DIPARTIMENTO DI SCIENZE

COURSE: MEDICINAL CHEMISTRY and TOXICOLOGY I			
ACADEMIC YEAR: **2019-2020**			
TYPE OF EDUCATIONAL ACTIVITY: **: Characterizing**			
TEACHER**: Prof.ssa Carmela Saturnino**			
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phone: No		mobile (optional): **3204228510**	
Language:**ITALIAN**			
ECTS:**12** (lessons)	n. of hours: **96** (lessons)	Campus: **Potenza** Dept./School: **Department of Sciences** Program: **Pharmacy (LM-13)**	Semester**: II** (from 2 March 2020 to 31 may 2020-20 June 2020)

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.

Interpretation and analysis of the chemical structure of drugs and their relationship with the mechanism of action;

Application of knowledge to research in the chemical-pharmaceutical field, from the discovery of the lead compound to their marketing.

The student must demonstrate that he has acquired the knowledge useful for the correct use of the drugs.

The student must demonstrate a good knowledge of drugs, their mechanisms of action, possible interactions with other drugs, with food.

The student must be able to keep up to date by consulting specific pharmaceutical chemistry texts and publications

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PRE-REQUIREMENTS

organic chemistry is indispensable

SYLLABUS

Block 1 (14 h):
Medical Chemistry I Introduction. General characteristics of a drug; Drug Design; Patent; Bioequivalence.
Block 2 (20h):
Pharmacokinetic . Study of ADME (Absorbtion, Distribution, Metabolism, Elimination) metabolism reaction of Phase 1 and 2; study of Bioavailability; Prodrugs.Pharmacodinamic: agonist, antagonist (competitive non competitive)
Block 3 (4h):
Drug Nomenclature.
Block 4 (10h):
Sulphamidics: Succinyl sulphatazole; Sulfaguanidina; Sulfacemide; sulfamethoxazole; Cotrimoxazole (Sulfamethoxazole + Trimetoprime). Mechanism of action; SAR and ADME.
Block 5(4 h):
Synthesis: sulfamethoxazole;Trimethoprim.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).
Block 6 (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,ethionamideLeprostatici:
Dapsone; Clofazimine.
Block 7(12 h):
Antiseptics of the urinary tract: Nitroderivatives (Nitrofurantoin action mechanism; Nifuratel; Nitroxin); Chinolones and Derivatives (Nalidisic Acid, Oxygenic Acid, Cinoxacin, Pyromidal Acid, Ciprofluoacid, Fleroxacin, Temafloacin; Ofloxacin);
Block 8 (14 h):
Peptidoglycan Inhibitors (Cycloserine; Phosphomycin). SAR and mechanism of action.
Synthesis: Nitrofurantoin, Nalidissic Acid, Ciprofloxacin.Penicillin: 6-APA; Penicillin G; Penicillin V; Ampicillin; oxacilline; Methicilline; cloxacilline; Flucloxacilline. Form delay (Penicillin G with Procain or Probenecid); Broad spectrum penicillins (Ampicillin; Amoxicillin) B-lactamase inhibitors (Clavulanic acid; Sulbactam). Penicillin nuclei (Penam, Penem, Oxapenam, Oxapenem, Carbapenem). 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.
Block 9 (14 h):
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 (Claritromycin, Flutyrromycin, 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. Antimycotics: Polyene macrolides;
Block 10 (6h):
(Azoles (Clotrimazole, Flutrimazole, Econazole, Miconazole, Ketoconazole); Squalene-Epoxidase Inhibitors (Terbinafine) Morpholine Derivatives (Amorolfina) Antibiotics (Griseofulvina) Flucitosan. Mechanism of action
Synthesis: Clotrimazole, Flutrimazolo, miconazole,Fluconazole.
Block 11 (10h):
Antitumor drugs. Epigenetic..Antimitotici .
Block 12 (4 h):
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.

The final grade will be expressed in thirtieths and will be the average of the two written tests. If the final grade is less than 18/30, it is necessary to sustain the exam again.

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 :

3/02/2020; 2/03/2020; 10/06/2020; 1/07/2020; 9/09/2020; 21/10/2020; 2/12/2020;

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SEMINARS BY EXTERNAL EXPERTS	YES ☒	NO

FURTHER INFORMATION:
The students will partecipate at open lessons in which they will dimonstrate the understanding of the covered topics.

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