SYNTHESIS OF SOME NITROGEN HETEROCYCLES USING DIKETENE-ACETONE ADDUCT

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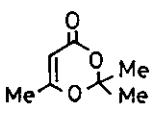
In contrast to the vast amount of attention that has been given to the chemistry of diketene, so-called diketene-acetone adduct (1) has languished in relative neglect. This adduct is readily prepared from diketene and acetone in the presence of an acidic catalyst. During the course of the investigation of potential uses of diketene, we studied reaction of the adduct 1 comparing with that of diketene itself, and we found that the adduct 1 is useful for synthesis of some heterocycles such as pyridones, 1,3-oxazin-4-ones, and fused pyrroles.

1. Reaction of the adduct 1 with imines produced *N*-phenyl-4-pyridones (2) or 2,3-dihydro-1,3-oxazin-4-ones (3).
2. Reaction of the adduct 1 with isoquinolinium methylides produced pyrrolo-[2,1-*a*]isoquinolines (4) and 1,3-oxazinylmethylides (5). Pyridinium methylides likewise reacted with the adduct 1 to give indolizines and oxazinylmethylides.
3. The adduct 1 reacted with primary enamines and ketene acetals to produce 4-pyridones (6) and 4-pyrones (7), respectively.
4. The adduct 1 reacted with amides to produce the *N*-acylacetoacetamide, which is a useful intermediate to 2-substituted 6-methyl-1,3-oxazin-4-ones.



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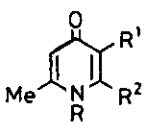

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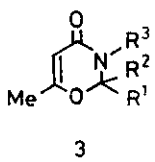

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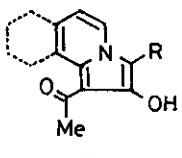

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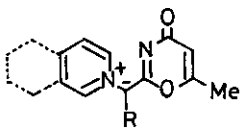

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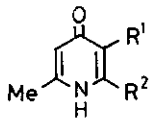

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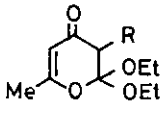

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