

**NIRMA UNIVERSITY**  
**Institute of Pharmacy**  
**(B. Pharm)**  
**(Semester - V)**

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<b>Course Code</b>	<b>BP501T</b>
<b>Course Title</b>	<b>Medicinal Chemistry II – Theory</b>

**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.

**Objective:** At the end 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 of different class of drugs.
4. Study the chemical synthesis of selected drugs.

**Course Learning Outcomes (CLO):**

After successful completion of the course, student will be able to -

1. Understand chemistry, biology and functions of histamine, insulin and steroids.
2. Describe chemical classification of different therapeutic classes.
3. Discuss mechanism of action, uses and adverse effects of various classes of drugs.
4. Explain structure activity relationship studies of different classes of drugs.
5. Report synthetic protocol of some drugs.

**Syllabus:**

**Teaching hours: 45 Hours**

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 human body
- **H<sub>1</sub>-antagonists** : Diphenhydramine hydrochloride\*, Dimenhydrinate, Doxylamine succinate, Clemastine fumarate, Diphenylpyraline hydrochloride, Tripelenamine hydrochloride, Chlorcyclizine hydrochloride, Meclizine hydrochloride, Buclizine hydrochloride, Chlorpheniramine maleate, Triprolidine hydrochloride\*, Phenindamine tartrate, Promethazine hydrochloride\*, Trimeprazine tartrate, Cyproheptadine hydrochloride, Azatidine maleate, Astemizole, Loratadine, Cetirizine, Levocetirizine Cromolyn sodium
- **H<sub>2</sub>-antagonists**: Cimetidine\*, Famotidine, Ranitidine

### **Gastric Proton Pump Inhibitors**

- Omeprazole, Lansoprazole, Rabeprazole, Pantoprazole

### **Anti-neoplastic Agents**

- **Alkylating agents:** Mecllorethamine\*, 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 Agents**

- **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
- **Sex Hormones:** Testosterone, Nandralone, Progesterones, Oestriol, Oestradiol, Oestrione, Diethyl stilbestrol
- **Drugs for Erectile Dysfunction:** Sildenafil, Tadalafil

- **Oral Contraceptives:** Mifepristone, Norgestrel, Levonorgestrel
- **Corticosteroids:** Cortisone, Hydrocortisone, Prednisolone, Betamethasone, Dexamethasone
- **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.\*

## Tutorials

**15 Hours**

Tutorials will be based on above syllabus.

### Suggested Readings<sup>^</sup>: (Latest edition)

11. Wilson, C. O., Beale, J. M., & Block, J. H. *Wilson and Gisvold's textbook of organic medicinal and pharmaceutical chemistry*. Lippincott Williams & Wilkins.
12. Foye, W. O. *Foye's principles of medicinal chemistry*. Lippincott Williams & Wilkins.
13. Burger, A., & Abraham, D. J. *Burger's medicinal chemistry and drug discovery* (Vol. I-IV). Wiley.
14. Smith, H. J., & Williams, H. *Introduction to the principles of Drug design*. Elsevier.
15. Remington, J. P. *Remington: the science and practice of pharmacy* (Vol. 1 & 2). Lippincott Williams & Wilkins.
16. Reynolds, J. E. F., *Martindale: the extra pharmacopoeia*. Pharmaceutical Press, London.
17. Finar, I. L. *Organic Chemistry, Volume 2: Stereochemistry And The Chemistry Natural Product.*, Pearson Education India.
18. Lednicer, D. *The organic chemistry of drug synthesis* (Vol. 1-5). John Wiley & Sons.
19. Indian pharmacopoeia, Indian Pharmacopoeial Commission.
20. Furniss, B. S. *Vogel's textbook of practical organic chemistry*. Pearson Education India.

L= Lecture, T= Tutorial, P= Practical, C= Credit

<sup>^</sup> this is not an exhaustive list