

NEWSLETTER 2017

EDITORIAL

Drug Discovery & Selection

The challenge faced by the drug industry community has been known for some years now and has been trumpeted on regular occasions. Its product-to-market throughput is regarded by outsiders as inefficient, unsustainable to most industry standards (10 out of 11 candidates entering Phase I fail to reach market approval; only 30% of newly-approved drugs will eventually reach financial profitability).

These figures don't reflect the multitude of reasons for such failures (lack of efficacy, adverse tox effects...) and obviously should not tarnish some recently successful tour de force, both scientific and economic, obtained for example in the area of HCV or oncology. Drug discovery is one key aspect of the 10+ year-long process of research & development of new therapeutic agents, and its paradigms have regularly evolved to cope with new objectives and challenges.

As for any "living system", it is certain that drug discovery will continue to adapt, and this year's speakers will clearly illustrate some of its most recent achievements.

In addition, while we stay reasonably cautious about predicting the future, we have arbitrarily selected a few recent reports, techniques or organization types that are likely prone to impact drug discovery and medicinal chemistry in the coming years.

Fragments in cell: Finding small molecule ligands against validated targets is the essence of drug discovery. The recent methodology described by Cravatt and collaborators¹ has been used to identify small fragment molecules interacting in cells with potentially unknown proteins and to advance them into selective ligands for the modulation of protein function. This will undoubtedly play a preeminent role in a better understanding of

biology. From this advancing knowledge, new and better validated targets will likely pave the way towards more efficient drug discovery.

DNA-encoded libraries: Momentum has recently been increasing around this paradigm, having been initially postulated as a theoretical concept 25 years ago by Brenner and Lerner. These small molecules encoded libraries have opened up untapped areas of chemical space, now reaching well into the billions of chemical entities². By humble comparison, the CAS Registry database, containing all registered substances is summed up to be only 130 million compounds. The first compounds from these libraries are entering clinical development. This technique, which is surprisingly rejuvenating the combichem era, will urgently need innovative building blocks and DNA-compatible chemistries upfront as well as fast analoging and follow-up downstream, thus likely impacting organic and medicinal chemistry.

Collaborative projects: the recent years have seen large scientific communities (Academic institutions, Pharma companies and small/medium-sized companies) acting together in large public-private Consortia, with the objective of joining forces towards unmet scientific and therapeutic needs. Ventures against infectious and neglected diseases have pioneered the way, and additional areas of interest such as Alzheimer's or neurodegenerative diseases will soon complement the current portfolio of these joined efforts.

Consortia, possibly seen before as "black swans" due to the belief that the divergent

interests of potential partners could not co-exist under the same framework, are nowadays becoming increasingly common practice. These operate with shared, well-defined and usually pre-competitive objectives with structured and organized partners (along with complex yet operative legal agreements).

The European Lead Factory is a vibrant illustration of such a venture and is demonstrating the power of a collective intelligence aimed at ambitious objectives, including the de-novo design and production of an innovative 200k European compound collection for HTS, and the identification of validated hits against new biological targets³. While complex therapeutic challenges continue to arise, for example with antibacterial resistance, such transverse organizations could well redefine, at least in part, how to tackle these challenges more efficiently, whilst together mitigating the risk of failures.

Before closing this short and arbitrary selection of *influencers of the future* for drug discovery, we should not forget the role played by the Société de Chimie Thérapeutique and other learned societies for our community. By bringing together scientists from different backgrounds, organizations or countries, from Ph.D.

students to world-renowned experts, they are helping us and our practices to evolve faster. Examples of some of these evolutions will be unveiled during the speakers' presentations on the occasion of this 53rd RICT in Toulouse. We certainly look forward to listening to them.



Jean-Yves Ortholand & Alfred Greiner

CEO & CSO at Edelris

¹ Cravatt *et al.* Cell Vol168, Issue 3, p527–541, 26 January 2017

² Andreas Brunschweiger: « Chemical Biology Probes from Advanced DNA-encoded Libraries » *ACS Chem. Biol.* **2016**, 11, 296–307 ; Xiaoyu Li: « Recent advances on the encoding and selection methods of DNA-encoded chemical library. » *Bioorganic & Medicinal Chemistry Letters* **2017**, 27, 361–369

³ <https://www.europeanleadfactory.eu/results/>

OUR HOSTS

Université de Toulouse

OBJECTIVES. Building on a long standing tradition of world leading expertise at the interface between chemistry, biology and medicine in Toulouse, these research themes aim to conceive new entities and to probe the chemical mechanisms involved in the biological processes of cancer, infection, parasitology and inflammation in order to uncover new methods for therapeutic intervention. All scientific members of the LOC RICT2017 belong to three laboratories

of the University of Toulouse with strong expertise in the field of “Molecules for Health” such as Laboratory of Coordination Chemistry (LCC, <https://www.lcc-toulouse.fr/article116.html>); Laboratory of Synthesis and Physico-Chemistry of Biologically Interesting Molecules (LSPCMIB, <http://spcmib.univ-tlse3.fr/>) and Laboratory of Chemical Engineering (LGC, <http://www.lgc.cnrs.fr/>).



From left to right

Dr Florence Bedos-Belval (Associate Professor, SPCMIB, PNASM team)

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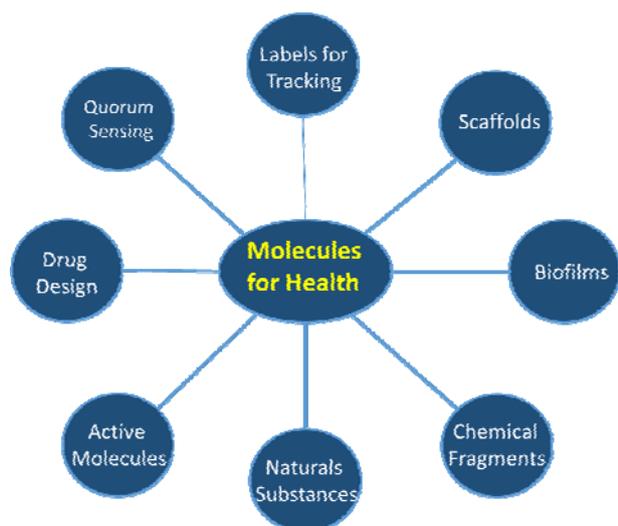
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MOLECULES for Health



Vania Bernardes-Génisson and **Céline Deraeve** from the LCC laboratory focus their key research axes in relation with health at different pathologies as Alzheimer, cancer or infectious diseases. Over the past years, with

the recrudescence of tuberculosis in the world, they focused their research on the design and synthesis of new potential antitubercular compounds able to inhibit wild and resistant *Mycobacterium tuberculosis* strains, more particularly, by targeting directly InhA, an essential enzyme for mycobacteria and absent in humans. Computational methods (docking) to investigate interactions of biomolecules (enzymatic targets) and non-natural ligands (inhibitors) were frequently employed by us as an essential tool to discover and to optimize bioactive compounds. Moreover, they have also been interested in the molecular comprehension of the, still unknown, mechanisms of activation of prodrugs (isoniazid, ethionamide, thiacetazone, ...) used in the treatment of this disease. More recently, they used their expertise in setting up new tools, inspired by natural products, in order to study enzymes through biomimetic systems

Fatima El Garah, from the LGC laboratory is member of the team “Bioprocesses and Microbial Systems” department (BioSyM). Her research projects are focused on the synthesis and biological evaluation of new compounds as inhibitors of infection-related biofilms. In close collaboration with the BioSyM microbiologists, the inhibitors developed are designed to interfere with the bacterial communication system, namely the *Quorum Sensing*. A first library of compounds has been shown to both inhibit *Pseudomonas aeruginosa* biofilm formation and restore the activity of various conventional antibiotics. Current studies also include probing the mechanistic basis of anti-biofilm activity and improving activity through analogue synthesis. The BioSyM group is also involved in various small molecule synthesis projects that seek to optimize the activity of lead scaffolds that are identified through screening efforts.

Marie-Ange Albouy, from the Congress Organization entity, University P. Sabatier, is the head of the entity aiming at intervening, promoting, contributing through congress, symposia, conferences...to the national and international lisibility of all laboratories, of the University. This entity created in 2011 and is called “Cellule Congrès” has developed a number of applications (friendly web sites,

on line logistics and payment, contacts with all offices and institutions in the University, the Toulouse Metropole and the Occitanie Region) in order to treat at the most operationally easy level the organization of scientific meetings, In 2017 the "Cellule Congrès" is operating in 20 different Congress candidatures.

Florence Bedos-Belval, Michel Baltas from the LSPCMIB laboratory are working in the team "Produits Naturels et Analogues: Synthèses, Mécanismes" PNASM (FBB and MB), while Alison François was PhD student in the same Laboratory and in the team "Sondes Organometalliques et Applications Biomédicales" SOMAB (AF). The first team is working on synthesis of natural products and analogues, and elaboration, synthesis of small entities (from fragments to molecules) coming from the heterocyclic chemistry. The fundamental aspect in all projects is based upon invention of optimal synthetic routes by using "green methods" (microwaves, mechanochemistry). It is also based in the study of intrinsic properties of the molecule

associated to the biological objectives. These compounds are evaluated against proteins which can be overexpressed and purified in the team (antituberculosis agents, INHA protein) or on cells through many local and national collaborations. A strong expertise exists on natural polyphenols (anti-inflammatory properties, cancer), phenolic systems (atherosclerosis, oxidative stress) and nitrogen heterocyclic compounds (antitubercular, antitumoral). The innovative PhD work of Alison François and one of the research activities and expertises of the SOMAB team is to conceive, synthesize and study Lanthanide and/or transition metal complexes based on original polyazaheterocyclic derivatives with promising interest as imaging agents (gadolinium complex as MRI contrast agent, radioactive complexes as nuclear probes or lanthanide or rhenium complexes as luminophores). These researches range from fundamental study of their photophysical properties to biological evaluation".

Paul Ehrlich Prize

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

This year the Paul Ehrlich Prize is awarded to Professor Dr Benjamin G. Davis

Janssen represents the Pharmaceutical R&D Division of Johnson & Johnson. Their strategy is to identify the biggest unmet medical needs and match them with the best science, internal or external, to find 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.



Les Patients comptent sur nous

Paul Ehrlich

More than 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 to be the founder of medicinal chemistry.

Paul Ehrlich starts his research career by developing a method for selective staining of cells. From this work he pursues the idea that dyes form very specific bonds to cell receptors. This concept will lead him to the “side-chain theory” to explain the properties of antibodies. An organism infected by a toxin develops a huge number of “side-chains” which will prevent a repeated infection.

From the principle of the “key and lock” and the “magic bullets” there is only one step for Paul Ehrlich to become director of the Royal Prussian Institute of Experimental Therapy. There at first he devotes himself to the trypanosomes. The trypanosomes could indeed be successfully killed with the dye Trypitan Red. Hereafter he deals with Atoxyl, in current use for treating sleeping sickness presenting however intolerable side effects.



He engages himself in modifying its structure and carrying out tests which even nowadays would be considered as a high throughput *in-vivo* screening. He should go to the 606th analogue to obtain a really efficient compound evidenced on a model test on mice infected by trypanosome.

In 1905 the pathogen of the syphilis, the *Treponema pallidum* is identified and with a model infection on a rabbit Paul Ehrlich shows the efficiency of the compound 606 which he names Salvarsan. A test with 50 patients will produce remarkable results. Unfortunately, general usage of Salvarsan is accompanied by the occurrence of numerous side effects. A program involving the synthesis of a new series of 300 compounds which would today be qualified as “structure-properties relationship optimisation” results in the water soluble “compound 914” to make career under the name of Neosalvarsan.

Professor Benjamin G. DAVIS Paul Ehrlich Prize 2017 Laureate

Ben Davis got his B.A. (1993) and D.Phil. (1996) from the University of Oxford. During this time he learnt the beauty of carbohydrate chemistry under the supervision of Professor George Fleet. He then spent 2 years as a postdoctoral fellow in the laboratory of Professor Bryan Jones at the University of Toronto, exploring protein chemistry and biocatalysis.

In 1998 he returned to the U.K. to take up a lectureship at the University of Durham. In the autumn of 2001 he moved to the Dyson Perrins Laboratory, University of Oxford and received a fellowship at Pembroke College, Oxford. He was promoted to Full Professor in 2005.

His group's research centres on the chemical understanding and exploitation of biomolecular function (Synthetic Biology, Chemical Biology and Chemical Medicine), with an emphasis on carbohydrates and proteins. In particular, the group's interests encompass synthesis and methodology; target biomolecule synthesis; inhibitor/probe/substrate design; biocatalysis; enzyme & biomolecule mechanism; biosynthetic pathway determination; protein engineering; drug delivery; molecular biology; structural biology; cell biology; glycobiology; molecular imaging and *in vivo* biology.

This work has received the 1999 RSC Meldola medal and prize, the 2001 RSC Carbohydrate Award sponsored by Syngenta, an AstraZeneca Strategic Research Award, a DTI Smart Award, a Mitzutani Foundation for Glycoscience Award, the 2002 Philip Leverhulme Prize, the 2005 Royal Society Mullard Prize and Medal, the RSC 2005 Corday-Morgan Medal, the 2006 International Association for Protein Structure Analysis and Proteomics Young Investigator Award, the 2008 Wain Medal for Chemical Biology, the 2008 American Chemical Society's Horace S. Isbell Award, the 2009 Elsevier Carbohydrate Research Award for Creativity in Carbohydrate Chemistry, the 2009 RSC Norman Heatley Award, a 2009 Royal Society Wolfson Research Merit Award, the 2010 the Society of Synthetic Organic Chemistry, Japan, (SSOCJ) Lectureship Award and in 2012 both the RSC Bio-organic Chemistry Award and the first UK recipient of the Tetrahedron Young Investigator Award for Bioorganic and Medicinal Chemistry.



It has also been the subject of named lectureships: the 2006 Doctorate Lectureship of the University of Santiago de Compostela, the 2008 Cornforth Lectureship of the University of Sydney, the 2009 Novartis Chemistry Lectureship, the 2009 Carico Lectureship of the University of Milan, the 2009 Jones Lectureship of the University of Toronto, a 2010 Invited Visiting Professorship at the Sorbonne, Paris (UPMC-ParisVI), the 2011 Hirst Lectureship of the University of St Andrews, the 2011 Boehringer Ingelheim Lectureship of the University of Alberta, the 2012 Ginsberg Lectureship of the Technion, the 2012 Bristol-Myers-Squibb Lectureship in Organic Chemistry of the University of Illinois at Urbana-Champaign, the 2013 Liversidge Lectureship of the University of Sydney, the 2013 Peter Gallagher Lectureship of the Griffiths Glycomics Institute and the 2014 Bender Lectures of Northwestern University.

He sits (has sat) on the Editorial / Editorial Advisory Boards of Carbohydrate Research (2005-2012), Chemical Biology and Drug Design (2006-), Organic and Biomolecular Chemistry (2006-2011), the Biochemical Journal (Advisory Board 2002-2005, Editorial Board 2009-), Chemical Science (2010-2012) and ChemBioChem (2011-).

He was the Editor-in-Chief of Bioorganic Chemistry (2011-2013) and an Associate Editor of Chemical Science (2012-14). He is the Editor-in-Chief of Current Opinion in Chemical Biology (2011-) and a Senior Editor for ACS Central Science (2014-).

In 2005 he was elected the UK representative and Secretary (2005-2013) of the European Carbohydrate Organisation and from 2011-2014 the President of the RSC Chemical Biology Division.

Ben Davis was co-founder of Glycoform, a biotechnology company that from 2002-2011 investigated the therapeutic potential of synthetic glycoproteins and of Oxford Contrast a company investigating the use of molecular imaging for brain disease. In 2003 he was named among the top young innovators in the world by Technology Review, the Massachusetts Institute of Technology (MIT)'s magazine of innovation in the TR35 awards and was a finalist in the BBSRC Innovator of the Year competition in 2010.

He was elected to the Royal Society in 2015.

Pierre Fabre Award for Therapeutic Innovation

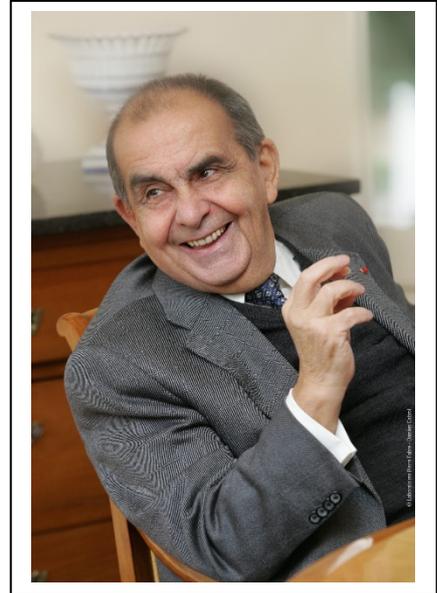


Pierre Fabre is the 2nd largest private French pharmaceutical group and the 2nd largest dermo-cosmetics laboratory in the world. In 2016, it generated 2,282 million euros in revenues, of which 60% came from its international business and 40% from **Pierre Fabre Pharmaceuticals** division. Pierre Fabre, which has always been headquartered in the South-West of France, owns subsidiaries and offices in 47 countries, enjoys distribution agreements in over 130 countries and counts more than 13,000 employees worldwide. His fidelity to his native region is legendary, most of his production plants and research centers are located in *Occitanie*.

Pierre Fabre's portfolio represents a continuum of activities spanning from prescription drugs (oncology, primary care) and consumer health care products (family care, oral care, natural health) to dermo-cosmetics (Eau Thermale Avène, Pierre Fabre Dermatologie, Klorane, Ducray, René Furterer, A-Derma, Galénic, Elancyl). Marketed globally, Eau Thermale Avène is the leading dermo-cosmetics brand in Europe and in Asia. In oncology, Pierre Fabre generates over 90% of its revenue outside France.

In 2016, Pierre Fabre dedicated almost 180 million euros to R&D, shared between oncology, central nervous system, consumer health care, dermatology and dermo-cosmetics.

Dr Pierre Fabre, after obtaining his pharmacist diploma opened his own pharmacy in Castres (South-West of France) in 1951. Interested in studying the virtues of *Ruscus aculeatus* (an abundant plant in Castres region) he founded his Laboratory in 1962 by launching the first veinotonic natural product, Cyclo 3. A few years later he strengthens 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.



This strategy continued and prestigious pharmaceutical and para-pharmaceutical brands have been acquired such as *Ducray* in 1969 and *René Furterer* in 1978. 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 Laboratorios*, specialized in oncology and dermo-cosmetic products.

Unique situation in France, Pierre Fabre is now mostly owned (86%) by the Pierre Fabre Foundation, a government-recognized public-interest foundation, and secondarily by its own employees.

In 2015, the independent French certification group AFNOR audited Pierre Fabre for its corporate social responsibility policy at the “exemplary” level, according to the ISO 26000 standard for CSR.

The name of Pierre Fabre is definitively associated with the spirit of “Therapeutic Innovation”. Pierre Fabre Laboratories, in memory of its founder and in partnership with the French Medicinal

Chemistry Society (SCT), has decided to recognize decisive actions, scientific discoveries, innovative technologies that result in substantial therapeutic innovations.

In 2017 the **Pierre Fabre Award for Therapeutic Innovation** has been awarded to Dr **Benoit DEPREZ**, Director of INSERM Unit U1177 «Drugs and Molecules for Living Systems», Pasteur Institute, Lille, France.

Professor Benoit Déprez, Laureate of Pierre Fabre Award for Therapeutic Innovation 2017

Prof. Benoit Déprez, PhD, MBA Benoit received a degree of pharmaceutical sciences from the Faculty of pharmacy of Lille and a PhD in medicinal chemistry in the Lab of André Tartar at the Institut Pasteur de Lille. With André, he created the High Throughput Chemistry laboratory of the Institut Pasteur de Lille, which became in 1997 the chemistry department of Cerep. After 3 years spent at Cerep as Head of Chemistry, he moved to Devgen, a Belgian start-up, where he set up a Drug Discovery unit using *C. elegans*. Benoit Déprez is currently Professor at the University of Lille and heads the Drug Discovery Center based at the Institut Pasteur de Lille. His research interests focus on drug discovery and target validation in infectious and metabolic diseases. He is co-founder and scientific advisor of APTEEUS, (awarded in 2016 at the Concours Mondial d'Innovation). He is correspondent member of the Académie Nationale de Pharmacie and author of more than 100 papers and patents. H-factor = 26.



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 implication 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.

Our Society organises each year **three to four** dedicated **scientific events** from which the most important is the “*Rencontres Internationales de Chimie Thérapeutique - International Conference on Medicinal Chemistry*”, the **RICT**, an international congress devoted to the main scientific areas in medicinal chemistry and chemical biology. Generally these outstanding meetings bring together more than 25 internationally recognized speakers from Europe, Asia and North-America, to present their outstanding results in every aspect of modern medicinal chemistry / chemical biology.

In 2017 the **53rd RICT** entitled “*Drug Discovery & Selection*” is held in Toulouse, in Occitanie. For this meeting we propose a dense scientific program with 22 plenary lectures and 8 keynote lectures and we hope to welcome more than 350 attendees coming from more than 30 countries worldwide.

The SCT pays special attention to our community of young scientists and students, as they will ensure the future endeavors in drug discovery. Each year, special scientific days (*Journées de Jeunes Chercheurs*, Young Researcher Days, **JJC-YRD**) are organised for young PhD students and postdocs each year. This year the **SCT Young Researchers Days (YRD)** was organised in Châtenay-Malabry (South of Paris) in February 2017. This two and a half-day meeting knew a great success giving the opportunity for more than 230 PhD students and postdocs registered from 23 countries, to present their results in 25 oral communications and poster sessions. The **YRD meeting** provides unique occasion for attendees to present their work, exchange with peers, and meet HR representatives of pharmaceutical companies, small biotechs, start-ups. At the meeting, special work-groups are organized to improve the CV, with simulated job interviews and round-tables on career orientation. The **forthcoming 24th YRFM** will be held March 5-7, 2018 in Orléans

The SCT continues to promote the added value of chemical sciences within drug research and development, both focusing on the development of new drugs, but as well for the elaboration of synthetic tools allowing to better unravel and understand the biological processes. In this line, we do organize a thematic day "Chemical Biology, Contribution to Molecular Therapeutic Innovation: Conjugates and Drug Discovery Chemistry, new challenges for targeted therapies", that will be organized on December 7th, 2017 in Paris.

For several years the SCT has been engaged in supporting young talented researchers in medicinal chemistry and chemical biology. By offering reduced registration and accommodation fees and the possibility of poster and career sessions, SCT encourages young scientists to attend these prestigious meetings. The best posters are rewarded by the "*Prix de Vocation*" allowing the awardees to participate free of charge in the next RICT.

For our more senior researchers, each year the "**Ehrlich Prize**" is attributed to researchers or teams for their outstanding contribution to medicinal chemistry. We also award the "**Pierre Fabre Award for Therapeutic Innovation**". Both recipients are presenting their top-level work at the RICT meeting.

In recent years the SCT continued its transformation in order to better meet the expectations of researchers, academic and industrial partners. Thus, in 2015 a 'Business Development Unit' under the guidance of Dr Pascal George was created in order to build interactions with SMEs, CROs and Biotechs and deals with their specific demands (advise, coaching, expertise...). The Business Development Unit counts today 5 members, all recognized for their expertise in different domains of drug discovery and/or business development, and we have set in place quite a number of contact with SMEs, SATTs, incubators, etc ...

We maintain a transparent communication of ongoing activities on our web-site, to show the strong dynamic behind our activities, to draw interest from the scientific community seeking to network and exchange, in order to encourage subscriptions and thus power up the position of the SCT within the European Federation of Medicinal Chemistry.

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



Dr Luc Van Hijfte
SCT President



Professor Sébastien Papot
SCT Vice-President

News from the SCT Communication

A new SCT website is online

The SCT website has been completely redesigned as a platform presenting the activities of the Society as well as a relay of communication between members. On the homepage (<http://www.sct-asso.fr>, see below), you will find various information about the Society, prices, job offers, links, and texts written by SCT members on the left-hand menu. The meetings and events organized by the SCT are located on the right-hand menu, while the member access with the directory and SCT registration is in the center.

You can also add information to the site by contacting us at communication@sct-asso.fr

The SCT now has its Twitter Account

SCT was already present on LinkedIn, now we are also on Twitter: **@SCT_asso**

Our Twitter account will smoothly gain in productivity. Following our tweets will help you get at your fingertips news about SCT activities, meetings and other events as well as information from our members and partners.

With this added feature, the SCT will keep you alert and informed on various topics in our SCT expertise domain.



The SCT Communication Board:

Dr. Frédéric Schmidt (Institut Curie, Paris)

Pr. Nicolas Willand (Université de Lille 2)

Dr. Aline Moulin (Flamel Technologies)

SCT Awards, Prizes

Awards, Prizes attributed by the SCT and its sponsors

For more information visit our website: www.sct-asso.fr

1. **Ehrlich Prize** with Lecture on RICTs (Sponsored by Janssen Pharmaceutical R&D a division of Janssen-Cilag) is attributed each year to researchers of international reputation or research teams for their outstanding contributions to medicinal chemistry.
2. **The Pierre Fabre Award for Therapeutic Innovation** is awarding a talented researcher who has accomplished a decisive action, a scientific discovery, an innovative technology contributing to a substantial therapeutic innovation. This prize is sponsored by the company “Pierre Fabre Médicament”, in memory of its founder.
3. **Reaxys’ Award for Medicinal Chemistry** is proposed jointly by **SCT** and **Elsevier** to grant a **special award** to a Laureate working in medicinal chemistry, in order to recognize the quality of his/her work, at the interface of CADD, chemistry, biology, pharmacology and promoting the

use of databases or IT applications to manage these research programs. This prize is attributed to the best **keynote speaker** at the **RICT meeting**.

4. **Best Poster Award** for young medicinal chemist (Sponsored by Laboratoires Servier).
Two prizes are offered each year for the best two posters presented by young researchers at the RICT. The recipients are invited to deliver a talk at the next SCT Young Research Fellows Meeting (*Journées de Jeunes Chercheurs, JJC*).
5. **SCT Award for Young Researchers in Medicinal Chemistry** (Sponsored by Laboratoires Servier). This award ("*Prix d'Encouragement à la Recherche en Chimie Thérapeutique*") is for researchers no older than 36. The recipient of this prize is invited to give a talk at the SCT Young Research Fellows Meeting (*Journées de Jeunes Chercheurs, JJC*).

Grants attributed each year by the SCT and its sponsors

1. Congress Grants

Several grants are offered each year for young medicinal chemists to attend meetings such as the ACS (American Chemical Society) Meeting and RICTs.

These grants are attributed to Young Medicinal Chemists who presented the best talks and the best posters. Other grants to attend meetings are also given at the RICTs rewarding poster presentations.

2. Research Grants (sponsored by Laboratories Servier)

Each year a call for project is launched by Servier. The SCT announces the subject of the call for project and organizes the selection of the applications.

This year the subject is: "*Role and modulation of deubiquitinating enzymes in the clearance of neuronal α -synuclein*"

One or two projects are selected each year by a Jury including scientists from Servier and from the SCT. Financial support corresponds to a 3-year PhD Fellowship or a 2-year Postdoctoral Fellowship.

Other companies are strongly encouraged to propose calls for project!

Pr Alain Gueiffier
SCT General Secretary, Université de Tours



Novithera is a biopharmaceutical company founded with the mission to **exploit kinases** for the development of small-molecule **cancer immunotherapeutics**. The company is created jointly by **Dr. Luc Van Hijfte**, and the two world-renowned CROs **NovAliX** (www.novalix-pharma.com) and

ProQinase (www.proqinase.com), and is based in Illkirch, France

Novithera acts as a “virtual Pharma Company”, relying on

- (1) The **scientific guidance by a team of industrial experts** with complementary expertise, highly capable of governing the “from target to drug process”
- (2) **The ProNovA platform**: established lab facilities with experienced scientists and cutting-edge technologies and assays provided by NovAliX and ProQinase
- (3) Companies / Consultants in complementary fields such as regulatory development and Pharmaceutical CMC

The ProNovA platform represents a strong differentiating factor, as it warrants fast hit finding, including allosteric inhibitors, with the proprietary **Chemical Microarray SPR screening** technology, and/or accelerated **fragment based/structure based optimization** via access to NovAliX’ cutting-edge **structural biology** and **biophysics** platform. From the biology perspective, Novithera has access to a **>400 kinases assay** platform and both **in vitro** and **in vivo predictive assays**, allowing to guide the optimization to either highly selective inhibitors or rationally designed dual kinase ligands, based on a deep knowledge of causative signaling pathways, for optimal therapeutic efficacy

Immuno-therapy consists of boosting the immune defenses of the patient to fight the tumor. This new approach is seen as a real revolution in the fight against cancer, given the positive impact on the survival of some of the patients. It is regrettable that only a limited number of patients respond positively to today's existing immunotherapies based on biologics. There is still an urgent need to explore new approaches in the field of immunotherapy, to provide alternative therapeutic solutions. The immuno-oncology market is estimated in the \$ 200B by 2021.

Novithera has identified three different chemical series with activity on **MerTK and/or AXL**, kinases of the **family of TAM kinases**. Recent literature evidence strongly supports these targets to be highly interesting therapeutic targets, with a **dual role in tumor cell survival/invasion and host immune response**, more specific via a modulating effect on the Natural Killer cells. The most advanced series of macrocyclic compounds shows nanomolar activity on MerTK and AXL, both in biochemical and cellular assays, and have **anti-proliferative activity** in a number of cancer cell lines. The molecules have clearly differentiated selectivity profiles than the competitor compounds, warranting further development towards POC in vivo. The molecules have the potential for best in class status due to the **unique dual MerTK/AXL activity**. This compound series has full freedom to operate, and we plan to patent the series at the stage of in vivo POC

Novithera is currently executing structure-based optimization of the lead compounds, for which it is currently seeking **investments in a seed-financing round** from smaller investors through a crowdfunding like campaign. If anyone would be interested in the **investment opportunity**, to join our fight against cancer, and become a shareholder in a cutting-edge company, contact Dr Luc Van Hijfte. Novithera aims to become a market leader in the area of kinase based small molecule immunotherapeutics, to give life a chance.

Contact : Dr Luc Van Hijfte ; lvh@novithera.com ; tel : +33(0)672352925

PIKAÏROS

PIKAÏROS is a startup company specialising in **training, consulting and software development in the Analytical Sciences**. The company was founded **3 years ago by Dr Christophe Molina**, specifically with the aim of providing **Data Analytics expertise** to Pharmaceutical and Biotechnology companies.

PIKAÏROS has an extensive portfolio of **successfully managed Data Analysis projects** in many fields including Bioinformatics, Chemoinformatics, Molecular Biology, Microscopy, Mass Spectrometry and Pharmacy, and constantly enjoys the **highest degree of customer satisfaction**.

PIKAÏROS is at the cutting edge of Data Analytics technologies, **mastering the latest Big Data analytical tools** such as Deep Learning, Graph Databases and Relational Graphs in order to **leverage the fullest understanding of your pharmaceutical data** and turn your questions into the best possible actions for your business.

We help our customers analyse their data in three different ways:

- we offer customised **Data Analysis training** using the most innovative tools and techniques in the market, tailored to your current and future needs and wishes
- we develop our own **Data Analysis tools** to solve challenging pharmaceutical problems such as:
 - target deorphaning in small-molecule phenotypic assays
 - off-target prediction, anti-target alert
 - molecule repositioning
 - PAINS detection
 - ADMET in silico models
 - analysis and organisation of pharmaceutical chemical libraries
 - visualisation and clustering of chemical collections
- we provide individually tailored **Data Analysis consulting** to help you solve the challenging pharmaceutical and biotechnology issues you have to deal with

PIKAÏROS is based near Toulouse, France, and operates internationally throughout Europe, working remotely or on-site as required by your business needs.

Check out our website at www.pikairos.com or send us an email to contact@pikairos.com, we look forward to talking to you . . .

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Pr Hervé Galons
Université de Paris Descartes

Upcoming events organized under the auspices of the SCT:

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July 4-6, 2018, Strasbourg, France

25th SCT Young Research Fellows Meeting (Journées de Jeunes Chercheurs, JJC)

March 5-7, 2018, Orléans

A thematic day : Chemical Biology Contribution to Molecular Therapeutic Innovation: Conjugates and Drug Discovery Chemistry, new challenges for targeted therapies

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