SYNTHESIS OF FIVE-MEMBERED HETEROCYCLES INVOLVING N ATOM FROM ALIPHATIC NITRO COMPOUNDS

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The authers wish to report here a convenient synthesis of diacylpyrrole derivatives(3) from β-diketones(2) such as dibenzoylmethane, using primary aliphatic nitro compounds(1) and acetyl chloride.

In our previous report (Chem. Pharm. Bull., 28, 3296(1980)), a novel route generating nitrile oxides(7) was developed by O-acylation of aliphatic nitro compounds with acetyl chloride. The one step synthesis of (3) is presented to illustrate the usefulness of this method; furthermore, (7) reacts with (2) to give the pyrroles(3) by a cyclization reaction of (2) and 1-azirines(5) formed after fragmentation of cycloadduct(11). Structure of (3) could be confirmed by chemical shift's data of ^{1}H-NMR of p-methyl groups of the corresponding derivatives.

On the other hand, 1,2,4-2H-oxadiazines(15) were obtained from (1) and one half mode of (2) by the above-mentioned reaction. This reaction mechanism is discussed.

It was also found that 1,3-diphenylguanidine reacted with (1) and acetyl chloride under similar condition to afford 1,2,4-oxadiazoline-5-one derivatives (18) in good yield. The reaction mechanism is also discussed.