SOME UNEXPECTED OXIDATION REACTIONS OF 1,3-BENZOTHIAZINES. A NEW ISOQUINOLINE SYNTHESIS BY RING TRANSFORMATION OF 1,3-BENZOTHIAZINE-β-LACTAMS

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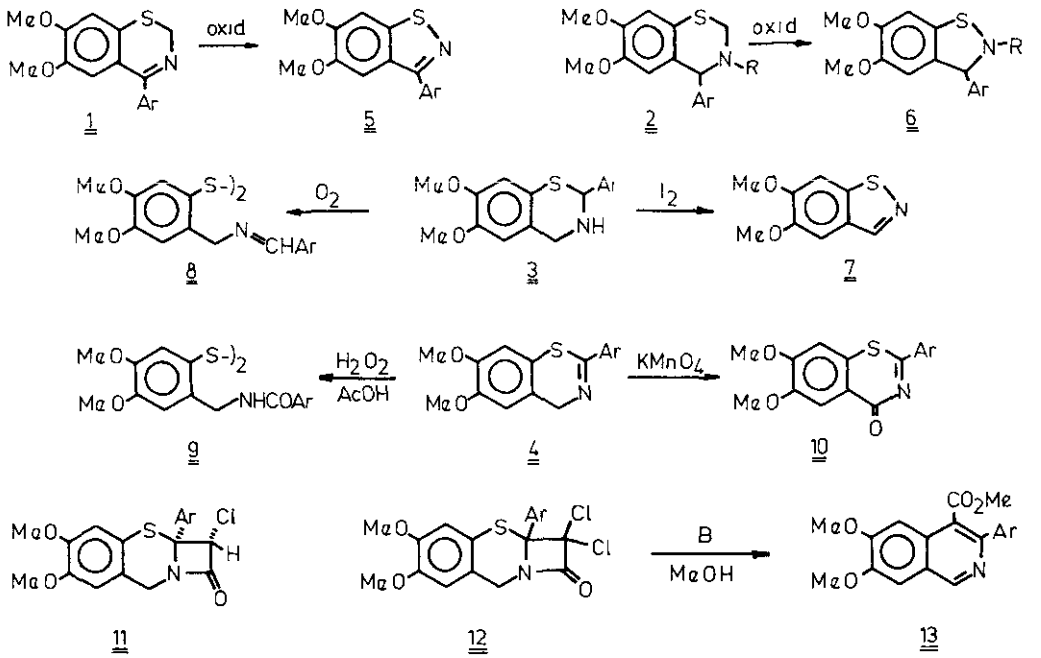
In a study of the oxidation of benzothiazines 1-4, some unexpected reactions were observed: 1 and 2 gave 1,2-benzothiazole derivatives 5 and 6 through ring contraction, 3 underwent ring opening and dimerization to give 8 or ring contraction to give 7, while in the case of 4 formation of a dimer (9) or an oxo compound (10) was observed.



1 5 2 6 8 3 7 9 4 10 11 12 13

Ar = Ph; o-ClC_6H_4; p-ClC_6H_4; p-$MeOC_6H_4$; 3,4-$(MeO)_2C_6H_3$; p-MeC_6H_4

We previously showed[1] that the monochloro-β-lactam derivative 11 gave a 1,4-benzothiazepine derivative under basic conditions in methanol. We have now found that the dichloro-β-lactam 12 under similar conditions furnished 3-aryl-4-methoxycarbonyl-6,7-dimethoxyisoquinolines 13. Mechanisms for these unexpected reactions will be given.

1. L. Fodor, J. Szabó, G. Bernáth, L. Párkányi, P. Sohár: Tetrahedron Letters 22, 5077 (1981)