A NEW HETEROAROMATIC SYSTEM – ISOXAZOLO[3',4':4,5]-THIENO[2,3-*b*]PYRIDINE

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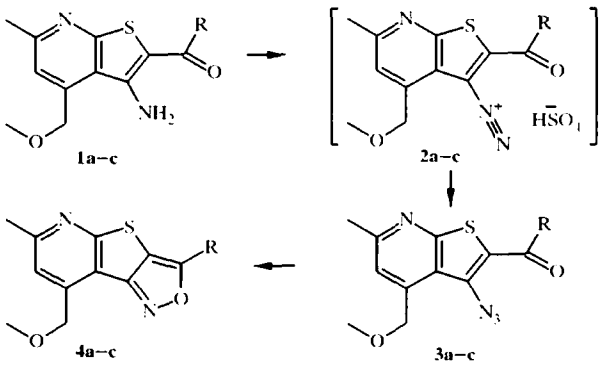
In a continuation of our researches of the synthesis of polycyclic heteroaromatic systems based on 3-aminothieno[2,3-*b*]pyridines [1-3] we obtained derivatives of a new heterocyclic system – isoxazolo[3',4':4,5]thieno[2,3-*b*]pyridine.

Thienopyridines **1a-c** were diazotized in acetic acid with a mixture of sodium nitrite and concentrated sulfuric acid at 0-5%. Without isolating diazonium salts **2a-c** we substituted the diazonium group by an azido group by treating with an excess of sodium azide. Thermolysis of azides **3a-c** in xylene led to closure of the isoxazole ring and the formation of new condensed system (compounds **4a-c**).



1a–3a R = Ph; **1b–3b** R = 4-Br–Ph; **1c–3c** R = Ad[1]

8-Methoxymethyl-6-methyl-3-phenylisoxazolo[3',4':4,5]thieno[2,3-*b*]pyridine (4a). Yield 63%; mp 160-161°C (ethanol). ^{1}H NMR spectrum (DMSO-d_6, 200 MHz), ppm: 2.63 (3H, s, CH_3); 3.53 (3H, s, OCH_3); 4.88 (2H, s, OCH_2); 7.40 (1H, s, H_{Py}); 7.77 (2H, m, *m*-H_{Ar}); 7.57 (3H, m, *o,p*-H_{Ar}). Found, %: C 66.0; H 4.5; N 9.2; S 10.1. $C_{17}H_{14}N_2O_2S$. Calculated, %: C 65.8; H 4.6; N 9.0; S 10.3.

3-(4-Bromophenyl)-6-methyl-8-methoxymethylisoxazolo[3',4':4,5]thieno[2,3-*b*]pyridine (4b). Yield 60%; mp >200°C decomp. (ethanol). ^{1}H NMR spectrum ($CDCl_3$, 300 MHz), ppm: 2.72 (3H, s, CH_3); 3.62 (3H, s, OCH_3); 5.01 (2H, s, OCH_2); 7.28 (1H, s, H_{Py}); 7.44 (2H, d, J = 8 Hz, *m*-H_{Ar}); 7.69 (2H, d, J = 8 Hz, *o*-H_{Ar}). Found, %: C 52.3; H 3.5; N 7.2. $C_{17}H_{13}BrN_2O_2S$. Calculated, %: C 52.5; H 3.4; N 7.0.

3-(1-Adamantyl)-6-methyl-8-methoxymethylisoxazolo[3',4':4,5]thieno[2,3-*b*]pyridine (4c). Yield 65%; mp 162-163°C (hexane). ^{1}H NMR spectrum ($CDCl_3$, 250 MHz), ppm: 1.84 (6H, s, Ad); 2.15 (9H, s, Ad); 2.68 (3H, s, CH_3); 3.58 (3H, s, OCH_3); 4.93 (2H, s, OCH_2); 7.37 (1H, s, H_{Py}). Found, %: C 68.4; H 6.5; N 7.8; S 8.8. $C_{21}H_{24}N_2O_2S$. Calculated, %: C 68.5; H 6.6; N 7.6; S 8.7.

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