

NEWSLETTER 2021

EDITORIAL

Coronavirus disease 2019 (COVID-19) pandemic dominated in 2020. Beyond the terrible impact on human population and on the global economy it totally modified our social life, the way we work, meet and exchange. More specifically RICT 2020 conferences were cancelled. We all had to cope with new living conditions. To apply social distancing and maintain interactions video conferences proved to be efficient if not warm. These RICT 2021 conferences will take place exclusively virtually. Some of us will miss the stimulating atmosphere of the conferences, meeting friends and colleagues, chatting and sharing ideas with passion.

Hopefully it will also be the last one to be held only virtually. The huge efforts developed to try as fast as possible to identify medicines to limit the spread of COVID-19 have succeeded. Vaccination gave the promptest positive signals of a possible light at the end of the tunnel. The Small Molecule approach, which showed its efficacy in the past by offering the only treatment for AIDS patients and a cure for hepatitis C infected patients, did not achieve yet significant results. Timelines for small molecule discovery are long. Some teams are pursuing intense efforts in the field anticipating that new coronavirus will pass to humans and that Small Molecules treatments could deliver a precious therapeutic alternative. The paramount success of vaccination was in good part due to the new mRNA vaccines. Media covered intensively the new mRNA approach and detailed the nice success stories about Moderna, BioNTech and the pioneer mindset of their founders. Less emphasis was given to the essential work done in parallel, which took more than 30 years to optimize the lipid nanoparticles without which mRNA would be unstable and unable to enter the cells. The critical progress done on the lipid

nanoparticles field and the tremendous added value that can be achieved illustrate the importance that specific drug delivery can take on. Likewise, the recent identification of an orally available PCSK9 antisense oligonucleotide targeting the liver, enabled by *N*-acetylgalactosamine conjugation, is an additional example of the key contribution and the broad spectrum of applications that specific drug delivery will bring in the future. This topic will be addressed during this RICT meeting with a dedicated theme Tackling New Challenges in Delivery Systems and Drug Formulation.

The aim for a sustained pace of new therapeutics delivery to treat unmet medical need and bring benefit to patients puts high pressure on research organisations and compels Drug Discovery (DD) to constant evolution. Adaptation of DD took regularly place with the implementation of various new paradigms in response to specific challenges. New metrics and druggability guidelines, focusing attention on improved absorption, solubility and limited lipophilicity emerged in 2005 to tackle the declining number of new chemical entities entering the market. More recently, to expand the drying up pool of biological targets New Modalities were intensively developed as an alternative to the classical modulation of a protein function by a small molecule. Over the past decades, the rise of the target-centric approach in Drug Discovery was made possible with the innovative development of critical chemical tools to bring new molecular-level insights into the mechanisms underpinning biological processes. The phenotypic approach also is dependent on innovative Chemical Biology for the generation of chemical probes to identify the biological target and further understand the underlying mechanism of action. This year, once again, to stress their

remarkable interdependency, the title of these 2021 RICT meeting will be Interfacing Chemical biology and Drug Discovery and the Conferences will start with the session on Chemistry in Living Systems & Chemical Biology for Targets Identification.

The rise of new modalities supported by the chemical biology progress has increased the opportunities to tackle Protein-Protein, Protein-DNA/RNA interactions and Protein degradation. From the first demonstration of their potential biological interest, by injection in cell to palliate their absence of cell permeability, to their current evaluation as oral drug therapies in phase 2 clinical studies, the bifunctional degraders molecules recruiting an E3 ligase to mediate the ubiquitination of the targeted protein have reached an outstanding milestone. These impressive progresses have been accompanied with many questions raising as for example the extension of their application to non-cancer diseases, the use of ligands with moderate binding affinities, the E3 ligase tissue expression and protein half-life limitations and their safety. The session Drugging the Undruggable and New Modalities in Drug Discovery will help better understand the progress and the challenges of these new modalities.

The recent controversial approval by US regulators of aducanumab as the first treatment to target a likely cause of Alzheimer's disease is triggering many varied reactions in the scientific community. Expectations are high and therapeutic progress difficult within this extremely complex disease area. With a likelihood of approval (5.3%) from Phase I over 2011-2020 slightly below Neurology, Oncology is also a particularly challenging disease and the focus of the largest number of clinical trials. The combined progress on new drug modalities such as ADCs and CAR-T cells therapies, Immuno-Oncology and the identification of biomarkers that help better stratify patients are contributing to improve the success rate and bring hope for the patients. Several presentations spread over different sessions will give a nice opportunity to catch up with recent progress on these two themes Innovations in Cancer Therapeutics and

Recent Trends in Drug Development for Neurodegenerative Diseases.

The evolution of DD is well reflected by the way new Drugs are discovered. The session Case Studies: Moving New Chemical Entities to the Market will allow to learn detailed information on the identification of drugs. An interesting example of the growing place that new paradigms, such as protein degradation, are taking today will be illustrated with the Selective Estrogen Receptor Degradation case.

COVID-19 has touched our lives to different degrees, but we have all learned from it. Huge scientific mobilization can achieve seemingly insurmountable challenges. Hope and risk were 2 pillars of this success. Hope to find a way out of this crisis and the essential risk to be taken with innovative scientific approaches, investments and logistics anticipation without any certainty. As scientists we are used to live with these two drivers. The future scientific successes will be based on our ability to pursue risky activities and keep hope to bring benefit to patients. Hope is a risk that must be run (l'espérance est un risque à courir, Georges Bernanos). I hope these RICT2021 will strengthen our knowledge and motivations to still push a little bit further the frontiers of chemical biology and Drug discovery.

Romain Gosmini

Director Medicinal Chemistry



Galapagos

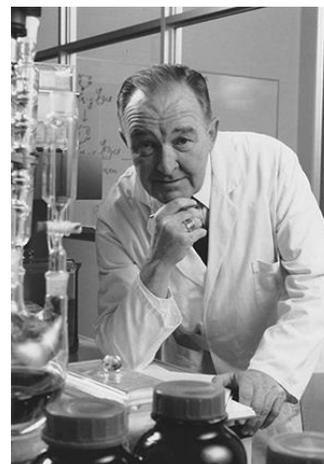


Paul Ehrlich Prize

The **Paul Ehrlich Prize** sponsored by **Janssen-Cilag France** is attributed to researchers of international reputation or research teams for their important contributions to medicinal chemistry.



Janssen represents the Pharmaceutical R&D Division of Johnson & Johnson. Their strategy is to identify the greatest unmet medical needs and match them with the best science, internal or external, to provide solutions for patients worldwide. The activity of Janssen is focused on discovering, developing and delivering differentiated medicines in five therapeutic areas: neuroscience, infectious diseases and vaccines, oncology, immunology and cardiovascular/ metabolism.



Dr Paul Janssen, Founder, Janssen Pharmaceutica, N.V.

Paul Ehrlich

More than one hundred years ago, Paul Ehrlich shared the Nobel Prize for Medicine or Physiology with Elie Metchnikov. Even if this award was the crowning recognition of his contributions to immunology, today he is considered the founder of medicinal chemistry.



Paul Ehrlich started his research career with the discovery of a method for selective staining of cells. From this work he pursued the idea that dyes form very specific bonds to cell receptors. This concept would lead him to the “side-chain theory” stating that an organism infected by a toxin develops a huge number of “side-chains” which will prevent re-infection. Even if this turned out incorrect, it paved the way to the discovery of antibodies.

From the principle of the “key and lock” and the “magic bullets” there was only one step for Paul Ehrlich to become director of the Royal Prussian Institute of Experimental Therapy. There, he devoted himself to the study of trypanosomes which could indeed be successfully targeted with the Trypan Red dye. Paul Ehrlich elucidated the structure of Atoxyl opening the door to several arsenic-derived drugs used for treating sleeping sickness or syphilis even though their side effects called for the discovery of safer backups.

Professor Stuart L. Schreiber, Paul Ehrlich Prize 2021 Laureate



Stuart Schreiber is a co-Founder of the Broad Institute, the Morris Loeb Professor of Chemistry and Chemical Biology at Harvard University, and is a member of the National Academy of Sciences, National Academy of Medicine and American Academy of Arts and Sciences.

His lab integrates chemical biology and human biology to advance the science of therapeutics. He is known for having developed systematic ways to explore biology, especially disease biology, using small molecules and can be considered the father of chemical biology. Key advances include the discoveries of mTOR, histone deacetylases and evidence that chromatin marks regulate gene expression, and small molecules promoting protein–protein interactions. His research has been acknowledged through numerous awards including most recently the Wolf Prize in Chemistry. His approach to therapeutics discovery guided the development of many biotechnology companies including Vertex Pharmaceuticals and Ariad.

http://www.broadinstitute.org/chembio/lab_schreiber/home.php

Pierre Fabre Award for Therapeutic Innovation



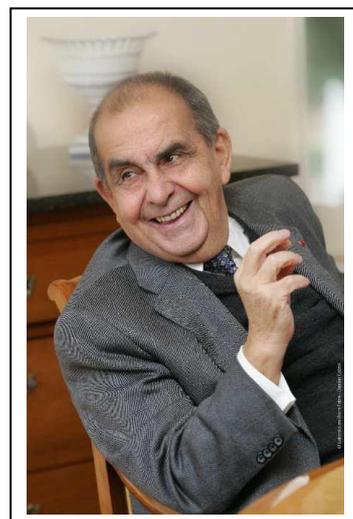
With a portfolio representing a continuum of activities spanning from prescription drugs and consumer healthcare products to dermo-cosmetics, Pierre Fabre is the second largest dermo-cosmetics laboratory in the world, the second largest private French pharmaceutical group and the market leader in France for products sold over the counter in pharmacies. Its portfolio includes several global brands and

franchises, such as Eau Thermale Avène, Klorane, Ducray, René Furterer, A-Derma, Galénic, Elancyl, Naturactive, Pierre Fabre Health Care, Pierre Fabre Oral Care, Pierre Fabre Dermatologie and Pierre Fabre Oncologie.

Pierre Fabre is 86%-owned by the Pierre Fabre Foundation, a government-recognized public-interest foundation, and secondarily by its own employees through an international employee stock ownership plan.

M. Pierre Fabre, after obtaining his pharmacist diploma opened his own pharmacy in Castres (South-West of France) in 1951 and founded his Laboratory in 1962 A few years later, he strengthened his position in pharmaceutical branch by acquisition of *Inava Laboratories*. As part of diversification and opening towards dermo-cosmetic products *Klorane Laboratories* was bought in 1965, *Ducray* in 1969 and *René Furterer* in 1979. The main steps of international expansion were the opening of subsidiaries in Spain, Portugal, Italy, Germany, the acquisition of *Genesis US* in 2002 and in 2006 the Brazilian *Darros Laboratories*, specialized in oncology and dermo-cosmetic products.

The name of Pierre Fabre is definitively associated with the spirit of “Therapeutic Innovation”. Pierre Fabre Group, in memory of its founder and in partnership with the French Medicinal Chemistry Society (SCT), has decided to recognize decisive actions, scientific discoveries and innovative technologies leading to substantial therapeutic innovations.



Dr Gilles Gasser, Laureate of Pierre Fabre Award for Therapeutic Innovation 2019



Gilles Gasser was born, raised and educated in Switzerland. After a PhD thesis in supramolecular chemistry with Prof. Helen Stoeckli-Evans (University of Neuchâtel, Switzerland), Gilles undertook two post-docs, first with the late Prof. Leone Spiccia (Monash University, Australia) in bioinorganic chemistry and then as an Alexander von Humboldt fellow with Prof. Nils Metzler-Nolte (Ruhr-University Bochum, Germany) in bioorganometallic chemistry. In 2010, Gilles started his independent scientific career at the University of Zurich as a Swiss National Science Foundation (SNSF) Ambizione Fellow before obtaining a SNSF Assistant Professorship in 2011. In 2016, Gilles moved to Chimie ParisTech, PSL University (Paris, France) to take a PSL Chair of Excellence.

<http://www.gassergroup.com>

OUR ACTIVITIES

The **French Medicinal Chemistry Society** (Société de Chimie Thérapeutique, **SCT**) was founded in 1966 with the aim to disseminate scientific results and promote interdisciplinary knowledge in the major pharmaceutical research and development domains, covering the whole panel of chemical sciences in drug discovery and related sciences from target identification to drug registration. The SCT is also involved in advancing medicinal chemistry / chemical biology by initiating cooperation, networking, providing training and coaching, and rewarding scientific excellence. The SCT is interested in developing and maintaining scientific contacts with industrial and academic research groups, medicinal chemistry and chemical biology related associations, federations, both on national and international level. The SCT is an active member of the **European Federation of Medicinal Chemistry**.

Since 1965 SCT organizes the “*Rencontres Internationales de Chimie Thérapeutique - International Conference on Medicinal Chemistry*”, the **RICT**, a yearly international congress devoted to the main scientific areas in medicinal chemistry and chemical biology. The RICT's gather between 400 and 600 participants and around 20 internationally recognized speakers from Europe, Asia and North-America to present their outstanding results in every aspect of innovative drug discovery and chemical biology.

In 2022, SCT will organize and host the **XXVII EFMC International Symposium on Medicinal Chemistry** on behalf of the European Federation for Medicinal Chemistry and Chemical Biology (EFMC).

The EFMC is the main European organization in the fields of Medicinal Chemistry and Chemical Biology and it includes 26 member societies and about 7.500 members. Its biennial EFMC-ISMIC is a key symposium in the field of medicinal chemistry and drug discovery and it traditionally attracts more than 1.000 participants both from industry and academia.

It is a great honor for SCT to have been selected in a competitive application as the host society and to organize this prestigious conference. All information about the conference is already available here: <https://www.efmc-ismc2022.org/>. The symposium will take place in **Nice, France from September 4-8, 2022**.

The SCT pays special attention to the young scientists and students' community, as they will ensure the future endeavours in drug discovery. Each year, special scientific days (*Journées des Jeunes Chercheurs*, Young Researcher Fellows Meeting, **JJC-YRFM**) are organized for PhD students and postdocs to present their work through oral presentations or posters. This year, the 28th **SCT Young Researcher Fellows Meeting (YRFM)** was organized virtually in February 2021 and despite the special environment was very successful with 250 young investigators from 23 countries. The **YRFM** provides unique occasion for attendees to present their work, exchange with peers and meet representatives of pharmaceutical companies, small biotechs and start-ups. As is the case in all YRFM meetings, students could also benefit from coaching for CV improvement and simulation of job interviews with seniors of pharma companies.

The **forthcoming 29th SCT YRFM** will be held in **February 16-18, 2022** at the School of Pharmacy – University of Nantes, France.

To reinforce its mission of advancing medicinal chemistry / chemical biology a new series of **Webinars** organized or co organized by SCT have been launched this year.

SCT also continues to promote the added value of chemical sciences within drug research and development, both focusing on the development of new drugs, as well on the elaboration of synthetic tools allowing better unravelling and understanding of the biological processes. In this line, **thematic days are organized or co organized by SCT**. In **December 9-10, 2021**, a 2-day symposium entitled “On the hunt for the next generation antimicrobial agents” will take place at Lille.

In recent years, the SCT continued its transformation to better meet the expectations of researchers, academic and industrial partners. In 2015 a ‘**Business Development Unit**’ was created under the guidance of Dr Pascal George to build interactions with SMEs, CROs and Biotechs and deal with their specific needs (advise, coaching, expertise...). The Business Development Unit counts 5 members to-date, all recognized for their expertise in different domains of drug discovery and/or business development and has set in place quite a number of contacts with SMEs, SATTs, incubators, etc ...

Our communication team publishes and updates the ongoing activities on our web-site and social Networks (see below), in order to draw interest from the scientific community seeking to network and exchange, to encourage subscriptions and increase visibility of the SCT within the European Federation of Medicinal Chemistry.

For inscription and for more information on our activities and events please feel free to visit our website www.sct-asso.fr.



Dr Brigitte Lesur
SCT Vice-President



Prof. Rebecca Deprez-Poulain
SCT President

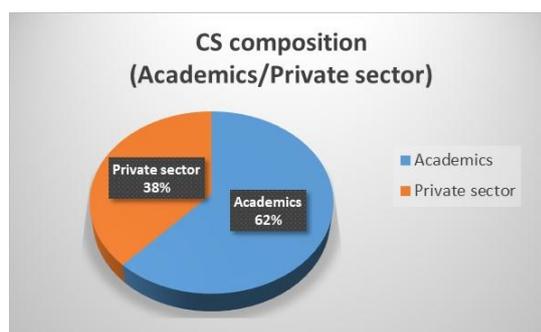
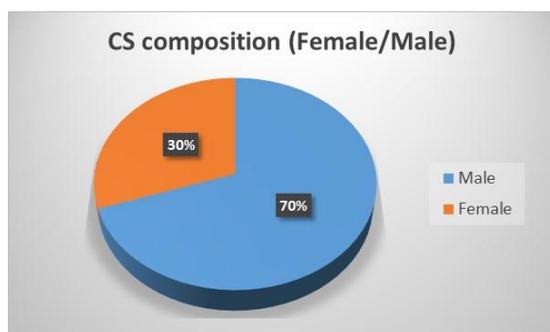


Dr Dominique Lesuisse
SCT Vice-President

The new Scientific Committee 2021 of SCT

Starting from 2021, the SCT decided to renew the composition of its Scientific Committee and to appoint Dr. Maria Duca, head of Targeting of Nucleic Acids research group at the Institute of Chemistry of Nice (Université Côte d'Azur – CNRS), as the coordinator of the committee to help SCT organizing the scientific activities and the communication between the Scientific Committee and the Executive Committee. The Scientific Committee is composed of 42 members covering the four main topics of SCT activities: Drug Discovery Chemistry and ADMET, Chemical Biology, Biologics and Structural Biology & Biophysical Technologies - in silico predictive models. Details about the constitution of the Scientific Committee are given below:

http://www.sct-asso.fr/conseil_scientifique.html



The objectives of the Scientific Committee are to assist SCT in defining the **scientific policy**, suggest **topics for SCT events** (RICT, EFMC-ISMIC 2022, thematic days....) as well as for **international awards** but also to propose articles for the **SCT Scientific Watch** and themes for **SCT webinars**. In this first half of 2021 the Scientific Committee had the opportunity to meet virtually for the presentation of each member and devoted its activities to propose and organize suggestions for **EFMC-ISMIC 2022** to be held in **Nice from 4 to 8 October 2022** (<https://www.efmc-ismc2022.org/>). Future activities, such as suggestions for SCT Scientific Watch and webinars, will begin very soon.

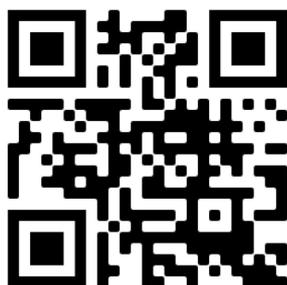
News from the SCT Communication

The SCT Communication Team aims at both spreading information from the SCT activities and enabling members to share news from their laboratories/teams. It is therefore continually evolving to adapt to the different media.

Today there are 4 main channels:

- The public website at <http://www.sct-asso.fr>
- The emailing via the mailing list
- Our LinkedIn account at <https://www.linkedin.com/company/soci-t-de-chimie-th-rapeutique>
- Our Twitter account at @SCT_asso

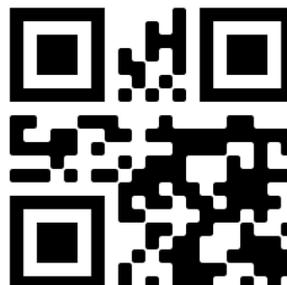
Please find the different links as QR codes below.



Website



LinkedIn



Twitter

You will be informed day-by-day of news and events organized by the SCT, such as RICT, YRFM, thematic symposia, webinars, and various awards. These networks are also great opportunities for their members to share information. To this end, do not forget to send us all the information that you want to share to communication@sct-asso.fr.

The SCT Communication Team (Dr. Frédéric SCHMIDT, Prof. Nicolas WILLAND, Dr. Cyril RONCO, Dr. Pierre SIEROCKI)

SCT Awards, Prizes



The SCT thanks Janssen and Pierre Fabre who have sponsored for several years the Paul Ehrlich Prize and the Innovation Prize.

In 2021, taking the opportunity in this one-year shift in our events due to the pandemic, the SCT has engaged a review of its policy of recognition and of its panel of Prizes & Awards. We have reviewed the way we acknowledge contribution to drug discovery chemistry and chemical biology.

We will extend our recognition beyond what we did in the past. Still having a strong focus on rewarding innovators and young contributors, we wish to recognize teamwork and profile diversity (academia and industry/biotech).

Join us in September 2021 for the presentation of our new Prizes/Awards and our new sponsors and partners in this changing era!

SCT Webinars and Masterclasses



This year SCT is launching a series of Webinars and Masterclasses, that will take place once every 4 months. These events will allow to present and share inspiration on cutting-edge research animated by speakers on a related topic in a condensed format. Webinars will be in some occasions co-organized with our partners and/or sister organisations.

- The **first SCT Webinar** was co-hosted with **European Lead Factory** on the 28th of June 2021.

Dedicated to the French drug discovery community, it was aimed at showing how ELF can boost their activities in drug design in a win-win situation. Open interactive discussions with experts and testimonies will follow after the presentation.

We welcome a large number of attendees and had a great feedback.



SCT Publications



The SCT has been invited to contribute by the Chemobiology group of the SCF to the September 2021 special issue of the “Actualité chimique” on Chemical biology; Dr Frederic Schmidt, Dr Brigitte Lesur and Prof. Rebecca Deprez-Poulain have contributed on behalf of the SCT with a viewpoint entitled “Medicinal chemistry and Chemical biology: constant dialog and synergy to the benefit of human health”.

Upcoming events organized under the auspices of the SCT:

Fall meeting SCT-SFM: “On the hunt for the next generation antimicrobial agents” *December 9-10, 2021, Lille, France*



29th SCT Young Research Fellows Meeting (Journées des Jeunes Chercheurs, JJC) *February 16-18, 2022, Nantes, France*



XXVII EFMC International Symposium on Medicinal Chemistry
September 4-8, 2022, Nice, France



For more information: www.sct-asso.fr

Newsletter Edition Committee

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Prof. Pascal Marchand, Deputy general secretary, coordinator
Dr Romain Gosmini, Galapagos, France, Editorial
Prof. Rebecca Deprez-Poulain, SCT President, Symposium chair, writer

Dr Frédéric Schmidt, SCT Communication board, writer
Prof. Nicolas Willand, SCT Communication board, writer
Dr Dominique Lesuisse, SCT Vice-President, writer