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OF 5-ARYL-2,3-DIHYDROFURAN-2,3-DIONES BY MEANS
OF 1,3,3-TRIMETHYL-3,4-DIHYDROISOQUINOLINE
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It is known that the aroyl ketones formed in the thermal decarbonylation of 5-aryl-2,3-dihydrofuran 2,3-diones (I) undergo $4\pi + 2\pi$ cycloaddition with azomethines to give 2,3,6-trisubstituted 3,4-dihydro-2H-1,3-oxazin-4-ones [1]. N-Aroylpyruvoyl-3,3-dimethyl-3,4-dihydro- Δ '-2H-methyleneisoquinolines (IIIa-e) were obtained unexpectedly instead of the corresponding 3,4-dihydro-2H-1,3-oxazinones in the reaction between the furandiones (Ia-e) and 1,3,3-trimethyl-3,4-dihydroisoquinoline (II).

 $p - \mathbf{RC}_{6}\mathbf{H}_{4} - \mathbf{O} + \mathbf{O}$

I, III a R H; b R=CH₃; C R=F; d R=CI; e R=Br

The reaction takes place when equimolar amounts of the reagents (0.01 mole) are heated in 100 ml of anhydrous benzene at 75-80°C for 15-20 min, i.e., under conditions that exclude the formation of significant amounts of aroyl ketones [2].

The formation of III is probably a consequence of the existence of isoquinolines II in tautomeric equilibrium with the enamines, viz., 3,3-dimethyl-3,4-dihydro- Δ '-2H-methyleneisoquinolines, which open up the furan ring of I in the same way as ammonia and aromatic amines [3]. Despite the fact that the presence of this form is not detected by IR and PMR spectroscopy, its concentration is evidently sufficient for the reaction to proceed anomalously and at a high rate.

PMR spectrum of IIIa (CDCl₃): 1.30 (s, 6H, CH₃), 6.51 (s, 1H, CH), 7.45 (m, 11H, aromatic protons +CH₂), and 12.14 ppm (s, 1H, OH). The PMR spectra of IIIb-e have similar character and constitute evidence for the complete enolization of the α -carbonyl group in the aroylpyruvic acid residue. IR spectrum (mineral oil): 1605-1610 (COC₆H₅) and 1617-1620 cm⁻¹ (CON <).

Compound IIIa, with mp 139-141°C (from ethanol), was obtained in 91% yield. Compound IIIb had mp 157-158°C, IIIc had mp 150-152°C, IIId had mp 157-158°C, and IIIe had mp 149-150°C. Compounds IIIa-e react with o-phenylenediamine to give 3-phenacylidene-2,3-dihydro-2-quinoxalones; this reaction is characteristic for amides of aroylpyruvic acids.

The results of elementary analysis for C, H, N, Cl, and Br for all of the compounds obtained were in agreement with the calculated values.

LITERATURE CITED

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