COURSE OF STUDY *Pharmaceutical Chemistry and Technology*
ACADEMIC YEAR *2024-2025*
ACADEMIC SUBJECT *Pharmaceutical and Toxicological Chemistry 2*

General information	
Year of the course	4th
Academic calendar (starting and ending date)	1st semester: October 2024-January 2025
Credits (CFU/ETCS):	9
SSD	CHEM-07/A
Language	Italian
Mode of attendance	On-site

Professor/ Lecturer	
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Department and address	Pharmacy – Pharmaceutical Sciences, via Orabona 4, Bari
Virtual room	Microsoft Teams Platform
Office Hours (and modalities: e.g., by appointment, on line, etc.)	Everyday h 15-17, h 16-19 by appointment via e-mail, on-site or video chat

Work schedule			
Hours			
Total	Lectures	Hands-on (workshops, working groups, seminars)	Self-study hours
225	*72*	*18*	*135*
CFU/ETCS			
9	*8*	*1*	

Learning Objectives	
Course prerequisites	Fundamental knowledge of Biochemistry, Organic Chemistry, Pharmacology and General Medicinal Chemistry

Teaching methods	Lectures with the aid of video projection of slides, in-depth analysis of some topics with a seminar method, classroom exercises on the derivation of structure-activity relationships and pharmacophore models.
Expected learning outcomes in terms of	
Knowledge and understanding on:	At the end of the course, the student will acquire basic knowledge of medicinal and toxicological chemistry, concerning the design and development of drugs, the study of structure-activity relationships, the chemistry of metabolic biotransformations and toxicological implications thereof, knowledge relating to different drug classes studied.
Applying knowledge and understanding on:	At the end of the course, the student will be able to apply the knowledge acquired in point 1 regarding the analysis of chemical structures and the activity of compounds belonging to the classes of drugs listed.

Soft skills	• Making informed judgments and choices At the end of the course, the student will be able to apply the knowledge acquired about the classes of drugs referred to in point 1 to analyze the structural formula and correlate this with the relevant biological activity, pharmacokinetics, and toxicology. • Communicating knowledge and understanding At the end of the course, the student will be able to clearly explain the concepts acquired in point 1, demonstrating conceptual accuracy, linguistic precision, and autonomy of judgment. • Capacities to continue learning At the end of the course, the student will be able to autonomously explore the topics covered in the course, also by consulting specific textbooks.
Syllabus	
Content knowledge	The course deals with the medicinal and toxicological chemistry of active ingredients of synthetic and natural origin (plants and animals) used in hormonal therapies and chronic inflammatory diseases, and in the treatment of infectious diseases (bacteria, protozoa, fungi, viruses). For each class of drugs, the following are studied: biogenesis, molecular mechanisms of action, drug design strategies and structure-activity relationships, optimization of ADME properties, toxicological chemistry, industrial synthetic and extraction processes. The study of the sources, the nomenclature and the analysis of the physicochemical properties of the drugs studied integrate the didactics. **1. Hormones and antihormones** 1.1. Hypothalamic hormones: gonadorelin (GnRH), GnRH agonists and antagonists; thyrotropin-releasing hormone (TRH); somatorelin, somatostatin and somatostatin analogues. 1.2. Pituitary hormones. Posterior pituitary hormones: oxytocin and vasopressin. 1.3 Thyroid hormones, thyromimetic and antithyroid drugs. 1.4 Pancreatic hormones and drugs for the treatment of diabetes. Glucagon. Insulin: structure and chemical stability; heterologous insulins and recombinant human insulins; formulations of human insulins. Oral hypoglycemic drugs: sulfonylureas, glinides, biguanides, thiazolidinediones, α-glucosidase inhibitors, inhibitors of the renal sodium-glucose cotransporter SGLT2 (gliflozins). Drugs acting on the incretin system: peptides mimicking the action of GLP-1; inhibitors of dipeptidyl peptidase IV. 1.5 Thymus hormones and immunomodulatory drugs. Thymopoietin and thymopentin. Pidotimod and cytokines. Immunosuppressants: steroidal anti-inflammatory drugs, cytotoxic agents, antimetabolites, calcineurin inhibitors (cyclosporine, tacrolimus). Monoclonal antibodies. 1.6 Steroid hormones and nuclear receptors. Biogenesis and molecular mechanisms of action. Nuclear receptors and molecular bases of transcriptional activity. Androgens and anabolic sterooids. Estrogens and progestins. Antiestrogens and antiandrogens in antitumor therapy. Selective estrogen receptor modulators (SERMs). Aromatase inhibitors. Corticosteroids. Glucocorticoids and steroidal anti-inflammatories. Mineralocorticoids: aldosterone and anti-aldosterone drugs. Carbonic anhydrase inhibitors. High ceiling diuretics; potassium-sparing diuretics; uricosurics. **2. Cholesterol and lipid-lowering drugs** Dyslipidemias and cardiovascular disease. HMG-CoA reductase inhibitors: first- and second-generation statins. Bile acid sequestrants, ezetimibe, PPAR agonists

	(fibrates). **3. Antithrombotic drugs** 3.1 Anticoagulants. Vitamin K antagonists. Heparins. Direct inhibitors of coagulation factors. 3.2 Antiplatelet agents. Inhibitors of the synthesis of thromboxane TxA2 and acetylsalicylic acid. Purinergic receptor antagonists (thienopyridines). Other mechanisms. 3.3 Fibrinolytics. Tissue plasminogen activator. Streptokinase. Urokinase. **4. Antibacterial agents** 4.1 Agents interfering with cell wall synthesis. β-lactam antibiotics: penicillins, cephalosporins, carbapenems, monobactams; β-lactamase inhibitors. Other inhibitors of peptidoglycan synthesis: fosfomycin, cycloserine, bacitracin. 4.2 Antimicrobial peptides. Polymyxins. Glycopeptides and lipoglycopeptides: vancomycin, teicoplanin, oritavancin, telavancin, ramoplanin, daptomycin. 4.3 Agents interfering with protein synthesis. Aminoglycosides, tetracyclines, macrolides, lincosamides, oxazolidinones, chloramphenicol and analogs. 4.4 Agents interfering with transcription and replication of nucleic acids. Rifamycins, quinolones, antifolate agents (trimethoprim), antibacterial sulfonamides. **5. Antifungal, antimycobacterial and antiprotozoal agents** 5.1 Antimycotics. Inhibitors of fungal wall synthesis (echinocandins). Inhibitors of fungal membrane functions (polyenes). Inhibitors of ergosterol synthesis: antifungal azoles. Flucytosine and other antifungal agents (allylamines and thiocarbamates). 5.2 Antimycobacterial. Antituberculosis: isoniazid, ethambutol, rifampicin, streptomycin. Antileprosy: dapsone and analogs, clofazimine. 5.3 Antiprotozoals. Antimalarials: cinchona alkaloids, 4-aminoquinolines, 8-aminoquinolines, antifolates (pyrimethamine), artemisinin, other antimalarials. Other intestinal protozoan infections. **6. Antiviral drugs** 6.1 Drugs active against DNA viruses 6.1.1. Drugs active against Herpes viruses. Inhibitors of viral DNA polymerase: aciclovir and prodrugs, ganciclovir, penciclovir, cidofovir. 6.1.2. Drugs active against HBV. Lamivudine and analogs. 6.2 Drugs active against RNA viruses 6.2.1. Anti-influenza drugs. M2 protein blockers: amantadine and analogues. Sialidase inhibitors: zanamivir, oseltamivir. 6.2.2. Drugs for the treatment of HIV infection. Nucleoside (zidovudine, stavudine) and non-nucleoside (nevirapine, efavirenz) reverse transcriptase inhibitors. HIV protease inhibitors (saquinavir and analogues). HIV integrase inhibitors (raltegravir and analogs). CCR5 receptor antagonists (maraviroc and analogues). Enfuvirtide. 6.2.3. Anti-HCV drugs. HCV NS3-4A protease inhibitors (boceprevir, telaprevir, narlaprevir). Viral RNA polymerase inhibitors (sofosbuvir). Ribavirin. Alpha-interferons. 6.2.4. Anti-SARS-CoV-2 drugs. Remdesivir, nirmatrelvir, ritonavir.
Texts and readings	G. Costantino, G. Sbardella Ed. – Chimica Farmaceutica - Edises G. L. Patrick – Chimica Farmaceutica – Edises A. Gasco, F. Gualtieri, C. Melchiorre Ed. – Chimica farmaceutica – Zanichelli

Notes, additional materials	https://pubchem.ncbi.nlm.nih.gov
Repository	Microsoft TEAMS vitual room

Assessment	
Assessment methods	The exam consists of oral interview on at least three topics
Assessment criteria	• Knowledge and understanding appropriateness, correctness, and congruence of knowledge aquired during the course • Applying knowledge and understanding Illustrating methodological interconnections between the various topics of the course • Autonomy of judgment The student must be able to rationally interpret and illustrate case studies in the development of drugs • Communicating knowledge and understanding – Expressive capacity – Appropriate use of the specific language of the discipline – Logical skills and consequentiality in the connection of contents • Communication skills – Ability to connect different topics by finding common points and to establish a coherent general design, taking care of the structure, organization, and logical connections of the expository discourse – Ability to synthesize also through the use of the symbolism of the material and the graphic expression of notions and concepts, for example in the form of formulas, schemes, equations. • Capacity to continue learning Development of the necessary learning capacities for practical application of knowledge and skills acquired during the course
Final exam and grading criteria	The final grade is awarded out of thirty. The exam is passed when the grade is greater than or equal to 18. The grade is determined by an overall evaluation concerning the clarity of the presentation, the completeness of the acquired knowledge, the property of the specific vocabulary of the subject, the depth of knowledge, and the ability to analyze questions and provide suitable answers. In particular, the grade breakdown is as follows: Insufficient: 0-17 Lack of, incomplete, and inadequate knowledge of the topics contained in the syllabus, use of non-technical vocabulary Sufficient: 18-20 Sufficient knowledge of the topics contained in the syllabus and overall adequacy of the technical vocabulary used Adequate: 21-23 Adequate knowledge of the topics contained in the syllabus, adequate ability to argue and make connections between the various topics, use of appropriate technical vocabulary Good: 24-26 Good knowledge of the topics contained in the syllabus, good capacity for in-depth analysis and criticism through the use of an adequate technical vocabulary Distinguished: 27-28 More than good knowledge of the topics contained in the syllabus, more than good capacity for in-depth study, for linking the various topics, for critique and mastery of technical vocabulary Excellent: 29-30

	Excellent knowledge of the topics contained in the syllabus, excellent ability to deepen and link between the different topics, as well as criticism and mastery of the technical vocabulary Excellent: 30 *cum laude* Excellent knowledge of the topics contained in the syllabus, outstanding capacity for in-depth study, for linking the various topics, for critique and mastery of the technical vocabulary
Further information	