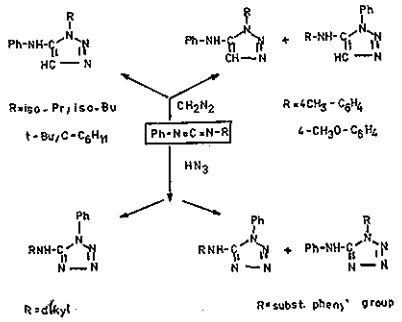
PO 26

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

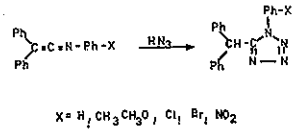
Augustin Martvoň and Jan Světlík

Department of Organic Chemistry Slovak Technical University, 880 37 Bratislava, Czechoslovakia

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



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



PO 27

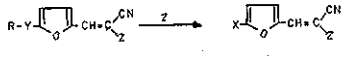
SUBSTITUTION NUCLEOPHILIC REACTIONS IN THE FURAN NUCLEUS

R. Kada, V. Knoppová, J. Kováč

Department of Organic Chemistry Slovak Technical University, 880 37 Bratislava

I is generaly 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 substrats for this nucleophilic reaction were 2-cyano-3-/5-arylthio-, heteroarylthio- and arylsulfonyl-/-2-furyl/ acrilonitrile and 2-cyano-3-/5-arylthio-, heteroarylthio and arylsulfonyl/-2-furyl/ methyl acrilate.



R = aryl, heteroaryl; Y = S, SO_2; Z = CN, $COOCH_3$

X = piperidine, pyrolidine, morpholine, N-phenylpiperazine, hexametylenimine, diethylamine, dimethylamine, N-methylpiperazine, N-benzylpiperazine, imidazole, NaN_3.

PO 28

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

Knoppová V., Kada R., Kováč J.

Department of Organic Chemistry Slovak Technical University, 880 37 Bratislava

The kinetics of nucleophilic substitution reaction if 5-brom-, 5 nitro-, 5-/4-X-phenylthio-/ and 5-/4-X-phenylsulfonyl-/-2-furfurylidenmalonitriles 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 termodynamic values were investigated.

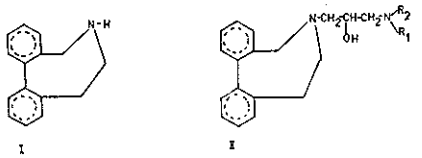
PO 29

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

F. Szemes, A. Rybár

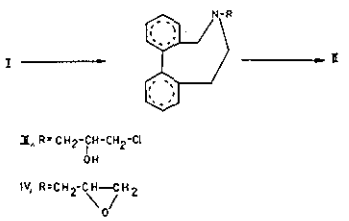
Drug Research Institute, 801 00 Bratislava

A series of substituted aminohydroxypropyl 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 tetrahydrodibenzoazocine I and 1-chloro-2,3-epoxypropone to *give 3-chloro-2-hydroxypropyl derivatives IV.*



I II

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



The key intermediate — tetrahydrodibenzoazocine I — was obtained from diphenic acid by a modified procedure according to [1-5].

Substances II exhibit a significant vasodilatatory effect.

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