Société de Chimie Thérapeutique

NEWSLETTER 2013

EDITORIAL

Striving for the High-hanging Fruits

Drug discovery is operating in an environment that is becoming even more challenging. Apart from increasing regulatory hurdles, the reimbursement of a drug, which is crucial for success in the market, will depend on its breakthrough innovation potential, i.e. providing significant difference in the care for patients and convincing scientists, regulatory authorities, insurance companies, physicians and first and foremost the patients.

At the other end of the value chain, in the early stage of drug discovery the situation is changing towards a significantly increasing ratio of targets associated with an inherently poor chemical tractability. This does not only include targets with a low probability to bind small molecules such as those involving protein-protein interactions but also targets associated with highly demanding selectivity issues, challenging assay designs and incomplete or invalid secondary test cascades. Many of these targets can be modulated by antibodies or other macromolecules. However, this comes at the expense of parenteral application, which is considered less attractive for many therapeutic areas, not to mention the limitation to extracellular targets.

Hence, the future of Medicinal Chemistry will depend on our ability to find lead structures also addressing poorly tractable targets and to optimize them into (oral) drugs with a high chance to demonstrate reimbursable differentiation in clinical studies. The obvious mismatch of increasing risk in the early stage versus excessively high expectations at the end of the R&D process will require adapting the standard throughput models for industrial drug discovery towards longer cycle times and increased resources per project.

In the context of these challenges, even more attention will be attributed to the areas of target identification/ validation and to novel, innovative lead finding approaches. Worldwide, several public-private partnerships have been initiated to improve the environment for innovation in these areas. As an example, the European Lead Factory (ELF) has been recently launched by an international consortium of 30 partners supported by the European Medicines Initiative, the world´s largest public-private partnership in the healthcare sector. The European Lead Factory provides researchers in academia, small businesses, patient organisations and large pharma companies with unprecedented opportunities to jointly discover new drugs through access to a high-quality screening collection of half a million compounds.

The organizers of the RICT meetings have been able to advance the conference in recent years to one of the most attractive annual Medicinal Chemistry events with an excellent diverse mixture of lectures from industry and academia with an appropriate presentation of chemical structures.

Target identification/validation and novel lead finding approaches will be central topics at the 49th RICT meeting 2013 in Nice. Hit-to-lead strategies, multidimensional lead optimization, ADMET and case studies also on less tractable targets such as the sodium-calcium exchanger (NCX) complete the appealing program.

Pr Joachim Mittendorf
Bayer HealthCare AG,Germany

Three young and enthusiastic colleagues **Dr. Stéphane Azoulay, Dr. Audrey Di Giorgio** and **Dr. Maria Duca** are heading the local organizing team of the 49th RICT meeting.

First line from left to right: ***Audrey DI GIORGIO***, ***Stéphane AZOULAY*** *and* ***Maria DUCA***
Second line from left to right: *Hela AMDOUNI, Coralie CHARRAT, Jean-Patrick JOLY, Nicolas BARTHES, Christophe DI GIORGIO, Duc Duy VO, Nadia PATINO and Rachid BENHIDA*

Dr. Stéphane Azoulay is *Maître de Conférences* of the University of Nice and Head of the Department of Chemistry. After obtaining his engineer degree in Chemistry at the *Ecole Supérieure de Chimie Physique Electronique* (CPE, Lyon), he joined the Institute of Chemistry at Nice (ICN) (ex-Laboratory of Bioorganic Chemistry) for a PhD under the supervision of Pr. Danièle Duval in the field of immunochemistry. After getting his PhD degree in 2004, he joined the group of Pr. Shu Kobayashi at the University of Tokyo as post-doctoral fellow working on asymmetric catalysis in water but his love for Nice brought him back in 2005 for a permanent position at the University of Nice. Now he works on two different subjects: (i) development of immunoassay and new immunoassay formats and (ii) development of new anticancer and antiviral agents targeting cancer stem cells or RNA.

Dr. Audrey Di Giorgio, *Maître de Conférences* of the University of Nice, defended her PhD in 1996 at the University of Nice (Laboratory of Bioorganic Chemistry under the supervision of Prs. R. Guedj and R. Condom) on anti-HIV compounds. Then she joined the group of Dr P. Vierling at Nice (Laboratory of Chemistry of Bioactive Compounds and Fragrances) for a two-year post doctoral position where she worked on prodrugs deriving from anti-HIV protease inhibitors. Subsequently, she took off for the United States to complete a second post doctoral position on the development of new fungicides in Dr S. Regen's group (Lehigh University, Pennsylvania) before taking up a permanent position at the University of Nice. Since 2000 she has been Lecturer and her main activity focuses on research, development and delivery of new antiviral or anticancer compounds.

Dr. Maria Duca is a pharmacist of the University of Bologna (Italy) and received her Ph.D. in Biochemistry with Dr Paola B. Arimondo at Biophysics Laboratory at National History Museum in Paris (France) in 2005. She completed her post-doctoral studies with Prof. Sidney M. Hecht at the University of Virginia (USA) in 2007 and she began her academic career as CNRS Scientist (Nice, France) in the same year. Her research interests focus on the study of small molecules–nucleic acids interactions and their applications for the discovery of new bioactive molecules. In particular, she is now coordinating a project aiming at the synthesis of potentially anticancer molecules directed toward an innovative and original target: microRNAs. These structured RNAs are directly implicated in the development and progression of different types of cancer and the discovery of small molecules capable to interfere with their production or function would be a great advance for cancer chemotherapy.

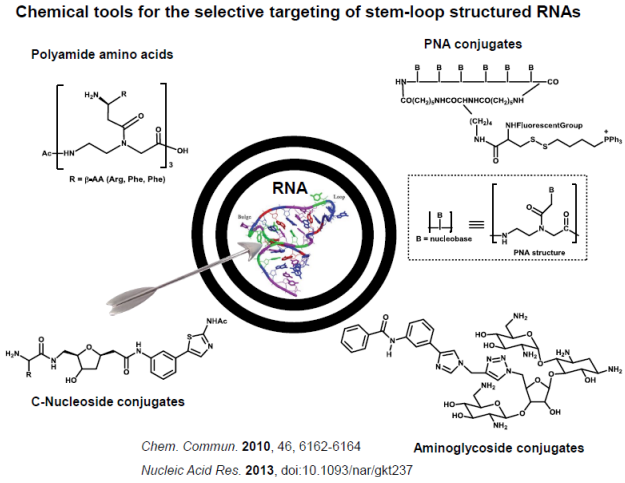
Institut de Chimie de Nice

The local organizers belong to the Bioactive Molecules group of the **Institute of Chemistry of Nice (ICN)**. ICN (UMR 7272 CNRS –

University of Nice) was born on January 1st 2012 gathering two different laboratories (LCMBA UMR6001 and LRSAE EA1175). This UMR is composed of 80 members including 50 permanent ones.
ICN is composed of three groups:

- Bioactive Molecules
- Aromas & Flavors : Synthesis and Molecular Modeling
- Chemical and Radiochemical Processes in Environment

The **Bioactive Molecules group** is strongly implicated in the research and discovery of new antiviral and anticancer agents as well as in the development of new tools to deliver active compounds toward their biological target and the intracellular assays of clinical drugs.



These research activities are attested by a strong collaboration network with biologists and various local and national companies as well as by its involvement in different competitiveness clusters such as *Mer, Sécurité et Sûreté* (*PACA*), *LyonBiopôles, Produits et Procédés Innovants pour la Santé et la Nutrition* (Prod'Innov) et *EuroBioMed* (*PACA*). The Institute of Chemistry of Nice is also involved in industrial transfer in pharmaceutical area and houses a recently created start-up named GENOCHEM founded by Cedric Poinsard. Genochem activities are focused on the discovery of innovative products for drug discovery and cosmetics.

Research and teaching are strongly correlated since ICN works in close cooperation with the Department of Chemistry. Most permanent members are directly implicated in the teaching activity of the Department and a number of practical trainings are offered by the laboratory.

Members of ICN are also responsible for master degrees (professional or research masters) and participate in high level and specialized trainings. The strong equilibrium between theoretical instruction and practical training in the laboratory and in industry is the basis for a good preparation and excellent future occupational integration.

Société de Chimie Thérapeutique

Paul Ehrlich Prize

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

This year the Prize goes to Professor Michel Lazdunski.

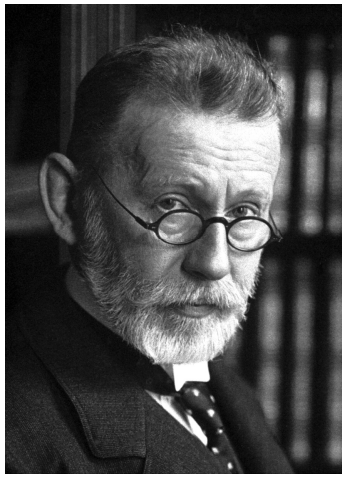
Janssen is a division of Johnson & Johnson Pharmaceutical Research and Development. Their strategy is to identify the biggest unmet medical needs and match them with the best science, internal

janssen | PHARMACEUTICAL COMPANIES OF Johnson&Johnson

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.

Paul Ehrlich

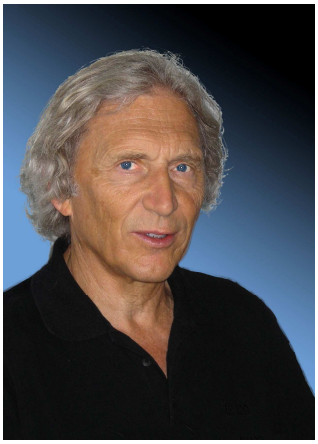
More than hundred years ago Paul Ehrlich shared the Nobel Prize for Medicine or Physiology with Elie Metchnikov. Even if this award was the crowning recognition of his contributions to immunology, today he is considered to be the founder of medicinal chemistry.



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 Tryptan 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.

Pr Michel Lazdunski, Paul Ehrlich Prize 2013 Laureate

Michel Lazdunski is chemical engineer, Ph.D. in Physical Chemistry and helds a Doctorat es Sciences in Biochemistry. He was Professor in Marseille, then in Nice in the Faculty of Sciences and in Medicine and Professor at the *Institut Universitaire de France*.



He was the founding Director of the CNRS Research Center for Biochemistry in Nice (1973-1989), the founding Director of the CNRS Research Institute for Molecular and Cellular Pharmacology (1989–2004) and the founder of the Institute of Molecular Neuromedicine in Nice-Sophia Antipolis.

Along his exceptional career Professor Lazdunski made seminal contributions to the target identification and validation aspects of medicinal chemistry. He is an internationally recognized expert in the field of ion-channel research and their implications in various pathologies. His fundamental research combining experiments in

biochemistry, molecular biology, pharmacology and physiology has greatly contributed to getting molecular and physiopathological insight into the pharmacological exploration of ion-channels as validated targets in drug discovery. Thus, by extracting toxins from the venoms of scorpions, snakes, sea anemones, bees, spiders or from plants he has identified numerous substances that were/are used widely as molecular probes for the identification, isolation and analysis of ion-channels particularly in cardio-vascular, muscular and nervous systems. He has also used established drugs to elucidate ion channel properties. Lazdunski's team has been pioneer in studying the molecular mechanism of action of the different classes of calcium channel blockers, a widespread family of antihypertension drugs. This led to the molecular characterization of L-type Ca^{2+} channels. Michel Lazdunski and his team have also demonstrated that the molecular targets of sulfonylurea type antidiabetic drugs are a particular class of potassium channels, the K_{ATP} channels, situated in insulin secreting pancreatic cells and controlling insulin secretion. By using molecular pharmacology he has evidenced the presence of this channel type in the heart where it is involved in hypoglycaemia/anoxia/ischemia. In the brain its activation is neuroprotective and it is implicated in pre-ischemic conditioning. Lazdunski and his colleagues have identified a new potassium channel important for normal cardiac rhythm and inner ear function. Mutations of this channel cause heart disease and deafness. This channel in humans is interesting in the context of arrhythmia/anti-arrhythmics.

They have discovered important brain K^+ channels that respond to G proteins and are activated by neurotransmitters hormones and drugs (such as morphine). They have identified an other new class of potassium channels in neurons which are key targets of volatile compounds used as general anesthetics. This observation may contribute to the development of new and safer anesthetics. They have discovered potassium-channels that are the targets of polyunsaturated fatty acids such as ω_3's and of neuroprotective substances with a potential for treatment of retinal, spinal-cord or cerebral ischemia. They have discovered mechano-, heat-, and cold-sensitive K^+ channels that are important in sensory perception and pain. Other potassium channels playing a key role in depression have also been identified: when they knocked out this channel gene, animals had an antidepressant phenotype. They have cloned the first peptide-gated ion channels.
In nociceptors Lazdunski and his team have discovered a special class of ion channels responding to extracellular acidic stimulation, a key stimulus for pain in situations of inflammation, hematoma, cancer, muscle pain and have created natural substances pharmacology for these channels and for pain treatment.

Currently, the interest of Michel Lazdunski are ion channels in the central nervous system and their implication in neuroprotection, pain, epilepsy, anxiety, depression.
Lazdunski's group has also worked intensively on epithelial ion channels. They have contributed to identify a gene associated with sodium ion transit in the kidney (and in the lung) which is sensitive to diuretics. Pulmonary chloride ion channels have also been studied by the group which discovered the main electrophysiological defect of the main mutation in the CFTR channel and the first molecule capable of reactivating cystic fibrosis mutated ion-channels thus opening a new potential way for drug development.

During his career Michel Lazdunski has been member or chairman of numerous national committees (CNRS, INSERM, Ministry, Foundations ...), as well as international committees, scientific boards for European programs (EMBO, EEC, ERC ...), international research networks. He has been member of the editorial board of numerous international scientific journals.
For his outstanding research activities he received many prestigious awards (Gold Medal for Medicine Ernst Jung Foundation, CNRS Gold Medal, two "Grand Prix of the French Academy of Sciences, Grand Prix de la Fondation de la Recherche Médicale, BMS Foundation Unrestricted Neuroscience Award, Outstanding Research Award of the International Society for Heart Research, Magnes Prize, Galien Prize …) and he is member of several academies (Académie des Sciences de France, Academia Europaea, Belgian Royal Academy of Medicine).

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 drug discovery and related sciences from target identification to drug registration. The SCT is also involved in advancing medicinal chemistry by initiating cooperation, networking, providing training and rewarding scientific excellence. The SCT is interested in developing and maintaining scientific contacts with industrial and academic research groups, medicinal chemistry 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 **four** dedicated **scientific events** from which the most important is the "***Rencontres Internationales de Chimie Thérapeutique"*** **RICT** an international congress devoted to the main scientific areas in medicinal chemistry. Generally these highly successful meetings bring together more than 25 internationally recognized speakers from Europe and the US presenting their outstanding results in every aspect of modern medicinal chemistry.
This year the 49th RICT entitled "Drug Discovery and Selection, when Chemical Biology meets Drug Design" is held in Nice on the French Riviera with a very dense scientific program (29 lectures) and more than 600 participants from more than 50 countries.
Each year the **Ehrlich Prize** is attributed to researchers or teams for their outstanding contribution to medicinal chemistry. This work is presented by the Ehrlich Prize Laureate at the RICT meeting.

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.

In April 2013 a successful **One-Day Workshop** on Biologically Relevant Molecular Diversity has been organised with more than 150 attendees of main pharmaceutical companies, biotechs, academic groups from European countries. For several years we have been proposing **Fall One-Day Meeting**s on a particular topic in medicinal chemistry. This year at the end of November the scientific program will focus on chemical biology, especially its contribution to molecular therapeutic innovation and the pivotal role of chemists.

Special scientific days (***Journées de Jeunes Chercheurs***, **JJC**) are organised for young PhD students and postdocs each year. In February 2013 this Two-Day Meeting offered the opportunity for more than 250 PhD students and postdocs to present their results in 30 oral communications and poster sessions. The JJC provides unique occasion for attendees to meet human resources representatives of pharmaceutical companies, small biotechs, start-ups for simulated job interviews. Special service to ameliorate their CV and round-tables on career orientation have also been organised. We have recently decided to alternate the location of JJC between Paris and the country's main academic research centers. The forthcoming JJC will be held in Montpellier in 2014.

To modernize our Society a series of measures has been introduced. SCT Board was reorganised, a new **Scientific Advisory Board** (SAB) of experts covering the main fields of medicinal chemistry was set up to promote the attractiveness and quality of our events. Partnership contracts were established with pharmaceutical companies, public and governmental institutions as well as sister societies in neighbouring countries. Thematic days were launched to cater to special demands of pharmaceutical R&D. Communication of ongoing activities has been intensified to encourage subscriptions and thus power up the position of the SCT within the European Federation of Medicinal Chemistry and French Federation for Chemical Societies.

For inscription please feel free to visit our website (**www2.sct-asso.fr**) and you can be informed on our activities, events at **www.sct-asso.fr**.

Dr Pascal George
SCT President

Pr Janos Sapi
SCT Vice-President

Société de Chimie Thérapeutique

SCT : Website and Social Networks

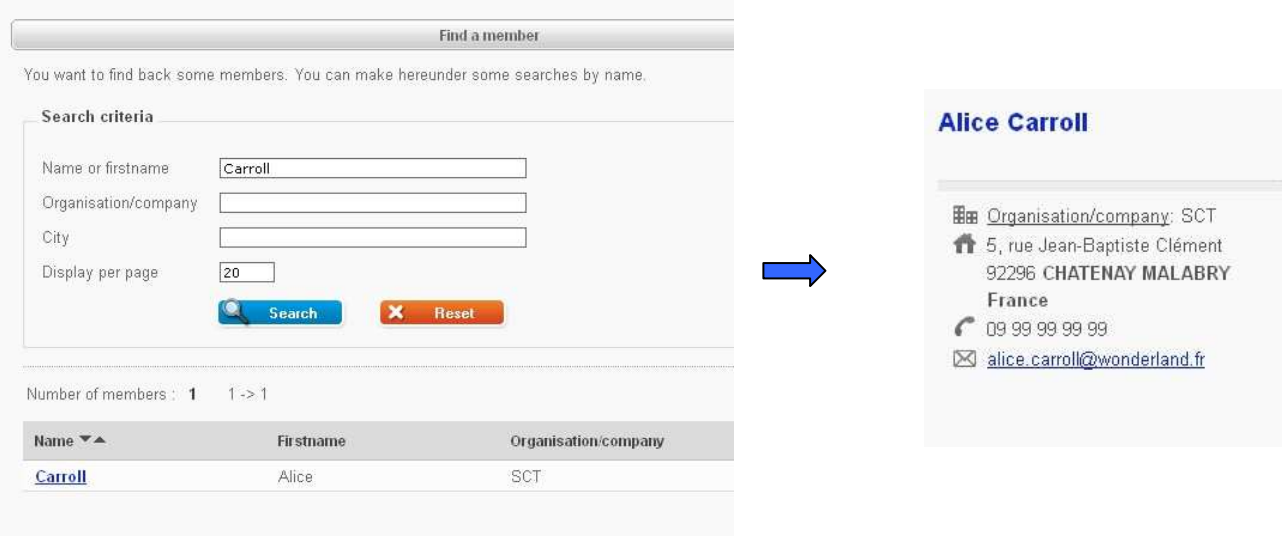
Web Site

http://www.sct-asso.fr

The SCT website has been designed as a platform presenting the activities of the Society as well as a relay of communication between members. It is divided in two parts: a public part, and a private part accessible only to SCT members with a login and a password.

Everyone has a direct access to the News and Events directly on the homepage. They are classified in three categories (from the SCT, from our privileged partners, or from others).

Going to **http://www2.sct-asso.fr** provides access in French or in English to the membership application, or to the registration form for some of our meetings (Young Research Fellow Meeting or Fall one-day thematic meeting).
SCT members have access to the coordinates of all SCT members that have accepted to share their address by filling out the form as below.



SCT members can also retrieve their membership number required to pay the reduced fee for SCT organized meetings (such as RICT). By filling out the form “Find your membership number” they will receive an e-mail where are mentioned the membership number, login, password, and status of the membership for the current year.

Social Networks

SCT is also present on the 2 most popular **social networks**, ***LinkedIn*** and ***Facebook***.

You can become a "**Com. Committee SCT**" relation on **LinkedIn** and a member of the "RICT - International Conference on Medicinal Chemistry" and "SCT - Journées Jeunes Chercheurs" groups.

On **Facebook**, make "**Societe Chimie-Therapeutique**" a friend of yours and become a member of "Journées Jeunes Chercheurs" groups.

You will thus be permanently connected to the SCT and its members: you will so have the opportunity to be linked to French (and European) medicinal and biotech community. You will be informed of News and Events organized by the SCT. RICT and JJC speaker profiles and sponsors will be made immediately available to you and you will be alerted to new job offers and to other information concerning particularly young medicinal chemistry scientist career.

"Journées Jeunes Chercheurs" group on **Facebook**:

https://www.facebook.com/login.php?next=http%3A%2F%2Fwww.facebook.com%2Fgroups%2F235361546525890%2F

"RICT" group on **LinkedIn**:

http://www.linkedin.com/groups/RICT-International-Conference-on-Medicinal-3734237/about

RICT on LinkedIn

JJC on Facebook

The SCT Communication Board:

Dr. **Frédéric Schmidt** (Institut Curie, Paris)
Dr. Terence Beghyn (Université de Lille 2)
Dr. Aline Moulin (Flamel Technologies)

Various awards, prizes are attributed each year by the SCT.

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

1. **Ehrlich Prize** with Lecture on RICTs (Sponsored by Janssen a pharmaceutical company of Johnson & Johnson)
This prestigious award is attributed each year to researchers of international reputation or research teams for their outstanding contributions to medicinal chemistry.

2. **SCT Prize for Young Medicinal Chemist** (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 French Annual Meeting of Young Medicinal Chemists (Journées de Jeunes Chercheurs, JJC).

3. **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 Annual Meeting of Young Medicinal Chemists (Journées de Jeunes Chercheurs, JJC).

Grants attributed each year by the SCT

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 was: "*Looking for treatments in heart failure, myocardial ischemia, ventricular arrhythmia or atrial fibrillation*".

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 Hervé Galons
Université de Paris Descartes

GALDERMA
Committed to the future of dermatology

A COMPANY EXCLUSIVELY DEDICATED TO DERMATOLOGY

Our Activity

Galderma is a global leading pharmaceutical company specializing in the research, development and marketing of innovative medical solutions in dermatology. Galderma's important investments in R&D, extensive product portfolio, global presence and expertise in three key segments (prescription drugs, self-medication drugs[1] and aesthetic and corrective medical solutions) contribute to maintaining its strong leadership in dermatology.

Our Ambition

Galderma is committed to delivering innovative medical solutions to meet the dermatological needs of people throughout their lifetime while serving healthcare professionals around the world.

Key Figures

- Sales in 2012: **1.590 billion euros**, an increase of 5.9% over 2011[2],
- **31 affiliates**,
- More than **4,200 people** throughout the world[3],
- Products distributed **in over 70 countries**,
- **4 products in the Top 20** on the dermatology market[4],
- Approximately **19% of revenues** invested to **discover and develop new drugs** and access innovative technologies,
- **1,700** scientific publications and **more than 6,500** patent applications and patents,
- **57** new patent applications filed in 2012.

Our Organization

- **Headquarters:** Lausanne (Switzerland),
- **Corporate/Regional Services:** Paris-La Défense (France),
- **4 R&D centers:** France, Sweden, USA and Japan,
- **4 Manufacturing sites:** France, Canada, Sweden and Brazil,
- **31 Affiliates** in the major countries of the globe's five continents,
- **Joint-venture** between Nestlé and L'Oréal created in 1981.

Conditions and Products

Therapeutic areas: Acne, rosacea, psoriasis/steroid-responsive dermatoses, onychomycosis, pigmentary disorders, skin cancer, medical solutions for skin senescence.

Strategic products: Differin (acne), Epiduo (acne), Oracea (rosacea), Rozex/Metro (rosacea), Clobex (psoriasis), Silkis/Vectical (psoriasis), Loceryl (onychomycosis), Tri-Luma (pigmentary disorders), Metvix (skin cancer), Azzalure/Dysport[5] (skin senescence), Emervel (skin senescence), Restylane (skin senescence) and Cetaphil (therapeutic skincare line).

For more information http://www.galderma.com

[1] Self-medication drugs: drugs which can be purchased without a prescription
[2] Source: internal data, at end of December 2012, at comparable rates
[3] Source: Internal data, at end of December 2012
[4] Source: IMS data: D+J1A+J2A (dermatology only), MAT December 2012 – 39 countries
[5] Dysport is a trademark of Ipsen

Pharma Societies, Our Partners

www.glpg.com

Galapagos was founded in 1999 as a joint venture between Crucell and Tibotec and currently employs around 800 people. From the start, Galapagos has operated a hybrid business model, combining internal R&D programs with fee-for-service activities.
The service division, including BioFocus and Argenta, acquired respectively in 2005 and 2010, and Fidelta, a former GSK research center in Croatia, forms one of the world's largest and most comprehensive drug discovery service organizations offering target discovery and validation, chemical libraries, screening and drug discovery through to delivery of pre-clinical candidates.

The R&D drug discovery part of the hybrid model is based on risk sharing alliances with big pharma (GSK, J&J, AbbVie, and Servier) and outlicensing to support internal programs. License fees and milestone payments from partners for the different stages in drug discovery and clinical development help Galapagos finance a strong pipeline.
Internal Medicinal Chemistry, located in Romainville (France) and Mechelen (Belgium) relies on 70 chemists involved in a broad range of therapeutic areas such as cystic fibrosis, inflammation, antibiotics, metabolic disease, oncology and osteoarthritis.

Together with their biologists and DMPK counterparts, they contributed to the identification of four clinical stage programs, including GLPG0634, a selective JAK1 inhibitor about to enter Phase 2b studies, and GLPG0974, an FFA2 antagonist in Phase 2a, both for Immuno-Inflammatory diseases. Galapagos currently has four clinical, seven pre-clinical and 30 discovery projects, making for one of the most attractive pipelines among biotech companies.

For information contact: rd@glpg.com

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www.novalix-pharma.com

A unique and powerful combination : **NovAliX** is the fusion principally of three drug discovery companies that arose out of the drive to make practical industrial use of innovative technology and academic excellence: **Novalyst** (ex-Strasbourg University), **AliX** (ex-Institute of Genetics and Molecular and Cellular Biology) and Graffinity (Heidelberg). Novalyst derives from the organic chemistry laboratory headed by Charles Mioskowski († 2007), known for methodology development and natural product synthesis.

AliX spun out from the world-renowned structural biology laboratory in the field of nuclear receptors spearheaded by Dino Moras and Pierre Chambon. Graffinity, one of the pioneers of surface plasmon resonance, has a proprietary approach to screening that is especially well suited to supporting fragment based drug discovery.

Operations date back up to 16 years supporting clients in all major markets. Rapid and flexible responses to changes in the market are a hallmark of the company, including organic growth, partnerships and acquisitions. Recent developments are the establishment of a laboratory in Tunisia and a robust in-sourcing model.

Maintaining a culture of scientific excellence is seen as critical, particularly in the core competences of synthetic and medicinal chemistry and structural biology. NovAliX' unique skill-set provides a powerful integrated drug discovery platform at the heart of which are the experts whose personal professional development is channeled into reaching the goals set by our clients.

The major biophysical tools have all been customized by our experts to increase the probability of success and to maximize efficiency. All of the following can be found in one location, *in-silco* molecular modeling, protein production & crystallization, X-ray crystallography, NMR, MS and SPR ,and so can be used interchangeably ensuring that projects make optimal progress in a science directed manner.

NovAliX Group is a team of 130 expert scientists, located in: Strasbourg-Illkirch & Val-de-Reuil* (France), Heidelberg (Germany), Toledo* (Spain), Sidi Thabet (Tunisia) and Basel (Switzerland). **In-sourcing facilities*

For information contact: info@novalix-pharma.com

PRESTWICK CHEMICAL
A medicinal chemistry company

www.prestwickchemical.com

Prestwick Chemical, located in Strasbourg-Illkirch, France, was created in 1999 by Prof. Camille-Georges Wermuth as a spin off from the University of Strasbourg and is now an established drug innovator.
With our smart screening libraries and our integrated discovery services, we help our customers in the pharmaceutical, biotech, cosmetics and crop science industry to identify and optimize new bio-active molecules. We have specialized in providing development candidates using competitive medicinal chemistry. Our scientists are supported by state-of the art computational tools. They apply technologies to build a strong foundation for the understanding of structure-activity relationships and for risk assessment based on cutting edge *in silico* ligand profiling.

Prestwick Chemical, with its partners, offers a complete coverage of early drug discovery steps from virtual screening to optimized leads, ready for (preclinical) development. Our services include model building, assay development, high-throughput and fragment screening, and medicinal chemistry at all stages from hit expansion up to lead optimization. In addition, we provide custom synthesis with scale-up potential, as well as exploratory chemistry and library design on an exclusive basis.

The highly experienced medicinal chemistry team has performed hit to lead and lead optimization campaigns towards all major target classes (enzymes such as kinases, receptors such as GPCRs, ion channels, and protein protein interfaces). Prestwick Chemical has devoted much effort to ensure that the medicinal chemists work on the most promising hit series: Our medicinal chemists evaluate the hit series with respect to IP space, emerging SAR, and

chemical tractability. So far, we have produced more than 10,000 original compounds, from which seven have entered into clinical development: Two are currently in clinical phase III studies, two in phase II, and three have reached clinical phase I. Several more are currently in pre-clinical development. Moreover, one compound is already on the market.

The Prestwick Chemical compound collections (Prestwick Chemical Library®, Prestwick Phytochemical Library, and Prestwick Fragment Library) are of highest international standard, and validated worldwide by a large number of pharmaceutical companies and academic labs. Re-supply of each compound, thus allowing customers to rapidly validate their hits is guaranteed, completed by a hit-follow-up service giving first SAR studies.
Prestwick Chemical has several modular service offerings that can be used separately or combined, on a pure FTE based service model, or with risk and IP sharing.

For further information on Prestwick Chemical, please visit the website at:
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Dr Thierry Langer, CEO

Société de Chimie Thérapeutique

European Journal of Medicinal Chemistry

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The ***European Journal of Medicinal Chemistry*** is a global journal that publishes studies on **all aspects of medicinal chemistry**:

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- biological behavior;
- pharmacological activity;
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- QSAR; molecular modeling;
- drug-receptor interactions;
- molecular aspects of drug metabolism;
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It provides a medium for publication of original papers, laboratory notes, short or preliminary communications, and invited reviews.
The impact factor of EJMC (3.34) is one of the highest of all medicinal chemistry journals.

Nice cemetery and the graves of Raoul Dufy and Henri Matisse

No doubt there is an abundance of things to do in Nice and the surrounding seaside towns. Going to *les Cimetières du Château de Nice*, however, can give you a rare glimpse into centuries of life in Nice. The family tombs hold generations, dating back to the early 1800′s. If you're seeking a quiet refuge from the hustle and bustle of Old Nice or a break from the crowded beaches, wander through the cemetery nestled on Castle Hill. The true allure of this cemetery lies in two graves in particular: those of famous painters Raoul Dufy (1877-1953) and Henri Matisse (1869-1954). Both suffered from serious illness but after recovery, could prolonged their artistic activity for several years, before ended their days in Nice. Dufy's is a small, discreet grave, and very low, is almost hidden from view and a little difficult to find. That of Henri Matisse is on the opposite side of the cemetery. Their story in relation to the illness merits further consideration.

By 1950, the hands of Raoul Dufy were struck with rheumatoid arthritis and his ability to paint diminished, as he has to fasten the brush to his hand. At that time, researches from Hench and Kendall (Mayo Clinic) and Reichstein (Basel), led to the discovery of cortisone. Dufy was invited by two physicians, F Humberger and CD Bonner from Boston (USA) to become one of their patients in the clinical tests of ACTH and cortisone. Dufy accepted this offer, went to Boston and entered into the Jewish Memorial Hospital. After a complete check-up, treatment was begun with ACTH (100mg per day) and cortisone acetate (100mg per day). Despite complications during the course of therapy, within a few days, the complete infirm and immobilized old man was able to walk with the aid of crutches and to squeeze his paint tubes unassisted. He came back to France, in Paris first and then to Forcalquier, on the French Riviera. Some of his next indian ink drawings and paintings were dedicated to doctors Humberger and Donner, and one bunch of flowers, to the cortisone. Unfortunately soon after, Dufy died from digestive hemorrhages, probably due to cortisone treatment, before completing his "œuvre", as he hoped.

Henri Matisse was diagnosed with duodenal cancer in 1941. In 1942, after two major surgeries, Matisse, now confined to a wheelchair, found out his ex-wife and daughter had been part of the French Résistance, and had been captured by the Nazis. Needless to say, it was cruel irony that he, being gravely ill, would survive his family. After these events, Matisse, was now under the care of Lydia Delektorskaya, his former model, and current companion. Being too incapacitated to paint, he turned to other techniques and also drew while lying in bed, using sticks of charcoal stuck to the ends of long poles to draw on the walls. He wrote and Illustrated Jazz, which was published in 1947, and was persuaded by a nun who had been one of his previous models, to design the decor of the Sainte-Marie du Rosaire Chapel, in Vence.

There is one grave, you cannot find, that of the genius violonist, Niccolo Paganini (1782-1840) who suffered from a Marfan's syndrome which lended him extraordinary flexibility of the wrist. People would say he'd made a deal with the Devil for his virtuoso ability. He died in Nice but prior refused the sacrament by the Bishop of Nice. On these grounds, and his widely rumored association with the devil, (the devil is death !) the authorities refused to bury him at the Nice cemetery and his body was denied a Catholic burial in Genoa. It took four years and an appeal to the Pope before the body was allowed to be transported to Genoa, but was still not buried. His remains were finally laid to rest in 1876 in a cemetery in Parma.

Dr Claude Monneret Institut de Curie, Paris

Upcoming events organized under the auspices of the SCT:

Fall One-Day Thematic Meeting
"Chemical Biology: Contribution to Molecular Therapeutic Innovation. A New Role for Chemistry?"
November 26 2013, Biocitech Industrial Park, Romainville (near Paris)

French Annual Meeting of Young Medicinal Chemists (Journées de Jeunes Chercheurs, JJC).
March 2014, Montpellier

SCT - French Society for Nanomedicine Common Scientific Meeting
April 2014, Paris

50th International Conference on Medicinal Chemistry RICT 2014
July 2-4 2014, Rouen

Upcoming events of our privileged partners:

NovAlix Conference 2013
"Biophysics in Drug Discovery: Developing the Synergy between Biophysics and Medicinal Chemistry to Deliver Better Drugs"
October 15-18 2013, Strasbourg

French Society for Nanomedicine (ex GTRV) Annual Meeting
December 2-4 2013, Orléans

For more information: www.sct-asso.fr

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Upcoming Events

NovAliX Conference 2013
Biophysics in Drug Discovery
Developing the Synergy between Biophysics and Medicinal Chemistry to Deliver Better Drugs
Palais Universitaire, Strasbourg, France > **October 15-18, 2013**

MedChem 2013
Annual One-Day Meeting on Medicinal Chemistry of SRC & KVCV
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10th International Symposium on Drug Analysis
25th International Symposium on Pharmaceutical and Biomedical Analysis
Liège, Belgium > **June 23-25, 2014**

RICT 2014
50th International Conference on Medicinal Chemistry
Rouen, France > **July 2-4, 2014**

BOSS XIV
14th Belgian Organic Synthesis Symposium
Louvain-la-Neuve, Belgium > **July 13-18, 2014**

EuroQSAR 2014
20th European Symposium on Quantitative Structure-Activity Relationship
St. Petersburg, Russia > **August 31 - September 4, 2014**

EFMC-ISMC 2014
23rd International Symposium on Medicinal Chemistry
Lisbon, Portugal > **September 7-11, 2014**

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