

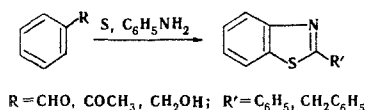
FIFTH SYMPOSIUM ON THE CHEMISTRY
OF HETEROCYCLIC COMPOUNDS

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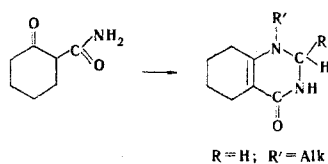
UDC 547.7

The fifth symposium on the chemistry of heterocyclic compounds, which was organized by the Czechoslovakian Chemical Society and the Slovakian Polytechnic Institute, was held in Bratislava on July 7 to 11, 1975. The symposium bore the character of an international conference: in addition to Czechoslovakian chemists, more than 200 delegates from 15 countries - Bulgaria, Hungary, the German Democratic Republic, (GDR), Denmark, Egypt, Iran, Italy, Poland, the USA, the USSR, France, the Federal Republic of Germany (FRG), Sweden, Yugoslavia, and Japan - participated in the activities of the symposium. Nine plenary and ~100 sectional papers were presented. Many prominent heterocyclic chemists - Kametani (Japan), Castle and Abramovitch (USA), Illuminati and Marino (Italy), Urbanski (Poland), Zagradnik and Kovac (Czechoslovakian SSR), Lempert (Hungary), Stanovnik (Yugoslavia), etc. - participated in the activities of the symposium. Ten individuals from Moscow [Moscow State University and S. Ordzhonikidze All-Union Scientific-Research Pharmaceutical-Chemistry Institute, Rostov-on-Don, and Saratov (the universities)] represented the Soviet delegation. The work of the symposium was carried out in three sections. Papers were devoted to new synthetic methods, studies of physicochemical properties, and the structures and reactivities of nitrogen-, oxygen-, sulfur-, and selenium-containing heterocycles.

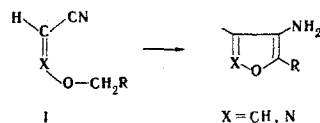
New methods for the synthesis of heterocycles were a significant feature of the topics covered in the symposium. Thus Peregard and Lewasson (Denmark) reported that a number of heterocycles, for example, benzothiazoles, can be obtained by direct sulfuration of aromatic compounds in hexamethylphosphoric triamide:



It was shown in a plenary paper presented by Urbanski (Poland) that nitroalkanes are convenient starting compounds for the synthesis of diverse N,O-, N,N-, B,O,N-, and Si₂O,N-containing saturated systems with interesting conformational possibilities. Cyclohexanone-2-carboxamide proved to be the starting compound for the synthesis of various heterocycles [Bischof and Herma, (GDR)]:



The relatively difficult-to-obtain 3-amino-substituted benzofurans and isoxazoles can be readily synthesized from esters of the I type [Gewald (GDR)]:



New synthetic methods were proposed for coumarins [Kira and Hadalla (Egypt)], isochromans [Khaimova (Bulgaria)], triazinones [Piskala (Czechoslovakian SSR) and Dikore (FRG)], indoles [Kovac (Czechoslovakian SSR)], aziridines [Schmitz and co]workers (GDR)], and tellurophenes [Marino (Italy) and Lalezari (Iran)]. A paper by

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the Soviet chemists Zefirov and Averina regarding synthetic methods in the conformational studies of oxa analogs of isomeric tricyclodecanes, i.e., oxadamantane, oxatwistane, and oxaisotwistane, attracted much attention. In addition to communications regarding the synthesis of relatively simple heterocycles, methods for the preparation of complex systems were reported. In this connection, one should first of all note a paper on the total synthesis of (\pm)-yohimbine [Kametani (Japan)] and the research by Kolinski (Poland) on the stereospecific synthesis of tetraazacyclotetradecane. The transition from simple heterocycles to polycondensed heterocyclic systems was reflected in plenary papers of the American chemist Castle (pyridazines) and the Yugoslavian chemist Stanovnik (aza- and thiaindolizines). A number of papers [Reschenthaler (FRG), Kharchenko (USSR), Urbanski (Poland), etc.] involved the synthesis of S,Se,P-containing heterocycles, in which much interest has recently been displayed.

The physical chemistry of heterocycles was represented in the symposium by practically all of the modern physical methods, by means of which the structures (particularly the conformational aspects), the tautomerism, and mechanisms of diverse transformations were investigated. The quantum-chemical approach, which is widely used in modern chemistry, was not, unfortunately, duly reflected in the topics covered in the symposium. The single paper on molecular orbitals in heterocyclic chemistry, which was presented by Zagradnik (Czechoslovakian SSR), undoubtedly could not compensate for this gap.

The reactivities of heterocycles were examined in the case of individual classes of compounds - arylfuran [Novitskii and Oleinik (AUSR PCI)] furanylnitroethylenes [Edlovska (Czechoslovakian SSR)], phthalazines and cinnolines [Castellano (France)], furan and thiophene [Stibor (Czechoslovakian SSR) and Holm (Sweden)], benzimidazoles [Serafin (Poland)], pyrazolines [Lempert (Hungary)], pyridine and quinoline derivatives [Ferlis (Czechoslovakian SSR)], and furopyridines [McFarland (USA)].

Individual communications were devoted to the synthesis of physiologically active systems. Tetrahydro-1,5-benzodiazepine derivatives [Omar (Egypt)] were found to be tranquilizers of the diazepam type, and diazaphenanthrenes [Mlohowski and Sliva (Poland)] and 3,6-diaryl-4,5-diaminopyridazines [Lange and Tondy (Poland)] display antibacterial and fungicidal activity. Papers by Soviet chemists from the AUSR PCI (Notitskii, Safonova, Traven', Sheinker, et al.) were devoted to the search for antitubercular agents among pyrimido[4,5-b]-1,4-thiazine derivatives and for preparations with tuberculostatic and fungistatic activity among arylfurans. A paper by Reinecke (USA) regarding a new method for the synthesis of 2,6-lutidine (by catalytic methylation of pyridine over Raney nickel) - the starting material in the manufacture of the antisclerotic preparation pargoline (anginine) - should be included in this series of studies.

Papers in which new synthetic methods and the application of the newest physicochemical methods of investigation were successfully combined for the establishment of the structures and evaluation of the reactivities of heterocycles left a particularly strong impression. Of these one should note the papers by R. Abramovitch entitled "New rearrangements of heteroaromatic N-oxides," by D. Marino entitled "Tellurophene and its derivatives," by V. G. Kharchenko entitled "Thiapyrylium salts," and by K. Lempert entitled "Ketones of the pyrazole series."