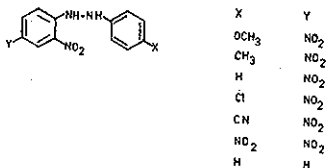


In the present paper, we will deal with our results concerning that step of the reaction in which 2-nitrohydrazo compounds (B) are converted into 2-phenylbenzotriazole-1-oxide (C). As given in Scheme II, a series of 2-nitrohydrazobenzene derivatives was prepared.

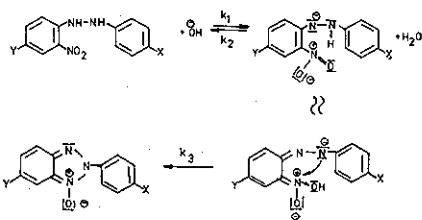


Scheme II

Cyclization thereof gave the corresponding 2-(4-X-phenyl)-6-Y-benzotriazole-1-oxides, respectively.

Hydrazo compounds were prepared by reacting 2-nitrofluorobenzene with the corresponding 4-X-phenylhydrazine, which, in turn, were prepared by SnCl₂ or Na₂SO₃ reduction of 4-X-benzene diazonium salts.

The cyclisation reaction was followed spectrophotometrically in 40% aqueous propanol by measuring the intensity of an absorption band around 300 nm corresponding to benzotriazole oxide. The effect of pH and substitution was investigated. The kinetic measurements showed the reaction to be 1st order in hydrazo compound (at constant pH in the region of 5.5–10.5) and the reaction rate to be pH dependent. Rate constants for cyclisation of hydrazo compounds are linearly pH dependent (the pH dependence of log k has a slope equal to 1). Obviously, the reactions rate depends on concentration of the hydrazo compound, on concentration of hydroxide ions, and on the substituent. A mechanism of the cyclisation suggested on the basis of the above data is also in agreement with some quantum mechanical calculations.



Scheme III

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PO 9

SYNTHESES AND REACTIONS OF 2-AMINO-3-CYANO-4,5-BIS(HETARYL) FURANS AND 4-R-5,6-BIS(HETARYL)

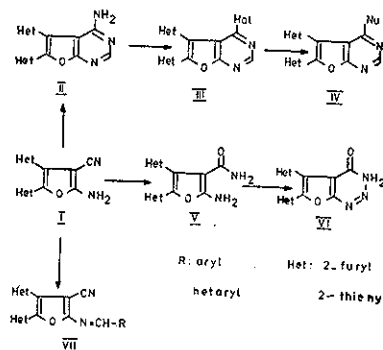
FUROPYRIMIDINES

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2-Amino-3-cyanofuran derivatives are not only interesting in aspect of their preparation but as the possibility of their use in another syntheses as well¹⁻³.

In this report, 2-amino-3-cyano-4,5-bis(2-furyl)furan Ia and 2-amino-3-cyano-4,5-bis(2-thienyl)furan Ib have been obtained by reaction from the corresponding acylouins and malononitrile. These derivatives have been utilized in another synthesis for the preparation of the furopyrimidines II–IV, furo-1,2,3-triazinones VI and Schiff's bases VII as shown the following chart⁴:



The structures of the synthesized compounds were determined by means of their IR, UV, ¹H-NMR and mass spectra. Spectral data of 2-furyl- and 2-thienyl derivatives have been compared with each other. The biological activity of some compounds mentioned above has been studied also.

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PO 10

MANNICH BASES OF 2-MERCAPTOBENZOTHAZOLE AND THEIRS ANTIMYCOBACTERIAL AND ANTIVIRAL ACTIVITY

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The present data on the Mannich reaction of 2-mercaptobenzothiazole (2-MBT) with primary amines do not offer a satisfactory explanation, why with some amines monoderivatives and with the others bisderivatives are obtained¹.