

SHORT  
COMMUNICATIONS

## Mild Addition of 2-Pyrene to Pyrrolo[2,1-*c*][1,4]benzoxazine-1,2,4-trione

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Received April 9, 2007; final version October 23, 2007

DOI: 10.1134/S107042800805028X

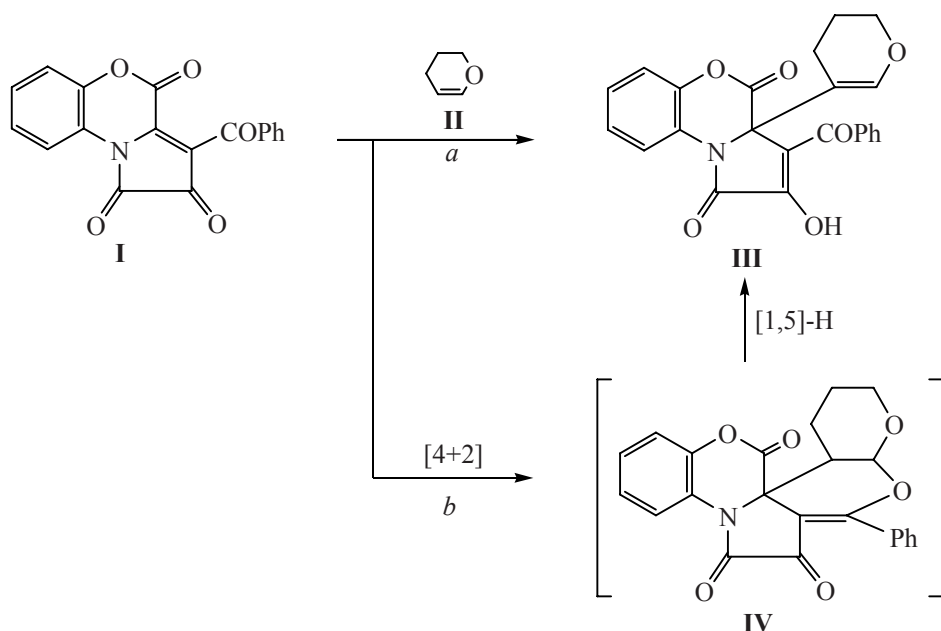
Reactions of olefins addition to 1*H*-pyrrole-2,3-diones, in particular, fused at the [*a*] side with azaheterocycles, were not previously reported.

In reaction of 3-benzoyl-2,4-dihydro-1*H*-pyrrolo[2,1-*c*][1,4]benzoxazine-1,2,4-trione (**I**) with 2-pyrene (**II**) in a ratio 1:2 at boiling in anhydrous toluene for 100–120 min we unexpectedly obtained in good yield 3-benzoyl-2-hydroxy-3a-(3,4-dihydro-2*H*-pyran-5-yl)-1*H*-pyrrolo[2,1-*C*][1,4]benzoxazine-1,4(3*aH*)-dione (**III**).

The formation of compound **III** may be attributed to the extremely easy nucleophilic addition (route *a*) of a polarized olefin **II** to the most electrophilic site of

compound **I** as it has been described for reactions of pyrrolobenzoxazinetriones **I** with OH<sup>-</sup> and NH-mononucleophiles [1, 2]. A probable alternative mechanism (route *b*) involves the [4+2]-cycloaddition of olefin **II** at the system of conjugated bonds O=C–C<sup>3</sup>=C<sup>4</sup> as is characteristic of acyl-substituted 1*H*-pyrrole-2,3-diones [3, 4] to form compound **IV** with a subsequent [1,5]-prototropic shift in the latter.

The described reaction is a mild method of a carbon-carbon bond formation occurring without intermolecular activation and previously not observed with five-membered 2,3-dioxoheterocycles.



**Benzoyl-2-hydroxy-3a-(3,4-dihydro-2H-pyran-5-yl)-1H-pyrrolo[2,1-c][1,4]benzoxazine-1,4(3aH)-dione (III).** A solution of 3.3 mmol of compound **I** and 6.7 mmol of 2-pyrene (**II**) in 15 ml of anhydrous toluene was boiled for 120 min (till decoloration), the mixture was cooled, the separated precipitate was filtered off. Yield 84%, mp 126–128°C (from hexane). IR spectrum,  $\nu$ ,  $\text{cm}^{-1}$ : 3025 br (OH), 1777 ( $\text{C}=\text{O}$ ), 1713 ( $\text{C}=\text{O}$ ), 1655 (COPh).  $^1\text{H}$  NMR spectrum,  $\delta$ , ppm: 0.86 t (1H,  $\text{C}^4\text{H}$ ,  $J$  6.7 Hz) 1.60, 1.78 d.m (2H,  $\text{C}^5\text{H}$ ), 3.70, 3.87 d.m (2H,  $\text{C}^6\text{H}$ ), 6.26 s (1H,  $\text{C}^2\text{H}$ ), 7.33–7.90 group of s (9H,  $\text{C}_6\text{H}_4$  + Ph), 11.80 s (1H, OH).  $^{13}\text{C}$  NMR spectrum (DMSO- $d_6$ ),  $\delta$ , ppm: 17.06 ( $\text{C}^4$ ), 20.90 ( $\text{C}^5$ ), 65.12 ( $\text{C}^6$ ), 67.44 ( $\text{C}^{3a}$ ), 106.51 ( $\text{C}^2$ ), 116.46–143.42 ( $\text{C}^{\text{Ar}}$ ), 161.41, 162.81 ( $\text{C}^1$ ,  $\text{C}^4$ ), 190.00 (COPh). Found, %: C 68.38; H 4.27; N 3.57.  $\text{C}_{23}\text{H}_{17}\text{NO}_6$ . Calculated, %: C 68.50; H 4.23; N 3.46.

IR spectrum was recorded on a spectrophotometer FMS-1201 from mull in mineral oil.  $^1\text{H}$  and  $^{13}\text{C}$  NMR

spectra were registered on a spectrometer Bruker WP-400, solvent DMSO- $d_6$ , internal reference TMS. The homogeneity of compound synthesized was proved by TLC on Silufol plate, eluent ethyl acetate, development in iodine vapor.

The study was carried out under a financial support of the Russian Foundation of Basic Research (grant no. 08-03-01032).

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