

SYNTHESIS OF 3-ACETYL AND 3-AROYL-1,2-BENZISOXAZOLE DERIVATIVES

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A series of 3-acyl-1,2-benzisoxazoles (1) is an interesting system on isoxazole chemistry. In general, 1,2-benzisoxazoles are formed by cyclization of o-nitro- and o-bromophenyl ketone oximes with alkali, but the benzisoxazoles (1) have not yet been prepared because of their instability toward base, except a few examples such as 3-acetyl-6-nitro and polyfluoro-3-benzoyl-1,2-benzisoxazoles.

So, we studied on the synthesis of (1) by a new procedure involving an intermediate (5) in which the carbonyl group was masked by propylenedithiol. The procedure consists of three steps. The first step corresponds to the formation of aryl-1,3-dithianyl ketone oximes (4) by the 1,3-addition reaction of nitrile oxides (2) with 2-lithio-1,3-dithianes (3). At the second step the oximes (4) were treated with KOH to give the cyclization products (5), and in the final step, the objects (1) were produced from the desulfurization of (5) by the use of mercury oxide. The structure of these compounds (1), (4) and (5) was determined by i.r., n.m.r. and m.s. spectral data. The stereochemistry of (4) and the reaction mechanism for the cyclization of (4) to (5) are also discussed.

