= SHORT COMMUNICATIONS

Synthesis of Pyrrolo[1,2-*a*]pyrazine-1,6,7-triones from 3-Methylidenepiperazin-2-ones and Oxalyl Chloride

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We previously reported on reactions of heterocyclic enamino ketones with oxalyl chloride, which produced hetareno[*e*]pyrrole-2,3-diones [1–4] or 4-hetarylfuran-2,3-diones [4, 5]. With a view to accumulate more data allowing *a priori* prediction of one or another path in the above reaction to be made, we have synthesized 1-aryl-3-phenacylidenepiperazin-2-ones **Ia** and **Ib** and examined their reaction with oxalyl chloride. The structure of substituted piperazinones seems to be intermediate from the viewpoint of their reaction with oxalyl chloride along one of the two possible pathways.

Substituted piperazinones **Ia** and **Ib** were synthesized by reaction of methyl 4-phenyl-2,4-dioxobutanoate with *N*-arylethane-1,2-diamines. Compounds **Ia** and **Ib** were brought into reaction with oxalyl chloride under the standard conditions for the synthesis of fivemembered dioxo heterocycles. However, instead of expected 4-(4-aryl-3-oxo-3,4,5,6-tetrahydropyrazin-2yl)-5-phenylfuran-2,3-diones **II**, we isolated the corresponding 2-aryl-8-benzoyl-3,4-dihydropyrrolo[1,2-a]-pyrazine-1,6,7(2*H*)-triones **IIIa** and **IIIb**. Presumably, closure of fused dioxopyrrole ring is more thermodynamically favorable than alternative formation of furandione fragment. The observed reaction may be regarded as a new synthetic route to functionalized pyrrolo[1,2-a]pyrazine-1,6,7-trione derivatives.

8-Benzoyl-2-phenyl-3,4-dihydropyrrolo[1,2-a]pyrazine-1,6,7(2*H***)-trione (IIIa). A solution of 1.00 g (3.4 mmol) of compound Ia in 15 ml of anhydrous toluene was added in portions under stirring to a hot solution of 0.44 ml (5.1 mmol) of oxalyl chloride in 15 ml of anhydrous toluene. The mixture was heated for 2 h under reflux, and the red crystalline solid was filtered off and dried under reduced pressure. Yield 50%, mp 149–151°C (from toluene). IR spectrum, v, cm⁻¹: 1735 (C⁶=O, C⁷=O), 1665 (C¹=O), 1645 (COPh). ¹H NMR spectrum, \delta, ppm: 4.03–4.13 m (4H, CH₂CH₂), 7.16–7.66 m (8H, H_{arom}), 7.86 d (2H,** *o***-H in PhCO,** *J* **= 7.4 Hz). Found, %: C 69.33; H 4.09;**



N 8.00. $C_{20}H_{14}N_2O_4$. Calculated, %: C 69.36; H 4.07; N 8.09.

8-Benzoyl-2-(4-methylphenyl)-3,4-dihydropyrrolo[1,2-a]pyrazine-1,6,7(2H)-trione (IIIb). A solution of 1.00 g (3.3 mmol) of compound Ib in 30 ml of anhydrous benzene was added in portions under stirring to a hot solution of 0.42 ml (4.9 mmol) of oxalyl chloride in 30 ml of anhydrous benzene. The mixture was heated for 1 h under reflux and cooled, 40 ml of anhydrous petroleum ether (bp 40–70°C) was added, and the precipitate was filtered off and dried under reduced pressure. Yield 65%, mp 147-150°C (from benzene). IR spectrum, v, cm⁻¹: 1755 (C⁶=O), 1726 (C⁷=O), 1672 (C¹=O), 1650 (COPh). ¹H NMR spectrum, δ, ppm: 2.28 s (3H, Me), 7.19–7.60 m (7H, H_{arom}), 7.87 d (2H, o-H in PhCO, J = 7.4 Hz). Found, %: C 70.02; H 4.52; N 7.69. C₂₁H₁₆N₂O₄. Calculated, %: C 69.99; H 4.48; N 7.77.

The IR spectra were recorded on a Perkin Elmer Spectrum Two spectrometer from samples dispersed in mineral oil. The ¹H NMR spectra were measured on a Bruker AM-400 spectrometer at 400 MHz from solutions in CDCl₃ using tetramethylsilane as internal reference. The purity of the isolated compounds was checked by TLC on Silufol plates using ethyl acetate as eluent; spots were visualized by treatment with iodine vapor.

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