5-AMINO-6-MERCAPTOPYRIMIDINES IN THE SYNTHESIS OF DERIVATIVES OF 5-AMINO-1,2,3-THIADIAZOLE

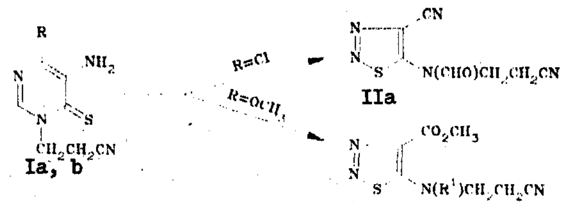
M. P. Nemeryuk, A. L. Sedov,
and T. S. Safonova

UDC 547.854.1'794.3.07

It is known that substituted 5-amino-1,2,3-thiadiazoles can be obtained by the acid hydrolysis of certain pyrimido[5,4-d]-1,2,3-thiadiazoles [1], which are formed by the diazotization of 5-amino-6-mercaptopyrimidines [1, 2].

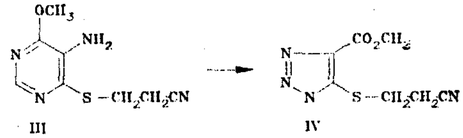
We have found that diazotization of the stabilized thione forms of 5-amino-6-mercaptopyrimidones that have a substituent at position 4 and lack mobile hydrogen atoms, gives 5-amino-1,2,3-thiadiazole derivatives directly.

Thus, diazotization of 1-cyanoethyl-5-amino-4-chloro(methoxy)-1,6-dihydropyrimidinethiones-6 (Ia,b) gives 5-amino-1,2,3-thiadiazoles (IIa-c), that are substituted at position 4 with a carbonitrile or methoxycarbonyl group.



Ia R = Cl, b R = OCH_3 ; IIb R^1 = H, c R^1 = CHO IIb, c

At the same time, when 4-methoxy-5-amino-6-cyanoethylpyrimidine (III) stabilized in the thiol form is diazotized, the 1,2,3-triazole derivative IV forms.



A similar conversion of pyrimidyl sulfides of analogous structure has been described previously [3].

Compounds IIa-c and IV are crystalline materials that are stable when stored. Following are: compound, mp (°C), yield (%): IIa, 129-131 (from ethanol), 74; IIb, 160-162 (from ethanol), 47; IIc, 107-108 (from methanol), 79; IV, 99-100 (from benzene-hexane mixture), 69.

The elemental compositions of II and IV agree with the calculated values, and the IR, PMR, and UV spectra confirm the proposed structures.

S. Ordzhonikidze All-Union Chemico-Pharmaceutical Scientific-Research Institute, Moscow 119021. Translated from Khimiya Geterotsiklicheskikh Soedinenii, No. 3, pp. 416-417, March, 1986. Original article submitted June 12, 1985.

0009-3122/86/2203-0344$12.50

LITERATURE CITED

1. E. C. Taylor and E. E. Garcia, J. Org. Chem., 29, 2121 (1964).
2. W. E. Hymans, J. Heterocycl. Chem., 13, 1141 (1976).
3. M. P. Nemeryuk, A. L. Sedov, I. Krzhepelka, and T. S. Safonova, Khim. Geterotsikl. Soedin., No. 10, 1426 (1982).

2-SELENOXOQUINAZOLONES-4, A NEW KIND OF QUINAZOLONE

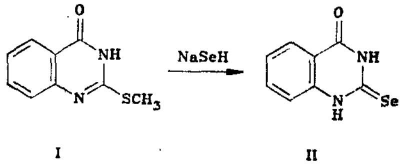
L. M. Yun and Kh. M. Shakhidoyatov

UDC 547.856.1

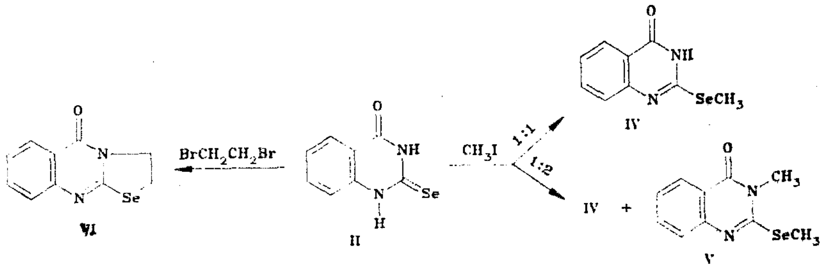
No information has yet been published on quinazoline derivatives that contain such heteroatoms as selenium, tellurium, etc., in position 2. Moreover, quinazolines with these substituents are of great theoretical interest from the viewpoint of comparing their reactivity with that of 2-oxo-, amino, or thioxoquinazolones-4. Furthermore, these compounds can be starting materials for the generation of a new heterocyclic system, viz., selenazoquinazoline.

On the basis of the nucleophilic substitution [1] of 2-methylthioquinazolone-4 (I) by various amines we assumed that by means of this reaction a selenium atom could be introduced at position 2 of the quinazoline ring, since when it is directly introduced into that position (as, e.g., in the case of sulfur [2]) the expected results were not obtained.

We have shown that for compound I nucleophilic substitution by sodium selenide at the moment it is formed [3] gives selenoxoquinazolone-4 (II), whereas 2-thioxoquinazolone-4 does not undergo this reaction.



Alkylation of II with methyl iodide in alcoholic alkali gives, depending on proportions, either 2-methylselenoquinazolone-4 (IV), or a mixture thereof with 3-methyl-2-selenoquinazolone-4 (V); alkylation with dibromoethane gives 2,3-dihydroselenazo[2,1-b]quinazolone-4 (VI).



Institute of Plant-Material Chemistry, Academy of Sciences of the Uzbek SSR, Tashkent 700170. Translated from Khimiya Geterotsiklicheskikh Soedinenii, No. 3, pp. 417-418, March, 1986. Original article submitted August 22, 1985.

0009-3122/86/2203-0345$12.50