NEW REACTIONS OF N-ACETYLBENZOXAZOLIUM SALTS in situ

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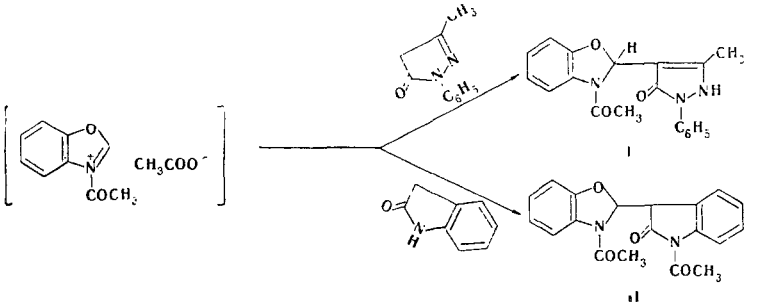
We have found that 2-substituted N-acetylbenzoxazolines (I, II) are formed in the reaction of benzoxazole with some CH acids (for example, with 1-phenyl-3-methyl-5-pyrazolone or oxindole):



This method was used to obtain I by heating equimolar amounts of benzoxazole and 1-phenyl-3-methyl-5-pyrazolone in acetic anhydride at 125° for 5 h. The resulting precipitate was washed with methanol and recrystallized from n-butanol to give a product with mp 168-169° and R_f 0.20 [in a chloroform–benzene–hexane system (30 : 6 : 1) on Al_2O_3] in 61% yield. IR spectrum: 1650 and 1695 (C=O); 3410 cm^{-1} (NH). PMR spectrum: 2.25 (CH_3), 2.45 (OC-CH_3), and 7.15-8.05 ppm (aromatic protons). Compound II, with mp 241-242° and R_f 0.20, was obtained in 21% yield under similar conditions. IR spectrum: 1690 and 1710 cm^{-1} (C=O). The results of elementary analysis of I and II were in agreement with the calculated values.

When the reaction was carried out with indoles under similar conditions in an inert solvent in the presence of acyl halides, the benzoxazole ring was opened with subsequent formation of tris(3-indolyl)methanes, as has been observed [1] in some reactions of N-acylbenzimidazolium salts in situ.

LITERATURE CITED

1. I. Bergman, Tetrahedron Lett., No. 46, 4723 (1972).

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