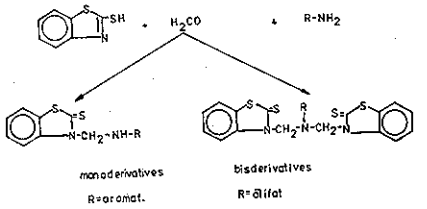

We have found that the amines with pK_B around 3—5 afford only bisderivatives, while those with pK_B around 9—14 gave exclusively monoderivatives[2,3]. In further series experiments we employed as aminocomponents hydrazides of aliphatic and aromatic acids. At both types of hydrazides we obtain only bisderivatives of Mannich bases.

Several of the prepared compounds showed remarkable antimycobacterial activity mainly against Mycobacterium tuberculosis resistant on the isonicotinylhydrazide[4,5].

A number of these derivatives was studied also for antiviral activity. The antiviral tests were carried out in cell cultures using vaccinia, Newcastle disease and western equine encephalomyelitis viruses. Several of derivatives tested have shown middle or slight degree of inhibition of virus multiplication.

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PO 11

A NEW METHOD OF PREPARATION OF DERIVATIVES OF PARTIALLY HYDROGENATED DIBENZO[c,h][1,2,6,7]TETRAZECINE AND ITS ANALOGUE

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5,6,7,12,13,14-Hexohydrodibenzo [c,h] [1,2,6,7]tetrazecine-7,14--dione and 5,6,7,12,13,14-hexahydrodipyrido[3,4-c,h][1,2,6,7]tetrazecine-5,12-dione were prepared by heating the hydrazide of salicylic and 3-hydroxyisonicotinic acid, respectively. Their structure was verified by elementary analysis, infra-red and mass spectra.

PO 12

CONCERTED AND STEPWISE CYCLOADDITIONS OF 1-BENZYL -4-N-ACYLIMINO-1,2,4-TRIAZOL WITH ISOTHIOCYANATES

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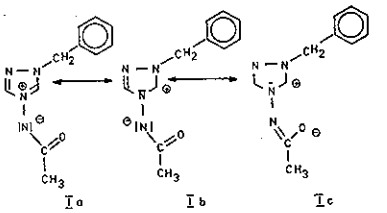
In contrast to numerous 1,3-dipolar cycloadditions, following a concerted reaction mechanism, there are few 1,5-intermolecular cycloadditions known. Since the extension of 1,3-dipol with one conjugated double bond transforms them to 1,5-dipols, theoretically there can be as much as 98 1,5-dipols.

In our case the azomethinimine system has been extended on the nitrogen end with a carbonyl group. The charge separation and its dissipation of such a dipol predisposes the molecula of 1-benzyl-4-N-acylimino-1,2,4-triazol I to both 1,3-concerted and 1,5-stepwise reaction manners.



We have investigated the possible role of nonpolar and aprotic polar solvents on the stabilisation of mesomeric forms Ib and Ic and on alternative transition states. Using benzene as solvent, I adds to aromatic isothiocyanates in 1,3-concerted manner to give stable aduct on C S bond and unstable aduct on C N bond, together with other compounds, arising either from still another mechanism, or as splitting products of unstable C N aduct of I. Polar aprotic solvents, like DMF, DMSO or HMPT favour 1,5-dipolar structure, as they bring more solvation stabilisation needed for enhanced charge separation. Concerted [6_s 2_s] reaction being disallowed, the cyclic aduct IV arises by stepwise addition. In the first step anionic terminus of 1,5-dipol atacks the carbon atom of isothiocyanate, giving noncyclic intermediate. This could be isolated and consequently cyclises to IV.

PO 13

5-NITRO-2-FURYLVINYLATION OF PHOSPHOROUS COMPOUNDS

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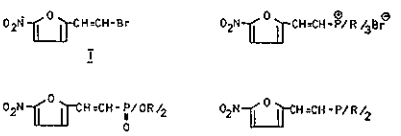
The synthesis of 5-nitro-2-furylvinylenbromide (I) which contains a sufficiently reactive bromine has opened the path for a new synthesis of biologically high active 5-nitro-2-furylethylene derivatives.

We studied a 5-nitro-2-furylvinylation of the tertiary phosphines (e.g. triphenylphosphine), tertiary phosphites (e.g. triethylphosphite) and alkali metal diphenylphosphides in the nonpolar media.



We have found that the presence of a phosphorous group on vinylene group of the nitrofurane derivative effects significantly its biological properties.