SYNTHESIS OF 2,3,6-TRISUBSTITUTED 3,4-DIHYDRO-4H-1,3-OXAZIN-4-ONES

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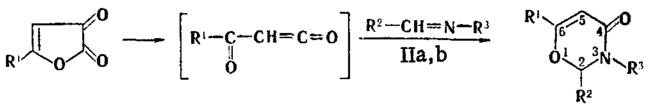
We unexpectedly isolated 2,3,6-trisubstituted 3,4-dihydro-4H-1,3-oxazin-4-ones (IIIa-c) in the reaction of 5-arylfuran-2,3-diones (I) with azomethines (II) in refluxing benzene.

It has previously been established that I are readily decarbonylated under similar conditions. The benzoyl ketene that is formed as an intermediate in the decarbonylation evidently undergoes 1,4-addition to the azomethine bond via the scheme



Ia,b

IIIa-c

I a $R^1=C_6H_5$; b $R^1=p\text{-}CH_3OC_6H_4$; II $R^2=C_6H_5$; a $R^3=C_6H_5$; b $R^3=CH_3$; III a $R^1=R^2=R^3=C_6H_5$; b $R^2=R^3=C_6H_5$, $R^1=p\text{-}CH_3OC_6H_5$; c $R^1=R^2=C_6H_5$, $R^3=CH_3$.

2,3,6-Triphenyl-3,4-4H-1,3-oxazin-4-one (IIIa). This compound, with mp 167-171°C (from ethanol), was obtained in 95% yield by refluxing equimolar amounts of starting Ia and IIa in benzene for 3 h. PMR spectrum (in $CF_3C_6H_5$), δ: 7.52 (15H, m, phenyl rings), 6.98 (1H, s, 5-H), 5.82 ppm (1H, s, 2-H). IR spectrum (in KBr): 1655 cm^{-1} (C = O). UV spectrum (in ethanol), λ_{max} (log ε): 208 (4.07) and 303 nm (3.89).

Hydrolysis of IIIa in 10% HCl for 1 h gave benzoylacetic acid anilide.

2,3-Diphenyl-6-(4-methoxyphenyl)-3,4-dihydro-4H-1,3-oxazin-4-one (IIIb). This compound, with mp 163-164°C (from methanol), was obtained from starting Ib and IIa in 94% yield.

3-Methyl-2,6-diphenyl-3,4-dihydro-4H-1,3-oxazin-4-one (IIIc). This compound, with mp 133-134°C (from hexane), was obtained from Ia and IIb in 90% yield.

The results of elementary analysis of IIIa-c were in agreement with the calculated values.

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