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# A NEW CONVENIENT METHOD FOR THE SYNTHESIS OF 2-(3-(β-NAPHTHYL)-5-TRIFLUOROMETHYLPYRAZOL-1-YL)THIAZOLES

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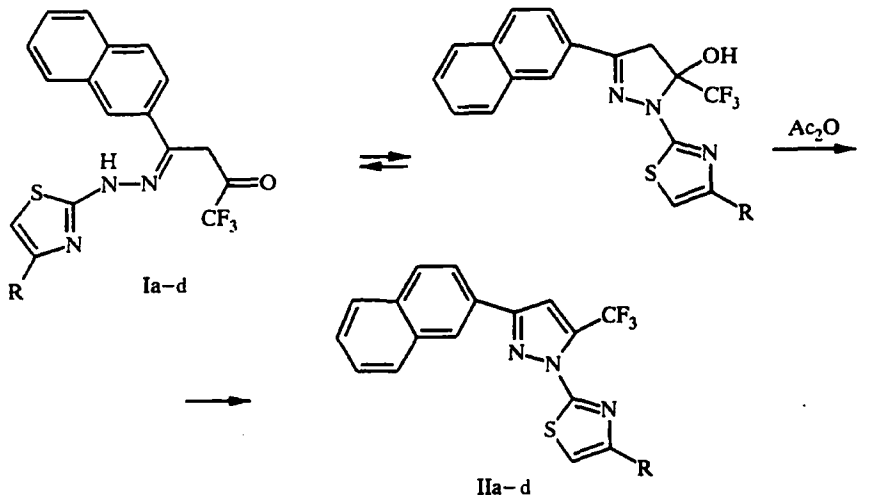
Products of acylation at either the cyclic or exocyclic nitrogen atom are formed on reaction of 2-hydrazono- and 2-hydrazido- derivatives of thiazole with acetic anhydride [1]. We have observed that the 2-(1-naphthyl-2-trifluoroacetylethylidenehydrazones) of thiazole I a-d are converted in acetic anhydride to the 2-(3-(β-naphthyl)-5-trifluoromethylpyrazol-1-yl)thiazoles II a-d.

On reaction of 2-hydrazino-4-R-thiazoles with 4,4,4-trifluoro-1-(β-naphthyl)-1,3-butanedione and ethyl 4,4,4-trifluoroacetoacetate in ethanol only compounds I a-d were isolated, but not the aromatic bicyclic compounds which had been observed under analogous conditions [2].

The structures of compounds II a-d were confirmed by IR, $^1H$, $^{19}F$, $^{13}C$ NMR spectroscopy, mass spectroscopy and elemental analysis.




I, II a R = Ph, b R = $CH_3$, c R = *p*-$C_6H_4$—Cl, d R = $COOC_2H_5$

This reaction is a suitable preparative method for the synthesis of the bicyclic compounds which include substances with anti-inflammatory and antihelminthic activity.

**2-(3-β-Naphthyl)-5-trifluoromethylpyrazol-1-yl)-4-phenylthiazole (IIa, $C_{23}H_{14}F_3N_3S$).** Yield 92%, m.p. 163-165°C. IR Spectrum: 1530, 1290, 1225, 1165, 1139, 1959, 965 $cm^{-1}$. $^1H$ NMR Spectrum (250 MHz, DMSO-$d_6$): 7.35-7.67 (5H, m, 4-, 5-$H_5$(Np) + 3-, 4-, 5-$H_5$(Ph)), 7.93-8.20 (7H, m, 2-, 6-$H_6$ (Ph) + 3-, 6-, 7-, 8-H(Np) + $H_{pyr}$),

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8.11 (1H, s, $H_{(5)thiaz}$), 8.6 (1H, br. s, 2-H(Np). $^{13}C$ NMR Spectrum (250 MHz, $CDCl_3$, chemical shifts for the carbon atoms of the pyrazole and thiazole rings): 109.4 ($C_{(5)pyr}$), 110.4 ($C_{(5)thiaz}$), 133.4 ($C_{(3)pyr}$), 152.7 ($C_{(4)thiaz}$), 152.8 ($C_{(5)pyr}$), 158.9 ($C_{(2)thiaz}$). Mass spectrum, *m/z* (*I*, %): $M^+$ 421 (100), 402 (2), 273 (3), 210 (24), 153 (5), 134 (42), 127 (14), 102 (6), 77 (6).

**2-(3-(β-Naphthyl)-5-trifluoromethylpyrazolo-1-yl)-4-methylthiazole (IIb, $C_{23}H_{12}F_3N_3S$).** Yield 85%, m.p. 117-19°C. IR Spectrum: 1525, 1280, 1215, 1175, 1120, 1040, 975 $cm^{-1}$. $^1H$ NMR Spectrum (250 MHz, DMSO-$d_6$): 2.39 (3H, d, $J$ = 0.92 Hz, $CH_3$), 7.3 (1H, q, $J$ = 0.92 Hz, 5-$H_{thiaz}$), 7.5-7.65 (2H, m, 4-, 5-H(Np)), 7.9-8.15 (5H, m, 3-, 6-, 7-, 8-H(Np) + $H_{pyr}$), 8.55 (1H, m, 2-H(Np)). Mass spectrum, *m/z* (*I*, %): $M^+$ 359 (100), 340 (5), 326 (20), 287 (11), 266 (5), 197 (4), 165 (17), 153 (9), 127 (28), 101 (4), 72 (18).

**2-(3-(β-Naphthyl)-5-trifluoromethylpyrazolo-1-yl)-4-(4-chlorophenyl)thiazole (IIc, $C_{23}H_{13}ClF_3N_3S$).** Yield 96%, M.p. 172-174°C. IR Spectrum: 1530, 1430, 1380, 1280, 1220 $cm^{-1}$. $^1H$ NMR Spectrum (250 MHz, DMSO-$d_6$): 7.45-7.68 (2H, m, 4-, 5-H(Np)), 7.95-8.15 (5H, m, 3-, 6-, 7-, 8-H(Np) + $H_{pyr}$), 7.55 (2H, dd, $J$ = 8.5 Hz, 3-, 5-H(Ph)), 8.16 (1H, s, 5-$H_{thiaz}$), 8.58 (1H, m, 2H(Np)). Mass spectrum, *m/z* (*I*, %): $M^+$ 455/457 (100/40), 302(3), 168/170 (30/3), 136/138 (5/2), 273 (3), 227 (23), 153 (6), 127 (18), 89 (6).

**2-(3-(β-Naphthyl)-5-trifluoromethylpyrazolo-1-yl)-4-carbethoxythiazole (IId, $C_{20}H_{14}F_3N_3O_2S$).** Yield 93%, m.p. 15-157°C. IR Spectrum: 1735, 1540, 1440, 1385, 1275, 1225 $cm^{-1}$. $^1H$ NMR Spectrum (250 MHz, DMSO-$d_6$): 1.33 (3H, t, $J$ = 6.9 Hz, $CH_3$), 4.34 (2H, q, $J$ = 6.9 Hz, $CH_2$), 7.50-7.65 (2H, m, 4-, 5-H(Np)), 7.90-8.15 (5H, m, 3-, 6-, 7-, 8-H(Np) + $H_{pyr}$), 8.46 (1H, s, 5-$H_{thiaz}$), 8.75 (1H, m, 2-H(Np)). Mass spectrum, *m/z* (*I*, 5): $M^+$ 417 (100), 388 (10), 372 (21), 344 (8), 305 (7), 262 (62), 233 (12), 186 (33), 153(15), 127(40), 101(8), 77(10).

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## REFERENCES

1. T. V. Glukhareva, A. B. Denisova, and V. S. Mokrushin. Young Peoples' Summer School in Organic Chemistry [in Russian], Ekaterinburg (1998), p. 41.
2. S. P. Singh, S. Seligal, L. Singh Tarar, and S. N. Dhawan, Indian J. Chem., **29B**, 310 (1990).

## ERRATUM

To the article "Reaction of Derivatives of 1,4-Dihydropyridine with the Peroxynitrite Anion," by G. Tirzitis, E. Kazush, and G. Duburs (Chemistry of Heterocyclic Compounds, Vol. 34, No. 3, pp. 321-323, March, 1998).

On page 321, 5th line of the first paragraph, "secondary alarm system" should read "secondary signaling system."

On page 322, 1st line of the first paragraph, "1,4-DHP derivatives containing electron-donor groups in the molecule thus oxidize the peroxynitrite anion..." should read "1-4-DHP derivatives containing electron-donor groups in the molecule are thus oxidized by the peroxynitrite anion...."