Chemistry of Heterocyclic Compounds, Vol. 38, No. 5, 2002

ACYLATION PRODUCTS OF N-PHENYL-2-(5-*tert*-BUTYL-2-THIENYL)SUCCINIMIDE

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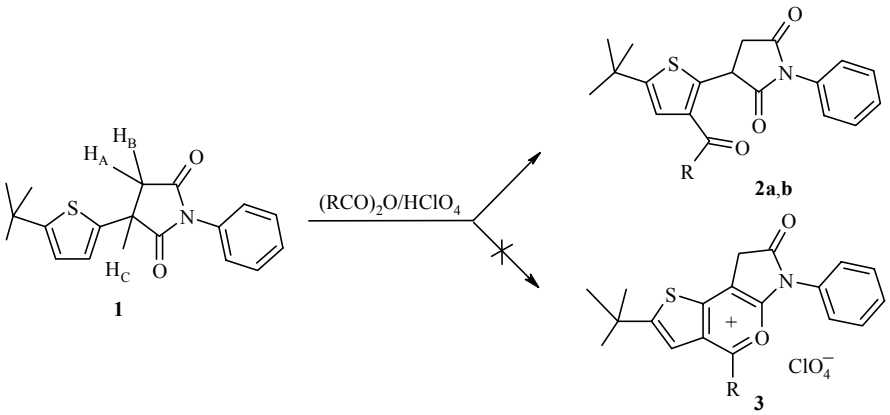
Keywords: acylimide, pyrilium, succinimide, thiophene, acylation.

In previous work, we reported that the acylation of 2-aryl- and 2-hetaryl-N-phenylsuccinimides in a mixture of a carboxylic acid anhydride and perchloric acid depends on the type of aryl fragment. Thus, 2-(3,4-dimethoxyphenyl)-N-phenylsuccinimide is converted into 5-alkyl-7,8-dimethoxy-2-oxo-3-phenylbenzo-[*c*]pyrrolo-[3,2-*e*]pyrilium perchlorates [1], while 2-(3-indolyl)-N-phenylsuccinimides are acylated at position 5 of the indolyl substituent to give 2-(1-R-5-acyl-3-indolyl)-N-phenylsuccinimides [2].

In a continuation of a study of the transformations of aryl- and hetarylsuccinic acid derivatives in acid-catalyzed heterocyclization reactions, we found that 2-(5-*tert*-butyl-2-thienyl)-N-phenylsuccinimide (**1**) reacts with alkanoyl perclorates to give only products of acylation of the thiophene ring, namely, 3-acylimides **2a** and **2b**. The expected thieno[3,2-*c*]pyrrolo[3,2-*e*]pyrilium salts **3** are not formed as in the case of indolylsuccinimides.

Acylation of imide **1** at C-3 of thiophene ring is also indicated by the lack of long-range coupling of aromatic 3-H with the CH proton of the succinimide ring in the ^{1}H NMR spectra of **2a** and **2b**. Such long-range coupling is noted in the spectrum of starting imide **1** (J = 1 Hz) along with a doublet of doublets of doublets at 4.38 ppm for CH and a doublet of doublets for 3-H at 6.87 ppm.



2 a R = Me; **b** R = Et

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2-(5-*tert*-Butyl-2-thienyl)-N-phenylsuccinimide (1) was obtained by analogy to our previous procedure [1] in 58% yield; mp 152-153°C. IR spectrum (nujol), ν, cm^{-1}: 1708, 1730 (C=O). ^1H NMR spectrum (DMSO-d_6), δ, ppm, *J* (Hz): 1.37 (9H, s, $3CH_3$); 3.09 (1H, dd, J_{AB} = 18.4, J_{AC} = 5.2, H_A); 3.39 (1H, dd, J_{AB} = 18.4, J_{BC} = 9.4, H_B); 4.38 (1H, ddd, J_{AC} = 18.4, J_{BC} = 9.4, $J_{HC–H\ arom}$ = 10, H_C); 6.72 (1H, d, *J* = 3.6, H_{arom}); 6.87 (1H, dd, *J* = 3.6, $J_{HC–H\ arom}$ = 1, H_{arom}); 7.27-7.55 (5H, m, H_{arom}). Found, %: C 68.8; H 6.0; N 4.6; S 10.3. $C_{18}H_{19}NO_2S$. Calculated, %: C 69.0; H 6.1; N 4.47; S 10.2.

2-(3-Acetyl-5-*tert*-butyl-2-thienyl)-N-phenylsuccinimide (2a) was obtained in 73% yield; mp 201-203°C. IR spectrum (nujol), ν, cm^{-1}: 1785, 1725, 1680 (C=O). ^1H NMR spectrum ($CDCl_3$), δ, ppm, *J* (Hz): 1.4 (9H, s, $3CH_3$); 2.02 (3H, s, CH_3); 2.89 (1H, dd, J_{AB} = 17.25, J_{AC} = 6.5, H_A); 3.35 (1H, dd, J_{AB} = 17.25, J_{BC} = 9.2, H_B); 4.76 (1H, dd, J_{AC} = 17.25, J_{BC} = 9.2, H_C); 6.97 (1H, s, H_{arom}); 7.30 (2H, d, H_{arom}); 7.39 (1H, t, H_{arom}); 7.57 (2H, t, H_{arom}). Found, %: C 67.5; H 5.8; N 4.0; S 9.0. $C_{20}H_{21}NO_3S$. Calculated, %: C 67.6; H 5.95; N 3.94; S 9.0.

2-(5-*tert*-Butyl-3-propionyl-2-thienyl)-N-phenylsuccinimide (2b) was obtained in 66% yield; mp 185-187°C. IR spectrum (nujol), ν, cm^{-1}: 1785, 1725, 1685 (C=O). ^1H NMR spectrum ($CDCl_3$), δ, ppm, *J* (Hz): 1.15 (3H, t, *J* = 7, CH_3); 1.39 (9H, s, $3CH_3$); 2.87 (2H, q, *J* = 7, CH_2); 2.93 (1H, dd, J_{AC} = 17.8, J_{BC} = 9.1, H_C); 3.30 (1H, dd, J_{AB} = 17.25, J_{BC} = 9.1, H_B); 4.58 (1H, dd, J_{AC} = 17.8, J_{BC} = 9.1, H_C); 7.15 (1H, s, H_{arom}); 7.4-7.58 (5H, m, H_{arom}). Found, %: C 68.0; H 6.1; N 3.9; S 8.8. $C_{21}H_{23}NO_3S$. Calculated, %: C 68.3; H 6.27; N 3.8; S 8.66.

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