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A NEW HETEROCYCLIC SYSTEM – 2,3,4,6,11b-PENTAHYDRO[1,3]-OXAZINO[3,2-*c*][1.3]BENZOXAZINE

V. F. Kukharev, V. K. Stankevich, M. P. Tiunov, and V. V. Bayandin

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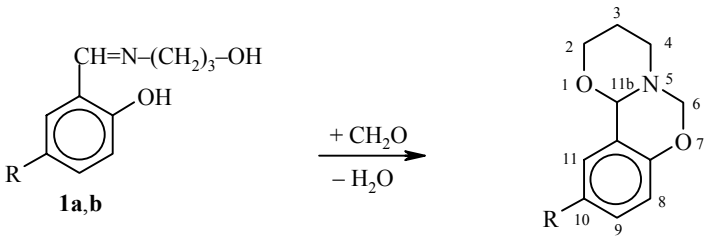
Cyclic O,N-acetals possess biological activity and are also used as intermediates in fine chemical synthesis. Compounds containing several O,N-acetal rings in their structure are of considerable interest [1, 2].



We have established that condensation of N-(2-hydroxybenzyliden)-3-aminopropanols **1a** and **b** with formaldehyde gives the heterocyclic system 2,3,4,6,11b-pentahydro[1,3]oxazino[3,2-*c*][1,3]benzoxazine **2a,b** which has not been previously described in the literature.

2,3,4,6,11b-Pentahydro[1,3]oxazino[3,2-*c*][1,3][1,3]benzoxazine (2a). A mixture of compound **1a** (17.9 g, 0.1 mol) and paraformaldehyde (3.3 g, 0.11 mol) in benzene (50 ml) was boiled with azeotropic removal of water until it ceased to be evolved. Compound **2a** was isolated by fractional distillation in vacuum. Yield 13.6 g (71%); bp 142-145°C (2 mm Hg), n_D^{20} 1.5602, d_4^{20} 1.1468. ^{1}H NMR spectrum (400 MHz, $CDCl_3$/HMDS), δ, ppm, *J*, Hz: 1.44 (1H, m, 3-$\underline{H}_A H_B$); 1.93 (1H, m, 3-$H_A\underline{H}_B$); 3.19 (2H, m, 4-H_2); 3.90 (1H, m, 2-$\underline{H}_A H_B$); 4.07 (1H, m, 2$H_A\underline{H}_B$); 4.62 (1H, d, *J* = 7.9 , 6-$\underline{H}_A H_B$); 5.00 (1H, d, *J* = 7.9, 6-$H_A\underline{H}_B$); 5.21 (1H, s, 11b-H); 6.84 (1H, dd, J_{89} = 8.2, J_{810} = 1.2, 8-H); 6.93 (1H, ddd, J_{1011} = 8.2, J_{910} = 7.4, J_{810} = 1.2, 10-H); 7.19 (1H, ddd, J_{89} = 8.2, J_{910} = 7.4, J_{911} = 1.8, 9-H); 7.24 (1H, dd, J_{1011} = 7.6, J_{911} = 1.8). Found, % : C 69.52; H 6.76; N 7.58. $C_{11}H_{13}NO_2$. Calculated, %: C 69.09; H 6.85; N 7.32.

10-Bromo-2,3,4,6,11b-pentahydro[1,3]oxazino[3,2-*c*][1,3][1,3]benzoxazine (2b) was prepared analogously to compound **2a**. Yield 63%; bp 172-174°C (2 mm Hg), mp 78°C. ^{1}H NMR spectrum (400 MHz, $CDCl_3$/HMDS), δ, ppm, *J*, Hz: 1.47 (1H, m, 3-$\underline{H}_A H_B$); 1.88 (1H, m, 3$H_A\underline{H}_B$); 3.14 (2H, m, 4-H_2); 3.86 (1H, m,

A. E. Favorsky Irkutsk Institute of Chemistry, Siberian Branch of the Russian Academy of Sciences, Irkutsk 664033, Russia; e-mail: admin@irioch.irk.ru, kbf@irioch.irk.ru. Translated from Khimiya Geterotsiklicheskikh Soedinenii, No. 11, 1615-1616, November, 2002. Original submitted August 19, 2002

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2-$\underline{H}_A H_B$); 4.03 (1H, m, 2-$H_A\underline{H}_B$); 4.61 (1H, d, J = 7.9, 6-$\underline{H}_A H_B$); 4.93 (1H, d, J =7.9, 6$H_A\underline{H}_B$); 5.17 (1H, s, 11b-H); 6.71 (1H, d, J_{89} = 8.8, 8-H); 7.27 (1H, dd, J_{89} = 8.8, J_{911} = 2.4); 7.37 (1H, d, J_{911} = 2.4, 11-H). Found, %: C 53.32; H 5.01; Br 29.97; N 5.66. $C_{11}H_{12}BrNO_2$. Calculated, %: C 53.75; H 5.26; Br 29.80; N 5.97.

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