

## MEDICINAL CHEMISTRY – II (Theory)

### 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

**Antihistaminic agents:** Histamine, receptors and their distribution in the humanbody

**H<sub>1</sub>-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

**H<sub>2</sub>-antagonists:** Cimetidine\*, Famotidine, Ranitidin.

**Gastric Proton pump inhibitors:** Omeprazole, Lansoprazole, Rabeprazole, Pantoprazole

**Anti-neoplastic agents:**

**Alkylating agents:** Meclorothamine\*, 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.

#### UNIT – II

10 Hours

**Anti-anginal:**

• **Vasodilators:** Amyl nitrite, Nitroglycerin\*, Pentaerythritol tetranitrate, Isosorbide dinitrite\*, Dipyridamole.

• **Calcium channel blockers:** Verapamil, Bepridil hydrochloride, Diltiazem hydrochloride, Nifedipine, Amlodipine, Felodipine, Nicardipine, Nimodipine.

**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

**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.

### UNIT- III

10 Hours

**Anti-arrhythmic Drugs:** Quinidine sulphate, Procainamide hydrochloride, Disopyramide phosphate\*, Phenytoin sodium, Lidocaine hydrochloride, Tocainide hydrochloride, Mexiletine hydrochloride, Lorcaïnide hydrochloride, Amiodarone, Sotalol.

**Anti-hyperlipidemic agents:** Clofibrate, Lovastatin, Cholesteramine and Cholestipol

**Coagulant & Anticoagulants:** Menadione, Acetomenadione, Warfarin\*, Anisindione, clopidogrel

**Drugs used in Congestive Heart Failure:** Digoxin, Digitoxin, Nesiritide, Bosentan, Tezosentan.

### UNIT- IV

08 Hours

#### Drugs acting on Endocrine system

Nomenclature, Stereochemistry and metabolism of steroids

**A . Sex hormones:** Testosterone, Nandralone, Progesterones, Oestriol, Oestradiol, Oestrione, Diethyl stilbestrol.

**B. Drugs for erectile dysfunction:** Sildenafil, Tadalafil.

**C. Oral contraceptives:** Mifepristone, Norgestrel, Levonorgestrol

**D. Corticosteroids:** Cortisone, Hydrocortisone, Prednisolone, Betamethasone, Dexamethasone

**E. Thyroid and antithyroid drugs:** L-Thyroxine, L-Thyronine, Propylthiouracil, Methimazole.

### UNIT – V

07 Hours

#### Antidiabetic agents:

- Insulin and its preparations
- Sulfonyl ureas: Tolbutamide\*, Chlorpropamide, Glipizide, Glimepiride.
- Biguanides: Metformin.
- Thiazolidinediones: Pioglitazone, Rosiglitazone.
- Meglitinides: Repaglinide, Nateglinide.
- Glucosidase inhibitors: Acarbose, Voglibose.

**Local Anesthetics:** SAR of Local anesthetics

• **Benzoic Acid derivatives;** Cocaine, Hexylcaine, Meprylcaine, Cyclomethycaine, Piperocaine.

• **Amino Benzoic acid derivatives:** Benzocaine\*, Butamben, Procaine\*, Butacaine, Propoxycaine, Tetracaine, Benoxinate.

• **Lidocaine/Anilide derivatives:** Lignocaine, Mepivacaine, Prilocaine, Etidocaine.

• **Miscellaneous:** Phenacaine, Dipiperodon, Dibucaine.\*

**Recommended Books (Latest Editions)**

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