

(B. Pharm)
(Semester - VI)

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Course Code	BP601T
Course Title	Medicinal Chemistry III –Theory

Scope:

This subject is designed to impart fundamental knowledge on the structure, chemistry and therapeutic value of drugs. The subject emphasis on modern techniques of rational drug design like quantitative structure activity relationship (QSAR), Prodrug concept, combinatorial chemistry and Computer aided drug design (CADD). The subject also emphasizes on the chemistry, mechanism of action, metabolism, adverse effects, Structure Activity Relationships (SAR), therapeutic uses and synthesis of important drugs.

Objectives:

Upon completion of the course, the student shall be able to -

1. Understand the importance of drug design and different techniques of drug design
2. Understand the chemistry of drugs with respect to their biological activity
3. Know the metabolism, adverse effects and therapeutic value of drugs
4. Know the importance of SAR of drugs

Course Learning Outcomes (CLO):

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

1. Understand basic concepts of prodrug, combinatorial chemistry and drug design
2. Describe history, chemical classification, mechanism of action, uses and degradation of different classes of chemotherapeutic agents
3. Explain stereochemistry and/or structure activity relationship studies of different classes of drugs
4. Report synthetic protocol of some drugs
5. Draw structures and reactions using software and determine physicochemical parameters of some drugs
6. Synthesize and/or analyze drugs and drug intermediates

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 by (*)

UNIT I

Antibiotics

10 Hours

Historical background, Nomenclature, Stereochemistry, Structure activity relationship, Chemical degradation, Classification and important products of the following classes.

- **β-Lactam antibiotics:** Penicillin, Cephalosporins, β- Lactamase inhibitors, Monobactams
- **Aminoglycosides:** Streptomycin, Neomycin, Kanamycin
- **Tetracyclines:** Tetracycline, Oxytetracycline, Chlortetracycline, Minocycline, Doxycycline

UNIT II

10 Hours

Antibiotics

Historical background, Nomenclature, Stereochemistry, Structure activity relationship, Chemical degradation, Classification and important products of the following classes:

- **Macrolide:** Erythromycin, Clarithromycin, Azithromycin
- **Miscellaneous:** Chloramphenicol*, Clindamycin

Prodrugs

- Basic concepts and application of prodrugs design

Anti-malarials

- Etiology of malaria.
- **Quinolines:** SAR, Quinine sulphate, Chloroquine*, Amodiaquine, Primaquine phosphate, Pamaquine*, Quinacrine hydrochloride, Mefloquine
- **Biguanides and dihydro triazines:** Cycloguanil pamoate, Proguanil
- **Miscellaneous:** Pyrimethamine, Artesunate, Artemether, Atovaquone

UNIT III

10 Hours

Anti-tubercular Agents

- **Synthetic anti tubercular agents:** Isoniozid*, Ethionamide, Ethambutol, Pyrazinamide, Para amino salicylic acid*
- **Anti-tubercular antibiotics:** Rifampicin, Rifabutin, Cycloserine, Streptomycine, Capreomycin sulphate

Urinary tract Anti-infective Agents

- **Quinolones:** SAR of quinolones, Nalidixic Acid, Norfloxacin, Enoxacin, Ciprofloxacin*, Ofloxacin, Lomefloxacin, Sparfloxacin, Gatifloxacin, Moxifloxacin
- **Miscellaneous:** Furazolidine, Nitrofurantoin*, Methanamine

Antiviral Agents

- Amantadine hydrochloride, Rimantadine hydrochloride, Idoxuridine, trifluoride, Acyclovir*, Gancyclovir, Zidovudine, Didanosine, Zalcitabine, Lamivudine, Loviride, Delavirdine, Ribavirin, Saquinavir, Indinavir, Ritonavir

UNIT IV

08 Hours

Antifungal Agents:

- **Antifungal antibiotics:** Amphotericin-B, Nystatin, Natamycin, Griseofulvin
- **Synthetic Antifungal agents:** Clotrimazole, Econazole, Butoconazole, Oxiconazole Tioconazole, Miconazole*, Ketoconazole, Terconazole, Itraconazole, Fluconazole, Naftifine hydrochloride, Tolnaftate*

Anti-protozoal Agents

- Metronidazole*, Tinidazole, Ornidazole, Diloxanide, Iodoquinol, Pentamidine Isethionate, Atovaquone, Eflornithine

Anthelmintics

- Diethylcarbamazine citrate*, Thiabendazole, Mebendazole*, Albendazole, Niclosamide, Oxamniquine, Praziquantel, Ivermectin

Sulphonamides and Sulfones

- Historical development, Chemistry, Classification and SAR of Sulfonamides: Sulphamethizole, Sulfisoxazole, Sulphamethizine, Sulfacetamide*, Sulphapyridine, Sulfamethoxazole*, Sulphadiazine, Mefenide acetate, Sulfasalazine
- **Folate reductase inhibitors:** Trimethoprim*, Cotrimoxazole
- **Sulfones:** Dapsone*

UNIT V

07 Hours

Introduction to Drug Design

- Various approaches used in drug design
- Physicochemical parameters used in quantitative structure activity relationship (QSAR) such as partition coefficient, Hammett's electronic parameter, Taft's steric parameter and Hansch analysis
- Pharmacophore modeling and docking techniques

Combinatorial Chemistry:

- Concept and applications of Combinatorial chemistry
- Solid phase and solution phase synthesis

Tutorials

Teaching hours: 15 Hours

Tutorials will be based on above syllabus.

Suggested Readings[^]: (Latest edition)

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

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

[^] this is not an exhaustive list