The official EFMC e-newsletter

MedChem Watch

14

December 2011

EFMC

The official EFMC e-newsletter
MedChemWatch

MedChemWatch no.14
December 2011
web: www.efmc.info/medchemwatch

Design
pupilla grafik
web: www.pupilla.eu

Web Design
Antalys Sprl
web: www.antalys.be

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The European Federation for Medicinal Chemistry (EFMC) is an independent association founded in 1970 that represents 25 scientific organisations from 23 European countries. 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 deepen contacts and exchanges between medicinal chemists in Europe and around the World. EFMC fulfils this objective by organizing symposia and short courses, by sponsoring meetings and medicinal chemistry schools, by publishing on relevant topics and by conferring awards and prizes.

EDITORIAL

Dear colleagues,

The year 2011 will be remembered as the year of the crisis, a crisis which has impacted many European countries and many economical assets, not excluding pharmaceutical companies and academic research. Crisis may also mean opportunity, and when resources are getting down, new ideas and new models should be proposed to face difficulties with a spirit of innovation. The Perspective article of this issue, by Micheal Barnes, deals with these issues, focusing on the innovative capacity of the drug discovery process, particularly including chemistry, by increasing partnership and data sharing based on the principles of open innovation.

Last summer has seen the 4th edition of ASMC, held in St. Petersburg. A scientific report on this always exciting symposium is presented by Erden Banoglu.

MedChemWatch continues to present to the medicinal chemistry community the leading European labs and the most active SMEs, and this is the turn of Prestwick Chemical, Strasbourg-Illkirch, France, created in 1990 by Camille Wermuth. In addition, the laboratory of Christian Heinis, winner of the 2011 EFMC prize for young researcher in Academia, is presented.

As usual, you will find the columns on news from member societies and from the EC of the EFMC. Indeed, among the various and interesting events that will take place the forthcoming year 2012 (and you will find the updated list in the 'EFMC events' section, as well as in the Meeting Calendar section of www.efmc.info), the hottest one will be the 22nd ISMC, which will be held in Berlin, September, 2-6, 2012.

Gabriele Costantino, *Editor of MedChemWatch*

An Open Innovation Ecosystem for Drug Discovery

BY MICHAEL R. BARNES*

Survival of the fittest

Few would disagree that the Pharmaceutical industry has been experiencing a rather severe productivity crisis in the last several years. As a Computational Biologist working in the Industry and now transitioning to academia, it has been interesting to experience this crisis both at first hand and vicariously through the experiences of colleagues and peers in other companies. On a personal level, this has helped me put many of the commentaries on pharma woes, which range from blatant iconoclasm to dogmatic denial, into a wider perspective. A common theme that emerges is that the industry is experiencing unprecedented changes. Naturally all perspectives are shaped by personal experience, but my own experience suggests that the current crisis in the pharmaceutical industry stems from a failure to evolve in response to these changes, as market conditions and the expectations of pharma have shifted seamlessly from largely favourable to quite hostile. The situation seems entirely Darwinian in nature. As environments change, those which are able to adapt - the fittest, survive. Successful strategies clearly exist for companies, for example, shifts away from small molecule drug discovery towards biopharmaceuticals, have seemed successful at least in the short to medium term for some companies, Roche being a good case in point[1]. Other companies, such as GlaxoSmithKline, have also tried to adopt the biotech operating model with the creation of 38 internal discovery performance units (DPUs), funded on three year cycles by an internal investment board, designed to emulate the venture capital funding process[2]. These trends are mirrored to variable extents across the sector, but to date most changes have been largely tactical, underpinned by merger and acquisition, rather than a step change in the capacity to innovate.

This perspective will try to provide some suggestions to improve the innovative capacity of the drug discovery process, particularly including chemistry, by increasing partnership and data sharing based on the principles of open innovation. Ultimately, I propose that the interdependencies between the various players of the drug discovery process are much greater than may have been anticipated. Taking a biological view of the problem, I suggest that the fates of the leading protagonists in the "innovation ecosystem" are intricately interconnected with the smallest players.

On the Origin of Open Innovation

The term *Open Innovation* was coined by Henry Chesbrough as recently in 2003[3], but in reality the principles of open innovation were established much earlier. Arguably open innovation goes back a long way, even if not by name. Some have even pointed as far back as the Medici dynasty of 16th Century Florence, whose patronage of the Arts and Sciences led to some of the multidisciplinary advances that fuelled the Renaissance[4]. But debating the origins of the term open innovation probably distracts from the simplicity of the concept. Open innovation simply argues that great ideas can come from anywhere and should be able to go anywhere. Importantly it also maintains that fair rights of idea ownership should be retained, but should not be a barrier to the movement of these ideas. The later is perhaps the key concept that differentiates open innovation from other forms of innovation. Ideas should not be monopolised by one individual or organisation. This does not mean that intellectual property is at

odds with open innovation, perhaps the only concept at odds with open innovation is exclusivity. As soon as an idea is exclusively owned and controlled its potential to evolve is greatly diminished. Going back to the ecosystem analogy, it is in effect removed from the gene pool.

Why Open Innovation is not an easy option

Open innovation has been widely recommended as a fix-all solution to poor productivity in pharma, but there are a few fallacies about the concept that should be considered, as they could potentially lead to a future backlash against the concept. The first is that an open innovation strategy can be implemented exclusively by specialists in legal, IP and business development. Although there is clearly an important role for such specialists in seeking innovation, negotiations and deal making, arguably many of the failings of open innovation to date have been related to the failure to involve "hands on" internal domain experts in the evaluation of external technologies. A very recent example, being the relative failure of GSK to translate compounds and tools acquired from the biotech company, Sirtris[5]. This seems to be a trend in Pharma, where the critical mass of disease or technological expertise, in the traditional "therapeutic area" has been dispersed in favour of opportunistic externally facing drug discovery units and venture units. The success of this concept relies heavily on the excellence of the staff leading the external facing units and perhaps uncovers a paradox of the open innovation concept. That is that the best Innovators tend to be very active ("hands on") in their field, but an open innovation strategy, by focusing externally rather than internally, may not favour "hands on" involvement in the innovation process. This argues for a very tight partnership between specialist "innovation seekers" and the internal innovators (read ordinary lab scientists) who can ensure the translation of external ideas into internal successes. So it follows therefore, that open innovation has the best potential to work within the pre-existing R&D framework of organisations. The emphasis here is on organic growth and cultural change within organisations, rather than radical solutions and restructuring. Success of this strategy is in many cases dependent on the visibility of "external innovations" within and across fields, so that they can be recognised and adopted. This is probably the biggest challenge for a successful open innovation strategy.

Publicise or die...

Although the traditional patent document is the conventional route to describe and protect innovation, without other publicity strategies it can be a serious barrier to open innovation. This is not in terms of the intellectual property claimed, but due to the tendency of patent documents to obfuscate claims, either intentionally or unintentionally[6]. Publication is the most widely used mechanism for publicising innovation, usually after intellectual property (IP) has been secured. But a publication focused strategy brings with it real problems for innovation seekers. Foremost among these is the peer review process itself, which can introduce multiple biases into the published literature corpus[7]. For example, the so-called "Matthew effect" has been well documented, showing that reviewers and editors tend to be much more favourable in their evaluation of manuscripts submitted by "famous investigators" from prestigious institutions, regardless of the manuscripts' scientific and technical merit[7]. The high impact and palpable excitement in the literature surrounding resveratrol[8], undoubtedly played a role in the acquisition of Sirtris for $720 million. The issues with peer review also make it comparatively much more difficult for new investigators, or investigators in new fields to publish in high impact journals. Also at a more fundamental level, some really great innovations may not be considered worthy or substantial enough to support high impact publication alone. Instead they need to be recognised and adopted within the wider translational research process to show their true value.

Does Crowd Science have a place in drug discovery?

Crowd Science is a key open innovation concept to improve the visibility of the needs of innovators and innovation seekers. The concept has been implemented in many different ways, two key implementations of crowd science are considered here. From the point of view of innovation seekers, "crowd sourcing" is a process where tasks traditionally performed by specific individuals are opened up to a group of people or community (crowd) through an open call. Research funding agencies are already working in this way when they release a call for proposals in a specific research area. The other concept to consider from the point of view of those wishing to publicise their skills or innovations, is "crowd funding". This describes an open call process to collectively support specific efforts initiated by other people or organisations.

Both approaches have already been applied to aspects of drug discovery with some success. A good example of the crowd funding approach is DrugDev.org (Table 1), which uses social networking

technology to publicise the availability of over 60,000 clinical trial investigators in 93 countries. The database includes a capability to provide feedback on investigators' trial recruitment capabilities, infrastructure and quality. In less than 2-years DrugDev.org has grown from a start-up to the biggest network of independently rated research sites in the world, transforming the way many major CROs and pharmaceutical companies conduct study feasibility, site identification and startup activities, with quite a dramatic effect on timelines and cost. A well tailored Crowd funding approach to publicise translational researchers and their innovations, does not yet exist, but could be an interesting tool to improve and strengthen the interface between industry, academia and the clinic. A quick look at the success of the approach in other areas (e.g. funding of creative projects at **www.kickstarter.com**) highlights the potential of this approach.

Crowd sourcing is probably the most successful open innovation concept to date, the poster child being InnoCentive (Table 1), which was developed at Eli Lilly to use the internet as a route to discover solutions to challenging internal research problems. InnoCentive, became the first global Internet-based platform designed to help connect Seekers, those who had difficult research problems, with Solvers, those who came up with creative solutions to these problems. The crowd sourcing concept has now been widely adopted throughout healthcare by diverse companies including GE Healthcare, Johnson & Johnson and Procter & Gamble. The U.S. Patent and Trademark Office have also notably applied crowd sourcing to the patent review process with their Peer to Patent Community Patent Review project (Table 1), which allows scientists to submit prior art which might invalidate a patent application. Perhaps the last bastion of translational research where crowd sourcing could make a real impact would be as an alternative to the peer review process. This has been widely discussed and strongly advocated by some, but ultimately would require a huge cultural change that the translational research community may not yet be ready for.

Finally another example of the power of the crowd comes from patients themselves and shows how social media is already influencing drug discovery. Patientslikeme (Table 1) is an online social networking forum that allows patients to share treatment experiences. When a small Italian study reported that lithium carbonate had the potential to slow the progress of Amyotrophic lateral sclerosis (ALS), hundreds of Patientslikeme users started taking the drug under the supervision of their physicians[9]. They were unable to replicate the promising findings of the preliminary study, but nevertheless the power of sharing data to rapidly advance medicine was clearly demonstrated.

A Brave New World

Organisational and regulatory cultures can be a major contributor to the failure of open innovation in any organisation. Put simply it is not adequate to ask employees to think differently and challenge the status quo, while continuing to work entirely within the status quo, using existing tools and policies. Innovation requires new thinking and importantly the ability and permission to use new tools. A recurring issue within drug discovery is the fear of inadvertent disclosure of information. For example, some companies have a placed a moratorium on the use of public domain tools and databases, based on a largely unfounded fear of publicly disclosing proprietary sequence or small molecule information, and thus invalidating future IP claims (no precedent exists for such disclosures). The necessary requirement for patient confidentiality can also be a real barrier for innovation. This is particularly evident in the field of genetics, where large-scale genetic data sets are frequently shared with other research groups and often released into the public domain to allow for meta-analysis. Study participants are usually not informed about such data sharing because data are assumed to be anonymous after stripping off personal identifiers. However a study by Homer et al[10] showed that the assumption of anonymity of genetic data is tenuous as even summary information (in the case of this study, p-values without genotype information) can be intrinsically self-identifying. This publication led to a wholesale worldwide change in data sharing policies for genetic data, severely limiting the access of non-authorised researchers to genetic data[11]. The implications of these rulings have probably not been fully understood as they could potentially limit open access to all datasets generated with next generation sequencing technology, severely impeding access to most of the exponentially expanding genetics and genomics corpus in the public domain. There are no simple answers to this issue, but it clearly illustrates how retaining the status quo for data access policies could substantially impede innovation to the detriment of the very patients that policies are intended to protect.

Partnering to cross the "Translational Valley of Death"

The Translational "valley of death" is a widely used concept referring to the

widening gap between advances in basic science and the practical application of that knowledge into the clinic[12]. Historically public domain resources for drug discovery research, particularly medicinal chemistry, may have exacerbated this situation and until recently have been under-resourced and sometimes poorly curated. However this situation is rapidly changing. Governments and other science funding organisations have substantially increased translational research funding to facilitate access to both data and screening facilities. Examples of such investment include the NIH molecule libraries initiative, PubChem and the Wellcome Trust funded Chembl database (Table 1). Encouragingly a recent (crowd sourced) appraisal of the quality of the data was very positive[13]. This trend looks set to continue. The community impact of the increased focus on good public domain data and resources is palpable, with the announcement of major open access drug discovery projects, such as Arch2POCM (Table 1), which aims to take small molecules for Autism, Schizophrenia and Cancer into man.

Pharmaceutical companies are now following this trend by pro-actively engaging in precompetitive data sharing on an *ad hoc* basis[14] and collectively though major public-private partnerships like the €2bn EU Innovative Medicines Initiative (IMI)[15]. The IMI is spawning a number of excellent translational resources, including the Open Pharmacological Space, a raft of drug discovery tools currently being constructed by the Open PHACTS consortium (Table 1). Collectively these initiatives are resulting in unprecedented access to data, information and knowledge, giving capabilities to public domain scientists that were previously only available behind industry firewalls.

Before euphoria takes hold, it's worth pointing out that there is still a long way to go before public domain drug discovery is truly enabled. A key determinant will be the standardisation of data exchange allowing greater integration between public and private domains. The Pistoia Alliance (Table 1) was established to address this issue and appears to be making some headway. The MIABE (Minimum Information About a Bioactive Entity) initiative is also making valuable progress[16]. Despite movement in the right direction there is still a widespread lack of agreed standards and vocabularies that unambiguously identify the entities, processes and observations within experimental data relevant to drug discovery[17]. The consequences of not agreeing such standards are still evident in many of the other existing systems concerning bioactivity data which are still very difficult to exploit in a structured manner.

A new drug discovery ecosystem must evolve to "do more with less"

"Do more with less" has become the standard refrain of CEOs in most industries, with the pharma industry being no exception[18]. The open innovation approach to drug discovery is well placed to meet this demand, but is reliant on the dynamics of the entire drug discovery community. Now that the gap between industry and public domain drug discovery appears to be narrowing, open innovation is a natural and intuitive response to build stronger public-private partnerships. In times of austerity, considering industry woes in this area, it might seem folly for governments and funders to increase their emphasis on drug discovery, but this is happening nevertheless and may change the entire dynamics of the drug discovery community. While the US NIH seeks to speed translation with their aggressively open access strategy at the National Center for Advancing Translational Sciences[19], the EU Innovative Medicines Initiative is also setting a new high water mark for precompetitive and public-private collaborations[15]. Considering the trends that are affecting both public and private sectors the time has never been better for open innovation initiatives (**Box 1.**). In some cases open innovation and open access strategies may represent the only hope for disease areas with significantly higher attrition rates compared to the norm, such as the psychiatric disease area[20]. Despite considerable

Industry trends	Academia / Public Domain trends
• Declining budgets	• Austerity measures
• Declining productivity	• Translational imperative
• Risk aversion (seek risk sharing)	• Risk = Impactful publication
• R&D externalisation trend	• Increasingly entrepreneurial
• Late stage focus	• Early & Clinical stage focus
• Driver: Shareholder value	• Drivers: Publication & Public Health

Box 1. Sector trends show why public-private partnership makes sense for drug discovery

societal need, many large pharmaceutical firms have now ceased all R&D programmes in psychiatry, because the cost of failure is perceived to be too high[20]. Open access or open innovation approaches could lower the barriers of entry to this area and spread risk, enticing firms to re-engage with areas of severe unmet medical need. Risk is also a relative concept. To the academic the "risk" of an unknown or complex disease mechanism is synonymous with breakthroughs and high impact publication. In essence academics are funded to address this risk and a completely negative outcome for academic research is rare. For Industry outcomes of drug discovery are starker and the financial stakes are much higher.

Innovation as food

Viewing open innovation from the point of view of a biologist, there are striking similarities between the innovation communities and the ecological concept of the food chain[21]. Extending the analogy of innovation as "food" in the innovation ecosystem, different players are clearly occupying different trophic levels (**Figure 1**). We could define the academics engaged in pure research as primary producers; academics engaging in applied research could be termed primary innovators; industry and SMEs at the translational interface could be termed secondary innovators; while the externally facing tech-transfer and venture units in pharma and large SME could be termed tertiary innovators. The concept fits on multiple levels. Firstly, in ecosystems about 10 % of the energy transferred between each trophic level is converted to biomass, the same might be said about the translation of ideas. Secondly, it clearly illustrates the inter-dependency of each player and the need for a strong

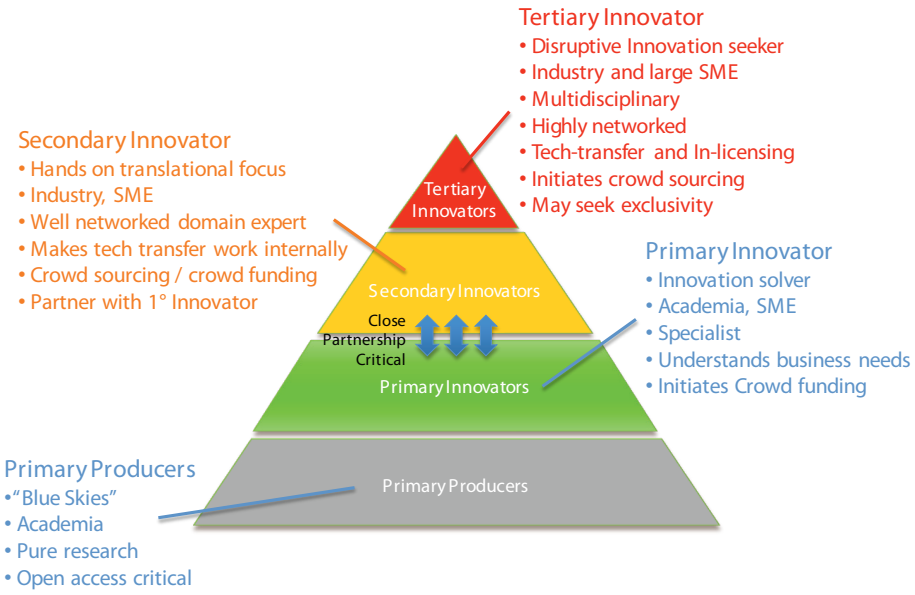

Figure 1. An Open Innovation Ecosystem for Drug Discovery

Resource	URL
Open Standards and Infrastructure	
Pistoia Alliance (Pre-competitive standards for drug discovery)	www.pistoiaalliance.org
Chem2Bio2RDF (Semantic Web in Systems Chemical Biology)	www.chem2bio2rdf.org
Open Access and Open Innovation Drug Discovery Resources and Projects	
Chembl (Wellcome Trust funded drug database)	www.ebi.ac.uk/chembl
PubChem (NIH funded small molecule database)	www.pubchem.ncbi.nlm.nih.gov
The Structural Genomics Consortium	www.thesgc.org
SAGE Bionetworks	www.sagebase.org
Open PHACTS (IMI project building open drug discovery resources)	www.openphacts.org
EMVDA Research Reagent Repository (Malaria Vaccine Dev.)	www.malariaresearch.eu
Arch2POCM (Open access drug discovery programme)	www.arch2pocm.org
The Innovative Medicines Initiative	www.imi.europa.eu
Crowdsourcing, Crowdfunding and Social Media in Drug Discovery	
DrugDev.org (Database of >62K worldwide clinical trial sites)	www.drugdev.org
GrowVC (Global crowdfunding platform)	www.growvc.com
Innocentive (Highly successful crowd sourcing tool)	www.innocentive.com
Patients like me (Patient led disease treatment community)	www.patientslikeme.com
Peer to Patent (Crowdsourcing to evaluate patent prior art)	www.peertopatent.org

Table 1. Open Innovation Resources for Drug Discovery

academic sector (including pure "blue skies" research) to act as a robust foundational layer for healthy industrial sectors.

Open Innovation or Open Access?

This perspective has conscientiously avoided distinguishing open innovation from the open access approach to drug discovery which is generating some controversy. It really remains to be seen how successful a pure open access approach will be in translating clinical candidates to market without a clear model to generate a return on investment. Clearly open access drug discovery has potentially huge societal value, but equally the patents that protect the assets of the pharmaceutical industry also have important societal value, by sustaining a vital industry. Currently there are few viable alternatives to the patent system to protect investment. Open innovation does not challenge this view, and should be largely agnostic to the approach used to define ownership of innovation, be it open access or patent protected. It is probably more accurate to view the process of innovation as a continuum from open access to secure intellectual property. Perhaps the greatest challenge to successful open innovation is the accurate determination of where the pre-competitive and competitive boundaries of drug discovery lie, chemists will play a leading role in this debate.

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Prestwick Chemical

BY THIERRY LANGER, CEO

Prestwick Chemical, Strasbourg-Illkirch, France, was created in 1999 by Prof. Camille-Georges Wermuth as a spin off from the University of Strasbourg and is now an established drug innovator. Last month, we expanded our capacities significantly, partnering with the Weesp (NL) based Pharma Plexus Holland BV, the former Dutch Abbott research site.

With our smart screening libraries and our integrated discovery services, we help our customers in the pharmaceutical, biotech, and cosmetics industry to identify and optimize new bio-active molecules. We have specialized in providing development candidates using competitive medicinal chemistry. Our scientists are supported by state-of the art computational tools. They apply technologies to build a strong foundation for the understanding of structure-activity relationships and for risk assessment based on cutting edge in silico ligand profiling.

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

The highly experienced medicinal chemistry team has performed hit to lead and lead optimization campaigns towards all major target classes (enzymes such as kinases, receptors such as GPCRs, ion channels, and protein protein interfaces). Prestwick Chemical has devoted much effort to ensure that the medicinal chemists work on the most promising hit series: Our medicinal chemists evaluate the hit series with respect to IP space, emerging SAR, and chemical tractability. So far, we have produced more than 9000 original compounds, from which seven have already entered into clinical development: Two are currently in clinical phase III studies, two in phase II, and three have reached clinical phase I. Several more are currently in pre-clinical development.

The Prestwick Chemical compound collections (Prestwick Chemical Library®, Prestwick Phytochemical Library, and Prestwick Fragment Library) are of highest international standard, and validated worldwide by a large number of pharmaceutical companies and academic labs. We guarantee to provide re-supply of each compound, thus allowing customers to rapidly validate and follow-up with hits obtained.

Prestwick Chemical has several modular service offerings that can be used separately or combined, on a pure FTE based service model, or with risk and IP sharing. For further information on Prestwick Chemical, please visit the website at: http://www.prestwickchemical.com or send an email to contact(at)prestwickchemical.fr

Christian Heinis

Christian Heinis studied biochemistry at the Swiss Federal Institute of Technology in Zurich (ETHZ). From 2000 to 2003 he did a Ph.D. in the research group of Prof. Dr. Dario Neri at the ETH Zurich where he worked on the *in vitro* evolution of enzymes with therapeutic applications in mind. In 2004, he joined the group of Prof. Dr. Kai Johnsson at the Ecole Polytechnique Fédérale de Lausanne (EPFL) as a post-doctoral fellow and applied directed evolution to engineer an alkyltransferase for the use in molecular imaging. In 2006, Christian Heinis started a second post-doc in the group of Sir Greg Winter at the MRC Laboratory of Molecular Biology (LMB) in Cambridge, UK. With Sir Greg Winter, he had developed a novel method for the generation of bicyclic peptides with tailored binding specificities. In 2008, Christian Heinis was appointed tenure-track Assistant Professor at the Institute of Chemical Sciences and Engineering (ISIC) of the EPFL in Switzerland, and since 2009 he is holding a professorship of the Swiss National Science Foundation. Christian Heinis is along with Sir Greg Winter a scientific founder of the spin-off company Bicycle Therapeutics (www.bicycletherapeutics.com).

Research interest

The main research goal of Christian Heinis and his team of currently nine scientists is the development of therapeutics based on bicyclic peptides. As the name implies, bicyclic peptides are peptide structures with two macrocyclic rings. They can conveniently be obtained by connecting three amino acids in linear peptides with chemical linkers (please see the figure). The bicyclic peptides promise to combine favourable properties of two major classes of therapeutics, the monoclonal antibodies and the small molecule drugs: as antibodies, the bicyclic peptides contain conformationally constrained peptide loops that can potentially interact with extended surfaces of therapeutic targets to bind with high affinity and selectivity. As small molecules, the bicyclic peptides can be chemically synthesized, can diffuse into tissue and offer multiple application options. Christian Heinis had started to work with bicyclic peptides as a post-doctoral follow in the research group of Sir Greg Winter at the Laboratory of Molecular biology (LMB) in Cambridge, UK, where he had proposed an approach to generate phage-encoded multicyclic peptides. Sir Greg Winter and Christian Heinis had speculated that the diversity of binding sites in antibodies, which are restricted to a relatively small region (the complementary determining regions), can be mimicked by the cyclic peptide structures. Together, they had developed a methodology based on phage display that allows the generation and genetic encoding of billions of bicyclic peptides and the subsequent identification of ligands binding to targets of interest as described in the following section.

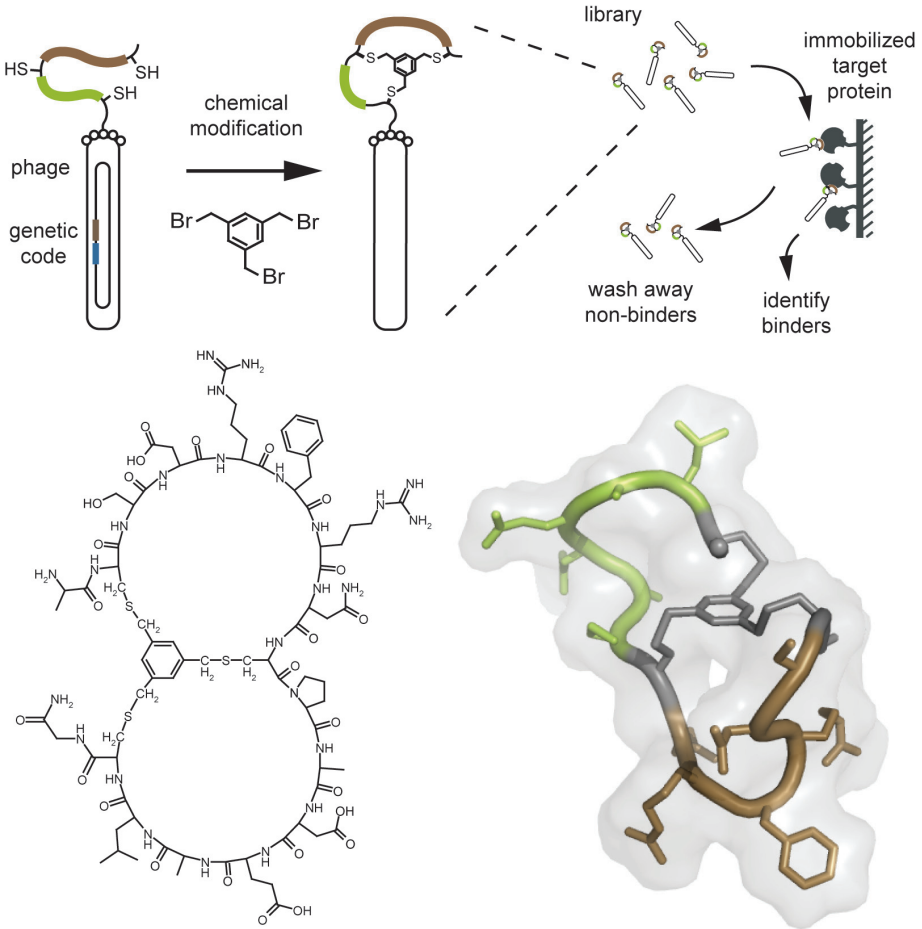
Screening of bicyclic peptide libraries by phage display

Phage display is a powerful technique widely applied for the isolation of binders from large polypeptide libraries (> a billion different polypeptides). It had led to the isolation of numerous binders based on short peptides, antibodies or diverse protein scaffolds. Some protein therapeutics developed by phage display including antibodies and a so called Kunitz domain are already in clinical use. To generate libraries of phage-encoded bicyclic peptides, linear peptides are displayed on the surface of filamentous phage and cyclised in a chemical reaction (please see the figure). For example, random peptides containing two cysteine residues at both ends and a third one in the middle are reacted with the molecule tris-(bromomethyl)benzene. In a first proof of concept experiment, the phage selection strategy was successfully applied by Sir Greg Winter and Christian Heinis to generate inhibitors of human plasma kallikrein and cathepsin G with nanomolar affinities (Heinis, C., *et al.*, Nat. Chem. Biol., 2009). More recently, the laboratory of Christian Heinis had isolated bicyclic peptides that inhibit other proteases or bind to a receptor. One of the inhibitors was crystallized together with the protease target and its structure determined

to gain insight into the binding mode of bicyclic peptides. To generate new designs of multicyclic peptides, the group of Christian Heinis is currently varying the format of the peptide component as well as applying different cyclization chemistries.

Towards the development of bicyclic peptide therapeutics

To assess the therapeutic potential of bicyclic peptides, the team of Christian Heinis is generating antagonists or agonists for various disease-related proteins and is testing their activity in biological assays and *in vivo*. Clinical indications considered are those in which bicyclic peptides promise advantages over monoclonal antibodies and small molecules. Possible advantages over antibodies include better tissue penetration, higher stability, manufacturing by chemical synthesis, higher activity per mass and wider choice of application routes. Advantages over small molecules can include higher binding affinity, better target specificity and ability to disrupt protein-protein interactions. Thanks to the excellent infrastructure and support of scientists of various disciplines at the EPFL, the laboratory of Christian Heinis could recently start with the *in vivo* testing of a bicyclic peptide, an inhibitor of a tumour-associated protease. A pharmacokinetic study gave promising results allowing the testing of this first bicyclic peptide in tumour-bearing mice. Towards the development of therapeutic bicyclic peptides, the group of Christian Heinis is also actively collaborating with the spin-off company Bicycle Therapeutics.



Upper panel: Schematic representation of the strategy to generate phage-encoded bicyclic peptides and to isolate binders from large combinatorial libraries. Lower panel: Structural formula and NMR structure of a bicyclic peptide. A mesitylene group connects three cysteine residues in the peptide via stable thioether bonds.

EFMC NEWS

BY NELE COULIER AND KOEN AUGUSTYNS

The 4rd edition of the International Symposium on Advances in Medicinal Chemistry (ASMC 2011) took place in St.Petersburg, Russia on August 21-25, 2011. Prof. K.C. Nicolaou (The Scripps Research Institute & University of California, US) and Dr Anthony Wood (Pfizer, US) were the chairmen of the symposium, where American and European chemists met Eastern European scientists in the areas of synthetic and medicinal chemistry. The symposium, organised by EFMC and ChemBridge Corporation and supported by the Medi Division of ACS, attracted 400 participants which represented a large number of countries, pharmaceutical and biotechnology companies and academic institutions. A report of the meeting is available under "EFMC Events".

To acknowledge outstanding achievements in the field of Medicinal Chemistry, EFMC is conferring every two years three Awards on the occasion of an International Symposium on Medicinal Chemistry. The 2012 Awards will be conferred on the occasion of the XXIInd EFMC "International Symposium on Medicinal Chemistry" (EFMC-ISMC) to be held in Berlin, Germany on September 2-6, 2012. The EFMC Awards include the Nauta Award for Pharmacochemistry, the UCB-Ehrlich Award for Excellence in Medicinal Chemistry and the Prous Institute-Overton and Meyer Award for New Technologies in Drug Discovery. All three awards consist of a diploma, € 7.500 and an invitation for a lecture by the Award recipient at the upcoming EFMC-ISMC. Deadline for submission is January 31, 2012. More information on the submission process is available on the EFMC website **www.efmc.info**

To acknowledge and recognize an outstanding young medicinal chemist (≤ 35 years old) working in academia or in industry within Europe, EFMC is conferring every year the EFMC Prize for a Young Medicinal Chemist in Academia and the EFMC Prize for a Young Medicinal Chemist in Industry. The prizes consist of a diploma, a cash prize and an invitation to give a presentation at the XXIInd "International Symposium on Medicinal Chemistry" (EFMC-ISMC). Deadline for nominations is January 31, 2012. More information on the submission process is available on the EFMC website **www.efmc.info**

The publication of the 10th edition of the EFMC Yearbook is scheduled for January 2012. The Yearbook will be distributed electronically to all members of the EFMC database, as well as to the members of the National Adhering Organisations (25 scientific organisations from 23 European countries). In addition, hard copies of the EFMC Yearbook will be distributed at all scientific meetings organised or sponsored by EFMC. If you would like to receive the electronic version of the EFMC Yearbook 2012, we invite you to register via the EFMC website **www.efmc.info** The recently renewed EFMC website **www.efmc.info** contains an interesting new section on the EFMC History. This is a collection of articles written by Henk Timmerman and published in MedChemWatch. Furthermore, those who are interested in the chronology of the EFMC and of the EFMC organised International Symposia on Medicinal Chemistry (EFMC- ISMC) will find a synopsis compiled by Edmond Differding. In case you would have any relevant information to add to these documents, you are most welcome to contribute.

EFMC EVENTS

BY NELE COULIER AND KOEN AUGUSTYNS

EFMC ORGANISED EVENTS

5th EFMC Short Course on Medicinal Chemistry
Target Selection through application of chemical and systems biology
April 1-4, 2012
Oegstgeest, The Netherlands
http://www.ldorganisation.com

6th EFMC Short Course on Medicinal Chemistry
Improving Compound Quality: Physical Chemistry and DMPK Properties in Drug Discovery. Principles, Assays and Predictions
October 21-24, 2012
Oegstgeest, The Netherlands
http://www.ldorganisation.com

XXIInd International Symposium on Medicinal Chemistry (EFMC-ISMC 2012)
September 2-6, 2012
Berlin, Germany
www.ismc2012.org

EFMC SPONSORED EVENTS

The 1st Israeli-UK Medicinal Chemistry Conference
April 22-23, 2012
Rehovot, Israel
http://www.iamc.chemistry.org.il/

30th Noordwijkerhout-Camerino-Cyprus Symposium
May 13-17, 2012
Down-town Amsterdam,
The Netherlands
http://www.few.vu.nl/~ideesch/main.html

21st Italian National Meeting in Medicinal Chemistry
July 17-21, 2012
Palermo, Sicily
http://www.21nmmc.palermo.it

19th EuroQSAR Knowledge Enabled Ligand Design
August 26-31, 2012
Vienna, Austria
http://www.euroqsar2012.org

EFMC Sponsored Session at the 4th EuCheMS Chemistry Congress
August 26-30, 2012
Prague, Czech Republic
http://www.euchems-prague2012.cz/

EFMC SPONSORED SCHOOLS

32nd Edition of the European School of Medicinal Chemistry (ESMEC)
EFMC Accredited School
July 2-6, 2012
Urbino, Italy
http://www.esmec.eu

Summer School on Pharmaceutical Analyis (SSPA)
June 10-13, 2012
Rimini, Italy
http://www.scpaweb.org/

10th Swiss Course on Medicinal Chemistry
October 14-19, 2012
Leysin, Switzerland
http://www.swiss-chem-soc.ch/smc/leysin/leysin.html

Information on the other EFMC sponsored schools which will be organised in 2012 is not available yet, but we invite you to regularly visit the EFMC website www.efmc.info to find out more on the dates and the programmes of the Schools.

International Symposium on Advances in Synthetic and Medicinal Chemistry (ASMC St. Peterburg 11)

St. Petersburg, Russia, August 21-25, 2011

BY ERDEN BANOGLU

The idea of bringing together the field of synthetic organic and medicinal chemistry in ASMC meeting series was frist created about eight years ago and started with Moscow meeting in 2004 with the aim bringing together the leading scientists and expert practitioners from academic, government and industrial institutions of eastern and western countries to power up the scientific potential which stayed apart for a long time. For these reasons, the locations of the meetings were decided to be chosen from the eastern countries and St. Petersburg was chosen for the second time to host this prestigious conference and to bring the participants together in a pleasurable social and cultural environment with high level of scientific presentations. Just to remind again, the ASMC meeting series were succesfully organized both by European Federation for Medicinal Chemistry (EFMC) and ChemBridge Corporation. "The ASMC-St. Petersburg 11" was chaired by Prof. K. C. Nicolaou (University of California, US) and Dr. Anthony Wood (Pfizer, US) to create a scientific environment to share arising trends in synthetic organic and medicinal chemistry in the area of biomedical research. The selected topics started from fundamental science and continued with presentations of applied scientific studies. With its distinguished panel of 39 renowned speakers and 192 peer reviewed poster presentations, the conference prosperously blended the acedemic life with industrial experience during the given presentations. Therefore, ASMC series continued the tradition with great success in advancing science of medicinal and synthetic organic chemistry and also successfully created a network of international audience of about 400 delegates from all over the world hence promoting cooperation between different institutions. This 4th meeting of the symposium series followed the tradition of previous three meetings and mainly included case histories of the recently developed clinical candidates and novel synthetic and catalytic methodologies in synthetic organic chemistry which could be useful for medicinal chemistry approaches for developing novel lead compounds. Therefore, as was in previous meetings, the main structure of the conference consisted of bringing together the synthetic organic and medicinal chemistry indicating that novel methodologies and advances in organic synthesis are of use for making biologically relevant molecules and have an important role to design medicinal chemistry approaches to be more efficient in the process of drug discovery. During the conference, the symposium chairmen and the members of organizing committee have done a great job to organize each session in a heterogeneous way to blend speakers from academia with pharmaceutical industry with particular care on didactic aspects of the symposium.

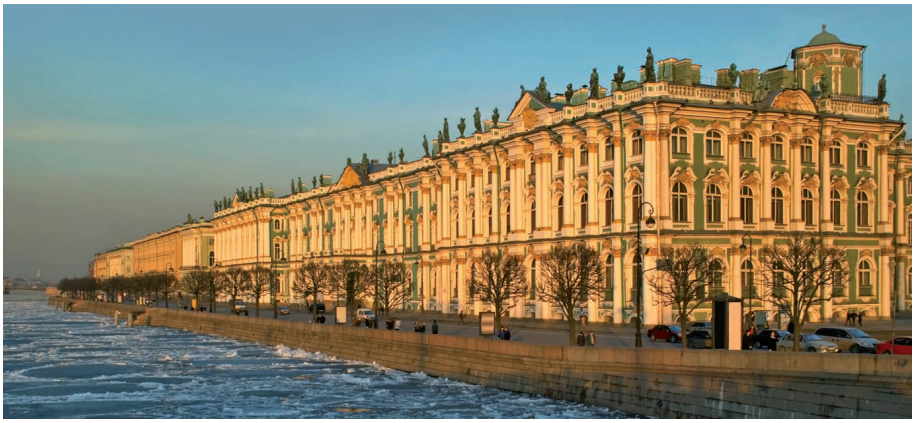
Over four days, the participants attended an elegantly organized program, which covered drug discovery advances in some major therapeutic areas, as well as the recent advances in synthetic methodologies and optimization strategies which may be useful in drug design and development studies. Each presentation emphasized on first time disclosures, emerging drugs/targets and emerging synthetic technologies.

The symposium started with Prof. Nicolaou's talk on the natural product Maitotoxin which is an extremely potent toxin produced by *Gambierdiscus toxicus* to present the recent advances and current status as an inspiration for research

Prof. Gerhard F. Ecker

Dr. Anthony Wood

Prof. K. C. Nicolaou



in chemistry and biology. Morning talks also included novel synthetic approaches for enantioselective functionalization of C-H bonds to develop green and efficient synthesis of heterocycles and other biologically relevant motifs. Harmonized with these talks also the medicinal chemistry approaches had its place with case histories of the discovery of tofacitinib (CP-690,550), a potent and selective JAK inhinbitor and TMC435, a novel macrocyclic hepatitis C virus protease inhibitor. The story behind the discovery of GPR119 for the treatment of type 2 diabetes was also one of the interesting presentations of the first day in the symposium. The second day mostly emphasized on the changing face of complex, time consuming and expensive drug discovery process indicating the place of novel approaches such as chemical biology and epigenetics, with a focus on identifying novel molecular targets and new molecules to support the construction of an enhanced and robust drug discovery pipeline. In addition, presentations regarding synthetic organic chemistry, i.e., chiral synthesis, synthesis of novel conformationally rigid diamines, a new catalytic system for C-H activation, synthesis of bicyclic peptide systems, novel synthetic approaches in the preparation of pharmaceutically important heterocycles and their relevant biological potentials, were successfully organized as a part of each session. Third day of the symposium was started with focusing on the role of bioactive natural products in the drug discovery. Chemical biology of the natural product cyclopamine as an inhibitor of hedgehog signaling and novel synthetic methodologies for total synthesis of polyphenol-based natural products were the interesting and scientifically rich presentations along with development of novel azetidine urea derivatives as fatty acid amide hydrolase inhibitor VER-158416. Another new finding on the importance of halogen bonding in protein-ligand interaction and binding affinity was also succesfully discussed during presentations. Last day of the symposium also concentrated on the synthetic new methodologies on asymmetric synthesis of bioactive molecules such as MFPA and chaetocin and their relevant biological properties. Again C-H activation reactions and metal-catalyzed C-H bond functionalizations for use in medicinal chemistry were the continuation of first talks in this topic and overall the importance of C-H bond activations in the synthesis of novel chemotypes and for providing a utility to develop novel drug-like molecules were clearly dealth with during the symposium. Along with the synthetic chemistry presentations, the stories on the validation of N-myristoyltransferase as a new therapeutic target, development of mGluR4 positive allosteric modulators for Parkinson's disease, oncologic drug development efforts targeting Wnt/β-catenin pathway, use of natural product grandisine alkaloids as δ-opioid receptor antagonists and discovery of novel antimalarial chemotypes were very exciting in a way that although the use of modern approaches have widely been utilized to identify and understand the structural interactions of lead compounds for clinical development, the use of conventional and novel chemical strategies were the main driven force for the success of each story.

Once more, the ASMC meeting series successfully enlightened the close connection between synthetic organic and medicinal chemistry and carried a message that changing face of organic synthesis for making biologically important molecules has a pivotal role using medicinal chemistry approaches more efficiently in drug discovery process.

The Gala Dinner

News from the Societies

BY ERDEN BANOGLU

THE MEDICINAL CHEMISTRY SECTION OF THE ISRAEL CHEMICAL SOCIETY

The 1st Israeli-UK Medicinal Chemistry Conference

The Israeli Section of Medicinal Chemistry of the Israel Chemical Society (ISM-ICS) together with the Medicinal Chemistry section of the Royal Society of Chemistry are organizing a 2-day bi-national conference on Medicinal Chemistry.

The conference details are:
The 1st Israeli-UK Medicinal Chemistry Conference
April 22-23, 2012
Weizmann Institute of Science
Rehovot, Israel
http://www.iamc.chemistry.org.il

THE BIOLOGICAL AND MEDICINAL CHEMISTRY SECTOR (BMCS) OF THE ROYAL SOCIETY OF CHEMISTRY (RSC)

RSC BMCS Malcolm Campbell Memorial Award 2011

The Biological and Medicinal Chemistry Sector of the RSC is proud to announce the winner of the Malcolm Campbell Memorial Award for 2011.
This year's winners are the Liverpool team of:
– Paul M. O'Neill
– B. Kevin Park
– Stephen A. Ward
for work in the area of antimalarial drug discovery and chemical biology of Plasmodium falciparum.

The Malcolm Campbell Memorial Award commemorates Professor Campbell's outstanding contributions in a broad range of chemistry and their applications to the understanding of bioactivity. The award is awarded biennially and the 2011 prize was formally presented to the winning team during the RSC/SCI Medicinal Chemistry Symposium in Cambridge, 11-14 September 2011 – see http://www.rsc.org/Membership/Networking/InterestGroups/BMCS/Activities/CampbellAward.asp
The BMCS Committee wishes to express its gratitude for the high quality entries from both academia and industry for the 2011 award.

Recipients of the Malcolm Campbell Award 2011

16th RSC-SCI Cambridge Medicinal Chemistry Symposium

The 16th biennial SCI/RSC Medicinal Chemistry Symposium recently took place at Churchill College Cambridge, between the 11th and 14th of September. In the region of 300 delegates from more than 25 countries were treated to three days of the latest developments and thinking in medicinal chemistry. The theme of this year's meeting was "The Path forward: Collaboration or Competition?", and, in keeping with that theme, many of the lectures highlighted the fruits of ongoing collaborative ventures. There were clear examples of cutting edge academic researchers reaching out to Big Pharma for help with development and commercialization of their ideas, and of Big Pharma making tools available for the furtherance of academic research, and reaching out to academics and biotechs seeking early stage partnerships around novel chemistries and chemical diversity. The more than 25 lectures covered topics in enzyme targets, ion channel/receptor targets and late breaking topics, in addition to lectures focussed on the specific meeting them. Many of the lectures presented important first disclosures of clinical development candidates, or revealed significant new clinical data. In addition to the lectures, there were more than fifty posters on an even wider diversity of topics, many of them prepared and presented by younger representatives of their respective organisations. Finally the delegates were challenged by the well known Chemistry World column writer and blog author Derek Lowe, to think about "What Next" for the industry. For the organising committee that

means planning for the 17th meeting, which has already begun!

2nd RSC symposium on Chemical Biology for Drug Discovery
March 20-21, 2012
AstraZeneca, Alderley Park, Macclesfield, UK

This symposium covers progress in the interdisciplinary field of Chemical Biology in enhancing our understanding of the molecular mechanisms of human biology in health and disease. Topics will include discovery and use of chemical probes, chemical modification of biological molecules, in-cell protein labelling, carbohydrate therapeutics and biomarkers, and the study of protein-protein interactions. This meeting is aimed at chemists and biologists from across the academic and industrial sectors interested in harnessing chemical science to answer fundamental questions in biology. The call for posters is now open, see http://www.maggichurchouseevents.co.uk/BMCS/index.htm Closing date for submissions is 21st February 2012.

THE MEDICINAL CHEMISTRY DIVISION OF THE ITALIAN CHEMICAL SOCIETY

The First Computationally Driven Drug Discovery (CDDD) Meeting
has held in L' Aquila.
On November 21-23, the auditorium of the Dompé Research Center in L'Aquila has hosted the first meeting on Computationally Driven Drug Discovery. The meeting has gathered together Italian computational chemists working in the broad field of drug discovery coming from both academia and industry. More than 160 scientists have attended and animated a very tight scientific program including more than 48 oral presentations, one poster session and two round tables. The event has allowed to bring together a very large, heterogenous but scientifically higly focused community, and has resulted in the definition of the state-of-the-art of the discipline in Italy.
For more information see www.cddd.it

EFMC Short Course

5th Short Course on Medicinal Chemistry

TARGET SELECTION THROUGH APPLICATION OF CHEMICAL AND SYSTEMS BIOLOGY

April 1-4, 2012

This intensive course is intended for scientists working in the field, and the presentations will be given by senior scientists from industry. The number of participants will be limited to 35, to favour in depth discussion.

Course Outline

In recent years drug discovery shifted from a traditional target-based approach towards phenotype and patient-based approaches. This course will discuss how systems biology contributes to a better understanding of human physiology and diseases (session I) and of the cellular biological systems behind (session II). This understanding is key for the discovery of novel drugs in order to address the right targets and biological mechanism. In addition experimental (and computational) approaches to target identification will be a topic (session III), which are key for de-convolution of the molecular target(s) of known drugs or of hits from phenotypic screens (e.g. chemical proteomics). The last session will then describe how 'omics data can be used to identify signatures of diseases (e.g. gene expression signature) and how these signatures can foster the understanding of a disease-phenotype. These disease signatures can be mapped to signatures of drugs for modern drug discovery. Each of the four sessions of the course will be introduced by an overview, followed by several case studies and some hands-on exercises using various modelling tools.

Course Organisers
Thomas Klabunde, *Sanofi-Aventis, DE*
Birgit Schoeberl, *Merrimack Pharma, USA*
Local Organiser
Henk Timmerman, *VU University Amsterdam, NL*

Deadline for preregistration
February 28, 2012

Venue
Castle "Oud Poelgeest", Oegstgeest
(near Leiden), The Netherlands
Airport: Schiphol, Amsterdam

Fee
€ 1375,00
Including accommodation, breakfast, coffee breaks, lunches and dinners during the days of the conference.

Contact
EFMC Administrative Secretariat
LD Organisation sprl
Scientific Conference Producers
Rue Michel de Ghelderode 33/2
1348 Louvain-la-Neuve, Belgium
Tel: +32 10 45 47 74 Fax: +32 10 45 97 19
Mail: administration@efmc.info
Web: www.efmc.info

EUROPEAN FEDERATION FOR MEDICINAL CHEMISTRY

THE EFMC PRIZE

FOR A YOUNG MEDICINAL CHEMIST IN ACADEMIA

To acknowledge and recognize an outstanding young medicinal chemist (≤35 years old) working in Academia within Europe.

The **Prize** is given annually and consists of a diploma, € 1.000 and an invitation to give a short presentation at an efmc symposium.
Two additional nominees will also be identified and acknowledged.

Applications should consist of:
- a one-page letter by the candidate including a short rationale for their application
- one page with his/her 5 most important publications
- a brief cv of the candidate
- abstract of potential oral presentation

THE EFMC PRIZE

FOR A YOUNG MEDICINAL CHEMIST IN INDUSTRY

To acknowledge and recognize an outstanding young medicinal chemist (≤35 years old) working in industry within Europe.

The **Prize** is given annually and consists of a diploma, € 1.000 and an invitation to give a short presentation at an efmc symposium. Two additional nominees will also be identified and acknowledged.

Nominations should be submitted by the candidate's supervisor and should consist of:
- a letter by the supervisor
- a brief cv of the candidate
- abstract of potential oral presentation

EFMC
European Federation for Medicinal Chemistry

Deadline for Nominations is January 31, 2012

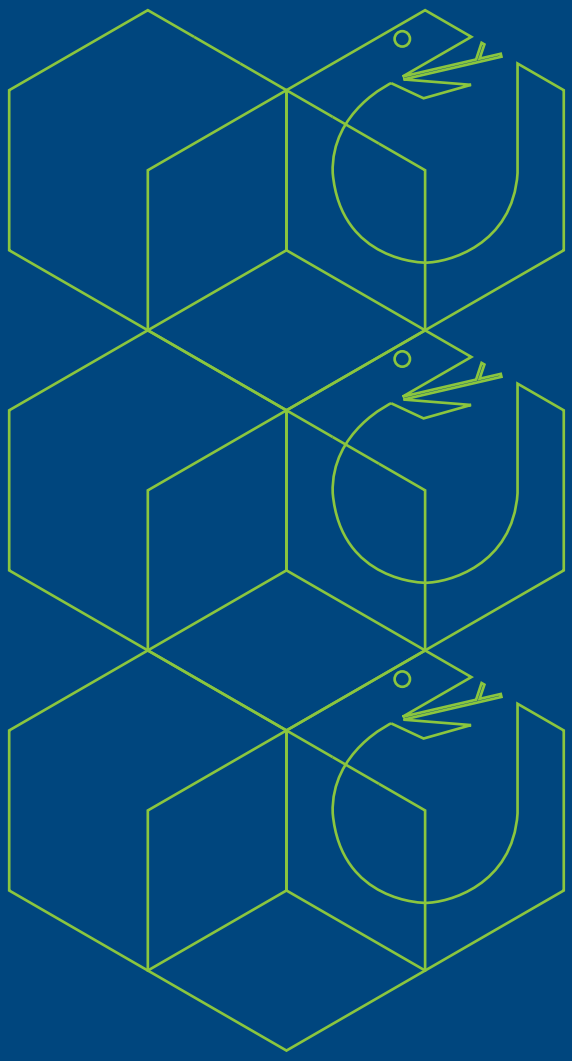
See www.efmc.info for full details.

EFMC 2012 AWARDS

Call for nominations

Deadline: january 31, 2012

The awards will be conferred on the occasion of the XXIInd EFMC International Symposium on Medicinal Chemistry (ISMC) to be held in Berlin, Germany, September 2-6, 2012



The Nauta Award for Pharmacochemistry

For the advancement of medicinal chemistry and the development of international organisational structures in Medicinal Chemistry. The Award will be given for outstanding achievements in the field of Medicinal Chemistry.

The UCB-Ehrlich Award for Excellence in Medicinal Chemistry

To acknowledge and recognize outstanding research in the field of Medicinal Chemistry in its broadest sense by a young scientist. This Award has been established with the support of UCB Pharma.

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

To encourage innovation and investigation in technological development related to drug discovery, this Award established with the support of Prous Institute will be given for the discovery, evaluation or use of new technologies.

Nominations for these Awards consist of a nomination letter, a brief CV, including a list of selected publications and two supporting letters. The nominations should be submitted to the Chairman of the Juries, Dr Hans Ulrich Stilz, President of EFMC, c\o EFMC Administrative Secretariat, Rue Michel de Ghelderode 33/2, 1348, Louvain-la-Neuve, Belgium FAX: +32 10 45 97 19 E-MAIL: awards@efmc.info

Please visit www.efmc.info for more information and Award regulations

EUROPEAN FEDERATION FOR MEDICINAL CHEMISTRY

EFMC | ISMC 2012

XXIInd International Symposium on Medicinal Chemistry

Berlin, Germany September 2-6, 2012

SESSIONS AND SESSION COORDINATORS

ANTIBODY-DRUG CONJUGATES FINALLY READY FOR PRIME TIME? (ACS SESSION I)
Gene Dubowchik (BMS, USA)

ANTI-INFECTIVES AND THE RESISTANCE PROBLEM
Maurizio Botta (University of Siena, IT)

CHALLENGES AND OPPORTUNITIES FOR DRUG METABOLISM IN DRUG DISCOVERY AND PRECLINICAL DRUG DEVELOPMENT (AFMC SESSION)
John Miners (Flinders Unuversity School or Medicine, AUS)

HOT TRENDS IN PROCESS CHEMISTRY
Vittorio Farina (Johnson and Johnson Pharmaceutical R&D, BE)

CHEMICAL BIOLOGY APPROACHES IN DRUG DISCOVERY
Craig Crews (Yale University, USA)

CHEMISTRY AND STEM-CELL RESEARCH SMALL MOLECULE MODULATION OF STEM CELLS FOR REGENERATIVE MEDICINES
Angela Russell (University of Oxford, UK)

DESIGNING BETTER DRUGS: LIGAND EFFICIENCY GUIDED OPTIMIZATION IN DRUG DISCOVERY (ACS SESSION II)
Kap-Sun Yeung (BMS, USA)

EMERGING DRUGS – CASE STUDIES OF RECENTLY DISCLOSED NEW MEDICINES
Rui Moreira (University of Lisbon, PT)

EPIGENETICS – AN AREA OFFERING FORMIDABLE CHALLENGES AND OPPORTUNITIES FOR MEDICINAL CHEMISTS
Dash Dhanak (GlaxoSmithKline, USA)

FIRST TIME DISCLOSURES
Katarzyna Kiec-Kononowicz (Jagiellonian University, PL)

DRUG SAFETY: WHAT TOXIC EFFECTS CAN BE REASONABLY PREDICTED?
Thomas Steger-Hartmann (Bayer Pharma, DE)

INTRACTABLE TARGETS: IS BIGGER BETTER?
Herbert Waldmann
(Max Planck Institute of Molecular Physiology, DE)

LATE BREAKING NEWS
Pascal George (Independent Scientific Expert and Adviser, FR)

METABOLIC DISORDERS
Peter Mohr (F. Hoffmann-La Roche, CH)

MOLECULAR THERAPIES FOR INFLAMMATORY AND AUTOIMMUNE DISEASES
Graham Warrellow (UCB, UK)

NEW DEVELOPMENTS IN CNS DRUG RESEARCH
Anabella Villalobos (Pfizer, USA)

FIGHTING CANCER : KINASES AND BEYOND
Carlos Garcia-Echeverria (Sanofi Aventis, FR)

NEW OPPORTUNITIES IN LEAD DISCOVERY
Gerhard Klebe (Philipps-University Marburg, DE)

NEW SMALL MOLECULE APPROACHES FOR NEGLECTED DISEASES
Jeremy Burrows (Medicines for Malaria Venture, CH)

NEXT GENERATION OF CARDIOVASCULAR DRUGS
Hanno Wild (Bayer Pharma, DE)

STRATEGIES TO TACKLE CHALLENGING TARGETS IN ONCOLOGY
Martin Missbach (Novartis, CH)

PREDICTIVE TOOLS, VIRTUAL SCREENING AND CHEMINFORMATICS
Andy Davis (AstraZeneca, SE)

RECENT HIGHLIGHTS IN MEDICINAL CHEMISTRY
Maria Luz Lopez-Rodriguez
(Complutense University of Madrid, ES)

SYNTHETIC CHEMISTRY: FROM NANO TO MACRO (EUCHEMS SESSION)
Peter Matyus (Semmelweis University, HU)

TARGETING PROTEIN-PROTEIN INTERACTIONS
Kristian Strömgaard (University of Copenhagen, DK)

THE IMPACT OF TRANSPORTERS IN DRUG DISCOVERY AND ORAL BIOAVAILABILITY ENHANCEMENT (EUFEPS SESSION)
Andreas Link (University of Greifswald, DE)

WHAT'S NEW IN GPCR RESEARCH?
Ad Ijzerman
(Leiden/Amsterdam Center for Drug Research, NL)

CONFIRMED PLENARY LECTURES

Ada YONATH
(Weizmann Institute, IL)
Youssef BENNANI
(Vertex Pharmaceuticals, USA)
Günther STOCK
(Health Capital Berlin, DE)

EFMC AWARD LECTURES

– The Nauta Award for Pharmacochemistry
– The UCB-Ehrlich Award for Excellence in Medicinal Chemistry
– The Prous Institute-Overton and Meyer Award for New Technologies in Drug Discovery

EFMC PRIZE LECTURES

– Prize for a Young Medicinal Chemist in Industry
– Prize for a Young Medicinal Chemist in Academia

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SYMPOSIUM SECRETARIAT

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Mail: secretariat@ismc2012.org
Web: www.ismc2012.org

EFMC European Federation for Medicinal Chemistry

DPhG Deutsche Pharmazeutische Gesellschaft e.V.

GDCh Gesellschaft Deutscher Chemiker