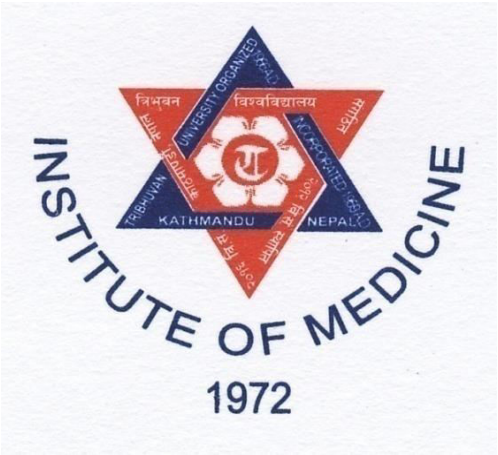
Curriculum

on

Bachelor in Pharmacy

(B. Pharm)



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INSTITUTE OF MEDICINE

NATIONAL CENTRE FOR HEALTH PROFESSIONS EDUCATION

Maharajgunj, Kathmandu, Nepal

2020 (2076

MEDICINAL CHEMISTRY – II

Subject: Theory	Year: Second	Code: BP 502 A
Full Marks: 100	Total Teaching hours: 90	Credit hour: 6

Course Description: 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.

General objectives: At the end of the course, student will be able to

a. Discuss the importance of drug design and different techniques of drug design.
b. Compare the chemistry of drugs with respect to their biological activity.
c. Describe the metabolism, adverse effects and therapeutic value of drugs.
d. Introduce the structure and property of new drugs use in therapy

Specific objectives:

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.

Unit 1: Introduction to Drug Design [8 Hrs]

After the completion of the course, students will be able to

a. Mention and discuss the different approaches used in drug design.
b. Classify and discuss the application of prodrugs
c. Mention the physicochemical parameters used in quantitative structure activity relationship (QSAR) such as partition coefficient, Hammet's electronic parameter, Taft's steric parameter and Hansch analysis, Craig plots, Topliss Scheme.
d. Describe computer aided drug design (CADD), 3D structure, Protein structure, binding site study, de novo drug design.
e. Introduce combinatorial chemistry.
f. Understand the concept and applications of combinatorial chemistry
g. Explain solid phase and solution phase synthesis. High Throughput Screening.
h. Introduce Molecular modeling using computer: Introduction, uses of molecular modelling

Unit 2: Local Anti-infective agents [8 Hrs]

After the completion of the course, students will be able to

a. Classify local anti-infective agents
b. Discuss about the structure, MOA and properties of alcohols and related compounds: (Alcohol, Isopropyl alcohol, Ethylene oxide, Formaldehyde solution).Phenols and their derivatives (Phenols, Cresol, and Resorcinol). Oxidizing agents: (Hydrogen Peroxide

solution), Halogen containing compound (Povidine iodine), Cationic surfactants: (Benzalkonium chloride, Chlorhexidine gluconate), Dyes: (Gentian violet, Methylene blue).

Mercury compounds: (Thiomersal, Merbromin).Nitrofuran Derivatives (Furazolidone, Nitrofurantoin).

Unit 3: Preservatives [3 Hrs]

After the completion of the course, students will be able to

Discuss about the structure, MOA, use and properties of Para hydroxy benzoic acid derivatives: Methyl paraben, Propyl paraben **Miscellaneous :** Sodium Benzoate, Sorbic acid and its potassium salt, Bronopol, Pheny mercuric nitrate

Unit 4: Antifungal agents [3 Hrs]

After the completion of the course, students will be able to

a. Classify antifungal agents

b. Discuss about the structure, MOA, use and properties of Antifungal agents Synthetic antifungal agents (Substituted imidazoles: Clotrimazole, Butaconazole, Miconazole, Ketoconazole, Flucanazole, Antifungal Antibiotics: Amphotericin B, Nystatin, Griseofulvin and Miscellaneous: Tolnafate, Ciclopirox).

c. Enumerate the synthetic scheme of Fluconozole

Unit 5: Urinary tract anti-invectives [1 Hrs]

After the completion of the course, students will be able to

Discuss about the structure, MOA, use and properties of **Urinary antiseptic:** Nitrofurantoin.

Unit 6: Antitubercular and Antileprotic agents [3 Hrs]

After the completion of the course, students will be able to

a. Classify Antitubercular and Antileprotic agents

b. Discuss about the structure, MOA, use and properties of Amino salicylic acid, Isoniazid, Ethambutol, Pyrazinamide, Ethionamide, Clofazimine, Dapsone, Antibiotic: Rifampicin..

c. Mentoin other Antitubercular antibiotics: Cycloserine, Viomycin sulphate, Capromycin sulphate,

d. Enumerate the synthetic scheme of INH and Dapsone.

Unit 7: Antiviral agents [**4 Hrs]**

After the completion of the course, students will be able to

a. Classify Antiviral agents

b. Discuss about the structure, MOA, use and properties of Amantadine hydrochloride, Rimantadine hydrochloride, Idoxuridine trifluoride, Acyclovir, Gancyclovir, Zidovudine, Didanosine, Zalcitabine, Lamivudine, Delavirdine, Ribavirin, Saquinavir, Indinavir, Ritonavir, Sofosbuvir.

c. Enumerate the synthetic scheme of Acyclovir

Unit 8: Antiprotozoal agents [4 Hrs]

After the completion of the course, students will be able to

a. Classify Antiprotozoal agents

b. Discuss about the structure, MOA, use and properties of Emetine hydrochloride, Metronidazole, Diloxanide furoate, Pentamidine isoethionate, Sodium stibogluconate, Dimercaprol.
c. Enumerate the synthetic scheme of Metronidazole

Unit 9: Anthelmintics [4 Hrs]

After the completion of the course, students will be able to

a. Classify Anthelmentic agents
b. Discuss about the structure, MOA, use and properties of Piperazine, Albendazole, Diethyl carbamazine, Pyrantel pamoate, Thiabenzadole, Mebendazole, Niclosamide, Antimony potassium tartarate, Praziquantel,
c. Enumerate the synthetic scheme of Albendazole

Unit 10: Antiscabious and pediculicide agents [2 Hrs]

After the completion of the course, students will be able to

Discuss about the structure, MOA, use and properties of Permethrin, Benzyl benzoate, Lindane (gamma-hexachlorocyclohexane), Crotamiton.

Unit 11: Sulphonamides, sulfones and folate inhibitors with antibacterial activity [5 Hrs]

After the completion of the course, students will be able to

a. Classify sulfonamides
b. Discuss the SAR of sulphanomides
c. Discuss about the structure, MOA, use and properties of Well absorbed, short and interte acting sulfonamides (Sulfacetamide, Sulfamethoxazole, Silver Sulfadiazines, Sulfasalazine and Sulphadoxine and Folate reductase inhibitors (Trimethoprim, Synergistic action of the combination of Sulfamethoxazole amd Trimethoprim).
d. Enumerate the synthetic scheme of Sulphamethoxazole

Unit 12: Antimalarials [6 Hrs]

After the completion of the course, students will be able to

a. Discuss the life cycle of malaria parasite and classify antimalarial agents
b. Discuss the SAR of Quinolines analogues and Artemisin derivatives.
c. Discuss about the structure, MOA, use and properties of Quinolines and analogues: (Chloroquine**,** Amodiaquine).8-amino quinolines: (Primaquine),9-amino acridines: (Quinacrine), Miscellaneous (Mefloquine, Pyrimethamine, Trimethoprim).Artemisin derivatives.
d. Enumerate the synthetic scheme of Chloroquine

Unit 13: Antibiotics [12 Hrs]

After the completion of the course, students will be able to

a. Mention the Historical background, of antibiotic discovery and use
b. Classify penicillin and cephalosporin
c. Discuss the SAR of penicillin and cephalosporin.
d. Discuss about the structure, MOA, use and properties of Lactam antibiotics: Penicillin (Penicillin G, Penicillin V, Methicillin, Cloxacillin, Ampicillin, Amoxycillin), Cephalosporins (Cephalexin, Cefadroxil, Cefaclor, Cefazolin, Cefuroxime, Cefotoxime,

Ceftazidime), β- Lactamase inhibitors (Clavulanate potassium, Salbactum), Monobactams (Aztreonam).

e. Enumerate the synthetic scheme of Amoxicillin and Cephalexin.

f. Discuss about the structure, MOA, use and properties of Aminoglycosides: Streptomycin, Neomycin, Kanamycin, Gentamycin, Amikacin, Tobramycin

g. Classify and disuss the SAR of Tetracyclines

h. Discuss about the structure, MOA, use and properties of Tetracycline, Oxytetracycline, Chlortetracycline, Minocycline, Doxycycline and Tigecycline

i. Discuss about the structure, MOA, use and properties of Macrolides: Erythromycin,Clarithromycin, Azithromycin, Roxithromycin

j. Discuss about the structure, MOA, use and properties of Quinolones: Nalidixic acid, Norfloxacin, Ciprofloxacin, Ofloxacin

k. Discuss about the structure, MOA, use and properties of Miscellaneous: Chloramphenicol, Clindamycin, Streptogramin, Vancomycin

l. Enumerate the synthetic scheme of Ciprofloxacin and Chloramphenicol.

Unit 14: Antineoplastic agents [7 Hrs]

After the completion of the course, students will be able to

a. Classify antineoplastic agents

b. Discuss about the structure, MOA, use and properties of Alkylating agents Cyclophosphamide, (Chlorambucil, Busulphan, 5-Fluro-Uracil, Nitrogen mustard), Antimetabolites (Mercaptopurine, Flurouracil, Gemcitabine, Methotrexate, Azothioprine), Antibiotics: (Doxorubicin, Mitomycin).

c. Discuss about the structure, MOA, use and properties of Plant products (Etoposide, Vincristine, Vinblastine, Taxol). Miscellaneous (Cisplatin), Hormones: (Mitotane, Tamoxifen).Immunotherapy: MOA and use of Interferon alpha 2a and 2b.

d. Enumerate the synthetic scheme of Mercaptoipurine, Methotrexate and Cisplatin.

Unit 15: Histamines, antihistamines and Expectorants [9 Hrs]

After the completion of the course, students will be able to

a. Classify antihistamine
b. Mention the chemical classification of First generation antihistamines
c. Amino/alkylethers**,** Diphenhydramine HCl
d. Discuss the SAR of Propylamine derivatives: Chlorpheniramine, Pheniramine,
e. Discuss about the structure, MOA, use and properties of Triprolidine HCl, Phenithiazone derivatives: Promethazine HCl, Piperazine derivatives: Cyclizine, Debenzocycloheptenes: Cyproheptadine, Azatalide and miscellaneous drug: Antazoline
f. Second Generation antihistamines: Cetrizine, Fexofenadine and Levocetirizine
g. Enumerate the synthetic scheme of Chlorpheniramine melate, Promethazine and Cetirizine.
h. Discuss about the structure, MOA, use and properties of Leukotriene inhibitors: Montelucast, Zafirlucast.
i. Discuss about the structure, MOA, use and properties of Proton puimp inhibitors: Omeprazole, Pantoprazole, Rabeprazole and Esomeprazole. H_2 antihistamines: Ranitidine, Famotidine

Unit 16: Vitamins and Enzymes [3 Hrs]

After the completion of the course, students will be able to

a. Discuss about the structure, physiological role, use and properties of Vitamin A, Vitamin D, Thiamine, Riboflavine, Pyridoxine, Niacinamide, Folic Acid, Ascorbic Acid, and Panthothenic Acid.

b. Discuss about the physiological role, use and properties of Diastase, Pepsin, Chemotrypsin and Serratiopeptidase

Unit 17: Diuretics [8 hrs]

After the completion of the course, students will be able to

a. Classify diuretic agents

b. Mention the structure of nephron and site of action of different diuretics.

c. Discuss the SAR of 5-Sulfamoyl-2- and -3-aminobenzoic acid derivatives (furosemide and bumetanide)

d. Discuss about the structure, MOA, use and properties of Carbonic anhydrase inhibitors: Acetazolamide.Thiazide and thiazide like diuretics: Hydrochlorothiazide, Chlorthalidone. High-ceiling or loop diuretics: Bumetanide, Furosemide. Potassium sparing diuretics: Spironolactone, Triampterene, Amiloride.Osmotic diuretics: Mannitol

e. Enumerate the synthetic scheme of Hydrochlorothiazide and Frusemide.

MEDICINAL CHEMISTRY – II

Subject: Practical	Year: Second	Code: BP 502 B
Full Marks: 50	Total Teaching hours: 90	Credit hour: 2

At the end of the course, students will be able to:

1. Perform synthesis of medicinal compounds covered in theory
2. Perform monograph analysis of drugs covered in theory

Recommended Books (Latest Editions)

Text Books:

1. Kadam S. S, Mahadik H. R, Bothara K. G. Principles of Medicinal Chemistry, Vol I and Vol II.

2. Hoover J. E. Remington's Pharmaceutical Sciences. Mack Publishing Company.

3. Vogel A. I. Textbook of Practical Organic Chemistry. Pearson

4. A. H. Beckett and J. B. Stenlake. Practical pharmaceutical chemistry.The Athlone Press, University of London, Volume I and II.

5. Jie Jack Li. Modern Drug Synthesis. WILEY.

Reference Books

1. Abraham D. J. Burger's Medicinal Chemistry.Vol I to VI.Wiley-Interscience, Hoboken, NJ.
2. Block J, Beale J. M. Wilson and Gisvold's Organic Medicinal and Pharmaceutical Chemistry.
3. Lemke T, Foye W. Foye's Principles of Medicinal Chemistry.Lippincott Williams & Wilkins
4. Lednicer. The Organic Chemistry of Drug Synthesis.Vol. 1-5.
5. Kar A. Textbook of Medicinal Chemistry.New Age International Publishers.
6. Alagarsamy V. Textbook of Medicinal Chemistry. Elsevier.