International Society of Heterocyclic Chemistry

2001 Newsletter

Message from the President

As the President of the ISHC, I write to inform you of the developments that occurred during this past year, and to look ahead to 2002. The ISHC continues to evolve, but it is the enthusiasm and commitment of members that make for a successful Society. Please encourage your colleagues to join us!

The ISHC on the World Wide Web

http://www.ishc-web.org

The ISHC's World Wide Web home page has been fully integrated with the Society's operation. Newsletters, meeting registration forms, and other information will be available in this way - please use this service. E-mail is a good way to contact your President as well as the 2003 Congress Chairperson. Please send us your E-mail address along with any of your ideas and suggestions on any aspect of the operation of the ISHC by logging into the www home page. Once again the ISHC is grateful to Al Padwa for maintaining our Web page.

The 18th ICHC in Yokohama, Japan- July 29-August 3, 2001

The major event of the year was the 18th International Congress of Heterocyclic Chemistry held in Yokohama. It was an extremely successful event with some 1000 scientists (plus about 200 accompanying persons) registered for the meeting. The organization, masterminded by the Congress Chairman Masakatsu Shibasaki and Co-chairman Tohru Fukuyama, was excellent, and the scientific program was outstanding.

In addition to the two Award Lecturers (see below), the following distinguished scientists presented plenary lectures:

Barry M. Trost (USA)
Kaoru Fuji (Japan)
Alois Furstner (Germany)
Shun-Ichi Murahashi (Japan)
Jonathan Ellman (USA)
Lanny S. Liebeskind (USA)
Takayuki Shioiri (Japan)
Ronald Grigg (UK)
Guy Queguiner (France)
Yoshito Kishi (USA)

There were also some 24 invited lectures, almost 130 oral presentations, and over 500 posters-a true feast of heterocyclic chemistry.

The ISHC is extremely grateful to Masakatsu Shibasaki and his colleagues for organizing such a fantastic Congress. However, for those of you unable to attend, all is not lost: we have still some extra abstract books. If you would like one, please contact the Treasurer of the Society, Stan Lang, or the Congress Chairman, Masakatsu Shibasaki.

ISHC Awards

Owing to the late call for nominations, it was not possible to announce the winners of the 2001 ISHC Senior Award in Heterocyclic Chemistry and the ISHC Junior Katritzky Award for Heterocyclic Chemistry in last year's newsletter. It was decided at the general membership meeting held in Yokohama that we should move the selection process forward so as to have time to better publicize these Awards and to make an earlier announcement of the Awardees selected. Therefore, we intend to move the nomination and selection processes to an earlier date, and I expect the 2003 Awardees will be announced in the 2002 newsletter. The new Publicity Chair, Oliver Kappe, is arranging to widely advertise the call for nominations for these awards in various chemistry journals this coming spring. We strongly encourage all ISHC members to nominate appropriate individuals for either or both awards.

The two 2001 Awardees received their awards and delivered their Award Lectures at the Congress in Yokohama. For the benefit of those of you who were not in Yokohama, brief biographical details of the Awardees are included below.

Winner of the 2001 ISHC Senior Award in Heterocyclic Chemistry:
Victor A. Snieckus (Queen's University, Canada)

Victor Snieckus was born in Kaunas, Lithuania in 1937 and spent his childhood in Germany during World War II. He received the B.Sc. degree at the University of Alberta (1959) where he was strongly influenced by R. Sandin. After graduate work at the University of California, Berkeley (M.Sc. with D.S. Noyce) and Oregon (Ph.D. with V. Boekelheide), he returned to Canada for a postdoctoral year with O.E. Edwards at NSERC, and then joined the faculty at the University of Waterloo in 1966. He held the Monsanto/NRC Industrial Research Chair until 1998 when he accepted the Bader Chair in Organic Chemistry at Queen's University.

A major thrust of the Snieckus group involves the development of new DoM strategies and tactics, referred to as "die neue Aromatische Chemie" (Seebach), for the regiospecific and controlled construction of polysubstituted aromatics and heteroaromatics. Vic's group enjoys the challenge to discover new Directed Metalation Groups (DMGs) and to measure their limitations with respect to established processes. Current active areas include the discovery of new DMGs, the development of new industrially-convenient conditions for metalation, and the systematic investigation of the scope of combined use of DMGs to obtain specific sequences of synthetic value, including "walk-around-the-ring" procedures. A continuing general aim is to demonstrate the synthetic utility of heterocyclic ring construction and annelation. The notion of enhanced non-thermodynamic acidity due to base-functional group coordination (Complex Induced Proximity Effect: CIPE) evolved in the Snieckus group a decade after the anionic ortho-Fries rearrangement in context of biaryl amide and biaryl O-carbamate substrates which allowed regiospecific construction of fluorenones and dibenzo[b,d]pyranones respectively. By rational extension to Ar-X-Ar systems, this concept was applied to the construction of S, O, P - and N tricyclics (xanthones, thiaxanthones, dibenzophosphorinones and acridones), including interesting sequential reactions, which represent anionic equivalents of the Friedel-Crafts and DreM ortho-Fries reactions. In work aimed to establish metalated vinyl carbamates as useful synthons , a new carbolithiation - α-alkylation sequence has been developed. Current efforts in Vic's group are

focused on the construction of branched alkyl chain aromatics and, via the [1,2]-Wittig rearrangement with chiral induction, the synthesis of phenyl acetamides related to ibuprofen and naproxen. The magic ligand (-)-sparteine has also also been used in enatioselective lateral metalation of ortho - ethyl aryl O-carbamates, a discovery which is opening synthetic doors to aromatics with chiral side chains, organic semiconductors, and liquid crystal applications.

Honors and Awards
Alfred Bader Award in Organic Chemistry (1993)
Fellow, Royal Society of Chemistry (1993)
Humboldt Research Award (Humboldt-Forschungspreis) (1996)
R.U. Lemieux Award for Organic Chemistry (1997)
Fellow, Lithuanian Academy of Sciences (Lietuvos Mokslo Akademija) (1999)
Killam Research Fellowship (2000-2001)
ACS Arthur C. Cope Scholar Award (2001)
Japan Society for the Promotion of Science (JSPS) Invitational Fellowship for Research (2001)

Editorships and Editorial Advisory Boards

General Organic Editor, Canadian Journal of Chemistry (1988-)
Regional Editor for the American Continents, SYNLETT (1990-)
Editorial Board Member, Organic Process Research and Development (2000)
Editorial Board Member, Advanced Synthesis and Catalysis (2000)
Editorial Advisory Board, Progress in Heterocyclic Chemistry (1988-95)

Professional Service Includes
Chairman, International Conference in Heterocyclic Chemistry (Waterloo, 1985)
Chairman, Organic Division, ACS (1989-90)
President/Executive Committee, ISHC (1990-92)

Lectures: 110 Plenary and over 363 Invited Lectures.

Industrial Interaction: Consultantships; lead compound for Monsanto fungicide against the TAKE-ALL disease, commercialized in 1999, originated from the Snieckus laboratories.

Teaching: Snieckus delights in undergraduate and graduate teaching and interaction. Since 1990, he has also regularly taught short courses on Directed ortho Metalation (DoM), Heteroaromatic Directed ortho Metalation (HetDoM), and Cross-Coupling Reactions to medicinal and process chemists in North America and Europe.

When not in the Lab, he enjoys hockey with the group, jazz and history of chemistry in inverse order, as he gets older.

Winner of the 2001 ISHC Junior Katritzky Award for Heterocyclic Chemistry:
William H. Pearson (University of Michigan, USA)

William Pearson was born in Raleigh, North Carolina in September of 1956. After undergraduate studies at the University of North Carolina at Chapel Hill, he received his Ph.D. in chemistry in 1982 from the University of Wisconsin at Madison under the direction of Barry Trost, where he devised a method for the amination of carbanions and used it to synthesize the core structures of streptovaricin D and lysergic acid. He then moved to Yale University, where he was a National Institutes of Health postdoctoral fellow in the laboratories of Samuel Danishefsky from 1982 to 1984. His research there involved the cyclocondensation of electron-rich dienes with aldehydes, resulting in the synthesis of spiroketals and the pheromone of the common house mouse, as well as the first total synthesis of KDO. In 1984, he was appointed as an assistant professor in the

Department of Chemistry at the University of Michigan in Ann Arbor, where he has been a full professor since 1996.

Will Pearson's research program has focused on the development of new basic synthetic methods and their application to the synthesis of biologically interesting molecules, both known and unknown. The new methods developed in his laboratories have largely targeted saturated nitrogen-containing heterocycles, especially alkaloids.

A theme that has run through his program since beginning his independent career has been the use of azide chemistry to synthesize nitrogen heterocycles. In his early work at Michigan, Pearson developed a one-pot nitrene-diene cycloaddition equivalent for the simultaneous formation of both rings of fused-bicyclic 3-pyrrolines, commonly found in pyrrolizidine and indolizidine alkaloids. This method employed the intramolecular 1,3-dipolar cycloaddition of azides with electron-rich 1,3-dienes, and was used in approaches to the alkaloids gephyrotoxin, castanospermine, heliotridine, and triazole analogs of certain antitumor alkaloids. As an outgrowth of this work, he developed a one-pot synthesis of bicyclic nitrogen-containing ring systems involving the intramolecular dipolar cycloaddition of azides onto alkenes bearing electrophilic groups at a remote position. This process was used for the total synthesis of alkaloids such as (-)-swainsonine, gamma-lycorane, tylophorine, and crinane. As a complement to the azide cycloaddition chemistry, Pearson also developed an approach to alkaloids using the azide group as a masked primary amine. Reductive double cyclizations of azides were used for the preparation of a variety of biologically important alkaloids such as (-)-slaframine (-)-swainsonine, and (+)-australine. During Pearson's studies on the use of azides in cycloadditions and as latent amines, he discovered a ground-breaking new use for azides, namely the intra- and intermolecular Schmidt reaction of aliphatic azides with carbocations. Application of this chemistry to the synthesis of gephyrotoxin, novel dopaminergic agents, and a diverse array of polycyclic nitrogen heterocycles were reported.

As an outgrowth of his interest in polyhydroxylated alkaloids such as castanospermine and swainsonine, Pearson has been very active in the search for more potent and selective inhibitors of alpha-mannosidase II, a late-stage glycoprotein processing inhibitor that is necessary for the expression of tumor-associated antigens on the surface of cancer cells. Using various manifestations of his azide chemistry, he has prepared a variety of non-natural analogs of swainsonine, including compounds with alternative ring sizes and materials that incorporate novel substituents. Compounds with exciting biological activity have been uncovered in collaborations with molecular biologists and microbiologists. Several of Pearson's compounds are being examined as candidates for cancer chemotherapy.

Pearson is perhaps best known for his investigations into the use of 2-azaallyl anions and azomethine ylides in [3+2] cycloadditions. Applications of these methods to total syntheses of the alkaloids crinine, amabiline, augustamine, coccinine, epilepadiformines, indolizidine 239CD, and most recently, lapidilectine B have been accomplished.

Professor Pearson's work has been described in some 85 publications and patents, and has been recognized with several awards: the Camille and Henry Dreyfus Foundation Award for Newly Appointed Faculty in Chemistry, a Lilly Granteeship, and a Faculty Achievement Award from the University of Michigan. He has trained over thirty graduate students and postdoctoral fellows.

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

It was announced in Yokohama that the 19th ISHC Congress will take place in Fort Collins, Colorado, USA on the campus of Colorado State University on August 10-15, 2003. The Congress Chair (and new Vice-President of the Society) is Professor Robert M. Williams. All members should feel free to suggest names for Plenary speakers for the Congress. Please send suggestions directly to Professor Williams by the end of December, 2001. Correspondence should be sent to:

Professor Robert M. Williams
19th ICHC
Colorado State University
Fort Collins, Colorado 80523
USA
E-mail: rmw@chem.colostate.edu

Fellows of the Society

The Constitution of the Society indicates that up to two Fellows can be appointed at each Congress. Professors Henk van der Plas and Charles W. Rees were both elected Fellows of the Society and received plaques at the 2001 Yokohama Congress. 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, but for Fellows to be elected in 2003, *the closing date* is 30 June 2002.

Elections

Following the recent elections, the current (from January 1, 2002) members of the **Executive Committee of the ISHC:**

President: Steven M. Weinreb
Vice-President: Robert M. Williams
President Elect: Alessandro Dondoni
Secretary: Hans Neunhoeffer
Treasurer: Stan Lang
Publicity Chair: C. Oliver Kappe
Past President: Yoshinori Yamamoto

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

Dennis P. Curran, Tohru Fukuyama, Andreas Pfaltz, Margaret Brimble, Shuji Kanemasa, Marco Ciufolini, and James M. Cook.

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.

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 12 was published in October 2000 and

Volume 13 has been just published. The Society is most grateful to the editors, Gordon Gribble and Tom Gilchrist, and their team of authors. Professor Gilchrist will leave the series after publishing PHC 14 and I thank him on behalf of the Society for his fine editorial work on PHC during the past several years. He will be replaced by Professor John Joule of the University of Manchester. Therefore, PHC Volume 15 will be edited by Gordon Gribble and John Joule. 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 12 is shown below. The new chairman, Professor Yamamoto, would welcome suggestions for future members of the Board or for specific research topic that might be considered for inclusion in a future volume.

Society Finances

At the 2001 Congress general membership meeting it was reported by the Treasurer that the Society is in good financial shape; hence your Executive Committee was able once again to hold the annual dues at the same level as last year (see below). Since it is not the purpose of the Society to hold large reserves of funds, we therefore we would be interested in hearing of imaginative new ideas for how we might best make use of some funds to promote the cause of heterocyclic chemistry.

Membership

Stan Lang, the Society's treasurer, reported that the membership stands at 830 in 2001. Although this represents a relatively large number of members, considering the tremendous amount of heterocyclic chemistry being done worldwide, the Society should have even more members. I thank our publicity chairperson and regional representatives for all of their hard work this past year. These officials will continue to recruit new members worldwide in the year to come. You can help by trying to convince your colleagues that membership in the ISHC has important advantages, several of which are outlined below. You should also note the decision by the Executive Committee to hold dues at the same level. for at least the next three years.

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

Should you have an address problem, the opportunity to rectify this is in connection with paying the 2002 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 obtained on the ISHC web site: http://www.ishc-web.org. Let me also repeat some payment details.

The annual dues are US $35.00 (active member) and US $15.00 (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.

Stan Lang, PhD.
Treasurer ISHC
Vice President, Chemistry
Elitra Pharmaceuticals
3510 Dunhill Street
San Diego CA 92121
Direct: (858) 410-3055
Fax: (858) 410-3090
Email: slang@elitra.com

Alternatively, send the equivalent (*only* in DMarks or the new Euro) 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 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 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 $17.50 (active member) or US $ 7.50 (certified predoctoral student member), and should be sent directly to

Russian chemists who pay full membership dues either in Rubles or in US $ 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 $ directly to the Treasurer of the Society should send their dues directly to Stan Lang (see above).

Finally

I wish you all a happy holiday season, and send you my very best wishes.

Yoshinori Yamamoto

President ISHC

Sendai, November 6, 2001.