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D. A. Nosyk[1,2,3], S. Yu. Lukyanenko[2], D. S. Granat[2,3], O. O. Yurchenko[1,2], O. O. Grygorenko[2,3,4]

[1] Institute of Organic Chemistry of the National Academy of Sciences of Ukraine, 5 Academician Kukhar str., 02094 Kyiv, Ukraine
[2] Enamine Ltd., 78 Winston Churchill str., 02094 Kyiv, Ukraine
[3] Taras Shevchenko National University of Kyiv, 60 Volodymyrska str., 01601 Kyiv, Ukraine
[4] Enamine Scientific Research Institute, 67 Winston Churchill str., 02094 Kyiv, Ukraine

Synthesis of (±)-(1R,6R,7R)-2-azabicyclo[4.2.0]octan-7-ol

Abstract

An approach to the synthesis of (±)-(1*R*,6*R*,7*R*)-2-azabicyclo[4.2.0]octan-7-ol, a promising amino alcohol building block for drug discovery, has been described. The method is based on [2+2] the cycloaddition of *tert*-butyl vinyl ether and a ketene generated *in situ* from a glutaric acid derivative, as well as the intramolecular lactam formation as the key steps. Although the [2+2] cycloaddition step and further transformations proceeded without any notable stereoselectivity, the title compound was synthesized in an amount greater than 30 g with a high diastereomeric purity. This was provided by the physical properties of the intermediate (±)-(1*R*,6*R*,7*R*)-7-(*tert*-butoxy)-2-azabicyclo[4.2.0]octan-3-one that was easily separated by crystallization.

Keywords: bicyclic compounds; [2+2] cycloaddition; lactams; building blocks

Д. А. Носик[1,2,3], С. Ю. Лук'яненко[2], Д. С. Гранат[2,3], О. О. Юрченко[1,2], О. О. Григоренко[2,3,4]

[1] Інститут органічної хімії Національної академії наук України, вул. Академіка Кухаря, 5, м. Київ, 02094, Україна
[2] ТОВ НВП «Єнамін», вул. Вінстона Черчилля, 78, м. Київ, 02094, Україна
[3] Київський національний університет імені Тараса Шевченка, вул. Володимирська, 60, м. Київ, 01601, Україна
[4] НУ «НДІ «Єнамін», вул. Вінстона Черчилля, 67, м. Київ, 02094, Україна

Синтез (±)-(1*R*,6*R*,7*R*)-2-азабіцикло[4.2.0]октан-7-олу

Анотація

Описано підхід до синтезу (±)-(1*R*,6*R*,7*R*)-2-азабіцикло[4.2.0]октан-7-олу – перспективного будівельного блока класу аміноспиртів для пошуку лікарських засобів. Метод ґрунтується на [2+2] циклоприєднанні *трет*-бутилвінілового етеру та кетену, що було генеровано *in situ* з похідної глутарової кислоти, а також внутрішньомолекулярному утворенні лактаму як ключових стадіях. Хоча стадія [2+2] циклоприєднання та подальші перетворення відбувалися без помітної стереоселективності, цільову сполуку було одержано в кількості понад 30 г з високою діастереомерною чистотою, що забезпечили фізичні властивості проміжного (±)-(1*R*,6*R*,7*R*)-7-(*трет*-бутокси)-2-азабіцикло[4.2.0]октан-3-ону, який легко відділяли кристалізацією.

Ключові слова: біциклічні сполуки; [2+2] циклоприєднання; лактами; будівельні блоки

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■ Introduction

Saturated azabicyclic compounds have attracted much attention in organic and medicinal chemistry as promising three-dimensional chemotypes for drug discovery and other applications [1, 2]. They can be considered as conformationally restricted isosteres of piperidine, which is a top saturated heterocycle encountered in marketed drugs [3, 4]. 2-Azabicyclo[4.2.0]octane (**1**) is a representative of such bicyclic systems that can be found in a number of biologically active compounds (**Figure 1**). Notable examples include Bruton tyrosine kinase inhibitor **2** [5], acetylcholine esterase (AChE) inhibitor **3** [6], or chemoattractant receptor-homologous molecule expressed on Th2 cells (CRTh2) antagonist **4** [7]. Despite these success stories, efficient synthetic approaches towards properly functionalized 2-azabicyclo[4.2.0]-octane derivatives are scarce. Thus, 7-functionalized derivative **5** was prepared by the Norrish type II reaction of *N*-tosyl piperidinyl ketone **6** (**Scheme 1**, *A*) [8]. 6-Substituted isomers **7** were synthesized by the intramolecular [2+2] cycloaddition involving keteniminium salt intermediates (**Scheme 1**, *B*) [9].

Recently, our group reported the synthesis of 6-functionalized 2-azabicyclo[3.2.0]heptane derivatives based on the [2+2] cycloaddition of *tert*-butyl vinyl ether and a ketene generated *in situ* from a cinnamic acid derivative, as well as the intramolecular lactam formation as the key steps [10]. In this work, we report an extension of this methodology to 7-substituted 2-azabicyclo[4.2.0]octane derivatives. We demonstrate the applicability of this approach by the preparation of (±)-(1*R*,6*R*,7*R*)-2-azabicyclo[4.2.0]octan-7-ol (**8**) – a promising amino alcohol building block for drug discovery (**Scheme 2**). Notably, none of the literature approaches described in **Scheme 1** is applicable to the synthesis of building block **8**. Meanwhile, favorable physicochemical properties of compound **8** (the molecular weight MW = 175, the calculated 1-octanol – the water partition coefficient logarithm for a model *N*-acetyl derivative cLog*P* = –0.75) and three-dimensional, sp^3-rich, conformationally restricted nature make it a promising building block for the compound library synthesis in drug discovery.

■ Results and discussion

Our synthesis of compound **8** started with the reaction of methyl 5-chloro-5-oxopentanoate (**11**) and *tert*-butyl vinyl ether (**12**) in the presence of Et_3N in toluene at 110 °C (**Scheme 3**). The ketene

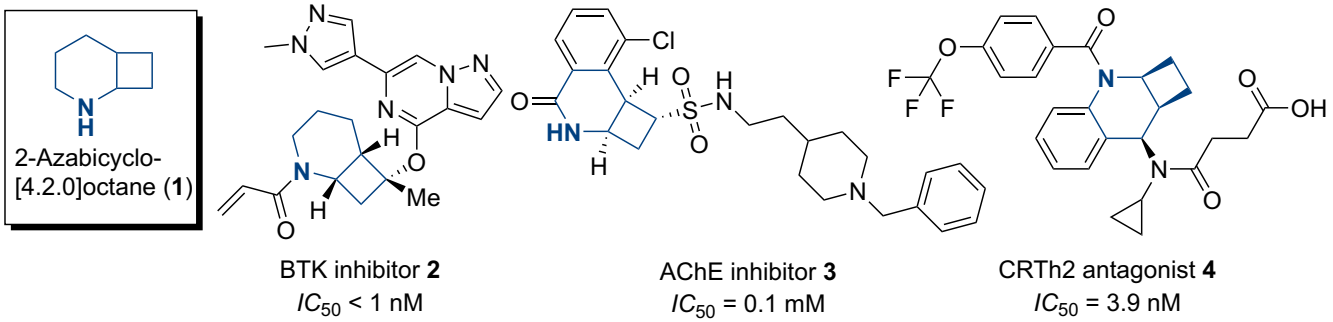
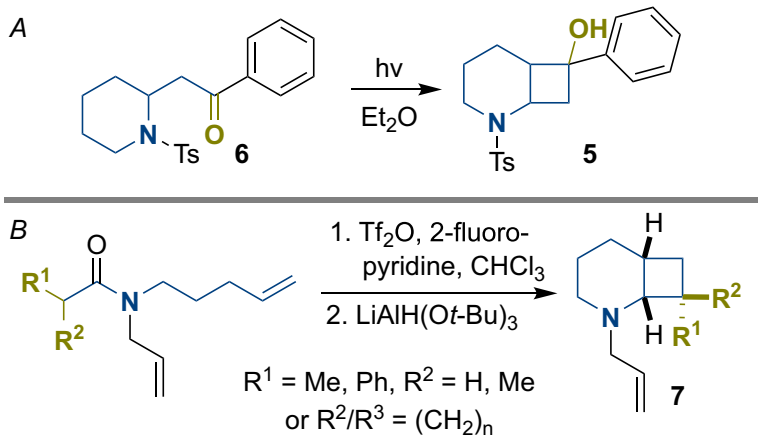


Figure 1. Biologically active 2-azabicyclo[4.2.0]octanes



Scheme 1. The known approaches to the synthesis of 2-azabicyclo[4.2.0]octanes

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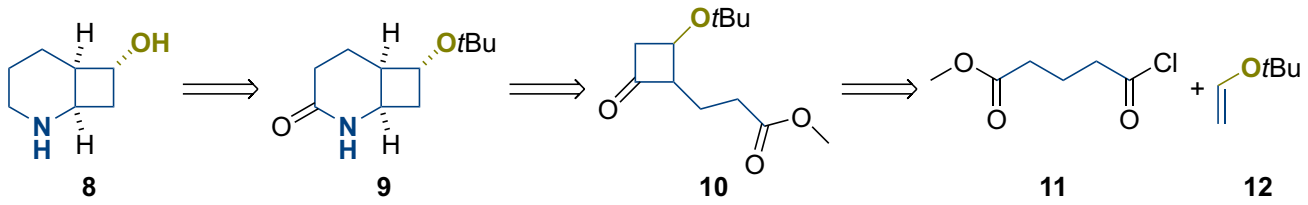
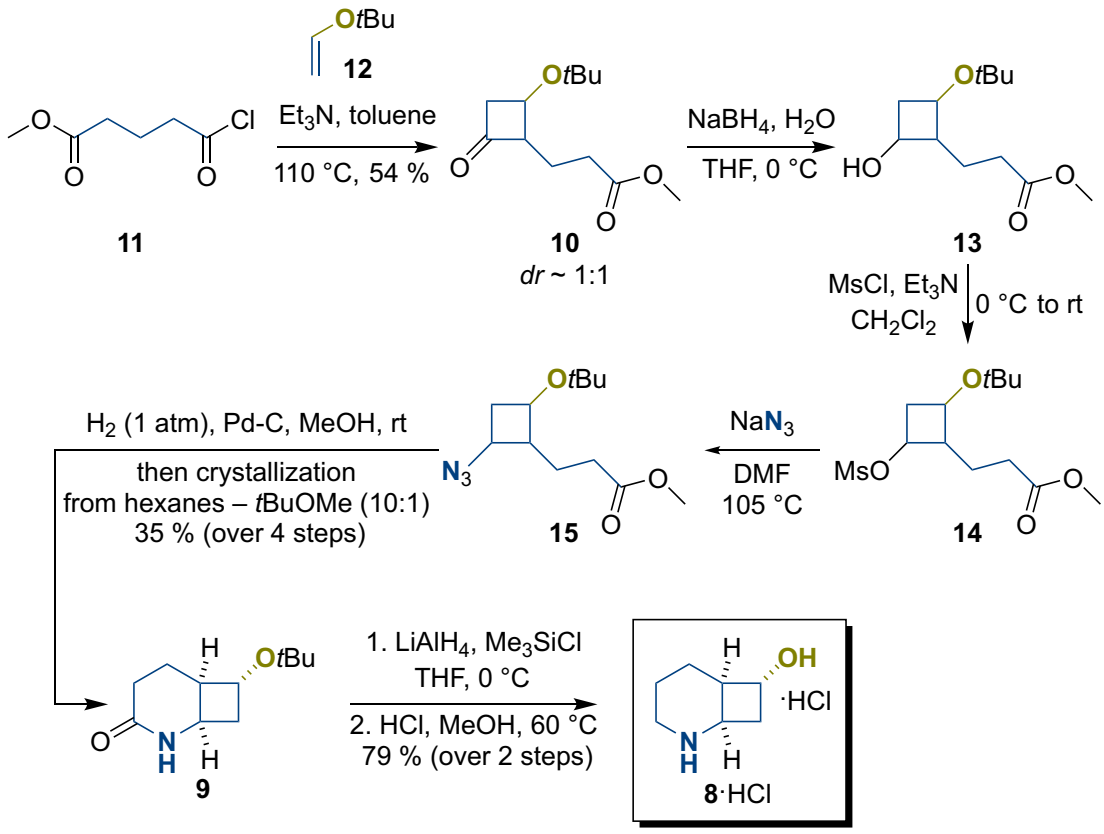


Scheme 2. The retrosynthetic analysis of amino alcohol **8**

intermediate generated from acyl chloride **11** upon the action of Et_3N reacted with electron-rich alkene **12**, which led to the formation of trisubstituted cyclobutane derivative **10** in the yield of 54%. Notably, this transformation required elevated temperature, unlike the case of the lower homolog that reacted at ambient temperature. Unfortunately, the cycloaddition step had a negligible diastereoselectivity (*dr* ~ 1:1), so that even after the chromatographic purification, compound **10** was obtained as a mixture of diastereomers used in further transformation.

We were not discouraged by the stereoselectivity issues and decided to proceed with further chemical transformation, i.e., the reduction with $NaBH_4$, the mesylation, reaction with NaN_3, and the catalytic hydrogenation. Intermediate alcohol **13**, mesylate **14**, and azide **15** were obtained as very complex mixtures of stereoisomers and other by-products and were therefore subjected to the next steps without characterization. To our delight, the catalytic hydrogenation of intermediate **15** was accompanied by the intramolecular lactam formation already at ambient temperature, and its main product **9** differed significantly by physical properties from all by-products obtained from any other possible stereoisomers. This fact enabled an easy separation of compound **9** upon the trituration with an appropriate solvent system, i.e., hexanes – *t*BuOMe (10:1). In this way, lactam **9** was obtained in the yield of 35% over four steps. The final steps of the synthetic sequence included the reduction of compound **9** with *in-situ* generated AlH_3 and removal of the *tert*-butyl protective group, which provided target amino alcohol **8** as hydrochloride (in the yield of 79% over two steps).

Notably, the synthetic scheme demonstrated good scalability: up to 32.7 g of compound **8**×HCl was obtained in a single run. The overall yield of the seven-step synthetic sequence was 15% (average 76% per step).



Scheme 3. The synthesis of amino alcohol **8**

The relative configuration of compound **8**×HCl was confirmed by the nuclear Overhauser effect (NOE) experiments. Thus, the significant NOEs were observed upon the irradiation of H-1 (at H-8a), H-6 (at H-8a), and H-7 (at H-4a and H-8b) protons (**Figure 2**).

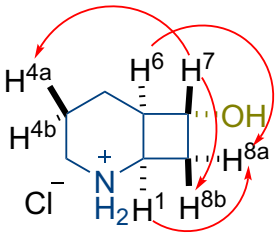


Figure 2. Significant NOEs observed for compound **8**×HCl

■ Conclusions

An efficient synthetic route to (±)-(1*R*,6*R*,7*R*)-2-azabicyclo[4.2.0]octan-7-ol has been developed based on the ketene-alkene [2+2] cycloaddition followed by the intramolecular lactam formation. Although the first stages of the reaction sequence proceeded without a noticeable stereoselectivity, the desired diastereomer could be obtained in high purity due to the favorable physical properties of a bicyclic lactam intermediate that allowed its straightforward separation by crystallization. The method has proven to be practical and scalable, enabling the preparation of multigram amounts of the target amino alcohol as a hydrochloride and providing a convenient entry to functionalized 2-azabicyclo[4.2.0]octane building blocks relevant for medicinal chemistry.

■ Experimental part

General

The solvents were purified according to the standard procedures [11]. Compound **11** and other starting reagents were available commercially and obtained from Enamine Ltd. Melting points were measured on the MPA100 OptiMelt automated melting point system. ^{1}H and ^{13}C{^{1}H} NMR spectra were recorded on a Bruker 170 Avance 500 spectrometer (at 500 MHz for ^{1}H NMR and 126 MHz for ^{13}C{^{1}H} NMR) or a Varian Unity Plus 400 spectrometer (at 400 MHz for ^{1}H NMR and 101 MHz for ^{13}C{^{1}H} NMR), or an Agilent ProPulse 600 spectrometer (at 600 MHz for ^{1}H NMR and 151 MHz for ^{13}C{^{1}H} NMR). NMR chemical shifts are reported in ppm (δ scale) downfield from TMS as a standard, and are referenced using residual NMR solvent peaks in $CDCl_3$ at 7.26 ppm for ^{1}H and 77.16 ppm for ^{13}C{^{1}H} respectively, in DMSO-d_6 at 2.50 ppm for ^{1}H and 39.52 ppm for ^{13}C{^{1}H}. Coupling constants (*J*) are given in Hz. Mass spectra were recorded on an Agilent 1100 LC/MSD SL instrument (APCI atmospheric pressure chemical ionization). High-resolution mass spectra (HRMS) were obtained on an Agilent 1260 Infinity UHPLC instrument coupled with an Agilent 6224 Accurate Mass TOF mass spectrometer.

Methyl 3-(2-(*tert*-butoxy)-4-oxocyclobutyl)-propanoate (10)

In a two-necked reactor, equipped with a magnetic stir bar and a reflux condenser, the solution of *tert*-butyl vinyl ether **12** (138.6 g, 1.38 mol) in toluene (1 L) was prepared. Then Et_3N (133.6 g, 1.32 mol) was added in one portion, and the resulting mixture was gently heated to 110 °C. Methyl 5-chloro-5-oxopentanoate **11** (207 g, 1.26 mol) was added to the reaction mixture in a dropwise manner at the same temperature and then heated to reflux. Upon refluxing for 1 h, the mixture was cooled to room temperature, then diluted with water (600 mL) and concentrated under reduced pressure. The residue was diluted with water (500 mL) and extracted with *t*BuOMe (3×400 mL). The combined organic layers were dried over Na_2SO_4 and concentrated under reduced pressure to give a crude product purified by flash chromatography (hexanes – EtOAc (8:1) as an eluent, R_f = 0.53).

A colorless oil. Yield – 153 g (54%). ^{1}H NMR (500 MHz, $CDCl_3$), δ, ppm: 1.21 (0.5×9H, s, $C(CH_3)_3$), 1.23 (0.5×9H, s, $C(CH_3)_3$), 1.86–1.99 (2H, m, CH_2), 2.37–2.54 (2H, m, CH_2), 2.89–3.02 (1H, m, CH), 3.04–3.09 (0.5×1H, m, CH), 3.21–3.24 (0.5×1H, m, CH) 3.25–3.31 (1H, m, CH), 3.66 (0.5×3H, s, CH_3), 3.67 (0.5×3H, s, CH_3), 3.99–4.03 (0.5×1H, m, CH), 4.42–4.46 (0.5×1H, m, CH). ^{13}C{^{1}H} NMR (126 MHz, $CDCl_3$), δ, ppm: 19.4, 22.3, 28.1, 28.2, 31.1, 31.5, 51.5, 51.6, 54.6, 55.5, 59.1, 62.7, 62.9, 66.2, 74.3, 74.4, 173.3, 173.7, 208.0, 210.1. HRMS (ESI/QTOF), *m*/*z*: calculated for $C_{12}H_{21}O_4^+$ 229.1440 $[M + H]^+$; found 229.1429.

(±)-(1*R*,6*R*,7*R*)-7-(*tert*-Butoxy)-2-azabicyclo[4.2.0]octan-3-one (9)

The mixture of THF (500 mL) and water (500 mL) was prepared, and 153 g (0.670 mol) of ketone **10** was dissolved in this biphasic system. The reaction mixture was cooled to 0 °C, and sodium borohydride (25.3 g, 0.670 mol, 1.0 equiv.) was added portionwise under stirring at the same temperature. The mixture was maintained at 0 °C for 1 h. Afterwards, the reaction was allowed to warm to room temperature, diluted with *t*BuOMe

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(600 mL), and water (400 mL) was added. The aqueous layer was extracted with *t*BuOMe (2 × 250 mL). The combined organic extracts were dried over the anhydrous sodium sulfate, filtered, and concentrated under reduced pressure. Product **13** was obtained as a colorless oil (153 g) and used in the next step without characterization.

Compound **13** (153 g, ca. 0.670 mol) was dissolved in a dry dichloromethane (1 L) and cooled to 0 °C in an ice–water bath. Triethylamine (0.871 mol, 88 g, 122 mL, 1.3 equiv.) was added under stirring, followed by the dropwise addition of methanesulfonyl chloride (0.737 mol, 85 g, 1.1 equiv.) while maintaining the internal temperature below 10 °C. After the completion of the addition, the cooling bath was removed, and the mixture was stirred overnight at room temperature. The reaction mixture was quenched with water, the organic layer was separated and concentrated under reduced pressure. The residue was dissolved in *t*BuOMe (750 mL), extracted with water (2 × 350 mL), dried over Na_2SO_4, filtered, and concentrated under reduced pressure to give crude mesylate **14** (159 g) as a reddish liquid used immediately in the next step.

Mesylate **14** was dissolved in DMF (1 L), and sodium azide (2.01 mol, 131 g, 3.0 equiv.) was added in portions. The suspension was heated to 105 °C and stirred for 40 h. Upon the reaction completion, the mixture was cooled to room temperature, poured onto water (1 L), and extracted with *t*BuOMe (4 × 400 mL). The combined organic extracts were washed with water (3 × 400 mL), dried over Na_2SO_4, filtered, and concentrated under reduced pressure at 30–36 °C. (CAUTION! The concentration should be performed behind a protective shield due to the potential hazard of azide-containing residues). Product **15** was obtained as a yellowish liquid used in the next step without characterization.

The solution of crude azide **15** obtained in the previous step in MeOH (750 mL) was transferred into a high-pressure vessel. Pd/C (10% w/w, 11 g) was added in one portion, and the vessel was evacuated and backfilled with hydrogen from a balloon. The resulting suspension was stirred vigorously under a hydrogen atmosphere at 25 °C for 18–20 h. If the conversion was incomplete (as determined by the ^{1}H NMR analysis of small aliquots), the vessel was re-evacuated and recharged with fresh hydrogen. Upon the completion of the reduction, the catalyst was removed by the filtration through a silica gel pad and washed with MeOH (2 × 250 mL). The combined filtrates were concentrated under reduced pressure. The residue was triturated with hexanes – *t*BuOMe (10:1, 500 mL), and the resulting crystalline solid was collected by the filtration, washed with an additional portion of the same solvent system, and dried under high vacuum (0.075 mmHg) to give lactam **9**.

A brownish solid. Yield – 46.3 g (35 %). M. p. 99–102 °C. ^{1}H NMR (500 MHz, $CDCl_3$), δ, ppm: 1.18 (9H, s, $C(CH_3)_3$), 1.85–1.93 (2H, m, CH_2), 2.18–2.21 (2H, m, CH_2), 2.34–2.45 (2H, m, CH_2), 2.66–2.72 (1H, m, CH), 3.86–3.93 (1H, m, CH), 4.13–4.18 (1H, m, CH), 6.24 (1H, br. s, NH). $^{13}C\{^1H\}$ NMR (126 MHz, $CDCl_3$), δ, ppm: 21.2, 28.4, 29.1, 40.5, 40.9, 44.5, 67.4, 73.7, 172.6. HRMS (ESI/QTOF), *m*/*z*: calculated for $C_{11}H_{20}NO_2^+$ 198.1494 $[M + H]^+$; found 198.1486.

(±)-(1*R*,6*R*,7*R*)-2-azabicyclo[4.2.0]octan-7-ol hydrochloride (8×HCl)

An oven-dried triple-necked reactor was flushed with argon, then THF (800 mL) was added, followed by the portionwise addition of $LiAlH_4$ (16.1 g, 0.423 mol, 1.8 equiv.) under a gentle gas flow. The suspension was cooled to 0 °C, and neat trimethylchlorosilane (45.7 g, 0.423 mol, 1.8 equiv.) was introduced dropwise. The solution of the lactam obtained in the previous step (46.3 g, 0.235 mol) in THF (200 mL) was then added dropwise at the same temperature. The cooling bath was replaced with an oil bath, and the mixture was warmed to 50 °C and stirred for 32 h. Upon the completion, the reaction was cooled to 0 °C in an ice/water bath and quenched by a careful addition of the THF/water mixture (50 mL, 1:1 v/v) followed by a dropwise addition of 7 M aqueous KOH (50 mL). The solids precipitated were filtered, and the filter cake was washed with *t*BuOMe (3 × 150 mL). The combined organic phases were concentrated under reduced pressure to give the corresponding intermediate as a brownish powder (40.3 g) used directly in the next step without further purification.

The solution of the previously obtained compound in MeOH (700 mL) was prepared, and the anhydrous HCl (ca. 4.0 M in 1,4-dioxane, 700 mL) was added in one portion at room temperature. The resulting mixture was stirred at 60 °C for 40 h. Upon the completion, the reaction mixture was concentrated under reduced pressure. The crude residue was purified by the recrystallization from CH_3CN – *i*PrOH (15:1, 100 mL), and the resulting solid was collected and dried under high vacuum to give product **8**×HCl.

A beige solid. Yield – 32.7 g (79 %). M. p. 179–181 °C (dec). ^{1}H NMR (500 MHz, DMSO-d_6), δ, ppm: 1.45–1.55 (1H, m, CH), 1.57–1.68 (2H, m, CH_2), 1.70–1.83 (1H, m, CH), 1.91–1.99 (1H, m, CH), 2.21–2.26 (1H, m, CH), 2.32–2.37 (1H, m, CH), 2.54–2.67 (1H, m, CH), 3.05–3.08 (1H, m, CH), 3.43–3.50 (1H, m, CH), 4.39–4.35 (1H, m, CH), 5.39 (1H, br s, OH), 8.82 (1H, br s, NH), 9.48 (1H, br s, NH). ^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6), δ, ppm: 18.4, 20.6, 34.9, 41.5, 42.2, 44.4, 65.5. HRMS (ESI/QTOF), *m*/*z*: calculated for $C_7H_{15}NO^+$ 128.1075 $[M + H]^+$; found 128.1069.

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Information about the authors:
Danylo A. Nosyk, Ph.D. Student of Institute of the Organic Chemistry, National Academy of Sciences of Ukraine; Sales Manager at Enamine Ltd.; Former Student of the Taras Shevchenko National University of Kyiv; https://orcid.org/0009-0009-3406-6554.
Serhii Yu. Lukyanenko, Head of the Laboratory at Enamine Ltd.
Dmytro S. Granat, Ph.D. in Organic Chemistry, Head of the Laboratory at Enamine Ltd.; Researcher at Taras Shevchenko National University of Kyiv; https://orcid.org/0000-0001-5298-051.
Oleksandr O. Yurchenko, Ph. D. in Organic Chemistry, Senior Researcher of the Institute of Organic Chemistry, National Academy of Sciences of Ukraine; Production Manager at Enamine Ltd.; https://orcid.org/0000-0002-5668-8022.
Oleksandr O. Grygorenko (*corresponding author*), Dr. Sci. in Chemistry, Professor, Head of the Organic Chemistry Department and Leading Researcher at Taras Shevchenko National University of Kyiv; Consulting Scientist at Enamine Ltd.; Deputy Director in Research at the Enamine Scientific Research Institute; https://orcid.org/0000-0002-6036-5859; e-mail for correspondence: gregor@univ.kiev.ua.

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