

Synthesis of quinoxaline reverse ribofuranosides and their O-regioisomers

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Abstract Six quinoxaline reverse nucleosides were prepared by reaction of quinoxalin-2-one derivatives with methyl 2,3-*O*-isopropylidene-5-*O*-*p*-toluenesulfonyl- β -D-ribofuranoside in the presence of sodium hydride. For deprotection of quinoxaline nucleosides, heating in 60 % acetic acid was applied. The novel compounds were characterized by elemental analysis, mass spectra, and NMR data.

Keywords Reverse nucleosides · Quinoxaline · Alkylation · Hydrolysis · Ribose

Introduction

The quinoxalines are a class of heterocyclic compounds with different applications in various fields, whether pharmacology [1, 2], agricultural chemistry [3, 4], or chemical industry [5, 6]. The pharmacological applications of quinoxalines are reported as angiotension II receptor antagonists [7], *N*-methyl-D-aspartate (NMDA) antagonists [8], anti-inflammatory [9], antidepressant-tranquilizing [10, 11], anti-tumor agents [12, 13], as well as agents against hepatitis B virus (HBV) [14]. AG 1295 (Fig. 1), a quinoxaline derivative, has been shown to block EGFR kinase selectively. This molecule has been shown to reverse the transformed phenotype of sis-transformed NIH 3T3 cells and slow C6 glioma-induced tumors in nude mice [15].

Recently, Ali and Fathalla [16–18] have reported the regioselective alkylation of quinoxalines with allyl

bromide in the presence of NaH to give a mixture of O- and N-allyl-substituted quinoxalines, a reaction we will apply to prepare respective nucleosides.

Results and discussion

In view of these facts and in continuation of our efforts in synthesizing various bioactive molecules [19–22], we have found it desirable to synthesize a series of reverse nucleosides whose chemical modifications include quinoxaline as the heterocyclic base and ribose as the sugar moiety. The N-reverse nucleosides and the O-regioisomers could be useful for the antiviral evaluation.

Treatment of quinoxalines **1a–1f** with protected methyl 2,3-*O*-isopropylidene-5-*O*-*p*-toluenesulfonyl- β -D-ribofuranoside (**2**) in the presence of NaH in *N,N*-dimethylformamide always afforded a mixture of N- and O-nucleoside quinoxaline derivatives **3a–3f** and **4a–4f** (Scheme 1). The two N-reverse nucleosides and O-regioisomer were easily separated by column chromatography using petroleum ether/ethyl-acetate (5:1) as eluent to afford **3a–3f** in 57–64 % yield and **4a–4f** in 19–26 % yield.

The structure assignment of the prepared N- and O-substituted ribose derivatives **3a–3f** and **4a–4f** was based on NMR and mass spectroscopy and physicochemical analysis. The elemental analysis together with mass spectra of N- and O-substituted ribose **3a–3f** and **4a–4f** gave identical results that agreed with the molecular formula of these compounds. This made us conclude that these compounds are isomeric alkylated products (Scheme 2).

The mass spectra of **3a** and **4a** gave $m/z = 332.0$. The ^1H and ^{13}C NMR spectra of compounds **3a–3f** and **4a–4f** provided evidence to deduce the site of alkylation. Thus, the ^1H NMR spectrum of **3d** showed a multiplet signal at

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$\delta = 4.52\text{--}3.84$ ppm attributed to NCH_2 group. In addition, an aromatic singlet signal in the region of 7.50 and 7.13 ppm and aliphatic signals at 5.04, 3.41, 2.45, and 2.30 ppm corresponding to $\beta\text{-H-1}$, OCH_3 , and 2 CH_3 groups were found. The ^{13}C NMR spectrum gave clear evidence for the site of alkylation; thus, the ^{13}C NMR of **3d** gave an interesting signal at $\delta = 44.8$ ppm typically associated with NCH_2 group, which is common for all

N-substituted quinoxaline derivatives **3a–3f**. The ^{13}C NMR spectrum also showed signals at 110.0, 55.5, 25.6, and 24.0 ppm associated with (C-1), OCH_3 , and 2 CH_3 (Scheme 3).

On the other hand, the ^1H NMR spectrum of **4d** exhibits multiplet signals at $\delta = 4.49\text{--}4.44$ ppm associated with the OCH_2 group. The ^1H NMR spectrum of **4d** also shows three signals at 5.02, 3.33, and 2.41 ppm corresponding to $\beta\text{-H-1}$, OCH_3 , and 2 CH_3 . The ^{13}C NMR spectrum of **3d** gave an interesting signal at $\delta = 66.2$ ppm typically associated with OCH_2 group, which is common for all O-substituted quinoxaline derivatives **4a–4f**. The ^{13}C NMR spectrum also showed signals at 109.1, 54.8, 26.3, and 24.9 ppm associated with (C-1), OCH_3 , and 2 CH_3 . All NMR spectra of compounds **3a–3f** and **4a–4f** showed two different NMR patterns in the aromatic region because of an anisotropy caused by the adjacent alkyl substituent

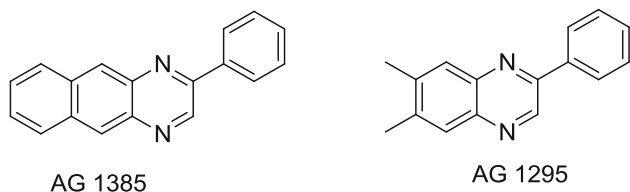
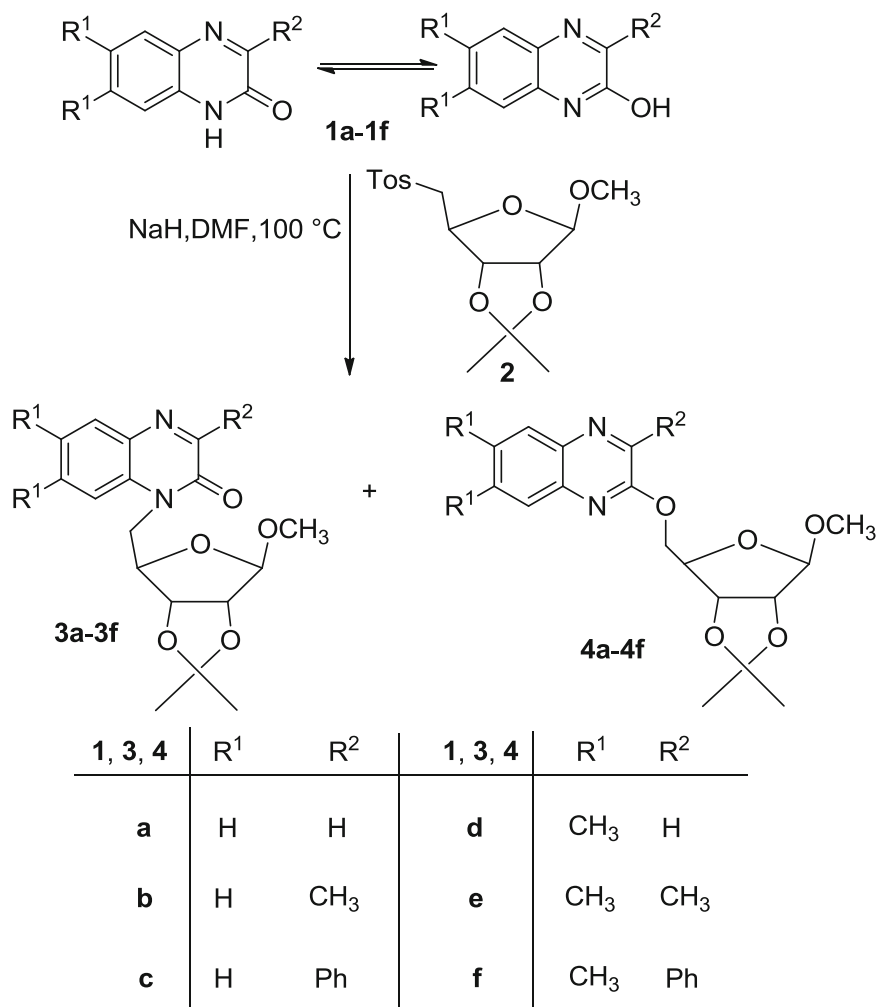
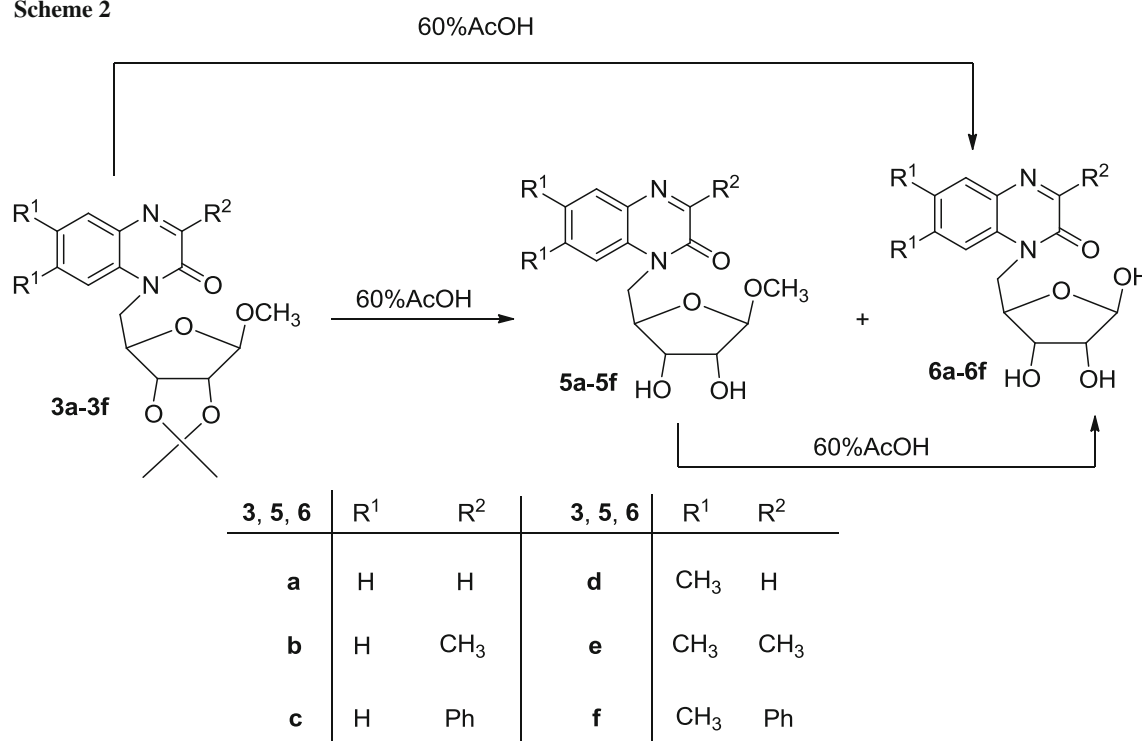


Fig. 1 EGFR tyrosine kinase inhibitors

Scheme 1



Scheme 2



toward both methyl groups and the aromatic protons in case of N-substituted quinoxalines **3a–3f**.

The free sugar residue of the reverse nucleosides could be valuable for antiviral application purposes [23]. Thus, treatment of quinoxaline N-nucleoside **3a–3f** with 60 % aqueous acetic acid at 80 °C monitored with TLC until complete consumption of starting material gave compounds **5a–5f** and **6a–6f**. This reaction condition showed no selectivity leading to the removal of the isopropylidene group **5a–5f** as well as the removal of both protecting groups (isopropylidene and OMe groups) of **6a–6f**. We further separated both products via column chromatography. Compounds **5a–5f** were completely hydrolyzed by heating with 60 % acetic acid and gave **6a–6f**. Also, compounds **3a–3f** were completely converted to **6a–6f** under the same reaction conditions by elongation of the reaction time.

The ¹H NMR spectra of compounds **5a–5f** gave evidence of the removal of the isopropylidene in the presence of OCH₃ but in **6a–6f** the signals of isopropylidene and OCH₃ disappeared.

Similarly, deprotection of the quinoxaline O-nucleoside **4a–4f** with 60 % acetic acid at reflux gave **7a–7f** and **8a–8f** in good yields. The structures were confirmed by studying ¹H and ¹³C NMR spectra.

The structure assignment of quinoxaline reverse nucleosides **5d** and **7d** was based on spectral analysis (Fig. 2). The ¹H NMR spectrum of **5d** exhibits signals at

δ = 4.58, 4.20–3.72, and 3.39 ppm corresponding to β -H-1, NCH₂, and OCH₃, respectively. The ¹³C NMR spectrum of **5d** shows signals at δ = 108.4, 45.2, and 44.5 ppm attributed to (C-1), OCH₃, and NCH₂. The ¹H NMR of the **7d** appeared at 4.85, 4.38–4.21, and 3.32 ppm corresponding to β -H-1, OCH₂, and OCH₃. The ¹³C NMR spectrum of **4e** shows signals at δ = 108.3, 67.3, and 54.2 ppm attributed to (C-1), OCH₂, and OCH₃.

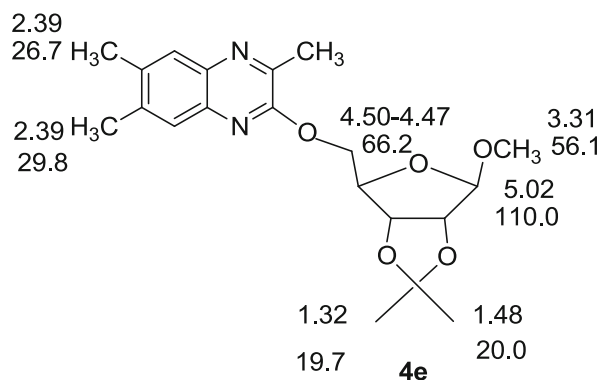
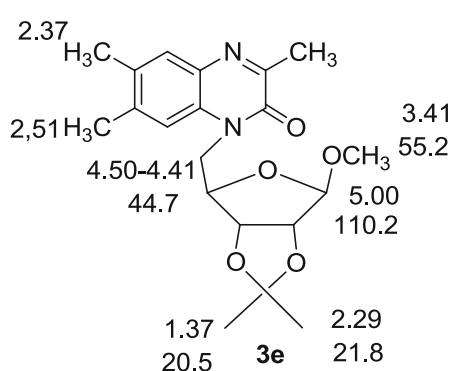
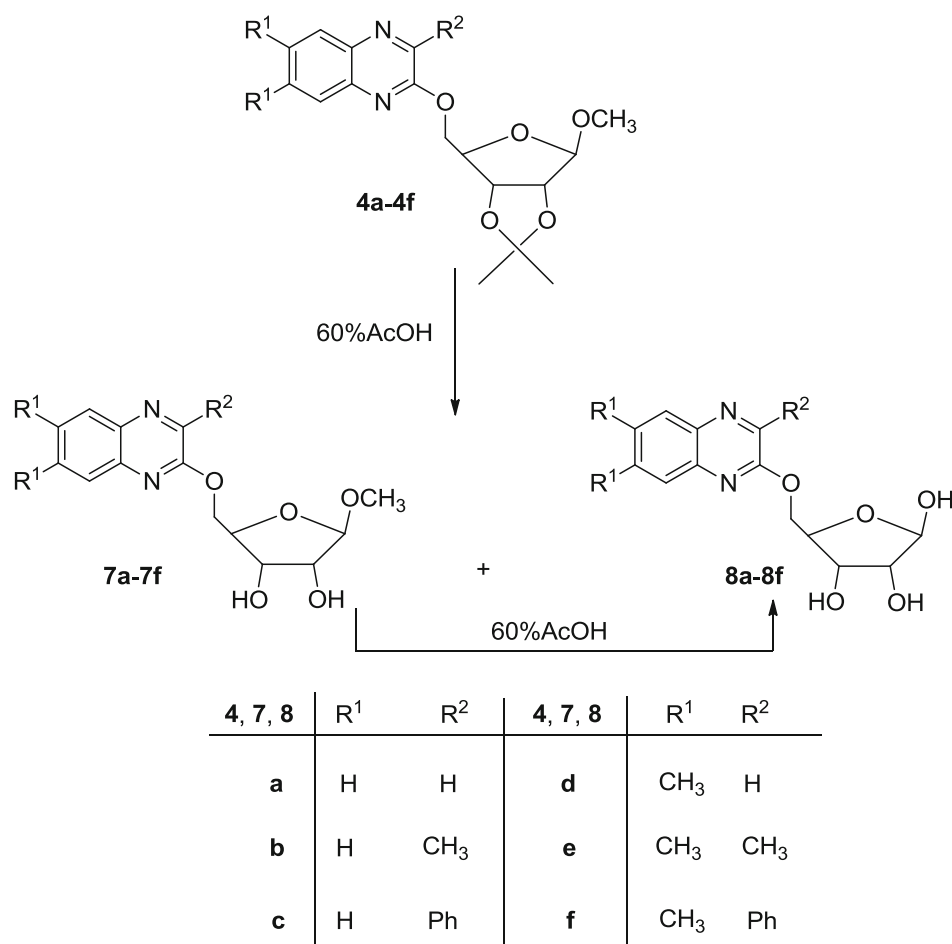
Conclusions

In conclusion, an efficient method for the syntheses of various quinoxaline reverse nucleosides and their O-regioisomers has been described in high yields as potential chemotherapeutic agents.

Experimental

Solvents were purified and dried in the usual way. The boiling range of the petroleum ether used was 40–60 °C. Thin layer chromatography (TLC): silica gel 60 F254 plastic plates (E. Merck, layer thickness 0.2 mm) detected by UV absorption. Melting points were determined on a Büchi 510 melting-point apparatus. NMR spectra were measured with Bruker AC 250 (250 MHz) using TMS (0.00 ppm) as internal standard. Mass spectra were

Scheme 3

Fig. 2 Selected ¹H NMR and ¹³C NMR spectral data of 3e and 4e

measured on a GC-MSQP 1000EX Shimadzu. Elemental analyses were performed on a Flash EA-1112 instrument at the Microanalytical Laboratory, Faculty of Science, Suez Canal University Ismailia, Egypt, and the results agreed favorably with calculated values. The starting compounds **1a–1f** [24, 25] and **2** [23, 26] were prepared according to the methods described in the literature.

Preparation of compound **3a–3f** and **4a–4f**

A mixture of quinoxaline **1a–1f** (10.0 mmol) and 0.24 g NaH (10.0 mmol) in 50 cm³ dry DMF was stirred at 100 °C for 1 h. The sugar derivative **2** (3.42 g, 10.0 mmol) was added, and the mixture was stirred at 100 °C for 5 h. The solution was evaporated to dryness. The residue was

purified by column chromatography petroleum ether/ethyl acetate (5:1) as eluent to give **3a–3f** and **4a–4f**.

Methyl 5-deoxy-2,3-O-isopropylidene-5-(2-oxo-1(2H)-quinoxaliny)-β-D-ribofuranoside (3a, C₁₇H₂₀N₂O₅)

White crystals (57 %); m.p.: 79–81 °C; ¹H NMR (250 MHz, CDCl₃): δ = 1.23 (s, 3H, CH₃), 1.37 (s, 3H, CH₃), 3.40 (s, 3H, OCH₃), 3.87–3.94 (m, 1H, H-5'), 4.46–4.53 (m, 2H, H-4, H-5), 4.87–4.93 (m, 2H, H-2, H-3), 5.01 (s, 1H, H-1), 7.23–7.42 (m, 2H, Ar-H), 7.55–7.61 (m, 1H, Ar-H), 7.81–7.88 (m, 1H, Ar-H), 8.27 (s, 1H, CH) ppm; ¹³C NMR (62.5 MHz, CDCl₃): δ = 24.8 (CH₃), 26.2 (CH₃), 44.2 (C-5), 55.3 (OCH₃), 82.2 (C-4), 83.6 (C-3), 85.3 (C-2), 110.2 (C-1), 112.3 (C(CH₃)₂), 113.8, 123.9, 130.9, 131.1, 132.1, 133.6, 150.0 (Ar-C), 154.8 (CO) ppm; MS: *m/z* (%) = 332.0.

Methyl 5-deoxy-2,3-O-isopropylidene-5-(3-methyl-2-oxo-1(2H)-quinoxaliny)-β-D-ribofuranoside (3b, C₁₈H₂₂N₂O₅)

Yellow crystals (64 %); m.p.: 82–83 °C; ¹H NMR (250 MHz, CDCl₃): δ = 1.20 (s, 3H, CH₃), 1.35 (s, 3H, CH₃), 2.53 (s, 3H, CH₃), 3.41 (s, 3H, OCH₃), 3.82–3.99 (m, 1H, H-5'), 4.41–4.68 (m, 2H, H-4, H-5), 4.75 (d, 1H, *J* = 5.9 Hz, H-3), 4.85 (d, 1H, *J* = 5.9 Hz, H-2), 4.98 (s, 1H, H-1), 7.23–7.48 (m, 2H, Ar-H), 7.52–7.68 (m, 2H, Ar-H) ppm; ¹³C NMR (62.5 MHz, CDCl₃): δ = 21.8 (CH₃), 25.1 (CH₃), 26.8 (CH₃), 45.3 (C-5), 56.6 (OCH₃), 82.3 (C-4), 84.4 (C-3), 85.2 (C-2), 100.2 (C-1), 113.2 (C(CH₃)₂), 114.3, 124.4, 130.1, 130.3, 132.0, 132.2, 155.0 (Ar-C), 157.2 (CO) ppm.

Methyl 5-deoxy-2,3-O-isopropylidene-5-(2-oxo-3-phenyl-1(2H)-quinoxaliny)-β-D-ribofuranoside (3c, C₂₃H₂₄N₂O₅)

Yellow crystals (60 %); m.p.: 90–92 °C; ¹H NMR (250 MHz, CDCl₃): δ = 1.22 (s, 3H, CH₃), 1.39 (s, 3H, CH₃), 3.43 (s, 3H, OCH₃), 3.93 (m, 1H, H-5'), 4.45–4.62 (m, 2H, H-4, H-5), 4.76 (d, 1H, *J* = 5.9 Hz, H-3), 4.97 (d, 1H, *J* = 5.9 Hz, H-2), 5.03 (s, 1H, H-1), 7.24–7.57 (m, 5H, Ar-H), 7.84–7.95 (m, 2H, Ar-H), 8.10–8.28 (m, 2H, Ar-H) ppm; ¹³C NMR (62.5 MHz, CDCl₃): δ = 24.8 (CH₃), 26.3 (CH₃), 44.7 (C-5), 55.3 (OCH₃), 82.2 (C-4), 83.7 (C-3), 85.3 (C-2), 110.0 (C-1), 112.3 (C(CH₃)₂), 113.5, 123.9, 127.9, 129.4, 130.3, 130.4, 130.8, 132.1, 133.4, 135.8, 153.9 (Ar-C), 154.6 (CO) ppm; MS: *m/z* (%) = 408.0.

Methyl 5-deoxy-2,3-O-isopropylidene-5-(6,7-dimethyl-2-oxo-1(2H)-quinoxaliny)-β-D-ribofuranoside (3d, C₁₉H₂₄N₂O₅)

White crystals (59 %); m.p.: 79–81 °C; ¹H NMR (250 MHz, CDCl₃): δ = 1.25 (s, 3H, CH₃), 1.42 (s, 3H, CH₃), 2.30 (s, 3H, CH₃), 2.45 (s, 3H, CH₃), 3.41 (s, 3H, OCH₃), 3.84–3.91 (m, 1H, H-5), 4.40–4.52 (m, 1H, H-5'), 4.70–4.75 (m, 1H, H-4), 4.84 (d, 1H, *J* = 6.0 Hz, H-3),

4.93 (d, 1H, *J* = 6.0 Hz, H-2), 5.04 (s, 1H, H-1), 7.13 (s, 1H, Ar-H), 7.50 (s, 1H, Ar-H), 8.22 (s, 1H, CH) ppm; ¹³C NMR (62.5 MHz, CDCl₃): δ = 19.8 (CH₃), 22.1 (CH₃), 24.0 (CH₃), 25.6 (CH₃), 44.8 (C-5), 55.5 (OCH₃), 82.1 (C-4), 83.5 (C-3), 85.0 (C-2), 110.0 (C-1), 113.5 (C(CH₃)₂), 115.0, 129.5, 130.1, 132.0, 133.6, 142.4, 148.3 (Ar-C), 156.2 (CO) ppm.

Methyl 5-deoxy-2,3-O-isopropylidene-5-(3,6,7-trimethyl-2-oxo-1(2H)-quinoxaliny)-β-D-ribofuranoside (3e, C₂₀H₂₆N₂O₅)

Yellow crystals (57 %); m.p.: 117–119 °C; ¹H NMR (250 MHz, CDCl₃): δ = 1.22 (s, 3H, CH₃), 1.37 (s, 3H, CH₃), 2.29 (s, 3H, CH₃), 2.37 (s, 3H, CH₃), 2.52 (s, 3H, CH₃), 3.41 (s, 3H, OCH₃), 4.41–4.50 (m, 2H, H-5, H-5'), 4.57–4.62 (m, 1H, H-4), 4.72 (d, 1H, *J* = 5.9 Hz, H-3), 4.91 (d, 1H, *J* = 5.9 Hz, H-2), 5.00 (s, 1H, H-1), 7.02 (s, 1H, Ar-H), 7.51 (s, 1H, Ar-H) ppm; ¹³C NMR (62.5 MHz, CDCl₃): δ = 19.8 (2 CH₃), 20.5 (CH₃), 21.8 (CH₃), 26.8 (CH₃), 55.2 (OCH₃), 44.7 (C-5), 82.6 (C-4), 84.0 (C-3), 85.2 (C-2), 110.2 (C-1), 114.2 (C(CH₃)₂), 127.1, 129.8, 130.2, 132.1, 133.0, 140.3, 155.1 (Ar-C), 158.2 (CO) ppm; MS: *m/z* (%) = 374.0.

Methyl 5-deoxy-2,3-O-isopropylidene-5-(6,7-dimethyl-2-oxo-3-phenyl-1(2H)-quinoxaliny)-β-D-ribofuranoside (3f, C₂₅H₂₈N₂O₅)

Yellow crystals (60 %); m.p.: 137–139 °C; ¹H NMR (250 MHz, CDCl₃): δ = 1.25 (s, 3H, CH₃), 1.46 (s, 3H, CH₃), 2.37 (s, 3H, CH₃), 2.48 (s, 3H, CH₃), 3.45 (s, 3H, OCH₃), 3.90–4.05 (m, 1H, H-5), 4.51–4.70 (m, 2H, H-4, H-5'), 4.78 (d, 1H, *J* = 6.0 Hz, H-3), 4.93 (d, 1H, *J* = 6.0 Hz, H-2), 5.08 (s, 1H, H-1), 7.18 (s, 1H, Ar-H), 7.40–7.55 (m, 3H, Ar-H), 7.70 (s, 1H, Ar-H), 8.21–8.38 (m, 2H, Ar-H) ppm; ¹³C NMR (62.5 MHz, CDCl₃): δ = 19.0 (CH₃), 20.6 (CH₃), 24.8 (CH₃), 26.3 (CH₃), 44.4 (C-5), 55.2 (OCH₃), 82.1 (C-4), 83.7 (C-3), 85.3 (C-2), 110.1 (C-1), 122.2 (C(CH₃)₂), 113.9, 127.9, 129.3, 129.6, 129.9, 130.2, 130.8, 131.8, 132.9, 136.1, 140.5, 152.6 (Ar-C), 154.6 (CO) ppm.

Methyl 5-deoxy-2,3-O-isopropylidene-5-(quinoxaline-2-yloxy)-β-D-ribofuranoside (4a, C₁₇H₂₀N₂O₅)

White crystals (24 %); m.p.: 61–63 °C; ¹H NMR (250 MHz, CDCl₃): δ = 1.29 (s, 3H, CH₃), 1.46 (s, 3H, CH₃), 3.30 (s, 3H, OCH₃), 4.48–4.41 (m, 2H, H-5, H-5'), 4.59–4.50 (m, 1H, H-4), 4.62 (d, 1H, *J* = 6.0 Hz, H-3), 4.80 (d, 1H, *J* = 6.0 Hz, H-2), 5.00 (s, 1H, H-1), 7.64–7.47 (m, 2H, Ar-H), 7.80–7.76 (m, 1H, Ar-H), 7.98–7.94 (m, 1H, Ar-H), 8.46 (s, 1H, CH) ppm; ¹³C NMR (62.5 MHz, CDCl₃): δ = 24.9 (CH₃), 26.4 (CH₃), 54.8 (OCH₃), 66.4 (C-5), 81.9 (C-4), 84.2 (C-3), 85.2 (C-2), 109.4 (C-1), 112.5 (C(CH₃)₂), 126.6, 127.1, 128.9, 130.0, 138.9, 139.3, 140.0, 156.6 (Ar-C) ppm; MS: *m/z* (%) = 332.0.

Methyl 5-deoxy-2,3-O-isopropylidene-5-(3-methylquinoxaline-2-yloxy)-β-D-ribofuranoside
(**4b**, C₁₈H₂₂N₂O₅)

White crystals (26 %); m.p.: 79–81 °C; ¹H NMR (250 MHz, CDCl₃): δ = 1.22 (s, 3H, CH₃), 1.48 (s, 3H, CH₃), 2.55 (s, 3H, CH₃), 3.32 (s, 3H, OCH₃), 4.24–4.32 (m, 1H, H-5), 4.40–4.53 (m, 2H, H-4, H-5'), 4.61 (d, 1H, *J* = 5.8 Hz, H-3), 4.80 (d, 1H, *J* = 5.8 Hz, H-2), 4.98 (s, 1H, H-1), 7.15–7.55 (m, 2H, Ar-H), 7.70–7.91 (m, 2H, Ar-H) ppm; ¹³C NMR (62.5 MHz, CDCl₃): δ = 20.2 (CH₃), 25.1 (CH₃), 26.5 (CH₃), 54.7 (OCH₃), 66.4 (C-5), 82.3 (C-4), 84.2 (C-3), 85.1 (C-2), 110.1 (C-1), 113.8 (C(CH₃)₂), 126.4, 128.0, 129.1, 130.3, 139.3, 140.0, 147.3, 158.1 (Ar-C) ppm.

Methyl 5-deoxy-2,3-O-isopropylidene-5-(3-phenylquinoxaline-2-yloxy)-β-D-ribofuranoside
(**4c**, C₂₃H₂₄N₂O₅)

White crystals (19 %); m.p.: 73–74 °C; ¹H NMR (250 MHz, CDCl₃): δ = 1.32 (s, 3H, CH₃), 1.49 (s, 3H, CH₃), 3.30 (s, 3H, OCH₃), 4.61–4.68 (m, 2H, H-5, H-5'), 4.67–4.72 (m, 2H, H-3, H-4), 4.82 (d, 1H, *J* = 6.0 Hz, H-2), 5.04 (s, 1H, H-1), 7.24–7.86 (m, 5H, Ar-H), 8.03–8.09 (m, 1H, Ar-H), 8.12–8.18 (m, 3H, Ar-H) ppm; ¹³C NMR (62.5 MHz, CDCl₃): δ = 24.8 (CH₃), 26.3 (CH₃), 54.7 (OCH₃), 66.8 (C-5), 81.7 (C-4), 84.1 (C-3), 85.1 (C-2), 109.4 (C-1), 112.3 (C(CH₃)₂), 126.5, 126.7, 127.9, 128.3, 128.8, 129.1, 129.5, 129.6, 135.8, 138.9, 139.4, 146.2, 154.6 (Ar-C) ppm; MS: *m/z* (%) = 408.0.

Methyl 5-deoxy-2,3-O-isopropylidene-5-(6,7-dimethylquinoxaline-2-yloxy)-β-D-ribofuranoside
(**4d**, C₁₉H₂₄N₂O₅)

White crystals (22 %); m.p.: 98–99 °C; ¹H NMR (250 MHz, CDCl₃): δ = 1.32 (s, 3H, CH₃), 1.49 (s, 3H, CH₃), 2.41 (s, 6H, 2 CH₃), 3.33 (s, 3H, OCH₃), 4.44–4.49 (m, 2H, H-5, H-5'), 4.52–4.63 (m, 1H, H-4), 4.66 (d, 1H, *J* = 6.0 Hz, H-3), 4.83 (d, 1H, *J* = 6.0 Hz, H-2), 5.02 (s, 1H, H-1), 7.57 (s, 1H, Ar-H), 7.72 (s, 1H, Ar-H), 8.41 (s, 1H, CH) ppm; ¹³C NMR (62.5 MHz, CDCl₃): δ = 19.8 (CH₃), 20.1 (CH₃), 24.9 (CH₃), 26.3 (CH₃), 54.8 (OCH₃), 66.2 (C-5), 81.9 (C-4), 84.3 (C-3), 85.2 (C-2), 109.1 (C-1), 112.4 (C(CH₃)₂), 126.6, 128.2, 136.4, 137.7, 138.1, 140.2, 138.5, 156.4 (Ar-C) ppm.

Methyl 5-deoxy-2,3-O-isopropylidene-5-(3,6,7-trimethylquinoxaline-2-yloxy)-β-D-ribofuranoside
(**4e**, C₂₀H₂₆N₂O₅)

White crystals (24 %); m.p.: 95–97 °C; ¹H NMR (250 MHz, CDCl₃): δ = 1.32 (s, 3H, CH₃), 1.48 (s, 3H, CH₃), 2.39 (s, 3H, CH₃), 2.60 (s, 6H, 2 CH₃), 3.31 (s, 3H, OCH₃), 4.46–4.51 (m, 2H, H-5, H-5'), 4.55–4.61 (m, 1H, H-4), 4.65 (d, 1H, *J* = 6.0 Hz, H-3), 4.81 (d, 1H, *J* = 6.0 Hz, H-2), 5.02 (s, 1H, H-1), 7.53 (s, 1H, Ar-H),

7.64 (s, 1H, Ar-H) ppm; ¹³C NMR (62.5 MHz, CDCl₃): δ = 19.7 (CH₃), 20.0 (CH₃), 25.0 (CH₃), 26.7 (CH₃), 29.8 (CH₃), 56.1 (OCH₃), 66.2 (C-5), 82.4 (C-4), 84.0 (C-3), 85.1 (C-2), 110.0 (C-1), 113.4 (C(CH₃)₂), 126.0, 128.0, 136.5, 138.0, 138.2, 138.3, 139.1, 146.5, 156.0 (Ar-C) ppm.

Methyl 5-deoxy-2,3-O-isopropylidene-5-(6,7-dimethyl-3-phenylquinoxaline-2-yloxy)-β-D-ribofuranoside
(**4f**, C₂₅H₂₈N₂O₅)

White crystals (21 %); m.p.: 86–88 °C; ¹H NMR (250 MHz, CDCl₃): δ = 1.31 (s, 3H, CH₃), 1.48 (s, 3H, CH₃), 2.44 (s, 6H, 2 CH₃), 3.30 (s, 3H, OCH₃), 4.56–4.62 (m, 3H, H-4, H-5, H-5'), 4.66 (d, 1H, *J* = 5.9 Hz, H-3), 4.82 (d, 1H, *J* = 5.9 Hz, H-2), 5.03 (s, 1H, H-1), 7.23–7.45 (m, 3H, Ar-H), 7.43–7.49 (m, 1H, Ar-H), 7.51–7.60 (m, 1H, Ar-H), 8.10–8.14 (m, 2H, Ar-H) ppm; ¹³C NMR (62.5 MHz, CDCl₃): δ = 19.9 (CH₃), 20.2 (CH₃), 24.8 (CH₃), 26.4 (CH₃), 54.8 (OCH₃), 66.6 (C-5), 81.9 (C-4), 84.2 (C-3), 85.2 (C-2), 109.4 (C-1), 112.4 (C(CH₃)₂), 126.1, 128.0, 128.3, 129.3, 129.6, 136.2, 136.7, 137.9, 138.1, 139.9, 145.1, 154.5 (Ar-C) ppm.

Deprotection

A solution of **3a–3f** or **4a–4f** (1.0 mmol) in 25 cm³ 60 % acetic acid was refluxed for 3 h. The solvent was evaporated in vacuo and coevaporated by toluene. The residue was purified by flash chromatograph (chloroform/methanol 3 to 5 %) to give **5a–5f**, **6a–6f**, **7a–7f**, and **8a–8f**.

Method B (deprotection of methyl group); preparation of **6a–6f** and **8a–8f**

A solution of **5a–5f** or **7a–7f** (1.0 mmol) in 25 cm³ 60 % acetic acid was refluxed for 2 h. The solvent was evaporated in vacuo and coevaporated by toluene. The residue was purified by flash chromatograph (chloroform/methanol 5 %) to give **6a–6f** and **8a–8f**.

Methyl 5-deoxy-5-(2-oxo-1(2H)-quinoxalinyl)-β-D-ribofuranoside (**5a**, C₁₄H₁₆N₂O₅)

White crystals (53 %); m.p.: 122–124 °C; ¹H NMR (250 MHz, DMSO-*d*₆): δ = 3.34 (s, 3H, OCH₃), 3.52 (brs, 1H, OH), 3.91–3.96 (m, 1H, H-5'), 4.20–4.26 (m, 1H, H-5), 4.30–4.37 (m, 1H, H-4), 4.54–4.69 (m, 2H, H-2, H-3), 4.76 (s, 1H, H-1), 5.28 (m, 1H, OH), 7.50–7.57 (m, 1H, Ar-H), 7.70–7.76 (m, 2H, Ar-H), 7.81–8.00 (m, 1H, Ar-H), 8.42 (s, 1H, CH) ppm; ¹³C NMR (62.5 MHz, DMSO-*d*₆): δ = 44.6 (C-5), 54.8 (OCH₃), 73.0 (C-4), 74.3 (C-3), 78.9 (C-2), 108.6 (C-1), 115.5, 123.4, 128.2, 129.7, 130.7, 132.8, 150.2 (Ar-C), 154.5 (CO) ppm; MS: *m/z* (%) = 292.0.

Methyl 5-deoxy-5-(3-methyl-2-oxo-1(2H)-quinoxaliny)-β-D-ribofuranoside (5b, C₁₅H₁₈N₂O₅)

White crystals (60 %); m.p.: 138–139 °C; ¹H NMR (250 MHz, DMSO-*d*₆): δ = 2.64 (s, 3H, CH₃), 3.40 (s, 3H, OCH₃), 3.58 (brs, 1H, OH), 4.01 (brs, 1H, OH), 4.21–4.48 (m, 3H, H-4, H-5 H-5'), 4.55–4.70 (m, 2H, H-2, H-3), 4.80 (s, 1H, H-1), 7.48–7.60 (m, 2H, Ar-H), 7.70–7.92 (m, 2H, Ar-H) ppm; ¹³C NMR (62.5 MHz, DMSO-*d*₆): δ = 21.2 (CH₃), 44.9 (C-5), 54.8 (OCH₃), 73.0 (C-4), 74.3 (C-3), 79.0 (C-2), 108.7 (C-1), 115.1 (C(CH₃)₂), 123.0, 123.2, 128.8, 129.3, 132.1, 132.7, 154.4 (Ar-C), 157.6 (CO) ppm.

Methyl 5-deoxy-5-(2-oxo-3-phenyl-1(2H)-quinoxaliny)-β-D-ribofuranoside (5c, C₂₀H₂₀N₂O₅)

White crystals (58 %); m.p.: 125–127 °C; ¹H NMR (250 MHz, DMSO-*d*₆): δ = 3.31 (s, 3H, OCH₃), 3.82–3.89 (m, 1H, H-5), 4.64–4.70 (m, 2H, H-4, H-5'), 4.82–4.92 (m, 2H, H-2, H-3), 5.02 (s, 1H, H-1), 5.09–5.11 (brs, 2H, 2 OH), 7.32–7.63 (m, 5H, Ar-H), 7.78–7.82 (m, 2H, Ar-H), 8.01–8.22 (m, 2H, Ar-H) ppm; ¹³C NMR (62.5 MHz, DMSO-*d*₆): δ = 44.9 (C-5), 54.2 (OCH₃), 81.8 (C-4), 83.2 (C-3), 84.8 (C-2), 109.5 (C-1), 114.3, 124.2, 128.2, 129.0, 130.2, 130.9, 134.1, 135.2, 140.0, 152.4 (Ar-C), 155.2 (CO) ppm.

Methyl 5-deoxy-5-(6,7-dimethyl-2-oxo-1(2H)-quinoxaliny)-β-D-ribofuranoside (5d, C₁₆H₂₀N₂O₅)

White crystals (55 %); m.p.: 143–145 °C; ¹H NMR (250 MHz, DMSO-*d*₆): δ = 2.28 (s, 3H, CH₃), 2.35 (s, 3H, CH₃), 3.39 (s, 3H, OCH₃), 3.72–3.85 (m, 1H, H-5), 4.02–4.20 (m, 2H, H-4, H-5'), 4.40–4.48 (m, 2H, H-2, H-3), 4.58 (s, 1H, H-1), 4.93–5.08 (brs, 1H, OH), 5.10–5.15 (brs, 1H, OH), 7.40 (s, 1H, Ar-H), 7.56 (s, 1H, Ar-H), 8.13 (s, 1H, CH) ppm; ¹³C NMR (62.5 MHz, DMSO-*d*₆): δ = 19.9 (CH₃), 20.0 (CH₃), 44.5 (C-5), 54.5 (OCH₃), 72.9 (C-4), 74.1 (C-3), 78.8 (C-2), 108.4 (C-1), 115.5, 129.4, 130.6, 131.2, 131.9, 140.2, 148.6 (Ar-C), 154.3 (CO) ppm.

Methyl 5-deoxy-5-(3,6,7-trimethyl-2-oxo-1(2H)-quinoxaliny)-β-D-ribofuranoside (5e, C₁₇H₂₂N₂O₅)

White crystals (58 %); m.p.: 177–179 °C; ¹H NMR (250 MHz, DMSO-*d*₆): δ = 2.29 (s, 3H, CH₃), 2.35 (s, 3H, CH₃), 2.41 (s, 3H, CH₃), 3.21 (s, 3H, OCH₃), 4.04–4.19 (m, 2H, H-5, H-5'), 4.23–4.35 (m, 1H, H-4), 4.37–4.42 (m, 1H, H-3), 4.58 (d, 1H, *J* = 4.7 Hz, H-2), 4.67 (s, 1H, H-1), 5.01 (brs, 1H, OH), 5.08 (brs, 1H, OH), 7.37 (s, 1H, Ar-H), 7.50 (s, 1H, Ar-H) ppm; ¹³C NMR (62.5 MHz, DMSO-*d*₆): δ = 18.5 (CH₃), 19.9 (CH₃), 21.0 (CH₃), 44.9 (C-5), 54.6 (OCH₃), 72.9 (C-4), 74.1 (C-3), 78.9 (C-2), 108.4 (C-1), 115.4, 128.2, 130.4, 130.6, 131.6, 138.4, 154.4 (Ar-C), 156.1 (CO) ppm.

Methyl 5-deoxy-5-(6,7-dimethyl-2-oxo-3-phenyl-1(2H)-quinoxaliny)-β-D-ribofuranoside (5f, C₂₂H₂₄N₂O₅)

White crystals (60 %); m.p.: 140–142 °C; ¹H NMR (250 MHz, DMSO-*d*₆): δ = 2.35 (s, 3H, CH₃), 2.42 (s, 3H, CH₃), 3.26 (s, 3H, OCH₃), 3.80–3.87 (m, 1H, H-5), 4.12–4.31 (m, 2H, H-4, H-5'), 4.47–4.63 (m, 2H, H-2, H-3), 4.65 (s, 1H, H-1), 5.04–4.14 (brs, 1H, OH), 5.15–5.19 (brs, 1H, OH), 7.47 (s, 1H, Ar-H), 7.50–7.55 (m, 3H, Ar-H), 7.68 (s, 1H, Ar-H), 8.26–8.33 (m, 2H, Ar-H) ppm; ¹³C NMR (62.5 MHz, DMSO-*d*₆): δ = 18.5 (CH₃), 19.9 (CH₃), 45.1 (C-5), 54.6 (OCH₃), 72.9 (C-4), 74.1 (C-3), 78.9 (C-2), 108.4 (C-1), 115.3, 127.7, 129.1, 129.5, 129.7, 130.8, 132.1, 136.0, 139.8, 151.5 (Ar-C), 153.8 (CO) ppm.

5-Deoxy-5-(2-oxo-1(2H)-quinoxaliny)-β-D-ribofuranose (6a, C₁₃H₁₄N₂O₅)

White crystals (30 %, method B 75 %); m.p.: 158–160 °C; ¹H NMR (250 MHz, DMSO-*d*₆): δ = 3.90 (brs, 1H, OH), 4.05–4.20 (m, 2H, H-5, H-5'), 4.27 (brs, 2H, 2 OH), 4.34–4.39 (m, 2H, H-4), 4.52 (d, 1H, *J* = 4.5 Hz, H-3), 4.58 (d, 1H, *J* = 4.5 Hz, H-2), 4.92 (s, 1H, H-1), 7.30–7.37 (m, 1H, Ar-H), 7.65–7.59 (m, 2H, Ar-H), 7.71–7.79 (m, 1H, Ar-H), 8.21 (s, 1H, CH) ppm; ¹³C NMR (62.5 MHz, DMSO-*d*₆): δ = 45.6 (C-5), 72.9 (C-4), 75.5 (C-3), 78.5 (C-2), 102.0 (C-1), 115.8, 123.3, 129.6, 130.8, 132.8, 132.9, 150.0 (Ar-C), 154.5 (CO) ppm.

5-Deoxy-5-(3-methyl-2-oxo-1(2H)-quinoxaliny)-β-D-ribofuranose (6b, C₁₄H₁₆N₂O₅)

Brown crystals (28 %, method B 65 %); m.p.: 169–170 °C; ¹H NMR (250 MHz, DMSO-*d*₆): δ = 2.40 (s, 3H, CH₃), 3.48 (brs, 3H, 3 OH), 4.28–4.19 (m, 1H, H-5), 4.43–4.29 (m, 2H, H-4, H-5'), 4.50 (d, 1H, *J* = 4.8 Hz, H-3), 4.56 (d, 1H, *J* = 4.8 Hz, H-2), 4.87 (s, 1H, H-1), 7.53–7.24 (m, 2H, Ar-H), 7.87–7.62 (m, 2H, Ar-H) ppm; ¹³C NMR (62.5 MHz, DMSO-*d*₆): δ = 21.1 (CH₃), 45.9 (C-5), 72.9 (C-4), 75.4 (C-3), 78.5 (C-2), 101.9 (C-1), 115.3, 123.1, 128.6, 129.3, 132.1, 132.9, 154.4 (Ar-C), 157.5 (CO) ppm.

5-Deoxy-5-(2-oxo-3-phenyl-1(2H)-quinoxaliny)-β-D-ribofuranose (6c, C₁₉H₁₈N₂O₅)

White crystals (26 %, method B 79 %); m.p.: 151–153 °C; ¹H NMR (250 MHz, DMSO-*d*₆): δ = 3.33 (brs, 2H, 2 OH), 3.74–3.91 (m, 1H, H-5), 4.09–4.32 (m, 2H, H-4, H-5'), 4.49–4.61 (m, 2H, H-2, H-3), 4.68 (s, 1H, H-1), 5.03–5.08 (brs, 1H, OH), 5.09 (d, 1H, *J* = 4.3 Hz, 1H, OH), 7.34–7.65 (m, 5H, Ar-H), 7.67–7.93 (m, 2H, Ar-H), 8.13–8.19 (m, 2H, Ar-H) ppm; ¹³C NMR (62.5 MHz, DMSO-*d*₆): δ = 44.9 (C-5), 74.4 (C-4), 79.1 (C-3), 80.1 (C-2), 107.1 (C-1), 115.3, 123.5, 126.8, 127.8, 129.3, 129.7, 130.1, 132.4, 133.0, 135.9, 153.0 (Ar-C), 154.0 (CO) ppm.

5-Deoxy-5-(6,7-dimethyl-2-oxo-1(2H)-quinoxaliny)- β -D-ribofuranose (6d, C₁₅H₁₈N₂O₅)

Brown crystals (21 %, method B 64 %); m.p.: 185–187 °C; ¹H NMR (250 MHz, DMSO-*d*₆): δ = 2.26 (s, 3H, CH₃), 2.33 (s, 3H, CH₃), 3.90 (brs, 3H, 3 OH), 4.10–4.32 (m, 3H, H-4, H-5, H-5'), 4.45–4.64 (m, 2H, H-3), 4.91–5.02 (m, 2H, H-1, H-2), 7.46 (s, 1H, Ar-H), 7.51 (s, 1H, Ar-H), 8.08 (s, 1H, CH) ppm; ¹³C NMR (62.5 MHz, DMSO-*d*₆): δ = 18.3 (CH₃), 19.9 (CH₃), 45.2 (C-5), 72.9 (C-4), 75.5 (C-3), 78.3 (C-2), 101.9 (C-1), 129.3, 130.8, 131.3, 132.0, 132.1, 140.3, 148.5 (Ar-C), 154.5 (CO) ppm.

5-Deoxy-5-(3,6,7-trimethyl-2-oxo-1(2H)-quinoxaliny)- β -D-ribofuranose (6e, C₁₆H₂₀N₂O₅)

White crystals (28 %, method B 54 %); m.p.: 173–175 °C; ¹H NMR (250 MHz, DMSO-*d*₆): δ = 2.36 (s, 3H, CH₃), 2.42 (s, 3H, CH₃), 2.48 (s, 3H, CH₃), 3.82 (brs, 2H, 2 OH), 4.00 (brs, 1H, OH), 4.15–4.40 (m, 2H, H-5, H-5'), 4.57–4.68 (m, 2H, H-3, H-4), 4.92–5.12 (m, 2H, H-1, H-2), 7.51 (s, 1H, Ar-H), 7.55 (s, 1H, Ar-H) ppm; ¹³C NMR (62.5 MHz, DMSO-*d*₆): δ = 18.6 (CH₃), 19.9 (CH₃), 21.0 (CH₃), 45.5 (C-5), 72.8 (C-4), 75.5 (C-3), 78.3 (C-2), 101.8 (C-1), 128.5, 130.4, 130.6, 130.7, 131.5, 138.5, 154.3 (Ar-C), 156.0 (CO) ppm.

5-Deoxy-5-(6,7-dimethyl-2-oxo-3-phenyl-1(2H)-quinoxaliny)- β -D-ribofuranose (6f, C₂₁H₂₂N₂O₅)

White crystals (30 %, method B 56 %); m.p.: 180–182 °C; ¹H NMR (250 MHz, DMSO-*d*₆): δ = 2.34 (s, 3H, CH₃), 2.41 (s, 3H, CH₃), 2.51 (brs, 1H, OH), 3.50 (brs, 1H, OH), 3.79–3.90 (m, 1H, H-5), 4.00 (brs, 1H, OH), 4.28–4.33 (m, 1H, H-5'), 4.50–4.82 (m, 2H, H-3, H-4), 4.90–5.08 (m, 2H, H-1, H-2), 7.40–7.53 (s, 4H, Ar-H), 7.64 (s, 1H, Ar-H), 8.21–8.30 (m, 2H, Ar-H) ppm; ¹³C NMR (62.5 MHz, DMSO-*d*₆): δ = 18.5 (CH₃), 20.0 (CH₃), 45.8 (C-5), 72.9 (C-4), 75.5 (C-3), 78.4 (C-2), 101.9 (C-1), 115.6, 127.6, 129.1, 129.3, 129.7, 130.8, 130.9, 131.9, 132.1, 136.0, 139.9, 151.3 (Ar-C), 153.8 (CO) ppm.

Methyl 5-deoxy-5-(quinoxaline-2-yloxy)- β -D-ribofuranoside (7a, C₁₄H₁₆N₂O₅)

White crystals (61 %); m.p.: 102–104 °C; ¹H NMR (250 MHz, DMSO-*d*₆): δ = 3.17 (s, 3H, OCH₃), 3.80–3.92 (m, 1H, H-5), 4.08–4.21 (m, 2H, H-4, H-5'), 4.37–4.50 (m, 1H, H-3), 4.65–4.78 (m, 2H, H-2), 4.80 (s, 1H, H-1), 5.11–5.21 (m, 2H, 2 OH), 7.30–7.59 (m, 1H, Ar-H), 7.62–7.79 (m, 2H, Ar-H), 7.83–8.00 (m, 1H, Ar-H), 8.58 (s, 1H, CH) ppm; ¹³C NMR (62.5 MHz, DMSO-*d*₆): δ = 54.2 (OCH₃), 67.5 (C-5), 71.3 (C-4), 74.0 (C-3), 80.0 (C-2), 108.3 (C-1), 126.8, 128.6, 130.0, 130.4, 138.4, 139.5, 139.7, 156.9 (Ar-C) ppm; MS: *m/z* (%) = 292.0.

Methyl 5-deoxy-5-(3-methylquinoxaline-2-yloxy)- β -D-ribofuranoside (7b, C₁₅H₁₈N₂O₅)

White crystals (58 %); m.p.: 115–117 °C; ¹H NMR (250 MHz, DMSO-*d*₆): δ = 2.50 (s, 3H, CH₃), 3.28 (s, 3H, OCH₃), 3.67–3.83 (m, 2H, H-5, H-5'), 4.07–4.13 (m, 1H, H-4), 4.35–4.41 (m, 2H, H-2, H-3), 4.61 (s, 1H, H-1), 4.99 (brs, 1H, OH), 5.11 (m, 1H, OH), 7.48–7.59 (m, 2H, Ar-H), 7.61–7.85 (m, 2H, Ar-H) ppm; ¹³C NMR (62.5 MHz, DMSO-*d*₆): δ = 19.8 (CH₃), 54.2 (OCH₃), 66.5 (C-5), 74.1 (C-4), 79.3 (C-3), 79.9 (C-2), 108.1 (C-1), 126.4, 127.7, 129.0, 130.1, 137.9, 138.9, 147.9, 155.7 (Ar-C) ppm.

Methyl 5-deoxy-5-(3-phenylquinoxaline-2-yloxy)- β -D-ribofuranoside (7c, C₂₀H₂₀N₂O₅)

White crystals (54 %); m.p.: 88–90 °C; ¹H NMR (250 MHz, DMSO-*d*₆): δ = 3.35 (s, 3H, OCH₃), 4.61–4.71 (m, 3H, H-4, H-5, H-5'), 4.76–4.87 (m, 2H, H-2, H-3), 5.00 (s, 1H, H-1), 5.12–5.32 (brs, 2H, 2 OH), 7.49–7.82 (m, 5H, Ar-H), 7.92–8.00 (m, 1H, Ar-H), 8.14–8.25 (m, 3H, Ar-H) ppm; ¹³C NMR (62.5 MHz, DMSO-*d*₆): δ = 53.9 (OCH₃), 65.4 (C-5), 82.0 (C-4), 84.3 (C-3), 85.3 (C-2), 110.0 (C-1), 126.0, 126.5, 128.3, 128.7, 129.1, 129.4, 130.0, 132.0, 134.8, 138.4, 139.2, 145.2, 155.0 (Ar-C) ppm.

Methyl 5-deoxy-5-(6,7-dimethylquinoxaline-2-yloxy)- β -D-ribofuranoside (7d, C₁₆H₂₀N₂O₅)

White crystals (55 %); m.p.: 111–113 °C; ¹H NMR (250 MHz, DMSO-*d*₆): δ = 2.52 (s, 6H, 2 CH₃), 3.32 (s, 3H, OCH₃), 3.97 (brs, 1H, OH), 4.21–4.38 (m, 2H, H-5, H-5'), 4.46–4.54 (m, 2H, H-3, H-4), 4.77–4.83 (m, 1H, H-2), 4.85 (s, 1H, H-1), 5.35 (brs, 1H, OH), 7.69 (s, 1H, Ar-H), 7.85 (s, 1H, Ar-H), 8.59 (s, 1H, CH) ppm; ¹³C NMR (62.5 MHz, DMSO-*d*₆): δ = 19.3 (CH₃), 19.7 (CH₃), 54.2 (OCH₃), 67.3 (C-5), 71.3 (C-4), 74.1 (C-3), 80.1 (C-2), 108.3 (C-1), 126.2, 127.8, 136.3, 137.2, 137.9, 138.2, 140.3, 156.6 (Ar-C) ppm.

Methyl 5-deoxy-5-(3,6,7-trimethylquinoxaline-2-yloxy)- β -D-ribofuranoside (7e, C₁₇H₂₂N₂O₅)

White crystals (62 %); m.p.: 144–146 °C; ¹H NMR (250 MHz, DMSO-*d*₆): δ = 2.30 (s, 6H, 2 CH₃), 2.33 (s, 3H, CH₃), 3.09 (s, 3H, OCH₃), 3.78–3.88 (m, 1H, H-5), 4.00–4.12 (m, 2H, H-4, H-5'), 4.33–4.61 (m, 2H, H-2, H-3), 4.63 (s, 1H, H-1), 5.35 (m, 1H, OH), 4.92–4.99 (brs, 1H, OH), 5.02–5.14 (brs, 1H, OH), 7.51 (s, 1H, Ar-H), 7.61 (s, 1H, Ar-H) ppm; ¹³C NMR (62.5 MHz, DMSO-*d*₆): δ = 19.4 (CH₃), 19.6 (CH₃), 19.7 (CH₃), 54.2 (OCH₃), 66.3 (C-5), 70.8 (C-4), 74.2 (C-3), 80.0 (C-2), 108.2 (C-1), 125.9, 127.1, 135.9, 136.8, 137.5, 138.8, 146.5, 155.5 (Ar-C) ppm; MS: *m/z* (%) = 334.0.

Methyl 5-deoxy-5-(6,7-dimethyl-3-phenylquinoxaline-2-yloxy)-β-D-ribofuranoside (7f, C₂₂H₂₄N₂O₅)

Brown crystals (57 %); m.p.: 156–158 °C; ¹H NMR (250 MHz, DMSO-*d*₆): δ = 2.32 (s, 3H, CH₃), 2.36 (s, 3H, CH₃), 3.28 (s, 3H, OCH₃), 3.85 (brs, 1H, OH), 4.32–4.45 (m, 3H, H-4, H-5, H-5'), 4.50–4.64 (m, 2H, H-2, H-3), 4.85 (s, 1H, H-1), 5.12–5.21 (brs, 1H, OH), 7.10–7.56 (m, 4H, Ar-H), 7.60–7.75 (m, 1H, Ar-H), 8.20–8.38 (m, 2H, Ar-H) ppm; ¹³C NMR (62.5 MHz, DMSO-*d*₆): δ = 19.4 (CH₃), 19.8 (CH₃), 54.2 (OCH₃), 67.3 (C-5), 74.2 (C-4), 77.2 (C-3), 79.8 (C-2), 108.3 (C-1), 127.7, 128.0, 128.5, 129.5, 129.8, 130.1, 130.6, 132.0, 135.9, 136.7, 137.2, 140.0, 140.2, 154.6 (Ar-C) ppm.

5-Deoxy-5-(quinoxaline-2-yloxy)-β-D-ribofuranose (8a, C₁₃H₁₄N₂O₅)

White crystals (26 %, method B 61 %); m.p.: 167–169 °C; ¹H NMR (250 MHz, DMSO-*d*₆): δ = 4.20–4.45 (m, 3H, 3 OH), 4.51–4.58 (m, 1H, H-5), 4.62–4.68 (m, 2H, H-4, H-5'), 4.71–4.86 (m, 2H, H-2, H-3), 5.09 (s, 1H, H-1), 7.50–7.57 (m, 1H, Ar-H), 7.61–7.69 (m, 2H, Ar-H), 7.72–7.91 (m, 1H, Ar-H), 8.47 (s, 1H, CH) ppm; ¹³C NMR (62.5 MHz, DMSO-*d*₆): δ = 68.3 (C-5), 71.3 (C-4), 75.2 (C-3), 79.1 (C-2), 101.8 (C-1), 122.4, 126.6, 128.0, 128.4, 130.2, 138.1, 139.3, 156.7 (Ar-C) ppm.

5-Deoxy-5-(3-methylquinoxaline-2-yloxy)-β-D-ribofuranose (8b, C₁₄H₁₆N₂O₅)

White crystals (22 %, method B 54 %); m.p.: 126–128 °C; ¹H NMR (250 MHz, DMSO-*d*₆): δ = 2.71 (s, 3H, CH₃), 4.00–4.36 (m, 4H, H-4, H-5, H-5', OH), 4.47–4.68 (m, 4H, H-2, H-3, 2 OH), 4.87 (s, 1H, H-1), 7.68–7.80 (m, 2H, Ar-H), 7.82–8.08 (m, 2H, Ar-H) ppm; ¹³C NMR (62.5 MHz, DMSO-*d*₆): δ = 19.7 (CH₃), 66.4 (C-5), 70.8 (C-4), 74.1 (C-3), 79.6 (C-2), 108.3 (C-1), 125.4, 126.4, 127.6, 128.9, 137.6, 139.0, 147.3, 155.5 (Ar-C) ppm; MS: *m/z* (%) = 292.0.

5-Deoxy-5-(3-phenylquinoxaline-2-yloxy)-β-D-ribofuranose (8c, C₁₉H₁₈N₂O₅)

Brown crystals (27 %, method B 61 %); m.p.: 116–118 °C; ¹H NMR (250 MHz, DMSO-*d*₆): δ = 3.50 (brs, 2H, 2 OH), 3.92–4.30 (m, 2H, H-5, H-5'), 4.50–4.62 (m, 2H, H-3, H-4), 4.82–4.91 (m, 1H, H-2), 5.17 (s, 1H, H-1), 6.50 (brs, 1H, OH), 7.28–7.99 (m, 5H, Ar-H), 8.09–8.33 (m, 4H, Ar-H) ppm; ¹³C NMR (62.5 MHz, DMSO-*d*₆): δ = 68.8 (C-5), 71.5 (C-4), 75.5 (C-3), 79.3 (C-2), 102.1 (C-1), 115.2, 126.4, 127.1, 128.2, 128.7, 129.8, 130.1, 135.5, 138.4, 139.1, 145.7, 154.6, 155.1 (Ar-C) ppm.

5-Deoxy-5-(6,7-dimethylquinoxaline-2-yloxy)-β-D-ribofuranose (8d, C₁₅H₁₈N₂O₅)

Brown crystals (21 %, method B 50 %); m.p.: 121–123 °C; ¹H NMR (250 MHz, DMSO-*d*₆): δ = 2.40 (s, 6H, 2 CH₃), 3.72 (brs, 2H, 2 OH), 3.91 (brs, 1H, OH), 4.20–4.32 (m,

1H, H-5), 4.48–4.63 (m, 2H, H-4, H-5'), 4.70–4.86 (m, 2H, H-2, H-3), 5.18 (s, 1H, H-1), 7.72 (s, 1H, Ar-H), 7.87 (s, 1H, Ar-H), 8.60 (s, 1H, CH) ppm; ¹³C NMR (62.5 MHz, DMSO-*d*₆): δ = 19.3 (CH₃), 19.7 (CH₃), 68.4 (C-5), 71.4 (C-4), 75.3 (C-3), 79.2 (C-2), 102.0 (C-1), 126.2, 127.8, 136.3, 137.1, 138.0, 138.3, 140.4, 156.7 (Ar-C) ppm.

5-Deoxy-5-(3,6,7-trimethylquinoxaline-2-yloxy)-β-D-ribofuranose (8e, C₁₆H₂₀N₂O₅)

Brown crystals (24 %, method B 53 %); m.p.: 191–193 °C; ¹H NMR (250 MHz, DMSO-*d*₆): δ = 2.35 (s, 6H, 2 CH₃), 2.51 (s, 3 H, CH₃), 4.21 (brs, 3H, 3 OH), 4.31–4.38 (m, 2H, H-5, H-5'), 4.47–4.69 (m, 3H, H-2, H-3, H-4), 5.01 (s, 1H, H-1), 7.52 (s, 1H, Ar-H), 7.61 (s, 1H, Ar-H) ppm; ¹³C NMR (62.5 MHz, DMSO-*d*₆): δ = 19.4 (CH₃), 19.6 (CH₃), 19.8 (CH₃), 67.8 (C-5), 71.2 (C-4), 75.3 (C-3), 79.2 (C-2), 101.8 (C-1), 125.9, 127.1, 135.8, 136.7, 137.5, 138.7, 146.4, 155.5 (Ar-C) ppm; MS: *m/z* (%) = 320.0.

5-Deoxy-5-(6,7-dimethyl-3-phenylquinoxaline-2-yloxy)-β-D-ribofuranose (8f, C₂₁H₂₂N₂O₅)

Yellow crystals (28 %, method B 57 %); m.p.: 131–133 °C; ¹H NMR (250 MHz, DMSO-*d*₆): δ = 2.44 (s, 3H, CH₃), 2.47 (s, 3H, CH₃), 4.23 (brs, 1H, OH), 4.55–4.72 (m, 2H, H-5, H-5'), 4.81–5.03 (m, 2H, H-3, H-4), 5.06–5.13 (m, 2H, H-1, H-2), 6.03 (d, 1H, *J* = 8.0 Hz, 1H, OH), 6.50 (d, 1H, *J* = 4.7 Hz, 1H, OH), 7.56–7.69 (m, 4H, Ar-H), 7.80–7.87 (m, 1H, Ar-H), 8.12–8.26 (m, 2H, Ar-H) ppm; ¹³C NMR (62.5 MHz, DMSO-*d*₆): δ = 19.5 (CH₃), 19.8 (CH₃), 66.7 (C-5), 75.3 (C-4), 79.1 (C-3), 79.5 (C-2), 101.9 (C-1), 125.7, 128.1, 129.5, 135.7, 136.7, 137.1, 137.6, 140.2, 144.2, 144.4, 154.6 (Ar-C) ppm.

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