SYNTHESIS OF *N*-HETEROCYCLES USING 1,3-DIOXIN-4-ONES

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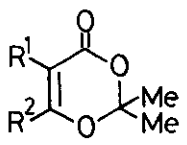
As an extension of our works on diketene and diketene - acetone adduct (1: R^1 = H, R^2 = Me) in the synthesis of heterocycles, we studied the reaction of a variety of 2,2-dimethyl-1,3-dioxin-4-ones (1).

Thermal reaction of dioxinones 1 with ammonia and amines produced β-keto acid amides (2). Dioxinones 1 reacted with amido NH to give the similar product (2: R^3 = CO·R). Compounds 2 are potential intermediates to various nitrogen heterocycles. For example, 5-alkyl-3-hydroxyisoxazoles (3) were readily prepared from 2 (R^3 = CH_2Ph).

Dioxinones 1 reacted with 1,2-dipoler compounds such as imines, isocyanates and carbodiimides to give the corresponding 1,3-oxazin-4-ones (4 - 6). These ring-transformations involve cycloaddition of acylketene (7) to the 1,2-dipoles. Accordingly, the musked acylketenes (1) can be used as equivalents of mixed diketenes (8).



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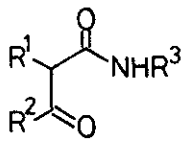

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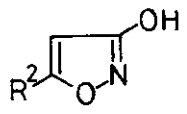

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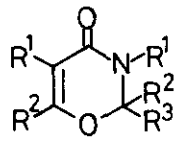

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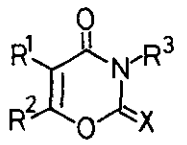
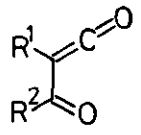


5 (X=O)
6 (X=NR^3)



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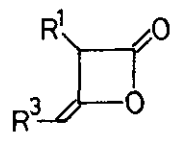

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