The 1,4-dimethylderivative III (R^1 = CO_2Et, CN) with fixed enamine structure were prepared and theire electronic spectra were discussed in comparism with those of parent derivatives I without the methyl groups.

The action of phosphore pentasulfide on 4-methylderivative of ester I (R^1 = $CO_2C_2H_5$, R_2 = H) in chlorbenzene gave 3-thionderivative IV (R = $CO_2C_2H_5$) with the ketimine structure. The thionation in pyridine solution afforded 1,3-dimethyl-1,2-dihydroquinoxaline-2-thione (IV, R = H).

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

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PO 23

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-arylazo-3-ethoxy carbonylaminoindoles, which undergo easily thermical 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-arylazo-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}H-NMR spectroscopy with the use of ^{15}N-labeled derivatives.

PO 24

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

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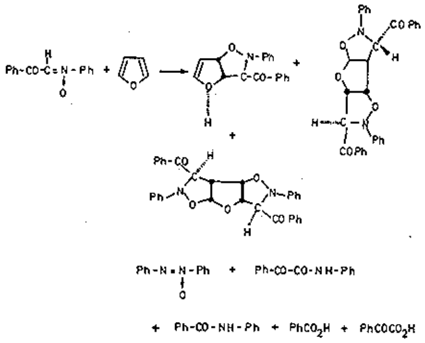
A frontier orbital treatment [FMO] of furan suggests its possible reactivity in 1,3-dipolar cycloadditions with dipoles possessing low lying LUMO's, such as nitrones, especially those with electronwithdrawing substituents.

In this lecture is reported a detailed study of the cycloaddition of C-benzoyl-N-phenylnitrone 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.

PO 25

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

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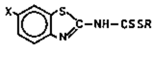
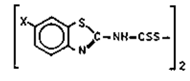
The relation between structure and tuberculostatic activity of S-Alkyl 2-(6-X-benzothiazolyl)dithiocarbamates



against M. tuberculosis $H_{37}R_v$ and M. tuberculosis INH resistent has been studied

It has been proved that biological activity of dithiocarbamic groups isn't influenced by the sturcture 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:

$$NO_2 > Cl, Br > NH - CO - CH_3 > CH_3$$

In this connection the influence of lenght of alkyl R has been studied. The most effective have been found the alkyls C_2—C_5.