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REACTION OF 2,3-DIOXOPYRROLO-[2,1-*a*]ISOQUINOLINES WITH ANTHRONE

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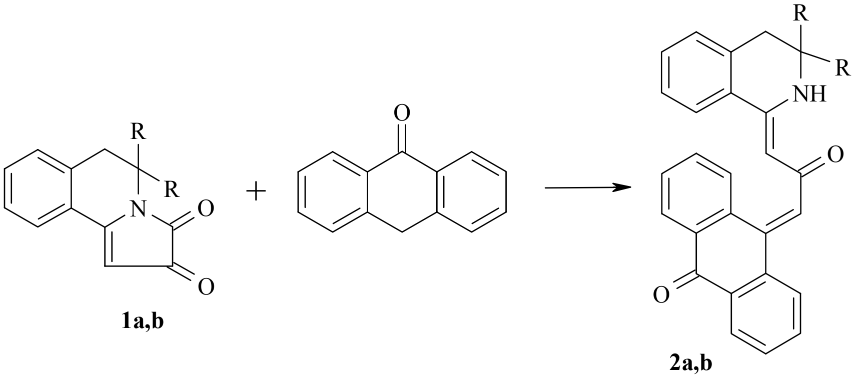
2,3-Dioxopyrrolo[2,1-*a*]isoquinolines are characterized by a variety of chemical properties [1]. However, the reaction of these compounds with C-nucleophiles has not yet been studied. In a continuation of our studies in this area, we discovered that dioxopyrrolines **1a**,**b** react with anthrone under the ordinary Knoevenagel reaction conditions in the presence of piperidine and acetic acid with opening of the pyrroledione ring to give enamino ketones **2a** (R = Me) and **2b** (R = $4CH_2$).



1a,b

2a,b

Enamines **2a**,**b** are potential synthones for obtaining drugs and polymer additives.

The ^{1}H NMR spectra were taken on a Bruker-300 spectrometer at 300 MHz with HMDS as the internal standard (δ 0.05 ppm). The assignment of the singlet of the vinyl proton in the enamino ketone fragment is based on extensive data, for example, the results of our previous work [2]. The position of the singlet of the vinyl proton of the anthrone side chain corresponded to the calculated value [3]. The IR spectra were taken on a Specord M-80 spectrometer for vaseline mulls.

1-(3,3-Dimethyl-1,2,3,4-tetrahydroisoquinolin-1-iden)-3-(anthron-9-idene)-2-propanone (2a). Acetic acid (0.06 ml, 1 mmol or 1-2 drops) and piperidine (0.06 ml, 1 mmol or 1-2 drops) were added to a solution of **1a** (2.27 g, 10 mmol) and anthrone (1.94 g, 10 mmol) in benzene (20 ml). After heating at reflux for 20 min, the solution turned from red to yellow. After cooling to 20°C, the mixture was diluted with hexane (100 ml). The precipitate formed was filtered off, dried, and recrystallized from 2-propanol. The yield of

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2a was 2.6 g (64%); mp 138-140°C. IR spectrum, ν, cm^{-1}: 1620 (C=O anthrone), 1640 (C=O ketone, chelate), 3180 (NH ring, chelate). ^{1}H NMR spectrum in DMSO-d$_6$, δ, ppm (*J*, Hz): 1.32 (6H, s, 2CH$_3$); 2.84 (2H, s, H-4); 5.85 (1H, s, =CH enamine); 6.81 (1H, s, =CHCO anthrone); 7.10-8.28 (12H, m, Ar); 11.19 (s, NH ring). Found, %: C 82.7; H 5.6; N 3.6. $C_{24}H_{26}N_2O_2$. Calculated, %: C 82.9; H 5.7; N 3.5.

1-(3-*spiro*-Cyclopentyl-1,2,3,4-tetrahydroisoquinolin-1-idene)-3-(anthron-9-idene)-2-propanone (2b) was obtained analogously from **1b** (2.53 g, 10 mmol). The yield of **2b** was (2.7 g, 62%); mp 198-200°C. IR spectrum, ν, cm^{-1}: 1620 (C=O anthrone), 1635 (C=O ketone, chelate), 3150 (NH ring, chelate). ^{1}H NMR spectrum in CDCl$_3$, δ, ppm (*J*, Hz): 1.32-1.83 (8H, m, 3-,4-CH$_2$); 2.88 (2H, s, H-4); 5.82 (1H, s, =CH enamine); 6.78 (1H, s, =CHCO anthrone); 7.18-8.28 (12H, m, Ar); 11.23 (s, NH ring). Found, %: C 83.4; H 5.6; N 3.4. $C_{30}H_{25}NO_2$. Calculated, %: C 83.5; H 5.8; N 3.3.

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