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Dedicated to Academician B.A. Trofimov on the occasion of his 85th birthday

One-Pot Synthesis of (*E*)-3-(*N*-Vinylpyrrol-2-yl)acrylic Acids[1]

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Abstract—Hitherto unknown class of *N*-vinylpyrrolyl acrylic acids, multifaceted monomers and prospective building blocks for fine organic synthesis, has been prepared. The chemistry is based on the fundamental works of Academician B.A. Trofimov, which allowed synthesis of the inexhaustible family of *N*-vinylpyrroles.

Keywords: *N*-vinylpyrrole-2-carbaldehydes, malonic acid, acrylic acids, Knoevenagel–Döbner condensation

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INTRODUCTION

The classic Knoevenagel reaction, well known in organic and pharmaceutical chemistry, has high potential. It allows acrylic acid derivatives to be obtained from carbonyl compounds. Acrylic acids are intensively used e.g. in the production of high-tech polymer materials, paints, building mixes, adhesives, etc. Acrylic acid derivatives also find application in pharmaceutics (for example, Eudragit® and Carbopol® drugs). They are also building blocks in manufacture of such popular medicines as Atorvastatin® [1, 2].

A special case of the Knoevenagel reaction is the Knoevenagel–Döbner condensation, namely the condensation of aldehydes with malonic acid in the presence of a base (pyridine) and a catalyst (piperidine). The intermediate α,β-unsaturated dicarboxylic acids undergo decarboxylation to afford α,β-unsaturated carboxylic acids of the *E* configuration exclusively.

The synthesis of acrylic acids containing a pyrrole fragments is documented in the literature. Pyrrolylacrylic acids are obtained using various approaches, for example from 3-(pyrrol-2-yl)acrylic acid methyl ester [3, 4].

[1] The article was translated by the authors.

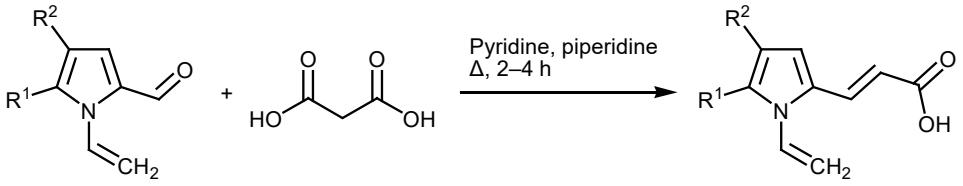
RESULTS AND DISCUSSION

The extension of the Knoevenagel–Döbner reaction over various *N*-vinylpyrrole-2-carbaldehydes, which became available owing to the discovery of the Trofimov reaction [5], has opened up new horizons for the synthesis of prospective multifaceted building blocks and drug precursors. In the present work, we have shown that *N*-vinylpyrrole-2-carbaldehydes **1** undergo the Knoevenagel–Döbner condensation with malonic acid to deliver hitherto unknown *N*-vinylpyrrolyl-acrylic acids (Scheme 1).

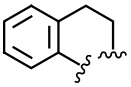
The synthesis was carried out as follows: in a round-bottomed flask with a reflux condenser, malonic acid was dissolved in dry pyridine. Then a solution of *N*-vinylpyrrole-2-carbaldehyde **1** in pyridine and piperidine was added. The reaction mixture was heated in an oil bath at 100–120°C for 2–5 h until the release of CO_2 stopped. Next, the reaction mixture was cooled, poured into a container with a mixture of ice and 2N HCl solution, and the precipitate was filtered off. The crude product was recrystallized and dried under vacuum.

As is seen from Scheme 1, the yields of the acrylic acids vary within a wide range and depend on the nature of the substituent in the pyrrole ring. In the case

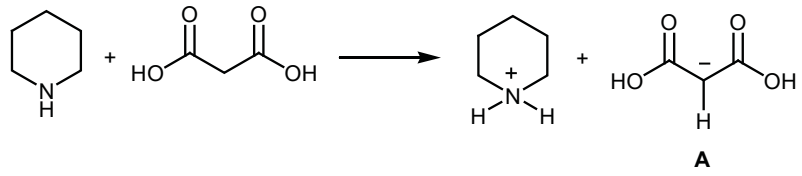
Scheme 1.



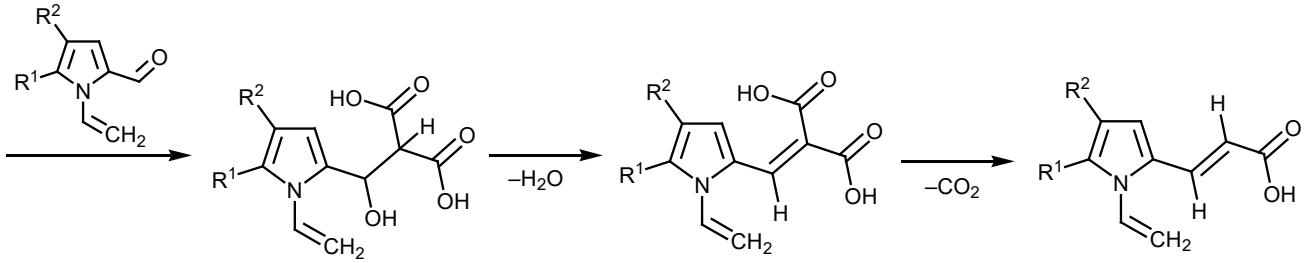
1 **2**, 21–94%

2a: R^1 = Bu, R^2 = Pr (21%); **2b**: R^1R^2 = $(CH_2)_4$ (70%); **2c**: R^1 = Ph, R^2 = H (94%); **2d**: R^1 = 3-$MeOC_6H_4$, R^2 = H (85%); **2e**: R^1 = naphthalen-2-yl, R^2 = H (86%); **2f**: R^1R^2 =  (94%); **2g**: R^1 = thiophen-2-yl, R^2 = H (83%).

Scheme 2.



A



B **2**

of electron-withdrawing substituents in *N*-vinylpyrrole-2-carbaldehydes **2c**–**2g**, the reaction proceeds with high conversion to afford the target acrylic acids in 83–94% yields, while the conversion of *N*-vinylpyrrole-2-carbaldehydes **2a** and **2b** with alkyl substituents is low (66–68%). The yields of compounds **2a** and **2b** are given taking into account *N*-vinylpyrrole-2-carbaldehydes **2a** and **2b** recovered from the reaction.

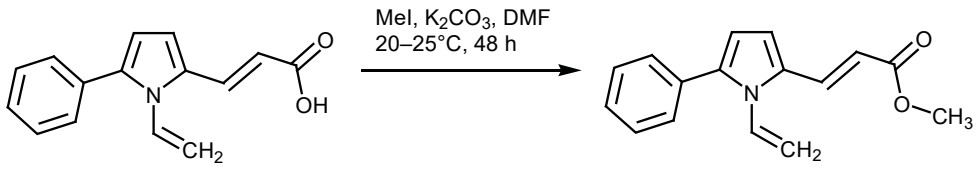
According to the classical mechanism disclosed in the literature, the reaction starts with the deprotonation of malonic acid with piperidine to generate carbanion **A**. The latter undergoes aldol condensation with the aldehyde group of the pyrrole ring to form intermediate **B**. Next, dehydration and decarboxylation occur to furnish acrylic acids **2** (Scheme 2).

Using *N*-vinyl-5-phenylpyrrole-2-carbaldehyde **1c** as an example, it was found that at a lower temperature (20–25°C) the reaction time increased (8 h), the conversion of the starting aldehyde being incomplete (40%).

(*E*)-3-(5-Phenyl-*N*-vinyl-1*H*-pyrrol-2-yl)acrylic acid **2c** was involved in the alkylation reaction to produce methyl (*E*)-3-(5-phenyl-*N*-vinyl-1*H*-pyrrol-2-yl)acrylate **3c** in 95% yield (Scheme 3).

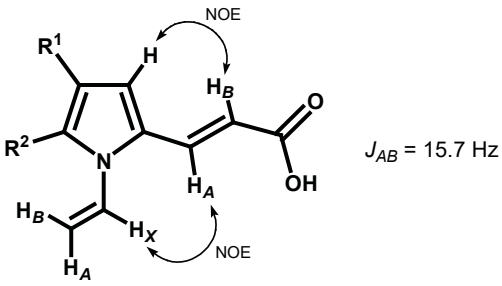
The structure of the acrylic acids **2** was proven by ^1H, ^{13}C NMR, IR spectroscopy and elemental analysis.

Scheme 3.



2c **3c**, 85%

Scheme 4.



It is established (COSY and NOESY 2D NMR) that the reaction product is formed exclusively in the form of the *E*-isomer as evidenced from the spin–spin coupling constants between protons (Scheme 4).

EXPERIMENTAL

The NMR spectra were recorded on Bruker DPX-400 and AV-400 instruments (400.1 MHz for ^{1}H, 100.6 MHz for ^{13}C, and 40.5 MHz for ^{15}N) in DMSO-d_6. The signals in the ^{1}H NMR spectra were assigned using the COSY and NOESY experiments. IR spectra were run on a Varian 3100 FT-IR spectrometer. Microanalyses were performed on a FlashEA 1112 Series elemental analyzer. Melting points (uncorrected) were measured on a Kofler apparatus with a microthermal platform. All chemicals and solvents were commercial and were used without additional purification.

Synthesis of *N*-vinylpyrrolyl acrylic acids. Malonic acid (0.266 g, 0.002 mol), *N*-vinylpyrrole-2-carbaldehyde **1** (0.002 mol), piperidine (0.218 g, 0.002 mol), and pyridine (17.4 mL, 0.224 mol) were placed in a round-bottom flask equipped with a magnetic stirrer and a reflux condenser. The reaction mixture was heated in an oil bath at 100–120°C for 2–5 h. The reaction was completed when the release of carbon dioxide stopped. Next, the reaction mixture was cooled and poured into a container with a mixture of ice and a 2N HCl aqueous solution (to remove unreacted piperidine), the precipitate was filtered off, washed with hexane, and to obtain the pure powdered product.

(*E*)-3-(*N*-Vinyl-5-butyl-4-propyl-1*H*-pyrrol-2-yl)-acrylic acid (2a). Reaction time 5 h. Yield 0.073 g (21%), conversion of **1a** 0.290 g (66.2%). Cream powder, mp 93–94°C. ^{1}H NMR spectrum, δ, ppm: 0.94 t (3H, CH_3, J = 7.3 Hz), 0.98 t (3H, CH_3, J = 7.3 Hz), 1.38 m (2H, CH_2), 1.48 m (2H, CH_2), 1.59 m (2H, CH_2), 2.38 m (2H, CH_2), 2.59 m (2H, CH_2), 5.20 d (1H, H_B, J = 15.6 Hz), 5.40 d (1H, H_A, J = 8.4 Hz), 6.10 d (1H, CH=CH, J = 15.6 Hz), 6.65 s (1H, $H_{pyrrole}$), 6.80 q (1H, H_X, J = 8.4, 15.6 Hz), 7.73 d (1H, CH=CH, J = 15.6 Hz), 11.08 br.s (1H, OH). ^{13}C NMR spectrum, δ_C, ppm: 14.1 (CH_3), 13.9 (CH_3), 28.0 ($2CH_2$), 31.8 ($3CH_2$), 110.1 (C^β), 113.8 ($C_{pyrrole}$), 114.0 (C=C), 123.8 ($C_{pyrrole}$), 127.4 ($C_{pyrrole}$), 130.4 (C^α), 135.6 (C=C), 136.1 ($C_{pyrrole}$), 173.3 (COOH). IR spectrum (KBr), ν, cm^{-1}: 3468 (OH), 1681 (-C=O), 1605 (C=C), 1265 (OH). Found, %: C 73.84; H 8.70; N 5.38. $C_{16}H_{22}NO_2$. Calculated, %: C 73.53; H 8.87; N 5.36.

(*E*)-3-(*N*-Vinyl-4,5,6,7-tetrahydro-1*H*-indol-2-yl)acrylic acid (2b). Reaction time 4.5 h. Yield 0.207 g (70%), conversion of **1b** 0.239 g (68.2%). Black powder, mp 146–150°C. ^{1}H NMR spectrum, δ, ppm: 1.64 m (2H, CH_2), 1.70 m (2H, CH_2), 2.41 m (2H, CH_2), 2.56 m (2H, CH_2), 5.09 d (1H, H_B, J = 15.7 Hz), 5.22 d (1H, H_A, J = 8.8 Hz), 6.05 d (1H, CH=CH, J = 15.7 Hz), 6.60 s (1H, $H_{pyrrole}$), 6.98 q (1H, H_X, J = 8.8, 15.6 Hz), 7.48 d (1H, CH=CH, J = 15.7 Hz), 11.96 br.s (1H, OH). ^{13}C NMR spectrum, δ_C, ppm: 22.3 (CH_2), 22.7 (CH_2), 22.7 (CH_2), 22.5 (CH_2), 110.0 (C^β), 111.7 ($C_{pyrrole}$), 112.2 (C=C), 120.1 (C_{indole}), 127.1 ($C_{pyrrole}$), 130.1 (C^α), 132.6 (C=C), 133.1 (C_{indole}), 168.4 (COOH). IR spectrum (KBr), ν, cm^{-1}: 3432 (OH), 1654 (C=O), 1598 (C=C), 1256 (OH). Found, %: C 71.63; H 6.79; N 6.54. $C_{13}H_{15}NO_2$ (217.26). Calculated, %: C 71.87; H 6.96; N 6.45.

(*E*)-3-(*N*-Vinyl-5-phenyl-1*H*-pyrrol-2-yl)acrylic acid (2c). Reaction time 2.5 h. Yield 0.450 g (94%). Dark-green powder, mp 170–172°C. ^{1}H NMR spectrum, δ, ppm: 5.10 d (1H, H_B, J = 15.6 Hz), 5.46 d (1H, H_A, J = 8.3 Hz), 6.27 d (1H, CH=CH, J = 15.7 Hz), 6.45 d (1H, $H_{pyrrole}$), 7.00 d (1H, $H_{pyrrole}$), 7.02 q (1H, H_X, J = 8.3, 15.6 Hz), 7.33 m (1H, H_{arom}), 7.41 d (1H, H_{arom}, J = 15.6 Hz), 7.46 d (1H, H_{arom}, J = 15.6 Hz), 7.56 d (1H, CH=CH, J = 15.7 Hz), 12.14 br.s (1H, OH). ^{13}C NMR spectrum, δ_C, ppm: 111.4 ($C_{pyrrole}$), 112.5 ($C_{pyrrole}$), 114.3 (C=C), 115.2 (C^β), 127.4 (C_{arom}), 128.3 (2C C_{arom}), 128.4 (2C C_{arom}), 130.1 ($C_{pyrrole}$), 131.4 (C^α), 131.8 (C_{arom}), 132.6 (C=C), 136.9 ($C_{pyrrole}$), 167.9 (COOH). IR spectrum (KBr), ν, cm^{-1}: 3439 (OH), 1671 (C=O), 1596 (C=C), 1269 (OH). Found, %: C 75.69; H 5.56; N 6.12. $C_{15}H_{13}NO_2$. Calculated, %: C 75.30; H 5.48; N 5.85.

(*E*)-3-[*N*-Vinyl-5-(3-methoxyphenyl)-1*H*-pyrrol-2-yl]acrylic acid (2d). Reaction time 4 h. Yield 0.458 g (85%). Dark yellow powder, mp 142–144°C. ^{1}H NMR spectrum, δ, ppm: 3.77 s (3H, OCH_3), 5.11 d (1H, H_B, J = 15.7 Hz), 5.47 d (1H, H_A, J = 8.3 Hz), 6.27 d (1H, CH=CH, J = 15.7 Hz), 6.46 d (1H, $H_{pyrrole}$, J = 3.9 Hz), 6.89 m (1H, H_{arom}), 6.98 d (1H, $H_{pyrrole}$,

J = 3.9 Hz), 6.99 m (1H, H_{arom}), 7.02 q (1H, H_X, J = 8.3, 15.7 Hz), 7.04 m (1H, H_{arom}), 7.33 m (1H, H_{arom}), 7.56 d (1H, CH=CH, J = 15.7 Hz), 12.16 br.s (1H, OH). ^{13}C NMR spectrum, δ_C, ppm: 55.1 (OCH_3), 111.6 ($C_{pyrrole}$), 112.5 ($C_{pyrrole}$), 113.9 (C_{arom}), 114.5 (C=C), 115.2 (C^{β}), 120.7 (C_{arom}), 129.6 (C_{arom}), 130.18 ($C_{pyrrole}$), 131.5 (C^{α}), 132.7 (C=C), 133.1 (C_{arom}), 136.8 ($C_{pyrrole}$), 159.2 (C_{arom}), 168.1 (COOH). IR spectrum (KBr), ν, cm^{-1}: 3463 (OH), 1676 (C=O), 1588 (C=C), 1258 (OH). $C_{16}H_{15}NO_3$. Found, %: C 69.91; H 5.54; N 5.34. Calculated, %: C 71.36; H 5.68; N 5.20.

(*E*)-3-[*N*-Vinyl-5-(2-naphthyl)-1*H*-pyrrol-2-yl]-acrylic acid (2e). Reaction time 4 h. Yield 0.498 g (86%). Brown powder, mp 175–177°C. ^{1}H NMR spectrum, δ, ppm: 5.13 d (1H, H_B, J = 15.7 Hz), 5.48 d (1H, H_A, J = 8.3 Hz), 6.31 d (1H, CH=CH, J = 15.7 Hz), 6.58 d (1H, $H_{pyrrole}$, J = 3.9 Hz), 7.05 d (1H, $H_{pyrrole}$, J = 3.9 Hz), 7.13 q (1H, H_X, J = 15.7 Hz), 7.51 s (1H, $H_{naphthyl}$), 7.53 s (1H, $H_{naphthyl}$), 7.59 s (1H, $H_{naphthyl}$), 7.62 d (1H, CH=CH, J = 15.7 Hz), 7.91 s (1H, $H_{naphthyl}$), 7.93 s (1H, $H_{naphthyl}$), 7.94 s (1H, $H_{naphthyl}$), 8.00 s (1H, $H_{naphthyl}$), 12.14 br.s (1H, OH). ^{13}C NMR spectrum, δ_C, ppm: 112.1 ($C_{pyrrole}$), 112.7 ($C_{pyrrole}$), 114.5 (C=C), 115.5 (C^{β}), 126.5 ($C_{naphthyl}$), 126.8 ($C_{naphthyl}$), 127.9 ($C_{naphthyl}$), 129.3 ($C_{naphthyl}$), 130.4 ($C_{pyrrole}$), 131.5 (C^{α}), 132.7 (C=C), 136.9 ($C_{pyrrole}$), 168.1 (COOH). IR spectrum (KBr), ν, cm^{-1}: 3486 (OH), 1670 (C=O), 1600 (C=C), 1270 (OH). Found, %: C 78.95; H 5.15; N 4.90. $C_{19}H_{15}NO_2$. Calculated, %: C 78.87; H 5.23; N 4.84.

(*E*)-3-(*N*-Vinyl-4,5-dihydro-1*H*-benzo[*g*]indol-2-yl)acrylic acid (2f). Reaction time 2 h. Yield 0.499 g (94%). Yellow powder, mp 182–184°C. ^{1}H NMR spectrum, δ, ppm: 2.59 m (2H, H_{arom}), 2.81 m (2H, H_{arom}), 5.30 d (1H, H_B, J = 15.4 Hz), 5.64 d (1H, H_A, J = 8.1 Hz), 6.25 d (1H, CH=CH, J = 15.7 Hz), 6.85 s (1H, $H_{pyrrole}$), 7.10 m (1H, H_{arom}), 7.20 m (1H, H_{arom}), 7.23 q (1H, H_X, J = 8.2, 15.6 Hz), 7.27 m (1H, H_{arom}), 7.12 d (1H, CH=CH, J = 15.7 Hz), 7.54 d (1H, H_{arom}, J = 15.7 Hz), 7.57 m (1H, H_{arom}), 12.06 br.s (1H, OH). ^{13}C NMR spectrum, δ_C, ppm: 21.5 (C_{arom}), 29.7 (C_{arom}), 110.3 ($C_{pyrrole}$), 113.8 (C=C), 115.9 (C^{β}), 121.9 (C_{arom}), 123.9 (C_{arom}), 125.9 (C_{arom}), 126.4 (C_{arom}), 128.2 (C_{arom}), 128.4 (C_{arom}), 129.8 (C_{arom}), 131.4 (C_{arom}), 132.1 (C^{α}), 132.1 (C=C), 136.4 (C_{arom}), 167.9 (COOH). IR spectrum (KBr), ν, cm^{-1}: 3429 (OH), 1603 (C=C), 1686 (C=O), 1272 (OH). Found, %: C 76.83; H 5.72; N 5.25. $C_{17}H_{15}NO_2$. Calculated, %: C 76.96; H 5.70; N 5.28.

(*E*)-3-[*N*-Vinyl-5-(2-thienyl)-1*H*-pyrrol-2-yl]-acrylic acid (2g). Reaction time 2 h. Yield 0.407 g (83%). Green powder, mp 170–172°C. ^{1}H NMR spectrum, δ, ppm: 5.29 d (1H, H_B, J = 15.6 Hz), 5.61 d (1H, H_A, J = 8.2 Hz), 6.28 d ($H_{acrylic\ acid}$, J = 15.7 Hz, 1H), 6.51 d (1H, $H_{pyrrole}$, J = 3.9 Hz), 6.98 d (1H, $H_{pyrrole}$, J = 3.9 Hz), 7.07 q (1H, H_X, J = 8.2, 15.6 Hz), 7.10 d.d (1H, $H_{thienyl}$, J = 3.6, 5.0 Hz), 7.23 d.d (1H, $H_{thienyl}$, J = 1.0, 3.6 Hz), 7.52 d (1H, $H_{acrylic\ acid}$, J = 15.7 Hz), 7.53 d.d (1H, $H_{thienyl}$, J = 1.0, 5.0 Hz), 12.10 br.s (1H, OH). ^{13}C NMR spectrum, δ_C, ppm: 111.29 ($C_{pyrrole}$), 112.4 ($C_{pyrrole}$), 114.64 (C=C), 116.8 (C^{β}), 125.9 ($C_{thienyl}$), 126.0 ($C_{thienyl}$), 127.7 ($C_{thienyl}$), 130.1 ($C_{pyrrole}$), 130.3 ($C_{pyrrole}$), 131.0 (C^{α}), 132.3 (C=C), 133.1 ($C_{thienyl}$), 167.8 (COOH). IR spectrum (KBr), ν, cm^{-1}: 3503 (OH), 1676 (C=O), 1628 (C=C), 1271 (OH). Found, %: C 63.21; H 4.67; N 6.11; S 12.95. $C_{13}H_{11}NO_2S$. Calculated, %: C 63.65; H 4.52; N 5.70; S 13.07.

Methyl (*E*)-3-(5-phenyl-*N*-vinyl-1*H*-pyrrol-2-yl)-acrylate (3c). A mixture of 5-phenyl-*N*-vinylpyrrolyl acrylic acid **2c** (0.002 mol) and potassium carbonate (0.276 g, 0.002 mol) in DMF (4 mL) was stirred for 10 min. Then iodomethane (0.426 g, 0.003 mol) was added. The reaction mixture was stirred for 48 h at room temperature. After this, the mixture was diluted with saturated $NaHCO_3$ solution and extracted with diethyl ether (5×15 mL). The ether extracts were washed with H_2O (5×15 mL) and dried over $MgSO_4$. The solvent was removed, and the residue was purified by column chromatography (Al_2O_3, hexane–diethyl ether 4:1). Yield 0.43 g (85%). Brown oil. ^{1}H NMR spectrum, δ, ppm: 3.12 s (3H, CH_3), 4.58 d (1H, H_B, J = 15.7 Hz), 4.76 d (1H, H_A, J = 15.7 Hz), 5.56 d (1H, CH=CH, J = 15.7 Hz), 5.67 d (1H, $H_{pyrrole}$, J = 3.6 Hz), 6.11 d (1H, $H_{pyrrole}$, J = 3.6 Hz), 6.22 q (1H, H_X, J = 8.2, 15.7 Hz), 6.65–6.77 m (5H_{arom}), 7.06 d (1H, CH=CH, J = 15.7 Hz). ^{13}C NMR spectrum, δ_C, ppm: 48.2 (CH_3), 94.5 (C^{β}), 108.7 ($C_{pyrrole}$), 109.7 ($C_{pyrrole}$), 111.2 (C=C), 124.7 (C_{arom}), 125.6 (2C_{arom}), 126.2 (2C_{arom}), 127.7 (C_{arom}), 128.5 (C_{arom}), 130.4 (C_{arom}), 133.1 ($C_{pyrrole}$), 134.6 ($C_{pyrrole}$), 163.6 (COOH). Found, %: C 75.90; H 5.92; N 5.63. $C_{16}H_{15}NO_2$. Calculated, %: C 75.87; H 5.97; N 5.53.

CONCLUSIONS

In conclusion, hitherto unknown (*E*)-3-(*N*-vinylpyrrol-2-yl)acrylic acids **2a-g** have been stereoselectively synthesized for the first time. The synthesis became possible owing to the systematic development of the Trofimov reaction, which made available substituted *N*-vinylpyrroles, a convenient platform for further design of various synthons. In turn, the pyrrolylacrylic

acids are prospective monomers, building blocks for the creation of high-tech materials and promising biologically active substances suitable for pharmaceutical chemistry.

The authors of the work congratulate Academician Boris Trofimov on his 85th birthday, thank him for the fruitful joint work and are proud of belonging to the classical school of Academician Trofimov.

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CONFLICT OF INTEREST

The authors of this work declare that they have no conflicts of interest.

OPEN ACCESS

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