorpheniramine maleate, Triprolidine hydrochloride*, Phenidamine tartarate, Promethazine hydrochloride*, Trimeprazine tartrate, Cyproheptadine hydrochloride, Azatidine maleate, Astemizole, Loratadine, Cetirizine, Levocetrazine Cromolyn sodium H₂-antagonists: Cimetidine*, Famotidine, Ranitidine. Gastric Proton pump inhibitors: Omeprazole, Lansoprazole, Rabeprazole, Pantoprazole Anti-neoplastic agents: Alkylating agents: Meclourethamine*, Cyclophosphamide, Melphalan, Chlorambucil, Busulfan, Thiotepa Antimetabolites: Mercaptopurine*, Thioguanine, Fluorouracil, Floxuridine, Cytarabine, Methotrexate*, Azathioprine Antibiotics: Dactinomycin, Daunorubicin, Doxorubicin, Bleomycin Plant products: Etoposide, Vinblastin sulphate, Vincristin sulphate Miscellaneous: Cisplatin, Mitotane.	10
2	Anti-anginal:	10

	<p>Vasodilators: Amyl nitrite, Nitroglycerin*, Pentaerythritol tetranitrate, Isosorbide dinitrite*, Dipyridamole.</p> <p>Calcium channel blockers: Verapamil, Bepridil hydrochloride, Diltiazem hydrochloride, Nifedipine, Amlodipine, Felodipine, Nicardipine, Nimodipine.</p> <p>SAR of 1,4-dihydro pyridines.</p> <p>Diuretics: Carbonic anhydrase inhibitors: Acetazolamide*, Methazolamide, Dichlorphenamide. Thiazides: Chlorthiazide*, Hydrochlorothiazide, Hydroflumethiazide, Cyclothiazide, Loop diuretics: Furosemide*, Bumetanide, Ethacrynic acid. Potassium sparing Diuretics: Spironolactone, Triamterene, Amiloride. Osmotic Diuretics: Mannitol</p> <p>Anti-hypertensive Agents: Timolol, Captopril, Lisinopril, Enalapril, Benazepril hydrochloride, Quinapril hydrochloride, Methyldopate hydrochloride*, Clonidine hydrochloride, Guanethidine monosulphate, Guanabenz acetate, Sodium nitroprusside, Diazoxide, Minoxidil, Reserpine, Hydralazine hydrochloride.</p>	
3	<p>Anti-arrhythmic Drugs: Quinidine sulphate, Procainamide hydrochloride, Disopyramide phosphate*, Phenytoin sodium, Lidocaine hydrochloride, Tocainide hydrochloride, Mexiletine hydrochloride, Lorcaïnide hydrochloride, Amiodarone, Sotalol.</p> <p>Anti-hyperlipidemic agents: Clofibrate, Lovastatin, Cholesteramine and Cholestipol</p> <p>Coagulant & Anticoagulants: Menadione, Acetomenadione, Warfarin*, Anisindione, clopidogrel</p> <p>Drugs used in Congestive Heart Failure: Digoxin, Digitoxin, Nesiritide, Bosentan, Tezosentan.</p>	10
4	<p>Drugs acting on Endocrine system Nomenclature, Stereochemistry</p> <p>Sex hormones: Testosterone, Nandralone, Progestrones, Oestriol, Oestradiol, Oestrione, Diethyl stilbestrol.</p> <p>Drugs for erectile dysfunction: Sildenafil, Tadalafil, SAR of androgen</p> <p>Oral contraceptives: Mifepristone, Norgestril, Levonorgestrol, SAR of estrogen</p> <p>Corticosteroids: Cortisone, Hydrocortisone, Prednisolone, Betamethasone, Dexamethasone, SAR of adrenocorticoids</p> <p>Thyroid and antithyroid drugs: L-Thyroxine, L-Thyronine, Propylthiouracil, Methimazole*.</p>	8
5	<p>Antidiabetic agents: Insulin and its preparations Sulfonyl ureas: Tolbutamide*, Chlorpropamide, Glipizide, Glimepiride. Biguanides: Metformin. Thiazolidinediones: Pioglitazone, Rosiglitazone. Meglitinides: Repaglinide, Nateglinide. Glucosidase inhibitors: Acarbose, Voglibose.</p> <p>Local Anesthetics: SAR of Local anesthetics</p> <p>Benzoic Acid derivatives; Cocaine, Hexylcaine, Meprylcaine, Cyclomethycaine, Piperocaine.</p> <p>Amino Benzoic acid derivatives: Benzocaine*, Butamben, Procaine*, Butacaine, Propoxycaine, Tetracaine, Benoxinate.</p> <p>Lidocaine/Anilide derivatives: Lignocaine, Mepivacaine, Prilocaine, Etidocaine.</p> <p>Miscellaneous: Phenacaine, Dipiperodon, Dibucaine.*</p>	7
Total Hours		45

Recommended Books:

1. Wilson and Giswold's Organic medicinal and Pharmaceutical Chemistry.
2. Foye's Principles of Medicinal Chemistry.
3. Burger's Medicinal Chemistry, Vol I to IV.
4. Introduction to principles of drug design- Smith and Williams.
5. Remington's Pharmaceutical Sciences.
6. Martindale's extra pharmacopoeia.
7. Organic Chemistry by I.L. Finar, Vol. II.
8. The Organic Chemistry of Drug Synthesis by Lednicer, Vol. 1 to 5.
9. Indian Pharmacopoeia.
10. Text book of practical organic chemistry- A.I.Vogel.