
COURSE: MEDICINAL CHEMISTRY and TOXICOLOGY I

ACADEMIC YEAR: 2018-2019

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 1 March 2019 to 31 may 2019-20 June 2019)

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

4h

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

4h

Study of ADME (Absorbtion, Distribution, Metabolism, Elimination) metabolism reaction of Phase 1 and 2; study of Bioavailability; Prodrugs.Pharmacodinamic: agonist, antagonist (competitive non competitive)

4h

Drug Nomenclature

10h

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

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

Synthesis: sulfamethoxazole;Trimethoprim.

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).

8h

Antielmintic: Halogenated hydrocarbons (Tetrachlorethylene); Phenols (Esilresorcin; 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,ethionamideLeprostati:

Dapsone; Clofazimine.

12h

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.

14h

Penicillin: 6-APA; Penicillin G; Penicillin V; Ampicillin; oxacilline; Methicilline; cloxacilline; Flucloxacilline. Form delay (Penicillin G with Procaïn or Probenecid); Broad spectrum penicillins (Ampicillin; Amoxicillin) B-lactamase inhibitors (Clavulanic acid; Sulbactam). Penicillin nuclei (Penam, Penem, Oxapenam, Oxapenem, 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

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.

6h

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

12h

Antitumor drugs. Epigenetic..Antimitotici .

4h

Antiviral drugs

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.

INTERACTION WITH STUDENTS

Contacts will be constantly maintained through telematic platforms

EXAMINATION SESSIONS : the dates will be established before the start of the course. As the course will be held in the second semester, the dates will start from June 2019.

SEMINARS BY EXTERNAL EXPERTS YES NO

FURTHER INFORMATION: Probable exam dates: 2018/2019:

17 june 2018; 27 june 2018; 3 july 2018; 16 september 2018; 9 october 2018; 6 november 2018; 20
january 2019; 13 march2019
