BP601T. MEDICINAL CHEMISTRY – III (Theory)

45 Hours

Course Content:

Unit-I **10 Hours**

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

β-Lactam antibiotics: Penicillin, Cephalosporin, β-Lactamase inhibitors, Monobactams.

Aminoglycosides: Streptomycin, Neomycin, Kanamycin.

Tetracycline: 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.

Antimalarial: 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: Isoniazid*, Ethionamide, Ethambutol, Pyrazinamide, Para amino salicylic acid.*

Ant-tubercular antibiotics: Rifampicin, Rifabutin, Cycloserine, Streptomycin, 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*, Ganciclovir, 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.

Anthelmintic: 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.

BP607P. MEDICINAL CHEMISTRY- III (Practical)

4 Hours/week

I Preparation of drugs and intermediates:

1 Sulphanilamide.
2 7-Hydroxy, 4-methyl coumarin.
3 Chlorobutanol.
4 Triphenyl imidazole.
5 Tolbutamide.
6 Hexamine.

II Assay of drugs:

1 Isonicotinic acid hydrazide.
2 Chloroquine.
3 Metronidazole.
4 Dapsone.
5 Chlorpheniramine maleate.
6 Benzyl penicillin.

III Preparation of medicinally important compounds or intermediates by Microwave irradiation technique.

IV Drawing structures and reactions using chem draw ®.

V Determination of physicochemical properties such as logP, clogP, MR, Molecular weight, Hydrogen bond donors and acceptors for class of drugs course content using drug design software Drug likeliness screening (Lipinski's RO5).

Recommended Books (Latest Editions)

- Wilson and Gisvold's Organic Medicinal and Pharmaceutical Chemistry by Block J.H. and Beale J.M., Lippincott Williams and Wilkins.
- Foye's Principles of Medicinal Chemistry by Lemke T.L., Williams D.A., Roche V.F. and Zito S.W., Lippincott Williams and Wilkins.
- Burger's Medicinal Chemistry and Drug Discovery by Abraham D.J., Vol I to IV. John Wiley and Sons Inc., New York.
- Synthesis of Essential Drugs by Vardanyan R.S. and Hruby V.J., Elsevier.
- Medicinal Chemistry: A Biochemical Approach by Nogrady T., Oxford University Press, New York.
- Textbook of Drug Design and Discovery edited by K. Stromgaard, P.V. Larsen and U. Madsen, CRC Press, NY.
- An Introduction to Medicinal Chemistry by Patrick Graham, L., Oxford University Press.
- The Organic Chemistry of Drug Design and Drug Action by Silverman R.B., Elsevier.
- Introduction to Principles of Drug Design by Smith and Williams.
- New Approaches to Drug Development edited by P. Jolles, Library of Congress Cataloging-in-Publication Data, Germany.

- Textbook of Drug Design and Discovery by Larsen P.K., Liljefors T. and Madsen U., Taylor and Francis Inc.

- Martindale's Extra Pharmacopoeia.
- The Organic Chemistry of Drug Design and Drug Action by Richard B. Silverman, Academic Press, USA.
- Elementary Practical Organic Chemistry by Vogel A.I., Dorling Kindersley (India) Pvt. Ltd. (Pearson Education Ltd.), New Delhi.
- Practical Organic Chemistry by Mann F.G, and Saunders, B.C., Dorling Kindersley (India) Pvt. Ltd. (Pearson Education Ltd.), New Delhi.
- The Organic Chemistry of Drug Synthesis by Lednicer, Vol. 1 to 5.
- The Pharmacopoeia of India, the Controller of Publications, Delhi.