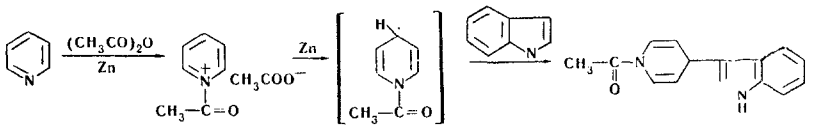
FREE-RADICAL HETARYLATION OF ORGANIC COMPOUNDS UNDER THE CONDITIONS OF THE DIMROTH REACTION

A. K. Sheinkman and Yu. N. Il'ina

UDC 547.751'828'833'835

The reaction of pyridine with acetic anhydride in the presence of zinc dust produces N-acetyl-1,4-dihydropyridyl free radicals, the recombination of which usually leads to 1,1'-diacetyl-1,1',4,4'-tetrahydropyridyl (Dimroth reaction [1]). It turned out that if activated aromatic or heterocyclic compounds are added to the reaction medium, the radicals formed react not with one another but with the more reactive organic compounds, for example:



The reaction of quinoline, isoquinoline, and acridine with acetic anhydride and nucleophilic aromatic and heteroaromatic compounds in the presence of active metals proceeds similarly. Using this route we readily obtained high yields of 2-aryl(hetaryl)-1-acetyl-1,2-dihydroquinolines and isoquinolines as well as 4-aryl(hetaryl)pyridine and 9-aryl(hetaryl)acridine derivatives.

The synthesized compounds were identical to the compounds previously obtained in the hetarylation of N-acetylcyclammonium chlorides [2-5] or were isomers of them.

There is every reason to suppose that other heteroaromatic cations (alkyl- and arylpyridinium, pyrylium, thiapyrylium, and their benzo derivatives), which form free radicals by the action of active metals, can also be used not only to obtain dipyridyl derivatives [6] and similar compounds but also in the hetarylation of nucleophilic organic compounds.

LITERATURE CITED

1. O. Dimroth and F. Frister, Ber., 55, 1223, 3893 (1922).
2. A. K. Sheinkman, N. F. Kazarinova, and E. P. Babin, Zh. Vses. Khim. Obshchestva, 7, 112 (1962).
3. A. K. Sheinkman, A. N. Kost, A. N. Prilepskaya, and Zh. V. Shiyan, Zh. Organ. Khim., 4, 1286 (1968).
4. A. K. Sheinkman, S. G. Potashnikova, and S. N. Baranov, Zh. Organ. Khim., 6, 614 (1970).
5. H. von Dobeneek and W. Goltzsche, Ber., 95, 1484 (1962).
6. O. Mumm and H. Ludwig, Ber., 57, 153 (1924); 59, 1605 (1926).

Donets State University. Translated from Khimiya Geterotsiklicheskikh Soedinenii, No. 4, pp. 568-569, April, 1971. Original article submitted September 14, 1970.