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LETTERS TO THE EDITOR

UNUSUAL REACTION OF 5-BENZOYL-3-ETHOXYCARBONYL-6-METHYLTHIO-1-R-1,2-DIHYDROPYRIDIN-2-ONES WITH 1,3-DIAMINOPROPANE

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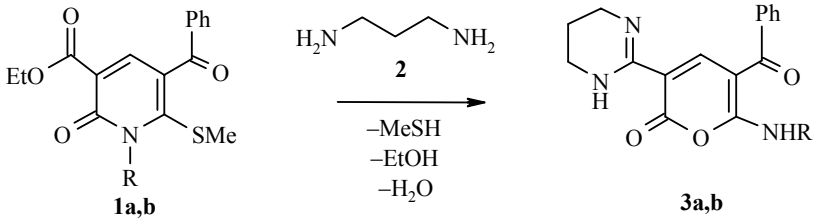
Keywords: 5-benzoyl-3-ethoxycarbonyl-6-methylthio-1-R-1,2-dihydropyridin-2-ones, 6-(R-amino)-5-benzoyl-3-(1,4,5,6-tetrahydro-2-pyrimidinyl-2H-2-pyranones, 1,3-diaminopropane, recyclization.

We have recently developed a preparative method for the selective synthesis of 5-benzoyl-3-ethoxycarbonyl-6-methylthio-1-R-1,2-dihydropyridin-2-ones **1a,b** from available starting materials and showed that these products readily condense with nitrogen-containing 1,2- and 1,3-dinucleophiles to give bi- and tricyclic heterosystems [1].

In a continuation of this study, we have found that 1,2-dihydropyridin-2-ones **1a**,**b** react with 1,3-diaminopropane **2** with recyclization to give 6-(R-amino)-5-benzoyl-3-(1,4,5,6-tetrahydro-2-pyrimidinyl)-2H-2-pyranones **3a,b**.



1, **3 a** R = Me, **b** R = Et

Three broad multiplets of the tetrahydropyrimidine ring at 2.04-2.06, 3.52-3.53, and 3.98-3.99 ppm, a doublet for C<u>H</u>$_3$NH (**3a**, δ 2.75 ppm, J = 4.5 Hz), a quartet or triplet for the AlkN<u>H</u> groups (8.92-9.05 ppm), and singlets of the tetrahydropyrimidine NH groups are characteristic signals in the ^{1}H NMR spectra for confirming the formation of compounds **3a,b**. The strong deshielding of the NH protons indicates the existence of intramolecular NH···O hydrogen bonds in **3a,b**. The existence of the aroyl fragment carbonyl group in compounds **3a,b** was indicated by ^{13}C NMR spectroscopy since the Ph–<u>C</u>=O carbon signals at 191.0-194.1 ppm in the starting 1,2-dihydropyridines **1a,1b** [1] and product **3a** are extremely characteristic.

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The IR spectra of 2H-2-pyranones **3a,b** show characteristic stretching bands for the NH group at 3300 cm^{-1} and for the carbonyl group at 1670 cm^{-1}.

The composition of products **3a,b** was supported by elemental analysis.

This recyclization may be seen as a new synthetic method for the preparation of previously unknown 2H-2-pyranones.

The ^{1}H and ^{13}C NMR spectra were taken on a Varian-300 spectrometer at 300 and 75 MHz, respectively, in DMSO-d_6 with TMS as the internal standard. The IR spectra were taken on a UR-20 spectrometer for KBr pellets.

5-Benzoyl-6-(methylamino)-3-(1,4,5,6-tetrahydro-2-pyrimidinyl)-2H-2-pyranone (3a). A solution of 1,2-dihydropyridin-2-one **1a** (0.331 g, 1 mmol) and 1,3-diaminopropane **2** (0.222 g, 3 mmol) in 2-propanol (4 ml) was heated at reflux for 2 h and cooled. A precipitate of compound **3a** was filtered off. Yield of **3a** was 0.134 g, (43%); mp 253-256°C (nitromethane). IR spectrum, ν, cm^{-1}: 3300, 3100, 2950, 1670, 1640, 1590, 1550, 1510, 1440, 1400, 1380. ^{1}H NMR spectrum, δ, ppm (*J*, Hz): 2.04 (2H, br. m, 5'-CH_2); 2.75 (3H, d, $J = 4.5$, NHC$\underline{H}_3$); 3.52 (2H, br. m, 6'-CH_2); 3.99 (2H, br. m, 4'-CH_2); 7.39-7.65 (5H, m, C_6H_5); 8.31 (1H, s, H-4); 8.92 (1H, q, $J = 4.5$, N$\underline{H}CH_3$); 10.88 (1H, br. s, 1'-NH). ^{13}C NMR spectrum, δ, ppm: 18.7 (5'-CH_2); 26.1 (NCH_3); 38.7 (6'-CH_2); 39.9 (4'-CH_2); 98.8 (C-4); 104.6 (C-5); 128.5, 128.9, 131.1, 140.0 (C_{Ar}); 146.8 (C-3); 155.0 (C-2'); 161.4 (C-6); 164.4 (C-2); 194.1 (Ph-$\underline{C}$=O). Found, %: C 65.73; H 5.32; N 13.74. $C_{17}H_{17}N_3O_3$. Calculated, %: C 65.58; H 5.50; N 13.50.

5-Benzoyl-6-(ethylamino)-3-(1,4,5,6-tetrahydro-2-pyrimidinyl)-2H-2-pyranone (3b) was obtained in 39% yield analogously to **3a**, mp 257-259°C (nitromethane). IR spectrum, ν, cm^{-1}: 3300, 3100, 3000, 1670, 1640, 1580, 1540, 1510, 1470, 1380. ^{1}H NMR spectrum, δ, ppm (*J*, Hz): 1.07 (3H, t, $J = 6.6$, $NCH_2C\underline{H}_3$); 2.06 (2H, br. m, 5'-CH_2); 3.47 (2H, br. m, $NC\underline{H}_2Me$); 3.53 (2H, br. m, 6'-CH_2); 3.98 (2H, br. m, 4'-CH_2); 7.40-7.61 (5H, m, C_6H_5); 8.32 (1H, s, H-4); 9.05 (1H, br. t, $N\underline{H}CH_2Me$); 10.87 (1H, br. s, 1'-NH). Found, %: C 66.64; H 5.68; N 13.15. $C_{18}H_{19}N_3O_3$. Calculated, %: C 66.45; H 5.89; N 12.91.

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