Латвийский институт органического синтеза

Химия гетероциклических соединений **2018**, *54*(3), 362–368

Химия гетероциклических соединений

Synthesis of novel 3-[(1-glycosyl-1*H*-1,2,3-triazol-4-yl)-methylamino]ket-2-en-1-ones

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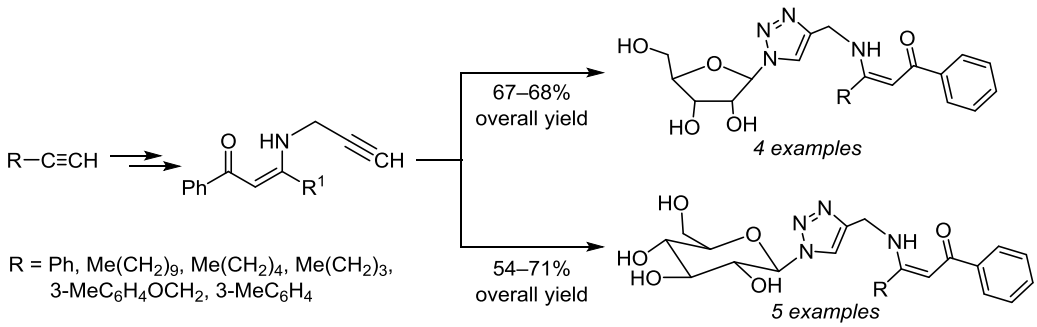
Submitted January 20, 2018
Accepted March 20, 2018



Nine 3-[(1-β-D-ribofuranosyl- and 3-[(1-β-D-glucopyranosyl-1*H*-1,2,3-triazol-4-yl)methylamino]ket-2-en-1-ones have been synthesized by copper-catalyzed azide–alkyne cycloaddition (CuAAC) reaction between propargylamine derivatives and 1-azido-2,3,5-tri-*O*-benzoyl-β-D-ribofuranose or 2,3,4,6-tetra-*O*-acetyl-1-azido-β-D-glucopyranose, followed by deprotection of the resulting tri-*O*-benzoyl- or tetra-*O*-acetyl-1-β-D-glycosyltriazoles in good yields. The precursor propargylamine derivatives were synthesized by Sonogashira reaction of substituted acetylenes and benzoyl chloride followed by Michael-type addition of propargylamine to the resulting substituted alkynes in good yields. The precursor azido sugars, 1-azido-2,3,5-tri-*O*-benzoyl-β-D-ribofuranose and 2,3,4,6-tetra-*O*-acetyl-1-azido-β-D-glucopyranose, were synthesized by azidation of 1-*O*-acetyl-2,3,5-tri-*O*-benzoyl-β-D-ribofuranose and β-D-glucopyranose pentacetate, respectively, with azidotrimethylsilane in the presence of tin(IV) chloride. All products were unambiguously characterized on the basis of the spectral data analysis.

Keywords: *N*-glycoconjugates, CuAAC reaction, Sonogashira reaction.

Carbohydrates and glycoconjugates are involved in numerous biologically and pathologically significant regulatory processes,[1] such as immune response, cell-cell recognition, interaction of pathogen and host, cell adhesion,[2] cancer and metastasis,[3] inflammation,[4] etc. Due to their prominent role in biology and medicine, efforts are being directed toward the development of novel carbohydrate-based scaffolds with desirable properties. Further, due to the relevance of the click chemistry in the recent past, 1,2,3-triazole cycle has emerged as a promising heterocyclic aglycon moiety. There are ample examples in the literature on glycosyl triazoles and broad spectrum of their chemical and biological significance.[5,6] They are reported as inhibitors of galectin proteins,[7] glycogen phosphorylase,[8] carbonic anhydrase,[9] sweet almond β-glucosidase[10] and as anticancer agents.[11] Herein, we report the synthesis of novel 3-[(1-glycosyl-1*H*-1,2,3-triazol-4-yl)methylamino]ket-2-en-1-ones *via* copper-catalyzed azide–alkyne cycloaddition (CuAAC) reaction of 1-azido-2,3,5-tri-*O*-benzoyl-β-D-ribofuranose or 1-azido-2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranose to 1-phenyl-3-propargylaminoprop-2-en-1-ones in good to excellent yield.

The precursors for the synthesis of the targeted 3-[(1-glycosyl-1*H*-1,2,3-triazol-4-yl)methylamino]ket-2-en-1-ones are 1-phenyl-3-propargylaminopropenones **4a**–**f**, 1-azido-2,3,5-tri-*O*-benzoyl-β-D-ribofuranose (**5**) and 2,3,4,6-tetra-*O*-acetyl-1-azido-β-D-glucopyranose (**6**). The alkyne precursors, i.e., 1,3-diphenyl-3-(prop-2-yn-1-ylamino)-prop-2-en-1-one (**4a**), 1-phenyl-3-(prop-2-yn-1-ylamino)-tridec-2-en-1-one (**4b**), 1-phenyl-3-(prop-2-yn-1-ylamino)-oct-2-en-1-one (**4c**), 1-phenyl-3-(prop-2-yn-1-ylamino)hept-

Scheme 1. Synthesis of 3-[(1-glycosyl-1*H*-1,2,3-triazol-4-yl)methylamino]ket-2-en-1-ones **9a–d**, **10b–f**

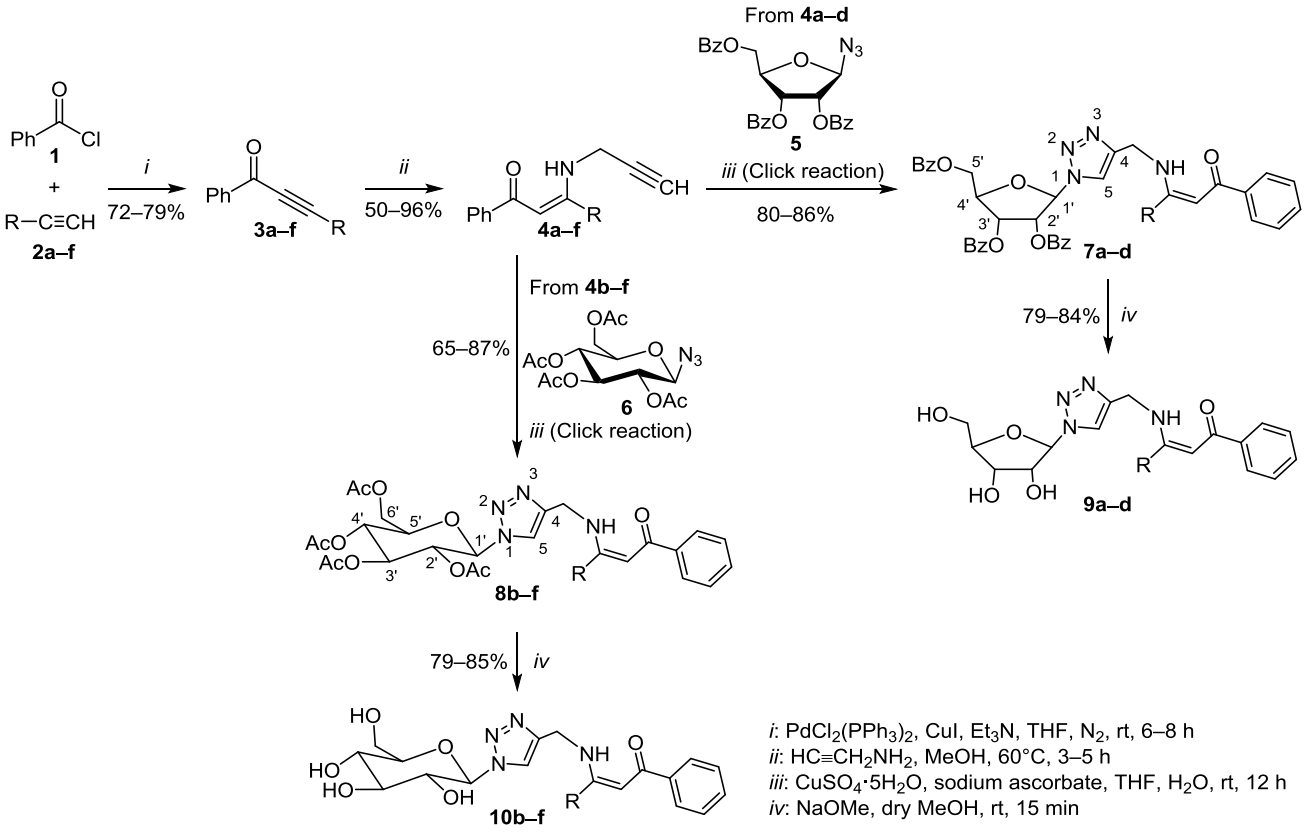


Table 1. Substituents and yields of compounds **3a–f**, **4a–f**, **7a–d**, **8b–f**, **9a–d**, and **10b–f**

R	Compound	Yield, %	Compound	Yield, %	Compound	Yield, %	Compound	Yield, %	Compound	Yield, %	Compound	Yield, %
Ph	**3a**	78	**4a**	96	**7a**	80	–	–	**9a**	84	–	–
$Me(CH_2)_9$	**3b**	78	**4b**	93	**7b**	82	**8b**	82	**9b**	83	**10b**	80
$Me(CH_2)_4$	**3c**	75	**4c**	95	**7c**	84	**8c**	87	**9c**	81	**10c**	79
$Me(CH_2)_3$	**3d**	72	**4d**	93	**7d**	86	**8d**	83	**9d**	79	**10d**	85
3-$MeC_6H_4OCH_2$	**3e**	79	**4e**	80	–	–	**8e**	85	–	–	**10e**	82
4-MeC_6H_4	**3f**	74	**4f**	50	–	–	**8f**	65	–	–	**10f**	83

2-en-1-one (**4d**), 4-(3-methylphenoxy)-1-phenyl-3-(prop-2-yn-1-ylamino)but-2-en-1-one (**4e**), 3-(4-methylphenyl)-1-phenyl-3-(prop-2-yn-1-ylamino)prop-2-en-1-one (**4f**) were synthesized by Sonogashira reaction between benzoyl chloride (**1**) and acetylenes **2a–f** in THF, followed by Michael-type addition of propargylamine to the resulting disubstituted acetylenes **3a–f** in MeOH in acceptable overall yields (Scheme 1). We would like to mention that when Sonogashira reaction was carried out with benzoyl chloride (**1**) and phenylacetylene (**2a**) in the presence of $PdCl_2(PPh_3)_2$, $NaHCO_3$, CuI, and MeOH at room temperature, we obtained product **3a** with only 50% yield. However, product **3a** was obtained in 78% yield when the same reaction was performed in the presence of $PdCl_2(PPh_3)_2$ (0.01 equiv), Et_3N (1 equiv), CuI (0.03 equiv), and THF at room temperature. In the present work, all the reactions were carried out under modified reaction conditions to afford alkyne precursors **4a–f** in 50–96% yields (Table 1).

1-Azido-2,3,5-tri-*O*-benzoyl-β-D-ribofuranose (**5**) was synthesized by the azidation of commercially available 1-*O*-acetyl-2,3,5-tri-*O*-benzoyl-β-D-ribofuranose with azidotrimethylsilane in the presence of tin(IV) chloride following literature procedure in 88% yield.[12] The copper-catalyzed cycloaddition of 1-azido-2,3,5-tri-*O*-benzoyl-β-D-ribofuranose (**5**) to propargylamine derivatives **4a–d** in THF and H_2O, followed by debenzoylation of the resulting 3-{[1-(2',3',5'-tri-*O*-benzoyl-β-D-ribofuranosyl)-1*H*-1,2,3-triazol-4-yl]methylamino}ket-2-en-1-ones **7a–d** with NaOMe in MeOH led to the formation of 1,3-diphenyl-3-[(1-β-D-ribofuranosyl-1*H*-1,2,3-triazol-4-yl)methylamino]-prop-2-en-1-one (**9a**), 1-phenyl-3-[(1-β-D-ribofuranosyl-1*H*-1,2,3-triazol-4-yl)methylamino]tridec-2-en-1-one (**9b**), 1-phenyl-3-[(1-β-D-ribofuranosyl-1*H*-1,2,3-triazol-4-yl)methylamino]oct-2-en-1-one (**9c**), and 1-phenyl-3-[(1-β-D-ribofuranosyl-1*H*-1,2,3-triazol-4-yl)methylamino]hept-2-en-1-one (**9d**) in 67–68% overall yields.

1-Azido-2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranose (**6**) was synthesized by acetylation of glucose with acetic anhydride in DMF in the presence of catalytic amount of 4-dimethylaminopyridine (DMAP), followed by selective anomeric azidation of the resulting β-D-glucopyranose pentacetate with azidotrimethylsilane in the presence of tin(IV) chloride following literature procedure in 80% overall yield.[13] Further, copper-catalyzed cycloaddition of 1-azido-2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranose (**6**) to propargylamine derivatives **4b**–**f** in THF and H_2O, followed by deacetylation of the resulting 3-{[1-(2',3',4',6'-tetra-*O*-acetyl-β-D-glucopyranosyl)-1*H*-1,2,3-triazol-4-yl]methylamino}ket-2-en-1-one **8b**–**f** with NaOMe in MeOH led to the formation of 3-[(1-β-D-glucopyranosyl-1*H*-1,2,3-triazol-4-yl)methylamino]-1-phenyltridec-2-en-1-one (**10b**), 3-[(1-β-D-glucopyranosyl-1*H*-1,2,3-triazol-4-yl)methylamino]-1-phenyloct-2-en-1-one (**10c**), 3-[(1-β-D-glucopyranosyl-1*H*-1,2,3-triazol-4-yl)methylamino]-1-phenylhept-2-en-1-one (**10d**), 3-[(1-β-D-glucopyranosyl-1*H*-1,2,3-triazol-4-yl)-methylamino]-4-phenoxy-1-phenylbut-2-en-1-one (**10e**), and 3-[(1-β-D-glucopyranosyl-1*H*-1,2,3-triazol-4-yl)methylamino]-3-(4-methylphenyl)-1-phenylprop-2-en-1-one (**10f**) in 54–71% overall yields.

The structure of all synthesized compounds **3a**–**f**, **4a**–**f**, **5**, **6**, **7a**–**d**, **8b**–**f**, **9a**–**d**, and **10b**–**f** was unambiguously established on the basis of their spectral (^{1}H and ^{13}C NMR, IR, and HRMS) analysis.

Four novel 1-β-D-ribofuranosyl-1*H*-1,2,3-triazol-4-yl)-methylamino]ket-2-en-1-ones and five 1-β-D-glucopyranosyl-1*H*-1,2,3-triazol-4-yl)methylamino]ket-2-en-1-ones have been synthesized in moderate to good yields by copper-catalyzed azide-alkyne cycloaddition reaction between 1-phenyl-3-(prop-2-yn-1-ylamino)ket-2-en-1-ones and 1-azido-2,3,5-tri-*O*-benzoyl-β-D-ribofuranose or 2,3,4,6-tetra-*O*-acetyl-1-azido-β-D-glucopyranose followed by deprotection of the resulting penultimate compounds.

Experimental

IR spectra were recorded on a PerkinElmer model 2000 FT-IR spectrometer in KBr pellets. ^{1}H and ^{13}C NMR spectra were recorded on a Jeol alpha-400 spectrometer (400 and 100 MHz, respectively) in $CDCl_3$ (compounds **3b**, **4b**,**e**, **7a**–**d**, **8b**–**f**) and in MeOH-d_4 (compounds **9a**–**d**, **10b**–**f**) with TMS as internal standard. The NH group signals in ^{1}H NMR spectra recorded in $CDCl_3$ were verified by D_2O exchange method. HRMS analysis was carried out using an Agilent G6530AA LC Q-TOF mass spectrometer. Melting points were determined on a Buchi M-560 instrument and are uncorrected. The optical rotation was measured on a Rudolph autopol II automatic polarimeter using 589 nm wavelength light. TLC was performed on precoated Merck silica gel $60F_{254}$ plates, visualization under UV light and with 5% H_2SO_4 solution in EtOH. Silica gel (100–200 mesh) was used for column chromatography.

All solvents, reagents, and adsorbents used were purchased from Sigma-Aldrich Chemicals (New Delhi, India) and SD Fine Chemicals (New Delhi, India), solvents were distilled before use. The physical and spectral data of known compounds **3a**,[14] **3c**–**f**,[14–17] **4a**,[15] **4c**,[14] **4d**,[18] **4f**,[14] **5**,[12] and **6**[13] were in agreement with the literature reported.

1-Phenyltridec-2-yn-1-one (3b). Benzoyl chloride (**1**) (281 mg, 2 mmol), $PdCl_2(PPh_3)_2$ (14 mg, 0.02 mmol), and Et_3N (202 mg, 2 mmol) were stirred in anhydrous THF for 10 min under nitrogen atmosphere at room temperature. Then CuI (11 mg, 0.06 mmol) was added into the reaction mixture, which was stirred for 10 min, followed by addition of decylacetylene **2b** (266 mg, 1.6 mmol). The stirring was continued at room temperature for 6–8 h. After completion of the reaction, solvent was removed under reduced pressure and reaction mixture was extracted with EtOAc (3 × 20 ml). The combined EtOAc solution was washed with aqueous 0.1 N HCl solution followed by saturated NH_4Cl solution. Finally, EtOAc layer was dried over anhydrous Na_2SO_4 and concentrated to dryness under reduced pressure. Resulting crude was purified by column chromatography, eluent petroleum ether – EtOAc, 10:1. Yield 421 mg (78%), light-yellow sticky solid. IR spectrum, ν, cm^{-1}: 700, 750, 910, 1263, 1314, 1454, 1642, 2202, 2925. ^{1}H NMR spectrum, δ, ppm (*J*, Hz): 0.86 (3H, t, *J* = 6.9, $CH_2(CH_2)_8C\underline{H}_3$); 1.25 (12H, s, $(CH_2)_3(C\underline{H}_2)_6CH_3$); 1.41–1.50 (2H, m, $(CH_2)_2C\underline{H}_2(CH_2)_6CH_3$); 1.62–1.70 (2H, m, $CH_2C\underline{H}_2(CH_2)_7CH_3$); 2.48 (2H, t, *J* = 7.2, $C\underline{H}_2(CH_2)_8CH_3$); 7.44–7.48 (2H, m, H Ph); 7.56–7.60 (1H, m, H Ph); 8.11–8.14 (2H, m, H Ph). ^{13}C NMR spectrum, δ, ppm: 14.2; 19.3; 22.8; 27.9; 29.1; 29.4; 29.6; 32.0; 79.8; 97.0; 128.6; 128.7; 129.6; 134.0; 137.0; 178.4. Found, *m*/*z*: 271.2061 $[M+H]^+$. $C_{19}H_{27}O$. Calculated, *m*/*z*: 271.2062.

Synthesis of compounds 4b,e (General method). The corresponding benzoylacetylene **3b**,**e** (2 mmol) was heated with propargylamine (132 mg, 2.4 mmol) in MeOH at 60°C for 3–5 h. After completion of the reaction, MeOH was removed under reduced pressure. The crude product thus obtained was extracted with EtOAc (3 × 40 ml), combined EtOAc solution was washed with saturated NaCl solution and dried over anhydrous Na_2SO_4. After removal of the solvent under reduced pressure, crude product was purified by column chromatography, eluent petroleum ether – EtOAc, 10:1.

1-Phenyl-3-(prop-2-yn-1-ylamino)tridec-2-en-1-one (4b). Yield 605 mg (93%), red solid, mp 48–50°C. IR spectrum, ν, cm^{-1}: 667, 748, 1215, 1587, 2926, 3016, 3306. ^{1}H NMR spectrum, δ, ppm (*J*, Hz): 0.87 (3H, t, *J* = 6.1, CH_3); 1.26 (12H, s, $CH_2CH_2CH_2(C\underline{H}_2)_6CH_3$); 1.37–1.45 (2H, m, $CH_2CH_2C\underline{H}_2(CH_2)_6CH_3$); 1.59–1.68 (2H, m, $CH_2C\underline{H}_2(CH_2)_7CH_3$); 2.31 (1H, t, *J* = 2.5, ≡CH); 2.34–2.42 (2H, m, $C\underline{H}_2(CH_2)_8CH_3$); 4.08 (2H, dd, *J* = 6.1, *J* = 2.5, $C\underline{H}_2NH$); 5.74 (1H, s, =CH); 7.36–7.43 (3H, m, H Ph); 7.85 (2H, d, *J* = 7.9, H Ph); 11.47 (1H, s, NH). ^{13}C NMR spectrum, δ, ppm: 14.2; 22.8; 28.2; 29.4; 29.6; 29.7; 32.0; 32.2; 32.3; 72.5; 79.2; 92.2; 127.1; 128.3; 130.7; 140.4; 168.3; 188.8. Found, *m*/*z*: 326.2487 $[M+H]^+$. $C_{22}H_{32}NO$. Calculated, *m*/*z*: 326.2484.

4-(3-Methylphenoxy)-1-phenyl-3-(prop-2-yn-1-ylamino)-but-2-en-1-one (4e). Yield 488 mg (80%), white sticky solid. IR spectrum, ν, cm^{-1}: 692, 772, 1160, 1258, 1604, 2920, 3050, 3287. ^{1}H NMR spectrum, δ, ppm (*J*, Hz): 2.34 (4H, s, CH_3, ≡CH); 4.19 (2H, dd, *J* = 6.1, *J* = 2.3, $C\underline{H}_2NH$);

4.80 (2H, s, OCH_2); 6.04 (1H, s, =CH); 6.76–6.89 (3H, m, H Ar); 7.20 (1H, t, *J* = 7.7, H Ar); 7.36–7.49 (3H, m, H Ar); 7.86 (2H, d, *J* = 7.1, H Ar); 11.06 (1H, br. s, NH). ^{13}C NMR spectrum, δ, ppm: 21.6; 32.7; 66.8; 72.8; 79.2; 92.6; 111.7; 115.8; 122.9; 127.3; 128.4; 129.5; 131.3; 139.8; 140.0; 157.9; 160.2; 190.2. Found, *m*/*z*: 306.1489 $[M+H]^+$. $C_{20}H_{20}NO_2$. Calculated, *m*/*z*: 306.1494.

Synthesis of compounds 7a–d, 8b–f (General method).[11] 1-Azido-2,3,5-tri-*O*-benzoyl-β-D-ribofuranose (**5**) or 2,3,4,6-tetra-*O*-acetyl-1-azido-β-D-glucopyranose (**6**) (2 mmol) and the corresponding propargylamine **4a–f** (1.6 mmol) were dissolved in a minimum amount of THF. $CuSO_4 \cdot 5H_2O$ (79.17 mg, 0.32 mmol) and sodium ascorbate (122.30 mg, 0.64 mmol) were dissolved separately in minimum amount of water and added to above solution. The reaction mixture was stirred at room temperature under nitrogen atmosphere. After completion of the reaction, solvent was removed under reduced pressure and reaction mixture was extracted with EtOAc (3 × 120 ml). Combined EtOAc layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. The crude products thus obtained were purified by column chromatography, eluent petroleum ether – EtOAc, 10:3.

1,3-Diphenyl-3-{[1-(2',3',5'-tri-*O*-benzoyl-β-D-ribofuranosyl)-1*H*-1,2,3-triazol-4-yl]methylamino}prop-2-en-1-one (7a). Yield 1.20 g (80%), white solid, mp 102–104°C, $[\alpha]_D^{32}$ +0.41 (*c* 0.1, MeOH). IR spectrum, ν, cm^{-1}: 710, 752, 1575, 1735, 2924, 3434. ^{1}H NMR spectrum, δ, ppm (*J*, Hz): 4.41–4.54 (2H, m, $C\underline{H}_2NH$); 4.59 (1H, dd, *J* = 12.2, *J* = 4.8, 5'-CH_{2A}); 4.78 (1H, dd, *J* = 12.2, *J* = 3.6, 5'-CH_{2B}); 4.86 (1H, q, *J* = 4.6, 3'-CH); 5.83 (1H, s, =CH); 6.13 (1H, t, *J* = 5.5, 2'-CH); 6.23–6.27 (1H, m, 4'-CH); 6.38 (1H, d, *J* = 3.3, 1'-CH); 7.33–7.59 (17H, m, H Ph); 7.69 (1H, s, H triazole); 7.85–7.90 (2H, m, H Ph); 7.92–7.96 (2H, m, H Ph); 7.96–8.02 (4H, m, H Ph); 11.55 (1H, t, *J* = 6.0, NH). ^{13}C NMR spectrum, δ, ppm: 40.0; 63.8; 71.8; 75.2; 81.3; 90.3; 94.6; 121.3; 127.2; 127.9; 128.3; 128.6; 128.7; 128.8; 129.8; 129.9; 130.0; 131.0; 133.4; 133.8; 133.9; 135.1; 140.1; 146.1; 165.1; 165.2; 166.2; 166.5; 189.1. Found, *m*/*z*: 749.2625 $[M+H]^+$. $C_{44}H_{37}N_4O_8$. Calculated, *m*/*z*: 749.2611.

1-Phenyl-3-{[1-(2',3',5'-tri-*O*-benzoyl-β-D-ribofuranosyl)-1*H*-1,2,3-triazol-4-yl]methylamino}tridec-2-en-1-one (7b). Yield 1.33 g (82%), sticky brown solid, $[\alpha]_D^{32}$ –44.88 (*c* 0.1, MeOH). IR spectrum, ν, cm^{-1}: 709, 803, 1093, 1120, 1267, 1601, 1729, 2358, 2925, 3063, 3443. ^{1}H NMR spectrum, δ, ppm (*J*, Hz): 0.85 (3H, s, CH_3); 1.24 (14H, s, $CH_2CH_2(C\underline{H}_2)_7CH_3$); 1.59 (2H, s, $CH_2C\underline{H}_2(CH_2)_7CH_3$); 2.33–2.39 (2H, m, $C\underline{H}_2(CH_2)_8CH_3$); 4.54–4.67 (3H, m, $C\underline{H}_2NH$, 5'-CH_{2A}); 4.76 (1H, d, *J* = 12.0, 5'-CH_{2B}); 4.85 (1H, s, 3'-CH); 5.71 (1H, s, =CH); 6.13 (1H, s, 2'-CH); 6.26 (1H, s, 4'-CH); 6.36 (1H, s, 1'-CH); 7.31–7.45 (10H, m, H Ph); 7.47–7.59 (3H, m, H Ph); 7.75–7.86 (3H, m, H Ph); 7.89–8.05 (5H, m, H Ph); 11.73 (1H, s, NH). ^{13}C NMR spectrum, δ, ppm: 14.2; 22.7; 28.3; 29.4; 29.6; 32.0; 32.5; 38.7; 63.8; 71.8; 75.2; 81.3; 90.4; 92.1; 121.5; 127.0; 128.2; 128.6; 128.7; 129.4; 129.9; 130.0; 130.7; 133.4; 133.7; 133.9; 140.4; 146.0; 165.1; 165.2; 168.8; 188.6. Found, *m*/*z*: 813.3840 $[M+H]^+$. $C_{48}H_{53}N_4O_8$. Calculated, *m*/*z*: 813.3863.

1-Phenyl-3-{[1-(2',3',5'-tri-*O*-benzoyl-β-D-ribofuranosyl)-1*H*-1,2,3-triazol-4-yl]methylamino}oct-2-en-1-one (7c). Yield 1.25 g (84%), sticky brown solid, $[\alpha]_D^{32}$ –29.25 (*c* 0.1, MeOH). IR spectrum, ν, cm^{-1}: 668, 743, 1266, 1728, 3020. ^{1}H NMR spectrum, δ, ppm (*J*, Hz): 0.90 (3H, t, *J* = 6.8, CH_3); 1.31–1.39 (4H, m, $CH_2CH_2(C\underline{H}_2)_2CH_3$); 1.57–1.64 (2H, m, $CH_2C\underline{H}_2(CH_2)_2CH_3$); 2.37 (2H, t, *J* = 7.8, $C\underline{H}_2(CH_2)_3CH_3$); 4.55–4.66 (3H, m, $C\underline{H}_2NH$, 5'-CH_{2A}); 4.78 (1H, dd, *J* = 12.2, *J* = 3.3, 5'-CH_{2B}); 4.86–4.89 (1H, m, 3'-CH); 5.73 (1H, s, =CH); 6.13–6.18 (1H, m, 2'-CH); 6.26–6.29 (1H, m, 4'-CH); 6.38 (1H, d, *J* = 3.1, 1'-CH); 7.34–7.44 (10H, m, H Ph); 7.50–7.59 (3H, m, H Ph); 7.79 (1H, s, H triazole); 7.82–7.85 (1H, m, H Ph); 7.92–8.09 (6H, m, H Ph); 11.76 (1H, t, *J* = 5.8, NH). ^{13}C NMR spectrum, δ, ppm: 14.0; 22.5; 28.0; 31.7; 32.5; 38.7; 63.9; 71.8; 75.2; 81.3; 90.4; 92.1; 121.5; 127.1; 128.3; 128.6; 128.7; 129.4; 129.9; 130.0; 130.7; 133.4; 133.8; 133.9; 140.4; 146.0; 165.1; 165.2; 166.2; 168.8; 188.6. Found, *m*/*z*: 743.3081 $[M+H]^+$. $C_{43}H_{43}N_4O_8$. Calculated, *m*/*z*: 743.3081.

1-Phenyl-3-{[1-(2',3',5'-tri-*O*-benzoyl-β-D-ribofuranosyl)-1*H*-1,2,3-triazol-4-yl]methylamino}hept-2-en-1-one (7d). Yield 1.25 g (86%), sticky brown solid, $[\alpha]_D^{32}$ –143.80 (*c* 0.1, MeOH). IR spectrum, ν, cm^{-1}: 667, 747, 1215, 1728, 2980, 3050. ^{1}H NMR spectrum, δ, ppm (*J*, Hz): 0.97 (3H, t, *J* = 7.3, CH_3); 1.37–1.46 (2H, m, $CH_2CH_2C\underline{H}_2CH_3$); 1.55–1.63 (2H, m, $CH_2C\underline{H}_2CH_2CH_3$); 2.38 (2H, t, *J* = 7.8, $C\underline{H}_2(CH_2)_2CH_3$); 4.55–4.69 (3H, m, $C\underline{H}_2NH$, 5'-CH_{2A}); 4.78 (1H, dd, *J* = 12.3, *J* = 3.3, 5'-CH_{2B}); 4.87 (1H, dd, *J* = 9.2, *J* = 4.8, 3'-CH); 5.73 (1H, s, =CH); 6.12–6.19 (1H, m, 2'-CH); 6.25–6.30 (1H, m, 4'-CH); 6.38 (1H, d, *J* = 3.1, 1'-CH); 7.32–7.46 (10H, m, H Ph); 7.49–7.61 (3H, m, H Ph); 7.78 (1H, s, H triazole); 7.83 (1H, d, *J* = 7.0, H Ph); 7.85–8.09 (6H, m, H Ph); 11.75 (1H, t, *J* = 5.7, NH). ^{13}C NMR spectrum, δ, ppm: 13.8; 22.7; 30.4; 32.2; 38.7; 63.9; 71.8; 75.2; 81.3; 90.3; 92.1; 121.5; 127.1; 128.3; 128.6; 128.7; 129.4; 129.9; 130.0; 130.7; 133.4; 133.7; 133.9; 140.4; 146.0; 165.1; 165.2; 166.2; 168.8; 188.6. Found, *m*/*z*: 729.2912 $[M+H]^+$. $C_{42}H_{41}N_4O_8$. Calculated, *m*/*z*: 729.2924.

1-Phenyl-3-{[1-(2',3',4',6'-tetra-*O*-acetyl-β-D-glucopyranosyl)-1*H*-1,2,3-triazol-4-yl]methylamino}tridec-2-en-1-one (8b). Yield 1.15 g (82%), cream-colored solid, mp 140–141°C, $[\alpha]_D^{32}$ –40.86 (*c* 0.1, MeOH). IR spectrum, ν, cm^{-1}: 699, 750, 1041, 1217, 1516, 1753, 2980, 3699, 3743. ^{1}H NMR spectrum, δ, ppm (*J*, Hz): 0.86 (3H, t, *J* = 6.6, CH_3); 1.27 (12H, s, $(CH_2CH_2CH_2(C\underline{H}_2)_6CH_3$); 1.36–1.41 (2H, m, $CH_2CH_2C\underline{H}_2(CH_2)_6CH_3$) 1.59–1.65 (2H, m, $CH_2C\underline{H}_2(CH_2)_7CH_3$); 1.87 (3H, s, $OCOCH_3$); 2.01 (3H, s, $OCOCH_3$); 2.06 (6H, d, *J* = 7.6, $2OCOCH_3$); 2.34–2.41 (2H, m, $C\underline{H}_2(CH_2)_8CH_3$); 3.95–4.01 (1H, m, 5'-CH); 4.13 (1H, dd, *J* = 12.4, *J* = 1.5, 6'-CH_{2A}); 4.28 (1H, dd, *J* = 12.6, *J* = 4.9, 6'-CH_{2B}); 4.66 (2H, dd, *J* = 6.1, *J* = 2.3, $C\underline{H}_2NH$); 5.22 (1H, t, *J* = 9.7, 4'-CH); 5.36–5.45 (2H, m, 2',3'-CH); 5.76 (1H, s, =CH); 5.83 (1H, d, *J* = 8.9, 1'-CH); 7.35–7.44 (3H, m, H Ph); 7.77 (1H, s, H triazole); 7.85 (2H, dd, *J* = 7.6, *J* =1.4, H Ph); 11.74 (1H, t, *J* = 5.9, NH). ^{13}C NMR spectrum, δ, ppm: 14.2; 20.3; 20.6; 20.8; 22.8; 28.3; 29.4; 29.6; 32.0; 32.5; 38.7; 61.6; 67.7; 70.4; 72.7; 75.3; 85.9; 92.1; 120.4; 127.0; 128.3; 130.7; 140.4; 146.2; 168.8;

168.9; 169.4; 170.0; 170.7; 188.7. Found, *m*/*z*: 699.3605 $[M+H]^+$. $C_{36}H_{51}N_4O_{10}$. Calculated, *m*/*z*: 699.3605.

1-Phenyl-3-{[1-(2',3',4',6'-tetra-*O*-acetyl-β-D-glucopyranosyl)-1*H*-1,2,3-triazol-4-yl]methylamino}oct-2-en-1-one (8c). Yield 1.09 g (87%), white solid, mp 142–143°C, $[\alpha]_D^{32}$ –43.65 (*c* 0.1, MeOH). IR spectrum, ν, cm^{-1}: 597, 735, 1044, 1238, 1577, 1746, 2929, 3088. ^1H NMR spectrum, δ, ppm (*J*, Hz): 0.88 (3H, t, *J* = 6.8, CH_3); 1.30–1.38 (4H, m, $CH_2CH_2(C\underline{H}_2)_2CH_3$); 1.57–1.64 (2H, m, $CH_2C\underline{H}_2(CH_2)_2CH_3$); 1.85 (3H, s, $OCOCH_3$); 1.99 (3H, s, $OCOCH_3$); 2.03 (3H, s, $OCOCH_3$); 2.05 (3H, s, $OCOCH_3$); 2.34–2.39 (2H, m, $C\underline{H}_2(CH_2)_3CH_3$); 3.94–3.98 (1H, m, 6'-$CH_{2A}$); 4.07–4.14 (1H, m, 6'-$CH_{2B}$); 4.26 (1H, dd, *J* = 12.6, *J* = 4.9, 5'-CH); 4.65 (2H, dd, *J* = 6.0, *J* = 2.4, $C\underline{H}_2NH$); 5.20 (1H, t, *J* = 9.5, 4'-CH); 5.34–5.45 (2H, m, 2',3'-CH); 5.74 (1H, s, =CH); 5.82 (1H, d, *J* = 8.8, 1'-CH); 7.33–7.42 (3H, m, H Ph); 7.75 (1H, s, H triazole); 7.83 (2H, d, *J* = 7.7, H Ph); 11.73 (1H, t, *J* = 5.7, NH). ^{13}C NMR spectrum, δ, ppm: 14.0; 20.3; 20.6; 20.8; 22.5; 27.9; 31.7; 32.4; 38.7; 61.5; 67.7; 70.4; 72.7; 75.2; 85.9; 92.1; 120.3; 127.0; 128.3; 130.7; 140.3; 146.2; 168.8; 168.9; 169.4; 170.7; 188.7. Found, *m*/*z*: 629.2810 $[M+H]^+$. $C_{31}H_{41}N_4O_{10}$. Calculated, *m*/*z*: 629.2823.

1-Phenyl-3-{[1-(2',3',4',6'-tetra-*O*-acetyl-β-D-glucopyranosyl)-1*H*-1,2,3-triazol-4-yl]methylamino}hept-2-en-1-one (8d). Yield 1.02 g (83%), cream-colored solid, mp 164–166°C, $[\alpha]_D^{32}$ –61.02 (*c* 0.1, MeOH). IR spectrum, ν, cm^{-1}: 696, 755, 1041, 1225, 1593, 1751, 2925, 3020. ^1H NMR spectrum, δ, ppm (*J*, Hz): 0.94 (3H, t, *J* = 7.3, CH_3); 1.38–1.45 (2H, m, $CH_2CH_2C\underline{H}_2CH_3$); 1.56–1.64 (2H, m, $CH_2C\underline{H}_2CH_2CH_3$); 1.87 (3H, s, $OCOCH_3$); 2.01 (3H, s, $OCOCH_3$); 2.05 (3H, s, $OCOCH_3$); 2.07 (3H, s, $OCOCH_3$); 2.36–2.41 (2H, m, $C\underline{H}_2(CH_2)_2CH_3$); 3.98 (1H, dd, *J* = 9.3, *J* = 4.2, 6'-CH_{2A}); 4.13 (1H, d, *J* = 12.3, 6'-CH_{2B}); 4.28 (1H, dd, *J* = 12.6, *J* = 4.9, 5'-CH); 4.67 (2H, dd, *J* = 6.0, *J* = 2.0, $C\underline{H}_2NH$); 5.22 (1H, t, *J* = 9.5, 4'-CH); 5.37–5.44 (2H, m, 2',3'-CH); 5.76 (1H, s, =CH); 5.83 (1H, d, *J* = 8.6, 1'-CH); 7.35–7.44 (3H, m, H Ph); 7.76 (1H, s, H triazole); 7.85 (2H, d, *J* = 6.6, H Ph); 11.75 (1H, t, *J* = 5.7, NH). ^{13}C NMR spectrum, δ, ppm: 13.9; 20.3; 20.6; 20.8; 22.7; 30.3; 32.2; 38.7; 61.5; 67.7; 70.4; 72.7; 75.3; 85.9; 92.2; 120.4; 127.0; 128.3; 130.8; 140.4; 146.2; 168.8; 168.9; 169.4; 170.1; 170.7; 188.7. Found, *m*/*z*: 615.2659 $[M+H]^+$. $C_{30}H_{39}N_4O_{10}$. Calculated, *m*/*z*: 615.2666.

4-(3-Methylphenoxy)-1-phenyl-3-{[1-(2',3',4',6'-tetra-*O*-acetyl-β-D-glucopyranosyl)-1*H*-1,2,3-triazol-4-yl]methylamino}but-2-en-1-one (8e). Yield 1.15 g (85%), cream-colored solid, mp 179–181°C, $[\alpha]_D^{32}$ –18.72 (*c* 0.1, MeOH). IR spectrum, ν, cm^{-1}: 692, 752, 1037, 1215, 1601, 1747, 2960, 3050, 3400. ^1H NMR spectrum, δ, ppm (*J*, Hz): 1.85 (3H, s, $OCOCH_3$); 1.99–2.08 (9H, m, $3OCOCH_3$); 2.32 (3H, s, CH_3); 3.95–4.01 (1H, m, 6'-CH_{2A}); 4.12 (1H, d, *J* = 12.5, 5'-CH); 4.24–4.32 (1H, m, 6'-CH_{2B}); 4.70–4.83 (4H, m, $OC\underline{H}_2$, $C\underline{H}_2NH$); 5.19–5.27 (1H, m, 4'-CH); 5.40 (2H, d, *J* = 6.6, 2',3'-CH); 5.83–5.87 (1H, m, 1'-CH); 6.05 (1H, s, =CH); 6.75–6.82 (3H, m, H Ar); 7.15–7.19 (1H, m, H Ar); 7.37–7.43 (3H, m, H Ar); 7.77 (1H, s, H triazole); 7.85 (2H, d, *J* = 6.9, H Ar); 11.32 (1H, br. s, NH). ^{13}C NMR spectrum, δ, ppm: 20.2; 20.6; 20.8; 21.6; 39.0; 61.6; 66.9; 67.7; 70.5; 72.6; 75.2; 85.9; 92.3; 111.8; 115.8; 120.5; 122.8; 127.2; 128.4; 129.5; 131.2; 139.8; 139.9; 146.0; 157.9; 160.7; 169.0; 169.4; 170.0; 170.7; 190.0. Found, *m*/*z*: 679.2629 $[M+H]^+$. $C_{34}H_{39}N_4O_{11}$. Calculated, *m*/*z*: 679.2615.

3-(4-Methylphenyl)-1-phenyl-3-{[1-(2',3',4',6'-tetra-*O*-acetyl-β-D-glucopyranosyl)-1*H*-1,2,3-triazol-4-yl]methylamino}prop-2-en-1-one (8f). Yield 842 mg (65%), white solid, mp 156–158°C, $[\alpha]_D^{32}$ –70.35 (*c* 0.1, MeOH). IR spectrum, ν, cm^{-1}: 753, 1039, 1218, 1749, 2924, 3020, 3500. ^1H NMR spectrum, δ, ppm (*J*, Hz): 1.87 (3H, s, $OCOCH_3$); 2.01 (3H, s, $OCOCH_3$); 2.05 (3H, s, $OCOCH_3$); 2.07 (3H, s, $OCOCH_3$); 2.39 (3H, s, CH_3); 3.94–4.02 (1H, m, 6'-CH_{2A}); 4.13 (1H, dd, *J* = 12.7, *J* = 1.5, 5'-CH); 4.29 (1H, dd, *J* = 12.6, *J* = 4.9, 6'-CH_{2B}); 4.50–4.59 (2H, m, $C\underline{H}_2NH$); 5.23 (1H, t, *J* = 9.5, 4'-CH); 5.36–5.49 (2H, m, 2',3'-CH); 5.84 (2H, d, *J* = 8.3, =CH, 1'-CH); 7.24 (2H, d, *J* = 7.5, H Ar); 7.32–7.45 (5H, m, H Ph); 7.75 (1H, s, H triazole); 7.87 (2H, d, *J* = 1.4, H Ar); 11.57 (1H, t, *J* = 6.1, NH). ^{13}C NMR spectrum, δ, ppm: 20.3; 20.6; 20.8; 21.5; 40.6; 61.6; 67.7; 70.3; 72.7; 75.2; 85.8; 94.5; 120.2; 127.2; 127.9; 128.3; 129.5; 131.0; 132.2; 140.1; 146.6; 166.9; 169.0; 169.4; 170.1; 170.7; 189.1. Found, *m*/*z*: 649.2504 $[M+H]^+$. $C_{33}H_{37}N_4O_{10}$. Calculated, *m*/*z*: 649.2510.

Synthesis of compounds 9a–d, 10b–f (General method). NaOMe (3–4 equiv) was added to a solution of protected compounds **7a–d**, **8b–f** (0.1 mmol) in dry MeOH (4 ml), and solution was stirred at room temperature till completion of the reaction. After that, the reaction mixture was neutralized by the addition of Amberlite resin (H^+ form) to the solution, followed by filtration. The filtrate was concentrated, washed with hexane, dried in air.

1,3-Diphenyl-3-[(1-β-D-ribofuranosyl-1*H*-1,2,3-triazol-4-yl)methylamino]prop-2-en-1-one (9a). Yield 36 mg (84%), sticky brown solid, $[\alpha]_D^{25}$ –44.99 (*c* 0.02, MeOH). IR spectrum, ν, cm^{-1}: 696, 752, 1045, 1328, 1566, 1595, 2926, 3331. ^1H NMR spectrum, δ, ppm (*J*, Hz): 3.31 (1H, s, =CH); 3.69 (1H, dd, *J* = 12.1, *J* = 3.9, 5'-CH_{2A}); 3.81 (1H, dd, *J* = 12.1, *J* = 3.0, 5'-CH_{2B}); 4.13 (1H, d, *J* = 3.4, 4'-CH); 4.31 (1H, t, *J* = 4.7, 3'-CH); 4.47–4.50 (1H, m, 2'-CH); 4.53 (2H, s, $C\underline{H}_2NH$); 6.03 (1H, d, *J* = 3.6, 1'-CH); 7.42 (3H, dd, *J* = 13.9, *J* = 7.3, H Ph); 7.51 (5H, s, H Ph); 7.83 (2H, d, *J* = 7.1, H Ph); 8.12 (1H, s, H triazole). ^{13}C NMR spectrum, δ, ppm: 30.7; 40.9; 62.8; 71.9; 77.1; 87.1; 87.2; 94.4; 94.5; 122.8; 128.1; 129.0; 129.5; 129.9; 131.1; 132.2; 136.3; 141.3; 168.5; 190.6. Found, *m*/*z*: 437.1801 $[M+H]^+$. $C_{23}H_{25}N_4O_5$. Calculated, *m*/*z*: 437.1825.

1-Phenyl-3-[(1-β-D-ribofuranosyl-1*H*-1,2,3-triazol-4-yl)-methylamino]tridec-2-en-1-one (9b). Yield 41 mg (83%), sticky yellow solid, $[\alpha]_D^{25}$ –32.32 (*c* 0.02, MeOH). IR spectrum, ν, cm^{-1}: 769, 1045, 1099, 1597, 2854, 2924, 3400. ^1H NMR spectrum, δ, ppm (*J*, Hz): 0.89 (3H, t, *J* = 6.8, CH_3); 1.29 (12H, s, $CH_2CH_2CH_2(C\underline{H}_2)_6CH_3$); 1.41–1.49 (2H, m, $CH_2CH_2C\underline{H}_2(CH_2)_6CH_3$); 1.61–1.71 (2H, m, $CH_2C\underline{H}_2(CH_2)_7CH_3$); 2.51 (2H, t, *J* = 8.0, $C\underline{H}_2(CH_2)_8CH_3$); 3.35 (1H, s, =CH); 3.70 (1H, dd, *J* = 12.2, *J* = 4.2, 5'-CH_{2A}); 3.82 (1H, dd, *J* = 12.2, *J* = 3.1, 5'-CH_{2B}); 4.13–4.16 (1H, m, 4'-CH); 4.33 (1H, t, *J* = 4.9, 3'-CH); 4.52 (1H, t, *J* = 4.4, 2'-CH); 4.71 (2H, s, $C\underline{H}_2NH$); 6.06 (1H, d, *J* = 3.9, 1'-CH); 7.37–7.46 (3H, m, H Ph); 7.79 (2H, d,

J = 6.6, H Ph); 8.28 (1H, s, H triazole). ^{13}C NMR spectrum, δ, ppm: 14.5; 23.7; 29.3; 30.4; 30.5; 30.7; 33.0; 33.3; 39.1; 62.8; 71.9; 77.1; 87.2; 94.5; 122.9; 127.9; 129.3; 131.8; 141.6; 168.8; 171.2; 189.7. Found, *m/z*: 501.3056 $[M+H]^+$. $C_{27}H_{41}N_4O_5$. Calculated, *m/z*: 501.3077.

1-Phenyl-3-[(1-β-D-ribofuranosyl-1*H*-1,2,3-triazol-4-yl)-methylamino]oct-2-en-1-one (9c). Yield 35 mg (81%), sticky yellow-orange solid, $[\alpha]_D^{25}$ –172.79 (*c* 0.02, MeOH). IR spectrum, ν, cm^{-1}: 694, 746, 1224, 1369, 1741, 2864, 3022. ^{1}H NMR spectrum, δ, ppm (*J*, Hz): 0.95 (3H, t, *J* = 7.0, CH_3); 1.37–1.49 (4H, m, $CH_2CH_2(C\underline{H}_2)_2CH_3$); 1.63–1.73 (2H, m, $CH_2C\underline{H}_2(CH_2)_2CH_3$); 2.53 (2H, t, *J* = 7.9, $C\underline{H}_2(CH_2)_3CH_3$); 3.35 (1H, s, =CH); 3.70 (1H, dd, *J* = 12.2, *J* = 4.2, 5'-CH_{2A}); 3.82 (1H, dd, *J* = 12.1, *J* = 3.2, 5'-CH_{2B}); 4.12–4.15 (1H, m, 3'-CH); 4.32 (1H, t, *J* = 5.0, 4'-CH); 4.51 (1H, t, *J* = 4.5, 2'-CH); 4.73 (2H, s, $C\underline{H}_2NH$); 6.06 (1H, d, *J* = 3.9, 1'-CH); 7.35–7.48 (3H, m, H Ph); 7.77–7.80 (2H, m, H Ph); 8.28 (1H, s, H triazole). ^{13}C NMR spectrum, δ, ppm: 14.3; 23.5; 29.0; 32.7; 33.3; 39.1; 62.8; 71.9; 77.1; 87.2; 87.3; 94.5; 122.9; 127.9; 129.3; 131.8; 141.7; 171.3; 189.8. Found, *m/z*: 431.2268 $[M+H]^+$. $C_{22}H_{31}N_4O_5$. Calculated, *m/z*: 431.2294.

1-Phenyl-3-[(1-β-D-ribofuranosyl-1*H*-1,2,3-triazol-4-yl)-methylamino]hept-2-en-1-one (9d). Yield 33 mg (79%), sticky yellow-orange solid, $[\alpha]_D^{25}$ –118.57 (*c* 0.02, MeOH). IR spectrum, ν, cm^{-1}: 694, 752, 1369, 1741, 2868, 3022, 3336. ^{1}H NMR spectrum, δ, ppm (*J*, Hz): 0.98 (3H, t, *J* = 7.2, CH_3); 1.41–1.50 (2H, m, $CH_2CH_2C\underline{H}_2CH_3$); 1.62–1.66 (2H, m, $CH_2C\underline{H}_2CH_2CH_3$); 2.51 (2H, t, *J* = 7.7, $C\underline{H}_2(CH_2)_2CH_3$); 3.35 (1H, s, =CH); 3.70 (1H, dd, *J* = 12.2, *J* = 4.2, 5'-CH_{2A}); 3.82 (1H, dd, *J* = 12.2, *J* = 3.1, 5'-CH_{2B}); 4.14 (1H, dd, *J* = 7.9, *J* = 4.1, 3'-CH); 4.33 (1H, t, *J* = 4.9, 4'-CH); 4.52 (1H, t, *J* = 4.5, 2'-CH); 4.71 (2H, s, $C\underline{H}_2NH$); 6.06 (1H, d, *J* = 3.9, 1'-CH); 7.35–7.46 (3H, m, H Ph); 7.78 (2H, d, *J* = 8.0, H Ph); 8.28 (1H, s, H triazole). ^{13}C NMR spectrum, δ, ppm: 14.3; 23.5; 29.0; 32.7; 33.3; 39.1; 62.8; 71.9; 77.1; 87.2; 94.5; 122.9; 127.9; 129.3; 131.8; 141.7; 171.3; 189.8. Found, *m/z*: 417.1853 $[M+H]^+$. $C_{21}H_{29}N_4O_5$. Calculated, *m/z*: 417.2138.

3-[(1-β-D-Glucopyranosyl-1*H*-1,2,3-triazol-4-yl)methyl-amino]-1-phenyltridec-2-en-1-one (10b). Yield 42 mg (80%), sticky yellow solid, $[\alpha]_D^{25}$ +11.52 (*c* 0.02, MeOH). IR spectrum, ν, cm^{-1}: 696, 738, 1055, 1095, 1585, 2856, 2922, 3329. ^{1}H NMR spectrum, δ, ppm (*J*, Hz): 0.89 (3H, t, *J* = 6.8, CH_3); 1.30 (12H, s, $CH_2CH_2CH_2(C\underline{H}_2)_6CH_3$); 1.41–1.49 (2H, m, $CH_2CH_2C\underline{H}_2(CH_2)_6CH_3$); 1.63–1.71 (2H, m, $CH_2C\underline{H}_2(CH_2)_7CH_3$); 2.51 (2H, t, *J* = 7.8 , $C\underline{H}_2(CH_2)_8CH_3$); 3.48–3.64 (4H, m, =CH, 4'-CH, 6'-CH_2); 3.72 (1H, dd, *J* =12.2, *J* = 5.0, 5'-CH); 3.84–3.97 (2H, m, 2',3'-CH); 4.72 (2H, s, $C\underline{H}_2NH$); 5.63 (1H, d, *J* = 9.2, 1'-CH); 7.34–7.46 (3H, m, H Ph); 7.79 (2H, d, *J* = 8.1, H Ph); 8.21 (1H, s, H triazole). ^{13}C NMR spectrum, δ, ppm: 14.5; 23.7; 29.2; 30.4; 30.6; 33.0; 33.2; 39.1; 62.2; 70.7; 74.0; 78.3; 81.0; 89.5; 123.5; 127.9; 129.4; 131.9; 134.3; 141.5; 145.8; 171.3; 189.7. Found, *m/z*: 531.3159 $[M+H]^+$. $C_{28}H_{43}N_4O_6$. Calculated, *m/z*: 531.3183.

3-[(1-β-D-Glucopyranosyl-1*H*-1,2,3-triazol-4-yl)methyl-amino]-1-phenyloct-2-en-1-one (10c). Yield 36 mg (79%), sticky yellow-orange solid, $[\alpha]_D^{25}$ +12.93 (*c* 0.02, MeOH). IR spectrum, ν, cm^{-1}: 694, 746, 1047, 1583, 2927, 3309. ^{1}H NMR spectrum, δ, ppm (*J*, Hz): 0.95 (3H, t, *J* = 7.0, CH_3); 1.37–1.49 (4H, m, $CH_2CH_2(C\underline{H}_2)_2CH_3$); 1.64–1.74 (2H, m, $CH_2C\underline{H}_2(CH_2)_2CH_3$); 2.53 (2H, t, *J* = 7.9, $C\underline{H}_2(CH_2)_3CH_3$); 3.46–3.62 (4H, m, =CH, 4'-CH, 6'-CH_2); 3.71 (1H, dd, *J* = 12.2, *J* = 5.4, 5'-CH); 3.85–3.94 (2H, m, 2',3'-CH); 4.74 (2H, s, $C\underline{H}_2NH$); 5.62 (1H, d, *J* = 9.2, 1'-CH); 7.37–7.47 (3H, m, H Ph); 7.79 (2H, d, *J* = 6.7, H Ph); 8.23 (1H, s, H triazole). ^{13}C NMR spectrum, δ, ppm: 14.0; 22.5; 27.5; 31.6; 32.4; 38.4; 39.1; 61.0; 69.1; 72.8; 79.1; 88.0; 91.6; 122.7; 127.0; 127.1; 128.3; 128.4; 140.0; 144.0; 169.5; 171.6; 187.6. Found, *m/z*: 461.2456 $[M+H]^+$. $C_{23}H_{33}N_4O_6$. Calculated, *m/z*: 461.2400.

3-[(1-β-D-Glucopyranosyl-1*H*-1,2,3-triazol-4-yl)methyl-amino]-1-phenylhept-2-en-1-one (10d). Yield 38 mg (85%), sticky orange solid, $[\alpha]_D^{25}$ +8.41 (*c* 0.02, MeOH). IR spectrum, ν, cm^{-1}: 709, 752, 1068, 1095, 1577, 2927, 3329. ^{1}H NMR spectrum, δ, ppm (*J*, Hz): 0.98 (3H, t, *J* = 7.3, CH_3); 1.44–1.52 (2H, m, $CH_2CH_2C\underline{H}_2CH_3$); 1.61–1.68 (2H, m, $CH_2C\underline{H}_2CH_2CH_3$); 2.51 (2H, t, *J* = 7.8, $C\underline{H}_2(CH_2)_2CH_3$); 3.50–3.61 (4H, m, =CH, 4'-CH, 6'-CH_2); 3.72 (1H, dd, *J* = 12.1, *J* = 5.0, 5'-CH); 3.92 (2H, dd, *J* = 16.1, *J* = 6.9, 2',3'-CH); 4.72 (2H, s, $C\underline{H}_2NH$); 5.64 (1H, d, *J* = 9.2, 1'-CH); 7.36–7.44 (3H, m, H Ph); 7.79 (2H, d, *J* = 6.6, H Ph); 8.21 (1H, s, H triazole). ^{13}C NMR spectrum, δ, ppm: 14.2; 23.6; 31.4; 33.0; 39.1; 62.3; 70.8; 74.0; 78.4; 81.1; 89.6; 123.4; 123.5; 127.9; 129.3; 131.8; 145.8; 171.2; 189.7. Found, *m/z*: 447.2219 $[M+H]^+$. $C_{22}H_{31}N_4O_6$. Calculated, *m/z*: 447.2244.

3-[(1-β-D-Glucopyranosyl-1*H*-1,2,3-triazol-4-yl)methyl-amino]-4-(3-methylphenoxy)-1-phenylbut-2-en-1-one (10e). Yield 42 mg (82%), sticky yellow-brown solid, $[\alpha]_D^{25}$ +12.76 (*c* 0.02, MeOH). IR spectrum, ν, cm^{-1}: 692, 765, 1070, 1257, 1595, 2918, 3327. ^{1}H NMR spectrum, δ, ppm (*J*, Hz): 2.11 (3H, s, CH_3); 3.09 (2H, s, OCH_2); 3.31–3.38 (3H, m, 4'-CH, 6'-CH_2); 3.50 (1H, dd, *J* = 11.9, *J* = 5.1, 5'-CH); 3.65–3.68 (3H, m, =CH, 2',3'-CH); 4.57 (2H, s, $C\underline{H}_2NH$); 5.42 (1H, m, 1'-CH); 6.56–6.71 (3H, m, H Ar); 6.97 (1H, t, *J* = 7.7, H Ar); 7.21 (2H, dd, *J* = 15.3, *J* = 7.3, H Ar); 7.56–7.64 (1H, m, H Ar); 7.99 (1H, s, H Ar), 8.05 (1H, s, H Ar); 8.31 (2H, s, H triazole). ^{13}C NMR spectrum, δ, ppm: 21.6; 39.3; 62.3; 67.4; 70.8; 74.0; 78.4; 81.1; 89.6; 112.8; 116.7; 123.5; 128.0; 128.4; 129.4; 130.4; 132.1; 132.3; 140.9; 141.2; 159.2; 163.5; 191.2. Found, *m/z*: 511.2168 $[M+H]^+$. $C_{26}H_{31}N_4O_7$. Calculated, *m/z*: 511.2193.

3-[(1-β-D-Glucopyranosyl-1*H*-1,2,3-triazol-4-yl)methyl-amino]-3-(4-methylphenyl)-1-phenylprop-2-en-1-one (10f). Yield 40 mg (83%), sticky yellow solid, $[\alpha]_D^{25}$ +6.46 (*c* 0.02, MeOH). IR spectrum, ν, cm^{-1}: 758, 1222, 1739, 2970, 3022, 3356. ^{1}H NMR spectrum, δ, ppm (*J*, Hz): 2.40 (3H, s, CH_3); 3.49–3.60 (4H, m, =CH, 4'-CH, 6'-CH_2), 3.72 (1H, dd, *J* = 12.1, *J* = 5.0, 5'-CH); 3.85–3.93 (2H, m, 2',3'-CH); 4.55 (2H, s, $C\underline{H}_2NH$); 5.61 (1H, d, *J* = 9.1, 1'-CH); 7.32 (2H, d, *J* = 7.5, H Ar); 7.37–7.46 (5H, m, H Ph); 7.81 (2H, d, *J* = 7.7, H Ar); 8.09 (1H, s, H triazole). ^{13}C NMR spectrum, δ, ppm: 21.4; 40.9; 62.3; 70.8; 74.0; 78.4; 81.1; 89.6; 123.3; 128.0; 129.0; 129.4; 130.5; 132.2; 133.3; 141.3; 141.6; 146.1; 168.8; 190.3. Found, *m/z*: 481.2064 $[M+H]^+$. $C_{25}H_{29}N_4O_6$. Calculated, *m/z*: 481.2087.

Supplementary information file containing ^{1}H and ^{13}C NMR data of all synthesized compounds is available at the journal website http://hgs.osi.lv

We are grateful to University of Delhi for providing financial support under DU-DST Purse grant to strengthen research and development. We are also thankful to CIF-USIC, University of Delhi for providing the NMR spectral and HRMS recording facilities.

References

1. (a) Bertozzi, C. R.; Kiessling, L. L. *Science* **2001**, *291*, 2357. (b) Rudd, P. M.; Elliott, T.; Cresswell, P.; Wilson, I. A.; Dwek, R. A. *Science* **2001**, *291*, 2370. (c) Giannis, A. *Angew. Chem*., *Int. Ed*. **1994**, *33*, 178.
2. Furukawa, J.-I.; Fujitani, N.; Shinohara, Y. *Biomolecules* **2013**, *3*, 198.
3. Schultz, M. J.; Swindall, A. F.; Bellis, S. L. *Cancer Metastasis Rev.* **2012**, *31*, 501.
4. Soni, K.; Sah, A. K. *RSC Adv.* **2014**, *4*, 6068.
5. (a) Kuijpers, B. H. M.; Groothuys, S.; Soede, A. C.; Laverman, P.; Boerman, O. C.; van Delft, F. L. V.; Rutjes, F. P. J. T. *Bioconjugate Chem.* **2007**, *18*, 1847. (b) Wilkinson, B. L.; Long, H.; Sim, E.; Fairbanks, A. J. *Bioorg. Med. Chem. Lett.* **2008**, *18*, 6265. (c) Sakashita, M.; Mochizuki, S.; Sakurai, K. *Bioorg. Med. Chem*. **2014**, *22*, 5212. (d) Yu, G.; Ma, Y.; Han, C.; Yao, Y.; Tang, G.; Mao, Z.; Gao, C.; Huang, F. *J. Am. Chem. Soc*. **2013**, *135*, 10310.
6. (a) Capicciotti, C. J.; Trant, J. F.; Leclere, M.; Ben, R. N. *Bioconjugate Chem.* **2011**, *22*, 605. (b) He, X.-P.; Xu, X.; Zhang, H.-L.; Chen, G.-R.; Xu, S.; Liu, H. *Carbohydr. Res*. **2011**, *346*, 1320.
7. (a) Giguere, D.; Patnam, R.; Bellefleur, M.-A.; St-Pierre, C.; Sato, S.; Roy, R. *Chem. Commun.* **2006**, *22*, 2379. (b) Tejler, J.; Skogman, F.; Leffler, H.; Nilsson, U. J. *Carbohydr. Res.* ***2007****, 342, 1869.*
8. Goyard, D.; Docsa, T.; Gergely, P.; Praly, J. P.; Vidal, S. *Carbohydr. Res.* **2015**, *402*, 245.
9. (a) Salmon, A. J.; Williams, M. L.; Maresca, A.; Supuran, C. T.; Poulsen, S.-A. *Bioorg. Med. Chem. Lett.* **2011**, *21*, 6058. (b) Wilkinson, B. L.; Innocenti, A.; Vullo, D.; Supuran, C. T.; Poulsen, S.-A. *J. Med. Chem.* **2008**, *51*, 1945.
10. (a) Rossi, L. L.; Basu, A. *Bioorg. Med. Chem. Lett*. **2005**, *15*, 3596. (b) Dedola, S.; Hughes, D. L.; Nepogodiev, S. A.; Rejzek, M.; Field, R. A. *Carbohydr. Res.* **2010**, *345*, 1123.
11. Zhang, J.; Garrossian, M.; Gardner, D.; Garrossian, A.; Chang, Y.-T.; Kim, Y. K.; Chang, C.-W. T. *Bioorg. Med. Chem. Lett*. **2008**, *18*, 1359.
12. Stimac, A.; Kobe, J. *Carbohydr. Res* **1992**, *232*, 359.
13. Salunke, S. B.; Babu, N. S.; Chen, C.-T. *Chem. Commun.* **2011**, *47*, 10440.
14. Yin, W.; He, H.; Zhang, Y.; Luo, D.; He, H. *Synthesis* **2014**, 2617.
15. Wang, Q.; He, L.; Li, K. K.; Tsui, G. C. *Org Lett.* **2017**, *19*, 658.
16. Fukumaru, T.; Awata, H.; Hamma, H.; Komatsu, T. *Agric. Biol. Chem.* **1975**, *39*, 519.
17. Miao, J.; Huang, B.; Liu, H.; Cai, M. *RSC Adv.* **2017**, *7*, 42570.
18. Karabiyikoglu, S.; Kelgokmen, Y.; Zora, M. *Tetrahedron* **2015**, *71*, 4324.