

The official EFMC e-newsletter

MedChem Watch

9

May 2010

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EFMC

The official EFMC e-newsletter

MedChemWatch

MedChemWatch no.9 May 2010

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The European Federation for Medicinal Chemistry (EFMC) is an independent association founded in 1970. Free from any political convictions, it represents 24 scientific organisations from 21 European countries and covers a geographical area the size of the USA with a similar scientific population. Its objective is to advance the science of medicinal chemistry by promoting cooperation and encouraging strong links between the national adhering organisations in order to promote contacts and exchanges between medicinal chemists in Europe and around the World.



Dear colleagues,

with the announcement by GSK, last February, of the closure of six European research centers we assisted to the last in time of a series of actions whose impact is difficult to predict, not only for the business organization of pharmaceutical industries, but also for the way in which drug discovery will be pursued in the future. As an Italian, I was particularly hit by the fate of the GSK research center in Verona, also because this only the last of a series of actions which have severely restructured the pharmaceutical industry in this country. But what we are watching at is clearly a worldwide phenomenon, which deserves comments and, possibly, actions.

A number of commentaries have appeared in the scientific and in the specialized press, over the last months, discussing the causes and the impact that concepts like merging, geographical reorganization, externalization, in-licensing strategies will have on the Big Pharma's business model. What is probably missing, is a debate on the actions and reactions that bodies like academia, SME/Biotech, and also learned societies, should take to face the rapidly changing scenario of pharmaceutical research.

No doubts that Big Pharma are trying to adapt their business operation models to the next years' challenges, above all the wave of blockbuster patent expirations. This is clearly done trying to preserve the shareholder's primary interest, but it will certainly affect the global way in which drug research will be conducted in the close future. The question is whether academia or SME are ready to cope with these challenges. A shared opinion is that next years will see growing opportunities for Universities, start-ups and biotechs, as a lot of what is now called pre-clinical research is likely to be externalized. Are these bodies ready to take the challenge? Just to mention examples, an issue that academia should seriously consider in the next few years is the protection of intellectual properties and the technological transfer. As the discovery phases will be transferred to academic centers, these latter should be ready to adapt themselves as drug discovery centers, where the preservation and exploitation of the value of a drug candidate will be a must. How this will combine the intrinsic mission of University of disseminating knowledge and promoting free circulation of data, ideas and persons, is matter of debate. Small enterprises and start-ups will have new opportunities but also new challenges. A start-up or a small enterprise will be expected to reach a critical mass they should have, either in terms of work-power or product portfolio, to develop candidates up to advanced clinical phases, as it seems to be the future orientation.

Finally, learned societies. They represent the community of researchers and should ideally be the incubator for new ideas and visions. Not only. They should probably consolidate their role as stakeholders with respect to Big Pharma and Governments. Clearly, the two things go together, as the capacity to influence strategic decisions will be measured in terms of representation of the whole community.

MedChemWatch could be a place where a debate starts. In this issue, we present a perspective by Paolo Pevarello (Newron Pharmaceuticals, Milan) in which the challenges and the opportunities for SME/start-ups are discussed. This ideally follows the last issue's perspective on research outsourcing (<http://www.efmc.info/medchemwatch-2009-3/perspective.php>), and anticipates further contribution which will appear in the next issues.

The present issue is the last of cycle initiated two years ago. During these years, with 8 numbers so far issued (www.efmc.info/medchemwatch), we have seen a growing number of contacts and readers, although the active participation was less than expected. Starting from the next issue, expected in July, MedChemWatch will be delivered together with MedChemComm. This will certainly open up a new life for the newsletter, as the visibility will certainly increase together with, this is our hope, the willingness to contribute and to use the journal to disseminate ideas, events and actions.

This issue also contains the last part of the history of EFMC, by Henk Timmerman, which deals with the long road to an EFMC journal that ideally reached an endpoint with the launch of MedChemComm.

Professor Manfred Jung presents his research group in Friburg, Germany, and, as usual there are a lot of events and news that it will be useful to check out. In particular, as an even year, 2010 is the ISMC's year, which will be held in Brussels, for its XXI edition. Please check out the web site for infos and scientific program. Also the EFMC web site (www.efmc.info) is renewing itself, as two novel functionalities will be operative soon, namely a Job Portal and a Meeting Calendar.

Enjoy the reading,

Gabriele Costantino, *Editor of MedChem Watch*



Small companies and difficult times

BY PAOLO PEVARELLO*

This is a time of turmoil for the pharmaceutical industry both in Europe and in the USA, no doubt about it. Over a span of just one year we have witnessed three major mergers/take-overs (Roche/Genentech; Pfizer/Wyeth; Merck&Co/Schering-Plough) and a myriad of minor (in some cases not so minor) deals. This activity keeps on, bringing about significant changes in our industry.

A cursory look at the content of just one weekly issue of Scrip (www.scriptnews.com) or In Vivo Blog (www.invivo.blogspot.com) is sufficient to convey a good idea of what is setting the pace of this frantic business activity and how players in the field are reacting to it, or trying to shape its transition.

More specifically:

a) Big pharma is facing, at the same time, the so-called patent cliffs of expiring major products and the difficulties of introducing new drugs to market to counteract the consequent loss in revenues (Nature Reviews Drug Discovery 2010, 9, 203-214);

b) Many pharmaceutical companies are moving towards diversification (gener-

ics, animal health, medical devices,..) trying to capture any possible marketing opportunity (<http://www.rsc.org/chemistryworld/News/2009/May/21050903.asp>);

c) As a consequence of a) and b), big pharma is axing R&D expenses, closing down or down-sizing internal research centres, and outsourcing products, services, facilities, sometimes even entire projects. The recent headcount reductions at GSK and AstraZeneca are a painful reminder of the ongoing process (http://www.forbes.com/2009/02/02/glaxosmithkline-job-cuts-markets-equity-0202_drugmakers.html);

d) Small companies struggle to endure financial straits, also due to the depressed economic climate (at least in Western Countries), finding more and more problems in financing advanced clinical trials (Nature Biotechnology 2009, 27, 123-124).

This is a hard time for scientists in our industry, particularly for those who are engaged in preclinical drug discovery. Yet the current situation may give some

hope for a better future, at least for small pharmaceutical companies, start-ups, and organizations emerging from academic, governmental, and charity sectors. This hope is mainly based on the outgoing approach big pharmaceutical companies are likely to adopt in the light of the current internal re-organizations. In this regard I would like to offer some points for further discussion and thinking:

a) A reduction in the internal drug discovery effort should lead big pharmaceutical companies to increasingly rely upon external products/projects (discovery externalization), thus giving small companies an opportunity to partner their own drug discovery programs at an earlier stage. By comparing the number of licensing deals between biotechnology and the top-20 pharmaceutical companies for R&D assets, an increase of 70% in 2005-2009 over 1995-1999 is reported (Nature Reviews Drug Discovery 2010, 9, 183).

b) Small companies, which often cannot afford an extended target validation effort, may benefit from a number of new

targets/projects that big pharmaceutical companies cannot pursue or develop internally any longer.

To fully exploit the new chances, small companies should be prepared to:

a) Increase their scientific flexibility and ability to get out of their comfort zone (i.e., the elected therapeutic indication/area or the small synthetic molecule vs. biologics specialization) so to be ready to seize any promising business opportunity;

b) Strive for executional excellence: big pharmaceutical companies are usually accustomed to high standards when it comes to planning, executing, analysing and reporting activities. Although counting on a lower budget and limited resources, small companies should make all the effort to meet

with these standards;

c) Tackle rare/orphan diseases for which a scientific link can be drawn with the mechanism of action of their compounds/drugs. Obtaining market approval for a drug in a niche indication is easier, less expensive and faster, and opens the way to further approvals in other indications (for further information, see the following links: <http://rarediseases.info.nih.gov/>; <http://rarediseasesnetwork.epi.usf.edu/>; <http://rarediseases.info.nih.gov/GARD/>; <http://rarediseases.info.nih.gov/Resources.aspx?PageID=32>) and even big pharma is much more attentive to the field than it used to be in the past.

(e.g., http://www.novartis.com/newsroom/news/2009-02-27_rare-disease-day.shtml; <http://www.geneng-news.com/specialreports/sritem.aspx?oid=71053206>).

<http://www.geneng-news.com/specialreports/sritem.aspx?oid=71053206>).

d) Enhance their organizational agility to cope with the inevitable ups and downs of the ongoing transition. Care should be taken not to expand activities too rapidly or inflate one sector of the company with a short-term view. An organic growth and acquisition of internal competence should always be balanced with a careful assessment and exploitation of external services and resources.

The task is certainly an unprecedented and daunting one, but, with some luckiness, the fittest companies may be able to survive and thrive even in the current, dim situation.

***Preclinical Research Director
Newron Pharmaceuticals S.p.A
(Milano)**

EFMC WEBSITE GABRIELE COSTANTINO, NELE COULIER

New functionalities in the EFMC website

In a continuous effort to improve our services for the Medicinal Chemistry community, EFMC now offers information on current vacant positions as well as links to the job portals of EFMC corporate members. The posting of job offers is free and is available any Med Chem related jobs, in industry as well as academic positions. To have your offer published on the website, please fill in the application form.

A Meeting Calendar will also be available soon, where information on meetings and symposia will be displayed. Also in this case, the posting of events is free and requires the filling of an application form.

Please check the EFMC site (www.efmc.info) for further information and updates.



Part IV

A European Journal of Medicinal Chemistry

BY HENK TIMMERMAN

Soon after the foundation of the EFMC, much attention was given to the question of whether its own flagship journal should be started. Traditionally there have been European scientific journals in most of the important modern languages, but the communities served by several of these languages could (and still can) be too small for viability. In Europe, English, French and German have long been the leading languages for sciences. As a case in point, the Royal Netherlands Chemical Society has for many years published its journal under the title “**Receuil des travaux chimiques des Pays Bas**”. With regard to medicinal chemistry, there have been journals in French (**Chimie Therapeutique**), Italian (**Il Farmaco**) and German (**Archives der Pharmazie**). All these journals faced severe competition from the well established **Journal of Medicinal Chemistry** (JMC) published by the American Chemical Society. It is not generally known anymore that the JMC was started – as the **Journal of Pharmaceutical and Medicinal Chemistry** – in Europe (more precisely the UK), by Arnold Beckett. Subsequently this British journal was sold to the ACS, becoming ultimately a very successful medium for the field.

In the early 1970s discussions were initiated to explore the possibility of transferring the French journal **Chimica Therapeutica**, published by the Soci t  de la Chimie Therapeutique (SCT), into a European journal, for which the EFMC would take responsibility. A major point of discussion with the SCT and especially the editor of the journal, Claude Combet Farnoux, concerned the language to be applied for the papers. Originally the journal had accepted English and German alongside French, these three languages being list-

ed in an alphabetical order! Each paper had to be published together with abstracts in all three languages. Starting with the first issue of 1976, the French journal was renamed as the **European Journal of Medicinal Chemistry** (EJMC) and published “under the sponsorship of the EFMC”, although the responsible publisher remained the SCT. The new journal received the permission to use the logo which had been designed for the 4th ISMC, held in 1974 in Noordwijkerhout, the Netherlands. Gradually this “pharmaceutical snake represented as a benzene ring” was used as the official logo of the entire EFMC.

Despite the efforts of all parties concerned, the success of the newly named journal was rather limited and the American JMC remained the leading journal in the field, both for the quality of the papers and the volume of the issues. Gradually there was much dissatisfaction within the EFMC about the performance of the EJMC and eventually the sponsorship of the EFMC was withdrawn from the journal. In the meantime the Soci t  de la Chimie Therapeutique had sold the journal to a commercial publisher in France.

In the late 1980s Elsevier Science Publishers became the owner of the journal after having acquired the publisher of the EJMC, and approached the EFMC with the request to explore possibilities to take over responsibility for their journal. The executive committee of the EFMC entered into serious discussions with Elsevier and in principle an agreement was reached. However, only hours before the announcement of the “new life”, including also a new editor, Elsevier had to withdraw from the proposed changes, being put under pressure by the SCT which finally and unexpectedly had de-

cided it did not accept the agreed construction. As a consequence no changes took place, and the status of the journal remained as it had been. Not long thereafter the ACS decided to appoint a European Regional Editor (Povl Krosgaard Larsson from Denmark), alongside the editor in chief of its JMC. This action by the ACS became very successful for the position of the American journal in Europe.

During the early years of the 21st century the EFMC's executive committee realized once again that it is was an odd situation the EFMC did not have its "own" journal and the existing European journal had only a limited impact. An attempt was made to approach Elsevier, which in the meantime had started new successful journals, such as **Bioorganic Medicinal Chemistry**, **Bioorganic Medicinal Chemistry Letters**, and **Drug Discovery Today**, to make a link between EFMC and Bioorganic Medicinal Chemistry Letters, but Elsevier had reasons to decline the proposal, suggesting instead to re-opening the discussions of the early 1990s regarding an involvement of the EFMC in the EJMC.

During the same years, the scenario changed considerably. Indeed, 14 National chemical societies founded ChemPub-Soc Europe, an organization resulting from the amalgamation of several chemical journals owned by national societies into a few, high-quality European journals, published by Wiley. This had an impact also for medicinal chemistry, since **ChemMedChem** rapidly became a leading journal

in the field. Another publisher, Bentham, had appeared in those years in the market with several medicinal chemistry related periodicals, covering both primary science and review journals.

EFMC was therefore in the somewhat fortunate situation of starting negotiations with these publishers to evaluate the possibility of formal links with one these leading journals. However, for several reasons, these negotiations did not lead to a formal agreement. Finally, in spring 2009 the Royal Society of Chemistry approached the EFMC to jointly launch a new journal, **MedChemComm**, which will be the official journal of EFMC. With RSC, being itself a learned society, an agreement could be reached and the Council approved the proposal in June 2009 in Budapest. MedChemComm publishes concise articles and reviews and the first issue is expected to be ready for the forthcoming ISMC XXIst in Brussels.

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Comments, additional informations, interesting materials etc. are very welcome. Please contact:

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MEDCHEMCOMM THE NEW OFFICIAL JOURNAL OF EFMC

MedChemComm, the new medicinal chemistry journal from RSC Publishing and the official journal of the EFMC, is now open for submissions. Led by Co-Editors-in-Chief Dr Anthony Wood (Pfizer, UK) and Professor Gregory Verdine (Harvard University, USA), the journal will publish work in the fields of medicinal chemistry, drug discovery, pharmacology and pharmaceutical research. The first monthly issue of MedChemComm will be published in mid-2010.

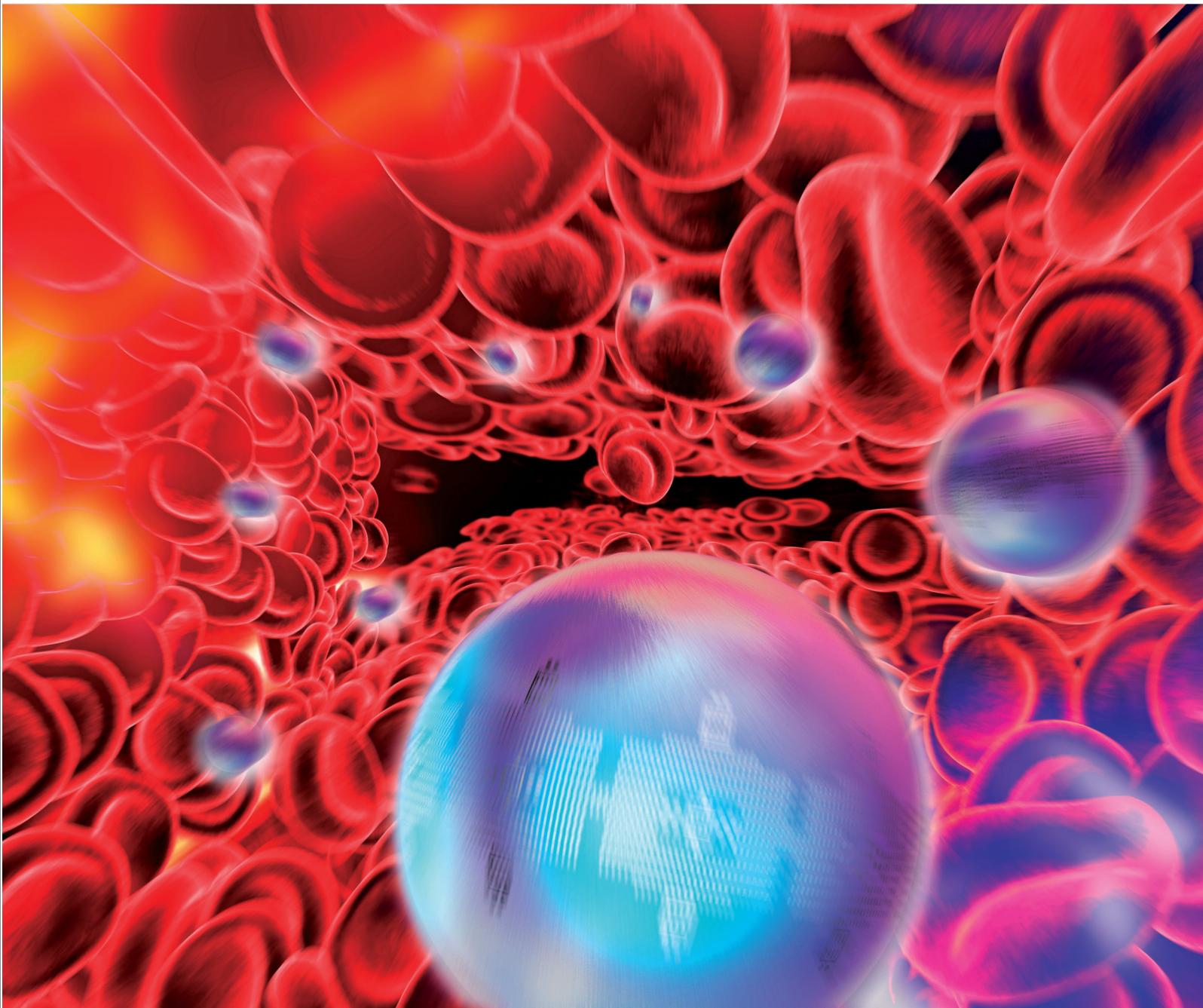
Submissions can be made online at <http://mc.manuscriptcentral.com/mcc>.

More information about the journal can be found at www.rsc.org/medchemcomm or by contacting the Managing Editor, Richard Kelly, at medchemcomm-rsc@rsc.org.

MedChemComm

www.rsc.org/medchemcomm

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EFMC
European Federation
for Medicinal Chemistry

Manfred Jung

Manfred Jung (1966) was educated at the Universities of Marburg, Ottawa and Münster (Ph.D. with Prof. Dr. Wolfgang Hanefeld, postdoctoral studies with Prof. Dr. Tony Durst, habilitation association with Prof. Dr. Bernard Unterhalt). He is currently Professor for Pharmaceutical Chemistry at the University of Freiburg. He has published over 75 papers, holds two patents and has received the joint Medicinal Chemistry Award of the Medicinal Chemistry groups of the German Pharmaceutical Society and German Chemical Society. His research focus is Chemical Epigenetics. The group is active in the synthesis of inhibitors of epigenetically active enzymes, both as biological tools and potential drugs. Another strong methodological focus is the development of screening assays for enzymes and their application in the search for new epigenetic modulators.

Epigenetics is defined as heritable changes in the phenotype without changes in the genetic code. The development of a complex organism requires that only a selected number of genes from the whole genome is expressed according to the cell type. The maintenance of such expression profiles upon cell division is governed by epigenetic processes such as DNA methylation and histone modifications. Epigenetic regulation can be very tight over a lifetime but might on



the other hand be subject to environmental factors. Such “epimutations”, as for an example the silencing of a tumor suppressor gene, may be the reason for diseases such as cancer but also neurodegenerative disorders. We are targeting histone modifying enzymes with the synthesis of inhibitors of histone acetyltransferases (HATs), histone deacetylases (HDACs), histone methyltransferases and demethylases in order to provide chemical

tools which aid in the biological investigation of epigenetic phenomena and potential new drugs. For the analysis of our inhibitors we develop in vitro screening assays and also apply these assays to the investigation of cellular material and tissue samples from animal and men. These assays are also used in screening approaches in the identification of new classes of inhibitors which then in turn can be optimized by synthesis. For example, we have identified new arginine methyltransferase (PRMT) inhibitors that target hormone dependent transcription but also inhibit methylation of non-histone protein targets. A special focus that extends outside epigenetic enzymes are NAD⁺ dependent ribosyltransferases and deacetylases. Major current funding on epigenetic targets comes from the Deutsche Forschungsgemeinschaft, Wilhelm Sander-Stiftung, Deutsche Krebshilfe and the EU (FP7-Health “SetTReND”).

Selected publications

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- A. Spannhoff, R. Heinke, I. Bauer, P. Trojer, E. Metzger, R. Gust, R. Schüle, G. Brosch, W. Sippl, M. Jung; *J. Med. Chem.* 2007, 50, 2319-2325.
- S. Schäfer, L. Saunders, S. Schlimme, V. Valkov, J. M. Wagner, F. Kratz, W. Sippl, E. Verdin, M. Jung; *ChemMedChem*. 2009, 4, 283-290.

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News from the Societies

BY ERDEN BANOGLU



THE ROYAL FLEMISH CHEMICAL SOCIETY

KVCV, DIVISION OF MEDICINAL AND BIOORGANIC CHEMISTRY



Johnson & Johnson Pharmaceutical Research & Development Prize For Medicinal Chemistry

To Dr. **Debby Feytens** for her PhD thesis entitled "Synthesis of spirocyclic 4-amino-2-benzazepin-3-ones and 4-amino-indolo[2,3-c]azepin-3-one analogs and their use in bioactive peptides and mimetics" (Vrije Universiteit Brussel, promotor Prof. D. Tourwé)

The Royal Flemish Chemical Society KVCV, Division of Medicinal and Bioorganic chemistry awarded for the 12th time a prize for a young PhD researcher who performed promising research at a Flemish University in the domain of medicinal chemistry.

Candidates needed to have obtained their PhD between November 1, 2007 and October 31, 2009.

A jury consisting of Prof. P. Herdewijn (K.U.Leuven), Dr. P. Ten Holte (J&J PRD), Prof. D. Tourwé (VUB), Prof. S. Van Calenbergh (UGent) and Prof. K. Augustyns (chair, UA) chose Debby Feytens as the prize winner.



Dr. Debby Feytens

Short Curriculum Vitae

Debby Feytens graduated at the Katholieke Universiteit Leuven and obtained the PhD degree at the Vrije Universiteit Brussel in 2009, with Prof. D. Tourwé as promotor. During the PhD she performed research stays at Bioquadrant in Montreal and at the University of Brisbane. Currently she is a post-doctoral fellow of the FWO-Vlaanderen and stays at the University Paris VI. She is the author of 11 publications.

Short summary of the PhD research

Methods were developed to conformationally constrain the structure of the amino acids Phe and Trp into an azepinone ring. These building blocks were used to prepare modified peptides and peptide mimetics. Somatostatin mimetics were obtained which were highly potent and either selective for one of the subtype receptors, or were universal ligands for all subtypes. The developed solid-phase protocol allows an easy introduction of the constrained Trp residue into a variety of peptide sequences, such as growth hormone secretagogues.

RSC | Advancing the Chemical Science

ROYAL SOCIETY OF CHEMISTRY

BIOLOGICAL AND MEDICINAL CHEMISTRY SECTOR

As part of the Industry & Technology Forum, the BMCS aims to further the interests of members of the RSC, both industrial and academic, who are involved in the pursuit and understanding of biologically active molecules. The predominant areas of interest to our members include pharmaceuticals, agrochemicals, flavours, and fragrances.

It also acts to promote public awareness of the crucial role played by chemistry in the modern industrial environment. The BMCS seeks to achieve these objectives by the organisation of scientific meetings and symposia, support for educational activities in the UK, and advising the RSC on policies that directly affect the BMCS members.

One of the main activities of the BMCS is to organise conferences of interest to scientists involved in life sciences research, often in collaboration with other key learned societies. Recent examples can be found on our website (www.rsc.org/bmcs) that include the 15th RSC/SCI Medicinal Chemistry conference, Churchill College in Cambridge and the 3rd BMCS PG symposium in Biological and Medicinal Chemistry. The BMCS also supports chemistry education and outreach activities through its Education Support Group (ESG). The ESG supports en-

hanced equipment for schools, chemistry after-school clubs, and out-reach activities and has created an educational CD for school and college distribution. In 2007 it also provided funding for the University Ambassador Scheme, which takes undergraduates into the secondary school sector to hone their skills and to get comprehension of teaching. BMCS support for the UAS Scheme has doubled both the number of university chemistry departments undertaking the course and the number of undergraduate students that take a UAS course. Why not join us when you renew your RSC membership-tick the BMCS box!



Left to right: Dr L Woo, Mr J Reed (on behalf of Prof M Reed), Dr P Jones (BMCS), Prof B Potter, Dr A Purohit.

BMCS Malcolm Campbell Award 2009

The 2009 Malcolm Campbell Award was presented to Dr LWL Woo and Prof BVL Potter from the University of Bath and Dr A Purohit and the late Prof MJ Reed from Imperial College for their discovery of STX64, a novel agent against steroid sulfatase which has demonstrated evidence of efficacy in the clinic for the treatment of hormone dependent cancers.

The prize is awarded biennially by the Biological and Medicinal Chemistry Sector (BMCS) of the RSC in recognition of recently published outstanding biological & medicinal chemistry research. The presentation was made at the 15th RSC-SCI Medicinal Chemistry Symposium in Cambridge.

Forthcoming Events

- RSC/SCI Oncology meeting
25 May 2010 Belgrave Square
- RSC/SCI Continuous Processes & Flow Chemistry 3-4 November 2010
GSK Stevenage
- RSC/SCI GPCR 2010 20-22
September 2010 Oss, Holland
- Fragments 2011 7-8 March 2011, GSK,

Stevenage
• Anglo-Swedish Med. Chem.
Symposium 20-23 March 2011, Sweden

Please visit us at www.rsc.org/bmcs

HELLENIC SOCIETY OF MEDICINAL CHEMISTRY

The Hellenic Society of Medicinal Chemistry (HSMC) organizes the following scientific events in 2010:

In co-operation with the Division of Organic and Medicinal Chemistry of the Association of Greek Chemists (DOMC/AGC), the Hellenic Society of Medicinal Chemistry organizes the **14th Hellenic Symposium on Medicinal Chemistry (HSMC-14)**. The Symposium will take place in the Thessaloniki/Tox properties in drug discovery. Organic Synthesis, Natural Products, Biochemistry and Chemical Biology, Pharmacology, Computa-

al Chemistry and Cheminformatics are integrated to create the environment for fruitful interchange of ideas between scientists involved.

Further information about the Symposium is available on the website at www.helmedchem2010.gr

In co-operation with Cheminformatics and QSAR Society the **18th European Symposium on Medicinal Chemistry** is organized in Rhodes, Greece, on September 19-24, 2010. The 18th Euro-QSAR Symposium, entitled 'Discovery Informatics and Drug Design' is an EFMC sponsored event. It will focus on the latest scientific and technological developments in QSAR and Cheminformatics, continuing the tradition of holding biannual symposia at different European countries since 1973. This series of Symposia constitute major scientific events in the field of rational drug design, with further applications in agricultural or environmental chemistry. The following topics will be discussed during the five days of the EuroQSAR Symposium in Rhodes:

Pharmacophore Searching and Virtual Screening / Structure-Based Drug Design - Druggability / Bioinformatics / Cheminformatics / Systems Biology and Biological Complexity / Multi-target QSAR / QSPR for Novel Biomaterials and Regenerative Medicine / In Silico PhysChem Profiling and ADMET / Predicting Drug Safety / Predictive Toxicology and Risk Assessment - Environmental QSAR / Computational Strategies in Agricultural and Animal Health Research / Novel QSAR Approaches.

Deadline for submission of abstracts nominated for oral presentation is April 1st and for posters April 15th, 2010. Further information on the 18th Euro-QSAR is available on the Symposium website at www.euroqsar2010.gr



DIVISION OF MEDICINAL CHEMISTRY OF THE SWISS CHEMICAL SOCIETY

News on Activities planned for 2010 and 2011

May 27, 2010

Mini-Symposium on 'Channels and Transporters' at the Department of

Chemistry, University of Basel, comprising overview lectures by Beat Ernst ("Introduction and Basics of Channels and Transporters"), Raimund Dutzler ("The Structural Basis for Ion Conduction and Gating in Pentameric Ligand-Gated Ion Channels"), and Dietrich Keppler ("Uptake and Efflux Transporters for Endogenous Substances and for Drugs"), and two case studies, namely "The Identification of T-Type Calcium Channel Blockers" (Mark J. Suto, Icaegen Inc., Durham NC) and "Avosentan" (Werner Neidhart, Roche Basel). No registration is necessary, free entrance is offered to all participants.

September 16, 2010

Division of Medicinal Chemistry, oral and poster session at the Fall Meeting of the Swiss Chemical Society, ETH Zürich.

September 21-24, 2010

The Swiss Chemical Society will organize the ILMAC Scientific Forum at the Fair Basel (MCH) entitled "From Nylon to Nanomaterials. Future Trends in Polymers", with a specific section on September 23 dedicated to Biopolymers and Polymer Based Drug Delivery. The program will include a lecture by Prof. Alberto A. Gabizon (Hebrew University, Jerusalem, Israel): "Delivery of Anticancer Agents by Liposomes: Nanomedicine in Action".

October 10-15, 2010

9th Swiss Course on Medicinal Chemistry, organized by Professor Beat Ernst in Leysin, a picturesque Swiss mountain village. This course is held every second year. It offers young scientists with a few years of experience in the pharmaceutical industry and interested Ph.D. students a broad overview of

key disciplines important for modern preclinical drug research. Active participation in tutorials and a broad variety of lectures and case histories are important elements of the course (see also <http://www.swiss-chem-soc.ch/events/index.cfm>).

March 20-23, 2011

Joint German-Swiss Meeting on Medicinal Chemistry "Frontiers in Medicinal Chemistry", Saarbrücken, Germany.

Participation in various events which will be organized to celebrate the UN International Year of Chemistry 2011.

Report from Education & Training Committee (ETC)

BY PETER MOHR

The Committee for Education and Training of the EFMC (Peter Matyus – chair –, Peter Mohr, Giuseppe Ronsisvalle, Alan Stobie, Henk Timmerman, Anna Tsantili, and Danijel Kikelj, Javier Fernandez sent his regrets) gathered on the first weekend of March at the Faculty of Pharmacy in Ljubljana, the charming capital of Slovenia, where it was hosted with great hospitality by Prof. Danijel Kikelj. Main objectives of our committee include to foster tight cooperation between academia and industry, to ensure state of the art training of medicinal chemists throughout Europe, and to provide a platform to anticipate and address future trends in due time.

A detailed synopsis of our two day discussion is clearly beyond the scope of this concise summary. But two topics definitely deserve to be mentioned: our committee will organize at the ISMC in Brussels its own session, dedicated to “Teaching Medicinal Chemistry”. Three renowned speakers have been identified and will give us an account of present gaps and future trends from their perspective.

The first EFMC short course discussing in depth ADME-properties was successfully organized last year, the second one is supposed to take place this April in Oegstgeest (NL), dealing with the hot topic “Safety and Attrition” (see http://www.ldorganisation.com/produits.php?langue=english&cle_menus=1238915346)

Taking into account the positive feedback, our committee unanimously proposes to the EC to continue establishing such three day short courses. It pondered already about putative topics and tried to identify suitable coordinators. Details will be announced via this channel in due time; suffices to mention here that highly interesting themes have been selected for the EC’s consideration like “Pharmacology for Medicinal Chemists”, “Target Selection through Application of Chemical and Systems Biology”, or “Structural Biology, Biophysics and Molecular Interactions”. We are convinced that such inspiring events will attract even in the present rough economic environment many interested medicinal chemists from all over Europe.

EFMC 2010 AWARDS

Winners for 2010 EFMC awards announced



The Selection Committees of the three 2010 EFMC Awards chaired by the EFMC President, Gerhard Ecker, have just announced the names of the winners. They are:

Nauta Award for Pharmacochimistry

Prof. Camille Georges Wermuth, *University Louis Pasteur Strasbourg and Prestwick Chemicals*

UCB-Ehrlich Award for Excellence in Medicinal Chemistry

Dr. Anthony Wood, *Pfizer Global Research and Development*

Prous Institute – Overton and Meyer Award for New Technologies in Drug Discovery

Prof. Klaus Müller, *ETH Zürich*

The 2010 Awards will be conferred on the occasion of the XX1st EFMC “International Symposium on Medicinal Chemistry” (ISMC) to be held in Brussels, Belgium, September 5-9, 2010.

EFMC-ISMC 2010, the 21st edition of the EFMC International Symposium on Medicinal Chemistry will take place in Brussels, Belgium, from September 5 until 9, 2010. The Symposium, which is internationally recognized as one of the leading Medicinal Chemistry meetings, will provide an international forum for presentations and discussions for leaders in trends in Medicinal Chemistry.

The aim of the symposium is to offer a broad spectrum programme with topics covering most aspects of the search for better molecules, with case studies, lectures on new strategies and methodologies, posters and a scientific exhibition. ISMC 2010 will cover drug discovery advances in all major therapeutic areas, as well as the most recent advances in lead identification and optimization strategies, in drug design and development, and in prediction of activity as well as adverse effects. An emphasis will be on first time disclosures, emerging drugs and technologies, including nanotechnologies, microfluidics, imaging biomarkers, and the chemical modulation of stem cells.

The list of sessions and confirmed invited speakers is now available on the symposium website <http://www.ismc2010.org>.

At ISMC Brussels, sunset sessions will be organized by the Industry Liaison Committee (ILC) and the Education and Training Committee (ETC) of EFMC. The ILC session, "Careers in Medicinal Chemistry", provides an opportunity for those seeking a career in MedChem to meet with experienced medicinal chem-

ists from both industry and academia and discuss the career and science options for Medicinal Chemists in Europe. The ETC sunset session will focus on "Academic curriculae and industrial careers". How to (re)organize academic curricula to guarantee to young medicinal chemists a successful start in an industrial environment?" After a presentation on the general issue by an in both research and management experienced medicinal chemist, two young scientists will report on their experiences when changing from the training at university to an industrial laboratory. A discussion will complete the programme.

MedChemComm, the official EFMC journal, is now accepting submissions. The journal will publish issues monthly from mid 2010 and will contain a mix of vibrant and concise research and review articles. To submit your work to MedChemComm, please use the submission tool on the RSC website www.rsc.org/publishing.

To acknowledge outstanding achievements in the field of Medicinal Chemistry, EFMC is conferring every two years three Awards: "**the Nauta Award for Pharmacochimistry**", "**the UCB-Ehrlich Award for Excellence in Medicinal Chemistry**" and "**the Prous Institute-Overton and Meyer Award for New Technologies in Drug Discovery**". The laureates of the previous EFMC Awards were highly recognized scientists, honoured for their

outstanding achievements in the field of Medicinal Chemistry. The EFMC is highly pleased that also this year numerous valuable nominations came in, so that the awardees can be chosen from a selection of worldwide renowned scientists. The three Awards will be conferred on the occasion of the XXIst International Symposium on Medicinal Chemistry (Brussels, Belgium, September 5-9, 2010), where the award winners will present a lecture.

A large entry of young medicinal chemists answered the call for nominations for the first edition of the "EFMC Prize for a Young Medicinal Chemist in Industry" and the "EFMC Prize for a Young Medicinal Chemist in Academia". The prizes are established to acknowledge and recognize an outstanding young medicinal chemist (<35 years old) working in industry or in academia within Europe. The winners will be awarded at ISMC, where they will give a short presentation.

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EFMC EVENTS

SPONSORED EVENTS

BY NELE COULIER AND KOEN AUGUSTYNS

14TH HELLENIC SYMPOSIUM ON MEDICINAL CHEMISTRY

Date: April 23-25, 2010
Place: Thessaloniki, Greece

Website:
<http://www.helmedchem2010.gr>

Topics:
Progress in the Design and Development of Drugs?
Strategies for Lead Discovery
Pharmacophore Searching and Virtual Screening
Multi-target Drug Design- Selectivity Approach
Development of new synthetic approaches and methodologies
Biochemical Pharmacology / Toxicology/ Chemical Biology
CNS acting agents
Anticancer Research
Chemotherapeutic agents
Metabolic disorders
Inflammatory and Autoimmune Diseases
Natural Products
PhysChem Profiling of Drugs- ADME / Tox
Bio-informatics/Metabonomics
Pharmaceutical Analysis
Radiopharmaceutics
Organometallics
Emerging Technologies / Nanotechnology

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Organised by:
Hellenic Society of Medicinal Chemistry (Greece)

28TH CAMERINO-CYPRUS-NOORDWIJKERHOUT SYMPOSIUM TREKKING THROUGH RECEPTOR CHEMISTRY

Date: May 16-20, 2010
Place: Camerino, Italy

Website:
<http://www.unicam.it/farmacia/symposium/index.html>

Topics:
Starting on the right foot - selection criteria in lead discovery
Applications of computational methods in lead discovery
Receptors and beyond
Polypharmacology: creating selective non-selectivity
Application of stem cell technology to drug discovery
G-protein coupled receptors: old landscape with new eyes
Orexin antagonists as novel treatment of insomnia
New avenues to target G-protein coupled receptors

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Organised by:
Division of Medicinal Chemistry of the Italian Chemical Society (Società Chimica Italiana) (Italy)

SPONSORED EVENTS

XXST NATIONAL MEETING ON MEDICINAL CHEMISTRY OF THE DIVISION OF ITALIAN CHEMICAL SOCIETY

Date: September 12-16, 2010

Place: Padova, Italy

Website:

<http://www.nmmc2010.sistemacongressi.com/>

Topics:

CNS Medicinal Chemistry

Epigenetics: A New Pathway to Drug Discovery

Oncology Medicinal Chemistry

Antibacterial and Antiviral Agents

Pharmaceutical Profiling Assays in Drug Discovery and

Development

Drug Design

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Organised by:

Division of Medicinal Chemistry of the Italian Chemical
Society (Società Chimica Italiana) (Italy)

18TH EUROPEAN SYMPOSIUM ON QUANTITATIVE STRUCTURE – ACTIVITY RELATIONSHIPS

Date: 19-24 September 2010

Place: Rhodes, Greece

Website:

<http://www.euroqsar2010.gr>

Topics:

Pharmacophore Searching and Virtual Screening

Structure-Based Drug Design - Drugability

Bioinformatics / Chemoinformatics

Systems Biology and biological complexity

Multitarget QSAR

QSPR for novel biomaterials and regenerative medicine

In silico PhysChem Profiling and ADMET

Predictive Toxicology and Risk Assessment - Environmental

QSAR

Computational Strategies in Agricultural Research

Novel QSAR Approaches

Contact:

Congress Secretariat

Mr. Gerasimos Kouloumpis

Zita Congress & Travel

Email: gerasimos.kouloumpis@zita-congress.gr

Organised by:

Hellenic Society of Medicinal Chemistry (Greece)

EFMC EVENTS

SCHOOLS

30TH EDITION OF THE EUROPEAN SCHOOL OF MEDICINAL CHEMISTRY (ESMEC)

Date: July 4-9, 2010

Place: Urbino, Italy

Website:

<http://www.esmec.eu/>

The mission of the ESMEC is to provide participants, PhD students and junior researchers from both academia and industry, with the most recent advances in the field of medicinal and organic chemistry, pharmacology, molecular biology and analytical/ structural chemistry. This idea is aimed to reflect the current scenario of medicinal chemistry being a truly transdisciplinary approach, where the advances in knowledge are driven by the medicinal chemist's ability to translate into new molecular concepts and into novel molecular entities the amount of information created by molecular medicine, pharmacology, molecular biology, systems biology.

Scientific topics:

Infection Diseases: Focus on AIDS and Flu

Drug Delivery to the Targets

Challenges in the Synthesis of Bioactive Compounds

Hot Topics

Please be informed that PhD students following doctorate courses in European Countries (except Italy) can apply for 12 fellowships to attend the School. Instructions on how to apply for the fellowships can be found on the ESMEC website.

Director: Prof. Gloria Cristalli (gloria.cristalli@unicam.it)

Contact person: Dr. Lucia Bedini

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THE 19TH LEIDEN/AMSTERDAM CENTER FOR DRUG RESEARCH SCHOOL ON MEDICINAL CHEMISTRY

Date: 19-22 October, 2010

Place: Oegstgeest, The Netherlands

Website:

<http://www.lacdr.nl/events/19th-school-on-medicinal-chemistry>

The School encompasses basic and advanced aspects of drug design, pharmacology and toxicology to provide research chemists in the pharmaceutical industry with the appropriate background for their daily practice. The course provides a thorough introduction in pharmacodynamics, pharmacokinetics and toxicology (ADME-Tox). The impact of molecular biology, genomics and molecular modeling on drug research are also discussed. Newly introduced to the course are cheminformatics and fragment-based design approaches.

In addition, two case histories will give a flavour of chance and strategy in drug development. Speakers come from both pharmaceutical industries and academic research institutes; they have been selected for their scientific expertise as well as didactic qualities.

Contact person: Ms Bea Dekker

Email: bdekker@lacdr.leidenuniv.nl

SCHOOLS

9TH SWISS COURSE ON MEDICINAL CHEMISTRY

Date: October 10-15, 2010,

Place: Leysin, Switzerland

Website:

<http://www.swiss-chem-soc.ch/smc/leysin/leysin.html>

The objectives of the course are to give synthetic chemists, physicochemists, biochemists and pharmacologists a broad and balanced introduction to the background, concepts and tools of medicinal chemistry, a science at the interface of synthetic chemistry, physicochemistry, phytochemistry, biochemistry, pharmacology and toxicology, drug metabolism and disposition, molecular modeling and informatics.

Modern preclinical drug research is thus the focus of the course, which combines dense lectures, tutorials and case studies presented by experts from university and industry. Active participation is encouraged.

Contact person: Prof. Dr. Beat Ernst

Tel: +41 61 267 15 50

Email: Gabi.Lichtenhahn@unibas.ch

SUMMER SCHOOL ON PHARMACEUTICAL ANALYSIS (SSPA)

Date: June 13-16, 2010

Place: Rimini, Italy

Website:

<http://www.scpaweb.org/>

The Summer School on Pharmaceutical Analysis (SSPA) is yearly planned under the auspices of the Division of Medicinal Chemistry of the Italian Chemical Society and the EFMC (European Federation for Medicinal Chemistry). This three days school is mainly addressed to researchers and PhD students of the Faculties of Pharmacy and Sciences and to young scientists from pharmaceutical industries.

SSPA is organized on a three-year program on the most advanced analytical methodologies involved into the various stages of the launch of new drugs, from drug discovery (hit selection, structure properties relationship), through drug development (ADME/PK properties, biomarkers discovery) up to formulation and quality control.

Following the 2008 edition focused on 'advanced analytical methodologies in drug discovery', and sspa 2009 'advanced analytical methodologies in drug development', in 2010 the third year of sspa covers 'advanced analytical methodologies in drug quality control and preformulation'.

Contact person: Prof. Vincenza Andrisano (SSPA Director)

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