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SHORT COMMUNICATIONS

Spiro Heterocyclization of Pyrrolo[2,1-*c*][1,4]benzoxazine-1,2,4-trione with Dimedone

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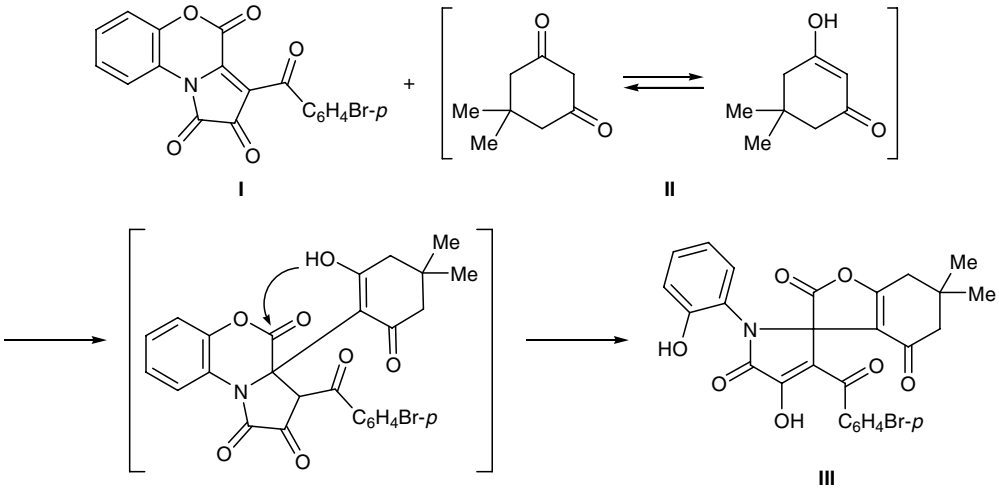
Reactions of substituted 2,3,-dihydro-1*H*-pyrrole-2,3-diones, including those fused at the *a* bond to nitrogen-containing heterocycles, with enols were not reported previously. By reacting 3-*p*-bromobenzoyl-2,4-dihydro-1*H*-pyrrolo[2,1-*c*][1,4]benzoxazine-1,2,4-trione (**I**) with an equimolar amount of 5,5-dimethylcyclohexane-1,3-dione (**II**, dimedone) in boiling anhydrous benzene (reaction time 1.5 h), we obtained 3'-(4-bromobenzoyl)-4'-hydroxy-1'-(2-hydroxyphenyl)-6,6-dimethyl-2,2',3,4,5,5',6,7-octahydro-1'*H*-spiro[1-benzofuran-3,2'-pyrrole]-2,4,5'-trione (**III**) in almost quantitative yield. The spectral parameters of spiro compound **III** were very similar to those found for model octahydrospiro[indole-3,2'-pyrroles] whose structure was proved by X-ray analysis [1].

Presumably, the first reaction stage is addition of the activated β-CH group in the enol form of dimedone (**II**) at the C^{3a} atom in pyrrolobenzoxazinetrione **I**, as was reported for the reactions of the latter with mononucleophilic species [2]. The subsequent furan ring closure via intramolecular attack by the enol hydroxy group on the lactone carbonyl group in the oxazine ring is accompanied by cleavage of the latter at the C^4–O^5 bond. The described reaction is a quite rare example of regioselective formation of difficultly accessible spiro[1-benzofuran-3,2'-pyrrole] system having variable functionalities in several positions of both heterocyclic components.

3'-(4-Bromobenzoyl)-4'-hydroxy-1'-(2-hydroxyphenyl)-6,6-dimethyl-2,2',3,4,5,5',6,7-octahydro-1'*H*-spiro[1-benzofuran-3,2'-pyrrole]-2,4,5'-trione (III). A solution of 1 mmol of compound **I** and 1 mmol of dimedone (**II**) in 10 ml of anhydrous benzene was heated for 1.5 h under reflux. The mixture was cooled, and the precipitate was filtered off. Yield 96%, mp 219-221°C (from ethyl acetate). IR spectrum, ν, cm^{-1}: 3300 br (OH); 1838 (C^2=O); 1721 ($C^{5'}$=O); 1655, 1620 (C^4=O, COC_6H_4). ^{1}H NMR spectrum, δ, ppm: 0.65 s (3H, Me), 0.89 s (3H, Me), 1.98 d.d and 2.12 d.d (1H each, 7-H, J = 15.9 Hz), 2.43 d.d and 2.61 d.d (2H, 5-H, J = 18.7 Hz), 6.77–7.76 m (8H, H_{arom}), 10.13 s (1H, OH, phenol), 11.89 br.s (1H,



4'-OH). Found, %: C 57.92; H 3.75; Br 14.87; N 2.70. $C_{26}H_{20}BrNO_7$. Calculated, %: C 58.02; H 3.73; Br 14.83; N 2.61.

The IR spectrum was recorded on an FMS-1201 spectrophotometer from a sample dispersed in mineral oil. The ^{1}H NMR spectrum was measured on a Bruker WP-400 spectrometer in DMSO-d_6 using TMS as internal reference. The purity of compound **III** was checked by TLC on a Silufol plate using ethyl acetate as eluent (development with iodine vapor).

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