COURSE DESCRIPTION
STRUCTURE AND ACTIVATION MECHANISMS OF CELLULAR RECEPTORS

SSD: CHIMICA FARMACEUTICA (CHIM/08)

DEGREE PROGRAMME: BIOTECNOLOGIE PER LA SALUTE (N76)
ACADEMIC YEAR 2022/2023

COURSE DESCRIPTION

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GENERAL INFORMATION ABOUT THE COURSE

INTEGRATED COURSE: NOT APPLICABLE
MODULE: NOT APPLICABLE
CHANNEL: A-Z
YEAR OF THE DEGREE PROGRAMME: III
PERIOD IN WHICH THE COURSE IS DELIVERED: SEMESTER II
CFU: 5

REQUIRED PRELIMINARY COURSES

None

PREREQUISITES

Basic knowledge of protein structure and elements of pharmaceutical chemistry.

LEARNING GOALS

The course aims to provide the student with the key to understanding (tools, techniques, methods) the functioning of the main classes of cellular receptors, studying the structure and mechanisms of activation at the molecular level. The student will learn the molecular basis of the intrinsic activity of drugs, with particular reference to biotechnological drugs through a challenge-based approach, which, through the active involvement of the student in the analysis of the 3D structures of receptors, will stimulate critical learning in which the student will be confronted independently with the analysis of structures of drug-receptor complexes as well as the effects of changes in the structure of a drug on the biological activity of a receptor. This approach will therefore not only provide an understanding of the structure-function relationships of receptors and the different approaches used to modulate their activity, but will also provide the student with tools and methods for analysing protein structure. The student should be able to apply the methods of analysis to receptors not specifically addressed, and in general to the study of any protein structure.

EXPECTED LEARNING OUTCOMES (DUBLIN DESCRIPTORS)

Knowledge and understanding

The student must demonstrate knowledge and understanding of

- general concepts on the structure and function of cellular receptors: Receptor theories; agonism, antagonism and partial agonism;

- the molecular mechanisms underlying the functioning of the main classes of receptors: activation, inhibition, signal transduction, desensitisation. structure-function relationships of receptors, the different strategies used to modulate their functions;

- the basic commands of programmes for 3D visualisation and structure analysis of proteins and nucleic acids;

- the basic principles of written and oral communication of results of a scientific analysis.

Applying knowledge and understanding

The interactive challenge-based approach of the course provides students with tools and methods for the analysis of cell receptors.

The student will therefore be able to:

- concisely but effectively present the results of an analysis performed;- analyse the 3D structure of proteins
- apply the tools and methods of analysis learned to the study of any drug-receptor system.

COURSE CONTENT/SYLLABUS

The first part of the course will be conducted using the classical methodology of classroom lectures:

Ø General concepts of receptor chemistry (0.5 credits)

o Receptor theories o Interaction with receptors: concepts of agonism, antagonism and partial agonism.
o Signal transduction and classification of receptors.

The second part of the course is devoted to the study of the main classes of receptors, focusing the analysis on the interaction with agonists and/or antagonists to represent problems and strategies related to the modulation of receptor activity. It will take place in the computer laboratory using programmes for 3D visualisation of proteins and interactive teaching methods:

Ø Training on the use of software for analysing the 3D structure of proteins (0.5 credit))

Ø Channel receptors (1 credit)

Ø G-protein-coupled receptors (1 credit)

Ø Tyrosine kinase receptors (1 credit)

Ø Intracellular receptors (1 credit)

READINGS/BIBLIOGRAPHY

Materials provided by the lecturer:
Scientific articles; Pymol user tutorials; Slides.

TEACHING METHODS OF THE COURSE (OR MODULE)

The course takes a challenge-based approach. The students, in working groups, will have to tackle the analysis of the main biotechnological drugs, combining literature study and analysis of the structure of the drugs. Through the flipped classroom approach, the working groups will have to present the results of the analyses to the class, effectively and using appropriate language.

EXAMINATION/EVALUATION CRITERIA

a) Exam type

- [ ] Written
- [x] Oral
- [x] Project discussion
- [ ] Other

In case of a written exam, questions refer to

- [ ] Multiple choice answers
- [ ] Open answers
- [ ] Numerical exercises

b) Evaluation pattern

The student must demonstrate an understanding of the relationships between the general issues addressed in the first part of the course (production, pharmacokinetic peculiarities) and the structure of drugs, analyzed in the second part. The examination is conducted through the delivery of a written paper in which the student reports the results of an analysis on a challenge assigned by the lecturer, and through an oral presentation of the study carried out, followed by questions on the presentation and general aspects of the program.
The final grade results from the evaluation of the paper (1 to 5 points) and of the oral interview and will have a rating from 25 (max) to insufficient (min).
The final examination grade is expressed in thirtieths from 18/30 to 30/30 cum laude and takes into account:
- of the evaluation of the report prepared by the student;
- of the presentation on topic assigned by the lecturer;
- the preparation on the general part of the program, and the student's ability to analyze problems and make connections between different parts of the program.