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Editorial



Dear Colleague,

the Innovative Medicines Initiative (IMI) is now operative and the first call has been launched end of April. Although in the first call only little space was given directly to medicinal chemistry, there were several highly interesting topics in which a consortium definitely can benefit from the inclusion of medicinal chemists. These include the development of biomarkers and of expert systems for toxicity prediction. We should not forget that medicinal chemistry is a very broad disciplines dealing with all chemical aspects related to the design and development of medicines. IMI is also an example of how research funding might develop in the future. Throughout Europe we are facing the problem that public research funding agencies are devoting more and more money to excellence initiatives and research clusters while simultaneously cutting down the budgets for basic funding.

Thus, success rates for receiving an individual research grant are sometimes below 10 – 20%. This is an enormous waste of time and intellectual capital. In his perspective, Phil Portoghese outlines the current situation in the US, where the cuts in the NIH budgets forced Universities to look for other sources on income. Medicinal chemists are beneficiaries of such efforts, as their research leads to new technologies and potential products, which might be commercialised.

With this issue we start a new series of articles devoted to the history of EFMC, written by Henk Timmerman. We highly encourage you to participate in this effort and to provide us with material, such as photos, old opinion articles or meeting reports from previous International Symposia of Medicinal Chemistry. We hope that finally we will have a complete archive of the development of EFMC which will be accessible via our web-page.

To ensure that we are using the correct e-mail address, or if you would like to receiving the newsletter directly in your mailbox, please register on our web-page **www.efmc.info**.

Gerhard Ecker *Editor*



Perspective

Publishing and research funding in the new millennium

BY PHILIP S. PORTOGHESE



At the 14th International Medicinal Chemistry Symposium (I) in 1996 I presented my perspective on the state of medicinal chemistry

and its future development in the 21st century. As a dozen years have elapsed since that presentation, I thought it would be appropriate to comment briefly on factors that are playing roles in shaping scientific publishing and funding for research in medicinal chemistry since the start of the new millennium. While my perspective on academic medicinal chemistry is an update on trends in the U.S., the close association between U.S. and European medicinal chemistry make it likely that we share many of the same experiences.

An area of significant change is scientific publishing, given the bourgeoning increase in the number of scientific journals over the past decade. In view of more than 1.4 million scientific articles that are published annually in about 16,000 journals worldwide and the spiraling increases in the cost of subscriptions, libraries at academic institutions have restricted their periodical subscriptions to established journals with a sufficiently high impact factor for specific fields of research. In this regard, the Journal of Medicinal Chemistry (JMC) maintains its premier status in the field with an impact factor of 5.1 and a record number of yearly citations (38,868 in 2006).

Starting in 2000, closer association

was established between the JMC and the European Federation of Medicinal Chemistry (EFMC). This was accomplished by the a pointment of an officer of the EFMC as an ex officio member of the Editorial Advisory Board of JMC. These appointments, which have continued to the present day, have been instrumental in establishing closer ties between European and American medicinal chemists, as suggested by the joint symposia that have been organized by the EFMC and the Medicinal Chemistry Division of the American Chemical Society.

Over the past dozen years the meteoric rise of the internet as a medium for communication has had a profound influence on publishing. All well-established medicinal chemistry journals are now published both electronically and as hard copy. The trend toward electronic scientific journals is clear. There has been a steady increase in web based electronic subscriptions and a concomitant decline of print subscriptions. In the not-to-distant future, perhaps within ten years, web-based electronic publications may replace print entirely. In this regard, over the past decade a number of newly published medicinal chemistry journals have been published only in electronic format.

Making published biomedical research available to everyone through Open Access (OA) has been promoted on the premise that it will help advance science and improve human health. This was the basis for the April 7, 2008, implementation of the National Institutes of Health (NIH) Public Access Policy that requires every NIH grantee to submit to PubMed Central, an electronic version of their final peer-reviewed manuscript that has been accepted for publication no later than 12 months after the official date of publication. In view of the possible citation advantage in making the results of scientific studies widely available, it may be advantageous for authors to submit their papers to Pub-Med Central even when their research was not funded by NIH. This OA policy is expected to have a broad impact on communication between different scientific disciplines, including medicinal chemistry.

Due to the flat NIH budget, academic medicinal chemists must submit a greater number of grant applications to obtain research funding. Although NIH funding doubled between 1997 and 2003, the levels since then have increased only marginally. In fact, the success rate for grant applications on first submission has dropped from 29% in 1999 to 12% last year. Due to the ripple affect of this "broken pipeline" for research funding, the profile of medicinal chemistry as a discipline at large U.S. universities has risen over the past decade because it is now recognized by university administrators that technology transfer developed by medicinal chemists is a means of supplementing overhead income to support the infrastructure for biomedical research. During the last millennium overhead income generated from NIH grants was adequate, but with the decline in funding other sources of income are being sought to support the infrastructure for research. Consequently, medicinal chemists in particular are the beneficiaries of such increased visibility. For example, in order to foster technology transfer at my university, special innovation grants are provided to investigators whose technology is sufficiently promising for commercialization.

These are exciting times for conducting research in medicinal chemistry because a multiplicity of technological advances have provided medicinal chemists many more options to facilitate their research than in the last millennium. With all these tools presently available to medicinal chemists, it would not be farfetched to describe the first decade of the 21st century as the "golden age" of medicinal chemistry with respect to development of new concepts for future drug design.

Because of these advances, the lure of medicinal chemistry as a discipline has attracted an increasing number of chemists to the field.

The significant overlap between che-

mical biology, bioorganic chemistry, biochemistry, and medicinal chemistry is symptomatic of the multifaceted nature of our discipline due in part to the diverse expertise of chemists who have developed an interest in relating molecular structure to biology over the past decade.

(I) P.S. Portoghese in *Proceedings of the XIVth International Symposium on Medicinal Chemistry*, F. Awouters, Ed., Elsevier Science B.V., 409-419, 1997.

LAB PRESENTATION

Department of Chemical Biology, Max Planck Institute of Molecular Physiology, TU Dortmund

BY PETRA JANNING

The department

The department of Chemical Biology is one of four departments at the Max Planck Institute of Molecular Physiology. Herbert Waldmann is leading this department since 1999, when he became director at the institute. He simultaneously holds a position as full professor for Bioorganic Chemistry at the TU Dortmund. In practice, both departments are linked closely, i.e. formal aspects are separated clearly, but daily work employs a joint research environment. Furthermore, there is a very close collaboration with the Chemical Genomics Center of the Max Planck Society, where chemists, biochemists and biologists from several Max Planck Institutes as well as Max Planck- and industry funded research groups are working under one roof to identify and develop new approaches to medicinal chemistry and chemical biology research.

Research in the Department of Chemical Biology is focused on the interface of organic chemistry and biology. New synthesis methods and strategies are developed and employed for the synthesis of compounds which then are used as probes for the study of biological phenomena.

The synthesis and chemistry of proteins, in particular with a view to biological signaling and vesicular trafficking are major areas of activity at the Department. These activities are complemented by very recent research efforts aimed at the development of new methods for the making of protein arrays. Also the Department has intense activities in small molecule development for chemical biology research in particular based on natural products and compound collections deri-



MAX-PLANCK-GESELLSCHAFT

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Interplay between Organic Synthesis and Biology in Chemical Biology

ved therefrom. These activities include the establishment of screening capacity employing both isolated proteins and cell-based screens and the identification of cellular target proteins, the development of new phenotype-based screening methods and incorporation of research programs employing bioinformatics and cheminformatics methods in order to guide our synthesis programs.

Head of the group

Herbert Waldmann studied chemistry at and graduated from the University of Mainz with a Ph. D. in organic chemistry in 1985 under the guidance of Horst Kunz. After a postdoctoral appointment with George Whitesides at Harvard University, he completed his habilitation at the University of Mainz in 1991. In 1991 he was appointed as professor of organic chemistry at the University of Bonn, and in 1993 he was appointed to full professor of organic chemistry at the University of Karlsruhe. In 1999 he accepted appointments as Director of the Max-Planck-Institute of Molecular Physiology Dortmund, and as Professor of Organic Chemistry at the University of Dortmund.

Research Focus

Chemical Biology of Protein Lipidation Lipid-modified proteins play important roles in particular in biological signaling and vesicular transport. The corresponding research projects of the Waldmann group focus on the development of methods for the synthesis of characteristic lipid-modified peptides and entire functional lipidated proteins by means of a combination of organic synthesis and molecular biology techniques. Such semi-synthetic proteins then are employed in biochemical, biophysical, cell-biological and structural biology investigations yielding new insights into the biological phenomena the parent lipidated proteins are involved in.

Biology oriented synthesis (BIOS)

The structural scaffolds of natural product (NP) classes are endowed with relevance to nature and provide evolutionarily selected starting points in chemical structure space for compound collection design and development. Since they emerge via biosynthesis by proteins and fulfill multiple functions via interaction with proteins, NP classes



Collection of semisynthetic Ras proteins

encode structural properties required for binding to these biomacromolecules. Biology oriented synthesis (BIOS) builds on these arguments and employs core structures delineated from natural products as scaffolds of compound collections.

Some examples for the synthesis of inhouse libraries are: spiroacetals, α , β -unsaturated lactones, tetrahydropyrans, oxepanes, indole derived compounds, indoloquinolizidines, decalines, and biarylpeptides.

Structural Classification of Ligand Binding Protein Cores and Natural Products For the development of small molecules for chemical biology and medicinal chemistry research relevance in nature is the decisive criterion. Current research in the Waldmann group aims at the identification of biologically relevant and pre-validated starting points in vast structure space for compound collection development. In order to achieve this goal structural similarities in the ligand sensing cores of proteins and in their natural ligands, i.e. the small natural products emerging by biosynthesis are identified and used for similarity clustering and structural classification. This approach leads to hypothesis-



Tree-like structural classification of natural product scaffolds. The "NP tree" is shown at a resolution of 0.2% which means that scaffolds have to represent at least 200 structures in order to be displayed

generating tools setting the starting points for chemical genomics research, i.e. the identification and use of small molecules to elucidate the biological function of protein families. Due to this guidance by nature, the application of Protein structure similarity clustering (PSSC) and Structural classification of natural products (SCONP) in biology oriented synthesis (BIOS), should yield new opportunities for the discovery of unprecedented protein ligand classes with high hit rates at comparably small library size.

Biochemical and Cell-based Compound Screening

For the development of new tool compounds for chemical biology and medicinal chemistry research, the natural product inspired compound collections synthesized in the Department are screened in automated biochemical and cell based assays.

The compound classes identified thereby are then employed as starting points for identification and validation of protein targets. New *in vitro* screens of biological interest as well as further cell based assays are developed in house and with external collaboration partners.

Examples for in house screens are: phosphatases, APTI, RabGGTase, reporter gene assays related to the Ras- and WNT-pathways, and phenotype based approaches relating to the Ras- and WNT-pathways and mitosis.

Teaching

The department is involved in bachelor and master programs for chemical biology and chemistry at the TU Dortmund. Mainly, it is responsible for the bioorganic topics in these programs. Weekly lectures about bioorganic chemistry are given at different levels for bachelor and master students. The department hosts a practical course in Chemical Biology for bachelor and master students (see: www.mpi-dortmund.mpg.de/forschungProjekte/ AGs/AGAbtIV/Waldmann/praktikum/ index.html and Waldmann, Janning: Chemical Biology – A Practical Course, Wiley-VCH, Weinheim 2004).

In regular in house progress reports PhD students and postdocs present their research to the whole department to discuss and develop further research strategies. Institute seminars given by invited experts provide a wide spectrum of views on current cutting-edge science of relevance to the research activities of the department.

People

In addition to the Department head currently ten group leaders and senior scientists are integrated into the research activities of the Department of Chemical Biology: Hans-Dieter Arndt, Lucas Brunsveld, Bruno Bulic, Christian Hedberg, Katja Hübel, Petra Janning, Markus Kaiser, Kamal Kumar, Heino Prinz and Daniel Rauh.

For their research programs see:

www.mpi-dortmund.mpg.de/forschungProjekte/AGs/AGAbtIV/index.html The department is scientific home to numerous senior scientists, postdocs, PhD and bachelor students, technicians, and trainees.

Selected publications

• Köhn M, Gutierrez-Rodriguez M, Jonkheijm P, Wetzel S, Wacker R, Schroeder H, Prinz H, Niemeyer CM, Breinbauer R, Szedlacsek SE, Waldmann H. *A microarray strategy for mapping the substrate specificity of protein tyrosine phosphatase*. Angew. Chem. Int. Ed. 46(40):7700-7703, 2007.

• Gelb MH, Brunsveld L, Hrycyna CA, Michaelis S, Tamanoi F, Van Voorhis WC, Waldmann H. *Therapeutic intervention based on protein prenylation and associated modifications* Nature Chemical Biology. 2(10):518-528, 2006.

• Nören-Müller A, Reis-Correa I, Prinz H, Rosenbaum C, Saxena K, Schwalbe HJ, Vestweber D, Cagna G, Schunk S, Schwarz O, Schiewe H, Waldmann H. *Discovery of protein phosphatase inhibitor classes by biology-oriented synthesis*. Proc. Natl. Ac. Sci. 103(28):10606-10611, 2006.

• Rocks O, Peyker A, Kahms M, Verveer PJ, Koerner C, Lumbierres M, Kuhlmann J, Waldmann H, Wittinghofer A, Bastiaens PIH. *An acylation cycle regulates localization and activity of palmitoylated Ras isoforms*. Science. 307(5716):1746-1752, 2005.

• Koch MA, Schuffenhauer A, Scheck M, Wetzel S, Casaulta M, Odermatt A, Ertl P, Waldmann H. *Charting biologically relevant chemical space: A structural classification of natural products (SCONP)*. Proc. Natl. Ac. Sci. 102(48):17272-17277, 2005.

• Koch MA, Wittenberg LO, Basu S, Jeyaraj DA, Gourzoulidou E, Reinecke K, Odermatt A, Waldmann H. *Compound library development guided by protein structure similarity clustering and natural product structure*. Proc. Natl. Ac. Sci. 101(48):16721-16726, 2004.

• Rak A, Pylypenko O, Durek T, Watzke A, Kushnir S, Brunsveld L, Waldmann H, Goody RS, Alexandrov K. *Structure of Rab GDP-dissociation inhibitor in complex with prenylated YPT1 GTPase*. Science. 302(5645):646-650, 2003.



ULLA expands

BY SVEN FROKJAER

The primary objective of the ULLA network is to enhance collaboration within education and research in pharmaceutical sciences between the European universities. Another important objective is to give staff and students of the member institutions increased access to the combined resources of the institutions involved.

ULLA takes the name from the cities of the founding universities of the consortium, namely Uppsala, London, Leiden and Amsterdam. Four new member faculties have since been added and two of these have been included recently.

ULLA now consists of the following members:

• University of Uppsala, Faculty of Pharmacy, Sweden (member since 1992)

• University of London, School of Pharmacy, United Kingdom (member since 1992)

• University of Leiden and Vrije Universitet Amsterdam, the Leiden/Amsterdam Center for Drug Research (LAC-DR), The Netherlands (member since 1992)

• University of Copenhagen, Faculty of Pharmaceutical Sciences, Denmark (member since 1996)

• **Paris South**, Faculty of Pharmacy, France (member since 1997)

• University of Parma, Faculty of Pharmacy, Italy (member since 2006)

• University of Leuven, Faculty of Pharmacy, Belgium (member since 2008)

When adding new members ULLA places emphasis on including high ranking institutions that are complimentary to the other ULLA institutions in their research and teaching missions with the aim of strengthening the profile and range of activities in the consortium. ULLA is directed by an Executive Committee with representatives from all member institutions. The consortium is chaired by Prof. Sven Frokjaer, Dean of the Faculty of Pharmaceutical Sciences at the University of Copenhagen. The activities of the consortium are primarily financed by an annual membership fee from the ULLA members.

ULLA PhD summer schools

The organisation of an ULLA Postgraduate Summer School every second year and with the duration of approximately one week has been an integral part of ULLA since the founding of the consortium.

The summer school allows postgraduates from the member institutions to widen their knowledge of updated key issues regarding for instance medicinal chemistry, drug discovery, drug development and economic and management issues of key interest to both



academia and industry. Just as importantly it gives postgraduates an optimal opportunity have a great time and to create an international network.

Lectures within medicinal chemistry are plentiful at the ULLA summer school and for instance include lectures in *Basic medicinal chemistry*, *Natural products as leads in drug research* and *Molecular modelling and quantitative SAR*.

Grants for students and lecturers

An important objective of the ULLA consortium is to give staff and students of the ULLA member institutions increased access to the resources of the institutions involved. With this aim ULLA provides grants for the following types of exchange activitites:

• MSc exchange students who take courses, write MSc thesis or participate in research at ULLA partner institutions.



ULLA summer school participants 2007

• PhD exchange students who participate in PhD courses or undertake PhD traineeships at ULLA partner institutions.

• Academic staff members who teach at ULLA partner institutions as visiting staff members.

Exchanges between the ULLA institutions are popular and the interest has increased in the recent years. ULLA is very pleased with the successful mobility and knowledge transfer between the institutions, especially seen in the light of the general trend that students in large parts of Europe prefer to study abroad in overseas destinations.

ULLA textbooks

"ULLA Pharmacy Series"

One of the recent initiatives of ULLA is the launch of a new and innovative series of introductory textbooks in specific areas within pharmaceutical sciences. The books are aimed at PhD and MSc students, undergraduates for specific courses and practising pharmaceutical scientists. The books are published by "Pharmaceutical Press" in London and are written as collaborative ventures between academic staff members at the ULLA institutions with some contributions from academics from non-ULLA institutions.

Two titles in the ULLA postgraduate pharmacy series, Pharmaceutical Toxicology and Paediatric Drug Handling have been published and a new book International Pharmacy Practice Research will be published in 2008.

The ULLA text books are successful, reasonably priced and are selling well and ULLA plans to add one or two new books to the series per year. Books related to medicinal chemistry by the titles Molecular Biopharmaceutics and Systems Biology are anticipated during the coming years.

ULLA lectures and workshops

One of the primary goals of the ULLA consortium is to increase knowledge

exchange and enhance collaboration between staff at the ULLA member institutions. One of the ways to reach this goal is to make use of external lecturers from ULLA partner institutions in seminars, workshops and courses. The ULLA consortium has recently decided to increase the number of ULLA lectures so that each institution will once a year arrange an ULLA lecture, i.e. invite a staff member at another institution to give a lecture.

ULLA, furthermore, aims at arranging an increased number of ULLA workshops on specific and specialised topics within pharmaceutical sciences. The idea is to bring together specialised academics from the ULLA institutions, non-ULLA institutions and representatives from industry with the aim at sharing experiences and discuss possible future co-operation projects and funding opportunities.

An ULLA workshop on Process Analytical Technology has been arranged and the success of this workshop gives ULLA an inclination to arrange more workshops in future.

ULLA and external relations

ULLA has until recently primarily aimed its activities internally, i.e. at providing more and better opportunities for staff and students within the ULLA consortium.

The Executive Committee of the consortium has, however, decided to launch activities that make ULLA visible externally and enable ULLA to have a greater impact on the European scene. One of these activities is to draw up a position paper on pharmaceutical sciences identity including a definition of the pharmaceutical sciences research field and ULLA's vision on the future of pharmaceutical sciences. The position paper will provide a tool for explaining the scientific community what characterises pharmaceutical sciences and take an active part in the discussion on where and how pharmaceutical sciences should develop.

Another new activity is to host a session on Personalised Medicine at the PharmSciFair 2009. The idea is to combine and make use of the expertise of the academics from the ULLA member institutions in a joint session. We hope to see many of you there.

For further informations:

Read more about the ULLA consortium on the ULLA homepage **www.u-l-l-a**. **org**. Text books in the "ULLA Pharmacy Series" are available for purchase at **www.pharmpress.com**. Read more about PharmSciFair 2009 at **www. pharmscifair.org/images/psf2009_1st**. **pdf**

Medicinal Chemistry in Europe; annotations on the History of the European Federation for Medicinal Chemistry

Introduction

Mankind has ever been in need for food and for medicines; food to keep the physiological systems functioning and medicines to restore the situation when something went wrong with that system. For both food and medicines nature offered much and beyond any doubt men have found by trial and error - and likely many fatal accidents as well –the materials they needed; only much, much later in history, only in the current era, food became also important for avoiding diseases, whereas preventive medicines were later introduced as well.

For food the products applied came from plants and animal sources both, whereas for medicines especially plants were important, but animals also served as a source. For medicinal use also mineral products found an application. As knowledge of physiology, pathology, and chemistry was absent, there was not any rationale for using certain products for a particular affection. Medicines could be found by accident only. When during history professions emerged, certain people specialized in providing medicines, medicine – men. As they just could not have any special knowledge, but an experience only, they were of course eager to protect their expertise for being used by others. As a patient had no other way to find a cure than asking a medicine- man, those people became respected and influential.

Alchimistry has played a special role in the history of medicines. The alchimist had developed a special way to protect their position as healers of diseases. They used cryptograms as names for their medicines as well as anagrams (xidar was radix). As examples of their secret language, "young –lady at the river "meant mercury and a name like tigerlegs was used for arum-lily. Clearly when strange products – for medical use – as lion feces are found in books of alchimist one can be sure that something completely different is meant. The alchimist used material from plants, animals and mineral sources, obviously without any specific knowledge of disease and medicines both; they became a kind of witchdoctors making use of their strong position.

At a certain moment – in Europe during the middle ages – plants became selected for use in treating a given disease on basis specific features of the plant, such as shape or color. To mention a few examples: the walnut was due to its appearance useful for diseases of the brain and red cabbage for its color for blood related diseases. A very special example is the roots of the mandrake; it was said that this plant grew under the gallows from the semen of a hung criminal. The roots of the mandrake have – more or less - the shape of a human being and that was subsequently used as the reason to use them as a virtually omnipotent medicine. It is remarkable that a would-be omnipotent medicines of our days, ginseng derived products, are often promoted by presenting the roots (the source of the preparations) in the shape of a small humanlike figure, just as the mandrake.

It should not surprise that many "medicines" were not effective at all. Some of the products from plants, however, had really useful properties. Well known examples are preparations from the foxglove (digitalis, decompensated heart), the Peruvian cinchona tree (quinine, malaria), the ephedra scrub (ephedrine, asthma), and the Salix tree (salicylderivatives, fever, pain).



We plan to publish in coming issues of MedChemWatch annotations on the history of medicinal chemistry in Europe, especially on the EFMC. Comments, additional information, interesting material etc. are very welcome. Please approach Henk Timmerman: c/o Wijttenbachweg73, 2343XX, Oegstgeest, the Netherlands, fax +31 (0)71 364 65 56 e-mail: enktim@planet.nl

Medicines from mineral origin seemed to be very effective; the effects they produced were easily observed and strong. These effects were, however, more of a toxic nature than being beneficial for the patient. Due to the negative outcome of especially mineral derived medicines (metal salts and -oxides) Hahnemann proposed his remarkable homeopathic principle; as a personal point of view I might say that the only positive property of his homeopathic preparations was - and is - the absence of any side effects, at the cost of the absence of a therapeutic result as well, however.

During the ages not much changed in the situation: witchdoctors, no effective medicines, many fatal diseases. The matter changed much for the better when around 1850 for the first time organic compounds could be synthesized, the first artificial dye synthesis by Perkin. When at roughly the same time pharmacology became an experimental approach with which effect of compounds in animals could be tested, ways to new medicines could be explored. Soon thereafter scientists as Crum Brown and Fraser realized that it was the chemical structure and nature of compounds which determine their effects on biological systems and therefore their potential usefulness as medicines. After the historical paper by Crum Brown and Fraser "On the Connection between Chemical Constitution and Physiological Action", some scientists became euphoric. Soon they predicted, we can design(!) medicines for any disease. And the pharmacopeia can be written from the laboratory table directly.

But again things developed in a rather different way. In the 1930ies the famous pharmacologist Clark stated that we had studied the relationships between chemical structure of compounds and their biological effects to such a level that we obtained a fair understanding of the level of our ignorance. Indeed, new medicines could be reached only via the empirical route, a matter of trial and error, of good luck and bad luck. Moreover, this trial and error method was applicable for both the wanted and the unwanted properties of the new compounds.

A new (sub)discipline

The cynics of Clark despite, many very useful new medicines resulted, effective and relatively safe as well. These new synthetic medicines have contributed much to health of men, to quality of life, have in several cases had even great influence on patterns in society. Gradually making new medicines became a special art and a new chemical subdiscipline emerged, medicinal chemistry. The new field developed first in the United States, especially in research groups of the pharmaceutical industry; Europe followed and also academia, but both much later in time. The term medicinal chemistry became accepted when the American Chemical Society changed the name of its Division of Pharmaceutical Chemistry (founded in 1909) via Division of Chemistry and Medicinal Products (1920), in 1948 into Division of Medicinal Chemistry. In the beginning the search for new medicines was considered to belong to the field of pharmaceutical chemistry, together with pharmaceutical analysis, including the analysis of the formulation of medicines. For the new discipline- medicinal chemistry - organic chemistry, and more precisely organic synthesis remained the far most important contributor to this interdisciplinary new field of chemistry. However, the study of the relationships between chemical structure (or better their properties) and biological activity, as well as the interpretation of mechanisms of action of bioactive compounds became more and more part of medicinal chemistry. A proper definition, however, was not provided and the field was included in schools of chemistry in some cases, but mostly it was part of departments of pharmacy.

The new division of the American Chemical Society became a major succes. In 1966 the division started to publish its Annual Reports in Medicinal Chemistry, a series highlighting each year the most important developments in the field. The extremely useful books have never stopped to be published since. Another success of the division became the Journal of Medicinal Chemistry, which grew to become the leading journal for the discipline.

Europe was slow in picking up the developments. The strong position of organic chemistry at universities may have been the cause of the situation that scientist working on the synthesis of potentially new interesting compounds for use in medicines were considered to be poor organic chemists. But history goes its own ways, also for developments in science.

In 1962 the Società Italiana di Scienze Farmaceutiche organized in Milan what might be considered as the first medicinal chemistry symposium in Europe. The meeting was sponsored by the International Union of Pure and Applied Chemistry, IUPAC. In 1970 the IUPAC established a Section on Medicinal Chemistry, as a committee of its Division for Organic Chemistry. In 1968 a 2nd International Symposium "Pharmaceutical Chemistry" had been organized jointly by IUPAC's Divisions of Organic and the one of Applied Chemistry in Münster, Germany. It was quite remarkable and not without meaning that the opening lecture of this meeting was on the subject "The open field of pharmacology"; it was a sign that medicinal chemistry became more and more dependent on the pharmacology.

[*To be continued.* Next time: "The founding of the European Federation for Medicinal Chemistry"]

EFMC NEWS

Univ.-Prof. Dr. **Peter Gmeiner**, University of Erlangen, was elected to the new chair of the Medicinal Chemistry Section of the German Pharmaceutical Society. The new Vice-chair is Univ.-Prof. Dr. **Stefan Laufer**, University of Tübingen.

EFMC will participate at the **PharmSciFair in Nice**, June 8-12, 2009. The topic of the half day session will be Pharmacoepigenetics – new concepts, new targets.

EFMC launched the call for organising the **XXIIth International Symposium on Medicinal Chemistry (EFMC-ISMC)** in 2012. Applications are restricted to Member Societies of EFMC and have to be submitted to the Secretary/Treasurer by July 28. The decision will be made at the Council Meeting in Vienna, August 31st.

CALENDAR OF EVENTS

Metabolic Disorders – from Bench to Bedside

August 28–31, 2008 Sopron, Hungary Web: http://www.metdis2008.mke.org.hu

XXth International Symposium on Medicinal Chemistry

August 31 – September 4, 2008 Vienna, Austria Web: http://www.ismc2008.org

XIXth National Meeting on Medicinal Chemistry

September 14 – 18, 2008 GlaxoSmithKline Auditorium, Verona, Italy Web: http://www.nmmcverona2008. unimore.it

Summer Course on Pharmaceuticals Analysis

September 21 – 23, 2008 Rimini, Italy Web: http://www.scpaweb.org

8th Swiss Course on Medicinal Chemistry

October 12-17, 2008 Leysin, Switzerland E-mail: *beat.ernst@unibas.ch*

Annual One Day Meeting on Medicinal Chemistry

October, 2008 E-mail: secretariat@LDOrganisation. com

17th LACDR School on Medicinal Chemistry

October 28-31, 2008 Noordwijkerhout, the Netherlands E-mail: *e.devries@leidenuniv.nl*

4th Anglo-Swedish Medicinal Chemistry Symposium

March, 2009 Åre, Sweden Web: http://www. lakemedelsakademin.se

XXIst International Symposium on Medicinal Chemistry

August, 2010 Brussels, Belgium E-mail: edmond.differding@ucbgroup.com

MedCheenWatch

MedChemWatch no.5 July 2008 Editor Gerhard F. Ecker Univ. Vienna, AT

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