Synthesis of Aldehydo Sugar (4-Oxoquinazolin-2-yl)hydrazones and their Transformation into 1-(Alditol-1-yl)-1,2,4-Triazolo-[4,3-*a*]quinazolin-5(4*H*)-ones

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A series of the aldehydo-sugar hydrazones **4a-d** and **5a-d** were prepared by the reaction of 2-hydrazinoquinazolin-4(3*H*)-one (**1**) and 3-ethyl-2-hydrazinoquinazolin-4(3*H*)-one (**2**) with aldoses **3a-d**. Treatment of hydrazones **4a-d** and **5a-d** with acetic anhydride in pyridine gave hydrazone acetates **6a-d** and **7a-d**. Compounds **7a-d** were also prepared by ethylation of **6a-d**. Reaction of compounds **4a-d** and **5a-d** with hot ethanolic ferric chloride led to oxidative cyclization to angular ring systems **8a-d** and **9a-d** rather than to the linear system **10**. Acetylation of **8a-d** afforded the per-O, N-acetyl derivatives **11a-d**, which were converted into the corresponding ethyl derivatives **12a-d**. Compounds **12a-d** were identical with the acetylation products derived from **9a-d**.

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Introduction.

Quinazolinone derivatives exhibit various biological types of activity [1-8]. Diverse biological activities have been reported also for compounds containing the quinazolinone and 1,2,4-triazole moieties [9-12]. As a part of our studies aimed at synthesizing glycoside derivatives with substitution patterns required for a biological chemistry program [13-19], we report here on the synthesis of unreported 1-(alditol-1-yl)-4-substituted-1,2,4-triazolo [4,3-*a*]quinazolin-5(4*H*)-ones.

Results and Discussion.

The hydrazones **4a-d** and **5a-d** were prepared by condensation of 2-hydrazinoquinazolin-4(3*H*)-one (1) [20,21] and 3-ethyl-2-hydrazinoquinazolin-4(3*H*)-one (**2**) [22] with equimolar amount of D-glucose (**3a**), D-galactose (**3b**), D-xylose (**3c**) and D-arabinose (**3d**) in an aqueous ethanolic solution in the presence of a catalytic amount of acetic acid. Their ir spectra showed bands at 3433-3185 cm^{-1} due to OH and NH groups, at 1687-1649 cm^{-1} due to the C=O of quinazolinone and at 782-754 cm^{-1} due to aromatic C-H bands. The ^1H nmr spectra of these hydrazones showed the alditol-1-ylidene group at δ 4.48–3.35, the hydrazono proton (=NNH) at δ 10.85-10.53, the NH-C=O proton appeared as a singlet at δ 11.32-11.22 and the two NH group protons disappeared after addition of D_2O. In addition the quinazolinone protons, an azomethine proton appeared at δ 7.92-7.10. The structures of compounds **4a-d** and **5a-d** were also confirmed by ^{13}C nmr data (see Experimental). The ^{13}C NMR spectrum of **4b** was characterized by a signal at δ 158.3 corresponding to alditol-1-ylidene C-1' atom of the galactose residue, signals at δ 71.4, 70.5, 70.0, 69.2 and 62.3 were assigned to its C-2', C-3', C-4', C-5' and C-6' atoms, respectively, and signals at δ 151.5, 160.9, 114.3, 127.7, 121.9, 135.3, 115.8 and 140.2 were attributed to quinazolinone carbon atoms in positions 2, 4, 4a, 5, 6, 7, 8 and 8a, respectively.

Acetylation of the aldehydo-sugar hydrazones **4a-d** and **5a-d** with acetic anhydride in the presence of pyridine at room temperature gave the corresponding per-*O*-acetyl-1-aldehydo-sugar[1-acetyl-1-(4-oxoquinazolin-2-yl)]hydrazones **6a-d** and per-*O*-acetyl-aldehydo-sugar[1-acetyl-1-(3-ethyl-4-oxoquinazolin-2-yl)] hydrazones **7a-d**, respectively, (see Scheme 1). Compounds **7a-d** were also obtained by ethylation of **6a-d** with iodoethane in butanone in the presence of potassium carbonate. The ir spectra of **7a-d** showed no NH group at 3431-3179 cm^{-1}, but the presence of -OAc at 1749-1745 cm^{-1}, -NAc at 1693-1691 cm^{-1} and (C=N) at 1612-1610 cm^{-1}. The ^1H nmr spectra of **7a-d** displayed a quartet at δ 4.45-4.42 (CH_2, J = 7.0 Hz) and a triplet at δ 1.48-1.46 (CH_3, J = 7.0 Hz). Moreover, the ^1H nmr spectra of compounds **6a-d** and **7a-d** were characterized by the presence of five or six acetyl groups. The doublet at δ 6.58- 6.54 was assigned to the azomethine proton. The structures of **6a-d** and **7a-d** were also confirmed by their ^{13}C nmr spectra (see Experimental), which showed signals at δ 151.6-150.0 of the azomethine carbon, at 35.6 (N-CH_2), and at 13.4 (CH_3).

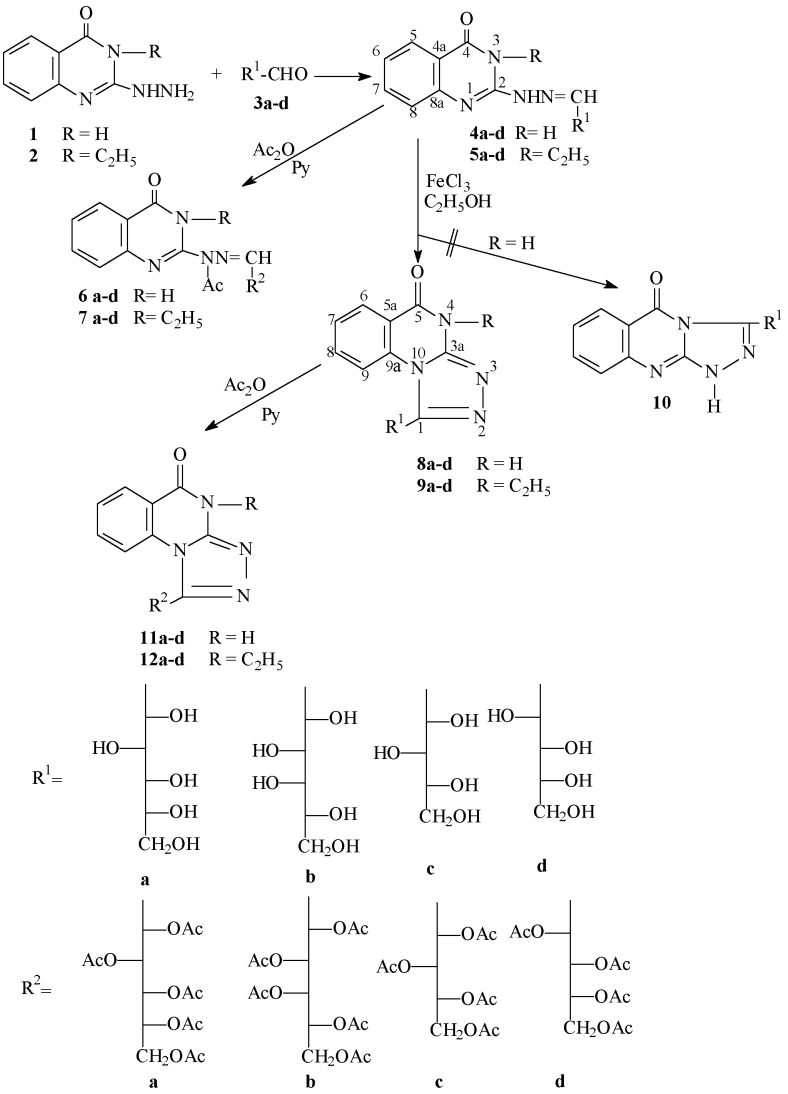
Treatment of the aldose hydrazones **4a-d** and **5a-d** with hot ethanolic ferric chloride resulted in an oxidative cyclization to the angularly annelated 1-(alditol-1-yl)-1,2,4-triazolo[4,3-*a*]quinazolin-5(4*H*)-ones (**8a-d**) rather than to the linearly annelated regioisomers **10** and 1-(alditol-1-yl)-4-ethyl-1,2,4-triazolo[4,3-*a*]quinazolin-5(4*H*)-ones (**9a-d**), respectively. This result is in agreement with the ring closure of 2-(4-chlorobenzylideno)-4(3*H*)-quinazolinone with hot ethanolic ferric chloride giving the angularly annulated 1-(4-chlorophenyl)-1,2,4-triazolo[4,3-*a*]quinazolin-5(4*H*)-one [22] such as **8a-d** rather than the linearly annulated 3-(4-chlorophenyl)-1,2,4-triazolo[3,4-*b*]quinazolin-5(4*H*)-one such as **10**. Structures **8a-d** and **9a-d** were established for the reaction products based on their analytical and spectral data (see

Experimental). The structures of **8a-d** were confirmed by the presence of an absorption band at 3210-3275 cm^{-1} (NH) in the ir spectra and by the ^1H nmr spectra of **9a-d** which showed two signals corresponding to methylene and methyl protons of the ethyl group. In the ^1H nmr spectra of **8a-d** and **9a-d**, the aldose proton signals were observed and no azomethine signal could be detected, thus confirming that heterocyclization occurred. Also, the structure of **9a** was confirmed by its mass spectrum which shows *m/z* at 365 (1.2%) and 364 (2.4 %) corresponding to M + 1 and M^+, respectively (see Experimental).

Acetylation of **8a-d** and **9a-d** with acetic anhydride in pyridine at room temperature afforded 1-(per-*O*-acetylalditol-1-yl)-1,2,4-triazolo[4,3-*a*]quinazolin-5(4*H*)-ones (**11a-d**) and 1-(per-*O*-acetylalditol-1-yl)-4-ethyl-1,2,4-triazolo[4,3-*a*]quinazolin-5(4*H*)-ones (**12a-d**), respectively. Analytical data indicated that six and five acetyl groups were introduced in the corresponding hexose and pentose derivatives, respectively.

Compounds **12a-d** were also prepared by ethylation of **11a-d** with iodoethane in butanone in the presence of potassium carbonate. Their ir spectra showed bands at 1775-1748 (OAc), 1610-1600 (C=N) and 1678-1665 cm^{-1} (quinazolinone C=O). The ^1H nmr spectra of **11a-d** and **12a-d** confirmed the presence of five or six *O*-acetyl groups (see Scheme 1). The azomethine signal characteristic of the parent hydrazone acetates **6a-d** and **7a-d** could not be detected in **11a-d** and **12a-d**, thus indicating that heterocyclization took place. Structures **11a-d** and **12a-d** were elucidated by ^{13}C nmr spectra, which gave conclusive evidence for their triazole structure (see Experimental). The ^{13}C nmr of **11c** is characterized by four signals appearing at δ 170.5, 170.4, 170.3 and 169.6 ppm, attributed to the four acetoxy carbonyl atoms. The four signals at δ 21.0, 20.7,

Scheme 1



20.5 and 20.3 ppm correspond to the four methyl carbons of the acetoxy groups while the four signals at δ 70.3, 68.9, 68.8 and 61.9 ppm are assigned to C-1', C-2', C-3' and C-4' of the xylose residue [23]. The triazoloquinazolinone signals at δ 147.6, 152.8, 161.1, 114.5, 128.4, 122.4, 135.1, 114.9 and 139.2 can be attributed to the carbon atoms in positions 1, 3a, 5, 5a, 6, 7, 8, 8a and 9a, respectively [24]. Also the structures of **11a-d** and **12a-d** were confirmed by mass spectra which showed their $(M+1)^+$ and M^+ ions in addition to the characteristic fragments due to the sequential loss of $-CH_2CO$ and AcOH (see Experimental).

Compounds **3b**, **4c**, **5a**, **6c**, **8d** and **12a** did not show any significant activity against (PG) of a wide variety of cancer cells, including leukemia cancer cells, small and non-small cancer cells (brain), CNS, ovarian cancer cells and renal cancer cells. They were also devoid of any anti-HIV activity in MT-4 cells [25].

EXPERIMENTAL

Melting points were determined on an electrothermal melting MEL-TEMP II apparatus and are uncorrected. The ir spectra were recorded on a Unicam SP 1200 spectrophotometer using the KBr pellet technique (ν cm^{-1}). The uv spectra were recorded on a Shimadzu UV-240 spectrophotometer in methanol solution. Microanalyses were performed at the Tanta University, Tanta, Egypt and the National Research Center (NRC) service of microanalyses, Cairo, Egypt. Nmr spectra were recorded on a Bruker AC spectrometer operating at 400 MHz for ^1H nmr and 100 MHz for ^{13}C nmr (Department of Chemistry, Georgia State University, Atlanta, USA). Chemical shifts are reported in ppm relative to tetramethylsilane. The mass spectral data were obtained with a micromass spectrometer model 7070 F at energy 70 eV and inlet temperature 90 °C. All analytical samples were found to be homogeneous by thin layer chromatography, which was performed on EM silica gel 60 F_{254} sheets (0.2 mm) with chloroform/acetone (5:2 v/v) and isopropyl alcohol/benzene/ammonia solution (10:5:2 v/v/v) as the developing eluents A and B, respectively. The spots were detected with an UV lamp model UVGL-58. The biological evaluation of the compounds was carried out at the National Cancer Institute, Bethesda, Maryland, U.S.A. Anti-HIV tests were performed by the method reported in the literature [25].

General Procedure for the Preparation of Aldehydo-sugar (4-Oxoquinazolin-2-yl)hydrazones (**4a-d**) and Aldehydo-sugar (3-Ethyl-4-oxoquinazolin-2-yl)hydrazones (**5a-d**).

A solution of the respective aldose (10 mmoles) in water (5 ml) and several drops of acetic acid were added to a solution of 2-hydrazinoquinazolin-4(3*H*)-one (**1**) (1.76 g, 10 mmoles) or 3-ethyl-2-hydrazinoquinazolin-4(3*H*)-one (**2**) (2.04 g, 10 mmoles) in ethanol (100 ml). The mixture was heated on a water bath for 4 hours. The solid product separated on cooling was collected by filtration, washed with ethanol, dried and then recrystallized from ethanol.

Aldehydo-D-glucose (4-Oxoquinazolin-2-yl)hydrazone (**4a**).

This compound was obtained in a 80% yield, mp 164-165 °C, R_f = 0.62 (system B); ir: 3433 - 3182 (OH + NH), 1673 (C=O), 1613 (C=N) cm^{-1}; uv (MeOH): λ_{max} (log ε) = 214 (3.98), 230 (3.88) and 319 (4.10) nm; ^1H nmr (deuteriodimethylsulfoxide-d_6): δ 10.60 (s, 1H, exchangeable with D_2O, =N-NH-), 11.22 (s, 1H, exchangeable with D_2O, -NH-C=O), 7.90-7.10 (m, 5H, CH=N- and 4 aromatic), 4.32–3.60 (m, 6H, glucosyl protons).

Anal. Calcd. for $C_{14}H_{18}N_4O_6$ (338.3): C, 49.70; H, 5.36; N, 16.56. Found: C, 49.52; H, 5.80; N, 16.41.

Aldehydo-D-galactose (4-Oxoquinazolin-2-yl)hydrazone (**4b**).

This compound was obtained in a 62% yield, mp 177-178 °C, R_f = 0.65 (system B); ir: 343-3182 (OH + NH), 1673 (C=O), 1610 (C=N) cm^{-1}; ^1H nmr (deuteriodimethylsulfoxide-d_6): δ 10.92 (s, 1H, exchangeable with D_2O, =N-NH), 11.30 (s, 1H, exchangeable with D_2O, NH-C=O), 7.95-7.10 (m, 5H (-CH=N- and 4 aromatic), 4.48–3.75 (m, 6H, galactosyl protons); ^{13}C nmr (deuteriodimethylsulfoxide-d_6): δ 158.3 (CH=N-), 71.4, 70.5, 70.0, 69.2 and 63.2 (C-2', C-3', C-4', C-5' and C-6' of galactose moiety, resp.), 151.5, 160.9, 114.3, 127.7, 121.9, 135.3, 115.3 and 140.2 (C-2, C-4, C-4a, C-5, C-6, C-7, C-8 and C-8a resp.).

Anal. Calcd.for $C_{14}H_{18}N_4O_6$ (338.3): C, 49.70; H, 5.36; N, 16.56. Found: C, 49.43; H, 5.01; N, 16.18.

Aldehydo-D-xylose (4-Oxoquinazolin-2-yl)hydrazone (**4c**).

This compound was obtained in a 70% yield, mp 235-237 °C, R_f = 0.63 (system B); ir: 3432 - 3185(OH + NH), 1674 (C=O), 1613 (C=N) cm^{-1}; ^1H nmr (deuteriodimethylsulfoxide-d_6): δ 10.60 (s, 1H, exchangeable with D_2O, =N-NH), 11.22 (s, 1H, exchangeable with D_2O, NH-C=O), 7.92 - 7.14 (m, 5H, (-CH=N- and 4 aromatic), 4.32–3.60 (m, 5H , xylosyl protons); ^{13}C nmr (deuteriodimethylsulfoxide-d_6): δ 157.0 (CH=N-), 72.3, 71.9, 71.8, and 62.6 (C-2', C-3', C-4' and C-5' of xylosyl moiety, resp.), 151.5, 160.7, 114.3, 127.5, 121.7, 135.6, 115.2 and 140.0 (C-2, C-4, C-4a, C-5, C-6, C-7, C-8 and C-8a resp.).

Anal. Calcd. for $C_{13}H_{16}N_4O_5$ (308.3): C, 50.65; H. 5.23; N, 18.17. Found: C, 50.22; H, 5.02; N, 18.21.

Aldehydo-D-arabinose (4-Oxoquinazolin-2-yl)hydrazone (**4d**).

This compound was obtained in a 68% yield, mp 194-195 °C, R_f = 0.60 (system B); ir: 3433-3184(OH + NH), 1673 (C=O), 1614 (C=N) cm^{-1}; ^1H nmr (deuteriodimethylsulfoxide-d_6): δ 10.75 (s, 1H, exchangeable with D_2O, =N-NH); 11.32 (s, 1H, exchangeable with D_2O, NH-C=O), 7.91-7.11 (m, 5H, -CH=N- and 4 aromatic), 4.32–3.60 (m, 5H, arabinosyl protons).

Anal. Calcd. for $C_{13}H_{16}N_4O_5$ (308.3): C, 50.65; H, 5.23; N, 18.17. Found: C, 50.33; H, 5.21; N, 18.13.

Aldehydo-D-glucose (3-Ethyl-4-oxoquinazolin-2-yl)hydrazone (**5a**).

This compound was obtained in a 82% yield, mp 132-133 °C, R_f = 0.65 (system B); ir: 3425-3185 (NH + OH), 1687(C=O), 1616 (C=N) cm^{-1}; ^1H nmr (deuteriodimethylsulfoxide-d_6): δ 10.85 (s, 1H, exchangeable with D_2O, =N-NH-), 7.85 -6.92 (m, 5H (-CH=N- and 4 aromatic), 4.35 - 3.53 (m, 6H, glucosyl protons), 3.92 (q, 2H, CH_2 , J = 7.0 Hz), 1.17 (t, 3H, CH_3 , J = 7.0 Hz).

Anal. Calcd. for $C_{16}H_{22}N_4O_6$ (366.4): C, 52.45; H, 6.05; N, 15.29. Found: C, 52.17; H, 6.16; N, 15.19.

Alehydo-D-galactose (3-Ethyl-4-oxoquinazolin-2-yl)hydrazone (**5b**).

This compound was obtained in a 75% yield, mp 151-152 °C, R_f = 0.68 (system B); ir: 3428-3185 (NH + OH), 1677 (C=O),

1616 (C=N) cm^{-1}; uv (MeOH): λ_{max} (log ε) = 212 (4.43), 230 (3.88) and 318 (4.00) nm; ^{1}H nmr (deuteriodimethylsulfoxide-d_6): δ 10.82 (s, 1H, exchangeable with D_2O, =N-NH-), 7.85- 6.90 (m, 5H, -CH=N- and 4 aromatic), 4.35 - 3.62 (m, 6H galactosyl protons), 3.92 (q, 2H, CH_2, *J* = 7.0 Hz), 1.19 (t, 3H, CH_3, *J* = 7.0 Hz); ^{13}C nmr (deuteriodimethylsulfoxide-d_6): δ 158.2 (CH=N-), 71.5, 71.9, 70.8, 70.2 and 63.6 (C-2', C-3', C-4', C-5' and C-6' of galactose, resp.), 36.8 (CH_2), 14.7 (CH_3), 151.3, 161.2, 114.8, 127.4, 122.0, 134.9, 115.2, 140.0 (C-2, C-4, C-4a, C-5, C-6, C-7, C-8 and C-8a, resp.).

Anal. Calcd. for $C_{16}H_{22}N_4O_6$ (366.4): C, 52.45; H, 6.05; N, 15.29. Found: C, 52.15; H, 6.14; N, 15.21.

Aldehydo-D-xylose (3-Ethyl-4-oxoquinazolin-2-yl)hydrazone (**5c**).

This compound was obtained in a 78% yield, mp 185-186 °C, R_f = 0.66 (system B); ir: 3430-3179 (NH + OH), 1668 (C=O), 1615 (C=N) cm^{-1}; ^{1}H NMR (deuteriodimethylsulfoxide-d_6): δ 10.79 (s, 1H, exchangeable with D_2O, =N-NH-), 7.84-6.88 (m, 5H, -CH=N- and 4 aromatic), 4.45 - 3.72 (m, 5H, xylosyl protons), 3.92 (q, 2H, CH_2, *J* = 7.1 Hz), 1.18 (t, 3H, CH_3, *J* = 7.0 Hz).

Anal. Calcd. for $C_{15}H_{20}N_4O_5$ (336.3): C, 53.57; H, 5.99; N, 16.66. Found: C, 52.40; H, 6.08; N, 16.46.

Aldehydo-D-arabinose (3-Ethyl-4-oxoquinazolin-2-yl)hydrazone (**5d**).

This compound was obtained in a 66 % yield, mp 210-211 °C, R_f = 0.64 (system B); ir: 3431-3183(NH+ OH), 1671 (C=O), 1613 (C=N) cm^{-1}; ^{1}H nmr (deuteriodimethylsulfoxide-d_6): δ 10.80 s, 1H, exchangeable with D_2O, =N-NH-); 7.85-6.86 (m, 5H, -CH=N- and 4 aromatic), 4.48 - 3.69 (m, 5H, arabinosyl protons), 3.92 (q, 2H, CH_2, *J* = 7.1 Hz), 1.18 (t, 3H, CH_3 , *J* = 7.0 Hz).

Anal. Calcd. for $C_{15}H_{20}N_4O_5$ (336.3): C, 53.57; H, 5.99; N, 16.66. Found: C, 52.49; H, 6.11; N, 16.44.

General Procedure for the Preparation of Per-*O*-acetyl-1-aldehydo-sugar [1- Acetyl-1-(4-oxoquinazolin-2-yl)]hydrazones (**6a-d**).

A cold solution of the respective aldose hydrazones **4a-d** (1.5 mmoles) in dry pyridine (5 ml) was treated with acetic anhydride (5 ml). The reaction mixture was kept for 48 hours at room temperature with occasional shaking. It was poured onto crushed ice and the product was filtered, washed with water, dried and recrystallized from ethanol.

2',3',4',5',6'-Penta-*O*-acetyl-aldehydo-D-glucose[1-acetyl-1-(4-oxo quinazolin-2-yl)]hydrazone (**6a**).

This compound was obtained in a 64% yield, mp 114-115 °C, R_f = 0.45 (system A); ir: 3275 (NH-CO), 1747 (OAc), 1690 (NAc), 1671 (C=O quinazolinone), 1605 (C=N) cm^{-1}; ^{1}H nmr (deuteriochloroform): δ 6.58 (d, 1H, H-1', $J_{1'2'}$ = 3.7 Hz), 5.20 - 6.0 (m, 3H, H-2', H-3' and H-4'), 3.91- 4.25 (m, 3H, H-5', H-6' and H-6"), 11.42 (s, 1H, exchangeable with D_2O, NH-CO), 2.38 (s, 3H, -NAc), 2.04, 2.01, 2.00, 1.97 and 1.95 (5s, 15H, 5-OAc), 8.15 (d, 1H, H-5, Ar-H, *J* = 7.8 Hz), 7.88 (t, 1H, H-7, Ar-H, *J* = 7.8 Hz), 7.66 (d, 1H, H-8, Ar-H, *J* = 7.8 Hz), 7.54 t, 1H, H-6, Ar-H, *J* = 7.8 Hz).

Anal. Calcd. for $C_{26}H_{30}N_4O_{12}$ (590.5): C, 52.88; H, 5.12; N, 9.49. Found: C, 52.67; H, 4.78; N, 9.47.

2',3',4',5',6'-Penta-*O*-acetyl-aldehydo-D-galactose[1-acetyl-1-(4-oxo-quinazolin-2-yl)]hydrazone (**6b**).

This compound was obtained in a 60% yield, mp 101-103 °C, R_f = 0.43 (system A); ir: 3278 (NH-CO), 1749(OAc), 1693 (NAc), 1670 (C=O quinazolinone), 1610 (C=N) cm^{-1}; ^{1}H nmr (deuteriochloroform): δ 6.56 (d, 1H, H-1' $J_{1',2'}$ = 3.9 Hz), 5.00-5.80 (m, 3H, H-2', H-3' and H-4'), 3.95- 4.35 (m, 3H, H-5', H-6' and H-6"), 11.40 (s, 1H, exchangeable with D_2O, NH-CO), 2.36 (s, 3H, -NAc), 2.14, 2.07, 2.05, 2.00 and 1.95 5 (s, 15H, 5-OAc), 8.26 (d, 1H, H-5, Ar-H, *J* = 7.8 Hz), 7.71 (t, 1H, H-7, Ar-H, *J* =7.8 Hz), 7.52 d, 1H, H-8, Ar-H, *J* = 7.8 Hz), 7.30 (t, 1H, H-6, Ar-H, *J*=7.8 Hz); ^{13}C nmr (deuteriochloroform): δ 150.0 (C-1'), 69.4, 67.5, 67.5, 67.4 and 61.8 (C-2', C-3', C-4', C-5' and C-6' of galactose, resp.), 171.4, 171.3, 171.2, 170.0 and 169.5 (5 C=O acetoxy), 21.1, 20.8, 20.5, 20.5 and 20.4 (each CH_3, 5 OAc), 153.1, 161.1, 114.5, 128.6, 122.4, 135.3, 114.6 and 139.1 (C-2, C-4, C-4a, C-5,C-6, C-7, C-8 and C-8a of quinazolinone, resp.), 21.8 (CH_3, NAc).

Anal. Calcd. for $C_{26}H_{30}N_4O_{12}$ (590.5): C, 52.88; H, 5.12; N, 9.49. Found: C, 52.65; H, 5.18; N, 9.45.

2',3',4',5'-Tetra-*O*-acetyl-aldehydo-D-xylose[1-acetyl-1-(4-oxo-quinazolin-2-yl)]hydrazone (**6c**).

This compound was obtained in a 58% yield, mp 118-120 °C; R_f = 0.44 (system A); ir: 3280 (NH-CO), 1745 (OAc), 1690 (NAc), 1674 (C=O quinazolinone), 1608 (C=N) cm^{-1}; uv (MeOH): λ_{max} (log ε) = 216 (4.13), 230 (4.71) and 321 (4.65) nm; ^{1}H nmr (deuteriochloroform): δ 6.57 d, 1H, H-1', $J_{1',2'}$ = 4.2 Hz), 5.50-5.70 (m, 3H, H-2', H-3' and H-4'), 4.32 (dd, 1H, H-5' $J_{4',5'}$ = 6.5 Hz), 4.0 (dd, 1H, H-5", $J_{5',5"}$ = 12.0 Hz), 11.41 (s, 1H (exchangeable with D_2O, NH-CO), 2.37 (s, 3H -NAc), 2.13, 2.04, 2.01 and 1.98 4s, 12H, 4-OAc); 8.22 (d, 1H, H-5, Ar-H, *J* = 7.8 Hz); 7.70 (t, 1H, H-7, Ar-H, *J* = 7.8 Hz), 7.51 (d, 1H, H-8 Ar-H, *J* = 7.8 Hz), 7.35 (t, 1H, H-6, Ar-H, *J* = 7.8 Hz).

Anal. Calcd. for $C_{23}H_{26}N_4O_{10}$ (518.5): C, 53.28; H, 5.05; N, 10.81. Found: C, 53.33; H, 5.17; N, 10.70.

2',3',4',5'-Tetra-*O*-acetyl-aldehydo-D-arabinose[1-acetyl-1-(4-oxoquinazolin-2-yl)] hydrazone (**6d**).

This compound was obtained in a 52% yield, mp 83-85 °C, R_f = 0.45 (system A); ir: 3278 (NH-CO), 1745 (OAc), 1692 (NAc), 1670 (C=O quin.), 1610 (C=N) cm^{-1}; ^{1}H nmr (deuteriochloroform): δ 6.55 (d, 1H, H-1', $J_{1',2'}$ = 3.5 Hz), 5.72 (dd, 1H, H-2'), 5.51-4.79 (m, 2H, H-3' and H-4'), 4.34 (dd, 1H, H-5', $J_{4',5'}$ = 6.5 Hz), 4.05 (dd, 1H, H-5", $J_{5',5"}$ = 12.0 Hz), 11.41 (s, 1H, exchangeable with D_2O, NH-C=O), 2.42 (s, 3H, -NAc), 2.18, 2.11, 2.06 and 2.02 4s, 12H, 4-OAc), 8.27 (d, 1H, H-5, Ar-H, *J*= 7.8 Hz), 7.79 t, 1H, H-7, Ar-H, *J* = 7.Hz), 7.54 (d, 1H, H-8, Ar-H, *J*= 7.8 Hz), 7.32 (t, 1H, H-6, Ar-H, *J* = 7.8 Hz); ms: *m/z* (EI) (ion, relative intensity): 476 (M^+ -Ac, 2.3), 374 (476 - CH_2CO & AcOH, 2.7), 314 (374 - AcOH, 5.2), 202 ($C_{10}H_{10}N_4O^+$, 18.3), 188 ($C_9H_8N_4O^+$, 10.7) and 161 ($C_8H_7N_3O^+$, 100).

Anal. Calcd. for $C_{23}H_{26}N_4O_{10}$ (518.5): C, 53.28; H, 5.05; N, 10.81. Found: C, 53.30; H, 5.19; N, 10.75.

General Procedure for the Preparation of Per-*O*-acetyl-aldehydo-sugar[1-acetyl-1-(3-ethyl-4-oxoquinazolin-2-yl)]hydrazones (**7a-d**).

Method A.

Compounds **7a-d** were prepared in the same manner as described for **6a-d** using compounds **5a-d** (2 mmoles) in anhydrous pyridine (10 ml) and acetic anhydride (8 ml).

Method B.

A mixture of the respective per-*O*-acetylaldoseacetyl(4-oxo-quinazolin-2-yl)hydrazones (**6a-d**) (5 mmoles), potassium carbonate (1 g) and iodoethane (1.2 g) in butanone (25 ml) was stirred and heated under reflux for 18 hours. After filtering, the solution was evaporated to dryness under reduced pressure. The residue was dissolved in chloroform, washed with water and dried with anhydrous sodium sulfate. The solvent was evaporated and the residue was crystallized from ethanol.

2',3',4',5',6'-Penta-*O*-acetyl-aldehydo-D-glucose[1-acetyl-1-(3-ethyl-4-oxo-quinazolin-2-yl)]hydrazone (**7a**).

This compound was obtained in a 74% yield (method A) or 62% yield (method B), mp 124-126 °C, R_f = 0.47 (system A); ir: 1749 (OAc), 1693 (NAc), 1668 (C=O quinazolinone), 1610 (C=N) cm^{-1}; ^{1}H nmr (deuteriochloroform): δ 6.56 (d, 1H, H-1', $J_{1',2'}$ = 4.3Hz); 5.12 - 5.92 (m, 3H, H-2', H-3' and H-4'); 4.32-3.87 (m, 3H, H-5', H-6' and H-6"), 2.37 (s, 3H -NAc), 2.04, 2.01, 2.00, 1.98 and 1.97 (5s, 15H, 5-OAc), 8.05 (d, 1H, H-5, Ar-H, *J* =7.8 Hz), 7.84- 6.93 (m, 3H, H-6, H-7 and H-8, Ar-H), 4.42 (q, 2H, CH_2 , *J* = 7.1 Hz), 1.46 (t, 3H, CH_3 , *J* = 7.8 Hz).

Anal. Calcd. for $C_{28}H_{34}N_4O_{12}$ (618.4): C, 54.37; H, 5.54; N, 9.06. Found: C, 54.27; H, 5.62; N, 9.13.

2',3',4',5',6'-Penta-*O*-acetyl-aldehydo-D-galactose[1-acetyl-1-(3-ethyl-4-oxoquinazolin-2-yl)]hydrazone (**7b**).

This compound was obtained in a 68% yield (method A) or 52% yield (method B), mp 144-146 °C, R_f = 0.46 (system A); ir: 1747(OAc), 1690 (NAc), 1672 (C=O quinazolinone), 1612 (C=N) cm^{-1}; ^{1}H nmr (deuteriochloroform): δ 6.58 (d, 1H, H-1', $J_{1',2'}$ = 3.9 Hz), 5.61 (t, 1H, H-2), 5.49 - 4.27 (m, 3H, H-3', H-4' and H-5'), 4.25 (dd, 1H, H-6', $J_{5',6'}$ = 5.2 Hz), 3.86 (dd, 1H, H-6", $J_{5',6"}$ = 7.5 Hz and $J_{6',6"}$ = 11.5 Hz), 2.36 (s, 3H, -NAc); 2.14-1.85 (m, 15H, 5-OAc), 8.00 (d, 1H, H-5, Ar-H, *J* = 7.8 Hz), 7.75- 6.90 (m, 3H, H-6, H-7 and H-8, Ar-H), 1.48 (t, 3H, CH_3 *J* = 7.1 Hz), 4.45 (q, 2H, CH_2 , *J* = 7.1 Hz); ms: *m/z* (EI) (ion, relative intensity): 618 (M^+, 2.4), 576 (M^+ - Ac, 6.0), 189($C_{10}H_{11}N_3O^+$, 12.3), 161 ($C_8H_7N_3O^+$, 15.3) and 145 ($C_8H_5N_2O^+$, 100).

Anal. Calcd. for $C_{28}H_{34}N_4O_{12}$ (618.4): C, 54.37; H, 5.54; N, 9.06. Found: C, 54.31; H, 5.60; N, 9.15.

2',3',4',5'-Tetra-*O*-acetyl-D-aldehydo-xylose[1-acetyl-1-(3-ethyl-4-oxoquinazolin-2-yl)]hydrazone (**7c**).

This compound was obtained in a 62% yield (method A) or 44% yield (method B), mp 158-160 °C, R_f = 0.47 (system A); ir: 1745 (OAc), 1691 (NAc), 1670 (C=O quinazolinone), 1610 (C=N) cm^{-1}; ^{1}H nmr (deuteriochloroform): δ 6.56 (d, 1H, H-1', $J_{1',2'}$ = 4.2 Hz), 5.48-5.67 (m, 3H, H-2', H-3' and H-4'), 4.30 (dd, 1H, H-5' $J_{4',5'}$ = 6.7 Hz), 3.96 (dd, 1H, H-5", $J_{5',5"}$ = 12.0 Hz), 2.39 (s, 3H, -NAc), 2.12, 2.05, 2.03 and 1.98 (4s, 12H, 4-OAc), 8.07 d, 1H, H-5, Ar-H, *J* =7.8 Hz), 7.70 - 6.93 (m, 3H, H-6, H-7 and H-8, Ar-H), 4.44 (q, 2H, CH_2, *J* =7.1 Hz), 1.47 (t, 3H, CH_3, *J* =7.1 Hz); ^{13}C nmr (deuteriochloroform): δ 151.2 (C-1'), 70.3, 68.9, 68.8 and 61.9 (C-2', C-3', C-4' and C-5' of xylose, resp.), 170.5, 170.4, 170.3 and 169.6 (4 C=O acetoxy), 21.0, 20.7, 20.5 and 20.5 (each CH_3, 4 OAc), 152.8, 161.1, 114.5, 128.4, 122.4, 135.1, 114.9 and 139.2 (C-2, C-4, C-4a, C-5,C-6, C-7, C-8 and C-8a of quinazolinone, resp.), 21.8 (CH_3, NAc), 36.6 (N-CH_2), 13.4 (CH_3).

Anal. Calcd. for $C_{25}H_{30}N_4O_{10}$ (546.5): C, 54.94; H, 5.53; N, 10.28. Found: C, 55.05; H, 5.57; N, 10.20.

2',3',4',5'-Tetra-*O*-acetyl-aldehydo-D-arabinose[1-acetyl-1-(3-ethyl-4-oxoquinazolin-2-yl)]hydrazone (**7d**).

This compound was obtained in a 62% yield (method A) or 40% yield (method B), mp 98-100 °C, R_f = 0.46 (system A); ir: 1747 (OAc), 1692 (NAc), 1673 (C=O quinazolinone), 1610 (C=N) cm^{-1}; uv (MeOH): δ λ_{max} (logε) = 215 (4.75), 231 (4.42) and 320 (4.10) nm; ^{1}H NMR (deuteriochloroform): δ 6.54 (d, 1H, H-1', $J_{1',2'}$ = 3.7 Hz), 5.70 (dd, 1H, H-2'), 5.48-4.77 (m, 2H, H-3' and H-4'), 4.33 (dd, 1H, H-5', $J_{4',5'}$ = 6.5 Hz), 4.00 (dd, 1H, H-5", $J_{5',5"}$ = 12.6 Hz); 2.45 (s, 3H (NAc), 2.18, 2.08, 2.06 and 2.00 (4s, 12H, 4-OAc), 8.04 (d, H-5, Ar-H, 1H, *J* = 7.8 Hz), 7.75 (t, 1H, H-7, Ar-H, *J* = 7.8 Hz), 7.44 (d, 1H, H-8, Ar-H, *J* = 7.8 Hz), 7.32 (t, 1H, H-6, Ar-H, *J* = 7.8 Hz), 4.42 (q, 2H, CH_2, *J* = 7.1 Hz), 1.45 (t, 3H, CH_3 , *J* = 7.1 Hz).

Anal. Calcd. for $C_{25}H_{30}N_4O_{10}$ (546.5): C, 54.94; H, 5.53; N, 10.28. Found: C, 55.15; H, 5.55; N, 10.18.

General Procedure for the Preparation of 1-(Alditol-1-yl)-1,2,4-triazolo[4,3-*a*]quinazolin-5(4*H*)-ones (**8a-d**) and 1-(Alditol-1-yl)-4-ethyl-1,2,4-triazolo[4,3-*a*]quinazolin-5(4*H*)-ones (**9a-d**).

A 2 *M* solution of ferric chloride in ethanol (2 ml) was added dropwise to a boiling solution of the appropriate hydrazone (**4a-d**, 2.5 mmoles) or (**5a-d,** 2.5 mmoles) in ethanol (150 ml). The reaction mixture was heated under reflux for 30 additional minutes and the mixture was kept overnight at room temperature. The solution was concentrated under reduced pressure, water was added and the precipitated material was collected by filtration, washed with water, dried and recrystallized from methanol.

1-(D-*Gluco*-pentitol-1-yl)-1,2,4-triazolo[4,3-*a*]quinazolin-5(4*H*)-one (**8a**).

This compound was obtained in a 72% yield, mp 264-265 °C, R_f = 0.52 (system B); ir: 3392 - 3245 (OH + NH), 1670 (C=O), 1612 (C=N) cm^{-1}; uv (MeOH): λ_{max} (logε) = 220 (4.10), 240 (3.89) and 298 (3.72) nm; ^{1}H nmr (deuteriodimethylsulfoxide-d_6): δ 11.18 (s, 1H, exchangeable with D_2O, -NH-CO), 8.38 - 7.53 (m, 4H, Ar-H), 5.32–3.65 (m, 6H, glucosyl protons), 5.03 (m, 3H, exchangeable with D_2O, 3OH), 4.45 (m, 2H, exchangeable with D_2O, 2 OH).

Anal. Calcd. for $C_{14}H_{16}N_4O_6$ (336.3): C, 50.00; H, 4.76; N, 16.67. Found: C, 50.30; H, 4.87; N, 16.42.

1-(D-*Galacto*-pentitol-1-yl)-1,2,4-triazolo[4,3-*a*]quinazolin-5(4*H*)-one (**8b**).

This compound was obtained in a 77% yield, mp 247-248 °C, R_f = 0.54 (system B); ir: 3385-3210 (OH + NH), 1669 (C=O), 1610 (C=N) cm^{-1}; ^{1}H nmr (deuteriodimethylsulfoxide-d_6): δ 11.33 (s, 1H, exchangeable with D_2O, NH-C=O), 8.40-7.54 (m, 4H, Ar-H); 5.28–3.65 (m, 6H, galactosyl protons), 4.78 (s, 1H, exchangeable with D_2O, OH), 4.45 (m, 2H, exchangeable with D_2O, 2 OH), 4.12 (m, 1H, exchangeable with D_2O, OH), 4.09 (m, 1H, exchangeable, OH).

Anal. Calcd. for $C_{14}H_{16}N_4O_6$ (336.3): C, 50.00; H, 4.76; N, 16.67. Found: C, 50.18; H, 4.39; N, 16.35.

1-(D-*Xylo*-tetritol-1-yl)-1,2,4-triazolo[4,3-*a*]quinazolin-5(4*H*)-one (**8c**).

This compound was obtained in a 68 % yield, mp 275-277 °C, R_f = 0.51 (system B); ir: 3382-3242(OH + NH), 1674

(C=O), 1612 (C=N) cm^{-1}; ^1H nmr (deuteriodimethylsulfoxide-d_6): δ 11.25 (s, 1H, exchangeable with D_2O, NH-C=O), 8.45 - 7.49 (m, 4H, Ar-H), 5.32–3.77 (m, 5H, xylosyl protons), 4.88-4.05 (m, 4H, exchangeable with D_2O, 4 OH); ^{13}C nmr (deuteriodimethylsulfoxide-d_6): δ 71.8, 71.0, 70.9, and 61.8 (C-1', C-2', C-3' and C-4' of xylose, resp.), 145.7, 151.0, 160.3, 113.8, 127.0, 120.9, 135.2, 114.7 and 139.6 (C-1, C-3a, C-5, C-5a, C-6, C-7, C-8, C-9 and C-9a, resp.).

Anal. Calcd. for $C_{13}H_{14}N_4O_5$ (306.3): C, 50.98; H, 4.58; N, 18.30. Found: C, 50.73; H, 4.29; N, 18.10.

1-(D-*Arabino*-tetritol-1-yl)-1,2,4-triazolo[4,3-*a*]quinazolin-5(4*H*)-one (**8d**).

This compound was obtained in 64 % yield, mp 290-292 °C, R_f = 0.55 (system B); ir: 3388-3254 (OH + NH), 1672 (C=O), 1611 (C=N) cm^{-1}; ^1H nmr (deuteriodimethylsulfoxide-d_6): δ 11.30 (s, 1H, exchangeable, NH-CO), 8.41 - 7.54 (m, 4H, Ar-H), 5.37–3.66 (m, 5H, arabinosyl protons), 5.05 - 4.42 (m, 4H, exchangeable with D_2O, 4OH).

Anal. Calcd. for $C_{13}H_{14}N_4O_5$ (306.3): C, 50.98; H, 4.58; N, 18.30. Found: C, 50.70; H, 4.33; N, 18.05.

1-(D-*Gluco*-pentitol-1-yl)-4-ethyl-1,2,4-triazolo[4,3-*a*]quinazolin-5(4*H*)-one (**9a**).

This compound was obtained in a 78 % yield, mp 244-246 °C, R_f = 0.58 (system B); ir: 338-3255 (NH + OH), 1685 (C=O), 1610 (C=N) cm^{-1}; ^1H nmr (deuteriodimethylsulfoxide-d_6): δ 8.40 - 7.52 (m, 4H, Ar-H), 5.35 -3.53 (m, 6H, glucosyl protons), 5.03-4.48 (m 5H, exchangeable with D_2O, 5OH), 3.90 (q, 2H, CH_2 , J = 7.0 Hz), 1.19 (t, 3H, CH_3 J = 7.0 Hz); ms: *m/z* (EI) (ion, relative intensity): 365 (M+1, 1.2), 364 (M^+, 2.4), 333 (M - CH_2OH, 1.5), 303 (333 - HCHO, 5.4), 273 (303 - HCHO, 22.3), 213 (273 - HCHO, 66.3), 185 (213 - CO, 25.3), 184 (185 -H, 100).

Anal. Calcd. for $C_{16}H_{20}N_4O_6$ (364.4): C, 52.74; H, 5.53; N, 15.38. Found: C, 52.93; H, 5.70; N, 15.16.

1-(D-*Galacto*-pentitol-1-yl)-4-ethyl-1,2,4-triazolo[4,3-*a*]quinazolin-5(4*H*)-ones (**9b**).

This compound was obtained in 72% yield, mp 258-260 °C, R_f = 0.57 (system B); ir: 3390-3 235 (NH + OH), 1669 (C=O), 1610 (C=N) cm^{-1}; ^1H nmr (deuteriodimethylsulfoxide-d_6): δ 8.42 - 7.53 (m, 4H, Ar-H), 5.38-3.65 (m, 6H, galactosyl protons), 3.94 (q, 2H, CH_2 , J = 7.0 Hz), 1.21 t, 3H, CH_3 , J = 7.0 Hz), 4.75 (m, 1H, exchangeable, OH), 4.44 (m, 2H , exchangeable, 2OH), 4.10 (m, 1H, exchangeable with D_2O, OH), 4.06 (m, 1H, exchangeable with D_2O, OH).

Anal. Calcd. for $C_{16}H_{20}N_4O_6$ (364.4): C, 52.74; H, 5.53; N, 15.38. Found: C, 52.98; H, 5.68; N, 15.21.

1-(D-*Xylo*-tetritol-1-yl)-4-ethyl-1,2,4-triazolo[4,3-*a*]quinazolin-5(4*H*)-ones (**9c**).

This compound was obtained in a 68% yield, mp 280-282 °C, R_f = 0.56 (system B); ir: 3378-3247 (NH+ OH), 1671 (C=O), 1611(C=N) cm^{-1}; ^1H nmr (deuteriodimethylsulfoxide-d_6): δ 8.45-7.39 (m, 4H, Ar-H), 5.45 - 3.70 (m, 5H, xylosyl protons), 3.91 (q, 2H, CH_2, J = 7.0 Hz), 1.20 (t, 3H, CH_3 , J = 7.0 Hz), 4.98-4.03 m, 4H, exchangeable with D_2O, 4 OH).

Anal. Calcd. for $C_{15}H_{18}N_4O_5$ (334.3): C, 53.89; H, 5.43; N, 16.76. Found: C, 53.58; H, 5.17; N, 16.62.

1-(D-*Arabino*-tetritol-1-yl)-4-ethyl-1,2,4-triazolo[4,3-*a*]quinazolin-5(4*H*)-one (**9d**).

This compound was obtained in a 60 % yield, mp 292-293 °C, R_f = 0.57 (system B); ir: 3383 - 3275 (NH + OH), 1 669 (C=O), 1610 (C=N) cm^{-1}; uv (MeOH): λ_{max} (logε) = 220 (3.87), 240 (4.65) and 298 (4.10) nm; ^1H nmr (deuteriodimethylsulfoxide-d_6): δ 8.44 - 4.03 (m, 4H, Ar-H), 5.44 - 3.64 (m, 5H, arabinosyl protons), 3.94 (q, 2H, CH_2, J = 7.1 Hz), 1.22 (t, 3H, CH_3 , J = 7.0 Hz), 4.77 - 4.03 (m, 4H, exchangeable with D_2O, 4 OH).

Anal. Calcd. for $C_{15}H_{18}N_4O_5$ (334.3): C, 53.89; H, 5.43; N, 16.76. Found: C, 53.61; H, 5.15; N, 16.58.

General Procedure for the Preparation of 1-(Per-*O*-acetyl-alditol-1-yl)-1,2,4-triazolo[4,3-*a*]quinazolin-5(4*H*)-ones (**11a-d**).

A cold solution of the respective triazolo quinazolinone (**8a-d**, 0.1.1 mmoles) in dry pyridine (6 ml) was treated with acetic anhydride (8 ml) and the reaction mixture was processed in a similar way to compounds **6a-d**. It was recrystallized from ethanol as colorless needles.

1-(1',2',3',4',5'-Penta-*O*-acetyl-D-*gluco*-pentitol-1-yl)-1,2,4-triazolo[4,3-*a*]quinazolin-5(4*H*)-one (**11a**).

This compound was obtained in a 77% yield, mp 138-139 °C, R_f = 0.40 (system A); ir: 3275 (NH-CO), 1775 (OAc), 1675 (C=O quinazolinone), 1605 (C=N) cm^{-1}; ^1H nmr(deuteriochloroform): δ, 6.58 (d, 1H, H-1'), 6.26 (dd, 1H, H2'), 5.27 (dd, 1H, H-3'), 5.17 (m, 1H, H-4'), 4.18 (m, 1H, H-5'), 4.05 (dd, 1H, H-5''), 11.45 (s, 1H, exchangeable with D_2O, NH-CO), 2.19, 2.10, 2.00, 1.98 and 1.96 (5s, 15H, 5-OAc), 8.64 (d, 1H, H-5, Ar-H, J = 7.8 Hz), 7.85 (t, 1H, H-7, Ar-H, J = 7.8 Hz), 7.64 (d, 1H, H-8, Ar-H, J = 7.8 Hz), 7.50 (t, 1H, H-6, Ar-H, J = 7.8 Hz); ms: *m/z* (EI) (ion, relative intensity): 547 (2.25, M^+ + 1), 546 (16.22, M^+), 444 (4.61, M^+ - CH_2CO, HOAc), 384 (6.23, 444 - HOAc), 215 (100, $C_{10}H_7N_4O_2^+$).

Anal. Calcd. for $C_{24}H_{26}N_4O_{11}$ (546.5): C, 52.75; H, 4.80; N, 10.25. Found: C, 52.90; H, 4.94; N, 10.15.

1-(1',2',3',4',5'-Penta-*O*-acetyl-D-*galacto*-pentitol-1-yl)-1,2,4-triazolo[4,3-*a*]quinazolin-5(4*H*)-one (**11b**).

This compound was obtained in a 81% yield, mp 172-173 °C, R_f = 0.42 (system A); ir: 3283 (NH-CO), 1748 (OAc), 1678 (C=O quinazolinone), 1610 (C=N) cm^{-1}; ^1H nmr (deuteriochloroform): δ 6.60 (d, 1H, H-1', $J_{1',2'}$ = 2.2 Hz), 5.79 (dd, 1H, H-2', $J_{2',3'}$ = 9.5 Hz), 5.65 (dd, 1H, H-3'), 5.43 (m, 1H, H-4'), 3.38 dd, 1H, H-5' $J_{4',5'}$ = 4.6 Hz), 3.97 (dd, 1H, H-5'', $J_{5',5''}$ = 11.6 Hz), 11.47 (s, 1H (exchangeable with D_2O, NH-CO); 2.24, 2.20, 2.06, 1.97 and 1.96 (5s, 15H, 5-OAc), 8.56 (d, 1H, H-5, Ar-H, J =7.8 Hz), 7.83 (t, 1H, H-7, Ar-H J = 7.8 Hz), 7.65 d, 1H, H-8, Ar-H, J =7.8 Hz); 7.54 (t, 1H, (H-6, Ar-H J = 7.8 Hz); ^{13}C nmr (deuteriochloroform): δ 68.6, 68.4, 68.2, 64.4 and 62.2 (C-1', C-2', C-3', C-4' and C-5' of galactose, resp.), 169.9, 169.7, 169.4, 169.1 and 168.7 (5 CO ester), 21.1, 20.7, 20.5, 20.4 and 20.2 (5 CH_3), 148.8, 150.8, 160.1, 113.4, 126.5, 120.5, 134.7, 114.5 and 138.9 (C-1, C-3a, C-5, C-5a, C-6, C-7, C-8, C-8 and C-9a).

Anal. Calcd. for $C_{24}H_{26}N_4O_{11}$ (546.5): C, 52.75; H, 4.80; N, 10.25. Found: C, 52.92; H, 4.91; N, 10.05.

1-(1',2',3',4'-Tetra-O-acetyl-D-*xylo*-tetritol-1-yl)-1,2,4-triazolo[4,3-*a*]quinazolin-5(4H)-one (**11c**).

This compound was obtained in a 72% yield, mp 189-191 °C, R_f = 0.41 (system A); ir: 3277 (NH-CO), 1749 (OAc), 1675 (C=O

quinazolinone) and 1608 (C=N) cm^{-1}; uv (MeOH): λ_{max} (logε) = 219 (4.23), 238 (4.74) and 302 (4.00) nm; ^{1}H nmr (deuteriochloroform): δ 6.71 (d, 1H, H-1', $J_{1',2'}$ = 3.1Hz), 6.05 (m, 1H, H-2'), 5.35 (m, 1H, H-3'), 4.38 (m, 2H, H-4', H-4"), 11.49 (s, 1H, exchangeable with D_2O, NH-CO), 2.20, 2.06, 2.00 and 1.98 (4s, 12H, 4-OAc), 8.55 (d, 1H, H-5, Ar-H, *J* = 7.7 Hz); 7.85 t, 1H, H-7, Ar-H, *J* = 7.7 Hz), 7.60 d, 1H, H-8, Ar-H, *J* = 7.7 Hz), 7.52 (t, 1H, H-6, Ar-H *J* = 7.7 Hz).

Anal. Calcd. for $C_{21}H_{22}N_4O_9$ (474.4): C, 53.17; H, 4.67; N, 11.81. Found: C, 52.98; H, 4.41; N, 11.66.

1-(1',2',3',4'-Tetra-*O*-acetyl-D-*arabino*-tetritol-1-yl)-1,2,4-triazolo[4,3-*a*]quinazolin-5(4*H*)-one (**11d**).

This compound was obtained in a 69% yield, mp 206-207 °C; R_f = 0.43 (system A); ir: 3273 (NH-CO), 1745 (OAc), 1674 (C=O quinazolinone), 1605 (C=N) cm^{-1}; ^{1}H nmr (deuteriochloroform): δ 6.75 d, 1H, H-1', $J_{1',2'}$ =3.2 Hz), 5.81 (dd, 1H, H-2', $J_{2',3'}$ =8.5 Hz), 5.53 (m, 1H, H-3'), 4.39 (d, 1H, H-4' $J_{3',4'}$ = 3.2 Hz), 4.26 (d, 1H, H-4", $J_{4',4''}$ = 12.6 Hz), 11.47(s, 1H, exchangeable with D_2O, NH-CO), 2.20, 2.17, 2.04 and 2.04 (4s, 12H 4-OAc), 8.53 (d, 1H, H-5, Ar-H, *J* = 7.7 Hz), 7.85 (t, 1H, H-7, Ar-H, *J* = 7.7 Hz), 7.70 (d, 1H, H-8, Ar-H, *J* = 7.8 Hz), 7.57 (t, 1H, H-6, Ar-H, *J* = 7.7 Hz).

Anal. Calcd. for $C_{21}H_{22}N_4O_9$ (474.4): C, 53.17; H, 4.67; N, 11.81. Found: C, 52.96; H, 4.45; N, 11.59.

General Procedure for the Preparation of 4-Ethyl-1-(per-*O*-acetyl-alditol-1-yl)-1,2,4-triazolo[4,3-*a*]quinazolin-5(4*H*)-ones (**12a-d**).

Method A.

A cold solution of the respective triazolo quinazolinone (**9a-d,** 1.1 mmoles) in dry pyridine (7 ml) was treated with acetic anhydride (8 ml) and the reaction mixture was processed as above. The product was crystallized from ethanol giving colorless needles.

Method B.

A mixture of the appropriate acetate (**8a-d**, 5 mmoles), potassium carbonate (1 g) and iodoethane (1.2 g) in butanone (30 ml) and the reaction mixture was processed similar to compounds **7a-d**.

4-Ethyl-1-(1',2',3',4',5'-penta-*O*-acetyl-D-*gluco*-pentitol-1-yl)-1,2,4-triazolo[4,3-*a*]quinazolin-5(4*H*)-one (**12a**).

This compound was obtained in a 82% yield (method A) or 61 % yield (method B), mp 204-206 °C, R_f = 0.44 (system A); ir: 1755 (OAc), 1677(C=O quinazolinone), 1610 (C=N) cm^{-1}; ^{1}H nmr (deuteriochloroform): δ 6.56 (d, 1H, H-1'), 6.24 (dd, 1H, H-2'); 5.25 (dd, 1H, H-3'), 5.18 (m, 1H, H-4'), 4.16 (m, 1H, H-5'), 4.03 (dd, 1H, H-5"), 3.88 (q, 2H, CH_2 *J*= 7.0 Hz), 1.17 (t, 3H, CH_3 *J*= 7.0 Hz), 2.17, 2.07, 2.00, 1.96 and 1.94 (5s, 15H, 5-OAc), 8.57 (d, 1H, H-5, Ar-H, *J* = 7.8 Hz), 7.83 (t, 1H, H-7, Ar-H, *J* = 7.8 Hz), 7.62 (d, 1H, H-8, Ar-H *J* = 7.8 Hz), 7.52 t, 1H, (H-6, Ar-H, *J* = 7.8 Hz) .

Anal. Calcd. for $C_{26}H_{30}N_4O_{11}$ (574.5): C, 54.35; H, 5.26; N, 9.75. Found: C, 54.16; H, 5.35; N, 10.00.

4-Ethyl-1-(1',2',3',4',5'-penta-*O*-acetyl-D-*galacto*-pentitol-1-yl)-1,2,4-triazolo[4,3-*a*]quinazolin-5(4*H*)-one (**12b**).

This compound was obtained in a 83 % yield (method A) or 58 % yield (method B), mp 186-188 °C, R_f = 0.43 (system A); ir: 1759 (OAc), 1681 (C=O quinazolinone), 1608 (C=N) cm^{-1}; ^{1}H nmr (deuteriochloroform): δ 6.58 (d, 1H, H-1' $J_{1',2'}$ = 2.4 Hz), 5.74 (dd, 1H, H-2', $J_{2',3'}$ = 9.5 Hz), 5.60 (dd, 1H, H-3'), 5.45 (m, 1H, H-4'), 3.41 (dd, 1H, H-5', $J_{4',5'}$ = 4.4 Hz), 3.93 (dd, 1H, H-5", $J_{5',5''}$ =11.6 Hz), 3.86 (q, 2H, CH_2 , *J* =7.0 Hz), 1.17 t, 3H, CH_3, *J* = 7.0), 2.23, 2.18, 2.07, 1.98 and 1.95 (5s, 15H , 5-OAc), 8.56 (d, 1H, H-5, Ar-H, *J* = 7.8 Hz), 7.80 (t, 1H, H-7, Ar-H, *J* = 7.8 Hz), 7.62 (d, 1H, H-8, Ar-H, *J* = 7.8Hz), 7.56 (t, 1H, H-6, Ar-H, *J* = 7.8 Hz).

Anal. Calcd. for $C_{26}H_{30}N_4O_{11}$ (574.5): C, 54.35; H, 5.26; N, 9.75. Found: C, 54.13; H, 5.33; N, 9.88.

4-Ethyl-1-(1',2',3',4'-tetra-*O*-acetyl-D-*xylo*-tetritol-1-yl)-1,2,4-triazolo[4,3-*a*]quinazolin-5(4*H*)-one (**12c**).

This compound was obtained in a 77 % yield (method A) or 55 % yield (method B); mp 211-213 °C; R_f = 0.45 (system A). ir: 1762 (OAc), 1670 (C=O quinazolinone), 1610 (C=N) cm^{-1}; ^{1}H nmr (deuteriochloroform): δ 6.67 (d, 1H, H-1', $J_{1',2'}$ = 3.2 Hz), 6.00 (m, 1H, H-2'), 5.28 (m, 1H, H-3'), 4.34 (m, 2H, H-4', H-4"), 3.85 (q, 2H, CH_2 , *J* =7.0 Hz), 1.19 (t, 3H, CH_3 , *J* = 7.0 Hz), 2.22, 2.07, 2.05 and 1.98 (4s, 12H, 4-OAc), 8.58 (d, 1H, H-5, Ar-H, *J* = 7.7 Hz), 7.83 (t, 1H, H-7, Ar-H, *J* = 7.7 Hz), 7.67 (d, 1H, H-8, Ar-H, *J* = 7.7 Hz), 7.50(t, 1H, H-6, Ar-H, *J*= 7.7 Hz); ^{13}C nmr (deuteriochloroform): δ 69.7, 68.6, 68.1 and 61.8 (C-1', C-2', C-3' and C-4' of xylose, resp.), 170.1, 169.8, 169..6 and 169.0 (4 CO ester), 21.1, 20.5, 20.2 and 20.0 (4 CH_3), 35.7 (N-CH_2), 13.7 (CH_3), 147.4, 151.8, 162.4, 112.9, 125.8, 121.2, 133.4, 115.1 and 139.3 (C-1, C-3a, C-5, C-5a, C-6, C-7, C-8, C-9 and C-9a, resp.).

Anal. Calcd. for $C_{23}H_{26}N_4O_9$ (502.5): C, 54.98; H, 5.22; N, 11.15. Found: C, 54.65; H, 5.39; N, 11.34.

4-Ethy-1-(1',2',3',4'-tetra-*O*-acetyl-D-*arabino*-tetritol-1-yl)-1,2,4-triazolo[4,3-*a*]quinazolin-5(4*H*)-one (**12d**).

This compound was obtained in a 71% yield (method A) or 51 % yield (method B); mp 218-220 °C; R_f = 0.46 (system A); ir: 1753(OAc), 1679 (C=O quinazolinone), 1608 (C=N) cm^{-1}; uv (MeOH): λ_{max} (logε) = 218 (4.00), 238 (4.39) and 300 (4.87) nm; ^{1}H nmr (deuteriochloroform): δ 6.71(d, 1H, H-1' $J_{1',2'}$ = 3.2 Hz), 5.78 (dd, 1H, H-2', $J_{2',3'}$ = 8.2 Hz), 5.49 (m, 1H, H-3'), 4.35 (d, 1H, H-4', $J_{3',4'}$ = 3.5 Hz), 4.23 (d, 1H, H-4", $J_{4',4''}$ = 12.6 Hz), 3.87 (q, 2H, CH_2 *J* = 7.0 Hz), 1.16 (t, 3H, CH_3 , *J* = 7.0 Hz), 2.18, 2.15, 2.06 and 2.04 (4s, 12H, 4-OAc); 8.56 (d, 1H, H-5, Ar-H, *J* = 7.7 Hz), 7.84 (t, 1H, H-7, Ar-H *J* = 7.7 Hz), 7.74 (d, 1H, H-8, Ar-H *J* = 7.8 Hz), 7.48 (t, 1H, H-6, Ar-H *J* = 7.7 Hz); ms: *m/z* (EI) (ion, relative intensity): 503 (3.18, M + 1), 502 (10.35, M^+).

Anal. Calcd. for $C_{23}H_{26}N_4O_9$(502.5): C, 54.98; H, 5.22; N, 11.15. Found: C, 54.87; H, 5.30; N, 11.29.

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