FOURTH SYMPOSIUM ON THE CHEMISTRY OF HETEROCYCLIC COMPOUNDS

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The Fourth Symposium on the Chemistry of Heterocyclic Compounds was held from May 15 to 19, 1972, in Usti nad Labem (Czechoslovakia). Scientists from the USSR, German Democratic Republic, Poland, Hungary, Yugoslavia, the Federal Republic of Germany, the US, Italy, Holland, Switzerland, and Sweden participated in this symposium.

Conferences on the use of computers in the chemical industry, problems of pharmaceutical chemistry, the state of chemical production in Northern Czechoslovakia, etc. were held in parallel with the principal symposium. Thus a congress of Czechoslovakian chemists, which was officially called "Chemistry 72," was, in fact, convened.

Eight plenary and more than 60 sectional papers were presented in the symposium on the chemistry of heterocyclic compounds. Speaking in the plenary sessions were L. N. Yakhontov (USSR) on "The peculiarity of the chemistry of condensed N-aromatic systems with π-deficient and π-surplus rings" and G. Hertog (Holland) on "Anomalous substitution and ring transformation during the reaction of halohetarenes with strong bases," while R. Mayer (German Democratic Republic) devoted his paper to elemental sulfur as the starting material in the synthesis of heterocycles via the Kindler reaction or by reaction of sulfur with unsaturated compounds – enamines, acetylenes, dienes, nitriles, etc.

The paper by S. Hunig (Federal Republic of Germany) was devoted to heterocyclic redox systems with stable radicals. Problems involved in the establishment of the structure of and in the synthesis and biosynthesis of dibenzopyrroloisoquinoline alkaloids – thylophorine and thylophorinine – were examined in the paper by V. Vigreb (Switzerland). The paper by K. Lempert (Hungary) was devoted to the results of recent studies in the field of condensed asym-triazine derivatives. The high reactivity of the carbonyl group in 5-substituted 6-aza-2-thiouracils as compared with similar thiouracils enabled the author to synthesize diverse two-ring systems of condensed asym-triazines. The results of comprehensive investigations of two-ring systems with a common nitrogen of the azolazine and azinazine series were set forth in a paper by M. Tišler (Yugoslavia); processes that are accompanied by isomerizations and ring rearrangements were examined in his paper.

The reactivities of and methods for the preparation of 2,4-disubstituted 1,3-benzoxazines, 1,3-benzothiazines, and quinoxalines that contain primarily oxo and thio groupings were the subject of the plenary paper by G. Wagner (German Democratic Republic).

In two sections in which the fundamental part of the papers was devoted to nitrogen, oxygen, and sulfur heterocycles, considerable interest was evoked by the research of K. Yu. Novitskii and A. F. Oleinik (USSR) on arylfurans and by the research of Czechoslovakian scientists (J. Kovac, R. Frimm, E. Komanova, A. Jurasek, and J. Shrogl) on the stereochemistry of vinyl- and arylfurans, the amine–imine tautomerism of aminofurans, and on the use of furans for the synthesis of sugars.

The chemistry of thiophenes was reported by V. P. Litvinov, Ya. L. Gol'dfarb, and A. N. Sukiasyan (USSR) and by M. Yanda, J. Shrogl et al. (Czechoslovakian SSR).

The paper by G. Marino (Italy) on the synthesis and properties of tellurophene attracted a great deal of attention. A group of Polish authors (V. Zakovaka-Jasinka et al.) presented a paper on the synthesis of pyrrolidines from anilides of β-keto acids. P. Dembeci et al. (Italy) presented a report on the kinetics and

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mechanism of nucleophilic substitution in 2-chlorobenzimidazolium salts. L. Farkas, Z. Flegelova, and F. Šorm (Czechoslovakia) presented a report on the base-catalyzed cyclization of hydrazones to 3-substituted 4-hydroxypyrazole-5-carboxylic acids, which are the foundation of the nucleoside antibiotic pyrazomycin. The paper by Z. Machon (Poland) was devoted to closing of the β-lactam ring during the reaction of 5-acylaminoisothiazole-4-carboxylic acids with thionyl chloride. The synthesis and reactivities of pyrroloimidazoles and pyrrolobenzimidazoles were examined in the paper by P. M. Kochergin, A. A. Druzhinina, and R. M. Palei (USSR).

In the field of six-membered nitrogen heterocycles, a tendency for transition from simple rings to substances containing a large number of heteroatoms was distinctly apparent in the symposium. As an example, one can cite the paper by R. Castle (USA) on the synthesis of new tri- and tetraazaphenothiazine systems, that by R. Kolinsky (Poland) on tetraazacyclotetraenes, and that by M. Tišler and B. Stanovnik (Yugoslavia) on the synthesis and reactivities of perchloroazolo- and azinopyridazines. As in other international heterocyclic congresses of recent years, much attention was directed to aromatic systems as compared with hydrogenated systems. Among the papers on six-membered N-heteroaromatic compounds, one should note the communication by M. Ferles (Czechoslovakia) on the reduction of quaternary pyridinium salts with sodium aluminum hydride and sodium bismethoxyethoxy aluminum hydride, which is accompanied by opening of the pyridine ring, that by P. Tomasik (Poland) on the investigation of the transmission of electronic effects through the pyridine ring by correlation methods, that by Kutan and co-workers (Czechoslovakia) on the condensation of 3,5-dicyano-2,6-dimethylpyridine with aldehydes, and that by O. Chervinka and co-workers (Czechoslovakia) on the absolute configuration of amino alcohols of a number of heterocyclic systems. The paper by K. Weber and A. Bauer (Federal Republic of Germany) was devoted to the synthesis of 1,5-benzodiazepine-2,4-dione derivatives. A new synthetic method, which consists in the reaction of enamines with polychloroalkylimido chlorides or chlorocarbonylsulfonyl chloride and leads to new pyrimidine and thiazolone derivatives, was the subject of an interesting paper by K. Groe (Federal Republic of Germany). S. Granovic and A. Malteson (Sweden) spoke on the peculiarities of electrophilic substitution in a number of 3,2-borazaropyridines, S. Genci, K. Harsany, and D. Korbonits (Hungary) discussed the synthesis of 2,2'-azaquinoxaline, V. Chuba and Kh. Paradovsk (Poland) discussed the Chichibabin reaction in a number of 6-haloquinoxalines, and Van der Got and co-workers (Holland) discussed the synthesis of 1-aminoisoquinoline and 4-aminoquinazoline.

Among the papers devoted to the investigation of azine systems, one should note the interesting communications of J. Beranek (Czechoslovakia) on the reactions of anhydropyrimidine nucleosides with amines, which make it possible to introduce amine residues into the pyrimidine portion of the nucleoside, that of I. Reiter and L. Toldy (Hungary) on the thermal cyclization of 2-aryloxyalkylamino-5-methyl-4-pyrimidone at the nitrogen in the 1 position with splitting out of phenol, and the paper by T. S. Safonova, L. A. Myshkina, Yu. N. Sheinker, and M. P. Nemeryuk (USSR) on the synthesis, properties, and structure of condensed systems of 4-pyrimido- and pyrazinothiazines.

A new method for the synthesis of benzoxazine derivatives from the sodium salt of methyl salicylate and thiophosgene was the subject of a communication by M. Novotna and I. Bernat (Czechoslovakia), the preparation of 6- and 7-alkoxy-3-hydroxy-2-carbethoxyquinoxalines was the subject of a communication by V. Valent, Z. Budeshinski, and E. Svatek (Czechoslovakia), and the synthesis of various heterocycles from β-chlorovinylimmonium salts was the subject of a paper by H. Gertman (German Democratic Republic). The alkylation of thiophenol through the alkoxy groups of 1-methyl-2-alkoxybenzimidazoles and the mechanism of this reaction were the theme of the communication of a group of Italian authors (P. Dembeci, A. Rizzi et al.), while the synthesis and problems of the mesomerisim of betaines of the 1,2,4-triazinium series were the subject of a paper by V. Fiedler and co-workers (Czechoslovakia). The reactions of heterocyclic β-enamino esters, which open up new routes to the synthesis of azepinofurans, furanotriazoles, etc., were reported by H. Vamkhof (Federal Republic of Germany) and were found to be of considerable preparative interest.

Methods for the construction of various substituted dibenzothiepines were examined in a long paper by M. Protiva and co-workers (Czechoslovakia), and methods for the preparation and conversion of 5-alkyl-(aryl)thio-3-triazolotriazines were examined in a paper by Yu. É. Pelcher and R. P. Bokaldere (USSR). Several papers were devoted to the study of the structure of natural alkaloids.

A great deal of attention in the symposium was directed to problems in the search for biologically active substances. Among the papers of this series, one should note the following communications of Czechoslovakian investigators: that of M. Protiva and co-workers on new neurotropic agents in a number of 9,10-

disubstituted dibenzothiophenes, that of Z. Budeshinskii and co-workers on symmetrical triazines as potential antivirus and antihelminthic agents, that of L. Farkash on the synthesis of the nucleoside antibiotic pyrazomycin and its analogs, and that of J. Kovac and co-workers on the search for antimicrobial agents among derivatives of arylfurans and substituted furylacrylic acids.

Most of the research reported at the symposium was of a high level both theoretically and methodologically speaking, and involved the extensive application of various physicochemical methods.

The 4th Symposium on the Chemistry of Heterocyclic Compounds in Czechoslovakia was a graphical demonstration of the advances that have been made in the chemistry of heterocycles in recent years.