International Society of Heterocyclic Chemistry

2003 Newsletter

Message from the President

As the current President of the ISHC, I am writing to inform you of the developments of the past year, and to look ahead to 2004. The ISHC continues to evolve, but it is your enthusiasm and commitment that make for a successful Society. Please encourage your colleagues and graduate students to join us!

The ISHC on the World Wide Web

http://www.ishc-web.org

The ISHC's World Wide Web home page is fully integrated with the Society's operation. Newsletters, ISHC Congress links, and other information will be available in this way - please bookmark and use this service. E-mail is a good way to contact your President as well as the 2005 Congress Chairperson. Please send us your e-mail address along with any ideas and suggestions on any aspect of the operation of the ISHC by logging into the www home page. The ISHC is grateful to Al Padwa and Oliver Kappe for maintaining our Web page.

The 19th ISHC Congress in Fort Collins, Colorado, USA–August 10-15, 2003

The 19th ISHC Congress took place in Fort Collins, Colorado, USA on the campus of Colorado State University at the foot of the Rocky Mountains on August 10-15, 2003. The Congress Chair was Professor Robert M. Williams. Approximately 600 people attended the conference. This was an outstanding scientific meeting with a wide ranging program. I thank Professor Williams and his organizing committee for their efforts in making this Congress a resounding success.

The following distinguished scientists were Plenary Lecturers at the Congress:

Professor Cynthia Burrows USA
Professor Ben Feringa The Netherlands
Professor Fred Wudl USA
Professor Andrew G. Myers USA
Professor Antonio Echavarren Spain
Professor Erick Carriera Switzerland
Professor David MacMillan USA
Professor Reza Ghadiri USA
Professor Miwako Mori Japan
Professor Charles W. Rees U.K.
Professor Dale L. Boger USA
Professor Dieter Enders Germany

In addition the following Invited Lecturers participated:

Professor Raymond Funk U.S.A.
Professor Peter Seeberger U.S.A.
Professor Anthony Barrett U.K.
Professor Zhi-Tang Huang China
Professor Marissa Kozlowski U.S.A.
Professor Scott Miller U.S.A.
Professor Thorsten Bach Germany
Professor Thomas Livinghouse U.S.A.
Professor Oliver Reiser Germany
Professor Shuji Kanemasa Japan
Professor Michael Harmata U.S.A.
Professor Albert S. C. Chan Hong Kong
Professor Itaru Hamachi Japan
Professor Christopher Moody U.K.
Dr. Bruce Pearlman USA
Dr. Paul Reider USA
Dr. Jos Brands USA
Professor Binne Zwanenburg The Netherlands
Dr. Margaret Faul U.S.A.
Professor Gregory Fu U.S.A.
Professor Dieter Hoppe Germany
Professor David Gin U.S.A.
Professor Janusz Jurczak Poland
Dr. Yogesh Sanghvi U.S.A.
Professor Marc Snapper U.S.A.
Dr. John Josey U.S.A.
Professor Biao Jiang China
Dr. Joel Hawkins U.S.A.
Dr. John Macor U.S.A.
Professor Saverio Florio Italy
Professor Lixin Dai China
Professor Jan Bergman Sweden
Professor Oliver Kappe Austria
Professor Gilolamo Cirrincione Italy
Professor Randall Halcomb USA

2003 ISHC Awards

The two 2003 ISHC Awardees received their awards and delivered award lectures this past summer at the Congress in Fort Collins. For those of you who did not attend the Congress brief biographical sketches of the Awardees are included below:

Winner of the 2003 ISHC Senior Award in Heterocyclic Chemistry: Tohru Fukuyama (University of Tokyo, Japan)

Tohru Fukuyama was born in Anjo, Japan in 1948 and received his B.S. degree in 1971 from Nagoya University under the direction of Professors Toshio Goto and Yoshito Kishi. His moved to the U.S. when his mentor, Dr. Kishi, decided to move to Harvard University in 1974. Fukuyama received his Ph.D. in chemistry at Harvard University in 1977 and remained in Prof. Kishi's group as a postdoctoral fellow until the summer of 1978. During the eight years with Prof. Kishi as an undergraduate, graduate, and postdoctoral student, he was involved in a number of the total synthesis projects including tetrodotoxin, sporidesmins, dehydrogliotoxin, histrionicotoxins, gliotoxin, mitomycin C, and monensin. In 1978, he was appointed as Assistant Professor of Chemistry at Rice University in Houston. He was promoted to Associate Professor in 1982 and then to Full Professor in 1988. After seventeen years on the faculty at Rice University, he returned to Japan and joined the faculty of the University of Tokyo in 1995, where he is currently Professor of Pharmaceutical Sciences.

Fukuyama has mainly been involved in the total synthesis of complex natural products of biological and medicinal importance. He often chooses target molecules that require development of new concepts in synthetic design and/or new methodology for their total synthesis. In his first total synthesis of antibiotic 593A, for example, he developed a *p*-hydroxyphenyl protective group for β-lactams that could be deprotected rapidly by treatment with ceric ammonium nitrate (CAN). This was the first use of CAN for deprotection of an electron-rich aromatic group. He later applied the same concept to the protection of primary alcohols as their p-methoxyphenyl ethers. Fukuyama also discovered a facile and selective method for converting thiol esters into aldehydes with triethylsilane and palladium on carbon. He then succeeded in converting thiol esters to the corresponding ketones by treatment with alkylzinc iodides in the presence of a catalytic amount of a palladium catalyst. In 1994, Fukuyama introduced a novel synthetic method for indoles in which o-isocyanostyrene derivatives undergo a tin-mediated radical reaction to give 2-tributylstannylindoles. This versatile methodology is particularly suited for preparation of 3-monosubstituted and 2,3-disubstituted indoles, and he has demonstrated its utility in total syntheses of (±)-vincadifformine, (-)-tabersonine, and (-)-vindoline. More recently, he has introduced an even more versatile indole synthesis, utilizing a radical cyclization of readily available o-alkenyl thioanilides. Using this powerful methodology, Fukuyama has succeeded in the total synthesis of (+)-vinblastine. During the synthetic studies on indole alkaloids, he discovered that 2- and 4-nitrobenzenesulfonamides as well as 2,4-dinitrobenzenesulfonamides are particularly suited for converting primary amines to secondary amines. The methodology has gained great popularity in the synthetic community. While developing highly versatile synthetic methods, Fukuyama has completed total synthesis of a number of densely functionalized heterocyclic natural products, including (±)-antibiotic 593A, (±)-saframycin B, (±)-cyanocycline A, (±)-isomitomycin A, (±)-mitomycin C, (±)-quinocarcin, (+)-neothramycins A, B, (±)-saframycin A, (±)-renieramycin A, (±)-FR-900482, (+)-naphthyridinomycin, (–)-hapalindole G, (±)- and (+)-gelsemine, (±)-vincadifformine, (–)-tabersonine, (+)-K252a, (±)-catharanthine, HO 416b, Agel 489, (–)-vindoline, (–)-CP-263,114, lipogrammistin-A, (+)-vinblastine, and ecteinascidin 743.

In recognition of his contributions to the advancement of organic chemistry, he received an ACS Arthur C. Cope Scholar Award in 1993 and a Synthetic Organic Chemistry Award, Japan, in 2002.

While pursuing target-oriented total synthesis, Fukuyama also practices traditional Japanese archery, a hobby that he started when he was a high school student.

Winner of the 2001 ISHC Junior Katritzky Award for Heterocyclic Chemistry: Peter Wipf (University of Pittsburgh, USA)

Peter Wipf was born in 1959, in Aarau, Switzerland. He received his Chemistry Diploma in 1984 and his Ph.D. in 1987 from the University of Zürich under the direction of Heinz Heimgartner and then joined Robert E. Ireland at the University of Virginia as a Swiss NSF Postdoctoral Fellow. In 1990, he became an Assistant Professor at the University of Pittsburgh, was promoted to Associate Professor in 1995 and Professor in 1997. Since 2001, he is also Professor of Pharmaceutical Sciences at the School of Pharmacy at Pittsburgh. Peter Wipf's research interests focus on the total synthesis of natural products, heterocyclic, organometallic and combinatorial chemistry. He has founded the Combinatorial Chemistry Center at Pittsburgh that is involved in many collaborative projects in chemical biology. He is the Director of the NIGMS-supported University of Pittsburgh Center for Chemical Methodologies and Library Development.

Peter Wipf's research interests focus on the total synthesis of natural products, heterocyclic, organometallic, and combinatorial chemistry. This work has been described in about 180 publications and patents that range from transition metal to peptide chemistry. In addition to novel applications of zirconocenes in organic synthesis, the new methods developed in his laboratories have largely focused on nitrogen-, sulfur-, and oxygen-containing heterocycles and alkaloids. He has completed >20 total syntheses of complex heterocyclic natural products, many of which are of fundamentally new structural types. In his early research at Pittsburgh, Wipf developed new protocols for the preparation of oxazolines, oxazoles, thiazolines, thiazoles and furans that are now the methods of choice applied by many researchers in industry and academe. These methods were showcased in total syntheses of westiellamide, thiangazole, lissoclinamide 7, and trunkamide A, among others. As a benchmark in combinatorial chemistry, his group was first in developing a solid phase as well as a fluorous phase combinatorial chemistry protocol for the venerable Biginelli reaction, and applications of this method and other heterocyclic chemistry have led to the most potent and selective dual-specificity protein phosphatase inhibitors published to date.

Wipf is also widely recognized for applying arene oxidation strategies for key strategic conversions in total syntheses of naphthalenediol spiroketal natural products, including nisamycin, diepoxin s, and preussomerin CP1. A lynchpin of his unified synthetic approach toward Stemona alkaloids is the use of a bicyclic oxidation product of tyrosine. This scaffold has already been employed by his group for total syntheses of the natural products aranorosin, stenine, and aeruginosin. The first total synthesis of the pentacyclic alkaloid tuberostemonine was recently accomplished from a hydroindole intermediate which is readily obtained in 3 steps from Cbz-L-tyrosine. The single stereocenter of the amino acid precursor was relayed into 9 of the 10 stereogenic carbons of the target molecule.

Among Wipf's most notable achievements are the total syntheses of hennoxazole A, curacin A, pitiamide A, and bistramide C. Hennoxazole A impresses due to the unconventional retrosynthetic approach and the innovative methods for structure elucidation, and the curacin A synthesis extensively showcases new methodologies both in the organometallic and the heterocyclic field that were first developed in his lab. His computational as well as synthetic studies on pitiamide A (in combination with his prior studies on hennoxazole A) established the first reliable and quantitative prediction of the relative and absolute configuration of natural products based on specific rotation. This work is of fundamental significance both in the development of the theory of optical rotation and in practical applications for structure assignments. Recently, the stereochemistry of two indole derivatives has been assigned by his method, and he was able to solve the stereochemical puzzle presented by the marine polyether bistramide C by the combined application of total synthesis, spectroscopy, and ORD.

Wipf has been named an NSF Presidential Faculty Fellow and a Lilly Grantee. He has received a Camille Dreyfus Teacher-Scholar Award, an Alfred P. Sloan Foundation Fellowship, an American Cancer Society Junior Faculty Award, the ETH Ruzicka Award, an American Cyanamid Young Faculty Award, the Merck Young Investigator Award, and the Zeneca Award for Excellence in Chemistry. Most recently, he has received the Chancellor's Distinguished Research Award from the University of Pittsburgh, an Arthur C. Cope Scholar Award and the Akron Section ACS Award from the American Chemical Society, and the Novartis Research Award. He is a co-editor of Organic Reactions and Organic Syntheses, The Chemistry of Heterocyclic Compounds, and the Electronic Encyclopedia of Reagents for Organic Synthesis. He is also an Associate Editor of Organic and Biomolecular Chemistry, and a member of several industrial Scientific Advisory Boards. In 2000, he was a JSPS Fellow, and in November 2001, he held a Visiting Professorship at the University of Paris-Sud in Orsay. In 2002, he was elected a Fellow of the American Association for the Advancement of Science, and he also served as Chair of the Gordon Research Conference on Stereochemistry. He has trained over eighty graduate students and postdoctoral fellows, and thirty undergraduates have joined his lab for research internships at Pittsburgh.

The 20th ISHC Congress in Palermo (Sicily), Italy–July 31-August 5, 2005

The 20th ISHC Congress will take place in Palermo (Sicily), Italy on July 31-August 5, 2005. The Congress Chair will be Professor Girolamo Cirrincione. The planning for this Congress is well underway, and Professor Cirrincione will be happy to receive suggestions for speakers.

Contact information is:
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Progress in Heterocyclic Chemistry

Members of the Society receive gratis individual copies of PHC on an annual basis. This important series is now well established, and Volume 15 has recently been published. The Society is most grateful to the editors, Gordon Gribble and John Joule, and their team of authors. We urge you to be certain that your chemistry library is subscribing to Progress in Heterocyclic Chemistry so that others in your institution can benefit from this excellent yearly review of the heterocyclic chemistry literature.
For your information, the Editorial Advisory Board for PHC for Volume 15 is shown below. I would welcome suggestions for future members of the Board, or for specific research topics that might be considered for inclusion in future volumes.

Y. Yamamoto, Tohoku University, Japan
(Chairman)
K. Fuji, Kyoto University, Japan
T. C. Gallagher, University of Bristol, UK
A. D. Hamilton, Yale University, USA
M. Ihara, Tohoku University, Japan
S. M. Weinreb, Pennsylvania State University, USA
R. Prager, Flinders University, Australia
R. R. Schmidt, University of Konstanz, Germany
A. Dondoni, University of Ferrara, Italy
G. R. Newkome, University of South Florida, USA
D. P. Curran, University of Pittsburgh, USA
L. Tietze, Georg-August University, Germany

Fellows of the Society

The Constitution of the Society indicates that up to two Fellows can be appointed at each ISHC Congress. Professor Albert Padwa was elected a Fellow of the Society and received a plaque at the 2003 Fort Collins Congress. Previously appointed Fellows are Raymond Castle, Alan Katritzky, Thomas Kappe, Henk van der Plas and Charles W. Rees. I would like to remind you that any member can make nominations for new Fellows of the Society. The nominee must have been a member of the Society for at least 5 years, and must have made outstanding contributions to the Society and/or to the field of heterocyclic chemistry. Nominations can be sent to the President at any time. Please provide both a letter of nomination and a copy of the nominee's curriculum vitae.

Elections
Following the recent elections, the current (from January 1, 2004) members of the Executive Committee of the ISHC:

President:	Marco Ciufolini
Vice-President:	Girolamo Cirrincione
President Elect:	Margaret Brimble
Secretary:	Hans Neunhoeffer
Treasurer:	Stan Lang
Publicity Chair:	C. Oliver Kappe
Past President:	Steven Weinreb

The newly elected members of the Advisory Committee of the ISHC:

Andrew.Hamilton, Dieter Hoppe, Johannes Froehlich, Martin Banwell, Peter Wipf, and Timothy Gallagher

As outgoing President, I wish to express thanks on behalf of the Society and all its members to those colleagues who have completed their term of office on either the Executive or Advisory Committees. The Society is grateful to them for their efforts on our behalf.

Society Finances

Although the Society is presently in reasonably good financial shape; a significant increase by Elsevier in the cost of Progress in Heterocyclic Chemistry for the ISHC membership has forced the Executive Committee to impose a dues increase in 2003 for the first time in several years. The 2004 ISHC membership dues will be the same as last year at US $45.00. In addition, student membership is US $20.00. We will try to hold dues at this level for as long as possible.

Membership

Stan Lang, the Society's treasurer, reported that the membership stands at 770 in 2003. Although this represents a relatively large number of members, there has been a decline in numbers in recent years. Considering the tremendous amount of heterocyclic chemistry being done worldwide, we believe the Society could have even more members, and it is important that we try to increase our membership. Therefore the Society must continue to actively recruit new members worldwide in the years to come, and you can help by trying to convince your colleagues that membership in the ISHC has important advantages, several of which are outlined below. Membership would be particularly advantageous for those colleagues planning to attend the Palermo Congress in 2005. Also, note that a graduate student membership is relatively inexpensive. Please encourage your students to join since this is a good way to recruit the next generation of heterocyclic chemists into the Society.

Some Benefits Associated with Membership in the ISHC

1. Participation in the Congress at significantly reduced registration fee rates.
2. Obtain Congress abstracts of papers at no cost even if not in attendance.
3. Receive Lectures in Heterocyclic Chemistry (complete plenary lectures of the biannual ICHC Congresses).
4. Subscribe to Heterocycles at 20% discount.
5. Receive 25% discount from Elsevier on many different books.
6. Free annual copy of Progress in Heterocyclic Chemistry (see above)

Membership Dues

Kindly notify us of any address changes or corrections when you submit your 2004 dues to our treasurer, Stan Lang, who also maintains the membership roster. Please also provide him with your E-mail address if you have not already done so. Membership information and methods of payment can be found on the ISHC web site: http://www.ishc-web.org. Let me also repeat some payment details:

The annual dues are US $45.00 (active member) and US $20.00 (certified predoctoral student member). Multiple year membership subscriptions are encouraged. Please forward your dues by credit card, check, or money order in US dollars made payable to: The International Society of Heterocyclic Chemistry, and forward to Stan Lang. Please note that Stan has recently changed addresses so please utilize the address listed below. You can also contact Dr. Lang by email for direct wire transfer or credit card use or for additional information.

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Alternatively, send the equivalent (only in Euros) to Dr. Hans Neunhoeffer, Secretary ISHC, Institute of Organic Chemistry, Darmstadt University of Technology, Petersenstrasse 22, D-64287 Darmstadt, Germany [fax number 49-6151-163278], or transfer directly to Dr. Neunhoeffer, ISHC, Volksbank Mühltal, Account No. 20-22-044, BLZ 508 643 22.

For long-standing members of 10 years of continuous membership, there is the opportunity to become a Life Member of the Society: the dues for life membership are 10-times the current annual dues. An individual must apply for this status and the application must be approved by the Executive Committee. This honor is generally reserved for

Membership Dues continued...

individuals who have made key or long standing contributions to the Society.

Many hundreds of heterocyclic chemists are doing active research in Russia, but due to currency exchange/transfer problems it is not easy for them to join the Society. The Society has therefore decided that Russian members may pay their dues in Rubles, equivalent to US $22.50 (active member) or US $ 10.00 (certified predoctoral student member), and should be sent directly to Professor

Eugene Babaev, Chemistry Department, Moscow State University, Moscow 119899. Since the dues mentioned above are only half of the usual dues of the Society, members paying this way will not receive the free annual copy of Progress in Heterocyclic Chemistry, but will be entitled to the other benefits associated with membership (see above items 1-5). Of course, Russian chemists who pay full membership dues either in Rubles or in US dollars will receive all the benefit options (see items 1-6). Payment details (in Russian) are given on the webpage http://org.chem.msu.su/~babaev/ishc/ and you can send your requests for details to babaev@org.chem.msu.su. Those who wish to pay the ordinary dues in US dollars directly to the Treasurer of the Society should send their dues to Stan Lang (see above).

Finally, I wish you all a happy holiday season, and send you my very best wishes.
Steve Weinreb
President, ISHC
University Park, Pennsylvania
November, 2003