FORMAMIDE IN THE SYNTHESIS OF 1,2,4-TRIAZOLO[1,5-a] BENZIMIDAZOLES

V. V. Kuz'menko, T. A. Kuz'menko, and A. M. Simonov

UDC 547.785.5'792.9.04

2-Alkyl(aryl)-s-triazolo[1,5-a]benzimidazoles are synthesized from 1,2-diaminobenzimidazoles and carbocylic acid anhydrides [1, 2]. 2-Unsubstituted s-triazolo[1,5-a]-benzimidazoles have not been described. According to the literature data, the direct cyclization of 1,2-diaminopyridinium salts by formic acid to s-triazolo[1,5-a]pyridine, which does not contain a substituent attached to the C(<sub>2</sub>) atom, proceeds in low yield [3], and in the azine series one must resort to multistep syntheses to obtain such systems [4, 5].

We have established that 1,2-diaminobenzimidazole and its quaternary salts are not cyclized by formic acid or ethyl orthoformate but are smoothly converted to 1,2,4-triazolo [1,5-a]-benzimidazoles I by refluxing in formamide. Heating 1-amino-2-methylaminobenzimidazole in formamide also leads to the isomeric 3-methyl-s-triazolo[1,5-a]benzimidazole (II), but the yield is 25-30%.



The following compounds were synthesized [compound, yield (%), and melting point (°C) given]: Ia, 67, 228-229 (from water); Iv, 75, 103-105 (from a mixture of heptane with benzene); Ic, 61, 64-65 (for isooctane); II, 30, 149-151 (from a mixture of heptane with benzene).

The structures of triazolobenzimidazoles I and II were confirmed by the results of elementary analysis and IR and PMR spectroscopic data. In the PMR spectra of I the signal of the 2-H proton is observed at 7.9-8.2 ppm, as compared with 7.65 ppm for II.

## LITERATURE CITED

- 1. R. J-fu Ho and A. R. Da, J. Org. Chem., <u>38</u>, 3084 (1973).
- 2. Y. Tamura, H. Hayashi, Joong-Heup Kim, and M. Ikeda, Chem. Pharm. Bull., 27, 2521 (1979).
- 3. K. T. Potts, H. R. Burton, and J. Bhattacharyya, J. Org. Chem., <u>31</u>, 260 (1966).
- S. Polanc, B. Vercek, B. Sek, B. Stanovnik, and M. Tisler, J. Org. Chem., <u>39</u>, 2143 (1974).
  Yang-i Lin and S. A. Lang, Jr., J. Org. Chem., <u>46</u>, 3123 (1981).

Scientific-Research Institute of Physical and Organic Chemistry, M. A. Suslov Rostov State University, Rostov-on-Don 344071. Translated from Khimiya Geterotsiklicheskikh Soedinenii, No. 2, p. 278, February, 1987. Original article submitted May 13, 1986.