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Synthesis of glucosylated 1,2,3-triazole derivatives

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Abstract

A series of potential bioactive compounds, 1-glucosyl-4-heterocyclyl-5-(*p*-substituted-phenyl)-1,2,3-triazoles, were synthesized. Highly stereoselective products were obtained in good yield. Primary activity screening showed that this type of *N*-glucosylic compound possessed antitumour and antiviral activities. © 1999 Elsevier Science Ltd. All rights reserved.

Keywords: Synthesis; Heterocycle, glucosylated; Triazole

1. Introduction

Heterocyclic- and aryl-substituted triazole derivatives have been shown to possess biological activity [1–5]. A series of aryl-substituted 1,2,3-triazoles have been synthesized by the action of heterocyclic ketene aminals with aryl azides and found to be biologically active [6]. In order to test whether glucosyl groups attached to the triazole ring would improve the activity of these compounds, a series of heterocyclic- and aryl-substituted 1,2,3-triazole *N*-glucosylic compounds were prepared [7]. The solubility of the protected aryl-substituted 1,2,3-triazole *N*-glucosylic compounds in water was poor, but the deprotected aryl-substituted 1,2,3-triazole *N*-glucosylic derivatives were water soluble.

2-Aroylmethylene-hexahydropyrimidines (**1**) and -hexahydro-1*H*-1,3-diazepines (**2**) were prepared by the literature method [8], and they reacted smoothly with 2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl azide (**3**) [9,10] to give the *N*-glucosylic compounds **4** and **5** in excellent yields. The reaction conditions, yields and melting points of **4** and **5** are listed in Table 1.

The structure of the triazoles **4** and **5** was confirmed by elemental analyses and mass spectra, as well as by IR and NMR spectra. The disappearance of the aroyl carbonyl absorption (ca. 1610 cm^{-1}) in the IR spectra and of the carbonyl signal (ca. 180–190 ppm) in the ^{13}C NMR spectra of **1** and **2**, the appearance of acetyl carbonyl bands/signals in the IR and NMR spectra, the disappearance of the ethylenic proton signal, and the appearance of one N–H signal in the ^{1}H NMR spectra are all consistent with the structures shown for **4** and **5**. The ^{1}H NMR and ^{13}C NMR signals, especially those of the glucopyranose ring, were assigned by $^{1}H-^{1}H$ and $^{1}H-^{13}C$ COSY spectra, which are described in Section 2. The β-configuration of the glucopyranosyl ring was confirmed by the H-1–H-2 coupling constants ($J_{H1,H2} = 9.20–9.60$ Hz) for **4** and **5** [11,12].

Deacetylation of compounds **4** and **5** proceeded easily in methanol to give the deprotected triazole derivatives **6** or **7** in quan-

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titative yields (Scheme 1). The disappearance of acetyl groups of **6** or **7** was evident in both the IR and NMR spectra. The spectral data and elemental analyses were all consistent with the structures **6** and **7**, and the H-1–H-2 coupling constants ($J_{H1,H2}$ = 9.00–9.30 Hz) confirmed the β-configuration.

2. Experimental

General methods.—Melting points were uncorrected. ^{1}H NMR and ^{13}C NMR spectra were obtained on a Varian Unity 200-MHz spectrometer. The chemical shifts were reported in ppm downfield from Me_4Si. *J* values are given in Hz. IR spectra were recorded with a Perkin–Elmer 782 spectrometer. FAB mass spectra were recorded with a KYKY-ZHT-5 instrument. Elemental analyses were performed at the Analytical Laboratory of the Institute of Chemistry, Beijing.

General procedure for the synthesis of compounds **4** *and* **5**.—A mixture of benzoyl-substituted heterocyclic ketene aminals (**1** or **2**) (1.0 mmol) and 2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl azide (**3**, 1.1 mmol) in anhydrous 1,2-dichloroethane (25 mL) was stirred at 35 °C, and the reaction was monitored by TLC. After evaporation of the solvent, the products were purified with a neutral aluminium oxide column, using 1,2-dichloroethane and chloroform as eluants.

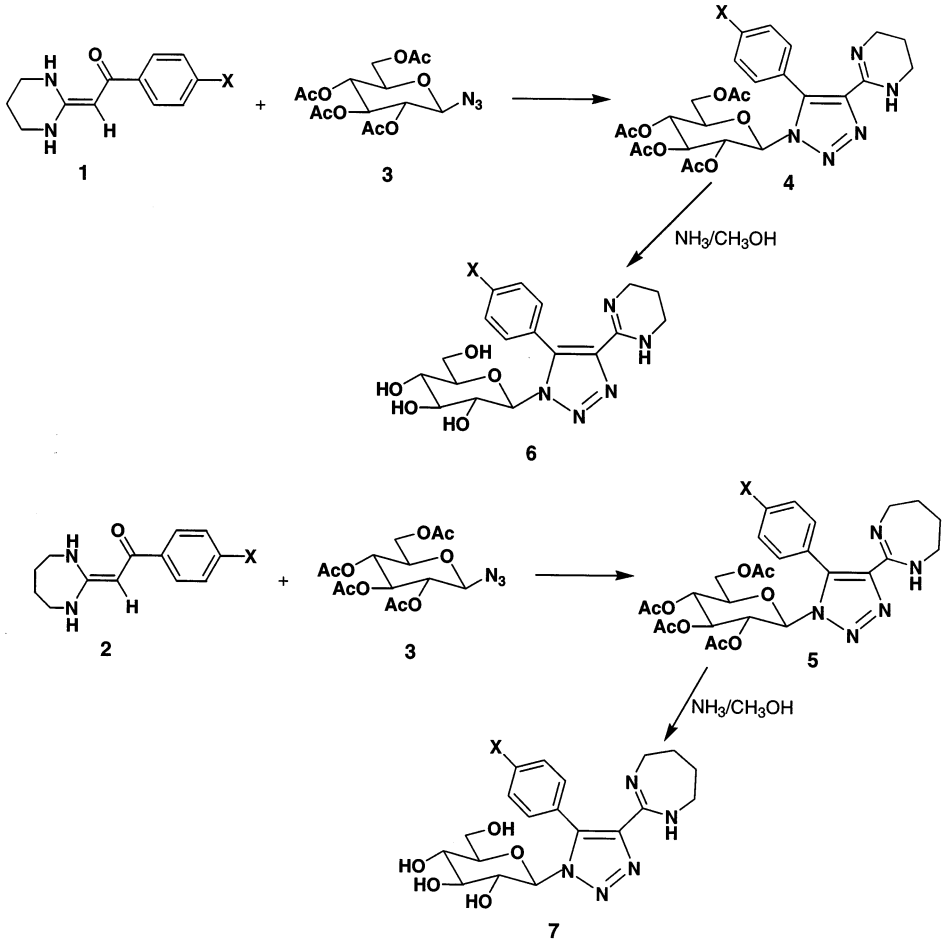
Table 1
Reaction conditions, yields and melting points of products **4–7**

Product	Reaction conditions		Yield (%)	mp (°C)
	°C	Time		
4a	35	8 h	95	89–91
4b	35	1 day	95	74–76
4c	35	2 days	94	82–84
4d	35	4 days	93	104–106
5a	35	1.5 days	94	79–81
5b	35	5 days	93	67–71
5c	35	11 days	91	80–82
5d	35	18 days	90	65–67
6a	~5	~2 h	~100	29–31
6b	~5	~2 h	~100	38–40
6c	~5	~2 h	~100	32–34
6d	~5	~2 h	~100	43–45
7c	~5	~2 h	~100	32–34

*1-(2,3,4,6-Tetra-*O*-acetyl-β-D-glucopyranosyl)-4-(2-tetrahydropyrimidinyl)-5-phenyl-1,2,3-triazole* (**4a**).—IR (KBr): 3424 (N–H), 1757 (CH_3–C=O) cm^{-1}. ^{1}H NMR ($CDCl_3$): δ 7.62–7.45 (m, 5 H, ArH), 6.10–6.00 (m, 1 H, Glc–H-2), 5.35 (d, 1 H, $J_{H1,H2}$ = 9.52 Hz, Glc–H-1), 5.27–5.15 (m, 2 H, Glc–H-3, H-4), 4.28–4.10 (m, 2 H, Glc–H-6), 3.82–3.72 (m, 1 H, Glc–H-5), 3.38 (t, 4 H, CH_2–C–CH_2), 2.13 (s, 3 H, CH_3C=O), 2.04 (s, 3 H, CH_3C=O), 2.00 (s, 3 H, CH_3C=O), 1.85 (s, 3 H, CH_3C=O), 1.79 (quin, 2 H, C–CH_2–C). ^{13}C NMR ($CDCl_3$): δ 169.71, 169.55, 168.61, 167.62, 146.77, 140.57, 136.69, 129.85, 129.41, 127.79, 125.20, 82.28 (Glc–C-1), 73.93 (Glc–C-5), 72.87 (Glc–C-3), 68.72 (Glc–C-2), 67.14 (Glc–C-4), 61.44 (Glc–C-6), 41.20, 20.05, 20.03, 19.87, 19.85, 19.68. FABMS: *m/z* 558 $[M+1]^+$. Anal. Calcd for $C_{26}H_{31}N_5O_9 \cdot H_2O$: C, 54.25; H, 5.78; N, 12.17. Found: C, 53.53; H, 5.29; N, 11.89.

*1-(2,3,4,6-Tetra-*O*-acetyl-β-D-glucopyranosyl)-4-(2-tetrahydropyrimidinyl)-5-(*p*-methylphenyl)-1,2,3-triazole* (**4b**).—IR (KBr): 3405 (N–H), 1753 (CH_3–C=O) cm^{-1}. ^{1}H NMR ($CDCl_3$): δ 7.50 (d, 2 H, ArH), 7.30 (d, 2 H, ArH), 6.10–6.00 (m, 1 H, Glc–H-2), 5.35 (d, 1 H, $J_{H1,H2}$ = 9.53 Hz, Glc–H-1), 5.25–5.08 (m, 2 H, Glc–H-3, H-4), 4.30–4.10 (m, 2 H, Glc–H-6), 3.84–3.73 (m, 1 H, Glc–H-5), 3.40 (t, 4 H, CH_2–C–CH_2), 2.45 (s, 3 H, $ArCH_3$), 2.13 (s, 3 H, CH_3C=O), 2.05 (s, 3 H, CH_3C=O), 2.00 (s, 3 H, CH_3C=O), 1.87 (s, 3 H, CH_3C=O), 1.80 (quin, 2 H, C–CH_2–C). ^{13}C NMR ($CDCl_3$): δ 170.17, 170.03, 168.98, 168.05, 147.33, 140.80, 140.03, 137.27, 130.10, 129.03, 122.43, 82.64 (Glc–C-1), 74.37 (Glc–C-5), 73.30 (Glc–C-3), 69.12 (Glc–C-2), 67.59 (Glc–C-4), 61.82 (Glc–C-6), 41.59, 21.31, 20.47, 20.45, 20.31, 20.29, 20.12. FABMS: *m/z* 572 $[M+1]^+$. Anal. Calcd for $C_{27}H_{33}N_5O_9 \cdot H_2O$: C, 55.00; H, 5.98; N, 11.88. Found: C, 55.07; H, 5.86; N, 11.33.

*1-(2,3,4,6-Tetra-*O*-acetyl-β-D-glucopyranosyl)-4-(2-tetrahydropyrimidinyl)-5-(*p*-methoxyphenyl)-1,2,3-triazole* (**4c**).—IR (KBr): 3411 (N–H), 1755 (CH_3–C=O) cm^{-1}. ^{1}H NMR ($CDCl_3$): δ 7.55 (d, 2 H, ArH), 7.00 (d, 2 H, ArH), 6.10–6.00 (m, 1 H, Glc–H-2), 5.95 (s, 1 H, N–H), 5.40 (d, 1 H, $J_{H1,H2}$ = 9.52 Hz, Glc–H-1), 5.32–5.17 (m, 2 H, Glc–H-3, H-4),



Series	a	b	c	d
X	H	CH_3	OCH_3	Cl

Scheme 1.

4.30–4.10 (m, 2 H, Glc–H-6), 3.90–3.80 (m, 1 H, Glc–H-5), 3.90 (s, 3 H, $ArOCH_3$), 3.38 (t, 4 H, CH_2–C–CH_2), 2.13 (s, 3 H, CH_3C=O), 2.05 (s, 3 H, CH_3C=O), 2.00 (s, 3 H, CH_3C=O), 1.83 (s, 3 H, CH_3C=O), 1.78 (quin, 2 H, C–CH_2–C). ^{13}C NMR ($CDCl_3$): δ 169.79, 169.63, 168.68, 167.73, 160.10, 147.50, 140.10, 136.50, 131.41, 117.00, 113.43, 82.31 (Glc–C-1), 74.04 (Glc–C-5), 72.97 (Glc–C-3), 68.77 (Glc–C-2), 67.25 (Glc–C-4), 61.53 (Glc–C-6), 54.81, 41.20, 20.11, 20.09, 19.96, 19.94, 19.79. FABMS: m/z 588 $[M+1]^+$. Anal. Calcd for $C_{27}H_{33}N_5O_{10}\cdot H_2O$: C, 53.55; H, 5.83; N, 11.57. Found: C, 53.92; H, 5.65; N, 11.50.

1-(2,3,4,6-Tetra-O-*acetyl-β*-D-*glucopyranosyl)-4-(2-tetrahydropyrimidinyl)-5-(*p*-chlorophenyl)-1,2,3-triazole* (**4d**).—IR (KBr): 3415 (N–H), 1760 (CH_3–C=O) cm^{-1}. ^1H NMR ($CDCl_3$): δ 7.58 (d, 2 H, ArH), 7.45 (d, 2 H, ArH), 6.08–5.99 (m, 1 H, Glc–H-2), 5.42 (d, 1 H, $J_{H1,H2}=9.52$ Hz, Glc–H-1), 5.34–5.17 (m, 2 H, Glc–H-3, H-4), 4.28–4.12 (m, 2 H, Glc–H-6), 3.93–3.83 (m, 1 H, Glc–H-5), 3.38 (t, 4 H, CH_2–C–CH_2), 2.13 (s, 3 H, CH_3C=O), 2.05 (s, 3 H, CH_3C=O), 2.01 (s, 3 H, CH_3C=O), 1.83 (s, 3 H, CH_3C=O), 1.79 (quin, 2 H, C–CH_2–C). ^{13}C NMR ($CDCl_3$): δ 169.98, 169.85, 168.89, 167.92, 146.86, 141.00, 135.92, 135.82, 131.76, 128.27, 124.05, 82.77 (Glc–C-1), 74.31 (Glc–C-5), 73.07 (Glc–C-3), 68.99 (Glc–C-2), 67.39 Glc–C-4), 61.74 (Glc–C-6), 41.51, 20.37, 20.35, 20.18, 20.16, 19.98. FABMS: m/z 592 $[M+1]^+$. Anal. Calcd for $C_{26}H_{30}ClN_5O_9\cdot H_2O$: C, 51.19; H, 5.29; N, 11.48. Found: C, 51.43; H, 5.21; N, 11.32.

1-(2,3,4,6-Tetra-O-*acetyl-β*-D-*glucopyranosyl)-4-(2-tetrahydro-1*H-*diazepinyl)-5-phenyl-1,2,3-triazole* (**5a**).—IR (KBr): 3375 (N–H), 1755 (CH_3–C=O) cm^{-1}. ^1H NMR ($CDCl_3$): δ 7.57–7.46 (m, 5 H, ArH), 6.10–6.00 (m, 1 H, Glc–H-2), 5.37 (d, 1 H, $J_{H1,H2} = 9.52$ Hz, Glc–H-1), 5.28–5.15 (m, 2 H, Glc–H-3, H-4), 4.25–4.10 (m, 2 H, Glc–H-6), 3.82–3.72 (m, 1 H, Glc–H-5), 3.35 (t, 4 H, CH_2–C–C–CH_2), 2.13 (s, 3 H, CH_3C=O), 2.05 (s, 3 H, CH_3C=O), 2.00 (s, 3 H, CH_3C=O), 1.87 (s, 3 H, CH_3C=O), 1.75 (quin, 4 H, C–CH_2–CH_2–C). ^{13}C NMR ($CDCl_3$): δ 170.11, 169.96, 168.96, 168.07, 151.90, 142.13, 138.05, 130.17, 129.81, 128.20, 125.66, 82.82 (Glc–C-1), 74.39 (Glc–C-5), 73.24 (Glc–C-3), 69.04 (Glc–C-2), 67.51 (Glc–C-4), 61.78 (Glc–C-6), 47.57, 28.30, 20.42, 20.26, 20.24, 20.13. FABMS: m/z 572 $[M+1]^+$. Anal. Calcd for $C_{27}H_{33}N_5O_9 \cdot H_2O$: C, 55.00; H, 5.98; N, 11.88. Found: C, 54.79; H, 5.94; N, 11.35.

1-(2,3,4,6-Tetra-O-*acetyl-β*-D-*glucopyranosyl)-4-(2-tetrahydro-1*H-*diazepinyl)-5-(p-methylphenyl)-1,2,3-triazole* (**5b**).—IR (KBr): 3375 (N–H), 1758 (CH_3–C=O) cm^{-1}. ^1H NMR ($CDCl_3$): δ 7.45 (d, 2 H, ArH), 7.30 (d, 2 H, ArH), 6.10–6.00 (m, 1 H, Glc–H-2), 5.35 (d, 1 H, $J_{H1,H2} = 9.52$ Hz, Glc–H-1), 5.30–5.15 (m, 2 H, Glc–H-3, H-4), 4.30–4.10 (m, 2 H, Glc–H-6), 3.85–3.73 (m, 1 H, Glc–H-5), 3.36 (t, 4 H, CH_2–C–C–CH_2), 2.45 (s, 3 H, $ArCH_3$), 2.15 (s, 3 H, CH_3C=O), 2.05 (s, 3 H, CH_3C=O), 2.00 (s, 3 H, CH_3C=O), 1.85 (s, 3 H, CH_3C=O), 1.75 (quin, 4 H, C–CH_2–CH_2–C). ^{13}C NMR ($CDCl_3$): δ 169.98, 169.80, 168.85, 167.93, 152.06, 141.79, 139.83, 138.05, 129.88, 128.85, 126.36, 82.59 (Glc–C-1), 74.20 (Glc–C-5), 73.13 (Glc–C-3), 68.90 (Glc–C-2), 67.43 (Glc–C-4), 61.69 (Glc–C-6), 47.36, 28.12, 21.11, 20.28, 20.22, 20.12, 19.96. FABMS: m/z 586 $[M+1]^+$. Anal. Calcd for $C_{28}H_{35}N_5O_9 \cdot H_2O$: C, 55.71; H, 6.18; N, 11.60. Found: C, 54.93; H, 6.15; N, 11.46.

1-(2,3,4,6-Tetra-O-*acetyl-β*-D-*glucopyranosyl)-4-(2-tetrahydro-1*H-*diazepinyl)-5-(p-methoxyphenyl)-1,2,3-triazole* (**5c**).—IR (KBr): 3401(N–H), 1750 (CH_3–C=O) cm^{-1}. ^1H NMR ($CDCl_3$): δ 7.50 (d, 2 H, ArH), 7.00 (d, 2 H, ArH), 6.08–5.98 (m, 1 H, Glc–H-2), 5.37 (d, 1 H, $J_{H1,H2} = 9.52$ Hz, Glc–H-1), 5.28–5.16 (m, 2 H, Glc–H-3, H-4), 4.25–4.10 (m, 2 H, Glc–H-6), 3.90 (s, 3 H, $ArOCH_3$), 3.85–3.75 (m, 1 H, Glc–H-5), 3.37 (t, 4 H, CH_2–C–C–CH_2), 2.12 (s, 3 H, CH_3C=O), 2.03 (s, 3 H, CH_3C=O), 2.00 (s, 3 H, CH_3C=O), 1.85 (s, 3 H, CH_3C=O), 1.75 (quin, 4 H, C–CH_2–CH_2–C). ^{13}C NMR ($CDCl_3$): δ 169.81, 169.60, 168.70, 167.85, 160.46, 152.39, 140.96, 137.99, 131.30, 116.67, 113.56, 82.50 (Glc–C-1), 74.07 (Glc–C-5), 72.93 (Glc–C-3), 68.72 (Glc–C-2), 67.22 (Glc–C-4), 61.47 (Glc–C-6), 54.85, 46.90, 27.70, 20.12, 19.98, 19.96, 19.83. FABMS: m/z 602 $[M+1]^+$. Anal. Calcd for $C_{28}H_{35}N_5O_{10} \cdot H_2O$: C, 54.27; H, 6.02; N, 11.30. Found: C, 54.42; H, 6.03; N, 10.71.

1-(2,3,4,6-Tetra-O-*acetyl-β*-D-*glucopyranosyl)-4-(2-tetrahydro-1*H-*diazepineyl)-5-(p-chlorophenyl)-1,2,3-triazole* (**5d**).—IR (KBr): 3377 (N–H), 1756 (CH_3–C=O) cm^{-1}. ^1H NMR ($CDCl_3$): δ 7.54 (d, 2 H, ArH), 7.45 (d, 2 H, ArH), 6.08–5.98 (m, 1 H, Glc–H-2), 5.38 (d, 1 H, $J_{H1,H2} = 9.28$ Hz, Glc–H-1), 5.30–5.15 (m, 2 H, Glc–H-3, H-4), 4.27–4.12 (m, 2 H, Glc–H-6), 3.87–3.76 (m, 1 H, Glc–H-5), 3.33 (t, 4 H, CH_2–C–C–CH_2), 2.15 (s, 3 H, CH_3C=O), 2.03 (s, 3 H, CH_3C=O), 2.00 (s, 3 H, CH_3C=O), 1.85 (s, 3 H, CH_3C=O), 1.75 (quin, 4 H, C–CH_2CH_2–C). ^{13}C NMR ($CDCl_3$): δ 170.18, 170.08, 169.03, 168.12, 151.56, 142.60, 136.95, 135.99, 131.85, 128.41, 124.45, 83.09 (Glc–C-1), 74.55 (Glc–C-5), 73.24 (Glc–C-3), 69.12 (Glc–C-2), 67.54 (Glc–C-4), 61.86 (Glc–C-6), 47.83, 28.52, 20.54, 20.37, 20.35, 20.19. FABMS: m/z 606 $[M+1]^+$. Anal. Calcd for $C_{27}H_{32}ClN_5O_9 \cdot H_2O$: C, 51.96; H, 5.49; N, 11.22. Found: C, 51.87; H, 5.25; N, 10.41.

General procedure for the deprotection of **4** *and* **5**.—Ammonia was bubbled into an anhydrous methanolic solution of **4** or **5** cooled in an ice-water bath. When TLC (10:1 $CHCl_3$–MeOH) showed the absence of **4** or **5** and the appearance of one new spot, the bubbling of ammonia was stopped. After removal of solvent, the residue was purified by alumina column chromatography using a gradient of 100:1 to 25:1 $CHCl_3$–MeOH as eluant to give pure compounds **6** or **7**.

1-β-D-Glucopyranosyl-4-(2-tetrahydropyrimidinyl)-5-phenyl-1,2,3-triazole (**6a**).—IR (KBr): 3430 (N–H), 1656 (C=N), 1069 (C–O) cm^{-1}. ^1H NMR (D_2O): δ 7.55–7.40 (m, 5 H, ArH), 5.24 (d, 1 H, $J_{H1,H2} = 9.03$ Hz, Glc–H-1), 4.20–4.10 (m, 1 H, Glc–H-2), 3.82–3.72 (m, 1 H, Glc–H-3), 3.65–3.55 (m, 1 H, Glc–H-4), 3.47–3.37 (m, 3 H, Glc–H-5, H-6), 3.35 (t, 4 H, CH_2–C–CH_2), 1.90 (quin, 2 H, C–CH_2–C). ^{13}C NMR ($CDCl_3$): δ 151.50, 140.92, 133.71, 131.80, 129.81, 129.79, 122.07, 85.21 (Glc–C-1), 78.82 (Glc–C-5), 75.81 (Glc–C-3), 71.15 (Glc–C-2), 69.00 (Glc–C-4), 60.47 (Glc–C-6), 38.96, 17.48. FABMS: m/z 390 $[M+1]^+$. Anal. Calcd for $C_{18}H_{23}N_5O_5 \cdot 4H_2O$: C, 46.85; H, 6.77; N, 15.18. Found: C, 46.64; H, 6.03; N, 15.62.

1-β-D-Glucopyranosyl-4-(2-tetrahydropyrimidinyl)-5-(p-methylphenyl)-1,2,3-triazole (**6b**).—IR (KBr): 3400 (N–H), 1660 (C=N), 1080 (C–O) cm^{-1}. ^1H NMR (D_2O): δ 7.40 (s, 4 H, ArH), 5.28 (d, 1 H, $J_{H1,H2} = 9.28$ Hz, Glc–H-1), 4.25–4.16 (m, 1 H, Glc–H-2), 3.84–3.78 (m, 1 H, Glc–H-3), 3.70–3.60 (m, 1 H, Glc–H-4), 3.54–3.42 (m, 3 H, Glc–H-5, H-6), 3.40 (t, 4 H, CH_2–C–CH_2), 2.35 (s, 3 H, $ArCH_3$), 1.97 (quin, 2 H, C–CH_2–C). ^{13}C NMR (D_2O): δ 151.74, 142.86, 141.19, 133.73, 130.43, 129.78, 119.07, 85.30 (Glc–C-1), 78.94 (Glc–C-5), 75.96 (Glc–C-3), 71.19 (Glc–C-2), 69.07 (Glc–C-4), 60.53 (Glc–C-6), 39.01, 20.68, 17.54. FABMS: m/z 404 $[M+1]^+$. Anal. Calcd for $C_{19}H_{25}N_5O_5 \cdot 4H_2O$: C, 47.99; H, 6.99; N, 14.73. Found: C, 47.65; H, 6.39; N, 14.46.

1-β-D-Glucopyranosyl-4-(2-tetrahydropyrimidinyl)-5-(p-methoxyphenyl)-1,2,3-triazole (**6c**).—IR (KBr): 3420 (N–H), 1670 (C=N), 1080 (C–O) cm^{-1}. ^1H NMR (D_2O): δ 7.43 (d, 2 H, ArH), 7.07 (d, 2 H, ArH), 5.26 (d, 1 H, $J_{H1,H2} = 9.08$ Hz, Glc–H-1), 4.25–4.15 (m, 1 H, Glc–H-2), 3.85–3.75 (m, 1 H, Glc–H-3), 3.76 (s, 3 H, $ArOCH_3$), 3.67–3.62 (m, 1 H, Glc–H-4), 3.53–3.42 (m, 3 H, Glc–H-5, H-6), 3.40 (t, 4 H, CH_2–C–CH_2), 1.95 (quin, 2 H, C–CH_2–C). ^{13}C NMR (D_2O): δ 161.55, 151.69, 140.89, 133.50, 131.57, 115.32, 114.18, 85.24 (Glc–C-1), 78.92 (Glc–C-5), 75.95 (Glc–C-3), 71.10 (Glc–C-2), 69.08 (Glc–C-4), 60.55 (Glc–C-6), 55.62, 38.99, 17.54. FABMS: m/z 420 $[M+1]^+$. Anal. Calcd for $C_{19}H_{25}N_5O_6 \cdot 4H_2O$: C, 46.43; H, 6.77; N, 14.25. Found: C, 46.29; H, 6.81; N, 13.98.

1-β-D-Glucopyranosyl-4-(2-tetrahydropyrimidinyl)-5-(p-chlorophenyl)-1,2,3-triazole (**6d**).—IR (KBr): 3433 (N–H), 1656 (C=N), 1095 (C–O) cm^{-1}. ^1H NMR (D_2O): δ 7.50 (d, 2 H, ArH), 7.40 (d, 2 H, ArH), 5.24 (d, 1 H, $J_{H1,H2} = 9.28$ Hz, Glc–H-1), 4.18–4.09 (m, 1 H, Glc–H-2), 3.80–3.72 (m, 1 H, Glc–H-3), 3.64–3.55 (m, 1 H, Glc–H-4), 3.47–3.36 (m, 3 H, Glc–H_5, H-6), 3.33 (t, 4 H, CH_2–C–CH_2), 1.90 (quin, 2 H, C–CH_2–C). ^{13}C NMR (D_2O): δ 151.38, 139.87, 137.54, 134.19, 131.40, 129.94, 120.75, 85.31 (Glc–C-1), 78.86 (Glc–C-5), 75.82 (Glc–C-3), 71.09 (Glc–C-2), 68.99 (Glc–C-4), 60.47 (Glc–C-6), 39.03, 17.51. FABMS: m/z 424 $[M+1]^+$. Anal. Calcd for $C_{18}H_{22}ClN_5O_5 \cdot 4H_2O$: C, 43.59; H, 6.10; N, 14.12. Found: C, 44.06; H, 5.42; N, 14.11.

1-β-D-Glucopyranosyl-4-(2-tetrahydro-1H-diazepinyl)-5-phenyl-1,2,3-triazole (**7a**).—IR (KBr): 3420 (N–H), 1650 (C=N), 1100 (C–O) cm^{-1}. ^1H NMR (D_2O): δ 7.70–7.40 (d, 5 H, ArH), 5.35 (d, 1 H, $J_{H1,H2} = 9.27$ Hz, Glc–H-1), 4.22 (m, 1 H, Glc–H-2), 3.80–3.30 (m, 5 H, Glc–H-3, H-4, H-5, H-6), 3.50 (t, 4 H, NCH_2), 1.85 (quin, 4 H, C–CH_2–CH_2–C). ^{13}C NMR (D_2O): δ 156.32, 142.25, 135.20, 131.81, 129.85, 129.73, 122.25, 85.38 (Glc–C-1), 78.93 (Glc–C-5), 75.91 (Glc–C-3), 71.20 (Glc–C-2), 69.07 (Glc–C-4), 60.51 (Glc–C-6), 44.78, 25.29. FABMS: m/z 404 $[M+1]^+$. Anal. Calcd for $C_{19}H_{25}N_5O_5 \cdot 4H_2O$: C, 47.99; H, 6.99; N, 14.73. Found: C, 48.85; H, 6.00; N, 14.93.

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