

The 1,4-dimethyl derivative III ($R^1 = \text{CO}_2\text{Et}$, CN) with fixed enamine structure were prepared and their electronic spectra were discussed in comparison with those of parent derivatives I without the methyl groups.

The action of phosphorus pentasulfide on 4-methyl derivative of ester I ($R^1 = \text{CO}_2\text{C}_2\text{H}_5$, $R_2 = \text{H}$) in chlorobenzene gave 3-thion derivative IV ($R = \text{CO}_2\text{C}_2\text{H}_5$) with the ketimine structure. The thionation in pyridine solution afforded 1,3-dimethyl-1,2-dihydroquinoxaline-2-thione (IV, $R = \text{H}$).

From the values of relative amounts of both tautomers it follows, that electron-withdrawing substituents decrease the value $K_T = \text{ketimine/enamine}$, the electron donors having destabilisation effect on enamine. The effect of groups activating the tautomeric isomerisation and the effect of intramolecular H-bonding are discussed.

LITERATURE:

1. Macháček V., Toman J., Klícnar J.: Coll. Czech. Chem. Commun. — in press.
2. Toman J., Klícnar J., Macháček V.: Coll. Czech. Chem. Commun. — in press.
3. Iwanami Y.: Nippon Kagaku Zosshi 83, 316 (1962); Chem. Abstr. 59, 3919 (1963).

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SYNTHESIS OF SOME 2-ARYL-2,3-DIHYDRO-1,2,4-TRIAZINO [6,5-b]-INDOL-3-ONES

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Coupling of diazonium salts with ethyl 3-indolylcarbamate gives high yields of the corresponding 2-arylo-3-ethoxy carbonyl-aminoindoles, which undergo easily thermal cyclization to give the respective 2-aryl-2,3-dihydro-9H-1,2,4-triazino [6,5-b] indol-3-ones or the corresponding 4H-tautomers. The starting carbamate has been prepared by the Curtius rearrangement of 3-indolecarboxylic acid azide. Structure of the prepared 2-arylo-3-ethoxycarbonylaminoindoles and of 2-aryl-2,3-dihydro-9H-1,2,4-triazino [6,5-b] indol-3-ones were studied by means of IR and $^1\text{H-NMR}$ spectroscopy with the use of ^{15}N -labeled derivatives.

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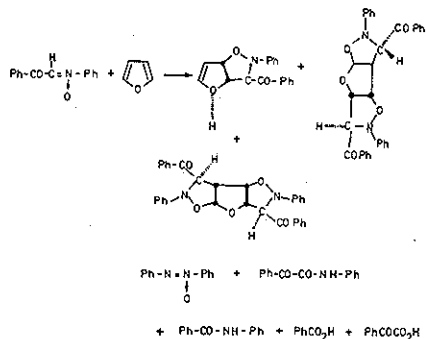
1,3-DIPOLAR CYCLOADDITION REACTION OF C-BENZOYL-N-PHENYLNITRONE WITH FURAN AND ITS DERIVATIVES

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A frontier orbital treatment (FMO) of furan suggests its possible reactivity in 1,3-dipolar cycloadditions with dipoles possessing low lying LUMO's, such as nitrones, especially those with electronwithdrawing substituents.

In this lecture is reported a detailed study of the cycloaddition of C-benzoyl-N-phenylnitron to furan, with particular attention directed at the regiochemistry of the reaction and to the detection of substitution products. On performing the reaction following products were obtained:



The structures were assigned on the basis of chemical and NMR evidence. The cycloaddition with 2-methylfuran, 2-methoxycarbonylfuran, 2-furancarbaldehyde and cycloadditions of some other nitrones to furan are also described.

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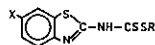
SYNTHESIS AND ANTITUBERCULOTIC ACTIVITY OF S-ALKYL 2-(6-X-BENZOTHIAZOLYL)-DITHIOCARBAMATES

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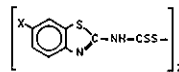
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The relation between structure and tuberculostatic activity of S-Alkyl 2-(6-X-benzothiazolyl)dithiocarbamates

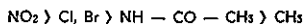


against *M. tuberculosis* H₃₇R_v and *M. tuberculosis* INH resistant has been studied

It has been proved that biological activity of dithiocarbamic groups isn't influenced by the structure of used amine. This fact has been also demonstrated on 2-(6-X-benzothiazolyl)thiuram disulphides



It has been stated, that tuberculostatic activity is increased by the substituents in position 6 in this order:



In this connection the influence of length of alkyl R has been studied. The most effective have been found the alkyls C₂-C₆.