

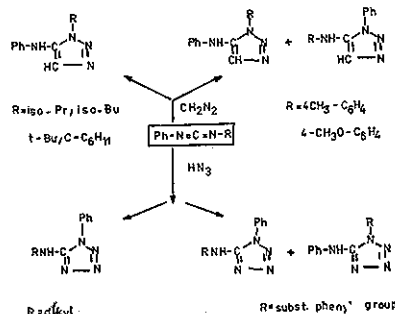
PO 26

BEHAVIOUR OF CARBODIIMIDES AND KETENIMINES
TOWARDS 1,3-DIPOLAR AGENTS

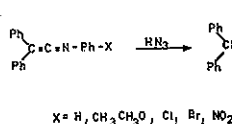
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The reaction of asymmetrical substituted carbodiimides with diazomethane and hydrazoic acid give rise 1,5-disubstituted 1,2,3-triazoles, 1,5-disubstituted tetrazoles respectively. Obtained tetrazoles undergo thermal isomerisation, which was studied by ¹H-NMR spectroscopy.



Also the reaction of ketenimines with the hydrazoic acid was studied which afforded corresponding 1,5-disubstituted tetrazoles.



PO 27

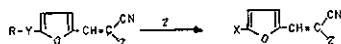
SUBSTITUTION NUCLEOPHILIC REACTIONS IN THE FURAN NUCLEUS

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It is generally known that by the S_N reaction in furan nucleus halogenic or nitro group are displaced. In our laboratory the nucleophilic substitutions of some other groups in furan nucleus as arylthio-, heteroarylthio- and arylsulfonyl-group were successful performed.

A convenient substrates for this nucleophilic reaction were 2-cyano-3-/5-arylthio-, heteroarylthio- and arylsulfonyl-/2-furyl acrylonitrile and 2-cyano-3-/5-arylthio-, heteroarylthio and arylsulfonyl-/2-furyl methyl acrylate.

R = aryl, heteroaryl; Y = S, SO₂; Z = CN, COOCH₃

X = piperidine, pyrrolidine, morpholine, N-phenylpiperazine, hexamethylenimine, diethylamine, dimethylamine, N-methylpiperazine, N-benzylpiperazine, imidazole, NaN₃.

PO 28

THE KINETICS OF NUCLEOPHILIC SUBSTITUTION OF 2,5-DI-SUBSTITUTED FURANS

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The kinetics of nucleophilic substitution reaction of 5-brom-, 5-nitro-, 5-/4-X-phenylthio- and 5-/4-X-phenylsulfonyl-/2-furylidenmalonitriles with secondary cyclic amines was studied. The found rate constants of substitution were correlated with Hammett's σ_p constants. The transfer effect of the influence of the substituent via the phenylthio- and phenylsulfonyl- group on the reaction centre were considered. The effect of some nucleophilic agents, the change of the solvent and thermodynamic values were investigated.

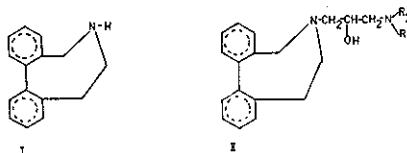
PO 29

3-AMINO-2-HYDROXYPROPYL-5,6,7,8-TETRAHYDRODIBENZO-(c,e)-AZOCINES

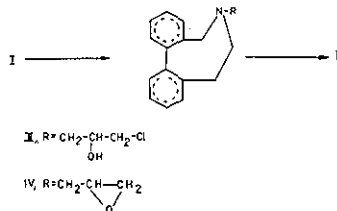
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A series of substituted amino-hydroxypropyl derivatives of 5,6,7,8-tetrahydro-(c,e)-azocine (II) was prepared in connection with the study of new compounds affecting the cardiovascular system. Compounds of general formula II were synthesized from tetrahydrodibenzazocine I and 1-chloro-2,3-epoxypropene to give 3-chloro-2-hydroxypropyl derivatives IV.



Treatment of compounds III or IV with the appropriate amines afforded the final substituted 3-amino-2-hydroxypropyl derivatives II.



The key intermediate — tetrahydrodibenzazocine I — was obtained from diphenic acid by a modified procedure according to 1-3.

Substances II exhibit a significant vasodilatory effect.

REFERENCES

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