



UNIVERSITÀ DEGLI STUDI DI PALERMO

DEPARTMENT	Scienze e Tecnologie Biologiche, Chimiche e Farmaceutiche		
ACADEMIC YEAR	2021/2022		
MASTER'S DEGREE (MSC)	BIOTECHNOLOGIES FOR INDUSTRIES AND SCIENTIFIC RESEARCH		
SUBJECT	COMPLEMENTS OF ORGANIC CHEMISTRY		
TYPE OF EDUCATIONAL ACTIVITY	B		
AMBIT	50594-Discipline chimiche		
CODE	02101		
SCIENTIFIC SECTOR(S)	CHIM/06		
HEAD PROFESSOR(S)	D'ANNA FRANCESCA	Professore Ordinario	Univ. di PALERMO
OTHER PROFESSOR(S)			
CREDITS	6		
INDIVIDUAL STUDY (Hrs)	102		
COURSE ACTIVITY (Hrs)	48		
PROPAEDEUTICAL SUBJECTS			
MUTUALIZATION			
YEAR	1		
TERM (SEMESTER)	2° semester		
ATTENDANCE	Not mandatory		
EVALUATION	Out of 30		
TEACHER OFFICE HOURS	D'ANNA FRANCESCA Tuesday 15:00 17:00 Studio 0/D2, Edificio 17, Viale delle Scienze, Palermo Thursday 15:00 17:00 Studio 0/D2, Edificio 17, Viale delle Scienze, Palermo		

PREREQUISITES	Acids and Bases. Electronic effects of substituents, reaction mechanism of acid and base catalyzed hydrolysis of carboxylic acid esters.
LEARNING OUTCOMES	<p>Knowledge and ability to understand After the course, the student should be able to organize investigation about chirality of molecules, studying relationships between their structure and biological activity. Furthermore, he should be able to recognize intermolecular interactions. The student should be able to use the language of the branch of knowledge.</p> <p>Ability to apply knowledge and understanding The student should be able to recognize and organize independently basic principles of the learning in order to discuss and understand data about chirality of a molecule, its pharmacological activity and data about supramolecular structures.</p> <p>Ability to judge The student should be able to evaluate all structural features that can affect pharmacological activity of a molecule. Furthermore, he should be able to evaluate the possibility of having supramolecular interactions among molecules and, in some cases, to connect experimental results to basic principles of the learning.</p> <p>Ability to communicate The student should be able to present, also to an inexpert audience, results about investigation of different systems connecting them to basic principles of the learning.</p> <p>Ability to learn The student should be able to update its knowledge of the learning also by means of consultation of scientific publications.</p>
ASSESSMENT METHODS	<p>The examination is an oral exam aimed to verify the knowledge of topics developed during the course, the ability to elaborate and capacity of exposure. The judgment will be given in thirtieths.</p> <p>The student that will obtain the highest judgment (30 and 30 and honour) should prove to have an excellent ability to connect different topics of the learning, to understand the application and he should demonstrate to use correctly the language. In this context, particular attention will be devoted to the analysis of concepts like the activity and potency of a drug. The aim is the evaluation of all structural features that can be changed in order to preserve the activity, enhancing its potency. Further attention will be also devoted to the ability to identify the suitable carrier system able to favor the diffusion of the drug, preserve its stability or increase the potency.</p> <p>The student that will obtain a very good judgment (29 – 26) should prove to have a good knowledge of the above mentioned topics of the learning and will show ability to understand the applications solving problems that will be proposed.</p> <p>The student that will obtain a good judgment (24 – 25) should prove to have knowledge of main topics of the learning, moderate ability to understand the applications and using the correct language. Furthermore, he will show a poor ability to apply main concepts in solving problems.</p> <p>The student that will obtain a satisfying judgment (23 – 21) should prove to have knowledge of main topics of the learning, to use satisfactorily the language of the learning, but he will show an insufficient ability to solve problems.</p> <p>The student that will obtain sufficient judgment (18– 20) should prove to have a minimal knowledge of topics the learning and the use of its language. Furthermore, he will show an insufficient ability to understand the applications in solving problems that will be proposed.</p> <p>The examination will be judged insufficient if the student will not show an adequate knowledge of the learning.</p>
EDUCATIONAL OBJECTIVES	The main goal of the learning is to provide the knowledge to understand how small changes in the structure of a molecule having biological activity could induce significant variations in its potency without changing its activity. To this aim, the main categories of drug carriers will be analysed, to understand the role played in the improving of potency as a consequence of a better solubilisation, a stabilizing effect or a release process that can be easily modulated.
TEACHING METHODS	The course is organized in frontal lectures.
SUGGESTED BIBLIOGRAPHY	<p>Richard B. Silvermaman The Organic Chemistry of Drug Design and Drug Academic Press 3th Edition ISBN: 9780123820303</p> <p>Jonathan W. Steed and Jerry L. Atwood Supramolecular Chemistry John Wiley & Sons Second Edition, ISBN: 978-0-470-51233-3</p> <p>Drug Delivery: Principles and Applications, Second Edition. Edited by Binghe Wang, Longqin Hu, and Teruna J. Siahaan. © 2016 John Wiley & Sons, Inc. Published 2016 by John Wiley & Sons, Inc. ISBN: 978-1-118-83336-0</p>

SYLLABUS

Hrs	Frontal teaching
8	Basic principles of stereochemistry
4	Nuclear magnetic resonance. Reading of ^1H NMR spectra. Basic principles of two-dimensional NMR
3	Identification of a molecule having pharmacological activity. Identification of pharmacophore. Difference between activity and potency of a drug. Therapeutic Index. Difference between structurally specific and non specific drugs
3	Structure modification to increase potency and therapeutic index
4	Structure-activity relationships: models to evaluate electronic, steric and lipophilic effects. Hansch equation. Application of the Craig plot.
3	Receptor-substrate interaction. Determination of drug-receptor interaction. The effect of optical and geometric isomerism on pharmacological activity.
3	Supramolecular chemistry, intermolecular interactions, kinetic and thermodynamic selectivity. The chelate and macrocyclic effects. Complementarity and pre-organization. Difference between functional and structural model.
4	Physicochemical Properties, Formulation, and Drug Delivery. Drug release processes from drug carrier systems.
4	Cyclodextrins: structural features. Effects exerted on the properties of organic molecules. Experimental methods to evaluate stability constant and complex stoichiometry. Applications of cyclodextrins as drug carriers.
2	Self-assembly: basic principles. Self-assembled systems as drug carrier.
4	Organo- and hydrogels: preparation, structural features and applications as drug carries.
2	Liposomes as Drug Delivery Vehicles
2	Carbon nanotubes as drug delivery systems
2	Self-assembly of proteins and Alzheimer disease