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Azacycloalkanes: XXXIX.* New Syntheses of 1*H*-Pyrrole-1-carboxylic Acid and 1,2-Dihydropyrrolo-[1,2-*a*]pyrazin-3(4*H*)-one Derivatives

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Abstract—New procedures have been developed for the synthesis of α-(2-formyl-1*H*-pyrrol-1-yl)-substituted carboxylic acids, α-(2-R-aminomethyl-1*H*-pyrrol-1-yl)-substituted carboxylic acids, and 1,2-dihydropyrrolo-[1,2-*a*]pyrazin-3(4*H*)-ones on the basis of furfurol and α-amino acids.

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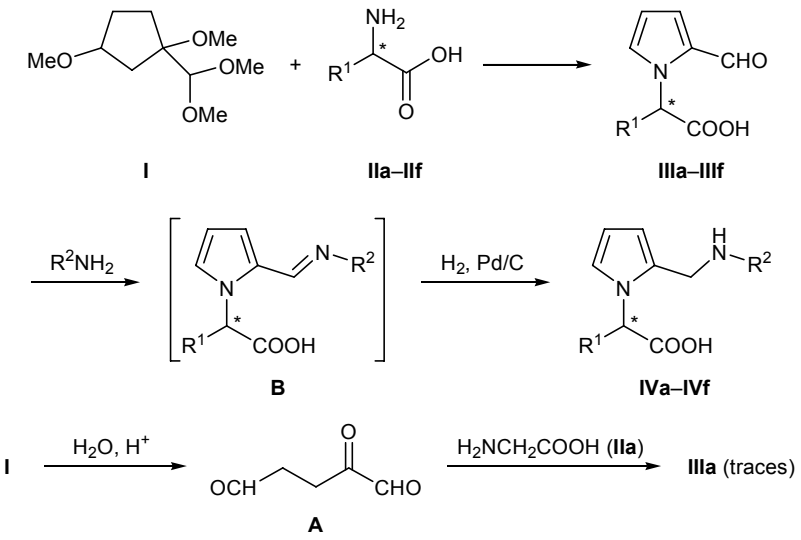
We previously described methods for the synthesis of a series of 1,2-substituted pyrroles [2] and 3,4-dihydropyrrolo[1,2-*a*]pyrazines [3, 4] and showed that some of these compounds exhibit cardiovascular [2] and psychotropic [5] activity. It is also known that the process of preparing food by heating is accompanied by formation of various pyrrole and pyrrolo[1,2-*a*]pyrazine derivatives from carbohydrates and proteins [6, 7], and these compounds are introduced into human organism together with food. Therefore, target-oriented syntheses of such compounds are very important from the viewpoints of both search for new medical agents and elucidation of their biological effect in the nutrition process.

In the present communication we report on new methods of synthesis of α-(2-formyl-1*H*-pyrrol-1-yl)-substituted carboxylic acids **III**, α-(2-R-aminomethyl-1*H*-pyrrol-1-yl)-substituted carboxylic acids **IV**, and pyrrolo[1,2-*a*]pyrazine derivatives **V** and **VI** from 2,5-dimethoxy-2-(dimethoxymethyl)tetrahydrofuran (**I**) and α-amino acids **II**. Acetal **I** is readily prepared from accessible 2-furaldehyde [8]; it reacted with amino acids **IIa**–**IIf** to give the corresponding 2-(2-formyl-1*H*-pyrrol-1-yl)alkanoic acids **IIIa**–**IIIf** in high yield (Scheme 1). The optimal reaction conditions implied heating the reactants at a **I**-to-**II** molar ratio of 1.1:1 in boiling water over a period of 1 h; these conditions ensured 80–90% yield of acids **IIIa**–**IIIf**. The amount of water depended on the solubility of the initial amino acid. The results of the reactions with optically active (*R*)- and (*S*)-α-alanines and racemic (*RS*)-α-alanine demonstrated that the proposed procedure can be successfully used for the preparation of individual stereoisomers. Acids **IIIb** and **IIIc** were characterized by similar (in absolute value) but opposite in sign specific rotations and similar melting points (mp 131–132°C), while racemic compound **IIId** melted at 110–112°C. Furthermore, a mixture of equal amounts of the (*S*)-isomer and (*RS*)-compound showed depression of the melting point (mp 107–108°C). The above data indicated that no racemization occurred during the synthesis of acids **III**.

In order to elucidate the mechanism of formation of acids **III**, we examined the reaction of glycine (**IIa**) with 2-oxopentanedial (**A**) which was obtained by hydrolysis of acetal **I** with dilute hydrochloric acid. This reaction afforded only traces of acid **IIIa**, indicating that the condensation of glycine with tricarbonyl system **A** involves terminal carbonyl groups in the latter rather than those in the 1,4-positions. Presumably, the reaction of acetal **I** with amino acids **II** begins with hydrolysis of the least stable endocyclic ketal moiety in molecule **I**. Next follows condensation of the amino acid at the ketone carbonyl group, and the subsequent reaction at the emerging aldehyde group in position *5* closes five-membered nitrogen-containing heteroring. Aromatization via elimination of water and methanol and simultaneous hydrolysis of the dime-

* For communication XXVIII, see [1].

Scheme 1.



III, R^1 = H (**a**), Me (*R*) (**b**), Me (*S*) (**c**), Me (*RS*) (**d**), Et (*RS*) (**e**), $PhCH_2$ (*RS*) (**f**); **IV**, R^1 = H, R^2 = Me (**a**), *i*-Bu (**b**), $PhCH_2$ (**c**), 3,4-$(MeO)_2C_6H_3CH_2$ (**d**); R^1 = Me, R^2 = $PhCH_2$ (**e**), 3,4-$(MeO)_2C_6H_3CH_2$ (**f**).

thoxymethyl group in position *2* to aldehyde yield the final product.

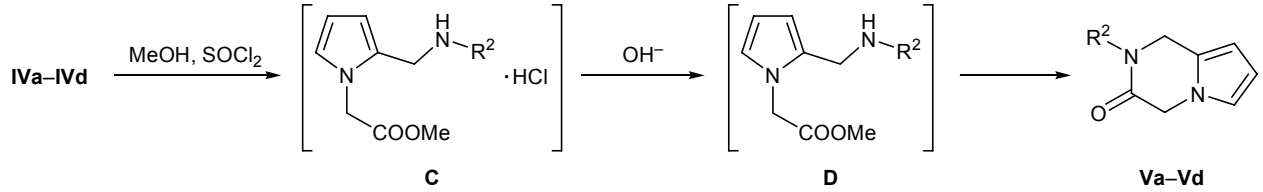
Acids **IIIa**–**IIIf** were isolated as reddish crystalline substances. Some analogous compounds have already been reported [6, 9, 10], but the procedure proposed by us is more advantageous due to its general character, experimental simplicity (one step), high yields, and the use of accessible starting compounds.

By hydrogenation of mixtures of acids **III** with primary amines at a molar ratio of 1:1.1 in methanol or aqueous methanol over 10% Pd/C under atmospheric pressure we obtained amino acids **IVa**–**IVf**. Presumably, the corresponding Schiff bases **B** were formed as intermediates. Amino acids **IVa**–**IVf** were isolated as colorless or slightly colored high-melting crystalline substances.

We tried to effect intramolecular cyclization of (2-R-aminomethyl-1*H*-pyrrol-1-yl)acetic acids **IVa**–**IVd** with a view to obtain 1,2-dihydropyrrolo[1,2-*a*]-pyrazin-3(4*H*)-one derivatives **Va**–**Vd** (Scheme 2). We found that such cyclization was successful only with the corresponding methyl esters **D**, whereas acids **IVa**–**IVd** themselves failed to undergo cyclization in different solvents or on heating. Amino acids **IVa**–**IVd** were treated with thionyl chloride in methanol at –5 to –10°C to obtain amino ester hydrochlorides **C** which were converted into free amino esters by the action of alkali in water. The rate of lactamization of esters **D** depended on the nature of the R^2 substituent. Compound **Va** (R^2 = Me) was formed during the isolation procedure and subsequent vacuum distillation. *N*-Isobutyl derivative **Vb** required heating for 3 h in boiling toluene, whereas benzylamino compounds **Vc** and **Vd** were formed during workup. Compounds **Va**–**Vd** were isolated as crystalline or oily substances.

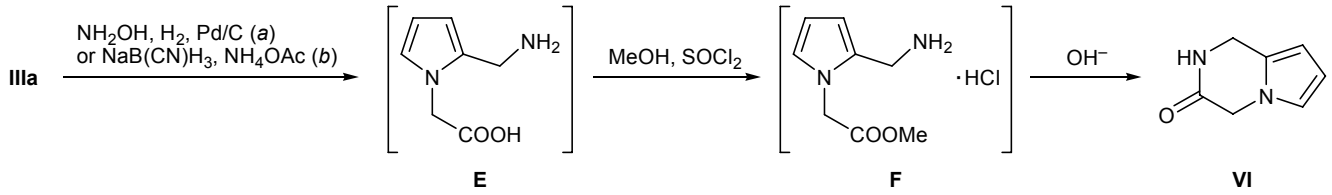
A particular problem was to develop a procedure for the synthesis of 1,2-dihydropyrrolo[1,2-*a*]pyrazin-3(4*H*)-one (**VI**). This compound was obtained from acid **IIIa** as shown in Scheme 3 through intermediate (2-aminomethyl-1*H*-pyrrol-1-yl)acetic acid **E**. The latter was prepared by reductive amination of acid **IIIa**, which was performed in two ways. The first of these (method *b*) was reported previously [11]. It implied

Scheme 2.



R^2 = Me (**a**), *i*-Bu (**b**), $PhCH_2$ (**c**), 3,4-$(MeO)_2C_6H_3CH_2$ (**d**).

Scheme 3.



reductive amination of acid **IIIa** with ammonium acetate and sodium cyanotrihydridoborate. The resulting mixture was subjected to esterification and lactamization as described above for amino acids **IV**. In such a way we isolated compound **VI** in ~12% yield calculated on the initial acid **IIIa**. The second procedure (method *a*) was developed by us and was more effective. A mixture of acid **IIIa** with hydroxylamine in methanol was hydrogenated over 10% Pd/C under atmospheric pressure, and the subsequent esterification and lactamization gave ~32% of compound **VI**.

To conclude, we have developed new procedures for the synthesis of α-(2-formyl-1*H*-pyrrol-1-yl)- and α-(2-R-aminomethyl-1*H*-pyrrol-1-yl)-substituted carboxylic acids and 1,2-dihydropyrrolo[1,2-*a*]pyrazin-3(4*H*)-ones. The structure of the isolated compounds was confirmed by the ^{1}H NMR spectra and elemental analyses.

EXPERIMENTAL

The ^{1}H NMR spectra were recorded on a Bruker AC-250 spectrometer using tetramethylsilane as internal reference. Thin-layer chromatography was performed on Kieselgel 60 F_{254} plates (Merck); detection under UV light; eluent toluene–acetone–heptane–triethylamine (14:9:3:1).

2-(2-Formyl-1*H*-pyrrol-1-yl)alkanoic acids IIIa–IIIf (*general procedure*). A solution of 50 mmol of amino acid **IIa**–**IIe** in 60 ml of water (or acid **IIf** in 240 ml of water) and 11.32 g (55 mmol) of 2,5-dimethoxy-2-(dimethoxymethyl)tetrahydrofuran (**I**) was heated for 1 h under reflux. The mixture was evaporated by half and cooled to room temperature, and the precipitate was filtered off, washed with water, and dried.

(2-Formyl-1*H*-pyrrol-1-yl)acetic acid (IIIa). Yield 6.90 g (90%), orange crystals, mp 140–141°C (from H_2O); published data [9]: mp 141–143°C. ^{1}H NMR spectrum (DMSO), δ, ppm: 4.98 s (2H, CH_2), 6.23 m (1H, 3-H), 7.06 m (1H, 4-H), 7.26 m (1H, 5-H), 9.45 s (1H, CHO). Found, %: C 54.81; H 4.50; N 9.02. $C_7H_7NO_3$. Calculated, %: C 54.90; H 4.60; N 9.15.

(2*R*)-2-(2-Formyl-1*H*-pyrrol-1-yl)propionic acid (IIIb). Yield 7.11 g (85%), red–orange crystals, mp 131–132°C (from H_2O), $[\alpha]_D^{20}$ = +72.5° (*c* = 0.2, ethanol). ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 1.69 d (3H, Me), 5.16 q (1H, CH), 6.27 m (1H, 3-H), 7.07 m (1H, 4-H), 7.40 m (1H, 5-H), 9.47 s (1H, CHO). Found, %: C 57.65; H 5.61; N 8.51. $C_8H_9NO_3$. Calculated, %: C 57.48; H 5.43; N 8.38.

(2*S*)-2-(2-Formyl-1*H*-pyrrol-1-yl)propionic acid (IIIc). Yield 7.11 g (85%), red–orange crystals, mp 131–132°C (from H_2O), $[\alpha]_D^{20}$ = –72.5° (*c* = 0.2, ethanol). The ^{1}H NMR spectrum was identical to that of **IIIb**. Found, %: C 57.27; H 5.55; N 8.53. $C_8H_9NO_3$. Calculated, %: C 57.48; H 5.43; N 8.38.

(2*RS*)-2-(2-Formyl-1*H*-pyrrol-1-yl)propionic acid (IIId). Yield 6.86 g (82%), red–orange crystals, mp 110–112°C (from H_2O). The ^{1}H NMR spectrum was identical to that of **IIIb**. Found, %: C 57.46; H 5.60; N 8.49. $C_8H_9NO_3$. Calculated, %: C 57.48; H 5.43; N 8.38.

(2*RS*)-2-(2-Formyl-1*H*-pyrrol-1-yl)butanoic acid (IIIe). Yield 7.42 g (82%), light brown crystals, mp 94–95°C (from H_2O). ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 0.69 t (3H, Me), 2.06 m (2H, CH_2), 5.55 t (1H, CH), 6.26 m (1H, 3-H), 7.06 m (1H, 4-H), 7.42 m (1H, 5-H), 9.47 s (1H, CHO). Found, %: C 59.53; H 6.08; N 7.72. $C_9H_{11}NO_3$. Calculated, %: C 59.66; H 6.12; N 7.73.

(2*RS*)-2-(2-Formyl-1*H*-pyrrol-1-yl)-3-phenylpropionic acid (IIIf). Yield 10.70 g (88%), light brown crystals, mp 129–130°C (from H_2O). ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 3.40 d.d.d (2H, CH_2), 5.93 m (1H, CH), 6.15 m (1H, 3-H), 6.95 m (1H, 4-H), 7.00–7.12 m (5H, H_{arom}), 7.31 m (1H, 5-H), 9.35 s (1H, CHO). Found, %: C 69.20; H 5.38; N 5.71. $C_{14}H_{13}NO_3$. Calculated, %: C 69.12; H 5.39; N 5.76.

N-Substituted 2-(2-aminomethyl-1*H*-pyrrol-1-yl)alkanoic acids IVa–IVf (*general procedure*). A solution of 30 mmol of acid **IIIa**–**IIIf** and 33 mmol of the corresponding primary amine in 100 ml of methanol was kept for 1 h, 0.4 g of 10% Pd/C was added,

and hydrogen was supplied under atmospheric pressure until its required amount was absorbed. The catalyst was filtered off, the filtrate was evaporated to 1/4 of the initial volume, and the precipitate was filtered off, washed with methanol, and dried.

2-[2-(Methylaminomethyl)-1*H*-pyrrol-1-yl]acetic acid (IVa) was synthesized by reductive amination of acid **IIIa** in aqueous methanol (100 ml of methanol and 30 ml of water), followed by evaporation to dryness and purification by heating in boiling methanol. Yield 3.74 g (74%), white powder, mp 206–207°C (from MeOH). ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 2.52 s (3H, Me), 4.03 s (2H, C**H**$_2$NH), 4.72 s (2H, 1-CH$_2$), 6.02 m (1H, 3-H), 6.25 m (1H, 4-H), 6.64 m (1H, 5-H). Found, %: C 57.03; H 7.27; N 16.44. $C_8H_{12}N_2O_2$. Calculated, %: C 57.13; H 7.19; N 16.67.

2-[2-(Isobutylaminomethyl)-1*H*-pyrrol-1-yl]-acetic acid (IVb). Yield 4.35 g (69%), white powder, mp 185–186°C (from MeOH). ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 0.93 d (6H, Me), 1.86 m (1H, NHCH$_2$C**H**), 2.64 d (2H, NHC**H**$_2$CH), 4.04 s (2H, 2-CH$_2$), 4.70 s (2H, 1-CH$_2$), 5.95 m (1H, 3-H), 6.13 m (1H, 4-H), 6.78 m (1H, 5-H). Found, %: C 62.96; H 8.54; N 13.21. $C_{11}H_{18}N_2O_2$. Calculated, %: C 62.83; H 8.63; N 13.32.

2-[2-(Benzylaminomethyl)-1*H*-pyrrol-1-yl]acetic acid (IVc). Yield 5.64 g (77%), white powder, mp 175–177°C (from MeOH). ^{1}H NMR spectrum ($CDCl_3$), δ, ppm: 2.60 br.s (1H, NH), 3.78 s (2H, C**H**$_2$Ph), 3.85 s (2H, 2-CH$_2$), 4.07 s (2H, 1-CH$_2$), 6.06 m (1H, 3-H), 6.21 m (1H, 4-H), 6.67 m (1H, 5-H), 7.21–7.47 m (5H, Ph). Found, %: C 68.47; H 6.80; N 11.64. $C_{14}H_{16}N_2O_2$. Calculated, %: C 68.83; H 6.60; N 11.47.

2-{2-[(3,4-Dimethoxybenzyl)aminomethyl]-1*H*-pyrrol-1-yl)acetic acid (IVd). Yield 7.21 g (79%), white powder, mp 191–193°C (from MeOH). ^{1}H NMR spectrum ($CDCl_3$), δ, ppm: 2.63 br.s (1H, NH), 3.81 s (6H, OMe), 3.87 s (2H, NHC**H**$_2$), 3.93 s (2H, 2-CH$_2$), 4.45 s (2H, 1-CH$_2$), 6.12 m (1H, 3-H), 6.24 m (1H, 4-H), 6.70 m (1H, 5-H), 6.75–7.07 m (3H, H$_{arom}$). Found, %: C 62.86; H 6.78; N 9.33. $C_{16}H_{20}N_2O_4$. Calculated, %: C 63.14; H 6.62; N 9.20.

2-[2-(Benzylaminomethyl)-1*H*-pyrrol-1-yl]propionic acid (IVe). Yield 6.74 g (87%), light yellow powder, mp 151–152°C (from MeOH). ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 1.64 d (3H, Me), 4.15 s (2H, C**H**$_2$Ph), 4.25 s (2H, 2-CH$_2$), 4.93 q (1H, 1-CH), 6.15 m (1H, 3-H), 6.35 m (1H, 4-H), 6.88 m (1H, 5-H), 7.17–7.41 m (5H, Ph). Found, %: C 69.51; H 6.88; N 10.96. $C_{15}H_{18}N_2O_2$. Calculated, %: C 69.74; H 7.02; N 10.84.

2-{2-[(3,4-Dimethoxybenzyl)aminomethyl]-1*H*-pyrrol-1-yl)propionic acid (IVf). Yield 7.35 g (77%), white powder, mp 159–160°C (from MeOH). ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 1.48 d (3H, Me), 3.70 s (6H, OMe), 3.93 s (2H, NHC**H**$_2$), 4.20 s (2H, 2-CH$_2$), 4.56 q (1H, 1-CH), 6.00 m (1H, 3-H), 6.14 m (1H, 4-H), 6.81 m (1H, 5-H), 6.90–7.10 m (3H, H$_{arom}$). Found, %: C 64.40; H 7.17; N 9.00. $C_{17}H_{22}N_2O_4$. Calculated, %: C 64.13; H 6.97; N 8.80.

2-Methyl-1,2-dihydropyrrolo[1,2-*a*]pyrazin-3(4*H*)-one (Va). A suspension of 4.49 g (26.7 mmol) of amino acid **IVa** in 50 ml of methanol was cooled to –10 to –5°C, 2.87 ml (39.8 mmol) of thionyl chloride was added dropwise under stirring, and the mixture was kept for 24 h. The mixture was evaporated to dryness, and the residue was treated with a 10% aqueous solution of potassium carbonate until pH 11. The product was extracted into toluene, and the extract was washed with water, filtered through a filter paper, and evaporated to dryness. The residue was distilled under reduced pressure. Yield 2.89 g (72%), colorless crystallizable oily substance, bp 103–104°C (1.5 mm), mp 54–56°C. ^{1}H NMR spectrum ($CDCl_3$), δ, ppm: 3.09 s (3H, Me), 4.50 s (2H, 1-H), 4.57 s (2H, 4-H), 5.95 m (1H, 8-H), 6.20 m (1H, 7-H), 6.57 m (1H, 6-H). Found, %: C 63.58; H 6.78; N 18.58. $C_8H_{10}N_2O$. Calculated, %: C 63.98; H 6.71; N 18.65.

2-Isobutyl-1,2-dihydropyrrolo[1,2-*a*]pyrazin-3(4*H*)-one (Vb) was synthesized in a similar way from amino acid **IVb**. The toluene extract containing ester **D** (R^2 = *i*-Bu) was additionally heated for 3 h under reflux and evaporated to dryness, and the residue was distilled under reduced pressure. Yield 3.49 g (68%), colorless oily substance, bp 120–122°C (1.5 mm), $[\alpha]_D^{20}$ = 1.5292. ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 0.74 d (6H, Me), 1.77 m (1H, CH), 3.25 d (2H, NC**H**$_2$CH), 4.50 s (2H, 1-H), 4.60 s (2H, 4-H), 5.72 m (1H, 8-H), 6.05 m (1H, 7-H), 6.74 m (1H, 6-H). Found, %: C 68.35; H 8.32; N 14.79. $C_{11}H_{16}N_2O_4$. Calculated, %: C 68.72; H 8.39; N 14.57.

2-Arylmethyl-1,2-dihydropyrrolo[1,2-*a*]pyrazin-3(4*H*)-ones Vc and Vd (*general procedure***).** A suspension of 26.7 mmol of benzylamino acid **IVc** or **IVd** in 50 ml of methanol was cooled to –10 to –5°C, and 2.87 ml (39.8 mmol) of thionyl chloride was added dropwise under stirring. After 24 h, the mixture was evaporated to dryness, and the residue was treated with

a 10% aqueous solution of potassium carbonate to pH 11 and extracted with toluene. The extract was washed with water, passed through a column charged with aluminum oxide, and evaporated to dryness. The residue was recrystallized from ethanol.

2-Benzyl-1,2-dihydropyrrolo[1,2-*a*]pyrazin-3(4*H*)-one (Vc). Yield 5.01 g (83%), yellow crystals, mp 78–80°C (from EtOH). ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 4.43 s (2H, C**H**$_2$Ph), 4.66 s (2H, 1-H), 4.72 s (2H, 4-H), 5.85 m (1H, 8-H), 6.06 m (1H, 7-H), 6.72 m (1H, 6-H), 7.25–7.40 m (5H, Ph). Found, %: C 74.25; H 6.35; N 12.40. $C_{14}H_{14}N_2O$. Calculated, %: C 74.31; H 6.24; N 12.38.

2-(3,4-Dimethoxybenzyl)-1,2-dihydropyrrolo-[1,2-*a*]pyrazin-3(4*H*)-one (Vd). Yield 5.20 g (68%), colorless crystals, mp 96–97°C (from EtOH). ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 3.72 s (6H, OMe), 4.41 s (2H, 2-CH$_2$), 4.58 s (2H, 1-H), 4.70 s (2H, 4-H), 5.84 m (1H, 8-H), 6.04 m (1H, 7-H), 6.70 m (1H, 6-H), 6.77–6.92 m (3H, H$_{arom}$). Found, %: C 67.15; H 6.43; N 10.05. $C_{16}H_{18}N_2O_3$. Calculated, %: C 67.12; H 6.34; N 9.78.

1,2-Dihydropyrrolo[1,2-*a*]pyrazin-3(4*H*)-one (VI). *a*. A solution of 7.7 g (50 mmol) of (2-formyl-1*H*-pyrrol-1-yl)acetic acid (**IIIa**) and 8.3 g (55 mmol) of 50% aqueous hydroxylamine in a mixture of 100 ml of methanol and 30 ml of water was kept for 1 h, 1 g of 10% Pd/C was added, and hydrogen was supplied until its required amount was absorbed. The catalyst was filtered off, the filtrate was evaporated to dryness, 100 ml of methanol was added to the residue, the resulting suspension was cooled to –10 to –5°C, and 4.6 ml (63 mmol) of thionyl chloride was added dropwise under stirring. After 24 h, the solution was evaporated to dryness, and the residue was treated with a 10% aqueous solution of potassium carbonate to pH 11 and extracted with chloroform. The extract was washed with water and evaporated, and the residue was subjected to column chromatography on aluminum oxide using chloroform as eluent. Chromatographically similar fractions (R_f 0.38) were combined and evaporated to dryness, and the residue was recrystallized from ethanol. Yield 2.18 g (32%), light yellow crystals, mp 171–172°C (from EtOH). ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 4.56 s and 4.60 s (2H each, 4-H, 2-H), 5.98 m (1H, 8-H), 6.23 m (1H, 7-H), 6.60 m (1H, 6-H), 7.32 s (1H, NH). Found, %: C 61.85; H 6.03; N 20.47. $C_7H_8N_2O$. Calculated, %: C 61.75; H 5.92; N 20.57.

b. (2-Formyl-1*H*-pyrrol-1-yl)acetic acid (**IIIa**), 4.59 g (30 mmol), and ammonium acetate, 18.5 g (240 mmol), were dissolved in 75 ml of methanol, 3.0 g (48 mmol) of sodium cyanotrihydridoborate was added, and the mixture was kept for 48 h and evaporated to dryness. The residue was acidified with 3% hydrochloric acid to pH 2 and evaporated to dryness, 100 ml of methanol was added to the residue, the resulting suspension was cooled to –10 to –5°C, and 3.1 ml (43 mmol) of thionyl chloride was added dropwise under stirring. The mixture was then treated as described above in *a*. Yield 0.49 g (12%). The melting point and ^{1}H NMR spectrum of the product were identical to those of a sample obtained as described above in *a*.

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