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FIFTH BLUE DANUBE SYMPOSIUM ON HETEROCYCLIC CHEMISTRY

Starting in 1991, the Blue Danube Symposia on Heterocyclic Chemistry have been held in Austria, Hungary, Slovakia, and Czechia by turns. The Fifth Symposium took place in the spa borough of Casta-Papiernicka near Bratislava (June 14-17, 1995). Approximately 150 persons from 20 countries of Europe, Asia, and North America took part in its work. Owing to the relatively small number of participants and careful preparation (Prof. L. Fisera from Slovak Technical University, Chairman of Scientific and Organizing Committees), the Symposium, with 16 plenary lectures, 13 oral contributions, and ca. 80 posters, was carried out without division on sections.

The Symposium allowed its participants to become familiar with a number of novel and interesting investigations in different fields of heterocyclic chemistry, renew previous and bind new scientific contacts. It should be noted that many representatives of leading world scientific centers were present among the participants, and this to a great extent caused a very high level of most reports, especially that of plenary lectures. The next Symposium will be held in 1996 in Brno (Czech Republic).

Here we will only consider plenary lectures and oral communications since it is impossible to follow all presented reports. It should be mentioned that readers of this issue have a good opportunity to acquaint themselves with a considerable part of these lectures and communications as well as with several posters given by the authors to the Editorial Board.

The topics of many reports were not purely heterocyclic but concerned very important general problems of organic chemistry which were considered on examples of heterocyclic compounds. *J. Sauer* (Universität Regensburg, Germany) analyzed in detail the structure – reactivity relation for [4+2]cycloaddition taking into account first of all the effect of substituents in diene and in dienophile on the electronic character of the process ("normal," "neutral," and "inverse" Diels – Alder reactions); solvent effects on reaction rates were also considered. *F. Toda* (Ehime University, Matsuyama, Japan) presented extremely interesting and peculiar data on asymmetric synthesis in the solid state; the author succeeded in highly regio- and enantioselective syntheses of heterocycles, e.g., in [2+2]cycloaddition of N-allyl-3-oxo-1-cyclohexenecarboxamides using UV irradiation of achiral starting compounds as inclusion complexes with chiral host compounds. The lecture of *L. Ghosez* (Catholic University Louvain, Belgium) concerned the reactivity of azadienes in syntheses of nitrogen-containing heterocycles. *V. Snieckus* (University of Waterloo, Canada) shed light on the use of directed metallation of an aromatic ring and aliphatic substituent for the synthesis of various compounds, in particular for remote Fries rearrangement leading to [*c*]-annelated coumarines, for the synthesis of xantones, thioxantones, and dibenzo[*b,e*]phosphorinones, as well as for the sparteine-induced enantioselective metallation of the α-CH_2 group of *o*-ethyl substituent in O-(*o*-ethylaryl)-N,N-diethylcarbamates.

A number of reports concerned syntheses and transformations of various heterocycles including natural compounds. The lecture of *W. Oppolzer* (Universite de Geneve, Switzerland) was devoted to diastereo- and enantioselective syntheses of heterocyclic natural products based on thermal cyclization of 4-alkenylhydroxylamines proceeding as Cope retro-elimination and leading to the formation of pyrrolidine ring. Using this reaction, the author and his collaborators accomplished a total synthesis of indolizidine alkaloid (+)-trianthine. Application of 1,2-oxazines in organic synthesis was considered by *H.-U. Reissig* (Technische Universität Dresden, Germany) who presented data on Lewis acid-promoted reactions with nucleophiles proceeding through azapyrilium ions and leading to novel 6-substituted derivatives; addition reactions at double C=C bonds were also considered which resulted particularly in the formation of dihydroxylated products being valuable intermediates in the synthesis (enantioselective as well) of "oxazine sugars," polyhydroxylated pyrrolidines and other potentially bioactive compounds. The lecture of *V. Jäger* (Universität Stuttgart, Germany) concerned methods for syntheses of heterocycles from carbohydrate derivatives using electrophilic and nucleophilic heterocyclization of enoses, 1,3-dipolar cycloaddition reactions with participation of enose-based nitrile oxides and nitrones as well as Pd(II)-catalyzed oxy- and amidocarbonylation leading to bicyclic lactones and lactams, dipeptides and nucleoside analogs. *L. M. Harwood* et al. (University of Oxford, Pfizer Central Research and Zeneca Pharmaceuticals, U.K.) covered a problem of chirality transfer in morpholinone and dehydromorpholine

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systems; the paper by *A. Anslow, G. G. Cox*, and *L. M. Harwood* presenting the latest data of the authors dealing with the above-mentioned problem is published in this issue. Data on syntheses and transformations of annelated triazoles with bridgehead nitrogen atom, 1,2,4-triazolo[1,5-*a*]pyridines, 1,2,4-triazolo[1,5-*a*]- and 1,2,4-triazolo[1,5-*b*]isoquinolines as well as corresponding triazolium salts, were presented in the plenary lecture of *G. Hajos* et al. (Central Research Institute for Chemistry, Hungarian Academy of Sciences, Budapest, Hungary) which is published in this issue. The lecture of *S. Gronowitz* (Chemical Center, Lund University, Sweden) was devoted to syntheses and reactions of angular thienonaphthyridines; Pd(0)-catalyzed cross-coupling reactions of organometallic thiophene and pyridine derivatives, *o*-formylhetareneboronic acids or *o*-formylhetarylstannanes, with *o*-aminohetarene halides were used in syntheses of numerous products annelated at the [*b*] or [*c*] edge of thiophene ring. Novel reagents for annelation of 1,3-diazines, i.e., enamines with heteroatomic substituents at an sp^2-hybridized carbon atom, were considered by *F. Sauter* and *J. Frölich* (Technical University Vienna, Austria). *Ch. A. Ramsden* and *M. J. Humphries* (Keele University, U.K.) in their lecture published in this issue presented data on syntheses of 5-aminoimidazoles which until recently were regarded as difficultly accessible compounds as well as on the role of some natural products of this type in biosyntheses of purines and thiamine.

Topics of several communications were tightly bound with problems of biochemistry and molecular biology. In the lecture of *D. Bellus* (Corporate Research Units, Ciba-Geigy AG, Basel, Switzerland) synthetic chemistry of a new class of potential drugs, so-called antisense oligonucleotides, was covered; methods for modification and replacement of pyrimidine and purine bases, of phosphodiester bridge and furanose ring which allow the formation of specific proteins related to a definite disease to be blocked on the RNA level as well as syntheses of acyclic DNA analogs and oligonucleotide conjugates with chemically active molecules were considered. *A. Holy* (Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic, Praha, Czech Republic) presented data on the dependency between structure and biological activity of acyclic nucleotide analogs, first of all those including as structural fragments a nucleic base connected with a two-carbon chain bearing phosphonomethoxy group and differing in the nature of β-substituent (H, OH, F, CH_3). New inhibitors of folate-dependent enzymes, i.e., 5,10-dideaza-5,6,7,8-tetrahydrofolic acid and its purine analog, and their antitumor activity were considered by *E. C. Taylor* (Princeton University, USA), whose lecture is also published in this issue.

A. Lawson (Beilstein Information, Frankfurt, Germany) devoted his lecture to recent perspectives of organization of scientific information in organic chemistry and, particularly, in heterocyclic chemistry using existing information nets and on-line personal computers.

Oral communications concerned, as a rule, more particular problems; most of them were devoted to the syntheses and reactivities of various heterocycles.

An unusual rearrangement of substituted 4-(4-oxoazetidin-2-yl)thiazol-2-one leading to a fused bicyclic system was presented in the communication of *K. Lempert* et al. (Technical University and Central Research Institute for Chemistry, Hungarian Academy of Sciences, Budapest, Hungary) which is published in this issue. *A. Krutosikova* (Slovak Technical University, Bratislava, Slovakia) covered methods for syntheses of furo[3,2-*c*]pyrimidines; her communication is also published in this issue. The communication of *Th. Kappe* (Karl-Franzens University, Graz, Austria) was devoted to pyronopyridones and -quinolones as versatile intermediates for the synthesis of 2-pyridones and 2-quinolones. Very interesting data on the syntheses of pyridazines using diazenium and diazonium ions were presented by *J. G. Schantl* and *Ho-Thi Cam-Hoai* (Universität Innsbruck, Austria). *P. Kristian* et al. (P. J. Safarik University, Kosice, Slovakia) devoted their communication to the synthesis and transformations of 9-isothiocyanatoacridines which can be used as fluorescent probes for amino acids as well as starting compounds for the preparation of different spiroacridines and heterylacridines (this and three successive oral communications are published in the issue). *A. Levai* and his colleagues (L. Kossuth University, Debrecen, Hungary; Universität, Würzburg, Germany; Technical University, Budapest, Hungary) presented data on reactivity of dioxiranes which can be used as epoxidizing agents and to oxidize sulfide sulfur to sulfone one. The contribution of *W. Zielinski, M. Mazik*, and *A. Kudelko* (Silesian Technical University, Gliwice, Poland) was devoted to the synthesis of 1,3-diazines using derivatives of imidic acids. Previously unknown redox transformations in a trichloromethylarene-pyridine base system leading to benzaldehyde derivatives besides 4-chloropyridines or N-(4-pyridyl)pyridinium salts were contributed by *L. I. Belen'kii, I. S. Poddubnyi*, and *M. M. Krayushkin* (N. D. Zelinsky Institute of Organic Chemistry, Russian Academy of Sciences, Moscow, Russia). Data on structure and synthetic potentialities of β,β-disubstituted derivatives of N-hetarylsubstituted aminoethylenes were presented by *V. Malata* and *D. Ilavsky* (Slovak Technical University, Bratislava, Slovakia).

Two oral contributions just concerned general problems of organic chemistry. Some additional data on asymmetric synthesis in solid state were presented by *H. Koshima, K. Ding*, and *T. Matsuura* (Ryukoku University, Seta, Japan, and PRESTO, Research Development Corporation of Japan). Nonplanarity and the resulting chirality of sterically overcrowded compounds built from two tricyclic heterocyclic systems bounded by double carbon–carbon bond, were the subject of communication of *I. Agranat* et al. (Hebrew University, Jerusalem, Israel; Universität Stuttgart, Stuttgart, Germany; University of Nebraska, Lincoln, Nebraska, USA).

A relatively small number of oral contributions were devoted directly to biologically active compounds. Cationic cyclizations of N-phenacyl-4-phenyl-1,2,3,6-tetrahydropyridines and relative carbinols studied in the context of search of new antidepressants were considered by *S. Solyom, E. Csuzdi,* and *G. Abraham* (Institute for Drug Research, Ltd., Budapest, Hungary); their communication is published in this issue. Neurotropic activities of furyl- and thienylgermatranes were compared in the communication of *E. Lukevics, L. Ignatovich,* and *S. Germane* (Latvian Institute of Organic Synthesis, Riga, Latvia).

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