Erasmus+

BLENDED INTENSIVE PROGRAMME

A ROADMAP TO MODERN DRUG DISCOVERY

Organized by Department of Drug and Health Sciences (DSFS)

-University of Catania (Italy)-

Physical Mobility July 7th-11th

Virtual Mobility July 21th-24th

Uni ct DSFS

Dedicated to Dr. Valentina Barbagallo † (1969-2025) for her insight and vision that sparked off the internationalization process of UNICT, her enthusiastic support and helpful advice

uic barcelona

UNIVERSITÉ DE NAMUR

JAGIELLONIAN UNIVERSITY IN KRAKÓW

T.C. İSTANBUL ÜNİVERSİTESİ-CERRAHPAŞA ECZACILIK FAKÜLTESİ

U.PORTO

FACULDADE DE FARMÁCIA UNIVERSIDADE DO PORTO

MANCHESTER 1824

The University of Manchester

EGAS MONIZ SCHOOL of HEALTH & SCIENCE

Responsible Professor

Prof. Salvatore Guccione (Department of Drug and Health Science)

Local Organizers

Prof. Rosario Pignatello (Director, Department of Drug and Health Sciences - DSFS) and DSFS Staff

Prof. Lucia Zappalà, Deputy Rector for International Relations

Prof. Mattia Frasca, Institutional Erasmus Co-Ordinator

Dr. Valentina Barbagallo, Co-Ordinator International Relations Unit († **1969-2025)**

Dr. Eugenia Curione, Staff International Relations Unit

Dr. Alessia Patanè, Staff International Relations Unit

Dr. Marco Insolia, Information Systems Area

Dr. Benedetta Mudicante, consultant (*alumna* of the Department of Drug and Health Sciences)

The BIP ***A Roadmap to modern drug discovery*** is a programme that aims to give participants an in-depth knowledge of drug development, from the starting idea to a registered product. Drug development is a long and complicated process that requires expertise in several different areas.

The programme will provide participants with high-level scientific skills and knowledge covering topics ranging from hit identification and drug formulation to clinical trial design. The programme draws on the broad expertise within the DSFS and will offer to the students an exposure to a range of fields spanning the whole pharmaceutical research, including medicinal chemistry, pharmaceutical technology, pharmacology, biochemistry, bio-informatics, genetics, preclinical research, and medicine commercialization.

The multidisciplinary aspect of the programme means that student will be taught by experts from the DSFS. Modules are designed to be intensive and intellectually-stimulating.

Overall, the BIP ***A Roadmap to modern drug discovery*** offers to the students the opportunity to understand, evaluate and engage with the entire process of drug discovery and development.

The course is a ‘one-stop-shop’ outlining the major scientific tools of drug discovery and how these contributions are phased over time and integrated to generate a drug suitable for clinical trials. Focus is on small molecule drugs with comparison made with biotechnological drugs.

Based on real-world experience and practical realities, attendees travel step-by-step along the typical path. Initiating Idea -> Drug Target Protein Selection -> Lead Identification -> Clinical Candidate -> Drug Delivery.

Without this multi-disciplinary approach, it would not be possible for drugs to make it to market.

PROGRAMME

Monday 7th July 2025

Morning (Aula Magna 'Jannaccone', via Valdisavoia 5, Catania)

8:45 a.m.-9:15 a.m. REGISTRATION&FIRST DAY WELCOME

9:15 a.m.-9:30 a.m. Prof. Rosario Pignatello (Director, Department of Drug and Health Sciences, University of Catania) – *Introduction to the course*.

9:30 a.m.-10:00 a.m. Prof. Lucia Zappalà - Prof. Mattia Frasca

10:00 a.m.-11:30 a.m. Prof. Emanuele Amata (Department of Drug and Health Sciences, University of Catania). -*Drug Synthesis* Part 1 (Theory).

11:30 a.m.-12:00 p.m. Coffee-Break

12:00 p.m.-13:00 p.m. Prof. Emanuele Amata (Department of Drug and Health Sciences, University of Catania) - *Drug Synthesis.* Part 2 (Theory).13:00-14:00 *Lunch Break*

Afternoon (Biotechnology Laboratory, University Campus, v.le Andrea Doria 6, Bldg. 2)

14:30 p.m.-19:30 p.m. Prof. Emanuele Amata (Department of Drug and Health Sciences, University of Catania). *Drug Synthesis.* Part 3 (Laboratory).

Tuesday 8th July 2025

Morning (Classroom 3 and Didactical Biology Laboratory-Department of Biomedical and Biotechnological Sciences- Via Santa Sofia 97, Catania)

9:00 a.m.-10:00 a.m. Prof. Sebastiano Intagliata (Department of Drug and Health Sciences, University of Catania). *Pharmaceutical Biotechnology: Engineering Proteins*. Part 1. (Theory).

10:00 a.m.-11:00 a.m. Prof. Sebastiano Intagliata (Department of Drug and Health Sciences, University of Catania). *Pharmaceutical Biotechnology: Engineering Proteins.* Part 2 (Laboratory)

11:00 a.m. -11:30 a.m. Coffee Break

11:30 a.m.-13:00 p.m. Prof. Sebastiano Intagliata (Department of Drug and Health Sciences, University of Catania). *Pharmaceutical Biotechnology: Engineering Proteins*. Part 2 continued (Laboratory).

13:00 a.m. Lunch Break

Afternoon (Classroom I - University Campus, v.le Andrea Doria 6, Bldg.2)

15:30 p.m.-17:30 p.m. Dr. M. Yunus Bektay (Faculty of Pharmacy, Istanbul University Cerrahpasa, Turkey). *The Pharmacist Role in Clinical Trials: Optimising adherence, safety, and ethics*

17:30 p.m.-18:30 p.m. ***POSTER AND ORAL COMMUNICATIONS (15 minutes).***

17:30 p.m.-17:45 p.m.: Maria Świtalska, Department of Medicinal Chemistry, Faculty of Pharmacy, Jagiellonian University Medical College, Kraków, Poland.
In Silico and In Vitro Evaluation of Potential Dual Inhibitors of CBR1 and AKR1C3 for Improving Anthracycline Chemotherapy.

17:45 p.m.-18:00 p.m.: **Natalia Pyra**, iMed University of Lisbon&Faculty of Pharmacy, Jagiellonian University, Medical College, Kraków, Poland.
Lysozyme Functionalized Alginate-Chitosan Fibers and Beads Advancing Biomedical Applications

18:00 p.m.-18:15 p.m.: **Bartosz Wojdyła**, Department of Medicinal Chemistry, Faculty of Pharmacy, Jagiellonian University,Kraków, Poland.
Protein Degradation via the Endosome-Lysosome Axis: A Roadmap for Future Tissue-Selective Therapeutics.

Certificates relevant to oral or poster presentations can be received upon request by email to salvatore.guccione@unict.it

Wednesday 9th July 2025

Morning (Classroom I - University Campus, v.le Andrea Doria 6, Bldg.2)

9:00 a.m-11:00 a.m. **Prof. Johan Wouters** (Department of Chemistry-University of Namur- Belgium). *Pharmaceutical salts and co-crystals: from crystal engineering to modulated pharmaceutical properties of solids*

11:00 a.m.-11:30 a.m. Coffee Break

11:30 a.m.-12:30 p.m. Dr. Angela Bonaccorso (Department of Drug and Health Sciences, University of Catania). *Design of experiments for pharmaceutical product and process development*

12.30 p.m. Lunch Break

Afternoon (via Valdisavoia 5)

15:00 p.m.-17:30 p.m. **Prof. Claudia Carbone** and **Prof. Teresa Musumeci** (Department of Drug and Health Sciences, University of Catania). *Laboratory activity for the preparation and characterization of nanomedicines*.

Thursday 10th July 2025

Morning (Classroom I - University Campus, v.le Andrea Doria 6, Bldg.2)

9:00 a.m.-10:00 a.m. **Prof. Salvatore Sortino** (Department of Drug and Health Sciences, University of Catania). *Light and Pharmaceutics:opportunities and perspectives.*

10:00 a.m. **-11:00 a.m**. **Dr. Deborah Santonocito** (Department of Drug and Health Sciences, University of Catania). *Ocular Drug Delivery: present innovations and future challenges.*

11:00 a.m.-11:30 a.m. Coffee Break

11:30 a.m.**-12:30 p.m**. **Prof. Teresa Musumeci** (Department of Drug and Health Sciences, University of Catania). *Intranasal delivery of drugs and nanomedicine: what do we know?*

12.30 p.m. Lunch Break

Afternoon (Classroom I - University Campus, v.le Andrea Doria 6, Bldg.2)

15:00 p.m.**-16:00 p.m**. **Prof. Luca Vanella** (Department of Drug and Health Sciences, University of Catania). *Biochemical strategies for translational research* Part 1 (Theory).

16:00 p.m.**-19:00 p.m**. **Prof. Luca Vanella, Dr. Barbara Tomasello** (Department of Drug and Health Sciences, University of Catania). *Biochemical strategies for translational research.* Part 2 (Laboratory)
@ Laboratory of Advanced Biochemistry & Biology.

Friday 11th July 2025

Morning (Classroom I - University Campus, v.le Andrea Doria 6, Bldg.2)

9:30 a.m-10:30 a.m. **Prof. Giuseppe Caruso** (UniCamillus - International University of Health and Medical Sciences, Rome, Italy).
The translational and therapeutic potential of carnosine in Alzheimer's disease: from in vitro to clinical studies.

10:30 a.m. Coffee Break

11:00 a.m.-13:00 p.m. **Prof. Sebastiano Intagliata** (Department of Drug and Health Sciences, University of Catania).
Pharmaceutical Biotechnology: Engineering Proteins (*Results analysis of the laboratory on July, 8th*)

13:00 CLOSING REMARKS & PHOTO

LUNCH

e-LEARNING (JULY 21th-24th 2025)

Monday 21st July 2025

10:00 a.m. -11:00 a.m. Prof. Maria Emilia Sousa (Organic and Pharmaceutical Chemistry Laboratory - Department of Chemical Sciences - Faculty of Pharmacy-University of Porto **& CIIMAR**).
Design of Natural Products Mimics for Safe and Sustainable Drugs.

11:00 a.m. -12:00 p.m. Dr. Roy Chun-Laam Ng (Division of Neuroscience, Faculty of Biology, Medicine and Health, The University of Manchester, U.K.).
Pharmacological inhibition of NLRP3 inflammasome pathway for treating neuroinflammatory diseases.

Tuesday 22nd July 2025

10:00 a.m.-11:00 a.m. Prof. Rosalia Rodriguez (Department of Biomedical Sciences, Faculty of Medicine and Health Sciences -Universitat Internacional de Catalunya (UIC Barcelona).
Nanomedicines for Obesity Treatment: From Hypothalamic Regulation to Brain-Targeted Therapy.

11:00 a.m.-12:00 p.m. Prof. Lucia Montenegro (Department of Drug and Health Sciences, University of Catania).
Lipid nanoparticles: a versatile delivery system for pharmaceutical and cosmetic applications.

16:00 p.m.-17:00 p.m. Dr. Filomena Perri, Principal Scientist BIOSOLVEIT (Germany)
Redefining Early Drug Discovery Through Chemical Space Exploration

Wednesday 23th July 2025

9:00 a.m.-10:00 a.m. Prof. Agata Copani (Department of Drug and Health Sciences, University of Catania).
DNA polymerase-beta: example of target identification and drug discovery in Alzheimer's disease.

10:00 a.m. -11:00 a.m. Prof. Santina Chiechio (Department of Drug and Health Sciences, University of Catania)
Preclinical Strategies for Neuropathic Pain: Addressing Comorbidities and Emerging Treatments.

11:00 a.m.-12:00 p.m. Dr. Manel López Senior Principal Scientist Drug Discovery (PHARMACELERA)
Exploring Computational Chemistry in Drug Discovery: From Ideas to Real Medicines.

4:30 p.m.-5:30 p.m. Dr. Thomas Leary Senior Application Specialist (DNASTAR Inc.)
Identifying Tumor-Normal mutations using advanced filtration logic in a cohort of Smoker with Lung Squamous Cell Carcinoma.

Wednesday 24th July 2025

9:00 a.m.-13:00 p.m. Dr. Andrew Orry (MolSoft LLC**,** San Diego, CA 92121, USA**); Dr. Nir Shahaf, Dr.Matteo Pappalardo, Prof. Salvatore Guccione** (Department of Drug and Health Sciences, University of Catania).
Chemoinformatics workflows using ICM

Hotels

https://hotelborgoverde.ithotel.site/en/ (CODE FOR SPECIAL PRICE TO BE INCLUDED **IN THE SUBJECT OF THE EMAIL**: ***RESERVATION BIP-DRUG DISCOVERY***).

https://beb.it/lapietranera/en/ (CODE FOR SPECIAL PRICE TO BE INCLUDED **IN THE SUBJECT OF THE EMAIL**: ***RESERVATION BIP-DRUG DISCOVERY***). Telephone: +39 350 1341177, E-mail: lapietranera.etnahome@gmail.com

How to get: FROM THE AIRPORT TO THE RAILWAY STATION BY ALIBUS (outside of the Arrival level). AFTERWARDS TAKE THE METRO TO CIBALI or NESIMA STATION. Both the metro stations are at walking distance (about 200 meters).

Contact

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HOW TO GET…..

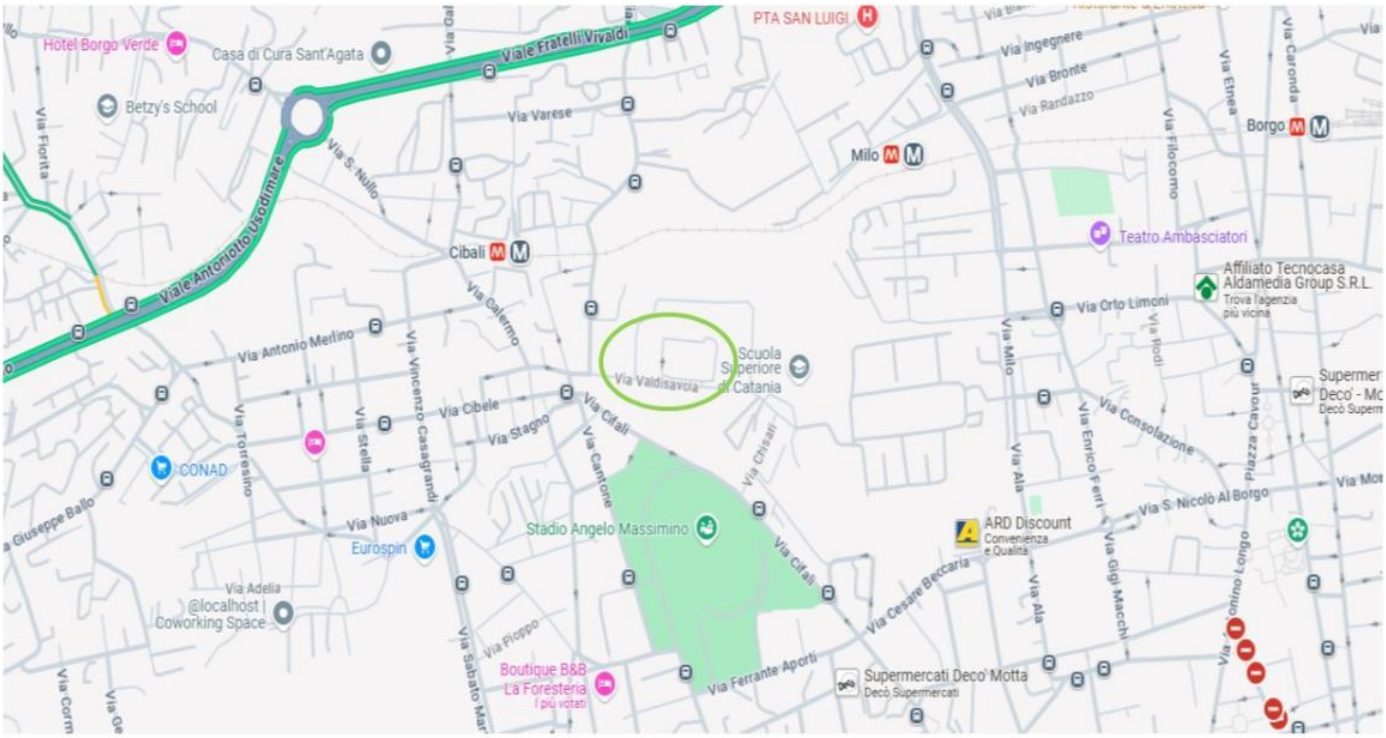
INCLUDING COFFEE-BREAKS AND MEALS

JULY 7th 2025 morning and July 9th 2025 afternoon: DEPARTMENT OF DRUG AND HEALTH SCIENCES VIA VALDISAVOIA, 5 – I-95123Catania.

<u>BY AMTS BUS LINE No. 702 OR METRO (CIBALI STATION).</u>

GPS: N 37° 31' 5.178" / E 15° 4' 15.585

Telephone +39 095 4783333

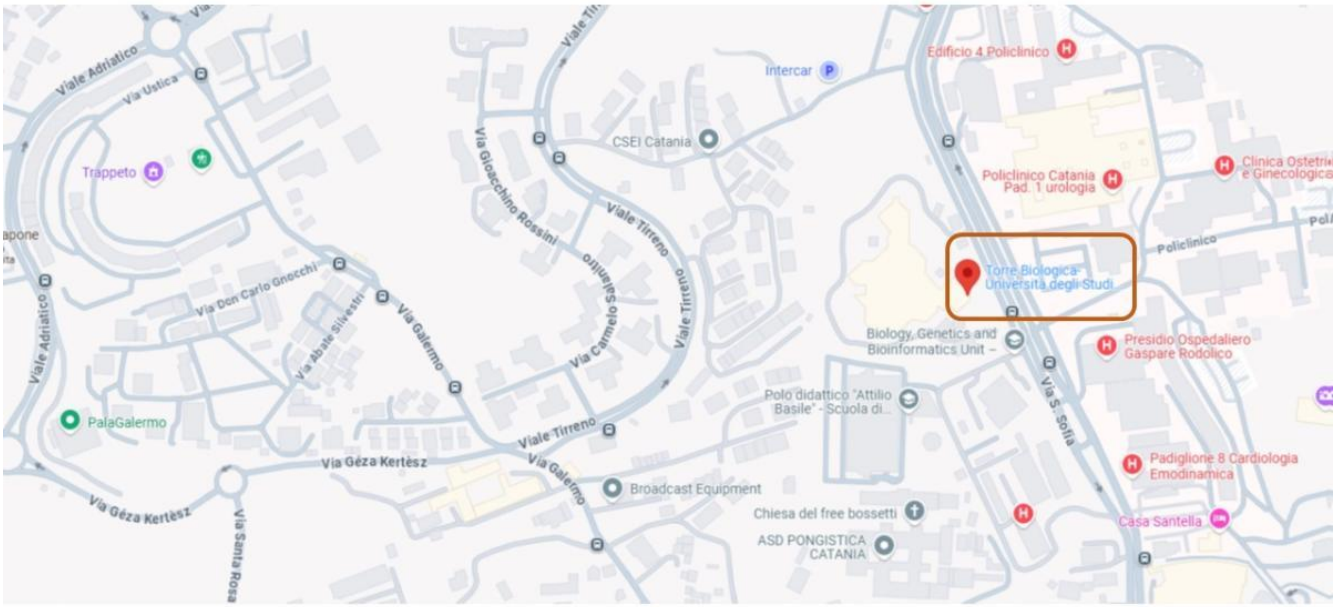


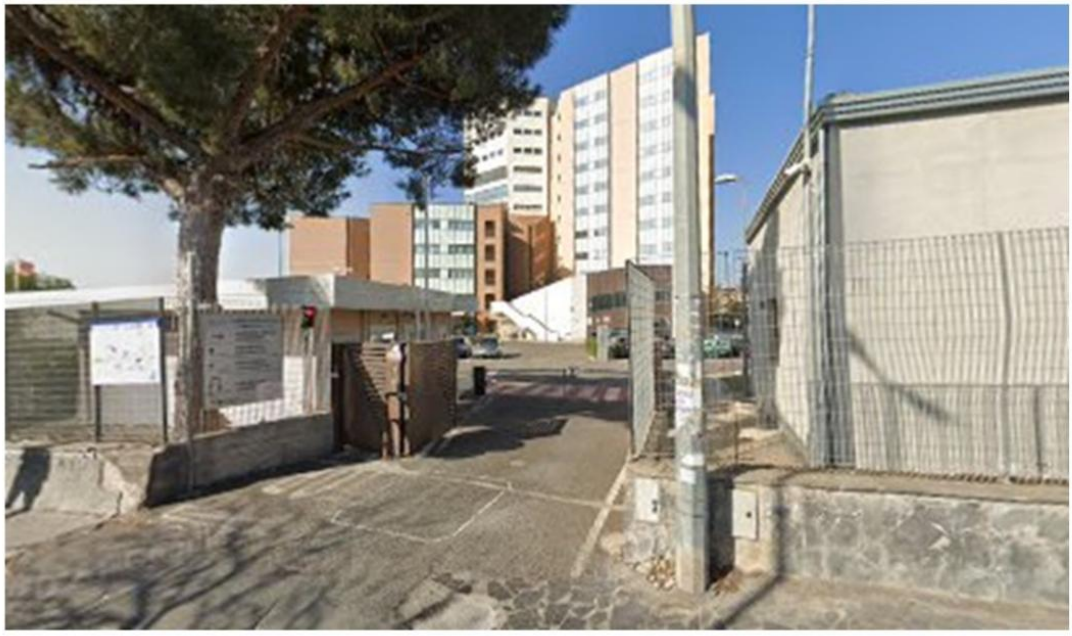
July 8th 2025 morning: BIOLOGICAL TOWER VIA SANTA SOFIA 97,

I-95123 CATANIA (no GPS coordinates available; <u>approximately</u>: GPS: 37°31'44.1"N 15°04'07.4"E. (See Photo).

<u>BY AMTS BUS LINE 'BRT 1' (STOP @ POLICLINICO - TORRE BIOLOGICA)</u>

Telephone +39 095 4781125



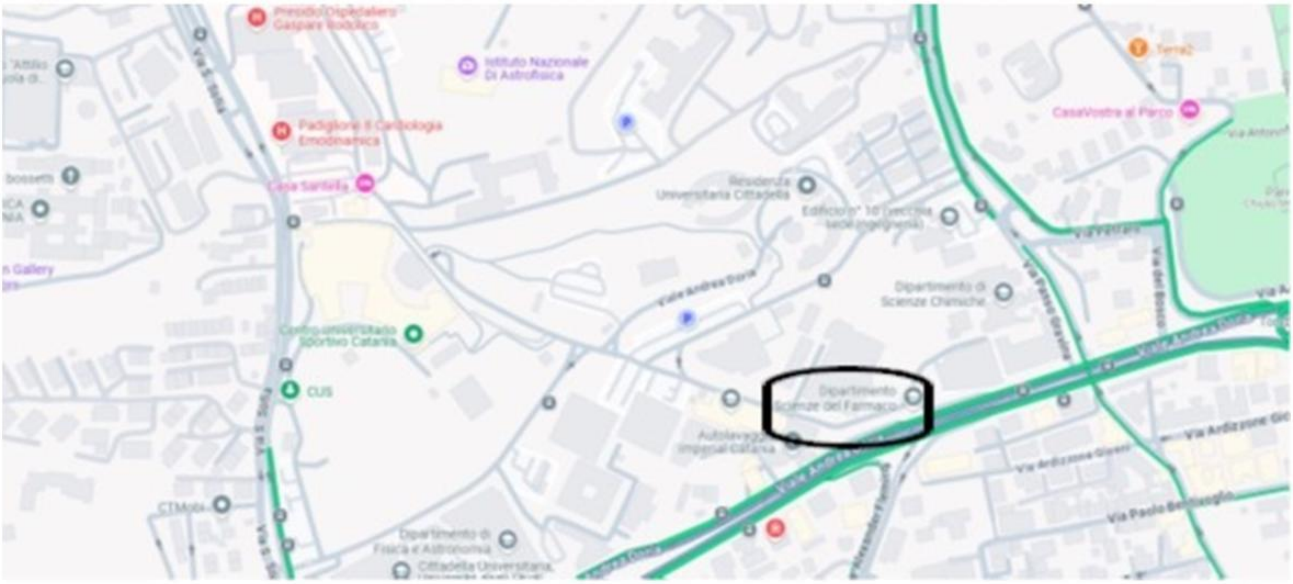


JULY 8th 2025 afternoon; July 9th 2025-July 11th 2025: DEPARTMENT OF DRUG AND HEALTH SCIENCES VIALE ANDREA DORIA 6 Bldg. 2, I-95125 Catania.

GPS: 37°31'36.5"N 15°04'28.8"E

BY AMTS BUS LINE 'BRT 1' (STOP @ VIALE ANDREA DORIA)

Telephone. +39 095 738-4022



CAFFETTERIA DELL' UNIVERSITA'

(20 meters on the right from the Department of Drug and Health Sciences (viale Andrea Doria site) I-95125 Catania

***COFFEE BREAKS AND LUNCHES* (JULY 9th 2025-JULY 11th 2025)**

ABSTRACTS

Prof. Emanuele Amata (Department of Drug and Health Sciences, University of Catania, Italy).

Drug Synthesis

Course Drug Synthesis

The course explores synthetic medicinal chemistry key concepts and challenges with a focus on drug retrosynthesis, and seeks to build students' skills in drug and drug-like molecules preparation, as well as to deepen their understanding of chemical space and the factors influencing synthetic accessibility. Core topics include the fundamentals of drug synthesis and retrosynthetic strategies, multistep chemical synthesis and the evaluation of molecular complexity, taking into account parameters such as molecular size, elemental and functional-group content, cyclic connectivity, chemical reactivity, and structural instability. Mastery of these elements supports the development of a solid and integrated understanding of the multidisciplinary processes that govern the synthesis of therapeutic agents.

Through a combination of lectures, case-based learning, and laboratory experiences, the course aims to gradually build the skills and knowledge necessary to design and execute synthetic pathways that are relevant both for currently marketed drugs and for the development of future marketable compounds.

Prof. Sebastiano Intagliata (Department of Drug and Health Sciences, University of Catania, Italy).

Pharmaceutical Biotechnology: Engineering Proteins

This lecture aims to provide an overview of the recombinant DNA (rDNA) technology for biopharmaceutical production with a hands-on section regarding bacterial transformation and gene expression regulation. Particularly, students will perform a procedure known as a genetic transformation on non-pathogenic organisms like the E. coli K–12 strain by using pGLO plasmid containing the gene for Green Fluorescent Protein, a protein produced by the jellyfish Aequorea victoria that emits bioluminescence in the green zone of the visible spectrum. Accordingly, students will observe the process in real time with a long-wave UV lamp and learn the mechanisms of gene regulation and genetic selection. Structural bioinformatics will be involved in analyzing protein structures and their relationships to biological function through PyMOL molecular graphics software. By the end of the class, the student will be able to perform basic approaches for the manipulation of gene expression to produce recombinant protein and analysis of macromolecule structure.

Dr. M. Yunus Bektay (Faculty of Pharmacy, Istanbul University Cerrahpasa, Turkey).

The Pharmacist Role in Clinical Trials: Optimising adherence, safety, and ethics

Pharmacists play a vital and increasingly recognised role in the design, conduct, and evaluation of clinical trials. This lecture will explore the multifaceted contributions of pharmacists across four core domains: (1) ensuring protocol adherence through medication counselling and patient engagement; (2) monitoring and managing adverse drug reactions to enhance safety outcomes; (3) supporting ethical trial conduct, particularly in vulnerable populations; and (4) contributing to trial design and data integrity. Drawing on recent examples from clinical trials, the session will highlight how pharmacists serve as essential intermediaries between trial sponsors, healthcare teams, and participants. Practical strategies for integrating pharmacists more systematically into trial workflows will also be discussed. The lecture aims to stimulate discussion on how pharmacy professionals can further contribute to clinical research in both academic and regulatory contexts, particularly in light of evolving ethical standards and technological advancements. Participants will be encouraged to reflect on how these insights might apply in their own institutional or national settings, fostering international collaboration in pharmacist-led research. Ultimately, this session seeks to promote the pharmacist's role not only as a medication expert, but also as a guardian of patient safety, data quality, and ethical responsibility throughout the clinical trial lifecycle.

Prof. Johan Wouters (Department of Chemistry, University of Namur, Belgium).

Pharmaceutical salts and co-crystals: from crystal engineering to modulated pharmaceutical properties of solids

The solid-state properties of pharmaceutical compounds are critically important, influencing everything from drug stability and solubility to bioavailability and manufacturing efficiency. This lesson will highlight the significant roles of crystal engineering and crystallography in understanding, predicting, and manipulating these properties.

Crystallography, particularly X-ray diffraction, provides atomic-level insights into the molecular packing arrangements within a crystal lattice. This fundamental information is crucial for identifying different polymorphic forms, solvates, salts and co-crystals of an active pharmaceutical ingredient (API). Each of these solid forms can exhibit distinct physical and chemical properties, including melting point, dissolution rate, hygroscopicity, and mechanical behavior.

Crystal engineering, on the other hand, is the deliberate design and synthesis of crystalline materials with desired properties through the understanding and control of intermolecular interactions, primarily hydrogen bonding and π–π stacking. By applying crystal engineering principles, researchers can strategically modify the solid form of a pharmaceutical compound to enhance its therapeutic efficacy or overcome formulation challenges. This includes developing novel co-crystals to improve solubility and bioavailability, designing stable anhydrous forms to prevent hydration issues, or creating salts with optimized dissolution profiles.

Together, crystallography and crystal engineering offer powerful tools for pharmaceutical scientists. They enable a rational approach to drug development, moving beyond trial-and-error methods. By leveraging these disciplines, it is possible to optimize the solid-state properties of pharmaceutical compounds, leading to improved drug performance, enhanced patient outcomes, and more robust manufacturing processes.

Dr. Angela Bonaccorso (Department of Drug and Health Sciences, University of Catania, Italy).
Design of experiments for pharmaceutical product and process development

Quality by Design (QbD) is a systematic approach to pharmaceutical drug development that emphasizes the integration of quality into products from the earliest stages. Supported by regulatory agencies such as the FDA and EMA, QbD asserts that product quality should not be tested into the final product but rather built into it through a thorough understanding of both the formulation and manufacturing processes to achieve predefined quality attributes [1].

The complexity of pharmaceutical product design, driven by numerous input variables, necessitates the identification and control of Critical Material Attributes (CMAs) and Critical Process Parameters (CPPs) to ensure product final quality. Within this framework, the Design of Experiments (DoE) methodology serves as a robust statistical tool for optimizing formulations by evaluating multiple variables and their interactions simultaneously. This approach not only reduces experimental workload but also enhances batch-to-batch consistency, supporting scalable and reproducible manufacturing. Examples of both conventional and innovative formulations designed and optimized via QbD principles will be given underscoring the method's effectiveness in establishing robust, quality-driven pharmaceutical processes.

[1] A. Bonaccorso, G. Russo, F. Pappalardo, C. Carbone, G. Puglisi, R. Pignatello, T. Musumeci.
Quality by design tools reducing the gap from bench to bedside for nanomedicine. Eur J Pharm Biopharm. 2021, 169:144-155.

Prof. Claudia Carbone and **Prof. Teresa Musumeci** (Department of Drug and Health Sciences, University of Catania, Italy).
Laboratory activity for the preparation and characterization of nanomedicines.

Nanotechnology plays a critical role in various fields of life, offering transformative approaches to solving complex problems. At the core of this innovation there is nanomedicine, an interesting field that influences the properties of the encapsulated active molecules to revolutionize pharmaceutical delivery and clinical practices. Nanomedicine focuses on using nanoparticles as cargo to create highly effective drug delivery systems, marking a significant advancement in treating various diseases. For this approach several nanomaterials, already commercially accessible as pharmaceutical delivery agents, have shown substantial efficacy in clinical studies. Recent developments in nanomedicine have led to significant innovations, including nanomedicines based on natural products, carbon dots (CDs), dendrimers, liposomes, micelles, and lipid, polymeric or inorganic nanoparticles. Each of these advancements brings unique properties that enhance drug delivery, targeting, and overall therapeutic efficacy. The activity of the laboratory is focused on the preparation and characterization of lipid nanoparticles as potential tool to delivery natural compounds.

Prof. Salvatore Sortino (Department of Drug and Health Sciences, University of Catania, Italy).
Light and Pharmaceutics: opportunities and perspectives.

The alarmingly low turnover of new clinically approved chemotherapeutics and antibiotics and the Multi Drug Resistance phenomena emerging for drugs currently used, call for an urgent shift of attention to unconventional and underexplored therapeutic modalities to tackle cancer diseases. In this frame, photopharmacology is an emerging specialty that promises to revolutionize the therapeutic approach to cancer and infectious diseases, allowing more precise and safer therapies for patients. In this approach, light-activatable therapeutics remain inactive and nontoxic once introduced into the human body and only when activated by local irradiation produce tumor-killing species in the diseased area.

The generation of reactive oxygen species (ROS), reactive nitrogen species (RNS) and heat (D) as unconventional therapeutic agents has gained an increasing interest in the last years, opening new horizons for the development of innovative therapeutic treatments. In our laboratories, we have been working on the design and fabrication of a number of molecular systems and nanomaterials able to release individually or simultaneously different unconventional therapeutics for potential applications in cancer and bacterial infections. This contribution illustrates some of the most recent examples at this regard, highlighting the rationale design and the potential relevance in biomedical research.

Dr. Deborah Santonocito (Department of Drug and Health Sciences, University of Catania, Italy).
Ocular Drug Delivery: present innovations and future challenges

Ophthalmic drug delivery, especially to the posterior eye segment, is still a great challenge. Ocular bioavailability of drugs which are administered by conventional solutions and suspensions is affected by a series of pre-corneal drug removal mechanisms which drastically reduce the amount of trans-corneal drug absorption. Other unfavorable characteristics affecting the ocular delivery of drugs through the topical route are represented by the physiological barriers that limit drug absorption in the back of the eye. Therefore, frequent instillation of eye drops is necessary to obtain the expected therapeutic effect, although discomfort and a decrease in patient compliance are often observed, especially in chronic therapy. Nowadays, there is an increasing need to find a therapy for retinal diseases, such as diabetic retinopathy, age-related macular degeneration and optic neuropathy. Recently, the development of nanocarriers has made possible to prolong corneal residence time and improve the local bioavailability of ophthalmic drugs. These systems possess important advantages for ocular application, such as controlled drug release, high drug loading, good bioavailability and excellent tolerability. In particular, they are able to improve the interaction with the ocular mucosa, thus producing an increase in the ocular bioavailability.

Prof. Teresa Musumeci (Department of Drug and Health Sciences, University of Catania, Italy).
Intranasal delivery of drugs and nanomedicine: what do we know?

The Nasal Drug Delivery System (NDDS) is a method designed to delivery drugs directly to the nasal mucosa and its submucosal tissues through intranasal administration, facilitating drug absorption and distribution. As an emerging mode of drug delivery, it has the advantages of rapid absorption, avoidance of first-pass effect, reduction of gastrointestinal side effects and systemic side effects, improved bioavailability and patient compliance, providing an effective alternative route for drug therapy. In recent years, the NDDS has demonstrated significant advantages in the treatment of local, systemic, and CNS diseases, positioning itself as a versatile drug delivery approach for treating a variety of diseases. The nose-to-brain delivery routes via the olfactory and trigeminal nerve pathways is known to bypass the blood–brain barrier (BBB), enabling direct drug delivery to the brain for the treatment of central nervous system (CNS) diseases. Intranasal delivery and nanomedicines are a great combination to overcome some limits associated with route of administration. Nanomedicines, small vessels ranging from 1 to 100 nm, have proven to enhance the therapeutic index of drugs by improving the drug's physicochemical properties (stability, solubility, and bioavailability, while minimizing toxicity and undesirable side effects), moreover can be engineered to effectively carry the treatment to the targeted cells or tissues, revolutionizing drug delivery.

Prof. Luca Vanella, Dr. Barbara Tomasello (Department of Drug and Health Sciences, University of Catania, Italy).
Biochemical strategies for translational research.

The laboratory activity focused on "Biochemical strategies for translational research" provides an in-depth overview of selected biochemical approaches central to translational research, with an emphasis on redox biology and cellular response mechanisms. Participants will be introduced to the analysis of antioxidant activity of natural extracts through both cell-free and cellular models. In particular, the DPPH (2,2-diphenyl-1-picrylhydrazyl) assay will be presented as a widely used spectrophotometric method to evaluate radical scavenging capacity, based on the reduction of the stable DPPH radical, which results in a measurable color change.
Complementary in vitro studies will include the assessment of oxidative stress modulation in cultured cell lines via cytofluorimetric analysis. In addition, participants will examine various cell lines under standard culture conditions, and evaluate cell viability through the Trypan Blue exclusion method, based on the principle that intact, viable cells exclude the dye, while compromised cells take it up.
Overall, the experience aims to familiarize students with core experimental techniques used to explore biomolecular responses and therapeutic potential in translational settings.

Prof. Giuseppe Caruso (International University of Health and Medical Sciences, Rome, Italy)
The translational and therapeutic potential of carnosine in Alzheimer's disease: from in vitro to clinical studies.

Carnosine, an endogenous dipeptide composed of β-alanine and L-histidine, is synthesized by carnosine synthase and predominantly found in high-oxidative tissues such as muscles and the brain, while its hydrolysis is mediated by serum (CNDP1) and cytosolic (CNDP2) carnosinases. Carnosine carnosine has been shown to exert a multimodal mechanism of action including inhibition of protein cross-linking and Aβ aggregation, free radicals' detoxification, and anti-inflammatory activity. It could thus be a key molecule for the treatment of neurodegenerative diseases such as Alzheimer's disease (AD). In parallel to this, deficiencies in carnosine metabolism have been linked to significant pathological conditions such as carnosinemia, an autosomal recessive disorder associated with severe neurological impairments. Moreover, reduced plasma carnosine levels have been linked to cognitive decline in AD and age-related macular degeneration (AMD). Despite a plethora of evidence supporting carnosine's therapeutic potential, its multimodal mechanism of action still needs to be fully elucidated, limiting its clinical application. The main aim of the present lecture is to provide insights into the role of glial-mediated oxidative stress and inflammation in AD, the development of in vitro and in vivo models for drug discovery in AD, the possible chemical modification of carnosine or its vehiculation into innovative drug delivery systems, and the translational and therapeutic potential of carnosine in AD.

VIRTUAL MOBILITY

Prof. Maria Emilia Sousa (Organic and Pharmaceutical Chemistry Laboratory -Department of Chemical Sciences-Faculty of Pharmacy-University of Porto **& CIIMAR**, Portugal).
Design of Natural Products Mimics for Safe and Sustainable Drugs

One Health is an integrated, unifying approach that aims to sustainably balance and optimize the health of people, animals, and ecosystems. Recognizing the importance of the environmental impact of bioactive compounds with pharmaceutical and industrial applications and the interactions between animals, pathogens and/or humans, the "safe and sustainable by design" (SSbD) approach is being integrated in the synthesis of new bioactive compounds. An unmet medical need should prioritize drug resistance that has reached critical levels, posing a public health challenge with extensive health, economic, and societal implications.

Working at the frontier of ocean knowledge and protection, at LQOF/CIIMAR, natural products (NPs) represent an opportunity to apply the SSbD concept, in a holistic approach. In this communication, design, synthesis, and biological considerations to minimize the environmental footprint of new antimicrobial small molecules will be given. To disclose their antimicrobial effects, in silico and HTS screening approaches were employed. An example of an integrative approach concerns the discovery of efflux pump inhibitors as antimicrobial adjuvants. The case studies presented herein are expected to increase the perception of the SSbD framework in the discovery of natural products mimics with pharmaceutical applications.

Dr. Roy Chun-Laam Ng (Division of Neuroscience, Faculty of Biology, Medicine and Health, The University of Manchester, U.K.).

Pharmacological inhibition of NLRP3 inflammasome pathway for treating neuroinflammatory diseases

This lecture will focus on the pharmacological inhibition of the NLRP3 inflammasome pathway as an emerging therapeutic strategy for Alzheimer's disease and ischemic stroke. The NLRP3 inflammasome is a central mediator of neuroinflammation, and its dysregulation contributes to neuronal damage and cognitive decline. We will review the mechanistic basis of NLRP3 activation in neurodegeneration and discuss recent advances in identifying effective inhibitors. In addition to the selective NLRP3 inhibitor MCC950, special emphasis will be placed on the development of non-steroidal anti-inflammatory drug (NSAID) derivatives through chemical and pharmacological screening. These efforts aim to identify compounds that retain anti-inflammatory efficacy through NLRP3 inhibition without targeting cyclooxygenase (COX) enzymes, thus reducing typical NSAID-related side effects. The lecture will also highlight new small-molecule candidates and screening approaches, offering insight into the translational potential of these compounds in modulating neuroinflammation for therapeutic benefit in CNS disorders.

Prof. Rosalia Rodriguez (Department of Biomedical Sciences, Faculty of Medicine and Health Sciences - Universitat Internacional de Catalunya, Barcelona (SPAIN).
Nanomedicines for Obesity Treatment: From Hypothalamic Regulation to Brain-Targeted Therapy

Obesity is a multifactorial and chronic disease that involves dysregulation of appetite and energy homeostasis, with the hypothalamus playing a central role in these processes. Despite the availability of pharmacological treatments, current therapies often suffer from limited efficacy and significant systemic side effects, largely due to challenges in delivering active compounds to the central nervous system. Nanomedicine offers a promising approach to overcome these limitations by enabling the targeted delivery of drugs directly to specific brain regions, such as the hypothalamus. This session will explore recent advances in the design and development of brain-targeted nanomedicines for the treatment of obesity, with a focus on overcoming the blood-brain barrier, enhancing drug bioavailability, and achieving site-specific pharmacological action. The session will also discuss key considerations in preclinical development, formulation strategies, and the broader implications of nanotechnology in modern drug discovery.

Prof. Lucia Montenegro (Department of Drug and Health Sciences, University of Catania, Italy).
Lipid nanoparticles: a versatile delivery system for pharmaceutical and cosmetic applications.

The therapeutic application of new drug candidates is often impaired by their unfavorable physico-chemical properties,such as low water solubility, poor stability and unsuitable partition coefficient. Drug incorporation into suitable nanocarriers has been investigated as a promising strategy to improve drug bioavailability by increasing solubility and stability, protecting from degradation, and allowing targeted and controlled release. Among different types of nanocarriers, lipid nanoparticles show many advantages including good biocompatibility, biodegradability, improved drug solubility and stability, ease of scale-up and suitability for different administration routes. Lipid nanoparticles consist of a lipid core surrounded by a layer of surfactant, which stabilizes the particles in aqueous media. Their composition makes lipid nanoparticles suitable for both pharmaceutical and cosmetic uses. The ability of lipid nanoparticlesto act as delivery systemsto achieve drug targeting and controlled release has been widely investigated to improve the therapeutic efficacy of various drugs and will be reviewed along with explanatory examples. Cosmetic applications of lipid nanoparticles include their use as physical sunscreens due to their ability to scatter UV light, their incorporation into moisturizing products because of their occlusive properties that prevent water loss from the skin surface and their skin penetration enhancing effect of the entrapped active ingredients.

Dr. Filomena Perri, Principal Scientist (BIOSOLVEIT GmbH, Germany).
Redefining Early Drug Discovery Through Chemical Space Exploration

Combinatorial Chemical Space exploration is reshaping how we approach early-stage drug discovery. Rather than relying on static enumerated libraries, we can now navigate vast, synthesis-aware Chemical Spaces built from real-world building blocks and reaction rules. This strategy enables the design and retrieval of billions of practically synthesizable compounds — significantly broadening the scope of in silico drug design.

As a cutting-edge development in this field, Chemical Space Docking™ introduces a novel way to explore these enormous spaces in 3D — assembling drug-like molecules directly within the binding site using defined chemistry and structure-based guidance.

By integrating medicinal chemistry logic, nuanced similarity models, and synthetic tractability, these approaches open entirely new paths for scaffold discovery, hit expansion, and fragment evolution. The session will provide a conceptual framework, real-case examples, and a look into how modern computational tools like those from BioSolveIT are redefining the boundaries of drug design.

Prof. Agata Copani (Department of Drug and Health Sciences, University of Catania, Italy).
DNA polymerase-beta: example of target identification and drug discovery in Alzheimer's disease

In Alzheimer's disease (AD), the buildup of amyloid-beta (Aβ) leads to neuronal death, partly because it forces neurons to re-enter the cell cycle and replicate DNA abnormally. An enzyme called DNA polymerase-beta (DNA pol-β), which normally repairs DNA, appears to contribute to neuronal damage in this context.

The gene that encodes DNA pol-β can produce different variants, including one called Ex11Δ, which acts as a "natural brake" on the original enzyme's activity.

We have found that in healthy individuals, Ex11Δ levels increase with age, as if the body is trying to defend itself against neuronal aging. In AD patients, however, this increase is missing, leaving neurons more vulnerable. In lab experiments, when neurons exposed to Aβ were given Ex11Δ, cell death decreased, confirming its potential protective effect.

Beyond Ex11Δ, we identified a natural small molecule (5-methoxyflavone) that inhibits DNA pol-β activity. This opens the door to potential drugs that mimic Ex11Δ protective effect.

Identifying this unique neuronal death mechanism in AD is crucial because lets us move from generic neuroprotection to precision therapeutics tailored to the disease molecular signature.

Prof. Santina Chiechio (Department of Drug and Health Sciences, University of Catania, Italy)
Preclinical Strategies for Neuropathic Pain: Addressing Comorbidities and Emerging Treatments

Neuropathic pain is a complex, chronic condition often accompanied by comorbidities such as mood disorders. Among others diabetes mellitus is a leading cause of peripheral neuropathy, where metabolic and inflammatory changes contribute to nerve damage and pain chronification.

Preclinical models have advanced our understanding of the underlying mechanisms of neuropathic pain, highlighting how the simultaneous targeting of pain and its comorbidities may enhance the overall effectiveness of therapeutic strategies.

Dr. Manel López PhD, **Senior Principal Scientist Drug Discovery** (PHARMACELERA, SPAIN)
Exploring Computational Chemistry in Drug Discovery: From Ideas to Real Medicines

Computational chemistry is an essential part of modern drug discovery, helping scientists in the pharmaceutical industry find new medicines more quickly and effectively. It works alongside other fields like biology and medicinal chemistry in a collaborative environment, where experts use computer-based tools to model potential drug molecules, predict their properties, and simulate how they might interact with disease-related targets. This approach helps save time, reduce costs, and improve the accuracy of early-stage drug research. In this seminar, we'll introduce the main strategies used at the start of a drug discovery project—when there is often little information available, and creativity and collaboration are key. You'll learn how different scientific disciplines come together to build hypotheses that guide research toward finding promising drug candidates. The goal is to give you a clear and practical overview of the drug discovery process, from choosing a biological target to identifying a molecule that could become a new medicine. Along the way, we'll look at real case studies to show how these methods are applied in real-world research and what role computational chemistry plays in solving complex problems. Whether you're new to the field or considering a career in pharmaceutical science, this seminar will offer valuable insights into how cutting-edge science helps bring new medicines to life

Dr. Thomas Leary Senior Application Specialist (DNASTAR Inc., USA)
Identifying Tumor-Normal mutations using advanced filtration logic in a cohort of Smoker with Lung Squamous Cell Carcinoma.

Summary: We have reproduced a study "Whole Exome Sequencing Identifies Frequent Somatic Mutations in Cell-Cell Adhesion Genes in Chinese Patients with Lung Squamous Cell Carcinoma" to find additional candidates for Genes that have undergone somatic mutation based on a cohort of smokers undergone in a study in China and confirm results from the original study. Significant improvement to Tumor-Normal sample analysis where found using Lasergene 18.1 (prerelease) SeqManNGen Tumor – Normal pairwise filtration workflow. Multi-sample Tumor-Normal pairwise sample analysis is vastly improved from our previous version due GenVision Pro's Mutect2 filter interface. Mutect2 filters have been integrated tightly into the GenVison Pro snp filter tool aiding in quick identification of Mutect2 called "PASS" and "Weak Evidence" snps.

With SeqManNGEN read alignment, filtering and layout capabilities pipelined to the GATK (McKenna et al., 2010) Mutect2 caller (using pairwise Tumor normal mode) we were able to reduce 180,000 candidate snps to ~380 snps identified using the Mutect2 Filter caller method in one such sample case. SeqManNGEN's advanced mapping and snp decoration method where utilized to improve the Mutect2 output and help to add Clinvars, 1000Genomes and Gnomad Allele frequencies, and Synonymous and Non-Synonymous calls. Also, amino acid translations for snps found in coding regions were calculated and helped to augment the Mutect2 callers vcf output with amino acid change information. This allows us to focus on snps that are identified as having a low population allele frequence, are Non-Synonymous (causing a Amino acid change) and have a "PASS " or "Weak Evidence" from the Mutect2 Tumor Normal caller filter method. Additionally, GenVision Pro was used to display paired bam alignment tracks of the reads and snps block tracks. Using advanced Tumor – Normal snp calling filters helped us to elucidate the candidate genes that contain Mutect2 Filter "Pass" and "Weak Evidence "snps.

Chromosomes used: All need to be used. They are distributed across all chromosomes Distribution of cancer genes in human chromosomes Bahar Laderian 1 , Mengxi Zhou 2 , Tito Fojo 3 Citations: Li, C., Gao, Z., Li, F. et al. Whole Exome Sequencing Identifies Frequent Somatic Mutations in Cell-Cell Adhesion Genes in Chinese Patients with Lung Squamous Cell Carcinoma. Sci Rep 5, 14237 (2015). https://doi.org/10.1038/srep14237

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Dr. Andrew Orry (MolSoft LLC, San Diego, CA 92121, USA**); Dr. Nir Shahaf, Dr. Matteo Pappalardo, Prof. Salvatore Guccione** (Department of Drug and Health Sciences, University of Catania, Italy).

Chemoinformatics workflows using ICM

The MolSoft ICM-Pro is a commercial software suit which empowers biologists and medicinal chemists to perform a wide range of analyses - from macro-molecule structural analysis and modeling to fully-flexible ligand docking. In addition it contains a collection of powerful chemical informatics tools which will be reviewed in this webinar session. Topics include: structural alignment, chemical search and clustering, 2D and 3D pharmacophore search and physio-chemical property calculations. Within time limitations, we will also explore the concepts of detecting activity cliffs, defining atomic property fields for molecular screening and structural activity relation (SAR) analysis using R-Group decomposition.

POSTER AND ORAL COMMUNICATIOS

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In Silico and In Vitro Evaluation of Potential Dual Inhibitors of CBR1 and AKR1C3 for Improving Anthracycline Chemotherapy
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Anthracycline antibiotics, such as daunorubicin and doxorubicin, are among the most effective chemotherapeutic agents for treating various cancers. However, their clinical utility is significantly limited due to drug resistance and cardiotoxicity. One proposed mechanism suggests that these issues arise from metabolites formed by enzymatic reduction, primarily mediated by carbonyl reductase 1 (CBR1) and aldo-keto reductase 1C3 (AKR1C3). Inhibiting these enzymes offers a promising strategy to enhance anthracycline efficacy while mitigating adverse effects. Aim of the Study: This study aims to identify potential CBR1 and AKR1C3 inhibitors through both in silico and in vitro approaches, advancing the understanding of the structure-activity relationship (SAR). By investigating their interactions, we seek to discover compounds that could serve as adjunct therapies to anthracycline-based chemotherapy. Materials and Methods: A virtual screening approach, based on the biological target structure, was employed to analyze commercially available compound libraries for the identification of potential CBR1 inhibitors. Selected compounds were subjected to physicochemical property analysis and molecular docking studies to predict their binding affinities. Further investigation included molecular dynamics (MD) simulations to examine ligand stability, protein-ligand interactions, and the potential for dual inhibition of both CBR1 and AKR1C3. Compounds were then tested in enzymatic inhibition assays to confirm their activity against the target enzymes and to support SAR analysis. Finally, the ability of selected compounds to sensitize cancer cells to daunorubicin was assessed via MTT cell viability assays. Results: Virtual screening identified eight promising inhibitors, which were subsequently evaluated through MD simulations. These simulations revealed variations in ligand stability, with several compounds demonstrating strong and persistent interactions within the enzyme binding sites. Notably, some compounds exhibited selectivity toward either CBR1 or AKR1C3, while others showed potential for dual inhibition. Enzymatic assays confirmed the inhibitory activity of three compounds, supporting the predicted binding profiles and providing insights into SAR. Furthermore, MTT assays revealed that one of these compounds not only selectively inhibited CBR1, but also sensitized cancer cells to daunorubicin. Conclusions: This study contributes to a better understanding of ligand interactions with CBR1 and AKR1C3 enzymes. The findings provide a foundation for further structural optimization and preclinical evaluation, with the ultimate goal of identifying a hit compound for the future development of CBR1/AKR1C3 inhibitors aimed at improving the efficacy of anthracycline-based therapies. Acknowledgments: The authors would like to express their sincere gratitude to Dr. Kamil Piska and Prof. Paulina Koczurkiewicz-Adamczyk from the Department of Pharmaceutical Biochemistry, Faculty of Pharmacy, Jagiellonian University Medical College, for supporting the research and conducting the in vitro studies. References: Jamrozik, M., Piska, K., Bucki, A., Koczurkiewicz-Adamczyk, P., Sapa, M., Władyka, B., Pękala, E., & Kołaczkowski, M. (2023). In Silico and In Vitro Assessment of Carbonyl Reductase 1 Inhibition Using ASP9521—A Potent Aldo-Keto Reductase 1C3 Inhibitor with the Potential to Support Anticancer Therapy Using Anthracycline Antibiotics. Molecules, 28(9), 3767. https://doi.org/10.3390/molecules28093767 Piska, K., Jamrozik, M., Koczurkiewicz-Adamczyk, P., Bucki, A., Żmudzki, P., Kołaczkowski, M., & Pękala, E. (2021). Carbonyl reduction pathway in hepatic in vitro metabolism of anthracyclines: Impact of structure on biotransformation rate. Toxicology letters, 342, 50–57. https://doi.org/10.1016/j.toxlet.2021.02.001 Piska, K., Koczurkiewicz, P., Bucki, A., Wójcik-Pszczoła, K., Kołaczkowski, M., & Pękala, E. (2017). Metabolic carbonyl reduction of anthracyclines - role in cardiotoxicity and cancer resistance. Reducing enzymes as putative targets for novel cardioprotective and chemosensitizing agents. Investigational new drugs, 35(3), 375–385. https://doi.org/10.1007/s10637-017-0443-2

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Lysozyme Functionalized Alginate-Chitosan Fibers and Beads Advancing Biomedical Applications
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This study developed lysozyme (Lys)-loaded hydrogel nanoparticles using both calcium alginate (2%) (AL) and calcium alginate (2%)-chitosan (1%) (ALCH) blends, in bead and fiber forms for biomedical applications [1]. The encapsulation efficiency reached nearly 100% for both formulations, with no enzyme leakage detected. Antimicrobial testing against Micrococcus lysodeikticus demonstrated rapid bacterial lysis, with fibers showing particularly strong activity - reducing optical density by 81% within just 5 hours, compared to a more gradual effect from beads. Release profiles were studied under physiological conditions (pH 7.4, 37°C) for both fiber and bead formulations of calcium alginate 2% and calcium alginate 2%-chitosan 1%. Fiber formulations exhibited an initial burst release (0.55 mg/mL cumulative release), while bead systems demonstrated steady-state release kinetics (0.32 mg/mL). Both systems preserved lysozyme's structure and functionality, with maintained enzymatic, anti-inflammatory, and antioxidant activities [2]. The developed alginate-chitosan hydrogels exhibit dualcapability antimicrobial delivery: fiber configurations enable rapid lysozyme release for acute infections, while bead systems provide sustained release for long-term protection [3]. However, alginate-chitosan beads showed the highest anti-inflammatory potential, making them particularly promising for therapeutic applications. These findings support the potential of Lys as enzyme-based systems for controlled delivery and antimicrobial action in biomedical contexts, especially bead systems for antiinflammatory therapies. Bibliogrpahy: [1] R. Abka-khajouei, L. Tounsi, N. Shahabi, A.K. Patel, S. Abdelkafi, P. Michaud, Structures, Properties and Applications of Alginates, Marine Drugs 20 (2022) 364. https://doi.org/10.3390/md20060364. [2] Q. Zhang, Y. Zhao, Y. Yao, N. Wu, S. Chen, L. Xu, Y. Tu, Characteristics of hen egg white lysozyme, strategies to break through antibacterial limitation, and its application in food preservation: A review, Food Research International 181 (2024) 114114. https://doi.org/10.1016/j.foodres.2024.114114. [3] T. Wu, C. Wu, S. Fu, L. Wang, C. Yuan, S. Chen, Y. Hu, Integration of lysozyme into chitosan nanoparticles for improving antibacterial activity, Carbohydrate Polymers 155 (2017) 192–200. https://doi.org/10.1016/j.carbpol.2016.08.076.

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Protein Degradation via the Endosome-Lysosome Axis: A Roadmap for Future Tissue-Selective Therapeutics.
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More than 90% of drug candidates fail to reach market approval, which results in tremendously high financial losses (the approximate average cost of a full new drug development process is 2.6 billion USD)1. The reasons for clinical development failures are numerous and often unpredictable. The past two decades have brought a new idea in medicinal chemistry: Targeted Protein Degradation (TPD), whose uses chemically-induced proximity to direct the therapeutic targets for proteolysis2. Being substantially different from the current pharmacotherapeutic solutions, TPD may finally bring change to the alarming statistics of development failures. The most popular strategy – Proteolysis Targeting Chimeras (PROTACs) – with over 30 drug candidates in clinical trials, has made a significant contribution to a paradigm shift in treatment of cancers (e.g., breast, prostate, hematological)3. The studies on this relatively new class of drugs have provided evidence that TPD possesses significant advantages over inhibition. Therefore, protein degraders outperform inhibitors in terms of their clinical efficacy – a common reason for failure.

Another general challenge for pharmaceutical industry is to obtain selective therapeutics, as lack of selectivity highly contributes to toxicity of drug candidates. This issue may also be addressed by TPD: extracellular and membrane proteins can be degraded via the endosome-lysosome axis, which is activated by inducing proximity with certain cell-surface receptors. Several receptors with this endocytic activity have been identified and used for protein degradation4. As expression of these receptors varies among organs and tissues, a new level in drug selectivity can be achieved. This poster presentation reviews the current findings on expression and functionality of these so-called Lysosome-Targeting Receptors (LTRs).

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