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Intramolecular cyclization of 2-(heteroarylsulfanyl)-*N*-(3-oxoalkenyl)acetamides: synthesis of 3-(heteroarylsulfanyl)- and 3-sulfanylpyridin-2(1*H*)-ones

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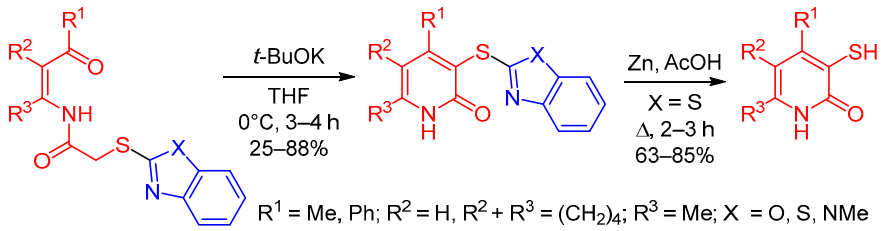


The reaction of 2-chloro-*N*-(3-oxoalkenyl)acetamides with 1,3-benzothiazole-2(3*H*)-thione, 1,3-benzoxazole-2(3*H*)-thione, and 1-methyl-1,3-dihydro-2*H*-benzimidazole-2-thione led to the formation of 2-(heteroarylsulfanyl)-*N*-(3-oxoalkenyl)acetamides. By the action of a base, these compounds were converted into pyridin-2(1*H*)-ones containing a divalent sulfur atom in position C-3 bonded to a heterocyclic ring. Bromination, nitration, alkylation of 3-(1,3-benzothiazol-2-ylsulfanyl)pyridin-2(1*H*)-ones have been studied. The action of zinc in acetic acid transformed these compounds into 3-sulfanylpyridin-2(1*H*)-ones.

Keywords: 1,3-benzothiazole-2(3*H*)-thione, 3-(1,3-benzothiazol-2-ylsulfanyl)pyridin-2(1*H*)-one, 1,3-benzoxazole-2(3*H*)-thione, chloro-*N*-(3-oxoalkenyl)acetamides, 1-methyl-1,3-dihydro-2*H*-benzimidazole-2-thione, 3-sulfanylpyridin-2(1*H*)-ones, intramolecular cyclization.

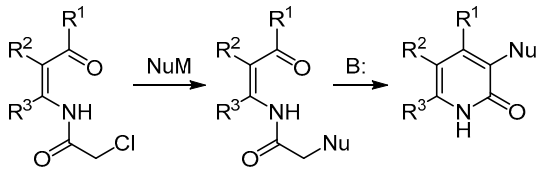
There are few known methods for the synthesis of 3-sulfanylpyridin-2(1*H*)-ones. They are based on the transformations of thiazolo[4,5-*b*]pyridines[1] or the introduction of a thio group *via* diazonium salts obtained from the hard to access 3-amino-2-methoxypyridines.[2] 3-Sulfanylpyridin-2(1*H*)-ones and 3-sulfanylquinolin-2(1*H*)-ones are used for the synthesis of 2-pyridones or 2-quinolones containing a divalent sulfur atom at the C-3 position bonded to alkyl, aryl groups, or a heterocyclic ring.[2–9] Interest in such compounds is primarily owed to their biological activity.[10–19] Among them, compounds that are effective in the treatment of erectile dysfunction,[3–6] cancers,[7,8] possess antiviral activity[9] including antiHIV,[2,20] exhibit the properties of cannabinoid receptor antagonists[21] were found.

We proposed a strategy[22] for the synthesis of 3-substituted pyridin-2(1*H*)-ones and their hydrogenated derivatives based on nucleophilic substitution of halogen in 2-chloro-*N*-(3-oxoalkenyl)- or 2-chloro-*N*-(3-oxoalkyl)-acetamides by a functional group with subsequent intramolecular cyclization of the resulting intermediate. This strategy makes it possible on the basis of a single substrate and several nucleophiles to obtain pyridin-2(1*H*)-ones or their hydrogenated derivatives with various substituents at position C-3.[23–29] The rules of this cyclization were studied[30] and it was shown that the replacement of the amide group by the thioamide[31] or the introduction of a divalent sulfur atom into the α-position with respect to the carbamoyl group leads to an increase in acidity sufficient for intramolecular cyclization.[32]

A divalent sulfur atom can be introduced into the molecule by *S*-alkylation of thiols[3,6,21] or cyclic thiocarbamates, dithiocarbamates, and thioureas.[27] The latter

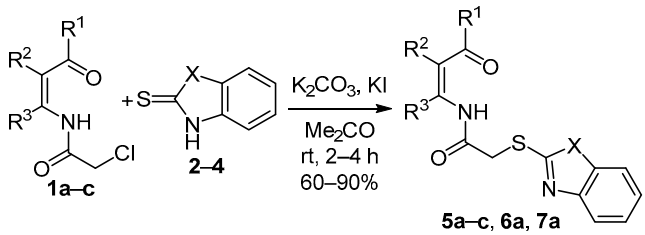
compounds are crystalline and, unlike thiols, do not have an unpleasant odor. We previously reported on the possibility of such an approach (Scheme 1).[27]

Scheme 1



The reaction of the readily available[23] 2-chloro-*N*-(3-oxoalkenyl)acetamides **1a**–**c** with 1,3-benzothiazole-2(3*H*)-thione (**2**), 1,3-benzoxazole-2(3*H*)-thione (**3**), and 1-methyl-1,3-dihydro-2*H*-benzimidazole-2-thione (**4**) afforded compounds **5a**–**c**, **6a**, **7a** in 60–90% yields. Alkylation of thiones with chloroacetamides **1a**–**c** was carried out in anhydrous Me_2CO at room temperature in the presence of K_2CO_3 and a catalytic amounts of KI. Earlier,[27] DMF was used as a solvent for the synthesis of compound **5a**. Replacing DMF with Me_2CO led to a reduction in the reaction time from several days to 4 h, as well as to an increase in the yield of compound **5a** from 78 to 90% (Scheme 2).

Scheme 2



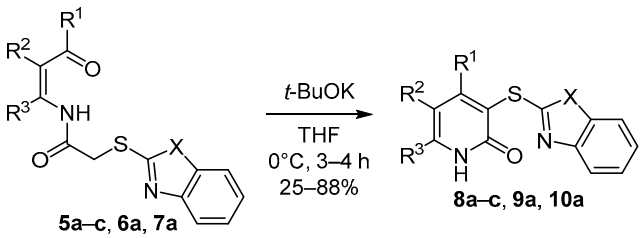
1a–c **2–4** **5a–c, 6a, 7a**

a $R^1 = R^3 = Me$, $R^2 = H$; **b** $R^1 = Ph$, $R^2 = H$, $R^3 = Me$;
c $R^1 = Me$, $R^2 + R^3 = (CH_2)_4$;
2, **5** X = S; **3**, **6** X = O; **4**, **7** X = NMe

Previously, *N*-(3-oxoalkyl)amides of heteroarylsulfanylacetic acids were used by us for the synthesis of substituted 5,6-dihydropyridin-2(1*H*)-ones.[27,33] The possibility of intramolecular cyclization of *N*-(3-alkenyl)amides was demonstrated only by a single example.[27] Compounds **5a**–**c**, **6a**, **7a** were reacted with potassium *tert*-butylate in THF, the products being pyridin-2(1*H*)-ones **8a**–**c**, **9a**, **10a** containing a divalent sulfur atom at position C-3 bonded to a heterocyclic ring. Pyridones **8a**–**c** were obtained in 60–88% yields. In the case of compounds **9a** and **10a**, the reactions proceeded with low yields (25–27%) and were accompanied by the formation of byproducts (Scheme 3).

We studied the transformations of 3-(1,3-benzothiazol-2-ylsulfanyl)-4,6-dimethylpyridin-2(1*H*)-one (**8a**) in alkylation and electrophilic substitution reactions. Alkylation of compound **8a** with methyl iodide led to *N*-methyl-substituted pyridin-2(1*H*)-one **11a**. By the action of bromine on compound **8a** in 1,4-dioxane, electrophilic substitution proceeded with the formation of 3-(1,3-benzothiazol-2-ylsulfanyl)-5-bromo-4,6-dimethylpyridin-2(1*H*)-one (**12a**). The yield of bromo derivative **12a** was 70%. At the same time, nitration of 3-(1,3-benzothiazol-2-yl-

Scheme 3

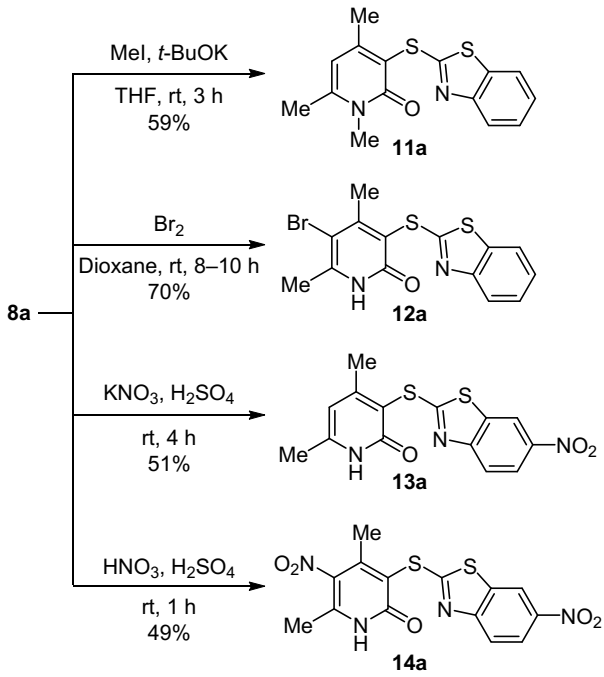


5a–c, 6a, 7a **8a–c, 9a, 10a**

a $R^1 = R^3 = Me$, $R^2 = H$; **b** $R^1 = Ph$, $R^2 = H$, $R^3 = Me$;
c $R^1 = Me$, $R^2 + R^3 = (CH_2)_4$;
5, **8** X = S; **6**, **9** X = O; **7**, **10** X = NMe

sulfanyl)-4,6-dimethylpyridin-2(1*H*)-one (**8a**) with a mixture of KNO_3 and H_2SO_4 proceeded at the benzothiazole ring. It is known that the treatment of 2-(alkylsulfanyl)benzothiazoles with the nitrating mixture leads to 2-(alkylsulfanyl)-6-nitro-1,3-benzothiazoles.[34,35] Apparently, in our case the reaction proceeds in the same position with the formation of product **13a**, as evidenced by the spin-spin interaction between protons in the benzene ring of the benzothiazole fragment. Using a nitrating mixture (HNO_3–H_2SO_4, 1: 4), dinitro derivative **14a** was obtained from compound **8a** in 49% yield. In this case, both the pyridine and benzothiazole rings were nitrated (Scheme 4).

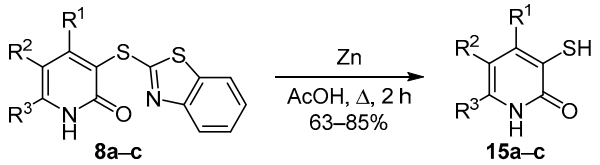
Scheme 4



It was previously shown that 2-quinolones containing a divalent sulfur atom bonded to a heterocycle at the C-3 position upon heating with morpholine are converted into 3-mercapto-substituted derivatives in 31–35% yields.[36] At the same time, 3-(1,3-benzothiazol-2-ylsulfanyl)pyridin-2(1*H*)-one **8a** does not enter into the reaction under similar conditions. In order to obtain 3-sulfanylpyridin-2(1*H*)-ones **15a**–**c**, we studied the reaction of compounds **8a**–**c** with

zinc in AcOH leading to the reduction of the C=N bond in benzothiazole and subsequent cleavage of the heterocycle.[37] Yields of 3-sulfanylpyridin-2(1*H*)-ones **15a–c** amounted to 63–85% (Scheme 5).

Scheme 5



The structure of all the obtained compounds was confirmed by IR, ^{1}H, ^{13}C NMR spectroscopy and elemental analysis. The NMR spectra are quite easy to interpret; however, one should take into account the features of prototropic tautomeric transformations characteristic to pyridin-2(1*H*)-ones. The position of the pyridone-pyridol tautomeric equilibrium depends on a number of factors: the concentration of the solution, the type of solvent, temperature, the structure of the compound, etc.[38] If the rate of proton exchange is high enough, an averaged signal of two tautomeric forms is observed. As the rate decreases, the signals broaden, and at a low rate, both tautomeric forms are recorded.[39] The rate of tautomeric transformations of compounds **8a–c**, **10**–**14 a**, **15a–c** on the NMR time scale is rather high, therefore, the spectra contain narrow averaged signals of both tautomeric forms. At the same time, in the ^{13}C NMR spectrum of compound **9a** recorded in DMSO-d_6 at room temperature, part of the signals of carbon atoms appears as broadened peaks, which are distinguishable only after long accumulation (Fig. 1). This indicates an average exchange rate.

A decrease in the viscosity of the solvent should lead to an increase in the equilibrium rate. Indeed, after replacing DMSO-d_6 with the less viscous $CDCl_3$, the signals of carbon nuclei in the ^{13}C NMR spectra looked like narrow peaks even at low temperatures. However, in deuterated chloroform solution, compound **9a**, unlike compounds **8**, **10 a**, apparently exists mainly in the form of pyridin-2-ol. In the

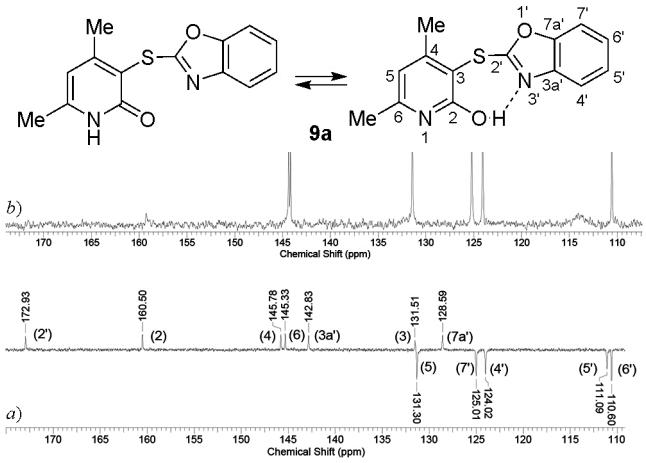


Figure 1. ^{13}C NMR spectra of compound **9a** recorded in *a*) $CDCl_3$ and *b*) DMSO-d_6.

IR spectra of compounds **8**, **10 a**, stretching vibrations of the NH bond are in the range of 3425–3475 cm^{-1} in the form of a broadened signal, while the signal of the OH group of compound **9a** is recorded at 3273 cm^{-1}. In the ^{13}C NMR spectrum of compound **9a**, the signals of the C-3 and C-5 atoms are observed at 131.5 and 131.3 ppm, while in the spectra of pyridin-2(1*H*)-ones **8a** and **10a** they are in the 113.7–115.3 and 107.6–109.6 ppm ranges, respectively. In the ^{1}H NMR spectrum of compound **9a**, the signal of the H-5 proton is observed further downfield at 6.63 ppm as compared to the signals of the same proton in the spectra of compounds **8**, **10 a** which resonate at 5.92–6.19 ppm. For compounds **8**, **10 a**, ^{1}H–^{13}C HMBC 2D NMR spectra were also recorded. The signals of the C atoms of these compounds were assigned based on the correlation with the protons of the methyl groups (1.74–2.53 ppm) and the proton at position C-5. In the ^{1}H–^{13}C HMBC spectrum of compound **9a**, cross peaks ^{13}C {1H}: 128.6 {12.45}, 142.8 {12.45}, 160.5 {12.45} ppm are recorded, indicating interaction of the proton of the OH group of pyridin-2-ol with carbon atoms C-7a, C-3a of 1,3-benzoxazole and the C-2 atom of pyridin-2-one.

To conclude, it has been shown that intramolecular cyclization of 2-(heteroarylsulfanyl)-*N*-(3-oxoalkenyl)-acetamides leads to pyridin-2(1*H*)-ones containing a divalent sulfur atom at position C-3 bonded to the heterocyclic ring. The reactions of alkylation, bromination, nitration have been studied by the example of 3-(1,3-benzothiazol-2-ylsulfanyl)-substituted pyridin-2(1*H*)-ones, and a new method for the preparation of hard-to-access 3-sulfanylpyridin-2(1*H*)-ones has been developed.

Experimental

IR spectra were registered on a FT-801 FT-IR spectrometer in KBr pellets. ^{1}H and ^{13}C NMR spectra and ^{1}H–^{13}C HMBC two-dimensional NMR spectra were acquired on a Bruker DRX 400 spectrometer (400 and 100 MHz, respectively), with TMS as internal standard. ^{13}C NMR spectra were recorded in *J*-modulation (*J*-MOD) mode. Elemental analysis was performed on a Carlo Erba EA 1106 CHN-analyzer. Melting points were determined on a Kofler bench and a Reach devices RD-MP apparatus. Monitoring of the reaction progress and assessment of the purity of synthesized compounds were done by TLC on Sorbfil UV-254 plates, visualization under UV light. Purification by column chromatography was carried out using silica gel as a sorbent.

Synthesis of the starting 2-chloro-*N*-[(1*Z*)-3-oxoalkyl-1-en-1-yl]acetamides **1a–c** was described by us earlier.[24]

Synthesis of 2-(heteroarylsulfanyl)-*N*-[(1*Z*)-3-oxoalk-1-en-1-yl]acetamides 5a–c, 6a, 7a (General method). A solution of compound **1a–c** (1.0 mmol), K_2CO_3 (207 mg, 1.5 mmol), compound **2**–**4** (1.0 mmol), and KI (17 mg, 0.1 mmol) in anhydrous Me_2CO (3 ml) was stirred at room temperature for 2–4 h. The solvent was removed under reduced pressure. The precipitate was treated with H_2O (10 ml) and neutralized with 5% aqueous AcOH. It was then filtered off, washed with H_2O, and crystallized from the EtOH–H_2O system.

(*Z*)-2-(1,3-Benzothiazol-2-ylsulfanyl)-*N*-(4-oxopent-2-en-2-yl)acetamide (5a). Yield 276 mg (90%), pale-yellow crystals, mp 112–113°C (EtOH–H_2O). IR spectrum, ν, cm^{-1}: 1592 (NC=O), 1649 (C=O), 1698 (C=O), 3200–3645 (N–H). ^{1}H NMR spectrum ($CDCl_3$), δ, ppm (*J*, Hz): 2.13 (3H, s, $COCH_3$); 2.37 (3H, d, ^4J = 1.0, =C–CH_3); 4.19 (2H, s, SCH_2); 5.36 (1H, q, ^4J = 1.0, =CH); 7.27–7.32 (1H, m, H-6 benzothiazole); 7.38–7.43 (1H, m, H-5 benzothiazole); 7.73–7.77 (1H, m, H-7 benzothiazole); 7.88–7.92 (1H, m, H-4 benzothiazole); 12.84 (1H, br. s, NH). ^{13}C NMR spectrum ($CDCl_3$), δ, ppm: 21.8 (CH_3); 30.5 (CH_3); 37.8 (CH_2S); 106.6 (=CH); 121.0 (C-7 benzothiazole); 121.9 (C-4 benzothiazole); 124.4 (C-6 benzothiazole); 126.0 (C-5 benzothiazole); 135.7 (C-7a benzothiazole); 154.0 (=C–NH); 152.8 (C-3a benzothiazole); 164.2 (C-2 benzothiazole); 167.3 (C=O); 199.4 (NC=O). Found, %: C 54.96; H 4.67; N 9.03. $C_{14}H_{14}N_2O_2S_2$. Calculated, %: C 54.88; H 4.61; N 9.14.

(*Z*)-2-(1,3-Benzothiazol-2-ylsulfanyl)-*N*-(4-oxo-4-phenylbut-2-en-2-yl)acetamide (5b). Yield 283 mg (77%), pale-yellow powder, mp 80–81°C (EtOH–H_2O). IR spectrum, ν, cm^{-1}: 1600 (NC=O), 1622 (C=O), 1699 (C=O), 3305–3628 (N–H). ^{1}H NMR spectrum ($CDCl_3$), δ, ppm (*J*, Hz): 2.51 (3H, d, ^4J = 1.0, =CCH_3); 4.46 (2H, s, SCH_2); 6.07 (1H, q, ^4J = 1.0, =CH); 7.24–7.30 (1H, m, H-6 benzothiazole); 7.34–7.40 (1H, m, H-5 benzothiazole); 7.41–7.46 (2H, m, H-3,5 Ph); 7.50–7.54 (1H, m, H-4 Ph); 7.72–7.75 (1H, m, H-7 benzothiazole); 7.91–7.94 (1H, m, H-4 benzothiazole); 7.87–7.90 (2H, m, H-2,6 Ph); 13.26 (1H, br. s, NH). ^{13}C NMR spectrum ($CDCl_3$), δ, ppm: 22.5 (CH_3); 38.1 (CH_2S); 102.9 (=CH); 121.0 (C-7 benzothiazole); 122.0 (C-4 benzothiazole); 124.5 (C-6 benzothiazole); 126.1 (C-5 benzothiazole); 127.8 (2C); 128.6 (C-2,3,5,6 Ph); 132.5 (C-4 Ph); 135.8 (C-7a benzothiazole); 138.6 (C-1 Ph); 152.9 (C-3a benzothiazole); 156.3 (=C–NH); 164.3 (C-2 benzothiazole); 167.5 (C=O); 191.2 (NC=O). Found, %: C 62.04; H 4.31; N 7.55. $C_{19}H_{16}N_2O_2S_2$. Calculated, %: C 61.93; H 4.38; N 7.60.

***N*-(2-Acetylcyclohex-1-en-1-yl)-2-(1,3-benzothiazol-2-ylsulfanyl)acetamide (5c)**. Yield 209 mg (60%), pale-brown crystals, mp 97–98°C (EtOH–H_2O). IR spectrum, ν, cm^{-1}: 1576 (NC=O), 1634 (C=O), 1691 (C=O), 3305–3630 (N–H). ^{1}H NMR spectrum ($CDCl_3$), δ, ppm (*J*, Hz): 1.57–1.65 (4H, m, CH_2CH_2); 2.19 (3H, s, $COCH_3$); 2.34–2.42 (2H, m, =CCH_2); 2.95–3.02 (2H, m, =CCH_2); 4.16 (2H, s, SCH_2); 7.25–7.31 (1H, s, H-6 benzothiazole); 7.36–7.42 (1H, m, H-5 benzothiazole); 7.71–7.75 (1H, m, H-7 benzothiazole); 7.87–7.91 (1H, m, H-4 benzothiazole); 13.23 (1H, br. s, NH). ^{13}C NMR spectrum ($CDCl_3$), δ, ppm: 21.5 (CH_2); 22.0 (CH_2); 26.5 (CH_2); 28.5 (CH_2); 28.9 (CH_3); 38.3 (CH_2S); 113.0 (=C); 121.0 (C-7 benzothiazole); 122.0 (C-4 benzothiazole); 124.4 (C-6 benzothiazole); 126.0 (C-5 benzothiazole); 135.7 (C-7a benzothiazole); 151.4 (C-3a benzothiazole); 153.0 (=C–NH); 164.7 (C-2 benzothiazole); 167.8 (C=O); 202.3 (NC=O). Found, %: C 59.06; H 5.31; N 7.99. $C_{17}H_{18}N_2O_2S_2$. Calculated, %: C 58.93; H 5.24; N 8.09.

(*Z*)-2-(1,3-Benzoxazol-2-ylsulfanyl)-*N*-(4-oxopent-2-en-2-yl)acetamide (6a). Yield 235 mg (81%), pale-brown crystals, mp 123–124°C (EtOH–H_2O). IR spectrum, ν, cm^{-1}: 1600 (NC=O), 1647 (C=O), 1701 (C=O), 3276 (N–H). ^{1}H NMR spectrum ($CDCl_3$), δ, ppm (*J*, Hz): 2.13 (3H, s, $COCH_3$); 2.38 (3H, d, ^4J = 1.0, =CCH_3); 4.16 (2H, s, SCH_2); 5.38 (1H, q, ^4J = 1.0, =CH); 7.22–7.30 (2H, m, H-5,6 benzoxazole); 7.42–7.748 (1H, m, H-7 benzoxazole); 7.58–7.64 (1H, m, H-4 benzoxazole); 12.85 (1H, br. s, NH). ^{13}C NMR spectrum ($CDCl_3$), δ, ppm: 21.8 (CH_3); 30.5 (CH_3); 37.2 (CH_2S); 106.8 (=CH); 110.1 (C-5 benzoxazole); 118.8 (C-6 benzoxazole); 124.2, 124.4 (C-4,7 benzoxazole); 141.8 (C-3a benzoxazole); 152.3 (C-3a benzoxazole); 154.1 (=C–NH); 162.9 (C-2 benzoxazole); 166.7 (C=O); 199.6 (NC=O). Found, %: C 57.81; H 4.97; N 9.65. $C_{14}H_{14}N_2O_3S$. Calculated, %: C 57.92; H 4.86; N 9.56.

(*Z*)-2-[(1-Methyl-1*H*-benzimidazol-2-yl)sulfanyl]-*N*-(4-oxopent-2-en-2-yl)acetamide (7a). Yield 201 mg (66%), pale-brown crystals, mp 127–128°C (EtOH–H_2O). IR spectrum, ν, cm^{-1}: 1600 (NC=O), 1645 (C=O), 1701 (C=O), 3000–3350 (N–H). ^{1}H NMR spectrum ($CDCl_3$), δ, ppm (*J*, Hz): 2.08 (3H, s, $COCH_3$); 2.34 (3H, d, ^4J = 1.0, =CCH_3); 3.37 (1H, s, NCH_3); 4.25 (2H, s, SCH_2); 5.32 (1H, q, ^4J = 1.0, =CH); 7.17–7.29 (2H, m, H-5,6 benzimidazole); 7.61–7.69 (2H, m, H-4,7 benzimidazole); 12.76 (1H, br. s, NH). ^{13}C NMR spectrum ($CDCl_3$), δ, ppm: 21.8 (CH_3); 30.1 (CH_3); 30.4 (NCH_3); 37.2 (CH_2S); 106.6 (=CH); 108.5 (C-4 benzimidazole); 118.6 (C-7 benzimidazole); 121.8 (C-6 benzimidazole); 121.9 (C-5 benzimidazole); 137.2 (C-3a benzimidazole); 143.4 (C-3a benzimidazole); 150.0 (C-2 benzimidazole); 154.0 (=C–NH); 167.5 (C=O); 199.1 (NC=O). Found, %: C 59.46; H 5.76; N 13.72. $C_{15}H_{17}N_3O_2S$. Calculated, %: C 59.38; H 5.65; N 13.85.

Synthesis of 3-(heteroarylsulfanyl)pyridin-2(1*H*)-ones 8a–c, 10a and 3-(1,3-benzoxazol-2-ylsulfanyl)-4,6-dimethylpyridin-2-ol (9a) (General method). *t*-BuOK (168 mg, 1.5 mmol) was added with stirring and cooling in an ice bath to a solution of acetamide **5a**–**c**, **7a**, **6a** (1.0 mmol) in anhydrous THF (5 ml). The mixture was stirred with cooling for 15 min and then at room temperature for 1 h. The solvent was removed under reduced pressure, the residue was treated with H_2O (5 ml), neutralized with 5% AcOH solution, filtered off, washed with H_2O, and recrystallized from EtOH.

3-(1,3-Benzothiazol-2-ylsulfanyl)-4,6-dimethylpyridin-2(1*H*)-one (8a). Yield 238 mg (88%), pale-yellow crystals, mp >250°C (EtOH). IR spectrum, ν, cm^{-1}: 1649 (C=O), 3200–3300 (N–H). ^{1}H NMR spectrum (DMSO-d_6), δ, ppm (*J*, Hz): 2.24 (3H, s, CH_3); 2.35 (3H, s, CH_3); 6.19 (1H, s, H-5); 7.29 (1H, dd, ^3J = 7.8, ^3J = 7.4, H-6 benzothiazole); 7.41 (1H, dd, ^3J = 8.0, ^3J = 7.4, H-5 benzothiazole); 7.79 (1H, d, ^3J = 8.0, H-7 benzothiazole); 7.88 (1H, d, ^3J = 7.8, H-4 benzothiazole); 12.08 (1H, br. s, NH). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 18.5 (CH_3); 21.3 (CH_3); 107.8 (C-5); 113.7 (C-3); 121.0 (C-7 benzothiazole); 121.5 (C-4 benzothiazole); 124.0 (C-6 benzothiazole); 126.2 (C-5 benzothiazole); 134.7 (C-7a benzothiazole); 148.7 (C-4);

153.8 (C-3a benzothiazole); 160.1 (C-6); 161.3 (C-2); 169.7 (C-2 benzothiazole). Found, %: C 58.24; H 4.27; N 9.83. $C_{14}H_{12}N_2OS_2$. Calculated, %: C 58.31; H 4.19; N 9.71.

3-(1,3-Benzothiazol-2-ylsulfanyl)-6-methyl-4-phenylpyridin-2(1*H*)-one (8b). Yield 210 mg (60%), beige crystals, mp 205–206°C (EtOH). IR spectrum, ν, cm^{-1}: 1640 (C=O), 3225–3660 (N–H). ^{1}H NMR spectrum (DMSO-d_6), δ, ppm (*J*, Hz): 2.33 (3H, s, CH_3); 6.26 (1H, s, H-5); 7.26–7.35 (1H, m, H-6 benzothiazole); 7.35–7.40 (1H, m, H-5 benzothiazole); 7.37–7.46 (5H, m, H Ph); 7.77 (1H, d, ^3J = 8.0; H-7 benzothiazole); 7.91 (1H, d, ^3J = 7.9, H-4 benzothiazole); 12.35 (1H, br. s, NH). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 18.6 (CH_3); 107.3 (C-5); 112.9 (C-3); 121.0 (C-7 benzothiazole); 121.4 (C-4 benzothiazole); 124.0 (C-6 benzothiazole); 126.1 (C-5 benzothiazole); 127.8, 128.0 (C-2,3,5,6 Ph); 128.7 (C-4 Ph); 134.7 (C-7a benzothiazole); 138.5 (C-1 Ph); 149.3 (C-4); 153.5 (C-3a benzothiazole); 160.9 (C-6); 161.4 (C-2); 169.5 (C-2a benzothiazole). Found, %: C 64.99; H 4.11; N 8.08. $C_{19}H_{14}N_2OS_2$. Calculated, %: C 65.12; H 4.03; N 8.53.

3-(1,3-Benzothiazol-2-ylsulfanyl)-4-methyl-5,6,7,8-tetrahydroquinolin-2(1*H*)-one (8c). Yield 259 mg (78%), pale-yellow crystals, mp 147–148°C (EtOH). IR spectrum, ν, cm^{-1}: 1638 (C=O), 3250–3600 (N–H). ^{1}H NMR spectrum ($CDCl_3$), δ, ppm (*J*, Hz): 1.46–1.54 (2H, m, 7-CH_2); 1.62–1.71 (2H, m, 6-CH_2); 2.41(3H, s, CH_3); 2.37–2.45 (4H, m, 5,8-CH_2); 7.21–7.26 (1H, m, H-6 benzothiazole); 7.38–7.45 (1H, m, H-5 benzothiazole); 7.64 (1H, d, ^3J = 8.0, H-7 benzothiazole); 7.85 (1H, d, ^3J = 7.9, H-4 benzothiazole); 12.98 (1H, br. s, NH). ^{13}C NMR spectrum ($CDCl_3$), δ, ppm: 18.5 (CH_3); 21.0 (CH_2); 22.5 (CH_2); 25.0 (CH_2); 27.3 (CH_2); 115.3 (C-5); 116.1 (C-3); 120.7 (C-7 benzothiazole); 121.8 (C-4 benzothiazole); 124.0 (C-6 benzothiazole); 125.9 (C-5 benzothiazole); 135.7 (C-7a benzothiazole); 146.3 (C-4); 154.2 (C-3a benzothiazole); 160.1 (C-6); 162.6 (C-2); 169.4 (C-2a benzothiazole). Found, %: C 62.03; H 4.83; N 8.61. $C_{17}H_{16}N_2OS_2$. Calculated, %: C 62.16; H 4.91; N 8.53.

3-(1,3-Benzoxazol-2-ylsulfanyl)-4,6-dimethylpyridin-2-ol (9a). Yield 68 mg (25 %), beige crystals, mp >250°C. IR spectrum, ν, cm^{-1}: 1607 (Ar), 3273 (O–H). ^{1}H NMR spectrum ($CDCl_3$), δ, ppm (*J*, Hz): 2.44 (3H, s, CH_3); 2.53 (3H, s, CH_3); 6.63 (1H, s, H-5); 7.16–7.27 (3H, m, H-6 benzoxazole); 7.35–7.45 (1H, m, H-6 benzoxazole); 12.30 (1H, br. s, OH). ^{13}C NMR spectrum ($CDCl_3$, –35°C), δ, ppm: 15.8 (CH_3); 16.5 (CH_3); 110.6 (C-6 benzoxazole); 111.1 (C-5 benzoxazole); 124.0 (C-4 benzoxazole); 125.0 (C-7 benzoxazole); 128.6 (C-7a benzoxazole); 131.3 (C-5); 131.5 (C-3); 142.8 (C-3a benzoxazole); 145.3 (C-6); 145.8 (C-4); 160.5 (C-2); 172.9 (C-2 benzoxazole). Found, %: C 61.60; H 4.51; N 10.36. $C_{14}H_{12}N_2O_2S$. Calculated, %: C 61.75; H 4.44; N 10.29.

4,6-Dimethyl-3-[(1-methyl-1*H*-benzimidazol-2-yl)sulfanyl]pyridin-2(1*H*)-one (10a). Yield 77 mg (70%), beige crystals, mp >250°C (EtOH). IR spectrum, ν, cm^{-1}: 1634 (C=O), 3305–3645 (N–H). ^{1}H NMR spectrum ($CDCl_3$), δ, ppm (*J*, Hz): 1.74 (3H, s, CH_3); 2.44 (3H, s, CH_3); 3.90 (3H, s, NCH_3); 5.92 (1H, s, H-5); 7.16–7.30 (3H, m, H-4,5,6 benzimidazole); 7.65 (1H, d, ^3J = 7.4, H-7 benzimidazole); 12.92 (1H, br. s, NH). ^{13}C NMR spectrum ($CDCl_3$), δ, ppm: 18.0 (CH_3); 22.0 (CH_3); 30.8 (NCH_3); 108.8 (C-4 benzimidazole); 109.6 (C-5); 115.3 (C-3); 119.1 (C-7 benzimidazole); 121.7 (C-5 benzimidazole); 122.1 (C-6 benzimidazole); 136.3 (C-7a benzimidazole); 143.3 (C-3a benzimidazole); 145.6 (C-6); 149.5 (C-2 benzimidazole); 157.5 (C-4); 163.5 (C-2). Found, %: C 63.03; H 5.39; N 14.42. $C_{15}H_{15}N_3OS$. Calculated, %: C 63.13; H 5.30; N 14.37.

3-(1,3-Benzothiazol-2-ylsulfanyl)-1,4,6-trimethylpyridin-2(1*H*)-one (11a). *t*-BuOK (168 mg, 1.5 mmol) was added with stirring and cooling in an ice bath to a solution of compound **8a** (288 mg, 1.0 mmol), methyl iodide (110 μl, 1.75 mmol), and tetramethylammonium iodide (0.1 mg, 50 μmol) in anhydrous THF (2.0 ml). The mixture was stirred at room temperature for 3 h, then poured into H_2O, neutralized, and extracted with $CHCl_3$ (3×5 ml). $CHCl_3$ was removed under reduced pressure, the residue was purified by column chromatography (silica gel 60–100 μm, eluent $CHCl_3$–EtOAc, 1:1). Yield 179 mg (59%), pale-yellow crystals, mp >250°C. IR spectrum, ν, cm^{-1}: 1642 (C=O). ^{1}H NMR spectrum (DMSO-d_6), δ, ppm (*J*, Hz): 2.40 (3H, s, CH_3); 2.42 (3H, s, CH_3); 3.57 (3H, s, NCH_3); 6.13 (1H, s, H-5); 7.19–7.25 (1H, m, H-6 benzothiazole); 7.33–7.37 (1H, m, H-5 benzothiazole); 7.61–7.65 (1H, d, ^3J = 8.0, H-7 benzothiazole); 7.82–7.85 (1H, d, ^3J = 7.9, H-4 benzothiazole). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 21.1 (CH_3); 21.7 (CH_3); 32.3 (NCH_3); 109.6 (C-5); 115.8 (C-3); 120.7 (C-7 benzothiazole); 121.8 (C-4 benzothiazole); 123.9 (C-6 benzothiazole); 125.8 (C-5 benzothiazole); 135.6 (C-3a benzothiazole); 148.6 (C-4); 154.2 (C-3a benzothiazole); 157.8 (C-6); 162.2 (C-2); 168.8 (C-2 benzothiazole). Found, %: C 59.49; H 4.37; N 9.31. $C_{15}H_{14}N_2OS_2$. Calculated, %: C 59.57; H 4.67; N 9.26.

3-(1,3-Benzothiazol-2-ylsulfanyl)-5-bromo-4,6-dimethylpyridin-2(1*H*)-one (12a). A solution of Br_2 (248 mg, 1.0 mmol) in 1,4-dioxane (6 ml) was added to a solution of compound **8a** (288 mg, 1.0 mmol) in 1,4-dioxane (6 ml). The mixture was stirred at room temperature until the reaction was complete (TLC control, 8–10 h), then poured into ice cold H_2O and neutralized with 2 N aqueous $NaHCO_3$. The precipitate was filtered off, washed with H_2O, and crystallized from EtOH. Yield 258 mg (70%), pale-yellow crystals, mp >250°C (EtOH). IR spectrum, ν, cm^{-1}: 1647 (C=O), 3200–3650 (N–H). ^{1}H NMR spectrum (DMSO-d_6), δ, ppm (*J*, Hz): 2.44 (3H, s, CH_3); 2.59 (3H, s, CH_3); 7.31–7.34 (1H, m, H-5 benzothiazole); 7.42–7.46 (1H, m, H-6 benzothiazole); 7.82 (1H, d, ^3J = 8.0, H-4 benzothiazole); 7.92 (1H, d, ^3J = 7.9, H-7 benzothiazole); 12.59 (1H, br. s, NH). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 20.7 (CH_3); 23.3 (CH_3); 99.4 (C-5); 121.1 (C-7 benzothiazole); 121.5 (C-4 benzothiazole); 124.1 (C-6 benzothiazole); 126.2 (C-5 benzothiazole); 134.7 (C-3, C-3 benzothiazole); 153.6 (C-4, C-7a benzothiazole); 158.2 (C-6); 159.8 (C-2); 168.3 (C-2

benzothiazole). Found, %: C 45.84; H 2.98; N 7.71. $C_{14}H_{11}BrN_2OS_2$. Calculated, %: C 45.78; H 3.02; N 7.63.

4,6-Dimethyl-3-[(6-nitro-1,3-benzothiazol-2-yl)sulfanyl]pyridin-2(1*H*)-one (13a). KNO_3 (252 mg, 2.5 mmol) was added to a solution of compound **8a** (288 mg, 1.0 mmol) in concentrated H_2SO_4 (3 ml). The mixture was stirred at room temperature for 4 h, then poured onto ice and neutralized with 2 N aqueous $NaHCO_3$. The precipitate was filtered off, washed with H_2O, and recrystallized from AcOH. Yield 165 mg (49%), yellow crystals, mp >250°C (AcOH). IR spectrum, ν, cm^{-1}: 1332 (NO_2), 1515 (NO_2), 1656 (C=O), 3305–3677 (N–H). ^{1}H NMR spectrum (DMSO-d_6), δ, ppm (*J*, Hz): 2.27 (3H, s, CH_3); 2.38 (3H, s, CH_3); 6.25 (1H, s, H-5); 7.96 (1H, d, ^3J = 9.0, H-4 benzothiazole); 8.27 (1H, dd, ^3J = 9.0, ^4J = 2.5, H-5 benzothiazole); 8.94 (1H, d, ^4J = 2.5, H-7 benzothiazole); 12.18 (1H, br. s, NH). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 18.5 (CH_3); 21.2 (CH_3); 107.9 (C-5); 112.9 (C-3); 118.4 (C-4 benzothiazole); 121.1, 121.8 (C-5,7 benzothiazole); 135.3 (C-7a benzothiazole); 143.3 (C-6 benzothiazole); 149.3 (C-4); 157.7 (C-3a benzothiazole); 160.4 (C-6); 161.1 (C-2); 177.5 (C-2 benzothiazole). Found, %: C 50.52; H 3.27; N 12.71. $C_{14}H_{11}N_3O_3S_2$. Calculated, %: C 50.44; H 3.33; N 12.60.

4,6-Dimethyl-5-nitro-3-[(6-nitro-1,3-benzothiazol-2-yl)-sulfanyl]pyridin-2(1*H*)-one (14a). A mixture of fuming HNO_3 (0.4 ml) and concentrated H_2SO_4 (0.6 ml) was added dropwise to a solution of compound **8a** (288 mg, 1.0 mmol) in concentrated H_2SO_4 (1 ml) at –3°C. The mixture was stirred at room temperature for 1 h, then poured onto ice and neutralized with 2 N aqueous $NaHCO_3$. The precipitate was filtered off, washed with H_2O, and recrystallized from AcOH. Yield 179 mg (59%), pale-yellow crystals, mp >250°C (AcOH). IR spectrum, ν, cm^{-1}: 1332 (NO_2), 1515 (NO_2), 1656 (C=O), 3305–3670 (N–H). ^{1}H NMR spectrum (DMSO-d_6), δ, ppm (*J*, Hz): 2.46 (3H, s, CH_3); 2.51 (3H, s, CH_3); 8.01 (1H, d, ^3J = 9.0, H-4 benzothiazole); 8.29 (1H, dd, ^3J = 9.0, ^4J = 2.4, H-5 benzothiazole); 9.01 (1H, d, ^4J = 2.4, H-7 benzothiazole); 13.01 (1H, br. s, NH). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 17.0 (CH_3); 18.4 (CH_3); 118.6 (C-4 benzothiazole); 121.5, 121.9 (5,7-CH benzothiazole); 135.2 (C-3); 135.4 (C-7a benzothiazole); 143.6 (C-6 benzothiazole); 148.0 (C-4); 152.0 (C-5); 157.3 (C-3a benzothiazole); 159.3 (C-6); 171.9 (C-2); 177.8 (C-2 benzothiazole). Found, %: C 44.56; H 2.54; N 14.81. $C_{14}H_{10}N_4O_5S_2$. Calculated, %: C 44.44; H 2.54; N 14.92.

Synthesis of 3-sulfanylpyridin-2(1*H*)-ones 15a–c (General method). Activated zinc dust (317 mg, 5.0 mmol) was added to a solution of compound **8a–c** (1.0 mmol) in AcOH (8.0 ml). The mixture was heated under reflux for 2 h, cooled, and filtered to remove residual zinc. The filtrate was neutralized with 2 N aqueous $NaHCO_3$, the formed precipitate was filtered off, washed with H_2O, and recrystallized from EtOH.

4,6-Dimethyl-3-sulfanylpyridin-2(1*H*)-one (15a). Yield 132 mg (85%), pale-yellow powder, mp >250°C (EtOH). IR spectrum, ν, cm^{-1}: 1634 (C=O), 3150–3300 (N–H). ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 2.22 (3H, s, CH_3); 2.25 (3H, s, CH_3); 6.31 (1H, s, H-5); 12.61 (1H, br. s, NH). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 17.7 (CH_3); 22.2 (CH_3); 111.8 (CH); 130.6 (C); 134.6 (C); 148.2 (C); 164.2 (C). Found, %: C 54.02; H 5.86; N 9.13. C_7H_9NOS. Calculated, %: C 54.17; H 5.84; N 9.02.

6-Methyl-4-phenyl-3-sulfanylpyridin-2(1*H*)-one (15b). Yield 150 mg (69%), yellow powder, mp >250°C. IR spectrum, ν, cm^{-1}: 1628 (C=O), 3150–3300 (N–H). ^{1}H NMR spectrum (DMSO-d_6), δ, ppm (*J*, Hz): 2.25 (3H, s, CH_3); 6.32 (1H, s, H-5); 7.35 (1H, t, ^3J = 7.2, H-4 Ph); 7.42 (2H, dd, ^3J = 7.2, ^3J = 7.2; H-3,5 Ph); 7.61 (2H, d, ^3J = 7.2, H-2,6 Ph); 12.75 (1H, br. s, NH). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 17.8 (CH_3); 111.3 (CH); 127.7 (CH); 127.8 (2CH); 128.5 (2CH); 130.7 (C); 135.2 (C); 140.6 (C); 149.2 (C); 165.2 (C). Found, %: C 54.02; H 5.91; N 9.13. $C_{12}H_{11}NOS$. Calculated, %: C 54.17; H 5.84; N 9.02.

4-Methyl-3-sulfanyl-5,6,7,8-tetrahydroquinolin-2(1*H*)-one (15c). Yield 123 g (63%), pale-yellow powder, mp >250°C. IR spectrum, ν, cm^{-1}: 1632 (C=O), 3200–3350 (N–H). ^{1}H NMR spectrum (DMSO-d_6), δ, ppm: 1.95–1.97 (4H, m, 6,7-CH_2); 2.63–2.80 (7H, m, 5,8-CH_2, CH_3); 12.66 (1H, br. s, NH). ^{13}C NMR spectrum (DMSO-d_6), δ, ppm: 18.2 (CH_3); 21.0 (CH_2); 21.9 (CH_2); 24.3 (CH_2); 25.9 (CH_2); 117.1 (CH); 131.2 (C); 132.9 (C-4); 147.7 (C-6); 162.5 (C-2). Found, %: C 61.61; H 6.66; N 7.23. $C_{10}H_{13}NOS$. Calculated, %: C 61.50; H 6.71; N 7.17.

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