YEARBOOK 2007

Medicinal Chemistry in Europe

YEARBOOK 2007

EFMC
European Federation
for Medicinal Chemistry

Editorial

Dear Members of our Federation,

Let me begin this editorial by saying that I am pleased to represent you as the President of EFMC for 2006-2008 and look forward to working collaboratively with you, reflecting on experience, discussing common concerns, refreshing a vision of our intended future and sharing our accomplishments. This first message is a suitable occasion to have a 'look back and forward' to some important events and key initiatives of our Federation.

First of all let me welcome our new Treasurer Rasmus Clausen and our new Council Members Marina Gordaliza (Spain), Jeffrey Sterling (Israel), and Anna Tsantili-Kakoulidou (Greece). I am looking forward to their input and to a fruitful cooperation. Let me also thank Avi Domb, Isabel Fernandez and Panos Kourounakis for their valuable contributions and their continuous support to EFMC.

The main event in 2006 has been the XIXth International Symposium on Medicinal Chemistry (ISMC), held in Istanbul, Turkey. It proved very successful and I would like to take this opportunity to congratulate our Turkish hosts, and in particular Prof. Fethi Sahin and Prof. Erden Banoglu with their Local and Scientific Organizing Committees, for their achievement.

For 2007, a major EFMC event will be the International Symposium on 'Advances in Synthetic and Medicinal Chemistry' that will be held in St. Petersburg (Russia) on August 27-31st. This symposium, which follows the successful ASCMC-Moscow-04 chaired by Prof. K.C. Nicolaou, will now be chaired by Prof. Steve Ley (Cambridge) and Dr. Magid Abou-Gharbia (Wyeth,USA) and co-organized with ChemBridge Corporation and the support of ACS.

A new series of meetings will be organized biennially by our Federation jointly with the Medicinal Chemistry Division of the American Chemical Society. The first of these meetings, 'Frontiers in CNS and Oncology Medicinal Chemistry', will be held in Siena (Italy) from October 7 to 9, 2007, with the sponsorship of the Division of Medicinal Chemistry of the Italian Chemical Society and the Chairmanship of Dr. Giovanni Gaviraghi (SienaBiotech, Italy).

There will be other major manifestations coming up in 2007 under the auspices of EFMC. I wish to highlight, among these, the 5th Joint Meeting on Medicinal Chemistry, which will take place on June 17-21 in Portoroz (Slovenia); the 43rd RICT Rencontres Internationales de Chimie Thérapeutique, July 4-6, Lille, France; the 16th Camerino-Noordwijkerhout Symposium - An Overview of Receptor Chemistry, September 9-13, Camerino, Italy; the Anglo-Swedish Medicinal Chemistry Meeting, March 11-14, 2007 (Are, Sweden);

the Belgian Annual One Day Meeting on Medicinal Chemistry in November; the International Meeting on Medicinal and Pharmaceutical Chemistry in Antalya, October 16-21.

Our EFMC-approved Medicinal Chemistry Schools of Leiden, Leysin, and Urbino, are well established and attract several hundred participants each year. These three events are not only unique occasions for an advanced training in medicinal chemistry, but represent also examples of how different educative models can integrate efficiently at a European Level. The Urbino School, scheduled for June 1-6, 2007, is targeting at PhD students and researchers from both academia and industry and aims to cover, at an advanced and truly interdisciplinary level, hot topics in medicinal chemistry. The Leiden School, planned for October, 2007, is limited to 35 participants and provides a fully interactive environment where basic and more advanced concepts of toxicology, lead finding and pharmacology are introduced. The Leysin School, also scheduled for October 2007 is aimed to give a balanced introduction to the backgrounds, tools and concepts of medicinal chemistry, also with the help of tutorials and case studies.

Also this year, the scientific and training activities of medicinal chemistry and related disciplines are very broad and continuously improving. Together with the EC I have the intention to provide our members with an efficient way of on-time information, comments, and reports on newly scheduled events. I therefore strongly suggest to regularly check our official web page (www.efmc.info) which is informative and continuously updated.

This is an important period in the history of the Federation. It is the conviction of all of us, I am sure, that there is the need to re-define its mission, vision and goals in order to ensure that the Federation will continue to thrive in the future. New initiatives are underway in a number of areas. In particular, we are re-organizing our structure looking for new ways to serve the Federation's member societies and corporate members. The Council meeting held in Istanbul at the occasion of the ISMC, in particular, has approved the establishments of a number of Committees which are already fully operative:

- Education and Training Committee (ETC), Chair: Peter Matyus
- European Commission R&D Initiatives Committee (ECIC), Chair: Ferran Sanz
- Industry Liaison Committee (ILC), Chairs: David Alker & Brigitte Lesur
- Information & Communication Committee (ICC), Chair: Gerhard Ecker

While the scope and composition of each Committee is reported elsewhere in this Yearbook, let me express the firm belief that they will help in making tremendous progress on new initiatives that will enhance the impact and the image of our Federation. Just to mention a few, a corporate Membership programme has been issued, a European Medicinal Chemistry Curriculum is being discussed, and an EFMC-Newsletter will be launched next year. These initiatives will strengthen the membership and foster new creative ideas for the Federation.

The Federation is embarking upon a comprehensive planning effort. Thus, we are installing mechanisms for ongoing strategic planning in order to set priorities and to be selective about what we aspire to do. I am very pleased to announce, in this context, that we have just signed a contract with Ly Differding of LD Organisation for a constant organizational support to the EFMC which will allow us to professionalize our daily work, to increase our activities and guarantee their sustainability.

Most members of our Federation wish an increasingly effective collaboration with organizations that represent the diverse aspects of drug discovery. Indeed, we need to improve our collective impact upon the forces that are shaping our disciplines, and to create a forum able to reflect the transdisciplinary cultural context in which we operate. In order to achieve this goal, we must join our colleagues in sister learned societies in order to define mutually consistent strategies, possibly having a mechanism in place to provide for ongoing communications and to seek energies that allow us to impact collectively. The first steps in this direction have already been made. Indeed, Presidents of the following European Federations and Associations have already met twice to discuss ways to collaborate : EUFEPS (the European Federation for Pharmaceutical Sciences); EACPT (the European Association of Clinical Pharmacology and Therapeutics); EAPB (the European Association of Pharma Biotechnology); ECRIN (the European Clinical Research Infrastructures Network); EFB (the European Federation of Biotechnology); EFMC (the European Federation for Medicinal Chemistry); EPHAR (the Federation of European Pharmacological Societies); ESCP (the European Society of Clinical Pharmacy); EUROTOX (the European Federation of Toxicologists & European Societies of Toxicology); FEBS (the Federation of European Biochemical Societies); and GA (the Society for Medicinal Plant Research). The name agreed for this group is 'European Pharma Sciences Leadership Forum' and everybody agrees that this initiative can be the start for better communication, greater mutual trust and stronger integration of the efforts on the part of the organizations representing pharmaceutical research.

Last but certainly not least, I want to thank the Past-President Ferran Sanz for his extraordinary efforts in strengthening EFMC. Let me recall, here, the implementation of the new Statute and by-laws and the steady policy for the recruitment of new member societies. Moreover, Ferran's deep involvement and high reputation in the scientific frontiers of our discipline has contributed to give high visibility and respect to our community. Also, Ferran has played an invaluable role in helping me for preparing to my tasks and to build on past accomplishments of the Federation

I believe that you all agree that our tradition is rich and our future is bright. With the help of all of you, I look forward to productive years in creating experiences that will enhance medicinal chemistry and our Federation.

With warmest regards,
Roberto Pellicciari, President, EFMC

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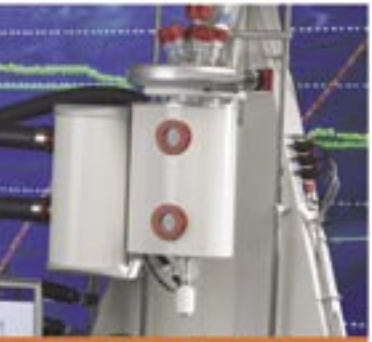

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Table of Content

EFMC Presentation

National Adhering Organisations

Directory of Companies

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Medicinal Chemistry in Europe,
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Council

The Council consists of the members of the EC and one delegate of each Adhering Organisation.

According to the new statutes, the voting rights are allotted according to the following rules: members of the EC: 1 vote, countries with up to 99 members: 2 votes, countries with 100-199 members: 3 votes, countries with 200-399 members: 4 votes, countries with 400-599 members: 5 votes, countries with 600-899 members: 6 votes, countries with more than 899 members: 7 votes. As for countries with more than one Adhering Organisation, votes will be proportionally distributed among them, taking into account their respective memberships. The resulting number will be rounded off to the closest digit.

Members:

Dr. David Alker
Executive Committee

Dr. Richard Armer, Oxagen Ltd
Society for Medicines Research, SMR, UK

Prof. Koen Augustyns, Universiteit Antwerpen
Medicinal and Bioorganic Chemistry Division of Royal Flemish Chemical Society (KVCV), Belgium

Dr. Derek Buckle, DRB Associates
The Biological and Medicinal Chemistry Sector (BMCS) of the Royal Society of Chemistry (RSC), UK

Dr. Edmond Differding, UCB SA
Société Royale de Chimie (SRC), Medicinal Chemistry Division, Belgium

Prof. Gunars Duburs,
Latvian Institute of Organic Synthesis
Latvian Association for Medicinal Chemistry, Latvia

Prof. Gerhard Ecker, University of Vienna
Executive Committee

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Austrian Chemical Society, Medicinal Chemistry Section, Austria

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The Swedish Academy of Pharmaceutical Sciences, Section for Medicinal Chemistry, Sweden

Prof. Katarzyna Kieć-Kononowicz,
Jagiellonian University, Medical College
Medicinal Chemistry Section of the Polish Chemical Society, Poland

Prof. Danijel Kikelj, University of Ljubljana
Section for Medicinal Chemistry of the Slovenian Pharmaceutical Society, Slovenia

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Prof. Péter Mátyus, Semmelweis University
Executive Committee

Dr. Peter Mohr, Hoffmann-La Roche AG
Division for Medicinal Chemistry (DMC), Swiss Chemical Society (SCS), Switzerland

Prof. Roberto Pellicciari, Universita di Perugia
Executive Committee

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Universita degli Studi di Catania
Division of Medicinal Chemistry of the Italian Chemical Society (Società Chimica Italiana), Italy

Prof. M. Fethi Sahin, Gazi University
Turkish Association of Medicinal and Pharmaceutical Chemistry, Turkey

Prof. Gerd Schnorrenberg,
Boehringer Ingelheim Pharma KG
Division of Medicinal Chemistry of the German Chemical Society (GDCh), Germany

Dr. Jeffrey Sterling, TEVA Pharmaceutical Ind
The Medicinal Chemistry Section of the Israel Chemical Society, Israel

Prof. Kristian Strømgaard,
The Danish University Of Pharmaceutical Sciences
The Danish Society for Pharmacology and Toxicology, Denmark

Prof. Henk Timmerman, Vrije Universiteit LACDR
Section of Pharmacochemistry, Royal Netherlands Chemical Society (KNCV), The Netherlands

Assoc. Prof. Anna Tsantili-Kakoulidou,
University of Athens
Hellenic Society of Medicinal Chemistry, Greece

Dr. János Wölfling, University of Szeged
Organic and Medicinal Chemistry Division (OMCD) of the Hungarian Chemical Society (HCS), Hungary

Prof. Nikolay S. Zefirov, Moscow State University
The D.I. Mendeleev Russian Chemical Society, Medicinal Chemistry Section, Russia

EFMC Objectives

The European Federation for Medicinal Chemistry (EFMC) is an independent association founded in 1970. Free from any political convictions, it represents the scientific organisations from 19 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. Moreover, it offers medicinal chemists an opportunity to present their work internationally by organising the biennial International Symposium on Medicinal Chemistry (ISMC).These symposia, with an average attendance of 1,400 delegates, are highly international with a broad range of speakers and attendees representing well in excess of 40 countries.

The EFMC pursues its activities via the Executive Committee, the Council and 4 committees: Education and Training Committee, Industry Liaison Committee, European Commission R&D Initiatives Committee, and Information & Communication Committee.
These Committees strengthen the links between Council and EC and help to define the mission, vision and goals of EFMC.

The EFMC has very strong links with the Medicinal Chemistry Division of the American Chemical Society. The EFMC has a permanent representative at its management meetings and also has a permanent representative on the Long Range Planning Committee of the ACS Medicinal Chemistry Division. Through this collaboration the ACS convenes sessions for the biennial ISMC Symposia, and conversely the EFMC convenes sessions for ACS National meetings. This reciprocal relationship is continually strengthened and recently extended to the joint organisation of a bilateral meeting. Furthermore, the EFMC has a specific representative on the Editorial Advisory Board of the Journal of Medicinal Chemistry, thus demonstrating the quality of European science and its scientists. EFMC also has strong links with the European Federation for Pharmaceutical Sciences. Besides exchanging sessions at various scientific congresses, EFMC and EUFEPS joined forces in establishing an European Pharmaceutical Sciences Leadership Forum. In addition, the EFMC also collaborates with the Asian Federation of Medicinal Chemistry by participating in meetings organised by the AFMC and vice versa, giving the EFMC a broad international footprint.

An important part of the EFMC activities is sponsorship of national scientific meetings organised between two or more countries. Supported by Bentham, it also awards bursaries for younger scientists to attend ISMC meetings. The EFMC also acknowledges the excellence of medicinal chemists' work, by conferring three major awards: the Nauta Award on Pharmacochemistry, the UCB Award for Excellence in Medicinal Chemistry and the Prous Science Award for new Technologies in Drug Discoveries, which are given every two years for outstanding achievements in the field of Medicinal Chemistry.

The EFMC homepage is now hot-wired to the web sites of many national organisations, thus facilitating the flow of information, and the yearbook "Medicinal Chemistry in Europe" should help to further develop cooperation between member organisations as well as their industrial partners and therefore advance medicinal chemistry in Europe, and by extension around the globe.

ETC

Education and Training Committee

Committee Membership:

- Péter MÁTYUS – ETC Chair (EFMC Executive Committee member)
- Dave ALKER (EFMC Executive Committee member, Point Contact for EFMC Corporate Membership)
- Sylviane GIORGI-RENAULT (Member of SCT, France)
- Marina GORDALIZA (Council Member)
- Danijel KIKELJ (Council Member)
- Guiseppe RONSISVALLE (Council Member)
- Fehti SAHIN (Council Member)
- Henk TIMMERMAN (Council Member, EFMC Executive Committee Advisor)
- Anna TSANTILI (Council Member)

Committee Objective:

To ensure the training of the next generation of medicinal chemists within Europe at both the undergraduate and postgraduate levels, based on strong industry-academia cooperation, and to provide a platform to address future development training needs

Committee Goals:

- Undertake a comprehensive analysis of the structure and profile of European teaching and education systems for medicinal chemistry
- Agree the core skills a medicinal chemist should acquire during their Masters level and PhD level training
- Quantify existing undergraduate and postgraduate level training courses within Europe
- Identify best practice from this analysis and to publish an overview and conclusions
- Summarise career destinations for Masters and PhD level medicinal chemists, and identify core skills gaps in each country from both the industrial and academic perspective
- Identify deficiences in the current teaching and education of medicinal chemistry in Europe, and establish means of addressing these
- Summarise currently available software for e-learning of medicinal chemistry
- Continue to support the current residential postgraduate Medicinal Chemistry Schools (training courses) in Italy, the Netherlands and Switzerland
- Facilitate the establishment of additional local residential Medicinal Chemistry Schools in other European countries
- Incorporate medicinal chemistry trends in future Medicinal Chemistry Schools
- Include sessions on the teaching and education of medicinal chemistry on a regular basis in EFMC-sponsored symposia
- Hold a satellite session at each ISMC on the future trends and direction of medicinal chemistry
- Raise the awareness and importance of appropriate medicinal chemistry training and education with other relevant learned societies e.g. IUPAC, EUFEPS

In order to achieve these challenging goals the Education Committee would welcome your knowledge, experience and ideas. If you wish to contribute towards our objectives, please contact Peter Matyus at peter.matyus@szerves.sote.hu

European Commission R&D Initiatives Committee

Current committee membership:

- Ferran SANZ – Chair (EFMC Executive Committee member, Spain)
- Maria José CAMARASA (CSIC; President of Spanish Society of Medicinal Chemistry)
- Giovanni GAVIRAGHI (Sienabiotech, Italy)
- Peter ETTMAYER (EFMC Council Member, Austria)
- Katarzyna KIEÈ-KONONOWICZ (EFMC Council Member, Poland)
- Hans Peter MAERKI (Roche, Switzerland)
- Hans-Ulrich STILZ (Aventis; President of German Society of Medicinal Chemistry)
- Geoffrey STEMP (GlaxoSmithKline, UK)
- Nico VERMEULEN (Leiden Drug Research Center, The Netherlands)

Committee Objective:

To improve and strengthen the contacts of EFMC to the European Commission in order to increase the visibility of the EFMC and its activities. Main goal in the near future will be to provide information about the Innovative Medicines Initiative to the Member Societies and to establish a platform for communicating the strengths and needs of Medicinal Chemistry to the European Commission. In addition, the ECIC Committee will also act as a forum for discussing and promoting R&D initiatives important for Medicinal Chemistry in Europe.

Committee Goals:

- To strengthen and improve communication with the European Commission
- To support the National Adhering Organisations by ensuring that information on European R&D initiatives is communicated in an efficient and timely manner.
- To provide a platform for dissemination of activities related to the Innovative Medicines Initiative
- To communicate the strengths and needs of Medicinal Chemistry to the European Commission and other authorities
- To promote Medicinal Chemistry R&D initiatives throughout Europe

In order to achieve these challenging goals the committee is open to suggestions and comments. Please send your ideas to Ferran Sanz at fsanz@imim.es

ILC

Industry Liaison Committee

Current committee membership:

- Brigitte LESUR – ILC Chair (EFMC Executive Committee member)
- Dave ALKER (EFMC Executive Committee member, Point Contact for EFMC Corporate Membership)
- Henk TIMMERMAN (Council member, EFMC Executive Committee Advisor)
- Derek BUCKLE (Council member)

Committee Objective:

To promote and improve liaison and cooperation between EFMC and Industry so that the EFMC can better achieve its over-arching objective to advance the science of medicinal chemistry. This includes increasing the visibility of EFMC activities within companies which employ medicinal chemists as well as those related industries for whom medicinal chemistry is an enabling science or for whom medicinal chemists represent a significant customer base.

Committee Goals:

- To act as a link between industry and academia for the exchange of ideas, information and knowledge on medicinal chemistry

- To understand and champion the views of industry within EFMC and, where appropriate, to promote these on a wider, European stage

- To provide a forum for industrial and academic medicinal chemists to discuss the evolution of medicinal chemistry in Europe

- To promote opportunities for:
  - Short-term (up to 12 month) training periods in industry for students
  - Partnership/collaborative programmes in medicinal chemistry research topics
  - Industry/academia joint grants and sponsorship programmes

- Longer term to the committee to set up a system for job offers and candidate CV through the EFMC web-site

- Longer term to set up a directory of European centres of specific expertise in drug research

In order to achieve these challenging goals the ILC would welcome new committee members. If you are interested please contact either Brigitte Lesur blesur@hotmail.fr or Dave Alker dabidalker@btinternet.com

Information & Communication Committee

Current committee membership:

- Gerhard ECKER – ICC Chair (EFMC Executive Committee member, Austria)
- Koen AUGUSTYNS (University of Antwerp, Belgium)
- Erden BANOGLU (Gazi University, Turkey)
- Gabriele COSTANTINO (University of Perugia, Italy)
- Anders KARLEN (EFMC Council Member, Sweden)
- Olivier LAFONT (EFMC Council Member, France)
- Jordi MESTRES (Universitat Pompeu Fabra, Barcelona, Spain)
- Kristian STROMGAARD (EFMC Council Member, Denmark)

Committee Objective:

To improve and strengthen the communication to the EFMC member societies and individual Medicinal Chemists. The main goals are to increase the visibility of the EFMC and its activities via extensive use of internet-based technology and to establish an information platform for all issues related to Medicinal Chemistry in Europe. In addition, the ICC Committee will also support the other Committees in coordinating their activities and disseminating information.

Committee Goals:

- To strengthen and improve communication with our National Adhering Organisations

- To support the National Adhering Organisations by ensuring that their information is communicated electronically in an efficient manner via EFMC channels.

- To provide a Web-portal for Medicinal Chemistry activities in Europe and to broadly disseminate information on EFMC sponsored events

- To establish an electronic newsletter for regular updates on medicinal chemistry events and EFMC-activities

- To explore possibilities for creating benefits for Corporate Members and individual Medicinal Chemists who are members of our National Adhering Organisations

In order to achieve these challenging goals the committee is open to suggestions and comments. Please send your ideas to Gerhard Ecker gerhard.f.ecker@univie.ac.at

First announcement

ASMC St PETERSBURG 07

International Symposium on

Advances in Synthetic and Medicinal Chemistry

Organised by

CHEMBRIDGE CORPORATION

EFMC European Federation for Medicinal Chemistry

August 27 - 31, 2007
St. Petersburg, Russia

Symposium Chairmen:
Prof. Steven Ley, University of Cambridge, Cambridge, UK
Dr. Magid Abou-Gharbia, Wyeth Research, Princeton, USA

International Scientific Committee

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(WYETH RESEARCH, Princeton, United States)
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SYMPOSIUM SECRETARIAT:
LD Organisation
Tel : +32 10 45 47 77
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secretariat@asmc07.org

Corporate Members

EFMC offers any company the opportunity to become an official corporate sponsor. Corporate membership will help the EFMC with its objective of advancing the science of medicinal chemistry and can be achieved in several ways:

- by making a cash donation of at least 2500 Euros
- by sponsoring an official EFMC award
- by providing EFMC travel grants for attending the ISMC
- by sponsoring an EFMC international conference

Corporate Members will be acknowledged by the Federation in its primary literature and website, which will include their logo. They will also be eligible for a 50% reduced rate on a full page advert in the EFMC Yearbook "Medicinal Chemistry in Europe", a directory of companies which is distributed to more than 5000 medicinal chemists in Europe annually. Their nominee will also be invited to participate in round-table discussions organised during the biennial ISMC and can be proposed to join conference committees.

Anyone interested in their company becoming a Corporate Member should contact Dave Alker at davidalker@btinternet.com

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EFMC Awards 2006

EFMC
European Federation
for Medicinal Chemistry

The Awards have been presented during the Opening Ceremony of the the **XIX^th EFMC-ISMC International Symposium on Medicinal Chemistry,** on Tuesday, August 29, in Istanbul, Turkey.

The Nauta Award for Pharmacochemistry 2006 for Prof. Philip Portoghese, Department of Medicinal Chemistry, University of Minnesota, USA

Professor Portoghese received this award for his many contributions to the field of GPCR science which have led to greater understanding of the way in which these receptors function. He is also recognised for his huge contribution to the scientific community as editor-in-chief of The Journal of Medicinal Chemistry.

The «Nauta Award on Pharmacochemistry» has been established to honour the memory of Prof. Dr. W. Th. Nauta, whose activities have been very important for the advancement of Medicinal Chemistry in general, and for the development of international organisational structures for this discipline. The Award is given biennialy to a scientist, without restrictions regarding nationality, and consists of a diploma, € 7.500 and an invitation for a lecture at an EFMC-ISMC symposium by the Award recipient. The prize was given for the first time in 1992.

The UCB Award for Excellence in Medicinal Chemistry 2006 for Dr Bernd Riedl, Director at BayerHealthCare AG, Leverkusen, Germany

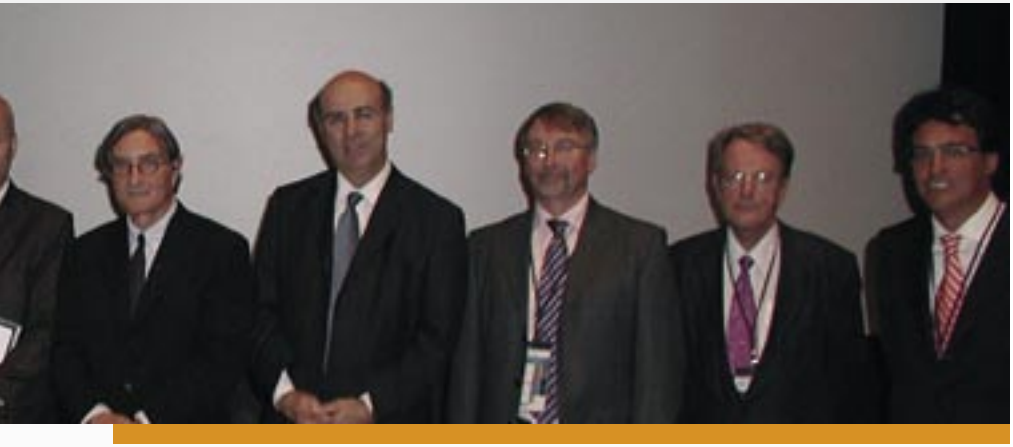
Dr. Riedl received this award for his outstanding scientific work leading to the discovery of the raf-kinase inhibitor Sorafenib (Bay-43-9006, Nexavar), the first example of the discovery of a new medicine which combines classical rationale drug discovery approach with the use of new technologies.

The "UCB Award for Excellence in Medicinal Chemistry" has been established by UCB to acknowledge and recognize outstanding research in the field of Medicinal Chemistry in its broadest sense. The Award is given biennially to a scientist, without restrictions regarding nationality, and consists of diploma, € 7.500 and an invitation for a lecture by the Award recipient. This Award has been given for the first time in 2002.

The Prous Science Award for New Technologies in Drug Discovery 2006 for Prof. Dario Neri, Swiss Federal Institute of Technology (ETH) Zürich, Switzerland

Professor Neri receives this award for his pioneering work establishing synthetic antibody libraries obtained employing phage display technology. Although this technology is still in its early stages, it holds significant potential for the discovery of new LMW binders to variety of protein targets.

The «Prous Award in New Technologies in Drug Discovery» has been established by Prous Institute for Biomedical Research, to encourage innovation and investigation in technological developments related to drug discovery. The Award is given biennially to a scientist, without restrictions regarding nationality, to acknowledge the discovery, evaluation or use of new technologies, and consists of a diploma, € 7.500 and an invitation for a lecture by the Award recipient. This Award has been given for the first time in 2004.



Medicinal Chemistry

Medicinal chemistry defines one component of a sequence of events in the process of drug discovery and development. The critical steps of lead structure identification and refinement have been, and continue to be, the major contributions of medicinal chemistry to the drug discovery process. medicinal chemistry may be thought of as comprising three stages – a discovery step, an optimization step and a production step. New technologies embodied in high throughput screening and combinatorial chemistry have led to an extraordinary level of biological activity determination: the translation of this productivity into therapeutically available medicines remains, however, a significant problem. Knowledge of the human genome will also lead to the introduction of "personalized" medicine whereby the drug will be matched more precisely to the patient, thus generating better response, facilitating clinical trial development and reducing drug withdrawal and related misadventures that are typically due to reactions with an extremely small percentage of patients, but nonetheless prominent because of the large patient base with many drugs.

Calendar of Events

organised by the National Adhering Organisations 2007
EFMC sponsored events highlighted in orange
IFMC events highlighted in green

Seminar on Chemical Patents in the Real World

January 17, 2007

Stockholm, Sweden
www.lakemedelsakademin.se

Organizing committee: The Section for Medicinal Chemistry of The Swedish Academy of Pharmaceutical Sciences
Contact person: Göran Lidgren
Fax: +468205511
goran.lidgren@lakemedelsakademin.se

Organised by:
The Swedish Academy of Pharmaceutical Sciences

Young Research Fellows Meeting

January 31, 2007

Paris, France
www.sct.asso.fr

Organizing committee: Sylvain Routier, Jean Guillon, Emmanuelle Braud
Contact Person: Sylvain Routier
Tel: +33(0)2 38 49 48 53 - Fax: +33(0)2 38 41 72 81
sylvain.routier@univ-orleans.fr

Organised by:
Société de Chimie Thérapeutique (France)

2nd RSC BMCS Ion Channel Symposium

February 8, 2007
GlaxoSmithKline, Harlow, UK
Recent scientific and technological advances in the area of ion channel research offer an exciting prospect for ion channel targeted drug discovery. This meeting will focus on ligand-gated, voltage gated channels and on hERG optimization
esw@confsec.co.uk
Organising committee: BMCS
Contact person: Ms Elaine Wellingham
Tel: +44(0)1275 853311
Fax: +44(0)1275 853311
Organised by: The Biological and Medicinal Chemistry Sector (BMCS) of the Royal Society of Chemistry (RSC) (United Kingdom)

Joint Meeting SFC-SCT-Académie de Pharmacie

March 6, 2007

ENSCP, Paris, France
www.sct.asso.fr

Organizing committee: SCT board
Contact person: Hervé Galons
Tel: +33(0)1 53 73 96 84
Fax: +33(0)1 43 29 05 92
Herve.gallons@univ-paris5.fr

Organised by:
Société de Chimie Thérapeutique (France)

Fragment Based Approaches to Medicinal Chemistry

March 7, 2007
Cambridge Science Park, UK
www.confsec.co.uk

Organizing committee: BMCS
Contact person: Ms Elaine Wellingham
Tel : +44(0)1275 853311 - Fax: +44(0)1275 853311
esw@confsec.co.uk

Organised by:
The Biological and Medicinal Chemistry Sector (BMCS) of the Royal Society of Chemistry (RSC) (United Kingdom)

Filling the innovation Gap in Drug Discovery

March 8, 2007

National Heart and Lung Institute, Kensington, London, UK
www.smr.org.uk/

Organizing committee: SMR
Contact: SMR Secretariat
Tel: +44 (0)116 269 1048
Fax: +44 (0)116 264 0141
secretariat@smr.org.uk

Organised by:
Society for Medicines Research (United Kingdom)

3rd Anglo-Swedish Medicinal Chemistry Symposium

March 11-14, 2007
Åre, Sweden
www.lakemedelsakademin.se
Organizing committee:
BMCS of The Royal Society of Chemistry, UK & The Section for Medicinal Chemistry of The Swedish Academy of Pharmaceutical Sciences
Contact:Ms Diana Mickels - Tel: +46(0)8723 50 85
diana.mickels@lakemedelsakademin.se

Organised by:
BMCS & The Swedish Academy of Pharmaceutical Sciences

6th Annual Meeting

March 18, 2007

Weizmann Institute of Science, Rehovot, Israel, Ebner Hall

Organizing committee:
Asaph Aharoni, Lior Zelikovich, Shai Rahimipour
Contact: Secretary, Malka Nechemia
Tel: 972-2-6758683
malkane@pob.huji.ac.il

Conference theme: Medications from plants and natural sources

Frontiers in Medicinal Chemistry Joint German-Swiss Meeting on Medicinal Chemistry

March 18 - 21, 2007

Berlin, Germany
www.gdch.de/medchem2007

The Annual Meeting on Frontiers in Medicinal Chemistry is organised under the auspices of the Gesellschaft Deutscher Chemiker (GDCh), the Deutsche Pharmazeutische Gesellschaft (DPhG) and the Swiss Chemical Society (SCS).

Organised by: Division of Medicinal Chemistry of the German Chemical Society (GDCh) (Germany)

18th Symposium on Medicinal Chemistry in Eastern England

April, 2007

Hatfield, UK

Organizing committee: BMCS
Contact: Dr. A. Faller - Tel: +44(0)1276 483036
Faller_andrew@lilly.com

Organised by:
The Biological and Medicinal Chemistry Sector (BMCS) of the Royal Society of Chemistry (RSC) (United Kingdom)

5th Int. Meeting on Solid Oral Dosage Forms

May 7-9, 2007

www.lakemedelsakademin.se

Organizing committee:
The Section for Pharmaceutics and Biopharmaceutics
of The Swedish Academy of Pharmaceutical Sciences
Contact : Erica Landin - Fax: +468205511
erica.landin@swepharm.se

Organised by:
The Swedish Academy of Pharmaceutical Sciences

Protein Kinase 2007 More signalling success

May 14-15, 2007

Oss, The Netherlands
www.confsec.co.uk
Organizing committee:
BMCS & Society for Chemical Industry
Contact person: Ms Elaine Wellingham
Tel: +44(0)1275 853311 - Fax: +44(0)1275 853311
esw@confsec.co.uk

Organised by:
The Biological and Medicinal Chemistry Sector
(BMCS) of the Royal Society of Chemistry (RSC)
(United Kingdom), Society for Chemical Industry

Centenary Conference of Hungarian Chemical Society

May 27-30, 2007
Sopron, Hungary
www.centenarium.mke.org.hu

Organizing committee: Hungarian Chemical Society
Contact person: Péter Mátyus
Tel: +36-1- 2170851 - Fax: +36-1-2018056
centenarium@mke.org.hu

Organised by:
Organic and Medicinal Chemistry Division (OMCD)
of the Hungarian Chemical Society (HCS) (Hungary)

Neurodegeneration

June 14, 2007

Eli Lilly Research Centre, Windlesham, UK
www.smr.org.uk/

Organizing committee: SMR
Contact: SMR Secretariat
Tel: +44 (0)116 269 1048- Fax: +44 (0)116 264 0141
secretariat@smr.org.uk

Organised by:
Society for Medicines Research (United Kingdom)

Austrian - German - Hungarian - Italian - Polish - Slovenian 5th Joint Meeting on Medicinal Chemistry

June 17-21, 2007
Portorož, Slovenia
www.jmmc2007.si

Contact person: Danijel Kikelj
Tel: +386-1-4769561 - Fax: +386-1-4258031
danijel.kikelj@ffa.uni-lj.si

Organised by:
Section for Medicinal Chemistry of the Slovenian
Pharmaceutical Society (Slovenia)

RICT 43 - Rencontres Internationales de Chimie Thérapeutique

July 4-6, 2007
Faculty of Pharmacy, Lille, France
www.medchem.fr

Organizing committee: Prof. Benoit Déprez
Contact person: Prof. Benoit Déprez
Tel: +33(0)3-20-87-71-65
Fax: +33(0)3-20-87-72-68
carole.desruelle@pasteur-lille.fr

Organised by:
Société de Chimie Thérapeutique (France)

The 14th Annual Rosenö Meeting on PK/PD

September, 2007

Rosenö, Stockholm, Sweden

Organizing committee: The Section for Pharmacokinetics and Drug Metabolism of The Swedish Academy of Pharmaceutical Sciences
Contact person: Erica Landin
Fax: +468205511

Organised by:
The Swedish Academy of Pharmaceutical Sciences

Summer School Drug Design

September, 2007

Vienna, Austria

Organizing committee:
Ecker G.F., Ettmayer P., Langer Th.

Organised by:
Austrian Chemical Society, Medicinal Chemistry Section (Austria)

PERMEA 2007 Membrane science and technology conference

September 2-6, 2007

Siófok, Hungary
www.permea07.mke.org.hu

Organizing committee: Hungarian Chemical Society
Contact person: Katalin Bélafi-Bakó
Tel: 36-1-2016883 - Fax: 36-1-2018056
permea07@mke.org.hu

Organised by: Organic and Medicinal Chemistry Division (OMCD) of the Hungarian Chemical Society (HCS) (Hungary)

Emerging Therapies for Respiratory Disorders

September 11, 2007
Hinxton Hall, Cambridge, UK
www.smr.org.uk

Organizing committee: SMR
Contact: SMR Secretariat
Tel: +44 (0)116 269 1048
Fax: +44 (0)116 264 0141
secretariat@smr.org.uk

16th Camerino Noordwijkerhout Symposium: An Overview of Receptor Chemistry

September 9-13, 2007

Camerino, Italy
www.unicam.it/farmacia/symposium/index.html

Organizing committee: Prof. Wilma Quaglia,
Dipartimento di Scineze Chimiche,
Universita di Camerino
Tel.:+39-0737402236/7/9 - Fax:+390737637345

Contact person: Prof. Piero Angeli
pieroangeli@unicam.it

XV Congreso Nacional de la SEQT

September 11-14, 2007

San Lorenzo de El Escorial (Madrid), Spain
www.seqt.org

Organizing committee: M.L. López Rodríguez, M.J. Camarasa, B. Benhamú, M.M. Martín-Fontecha, B. De Pascual Teresa, E. De la Cuesta, F. Gago, J. Jiménez Barbero, S. Velázquez
Contact person: Dr. María Luz López Rodríguez
Tel : +34 91 394 42 39 - Fax: +34 91 394 41 03
mluzlr@quim.ucm.es
Organised by:
Sociedad Española de Química Terapéutica (Spain)

14th RSC-SCI Medicinal Chemistry Symposium

September 23-26, 2007

Cambridge, UK
www.soci.org

Organizing committee:
BMCS & Society for Chemical Industry
Contact person: Jacqui Maguire
Tel: +44(0)20 7598 1562 - Fax: +44(0)20 7235 7743
Jacqui.maguire@soci.org
Organised by:
The Biological and Medicinal Chemistry Sector (BMCS) of the Royal Society of Chemistry (RSC) (United Kingdom), Society for Chemical Industry

Flavour & Fragrance 2007

September 24-26, 2007

London, UK
www.confsec.co.uk

Organizing committee:
BMCS & Society for Chemical Industry
Contact person: Elaine Wellingham
Tel: +44(0)1275 853311 - Fax: +44(0)1275 853311
esw@confsec.co.uk
Organised by:
The Biological and Medicinal Chemistry Sector (BMCS) of the Royal Society of Chemistry (RSC) (United Kingdom), Society for Chemical Industry

9th Conference on Colloid Chemistry: «Colloids for Nano- and Biotechnology»

October 3-5, 2007

Siófok, Hungary
www.9ccc.mke.org.hu

Organizing committee: Hungarian Chemical Society
Contact person: Zoltán Hórvölgyi
Tel: 36-1-2016883 - Fax: 36-1-2018056
9ccc@mke.org.hu

Organised by: Organic and Medicinal Chemistry Division (OMCD) of the Hungarian Chemical Society (HCS) (Hungary)

3rd International Meeting on Medicinal and Pharmaceutical Chemistry (IMMPC-3)

October 16-21, 2007
www.immpc-3.org
WOW Kremlin Palace, Antalya, TURKEY

Organizing committee: Doğu Nebioğlu, Erhan Palaska, Serdar Ünlü, Erden Banoglu, Sibel Süzen, Süreyya Ölgen, Özlem Arpacı

Contact person: Süreyya Ölgen
Tel: +902163309020
Fax: +902163309005
immpc@topkon.com

16th LACDR School on Medicinal Chemistry

October 16-19, 2007
NH Hotel De Leeuwenhorst, Noordwijkerhout, The Netherlands

Course leaders: Prof. Ad IJzerman and Prof Henk Timmerman

sponsored by the EFMC
contact person: Mrs Martha van der Ham
m.ham@lacdr.leidenuniv.nl

Annual One Day Meeting on Medicinal Chemistry

November 2007
www.medchem.be

Organizing committee: Medicinal Chemistry Division of SRC & Medicinal and Bio-Organic Chemistry Division of KVCV
Contact: LD Organisation
Tel: +32 10 45 47 77 - Fax: +32 10 45 97 19
Medchem.be@LDOrganisation.com
Organised by: Medicinal and Bioorganic Chemistry Division of Royal Flemish Chemical Society (KVCV) (Belgium)
Société Royale de Chimie (SRC), Medicinal Chemistry Division (Belgium)

Recent Disclosures of Clinical Candidates

December 6, 2007

National Heart and Lung Institute,
Kensington, London

Organizing committee: SMR
Contact: SMR Secretariat
Tel: +44 (0)116 269 1048 - Fax: +44 (0)116 264 0141
secretariat@smr.org.uk

Organised by:
Society for Medicines Research (United Kingdom)

13th Panhellenic Symposium on Medicinal Chemistry

2008

Greece

exact date and location to be announced

Organised by:
Hellenic Society of Medicinal Chemistry (Greece)

XXth International Symposium on Medicinal Chemistry

August 31 - September 4, 2008

Vienna, Austria
Contact person: Gerhard Ecker
Tel: +43 1 86634 378 - Fax: +43 1 86634 383
gerhard.f.ecker@univie.ac.at

Organised by:
Austrian Chemical Society,
Medicinal Chemistry Section (Austria)

XXIst International Symposium on Medicinal Chemistry

August, 2010
Brussels, Belgium

Organizing committee: SRC & KVCV, Medicinal Chemistry Divisions
Contact person: Dr Edmond Differding
Tel: +32 2 386 27 30 Fax: +32 2 386 36 69
Email: edmond.differding@ucb-group.com

Organised by:
Medicinal and Bioorganic Chemistry Division of Royal Flemish Chemical Society (KVCV) (Belgium)
Société Royale de Chimie (SRC), Medicinal Chemistry Division (Belgium)

EFMC · ISMC 2008
XXTH INTERNATIONAL SYMPOSIUM ON MEDICINAL CHEMISTRY

Vienna, Austria
August 31 – September 4, 2008





The EFMC-ISMC 2008 is organised by the Medicinal Chemistry Division of the Austrian Chemical Society on behalf of the European Federation for Medicinal Chemistry

www.ismc2008.org

Medicinal Chemistry Division of the Austrian Chemical Society

EUROPEAN FEDERATION FOR MEDICINAL CHEMISTRY

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Natural Toxins as Leads in Drug Discovery

Povl Krogsgaard-Larsen and Kristian Strømgaard
Department of Medicinal Chemistry
The Danish University of Pharmaceutical Sciences,
Copenhagen, Denmark

Pharmacological taming of natural toxins

A large number of plants, animals, and micro-organisms produce secondary metabolites showing toxic effects on other organisms. The biosynthetic routes for such natural toxins have in many cases been mapped out, but their physiological roles in the host organisms are frequently unknown. Many organisms do, however, produce such compounds as constituents of venoms which are secreted as defence or capture mechanisms.

Natural toxins belong to different classes of compounds, notably proteins, peptides, alkaloids, or amino acids, and there are numerous examples of protein toxins acting as enzymes. Toxins interact with a broad range of biomechanisms including receptors, ion channels, or enzymes, normally as antagonists or inhibitors, but certain low molecular weight toxins are capable of activating different types of receptors.

It is evident that structure and function of toxins during evolution have been optimized with regard to inactivation or killing of the target organisms, and with a few exceptions, notably botulinum and tetanus toxins (1), such compounds normally can not be used therapeutically. On the other hand, toxins interacting with biomechanisms, which play a key role in disease conditions, are of particular interest to medicinal chemists. In such cases, the challenge to medicinal chemists and molecular pharmacologists is to map out in detail the interaction of the toxin with the disease-related biomechanism. Based on mechanistic studies and the pharmacological profile of the toxin, the objective is to develop a strategy for "taming" of the toxin with the goal of designing compounds acting at the target biomechanism in a desired and controlled manner.

There are many outstanding examples of this kind of drug design or redesign projects, where medicinal chemists through rational and systematic structural modifications of natural toxins have developed analogues suitable for clinical studies and ultimately therapeutic use. In the following sections a few examples of drug design/discovery projects using natural toxins as leads will be described. Hopefully, these briefly described projects will focus the interest of medicinal chemists on the potential of natural products as leads and the fascination and prospects of "pharmacological taming" of toxins.

Angiotensin-converting enzyme inhibitors

Angiotensin-converting enzyme (ACE) is an enzyme belonging to the group of zinc carboxypeptidases. ACE plays a key role in the regulation of blood pressure. It converts the inactive decapeptide angiotensin I into angiotensin II, an octapeptide showing highly potent vasoconstrictor activity, and concomitantly transforms the endogenous

nonapeptide vasodilator, bradykinin into an inactive heptapeptide. In light of this effective dual regulatory mechanism, ACE was identified as a key target in the search for therapeutic agents for the treatment of patients suffering from hypertension.

Teprotide became the primary lead structure in a drug design project aiming at the development of low-molecular weight analogues showing potent ACE inhibition activity and desirable pharmacokinetic properties.

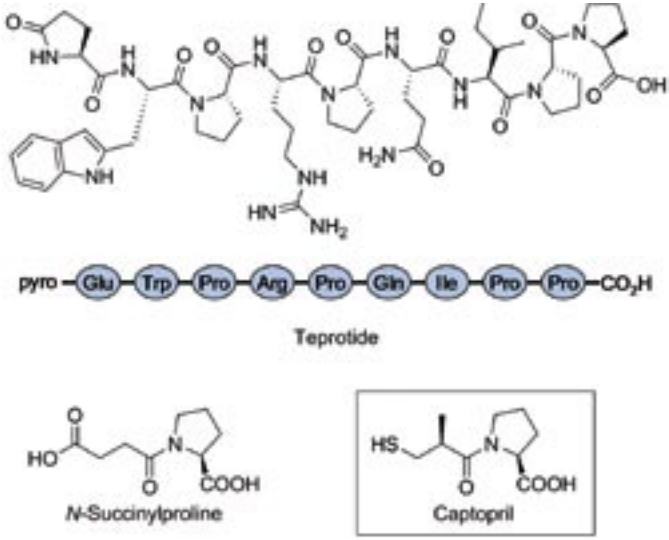

Figure 1

In the 1960s it was observed that the venom of the Brazilian pit viper, *Bothrops jararaca*, contains peptides capable of intensifying responses to bradykinin. These venomous peptides turned out to be inhibitors of kininase II, a bradykinin-inactivating enzyme, which subsequently was shown to be identical with ACE. Based on the sequence of one of the key venomous peptides, the peptide teprotide (Figure 1) was synthesized and shown also to be an inhibitor of ACE. The presence of proline residues and an N-terminal pyroglutamate unit, made teprotide relatively resistant to proteolytic decomposition in vivo, but it was not sufficiently stable for oral administration.

Two structural features were considered essential for activity, namely the presence of a C-terminal proline residue and a functional group capable of co-ordinating effectively with the zinc atom of the enzyme (2).

As a result of the systematic reduction of the molecular weight of teprotide following this strategy and by using bovine carboxypeptidase A as the assay enzyme, N-succinylproline was synthesized and shown to be a moderately potent ACE inhibitor. Using this derivative of proline as the secondary lead structure, captopril (Figure 1) was designed and shown to be a potent carboxypeptidase A and ACE

inhibitor, in which the mercapto group serves as an effective zinc co-ordinating group.

Captopril was marketed as an effective antihypertensive drug and was soon followed by other equally effective "prils".

Nicotinic acetylcholine receptor ligands

The neurotransmitter acetylcholine (ACh) operates through two heterogeneous classes of receptors, the ionotropic nicotinic ACh receptors (nAChRs) and the muscarinic metabotropic muscarinic ACh receptors (mAChRs). The nAChRs are ligand-gated ion channels (LGICs) containing 5 identical (homomeric) or different (heteromeric) protein subunits. So far, 17 different subunits have been cloned and characterized. Neuronal nAChRs are composed of α(α2-α10) and β(β2-β4) subunits, the heteromeric α4β2 and the homomeric α7 receptors being the most abundant (3).

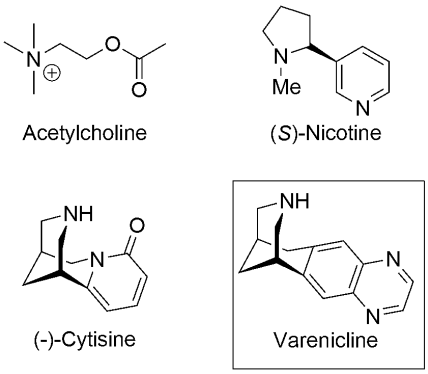

Figure 2

In addition to nicotine (Figure 2), which is an alkaloid isolated from *Nicotiana tabacum* and has given name to the class of nAChRs, a wide variety of nAChR ligands, primarily agonists, have been isolated from natural sources (4,5). This diversity of naturally occurring nAChR ligands probably reflects the sensitivity of nAChRs as targets in the sophisticated chemical warfare in nature. A number of these compounds have been used for the characterization and pharmacological studies of subtypes of nAChRs.

The nAChRs have become key targets for therapeutic approaches to treat pain, cognition disorders, depression, schizophrenia, and nicotine dependence. The last-mentioned condition appears to be mediated by central α4β2 nAChRs, and in a search for an agent for smoking cessation, Dr. J.W. Coe and co-workers focused on the discovery of a nAChR partial agonist showing optimally balanced nAChR agonist/antagonist effects (6). The naturally occurring nAChR ligand, (–)-cytisine (Figure 2) does possess the requested pharmacological profile but poor absorption and limited blood-brain barrier (BBB) penetration probably explain, why (–)-cytisine shows limited and unsatisfactory in vivo pharmacological effects (6). (–)-Cytisine was, however, selected as lead structure in this drug discovery project, and as a result of this rational and systematic approach, the partial α4β2 nAChR agonist, varenicline (Figure 2) has very recently been approved by the US FDA as a drug for smoking cessation (7).

***Amanita muscaria* constituents**

The fly agaric mushroom, *Amanita muscaria* produces muscarine (Figure 3), the classical mAChR agonist, which has played a key role in the pharmacological characterization of this class of AChRs. The presence of a quaternary

ammonium group prevents muscarine from penetrating the BBB, and this toxin exerts its effect through peripheral mAChRs, notably in the heart muscle.

Amanita muscaria also biosynthesizes the heterocyclic glutamate (Glu) bioisostere, ibotenic acid (8), in which the 3-isoxazolol unit mimics the distal carboxyl group of Glu (Figure 3). The dried mushroom also contains muscimol, a zwitterionic 3-isoxazolol bioisostere of γ-aminobutyric acid (GABA), which is formed by decarboxylation of ibotenic acid, a process which is catalyzed by the enzyme glutamate decarboxylase (GAD) (9) (Figure 3).

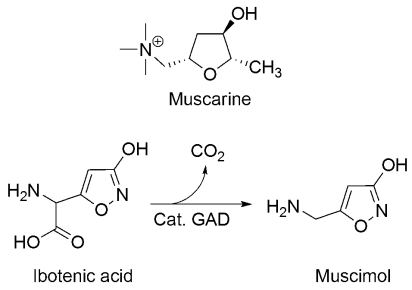

Figure 3

Both of these 3-isoxazolol amino acids are toxic. Ibotenic acid may be an ingeniously designed dual chemical war weapon, which per se acts as an excitotoxin at Glu receptors and also as a prodrug for muscimol, which is a powerful agonist at $GABA_A$ receptors. Both of these classes of receptors are widely distributed in vertebrates as well as invertebrates.

The use of muscimol as well as ibotenic acid as leads in drug discovery projects will be described in the two subsequent sections.

THIP (Gaboxadol), a clinically active $GABA_A$ agonist derived from muscimol

Muscimol, a conformationally restricted bioisostere of GABA (Figure 4), interacts nonselectively with all synaptic mechanisms operated by GABA. Muscimol shows very high affinity and efficacy at $GABA_A$ receptors, which are heteropentameric ionotropic receptors containing a variety of different subunits. Muscimol also interacts with neuronal and glial GABA uptake and is a substrate for GABA transaminase (GABA-T).

Muscimol exerts toxic effects in man, including hallucinations, but the mechanism(s) underlying these effects are not fully understood. It is unlikely that metabolites of muscimol can explain these toxic effects, which may be related to its powerful agonist activity at synaptic $GABA_A$ receptors. Thus, muscimol is likely to cause effective desensitization of these receptors, which mediate fast signal transmission, resulting in "functional antagonism" at $GABA_A$ receptors. These aspects are still under investigation.

Muscimol has been successfully used as a lead in a project aiming at "separation" of the effects of muscimol at $GABA_A$ receptors and GABA uptake and elimination of the substrate affinity for GABA-T. The key steps in this drug design project were the syntheses of the isomeric bicyclic analogues, THIP and THPO (Figure 4) (10). Whereas THIP specifically interacts with $GABA_A$ receptors, acting as a partial agonist at synaptic $GABA_A$ receptors, THPO shows no receptor affinity but interacts with neuronal and glial GABA uptake. Neither THIP nor THPO interact with GABA-T. By retrobioisosteric 3-isoxazolol/

carboxylate considerations, THIP and THPO were converted into the specific amino acid $GABA_A$ agonist, isoguvacine, and GABA uptake inhibitor, nipecotic acid, respectively (Figure 4) (11).

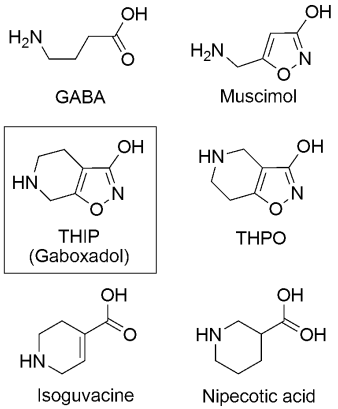

Figure 4

Clinical studies in the early 1980s disclosed potent nonopioid analgesic effects of THIP, but this activity was accompanied by "sedative effects". These latter properties have more recently been studied by Dr. M. Lancel and shown to reflect unique hypnotic effects in man (11). THIP has recently been shown to be a "superagonist" at extrasynaptic $GABA_A$ receptors, which are insensitive to the GABA-modulatory agents, the benzodiazepines (BZDs). Importantly, this class of $GABA_A$ receptors, containing $\alpha 4\beta\delta$ subunits, desensitize very slowly following agonist activation.

Under the company name, Gaboxadol, THIP is now subject to advanced phase III clinical studies as a non-BZD hypnotic agent capable of "re-establishing a normal sleep architecture" (11).

Ibotenic acid, an exitotoxic bioisostere of glutamate

Ibotenic acid is a 3-isoxazolol bioisostere of the central excitatory neurotransmitter Glu, which mediates fast signal transmission through different classes of heterotetrameric ionotropic receptors named NMDA, AMPA, and kainic acid (KA) receptors and a heterogeneous class of metabotropic Glu receptors.

Ibotenic acid, which interacts with different affinity and efficacy with all of these receptor subtypes, is a widely used experimental exitotoxin in neurobiological research, but chemical and stereochemical instability (9) and lack of receptor selectivity limit the utility of ibotenic acid.

Ibotenic acid has been extensively used as a lead for the design of subtype-specific Glu receptor ligands. AMPA (Figure 5) (12) is a chemically and stereochemically stable analogue of ibotenic acid, and AMPA was shown to be a selective and highly potent agonist at the group of ionotropic Glu receptors, iGluR1-4, collectively named AMPA receptors (12). AMPA shows little or no effect at NMDA or KA receptors, the latter of which comprise 3 receptors, iGluR5-7.

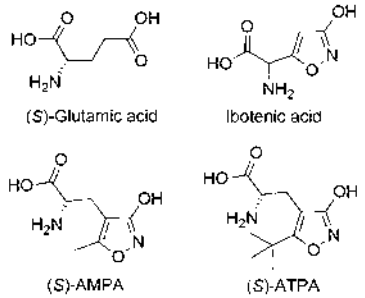

Figure 5

Replacement of the methyl group of AMPA by a tert-butyl group quite dramatically changes the pharmacological profile. Thus, ATPA (Figure 5) shows very limited agonist effect at AMPA receptors, but ATPA has been shown to be a highly potent subtype-selective iGluR5 agonist and is now a standard agonist for studies of this therapeutically interesting Glu receptor (13).

A large number of analogues of AMPA have been synthesized and pharmacologically characterized. Analogues containing heterocyclic substituents in the 5-position of the 3-isoxazolol ring of AMPA have been particularly useful for topographic studies of AMPA receptors, including studies of co-crystallized AMPA ligand/receptor binding domain (13).

Thapsigargin, a unique lead in anticancer drug design

The sesquiterpene lactone, thapsigargin (Tg) (Figure 6) was isolated in the late 1970s from the medicinal plant, *Thapsia garganica*. Tg is an effective inhibitor of the sarco/endoplasmatic reticulum Ca-ATPase (SERCA) causing a rise in the cytosolic calcium level, which eventually leads to cell death.

Tg exerts apoptotic activity in slowly proliferating cells such as prostate cancer cells and offers a unique possibility for designing chemotherapeutics for a broad spectrum of cancer diseases. The drawback of Tg in this regard is, however, that the target of this toxin is the SERCA pump, which is ubiquitous and essential for all cell types. The attempts to target Tg towards prostate cancer cells, was based on the observation that prostate cancer cells excrete a proteolytic enzyme, prostate specific antigen (PSA), showing a unique substrate specificity. This specificity was utilized in the design of a prodrug of Tg, sensitive to PSA, capable of targeting specifically prostate cancer cells.

The initial step in this prodrug synthesis was hydrolysis of the butyrate ester bond of Tg (Figure 6), followed by introduction of a 12-aminodecanoate group. This enabled coupling of an appropriate peptide sequence to the terminal amino group to give the prodrug shown in Figure 6.

PSA efficiently cleaves the peptide sequence, and the remaining part of the molecule penetrates the cell membrane and the cytosol and blocks the SERCA pump. Administration of the prodrug to mice,

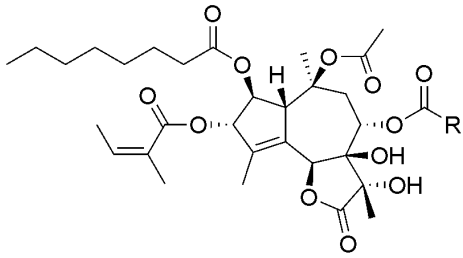

Figure 6

Thapsigargin R = *n*-propyl
Thapsigargin prodrug R = $(CH_2)_{11}$-NH-Leu-Gln-Leu-Lys-Ser-Ser-His-CON

inoculated with prostate cancer tumours, prevented development of the tumours (15).

The described conversion of the naturally occurring compound, Tg showing pronounced general cell toxicity into an effective tissue-specific anticancer experimental drug is a promising example of pharmacological taming and targeting of toxins.

Epilogue

Limitation of space in this minireview has only made it possible to incorporate a very few examples of using natural toxins in drug design and discovery. Several other successful projects in this colourful and scientifically challenging area of medicinal chemistry had deserved to be described, and the number of as yet unexploited exciting natural products is essentially unlimited. Hopefully, intelligent and intuitive exploration of natural toxins will play an increasing and productive role in future drug discovery projects.

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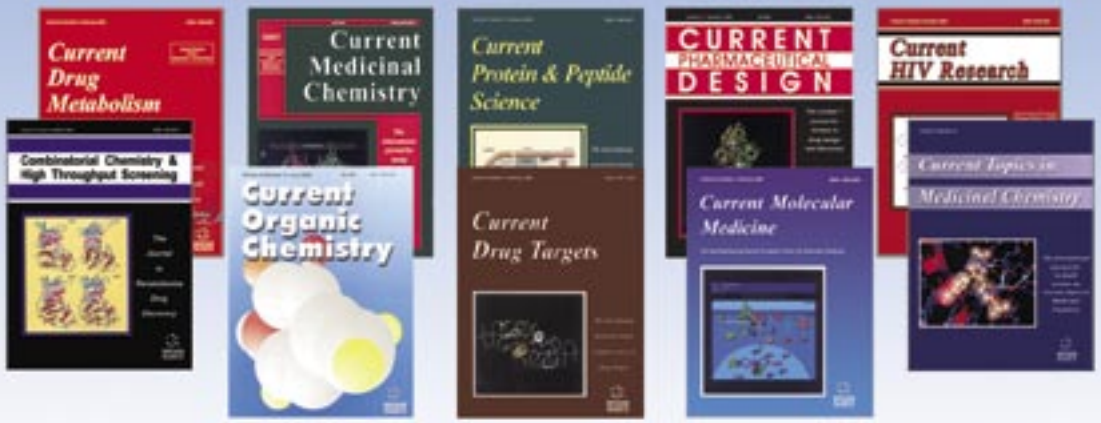

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United Kingdom	The Biological and Medicinal Chemistry Sector (BMCS) of the Royal Society of Chemistry (RSC)
	Society for Medicines Research

Austrian Chemical Society, Medicinal Chemistry Section

Mission	To foster medicinal chemistry in Austria by jointly organizing and hosting national and international summerschools, minisymposia and workshops together with the Austrian Pharmaceutical Society.
Founded	1999
Members	100
Member profile	Trade and Industry: 27% Research Institute and University: 46% Others: 27%
Postal address	Nibelungengasse 11/6 AT-1010 Vienna Austria
Telephone Fax	+43 1 587 42 49 or +43 1 587 39 80 +43 1 587 89 66
E-mail Website	office@GOECH.at www.goech.at
Activities	• Summer School Drug Design (September, 2007), Vienna, Austria • XXth International Symposium on Medicinal Chemistry (August 31 - September 4, 2008), Vienna, Austria
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Secretary	Mrs Gabriela EBNER (AUSTRIAN CHEMICAL SOCIETY)
EFMC-Delegate	Dr Peter ETTMAYER (BOEHRINGER INGELHEIM AUSTRIA GmbH)

Medicinal and Bioorganic Chemistry Division of Royal Flemish Chemical Society (KVCV)

Mission	Organisation of symposia and activities. Promotion of contacts between industry and academia Promotion of contacts with other national and international organisations.
Founded	2002
Members	120
Postal address	Celestijnenlaan 200F 3001 Herverlee Belgium
Telephone	+32 16 29 32 14
Fax	+32 16 22 68 92
E-mail	info@kvcv.be
Website	www.kvcv.be
Activities	Every 2 years the J&J PRD award for Medicinal Chemistry is given to an outstanding PH.D. thesis in the field • Annual One Day Meeting on Medicinal Chemistry (November 2007), • XXIst International Symposium on Medicinal Chemistry (August, 2010), Brussels, Belgium
President	Prof. Koen AUGUSTYNS (UNIVERSITEIT ANTWERPEN)
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Mission

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The Division's activities intend to bring together its members and to foster contacts in a European context.

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1973

Members
173

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Activities

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Annual One Day Meeting on Medicinal Chemistry, Medicinal Chemistry Division of SRC & Medicinal and Bio-Organic Chemistry Division of KVCV, November 2007.

- Annual One Day Meeting on Medicinal Chemistry (November 2007),
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EFMC-Delegate
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Mission

To advance the development of pharmacology, toxicology and medicinal chemistry.

Members

382 members of which 100 are members of the medicinal chemistry section.

Member profile

Trade and Industry: 50%
Research Institute and University: 50%

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Website
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Activities

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EFMC-Delegate

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Société de Chimie Thérapeutique

Mission

To gather medicinal chemists in order to promote progress in Medicinal Chemistry by organizing meetings, distributing awards and grants, encouraging junior scientists and publishing medicinal chemistry journals or series.

Founded
1966

Members
302

Member profile
Trade and Industry: 17% Research Institute: 8%
University: 70% Other: 5%

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Activities

Organisation of meetings and conferences.
Awards:
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Every year: *Prix d'encouragement à la recherche en Chimie Thérapeutique, for junior scientists.
Paul Ehrlich Lecture, attributed every year during the annual meeting.
Prix de la vocation en Chimie Thérapeutique, attributed to students during the annual meeting.
Publishing activities:
Scientific editing of The European Journal of Medicinal Chemistry, Elsevier, 1 issue per month (2004 Volume 39).
Actualités de Chimie Thérapeutique, 1 volume per year (2004 n° 30).

- Young Research Fellow Meeting (January 31,2007)
- Joint Meeting SFC - SCT - Académie de Pharmacie (March, 6, 2007)
- RICT 43 Rencontres Internationales de Chimie Thérapeutique (July 4-6, 2007), Lille, France

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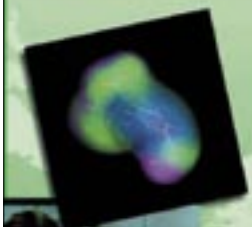

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Members	650
Member profile	Trade and Industry: 60% Research Institute and University: 35% Other: 5%
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Fax	+49 69 7917 450
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Website	www.gdch.de/medchem
Activities	Annual meetings, interdisciplinary symposia, scientific publications, award.
President	Dr Hans-Ulrich STILZ (AVENTIS PHARMA DEUTSCHLAND GMBH)
EFMC-Delegate	Prof. Dr Gerd SCHNORRENBERG (BOEHRINGER INGELHEIM PHARMA KG)

Hellenic Society of Medicinal Chemistry

Mission	Advancement of research in Medicinal Chemistry and Drug Design, promotion of cooperation between scientists of related fields and consulting on relevant subjects.
Founded	1980
Members	107
Member profile	Trade and Industry: 20% Research Institute: 30% University: 40% Other: 10%
Postal address	School of Pharmacy , Department of Pharmaceutical Chemistry, University of Athens, Greece Panepistimiopolis, Zografou 157 71 Athens Greece
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E-mail	tsantili@pharm.uoa.gr, snikolar@upatras.gr
Website	amorgos.pharm.auth.gr/HelSocMedChem/home.html
Activities	Symposia, seminars, consulting.

- 13th Panhellenic Symposium on Medicinal Chemistry (2008), Greece

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Mission

The dissemination of results and particularly of new trends in organic and medicinal chemistry among Hungarian scientists.

Founded

1907 (HCS)

Members

300 (Medicinal Chemistry oriented members of the OMCD)

Member profile

Trade and Industry: 40%
Research Institute: 20%
University: 30%, Others: 10%

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Website

www.mke.mtesz.hu

Activities

Activities Regular organisation of conferences, seminars and lectures in various fields of medicinal chemistry. Encouragement and initiating the development of new generations of scientists working in this field. Bimonthly seminars by invited scientists in the field of medicinal chemistry.

- PERMEA 2007 Membrane Science and technology conference (Sept. 2-6, 2007), Siofok, Hungary
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Mission
To organize and to foster the interaction between medicinal chemists in academia, industry and drug dispensing institutions, in an independent Association devoted to education, research and development of Medicinal Chemistry through meetings and workshops, and to represent the Association at the national level, at the EFMC, and at related international levels. IAMC is open for membership to molecular biologists, pharmacologists and pharmacists.

Founded
1991
2004 united with the Israel Association for Medicinal Chemistry

Members
200

Member profile
Trade and Industry: 35% Research
Institute and University: 60% Other: 5%

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Website
www.weizmann.ac.il/conferences/medchem/

Activities
The Association holds symposia and annual scientific meetings. Promotion of one-day symposia on new vistas in drug research and a workshop on progress in instrumental drug analysis, and representation of the Association at the EFMC and related international bodies. Recent and upcoming events:

- Israel Chemical Society (March, 2007), The Weizmann Institute, Rehovot, Israel
- One day meeting on peptide and protein synthesis and characterization (Nov.7, 2006), Dan David Hotel, Tel Aviv (in collaboration with Mercury Co.)
- Israel Chemical Society annual meeting - The Med. Chem. section meeting, February 2007

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Secretary
Prof. Matityahu (Mati) Fridkin
(The Weizmann Institute of Science)

EFMC-Delegate
Dr Jeffrey STERLING
(TEVA PHARMACEUTICAL IND.)

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

Mission

The Division of Medicinal Chemistry is a section of the Italian Chemical Society (a non-profit association with about 6,000 adhering members), which has the aim to diffuse the knowledge of chemistry and medicinal chemistry in particular.

Members

650

Member profile

Trade and Industry: 13%
Research Institute: 0,5%
University: 86%
Other: 0,5%

Postal address

Divisione di Chimica Farmaceutica (S.C.I.)
c/o Dipartimento di Scienze Farmaceutiche
Università degli Studi di Catania
Viale A. Doria, 6 – Città Universitaria
IT-95125 Italy

E-mail
Website

giuseppe.ronsisvalle@unict.it
dcf.frm.uniroma1.it/cgi-bin/home.pl

Activities

Promotion and stimulation of the development of research and training in medicinal chemistry. Organisation of national and international symposia and meetings. Organisation of advanced schools in medicinal chemistry, analytical chemistry and organic synthesis in medicinal chemistry.

President

Prof. Luisa MOSTI
(UNIVERSITY OF GENOVA)

Secretary/Treasurer

Prof. Dr Antonello MAI
(UNIVERSITY DI ROMA «LA SAPIENZA»)

EFMC-Delegate

Prof. Dr Giuseppe RONSISVALLE
(UNIVERSITA DEGLI STUDI DI CATANIA)

Latvian Association for Medicinal Chemistry

Founded	1992
Members	12
Member profile	Research Institute and University: 83% Other: 17%
Postal address	Latvian University Institute of Microbiology and Biotechnology 4-402 Kronvalda Boulevard LV-1586 Riga Latvia
Telephone	+371 7034890
Fax	+371 7323065
E-mail	vina@lanet.lv
Activities	Promotion of medicinal chemistry
President	Dr Ilmara VINA (LATVIAN UNIVERSITY)
EFMC-Delegate	Prof. Dr Gunars DUBURS (LATVIAN INSTITUTE OF ORGANIC SYNTHESIS)

Medicinal Chemistry Section of the Polish Chemical Society

Mission

Basis for mutual contacts and integration for scientists who represent different profile of their activity in the following areas: organic and bioorganic synthesis, analytical chemistry, computational chemistry, biochemistry, molecular biology, biotechnology, pharmacy and medicine.

Founded
1975
Members
100

Postal address

Technical University
Institute of General and Ecological Chemistry
Żeromskiego 116
90-024 Łódź Poland

Telephone
+48 42 631 31 22
Fax
+48 42 631 31 28

E-mail
jkarolak@mail.p.lodz.pl
Website
www.ptchem.lodz.pl/en

Activities

Organization of bimonthly as well occasional gatherings where various theoretical and practical topics of drug research and development are discussed. Organization of experts groups in the field of medicinal chemistry and drug development. Participation in organization of national and international conferences on medicinal chemistry. Organization of the Medicinal Chemistry Section during yearly meetings of the Polish Chemical Society.

President

Prof. Janina KAROLAK-WOJCIECHOWSKA
(Technical University, Institute of General and Ecological Chemistry)

EFMC-Delegate

Prof. Katarzyna KIEĆ-KONONOWICZ
(Jagiellonian University, Medical College)

The D.I. Mendeleev Russian Chemical Society

Medicinal Chemistry Section

Mission	Education in medicinal chemistry. Organisation of regular scientific seminars.
Founded	2000
Members	150
Member profile	Research Institute: 67% University: 26% Other: 7%
Postal address	RU-119899 Moscow Russia
Telephone	+ 7 095 939 1620
Fax	+ 7 095 939 0290
E-mail	zefirov@org.chem.msu.ru

Activities Organising regular and specific seminars in medicinal chemistry. Assisting in the organisation of regular courses for undergraduate students of Moscow State University in medicinal chemistry. Providing interaction between Russian academician research in the field of medicinal chemistry and industrial institutions.

President	Prof. Dr Nikolay S. ZEFIROV (MOSCOW STATE UNIVERSITY)
EFMC-Delegate	Prof. Dr Nikolay S. ZEFIROV (MOSCOW STATE UNIVERSITY)

Section for Medicinal Chemistry of the Slovenian Pharmaceutical Society

Mission

The mission of the Section for Medicinal Chemistry of the Slovenian society is the advancement and promotion of medicinal chemistry in Slovenia and Europe. It will pursue its mission by organizing scientific meetings, schools and working-group in order to encourage discussions and exchange of ideas in the field of medicinal chemistry. This section will cooperate with similar associations in the country and abroad.

Founded
23 February 2004
Members
28

Member profile
Trade and Industry: 20 %
Research Institute: 15 %
University: 60 %
Others: 5 %

Postal address
University of Ljubljana, Faculty of Pharmacy
Aškerčeva 7
SI- 1000 Ljubljana Slovenia

Telephone
+386 1 4769561
Fax
+386 1 4258031

E-mail
danijel.kikelj@ffa.uni-lj.si
Website
www.farmacevtsko-drustvo.si

Activities
5th Joint Meeting on Medicinal Chemistry • (June 17-21, 2007), Portorož, Slovenia

President
Dr Lucija PETERLIN MAŠIČ
(UNIVERSITY OF LJUBLJANA)

EFMC-Delegate
Prof. Danijel KIKELJ
(UNIVERSITY OF LJUBLJANA)

Sociedad Española de Química Terapéutica

Mission
To assist in the development and improvement of research and training in the different areas of Medicinal Chemistry throughout Spain.

Founded
1977

Members
350

Member profile
Trade & Industry: 25%
Research Institute: 30%
University: 35%
Other: 10%

Postal address
SEQT
Juan de la Cierva,3
ES-28006 Madrid Spain

Telephone
+34 91 56 22 900

Fax
+34 91 56 44 853

E-mail
seqt@iqm.csic.es

Website
www.seqt.org

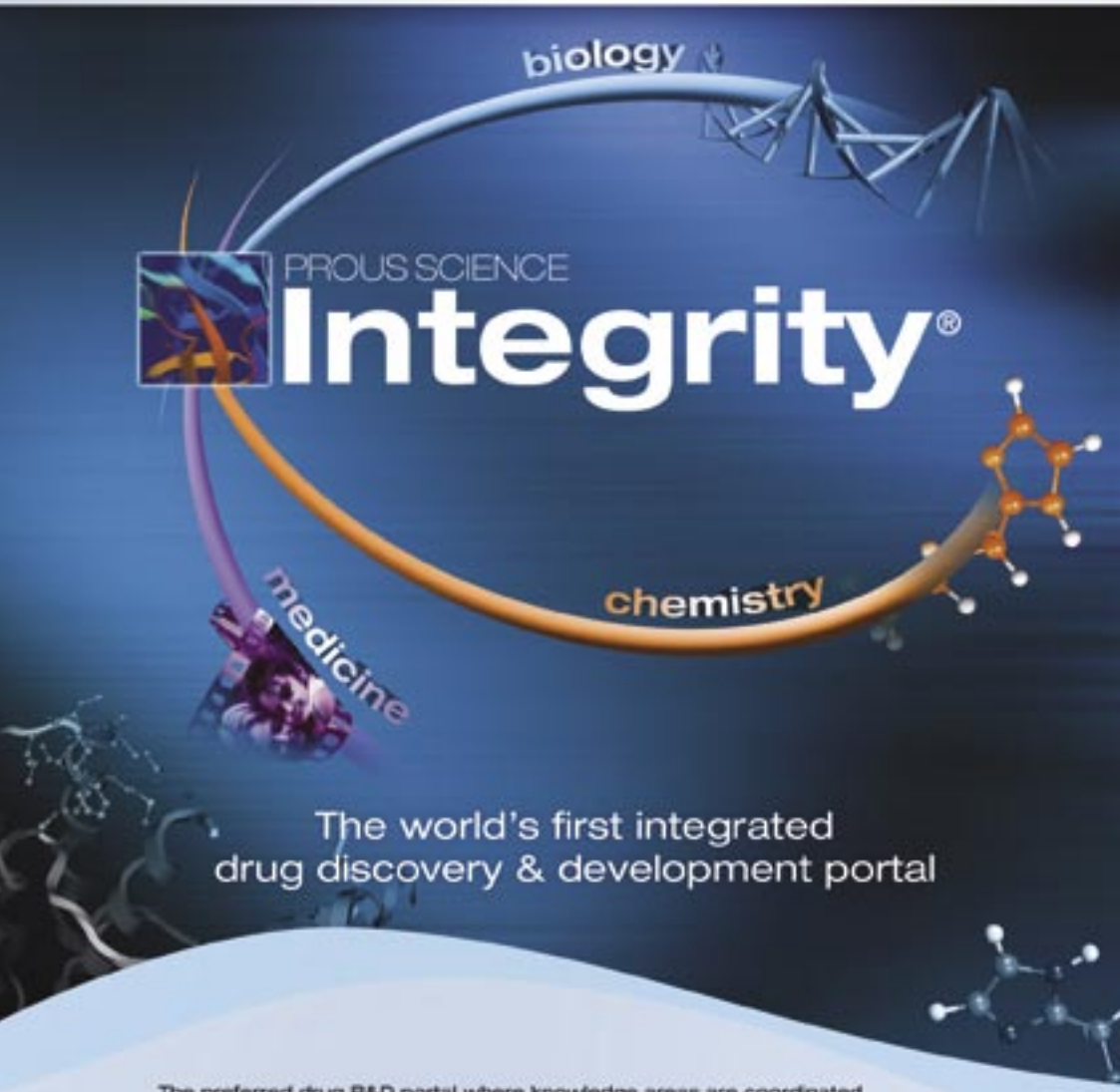
Activities
Supporting the participation of young researchers at meetings and other educational events. Organizing symposia on topics related with research and education towards the discovery of new drugs. Sponsoring the Spanish Society of Medicinal Chemistry Award.
Administrating five Awards addressed to young scientists to acknowledge outstanding research in the field of Medicinal Chemistry.

- XV Congreso Nacional de la SEQT
  (11-14-September-2007), San Lorenzo de El Escorial (Madrid)

President
Dr. M. José CAMARASA RIUS
(IQM-CSIC)

Secretary/Treasurer
Dr. Rosario GONZALEZ MUÑIZ/Dr. Ana CASTRO MORERA
(IQM-CSIC/Neuropharma)

EFMC-Delegate
Dr. MARINA GORDALIZA
(Universidad de Salamanca)



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http://integrity.prous.com

The Swedish Academy of Pharmaceutical Sciences

Section for Medicinal Chemistry

APOTEKARSOCIETETEN
SWEDISH PHARMACEUTICAL SOCIETY

Mission

To strengthen the Medicinal Chemistry Discipline through the arrangement of conferences, seminars and other educational initiatives.

Founded
1972

Members
276

Member profile

Trade & Industry: 70%
Research Institute: 1%
University: 19%
Other: 10%

Postal address

Wallingatan 26A
SE Stockholm Sweden

Telephone
+46 8 723 50 00

Fax
+46 8 20 55 11

E-mail
marit.johansson@swepharm.se

Website
www.swepharm.se

Activities

Symposia, seminars, courses.

- Seminar on Chemical Patents in the Real World (January 17th 2007), Stockholm
- 3rd Anglo-Swedish Medicinal Chemistry Meeting (March 11-14th, 2007), Åre, Sweden
- 5th International Meeting on Solid Oral Dosage Forms (May 7-9th 2007), Stockholm
- The 14th Annual Rosenö Meeting on PK/PD (September 2007), Rosenö, Stockholm, Sweden

President
Dr Anders KARLEN
(UPPSALA UNIVERSITY)

Secretary/Treasurer
Klaus URBAHNS
(ASTRAZENECA R&D LUND)

EFMC-Delegate
Dr Anders KARLEN
(UPPSALA UNIVERSITY)

Division for Medicinal Chemistry (DMC), Swiss Chemical Society (SCS)

Mission	The DMC provides a forum for scientists interested in medicinal chemistry and related fields by organising symposia, seminars, presentations and courses.
Founded	1990
Members	605
Member profile	Trade & Industry: 78% Research Institute: 3% University: 11% Other: 8%
Postal address	Schwarztorstrasse 9 CH-3007 BERN Switzerland
Telephone	+41 31 310 40 90
Fax	+41 31 312 16 78
E-mail	hans_p.maerki@roche.com
Website	www.swiss-chem-soc.ch/smc/
Activities	Imaging in Biomedical Research; May 18, 2006, Inst. for Org. Chem., Basel, Switzerland Fall Meeting of Swiss Chemical Society: Oct. 13, 2006, University of Zürich, Irchel Campus, Switzerland Frontiers in Medicinal Chemistry (Joint Meeting with GDCh and DPhG): March 18-21, 2007, Freie Universität Berlin
President	Dr Hans Peter MAERKI (HOFFMANN-LA ROCHE AG)
EFMC-Delegate	Dr Peter MOHR (HOFFMANN-LA ROCHE AG)

Novartis is pleased to announce the 2005 recipients of the

Novartis Young Investigator Award in Chemistry

Prof. Benjamin List

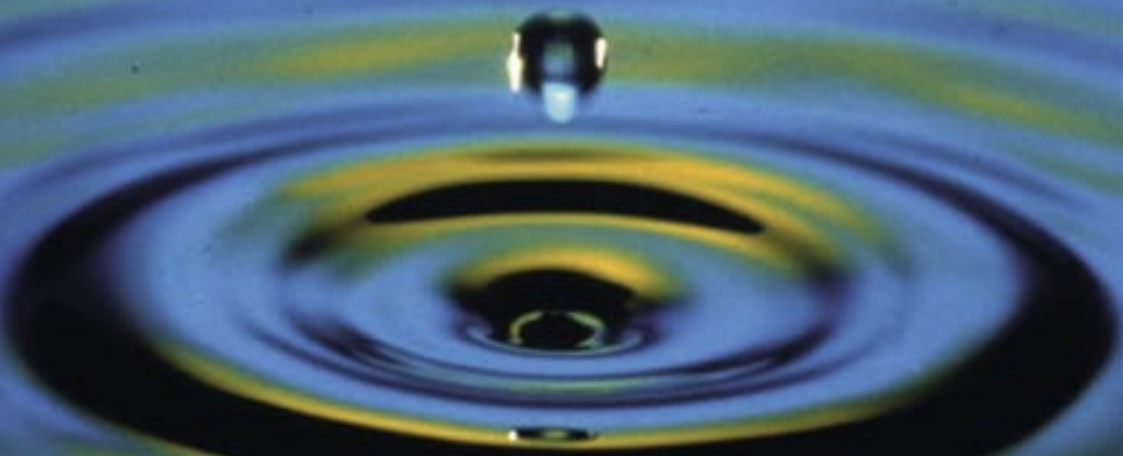
Max Planck Institute
Mülheim, Germany

for his outstanding contribution towards the development and application of new synthetic methodology in the area of organocatalysis

Prof. Dirk Trauner

University of California
Berkeley, USA

for his outstanding contribution towards the development of new synthetic methodology, natural product synthesis and bioorganic chemistry



The Novartis Young Investigator Award in Chemistry was created in 2002 to mark Novartis' commitment to science and innovation. The award is presented annually to outstanding scientists under the age of 40 who are active in the areas of organic or bioorganic chemistry in the broadest sense. Two winners are identified, one from Europe and one from North America, each of whom receives an unrestricted research grant.

Past winners:
Bernhard Breit, University of Freiburg (2002)
Thomas Carell, Philipps University, Marburg (2002)
Thorsten Bach, Technische Universität München (2003)
J Stephen Clark, University of Nottingham (2004)
Jonathan P Clayden, University of Manchester (2004)

NOVARTIS

Section of Pharmacochemistry, Royal Netherlands Chemical Society (KNCV)

Mission

To promote scientific research and education in the area of pharmacochemistry. To bring together scientists in the field and to offer them a platform for discussion and exchange of ideas. To identify talent in the field. To organize meetings in the field.

Founded
28th August 1970

Members
400 (including student members)

Member profile

Trade & Industry: 20%
Research Institute: 10%
University: 40%
Other: 30%

Postal address

Dr C.M. Timmers
Organon International
Department of Medicinal Chemistry, Room RK 3225
P.O. Box 20
5340 BH Oss The Netherlands

Telephone
+31 412 662874

Fax
+31 412 662546

E-mail
Marco.timmers@organon.com

Website
www.kncv.nl

Activities

Organisation of one annual scientific meeting (1 day). Co-organisation of Dutch Medicine Days (FIGON) (2 days). Co-organisation of annual NWO platform meeting (2 days). Co-organisation of sessions at KNCV Winter/Summer Congress. Participation in KNCV, NWO, FIGON and EFMC platforms. Dutch Pharmacochemistry Award every 2 years for the best Dutch Ph.D. thesis in the field.

President
Prof. Dr N. P. E. VERMEULEN
(VRIJE UNIVERSITEIT CENTER FOR DRUG RESEARCH LACDR)

Secretary/Treasurer
Dr Marco TIMMERS
(ORGANON)

EFMC-Delegate
Prof. Henk TIMMERMAN
(VRIJE UNIVERSITEIT LACDR)

Turkish Association of Medicinal and Pharmaceutical Chemistry

Mission

Establish communication and cooperation between the members. Establish policies in medicinal chemistry teaching and research in the country. Organize scientific meetings and conferences, get in touch with international related bodies.

Founded
1994

Members
170

Member profile

Academics: 100%

Postal address

Department of Pharmaceutical Chemistry,
Faculty of Pharmacy, Gazi University, Ankara, Turkey
TR-06330 Ankara
Turkey

Telephone
+90 312 222 72 25

Fax
+90 312 223 50 18

E-mail
mfsahin@gazi.edu.tr

Website
www.medchem.org

Activities

Organizing meetings and conferences.

President
Prof. Dr M. Fethi SAHIN
(GAZI UNIVERSITY)

Secretary/Treasurer
Prof. Dr Serdar UNLU
(GAZI UNIVERSITY)

EFMC-Delegate
Prof. Dr M. Fethi SAHIN
(GAZI UNIVERSITY)

The Biological and Medicinal Chemistry Sector (BMCS) of the Royal Society of Chemistry (RSC)

Mission

The BMCS aims to further the interests of all members of the RSC, both industrial and academic, involved in the pursuit and understanding of biologically active molecules. It also acts to promote public awareness of the crucial role played by chemistry in the modern industrial environment.

Founded
1995 (Formerly Fine Chemicals & Medicinals Group)

Members
1129 in total; 600 of whom are medicinal chemists

Member profile
Predominantly industry

Postal address
Royal Society of Chemistry - Burlington House - Piccadilly
W1J 0BA London United Kingdom

Telephone
+44 207 437 8656

Fax
+44 207 4937 8883

Website
www.rsc.org/members/interestgroups/bmcs.index

Activities

The Biological and Medicinal Chemistry Sector (BMCS) is a Sector of the Industrial Affairs Division of the Royal Society of Chemistry (RSC) in the UK. The annually elected committee is primarily responsible for the organisation of scientific meetings and symposia, supporting educational activities in the UK, and advising the Society on policies that directly affect the BMCS. Specifically, the BMCS aims to further the interests of all members of the RSC, both industrial and academic, involved in the pursuit and understanding of biologically active molecules. The predominant areas thus 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 is particularly active in the organisation of scientific meetings and does so in collaboration with a wide variety of other groups both within and external to the RSC. Over the past few years the BMCS has been especially active in promoting some of the important interfacial areas in which chemists are intimately involved. In recent years, it has held internationally attended meetings with the EFMC, the Society for Chemical Industry, the Society for Medicines Research and with the Biochemical Society. On average six or more scientific meetings are held each year. Support for educational activities, such as the running of lectures and day schools, and the provision of equipment are also an important component of BMCS activities. Indeed, some of these initiatives are now established as annual events. The BMCS also administers annual awards that acknowledge major scientific achievements in both academia and industry that have been carried out within the UK. The BMCS provides a significant input into Royal Society of Chemistry strategic initiatives.

- Fragment Based Approaches to Medicinal Chemistry (7 March 2007), Cambridge Science Park, UK
- 18th Symposium on Medicinal Chemistry in Eastern England (April 2007), Hatfield, UK
- 2nd RSC-SCI Symposium on Kinase Inhibitor Design (14-15 May 2007), Oss, The Netherlands
- 14th RSC-SCI Medicinal Chemistry Symposium (23-26 September 2007), Cambridge, UK
- Flavour & Fragrance 2007 (24-26 September 2007), London, UK

President
Dr. David ALKER

Secretary/Treasurer
Dr. Karl SWIFT (MAYBRIDGE LTD)

EFMC-Delegate
Dr. Derek BUCKLE (DRB ASSOCIATES)

Society for Medicines Research

Mission
A multidisciplinary society with the object of advancing science relating to all aspects of medicines research, providing a common meeting ground for all those interested or involved in such research, and to further the education of such persons.

Founded
1966

Members
ca. 500

Member profile
Trade & Industry: 70%
Research Institute: 5%
University: 11%
Other: 4%

Postal address
840 Melton Road, Thurmaston, Leicester, LE4 8BN

Telephone
+44 (0)116 269 1048

Fax
+44 (0)116 264 0141

E-mail
secretariat@smr.org.uk

Website
www.smr.org.uk

Activities
The SMR organises four one-day scientific meetings per year in the UK.

(More details are available on the SMR web site).

- Filling the innovation Gap in Drug Discovery
  (8th March 2007), National Heart and Lung Institute, Kensington, London
- Neurodegeneration
  (14th June 2007), Eli Lilly Research Centre, Windlesham, UK
- Emerging Therapies for Respiratory Disorders
  (11th September 2007), Hinxton Hall, Cambridge, UK
- Recent Disclosures of Clinical Candidates
  (6th December 2007), National Heart and Lung Institute, Kensington, London

President Dr. Alan PALMER
Vice-President Dr. Geoffrey STEMP (GLAXOSMITHKLINE)
Secretary Prof. Ian MORRIS
EFMC-Delegate Dr. Richard ARMER (OXAGEN LTD)

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Scios Inc. is committed to bringing new therapeutics for cardiovascular disease, inflammatory disease, and cancer to patients with unmet medical needs. The company markets a product for the treatment of acutely decompensated congestive heart failure. Current research at Scios is focused on an emerging family of therapeutic targets known as protein kinases. Scios has specific programs focused on p38 MAP kinase and TGF-beta. **www.sciosinc.com**

Centocor is a leading biopharmaceutical company dedicated to the research and development of treatments for a wide range of diseases including cancer, infectious diseases, cardiovascular and metabolic diseases, and Immune-Mediated Inflammatory Disorders (I.M.I.D.) such as arthritis and inflammatory skin diseases. Centocor products are developed primarily through monoclonal antibody technology. **www.centocor.com**

Tibotec Pharmaceuticals Limited is a world leader in the discovery and development of innovative new drugs for HIV/AIDS and other infectious diseases of high unmet medical need. The company has three anti-retrovirals in clinical development in both the non-nucleoside reverse transcriptase inhibitor and protease inhibitor classes and several active discovery programs. **www.tibotec.com**

Johnson & Johnson Pharmaceutical Research & Development, Division of Janssen Pharmaceutica N.V. develops treatments that improve the health and lifestyles of people worldwide. Research and development areas encompass novel targets in neurologic disorders, gastroenterology, oncology, infectious disease, diabetes, hematology, metabolic disorders, immunologic disorders, and reproductive medicine. **www.jnjpharmrnd.com**

TransForm Pharmaceuticals, Inc., leader in form and formulation optimization, is identifying new and improved medicines. Through its novel technology, which integrates pharmaceutical sciences, materials science and high-throughput experimentation, TransForm can enhance marketed drugs or enable new drugs by optimizing their form and formulation. TransForm is developing important new medicines and leveraging its capabilities into areas such as medicated devices and biologics. **www.transformpharma.com**

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Career options are flexible, with high-level development paths in both science and management. In all cases, our companies strive to empower employees in an environment that stresses integrity and excellence, placing an unparalleled focus on the needs of our customers and the well-being of our employees.

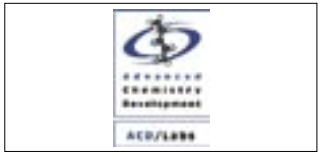
Directory of Companies

An alphabetical list of pharmaceutical companies, as well as manufacturers and suppliers of products and services for medicinal chemistry in Europe

A

3M	3M Center Corporate Headquarters	US	ST. PAUL, MN 55144-1000	
4SC AG	Am Klopferspitz 19a	DE	82152 MARTINSRIED	+49 89 700763 0
A & D INSTRUMENTS LTD	Abingdon Science Park	GB	ABINGDON OX14 3YX	+44 1235 550 420
AAF INTERNATIONAL BV	Egelenburg 2	NL	1081GK AMSTERDAM	+31 20 549 44 11
ABBOTT LABORATORIES	100 Abbott Park Rd	US	ABBOTT PARK IL 60064-3500	+1 847 937 6100
ABCR GMBH & CO KG	Im Schlehert 10	DE	76187 KARLSRUHE	+49 721 950 61 16
ABGENE HOUSE	Blenheim Road	GB	EPSOM SURREY KT19 AP	+44 1372 72 34 56
ABSORPTION SYSTEMS	440 Creamery Way Suite 300	US	EXTON PA 19341	+1 610 280 7300
ACADIA PHARMACEUTICALS A/S	Fabriksparken 58	DK	2600 GLOSTRUP	+45 4329 3000
ACB BLOCKS LTD.	PO Box 10	RU	121609 MOSCOW	+7 495 761 4365
ACCELRYS	334 Cambridge Science Park	GB	CAMBRIDGE CB4 0WN	+44 1223 228 500
ACROS ORGANICS	Geel West Zone 2 Janssen Pharmaceuticalaan 3A	BE	2440 GEEL	+32 014 57 52 11
ACTELION	Gewerbestrasse 16	CH	4123 ALLSCHILL	+41 61 487 45 45
ACTIVE MOTIF	Avenue Franklin Roosevelt 104 Box 25	BE	1330 RIXENSART	+32 2 653 00 01
ADAMS HEALTHCARE	Lotherton Way Garforth	GB	LEEDS LS25 2JY	+44 1132 320 066
ADELPHI MANUFACTURING CO.LTD	Olympus House Mil Green Road	GB	HAYWARDS HEATH RH16 1XQ	+44 1444 440 091
ADHESIVES RESEARCH INC	400 Seaks Run Road PO BOX 100	US	GLEN ROCK PENNSYLVANIA 17327	+1 7172 357 979
ADPAK MACHINERY SYSTEMS LTD	3 Pendleside Lomeshaye Industrial Estate	GB	NELSON BB9 6RY	+44 1282 601 444

Advanced Chemistry Development, Inc. (ACD/Labs)



110 Yonge Street, 14th Floor, Toronto, ON M5C 1T4
CANADA

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info@acdlabs.com
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AEROMATIC-FIELDER LTD	PO BOX 15	GB	EASTLEIGH SO53 4ZD	+44 2380 267 131
AFLEX HOSE LTD	Watson Mill Lane - Sowerby Bridge	GB	HALIFAX HX6 3BW	+44 1422 317 200
AGILENT TECHNOLOGIES	Pegasus Park - De Kleetlaan 12A bus 12	BE	1831 DIEGEM	+32 2 404 92 22
AIS CLEANROOM PRODUCTS LTD	Unit 33 Riverside Medway City Estate	GB	ROCHESTER ME2 4DP	+44 1634 719 422
AKZO NOBEL NV	Velperweg 76	NL	6824 BM ARHNEM	+31 26 366 44 33
ALCON LABS	6201 S. Freeway (T1-3)	US	FORT WORTH TEXAS 76134-2099	
ALERT PRODUCTS	Hollins Lane Tilstock	GB	WHITCHURCH SY13 3NU	+44 1948 880 627
ALEXANDERWERK AG	Kippdorfstrasse 6-24	DE	42857 REMSCHEID	+49 219 1795 216
ALFA AESAR GMBH & CO KG	Postbox 11 07 65	DE	76057 KARLSRUHE	+49 721 84007 280
ALFA LAVAL TUMBA AB	Hans Stahles vag	SE	14780 TUMBA	+46 85 306 5000
ALL-FILL INTERNATIONAL LTD	Unit 5 Gateshead Close- Sunderland Road	GB	SANDY SG19 1RS	+44 1767 691 100
ALLCHEM INTERNATIONAL LTD	Broadway House 21 Broadway	GB	MAIDENHEAD SL6 1NJ	+44 1753 443 344
ALLERGAN INC.	P.O. Box 19534	US	IRVINE CA 92623	+1 714 246 4500
ALMIRALL PRODESFARMA SA	General Mitre, 151	ES	8022 BARCELONA	+ 34 93 291 30 00
ALTANA PHARMA AG	Byk-Gulden-Strasse 2	DE	78467 KONSTANZ	+49 7531 84 3028
ALTRO FLOORS	Works Road	GB	LETCHWORTH SG6 1NW	+44 1462 480 480
AMAFILTER	Kwakelkade 28 Postbus 396	NL	1800 AJ ALKMAAR	+31 72 527 34 00
AMEC	Timothy's Bridge Road	GB	STRATFORD UPON-AVON CV37 9NJ	+44 1789 204 288
AMERSHAM PLC	Amersham Place Little Chalfont	GB	BUCKINGHAMSHIRE HP7 9NA	+44 1494 544000
AMGEN INC.	One Amgen Center Drive	US	THOUSAND OAKS CA 91320-1799	+1 805 447 1000
AMRI HUNGARY LTD	7, Zahony u.	HU	1031 BUDAPEST	+36 1 214 2306
ANABIOTEC NV	Reibroekstraat 13	BE	9940 EVERGEM	+32 9 253 60 30
ANSELL OCCUPATIONAL HEALTHCARE	Wijngaardveld 34 C	BE	9300 AALST	+32 5 371 05 05
APPLESHAW ASSOCIATES	7 Ryhill Way	GB	EARLEY RG6 RAZ	+44 1189 750 998
APPLIED PRECISION INC	121 High Street	GB	MARLBOROUGH SN8 1LZ	+44 1672 518 350
APPLIKON DEPENDABLE INSTRUMENT	De Brauwweg 13 PO BOX 149	NL	3100 AC SCHIEDAM	+31 10 462 18 55
AQUALON FRANCE	54 Route de Sartrouville	FR	78232 LE PECQ CEDEX	+33 1 30 09 40 00
ARGENTA DISCOVERY LTD	8/9 Spire Green Centre Flex Meadow	GB	HARLOW ESSEX CM19 5TR	+44 1279 645 674
ARMFIELD LTD	Bridge House West Street	GB	RINGWOOD BH24 1DY	+44 1425 478 781

ARPADIS NV	Samberstraat 7	BE	2060 ANTWERPEN	+32 3 206 93 70
ARPIDA	Dammstrasse 36	CH	4142 MUNCHENSTEIN	+41 61 417 96 60
ARQULE	19 Presidential Way	US	WOBURN MA 01801-5140	+1 781 994 0300
ARRAY BIOPHARMA	3200 Walnut Street	US	BOULDER CO 80301	+1 303 381 6645
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