REACTIVITY OF 5,6-UNSUBSTITUTED 1,3-DIOXIN-4-ONE AS AN EQUIVALENT OF FORMYLKETENE OR FORMYLACETIC ACID

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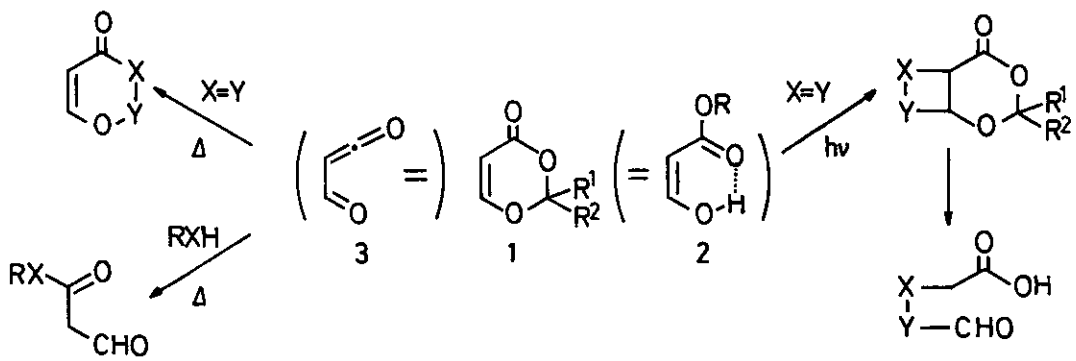
We have established recently a general and efficient synthetic method of 5,6-unsubstituted 1,3-dioxin-4-ones (1)[1] and demonstrated their successful use as a viable alternative reagent for formyl acetic ester (2) in the so-called de Mayo reaction. The method provided a novel way for the introduction of carboxaldehyde and acetic acid appendages at the vicinal position of alkanes starting from corresponding alkenes[2] and has been applied to a one-pot synthesis of cis-2-formyl-5-hydroxy-2-cyclopentene-1-acetic acid γ-lactone , a key intermediate for the synthesis of prostaglandin derivatives.[3] It has also become obvious that 1 generates formylketene (3) under a quite mild condition (heating at about 100-120°C) in an aprotic solvent. Thus, 1 can be regarded as a synthetic equivalent of formylketene (3). 3 reacts in situ either with polarized unsaturated functions (1,2-dipoles: X=Y ↔ X^-—Y^+) in a 4+2 manner to give a variety of six-membered heterocycles or with hetero- or C-nucleophiles (RXH) to give formylacetylation products.



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