
COURSE: MEDICAL CHEMISTRY I

ACADEMIC YEAR: 2017-2018

TYPE OF EDUCATIONAL ACTIVITY: : Characterizing

TEACHER: Prof.ssa Carmela Saturnino

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Language: **ITALIAN**

ECTS: **12** (lessons)n. of hours: **96** (lessons)Campus: **Potenza**Dept./School: **Department of Sciences**Program: **Pharmacy (LM-13)**Semester: **II**
(from 5 March 2018 to 30 June 2018)

EDUCATIONAL GOALS AND EXPECTED LEARNING OUTCOMES:

- The course is aimed at the acquisition of the knowledges and the understanding of different phases of synthesis, of the action mechanisms, of the ADME of antibiotics, anticancer, antimycotic, antiseptic, disinfectant, antiviral and antimalarial agents.
 - By applying the acquired skills, the student will be able to analyze the structure of drugs, their pharmacological activity, and research phases from the discovery of lead compound to marketing.
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PRE-REQUIREMENTSorganic chemistry is indispensable

SYLLABUS**Unit 1 (14h):**

Medical Chemistry I Introduction. General characteristics of a drug; Drug Design; Patent; Bioequivalence.

Unit 2 (20 h):

Pharmacokinetic . Study of ADME (Absorbtion, Distribution, Metabolism, Elimination) metabolism reaction of Phase 1 and 2; study of Bioavailability; Prodrug.

Unit 3 (4h):

Pharmacodinamic: agonist, antagonist (competitive non competitive)

Drug Nomenclature

Unit 4 (10 h):

Sulphamidics: Succinyl sulphatazole; Sulfaguanidina; Sulfacemide; sulfamethoxazole; Cotrimoxazole

(Sulfamethoxazole + Trimetoprim). Mechanism of action; SAR and ADME.

Synthesis: sulfamethoxazole;, Trimethoprim.

Unit 5 (4h):Antiseptics and Disinfectants: Phenols (Cresol; Esilresoircine); Alcohols (Ethanol, Isopropanol, Benzoic Alcohol, Chlorobutanol); Quaternary Ammonium Salts (Benzalkonium Chloride; Cetylpyridinochloride); Oxidizing agents (Iodine, NaClO, H₂O₂, KMnO₄); Heavy Metals (Silver, Mercury)**Unit 6 (8 h):**

Antelmintic: Halogenated hydrocarbons (Tetrachlorethylene); Phenols (Esilresorcine; Dichlorophen; Niclosamide); Dyes (Pirivinio Pamoato); Quaternary ammonium salts (Befenio); Various Drugs (Mebendazole)

Antituberculosis: Isoniazide, its mechanism of action, pharmacokinetics, side effects. ethionamide; pyrazinamide; Ethambutol; PAS.

Synthesis: Isoniazid, pyrazinamide, ethionamideLeprostatici:

Dapsone; Clofazimine.

Unit 7 (12 h):

Antiseptics of the urinary tract: Nitroderivatives (Nitrofurantoin action mechanism; Nifuratel; Nitroxin); Chinolones and Derivatives (Nalidissic Acid, Oxygenic Acid, Cinoxacin, Pyromidal Acid, Ciprofluoacid, Fleroxacin, Temafloacin; Ofloxacin); Peptidoglycan Inhibitors (Cycloserine; Phosphomycin). SAR and mechanism of action.

Synthesis: Nitrofurantoin, Nalidissic Acid, Ciprofloxacin

Unit 8(14 h):

Penicillin: 6-APA; Penicillin G; Penicillin V; Ampicillin; oxacillin; Methicillin; cloxacillin; Flucloxacillin. Form delay (Penicillin G with Procain or Probenecid); Broad spectrum penicillins (Ampicillin; Amoxicillin) B-lactamase inhibitors (Clavulanic acid; Sulbactam). Penicillin nuclei (Penam, Penem, Oxapenam, Oxapenam, Carbapenam). SAR penicillins and their mechanism of action

Synthesis: 6-APA

Cephalosporin: 7-ACA; 1st generation (Cefalexin; Cephalothin, Cefazoline); 2nd Generation (Cefaclor; Cefuroxima) 3rd Generation (Ceftriaxone) 4th Generation (Cefepima). Cephalosporin (3-Cefem, Cefem) nuclei. SAR cephalosporins and their mechanism of action.

Synthesis: semisynthesis of Cephalosporin, Cefaclor

Unit 9 (14h)

Monobactams: Aztreonam. SAR monobashed.

Other Antibiotics: Cicloserine and its mechanism of action.

Tetracycline: Natural (Clortetracycline, Oxytetracycline, Demeclocycline) Semisynthetic (Metacycline, Doxycycline, Minocycline). Mechanism of action, diffusion, pharmacokinetics, side effects and interaction with drugs and foods. Tetracycline residues in foods. New applications. Tigecycline.

Synthesis: Minocycline

Macrolides: Group of erythromycin (Erythromycin) Group of Josamycin Semisynthetic Group (Clarithromycin, Flutyrrromycin, Azithromycin), Acid pH Degradation Mechanism, Mechanism of Action and Side Effects.

Amphenol: Chloramphenicol: action mechanism and side effects. CAF bioisostere (Tiamphenicol; Cetophenol). Pro CAF drugs (Palmitate, Sodium Chloride, Azidamphenicol).

Ossazolidinones: Linezolid and its mechanism of action.

Lincosamines: Lincomycin and its mechanism of action.

Amino-glucosidic antibiotics: Natural (Streptomycin, Neomycin) Semisynthetic

Unit 10 (6 h)

Antimycotics: Polyene macrolides; Azoles (Clotrimazole, Flutrimazole, Econazole, Miconazole, Ketoconazole);

Squalene-Epoxidase Inhibitors (Terbinafine) Morpholine Derivatives (Amorolfina) Antibiotics (Griseofulvina)

Flucitosan. Mechanism of action

Synthesis: Clotrimazole, Flutrimazolo, miconazole, Fluconazole

Unit 11 (10 h)

Antitumor: 1. Alkylating agents:

- nitrogen mustard (Chloromethene, Clorambucile, Melfalan, Cyclophamide)
- Aziridine (Tiotepa)
- Methanesulfonate (Busulfan)
- Nitrosourea (Carmustina, Lomustina)
- Idrazine (Dacarbazine)
- Cisplatin and derivatives

2. Antimetabolites (Methotrexate)

3. Intercalating Agents (Mitoxantrone)

4. Antimitotici

5. Various drugs

Unit 12 (4 h)

Antivirals: Aciclovir, Cidofovir, Ribavirin

TEACHING METHODS

Frontal classroom lessons.

EVALUATION METHODS

Verification of learning will take place through at least 2 written tests that consist of administering a questionnaire with open-ended questions. The questionnaires must be completed within a maximum of 1 hour. The questions will have as subjects the course program.

TEXTBOOKS AND ON-LINE EDUCATIONAL MATERIAL

- ALL THE TEACHING MATERIAL (BOOKS AND ETC.) WILL BE ANNOUNCED ON THE FIRST DAY OF THE COURSE.
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INTERACTION WITH STUDENTS

Contacts will be constantly maintained through telematic platforms

EXAMINATION SESSIONS (FORECAST)¹ 19/02(2018, 12/03/2018, 21/05/2018, 18/06/2018, 02/07/2018, 08/10/2018, 19/11/2018

SEMINARS BY EXTERNAL EXPERTS YES NO

FURTHER INFORMATION

¹Subject to possible changes: check the web site of the Teacher or the Department/School for updates.