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RECYCLIZATION OF 2-ALKOXYCARBONYLMETHYL-1,5-DIARYL-2-

HYDROXY-2,3-DIHYDROPYRROL-3-ONES BY HYDRAZINE

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4-Aroyl-3-hydroxy-1,5-diphenyl-2,5-dihydropyrrol-2-ones recyclize to pyrrolo-[3, 4-c]-pyrazoles [1] by the action of hydrazine. At the same time 3,5-dihydroxy-4-p-methoxybenzoyl-5-methoxycarbonyl-1-phenyl-2,5-dihydropyrrol-2-one is cleaved by hydrazine to form 5-p-methoxyphenyl-3-pyrazolecarboxylic anilide [2]. In our study of the reaction of 1,5-diaryl-2-alkoxycarbonyl-methyl-2-hydroxy-2,3-dihydropyrrol-3-ones (Ia-c) [3] with 70% aqueous hydrazine in ethanol we unexpectedly isolated 5-aryl-3-(5-oxo-1,2-dihydro-3-pyrazolyl)pyrazoles (IIa-c) in 67-79% yields.

Apparently the formation of compounds (IIa-c) is due to the reaction of hydrazine with the acyclic oxo form of the starting compounds (Ia-c), viz., the esters of 6-aryl-6-arylamino-3,4-dioxo-5-hexenoic acids.

To a solution of 0.01 mole of compounds (Ia-c) in 100 ml of 96% ethanol was added 0.02 mole of 70% aqueous hydrazine; the mixture was boiled for 3 h. The precipitate was filtered off and recrystallized from acetone or 1:1 DMSO-water to give compounds (IIa-c).

Compound IIa. 72% yield, mp 325-326°C (dec.). IR spectrum: (mineral oil); 3320-3310, 3230-3200 (NH), 1627 cm⁻¹ (amide CO). PMR spectrum (in DMSO-D₆): 5.88 (1H, s, CH), 6.98 (1H, s, CH), 7.60 (5H, m, C₆H₅), 11.80 m.d. (1H, br. s, NH). Mass spectrum — m/z (relative intensity, %): 226 (100) [M][‡], 169 (67) [M-CH₃NCO][‡], 128 (3) [C₆H₅C₄=C—C=NH][‡], 104 (5) [C₆H₅C=NH][‡], 103 (4) [C₆H₅CN][‡], 102 (4) [C₆H₅C=CH][‡], 77 (11) [C₆H₅][‡].

Compound IIb. 67% yield, mp 316-317°C (dec.).

Compound IIc. 79% yield, mp 319~320°C (dec.).

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