ISSN 1070-4280, Russian Journal of Organic Chemistry, 2009, Vol. 45, No. 10, pp. 1572–1573. © Pleiades Publishing, Ltd., 2009.
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## SHORT COMMUNICATIONS

# Recyclization of 1*H*-Pyrrole-2,3-diones into Pyrazolo-[1,5-*a*]pyrimidines by the Action of Aminopyrazole

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Received June 10, 2009

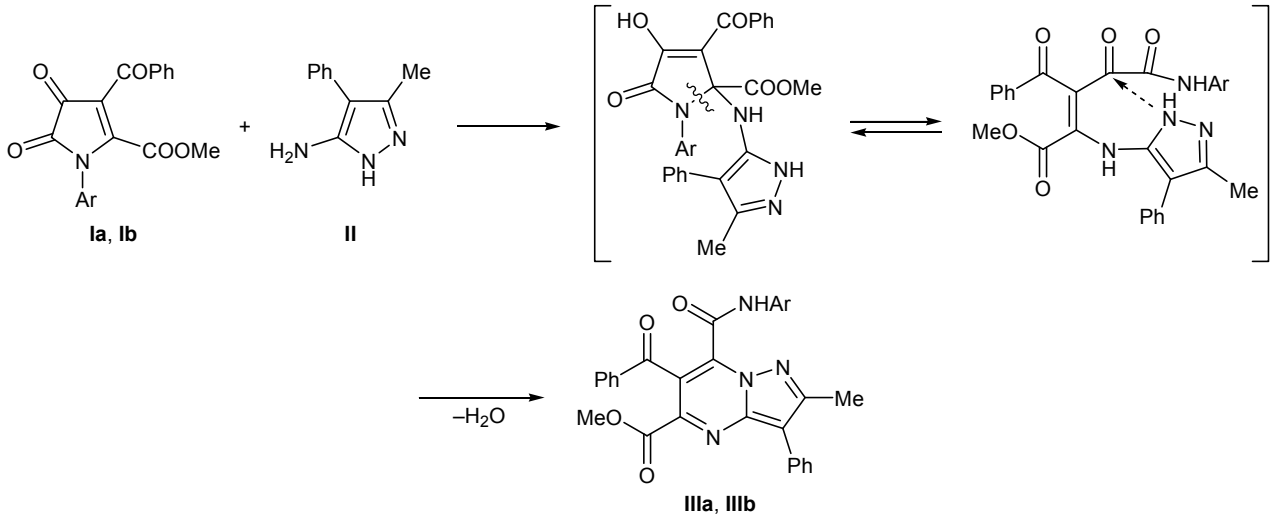
**DOI:** 10.1134/S1070428009100273

Reactions of monocyclic 1*H*-pyrrole-2,3-diones with aminoazoles were not studied previously. We found that methyl 1-aryl-3-benzoyl-4,5-dioxo-4,5-dihydro-1*H*-pyrrole-2-carboxylates **Ia** and **Ib** reacted with an equimolar amount of 3-methyl-4-phenyl-1*H*-pyrazol-5-amine (**II**) in boiling anhydrous 1,2-dichloroethane (reaction time 2–3 h according to the TLC data) to give the corresponding methyl 7-arylcarbamoyl-6-benzoyl-2-methyl-3-phenylpyrazolo-[1,5-*a*]pyrimidine-5-carboxylates **IIIa** and **IIIb**. The structure of the products was proved by X-ray analysis.

Presumably, compounds **III** are formed via initial addition of the primary amino group in pyrazole **II** to the $C^2$ carbon atom in the pyrrole ring of **I**. Subsequent opening of the pyrrole ring at the $N^1$–$C^2$ bond and closure of pyrimidine ring as a result of intramolecular attack by the endocyclic nitrogen atom in the pyrazole fragment on the ketone carbonyl group of the oxamoyl fragment with elimination of water leads to final product **III**.

The described reaction may be regarded as a new synthetic approach to functionally substituted pyrazolo-[1,5-*a*]pyrimidine system.

**Methyl 6-benzoyl-7-(4-chlorophenylcarbamoyl)-2-methyl-3-phenylpyrazolo[1,5-*a*]pyrimidine-5-carboxylate (IIIa).** A solution of 1 mmol of compound **Ia** and 1 mmol of pyrazole **II** in 10 ml of anhydrous 1,2-dichloroethane was heated for 3 h under reflux. The mixture was cooled, and the precipitate was filtered off. Yield 69%, mp 257–258°C (from 1,2-di-




Ar = 4-$ClC_6H_4$ (**a**), 4-$MeOC_6H_4$ (**b**).

chloroethane). IR spectrum, ν, $cm^{-1}$: 3350 (NH), 1730 (COOMe), 1690 (CONH), 1665 (COPh). $^1H$ NMR spectrum, δ, ppm: 2.65 s (3H, Me), 3.60 s (3H, OMe), 7.24–7.97 m (14H, $H_{arom}$), 11.45 s (1H, NH). $^{13}C$ NMR spectrum, $\delta_C$, ppm: 14.05 ($CH_3$), 52.96 ($OCH_3$), 111.81 ($C^6$), 121.13 ($C^3$), 144.24 ($C^{3'}$), 145.97 ($C^2$), 156.41 ($C^5$), 163.64 (CONH), 164.42 ($CH_3O$**C**O), 190.60 (Ph**C**O). Found, %: C 66.50; H 3.91; Cl 6.94; N 10.48. $C_{29}H_{21}ClN_4O_4$. Calculated, %: C 66.35; H 4.03; Cl 6.75; N 10.67.

**Methyl 6-benzoyl-7-(4-methoxyphenylcarbamoyl)-2-methyl-3-phenylpyrazolo[1,5-*a*]pyrimidine-5-carboxylate (IIIb)** was synthesized in a similar way. Yield 75%, mp 265–266°C (from 1,2-dichloroethane). IR spectrum, ν, $cm^{-1}$: 3340 (NH), 1725 (COOMe), 1685 (CONH), 1665 (COPh). $^1H$ NMR spectrum, δ, ppm: 2.70 s (3H, Me), 3.65 s (3H, COOMe), 3.78 s (3H, OMe), 6.94–7.88 m (14H, $H_{arom}$), 11.21 s (1H, NH). Found, %: C 69.39; H 4.53; N 10.91. $C_{30}H_{24}N_4O_5$. Calculated, %: C 69.23; H 4.65; N 10.76.

The IR spectra were recorded on an FMS-1201 spectrometer from samples dispersed in mineral oil. The $^1H$ NMR spectra were measured on a Bruker WP-400 instrument from solutions in DMSO-$d_6$ using tetramethylsilane as internal reference. The purity of the products was checked by TLC on Silufol plates using ethyl acetate as eluent; spots were detected by treatment with iodine vapor.

This study was performed under financial support by the Russian Foundation for Basic Research (project no. 07-03-96036).