

4-Functionally Substituted 3-Hetarylpyrazoles: XX.* Synthesis of Derivatives of 5-(Pyrasol-4-yl)-1,2,4-triazole and 3-(Pyrazol-4-yl)-1,2,4- triazolo[3,4-*c*][1,4]oxazine

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Abstract—*N*-Methylimines of 3-aryl-1-phenylpyrazole-4-carbaldehyde react with ethyl 2-aryl-hydrazino-2-chloroacetate with the formation of ethyl 1-aryl-5-(pyrazole-4-yl)-4,5-dihydro-1*H*-1,2,4-triazolecarboxylates. Analogous reactions of pyrazol-4-carbaldehyde *N*-(2-hydroxy)ethylimines results in derivatives of 3-(pyrazol-4-yl)-1,2,4-triazolo[3,4-*c*][1,4]-oxazines.

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Imines of pyrazole-4-carbaldehyde recently found an application as convenient initial compounds for subsequent functionalization with versatile heterocyclic fragments. On their basis pyrazoles were obtained substituted in the position 4 by thiazolidine [2–5], 1,2,4-oxadiazoline [2], benzoxazoline or benzthiazoline [6, 7] rings. Taking into account the biophore properties of both pyrazoles functionalized in the position 4 [8], and 5-substituted derivatives of 1,2,4-triazole [9–11] it was considered appropriate to develop a convenient approach to these heterocyclic ensembles.

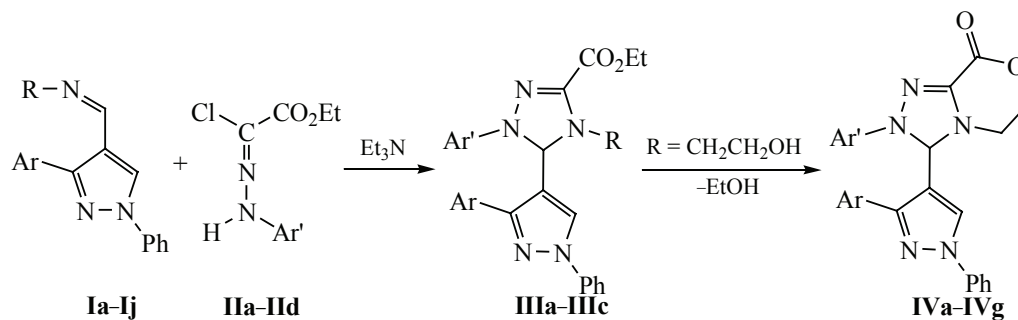
Proceeding from the published sources on the synthesis of 5-aryl-1,2,4-triazolines [12–14] we examined the reaction of [3+2]-cycloaddition of alkoxycarbonylnitrilimines to the pyrazole-4-carbaldehyde imines.

It was found experimentally that unlike arylalldimines [13] the structure of pyrazolulalldimines was very sensitive to this type reactions, and we succeeded to obtain a positive result only with pyrazole-4-carbaldehyde *N*-alkylimines **Ia–Ij**. The latter reacted selectively with ethyl 2-arylhydrazino-2-chloroacetates **IIa–IIc** in benzene at room temperature in the triethylamine, i.e., under the conditions of generation of the corresponding ethoxy-

carbonylnitrilimines. In the case of *N*-methylimines **Ia–Ie** ethyl 5-(3-arylpyrazol-4-yl)-4,5-dihydro-1*H*-1,2,4-triazolecarboxylates **IIIa–IIIj** formed in 64–85% yields.

At the use of *N*-(2-hydroxy)ethylimines **If–Ij** we unexpectedly obtained as reaction products derivatives of 3-(pyrazol-4-yl)-1,2,4-triazolo[3,4-*c*][1,4]oxazines **IVa–IVg** isolated in high yields. They formed most probably by the subsequent cyclization of primarily arising triazolines **IIIj–IIIq** containing in the position 4 a hydroxyethyl substituent. The special feature of the observed fusion of a 1,4-oxazine and a 1,2,4-triazoline rings consists in the occurrence of the intramolecular acylation of the β-hydroxyethyl fragment with the ethoxycarbonyl group at room temperature in the materially neutral medium. In the IR spectra of ethyl triazole-3-carboxylates **IIIa–IIIj** the carbonyl group gives rise to an absorption band in the region 1720–1725 cm^{–1}, and in the spectra of triazoloxazinones **IVa–IVg**, at 1735–1745 cm^{–1}. In the ¹H NMR spectra of compounds **IIIa–IIIj** characteristic signal of H⁵ protons appears at 6.25–6.68 ppm, and in the spectra of compounds **IVa–IVg** singlets of H³ protons are observed at 6.57–6.82 ppm. In the spectra of the latter also the signals of the ethoxy groups are absent, and alongside the mass spectra it served a convincing proof of their structure.

* For Communication XIX, see [1].



I, R = Me, Ar = 4-FC₆H₄ (**a**), 4-ClC₆H₄ (**b**), 4-MeC₆H₄ (**c**), 3,4-(MeO)₂C₆H₃ (**d**), benzofuran-2-yl (**e**); R = CH₂CH₂OH, Ar = 4-FC₆H₄ (**f**), 4-ClC₆H₄ (**g**), 4-MeC₆H₄ (**h**), 4-(F₂HCO)C₆H₄ (**i**), 3,4-(MeO)₂C₆H₃ (**j**); II, Ar' = 4-FC₆H₄ (**a**), 4-ClC₆H₄ (**b**), 4-MeC₆H₄ (**c**), 4-H₂N-SO₂C₆H₄ (**d**); III, R = Me: Ar = Ar' = 4-FC₆H₄ (**a**), 4-ClC₆H₄ (**b**); Ar = 4-ClC₆H₄, Ar' = 4-MeC₆H₄ (**c**); Ar = 4-MeC₆H₄, Ar' = 4-ClC₆H₄ (**d**); Ar = 3,4-(MeO)₂C₆H₃, Ar' = 4-FC₆H₄ (**e**), 4-MeC₆H₄ (**f**); Ar = benzofuran-2-yl, Ar' = 4-FC₆H₄ (**g**), 4-ClC₆H₄ (**h**), 4-MeC₆H₄ (**i**), C₆H₅ (**j**); R = CH₂CH₂OH: Ar = 4-FC₆H₄, Ar' = 4-MeC₆H₄ (**k**); Ar = 4-ClC₆H₄, Ar' = 4-MeC₆H₄ (**l**); Ar = 4-(F₂HCO)C₆H₄, Ar' = 4-FC₆H₄ (**m**), 4-MeC₆H₄ (**n**), 4-NH₂SO₂C₆H₄ (**o**); Ar = 3,4-(MeO)₂C₆H₃, Ar' = 4-FC₆H₄ (**p**), 4-MeC₆H₄ (**q**); IV, Ar = 4-FC₆H₄, Ar' = 4-MeC₆H₄ (**a**); Ar = 4-ClC₆H₄, Ar' = 4-MeC₆H₄ (**b**); Ar = 4-(F₂HCO)C₆H₄, Ar' = 4-FC₆H₄ (**c**), 4-MeC₆H₄ (**d**), 4-NH₂SO₂C₆H₄ (**e**); Ar = 3,4-(MeO)₂C₆H₃, Ar' = 4-FC₆H₄ (**f**), 4-MeC₆H₄ (**g**).

EXPERIMENTAL

IR spectra were recorded on a spectrophotometer UR-20 from pellets with KBr. ¹H and ¹³C NMR spectra were registered on a spectrometer Bruker Avance DRX-500 (500.13 MHz), from solutions in (CD₃)₂SO, internal reference TMS. GC-MS spectra were taken on an instrument Agilent 1100/DAD/HSD/VLG 119562.

Compounds IIIa-IIIj, IVa-IVg. To a slurry of 2 mmol of compound IIa-IIId in 15 ml of chloroform was added at stirring 0.29 ml (2.1 mmol) of triethylamine, and 0.5 h later, 2 mmol of imine Ia-Ij in 10 ml of chloroform. The reaction mixture was stirred at room temperature for 18–20 h, the solvent was evaporated, the residue was washed with water (3 × 15 ml), dissolved in 20 ml of chloroform, the solution was dried with anhydrous sodium sulfate, filtered, chloroform was evaporated, the residue was crystallized from ethanol.

Ethyl 4-methyl-5-[1-phenyl-3-(4-fluorophenyl)-1H-pyrazol-4-yl]-1-(4-fluorophenyl)-4,5-dihydro-1H-1,2,4-triazole-3-carboxylate (IIIa). Yield 85%, mp 97–98°C. IR spectrum, ν , cm⁻¹: 1725 (C=O). ¹H NMR spectrum, δ , ppm: 1.30 t (3H, CH₃, *J* 6.5 Hz), 2.87 s (3H, CH₃N), 4.30 q (2H, CH₂O, *J* 6.5 Hz), 6.28 s (1H, H⁵_{triazole}), 6.93–8.61 m (13H_{arom}), 8.98 s (1H, H⁵_{pyrazole}). Found, %: C 66.24; H 4.64; N 14.09. [*M* + 1]⁺ 488. C₂₇H₂₃F₂N₅O₂. Calculated, %: C 66.52; H 4.76; N 14.37. *M* 487.51.

Ethyl 4-methyl-5-[1-phenyl-3-(4-chlorophenyl)-1H-pyrazol-4-yl]-1-(4-chlorophenyl)-4,5-dihydro-

1H-1,2,4-triazole-3-carboxylate (IIIb). Yield 64%, mp 176–177°C. IR spectrum, ν , cm⁻¹: 1725 (C=O). ¹H NMR spectrum, δ , ppm: 1.32 t (3H, CH₃, *J* 6.6 Hz), 2.96 s (3H, CH₃N), 4.33 q (2H, CH₂O, *J* 6.6 Hz), 6.68 s (1H, H⁵_{triazole}), 6.98 d (2H_{arom}, *J* 7.8 Hz), 7.23 d (2H_{arom}, *J* 7.8 Hz), 7.31–7.77 m (7H_{arom}), 7.98 d (2H_{arom}, *J* 7.8 Hz), 9.00 s (1H, H⁵_{pyrazole}). Found, %: C 62.08; H 4.33; N 13.65. [*M* + 1]⁺ 521. C₂₇H₂₃Cl₂N₅O₂. Calculated, %: C 62.31; H 4.45; N 13.46. *M* 520.42.

Ethyl 4-methyl-1-(4-methylphenyl)-5-[1-phenyl-3-(4-chlorophenyl)-1H-pyrazol-4-yl]-4,5-dihydro-1H-1,2,4-triazole-3-carboxylate (IIIc). Yield 73%, mp 145–146°C. IR spectrum, ν , cm⁻¹: 1720 (C=O). ¹H NMR spectrum, δ , ppm: 1.33 t (3H, CH₃, *J* 6.8 Hz), 2.13 s (3H, CH₃), 2.95 s (3H, CH₃N), 4.33 q (2H, CH₂O, *J* 6.8 Hz), 6.59 s (1H, H⁵_{triazole}), 6.90 d (2H_{arom}, *J* 7.5 Hz), 6.98 d (2H_{arom}, *J* 7.5 Hz), 7.30–7.72 m (7H_{arom}), 7.98 d (2H_{arom}, *J* 8.0 Hz), 8.99 s (1H, H⁵_{pyrazole}). Found, %: C 67.52; H 5.09; N 13.84. [*M* + 1]⁺ 501. C₂₈H₂₆ClN₅O₂. Calculated, %: C 67.26; H 5.24; N 14.01. *M* 500.00.

Ethyl 4-methyl-5-[3-(4-methylphenyl)-1-phenyl-1H-pyrazol-4-yl]-1-(4-chlorophenyl)-4,5-dihydro-1H-1,2,4-triazole-3-carboxylate (IIId). Yield 69%, mp 152–153°C. IR spectrum, ν , cm⁻¹: 1720 (C=O). ¹H NMR spectrum, δ , ppm: 1.31 t (3H, CH₃, *J* 6.8 Hz), 2.35 s (3H, CH₃), 2.84 s (3H, CH₃N), 4.29 q (2H, CH₂O, *J* 6.8 Hz), 6.36 s (1H, H⁵_{triazole}), 6.88 d (2H_{arom}, *J* 7.8 Hz), 7.18–7.52 m (9H_{arom}), 7.92 d (2H_{arom}, *J* 7.8 Hz), 8.92 s (1H, H⁵_{pyrazole}). Found, %: C 67.54; H 5.18; N 13.78. [*M* +

1]⁺ 501. C₂₈H₂₆ClN₅O₂. Calculated, %: C 67.26; H 5.24; N 14.01. *M* 500.00.

Ethyl 4-methyl-5-[3-(3,4-dimethoxyphenyl)-1-phenyl-1*H*-pyrazol-4-yl]-1-(4-fluorophenyl)-4,5-dihydro-1*H*-1,2,4-triazole-3-carboxylate (IIIe). Yield 81%, mp 116–117°C. IR spectrum, ν , cm⁻¹: 1725 (C=O). ¹H NMR spectrum, δ , ppm: 1.29 t (3H, CH₃, *J* 6.8 Hz), 2.87 s (3H, CH₃N), 3.77 s (3H, CH₃O), 3.79 s (3H, CH₃O), 4.29 q (2H, CH₂O, *J* 6.8 Hz), 6.26 s (1H, H⁵_{triazole}), 6.97–7.54 m (10H_{arom}), 7.93 d (2H_{arom}, *J* 7.2 Hz), 8.97 s (1H, H⁵_{pyrazole}). Found, %: C 65.87; H 5.86; N 13.14. [*M* + 1]⁺ 516. C₂₈H₂₆FN₅O₄. Calculated, %: C 66.04; H 5.91; N 12.84. *M* 515.55.

Ethyl 4-methyl-1-(4-methylphenyl)-5-[3-(3,4-dimethoxyphenyl)-1-phenyl-1*H*-pyrazol-4-yl]-4,5-dihydro-1*H*-1,2,4-triazole-3-carboxylate (III*f*). Yield 79%, mp 139–140°C. IR spectrum, ν , cm⁻¹: 1720 (C=O). ¹H NMR spectrum, δ , ppm: 1.30 t (3H, CH₃, *J* 6.6 Hz), 2.16 s (3H, CH₃), 2.87 s (3H, CH₃N), 3.79 s (6H, 2CH₃O), 4.28 q (2H, CH₂O, *J* 6.6 Hz), 6.25 s (1H, H⁵_{triazole}), 6.88–7.94 m (12H_{arom}), 8.95 s (1H, H⁵_{pyrazole}). Found, %: C 68.38; H 5.86; N 13.55. [*M* + 1]⁺ 526. C₃₀H₃₁N₅O₄. Calculated, %: C 68.56; H 5.94; N 13.32. *M* 525.61.

Ethyl 5-[3-(benzofuran-2-yl)-1-phenyl-1*H*-pyrazol-4-yl]-4-methyl-1-(4-fluorophenyl)-4,5-dihydro-1*H*-1,2,4-triazole-3-carboxylate (III*g*). Yield 69%, mp 123–124°C. IR spectrum, ν , cm⁻¹: 1720 (C=O). ¹H NMR spectrum, δ , ppm: 1.30 t (3H, CH₃, *J* 6.8 Hz), 2.86 s (3H, CH₃N), 4.29 q (2H, CH₂O, *J* 6.8 Hz), 6.29 s (1H, H⁵_{triazole}), 6.94–7.27 m (4H_{arom}), 7.47–7.95 m (9H_{arom}), 9.00 s (1H, H⁵_{pyrazole}). Found, %: C 68.58; H 4.69; N 13.55. [*M* + 1]⁺ 510. C₂₉H₂₄FN₅O₃. Calculated, %: C 68.36; H 4.76; N 13.74. *M* 509.54.

Ethyl 5-[3-(benzofuran-2-yl)-1-phenyl-1*H*-pyrazol-4-yl]-4-methyl-1-(4-chlorophenyl)-4,5-dihydro-1*H*-1,2,4-triazole-3-carboxylate (III*h*). Yield 78%, mp 141–142°C. IR spectrum, ν , cm⁻¹: 1725 (C=O). ¹H NMR spectrum, δ , ppm: 1.31 t (3H, CH₃, *J* 6.6 Hz), 2.88 s (3H, CH₃N), 4.30 q (2H, CH₂O, *J* 6.6 Hz), 6.38 s (1H, H⁵_{triazole}), 6.91 d (2H_{arom}, *J* 7.8 Hz), 7.21 d (2H_{arom}, *J* 7.8 Hz), 7.36–7.92 m (9H_{arom}), 8.97 s (1H, H⁵_{pyrazole}). Found, %: C 66.47; H 4.52; N 13.51. [*M* + 1]⁺ 527. C₂₉H₂₄ClN₅O₃. Calculated, %: C 66.22; H 4.60; N 13.31. *M* 526.00.

Ethyl 5-[3-(benzofuran-2-yl)-1-phenyl-1*H*-pyrazol-4-yl]-4-methyl-1-(4-methylphenyl)-4,5-dihydro-1*H*-1,2,4-triazole-3-carboxylate (III*i*). Yield 73%, mp 134–135°C. IR spectrum, ν , cm⁻¹: 1725 (C=O). ¹H NMR spectrum, δ , ppm: 1.31 t (3H, CH₃, *J* 6.8 Hz),

2.30 s (3H, CH₃), 2.87 s (3H, CH₃N), 4.29 q (2H, CH₂O, *J* 6.8 Hz), 6.29 s (1H, H⁵_{triazole}), 6.83 d (2H_{arom}, *J* 7.6 Hz), 6.97 d (2H_{arom}, *J* 7.6 Hz), 7.35–7.95 m (9H_{arom}), 8.98 s (1H, H⁵_{pyrazole}). Found, %: C 71.44; H 5.23; N 13.61. [*M* + 1]⁺ 506. C₃₀H₂₇N₅O₃. Calculated, %: C 71.27; H 5.38; N 13.85. *M* 505.58.

Ethyl 5-[3-(benzofuran-2-yl)-1-phenyl-1*H*-pyrazol-4-yl]-4-methyl-1-phenyl-4,5-dihydro-1*H*-1,2,4-triazole-3-carboxylate (III*j*). Yield 68%, mp 118–119°C. IR spectrum, ν , cm⁻¹: 1720 (C=O). ¹H NMR spectrum, δ , ppm: 1.31 t (3H, CH₃, *J* 6.6 Hz), 2.88 s (3H, CH₃N), 4.30 q (2H, CH₂O, *J* 6.6 Hz), 6.35 s (1H, H⁵_{triazole}), 6.79–7.62 m (11H_{arom}), 7.94 d (2H_{arom}, *J* 8.0 Hz), 9.01 s (1H, H⁵_{pyrazole}). Found, %: C 70.58; H 5.07; N 14.47. [*M* + 1]⁺ 492. C₂₉H₂₅N₅O₃. Calculated, %: C 70.86; H 5.13; N 14.25. *M* 491.55.

2-(4-Methylphenyl)-3-[1-phenyl-3-(4-fluorophenyl)-1*H*-pyrazol-4-yl]-2,3,5,6-tetrahydro-8*H*-1,2,4-triazolo[3,4-*C*][1,4]oxazin-8-one (IV*a*). Yield 76%, mp 181–182°C. IR spectrum, ν , cm⁻¹: 1735 (C=O). ¹H NMR spectrum, δ , ppm: 2.16 s (3H, CH₃), 3.15 m, 3.41 m (2H, CH₂N), 4.41 m, 4.53 m (2H, CH₂O), 6.57 s (1H, H³), 6.80 d (2H_{arom}, *J* 8.0 Hz), 6.97 d (2H_{arom}, *J* 8.0 Hz), 7.29–7.68 m (7H_{arom}), 7.92 d (2H_{arom}, *J* 7.8 Hz), 8.95 s (1H, H⁵_{pyrazole}). Found, %: C 69.56; H 4.68; N 14.67. [*M* + 1]⁺ 468. C₂₇H₂₂FN₅O₂. Calculated, %: C 69.37; H 4.74; N 14.98. *M* 467.51.

2-(4-Methylphenyl)-3-[1-phenyl-3-(4-chlorophenyl)-1*H*-pyrazol-4-yl]-2,3,5,6-tetrahydro-8*H*-1,2,4-triazolo[3,4-*C*][1,4]oxazin-8-one (IV*b*). Yield 79%, mp 204–205°C. IR spectrum, ν , cm⁻¹: 1740 (C=O). ¹H NMR spectrum, δ , ppm: 2.16 s (3H, CH₃), 3.14 m, 3.34 m (2H, CH₂N), 4.43 m, 4.51 m (2H, CH₂O), 6.58 s (1H, H³), 6.81 d (2H_{arom}, *J* 7.8 Hz), 6.96 d (2H_{arom}, *J* 7.8 Hz), 7.37–7.68 m (7H_{arom}), 7.92 d (2H_{arom}, *J* 7.8 Hz), 8.97 s (1H, H⁵_{pyrazole}). Found, %: C 66.78; H 4.54; N 14.55. [*M* + 1]⁺ 484. C₂₇H₂₂ClN₅O₂. Calculated, %: C 67.01; H 4.58; N 14.47. *M* 483.96.

3-[3-(4-Difluoromethoxyphenyl)-1-phenyl-1*H*-pyrazol-4-yl]-2-(4-fluorophenyl)-2,3,5,6-tetrahydro-8*H*-1,2,4-triazolo[3,4-*C*][1,4]oxazin-8-one (IV*c*). Yield 64%, mp 166–167°C. IR spectrum, ν , cm⁻¹: 1735 (C=O). ¹H NMR spectrum, δ , ppm: 3.15 m, 3.42 m (2H, CH₂N), 4.34 m, 4.54 m (2H, CH₂O), 6.57 s (1H, H³), 6.91–7.55 m (9H_{arom} + F₂CHO), 7.71 d (2H_{arom}, *J* 8.0 Hz), 7.92 d (2H_{arom}, *J* 7.8 Hz), 8.97 s (1H, H⁵_{pyrazole}). Found, %: C 62.71; H 3.84; N 13.21. [*M* + 1]⁺ 520. C₂₇H₂₀F₃N₅O₃. Calculated, %: C 62.43; H 3.88; N 13.48. *M* 519.49.

3-[3-(4-Difluoromethoxyphenyl)-1-phenyl-1H-pyrazol-4-yl]-2-(4-methylphenyl)-2,3,5,6-tetrahydro-8H-1,2,4-triazolo[3,4-C][1,4]oxazin-8-one (IVd). Yield 54%, mp 156–157°C. IR spectrum, ν , cm^{-1} : 1745 (C=O). ^1H NMR spectrum, δ , ppm: 2.16 s (3H, CH_3), 3.15 m, 3.43 m (2H, CH_2N), 4.42 m, 4.54 m (2H, CH_2O), 6.57 s (1H, H^3), 6.82 d (2H_{arom}, J 7.8 Hz), 6.98 d (2H_{arom}, J 7.8 Hz), 7.26–7.57 m (6H, 5H_{arom} + F_2HCO), 7.72 d (2H_{arom}, J 8.0 Hz), 7.93 d (2H_{arom}, J 8.0 Hz), 8.98 s (1H, $\text{H}^5_{\text{pyrazole}}$). Found, %: C 65.52; H 4.66; N 13.44. $[M + 1]^+$ 516. $\text{C}_{28}\text{H}_{23}\text{F}_2\text{N}_5\text{O}_2$. Calculated, %: C 65.24; H 4.50; N 13.58. M 515.52.

3-[3-(4-Difluoromethoxyphenyl)-1-phenyl-1H-pyrazol-4-yl]-2-(4-sulfamoylphenyl)-2,3,5,6-tetrahydro-8H-1,2,4-triazolo[3,4-C][1,4]oxazin-8-one (IVe). Yield 64%, mp 249–250°C. IR spectrum, ν , cm^{-1} : 1745 (C=O). ^1H NMR spectrum, δ , ppm: 3.21 m, 3.48 m (2H, CH_2N), 4.45 m, 4.57 m (2H, CH_2O), 6.82 s (1H, H^3), 6.93–7.69 m (11H_{arom}), 7.91 d (2H_{arom}, J 7.8 Hz), 8.99 s (1H, $\text{H}^5_{\text{pyrazole}}$). Found, %: C 55.98; H 3.76; N 14.62. $[M + 1]^+$ 581. $\text{C}_{27}\text{H}_{22}\text{F}_2\text{N}_6\text{O}_5\text{S}$. Calculated, %: C 55.86; H 3.82; N 14.48. M 580.57.

3-[3-(3,4-Dimethoxyphenyl)-1-phenyl-1H-pyrazol-4-yl]-2-(4-fluorophenyl)-2,3,5,6-tetrahydro-8H-1,2,4-triazolo[3,4-C][1,4]oxazin-8-one (IVf). Yield 54%, mp 186–187°C. IR spectrum, ν , cm^{-1} : 1745 (C=O). ^1H NMR spectrum, δ , ppm: 3.13 m, 3.42 m (2H, CH_2N), 3.77 s (6H, 2 CH_3O), 4.38 m, 4.54 m (2H, CH_2O), 6.57 s (1H, H^3), 6.95–7.53 m (10H_{arom}), 7.92 m (2H_{arom}), 8.96 s (1H, $\text{H}^5_{\text{pyrazole}}$). Found, %: C 65.78; H 4.56; N 13.85. $[M + 1]^+$ 514. $\text{C}_{28}\text{H}_{24}\text{FN}_5\text{O}_4$. Calculated, %: C 65.49; H 4.71; N 13.64. M 513.53.

3-[3-(3,4-Dimethoxyphenyl)-1-phenyl-1H-pyrazol-4-yl]-2-(4-methylphenyl)-2,3,5,6-tetrahydro-8H-1,2,4-triazolo[3,4-C][1,4]oxazin-8-one (IVg). Yield 61%, mp 183–184°C. IR spectrum, ν , cm^{-1} : 1740 (C=O). ^1H NMR spectrum, δ , ppm: 2.16 s (3H, CH_3), 3.14 m, 3.42 m (2H,

CH_2N), 3.81 s (6H, 2 CH_3O), 4.38 m, 4.53 m (2H, CH_2O), 6.57 s (1H, H^3), 6.86–7.53 m (10H_{arom}), 7.92 d (2H_{arom}, J 7.2 Hz), 8.94 s (1H, $\text{H}^5_{\text{pyrazole}}$). Found, %: C 68.11; H 5.46; N 13.55. $[M + 1]^+$ 510. $\text{C}_{29}\text{H}_{27}\text{N}_5\text{O}_4$. Calculated, %: C 68.36; H 5.34; N 13.74. M 509.57.

REFERENCES

1. Bratenko, M.K., Kadel'nik, Yu.V., Chornous, V.A., and Vovk, M.V., *Zh. Org. Khim.*, 2008, vol. 44, p. 256.
2. Chary, T.J.M., Reddy, C.V.N., and Murthy, A.K., *Ind. J. Chem. B*, 1992, vol. 31, p. 495.
3. Bratenko, M.K., Panimarchuk, O.I., Chornous, V.A., Burdenyuk, I.P., and Vovk, M.V., *Farm. Zh.*, 2007, vol. 6, p. 64.
4. Badedhe, P.V., Chavan, N.M., Ghotekar, D.S., Mandhane, P.G., Joshi, R.S., and Gill, C.H., *Phosph., Sulfur, Silicon. Relat. Elem.*, 2011, vol. 16, p. 2021.
5. El-Zahar, M., Abd, El-Karim, S.S., and Anwar, M.M., *South. Afr. J. Chem.*, 2009, vol. 62, p. 189.
6. Prakash, O., Pannu, K., and Kumar, A., *Molecules*, 2006, vol. 11, p. 43.
7. Praveen, C., Kumar, K.H., Muralidharan, D., and Perumal, P.T., *Tetrahedron*, 2008, vol. 64, p. 2369.
8. Vovk, M.V., Bratenko, M.K., and Chornous, V.O., *4-Funktsional'no zamishcheni pirazoli* (4-Functionally Substituted Pyrazoles), Chernivtsi: Prut, 2008.
9. Jenkins, S.M., Wadsworth, H., Brmidge, S., Orlek, B.S., Wyman, P.A., Riley, G.J., and Hawkius, J., *J. Med. Chem.*, 1992, vol. 35, p. 2392.
10. Papukonstantion-Garoutalias, S., Pouli, N., Makaros, P., and Chytyroglon-Ladas, A., *Farmaco*, 2002, vol. 57, p. 973.
11. Collin, X., Sauleau, A., and Coulon, J., *Bioorg. Med. Chem. Lett.*, 2003, vol. 13, p. 2601.
12. Konwar, D., Boruah, R.C., and Sandhu, J.S., *Ind. J. Chem. B*, 1997, vol. 36, p. 1050.
13. Tewari, R.S. and Parihar, P., *Tetrahedron*, 1983, vol. 39, p. 129.
14. Molteni, G. and Ponti, A., *Tetrahedron: Asymmetry*, 2004, vol. 15, p. 3711.