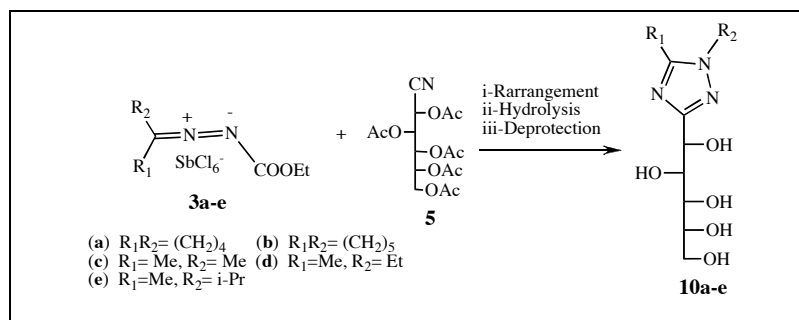


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Reported are preparations of acyclic derivatives of 1,2,4-triazole-5-glycosidies **9** by cycloadditions of 1-aza-2-azonia-allene salts **3** to the nitrile group of D-gluconitrile-2,3,4,5,6-pentaacetate **5** affording triazolium salts **8**, which with aqueous sodium hydrogencarbonate are hydrolyzed to **9**. Deacetylation of compounds **9** produced the C-glycosides **10**.

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## INTRODUCTION

The biological importance of C-nucleosides [1-4], N-nucleosides [5-9] and acyclonucleosides [10-12] attracted our attention to syntheses of acyclic C-nucleosides of potential therapeutical effectiveness. Some 1,2,4-triazoles had been tested for potential biological activities as antiviral herbicides, fungicides and insecticides [13,14].

Al-Masoudi and we have reported preparations of C- and N-glycosides by cycloadditions of 1-aza-2-azoniaallene salts **3** to glycosyl nitriles, to a glycosyl alkyne, and to a glucopyranosyl isothiocyanate [16-20].

Here, I report extensions of my experiments of reactions of cumulenes **3** with D-gluconitrile-2,3,4,5,6-pentaacetate **5** leading, after treatment with aqueous sodium hydrogencarbonate, to compounds **9a-e**, and after deacetylation to glycosides **10a-e** (Scheme 1). Recently, similar compounds **A** and **B** (Figure 1) have been prepared by El Ashry *et al* [21,22]. Potent glucosidase inhibitors, which are analogues of nojirimycin (5-amino-5-deoxy-D-glucopyranose) **C** (Figure 1), were investigated by Vasella *et al*. [23].

## RESULTS AND DISCUSSION

1-Aza-2-azoniaallene cations **3** are efficient 4-electron components for cycloadditions to many types of multiple bonds [15,16,24-31]. Cycloadditions of 1-aza-2-azoniaallenes **3** suffer from the disadvantage that one ends up with salts. For applications electronically neutral heterocycles would be more desirable. In order to obtain electronically neutral acyclic C-glycosides, heterocumu-

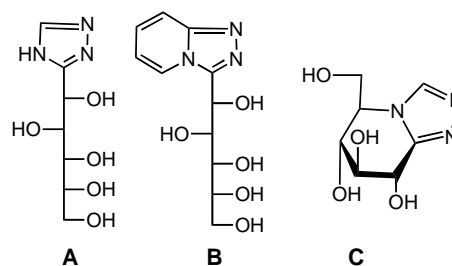
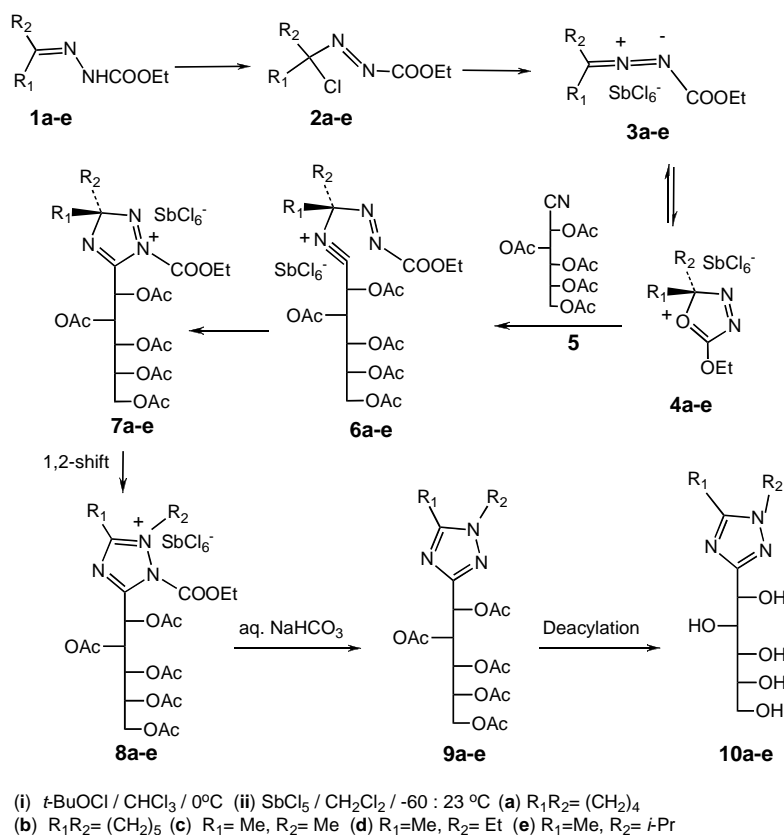


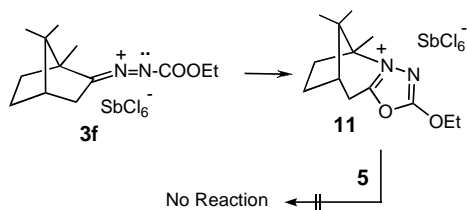
Figure 1

lenes **3a-e** were prepared, N-substituted with a leaving group COOEt, which can be removed from the cycloadducts **8a-e** resulting in the formation of the triazoles **9a-e**. The hydrazones **1**, prepared by condensation of ethylcarbrazate with ketones in boiling ethanol containing a few drops of acetic acid, were oxidized with *tert*-butylhypochlorite resulting in the formation of the (chloroalkyl)azo compounds **2a-e** [25,27,28,32]. These products reacted with antimony pentachloride at  $-60^\circ\text{C}$  in dry dichloromethane to afford the 1-aza-2-azoniaallene salts **3**, which cannot be isolated. However, at temperatures between  $-60^\circ\text{C}$  and room temperature the colours of solutions of mixtures of compounds **3** and penta-O-acetyl-D-gluconitrile **5** [33] changed indicating reactions. Work up led to the isolation of the triazolium salts **8a-e**, hydrolysis of which with aqueous sodium hydrogencarbonate afforded residues, which were purified by silica gel column chromatography to give the glycosides **9a-e**. Deacetylation of **9a-e** resulted



Scheme 1

in the formation of the C-glycosides **10a-e**. To rationalize the formation of compounds **8a-e**, I propose, in conformity with results of Wang, [15,31] that the reaction of a cation **3** with penta-*O*-acetyl-D-glucononitrile **5** results in the formation of a nitrilium salt **6**. These nitrilium salts, with an azo group in the  $\alpha$ -position to the nitrilium nitrogen atom, cyclize spontaneously to furnish the triazolium salts **7**. At temperatures above  $-30^\circ\text{C}$  the primarily formed product **7** rearranges to the final product **8** by [1,2] migration of an alkyl group from C-3 to N-1. If  $\text{R}^1$  and  $\text{R}^2$  are parts of a cyclus as in the cases of **1a,b**, the sequence **7a,b**  $\rightarrow$  **8a,b** constitutes a ring enlargement reminiscent of a Beckmann rearrangement [34]. In the case of the 1-aza-2-azoniaallene salt **3f** the cyclization to the oxadiazolium salt **11** (yield 90%) was faster than the reaction with penta-*O*-acetyl-D-glucononitrile (Scheme 2) [25]. Obviously, the salt **11** doesn't react with nitriles.



Scheme 2

The structures of the new products were established by their microanalytical and spectroscopic data (IR,  $^1\text{H}$  NMR,  $^{13}\text{C}$  NMR, and mass spectroscopy (*cf.* Experimental).

## EXPERIMENTAL

All melting points are uncorrected and measured using Electrothermal IA 9100 apparatus. IR spectra were recorded as potassium bromide pellets on a Nexus 670 spectrophotometer.  $^1\text{H}$  NMR spectra were recorded on Bruker 300 spectrometers at 300 MHz and  $^{13}\text{C}$  NMR spectra were recorded on Bruker 300 spectrometers at 75 MHz.  $^1\text{H}$  NMR and  $^{13}\text{C}$  NMR spectra were measured at Brock University (Canada). Chemical shifts were expressed as part per million; ppm ( $\delta$  values) against TMS as internal reference. Microanalytical data were performed by Vario El Elemental apparatus. The chemicals were purchased from Aldrich.

**General procedure for the preparation of hydrazones (1)** [24]. A mixture of ketone (100 mmol) and ethyl hydrazinecarboxylate (100 mmol) in EtOH (100 ml)/AcOH (1 ml) was boiled under reflux for 4-8 h. Evaporation of the solvent and crystallization of the residue from EtOH afforded the pure hydrazone.

**General procedure for the preparation of the  $\alpha$ -chloro azo compounds [24] (2).** A solution of *tert*-butylhypochlorite (13.02 g, 120 mmol) was added dropwise to a cold ( $-10^\circ\text{C}$ ) solution of the hydrazone (100 mmol) in  $\text{CHCl}_3$  (100 ml). After stirring at  $0^\circ\text{C}$  for 3 h the solvent was removed under reduced pressure. The oily residue crystallized on cooling or after trituration with cold methanol or used without further purification.

**General procedure for the preparation of acylated glycosidies (9).** A solution of  $\text{SbCl}_5$  (2.99 g, 10 mmol) in  $\text{CH}_2\text{Cl}_2$  (20 ml) was added dropwise to a cold ( $-60^\circ\text{C}$ ) solution of **2** (10 mmol) and the penta-*O*-acetyl-D-glucononitrile **5** (10 mmol) in  $\text{CH}_2\text{Cl}_2$  (30 ml). The reaction mixture was stirred at  $-60^\circ\text{C}$  for 1 h, then between  $-30$  and  $0^\circ\text{C}$  for 3 h, and finally between  $0$  and  $25^\circ\text{C}$  for 1 h. The solvent was evaporated and the residue was dissolved in  $\text{CH}_3\text{CN}$  (70 ml). At  $0^\circ\text{C}$  an aqueous solution of  $\text{NaHCO}_3$  (3.36 g, 40 mmol) in  $\text{H}_2\text{O}$  (40 ml) was added and the mixture was stirred at room temperature for 1 h. The organic layer was separated and the aqueous layer was extracted with ethyl acetate (2 x 50 ml). The combined organic layers were dried over  $\text{Na}_2\text{SO}_4$  and evaporated after filtration. Purification by column chromatography ( $\text{SiO}_2$ ; eluent  $\text{CHCl}_3/\text{MeOH}$  9:1) afforded compounds **9**.

**1,2,3,4,5-Penta-O-acetyl-1-(5,6,7,8-tetrahydro-[1,2,4]-triazolo[1,5-*a*]pyridin-2-yl)-D-arabinitol (9a).** Yield 3.43 g (71%) as an oil. IR (KBr):  $\nu$  ( $\text{cm}^{-1}$ ) 1757 (C=O), 1603 (C=N).  $^1\text{H NMR}$  ( $\text{CDCl}_3$ ):  $\delta$  = 1.88 (m, 4  $\text{CH}_2$ ), 1.99 - 2.11 (5 singlets, 5 Ac), 2.66 (m,  $\text{CH}_2$ ), 3.98 (m,  $\text{CH}_2$ ), 4.03 (dd, H-5",  $J_{4,5''} = 5.76$  Hz,  $J_{5,5''} = 12.35$  Hz), 4.59 (dd, H-5',  $J_{4,5'} = 3.00$  Hz), 5.29 (m, H-4'), 5.60 (dd, H-3',  $J_{3,4'} = 8.15$  Hz), 5.38 (dd, H-2',  $J_{2,3'} = 3.06$  Hz), 6.37 (d, H-1',  $J_{1,2'} = 7.80$  Hz). MS (FAB):  $m/z$  484 [M+1]. Calcd. for  $\text{C}_{21}\text{H}_{29}\text{N}_3\text{O}_{10}$  (483.48): C, 52.17; H, 6.05; N, 8.69. Found: C, 52.19; H, 6.07; N, 8.69.

**1,2,3,4,5-Penta-O-acetyl-1-(6,7,8,9-tetrahydro-5H-[1,2,4]-triazolo[1,5-*a*]azepin-2-yl)-D-arabinitol (9b).** Yield 3.03 g (61%) as an oily product; IR (KBr)  $\nu$  ( $\text{cm}^{-1}$ ): 1759 (C=O), 1601 (C=N);  $^1\text{H NMR}$  ( $\text{CDCl}_3$ ):  $\delta$  = 1.45 (m,  $\text{CH}_2$ ), 1.51 (m,  $\text{CH}_2$ ), 1.60 (m,  $\text{CH}_2$ ), 1.98-2.11 (5 singlets, 5 Ac), 2.64 (m,  $\text{CH}_2$ ), 3.94 (m,  $\text{CH}_2$ ), 4.01 (dd, H-5",  $J_{4,5''} = 5.68$  Hz,  $J_{5,5''} = 12.40$  Hz), 4.55 (dd, H-5',  $J_{4,5'} = 2.99$  Hz), 5.27 (m, H-4'), 5.58 (dd, H-3',  $J_{3,4'} = 8.37$  Hz), 5.31 (dd, H-2',  $J_{2,3'} = 3.00$  Hz), 6.32 (d, H-1',  $J_{1,2'} = 7.79$  Hz). MS (FAB):  $m/z$  498 [M+1]. Calcd. for  $\text{C}_{22}\text{H}_{31}\text{N}_3\text{O}_{10}$  (497.51): C, 53.11; H, 6.28; N, 8.45. Found: C, 53.10; H, 6.30; N, 8.46.

**1,2,3,4,5-Penta-O-acetyl-1-(1,5-dimethyl-1H-1,2,4-triazol-3-yl)-D-arabinitol (9c).** Yield 3.24 g (71%); m.p.  $80-82^\circ\text{C}$ ; IR (KBr)  $\nu$  ( $\text{cm}^{-1}$ ): 1757 (C=O), 1601 (C=N);  $^1\text{H NMR}$  ( $\text{CDCl}_3$ ):  $\delta$  = 1.99, 2.05, 2.06, 2.09, 2.10 (5 singlets, 5 Ac), 2.17 (s,  $\text{CH}_3$ ), 3.51 (s,  $\text{CH}_3$ ), 4.00 (dd, H-5",  $J_{4,5''} = 5.78$  Hz,  $J_{5,5''} = 12.40$  Hz), 4.57 (dd, H-5',  $J_{4,5'} = 3.19$  Hz), 5.25 (m, H-4'), 5.61 (dd, H-3',  $J_{3,4'} = 8.07$  Hz), 5.85 (dd, 1H,  $J_{2,3'} = 3.00$  Hz, H-2'), 6.30 (d, 1H,  $J_{1,2'} = 7.81$  Hz, H-1');  $^{13}\text{C NMR}$  ( $\text{CDCl}_3$ ):  $\delta$  = 20.53, 20.64, 20.82, (Ac), 11.18, 34.80 ( $\text{CH}_3$ ), 67.79 (C-1'), 69.97 (C-2'), 68.70 (C-3'), 68.29 (C-4'), 61.98 (C-5'), 145.95 (C-5), 157.88 (C-3), 169.61, 169.78, 169.99, 170.80 (CO). MS (FAB):  $m/z$  458 [M+1]. Calcd. for  $\text{C}_{19}\text{H}_{27}\text{N}_3\text{O}_{10}$  (457.44): C, 49.89; H, 5.95; N, 9.19. Found: C, 49.91; H, 5.98; N, 9.20.

**1,2,3,4,5-Penta-O-acetyl-1-(1-ethyl-5-methyl-1H-1,2,4-triazol-3-yl)-D-arabinitol (9d).** Yield 3.11 g (66%); m.p.  $79-81^\circ\text{C}$ ; IR (KBr)  $\nu$  ( $\text{cm}^{-1}$ ): 1756 (C=O), 1602 (C=N);  $^1\text{H NMR}$  ( $\text{CDCl}_3$ ):  $\delta$  = 1.07 (t, 3H, ethyl- $\text{CH}_3$ ), 1.98, 2.01, 2.06, 2.08, 2.09 (5 singlets, 5 Ac), 2.19 (s,  $\text{CH}_3$ ), 3.80 (q,  $J = 7.0$  Hz, ethyl- $\text{CH}_2$ ), 4.03 (dd, H-5",  $J_{4,5''} = 5.78$  Hz,  $J_{5,5''} = 12.55$  Hz), 4.53 (dd, H-5',  $J_{4,5'} = 3.12$  Hz), 5.28 (m, H-4'), 5.60 (dd, H-3',  $J_{3,4'} = 8.09$  Hz), 5.75 (dd, H-2',  $J_{2,3'} = 3.01$  Hz), 6.29 (d, H-1',  $J_{1,2'} = 7.79$  Hz). MS (FAB):  $m/z$  472 [M+1]. Calcd. for  $\text{C}_{20}\text{H}_{29}\text{N}_3\text{O}_{10}$  (471.4): C, 50.95; H, 6.20; N, 8.91. Found: C, 50.95; H, 6.22; N, 8.92.

**1,2,3,4,5-Penta-O-acetyl-1-(1-isopropyl-5-methyl-1H-1,2,4-triazol-3-yl)-D-arabinitol (9e).** Yield 3.79 g (78%); m.p.  $65-67^\circ\text{C}$ ; IR (KBr)  $\nu$  ( $\text{cm}^{-1}$ ): 1755 (C=O), 1601 (C=N);  $^1\text{H NMR}$

( $\text{CDCl}_3$ ):  $\delta$  = 1.15 (d,  $J = 6.9$  Hz, 6H, isopropyl- $\text{CH}_3$ ), 1.97, 2.03, 2.05, 2.09, 2.10 (5 singlets, 5 Ac), 2.24 (s,  $\text{CH}_3$ ), 4.06 (dd, H-5",  $J_{4,5''} = 5.69$  Hz,  $J_{5,5''} = 12.48$  Hz), 4.49 (sept., isopropyl-CH), 4.59 (dd, H-5',  $J_{4,5'} = 3.00$  Hz), 5.30 (m, H-4'), 5.55 (dd, H-3',  $J_{3,4'} = 8.09$  Hz), 5.93 (dd, H-2',  $J_{2,3'} = 3.01$  Hz), 6.17 (d, H-1',  $J_{1,2'} = 7.78$  Hz). MS (FAB):  $m/z$  486 [M+1]. Calcd. for  $\text{C}_{21}\text{H}_{31}\text{N}_3\text{O}_{10}$  (485.50): C, 51.95; H, 6.44; N, 8.66. Found: C, 51.96; H, 6.22; N, 8.93.

**Preparations of free C-glycosidic compounds (10).** Dry gaseous ammonia was passed at  $0^\circ\text{C}$  for about 1 h into a solution of a nucleoside **9** (10 mmol) in dry MeOH (20 ml). Then, the mixture was stirred at  $23^\circ\text{C}$  until the reaction was judged to be complete by TLC. Evaporation at  $40^\circ\text{C}$  under reduced pressure afforded the free C-glycosides **10**.

**1-(5,6,7,8-Tetrahydro-[1,2,4]triazolo[1,5-*a*]pyridin-2-yl)-D-arabinitol (10a).** Yield 1.99 g (73%) of a foam. IR (KBr):  $\nu$  ( $\text{cm}^{-1}$ ) 3222 (OH), 1608 (C=N);  $^1\text{H NMR}$  ( $\text{DMSO}-d_6$ ):  $\delta$  = 1.91 (m, 2  $\text{CH}_2$ ), 2.79 (m, 2  $\text{CH}_2$ ), 3.45 (dd, H-5",  $J_{4,5''} = 6.15$  Hz,  $J_{5,5''} = 11.86$  Hz), 3.60 (t, H-5'), 3.47 (t, H-4',  $J_{4,5'} = 2.80$  Hz), 3.77 (t, H-3',  $J_{3,4'} = 8.00$  Hz), 4.07 (dd, H-2',  $J_{2,3'} = 1.58$  Hz), 4.09 (m,  $\text{CH}_2$ ), 4.20 (d, OH-3',  $J_{3,OH} = 7.40$  Hz), 4.23 (dd, H-1',  $J_{1,2'} = 8.40$  Hz), 4.31 (t, OH-5',  $J_{5,OH} = 5.58$  Hz), 4.32 (d, OH-2',  $J_{2,OH} = 6.1$  Hz), 4.34 (d, OH-4',  $J_{4,OH} = 5.60$ ), 5.19 (d, OH-1',  $J_{1,OH} = 5.50$  Hz);  $^1\text{H NMR}$  ( $\text{DMSO}-d_6 + \text{D}_2\text{O}$ ):  $\delta$  = 1.93 (m, 2  $\text{CH}_2$ ), 2.81 (m,  $\text{CH}_2$ ), 3.45 (dd, H-5",  $J_{4,5''} = 6.15$  Hz,  $J_{5,5''} = 11.86$  Hz), 3.60 (t, H-5'), 3.47 (t, H-4',  $J_{4,5'} = 2.80$  Hz), 3.77 (t, H-3',  $J_{3,4'} = 8.00$  Hz), 4.10 (m,  $\text{CH}_2$ ), 4.01 (dd, H-2',  $J_{2,3'} = 1.58$  Hz), 4.23 (dd, H-1',  $J_{1,2'} = 8.40$  Hz);  $^{13}\text{C NMR}$  ( $\text{DMSO}-d_6$ ):  $\delta$  = 19.9, 22.3, 23.0, 47.2 ( $\text{CH}_2$ ), 66.1 (C-1'), 70.9 (C-2'), 70.5 (C-3'), 71.4 (C-4'), 63.7 (C-5'), 158.7 (C-5), 160.1 (C-3). MS (FAB):  $m/z$  274 [M+1]. Calcd. for  $\text{C}_{11}\text{H}_{19}\text{N}_3\text{O}_5$  (273.29): C, 48.35; H, 7.01; N, 15.38. Found: C, 48.38; H, 7.05; N, 15.39.

**1-(6,7,8,9-Tetrahydro-5H-[1,2,4]triazolo[1,5-*a*]azepin-2-yl)-D-arabinitol (10b).** Yield 1.75 g (61%); mp  $79-81^\circ\text{C}$ ; IR (KBr)  $\nu$  ( $\text{cm}^{-1}$ ): 3230 (OH), 1603 (C=N);  $^1\text{H NMR}$  ( $\text{D}_2\text{O}$ ):  $\delta$  = 1.58 (m,  $\text{CH}_2$ ), 1.66 (m,  $\text{CH}_2$ ), 1.80 (t,  $\text{CH}_2$ ), 2.82 (t,  $\text{CH}_2$ ), 3.49 (dd, H-5",  $J_{4,5''} = 6.10$  Hz,  $J_{5,5''} = 11.80$  Hz), 3.59 (t,  $\text{CH}_2$ ), 3.46 (t, H-4',  $J_{4,5'} = 2.80$  Hz), 3.77 (dd, H-3',  $J_{3,4'} = 8.01$  Hz), 4.00 (dd, H-2',  $J_{2,3'} = 1.60$  Hz), 4.06 (t,  $\text{CH}_2$ ), 4.36 (dd, H-1',  $J_{1,2'} = 8.38$  Hz). MS (FAB):  $m/z$  288 [M+1]. Calcd. for  $\text{C}_{12}\text{H}_{21}\text{N}_3\text{O}_5$  (287.32): C, 50.17; H, 7.37; N, 14.63. Found: C, 49.99; H, 7.30; N, 14.65.

**1-(1,5-Dimethyl-1H-1,2,4-triazol-3-yl)-D-arabinitol (10c).** Yield: 1.38 g (56%); mp  $140-142^\circ\text{C}$ ; IR (KBr)  $\nu$  ( $\text{cm}^{-1}$ ): 3210 (OH), 1601 (C=N);  $^1\text{H NMR}$  ( $\text{D}_2\text{O}$ ):  $\delta$  = 2.33 (s,  $\text{CH}_3$ ), 3.60 (dd, H-5",  $J_{4,5''} = 6.2$  Hz,  $J_{5,5''} = 12.2$  Hz), 3.69 (t, H-4',  $J_{4,5'} = 2.80$  Hz), 3.65 (t, H-5'), 3.81 (dd, H-3',  $J_{3,4'} = 8.3$  Hz), 3.69 (s, N- $\text{CH}_3$ ), 4.03 (dd, H-2',  $J_{2,3'} = 1.60$  Hz), 4.06 (d, H-1',  $J_{1,2'} = 8.40$  Hz). MS (FAB):  $m/z$  248 [M+1]. Calcd. for  $\text{C}_9\text{H}_{17}\text{N}_3\text{O}_5$  (247.25): C, 43.72; H, 6.93; N, 16.99. Found: C, 43.52; H, 6.84; N, 16.86.

**1-(1-Ethyl-5-methyl-1H-1,2,4-triazol-3-yl)-D-arabinitol (10d).** Yield: 1.52 g (58%); m.p.  $114-116^\circ\text{C}$ ; IR (KBr)  $\nu$  ( $\text{cm}^{-1}$ ): 3210 (OH), 1601 (C=N);  $^1\text{H NMR}$  ( $\text{D}_2\text{O}$ ):  $\delta$  = 1.37 (t, ethyl- $\text{CH}_3$ ), 2.60 (s,  $\text{CH}_3$ ), 3.60 (dd, H-5",  $J_{4,5''} = 6.40$  Hz,  $J_{5,5''} = 12.00$  Hz), 3.68 (t, H-4',  $J_{4,5'} = 2.80$  Hz), 3.71 (t, H-5'), 3.78 (dd, H-3',  $J_{3,4'} = 8.20$  Hz), 4.02 (dd, H-2',  $J_{2,3'} = 1.60$  Hz), 4.20 (q, N- $\text{CH}_2$ ,  $J = 7.0$ ), 4.81 (d, H-1',  $J_{1,2'} = 8.20$  Hz). MS (FAB):  $m/z$  262 [M+1]. Calcd. for  $\text{C}_{10}\text{H}_{19}\text{N}_3\text{O}_5$  (261.32): C, 45.97; H, 7.33; N, 16.08. Found: C, 45.72; H, 7.19; N, 16.19.

**1-(1-Isopropyl-5-methyl-1H-1,2,4-triazol-3-yl)-D-arabinitol (10e).** Yield: 1.82 g (66%); foam; IR (KBr)  $\nu$  ( $\text{cm}^{-1}$ ): 3210 (OH), 1601 (C=N);  $^1\text{H NMR}$  ( $\text{D}_2\text{O}$ ):  $\delta$  = ( $\text{D}_2\text{O}$ ): 1.10 (d, 6H, isopropyl- $\text{CH}_3$ ), 2.19 (s,  $\text{CH}_3$ ), 3.57 (dd, H-5",  $J_{4,5''} = 6.79$  Hz,  $J_{5,5''} = 11.80$

Hz), 3.70 (t, H-4',  $J_{4,5'} = 2.87$  Hz), 3.78 (t, H-5'), 3.79 (dd, H-3',  $J_{3,4'} = 8.20$  Hz), 4.08 (dd, H-2',  $J_{2,3'} = 1.65$  Hz), 4.36 (sept, isopropyl-CH,  $J = 6.6$  Hz), 4.71 (d, H-1',  $J_{1,2} = 8.19$  Hz). MS (FAB):  $m/z$  276 [M+1]. Calcd for  $C_{11}H_{21}N_3O_5$  (275.35): C, 47.99; H, 7.69; N, 15.26. Found: C, 47.84; H, 7.67; N, 15.28.

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