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Synthesis of new [1,2,4]triazolo[4,3-a][1,5]benzodiazepine derivatives

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The synthetic pathway of various novel tricyclic [1,2,4]triazolo[4,3-a][1,5]benzodiazepine derivatives via thioether and hydrazine derivatives of 1,5-benzodiazepine as starting materials is described.

Key words: [1,2,4]triazolo[4,3-a][1,5]benzodiazepine, 4-hydrazino-2,3-dihydro-1*H*-1,5-benzodiazepine, condensation–cyclization

INTRODUCTION

Benzodiazepines are important pharmaceuticals used as prescribed drugs. Some derivatives are known as anticonvulsant, antihypnotic, central nervous system agents [1–3]. Fused triazolo[4,3-a][1,5]benzodiazepine derivatives are known as compounds with anti-inflammatory and / or analgesic properties and show antiproliferative properties against either or both leukemia and lymphoma-derived cell lines in low concentrations [4, 5]. Some derivatives are also used as starting materials for the preparation of fused ring compounds such as triazolo- and oxadiazolo-derivatives for antiviral (HIV-1) activity testing [6].

Recently we have described the preparation of substituted triazolo[4,3-a][1,5]benzodiazepine derivatives from the corresponding hydrazides [7]. As a continuation of our investigation of tricyclic fused benzodiazepines, we have extended the synthetic pathway by the condensation–cyclization reaction of novel 4-hydrazino-2,3-dihydro-1*H*-1,5-benzodiazepine and its derivatives.

RESULTS AND DISCUSSION

We present in this paper the synthesis of new [1,2,4] triazolo[4,3-a][1,5]benzodiazepine derivatives (**5–8, 10**) (Scheme). The phase-transfer catalyzed alkylation of 5-acetyl-4-methyl-1,3,4,5-tetrahydro-2*H*-1,5-benzodiazepine-2-thione (**1**) [8] with an excess of iodomethane following the procedure previously reported by us [9] led to thioether **2** in good yield.

The reaction of **2** with an excess of hydrazine hydrate in ethanol at room temperature yielded the 4-hydrazino-1,5-benzodiazepine (**3**). The thioether **2** and the hydrazine derivative **3** were used as the key intermediates for the synthesis of

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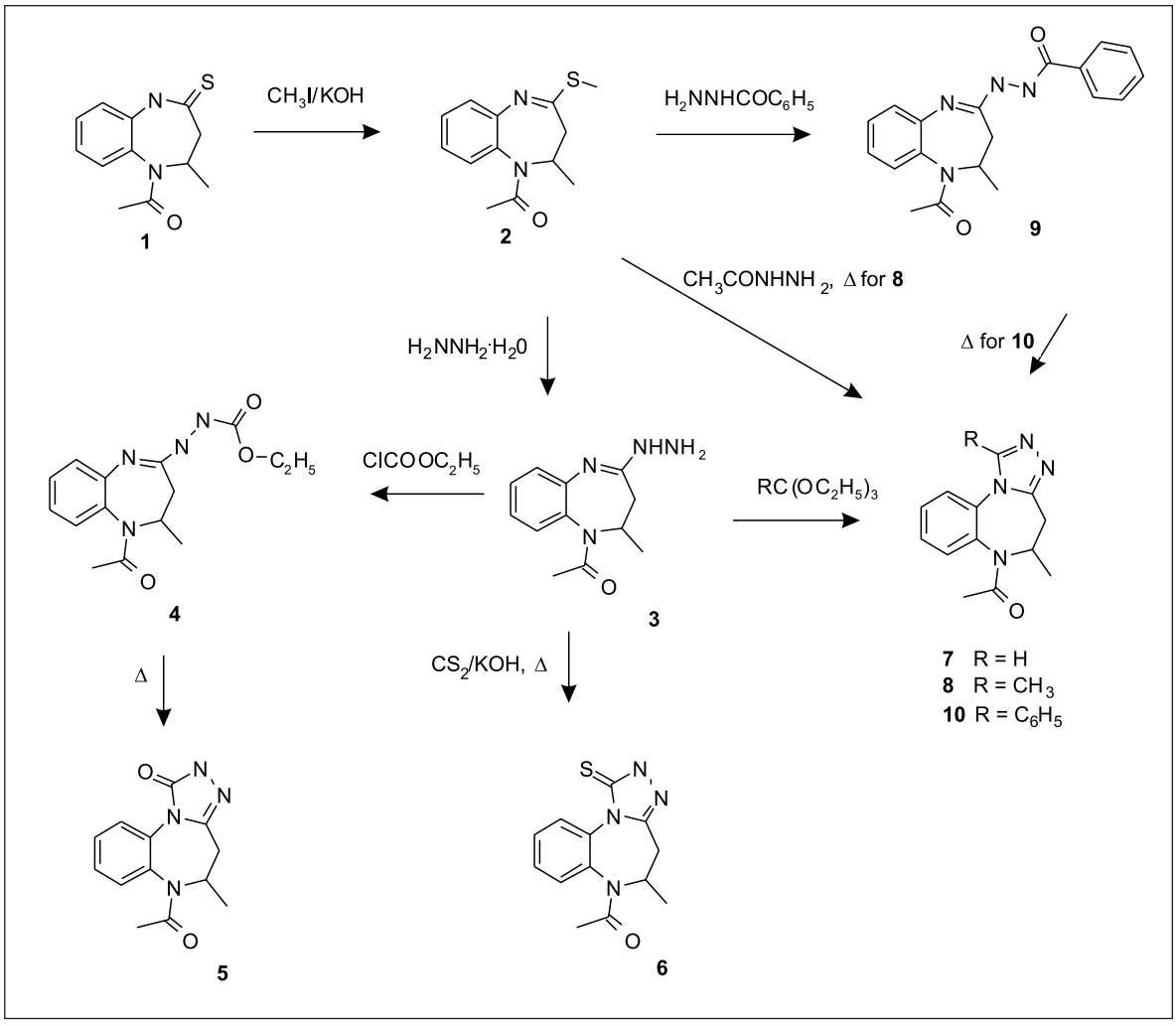

new tricyclic compounds. The literature describes the synthesis of triazolones from the corresponding hydrazine derivatives and phosgene in toluene in an alkaline medium [10]. We have used a milder condensation agent. The treatment of cyclic hydrazine **3** with an equimolar amount of ethylchloroformate in toluene in the presence of triethylamine gave the corresponding hydrazinecarboxylate **4**. Special attention should be paid to the maintenance of the equimolar ratio of reagents since the excess of ethylchloroformate leads to the formation of disubstituted derivatives which do not cyclize. Refluxing of compound **4** in a mixture of 1,4-dioxane and water led to the original triazolone **5**. Compound **5** could also be prepared by heating compound **4** up to its melting point as described earlier [11]. The treatment of **3** with carbon disulfide in the presence of potassium hydroxide gave tricyclic triazolthione **6**. Triazoles **7**, **8** were easily obtained in good yields from hydrazine **3** by treatment with an excess of triethyl orthoformate or triethyl orthoacetate. Optimization of the cyclization reaction was achieved by using higher boiling solvents. Triazoles **8** and **10** can be also synthesized from thioether **2** by refluxing in anhydrous ethanol with an excess of acetohydrazide or benzohydrazide accordingly. The reaction of thioether **2** with benzohydrazide in anhydrous ethanol at room temperature gave 1,5-benzodiazepine benzohydrazide (**9**) in good yield according to the previously reported procedure [9] but without refluxing. The cyclization of **9** by refluxing in anhydrous ethanol gave triazole **10**.

The structure of the target compounds is supported by IR, ^{1}H, ^{13}C NMR spectra and elemental analysis. In the ^{1}H NMR spectra, the assignment of protons of the benzo fragment of compounds **5–8**, **10** was confirmed by NOE experiments. Irradiation of acetyl signal (1.65–1.86 ppm) resulted in an enhancement of the H-7 signal at 7.30–7.37 ppm. Compound **8** contains also a 1-CH_3 group which is close enough to the H-10 proton to produce NOE. All protons of this benzo fragment were assigned starting from H-7 using 2D COSY spectra. It is worth noting that an essential low-field shift of H-10 proton with respect to other protons of the benzene ring was observed due to the deshielding effect of the C = O or C = S groups in compounds **5**, **6** (δ 7.84 and 8.24 ppm, respectively), while in compound **10** a high-field shift of H-10 proton (δ 6.99 ppm) was observed, probably due to the shielding effect of the 1-phenyl ring. In addition, a long-range coupling between the 1-H and 4-H protons (a down-field signal of the CH_2 group, $^{5}J_{HH}$ = 0.6 Hz) was detected for compound **7**. The $CHCH_2$ fragment in the benzodiazepine ring gave rise to an

ABX system with $^3J_{HH}$ = 12.1–12.3 and $^3J_{HH}$ = 6.0–6.2 Hz. The values (12.1–12.3 Hz) of vicinal coupling constants between methine protons (5-CH) and the proton of methylene groups (up-field signal) for compounds **5–8**, **10** showed an antiperiplanar arrangement of these protons analogously as in the precursors and in imidazo[1,2-*a*][1,5]benzodiazepine [12]. ^{13}C NMR signals were identified by means of HETCOR experiments.

EXPERIMENTAL

The melting points were determined in open capillaries and are uncorrected. IR spectra were taken on a Perkin-Elmer Spectrum GX FT-IR spectrometer in KBr tablets. ^{1}H (300 MHz) and ^{13}C (75 MHz) NMR spectra were recorded on a Varian Unity Inova 300 spectrometer in deuteriochloroform or deuteriodimethylsulfoxide. The chemical shifts were referenced to tetramethylsilane (δ ^{1}H = 0 ppm) and the solvent signal deuteriochloroform (δ ^{13}C = 77.0 ppm) and deuteriodimethylsulfoxide (δ ^{13}C = 39.5 ppm). The CH_3, CH_2, CH and C_{quart} groups in ^{13}C NMR were differentiated by means of the APT or DEPT methods. The NMR peaks corresponding to the minor isomers of compound **10** are given in square brackets. The reactions were controlled by the TLC method and performed on Silufol UV_{254} silica gel plates in the systems: a) benzene – methanol (v/v/v, 6 : 1) (compound **2**), b) n-butanol – acetic acid – water (v/v/v, 4 : 2 : 1) (compounds **3, 4, 5, 7, 8, 9, 10**), c) chloroform – ethyl acetate – methanol (v/v, 14 : 7 : 1.5) (compound **6**).

1-Acetyl-2-methyl-4-(methylsulfanyl)-2,3-dihydro-1H-1,5-benzodiazepine (2)

Compound **2** was synthesized according to the procedure [9] described by us from 1.17 g (5.0 mmol) of thiolactam **1** [8] and 1.25 mL (20 mmol) of iodomethane. Yield: 0.77 g (62.4%) from ethanol, m. p. 126–128 °C; R_f = 0.5; IR: 1650 cm^{-1}; ^{1}H NMR ($CDCl_3$) δ: 1.18 (d, 3H, J = 6.3 Hz, CH_3), 1.75 (s, 3H, CH_3), 2.28–2.41 (m, 2H, CH_2), 2.44 (s, 3H, CH_3), 5.35 (m, 1H, CH), 7.07 (dd, 1H, ArH), 7.11–7.17 (m, 2H, ArH), 7.35 (dt, 1H, ArH) ppm; ^{13}C NMR ($CDCl_3$) δ: 13.23 (4-CH_3), 18.69 (2-CH_3), 22.95 (1-CH_3), 41.18 (C-3), 58.95 (C-2), 124.76, 124.93, 129.11, 129.76, 129.98, 147.27, 170.17 (CO), 173.09 ppm. Elemental analysis data: found, %: C, 62.94; H, 6.47; N, 11.32; S, 12.88; formula $C_{13}H_{16}N_2OS$ (248.344); calculated, %: C, 62.87; H, 6.49; N, 11.28; S, 12.91.

1-Acetyl-4-hydrazino-2-methyl-2,3-dihydro-1H-1,5-benzodiazepine (3)

A mixture of 1.24 g (5.0 mmol) of thioether **2**, 1 mL (20 mmol) of 98% hydrazine monohydrate in 50 mL of 1-propanol was stirred for 6 h at room temperature. Then the reaction mixture was concentrated to 1 / 3 of volume, and 50 mL of diethyl ether was added with cooling. The precipitated crystals were collected. Recrystallization from methanol yielded 0.96 g (83%) of compound **3**. M. p. 144–146 °C; R_f = 0.3; IR: 3272, 3185, 1651 cm^{-1}; ^{1}H NMR ($CDCl_3$) δ: 1.18 (d, 3H, J = 6.3 Hz, CH_3), 1.73 (s, 3H, CH_3), 2.20 (dd, 1H, J = 12.5, 13.9 Hz, CH_2), 2.44 (br dd, 1H, CH_2), 3.5–4.6 (br s, 3H, $NHNH_2$), 5.12 (m, 1H, CH), 7.01 (m, 1H, ArH), 7.07–7.14 (m, 2H, ArH), 7.33 (m, 1H, ArH) ppm; ^{13}C NMR ($CDCl_3$) δ: 18.81 (2-CH_3), 22.86 (1-CH_3), 37.10 (C-3), 53.22 (C-2), 121.04 (br. s), 123.49, 129.34, 129.85, 130.73, 139.3 (br. s), 151.2 (br s), 170.03 (CO) ppm. Elemental analysis data: found, %: C, 62.19; H, 6.89; N, 25.01; formula $C_{12}H_{16}N_4O$ (232.282); calculated, %: C, 62.05; H, 6.94; N, 24.12.

Ethyl-2-(1-acetyl-2-methyl-2,3-dihydro-1H-1,5-benzodiazepin-4-yl)hydrazinecarboxylate (4)

To a stirred solution of 0.70 g (3.0 mmol) of **3** and 0.42 mL (3.0 mmol) of triethylamine in 80 mL of toluene, a solution of 0.29 mL (3.0 mmol) of ethylchloroformate in 20 mL of toluene was added dropwise at –3 °C. The reaction mixture was stirred for 2 h at room temperature and allowed to stand overnight in a refrigerator. The triethylamine hydrochloride precipitate was filtered off. The filtrate was concentrated under reduced pressure to a volume of 30 mL and cooled. The crude product was filtered off, washed with ice-cold water and placed in a vacuum desiccator. Recrystallization from diethyl ether yielded 0.69 g (75%) of compound **4**. M. p. 173–175 °C; R_f = 0.4; IR: 3260, 3222, 1702, 1662 cm^{-1}; ^{1}H NMR ($CDCl_3$) δ: 1.16 (d, 3H, J = 6.4 Hz, CH_3), 1.29 (t, 3H, J = 7.1 Hz, CH_3), 1.71 (s, 3H, CH_3), 2.18 (dd, 1H, J = 12.6, 13.6 Hz, CH_2), 2.64 (br dd, 1H, CH_2), 4.24 (q, 2H, J = 7.2 Hz, CH_2O), 5.17 (m, 1H, CH), 7.08–7.18 (m, 3H, ArH), 7.36 (m, 1H, ArH), 7.9–8.8 (br s, 2H, NHNH) ppm; ^{13}C NMR ($CDCl_3$) δ: 14.58 (CH_3), 18.87 (2-CH_3), 23.89 (1-CH_3), 36.98 (C-3), 53.93 (C-2), 62.08 (OCH_2), 121.99, 124.76, 129.54, 130.57, 130.87, 137.78, 156.04 (CO), 170.12 (1-CO) ppm. Elemental analysis data: found, %: C, 59.27; H, 6.56; N, 18.47; formula $C_{15}H_{20}N_4O_3$ (304.344); calculated, %: C, 59.20; H, 6.62; N, 18.41.

6-Acetyl-5-methyl-2,4,5,6-tetrahydro-1H-[1,2,4]triazolo[4,3-a][1,5]benzodiazepin-1-one (5)

A solution of 0.91 g (3.0 mmol) of **4** in a mixture of 50 mL of 1,4-dioxane and 20 mL of water was refluxed for 5 h. After cooling, the mixture was diluted with 50 mL of chloroform. The organic layer was separated and the aqueous phase extracted with chloroform (2 × 30 mL). The combined organic layer was dried with Na_2SO_4 and filtered. The filtrate was concentrated in vacuum to give the crystalline product. Recrystallization from diethyl ether yielded 0.53 g (77%) of compound **5**. M. p. 202–204 °C; R_f = 0.87; IR: 3184, 1728, 1715, 1660, 1634 cm^{-1}; ^{1}H NMR ($CDCl_3$) δ: 1.28 (d, 3H, J = 6.4 Hz, CH_3), 1.72 (s, 3H, CH_3), 2.26 (dd, 1H, J = 12.1, 14.9 Hz, CH_2), 2.99 (dd, 1H, J = 6.2, 14.9 Hz, CH_2), 5.34 (m, 1H, CH), 7.28 (br dd, 1H, J = 1.4, 7.8 Hz, H-7), 7.48 (dt, 1H, J = 1.5, 7.8 Hz, H-8), 7.62 (dt, 1H, J = 1.5, 7.9 Hz, H-9), 7.85 (dd, 1H, J = 1.5, 7.9 Hz, H-10), 8.83 (s, 1H, NH) ppm; ^{13}C NMR ($CDCl_3$) δ: 19.03 (5-CH_3), 22.97 (6-CH_3), 31.24 (C-4), 52.65 (C-5), 125.02 (C-10), 128.57 (C-8), 130.10 (C-9), 131.26, 131.41 (C-7),

132.12, 145.28, 153.27, 170.31 (CO) ppm. Elemental analysis data: found, %: C, 60.52; H, 5.43; N, 21.73; formula $C_{13}H_{14}N_4O_2$ (258.276); calculated, %: C, 60.45; H, 5.46; N, 21.69.

6-Acetyl-5-methyl-2,4,5,6-tetrahydro-1H-[1,2,4]triazolo[4,3-a][1,5]benzodiazepine-1-thione (6)

To a stirred solution of 0.46 g (2.0 mmol) of **3** and 0.14 g (2.5 mmol) of potassium hydroxide in 20 mL of 1-propanol, a solution of 0.96 mL (16.0 mmol) of carbon disulfide in 10 mL of 1-propanol was added dropwise at room temperature. The reaction mixture was refluxed for 10 h, then allowed to cool to room temperature, poured into water (100 mL), neutralized by dilute hydrogen chloride acid, and the precipitated crystals were filtered off and dried. Recrystallization from 1-propanol yielded 0.40 g (73%) of compound **6**. M. p. 301–303 °C; R_f = 0.68; IR: 3117, 1660 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 1.27 (d, 3H, J = 6.4 Hz, CH_3), 1.73 (s, 3H, CH_3), 2.23 (dd, 1H, J = 12.1, 14.8 Hz, CH_2), 3.15 (dd, 1H, J = 6.1, 14.8 Hz, CH_2), 5.34 (m, 1H, CH), 7.32 (dd, 1H, J = 1.5, 7.8 Hz, H-7), 7.57 (dt, 1H, J = 1.5, 7.7 Hz, H-8), 7.68 (dt, 1H, J = 1.5, 7.8 Hz, H-9), 8.24 (dd, 1H, J = 1.5, 8.0 Hz, H-10), 10.9 (br s, 1H, NH); ^{13}C NMR ($CDCl_3$ – DMSO-d_6 v/v 9 : 1) δ: 18.48 (5-CH_3), 22.70 (6-CH_3), 30.17 (C-4), 52.69 (C-5), 126.96 (C-10), 129.19 (C-8, C-9), 130.82 (C-7), 131.65, 132.30, 149.09 (C-3a), 165.86 (C-1), 169.63 (6-CO) ppm. Elemental analysis data: found, %: C, 57.03; H, 5.21; N, 20.51; S, 11.77, formula $C_{13}H_{14}N_4OS$ (274.341); calculated, %: C, 56.91; H, 5.14; N, 20.42; S, 11.69.

6-Acetyl-5-methyl-5,6-dihydro-4H-[1,2,4]triazolo[4,3-a][1,5]benzodiazepine (7)

A mixture of 0.46 g (2.0 mmol) of **3** and 0.67 mL (4.0 mmol) of triethyl orthoformate in 10 mL of xylene was refluxed for 2 h. After cooling, 20 mL of diethyl ether was added, and the precipitated solid was collected. Recrystallization from ethanol yielded 0.33 g (68%) of compound **7**. M. p. 244–246 °C; R_f = 0.58; IR: 1656 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 1.32 (d, 3H, J = 6.4 Hz, CH_3), 1.65 (s, 3H, CH_3), 2.37 (dd, 1H, J = 12.3, 15.0 Hz, CH_2), 3.46 (ddd, 1H, J = 0.6, 6.1, 15.0 Hz, CH_2), 5.36 (m, 1H, CH), 7.37 (dd, 1H, J = 1.5, 7.8 Hz, H-7), 7.50 (dd, 1H, J = 1.5, 7.8 Hz, H-10), 7.56 (dt, 1H, J = 1.7, 7.6 Hz, H-8), 7.62 (dt, 1H, J = 1.6, 7.8 Hz, H-9), 8.43 (d, 1H, J = 0.6 Hz, H-1) ppm; ^{13}C NMR ($CDCl_3$) δ: 19.26 (5-CH_3), 22.99 (6-CH_3), 29.68 (C-4), 54.75 (C-5), 123.51 (C-10), 129.65 (C-8), 130.30 (C-9), 132.21, 132.37 (C-7), 132.47, 140.70 (C-1), 151.76 (C-3a), 169.52 (CO) ppm. Elemental analysis data: found, %: C, 64.58; H, 5.74; N, 23.15; formula $C_{13}H_{14}N_4O$ (242.276); calculated, %: C, 64.45; H, 5.82; N, 23.13.

6-Acetyl-1,5-dimethyl-5,6-dihydro-4H-[1,2,4]triazolo[4,3-a][1,5]benzodiazepine (8)

Method 1. A mixture of 0.46 g (2.0 mmol) of **3** and 0.73 mL (4.0 mmol) of triethyl orthoacetate in 10 mL of xylene was refluxed for 3 h. Upon cooling, 20 mL of diethyl ether was added, and the precipitated crystals were filtered. Recrystallization from ethanol yielded 0.33 g (64%) of compound **8**.

Method 2. A solution of 0.49 g (2.0 mmol) of thioether **2** and 0.22 g (3.0 mmol) of acetohydrazide in 30 mL of anhydrous ethanol was refluxed for 2 h and allowed to stand overnight at room temperature. The resulting precipitate was separated by filtration. Recrystallization from ethanol yielded 0.37 g (72%) of compound **8**. The mixed sample of **8** prepared by methods 1 and 2 did not show depression of the melting point. M. p. 257–259 °C; R_f = 0.54; IR: 1650 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 1.28 (d, 3H, J = 6.4 Hz, CH_3), 1.65 (s, 3H, CH_3), 2.26 (dd, 1H, J = 12.2, 14.8 Hz, CH_2), 2.56 (s, 3H, CH_3), 3.35 (dd, 1H, J = 6.0, 14.8 Hz, CH_2), 5.27 (m, 1H, CH), 7.37 (dd, 1H, J = 1.4, 7.6 Hz, H-7), 7.44 (dd, 1H, J = 1.6, 7.8 Hz, H-10), 7.57 (dt, 1H, J = 1.7, 7.7 Hz, H-8), 7.64 (dt, 1H, J = 1.5, 7.6 Hz, H-9) ppm; ^{13}C NMR δ: 11.40 (1-CH_3), 18.98 (5-CH_3), 22.94 (6-CH_3), 30.11 (C-4), 54.74 (C-5), 124.63 (C-10), 129.57 (C-8), 130.04 (C-9), 132.28 (C-7, C), 133.31, 149.48 (C-1), 152.31 (C-3a), 169.22 (CO) ppm. Elemental analysis data: found, %: C, 65.73; H, 6.24; N, 21.98, formula $C_{14}H_{16}N_4O$ (256.303); calculated, %: C, 65.61; H, 6.29; N, 21.86.

N'-(1-acetyl-2-methyl-2,3-dihydro-1H-1,5-benzodiazepin-4-yl)benzohydrazide (9)

A solution of 0.49 g (2.0 mmol) of thioether **2** and 0.40 g (3.0 mmol) of benzohydrazide in 50 mL of anhydrous ethanol was stirred for 1.5 h and allowed to stand overnight at room temperature. The resulting precipitate was separated by filtration. Recrystallization from ethanol yielded 0.61 g (91%) of compound **9**. M. p. 209–211 °C; R_f = 0.41; IR: 3226, 1642 (br) cm^{-1}; ^1H NMR (DMSO-d_6) δ: 1.11 (d, 3H, J = 6.6 Hz, CH_3), 1.64 (s, 3H, CH_3), 2.10 (dd, 1H, J = 12.8, 13.4 Hz, CH_2), 2.58 (dd, 1H, J = 5.3, 13.4 Hz, CH_2), 4.98 (m, 1H, CH), 7.17–7.58 (m, 8H, ArH), 7.94 (br d, 2H, H-2', 6'), 8.88 (br s, 1H, NH), 10.17 (br s, 1H, NH) ppm; ^{13}C NMR (DMSO-d_6) δ: 18.70 (2-CH_3), 22.75 (1-CH_3), 37.21 (C-3), 53.15 (C-2), 121.84, 124.05, 127.65 (2), 128.14 (2), 129.20, 129.45, 130.25, 131.05 (2), 134.33, 138.04, 151.28, 163.34, 168.79 ppm. Elemental analysis data: found, %: C, 67.68; H, 6.09; N, 16.86, formula $C_{19}H_{20}N_4O_2$ (336.388); calculated, %: C, 67.84; H, 5.99; N, 16.66.

6-Acetyl-5,6-dihydro-5-methyl-1-phenyl-4H-[1,2,4]triazolo[4,3-a][1,5]benzodiazepine (10)

A solution of 0.67 g (2.0 mmol) of **9** in 50 mL of anhydrous ethanol was refluxed for 8 h and was concentrated under reduced pressure to volume of 30 mL and allowed to stand overnight in a refrigerator. The resulting precipitate was separated by filtration. Recrystallization from ethanol yielded 0.49 g (77%) of compound **10**. M. p. 200–202 °C; R_f = 0.70; IR: 1655 cm^{-1}; ^1H NMR ($CDCl_3$) δ: two rotamers in a ratio 90 : 10 – 1.32 (d, 3H, J = 6.4 Hz, 5-CH_3), [1.43 (d, 3H, J = 6.4 Hz, 5-CH_3)], 1.86 (s, 3H, 6-CH_3), [2.02 (s, 3H, 6-CH_3)], 2.33 (dd, 1H, J = 12.4, 15.0 Hz, CH_2), [2.40 (dd, 1H, J = 11.4, 15.0 Hz, CH_2)], 3.44 (dd, 1H, J = 5.7, 15.0 Hz, CH_2), [3.48 (dd, 1H, J = 6.0, 14.9 Hz, CH_2)], [4.68 (m, 1H, CH)], 5.31 (m, 1H, CH), [6.93 (br dd, 1H, ArH)], 7.01 (m, 1H, H-10), 7.35–7.57 (m, 8H, ArH) ppm; ^{13}C NMR ($CDCl_3$) δ: 19.03 (5-CH_3), [20.39,

21.82], 23.22 (6-CH_3), 30.18 (C-4), [30.81 (C-4)], 54.57 (C-5), [57.46 (C-5), 125.46], 126.11, [126.36], 128.31 (2), [128.68, 128.79], 128.84 (2), [129.06, 129.28], 129.45, 129.64, 130.18, 132.04, 133.00, 133.04, 152.43, 153.06, 169.13 ppm. Elemental analysis data: found, %: C, 71.61; H, 5.81; N, 17.76; formula $C_{19}H_{18}N_4O$ (318.372); calculated, %: C, 71.68; H, 5.70; N, 17.60.

CONCLUSIONS

All new compounds described in this work retain the [1,5]benzodiazepine core with a different third fused five-membered [1,2,4]triazolo ring. The synthesis was based on the formation of the 1,2,4-triazolo ring by condensation of an appropriate fragment with the 1-acetyl-2-methyl-4-(methylsulfanyl)-2,3-dihydro-1H-1,5-benzodiazepine or 1-acetyl-4-hydrazino-2-methyl-2,3-dihydro-1H-1,5-benzodiazepine. All reactions were effective under mild conditions.

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NAUJŲ [1,2,4]TRIAZOLO[4,3-a][1,5]BENZDIAZEPINO DARINIŲ SINTEZĖ

S a n t r a u k a

Darbe aprašyta naujų, potencialiai biologiškai aktyvių, triciklių kondensuotųjų 1,5-benzdiazepino triazolų sintezė iš 1,5-benzdiazepino tioeterio ir hidrazino naudojant skirtingus ciklinimo agentus. Vienos arba dviejų stadijų reakcijose su etilchlorformiatu, anglies disulfidu, karboksirūgščių hidrazidais, trietilortoformiatu ir trietilortoacetatu gauti skirtingos struktūros a-padėtyje kondensuotieji 1,5-benzdiazepino triazolai. Pasiūlytas patogus triazolono sintezės būdas nenaudojant fosgeno. Dauguma tirtų reakcijų vyksta švelniomis sąlygomis, visų reakcijų išeigos geros.