# Cycloadditions with Tautomeric Systems: Conversion of Oxazol-4(5*H*)-ones into Substituted Furans

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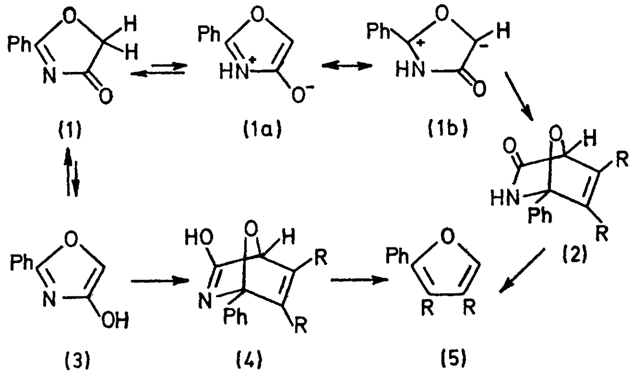
*Summary* 2-Phenyloxazol-4(5*H*)-one undergoes cycloaddition with acetylenic dipolarophiles to give 3,4-disubstituted 2-phenylfurans in moderate yields.

The mesoionic oxazolium-5-oxides are amongst the most reactive mesoionic compounds in 1,3-dipolar cycloaddition reactions;[1] this property is shared to some degree by the corresponding oxazol-5(4*H*)-ones (azlactones).[2] Similar characteristics would be anticipated in the corresponding oxazol-4(5*H*)-ones, and we now describe their ready cycloaddition to acetylenic dipolarophiles to give substituted furans.

2-Phenyloxazol-4(5*H*)-one[3] (**1**) when refluxed in acetic anhydride (or xylene) with a slight excess of dimethyl acetylenedicarboxylate for 12 h gave, after chromatography, dimethyl 2-phenylfuran-3,4-dicarboxylate (**5**; R = $CO_2Me$) (50% yield) m.p. 70–71°. Similarly dibenzoylacetylene gave 3,4-dibenzoyl-2-phenylfuran (**5**; R = Bz) (30%) m.p. 148·5–149°. The products were identified by their i.r., n.m.r., and mass spectra.

In contrast to the oxazol-5(4*H*)-ones, two reaction pathways are feasible with the present system. Tautomerism between the oxazol-4(5*H*)-one (**1**) and the oxazolium-4-oxide (**1a**), for which the resonance formula (**1b**) indicates contributions from a heteroaromatic carbonyl ylide, accounts for a 1,3-dipolar type cycloaddition *via* an intermediate such as (**2**). Alternatively, the enolic tautomer[4] (**3**) may be involved in a Diels–Alder type addition to an intermediate (**4**) which, by loss of HOCN, would give the furan (**5**). The latter pathway cannot be discounted, as substituted 5-ethoxyoxazoles[2,5] undergo Diels–Alder additions with dienophiles.



We thank the U.S. Public Health Service, National Cancer Institute, for financial support; J. M. acknowledges the award of an NDEA Traineeship.

(*Received*, 12*th July* 1972; *Com.* 1207.)

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