

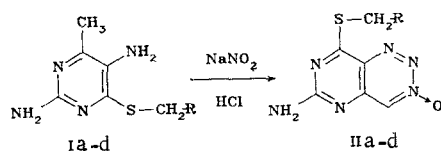
CONVERSION OF 2,5-DIAMINO-4-METHYL-6-MERCAPTOPYRIMIDINE SULFIDES
TO PYRIMIDO[5,4-d][1,2,3]TRIAZINE DERIVATIVES UNDER DIAZOTIZATION
CONDITIONS

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It is known that 4-carbomethoxy-5-alkyl(aryl)thio-1,2,3-triazoles are formed in the diazotization of 4-methoxy-5-amino-6-mercaptopyrimidine sulfides [1].

In a study of the effect of substituents in the 2, 4, and 6 positions of the 5-aminopyrimidine molecule on the character of the transformations of these substances under diazotization conditions it was shown that treatment of 2,5-diamino-4-methyl-6-arylthio-pyrimidines (Ia-d) with sodium nitrite in aqueous HCl leads to 2-amino-4-arylthio-pyrimido[5,4-d][1,2,3]triazine 7-oxides (IIa-d) in 80-90% yields; we were unable to detect 1,2,3-triazole derivatives in the reaction products.



I, II a R=C₆H₅; b R=4-C₆H₄COOC₂H₅; c R=4-C₆H₄CONHC₃H₇-t; d R=4-C₆H₄NO₂

Compounds IIa-d are crystalline high-melting substances that are insoluble in water. They had the following melting points after recrystallization from dimethylformamide-H₂O: IIa, 229-231°C; IIb, 221-221°C; IIc, 241-242°C; IId, 215°C. The results of elementary analysis of II were in agreement with the calculated values, and the IR and PMR spectral data confirmed the proposed structures.

It is interesting to note that the amino group in the 2 position of the pyrimidine ring remains unchanged under the indicated conditions, whereas it is known that 2-aminopyrimidines undergo diazotization to give 2-hydroxy derivatives [2].

The formation of pyrimido[5,4-d][1,2,3]triazine derivatives is probably a characteristic property of 5-diazopyrimidines that contain alkyl groupings in the 4 or 6 position, since pyrimido[5,4-d][1,2,3]triazine derivatives are also formed in the diazotization of 5-amino-6-methyluracil [3, 4].

LITERATURE CITED

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