

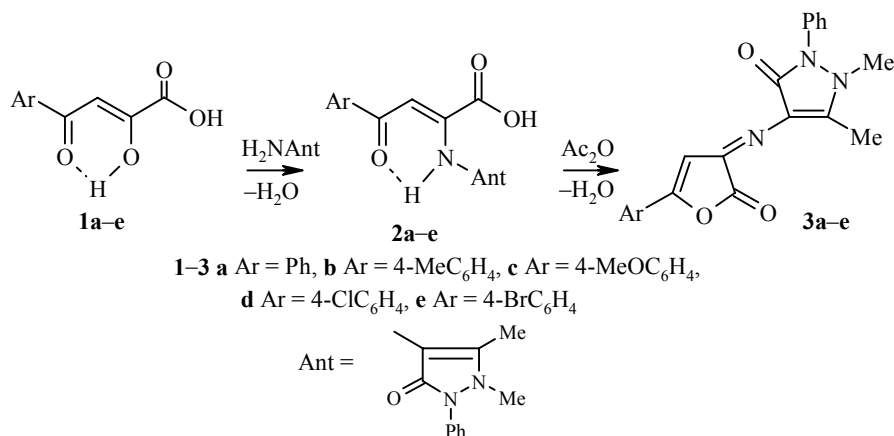
LETTERS TO THE EDITOR

SYNTHESIS OF 4-(5-ARYL-2-OXO-2,3-DIHYDRO-3-FURANYLIDEN)-AMINO-2,3-DIMETHYL-5-OXO-1-PHENYLPYRAZOLINES

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Keywords: 4-amino-2,3-dimethyl-1-phenyl-5-pyrazolone, acid anils, 4-aryl-2-hydroxy-4-oxo-Z-2-butenic acids, substituted 3-imino-2-furanones, cyclization.

In previous work, we were unable to cyclize 2-amino-4-aryl-4-oxobutenic acids to give 2-furanone derivatives. However, we established that 4-aryl-2-(2,3-dimethyl-5-oxo-1-phenyl-4-pyrazolinyl)amino-2-butenic acids **2**, obtained in 70-99% yield in the reaction of 4-amino-2,3-dimethyl-1-phenyl-5-pyrazolone with 4-aryl-2-hydroxy-4-oxo-Z-2-butenic acids **1**, undergo intramolecular cyclization by the action of acetic anhydride to give 4-(5-aryl-2-oxo-2,3-dihydro-3-furanyliden)amino-2,3-dimethyl-5-oxo-1-phenylpyrazolines **3**.



This heterocyclization is selective in nature and the low yields of **3** are attributed not to the formation of side-products but rather the hydrolysis of the 2-furanones to the starting acids **2** upon isolation. The presence of the bulky heterocyclic substituent apparently facilitates the cyclization in our case.

The ¹H NMR spectra of **3** lack the signals for the NH group proton in starting **2a**, while the IR spectra show a band for the lactone carbonyl at 1780-1790 cm⁻¹ in addition to the band for the lactam carbonyl at 1660-1680 cm⁻¹.

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4-(5-Aryl-2-oxo-2,3-dihydro-3-furanyliden)amino-2,3-dimethyl-5-oxo-1-phenylpyrazolines (3a-e).

A solution of acid **2** (0.01 mol) in acetic anhydride (5 ml) was heated at 80-90°C for 1 h. After cooling, the precipitate formed was filtered off, washed with anhydrous ether, and recrystallized from anhydrous toluene. Yields 40-80%. Products **3** melt with decomposition. The mp of **3a** 235-236°C. Found, %: C 69.8; N 11.5. C₂₁H₁₇N₃O₃. Calculated, %: C 70.2; N 11.7. The mp of **3b** 206-208°C. Found, %: C 70.6; N 11.0. C₂₂H₁₉N₃O₃. Calculated, %: C 70.8; N 11.3. The mp of **3c** 246-247°C. Found, %: C 67.5; N 10.8. C₂₂H₁₉N₃O₄. Calculated, %: C 67.9; N 10.8. The mp of **3d** 230-232°C. Found, %: C 66.5; N 11.2; Cl 9.7. C₂₁H₁₆ClN₃O₃. Calculated, %: C 66.7; N 11.1; Cl 9.4. The mp of **3e** 225-226°C. Found, %: C 59.5; N 9.9; Br 19.1. C₂₁H₁₆BrN₃O₃. Calculated, %: C 59.7; N 10.0; Br 18.9.