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LETTERS TO THE EDITOR

SYNTHESIS OF 5-ARYLAMINO-5-*tert*-BUTYL-5-HYDROXY-2(5H)-FURANONES

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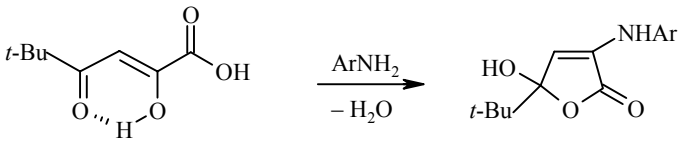
Aroylpyruvic acids add amines at the α-carbonyl group to give 2-amino-4-aryl-4-oxo-2-butenoic acids [1, 2]. In contrast, the reaction of pivaloylpyruvic acid **1** with amines under mild conditions leads to the formation of pivaloylpyruvamides [3, 4]. We are the first to report that brief heating of acid **1** with arylamines at 270-300°C gives previously unknown 3-arylamino-5-*tert*-butyl-5-hydroxy-2(5H)-furanones **2a-c**. The IR spectra of compounds **2** show the characteristic lactonic carbonyl band at 1770-1780 cm^{-1}.



1 **2a–c**

2 a Ar = 3-HOC_6H_4, **b** Ar = 2-$O_2NC_6H_4$, **c** Ar = 4-$EtOCOC_6H_4$

3-Arylamino-5-*tert*-butyl-5-hydroxy-2(5H)-furanones (2a-2c). Mixture of 2-hydroxy-5,5-dimethyl-4-oxo-2-hexenoic (pivaloylpyruvic) acid **1** (1.72 g, 0.01 mol) [3, 4] and corresponding amine (0.01 mol) was heated at 270-300°C for 2-3 min. The residue was treated with hexane and ethanol.

5-*tert*-Butyl-5-hydroxy-3-[(3-hydroxyphenyl)amino]furan-2(5H)-one (2a) was obtained in 82% yield (2.15 g); mp 61-62°C (dec., from EtOH). IR spectrum (vaseline oil), ν, cm^{-1}: 3172 (NH), 1780 ($CO_{lactone}$), 1664 (C=C). ^{1}H NMR spectrum (80 MHz, DMSO-d_6), δ, ppm: 1.18 (9H, s, Me in *t*-Bu); 3.31 (1H, s, OH); 6.29 (1H, s, $C_{(4)}H$); 6.92-7.60 (4H, m, C_6H_4). Found, %: C 64.11; H 6.34; N 5.17. $C_{14}H_{17}NO_4$. Calculated, %: C 63.87; H 6.51; N 5.32.

5-*tert*-Butyl-5-hydroxy-3-[(2-nitrophenyl)amino]furan-2(5H)-one (2b) was obtained in 63% yield (1.85 g); mp 138-139°C (dec., from benzene). IR spectrum (vaseline oil), ν, cm^{-1}: 3210 (NH), 1770 ($CO_{lactone}$), 1670 (C=C). ^{1}H NMR spectrum (80 MHz, DMSO-d_6), δ, ppm: 1.12 (9H, s, Me in *t*-Bu); 6.28 (1H, s, $C_{(4)}H$); 6.80-8.10 (4H, m, C_6H_4). Found, %: C 57.70; H 5.69; N 9.32. $C_{14}H_{16}N_2O_5$. Calculated, %: C 57.53; H 5.52; N 9.58.

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Ethyl Ester of 4-[(5-*tert*-Butyl-5-hydroxy-2-oxo-2,5-dihydro-3-furanyl)amino]benzoic Acid (2c) was obtained in 64% yield (2.05 g); mp 123-124°C (dec., from benzene). IR spectrum (vaseline oil), ν, cm^{-1}: 3230 (NH), 1776 ($CO_{lactone}$), 1710 (CO_{ester}), 1675 (C=C). ^1H NMR spectrum (80 MHz, DMSO-d_6), δ, ppm: 0.97 (9H, s, Me in *t*-Bu); 1.24 (3H, t, CH_3 in Et); 4.22 (2H, q, CH_2 in Et); 6.35 (1H, s, $C_{(4)}H$); 7.10-7.90 (4H, m, C_6H_4); 9.39 (1H, s, NH). Found, %: C 64.18; H 6.86; N 4.12. $C_{17}H_{21}NO_5$. Calculated, %: C 63.94; H 6.63; N 4.39.

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