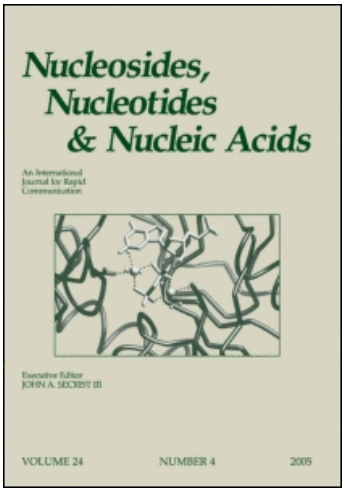

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ABSTRACT

Glucosidation of the new 8-amino-6-benzyl(or substituted benzyl)-2,8-dihydro-1,2,4-triazolo[4,3-*b*][1,2,4]triazin-7(3*H*)-ones **(3a–d)** with 2,3,4,6-tetra-*O*-acetyl-α-D-glucopyranosyl bromide **4** gave the corresponding *N*-glucosides **5a–d**. Chemical transformations leading to new functionalities have also been achieved to give compounds **7–12**. Antimicrobial activity of compounds **5a–c** against *Aspergillus fumigatus, Penicillium italicum, Syncephalastrum racemosum, Candida albicans, Staphylococcus aureus, Pseudomonas aeruginosa, Bacillus subtilis, Escherichia coli* is described.

Key Words: Synthesis; 1,2,4-Triazolo[4,3-*b*][1,2,4]triazines; β-D-Glucopyranosyls; Antimicrobial chemotherapeutics.

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INTRODUCTION

1,2,4-Triazoles,[1–5] 1,2,4-triazines[6] and their glycosides[7–20] are reported to present a plethora of biological activities. The 1,2,4-triazole nucleus is associated with diverse pharmacological activities such as antibacterial, antifungal, hypoglycemic, antihypertensive and analgesic properties.[1–5] The synthesis and investigation of biological activity of 1,2,4-triazole glycosides have been stimulated by the finding that Ribavirin (β-D-ribofuranosyl-1,2,4-triazole-3-carboxamide),[9] is remarkable in its broad spectrum activity against DNA and RNA viruses.[10,11] Ribavirin has been developed clinically[12] and approved for human use in many pharmaceutical preparations. Many *N*-glycosides of 1,2,4-triazines possess cytotoxic, antiviral, enzyme inhibiting, immunosuppressive, antiphlogistic, antipsoriatic, bacteriostatic, and antitumor activity.[7,8,13–20] Recently, we have synthesized some 6-substituted-2-glycosyl-1,2,4-triazines that showed in vitro activity against MCF7 (Breast) and SF-268 cell lines in a primary human anticancer assay.[21,22] All these facts animated us to synthesize some new 6-benzyl(or substituted benzyl)-2-β-D-glucopyranosyl-1,2,4-triazolo[4,3-*b*][1,2,4]triazin-7(3*H*)-ones and report the antimicrobial screening of three selected compounds.

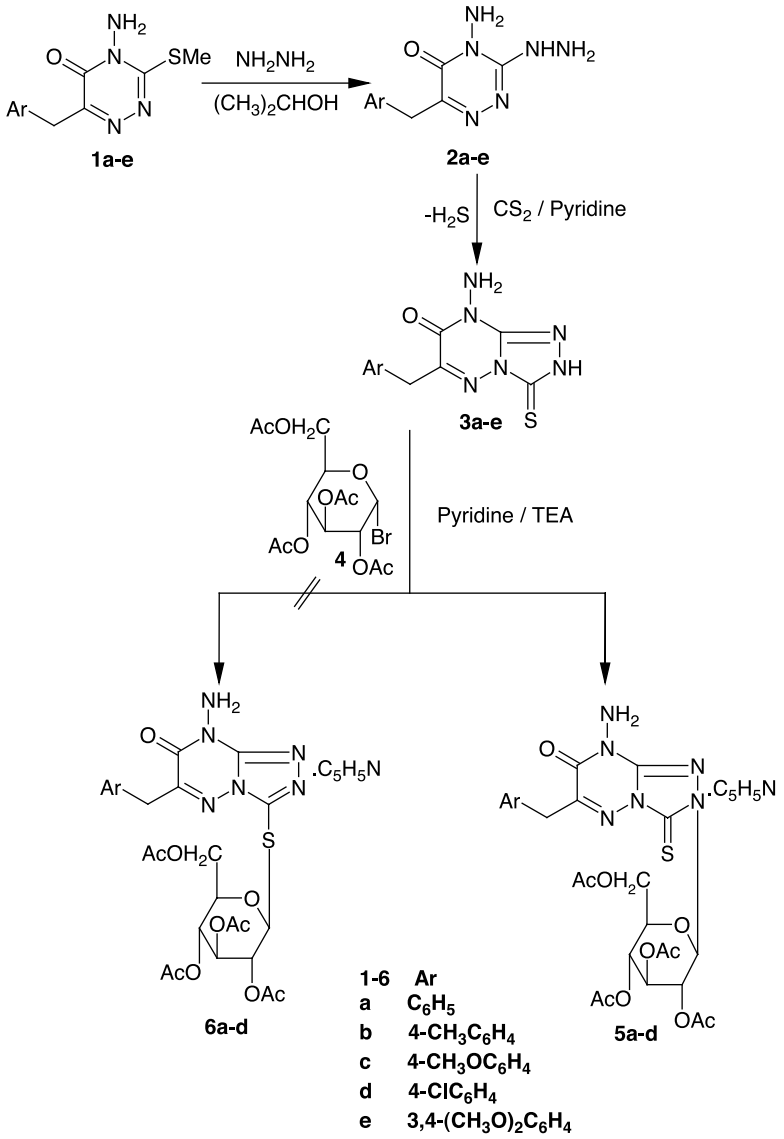
RESULTS AND DISCUSSION

Hydrazinolysis of 4-amino-6-(3,4-dimethoxybenzyl)-3-methylthio-1,2,4-triazin-5(4*H*)one **(1e)** followed by its cyclocondensation with carbon disulfide was previously reported to offer a covenient synthesis of the 8-amino-6-(3,4-dimethoxybenzyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one **(3e)**.[23] In the present

Table 1. Antimicrobial activity of compounds 5a–c compared to standard antimicrobial agents.

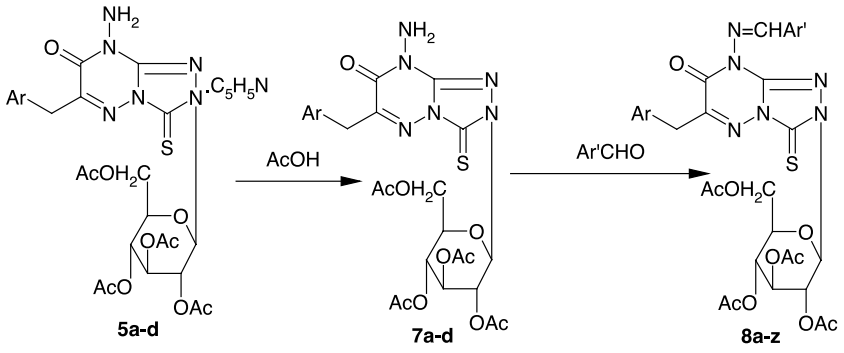
	Compounds											
	5a			5b			5c			St.		
Test organisms	5	2.5	1	5	2.5	1	5	2.5	1	5	2.5	1
Aspergillus fumigatus	0	0	0	0	0	0	+	0	0	+++	+++	++
Penicillium italicum	0	0	0	0	0	0	0	0	0	+++	+++	++
Syncephalastrum racemosum	0	0	0	0	0	0	0	0	0	+++	+++	+++
Candida albicans	0	0	0	0	0	0	+	+	+	++	++	++
Staphylococcus aureus	0	0	0	0	0	0	0	0	0	++	++	++
Pseudomonas aeruginosa	0	0	0	+	+	+	0	0	0	+++	++	++
Bacillus subtilis	0	0	0	0	0	0	0	0	0	++	++	++
Escherichia coli	0	0	0	0	0	0	0	0	0	+++	++	++

St. = Reference standard; Chloramphenicol was used as a standard antibacterial agent and Terbinafin was used as a standard antifungal agent.
100 µl of each conc. (5, 2.5, 1 mg/mL) was tested.
The test was done using the diffusion agar technique.
Inhibition values = 0.1–0.5 cm beyond contol = +; Inhibition values = 0.6–1.0 cm beyond contol = ++; Inhibition values = 1.1–1.5 cm beyond contol = +++; 0 = Not detected.



Scheme 1.

investigation, the new 8-amino-6-benzyl (or substituted benzyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-ones **(3a–d)** were analogously synthesized and allowed to react with 2,3,4,6-tetra-*O*-acetyl-α-D-glucopuranosyl bromide **(4)** in pyridine containing triethylamine to afford the corresponding 8-amino-6-benzyl (or substituted benzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one pyridinium salts **(5a–d)**. The structure of compounds **5a–d** was inferred from their chemical and spectral evidences (a chemical



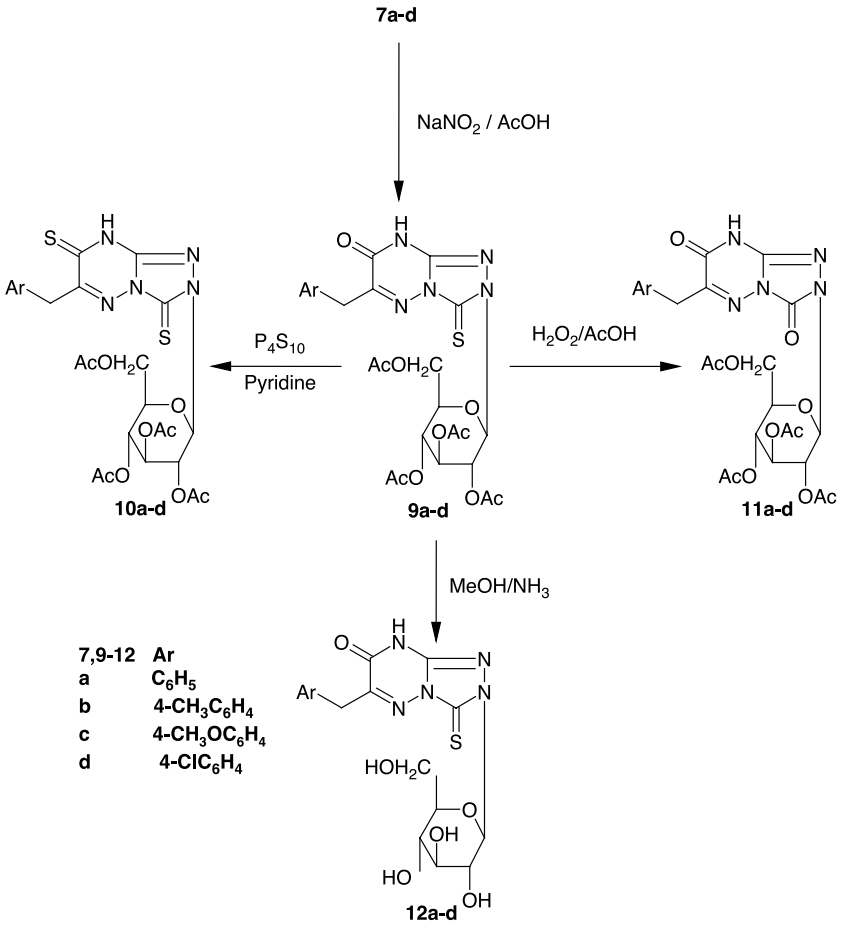
5,7	Ar
a	C_6H_5
b	4-$CH_3C_6H_4$
c	4-$CH_3OC_6H_4$
d	4-ClC_6H_4

8	Ar	Ar'
a	C_6H_5	C_6H_5
b	C_6H_5	4-$CH_3C_6H_4$
c	C_6H_5	2-$CH_3OC_6H_4$
d	C_6H_5	4-$CH_3OC_6H_4$
e	C_6H_5	4-ClC_6H_4
f	C_6H_5	3,4-$CH_2O_2C_6H_3$
g	C_6H_5	4-$(CH_3)_2NC_6H_4$
h	C_6H_5	3,4-$(CH_3O)_2C_6H_3$
i	C_6H_5	1-$C_{10}H_7$
j	4-$CH_3C_6H_4$	C_6H_5
k	4-$CH_3C_6H_4$	4-$CH_3C_6H_4$
l	4-$CH_3C_6H_4$	2-$CH_3OC_6H_4$
m	4-$CH_3C_6H_4$	4-$CH_3OC_6H_4$
n	4-$CH_3C_6H_4$	4-ClC_6H_4
o	4-$CH_3C_6H_4$	3,4-$CH_2O_2C_6H_3$

8	Ar	Ar'
p	4-$CH_3C_6H_4$	3,4-$(CH_3O)_2C_6H_3$
q	4-$CH_3C_6H_4$	1-$C_{10}H_7$
r	4-$CH_3OC_6H_4$	C_6H_5
s	4-$CH_3OC_6H_4$	4-$CH_3C_6H_4$
t	4-$CH_3OC_6H_4$	2-$CH_3OC_6H_4$
u	4-$CH_3OC_6H_4$	4-$CH_3OC_6H_4$
v	4-$CH_3OC_6H_4$	4-ClC_6H_4
w	4-$CH_3OC_6H_4$	3,4-$CH_2O_2C_6H_3$
x	4-$CH_3OC_6H_4$	4-$(CH_3)_2NC_6H_4$
y	4-$CH_3OC_6H_4$	3,4-$(CH_3O)_2C_6H_3$
z	4-$CH_3OC_6H_4$	1-$C_{10}H_7$

Scheme 2.

evidence comes from oxidation of compounds **9a–d** to compounds **11a–d** as will be seen later). Thus, the position of the anomeric proton at δ 7.2–6.9 (J = 9.0–9.4 Hz) consistent with similar reported data[21,22,24–28] confirms the β-*N*- structure of compounds **5a–d** and excludes the possible isomeric β-*S*- structure of compounds **6a–d**. The anomeric proton of similar β-*N*- glucosides having an adjacent C = S was reported to appear more downfield (7.0–6.0) than that for the β-*S* glucoside (δ 5.7–5.5) due to the anisotropic deshielding effect of the C = S.[29] The ^{1}H NMR of Compounds **5a–d** showed the pyridinyl protons at δ 8.9–7.8. Treatment of compounds **5a–d** with acetic acid gave the corresponding 8-amino-6-benzyl (or substituted benzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-ones **(7a–d)** whose ^{1}H NMR spectra showed the absence of the pyridinyl protons at δ 8.9–7.8.



Scheme 3.

Condensation of compounds **7a–d** with aromatic aldehydes gave the corresponding 8-arylideneamino derivatives **8a–z**. The ^{1}H NMR spectra of these compounds not only showed the absence of the NH_2 proton signal at δ 6.50–6.38, but also revealed the N = CH proton signal at δ 8.43–8.29 consistent with similar reported data.[21,22,24–30]

Deamination of compounds **7a–d** was achieved by the action of nitrous acid to give the 6-benzyl (or substituted benzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-ones (**9a–d**). Assignment of the structure of compounds **9a–d** was based on spectroscopic and chemical evidences. Thus, the ^{1}H NMR spectra of these compounds showed the absence of the NH_2 proton signal at δ 6.50–6.38 and the appearance of the NH proton signal at δ 9.57–9.41. While thiation of compounds **9a–d** gave the corresponding dithiones **10a–d**, their oxidation gave the corresponding diones **11a–d.** The structure of compounds **10a–d, 11a–d** was confirmed from their correct analytical and spectral data.

Deacetylation of compounds **9a–d** via methanolic ammonia treatment afforded the corresponding free β-D-glucopyranosides **12a–d.**

BIOLOGICAL EVALUATION

Compounds **5a–c** were tested for antimicrobial activity (in vitro) against *Aspergillus fumigatus, Penicillium italicum, Syncephalastrum racemosum, Candida albicans, Staphylococcus aureus, Pseudomonas aeruginosa, Bacillus subtilis, Escherichia coli*. The inhibitory effects of compounds **5a–c** against these organisms are given in Table 1. The screening results of compound **5b** showed moderate activity against *Pseudomonas aeruginosa* at all tested concentrations (5, 2.5, 1 mg/mL). Compound **5c** not only showed moderate activity against *Candida albicans* at all tested concentrations (5, 2.5, 1 mg/mL), but also showed moderate activity against *Aspergillus fumigatus* at a concentration of 5 mg/mL (Schemes 1–3: Synthesis of some *2*-â-D-glucopyranosyl-1,2,4-triazolo[4,3-*b*][1,2,4]triazines possessing different functionalities.).

EXPERIMENTAL

All melting points are uncorrected. IR spectra were recorded on a Perkin Elmer 1430 spectrometer. ^{1}H NMR spectra were recorded at 200 MHz with a Varian GEMINI 200 spectrometer. Elemental analyses were carried out at the Micro Analytical Center, Cairo University, Giza, Egypt. Antimicrobial screening of compounds **5a–c** was carried out at the Medical Mycology Lab., The regional center for Mycology and Biotechnology, Al Azhar University, Cairo, Egypt.

4-Amino-6-benzyl(or substituted benzyl)-3-methylthio-4,5-dihydro-1,2,4-triazin-5-ones (1a–d). General procedure: The appropriate 4-amino-6-benzyl(or substituted benzyl)-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-one[21,31–33] (10 mmol) was dissolved in cold methanolic sodium methoxide solution [prepared from sodium metal (10 mmol) and 10 mL methanol], then methyl iodide (10 mmol) was added. The reaction mixture was stirred for 30 minutes and left overnight at room temperature. The precipitate obtained was collected by filtration, washed with water, dried at room temperature and recrystallised from ethanol to give colorless crystals of compounds **1a–d**.

4-Amino-6-benzyl-3-methylthio-4,5-dihydro-1,2,4-triazin-5-one (1a). Using the general procedure, 4-amino-6-benzy-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-one[21,32,33] gave **1a** (87%); mp 196–198°C; ^{1}H NMR (DMSO-d_6) δ 7.72–6.99 (m, 5H, ArH), 6.35 (s, 2H, NH_2-exchangeable), 4.11 (s, 2H, $C_6H_5CH_2$), 2.62 (s, 3H, SCH_3). Anal. Calcd. for $C_{11}H_{12}N_4OS$: C, 53.21; H, 4.87; N, 22.56. Found: C, 53.25; H, 5.00; N, 22.49.

4-Amino-6-(4-methylbenzyl)-3-methylthio-4,5-dihydro-1,2,4-triazin-5-one (1b). Using the general procedure, 4-amino-6-(4-methylbenzyl)-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-one[21,33] gave **1b** (92%); mp 182–184°C; ^{1}H NMR (DMSO-d_6) δ 7.42 (d, 2H, J = 7.3 Hz, ArH), 6.73 (d, 2H, J = 7.6 Hz, ArH), 6.41 (s, 2H, NH_2-exchangeable),

4.07 (s, 2H, 4-$CH_3C_6H_4CH_2$), 2.69 (s, 3H, SCH_3), 2.24 (s, 3H, 4-$CH_3C_6H_4$ CH_2). Anal. Calcd. for $C_{12}H_{14}N_4OS$: C, 54.94; H, 5.38; N, 21.36. Found: C, 55.02; H, 5.42; N, 21.58.

4-Amino-6-(4-methoxybenzyl)-3-methylthio-4,5-dihydro-1,2,4-triazin-5-one (1c). Using the general procedure, 4-amino-6-(4-methoxybenzyl)-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-one[21,33] gave **1c** (85%); mp 140°C; (lit. mp,[33] 140°C); ^{1}H NMR (DMSO-d_6) δ 7.48 (d, 2H, *J* = 7.8 Hz, ArH), 6.78 (d, 2H, *J* = 7.6 Hz, ArH), 6.37 (s, 2H, NH_2-exchangeable), 4.08 (s, 2H, 4-$CH_3OC_6H_4CH_2$), 3.77 (s, 3H, 4-$CH_3OC_6H_4CH_2$). Anal. Calcd. for $C_{12}H_{14}N_4O_2S$: C, 51.78; H, 5.07; N, 20.13. Found: C, 51.81; H, 5.09; N, 20.26.

4-Amino-6-(4-chlorobenzyl)-3-methylthio-4,5-dihydro-1,2,4-triazin-5-one (1d). Using the general procedure, 4-amino-6-(4-chlorobenzyl)-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-one[21,32,33] gave **1d** (89%); mp 190°C; (lit. mp,[33] 188°C); ^{1}H NMR (DMSO-d_6) δ 7.33 (d, 2H, *J* = 7.5Hz, ArH), 6.75 (d, 2H, *J* = 7.8 Hz, ArH), 6.45 (s, 2H, NH_2-exchangeable), 4.11 (s, 2H, 4-$ClC_6H_4CH_2$). Anal. Calcd. for $C_{11}H_{11}ClN_4OS$: C, 46.73; H, 3.92; N, 19.81. Found: C, 46.81; H, 3.87; N, 19.77.

4-Amino-6-benzyl(or substituted benzyl)-3-hydrazino-4,5-dihydro -1,2,4-triazin-5-ones (2a–d). General procedure: A solution of each of compounds **1a–d** (10 mmol) and hydrazine hydrate (80%; 10 mL) in 2-propanol (50 mL) was heated at reflux temperature for 3 hours. The precipitate formed on cooling was collected by filtration and recrystallised from DMF/AcOH to give colorless crystals of compounds **2a–d**.

4-Amino-6-benzyl-3-hydrazino-4,5-dihydro-1,2,4-triazin-5-one (2a). Using the general procedure, **1a** gave **2a** (65%); mp 254°C; IR (KBr) 3394, 3284 (NH, NH_2), 1672 (C = O amide); ^{1}H NMR (DMSO-d_6) δ 9–8 (brs, 1H, NH-exchangeable), 7.52–7.15 (m, 5H, ArH), 6.41, 4.53 (2s, 2H each, NH_2-exchangeable and $NHNH_2$-exchangeable), 4.08 (s, 2H, $C_6H_5CH_2$). Anal. Calcd. for $C_{10}H_{12}N_6O$: C, 51.72; H, 5.21; N, 36.19. Found: C, 51.84; H, 5.43; N, 36.38.

4-Amino-6-(4-methylbenzyl)-3-hydrazino-4,5-dihydro-1,2,4-triazin-5-one (2b). Using the general procedure, **1b** gave **2b** (74%); mp 264°C; ^{1}H NMR (DMSO-d_6) δ 8.32 (s, 1H, NH-exchangeable), 7.35 (d, 2H, *J* = 7.4 Hz, ArH), 6.89 (d, 2H, *J* = 7.8 Hz, ArH), 6.35, 4.50 (2s, 2H each, NH_2-exchangeable and $NHNH_2$-exchangeable), 4.12 (s, 2H, 4-$CH_3C_6H_4CH_2$), 2.26 (s, 3H, 4-$CH_3C_6H_4$ CH_2). Anal. Calcd. for $C_{11}H_{14}N_6O$: C, 53.65; H, 5.73; N, 34.12. Found: C, 53.82; H, 5.84; N, 34.29.

4-Amino-6-(4-methoxybenzyl)-3-hydrazino-4,5-dihydro-1,2,4-triazin-5-one (2c). Using the general procedure, **1c** gave **2c** (70%); mp 243–244°C ; ^{1}H NMR (DMSO-d_6) δ 8.89 (s, 1H, NH-exchangeable), 7.29 (d, 2H, *J* = 7.7 Hz, ArH), 6.99 (d, 2H, *J* = 7.5 Hz, ArH), 6.29, 4.54 (2s, 2H each, NH_2–exchangeable and $NHNH_2$-exchangeable), 4.07 (s, 2H, 4-$CH_3OC_6H_4CH_2$), 3.78 (s, 3H, 4-$CH_3OC_6H_4CH_2$). Anal. Calcd. for $C_{11}H_{14}N_6O_2$: C, 50.38; H, 5.38; N, 32.04. Found: C, 50.49; H, 5.29; N, 32.09.

4-Amino-6-(4-chlorobenzyl)-3-hydrazino-4,5-dihydro-1,2,4-triazin-5-one (2d). Using the general procedure, **1d** gave **2d** (70%); mp 262–264°C (lit. mp,[33] 260°C);

^{1}H NMR (DMSO-d_6) δ 9.2 (s, 1H, NH-exchangeable), 7.35 (d, 2H, J = 7.6 Hz, ArH), 6.84 (d, 2H, J = 7.3 Hz, ArH), 6.41, 4.51 (2s, 2H each, NH_2-exchangeable and NHNH_2-exchangeable), 4.12 (s, 2H, 4-$CH_3OC_6H_4CH_2$). Anal. Calcd. for $C_{10}H_{11}ClN_6O$: C, 45.04; H, 4.16; N, 31.51. Found: C, 45.10; H, 4.02; N, 31.72.

4-Amino-6-benzyl(or substituted benzyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-ones (3a–d). General procedure: A mixture of each of compounds **2a–d** (10 mmol) and carbon disulfide (20 mL) in pyridine (50 mL) was heated at reflux temperature for 3 hours. After cooling, the reaction mixture was diluted with water and the formed precipitate was collected by filtration and recrystallized from DMF/AcOH to give pale crystals of compounds **3a–d**.

8-Amino-6-benzyl-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (3a). Using the general procedure, 2a gave **3a** (70%); mp 218°C; ^{1}H NMR (DMSO-d_6) δ 9.29 (s, 1H, NH-exchangeable), 7.42–7.13 (m, 5H, ArH), 6.38 (s, 2H, NH_2-exchangeable), 4.11 (s, 2H, $C_6H_5CH_2$). Anal. Calcd. for $C_{11}H_{10}N_6OS$: C, 48.17; H, 3.67; N, 30.64. Found: C, 47.95; H, 3.74; N, 30.79.

8-Amino-6-(4-methylbenzyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (3b). Using the general procedure, **2b** gave **3b** (60%); mp 223°C; ^{1}H NMR (DMSO-d_6) δ 9.49 (s, 1H, NH-exchangeable), 7.25 (d, 2H, J = 7.4 Hz, ArH), 6.86 (d, 2H, J = 7.9 Hz, ArH), 6.37 (s, 2H, NH_2-exchangeable), 4.09 (s, 2H, 4-$CH_3C_6H_5CH_2$). 2.24 (s, 3H, 4-$CH_3C_6H_4CH_2$). Anal. Calcd. for $C_{12}H_{12}N_6OS$: C, 49.99; H, 4.20; N, 29.15. Found: C, 50.21; H, 4.29; N, 28.98.

8-Amino-6-(4-methoxybenzyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (3c). Using the general procedure, **2c** gave **3c** (67%); mp 203°C; ^{1}H NMR (DMSO-d_6) δ 9.36 (s, 1H, NH-exchangeable), 7.33, 7.24 (2d, 2H each, J = 7.2 Hz, ArH), 6.39 (s, 2H, NH_2-exchangeable), 4.11 (s, 2H, 4-$CH_3OC_6H_4CH_2$) 3.84 (s, 3H, 4-$CH_3OC_6H_4CH_2$). Anal. Calcd. for $C_{12}H_{12}N_6O_2S$: C, 47.36; H, 3.97; N, 27.61. Found: C, 47.42; H, 4.04; N, 27.72.

8-Amino-6-(4-chlorobenzyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (3d). Using the general procedure, **2d** gave **3d** (75%); mp 237°C; ^{1}H NMR (DMSO-d_6) δ 9.26 (s, 1H, NH-exchangeable), 7.39, 7.19 (2d, 2H each, J = 7.6 Hz, ArH), 6.44 (s, 2H, NH_2-exchangeable), 4.07 (s, 2H, 4-$ClC_6H_4CH_2$). Anal. Calcd. for $C_{11}H_9ClN_6OS$: C, 42.79; H, 2.94; N, 27.22. Found: C, 42.88; H, 3.02; N, 27.14.

8-Amino-6-benzyl(or substituted benzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one pyridinium salts (5a–d). General procedure: 2,3,4,6-Tetra-*O*-acetyl-α-D-glucopyranosyl bromide **(4)** (10 mmol) was added to a solution of each of compounds **3a–d** in pyridine (5 mL) and triethylamine (2 mL, 14 mmol). After shaking the reaction mixture for 15 minutes, it was left overnight at room temperature. The next day, the reaction mixture was diluted with water and the precipitate formed was collected by filtration, dried at room temperature and dissolved in dichloromethane (25 mL) to which was

added charcoal (0.5 g), celite (0.4 g), and silica gel 60 GF254 (70–230 mesh; 0.1 g). The later mixture was shaken for 1 hour, left at room temperature for another 1 hour, filtered and the solvent was evaporated on a rotavap. The obtained residue was recrystallised from dichloromethane/petroleum ether (bp 40–60°C) to give colorless crystals of compounds **5a–d**.

8-Amino-6-benzyl-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,-3-*b*][1,2,4]-triazin-7(3*H*)-one pyridinium salt (5a). Using the general procedure, **3a** gave **5a** (61%); mp 196°C; IR (KBr) 3425, 3256 (NH_2), 1751 (C = O acetate), 1674 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.78 (d, 2H, *J* = 4.9 Hz, C_5H_5N), 8.31 (dt, 1H, *J* = 1.5, 7.8 Hz, C_5H_5N), 7.85 (t, 2H, *J* = 6.83 Hz, C_5H_5N), 7.59–7.21 (m, 5H, ArH), 7.22 (d, 1H, *J* = 9.4 Hz, H-1′), 6.53 (s, 2H, NH_2-exchangeable), 5.48 (t, 1H, *J* = 9.5 Hz, H-2′), 5.41 (t, 1H, *J* = 9.5 Hz, H-3′), 5.01 (t, 1H, *J* = 9.5 Hz, H-4′), 4.23–4.07 (m, 5H, H-5′, H-6′, $C_6H_5CH_2$), 2.07–1.93 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{25}H_{28}N_6O_{10}S.C_5H_5N$: C, 52.70; H, 4.87; N, 14.34; S, 4.69. Found: C, 52.78; H, 4.73; N, 14.26; S, 4.85.

8-Amino-6-(4-methylbenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one pyridinium salt (5b). Using the general procedure, **3b** gave **5b** (69%); mp 176°C; IR (KBr) 3448, 3248 (NH_2), 1751 (C = O acetate), 1674 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.84 (d, 2H, *J* = 4.9 Hz, C_5H_5N), 8.37 (dt, 1H, *J* = 1.5, 7.1 Hz, C_5H_5N), 7.89 (t, 2H, *J* = 6.43 Hz, C_5H_5N), 7.39, 7.32 (2d, 2H each, *J* = 7.3 Hz, ArH), 7.08 (d, 1H, *J* = 9.0 Hz, H-1′), 6.47 (s, 2H, NH_2-exchangeable), 5.49 (t, 1H, *J* = 9.2 Hz, H-2′), 5.41 (t, 1H, *J* = 9.2 Hz, H-3′), 5.01 (t, 1H, *J* = 9.2 Hz, H-4′), 4.22–3.98 (m, 5H, H-5′, H-6′, 4-$CH_3C_6H_4CH_2$), 2.26 (s, 3H, 4-$CH_3C_6H_4CH_2$), 2.07–1.94 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{26}H_{30}N_6O_{10}S.C_5H_5N$: C, 53.37; H, 5.06; N, 14.05. Found: C, 53.12; H, 4.97; N, 13.95.

8-Amino-6-(4-methoxybenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one pyridinium salt (5c). Using the general procedure, **3c** gave **5c** (79%); mp 182°C; IR (KBr) 3448, 3248 (NH_2), 1751 (C = O acetate), 1674 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.91 (dt, 2H, *J* = 0.8, 5.9 Hz, C_5H_5N), 8.54 (t, 1H, *J* = 7.4 Hz, C_5H_5N), 8.03 (t, 2H, *J* = 7.04 Hz, C_5H_5N), 7.36, 7.22 (2d, 2H each, *J* = 7.4 Hz, ArH), 6.91 (d, 1H, *J* = 9.0 Hz, H-1′), 6.45 (s, 2H, NH_2-exchangeable), 5.51 (t, 1H, *J* = 9.3 Hz, H-2′), 5.41 (t, 1H, *J* = 9.3 Hz, H-3′), 5.01 (t, 1H, *J* = 9.3 Hz, H-4′), 4.22–3.95 (m, 5H, H-5′, H-6′, 4-$CH_3OC_6H_4CH_2$), 3.77 (s, 3H, 4-$CH_3OC_6H_4CH_2$), 2.08–1.99 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{26}H_{30}N_6O_{11}S.C_5H_5N$: C, 52.17; H, 4.94; N, 13.74. Found: C, 52.13; H, 4.72; N, 13.66.

8-Amino-6-(4-chlorobenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one pyridinium salt (5d). Using the general procedure, **3d** gave **5d** (72%); mp 198–200°C; IR (KBr) 3447, 3242 (NH_2), 1751 (C = O acetate), 1674 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.92 (dt, 2H, *J* = 0.9, 5.8 Hz, C_5H_5N), 8.56 (t, 1H, *J* = 7.3 Hz, C_5H_5N), 8.01 (t, 2H, *J* = 7.1 Hz, C_5H_5N), 7.35, 7.21 (2d, 2H each, *J* = 7.3 Hz, ArH), 6.95 (d, 1H, *J* = 9.0 Hz, H-1′), 6.44 (s, 2H, NH_2-exchangeable), 5.50 (t, 1H, *J* = 9.1 Hz, H-2′), 5.40 (t, 1H, *J* = 9.1 Hz, H-3′), 5.01 (t, 1H, *J* = 9.1 Hz, H-4′), 4.21–3.96 (m, 5H, H-5′, H-6′, 4-$ClC_6H_4CH_2$),

2.09–1.98 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{25}H_{27}ClN_6O_{10}S.C_5H_5N$: C, 50.18; H, 4.49; N, 13.65. Found: C, 49.97 H, 4.70; N, 13.61.

8-Amino-6-benzyl(or substituted benzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-ones (7a–d). General procedure: Each of compounds **5a–d** (10 mmol) was dissolved in ethanol (25 mL) then acetic acid (80%; 25 mL) was added. The previous solution was just boiled and stirred overnight at room temperature. The excess ethanol was evaporated on a rotavap (till the solution came nearly to its half volume), then water (50 mL) was added and the mixture was left overnight in the refrigerator. The formed precipitate was collected by filtration, washed well with water, dried at room temperature and recrystallised from dichloromethane/petroleum ether (bp. 40–60°C) to give colorless crystals of compounds **7a–d**.

8-Amino-6-benzyl-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (7a). Using the general procedure, **5a** gave **7a** (70%); mp 212°C; IR (KBr) 3420, 3258 (NH_2), 1751 (C = O acetate), 1674 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 7.59–7.21 (m, 5H, ArH), 7.12 (d, 1H, *J* = 9.4 Hz, H-1′), 6.50 (s, 2H, NH_2-exchangeable), 5.47 (t, 1H, *J* = 9.5 Hz, H-2′), 5.42 (t, 1H, *J* = 9.5 Hz, H-3′), 5.03 (t, 1H, *J* = 9.5 Hz, H-4′), 4.22–4.08 (m, 5H, H-5′, H-6′, $C_6H_5CH_2$), 2.09–1.92 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{25}H_{28}N_6O_{10}S$: C, 49.67; H, 4.67; N, 13.90. Found: C, 49.57; H, 4.70; N, 14.00.

8-Amino-6-(4-methylbenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (7b). Using the general procedure, **5b** gave **7b** (72%); mp 202°C; IR (KBr) 3447, 3242 (NH_2), 1751 (C = O acetate), 1674 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 7.41, 7.31 (2d, 2H each, *J* = 7.2 Hz, ArH), 6.99 (d, 1H, *J* = 9.1 Hz, H-1′), 6.45 (s, 2H, NH_2-exchangeable), 5.48 (t, 1H, *J* = 9.4 Hz, H-2′), 5.42 (t, 1H, *J* = 9.4 Hz, H-3′), 5.00 (t, 1H, *J* = 9.4 Hz, H-4′), 4.23–3.97 (m, 5H, H-5′, H-6′, 4-$CH_3C_6H_4CH_2$), 2.26 (s, 3H, 4-$CH_3C_6H_4CH_2$), 2.08–1.93 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{26}H_{30}N_6O_{10}S$: C, 50.48; H, 4.89; N, 13.58. Found: C, 50.50; H, 4.90; N, 13.52.

8-Amino-6-(4-methoxybenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (7c). Using the general procedure, **5c** gave **7c** (67%); mp 198°C; IR (KBr) 3450, 3250 (NH_2), 1751 (C = O acetate), 1674 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 7.33, 7.20 (2d , 2H each, *J* = 7.4 Hz, ArH), 6.91 (d, 1H, *J* = 9.1 Hz, H-1′), 6.44 (s, 2H, NH_2-exchangeable), 5.51 (t, 1H, *J* = 9.0 Hz, H-2′), 5.41 (t, 1H, *J* = 9.0 Hz, H-3′), 5.03 (t, 1H, *J* = 9.0 Hz, H-4′), 4.22–3.95 (m, 5H, H-5′, H-6′, 4-$CH_3OC_6H_4CH_2$), 3.81 (s, 3H, 4-$CH_3OC_6H_4CH_2$), 2.09–1.97 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{26}H_{30}N_6O_{11}S$: C, 49.21; H, 4.76; N, 13.24. Found: C, 49.30; H, 4.72; N,13.20.

8-Amino-6-(4-chlorobenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (7d). Using the general procedure, **5d** gave **7d** (75%); mp 179°C; ^1H NMR (DMSO-d_6) 7.39, 7.19 (2d, 2H each, *J* = 7.3 Hz, ArH), 6.95 (d, 1H, *J* = 9.0 Hz, H-1′), 6.38 (s, 2H, NH_2-exchangeable), 5.55 (t, 1H, *J* = 9.4 Hz, H-2′), 5.39 (t, 1H, *J* = 9.4 Hz, H-3′), 5.01 (t, 1H, *J* = 9.4 Hz, H-4′),

4.21–3.96 (m, 5H, H-5′, H-6′, 4-Cl$C_6H_4CH_2$), 2.09–1.98 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{25}H_{27}ClN_6O_{10}S$: C, 46.99; H, 4.26; N,13.15. Found: C, 47.05; H, 4.34; N, 12.99.

8-Arylideneamino-6-benzyl(or substituted benzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-ones (8a–z). General procedure: A mixture of each of compounds **7a–d** (0.5 g) and the appropriate aldehyde (0.5 mL or 0.5 g) was heated at 150–160°C in an oil bath for 15 minutes. The reaction mixture was removed from the oil bath, then ethanol (1 mL) was added and the reaction mixture was heated at reflux temperature for 1 hour. After cooling, the formed precipitate was collected by filtration, dried at room temperature and recrystallised from ethanol to give pale colorless crystals of compounds **8a–z**.

8-Benzylideneamino-6-benzyl-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (8a). Using the general procedure, **7a** gave **8a** (74%); mp 216°C; IR (KBr) 1743 (C = O acetate), 1674 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.33 (s, 1H, N = CH), 7.91–7.15 (m, 10H, ArH), 7.18 (d, 1H, *J* = 9.2 Hz, H-1′), 5.49 (t, 1H, *J* = 9.3 Hz, H-2′), 5.42 (t, 1H, *J* = 9.3 Hz, H-3′), 5.02 (t, 1H, *J* = 9.3 Hz, H-4′), 4.23–4.07 (m, 5H, H-5′, H-6′, $C_6H_5CH_2$), 2.09–1.92 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{32}H_{32}N_6O_{10}S$: C, 55.49; H, 4.66; N, 12.13; Found: C, 55.53; H, 4.79; N, 12.17.

8-(4-Methylbenzylideneamino)-6-benzyl-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (8b). Using the general procedure,**7a** gave **8b** (75%); mp 214°C; ^1H NMR (DMSO-d_6) δ 8.33 (s, 1H, N = CH), 7.88–7.13 (m, 9H, ArH), 7.15 (d, 1H, *J* = 9.1Hz, H-1′), 5.51 (t, 1H, *J* = 9.5 Hz, H-2′), 5.39 (t, 1H, *J* = 9.5 Hz, H-3′), 5.01 (t, 1H, *J* = 9.5 Hz, H-4′), 4.26–4.06 (m, 5H, H-5′, H-6′, $C_6H_5CH_2$), 2.44 (s, 3H, 4-$CH_3C_6H_4CH$ = N), 2.07–1.93 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{33}H_{34}N_6O_{10}S$ C, 56.08; H, 4.85; N, 11.89. Found: C, 55.98; H, 4.84; N, 11.97.

8-(2-Methoxyenzylideneamino)-6-benzyl-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (8c). Using the general procedure, **7a** gave **8c** (76%) mp 210°C; IR (KBr) 1743 (C = O acetate), 1674 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.39 (s, 1H, N = CH), 7.92–7.13 (m, 9H, ArH), 7.18 (d, 1H, *J* = 9.4 Hz, H-1′), 5.49 (t, 1H, *J* = 9.0 Hz, H-2′), 5.42 (t, 1H, *J* = 9.0 Hz, H-3′), 5.02 (t, 1H, *J* = 9.0 Hz, H-4′), 4.21–3.99 (m, 5H, H-5′, H-6′, $C_6H_5CH_2$), 3.83 (s, 3H, 2-$CH_3OC_6H_4CH$ = N), 2.09–1.92 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{33}H_{34}N_6O_{11}S$: C, 54.84; H, 4.74; N, 11.63. Found: C, 54.78; H, 4.73; N, 11.79.

8-(4-Methoxybenzylideneamino)-6-benzyl-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (8d). Using the general procedure, **7a** gave **8d** (70%); mp 218°C; IR (KBr) 1743 (C = O acetate), 1674 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.29 (s, 1H, N = CH), 7.87–7.12 (m, 9H, ArH), 7.14 (d, 1H, *J* = 9.2 Hz, H-1′), 5.48 (t, 1H, *J* = 9.5 Hz, H-2′), 5.41 (t, 1H, *J* = 9.5 Hz, H-3′), 5.01 (t, 1H, *J* = 9.5 Hz, H-4′), 4.23–4.07 (m, 5H, H-5′, H-6′, $C_6H_5CH_2$), 3.79 (s, 3H, 4-$CH_3OC_6H_4CH$ = N), 2.07–1.93 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{33}H_{34}N_6O_{11}S$: C, 54.84; H, 4.74; N, 11.63. Found: C, 54.81; H, 4.65; N, 11.82.

8-(4-Chlorobenzylideneamino)-6-benzyl-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (8e). Using the general procedure, **7a** gave **8e** (79%); mp 222°C (decomp.); ^{1}H NMR (DMSO-d_6) δ 8.34 (s, 1H, N = CH), 7.90–7.13 (m, 9H, ArH), 7.18 (d, 1H, *J* = 9.2 Hz, H-1′), 5.49 (t, 1H, *J* = 9.5 Hz, H-2′), 5.43 (t, 1H, *J* = 9.5 Hz, H-3′), 5.00 (t, 1H, *J* = 9.5 Hz, H-4′), 4.22-3.96 (m, 5H, H-5′, H-6′, $C_6H_5CH_2$), 2.09–1.92 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{32}H_{31}ClN_6O_{10}S$: C, 52.86; H, 4.30; N, 11.56. Found: C, 52.74; H, 4.26; N, 11.38.

8-(3,4-Methylenedioxybenzylideneamino)-6-benzyl-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (8f). Using the general procedure, **7a** gave **8f** (73%); mp 204°C; IR (KBr) 1751 (C = O acetate), 1674 (C = O amide) cm^{-1}; ^{1}H NMR (DMSO-d_6) δ 8.41 (s, 1H, N = CH), 7.88–7.12 (m, 8H, ArH), 7.21 (d, 1H, *J* = 9.4 Hz, H-1′), 5.85 (s, 2H, 3,4-$CH_2O_2C_6H_3CH$ = N), 5.48 (t, 1H, *J* = 9.2 Hz, H-2′), 5.41 (t, 1H, *J* = 9.2 Hz, H-3′), 5.01 (t, 1H, *J* = 9.2 Hz, H-4′), 4.23–4.07 (m, 5H, H-5′, H-6′, $C_6H_5CH_2$), 2.08–1.92 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{33}H_{32}N_6O_{12}S$: C, 53.80; H, 4.38; N, 11.41. Found: C, 53.76; H, 4.33; N, 11.45.

8-[4-(Dimethylamino)benzylideneamino]-6-benzyl-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (8g). Using the general procedure, **7a** gave **8g** (80%); mp 206°C; IR (KBr) 1743 (C = O acetate), 1674 (C = O amide) cm^{-1}; ^{1}H NMR (DMSO-d_6) δ 8.36 (s, 1H, N = CH), 7.91–7.07 (m, 9H, ArH), 7.17 (d, 1H, *J* = 9.4 Hz, H-1′), 5.49 (t, 1H, *J* = 9.5 Hz, H-2′), 5.42 (t, 1H, *J* = 9.5 Hz, H-3′), 5.03 (t, 1H, *J* = 9.5 Hz, H-4′), 4.22–4.01 (m, 5H, H-5′, H-6′, $C_6H_5CH_2$), 3.03 (s, 6H, 4-$(CH_3)_2NC_6H_4CH$ = N), 2.07–1.93 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{34}H_{37}N_7O_{10}S$: C, 55.50; H, 5.07; N, 13.33. Found: C, 55.47; H, 4.93; N, 13.44.

8-(3,4-Dimethoxybenzylideneamino)-6-benzyl-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (8h). Using the general procedure, **7a** gave **8h** (76%); mp 210°C; IR (KBr) 1743 (C = O acetate), 1674 (C = O amide) cm^{-1}; ^{1}H NMR (DMSO-d_6) δ 8.32 (s, 1H, N = CH), 7.90–7.10 (m, 8H, ArH), 7.14 (d, 1H, *J* = 9.4 Hz, H-1′), 5.48 (t, 1H, *J* = 9.0 Hz, H-2′), 5.41 (t, 1H, *J* = 9.0 Hz, H-3′), 5.01 (t, 1H, *J* = 9.0 Hz, H-4′), 4.23–4.07 (m, 5H, H-5′, H-6′, $C_6H_5CH_2$), 2.41, 2.33 [2s, 6H, 3,4-$(CH_3O)_2C_6H_3CH$ = N], 2.09–1.92 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{34}H_{36}N_6O_{12}S$: C, 54.25; H, 4.82; N, 11.16;. Found: C, 54.30; H, 4.73; N, 11.26.

8-[(1-Naphthylmethylidene)amino]-6-benzyl-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (8i). Using the general procedure, **7a** gave **8i** (79%); mp 221°C; IR (KBr) 1743 (C = O acetate), 1674 (C = O amide) cm^{-1}; ^{1}H NMR (DMSO-d_6) δ 8.43 (s, 1H, N = CH), 8.0–7.11 (m, 12H, ArH), 7.02 (d, 1H, *J* = 9.4 Hz, H-1′), 5.49 (t, 1H, *J* = 9.5 Hz, H-2′), 5.42 (t, 1H, *J* = 9.5 Hz, H-3′), 5.01 (t, 1H, *J* = 9.5 Hz, H-4′), 4.22–3.99 (m, 5H, H-5′, H-6′, $C_6H_5CH_2$), 2.07–1.93 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{36}H_{34}N_6O_{10}S$: C, 58.21; H, 4.61; N, 11.31. Found: C, 58.26; H, 4.74; N, 11.21.

8-Benzylideneamino-6-(4-methylbenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (8j). Using the general procedure, **7b** gave **8j** (82%); mp 198–200°C; IR (KBr) 1751 (C = O acetate), 1674 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.34 (s, 1H, N = CH), 7.92–7.07 (m, 9H, ArH), 7.06 (d, 1H, *J* = 9.0 Hz, H-1′), 5.49 (t, 1H, *J* = 9.2 Hz, H-2′), 5.41 (t, 1H, *J* = 9.2 Hz, H-3′), 5.01 (t, 1H, *J* = 9.2 Hz, H-4′), 4.23-3.97 (m, 5H, H-5′, H-6′, 4-$CH_3C_6H_4CH_2$), 2.25 (s, 3H, 4-$CH_3C_6H_4CH_2$), 2.08–1.92 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{33}H_{34}N_6O_{10}S$: C, 56.08; H, 4.85; N, 11.89. Found: C, 56.01; H, 4.94; N, 12.00.

8-(4-Methylbenzylideneamino)-6-(4-methylbenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (8k). Using the general procedure, **7b** gave **8k** (71%); mp 208–209°C; IR (KBr) 1751, 1720 (C = O acetate), 1674 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.34 (s, 1H, N = CH), 7.96–7.04 (m, 8H, ArH), 6.98 (d, 1H, *J* = 9.1 Hz, H-1′), 5.50 (t, 1H, *J* = 9.0 Hz, H-2′), 5.42 (t, 1H, *J* = 9.0 Hz, H-3′), 5.03 (t, 1H, *J* = 9.0 Hz, H-4′), 4.21–3.96 (m, 5H, H-5′, H-6′, 4-$CH_3C_6H_4CH_2$), 2.30 (s, 3H, 4-$CH_3C_6H_4CH_2$), 2.44 (s, 3H, 4-$CH_3C_6H_4CH$ = N), 2.07–1.94 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{34}H_{36}N_6O_{10}S$: C, 56.66; H, 5.03; N, 11.66. Found: C, 56.79; H, 4.99; N, 11.48.

8-(2-Methoxybenzylideneamino)-6-(4-methylbenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (8l). Using the general procedure, **7b** gave **8l** (74%); mp 201–202°C; IR (KBr) 1751, 1720 (C = O acetate), 1674 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.40 (s, 1H, N = CH), 7.98–7.03 (m, 8H, ArH), 7.01 (d, 1H, *J* = 9.0 Hz, H-1′), 5.49 (t, 1H, *J* = 9.2 Hz, H-2′), 5.42 (t, 1H, *J* = 9.2 Hz, H-3′), 5.01 (t, 1H, *J* = 9.2 Hz, H-4′), 4.22–3.98 (m, 5H, H-5′, H-6′, 4-$CH_3C_6H_4CH_2$), 3.79 (s, 3H, 2-$CH_3OC_6H_4CH$ = N), 2.26 (s, 3H, 4-$CH_3C_6H_4CH_2$), 2.09–1.92 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{34}H_{36}N_6O_{11}S$: C, 55.43; H, 4.93; N, 11.41. Found: C, 55.49; H, 4.96; N, 11.42.

8-(4-Methoxybenzylideneamino)-6-(4-methylbenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (8m). Using the general procedure, **7b** gave **8m** (72%); mp 198°C; IR (KBr) 1751 (C = O acetate), 1674 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.38 (s, 1H, N = CH), 7.96–7.04 (m, 8H, ArH), 6.98 (d, 1H, *J* = 9.0 Hz, H-1′), 5.47 (t, 1H, *J* = 9.2 Hz, H-2′), 5.40 (t, 1H, *J* = 9.0 Hz, H-3′), 5.01 (t, 1H, *J* = 9.0 Hz, H-4′), 4.22–3.98 (m, 5H, H-5′, H-6′, 4-$CH_3C_6H_4CH_2$), 3.84 (s, 3H, 4-$CH_3OC_6H_4CH$ = N), 2.25 (s, 3H, 4-$CH_3C_6H_4$ CH_2), 2.07–1.94 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{34}H_{36}N_6O_{11}S$: C, 55.43; H, 4.93; N, 11.41. Found: C, 55.39; H, 4.87; N, 11.32.

8-(4-Chlorobenzylideneamino)-6-(4-methylbenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (8n). Using the general procedure, **7b** gave **8n** (76%); mp 210°C; IR (KBr) 1751, 1720 (C = O acetate), 1674 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.32 (s, 1H, N = CH), 7.99–7.01 (m, 8H, ArH), 6.95 (d, 1H, *J* = 9.0 Hz, H-1′), 5.49 (t, 1H, *J* = 9.2 Hz, H-2′), 5.42 (t, 1H, *J* = 9.2 Hz, H-3′), 5.01 (t, 1H, *J* = 9.2 Hz, H-4′), 4.22-3.98 (m, 5H, H-5′, H-6′, 4-$CH_3C_6H_4CH_2$), 2.27 (s, 3H, 4-$CH_3C_6H_4CH_2$), 2.08–1.93 (4s, 12H,

CH_3CO). Anal. Calcd. for $C_{33}H_{33}ClN_6O_{10}S$: C, 53.48; H, 4.49; N, 11.34. Found: C, 53.50; H, 4.62; N, 11.36.

8-(3,4-Methylenedioxybenzylideneamino)-6-(4-methylbenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (8o). Using the general procedure, **7b** gave **8o** (73%); mp 190°C; IR (KBr) 1743 (C = O acetate), 1674 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.36 (s, 1H, N = CH), 7.94–7.05 (m, 7H, ArH), 7.00 (d, 1H, *J* = 9.0 Hz, H-1′), 5.87 (s, 2H, 3,4-C*H*$_2O_2C_6H_3$CH = N), 5.49 (t, 1H, *J* = 9.0 Hz, H-2′), 5.41 (t, 1H, *J* = 9.0 Hz, H-3′), 5.01 (t, 1H, *J* = 9.0 Hz, H-4′), 4.24–3.96 (m, 5H, H-5′, H-6′, 4-$CH_3C_6H_4CH_2$), 2.25 (s, 3H, 4-C*H*$_3C_6H_4CH_2$), 2.07–1.94 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{34}H_{34}N_6O_{12}S$: C, 54.40; H, 4.56; N, 11.19. Found: C, 54.42; H, 4.67; N, 11.24.

8-(3,4-Dimethoxybenzylideneamino)-6-(4-methylbenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (8p). Using the general procedure, **7b** gave **8p** (70%); mp 198°C; IR (KBr) 1720 (C = O acetate), 1674 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.31 (s, 1H, N = CH), 7.91–7.02 (m, 7H, ArH),7.04 (d, 1H, *J* = 9.1 Hz, H-1′), 5.52 (t, 1H, *J* = 9.0 Hz, H-2′), 5.42 (t, 1H, *J* = 9.0 Hz, H-3′), 5.01 (t, 1H, *J* = 9.0 Hz, H-4′), 4.23–3.97 (m, 5H, H-5′, H-6′, 4-$CH_3C_6H_4CH_2$), 2.44, 2.32 [2s, 6H, 3,4-(C*H*$_3O)_2C_6H_3$CH = N], 2.28 (s, 3H, 4-C*H*$_3C_6H_4CH_2$), 2.07–1.92 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{35}H_{38}N_6O_{12}S$: C, 54.82; H, 5.00; N, 10.96. Found: C, 54.96; H, 4.97; N, 11.03.

8-[(1-Naphthylmethylidene)amino]-6-(4-methylbenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (8q). Using the general procedure, **7b** gave **8q** (74%); mp 190°C; IR (KBr) 1751 (C = O acetate), 1674 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.42 (s, 1H, N = CH), 7.99–7.00 (m, 11H, ArH),7.01 (d, 1H, *J* = 9.0 Hz, H-1′), 5.49 (t, 1H, *J* = 9.2 Hz, H-2′), 5.41 (t, 1H, *J* = 9.2 Hz, H-3′), 5.01 (t, 1H, *J* = 9.2 Hz, H-4′), 4.21–3.98 (m, 5H, H-5′, H-6′, 4-$CH_3C_6H_4CH_2$), 2.24 (s, 3H, 4-C*H*$_3C_6H_4CH_2$), 2.07–1.94 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{37}H_{36}N_6O_{10}S$: C, 58.72; H, 4.79; N, 11.10. Found: C, 58.96; H, 4.94; N, 11.14.

8-Benzylideneamino-6-(4-methoxybenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (8r). Using the general procedure, **7c** gave **8r** (77%); mp 217°C; IR (KBr) 1743 (C = O acetate), 1674 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.34 (s, 1H, N = CH), 7.89–7.00 (m, 9H, ArH), 6.84 (d, 1H, *J* = 9.0 Hz, H-1′), 5.51 (t, 1H, *J* = 9.3 Hz, H-2′), 5.41 (t, 1H, *J* = 9.3 Hz, H-3′), 5.01 (t, 1H, *J* = 9.3 Hz, H-4′), 4.21–3.96 (m, 5H, H-5′, H-6′, 4-$CH_3OC_6H_4CH_2$), 3.77 (s, 3H, 4-C*H*$_3OC_6H_4CH_2$), 2.08–1.99 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{33}H_{34}N_6O_{11}S$: C, 54.84; H, 4.74; N, 11.63. Found: C, 54.89; H, 4.70; N, 11.46.

8-(4-Methylbenzylideneamino)-6-(4-methoxybenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (8s). Using the general procedure, **7c** gave **8s** (69%); mp 212°C; IR (KBr) 1743

(C = O acetate), 1666 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.32 (s, 1H, N = CH), 7.84–6.99 (m, 8H, ArH), 6.91 (d, 1H, *J* = 9.2 Hz, H-1′), 5.53 (t, 1H, *J* = 9.0 Hz, H-2′), 5.41 (t, 1H, *J* = 9.0 Hz, H-3′), 5.04 (t, 1H, *J* = 9.0 Hz, H-4′), 4.24–3.95 (m, 5H, H-5′, H-6′, 4-$CH_3OC_6H_4CH_2$), 3.79 (s, 3H, 4-$CH_3OC_6H_4CH_2$), 2.45 (s, 3H, 4-$CH_3C_6H_4CH$ = N), 2.08–1.99 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{34}H_{36}N_6O_{11}S$: C, 55.43; H, 4.93; N, 11.41. Found: C, 55.23; H, 4.82; N, 11.49.

8-(2-Methoxybenzylideneamino)-6-(4-methoxybenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (8t). Using the general procedure,**7c** gave **8t** (80%); mp 216°C; IR (KBr) 1743 (C = O acetate), 1666 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.32 (s, 1H, N = CH), 7.88–7.01 (m, 8H, ArH), 6.89 (d, 1H, *J* = 9.1 Hz, H-1′), 5.51 (t, 1H, *J* = 9.2 Hz, H-2′), 5.42 (t, 1H, *J* = 9.2 Hz, H-3′), 5.04 (t, 1H, *J* = 9.2 Hz, H-4′), 4.22–3.93 (m, 5H, H-5′, H-6′, 4-$CH_3OC_6H_4CH_2$), 3.77, 3.80 (2s, 6H, 4-$CH_3OC_6H_4CH_2$, 2-$CH_3OC_6H_4CH$ = N), 2.08–1.95 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{34}H_{36}N_6O_{12}S$: C, 54.25; H, 4.82; N, 11.16. Found: C, 54.19; H, 4.80; N, 11.39.

8-(4-Methoxybenzylideneamino)-6-(4-methoxybenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (8u). Using the general procedure, **7c** gave **8u** (76%); mp 219–220°C; IR (KBr) 1743 (C = O acetate), 1674 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.32 (s, 1H, N = CH), 7.84–7.03 (m, 8H, ArH), 6.84 (d, 1H, *J* = 9.0 Hz, H-1′), 5.50 (t, 1H, *J* = 9.1 Hz, H-2′), 5.40 (t, 1H, *J* = 9.1 Hz, H-3′), 5.02 (t, 1H, *J* = 9.1 Hz, H-4′), 4.21–3.92 (m, 5H, H-5′, H-6′, 4-$CH_3OC_6H_4CH_2$), 3.79, 3.84 (2s, 6H, 4-$CH_3OC_6H_4CH_2$, 4-$CH_3OC_6H_4CH$ = N), 2.07–1.95 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{34}H_{36}N_6O_{12}S$: C, 54.25; H, 4.82; N, 11.16. Found: C, 54.13; H, 4.98; N, 11.27.

8-(4-Chlorobenzylideneamino)-6-(4-methoxybenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (8v). Using the general procedure, **7c** gave **8v** (81%); mp 213°C; IR (KBr) 1743 (C = O acetate), 1666 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.39 (s, 1H, N = CH), 7.82–7.00 (m, 8H, ArH), 6.85 (d, 1H, *J* = 9.1 Hz, H-1′), 5.50 (t, 1H, *J* = 9.2 Hz, H-2′), 5.40 (t, 1H, *J* = 9.2 Hz, H-3′), 5.02 (t, 1H, *J* = 9.2 Hz, H-4′), 4.22–3.91 (m, 5H, H-5′, H-6′, 4-$CH_3OC_6H_4CH_2$), 3.79 (s, 3H, 4-$CH_3OC_6H_4CH_2$), 2.09–1.94 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{33}H_{33}ClN_6O_{11}S$: C, 52.35; H, 4.39; N, 11.10. Found: C, 52.22; H, 4.54; N, 11.16.

8-(3,4-Methylenedioxybenzylideneamino)-6-(4-methoxybenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (8w). Using the general procedure, **7c** gave **8w** (70%); mp 221–222°C; IR (KBr) 1743 (C = O acetate), 1666 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.34 (s, 1H, N = CH), 7.81–7.03 (m, 7H, ArH), 6.87 (d, 1H, *J* = 9.2 Hz, H-1′), 5.90 (s, 2H, 3,4-$CH_2O_2C_6H_3CH$ = N), 5.52 (t, 1H, *J* = 9.3 Hz, H-2′), 5.41 (t, 1H, *J* = 9.3 Hz, H-3′), 5.03 (t, 1H, *J* = 9.3 Hz, H-4′), 4.24–3.92 (m, 5H, H-5′, H-6′, 4-$CH_3OC_6H_4CH_2$), 3.79 (s, 3H, 4-$CH_3OC_6H_4CH_2$), 2.08–1.95 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{34}H_{34}N_6O_{13}S$: C, 53.26; H, 4.47; N, 10.96. Found: C, 53.30; H, 4.52; N, 11.18.

8-[4-(Dimethylamino)benzylideneamino]-6-(4-methoxybenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (8x). Using the general procedure, **7a** gave **8x** (80%); mp 211°C; IR (KBr) 1751 (C = O acetate), 1666 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.36 (s, 1H, N = CH), 7.90–7.07 (m, 8H, ArH), 6.79 (d, 1H, *J* = 9.3 Hz, H-1′), 5.49 (t, 1H, *J* = 9.5 Hz, H-2′), 5.44 (t, 1H, *J* = 9.5 Hz, H-3′), 5.01 (t, 1H, *J* = 9.5 Hz, H-4′), 4.24–3.99 (m, 5H, H-5′, H-6′, 4-$CH_3OC_6H_4CH_2$), 3.77 (s, 3H, 4-$CH_3OC_6H_4CH_2$), 3.05 (s, 6H, 4-$(CH_3)_2NC_6H_4CH$ = N), 2.07–1.93 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{35}H_{39}N_7O_{11}S$: C, 54.90; H, 5.13; N, 12.80. Found: C, 55.02; H, 4.94; N, 13.00.

8-(3,4-Dimethoxybenzylideneamino)-6-(4-methoxybenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (8y). Using the general procedure, **7c** gave **8y** (75%); mp 218°C; IR (KBr) 1743 (C = O acetate), 1666 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.33 (s, 1H, N = CH), 7.84–7.00 (m, 7H, ArH), 6.82 (d, 1H, *J* = 9.0 Hz, H-1′), 5.49 (t, 1H, *J* = 9.3 Hz, H-2′), 5.43 (t, 1H, *J* = 9.3 Hz, H-3′), 5.02 (t, 1H, *J* = 9.3 Hz, H-4′), 4.24–3.92 (m, 5H, H-5′, H-6′, 4-$CH_3OC_6H_4CH_2$), 3.79, 3.82 (2s, 9H, 4-$CH_3OC_6H_4CH_2$, 3,4-$(CH_3O)_2C_6H_3CH$ = N), 2.07–1.94 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{35}H_{38}N_6O_{13}S$: C, 53.70; H, 4.89; N, 10.74. Found: C, 53.50; H, 4.72; N, 10.85.

8-[(1-Naphthylmethylidene)amino]-6-(4-methoxybenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (8z). Using the general procedure, **7c** gave **8z** (71%); mp 221–222°C; IR (KBr) 1751 (C = O acetate), 1674 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.33 (s, 1H, N = CH), 7.95–7.00 (m, 11H, ArH), 6.93 (d, 1H, *J* = 9.2 Hz, H-1′), 5.51 (t, 1H, *J* = 9.1 Hz, H-2′), 5.41 (t, 1H, *J* = 9.1 Hz, H-3′), 5.01 (t, 1H, *J* = 9.1 Hz, H-4′), 4.22–3.95 (m, 5H, H-5′, H-6′, 4-$CH_3OC_6H_4CH_2$), 3.77 (s, 3H, 4-$CH_3OC_6H_4CH_2$), 2.08–1.99 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{37}H_{36}N_6O_{11}S$: C, 57.51; H, 4.70; N, 10.87. Found: C, 57.64; H, 4.75; N, 10.94.

6-Benzyl(or substituted benzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-ones (9a–d). General procedure: To a cold stirred solution (at 0 C) of each of compounds **7a–d** (10 mmol) in acetic acid (100 mL) was added dropwise a solution of sodium nitrite (6 g in 6 mL water) over a period of one hour and the reaction mixture was kept in the refrigerator overnight. The formed precipitate was collected by filtration, washed well with water, dried at room temperature and recrystallized from dichloromethane/petroleum ether (bp. 40–60°C) to give colorless crystals of compounds **9a–d**.

6-Benzyl-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (9a). Using the general procedure, **7a** gave **9a** (80%); mp 196°C; ^1H NMR (DMSO-d_6) δ 9.45 (s, 1H, NH-exchangeable), 7.38–7.21 (m, 5H, ArH), 6.62 (d, 1H, *J* = 9.4 Hz, H-1′), 5.64 (t, 1H, *J* = 9.5 Hz, H-2′), 5.42 (t, 1H, *J* = 9.5 Hz, H-3′), 5.02 (t, 1H, *J* = 9.5 Hz, H-4′), 4.21–3.99 (m, 5H, H-5′, H-6′, $C_6H_5CH_2$), 2.12–1.92 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{25}H_{27}N_5O_{10}S$: C, 50.93; H, 4.62; N, 11.82. Found: C, 50.85; H, 4.71; N, 11.97.

6-(4-Methylbenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (9b). Using the general procedure, **7b** gave **9b** (74%); mp 212°C; ^{1}H NMR (DMSO-d_6) δ 9.57 (s, 1H, NH-exchangeable), 7.30 (d, 2H, *J* = 7.7 Hz, ArH), 6.84 (d, 2H, *J* = 7.9 Hz, ArH), 6.64 (d, 1H, *J* = 9.4 Hz, H-1′), 5.63 (t, 1H, *J* = 9.5 Hz, H-2′), 5.42 (t, 1H, *J* = 9.5 Hz, H-3′), 5.04 (t, 1H, *J* = 9.5 Hz, H-4′), 4.21–3.99 (m, 5H, H-5′, H-6′, 4-$CH_3C_6H_4CH_2$), 2.26 (s, 3H, 4-$CH_3C_6H_4CH_2$), 2.11–1.92 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{26}H_{29}N_5O_{10}S$: C, 51.74; H, 4.84; N, 11.60. Found: C, 51.81; H, 4.80; N, 11.44.

6-(4-Methoxybenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (9c). Using the general procedure, **7c** gave **9c** (70%); mp 228°C; ^{1}H NMR (DMSO-d_6) δ 9.41 (s, 1H, NH-exchangeable), 7.26 (d, 2H, *J* = 7.9 Hz, ArH), 6.86 (d, 2H, *J* = 7.6 Hz, ArH), 6.60 (d, 1H, *J* = 9.4 Hz, H-1′), 5.61 (t, 1H, *J* = 9.5 Hz, H-2′), 5.41 (t, 1H, *J* = 9.5 Hz, H-3′), 5.01 (t, 1H, *J* = 9.5 Hz, H-4′), 4.23–4.07 (m, 5H, H-5′, H-6′, 4-$CH_3OC_6H_4CH_2$), 3.72 (s, 3H, 4-$CH_3OC_6H_4CH_2$), 2.09–1.95 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{26}H_{29}N_5O_{11}S$: C, 50.40; H, 4.72; N, 11.30. Found: C, 50.41; H, 4.68; N, 11.24.

6-(4-Chlorobenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (9d). Using the general procedure, **7d** gave **9d** (75%); mp 191°C; ^{1}H NMR (DMSO-d_6) δ 9.41 (s, 1H, NH-exchangeable), 7.24 (d, 2H, *J* = 7.8 Hz, ArH), 6.81 (d, 2H, *J* = 7.7 Hz, ArH), 6.63 (d, 1H, *J* = 9.4 Hz, H-1′), 5.64 (t, 1H, *J* = 9.5 Hz, H-2′), 5.40 (t, 1H, *J* = 9.5 Hz, H-3′), 5.04 (t, 1H, *J* = 9.5 Hz, H-4′), 4.22–4.03 (m, 5H, H-5′, H-6′, 4-$ClC_6H_4CH_2$), 2.11–1.93 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{25}H_{26}ClN_5O_{10}S$: C, 48.12; H, 4.20; N, 11.22. Found: C, 48.00; H, 4.33; N, 11.26.

6-Benzyl(or substituted benzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-1,2,4-triazolo[4,3-*b*][1,2,4]-triazine-3,7(2*H*,8*H*)-dithiones (10a–d). General procedure: To a solution of each of compounds **9a–d** (5 mmol) in dry pyridine (25 mL) was added phosphorus pentasulfide (10 mmol). The reaction mixture was then heated at reflux temperature for 6 hours. After cooling, the products were extracted from the oily materials with ethanol (50 mL). The supernatant solutions were decanted, acidified with acetic acid (5 mL), concentrated, and diluted with water. The precipitates were collected by filtration, dried at room temperature, dissolved in dichloromethane, treated with charcoal (2.5 g) and filtered. The filtrates were evaporated on a rotavap and the resulting solids were recrystallised from dichloromethane/petroleum ether (bp 40–60°C) to give yellow crystals of compounds **10a–d**.

6-Benzyl-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-1,2,4-triazolo[4,3-*b*][1,2,4]-triazine-3,7(2*H*,8*H*)-dithione (10a). Using the general procedure, **9a** gave **10a** (50%); mp 184°C; ^{1}H NMR (DMSO-d_6) δ 9.36 (s, 1H, NH-exchangeable), 7.32–7.19 (m, 5H, ArH), 6.61 (d, 1H, *J* = 9.4 Hz, H-1′), 5.69 (t, 1H, *J* = 9.5 Hz, H-2′), 5.42 (t, 1H, *J* = 9.5 Hz, H-3′), 5.02 (t, 1H, *J* = 9.5 Hz, H-4′), 4.21–3.99 (m, 5H, H-5′, H-6′, $C_6H_5CH_2$), 2.12–1.92 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{25}H_{27}N_5O_9S_2$: C, 49.58; H, 4.49; N, 11.56. Found: C, 49.47; H, 4.31; N, 11.77.

6-(4-Methylbenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-1,2,4-triazolo[4,3-*b*][1,2,4]triazine-3,7(2*H*,8*H*)-dithione (10b). Using the general procedure, **9b** gave **10b** (51%); mp 204°C; ^{1}H NMR (DMSO-d_6) δ 9.37 (s, 1H, NH-exchangeable), 7.28(d, 2H, *J* = 7.5 Hz, ArH), 6.86 (d, 2H, *J* = 7.8 Hz, ArH), 6.59 (d, 1H, *J* = 9.4 Hz, H-1′), 5.65 (t, 1H, *J* = 9.5 Hz, H-2′), 5.42 (t, 1H, *J* = 9.5 Hz, H-3′), 5.04 (t, 1H, *J* = 9.5 Hz, H-4′), 4.24–3.99 (m, 5H, H-5′, H-6′, 4-$CH_3C_6H_4CH_2$), 2.24 (4-$CH_3C_6H_4CH_2$), 2.13–1.92 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{26}H_{29}N_5O_9S_2$: C, 50.40; H, 4.72; N, 11.30. Found: C, 50.42; H, 4.84; N, 11.24.

6-(4-Methoxybenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-1,2,4-triazolo[4,3-*b*][1,2,4]triazine-3,7(2*H*,8*H*)-dithione (10c). Using the general procedure, **9c** gave **10c** (48%); mp 198–200°C; ^{1}H NMR (DMSO-d_6) δ 9.75 (s, 1H, NH-exchangeable), 7.32 (d, 2H, *J* = 7.6 Hz, ArH), 6.80 (d, 2H, *J* = 7.9 Hz, ArH), 6.62 (d, 1H, *J* = 9.4 Hz, H-1′), 5.62 (t, 1H, *J* = 9.5 Hz, H-2′), 5.41 (t, 1H, *J* = 9.5 Hz, H-3′), 5.04 (t, 1H, *J* = 9.5 Hz, H-4′), 4.24–4.02 (m, 5H, H-5′, H-6′, 4-$CH_3OC_6H_4CH_2$), 3.74 (s, 3H, 4-$CH_3OC_6H_4CH_2$), 2.07–1.95 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{26}H_{29}N_5O_{10}S_2$: C, 49.13; H, 4.60; N, 11.02. Found: C, 49.22; H, 4.58; N, 11.12.

6-(4-Chlorobenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-1,2,4-triazolo[4,3-*b*][1,2,4]triazine-3,7(2*H*,8*H*)-dithione (10d). Using the general procedure, **9d** gave **10d** (45%); mp 226°C; ^{1}H NMR (DMSO-d_6) δ 9.36 (s, 1H, NH-exchangeable), 7.23 (d, 2H, *J* = 7.6 Hz, ArH), 6.77 (d, 2H, *J* = 7.8 Hz, ArH), 6.60 (d, 1H, *J* = 9.4 Hz, H-1′), 5.66 (t, 1H, *J* = 9.5 Hz, H-2′), 5.42 (t, 1H, *J* = 9.5 Hz, H-3′), 5.01 (t, 1H, *J* = 9.5 Hz, H-4′), 4.21–4.03 (m, 5H, H-5′, H-6′, 4-$ClC_6H_4CH_2$), 2.07–1.93 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{25}H_{26}ClN_5O_9S_2$: C, 46.91; H, 4.09; N, 10.94. Found: C, 47.09; H, 4.13; N, 11.12.

6-Benzyl(or substitutedbenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-1,2,4-triazolo[4,3-*b*][1,2,4]-triazine-3,7(2*H*,8*H*)-diones (11a–d). General procedure: Each of compounds **9a–d** (1 mmol) was dissolved in acetic acid (5 mL), then hydrogen peroxide (33%; 1 mL) was added. The reaction mixture was then boiled for 3 minutes, left to cool at room temperature, and diluted with water. The formed precipitate was collected by filtration, dried at room temperature, and recrystallised from ethanol to give colorless crystals of compounds **11a–d**.

6-Benzyl-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-1,2,4-triazolo[4,3-*b*][1,2,4]-triazine-3,7(2*H*,8*H*)-dione (11a). Using the general procedure, **9a** gave **11a** (60%); mp 178°C; ^{1}H NMR (DMSO-d_6) δ 9.32 (s, 1H, NH-exchangeable), 7.31–7.19 (m, 5H, ArH), 6.66 (d, 1H, *J* = 9.4 Hz, H-1′), 5.60 (t, 1H, *J* = 9.5 Hz, H-2′), 5.41 (t, 1H, *J* = 9.5 Hz, H-3′), 5.05 (t, 1H, *J* = 9.5 Hz, H-4′), 4.24–3.97 (m, 5H, H-5′, H-6′, $C_6H_5CH_2$), 2.09–1.92 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{25}H_{27}N_5O_{11}$: C, 52.36; H, 4.75; N, 12.21. Found: C, 52.20; H, 4.79; N, 12.36.

6-(4-Methylbenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-1,2,4-triazolo[4,3-*b*][1,2,4]triazine-3,7(2*H*,8*H*)-dione (11b). Using the general procedure, **9b** gave **11b** (65%); mp 185°C; ^{1}H NMR (DMSO-d_6) δ 9.47 (s, 1H, NH-exchangeable), 7.30 (d, 2H, *J* = 7.7 Hz, ArH), 6.84 (d, 2H, *J* = 7.9 Hz, ArH), 6.64 (d, 1H, *J* = 9.4 Hz, H-1′), 5.63 (t, 1H, *J* = 9.5 Hz, H-2′), 5.42 (t, 1H, *J* = 9.5 Hz, H-3′), 5.04 (t, 1H, *J* = 9.5 Hz,

H-4′), 4.21–3.99 (m, 5H, H-5′, H-6′, 4-$CH_3C_6H_4CH_2$), 2.26 (s, 3H, 4-$CH_3C_6H_4CH_2$), 2.11–1.92 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{26}H_{29}N_5O_{11}$: C, 53.15; H, 4.98; N, 11.92. Found: C, 53.22; H, 4.88; N, 11.75.

6-(4-Methoxybenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-1,2,4-triazolo[4,3-*b*][1,2,4]triazine-3,7(2*H*,8*H*)-dione (11c). Using the general procedure, **9c** gave **11c** (64%); mp 199°C; ^{1}H NMR (DMSO-d_6) δ 9.41 (s, 1H, NH-exchangeable), 7.26 (d, 2H, *J* = 7.9 Hz, ArH), 6.86 (d, 2H, *J* = 7.6 Hz, ArH), 6.60 (d, 1H, *J* = 9.4 Hz, H-1′), 5.61 (t, 1H, *J* = 9.5 Hz, H-2′), 5.41 (t, 1H, *J* = 9.5 Hz, H-3′), 5.01 (t, 1H, *J* = 9.5 Hz, H-4′), 4.23–4.07 (m, 5H, H-5′, H-6′, 4-$CH_3OC_6H_4CH_2$), 3.72 (s, 3H, 4-$CH_3OC_6H_4CH_2$), 2.09-1.95 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{26}H_{29}N_5O_{12}$: C, 51.74; H, 4.84; N, 11.60. Found: C, 51.89; H, 4.84; N, 11.67.

6-(4-Chlorobenzyl)-2-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)-1,2,4-triazolo [4,3-*b*][1,2,4]triazine-3,7(2*H*,8*H*)-dione (11d). Using the general procedure, **9d** gave **11d** (71%); mp 179°C; ^{1}H NMR (DMSO-d_6) δ 9.41 (s, 1H, NH-exchangeable), 7.24 (d, 2H, *J* = 7.8 Hz, ArH), 6.81 (d, 2H, *J* = 7.7 Hz, ArH), 6.63 (d, 1H, *J* = 9.4 Hz, H-1′), 5.64 (t, 1H, *J* = 9.5 Hz, H-2′), 5.40 (t, 1H, *J* = 9.5 Hz, H-3′), 5.04 (t, 1H, *J* = 9.5 Hz, H-4′), 4.22–4.03 (m, 5H, H-5′, H-6′, 4-$ClC_6H_4CH_2$), 2.11–1.93 (4s, 12H, CH_3CO). Anal. Calcd. for $C_{25}H_{26}ClN_5O_{11}$: C, 49.39; H, 4.31; N, 11.52. Found: C, 49.29; H, 4.39; N, 11.57.

6-Benzyl(or substituted benzyl)-2-β-D-glucopyranosyl-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-ones (12a–d). General procedure: Dry gaseous ammonia was passed through a solution of each of compounds **9a–d** (1 mmol) in dry methanol (10 mL) for about 1 hour while cooling and stirring. The reaction mixture was stirred at 0°C until complete as shown by TLC (9 to16 hours), using chloroform/methanol (19 : 1) (R_f = 0.70–0.75). The resulting mixture was then concentrated at reduced pressure to afford a solid residue which was boiled in chloroform (100 mL), collected by filtration, washed several times with boiled chloroform, dried at room temperature, and recrystallised from methanol/chloroform to give pale crystals of compounds **12a–d**.

6-Benzyl-2-β-D-glucopyranosyl-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (12a). Using the general procedure, **9a** gave **12a** (60%); mp 262°C; IR (KBr) 3500–3200 (OH, NH), 1670 (C = O amide) cm^{-1}; ^{1}H NMR (DMSO-d_6) δ 13.51 (s, 1H, NH-exchangeable), 7.62–7.12 (m, 5H, ArH), 6.37 (d, 1H, *J* = 9.0 Hz, H-1′), 5.22–4.63 (3d, 1t, 4H, 4OH-exchangeable), 4–3 (m, 8H, H-2′, H-3′, H-4′, H-5′, H-6′, $C_6H_5CH_2$). Anal. Calcd. for $C_{17}H_{19}N_5O_6S$: C, 48.45; H, 4.54; N, 16.62. Found: C, 48.33; H, 4.48; N, 16.49.

6-(4-Methylbenzyl)-2-β-D-glucopyranosyl-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (12b). Using the general procedure, **9b** gave **12b** (65%); mp 247°C; IR (KBr) 3500–3200 (OH, NH), 1669 (C = O amide) cm^{-1}; ^{1}H NMR (DMSO-d_6) δ 13.47 (s, 1H, NH-exchangeable), 7.27 (d, 2H, *J* = 7.6 Hz, ArH), 6.85 (d, 2H, *J* = 7.9 Hz, ArH), 6.39 (d, 1H, *J* = 9.2 Hz, H-1′), 5.18–4.61 (3d, 1t, 4H, 4OH-exchangeable), 3.92–2.98 (m, 8H, H-2′, H-3′, H-4′, H-5′, H-6′, 4-$CH_3C_6H_4CH_2$), 2.24 (s,3H, 4-$CH_3C_6H_4CH_2$). Anal. Calcd. for $C_{18}H_{21}N_5O_6S$: C, 49.65; H, 4.86; N, 16.08. Found: C, 49.58; H, 4.71; N, 16.25.

6-(4-Methoxybenzyl)-2-β-D-glucopyranosyl-2,8-dihydro-3-thioxo-1,2,4-triazolo[4,3-*b*][1,2,4]-triazin-7(3*H*)-one (12c). Using the general procedure, **9c** gave **12c** (65%); mp 236°C; IR (KBr) 3500–3200 (OH, NH), 1671 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 13.54 (s, 1H, NH-exchangeable), 7.22 (d, 2H, *J* = 7.4 Hz, ArH), 6.81 (d, 2H, *J* = 7.5 Hz, ArH), 6.34 (d, 1H, *J* = 9.2 Hz, H-1′), 5.20–4.58 (3d, 1t, 4H, 4OH-exchangeable), 4.03–2.97 (m, 8H, H-2′, H-3′, H-4′, H-5′, H-6′, 4-$CH_3OC_6H_4CH_2$), 3.81 (s,3H, 4-$CH_3OC_6H_4CH_2$). Anal. Calcd. for $C_{18}H_{21}N_5O_7S$: C, 47.89; H, 4.69; N, 15.51. Found: C, 47.94; H, 4.74; N, 15.33.

6-(4-Chloroenzyl)-2-β-D-glucopyranosyl-2,8-dihydro-3-thioxo-1,2,4-triazolo [4,3-*b*][1,2,4]-triazin-7(3*H*)-one (12d). Using the general procedure, **9d** gave **12d** (58%); mp 212°C; IR (KBr) 3500–3200 (OH, NH), 1670 (C = O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 13.52 (s, 1H, NH-exchangeable), 7.19(d, 2H, *J* = 7.3 Hz, ArH), 6.88 (d, 2H, *J* = 7.7 Hz, ArH), 6.37 (d, 1H, *J* = 9.0 Hz, H-1′), 5.22–4.63 (3d, 1t, 4H, 4OH-exchangeable), 4–3 (m, 8H, H-2′, H-3′, H-4′, H-5′, H-6′, 4-$ClC_6H_4CH_2$). Anal. Calcd. for $C_{17}H_{18}ClN_5O_6S$: C, 44.79; H, 3.98; N, 15.36. Found: C, 44.84; H, 4.14; N, 15.44.

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