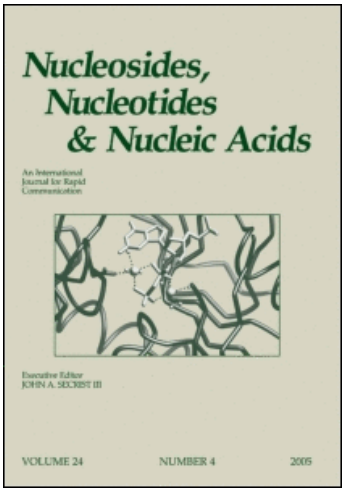

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Synthesis of Some New 2-α-L-Arabinopyranosyl-1,2,4-triazines as Potential Antitumor Chemotherapeutics

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ABSTRACT

Synthetic routes towards different 2-α-L-arabinopyranosyl-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-/ones or thiones were investigated. Primary human anticancer screening of two selected compounds resulted in an active compound against SF-268 (CNS) cell line.

Key Words: Synthesis; 1,2,4-Triazines; α-L-Arabinopyranosyls; Antitumors.

INTRODUCTION

Many 1,2,4-triazine glycosides were reported to have pronounced biological activity.[1] Only little attention had been directed towards the synthesis of unnatural L-nucleosides until the finding that some β-L-nucleosides[2–5] were found to be more active and less toxic than their D-isomers[5,6] against HIV-1 and Hepatitis B virus

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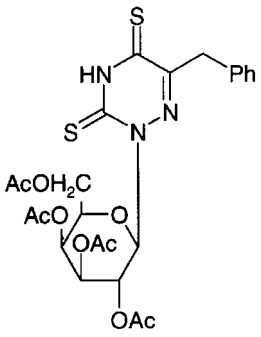
(HBV).[7,8] Biochemically, some L-nucleosides are substrates for cellular kinases[9,10] and also have greater stability for catabolizing enzymes such as cytidine and adenosine deaminase,[11] thereby providing higher anti HIV and anti HBV activities.[2] Recently, we have synthesized many 1,2,4-triazine galactosides[1] in order to find new antitumor agents. In this context we found that 2-(2,3,4,6-tetra-*O*-acetyl-β-D-galactopyranosyl)-6-benzyl-1,2,4-triazin-3,5(2*H*,4*H*)-dithione (1) showed a primary an in vitro activity against both MCF7 (Breast) and SF-268 (CNS) cell lines. In continuation of our studies, it was of interest to synthesize some new α-L-arabinopyranosides as promising antitumor chemotherapeutics. Therefore, herein we wish to report the synthesis of some new 2-α-L-arabinosyl derivaties of 3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-/ones or thiones and the primary human anticancer screening of two selected nucleosides.



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RESULTS AND DISCUSSION

Base induced glycosidation[1,12–17] of 4-amino-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-ones followed by deamination was reported to offer a convenient selective synthesis of the 2-glycosyl derivatives.[1,14–16] Also, glycosidation of the 4-aryl-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-ones was reported to give the corresponding 2-glycosyl derivatives.[1,17] In the present report we applied these strategies to selectively synthesize some new 2-α-L-arabinopyranosyl-6-substituted-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-ones.

Glycosidation of the 4-amino-6-substituted-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-ones **4a-g** with 2,3,4-tri-*O*-acetyl-β-L-arabinopyranosyl bromide **(5)** in acetonitrile containing triethylamine gave the corresponding 4-amino-2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl)-6-substituted-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-ones**6a-g**. Among the different possible monoarabinosyl derivatives **6–8**, the structure of **6a-g** is clearly assigned by spectral and chemical evidences. Thus, the position of the anomeric proton at δ 6.82–6.67 with a coupling constant value of 8.7–9.4 Hz proves that the anomeric proton is in a trans position with respect to

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the proton on position 2 of the L-arabinosyl ring which comes in agreement to the α-*N*-arabinopyranosyls **6a-g** and not their isomeric α-*S*-derivatives (this is consistent with reported positions and coupling constant values of the anomeric protons of *N*-type of different pyranosyls).[1,13,14,16,17] The presence of the NH_2 protons signal of δ 6.51–6.32 excludes the formation of the α-4-NH-pyranosyls **8a-g.**

Analogous arabinopyrnosylation of the 4-aryl-6-substituted-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-ones **10a-i** gave the corresponding 4-aryl-2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl)-6-substituted-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-ones (**11a-i**). Assignment of the structure of compounds **11a-i** rather than their isomeric *S*-arabinopyranosyl derivatives **12a-i** was established spectroscopically. Thus, the ^{1}HNMR spectral data of compounds **11a-i** revealed the position of the anomeric protons at δ 6.78–6.69 ($J_{H\text{-}1'\text{-}H\text{-}2'} = 8.4\text{–}9.4$ Hz) in agreement with that reported for analogous 4-aryl-2-(2,3,4,6-tetra-*O*-acetyl-β-D-gluco[14,17]/or galactopyranosyl[1])-6-substituted-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-ones.

Deamination of **6d-g** into the target compounds **13a-d** was achieved in almost quantitative yields by the action of nitrous acid in acetic acid. The structure of compounds **13a-d** was inferred from their chemical and spectral evidences. Thus, the ^{1}H NMR spectra of compounds **13a-d** showed the absence of NH_2 signal at δ 6.51–6.32 and appearance of NH signal at δ 10.76–9.32 (brs, 1H, exchangeable). Thiation of the latter compounds with phosphorous pentasulphide in pyridine afforded the corresponding 2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl)-6-substituted-1,2,4-triazine-3,5(2*H*, 4*H*)-dithiones **14a-d**. Structure assignment of compounds **14a-d** was inferred from their correct analytical and spectral data. Thus, the IR spectra of these compounds showed the absence of the amide carbonyl function at 1716–1713 cm^{-1}. Also, the ^{1}H NMR spectra of compounds **14a-d** revealed signals consistent with their structures.

Condensation of compounds **6d-g** with different aldehydes gave the corresponding 4-arylideneamino derivatives **15a-k**. The structure of **15a-k** was inferred from their analytical, chemical, and spectral data. Thus, the ^{1}H NMR spectra of these compounds revealed N=CH signal at δ 8.72–8.13 consistent with similar reported data.[1,14–16]

Heating of compound **15b** under reflux for 30 minutes with benzaldehyde afforded compound **13b** via the elimination of benzonitrile.

Treatment of the appropriate acetyl derivatives **6f, 13a-d** with methanolic ammonia led to the formation of the corresponding free L-arabinopyranosyl nucleosides **16, 17a-d** respectively.

BIOLOGICAL EVALUATION

Compounds **6g**, and **15j** were tested for their human anticancer activity using an in vitro model through a 3-cell line, one-dose primary anticancer assay consisting of MCF7 (Breast), NCI-H460 (Lung), SF-268 (CNS). Only compound **15j** was found to be active against SF-268 (CNS) in this 3-cell line one dose primary human anticancer assay. The following table shows the activity of the previously mentioned compounds.

Table 1. Human anticancer activity of compounds **6g, 15j**.

Compound	Concentration	Growth Percentage (Lung) NCI-H460	(Breast) MCF7	(CNS) SF-268	Activity
6g	1.00 E–0.04 M	67	71	48	Inactive
15j	1.00 E–0.04 M	69	52	−28	Active

EXPERIMENTAL

All melting points are uncorrected. IR spectra were recorded on a Perkin-Elmner 1430 spectrophotometer. ^{1}H NMR spectra were recorded at 200 MHz with a Varian GEMINI 2000 spectrometer. Element analyses were carried out at the microanalytical Center, Cairo University. Anticancer screening of compounds **6g, 15j** was carried out at the National Cancer Institute – National Institutes of Health, Bethesda, Maryland, United States of America. The starting 4-amino/4-aryl-6-substituted-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4H)-ones **4a-g**[18–20]/**10a-i**,[1,21] and 2,3,4-tri-*O*-acetyl-β-L-arabinopyranosyl bromide (**5**)[22] were prepared as reported.

4-Amino-2-(2,3,4-tri-*O*-acetyl-α-L-arbinopyranosyl)-6-substituted-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-ones (6a-g). General Procedure: 2,3,4-tri-*O*-acetyl-β-L-arabinopyranosyl bromide (**5**) (10 mmol) was added to a solution of each of compounds **4a-g** (10 mmol) in acetonitrile (5 mL) and triethylamine (2 mL, 14 mmol). After shaking the reaction mixture for 20 minutes, it was left overnight at room temperature. The next day, the reaction mixture was cooled in an ice bath, acidified with acetic acid (1 mL) and diluted with cold water. The precipitate was then collected by filtration, dried at room temperature, and recrystallized from dichloromethane/petroleum ether (bp. 40–60°C) as brown crystals of compounds **6a-c** or from dichloromethane/methanol as yellow crystals of compounds **6d-g.**

4-Amino-2-(2,3,4-tri-*O*-acetyl-α-L-arbinopyranosyl)-6-phenyl-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-one (6a). Using the general procedure, **4a** gave **6a** (46%); mp. 132°C; IR (KBr) 3294, 3209 (NH_2), 1747 (C=O acetate), 1689 (C=O amide) cm^{-1}; ^{1}H NMR ($CDCl_3$) δ 8.2–7.44 (m, 5H, ArH'), 6.82 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 9$ Hz, H-1′), 6.51 (s, 2H, NH_2, exchangeable), 6.08 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.5$ Hz, H-2′), 5.4 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3.4$ Hz, H-4′), 5.3 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.4$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} = 10$ Hz, H-3′), 4.16 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.9$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''} = 13.5$ Hz, H-5′), 3.94 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 13.4$ Hz, H-5″), 2.19, 2.06, 1.98 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{20}H_{22}N_4O_8S$: C, 50.2; H, 4.63; N, 11.71. Found; C, 50.2; H, 4.7; N, 12.0.

4-Amino-2-(2,3,4-tri-*O*-acetyl-α-L-arbinopyranosyl)-6-benzyl-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-one (6b). Using the general procedure, **4b** gave **6b** (62%); mp. 110°C; IR (KBr) 3308, 3215 (NH_2), 1747 (C=O acetate), 1689 (C=O amide) cm^{-1}; ^{1}H NMR ($CDCl_3$) δ 7.42–7.2 (m, 5H, ArH's), 6.67 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 9.2$ Hz, H-1′),

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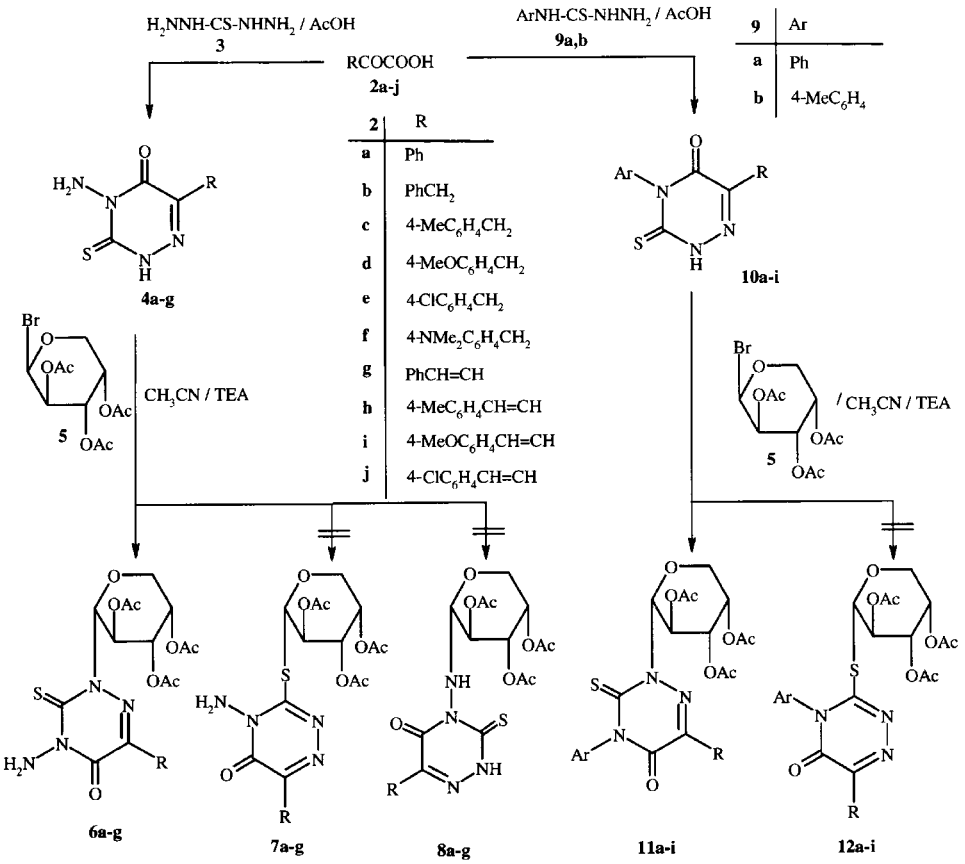

2	R
a	Ph
b	$PhCH_2$
c	4-$MeC_6H_4CH_2$
d	4-$MeOC_6H_4CH_2$
e	4-$ClC_6H_4CH_2$
f	4-$NMe_2C_6H_4CH_2$
g	PhCH=CH
h	4-MeC_6H_4CH=CH
i	4-$MeOC_6H_4CH$=CH
j	4-ClC_6H_4CH=CH

9	Ar
a	Ph
b	4-MeC_6H_4

4,6-8	R
a	Ph
b	$PhCH_2$
c	4-$ClC_6H_4CH_2$
d	PhCH=CH
e	4-MeC_6H_4CH=CH
f	4-$MeOC_6H_4CH$=CH
g	4-ClC_6H_4CH=CH

10-12	R	Ar
a	$PhCH_2$	Ph
b	$PhCH_2$	4-MeC_6H_4
c	4-$MeC_6H_4CH_2$	Ph
d	4-$MeC_6H_4CH_2$	4-MeC_6H_4
e	4-$MeOC_6H_4CH_2$	Ph
f	4-$MeOC_6H_4CH_2$	4-MeC_6H_4
g	4-$ClC_6H_4CH_2$	Ph
h	4-$NMe_2C_6H_4CH_2$	Ph
i	4-$NMe_2C_6H_4CH_2$	4-MeC_6H_4

Scheme 1.

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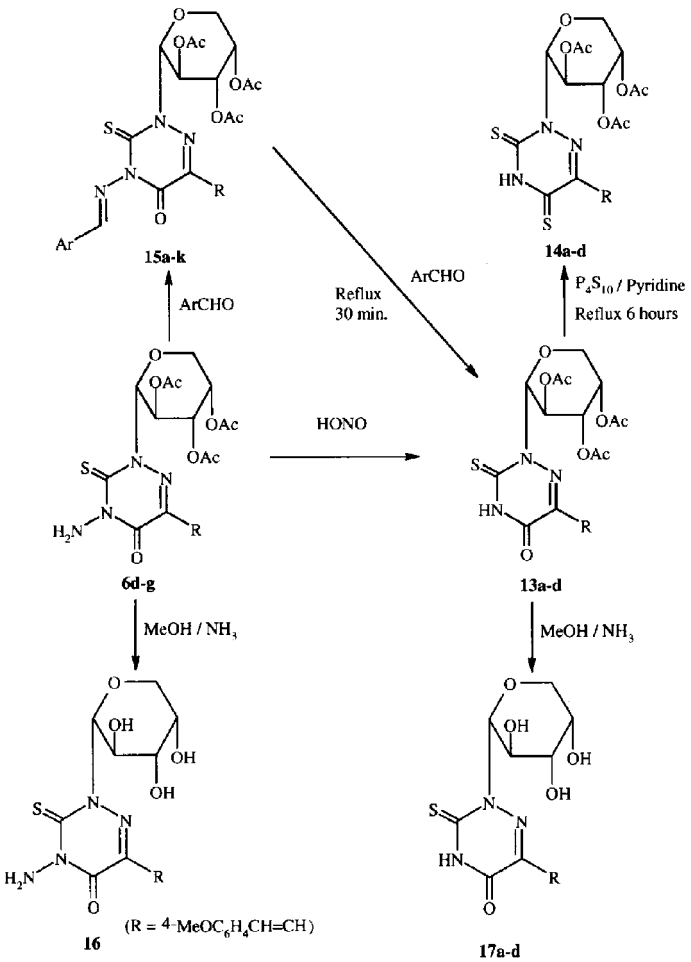

15	R	Ar
a	PhCH=CH	Ph
b	4-MeC_6H_4CH=CH	Ph
c	4-MeC_6H_4CH=CH	4-MeC_6H_4
d	4-MeC_6H_4CH=CH	4-ClC_6H_4
e	4-MeC_6H_4CH=CH	4-$NMe_2C_6H_4$
f	4-$MeOC_6H_4CH$=CH	Ph
g	4-$MeOC_6H_4CH$=CH	4-MeC_6H_4
h	4-$MeOC_6H_4CH$=CH	4-ClC_6H_4
i	4-$MeOC_6H_4CH$=CH	4-$NMe_2C_6H_4$
j	4-ClC_6H_4CH=CH	Ph
k	4-ClC_6H_4CH=CH	4-MeC_6H_4

13, 14, 17	R
a	PhCH=CH
b	4-MeC_6H_4CH=CH
c	4-$MeOC_6H_4CH$=CH
d	4-ClC_6H_4CH=CH

Scheme 2.

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6.32 (s, 2H, NH_2, exchangeable), 6.01 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.6$ Hz, H-2′), 5.38 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3.4$ Hz, H-4′), 5.25 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.6$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} =$ 10 Hz, H-3′), 4.13 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.9$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''} = 13.5$ Hz, H-5′), 4.14–3.85 (m, 2H, PhC$\underline{H}_2$), 3.95 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 13.6$ Hz, H-5″), 2.22, 2.05, 1.91 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{21}H_{24}N_4O_8S$ Calcd.: C, 51.21; H, 4.91 Found: C, 51.3; H, 4.9.

4-Amino-2-(2,3,4-tri-*O*-acetyl-α-L-arbinopyranosyl)-6-(4-chlorobenzyl)-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-one (6c). Using the general procedure, **4c** gave **6c** (68%); mp. 88°C; IR (KBr) 3113, 3219 (NH_2), 1749 (C=O acetate), 1693 (C=O amide) cm^{-1}; ^1H NMR ($CDCl_3$) δ 7.36–7.26 (2d, 4H, ArH's), 6.67 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} =$ 9.4 Hz, H-1′), 6.33 (s, 2H, NH_2, exchangeable), 5.94 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1} + J_{H\text{-}2'\text{-}H\text{-}3'})/$ $2 = 9.5$ Hz, H-2′), 5.4 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3.4$ Hz, H-4′), 5.25 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} =$ 3.4 Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} = 10.0$ Hz, H-3′), 4.14 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.7$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''} =$ 13.2 Hz, H-5′), 4.1–3.87 (m, 2H, 4-$ClC_6H_4C\underline{H}_2$), 3.9 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 13.4$ Hz, H-5″), 2.2, 2.05, 1.92 (3s, 9H, CH_3CHO).

Anal. Calcd. for $C_{21}H_{23}N_4O_8SCL$: C, 47.87; H, 4.4. Found: C, 47.9; H, 4.5.

4-Amino-2-(2,3,4-tri-*O*-acetyl-α-L-arbinopyranosyl)-6-styryl-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-one (6d). Using the general procedure, **4d** gave **6d** (64%); mp. 180°C; IR (KBr) 3302, 3202 (NH_2), 1747 (C=O acetate), 1680 (C=O amide) cm^{-1}; ^1H NMR ($CDCl_3$) δ 8.04, 7.16 (2d, 2H, $J = 16.4$ Hz, trans CH=CH), 7.65–7.36 (m, 5H, ArH's), 6.69 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 9.2$ Hz, H-1′) 6.40 (s, 2H, NH_2, exchangeable), 6.05 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.6$ Hz, H-2′), 5.42 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3.4$ Hz, H-4′), 5.28 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.6$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} = 10.2$ Hz, H-3′), 4.18 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.8$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''} = 13.4$ Hz, H-5′), 3.94 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} =$ 13.4 Hz, H-5″), 2.25, 2.06, 1.92 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{22}H_{24}N_4O_8S$: C, 52.37; H, 4.79. Found: C, 52.4; H, 4.8.

4-Amino-2-(2,3,-tri-*O*-acetyl-α-L-arabinopyranosyl)-6-β-4-methylphenyl)vinyl-3-thioxo-2,3-dihydro-1,2,4,-triazin-5(4*H*)-one (6e). Using the general procedure, **4e** gave **6e** (77.0%); mp. 178°C; IR (KBr) 3306, 3209 (NH_2), 1747 (C=O acetate), 1686 (C=O amide) cm^{-1}; ^1H NMR ($CDCl_3$) δ 8.0, 7.11 (2d, 2H, $J = 16.3$ HZ, trans CH=CH), 7.51, 7.2 (2d, 4H, ArH's), 6.68 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 8.7$ Hz, H-1′), 6.43 (s, 2H, NH_2,exchangeable), 6.05 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.3$ Hz, H-2′), 5.41 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3.4$ Hz, H^4), 5.27 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.4$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} =$ 10.0 Hz, H-3′), 4.16 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.8$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''} = 13.4$ Hz, H-5′), 3.94 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 13.4$ Hz, H-5″), 23.8 (s, 3H, CH_3), 2.24, 2.05, 1.95 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{23}H_{26}N_4O_8S$: C, 53.27; H, 5.05. Found: C, 53.3; H, 5.0.

4-Amino-2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl)-6-β-(4-methoxyphenyl)vinyl-3-thioxo-2,3-dihydro-1,2,4,-triazin-5(4*H*)-one (6f). Using the general procedure, **4f** gave **6f** (74%); mp. 212°C; IR (KBr) 3308, 3209 (NH_2), 1747 (C=O acetate), 1691 (C=O amide) cm^{-1}; ^1H NMR ($CDCl_3$) δ 7.98, 7.03 (2d, 2H, $J = 16.4$ Hz, trans CH=CH), 7.57, 6.92 (2d, 4H, ArH's), 6.42 (s, 2H, NH_2, exchangeable), 6.68 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 9.2$ Hz, H-1′), 6.06 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.7$ Hz, H-2′), 5.41 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3.6$ Hz, H-4′), 5.27 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.6$ Hz, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.6$ Hz,

$J_{H\text{-}3'H\text{-}2'} = 10.0$ Hz, H-3′), 4.17 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.6$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''} = 14$ Hz, H-5′), 3.94 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 14$ Hz, H-5″), 3.85 (s, 3H, OCH_3), 2.24, 2.05, 1.95 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{23}H_{26}N_4O_9S$: C, 51.68; H, 4.9. Found: C, 51.7; H, 4.9.

4-Amino-2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl)-6-β-(-4-chlorophenyl)vinyl-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-one (6g). Using the general procedure, **4g** gave **6g** (76%); mp. 196°C; IR (KBr) 3319, 3214 (NH_2), 1749, 1728 (C=O acetate), 1689 (C=O amide) cm^{-1}; ^1H NMR ($CDCl_3$) δ 7.98, 7.12 (2d, 2H, $J = 16.4$ Hz, trans CH=CH), 7.37 (2d, 4H, ArH's), 6.69 (d, 1H. $J_{H\text{-}1'\text{-}H\text{-}2'} = 9.2$ Hz, H-1′), 6.45 (s, 2H,NH_2, exchangeable), 6.05 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.6$ Hz, H-2′), 5.42 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3.5$ Hz, H-4′), 5.28 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.5$ Hz. $J_{H\text{-}3'\text{-}H\text{-}2'} = 10.1$ Hz, H-3′), 4.17 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.6$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''} = 13.4$ Hz, H-5′), 3.94 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 13.2$ Hz, H-5″), 2.25, 2.06, 1.96 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{22}H_{23}N_4O_8SCl$: C, 49.03; H, 4.3. Found: C, 49.0; H, 4.2.

4-Aryl-6-substituted-2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl-6-substituted-3-thioxo-2,3-dihydro-1,2,4,-triazin-5(4*H*)-ones (11a-i). General Procedure: To a solution of each of **10a-i** (10 mmol) in acetonitrile (5 mL) and triethylamine (2 mL, 14 mmol) was added 2,3,4-tri-*O*-acetyl-β-L-arabino-pyranosyl bromide (5) (10 mmol). After shaking the reaction mixture for 20 minutes it was kept at room temperature overnight. The reaction mixture was then cooled, acidified with acetic acid (1 mL) and the formed preciptate was collected by filtration, washed with ater, and dried at room temperature. Compounds **11a-i** were extracted from ethyl acetate and purified by preparative TLC plates (silica gel 60 GF_{254}) using ethyl acetate as an eluent. The eluted compounds were then extracted from chloroform on a soxhlet extractor. The chloroform extracts were concentrated and diluted with petroleum ether (bp. 40–60°C). After collection of crude **11a-i** by filtration, they were recrystallized from diethylether/petroleum ether (bp. 40–60°C). as pale crystals of **11a-g** and orange yellow crystals of **11h,i.**

4-Phenyl-2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl)-6-benzyl-3-thioxo-2,3-dihydro-1,2,4,-triazin-5(4*H*)-one (11a). Using the general procedure, **10a** gave **11a** (55%); $R_f = 0.72$; mp. 104°C; IR (KBr) 1747 (C=O acetate), 1701 (C=O amide) cm^{-1}; ^1H NMR ($CDCl_3$) δ 7.54–7.15 (m, 10H, ArH's), 6.76 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 9.0$ Hz, H-1′), 6.01 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.3$ Hz, H-2′), 5.38 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3.0$ Hz, H-4′), 5.26 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.0$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} = 9.0$ Hz, H-3′), 4.15(dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.6$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''} = 13.2$ Hz, H-5′), 3.97 (s, 2H, PhC$\underline{H}_2$), 3.89 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 13.2$ Hz, H-5″), 2.23, 2.07, 2.0 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{27}H_{27}N3O_8S$: C, 58.58; H, 4.91;N,7.59. Found: C, 58.6; H, 5.0; N, 7.6.

4-(4-Methylphenyl)-2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl)-6-benzyl-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-one (11b). Using the general procedure, **10b** gave **11b** (68%); $R_f = 0.74$; mp. 88°C; IR (KBr) 1747 (C=O acetate), 1701 (C=O amide) cm^{-1}; ^1H NMR ($CDCl_3$) δ 7.45–6.98 (m, 9H, ArH's), 6.78 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 9.2$ Hz, Hz, H-1′), 6.02 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.1$ Hz, H-2′), 5.39 (d, 1H,

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$J_{H\text{-}4'\text{-}H\text{-}3'} = 3.3$ Hz, H-4′), 5.26 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.3$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} = 9.8$ Hz, H-3′), 4.11 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.6$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''} = 13.0$ Hz, H-5′), 3.98 (s, 2H, PhC$\underline{H}_2$), 3.88 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 13.0$ Hz, H-5′), 2.42 (s,3H,4-C$\underline{H}_3$-C_6H_4-N), 2.24, 2.08,2.00 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{28}H_{29}N_3O_8S$: C, 59.25; H, 5.15. Found: C, 59.3; H, 5.2.

4-Phenyl-2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl)-6-(-4-methylbenzyl)-3-thioxo-2,3-dihydro-1,2,4,-triazin-5(*4H*)-one (11c). Using the general procedure, **10c** gave **11c** (82%); $R_f = 0.7$; mp. 168°C; IR (KBr) 1747 (C=O acetate), 1709 (C=O amide) cm^{-1}; ^1H NMR ($CDCl_3$) δ 7.2–7.07 (m, 9H, ArH's), 6.74 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 8.6$ Hz H-1′), 6.04 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 8.6$ Hz, H-2′), 5.41 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} =$ 3.0 Hz, H-4′), 5.25(dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.0$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} = 9.7$ Hz, H-3′), 4.14(dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.6$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''} = 13.0$ Hz, H-5′), 3.92 (s, 2H, 4-$CH_3C_6H_4C\underline{H}_2$), 3.85 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 13.0$ Hz, H-5″), 2.32 (s, 3H, 4-C$\underline{H}_3C_6H_4CH_2$), 2.22, 2.06, 1.99 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{28}H_{29}N_3O_8S$: C, 59.25; H, 5.15. Found: C, 59.4; H, 5.1.

4-(4-Methylphenyl)-2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl)-6-(4-methylbenzyl)-3-thioxo-2,3-dihydro-1,2,4,-triazin-5(*4H*)-one (11d). Using the general procedure, **10d** gave **11d** (78%); $R_f = 0.75$; mp. 118°C; IR (KBr) 1751 (C=O acetate), 1701 (C=O amide) cm^{-1}; ^1H NMR ($CDCl_3$) δ 7.34–6.96 (m, 8H, ArH's), 6.76 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 8.7$ Hz, H-1′), 6.03 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 8.7$ Hz, H-2′), 5.38 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 2.9$ Hz, H-4′), 5.25(dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 2.9$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} =$ 9.2 Hz, H-3′), 4.16(dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.6$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''} = 12.75$ Hz, H-5′), 3.93 (s, 2H, 4-$CH_3C_6H_4CH_2$), 3.85 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 12.7$ Hz, H-5″), 2.4 (s, 3H, 4-C$\underline{H}_3C_6H_4$-N), 2.33, (s, 3H, 4-C$\underline{H}_3C_6H_4CH_2$), 2.23, 2.07,2.0 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{29}H_{31}N_3O_8S$: C, 59.88; H, 5.37. Found: C, 60.0; H, 5.4.

4-Phenyl-2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl)-6-(4-methoxybenzyl)-3-thioxo-2,3-dihydro-1,2,4,-triazin-5(4*H*)-one (11e). Using the general procedure, **10e** gave **11e** (95%); $R_f = 0.73$; mp. 138°C; IR (KBr) 1747 (C=O acetate), 1705 (C=O amide) cm^{-1}; ^1H NMR ($CDCl_3$) δ 7.53–6.88 (m, 9H, ArH's), 6.77 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 9.1$ Hz, H-1′), 6.02 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.8$ Hz, H-2′), 5.38 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} =$ 3.2 Hz, H-4′), 5.26 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.2$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} = 9.8$ Hz, H-3′), 4.13 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.6$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''} = 13$ Hz, H-5′), 3.91 (s, 2H, 4-$CH_3OC_6H_4C\underline{H}_2$), 3.86 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 13.1$ Hz, 5″), 3.79 (s, 3H, 4-C$\underline{H}_3OC_6H_4$-CH_2), 2.23, 2.07, 2.0 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{28}H_{29}N_3O_9S$: C, 57.62; H, 5.01. Found: C, 57.6; H, 5.1.

4-(4-Methylphenyl)-2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl)-6-(4-methoxybenzyl)-3-thioxo-2,3-dihydro-1,2,4,-triazin-5(4*H*)-one (11f). Using the general procedure, **10f** gave **11f** (85%); $R_f = 0.71$; mp. 90°C; IR (KBr) 1747 (C=O acetate), 1705 (C=O amide) cm^{-1}; ^1H NMR ($CDCl_3$) δ 7.35–6.85 (m, 8H, ArH's), 6.75 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 9.4$ Hz, H-1′), 6.01 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.4$ Hz, H-2′), 5.38 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3.15$ Hz, H-4′), 5.25 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.15$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} =$ 9.4 Hz, H-3′), 4.13 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.6$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''} = 13.4$ Hz, H-5′), 3.88 (s, 2H,

4-$CH_3OC_6H_4\underline{CH_2}$), 3.77 (s, 3H, 4-$C\underline{H_3}OC_6H_4CH_2$), 3.86 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 13.4$ Hz, H-5″), 2.39 (s, 3H, 4-$C\underline{H_3}C_6H_4N$), 2.21, 2.07, 2.09 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{29}H_{31}N_3O_9S$: C, 58.28; H, 5.23. Found: C, 58.3; H, 5.2.

4-Phenyl-2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl)-6-(4-chlorobenzyl)-3-thioxo-2,3-dihydro-1,2,4,-triazin-5(4*H*)-one (11g). Using the general procedure, **10g** gave **11g** (81.3%); $R_f = 0.73$; mp. 104°C; IR (KBr) 1751 (C=O acetate), 1701 (C=O amide) cm^{-1}; ^1H NMR ($CDCl_3$) δ 7.54–7.15 (m, 9H, ArH's), 6.77 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 9.0$ Hz, H-1′), 5.91 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.4$ Hz, H-2′), 5.38 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3.4$ Hz, H-4′), 5.23 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.4$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} = 10.0$ Hz, H-3′), 4.13(dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.6$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''} = 13.4$ Hz, H-5′), 3.92 (s, 2H, 4-$ClC_6H_4\underline{CH_2}$), 3.84 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 13.5$ Hz, H-5″), 2.2, 2.06, 1.99 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{27}H_{26}N_3O_8SCl$: C, 55.15; H, 4.46. Found: C, 55.2; H, 4.4.

4-Phenyl-2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl)-6-(4-*N,N*-dimethylaminobenzyl)-3-thioxo-2,3-dihydro-1,2,4,-triazin-5(4*H*)-one (11h). Using the general procedure, **10h** gave **11h** (60%); $R_f = 0.70$; mp. 120°C; IR (KBr) 1747 (C=O acetate), 1701 (C=O amide) cm^{-1}; ^1H NMR ($CDCl_3$) δ 7.52–6.7 (m, 9H, ArH's), 6.69 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 8.4$ Hz, H-1′), 6.04 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.6$ Hz, H-2′), 5.48 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3.6$ Hz, H-4′), 5.23 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.0$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} = 10.2$ Hz, H-3′), 4.19 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.6$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''} = 12.6$ Hz, H-5′), 3.97 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 13.5$ Hz, H-5″), 3.86 (s, 2H, 4-$NMe_2C_6H_4\underline{CH_2}$), 2.92 (s, 6H, 4-$N(C\underline{H_3})_2$-$C_6H_4CH_2$),2.14–1.95 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{29}H_{32}N_4O_8S$: C, 58.38; H, 5.40; N, 9.39. Found: C, 58.4; H, 5.4; N, 9.6.

4-(4-Methylphenyl-2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl)-6-(4-*N,N*-dimethylaminobenzyl)-3-thioxo-2,3-dihydro-1,2,4,-triazin-5(4*H*)-one (11i). Using the general procedure, **10i** gave **11i** (57.4%); $R_f = 0.75$; mp. 100°C; IR (KBr) 1747 (C=O acetate), 1701 (C=O amide) cm^{-1}; ^1H NMR ($CDCl_3$) δ 7.56–6.74 (m, 8H, ArH's), 6.72 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 8.7$ Hz, H-1′), 6.01 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.6$ Hz, H-2′), 5.49 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3.1$ Hz, H-4′), 5.23 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.3$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} = 10.2$ Hz, H-3′), 4.17 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.6$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''} = 12.5$ Hz, H-5′), 3.94 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 13.5$ Hz, H-5″), 3.86 (s, 2H, 4-$NMe_2C_6H_4\underline{CH_2}$), 2.93 (s, 6H, 4-$N(C\underline{H_3})_2C_6H_4CH_2$), 2.39 (s, 3H, 4-$C\underline{H_3}$-$C_6H_4N$),2.14–1.95 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{30}H_{34}N_4O_8S$: C, 59.0; H, 5.61. N, 9.17. Found: C, 5.9; H, 5.9; N, 9.2.

2-(2,3,4-Tri-*O*-acetyl-α-L-arabinopyranosyl)-6-substituted-3-thioxo-2,3-dihydro-1,2,4,-triazin-5(4*H*)-ones (13a-d). General procedure: To a solution of each of **6d-g** (10 mmol) in acetic acid (100 mL) was added a solution of sodium nitrite (6 g in 6 mL water) dropwise with stirring and cooling at 0°C over a period of one hour and the reaction mixture was then kept in the refrigerator overnight. The next day, the reaction mixture was diluted with an ice-water mixture (400 g) and the formed precipitate was collected by filtration and dried at room temperature. Crude **13a** was recrystallized first from diluted ethanol then from (1:1) diethyl ether/petroleum

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petroleum ether (bp. 40–60°C). Crude **13b,d** were recrystallized from dichloromethane/methanol, and crude **13c** was recrystallized from methanol. All compounds were isolated as yellow crystals of pure **13a-d.**

2-(2,3,4-Tri-*O*-acetyl-α-L-arabinopyranosyl)-6-styryl-3-thioxo-2,3-dihydro-1,2,4,-triazin-5(4*H*)-one (13a). Using the general procedure, **6d** gave **13a** (59%); mp. 176°C; IR (KBr) 3230 (NH), 1749 (C=O acetate), 1716 (C=O amide) cm^{-1}; ^1H NMR ($CDCl_3$) δ 9.32 (brs, 1H, NH, exchangeable),7.91, 7.09 (2d, 2H, $J=$ 16.3 Hz, trans CH=CH), 7.58–7.35 (m, 5H, ArH's), 6.63 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'}=$ 9.3 Hz, H-1′), 5.96 (t, 1H, $J=(J_{H\text{-}2'\text{-}H\text{-}1}+J_{H\text{-}2'\text{-}H\text{-}3'})/2=9.9$ Hz, H-2′), 5.4 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'}=3.4$ Hz, H-4′), 5.24 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'}=3.3$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'}=9.3$ Hz, H-3′), 4.18 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'}=1.6$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''}=13.2$ Hz, H-5′), 3.92 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'}=$ 13.2 Hz, H-5″), 2.25, 2.05, 1.95 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{22}H_{23}N_3O_8S$: C, 53.98; H, 4.73; N, 8.58. Found: C, 54.1; H, 4.7; N, 8.6.

2-(2,3,4-Tri-*O*-acetyl-α-L-arabinopyranosyl)-6-β-(4-methylphenyl)vinyl-3-thioxo-2,3-dihydro-1,2,4,-triazin-5(4*H*)-one (13b). Using the general procedure, **6e** gave **13b** (77%); mp. 218°C; IR (KBr) 3215 (NH), 1745 (C=O acetate), 1715 (C=O amide) cm^{-1}; ^1H NMR ($CDCl_3$) δ 10.2 (brs, 1H, NH, exchangeable), 8.0, 7.06 (2d, 2H, $J=16.4$ Hz, trans CH=CH), 7.49, 7.24 (2d, 4H, ArH's), 6.64 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'}=9.2$ Hz, H-1′), 5.98 (t, 1H, $J=(J_{H\text{-}2'\text{-}H\text{-}1}+J_{H\text{-}2'\text{-}H\text{-}3'})/2=9.6$ Hz, H-2′), 5.42 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'}=3.2$ Hz, H-4′), 5.28 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'}=3.5$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'}=10.1$ Hz, H-3′), 4.19(dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'}=$ 1.6 Hz, $J_{H\text{-}5'\text{-}H\text{-}5''}=13.4$ Hz, H-5′), 3.93 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'}=13.2$ Hz, H-5′), 2.37 (s, 3H, CH_3), 2.26, 2.06, 2.0 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{23}H_{25}N_3O_8S$: C, 54.86; H, 5.0. Found: C, 55.0; H, 5.0.

2-(2,3,4-Tri-*O*-acetyl-α-L-arabinopyranosyl)-6-β-(4-methoxyphenyl)vinyl-3-thioxo-2,3-dihydro-1,2,4,-triazin-5(4*H*)-one (13c). Using the general procedure, **6f** gave **13c** (85.6%); mp. 138°C; IR (KBr) 3212 (NH), 1749 (C=O acetate), 1713 (C=O amide) cm^{-1}; ^1H NMR ($CDCl_3$) δ 10.76 (s, 1H, NH, exchangeable), 7.87, (2d, 2H, $J=16.4$ Hz, trans CH=CH), 7.52, 6.89 (2d, 4H, ArH's), 6.62 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'}=$ 9.4 Hz, H-1′), 5.96 (t, 1H, $J=(J_{H\text{-}2'\text{-}H\text{-}1}+J_{H\text{-}2'\text{-}H\text{-}3'})/2=9.6$ Hz, H-2′), 5.42 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'}=3.4$ Hz, H-4′), 5.28 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'}=3.4$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'}=10.1$ Hz, H-3′), 4.16 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'}=1.6$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''}=13.8$ Hz, H-5′), 3.92 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'}=$ 13.8 Hz, H-5′), 3.84 (s, 3H, OCH_3), 2.25, 2.06, 2.0 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{23}H_{25}N_3O_9S$: C, 53.17; H, 4.84. Found: C, 53.2; H, 4.8.

2-(2,3,4-Tri-*O*-acetyl-α-L-arabinopyranosyl)-6-β-(4-chlorophenyl)vinyl-3-thioxo-2,3-dihydro-1,2,4,-triazin-5(4*H*)-one (13d). Using the general procedure, **6g** gave **13d** (75%); mp. 254°C; IR (KBr) 3165 (NH), 1743 (C=O acetate), 1713 (C=O amide) cm^{-1}; ^1H NMR ($CDCl_3$) δ 9.75 (s, brs, 1H, NH, exchangeable), 7.99, 7.07 (2d, 2H, $J=16.4$ Hz, trans CH=CH), 7.53, 7.37 (2d, 4H, ArH's), 6.62 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'}=$ 9.4 Hz, H-1′), 5.96 (t, 1H, $J=(J_{H\text{-}2'\text{-}H\text{-}1}+J_{H\text{-}2'\text{-}H\text{-}3'})/2=9.5$ Hz, H-2′), 5.42 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'}=3.4$ Hz, H-4′), 5.27 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'}=3.6$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'}=10.2$ Hz, H-3′), 4.19(dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'}=1.6$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''}=13.4$ Hz, H-5′), 3.92 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'}=$ 13.8 Hz, H-5″), 2.25, 2.06, 2.0 (3s, 9H, CH_3CO).

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Anal. Calcd. for $C_{22}H_{22}N_3O_8SCl$: C, 50.43; H, 4.23;N,8.02. Found: C, 50.5; H, 4.2;N,7.9.

2-(2,3,4-Tri-*O*-acetyl-α-L-arabinopyranosyl)-6-substituted-1,2,4-triazine-3,5 (2*H*,4*H*)-dithiones (14a-d). General procedure: To a solution of each of **13a-d** (1 mmol) in dry pyridine (5 mL) was added phosphorous pentasulfide (0.45 g, 2 mmol). The reaction mixture was then heated under reflux for 6 hours. After cooling, ethanol (10 mL) was added, and the supernatent solution was decaned, acidified with acetic acid (0.5 mL), concentrated, and diluted with water. The precipitate was collected by filtration, dried at room temperature, dissolved in diethyl ether, and charcoal (0.5 g) was added, filtered, and after evaporation of the ether, the residue obtained was recrystallized from diethylether/petroleum ether (bp. 40–60°C) as yellow crystals of **14a-d.**

2-(2,3,4-Tri-*O*-acetyl-α-L-arabinopyranosyl)-6-styryl-1,2,4-triazine-3,5(2*H*,4*H*)-dithione (14a). Using the general procedure, **13a** gave **14a** (51%); mp. 124°C; IR (KBr) 3209 (NH), 1749 (C=O acetate) cm^{-1}; ^1H NMR ($CDCl_3$) δ 8.04, 7.1 (2d, 2H, $J = 16.4$ Hz, trans CH=CH), 7.64–7.36 (m, 6H, NH, ArH's), 6.7 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 9.4$ Hz, H-1′), 6.1 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1'} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.6$ Hz, H-2′), 5.42 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3.4$ Hz, H-4′), 5.28 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.4$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} = 10$ Hz, H-3′), 4.17 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.6$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''} = 13.4$ Hz, H-5′), 3.92 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 13.4$ Hz, H-5″), 2.26, 2.07, 2.0 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{22}H_{23}N_3O_7S_2$: C, 52.27; H, 4.58;N,8.31. Found: C, 52.3; H, 4.5; N, 8.4.

2-(2,3,4-Tri-*O*-acetyl-α-L-arabinopyranosyl)-6-β-(4-methylphenyl)vinyl-1,2,4-triazine-3,5(2*H*,4*H*)-dithione (14b). Using the general procedure, **13b** gave **14b** (50%); mp. 136°C; IR (KBr) 3165 (NH), 1749 (C=O acetate), cm^{-1}; ^1H NMR ($CDCl_3$) δ 7.7–7.16 (m, 7H, NH, CH=CH, ArH's), 6.54 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 9.2$ Hz, H-1′), 6.05 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1'} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.8$ Hz, H-2′), 5.4 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3.4$ Hz, H-4′), 5.23 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.5$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} = 10.1$ Hz, H-3′), 4.17 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.6$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5'} = 13.4$ Hz, H-5′), 3.92 (d, 1H, $J_{H\text{-}5'\text{-}H\text{-}5''} = 13.4$ Hz, H-5″), 2.37 (s, 3H, CH_3), 2.23, 2.07, 2.01 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{23}H_{25}N_3O_7S_2$: C, 53.17; H, 4.85. Found: C, 53.2; H, 4.8.

2-(2,3,4-Tri-*O*-acetyl-α-L-arabinopyranosyl)-6-β-(4-methoxyphenyl)vinyl-1,2,4-triazine-3,5(2*H*,4*H*)-dithione (14c). Using the general procedure, **13c** gave **14c** (52%); mp. 142°C; IR (KBr) 3200 (NH), 1749 (C=O acetate), cm^{-1}; ^1H NMR ($CDCl_3$) δ 7.64–6.88 (m, 7H, NH, CH=CH, ArH's),6.55 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 9.2$ Hz, H-1′), 6.09 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1'} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.6$ Hz, H-2′), 5.42 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3.4$ Hz, H-4′), 5.23 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.4$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} = 10.1$ Hz, H-3′), 4.18 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.6$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''} = 13.5$ Hz, H-5′), 3.93 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 13.4$ Hz, H-5″), 3.84 (s, 3H, OCH_3), 2.23, 2.07, 2.0 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{23}H_{25}N_3O_8S_2$: C, 51.58; H, 4.70. Found: C, 51.4; H, 4.8.

2-(2,3,4-Tri-*O*-acetyl-α-L-arabinopyranosyl)-6-β-(4-chlorophenyl)vinyl-1,2,4-triazine-3,5(2*H*,4*H*)-dithione (14d). Using the general procedure, **13d** gave **14d** (51%);

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mp. 134°C; IR (KBr) 3165 (NH), 1749 (C=O acetate), cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.75 (brs, 1H, NH), 7.69–7.46 (m, 6H, CH=CH, ArH's), 6.72 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 9.2$ Hz, H-1′), 5.96 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1'} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.6$ Hz, H-2′), 5.47 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3.4$ Hz, H-4′), 5.28 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.3$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} = 10.2$ Hz, H-3′), 4.08 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.6$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''} = 13.6$ Hz, H-5′), 3.98 (d, 1H, $J_{H\text{-}5'\text{-}H\text{-}5'} = 8.8$ Hz, H-5′), 2.16–1.92 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{22}H_{22}N_3O_7S_2C1$: C,48.93; H, 4.11. Found: C, 49.0; H, 4.1.

4-Arylideneamino-2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl)-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4H)-ones (15a-k). General procedure: A mixure of each of **6d-g** (1 g) and the appropriate aldehyde (1 mL or 1 g) was heated at 150–160°C in an oil bath for 15 minutes. This mixure was then heated under reflux in methanol for further 30 minutes, cooled, and the product was collected by filtration. Compounds **15a-k** were recrystallized from methanol as yellow crystals.

4-Benzylidineamino-2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl)-6-styryl-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-one (15a). Using the general procedure, **6d** gave **15a** (87%); mp. 208°C; IR (KBr) 1743 (C=O acetate), 1697 (C=O amide) cm^{-1}; ^1H NMR ($CDCl_3$) δ 8.39 (s, 1H, N=CH), 8.04, 7.19 (2d, 2H, $J = 16.4$ Hz, trans CH=CH), 7.97–7.37 (m, 10 H, ArH's),6.85 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 9$ Hz, H-1′), 6.09 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1'} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.8$ Hz, H-2′), 5.42 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3.4$ Hz, H-4′), 5.28 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.5$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} = 10.1$ Hz, H-3′), 4.17 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.8$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''} = 13$ Hz, H-5′), 3.94 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 13$ Hz, H-5″), 2.26, 2.06, 2 0 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{29}H_{28}N_4O_8S$: C,58.77; H, 4.76; N, 9.45. Found: C, 58.8; H, 4.6; N, 9.3.

4-Benzylidineamino-2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl)-6-β-(4-methylphenyl) vinyl-3-thioxo-2,3-dihydro-1,2,4-triazin-5(*4H*)-one (15b). Using the general procedure, **6e** gave **15b** (78%); mp. 216°C; IR (KBr) 1747 (C=O acetate), 1693 (C=O amide) cm^{-1}; ^1H NMR ($CDCl_3$) δ 8.38 (s, 1H, N=CH), 8.0, 7.14 (2d, 2H, $J = 16.4$ Hz, trans CH=CH), 7.97–7.11 (m, 9H, ArH's), 6.85 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 9.3$ Hz, H-1′), 6.09 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1'} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.6$ Hz, H-2′), 5.41 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3.4$ Hz, H-4′), 5.28 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.4$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} = 10$ Hz, H-3′), 4.17 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.8$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''} = 13.2$ Hz, H-5′), 3.94 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 13.2$ Hz, H-5″), 2.37 (s, 3H, CH_3), 2.26, 2.07, 2.0 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{30}H_{30}N_4O_8S$: C, 59.4; H, 4.98. Found: C, 59.4; H, 5.0.

4-(4-Methylbenzylidineamino-2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl)-6-β-(4-methylphenyl)vinyl-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-one (15c). Using the general procedure, **6e** gave **15c** (62%); mp. 238°C; IR (KBr) 1743 (C=O acetate), 1705 (C=O amide), cm^{-1}; ^1H NMR ($CDCl_3$) δ 8.32 (s, 1H, N=CH), 8.01, 7.14 (2d, 2H, $J = 16.2$ Hz, trans CH=CH), 7.86–7.18 (m, 8H, ArH's), 6.85 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 9.2$ Hz, H-1′), 6.09 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1'} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.6$ Hz, H-2′), 5.36 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3.4$ Hz, H-4′), 5.28 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.5$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} = 10.1$ Hz, H-3′), 4.18 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.5$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5'} = 13.5$ Hz, H-5′), 3.94

(d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 13.2$ Hz, H-5″), 2.45 (s, 3H, 4-CH_3-C_6H_4CH=N), 2.38, (s, 3H, 4-$CH_3C_6H_4$CH=CH), 2.26, 2.07, 2.0 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{31}H_{32}N_4O_8S$: C, 59.99; H, 5.2. Found: C, 60.0; H, 5.2.

4-(4-Chlorobenzylideneamino-2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl)-6-β-(4-methylphenyl)vinyl-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-one (15d). Using the general procedure, **6e** gave **15d** (72%); mp. 232°C; IR (KBr) 1743 (C=O acetate), 1697 (C=O amide), cm^{-1}; ^1H NMR ($CDCl_3$) δ 8.36 (s, 1H, N=CH), 8.0, 7.13 (2d, 2H, $J = 16.4$ Hz, trans CH=CH), 7.91–7.18 (m, 8H, ArH's), 6.83 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 9.2$ Hz, H-1′), 6.08 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1'} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.4$ Hz, H-2′), 5.41 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3.4$ Hz, H-4′), 5.28 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.5$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} = 10$ Hz, H-3′), 4.18 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.6$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''} = 13.3$ Hz, H-5′), 3.93 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 13.4$ Hz, H-5″), 2.38 (s, 3H, CH_3), 2.25, 2.26, 1.99 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{30}H_{29}N_4O_8SCl$: C, 56.2; H, 4.56. Found: C, 56.1; H, 4.6.

4-(4-*N,N*-Dimethylaminobenzylideneamino-2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl)-6-β-(4-methylphenyl)vinyl-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-one (15e). Using the general procedure, **6e** gave **15e** (80%); mp. 233°C; IR (KBr) 1743 (C=O acetate), 1697 (C=O amide), cm^{-1}; ^1H NMR ($CDCl_3$) δ 8.13 (s, 1H, N=CH), 8.01, 7.14 (2d, 2H, $J = 16.4$ Hz, trans CH=CH), 7.8–6.71 (m, 8H, ArH's), 6.87 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 9.0$ Hz, H-1′), 6.09 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1'} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.5$ Hz, H-2′), 5.42 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3.2$ Hz, H-4′), 5.28 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.5$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} = 9.1$ Hz, H-3′), 4.18 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.6$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5'} = 13.4$ Hz, H-5′), 3.94 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 13.3$ Hz, H-5″), 3.1 (s, 6H, $N(CH_3)_2$), 2.37, (s, 3H, 4-$CH_3C_6H_4$CH=CH), 2.25, 2.06, 1.98 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{32}H_{35}N_5O_8S$: C, 59.16; H, 5.43;N, 10.78. Found: C, 59.2; H, 5.3;N, 10.8.

4-Benzylidineamino-2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl)-6-β-(4-methylphyenyl)vinyl-3-thioxo-2,3-dihydro-1,2,4-triazine-5(4*H*)-one (15f). Using the general procedure, **6f** gave **15f** (75%); mp. 223°C; IR (KBr) 1751 (C=O acetate), 1689 (C=O amide), cm^{-1}; ^1H NMR ($CDCl_3$) δ 8.38 (s, 1H, N=CH), 7.99, 7.06 (2d, 2H, $J = 16.4$ Hz, trans CH=CH), 8.03–6.89 (m, 9H, ArH's), 6.85 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 9.2$ Hz, H-1′), 6.09 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1'} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.7$ Hz, H-2′), 5.42 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3.4$ Hz, H-4′), 5.28 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.5$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} = 10.1$ Hz, H-3′), 4.17 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.6$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5'} = 13.4$ Hz, H-5′), 3.94 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 13.6$ Hz, H-5″), 3.85 (s, 3H, OCH_3), 2.26, 2.06, 2.0 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{30}H_{30}N_4O_9S$: C, 57.87; H, 4.86; Found: C, 57.9; H,4.9.

4-(4-Methylbenzylidineamino-2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl)-6-β-(4-methoxyphenyl)vinyl-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-one (15g). Using the general procedure, **6f** gave **15g** (69%); mp. 178°C; IR (KBr) 1743 (C=O acetate), 1697 (C=O amide), cm^{-1}; ^1H NMR ($CDCl_3$) δ 8.32 (s, 1H, N=CH), 7.98, 7.05 (2d, 2H, $J = 16.4$ Hz, trans CH=CH), 7.85–6.89 (m, 8H, ArH's), 6.85 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 9.2$ Hz, H-1′), 6.09 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1'} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.6$ Hz, H-2′), 5.42 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3.4$ Hz, H-4′), 5.28 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.4$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} = 10.2$ Hz, H-3′), 4.17 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.6$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''} = 13.4$ Hz, H-5′), 3.93

(d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 13.6$ Hz, H-5′), 3.84 (s, 3H, 4-$CH_3OC_6H_4CH{=}CH$), 2.44 (s, 3H, 4-$CH_3C_6H_4CH{=}N$), 2.25, 2.06, 1.99 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{31}H_{32}N_4O_9S$: C, 58.48; H, 5.06; Found: C, 58.5; H, 5.0.

4-(4-Chlorobenzylidineamino-2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl)-6-β-(4-methoxyphenyl)vinyl-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-one (15h). Using the general procedure, **6f** gave **15h** (75%); mp. 206°C; IR (KBr) 1743 (C=O acetate), 1697 (C=O amide), cm^{-1}; ^1H NMR ($CDCl_3$) δ 8.36 (s, 1H, N=CH), 7.97, 7.04 (2d, 2H, $J = 16.4$ Hz, trans CH=CH), 7.91–6.89 (m, 8H, ArH's), 6.83 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 9.2$ Hz, H-1′), 6.08 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1'} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.4$ Hz, H-2′), 5.41 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3$ Hz, H-4′), 5.28 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.6$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} = 10$ Hz, H-3′), 4.17 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.6$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5'} = 13.4$ Hz, H-5′), 3.94 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 13.4$ Hz, H-5″), 3.84 (s, 3H, OCH_3), 2.25, 2.06, 1.99 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{30}H_{29}N_4O_9SCl$: C, 54.84; H, 4.45. Found: C, 55.0; H, 4.4.

4-(4-*N,N*-Dimethylaminobenzylidineamino-2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl)-6-β-(4-methoxyphenyl)vinyl-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-one (15i). Using the general procedure, **6f** gave **15i** (75%); mp. 229–230°C; IR (KBr) 1743 (C=O acetate), 1697 (C=O amide), cm^{-1}; ^1H NMR ($CDCl_3$) δ 8.14 (s, 1H, N=CH), 7.99, 7.06 (2d, 2H, $J = 16.4$ Hz, trans CH=CH), 7.82–6.86 (m, 8H, ArH's), 6.73 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 8.8$ Hz, H-1′), 6.1 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1'} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.7$ Hz, H-2′), 5.42 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3.4$ Hz, H-4′), 5.28 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.5$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} = 10.3$ Hz, H-3′), 4.18 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.6$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''} = 13.6$ Hz, H-5′), 3.93 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 13.6$ Hz, H-5″), 3.85 (s, 3H, OCH_3), 3.09 (s, 6H, $N(CH_3)_2$), 2.26, 2.06, 1.99 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{32}H_{35}N_5O_9S$: C, 57.73; H, 5.3; N, 10.52. Found: C, 57.7; H, 5.2; N, 10.3.

4-Benzylidineamino-2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl)-6-β-(4-chlorophenyl)vinyl-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-one (15j). Using the general procedure, **6g** gave **15j** (80%); mp. 240°C; IR (KBr) 1747 (C=O acetate), 1697 (C=O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.72 (s, 1H, N=CH),7.83, 7.17 (2d, 2H, $J =$ 16.4 Hz, trans CH=CH), 7.99–7.51 (m, 9H, ArH's), 6.86 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 9.2$ Hz, H-1′), 5.91 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1'} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.7$ Hz, H-2′), 5.49 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.6$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} = 10.3$ Hz, H-3′), 5.3 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3.8$ Hz, H-4′), 4.17 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.5$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5'} = 13.4$ Hz, H-5′), 4.0 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} = 13.2$ Hz, H-5″), 2.2, 1.99, 1.98 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{29}H_{27}N_4O_8SCl$: C, 55.55; H, 4.34. Found: C, 55.5; H, 4.4.

4-(4-Methylbenzylidineamino)-2-(2,3,4-tri-*O*-acetyl-α-L-arabinopyranosyl)-6-β-(4-chlorophenyl)vinyl-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-one (15k). Using the general procedure, **6g** gave **15k** (80%); mp. 244°C; IR (KBr) 1747 (C=O acetate), 1697 (C=O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.65 (s, 1H, N=CH),7.83, 7.16 (2d, 2H, $J = 16.4$ Hz, trans CH=CH), 7.87–7.41 (m, 8H, ArH's), 6.86 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 9.2$ Hz, H-1′), 5.9 (t, 1H, $J = (J_{H\text{-}2'\text{-}H\text{-}1'} + J_{H\text{-}2'\text{-}H\text{-}3'})/2 = 9.8$ Hz, H-2′), 5.48 (dd, 1H, $J_{H\text{-}3'\text{-}H\text{-}4'} = 3.6$ Hz, $J_{H\text{-}3'\text{-}H\text{-}2'} = 10$ Hz, H-3′), 5.3 (d, 1H, $J_{H\text{-}4'\text{-}H\text{-}3'} = 3.4$ Hz,

H-4′), 4.25 (dd, 1H, $J_{H\text{-}5'\text{-}H\text{-}4'} = 1.6$ Hz, $J_{H\text{-}5'\text{-}H\text{-}5''} = 13.6$ Hz, H-5″), 4.0 (d, 1H, $J_{H\text{-}5''\text{-}H\text{-}5'} =$ 13.6 Hz, H-5′), 2.43 (s, 3H, CH_3), 2.19, 1.99, 1.97 (3s, 9H, CH_3CO).

Anal. Calcd. for $C_{30}H_{29}N_4O_8SCl$: C, 56.20; H, 4.56. Found: C, 56.2; H, 4.6.

Synthesis of Compound 13b from Compound 15b. A mixture of **15b** (1.6 mmol) and benzaldehyde (1 mL) was heated under reflux for 30 minutes. After cooling and washing with cold methanol, the remaining solid was collected by filtration and recrystallized from methanol as yellow crystals of **13b** (analytical and spectral data of **13b** were mentioned before).

Action of Methanolic Ammonia on 6f and 13a-d. General procedure: A saturated methanolic ammonia solution (40 mol) (prepared by bubbing dry ammonia gas in absolute methanol at 0°C) was added to each of **6f**, **13a-d** (1 mmol). The reaction mixture was then left overnight at room temperature in a stoppered flask (after which time all materials went into solution). The solvent was then removed on rotavap at room temperature. Compounds **16, 17a-d** were recrystallized from chloroform/ethanol as yellow crystals.

4-Amino-2-α-L-arabinopyranosyl-6-β-(4-methoxyphenyl)vinyl-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-one (16). Using the general procedure, **6f** gave **16** (75%); mp. 272°C (decomp.); IR (KBr) 3500–3200 (OH, NH_2), 1689 (C=O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 7.89, 7.03 (2d, 2H, $J = 16.4$ Hz, trans CH=CH), 7.66, 7.01 (2d, 4H, ArH's), 6.76 (s, 2H, NH2, exchangeable), 6.25 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 8.8$ Hz, H-1′), 5.09, 5.02, 4.8 (3d, 3H, 3OH, exchangeable), 4.3–3.17 (m, 5H, H-2′, H-3′, H-4′, H-5′, H-5″), 3.82 (s, 3H, OCH_3).

Anal. Calcd. for $C_{17}H_{20}N_4O_6S$: C, 49.99; H, 4.93; N, 13.72. Found: C, 50.0; H, 4.9 N, 13.6.

2-α-L-Arabinopyranosyl-6-styryl-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-one (17a). Using the general procedure, **13a** gave **17a** (71%); mp. 120°C (decomp.); IR (KBr) 3500–3200 (OH, NH), 1701 (C=O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 12.52 (brs, 1H, NH, exchangeable), 7.8, 7.08 (2d, 2H, $J = 16.4$ Hz, trans CH=CH), 7.67, 7.4 (m, 5H, ArH's), 6.22 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 8.8$ Hz, H-1′), 5.35, 5.07, 4.8 (3d, 3H, 3OH, exchangeable), 4.3–3.29 (m, 5H, H-2′, H-3′, H-4′, H-5′, H-5″).

Anal. Calcd. for $C_{16}H_{17}N_3O_5S$: C, 52.88; H, 4.71; N, 11.56. Found: C, 52.8; H, 4.8 N, 12.0.

2-α-L-Arabinopyranosyl-6-β-(4-methylphenyl)vinyl-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-one (17b). Using the general procedure, **13b** gave **17b** (72%); mp. 130°C (decomp.); IR (KBr) 3500–3200 (OH, NH), 1701 (C=O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.27 (s, 1H, NH, exchangeable), 7.58, 7.03 (2d, 2H, $J = 16.4$ Hz, trans CH=CH), 7.51, 7.22 (2d, 4H, ArH's), 6.22 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 9$ Hz, H-1′), 5.34, 5.06, 4.8 (3d, 3H, 3OH, exchangeable), 4.3–3.0 (m, 5H, H-2′, H-3′, H-4′, H-5′, H-5″). 2.33 (s, 3H, CH_3).

Anal. Calcd. for $C_{17}H_{19}N_3O_5S$: C, 54.1; H, 5.07. Found: C, 54.1; H, 5.1.

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2-α-L-Arabinopyranosyl-6-β-(4-methoxylphenyl)vinyl-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-one (17c). Using the general procedure, **13c** gave **17c** (75%); mp. 112°C (decomp.); IR (KBr) 3500–3200 (OH, NH), 1697 (C=O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.38 (s, 1H, NH, exchangeable), 7.71, 6.99 (2d, 2H, $J = 16.4$ Hz, trans CH=CH), 7.65, 7.03 (2d, 4H, ArH's), 6.24 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 9.8$ Hz, H-1′), 5.36, 5.12, 4.82 (3d, 3H, 3OH, exchangeable), 4.3–3.3 (m, 5H, H-2′, H-3′, H-4′, H-5′, H-5″), 3.86 (s, 3H, OCH_3).

Anal. Calcd. for $C_{17}H_{19}N_3O_6S$: C, 51.9; H, 4.87. Found: C, 52.0; H, 4.9.

2-α-L-Arabinopyranosyl-6-β-(4-chlorophenyl)vinyl-3-thioxo-2,3-dihydro-1,2,4-triazin-5(4*H*)-one (17d). Using the general procedure, **13d** gave **17d** (73%); mp. 184°C IR (KBr) 3500–3200 (OH, NH), 1701 (C=O amide) cm^{-1}; ^1H NMR (DMSO-d_6) δ 8.3 (s, 1H, NH, exchangeable), 7.86, 7.05 (2d, 2H, $J = 16.4$ Hz, trans CH=CH), 7.67, 7.45 (2d, 4H, ArH's), 6.19 (d, 1H, $J_{H\text{-}1'\text{-}H\text{-}2'} = 8.7$ Hz, H-1′), 5.32, 5.02, 4.91 (3d, 3H, 3OH, exchangeable), 4.3–3.32 (m, 5H, H-2′, H-3′, H-4′, H-5′, H-5″).

Anal. Calcd. for $C_{16}H_{16}N_3O_5SCl$: C, 48.3; H, 4.05. Found: C, 48.3; H, 4.1.

Biological Evaluation of Compounds (6g, 15j). An in vitro model was used as a primary human anticancer screen of compounds **6g, 15j**. A 3-cell line, one-dose assay consisting of MCF 7 (Breast), NCI-H460 (Lung), SF-268 (CNS) was used for the evaluation of the latter compounds. Each cell line was inoculated and preincubated on a microtiter plate. Test agents were then added at a single concentration and the culture were incubated for 48 hours. End point determination was made with sulforhodamine B, a protein-binding dye. Results for each test agent were reported as the percent of growth of the treated cells when compared to the untreated control cells. Compounds which reduce the growth of any one of the cell lines to 32% or less (negative number indicated cell kill) are active (Table 1).

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