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**SYNTHESIS AND CHARACTERISATION OF SOME NEW
N-GLYCOSIDES CONTAINING SUBSTITUTED
PYRIDOPYRIMIDINONE, PYRIMIDOPYRIDAZINONE,
THIAZOLOPYRIMIDINONE AND QUINOLIZIN-4-ONE MOIETY**

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Abstract – A series of new *N*-glycosides (D-glucosides, D-mannosides, L- and D-arabinosides, D-xylosides and one D-galactoside) containing heterocyclic moiety have been prepared by reaction of the corresponding heterocyclic amines with pyranoses in boiling methanol. The structures of the prepared compounds have been studied by means of proton and carbon NMR spectroscopy. In the most cases the products existed in DMSO solution as the single anomers.

INTRODUCTION

N-Glycosides belong to the compounds with a wide range of biological activity.

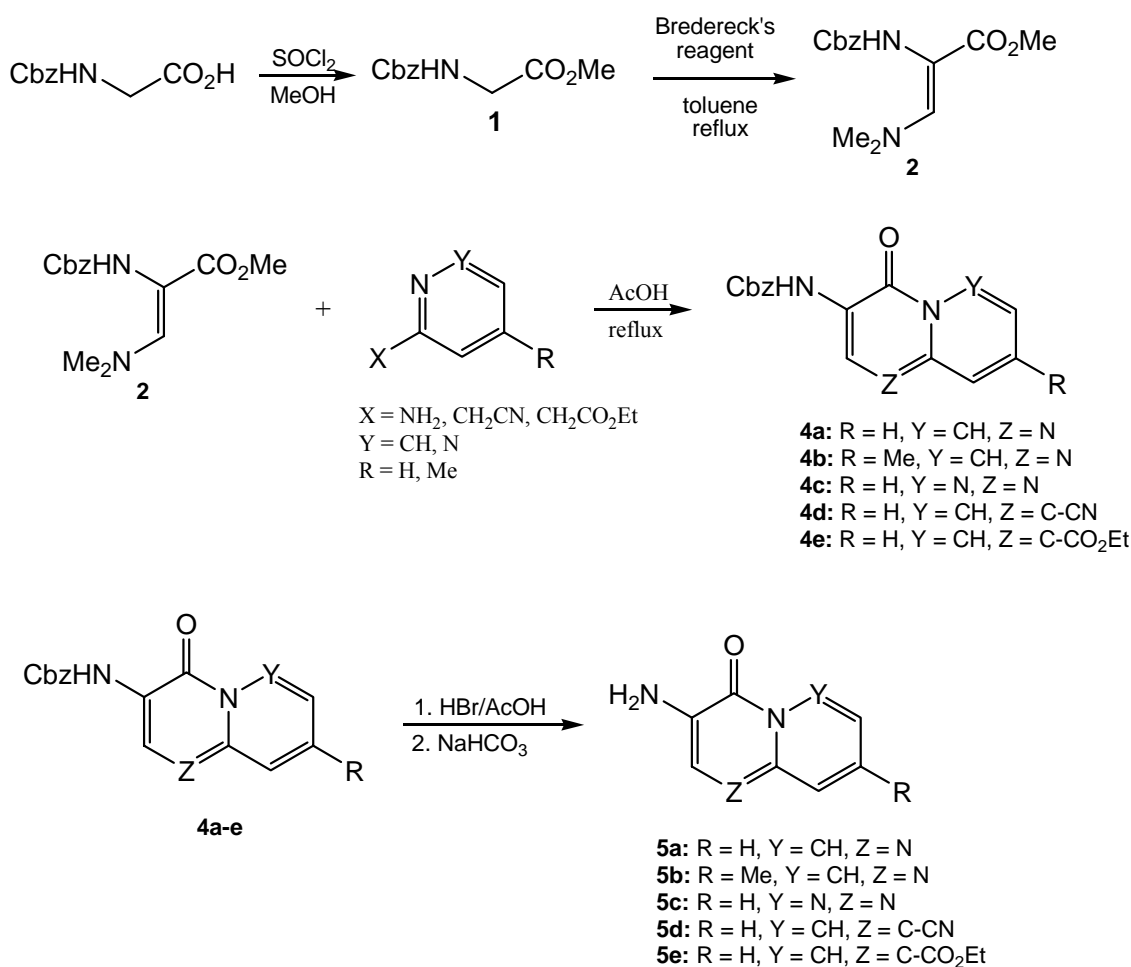
Some *N*-glycosides derived from substituted quinazolines¹ and some substituted *N*-subst.phenyl-D-xylopyranosylamines² show antineoplastic effects. On this account are interesting the indigo *N*-glycosides (blue sugars)³, the analogues of naturally occurring akashins⁴ showing considerable effect against various human cancer cells. Among other *N*-glycosidic indole derivatives with promising cancerostatic effects belong staurosporine, K-252d, rebeccamycine and tjipanazoles.⁵⁻⁷ Antineoplastic activity has also been described at some recently isolated *N*-glycosidic amides ansamytocines.⁸ Some *N*-(β-D-glucopyranosyl)amides belong to the effective inhibitors of the glycogen phosphorylase.⁹ Oligosaccharidic *N*-glycosides have shown to be the convenient precursors for the synthesis of PBC (polyhedral boron compounds) conjugates as the potential agents for BNCT (boron neutron capture

therapy).¹⁰ Recently, a review article¹¹ summarizing the synthesis, structure and evaluation of biological activities of the *N*-glycosides containing quinazolinone skeleton has been published. The promising potential of these compounds as cancerostatic and virostatic agents has been mentioned. Therefore, the synthesis of new *N*-glycoside based compounds is an actual topic.

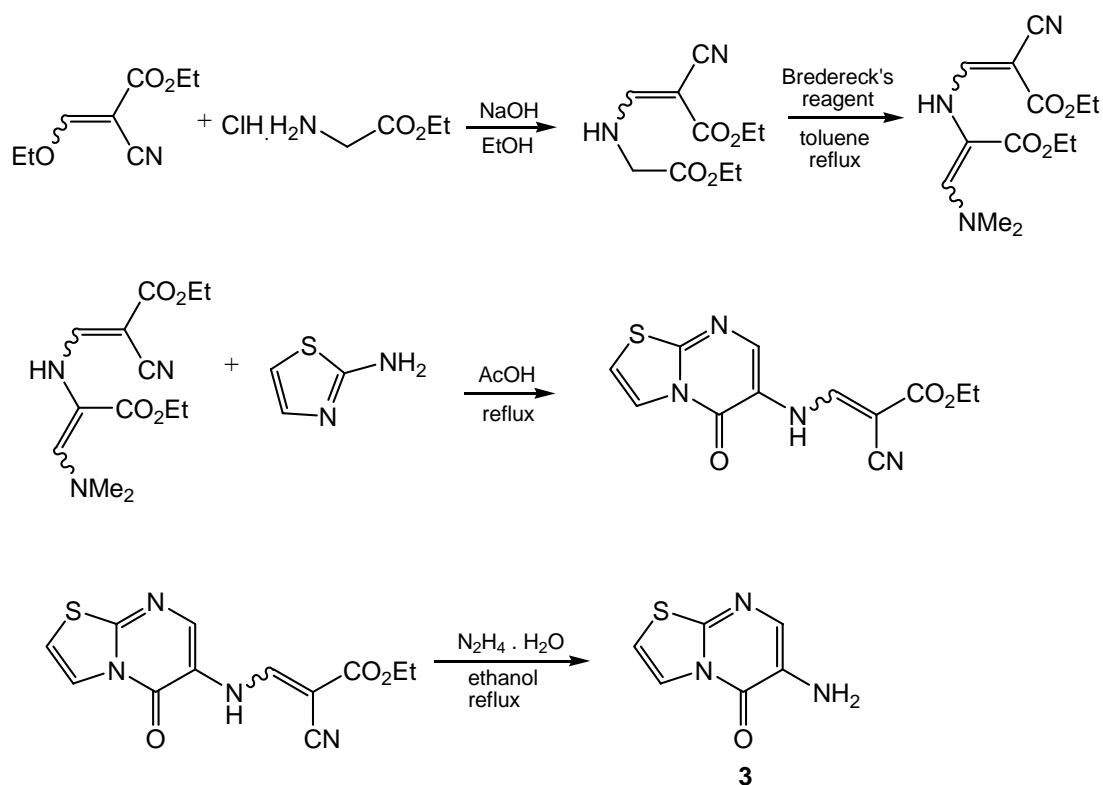
In this work we are dealing with the synthesis and characterisation of some new *N*-glycosides having substituted pyridopyrimidinone, pyrimidopyridazinone, thiazolopyrimidinone and quinolizin-4-one moiety.

RESULTS AND DISCUSSION

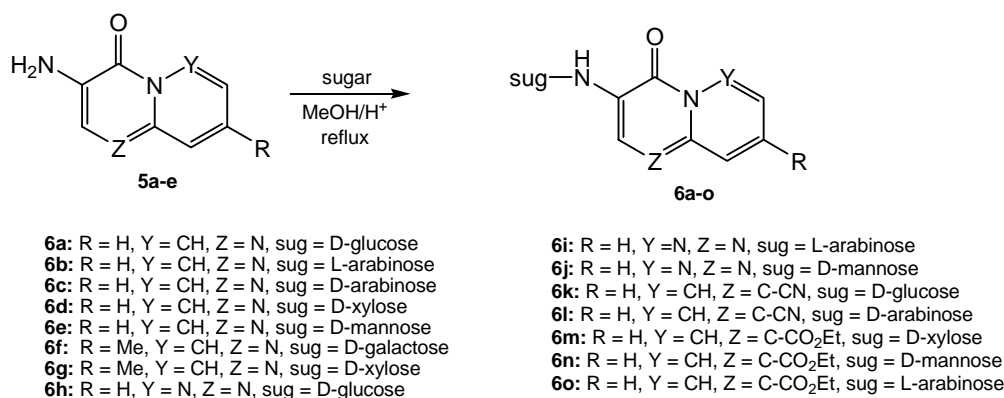
Aglycones have been prepared by the reaction of the corresponding 2-amino-3-dimethylaminopropenoates with ambident nucleophiles according to the procedures described in literature¹²⁻¹⁴ (Schemes 1 and 2).



Scheme 1. Preparation of the aglycones **5a-e**

Scheme 2. Preparation of the aglycone **3**

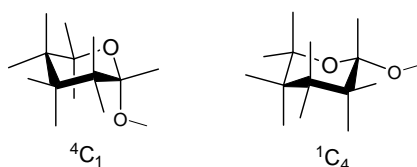
After the protecting group removal the aglycones were subjected to the reaction with the corresponding sugars in boiling methanol in the presence of acetic acid¹⁵ (Scheme 3). The structure of the prepared *N*-glycosides has been studied by ¹H and ¹³C NMR spectroscopy.

Scheme 3. Preparation of the glycosides **6a-p**

In solution, the aldopyranoses can occur in the two anomeric forms, α and β . In addition to that, each form can exist in two conformations, 4C_1 and 1C_4 (Scheme 4).

The abundance of the individual forms is affected by many factors as a solvent, type of the sugar, substituents, temperature, etc. For the structural determination of the saccharidic part of the glycoside, the chemical shift (δ) of the anomeric proton and the value of the vicinal coupling constant ${}^3J_{(H_1,H_2)}$ have been used as the most important NMR parameters. The coupling constant value indicates the relative orientation of the two protons according to the Karplus equation. The largest values are reached for the diaxial configuration (7–8 Hz), smaller (~ 4 Hz) for the equatorial-axial arrangement and even smaller (< 2 Hz) for the axial-equatorial or the equatorial-equatorial oriented protons.¹⁶

The chemical shift of the anomeric protons has been assigned on the basis of 2D 1H – ${}^{13}C$ HMQC pulse sequence by its correlation with the anomeric carbons signals having the characteristic chemical shift (between 80–90 ppm).



Scheme 4.

Five glycosides existed in DMSO solution as a anomeric mixture (**6a–d** and **6i**). Except **6d**, the α anomer predominated. The compounds **6e–h** and **6j–p** existed in DMSO solution as pure anomers. The parameters relevant to the determination of the structure of the glycosidic part are shown in the Table 1.

D-Glucosides: The product **6a** exists in DMSO solution as a mixture of anomers α and β in the rate of 11:1. Based on 1H – ${}^{13}C$ HMQC spectrum, this compound has the anomeric proton as dd with chemical shift 4.97 ppm and coupling constants 4.5 and 1.5 Hz. The larger one corresponds rather to the eq-ax arrangement. The structure of the glycosidic part corresponds then to the α - ${}^4C_1(D)$ conformation. The anomeric proton of the minor component is difficult to determine due to its low abundance. Probably it is dd with δ 4.44 and coupling constant 6.5–7.5 Hz (difficult to decide due to the low abundance). These data correspond to β - ${}^4C_1(D)$. Compounds **6h**, **k** and **p** exist in DMSO solution as the single anomers. According to the δ and coupling constants (4.31–4.45 ppm, 6.5–7.6 Hz) the structure of the compounds is β - ${}^4C_1(D)$.

L-Arabinosides: for compounds **6b** and **i** the structure α - ${}^4C_1(L)$ predominates. The α/β ratios are in DMSO solution 7:1 (**6b**) and 2:1 (**6i**). The minor component of **6i** has anomeric proton with δ 5.06 (dd with the coupling constants values 8.8 and 1.4 Hz). The larger one corresponds to the interaction with NH proton (NH proton was identified by 1H – ${}^{15}N$ HMBC). The smaller one corresponds to the β - ${}^1C_4(L)$. This

is maybe also supported by the untypical chemical shift of the anomeric carbon of the minor form (78.7 ppm against 88.7 for β - $^4C_1(L)$ **6b**), as well as by $^1J(^{13}C, ^1H)$ (156.8 Hz vs. 161.3 Hz at **6b**). The minor component of **6b** is β - $^4C_1(L)$, the coupling constant could not be read due to the signal overlap. The anomeric configuration β is evidenced by the δ value 5.23–5.24. The product **6o** exists in DMSO solution as a single anomer. The comparison of the anomeric carbon chemical shift with **6b** and **6i** (83.6 vs. 83.8 resp. 83.5) gives α - $^4C_1(L)$. Due to the signals overlap the value of the anomeric proton can be only guessed by comparison with **6b** and **6i** as 4.67–4.69 ppm.

D-Arabinosides: **6c** exists in DMSO solution as a mixture of α/β isomers in ratio 7:1. Based on the coupling constant (7.3 Hz) it can be concluded on the ax-ax arrangement. It is possible only for α - $^1C_4(D)$. This structure is mentioned in literature¹⁷ to be more stable for D-arabinose. The minor component is β - $^1C_4(D)$, it is in accordance with the coupling constant 3.5 Hz, typical for the eq-ax arrangement. The chemical shifts here show the opposite trend than in the conformations 4C_1 (**6a, d**) where α resonates at lower field than β . The product **6l** exists in DMSO solution as a single anomer. Owing to the signals overlap it was not possible to determine exactly neither the chemical shift nor the coupling constant value of the anomeric proton. The approximate value of $\delta(^1H)$ is 4.68. Based on the comparison of the carbon parameters and the approximate $\delta(^1H)$ with **6c** it is possible to assume to the α - $^1C_4(D)$ arrangement.

D-Xylosides: The major component of **6d** is β - $^4C_1(D)$ (4.5 ppm, 7.5 Hz typical for the ax-ax arrangement). The minor one is then α - $^4C_1(D)$ (5.03 ppm, 4.3 Hz). The anomeric ratio α/β is 1:4. The products **6g** and **6m** exist in DMSO solution as single anomers. According to the relevant NMR parameters (Table 1) both the products have the structure β - $^4C_1(D)$.

D-Mannosides: The products **6e, 6j** and **6n** are single anomers and have the arrangement α - $^1C_4(D)$ (about 10 Hz, typical for the ax-ax arrangement, 4.95–4.98 ppm typical for the α anomer). It is in accordance with literature¹⁷ stating that the D-mannose is more stable in the α configuration.

According to the δ and the coupling constant (4.38 ppm, 8.5 Hz) D-galactoside **6f** has the arrangement β - $^4C_1(D)$. The product exists in DMSO solution as a single anomer.

EXPERIMENTAL

The NMR spectra were measured at laboratory temperature using Bruker AVANCE 500 spectrometer operating at 500.13 MHz (1H), 125.77 MHz (^{13}C) and 50.69 MHz (^{15}N) equipped with 5 mm broadband probe with magnetic field gradients in the direction of the z axis and Bruker Avance DPX 300 spectrometer operating at 300.13 MHz (1H) and 75.48 MHz (^{13}C). The proton NMR spectra were calibrated on the central signal of the solvent multiplet (δ 2.55, DMSO- d_6) and on TMS (δ 0.0, $CDCl_3$).

The ^{13}C NMR spectra were calibrated on the central signal of the solvent multiplet ($\delta = 39.6$ DMSO- d_6 and 76.9 CDCl_3).

The carbon NMR spectra were measured in the standard way and by the APT pulse sequence (spectral width 26.455 kHz, acquisition time 1.238 s, zero filling to 64 k and line broadening 1 Hz prior to Fourier transformation). 2D g_s ^1H - ^{13}C HMQC experiment was performed with the one bond CH coupling 145 Hz, $2\text{k} \times 128$ zero filled to $2\text{k} \times 1\text{k}$, sinebell squared in both dimensions and processed in magnitude mode. 1J (^{13}C , ^1H) coupling constants were taken from the gated decoupled carbon spectra (spectral width 30.03 kHz, acquisition time 1.091 s, zero filling to 64k and line broadening 5 Hz prior to Fourier transformation).

The pulse programs were taken from Bruker software library.

Table 1: Relevant NMR parameters of the glycosides 6a-p

Compound	Sugar	$\delta(\text{H}_1)$	$^3J(\text{H}_1, \text{H}_2)$ Hz	$\delta(\text{C}_1)$	$^1J(\text{C}_1, \text{H}_1)$ Hz	α/β ratio	Structure
6a	D-glu	4.97	4.5	83.2	161.1	11:1	α - $^4\text{C}_1(\text{D})$
		4.44*	6.8–7.8				β - $^4\text{C}_1(\text{D})$
6b	L-ara	4.67	7.5	83.8	154.8	7:1	α - $^4\text{C}_1(\text{L})$
		5.23	**	88.7	161.3		β - $^4\text{C}_1(\text{L})$
6c	D-ara	4.67	7.3	83.9	155.4	7:1	α - $^1\text{C}_4(\text{D})$
		5.25	3.5	88.7	162.1		β - $^1\text{C}_4(\text{D})$
6d	D-xylo	5.03	4.3	81.4	159.7	1:4	α - $^4\text{C}_1(\text{D})$
		4.50	7.2	85.5	153.8		β - $^4\text{C}_1(\text{D})$
6e	D-man	4.98	10.3	80.5	151.4		α - $^1\text{C}_4(\text{D})$
6f	D-gala	4.38	8.5	86.0			β - $^4\text{C}_1(\text{D})$
6g	D-xylo	4.45	7.1	85.6			β - $^4\text{C}_1(\text{D})$
6h	D-glu	4.47	7.2	84.8	151.0		β - $^4\text{C}_1(\text{D})$
6i	L-ara	4.66	6.5–7 **	83.5	152.8	2:1	α - $^4\text{C}_1(\text{L})$
		5.06	1.4	78.7	156.8		β - $^1\text{C}_4(\text{L})$
6j	D-man	4.98	9.9	80.3	151.9		α - $^1\text{C}_4(\text{D})$
6k	D-glu	4.50	6.5	84.8	151.6		β - $^4\text{C}_1(\text{D})$
6l	D-ara	4.68**	**	83.5	153.9		α - $^1\text{C}_4(\text{D})$
6m	D-xylo	4.44	6.9	85.9	152.5		β - $^4\text{C}_1(\text{D})$
6n	D-man	4.95	9.9	80.9			α - $^1\text{C}_4(\text{D})$
6o	L-ara	4.68**	**	83.6			α - $^4\text{C}_1(\text{L})$
6p	D-glu	4.31	7.6	85.6	150.0		β - $^4\text{C}_1(\text{D})$

* Not possible to determine with certainty due to low abundance.

** Not possible to determine due to the signals overlap.

High resolution mass spectra were measured using AutoSpecQ spectrometer. The melting points were measured on a Kofler hot stage microscope and were not corrected.

Methyl *N*-benzyloxycarbonylglycinate (1): Thionyl chloride (10 mL) was added dropwise to a cooled methanolic solution of *N*-benzyloxycarbonylglycine (20.9 g, 0.1 mol, 500 mL MeOH). Reaction mixture was then stirred for additional 3 h at laboratory temperature. Volatile components were evaporated *in vacuo*. The oily residue was dissolved in CH₂Cl₂ (120 mL) and shaken with 3% HCl (60 mL) and saturated aqueous solution of NaHCO₃ (60 mL). Organic phase was dried by anhydrous Na₂SO₄ and evaporated *in vacuo* to give oily residue (1) in 94% yield.

¹H NMR (300.13 MHz, CDCl₃): δ (ppm) 7.30–7.36 (m, 5H), 5.35 (br s, 1H), 5.12 (s, 2H), 3.97 (d, 2H, *J* = 5.7 Hz), 3.74 (s, 3H).

Methyl (*Z*)-2-Benzyloxycarbonylamino-3-dimethylaminopropenoate (2): A mixture of (1) (14.87 g, 0.067 mol) and Brederick's reagent (17.9 mL, 0.086 mol) in dry toluene (50 mL) was heated in oil bath to reflux for 2 h. Volatile components were evaporated *in vacuo* and solid product was washed by Et₂O (50 mL). Yield 82 %. Mp 108–109 °C, ref.¹⁴ gives mp 107–109 °C.

¹H NMR (300.13 MHz, CDCl₃): δ (ppm) 7.25–7.33 (m, 5H), 5.53 (br s, 1H), 5.12 (s, 2H), 3.63 (s, 3H), 2.97 (s, 6H).

6-Amino-5*H*-thiazolo[3,2-*a*]pyrimidin-5-one (3): This compound was prepared by the same procedure described in ref.¹³ (Scheme 2).

Reaction of (2) with nucleophiles

General procedure

Mixture of (2) (15 mmol) and corresponding nucleophile (15 mmol) in acetic acid (25 mL) was heated to reflux for several hours. Mixture was then cooled and volatile components were evaporated *in vacuo*. Solid residue was washed by Et₂O and dried.

The following compounds were prepared in this manner:

3-[(Benzyloxycarbonyl)amino]-4*H*-pyrido[1,2-*a*]pyrimidin-4-one (4a): From 2-aminopyridine. Reflux 4.5 h. Yield 97 %. Mp 153–155 °C, ref.¹² gives mp 146–148 °C.

¹H NMR (300.13 MHz, CDCl₃): δ (ppm) 9.20 (br s, 1H), 8.88 (d, 1H, *J* = 7.2 Hz), 7.50–7.63 (m, 3H), 7.27–7.40 (m, 5H), 7.03–7.08 (m, 1H), 5.21 (s, 2H).

3-[(Benzyloxycarbonyl)amino]-8-methyl-4*H*-pyrido[1,2-*a*]pyrimidin-4-one (4b): From 2-amino-4-methylpyridine. Reflux 4 h. Yield 87 %. Mp 177–179 °C, ref.¹² gives mp 178–179 °C.

^1H NMR (300.13 MHz, CDCl_3): δ (ppm) 9.18 (s, 1H), 8.82 (d, 1H, $J = 7.4$ Hz), 7.33–7.44 (m, 7H), 6.94 (dd, 1H, $J = 1.9, 7.4$ Hz), 5.24 (s, 2H), 2.46 (s, 3H).

3-[(Benzyloxycarbonyl)amino]-4H-pyrimido[1,2-*b*]pyridazin-4-one (4c): From 3-aminopyridazine. Reflux 6 h. Yield 93 %. Mp 185–186 °C, ref.¹² gives mp 187–190 °C.

^1H NMR (300.13 MHz, CDCl_3): δ (ppm) 9.17 (br s, 1H), 8.59 (dd, 1H, $J = 1.5, 3.9$ Hz), 7.84 (dd, 1H, $J = 1.5, 9.0$ Hz), 7.23–7.39 (m, 6H), 5.21 (s, 2H).

3-[(Benzyloxycarbonyl)amino]-1-cyano-4H-quinolizin-4-one (4d): From 2-pyridylacetonitrile. Reflux 4 h. Yield 87 %. Mp 211–212 °C, ref.¹⁴ gives mp 212–214 °C.

^1H NMR (300.13 MHz, CDCl_3): δ (ppm) 9.05 (1H, ddd, $J = 0.8, 1.3, 7.4$ Hz), 8.77 (1H, s), 7.95 (1H, ddd, $J = 0.8, 1.4, 9.1$ Hz), 7.82 (1H, s), 7.51 (1H, ddd, $J = 1.3, 6.7, 9.1$ Hz), 7.36–7.44 (5H, m), 7.15 (1H, ddd, $J = 1.4, 6.7, 7.4$ Hz), 5.25 (2H, s).

3-[(Benzyloxycarbonyl)amino]-1-ethoxycarbonyl-4H-quinolizin-4-one (4e): From ethyl 2-pyridylacetate. Reflux 6 h. Yield 68 %. Mp 178–180 °C, ref.¹⁴ gives mp 177–179 °C.

^1H NMR (300.13 MHz, CDCl_3): δ (ppm) 9.23 (s, 1H), 9.21 (dd, 1H, $J = 1.1, 9.4$ Hz), 9.13 (dd, 1H, $J = 1.1, 6.3$ Hz), 7.79 (s, 1H), 7.47 (ddd, 1H, $J = 1.1, 6.7, 9.4$ Hz), 7.32–7.45 (m, 5H), 7.12 (ddd, 1H, $J = 1.3, 6.3, 6.7$ Hz), 5.27 (s, 2H), 4.40 (q, 2H, $J = 7.1$ Hz), 1.43 (t, 3H, $J = 7.1$ Hz).

Removing of the benzyloxycarbonyl protecting group

General procedure

A mixture of (**4**) and hydrobromic acid (33% solution in acetic acid, 2 mL per 1 mmol of **4**) was heated in water bath to 50–60 °C for 2 h. Mixture was cooled and resulting dihydrobromide was collected by suction. Dihydrobromide was then treated with saturated aqueous solution of NaHCO_3 until foaming was over. Resulting solution was extracted several times by 100 mL of CH_2Cl_2 . Extract was dried over anhydrous Na_2SO_4 and solvent was evaporated *in vacuo*.

The following compounds were prepared in this way:

3-Amino-4H-pyrido[1,2-*a*]pyrimidin-4-one (5a): Yield 88 %. Mp 177–180 °C, ref.¹² gives mp 176–178 °C.

^1H NMR (300.13 MHz, $\text{DMSO-}d_6$): δ (ppm) 8.72–8.75 (m, 1H), 7.90 (s, 1H), 7.42–7.45 (m, 2H), 7.07–7.12 (m, 1H), 5.18 (br s, 2H).

3-Amino-8-methyl-4H-pyrido[1,2-a]pyrimidin-4-one (5b): Yield 78 %. Mp 223–225 °C, ref.¹³ gives mp 225–226 °C.

¹H NMR (300.13 MHz, CDCl₃): δ (ppm) 8.79 (d, 1H, *J* = 7.5 Hz), 7.97 (s, 1H), 7.28 (s, 1H), 6.83 (dd, 1H, *J* = 1.8, 7.5 Hz), 3.92 (s, 2H), 2.41 (s, 3H).

3-Amino-4H-pyrimido[1,2-b]pyridazin-4-one (5c): Yield 31 %. Mp 251–253 °C, ref.¹² gives mp 253–256 °C.

¹H NMR (300.13 MHz, DMSO-*d*₆): δ (ppm) 8.58 (dd, 1H, *J* = 1.8, 4.1 Hz), 7.81 (s, 1H), 7.77 (dd, 1H, *J* = 1.8, 9.3 Hz), 7.21 (dd, 1H, *J* = 4.2, 9.2 Hz), 5.60 (br s, 2H).

3-Amino-1-cyano-4H-quinolizin-4-one (5d): Yield 93 %. Mp 202–204 °C, ref.¹⁴ gives mp 204–205 °C.

¹H NMR (DMSO-*d*₆): δ (ppm) 8.82 (dd, 1H, *J* = 1.1, 7.5 Hz), 7.68 (dd, 1H, *J* = 1.5, 9.1 Hz), 7.38 (ddd, 1H, *J* = 1.1, 6.8, 9.1 Hz), 7.22 (s, 1H), 7.14 (ddd, 1H, *J* = 1.5, 6.8, 7.5 Hz), 5.64 (s, 2H).

3-Amino-1-ethoxycarbonyl-4H-quinolizin-4-one (5e): Yield 71 %. Mp 135–137 °C, ref.¹⁴ gives mp 136–139 °C.

¹H NMR (DMSO-*d*₆): δ (ppm) 8.91 (dd, 1H, *J* = 1.5, 7.2 Hz), 8.85 (dd, 1H, *J* = 1.5, 9.4 Hz), 7.74 (s, 1H), 7.35 (ddd, 1H, *J* = 1.2, 6.3, 9.3 Hz), 7.14 (ddd, 1H, *J* = 1.5, 6.4, 7.2 Hz), 5.41 (s, 2H), 4.31 (q, 2H, *J* = 7.2 Hz), 1.34 (t, 3H, *J* = 7.2 Hz).

General procedure for synthesis of *N*-glycosides (ref.¹⁵)

A mixture of appropriate heterocyclic amine **5** (1.5 mmol) and sugar (1.5 mmol) was refluxed in MeOH (6 mL) in the presence of acetic acid (0.3 mL) for 2 h. Mixture was then cooled and precipitated product was collected by suction and dried on air.

Following compounds were prepared in this way:

3-[*N*-(D)-Glucopyranosyl]amino-4H-pyrido[1,2-a]pyrimidin-4-one (6a): Yield: 62 %. Mp 200–204 °C. HREIMS: 323.112560 (C₁₄H₁₇N₃O₆, [M]⁺), calc. 323.111736.

¹H NMR (500.13 MHz, DMSO-*d*₆): δ (ppm) 8.79–8.81 (m, α + β), 8.24 (s, 1H, α), 8.01 (s, 1H, β), 7.56–7.60 (m, α + β), 7.20–7.23 (m, α + β), 5.82 (d, 1H, *J* = 6.1 Hz, β), 5.79 (s, 1H, β), 5.66 (d, 1H, *J* = 4.0 Hz, α), 5.43 (d, 1H, *J* = 1.5 Hz, α), 5.30 (d, 1H, *J* = 4.4 Hz, β), 5.08 (d, 1H, *J* = 4.5 Hz, α), 5.00 (d, 1H, *J* = 5.3 Hz, β), 4.97 (dd, 1H, anomeric, *J* = 1.5, 4.5 Hz, α), 4.94 (d, 1H, *J* = 6.0 Hz, α), 4.55 (d, 1H, *J* = 5.5 Hz, β), 4.52 (t, 1H, *J* = 5.6 Hz, α), 4.43–4.46 (m, 1H, β), 3.74–3.78 (m, 1H, β), 3.66–3.70 (m, 1H, α), 3.47–3.59 (m, β + α), 3.22 (d, 2H, *J* = 5.3 Hz, β), 3.15–3.20 (m, 1H, α). ¹³C NMR (125.77 MHz,

DMSO- d_6): δ (ppm) (α -anomer) 152.9, 142.6, 132.1, 130.9, 127.8, 126.2, 125.4, 115.6, 83.2 (anomeric, $^1J(^{13}\text{C}, ^1\text{H}) = 161.1$ Hz), 74.0, 72.3, 70.8, 70.5, 61.2.

3-[*N*-(L)-Arabinopyranosyl]amino-4*H*-pyrido[1,2-*a*]pyrimidin-4-one (6b): Yield: 66 %. Mp 186–189 °C. HREIMS: 293.101850 ($\text{C}_{13}\text{H}_{15}\text{N}_3\text{O}_5$, $[\text{M}]^+$), calc. 293.101171.

^1H NMR (500.13 MHz, DMSO- d_6): δ (ppm) 8.79 (d, $\alpha + \beta$, $J = 7.0$ Hz), 8.04 (s, 1H, β), 7.95 (s, 1H, α), 7.52–7.56 (m, $\alpha + \beta$), 7.16–7.21 (m, $\alpha + \beta$), 6.15 (d, 1H, α , $J = 8.0$ Hz), 6.00 (d, 1H, β , $J = 10$ Hz), 5.46–5.48 (m, 2H, β), 5.23–5.24 (m, $\alpha + \beta$, anomeric β), 5.11 (d, 1H, α , $J = 4.5$ Hz), 4.83 (t, 1H, β , $J = 5.5$ Hz), 4.67 (dd, 1H, α , anomeric, $J = 6.0, 7.5$ Hz), 4.64 (d, 1H, α , $J = 5.5$ Hz), 4.12–4.14 (m, β , 1H), 3.91–3.94 (m, β , 1H), 3.81–3.84 (m, $\alpha + \beta$), 3.73–3.77 (m, $\alpha + \beta$), 3.64–3.68 (m, $\alpha + \beta$), 3.51–3.54 (m, $\alpha + \beta$). ^{13}C NMR (125.77 MHz, DMSO- d_6): δ (ppm) (α anomer) 152.6, 142.1, 130.8, 130.4, 126.7, 126.1, 125.2, 115.4, 83.8 (anomeric, $^1J(^{13}\text{C}, ^1\text{H}) = 154.8$ Hz), 72.3, 70.0, 66.6, 63.7; (β anomer) 152.8, 142.3, 131.3, 130.5, 126.8, 126.1, 125.3, 115.4, 88.7 (anomeric, $^1J(^{13}\text{C}, ^1\text{H}) = 161.3$ Hz), 84.2, 80.2, 76.5, 61.8.

3-[*N*-(D)-Arabinopyranosyl]amino-4*H*-pyrido[1,2-*a*]pyrimidin-4-one (6c): Yield: 70 %. Mp 185–190 °C. HRESIMS: 294.1085 ($\text{C}_{13}\text{H}_{16}\text{N}_5\text{O}$, $[\text{M}+\text{H}]^+$), calc. 294.1090.

^1H NMR (500.13 MHz, DMSO- d_6): δ (ppm) 8.78 (d, $\alpha + \beta$, $J = 7.5$ Hz), 8.04 (s, 1H, β), 7.95 (s, 1H, α), 7.51–7.55 (m, $\alpha + \beta$), 7.16–7.19 (m, $\alpha + \beta$), 6.14 (d, 1H, α , $J = 8.0$ Hz), 5.99 (d, 1H, β , $J = 10.0$ Hz), 5.46–5.48 (m, 2H, β), 5.25 (d, 1H, anomeric β , $J = 3.5$ Hz), 5.23 (d, 1H, α , $J = 5.0$ Hz), 5.10 (d, 1H, $J = 4.5$ Hz), 4.83 (t, 1H, β , $J = 5.5$ Hz), 4.67 (dd, 1H, anomeric α , $J = 6.5, 7.3$ Hz), 4.64 (d, 1H, α , $J = 5.0$ Hz), 4.12–4.15 (m, 1H, β), 3.92–3.94 (m, 1H, β), 3.80–3.85 (m, $\alpha + \beta$), 3.74–3.78 (m, $\alpha + \beta$), 3.64–3.69 (m, 2H, α), 3.51–3.54 (m, $\alpha + \beta$). ^{13}C NMR (125.77 MHz, DMSO- d_6): δ (ppm) (α anomer) 152.6, 142.1, 130.8, 130.4, 126.8, 126.1, 125.2, 115.4, 83.9 (anomeric $^1J(^{13}\text{C}, ^1\text{H}) = 155.4$ Hz), 72.4, 70.0, 66.7, 63.7; (β anomer) 152.8, 142.3, 131.4, 130.5, 126.8, 126.1, 125.3, 115.4, 88.7 (anomeric, $^1J(^{13}\text{C}, ^1\text{H}) = 162.1$ Hz), 84.2, 80.2, 76.6, 61.8.

3-[*N*-(D)-Xylopyranosyl]amino-4*H*-pyrido[1,2-*a*]pyrimidin-4-one (6d): Yield: 75 %. Mp 171.5–178 °C. HRESIMS: 294.1078 ($\text{C}_{13}\text{H}_{16}\text{N}_3\text{O}_5$, $[\text{M}+\text{H}]^+$), calc. 294.1090.

^1H NMR (500.13 MHz, DMSO- d_6): δ (ppm) 8.78–8.79 (m, $\alpha + \beta$), 8.03 (s, 1H, α), 7.95 (s, 1H, β), 7.52–7.57 (m, $\alpha + \beta$), 7.16–7.21 (m, $\alpha + \beta$), 5.87 (d, 1H, β , NH, $J = 6.9$ Hz), 5.50 (d, 1H, α , NH, $J = 5.5$ Hz), 5.46 (d, α , $J = 5.5$ Hz), 5.30–5.32 (m, $\alpha + \beta$), 5.20 (d, 1H, β , $J = 2.7$ Hz), 5.10 (d, $\beta + \alpha$, $J = 4.4$ Hz), 5.03 (t, 1H, anomeric α , $J = 4.3$ Hz), 4.50 (t, 1H, anomeric β , $J = 7.2$ Hz), 4.04–4.12 (m, 1H, α), 3.76 (dd, 1H, β , $J = 4.9, 11.0$ Hz), 3.67 (dd, 1H, α , $J = 4.0, 11.2$ Hz), 3.58–3.64 (m, 2H, α), 3.40–3.45 (m, 1H, β), 3.32–3.37 (m, 3H, β). ^{13}C NMR (125.77 MHz, DMSO- d_6): δ (ppm) (β -anomer) 152.6, 142.4, 131.0, 130.6,

127.2, 126.2, 125.4, 115.5, 85.5 (anomeric $^1J(^{13}\text{C}, ^1\text{H}) = 153.8$ Hz), 77.0, 72.6, 69.9, 66.3; (α -anomer) 152.9, 142.6, 131.5, 130.9, 127.0, 126.2, 125.4, 115.6, 81.4 (anomeric, $^1J(^{13}\text{C}, ^1\text{H}) = 159.7$ Hz), 72.2, 70.7, 69.3, 63.0.

3-[N-(D)-Mannopyranosyl]amino-4H-pyrido[1,2-a]pyrimidin-4-one (6e): Yield: quantitative. Mp 179–189 °C. HRESIMS: 324.1187 ($\text{C}_{16}\text{H}_{18}\text{N}_3\text{O}_6^+$, $[\text{M}+\text{H}]^+$), calc. 324.1196.

^1H NMR (500.13 MHz, $\text{DMSO}-d_6$): δ (ppm) 8.79 (d, 1H, $J = 7.3$ Hz), 8.01 (s, 1H), 7.55–7.56 (m, 2H), 7.18–7.21 (m, 1H), 5.69 (d, 1H, $J = 10.4$ Hz), 5.23 (br s, 1H), 4.98 (d, 1H, anomeric, $J = 10.3$ Hz), 4.83 (br s, 2H), 4.43 (br s, 1H), 3.85 (s, 1H), 3.72 (d, 1H, $J = 11.7$ Hz), 3.45–3.50 (m, 2H coincidence with the water signal), 3.26–3.30 (m, 2H). ^{13}C NMR (125.77 MHz, $\text{DMSO}-d_6$): δ (ppm) 152.8, 142.3, 131.8, 130.8, 126.3, 126.1, 125.4, 115.7, 80.5 (anomeric, $^1J(^{13}\text{C}, ^1\text{H}) = 151.4$ Hz), 78.1, 74.5, 70.9, 67.3, 61.4.

3-[N-(D)-Galactopyranosyl]amino-8-methyl-4H-pyrido[1,2-a]pyrimidin-4-one (6f): Yield 77 %. Mp 197–198 °C. HRESIMS: 338.1360 ($\text{C}_{15}\text{H}_{20}\text{N}_3\text{O}_6$ $[\text{M}+\text{H}]^+$), calc. 338.1352.

^1H NMR (300.13 MHz, $\text{DMSO}-d_6$): δ (ppm) 8.74 (d, 1H, $J = 7.5$ Hz), 7.98 (s, 1H), 7.37 (br s, 1H), 7.07 (dd, 1H, $J = 1.8, 7.5$ Hz), 5.64 (d, 1H, $J = 6.0$ Hz), 5.14 (d, 1H, $J = 5.5$ Hz), 4.81 (d, 1H, $J = 5.6$ Hz), 4.62–4.65 (m, 1H), 4.42 (d, 1H, $J = 4.8$ Hz), 4.38 (dd, 1H, anomeric, $J = 6.1, 8.5$ Hz), 3.75–3.78 (m, 1H), 3.50–3.67 (m, 4H), 3.44–3.48 (m, 1H), 2.42 (s, 3H). ^{13}C NMR (75.48 MHz, $\text{DMSO}-d_6$): δ (ppm) 152.6, 142.5, 141.4, 131.7, 126.7, 124.7, 123.7, 118.1, 86.0 (anomeric), 76.1, 73.9, 69.9, 68.7, 60.7, 20.5.

3-[N-(D)-Xylopyranosyl]amino-8-methyl-4H-pyrido[1,2-a]pyrimidin-4-one (6g): Yield 76 %. Mp 189–191 °C. HRESIMS 308.1256 ($\text{C}_{14}\text{H}_{18}\text{N}_3\text{O}_5$ $[\text{M}+\text{H}]^+$), calc. 308.1246.

^1H NMR (300.13 MHz, $\text{DMSO}-d_6$): δ (ppm) 8.73 (d, 1H, $J = 7.5$ Hz), 7.91 (s, 1H), 7.38 (br s, 1H), 7.07 (dd, 1H, $J = 1.9, 7.5$ Hz), 5.72 (d, 1H, $J = 6.8$ Hz), 5.25 (d, 1H, $J = 5.2$ Hz), 5.12 (d, 1H, $J = 4.0$ Hz), 5.03 (d, 1H, $J = 4.7$ Hz), 4.45 (t, 1H, anomeric, $J = 7.1$ Hz), 3.74 (dd, 1H, $J = 4.3, 6.1$ Hz), 3.27–3.34 (m, 4H), 2.42 (s, 3H). ^{13}C NMR (75.5 MHz, $\text{DMSO}-d_6$): δ (ppm) 152.6, 142.6, 141.6, 131.5, 126.4, 124.7, 123.7, 118.1, 85.6 (anomeric), 76.9, 72.6, 69.9, 66.2, 20.5.

3-[N-(D)-Glucopyranosyl]amino-4H-pyrimido[1,2-a]pyridazin-4-one (6h): Yield: 55.5 %. Mp 214–221 °C. HRESIMS: 325.1140 ($\text{C}_{13}\text{H}_{17}\text{N}_4\text{O}_6$, $[\text{M}+\text{H}]^+$), calc. 325.1148.

^1H NMR (500.13 MHz, $\text{DMSO}-d_6$): δ (ppm) 8.68 (dd, 1H, $J = 1.4, 3.9$ Hz), 7.89 (s, 1H), 7.87 (dd, 1H, $J = 1.4, 9.2$ Hz), 7.36 (dd, 1H, $J = 4.0, 9.2$ Hz), 6.19 (d, 1H, $J = 6.1$ Hz), 5.34 (d, 1H, $J = 4.6$ Hz), 5.14 (d, 1H, $J = 2.9$ Hz), 5.06 (d, 1H, $J = 5.3$ Hz), 4.59 (t, 1H, $J = 5.7$ Hz), 4.47 (t, 1H, anomeric, $J = 7.2$ Hz), 3.74–3.77 (m, 1H), 3.46–3.51 (m, 1H), 3.32–3.40 (m, 3H), 3.17–3.21 (m, 1H). ^{13}C NMR (125.77 MHz,

DMSO- d_6): δ (ppm) 153.4, 146.6, 139.3, 134.7, 131.6, 128.5, 122.0, 84.8 (anomeric $^1J(^{13}\text{C}, ^1\text{H}) = 151.0$ Hz), 77.9, 77.3, 72.7, 70.4, 61.1.

3-[N-(L)-Arabinopyranosyl]amino-4H-pyrimido[1,2-*a*]pyridazin-4-one (6i): Yield: 68 %. Mp 196–202 °C. HRESIMS: 295.1055 ($\text{C}_{12}\text{H}_{15}\text{N}_4\text{O}_5$, $[\text{M}+\text{H}]^+$), calc. 295.1042.

^1H NMR (500.13 MHz, DMSO- d_6): δ (ppm) 8.67–8.69 (m, $\alpha + \beta$), 7.83–7.90 (m, $\alpha + \beta$), 7.33–7.38 (m, $\alpha + \beta$), 6.47 (d, 1H, NH α , $J = 7.9$ Hz), 5.86 (d, 1H, NH β , $J = 8.9$ Hz), 5.67 (d, 1H, β , $J = 5.0$ Hz), 5.29 (br s, 1H, α), 5.19 (br s, 1H, α), 5.06 (dd, 1H anomeric, β , $J = 1.4, 8.8$ Hz), 5.03 (br s, 1H, β), 4.66–4.68 (m, anomeric, α , $J = 6.5$ –7 Hz impossible to determine exactly due to signal overlap), 3.81–3.85 (m, $\alpha + \beta$), 3.76–3.77 (m, $\alpha + \beta$), 3.63–3.68 (m, $\alpha + \beta$), 3.51–3.55 (m, $\alpha + \beta$). ^{13}C NMR (125.77 MHz, DMSO- d_6): δ (ppm) (α -anomer) 153.5, 146.5, 139.2, 134.7, 131.0, 128.1, 121.9, 83.5 (anomeric, $^1J(^{13}\text{C}, ^1\text{H}) = 152.8$ Hz), 72.3, 69.9, 64.6, 63.6; (β -anomer) 153.7, 146.6, 139.5, 134.7, 130.8, 128.8, 122.2, 78.7 (anomeric $^1J(^{13}\text{C}, ^1\text{H}) = 156.8$ Hz), 70.5, 70.3, 66.7, 63.9.

3-[N-(D)-Mannopyranosyl]amino-4H-pyrimido[1,2-*a*]pyridazin-4-one (6j): Yield: 74 %. Mp 210–217 °C. HRESIMS: 347.0981 ($\text{C}_{13}\text{H}_{16}\text{N}_4\text{O}_6\text{Na}^+$, $[\text{M}+\text{Na}]^+$), calc. 347.0968.

^1H NMR (500.13 MHz, DMSO- d_6): δ (ppm) 8.67–8.68 (m, 1H), 7.89 (s, 1H), 7.85 (dd, 1H, $J = 1.5, 9.2$ Hz), 7.35 (dd, 1H, $J = 4.0, 9.2$ Hz), 5.96 (d, 1H, $J = 9.9$ Hz), 5.32 (br s, 1H), 4.98 (d, 1H, anomeric, $J = 9.9$ Hz), 4.89 (br s, 2H), 4.50 (br s, 1H), 3.86 (s, 1H), 3.74 (d, 1H, $J = 11.3$ Hz), 3.42–3.51 (m, 2H), 3.28–3.32 (m, 1H). ^{13}C NMR (125.77 MHz, DMSO- d_6): δ (ppm) 153.5, 146.6, 139.3, 134.6, 130.5, 128.9, 122.2, 80.3 (anomeric, $^1J(^{13}\text{C}, ^1\text{H}) = 151.9$ Hz), 78.2, 74.4, 70.7, 67.2, 61.4.

3-[N-(D)-Glucopyranosyl]amino-1-cyano-4H-quinolizin-4-one (6k): Yield 77 %. Mp 197–199 °C. HREIMS: 347.112210 ($\text{C}_{16}\text{H}_{17}\text{N}_3\text{O}_6^+$, $[\text{M}]^+$), calc. 347.111736.

^1H NMR (500.13 MHz, DMSO- d_6): δ (ppm) 8.91 (d, 1H, $J = 7.3$ Hz), 7.78 (d, 1H, $J = 9.0$ Hz), 7.50–7.53 (m, 1H), 7.40 (s, 1H), 7.25 (t, 1H, $J = 6.9$ Hz), 6.21 (d, 1H, $J = 6.1$ Hz), 5.32 (d, 1H, $J = 4.4$ Hz), 5.11 (d, 1H, $J = 3.5$ Hz), 5.04 (d, 1H, $J = 5.3$ Hz), 4.58 (t, 1H, $J = 5.6$ Hz), 4.50 (t, 1H, anomeric, $J = 6.5$ Hz), 3.74–3.78 (m, 1H), 3.46–3.51 (m, 1H), 3.42–3.45 (m, 1H), 3.30–3.36 (m, 2H), 3.15–3.20 (m, 1H). ^{13}C NMR (125.77 MHz, DMSO- d_6): 152.4, 136.8, 130.6, 128.8, 126.2, 122.7, 118.0, 116.5, 113.6, 84.8 (anomeric, $^1J(^{13}\text{C}, ^1\text{H}) = 151.6$ Hz), 84.6, 77.7, 77.2, 72.8, 70.4, 61.1.

3-[N-(D)-Arabinopyranosyl]amino-1-cyano-4H-quinolizin-4-one (6l): Yield: 70 %. Mp 180–182 °C. HREIMS: 317.101850 ($\text{C}_{15}\text{H}_{15}\text{N}_3\text{O}_5$, $[\text{M}]^+$), calc. 317.101171.

^1H NMR (500.13 MHz, DMSO- d_6): δ (ppm) 8.89 (d, 1H, $J = 7.4$ Hz), 7.77 (d, 1H, $J = 9.0$ Hz), 7.49–7.52 (m, 1H), 7.35 (s, 1H), 7.23 (t, 1H, $J = 7.3$ Hz), 6.54 (d, 1H, $J = 7.6$ Hz), 5.27 (d, 1H, $J = 5.1$ Hz), 5.15 (d, 1H, $J = 4.7$ Hz), 4.67–4.70 (m, 2H, including anomeric), 3.80 (br s, 1H), 3.72–3.76 (m, 1H), 3.63–3.66 (m, 2H), 3.56 (d, 1H, $J = 10.5$ Hz). ^{13}C NMR (125.77 MHz, DMSO- d_6): δ (ppm) 152.4, 136.6, 130.0, 128.7, 126.2, 122.7, 117.9, 116.5, 113.1, 84.4, 83.5 (anomeric, $^1J(^{13}\text{C}, ^1\text{H}) = 153.9$ Hz), 72.4, 69.8, 66.7, 63.9.

3-[N-(D)-Xylopyranosyl]amino-1-ethoxycarbonyl-4H-quinolizin-4-one (6m): Yield 63 %. Mp 179–181 °C. HREIMS: 364.127850 ($\text{C}_{17}\text{H}_{20}\text{N}_2\text{O}_7^+$, $[\text{M}]^+$), calc. 364.127051.

^1H NMR (500.13 MHz, DMSO- d_6): δ (ppm) 8.99 (d, 1H, $J = 7.4$ Hz), 8.92 (d, 1H, $J = 9.4$ Hz), 7.71 (s, 1H), 7.47–7.50 (m, 1H), 7.23 (t, 1H, $J = 7.4$ Hz), 6.12 (d, 1H, $J = 6.4$ Hz), 5.33 (d, 1H, $J = 5.2$ Hz), 5.21 (d, 1H, $J = 3.9$ Hz), 5.10 (d, 1H, $J = 5.1$ Hz), 4.44 (t, 1H, anomeric, $J = 6.9$ Hz), 4.36 (q, 2H, $J = 7.1$ Hz), 3.81 (dd, 1H, $J = 5.0, 11.0$ Hz), 3.38–3.45 (m, 1H, coincidence with water signal), 3.33–3.37 (m, 2H), 3.29 (t, 1H, $J = 10.7$ Hz), 1.40 (t, 3H, $J = 7.1$ Hz). ^{13}C NMR (125.77 MHz, DMSO- d_6): δ (ppm) 165.1, 152.8, 135.5, 128.9, 128.1, 126.1, 123.2, 116.1, 114.7, 102.2, 85.9 (anomeric, $^1J(^{13}\text{C}, ^1\text{H}) = 152.5$ Hz), 76.8, 72.3, 69.9, 66.2, 60.6, 14.2.

3-[N-(D)-Mannopyranosyl]amino-1-ethoxycarbonyl-4H-quinolizin-4-one (6n): Yield 86 %. Mp 180–181 °C. HREIMS: 417.1275 ($\text{C}_{18}\text{H}_{22}\text{N}_2\text{O}_8\text{Na}$ $[\text{M}+\text{Na}]^+$), calc. 417.1274.

^1H NMR (300.13 MHz, DMSO- d_6): δ (ppm) 8.99–9.01 (m, 1H), 8.92–8.95 (m, 1H), 7.77 (s, 1H), 7.49 (ddd, 1H, $J = 1.3, 6.5, 9.4$ Hz), 7.21–7.26 (m, 1H), 6.00 (d, 1H, $J = 9.8$ Hz), 5.21 (d, 1H, $J = 5.3$ Hz), 4.95 (d, 1H, $J = 9.9$ Hz, anomeric), 4.81 (dd, 2H, $J = 5.4, 11.5$ Hz), 4.30–4.42 (m, 3H), 3.84–3.87 (m, 1H), 3.70 (ddd, 1H, $J = 2.1, 5.6, 11.6$ Hz), 3.43–3.56 (m, 3H), 3.21–3.26 (m, 1H), 1.39 (t, 3H, $J = 7.2$ Hz). ^{13}C NMR (75.48 MHz, DMSO- d_6): δ (ppm) 165.1, 152.8, 135.3, 128.0, 127.9, 126.0, 123.2, 116.1, 115.2, 102.4, 80.9 (anomeric), 78.3, 74.3, 70.8, 67.1, 61.1, 60.5, 14.2.

3-[N-(L)-Arabinopyranosyl]amino-1-ethoxycarbonyl-4H-quinolizin-4-one (6o): Yield 80.3 %. Mp 168–170 °C. HRESIMS: 387.1150 ($\text{C}_{17}\text{H}_{20}\text{N}_2\text{O}_7\text{Na}^+$, $[\text{M}+\text{Na}]^+$), calc. 387.1168.

^1H NMR (500.13 MHz, DMSO- d_6): δ (ppm) 8.98 (d, 1H, $J = 7.4$ Hz), 8.93 (d, 1H, $J = 9.4$ Hz), 7.70 (s, 1H), 7.46–7.50 (m, 1H), 7.23 (t, 1H, $J = 7.4$ Hz), 6.50 (d, 1H, $J = 7.8$ Hz), 5.30 (br s, 1H), 5.24 (br s, 1H), 4.67–4.69 (m, 2H, including anomeric), 4.37 (q, 2H, $J = 7.1$ Hz), 3.82 (br s, 1H), 3.76–3.77 (m, 1H), 3.70–3.71 (m, 1H), 3.64–3.67 (m, 1H), 3.47 (dd, 1H, $J = 2.8, 11.4$ Hz), 1.39 (t, 3H, $J = 7.1$ Hz). ^{13}C NMR (125.77 MHz, DMSO- d_6): δ (ppm) 165.2, 152.9, 135.3, 128.5, 127.9, 126.0, 123.2, 116.1, 114.2, 102.2, 83.6 (anomeric), 72.00, 70.0, 66.2, 62.8, 60.6, 14.3.

6-[N-(D)-Glucopyranosyl]amino-5H-thiazolo[3,2-a]pyrimidin-5-one (6p): Yield: 89 %. Mp 193–198 °C. HREIMS: 329.068850 (C₁₂H₁₅N₃O₆S, [M]⁺), calc. 329.068157.

¹H NMR (500.13 MHz, DMSO-*d*₆): δ (ppm) 8.00 (d, 1H, *J* = 4.9 Hz), 7.62 (s, 1H), 7.52 (d, 1H, *J* = 4.9 Hz), 5.60 (d, 1H, *J* = 5.7 Hz), 5.30 (d, 1H, *J* = 5.0 Hz), 5.10 (d, 1H, *J* = 4.0 Hz), 5.02 (d, 1H, *J* = 5.3 Hz), 4.57 (t, 1H, *J* = 5.7 Hz), 4.31 (t, 1H, anomeric, *J* = 6.0, 7.6 Hz), 3.72–3.75 (m, 1H), 3.25–3.34 (m, 4H), 3.15–3.18 (m, 1H). ¹³C NMR (125.77 MHz, DMSO-*d*₆): δ (ppm) 154.2, 150.1, 129.1, 128.1, 120.9, 113.9, 85.6 (anomeric, ¹*J* (¹³C, ¹H) = 150.0 Hz), 77.8, 77.3, 72.8, 70.5, 61.1.

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