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A NEW SYNTHETIC STRATEGY FOR THE SYNTHESIS OF 2-AMINO-6-R-[1,3]THIAZOLO[5,4-*b*]PYRIDINES

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Derivatives of 1,3-thiazolopyridine are synthetically available compounds that are actively studied due to their potential antibacterial and anti-tumor activity.

Recently [1,3]thiazolo[5,4-b]pyridine alkaloid, janthinedine A, was isolated from Taxus wallichiana var. chinensis (Pilger) Florin as a secondary metabolite, which demonstrated high antimicrobial and antifungal activities.

The article discusses the new approach to the synthesis of 2-aminothiazolo[5,4-*b*]pyridine derivatives that is based on the nucleophilic substitution in 2-chloro-3-nitropyridines by the action of KSH with simultaneous reduction of nitro group. 3-Aminopyridine-2(1*H*)-thiones obtained in this way react with cyanogen bromide in anhydrous MeOH to form the target products in good yields. According to LCMS data, the purity of the target products obtained by the proposed method exceeds 95%.

The structures of the compounds were proved using ^{1}H NMR, ^{13}C NMR and mass-spectra.

Keywords: *cyclization, cyanogen bromide, 3-aminopyridine-2(1H)-thiones, 2-amino-6-R-thiazolo[5,4-b]pyridines.*

Introduction

Thiazolopyridines are an actively investigated class of heterocyclic compounds and are recognized for their significant potential in pharmaceutical research.

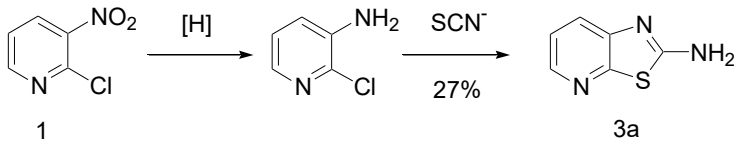
1,3-Thiazolopyridine derivatives demonstrate anti-inflammatory [1, 2], anti-tumor properties [2–6], and also exhibit antimicrobial activity [7-9].

A [1,3]thiazolo[5,4-*b*]pyridine alkaloid, janthinedine A, was isolated from Taxus wallichiana var. chinensis (Pilger) Florin as a secondary metabolite. The antimicrobial and antifungal activities of janthinedine A were evaluated against eight clinically drug-resistant bacteria and seven agricultural pathogenic fungi [10]

Currently, there is growing interest in the design, synthesis and evaluation of the biological activity of thiazolo[5,4-b]pyridines [11].

The presence of functional groups, such as amino, bromine and carboxyl group, in [1,3]thiazolo[5,4-*b*]pyridines makes possible further chemical modification of the molecule. Drugs of this type can be considered as bioactive substances, as well as key objects for the rational design of systems with a pronounced pharmacological action.

Currently, two synthetic strategies for the synthesis of 2-amino[1,3]thiazolo[5,4-*b*]pyridines are used. The first is based on the cyclization of 3-amino-2-chloropyridines with potassium thiocyanate (scheme 1) [12].

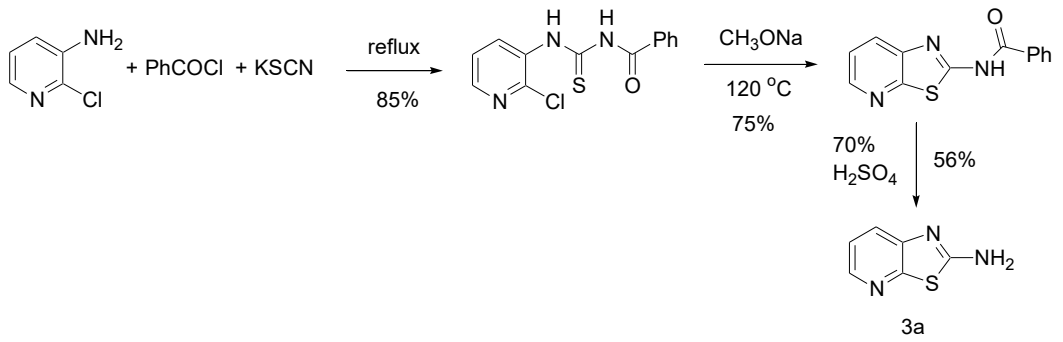


1 3a

Scheme 1 Synthetic route for the preparation of 2-amino[1,3]thiazolo[5,4-*b*]pyridines [12]

The second method of synthesis involves the condensation of appropriate 3-amino-2-chloropyridines with isothiocyanates (scheme 2) [13].

However, the overall yield of 2-amino[1,3]thiazolo[5,4-*b*]pyridines from the corresponding 3-amino-2-chloropyridines is often low and the compounds require purification [12-14].



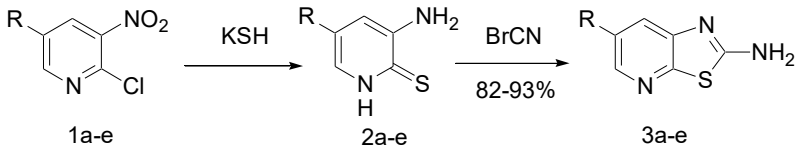
Scheme 2. The second synthetic approach to 2-amino[1,3]thiazolo[5,4-b]pyridines [13]

Results and Discussion

In this communication a new efficient method for preparing of 2-amino[1,3]thiazolo[5,4-*b*]pyridines is proposed as shown in Scheme 3. A commercially available 2-chloro-3-nitropyridines (1a-e) were used as the starting material. The reaction involves nucleophilic substitution in 2-chloro-3-nitropyridines by the action of KSH with simultaneous reduction of nitro group. The intermediates (2a-e) were obtained in good yields.

3-Aminopyridine-2(1*H*)-thiones (2a-e) are accessible and versatile starting material for the preparation of [1,3]thiazolo[5,4-*b*]pyridines. Thus, 3-aminopyridine-2(1*H*)-thiones (2a-e) react with cyanogen bromide in anhydrous MeOH to form the target products (3a-e) in 82–93% yields.

The resulted 2-amino[1,3]thiazolo[5,4-*b*]pyridines (3a-e) are sufficiently pure for analytical purposes and were further used without any purification. According to LCMS data, the purity of the products is above 95%.

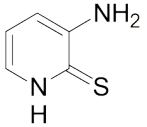
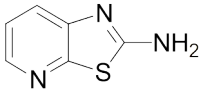
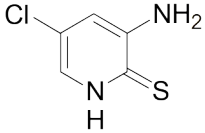
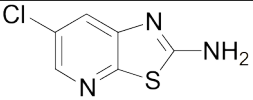
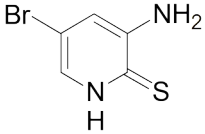
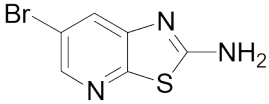


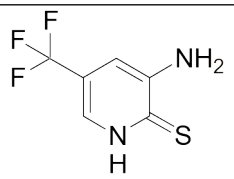
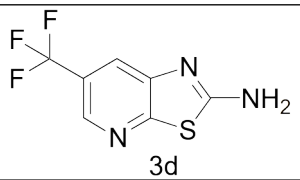
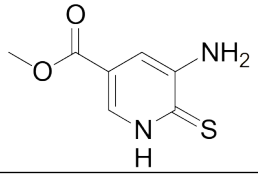
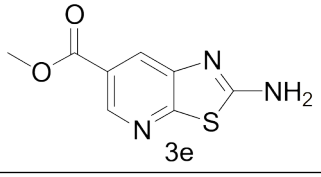
1a-e 2a-e 3a-e

R= H, Cl, Br, CF_3, $COOCH_3$

Scheme 3. A new approach to 2-amino[1,3]thiazolo[5,4-*b*]pyridines (3a-e)

Table 1. Results of the synthesis of 2-amino[1,3]thiazolo[5,4-*b*]pyridines (3a-e)

Entry	3-aminopyridine-2(1*H*)-thione	Product	Isolated yield (%)
2a		 3a	84
2b		 3b	93
2c		 3c	92

2d		 3d	82
2e		 3e	84

Conclusions

In summary, we have described the novel synthetic approach for 2-amino-6-R-[1,3]thiazolo[5,4-*b*]pyridines by cyclization of 3-aminopyridine-2(1*H*)-thiones with cyanogen bromide in methanol.

This method is convenient, and easy to scale up in laboratory.

The resulted 2-amino[1,3]thiazolo[5,4-*b*]pyridines are sufficiently pure for analytical purposes and can be further used without any purification.

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Conflict of Interest: The authors declare no conflict of interest

Authors Contributions: All authors have contributed equally to this work.

Materials and Methods

Bruker Avance DRX 400 and 500 spectrometers (400 or 500 MHz for 1H, 100 or 125 MHz for ^{13}C, respectively) were used for recording ^{1}H and ^{13}C NMR spectra of samples in DMSO-d_6 solutions. All ^{1}H NMR chemical shifts (δ) were referenced relative to the residual DMSO-d_6 peak at 2.50 ppm. LC-MS analyses were performed on a system comprising an Agilent 1100 Series liquid chromatograph and Agilent Technologies LC/MSD VL mass spectrometer equipped with a Sedex 75 ELSD detector (electrospray ionization, ESI+). Elemental analysis (C, H, N) was performed on a Vario MICRO cube instrument. Melting points were determined by using a Fisher–Johns apparatus. The reagents and solvents for this project were received from the Enamine Ltd. (Kyiv, Ukraine).

Experimental Part

General Procedure for the Synthesis of 3-aminopyridine-2(1H)-thiones (2a-e).

A solution of 18 g KSH (0,25 mol) in 300 ml CH_3OH was cooled in an ice bath (0–5 °C).

Then, a solution of 2-chloro-3-nitro-pyridines (1a-e) (0,1 mol) in 70 ml THF was added dropwise. After stirring for 1 hour at 15 °C 36 g (0.4 mol) KSH in 250 ml water (for **3e** 36g KSH in methanol) was additionally added and the reaction mixture was stirred at 70 °C for 3h. The solvents were removed under reduced pressure, and water (300 mL) was added.

The solution was acidified with acetic acid till (pH 8) and the precipitate was filtered off, washed with water, dried.

3-Aminopyridine-2(1*H*)-thione (2a). Prepared from 2-chloro-3-nitro-pyridine (1a).
Yield 10,1g (84 %), as yellow solid, m.p. 129–130 °C (EtOH).
^{1}H NMR spectrum (500 MHz, DMSO-d_6), δ, (ppm) (J, Hz): 5.21 (2H, c, NH_2), 6.22 (1H, dd, J=6.5, H-5), 6.75 (1H, d, J=7.0, H-4), 7.05 (1H, d, J=6.5, H-6), 11.00 (1H, NH).
^{13}C NMR (100 MHz, DMSO-d_6), δ, (ppm): 112.66, 114.73, 124.93, 147.35, 164.63.
Mass-spectrum, m/z (I_{rel}, %): 127 ([M+H]+) (100).
Found, %: C 47.64; H 4.77; N 22.24. $C_5H_6N_2S$. Calculated, %: C 47.59; H 4.79; N 22.20.

3-Amino-5-chloropyridine-2(1*H*)-thione (2b). Prepared from 2,5-dichloro-3-nitro-pyridine (1b). Yield 13.9g (87 %), as yellow solid, m.p. 190–191 °C (EtOH).
^{1}H NMR spectrum (400 MHz, DMSO-d_6), δ, (ppm) (J, Hz): 6.01 (2H, s, NH_2), 6.73 (1H, s, H-4), 7.14 (1H, s, H-6), 13.60 (1H, s, NH).
^{13}C NMR (125 MHz, DMSO-d_6), δ, (ppm): 111.06, 120.47, 122.19, 147.89, 164.90.
Mass-spectrum, m/z (I_{rel}, %): 161 ([M+H]+) (100).
Found, %: C 37.43; H 3.13; N 17.40. $C_5H_5ClN_2S$. Calculated, %: C 37.39; H 3.14; N 17.44.

3-Amino-5-bromopyridine-2(1*H*)-thione (2c). Prepared from 5-bromo-2-chloro-3-nitropyridine (1c). Yield 18.2 g (89 %), as yellow solid, m.p. 191–192 °C (EtOH).
^{1}H NMR spectrum (400 MHz, DMSO-d_6), δ, (ppm) (J, Hz): 6.02 (2H, s, NH_2), 6.82 (1H, s, H-4), 7.20 (1H, s, H-6), 13.60 (1H, s, NH).
^{13}C NMR (100 MHz, DMSO-d_6), δ, (ppm): 107.25, 113.38, 124.38, 148.08, 164.98.
Mass-spectrum, m/z (I_{rel}, %): 206 ([M+H]+) (100).
Found, %: C 29.23; H 2.48; N 13.69. $C_5H_5BrN_2S$. Calculated, %: C 29.28; H 2.46; N 13.66.

3-Amino-5-trifluoromethylpyridine-2(1*H*)-thione (2d). Prepared from 5-trifluoromethyl-2-chloro-3-nitro-pyridine (1d). Yield 16,5g (85 %), as yellow solid, m.p. 184–185 °C (EtOH).
^{1}H NMR spectrum (400 MHz, DMSO-d_6), δ, (ppm) (J, Hz): 6.12 (2H, s, NH_2), 6.83 (1H, s, H-4), 7.41 (1H, s, H-6), 13.80 (1H, s, NH).
^{13}C NMR (100 MHz, DMSO-d_6), δ, (ppm): 104.55, 116.10 (q, J=34,0), 122.10, 123.0 (q, J=268,0), 147.73, 169.46.
^{19}F NMR (376 MHz DMSO-d_6), δ, (ppm) (J, Hz): -62,17.
Mass-spectrum, m/z (I_{rel}, %): 195 ([M+H]+) (100).
Found, %: C 37.14; H 2.64; N 14.40. $C_6H_5F_3N_2S$. Calculated, %: C 37.11; H 2.60; N 14.43.

Methyl 5-amino-6-thioxo-1,6-dihydropyridine-3-carboxylate (2e). Prepared from methyl 2-chloro-3-nitro-pyridine-5-carboxylate (1e). Yield 16.6g (90 %), as yellow solid, m.p. 223–225 °C (EtOH).
^{1}H NMR spectrum (400 MHz, DMSO-d_6), δ, (ppm) (J, Hz): 3.78 (3H, s, $COOCH_3$), 5.95 (2H, s, NH_2), 7.13 (1H, s, H-4), 7.55 (1H, s, H-6), 13.70 (1H, s, NH).
^{13}C NMR (125 MHz, DMSO-d_6), δ, (ppm): 52.52, 108.80, 116.76, 127.20, 147.07, 165.06, 169.10.
Mass-spectrum, m/z (I_{rel}, %): 185 ([M+H]+) (100).
Found, %: C 45.60; H 4.41; N 15.24. $C_7H_8N_2O_2S$. Calculated, %: C 45.64; H 4.38; N 15.21.

General Procedure for the Synthesis of 2-amino[1,3]thiazolo[5,4-b]pyridines (3a-e)

To the suspension of 3-aminopyridine-2(1*H*)-thiones (2a-e) (0.1 mol 1,0 eq) in MeOH (300 mL) BrCN 21.2 g (0.2 mol 2,0 eq) was added and the reaction mixture was stirred overnight at room temperature. Na_2CO_3 (0.1 mol) was added and the mixture was stirred at 60 °C for 1 hour. The solvent was removed under reduced pressure, and water (300 mL) was added. The precipitate was filtered off and washed with water (100 mL) to yield desired product. The products are rather pure and can be used without further purification.

2-Amino[1,3]thiazolo[5,4-*b*]pyridine (3a). Prepared from 3-aminopyridine-2(1*H*)-thione (2a). Yield 12.7 g (84 %), as light brown solid, m.p. 243–244 °C (EtOH).
^{1}H NMR spectrum (500 MHz, DMSO-d_6), δ, (ppm) (J, Hz): 7.21 (1H, dd, J=5.0, H-6), 7.58 (1H, d, J=8.0, H-5), 7.76 (2H, s, NH_2), 8.06 (1H, d, J=5.0, H-7).
^{13}C NMR (100 MHz, DMSO-d_6), δ, (ppm): 121.51, 123.84, 142.02, 147.27, 155.85, 166.12
Mass-spectrum, m/z (I_{rel}, %): 152 ([M+H]+) (100).
Found, %: C 47.62; H 3.34; N 27.82. $C_6H_5N_3S$. Calculated, %: C 47.66; H 3.33; N 27.79.

2-Amino-6-chloro[1,3]thiazolo[5,4-*b*]pyridine (3b). Prepared from 3-amino-5-chloropyridine-2(1*H*)-thione (2b). Yield 17.2 g (93 %), as light brown solid, m.p. 268–269 °C (EtOH).
^{1}H NMR spectrum (500 MHz, DMSO-d_6), δ, (ppm) (J, Hz): 7,71 (1H, d, J=2,0, H-5), 8.02 (2H, s, NH_2), 8.09 (1H, d, J=2,0, H-7).
^{13}C NMR (125 MHz, DMSO-d_6), δ, (ppm): 123.14, 129.02, 139.84, 148.33, 154.22, 167.97.
Mass-spectrum, m/z (I_{rel}, %): 186 ([M+H]+) (100), 188 ([M+H]+) (25).
Found, %: C 38.85; H 2.14; N 22.60. $C_6H_4ClN_3S$. Calculated, %: C 38.82; H 2.17; N 22.64.

2-Amino-6-bromo[1,3]thiazolo[5,4-*b*]pyridine (3c). Prepared from 3-amino-5-bromopyridine-2(1*H*)-thione (2c). Yield 21.2 g (92 %), as light brown solid, m.p. 247–248 °C (CH_3OH).
^{1}H NMR spectrum (500 MHz, DMSO-d_6), δ, (ppm) (J, Hz): 7.83 (1H, s, H-5), 8.03 (2H, s, NH_2), 8.15 (1H, s, H-7).
^{13}C NMR (125 MHz, DMSO-d_6), δ, (ppm): 117.55, 125.83, 141.86, 148.75, 154.56, 167.61.
Mass-spectrum, m/z (I_{rel}, %): 229,9 ([M+H]+) (90), 232 ([M+H]+) (100).
Found, %: C 31.36; H 1.72; N 18.28.$C_6H_4BrN_3S$. Calculated, %: C 31.32; H 1.75; N 18.26.

2-Amino-6-trifluoromethyl[1,3]thiazolo[5,4-*b*]pyridine (3d). Prepared from 3-amino-5-trifluoromethylpyridine-2(1*H*)-thione (2d). Yield 18.0 g (82 %), as light brown solid, m.p. 205–206 °C (EtOH).
^{1}H NMR spectrum (400 MHz, DMSO-d_6), δ, (ppm) (J, Hz): 7.88 (1H, s, H-5), 8.16 (2H, s, NH_2), 8.41 (1H, s, H-7).
^{13}C NMR (100 MHz, DMSO-d_6), δ, (ppm): 119.57, 123.35 (q, J=31,0, C-6), 124.00 (q, J=270,0, CF_3), 137.90, 147.09, 160.24, 167.75.
^{19}F NMR (376 MHz DMSO-d_6), δ, (ppm) (J, Hz): -60.62.
Mass-spectrum, m/z (I_{rel}, %): 220 ([M+H]+) (100).
Found, %: C 38.31; H 1.86; N 19.14. $C_7H_4FN_3S$. Calculated, %: C 38.36; H 1.84; N 19.17.

Methyl 2-amino[1,3]thiazolo[5,4-*b*]pyridine-6-carboxylate (3e). Prepared from methyl 5-amino-6-thioxo-1,6-dihydropyridine-3-carboxylate (2e). Yield 17.6 g (84 %), as yellow solid, m.p. 260–261 °C (EtOH).
^{1}H NMR spectrum (500 MHz, DMSO-d_6), δ, (ppm) (J, Hz): 3.86 (3H, s, $COOCH_3$), 7.96 (1H, s, H-5), 8.04 (2H, s, NH_2), 8.61 (1H, s, H-7).
^{13}C NMR (100 MHz, DMSO-d_6), δ, (ppm): 52.76, 123.01, 123.73, 142.50, 147.09, 160.64, 166.09, 167.06.
Mass-spectrum, m/z (I_{rel}, %): 210 ([M+H]+) (100).
Found, %: C 45.96; H 3.34; N 20.10. $C_8H_7N_3O_2S$. Calculated, %: C 45.92; H 3.37; N 20.08.

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А. С. Толкунов, О. В. Смірнова, В. С. Толкунов, С. В. Толкунов. Нова синтетична стратегія синтезу 2-аміно-6-R-[1,3]тіазоло[5,4-*b*]піридинів.

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Похідні 1,3-тіазолопіридину – синтетично доступні сполуки, які активно вивчаються у зв'язку з їх потенційною антибактеріальною, протипухлинною активністю.

Нещодавно з Taxus wallichiana var. chinensis (Pilger) Florin було виділено алкалоїд [1,3]тіазоло[5,4-b]піридину, янтинедин А, як вторинний метаболіт, який продемонстрував високу антимікробну та протигрибкову активність.

У статті запропоновано новий синтетичний підхід до похідних

2-амінотіазоло[5,4-b]піридину, який базується на нуклеофільному заміщенні в 2-хлор-3-нітропіридинах під дією KSH з одночасним відновленням нітрогрупи. Утворені таким чином 3-амінопіридин-2(1H)-тіони реагують з BrCN у безводному MeOH з утворенням цільових продуктів з хорошими виходами.

За даними LCMS, чистота отриманих за запропонованою схемою цільових продуктів перевищує 95%.

Будову сполук доведено за допомогою ^{1}H ЯМР, ^{13}C ЯМР та мас-спектрів.

Ключові слова: *циклізація, ціаногенбромід, 3-амінопіридин-2(1H)-тіони, 2-аміно-6-R-тіазоло [5,4-b]піридини.*

Конфлікт інтересів: *Автори повідомляють про відсутність конфлікту інтересів.*

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