The compositions and structures of IIa-d and IIIa-c were established on the basis of the results of elementary analysis and data from the mass, IR, and PMR spectra.

The conversion of indogenides Ia-d to nitroindogenides IIa-d does not occur without acetic acid, and in acetic acid alone the yields of the desired compounds do not exceed 30%. The yields of nitroindogenides IIa-d decrease substantially when DMF is replaced by alcohols, viz., methanol, ethanol, and isopropyl alcohol.

We carried out the regioselective reduction of the nitro group in IIa-c with stannous chloride in acetic acid; this leads to the previously described 2-(α-aminoarylmethylene)-3-indolinones (aminoindogenides) IIIa-c. The method that we propose for obtaining aminoindogenides IIIa-c differs favorably from the known method with respect to the high yields of the desired and its experimental simplicity. Compounds IIIa-c are convenient intermediates for the synthesis of 2-amino-3-aryl-4-quinolones [2], as well as heteroannelated [*a*] and [b] indoles.

2-(α-Nitrophenylmethylene)-3-indolinone (IIa). A 2.5-ml sample of acetic acid was added at 30°C to a suspension of 1.1 g (5 mmole) of indogenide Ia and 1.4 g (20 mmole) of $NaNO_2$ in 5 ml of DMF, and the reaction mixture was stirred for 30 min at 55°C and then poured into 100 ml of water. The resulting precipitate was removed by filtration to give 1.06 g (80%) of nitroindogenide IIa with mp 255-257°C (from dioxane). IR spectrum (thin layer): 1725 (C=O), 3380 cm^{-1} (N—H). PMR spectrum ($CDCl_3$): 7.06-7.56 (9H, m, aromatic protons), 9.96 ppm (1H, s, N—H). M^+ 266.

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REACTION OF ARYLGLYOXALS WITH 3-METHYL-1-PHENYL-5-PYRAZOLONE

V. D. Orlov, A. V. Khvat, and N. N. Kolos

1,3-Disubstituted pyrazolones are active methylene components and react with aromatic aldehydes to form, as a rule, arylidene derivatives of this heterocycle [1] or Michael adducts [2]. In striving to create an enone system we subjected 3-methyl-1-phenyl-5-pyrazolone (I) to reaction with phenylglyoxal and its 4-nitro- and 4-hydroxy-substituted derivatives (IIa-c); the reaction was accomplished in refluxing acetic acid containing two to three drops of H_2SO_4 in 1.5 h. Cooling with subsequent neutralization of the reaction mixture gave red-orange precipitates of IIIa-c, which, according to the results of elementary and spectral analysis, were dehydrogenated Michael adducts. The fact that the yields in the reaction of products IIIa-c increase from 33-35% to 70-75% when the ratio of reactants I and IIa-c is changed from 1:1 to 2:1 is in agreement with this. (See scheme at the top of the next page.)

Compounds IIIa-c are deeply colored (λ_{max} 480-495 nm), and the C=O group of an aroyl fragment is unambiguously identified in their IR spectra. The PMR spectra of IIIa-c contain a signal of an OH proton at weak field. The ^{13}C NMR spectrum of IIIb contains 14 peaks, which, together with the equivalence of the methyl protons in the PMR spectrum, constitutes evidence for a high rate of proton exchange between the OH and C=O groups.

The high reactivity of arylglyoxals is responsible for the formation of Michael adducts, the dehydrogenation of which is, in turn, a thermodynamically justified process, since it leads to an extended π system.

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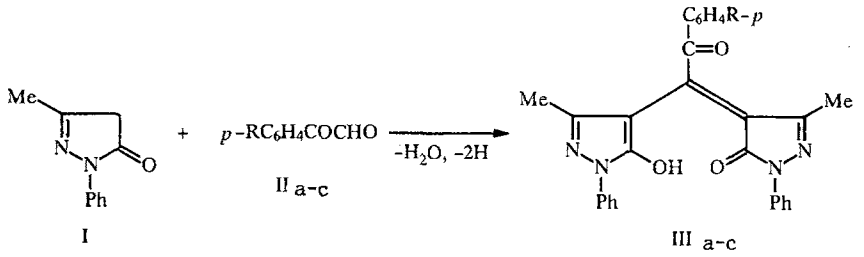

II, IIIa R=H, b R=NO_2, c R=OH

Compound IIIa. This compound had mp 178°C [benzene—hexane (1:4)]. IR spectrum (CCl_4): 1693, 1513, 1500, 1320 cm^{-1}. PMR spectrum ($CDCl_3$): 1.942 (3H, s, CH_3), 1.956 (3H, s, CH_3), 7.3-8.0 (15H, m, aromatic H), 13.87 ppm (1H, s, OH). M^+ 462 (M_{calc} 462). The yield was 73%.

Compound IIIb. This compound had mp 232°C (acetone). IR spectrum (CCl_4): 1693, 1513, 1502, 1348, 1328 cm^{-1}. PMR spectrum ($CDCl_3$): 1.917 (6H, s, CH_3), 7.24-7.34 (14H, m, aromatic H), 13.65 ppm (1H, s, OH). M^+ 507 (M_{calc} 507). The yield was 75%.

Compound IIIc. This compound had mp 225°C ($CHCl_3$). IR spectrum (CCl_4): 3593, 1680, 1500, 1320 cm^{-1}. PMR spectrum ($CDCl_3$): 1.959 (8H, s, CH_3), 7.00-7.9 (15H, m, aromatic H + p-OH), 13.70 ppm (1H, s, OH). M^+ 478 (M_{calc} 478). The yield was 75%.

The results of elementary analysis were in agreement with the calculated values.

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FORMATION OF A NEW HETEROCYCLIC SYSTEM — FURO[3,4-b]PYRROLO[3,4-E]PYRIDINE

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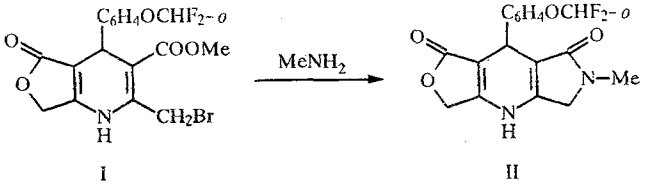
Various derivatives of polynuclear heterocycles have been obtained as a result of chemical transformations of 1,4-dihydropyridines: furo[3,4-b]pyridines [1-3], difuro[3,4-b;3,4-e]pyridines [4], and pyrrolo[3,4-b]pyridines [5, 6]. However, compounds that contain both a lactam ring and a lactone ring were unknown among 1,4-dihydropyridine derivatives.

To study the possibility of the synthesis of a new heterocyclic system we carried out the reaction of 2-bromomethyl-1,4,5,7-tetrahydro-4-(2-difluoromethoxyphenyl)-3-(methoxycarbonyl)furo[3,4-b]pyridine (I) with methylamine.



Substitution and subsequent heterocyclization to give a new, previously undescribed, heterocyclic system — furo[3,4-b]pyrrolo[3,4-e]pyridine II — occur as a result of the reaction.

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