METHOD FOR THE PREPARATION OF THE THIAZINO[2,3-a]BENZIMIDAZOLE SYSTEM

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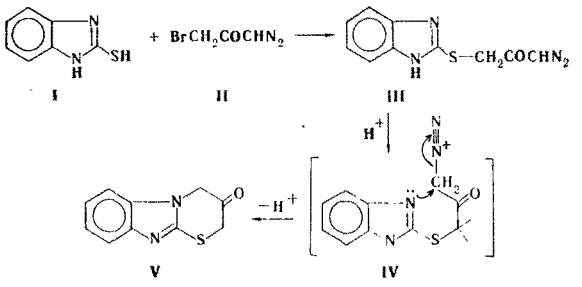
We have previously described the synthesis of 1,3-thiazines by intramolecular cyclization of diazo ketones [1]. We have found that S-alkylation with retention of the diazo carbonyl group and the formation of 1-diazo-3-(2-benzimidazolylthio)propanone (III) in 60% yield occur in the reaction of 2-mercaptobenzimidazole (I) with 1-diazo-3-bromopropanone (II) in methanol in the presence of sodium methoxide. Compound III in acetic acid is converted to 1,2,3,4-tetrahydrothiazino[2,3-a]benzimidazol-3-one (90% yield), which is formed as a result of intramolecular cyclization of the intermediate diazonium ion (IV) with the elimination of nitrogen.



I II III V IV

Intense absorption bands of a diazo group at 2090 cm^{-1} and a diazo ketone C=O group at 1610 cm^{-1} are observed in the IR spectrum of III (mineral oil). Singlets of the protons of methylene, diazomethine, and NH groups at δ 4.05, 6.0, and 5.25 ppm respectively, as well as a characteristic group of signals of aromatic protons, are observed in the PMR spectrum of diazo ketone III in $(CD_3)_2CO$. The IR spectrum of V does not contain an absorption band of a diazo group, but the carbonyl group absorbs at 1705 cm^{-1}, which corresponds to the spectrum of the previously described 1,3-thiazin-3-one [1]. Two singlets of methylene groups at δ 3.65 and 4.7 ppm and a multiplet of aromatic protons centered at 7.18 ppm are observed in the PMR spectrum of V in CF CF_3COOH.

The results of elementary analysis were in agreement with the calculated values.

LITERATURE CITED

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