ANNOTATIONS OF DISSERTATION RESEARCH IN THE CHEMISTRY OF HETEROCYCLIC COMPOUNDS

To inform the scientific community of the doctoral and master's dissertations completed in the Soviet Union dealing with the synthesis and study of heterocyclic compounds, the journal henceforth intends to publish periodically brief annotations of dissertations approved by the Higher Certifying Commission affiliated with the Council of Ministers of the USSR. It must be assumed that the publication of the annotations will promote coordination in the USSR of research in the chemistry of heterocyclic compounds and the search for new physiologically active substances based on them and will help avoid duplication. The editorial board turned to specialized councils for the defense of annotations of dissertations approved by the Higher Certifying Commission that deal with the chemistry of heterocyclic compounds and are drawn up in conformity with the samples presented below be sent to the journal. The editorial board hopes that this undertaking will be supported by the scientific collectives engaged in research in this field and that the list of annotations will be sufficiently complete and representative.

SEARCH FOR NEUROLEPTICS AMONG N-ARYLPIPERAZINO DERIVATIVES OF THE INDAN SERIES

I. A. Berzin'

The dissertation is devoted to the directed synthesis of new psychotropically active substance among piperazino ketones of indan and to the study of the interrelationship between the structure and activity in this series of compounds. Methods for the synthesis of N-arylpiperazinoalkyl derivatives of diketoindans were developed, and methods for the selective reduction of the carbonyl groups of the indan ring, on the basis of which a number of N-arylpiperazino derivatives with different degrees of reduction of the keto groups were obtained, were investigated systematically. New methods for the synthesis of derivatives of aminophthalazones and ω-aminophthalidylalkanes were developed on the basis of the peculiar isomerization reaction of 2-piperazino diketones, and the direct conversion of 2-piperazino diketones to aminophthalidylalkanes was realized for the first time. A method for the synthesis of the previously unknown 2,2-disubstituted aminoindans was found. N-Arylpiperazino ketones of the indan series have a pronounced depressant effect on the central nervous system. A cybernetic analysis of the results of a pharmacological study of the synthesized compounds was made with a computer, the fundamental relationships between the activity and chemical structures of the substances were found, and the prospects for the search for new physiologically active agents were demonstrated.

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2-OXODIHYDROPYRIDINES AND 2-OXOTETRAHYDROPYRIDINES

Z. A. Bomika

This research was devoted to the synthesis and study of the chemical properties of 2-oxodihydropyridines and 2-oxotetrahydropyridines, as well as related condensed systems obtained by condensation of α,β-unsaturated mono- and dicarbonyl compounds with malonic acid diamide, N,N_1-disubstituted malonic acid diamides, and cyanoacetamide. The effect of the reaction medium and the substituents on the formation of 2-oxo-1,2,3,4-tetrahydro- and 2-oxo-2,3,4,5-tetrahydropyridines is shown. It was established by a kinetic study of the proton-donor properties of 2-oxo-tetrahydropyridines that the anions of these compounds are oxidized considerably

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more readily than the conjugate acids and that the reaction proceeds via a radical-chain mechanism. In the case of condensed systems the degree of shielding of the oxopyridine amide proton has a pronounced effect on the ability to undergo oxidation. The alkylation of 2-oxo-1,2,3,4-tetrahydropyridines, which have three nucleophilic centers, by means of alkyl halides was realized, and it was established that the nitrogen atoms and the carbon atom in the 3 position are more reactive. 2-Aminopyridines and condensed heterocyclic systems, viz., pyrazolo[3,4-b]pyridine and tetrazolo[5,1-a]pyridine, were synthesized through 2-chloropyridines. An original method for the synthesis of γ-butyro- and γ-spirobutyrolactones by bromination of the products of addition of N,N_1-disubstituted diamines to α,β-unsaturated ketones was developed. The synthesized derivatives of 2-oxopyridines and γ-butyrolactones have tranquilizing, hypotensive, and antioxidative activity.

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SYNTHESIS, STRUCTURE, AND SOME PROPERTIES OF HYDRAZONO AND HYDRAZINO DERIVATIVES OF PHTHALAZINE

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This dissertation is devoted to the study of the structures of the products of the reaction of 1-chlorophthalazines with hydrazine and its derivatives and to the synthesis and study of the structures and chemical and biological properties of various types of hydrazones of 2-methylphthalazans and phthalazinylhydrazones. New types of hydrazones, viz., various hydrazones of 2-methylphthalazones and 2H-phthalazones and N-methyl-N-phthalazinylhydrazones, as well as 1-arylazophthalazines, were synthesized. It was established from the set of physical (IR, UV, and PMR spectroscopy and the method of dipole moments) and chemical methods that the products of the reaction of 1-chlorophthalazines with hydrazine (as well as the products of condensation of these compounds with aldehydes and ketones) and nitroarylhydrazines exist in the form of phthalazone hydrazones. Several factors that affect the tautomeric equilibrium of the two forms of N,N-disubstituted derivatives were characterized. Erroneous data on the products of the reaction of hydrazine and methylhydrazine with 1-chlorophthalazines and on some of their derivatives (the medicinal preparation "budralazin") were rectified. It is shown that the transition from hydrazones of 2H-phthalazones to hydrazones of 2-methylphthalazones leads to a change in the geometry of the molecules. It was established that in the acid hydrolysis of N-methyl-N-(4-chloro-1-phthalazinyl)hydrazones a change in the nature of the substituents in the ylidene fragment gives rise to a change in the reaction center; this is explained by the difference in the three-dimensional and electronic structures of their molecules. It was observed that the compounds obtained have antihelminthic and chemosterilizing activity.

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SYNTHESIS OF 9-ALKENYLCARBAZOLES AND SOME ASPECTS OF THEIR REACTIVITIES IN CATIONIC POLYMERIZATION

S. G. Gorbachev

Methods for the preparation of new monomers based on carbazole were developed by means of reactions of carbazole with acrolein and the base-catalyzed isomerization of 9-allylcarbazole. In contrast to 9-vinylcarbazole, 9-alkenylcarbazoles that contain β-alkyl substituents attached to the double bond are not capable of forming high-molecular-weight products but give primarily cyclic dimers. The formation of oligomers is explained by the deactivating effect of the β-alkyl substituent; this was proved by a study of the relative