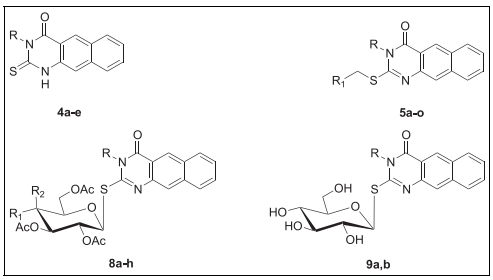
Simple and Efficient Synthesis of Novel 3-Substituted 2-Thioxo-2,3-dihydro-1*H*-benzo[*g*]quinazolin-4-ones and Their Reactions with Alkyl Halides and α-Glycopyranosyl Bromides

Ahmed I. Khodair,[a]* Mona A. Elsafi,[b] and Siham A. Al-Issa[c]

[a]Chemistry Department, Faculty of Science, Kafrelsheikh University, Kafrelsheikh 33516, Egypt
[b]Chemistry Department, College of Science, Taibah University, Al-Madinah Al-Monawarah 1343, Saudi Arabia
[c]Chemistry Department, College of Science, Princess Nourah Bint Abdulrahman University, Riyadh 48828-1161, Saudi Arabia
*E-mail: khodair2020@yahoo.com

Received November 14, 2018
DOI 10.1002/jhet.3623
Published online 00 Month 2019 in Wiley Online Library (wileyonlinelibrary.com).

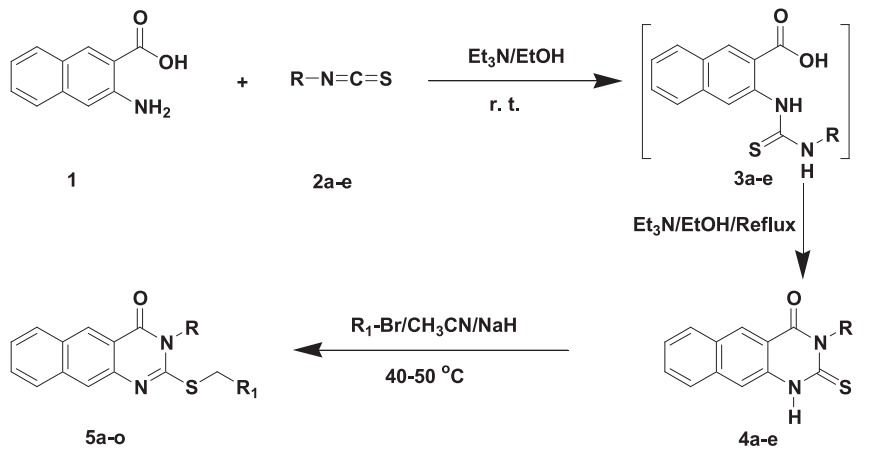


A series of 3-substituted 2-thioxo-2,3-dihydro-1*H*-benzo[*g*]quinazolin-4-ones **4a**–**e** were synthesized from the reaction of 3-aminonaphthalene-2-carboxylic acid **1** with isothiocyanate derivatives **2a**–**e**. The alkylation of **4a**–**e** with alkyl halides gave 3-substituted 2-alkylsulfanyl-2,3-dihydro-1*H*-benzo[*g*]quinazolin-4-ones **5a**–**o**. *S*-Glycosylation was carried out *via* the reaction of **4a**–**e** with glycopyranosyl bromides **7a** and **7b** under anhydrous alkaline conditions. The structure of the compounds was established as *S*-nucleoside and not *N*-nucleoside. Conformational analysis has been studied by homonuclear and heteronuclear two-dimensional NMR methods (2D DFQ-COSY, heteronuclear multiple quantum coherence, and heteronuclear multiple bond correlation). The *S* site of alkylation and glycosylation was determined from the ^{1}H and ^{13}C heteronuclear multiple quantum coherence experiments.

INTRODUCTION

Quinazolines are considered to be important chemical synthons of various compounds of physiological significance and pharmaceutical utility. They possess a variety of biological effects including antihypertensive [1,2], antimicrobial [3,4], antihyperlipidemic [5,6], anti-inflammatory [7,8], and anticonvulsant [9–13] activities. Many quinazolines contributed to the quest for an ultimate antitumor chemotherapeutic agent [14–21]. It was reported that 2-thioxo-3-substituted quinazolinones [22] and their *S*-methyl thioether counterparts, as well as the 6-substituted quinazolinone derivatives [23], showed potential antitumor potency. 7-(4-Chlorophenyl)-5-phenyl-2-(β-D-glucopyranosylthio)pyrido[2,3-*d*]pyrimidin-4-one showed promising anti-inflammatory and analgesic activities [24]. Moreover, nucleoside analogs constitute an important class of therapeutic agents in the treatment of cancers and viral infections [25,26]. The mode of action of these derivatives is based upon their intracellular conversion to their phosphorylated forms (nucleotides), which can interact with different cell biosynthesis. During the last decades, an intensive research was dedicated to the discovery of more effective, selective, and nontoxic new nucleoside derivatives [27–29]. We have reported that *S*-glycosylated hydantoin derivatives showed potent activity against the herpes simplex virus [30], the human immunodeficiency virus [31], and the leukemia subpanel [32]. In continuation of our work on the synthesis of novel nucleosides as potential antiviral and antitumor agents and keeping in mind the biological significance of 2-thioxoquinazolin-4-ones [33–39], we hereby report the synthesis and spectroscopy of a new series of *S*-alkylated and *S*-glycosylated 3-substituted 2-thioxo-2,3-dihydro-1*H*-benzo[*g*]quinazolin-4-one bases (Schemes 1 and 2). This is the first time to prepare *S*-glycosides of 3-substituted 2-thioxo-2,3-dihydro-1*H*-benzo[*g*]quinazolin-4-ones *via* new synthetic strategies. Abdel-Megeed et al. [40] and El-Barbary et al. [41] previously prepared the acetylated *S*-glycosylated 3-substituted 2-thioxoquinazolin-4-one derivatives as nucleobases but failed to convert them into the corresponding deacylated *S*-glycosides.

Scheme 1. Synthesis of compounds **3a–e**, **4a–e**, and **5a–o**.



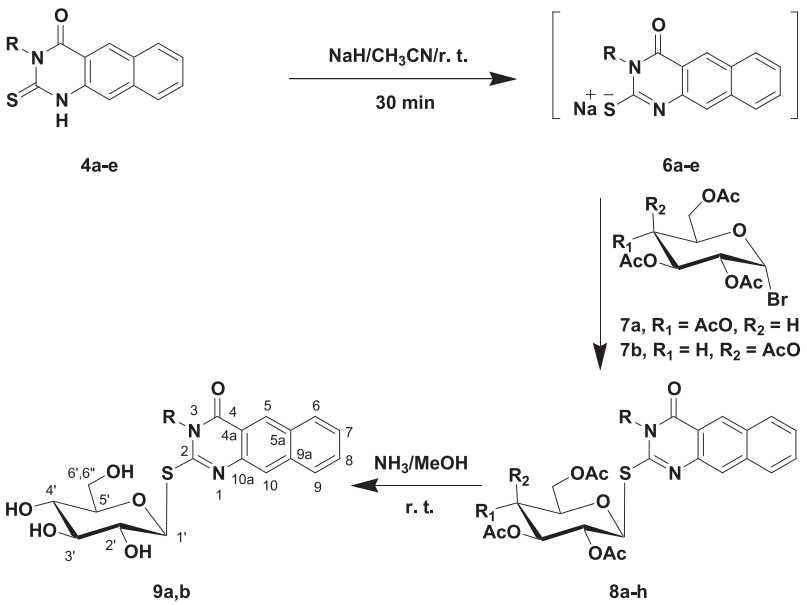
2-5	R	R_1	2-5	R	R_1
a	CH_3	CH_3	**i**	C_6H_5	CH_3CH_2OCO
b	CH_3CH_2	CH_3	**j**	4-$CH_3C_6H_4$	CH_3CH_2OCO
c	CH_2=$CHCH_2$	CH_3	**k**	CH_3	CH_3OCH_2
d	C_6H_5	CH_3	**l**	CH_3CH_2	CH_3OCH_2
e	4-$CH_3C_6H_4$	CH_3	**m**	CH_2=$CHCH_2$	CH_3OCH_2
f	CH_3	CH_3CH_2OCO	**n**	C_6H_5	CH_3OCH_2
g	CH_3CH_2	CH_3CH_2OCO	**o**	4-$CH_3C_6H_4$	CH_3OCH_2
h	CH_2=$CHCH_2$	CH_3CH_2OCO			

RESULTS AND DISCUSSION

The key intermediates for the synthesis of acyclic thioglycosides are shown in Scheme 1. 3-Substituted 2-thioxo-2,3-dihydro-1*H*-benzo[*g*]quinazolin-4-ones (**4a–e**) were synthesized by one-pot-reaction of 3-aminon aphthalene-2-carboxylic acid (**1**) and the appropriate alkyl/aryl isothiocyanates **2a–e** in refluxing absolute ethanol in the presence of triethylamine as base catalyst. The reaction proceeds through the formation of 3-(3-substituted thiourea)-naphthalene-2-carboxylic acids (**3a-e**) as intermediates to afford compounds **4a–e**. Com pounds **4a–e** were then reacted with the appropriate alkyl halides, namely, ethyl bromide, ethyl bromoacetate, or 1-chloro-2-methoxyethane, to yield the corresponding 3-substituted 2-methylsulfanyl-2,3-dihydro-1*H*-benzo[*g*] quinazolin-4-ones (**5a–e**), 3-substituted 4-oxo-3,4-dihydro quinazolin-2-ylsulfanyl-acetic acid ethyl esters (**5f–j**), and 3-substituted 2-ethoxymethylsulfanyl-2,3-dihydro-1*H*-ben zo[*g*]quinazolin-4-ones (**5k–o**), respec-tively (Scheme 1). The structures of **4a–e** and **5a–o** were established and confirmed by the combination of spectroscopic data (NMR, IR, and mass spectrometric techniques) and ele mental analyses. The IR absorption spectra of **4a–e** were characterized in the presence of signal for NH group at ν_{max} 3140–3257 cm^{-1} and the presence of signal for the thiocarbonyl group at ν_{max} 1255–1279 cm^{-1}. While the IR absorption spectra of 5a-o signals were characterized by the absence of signals for NH and C=S groups. The ^{1}H-NMR spectra of compounds **4a–e** obtained in DMSO-d_6 at 500 MHz showed a triplet at δ_H 7.51–7.53 ppm with coupling constant (*J*) values 7.2 Hz assigned to H-8, a triplet at δ_H 7.62 ppm (*J* = 7.25–7.50 Hz) assigned to H-7, a singlet at δ_H 7.75–7.84 ppm assigned to H-10, a doublet at 7.92–7.95 ppm (*J* = 8.25–8.50 Hz) assigned to H-9, a doublet at δ_H 8.12–8.24 ppm (*J* = 8.25–8.50 Hz) assigned to H-6, a singlet at δ_H 8.62–8.71 ppm assigned to H-5, and a singlet at δ_H 12.88–13.00 ppm assigned to NH.

The spectral data compare favorably with the literature data for the 3-(4-methoxyphenyl)-2-thioxo-2,3-dihydro quinazolin-4(1*H*)-one recorded at 300 and 75 MHz in DMSO-d_6 [42]. The ^{13}C-NMR spectra of compounds **4a–e** recorded at 125 MHz in DMSO-d_6 revealed the presence of carbon signals in the region 158.7–160.0 ppm and 175.4–179.0 ppm corresponding to the carbonyl (C-4) and thiocarbonyl (C-2) groups, respec tively. Furthermore, data from the elemental analyses have been found to be in conformity with the assigned structure. Also, the molecular ion recorded in the mass spectrum is also in agreement with the molecular weight of the compound. ^{1}H-NMR (500 MHz, DMSO-d_6) spectrum of compound **5k** showed a singlet at 3.40 ppm assigned to N_3-CH_3, a triplet at δ_H 3.59 ppm

Scheme 2. Synthesis of compounds **6a–e**, **8a–h**, and **9a,b**.



4–8	R	R_1	R_2	4–8	R	R_1	R_2
a	CH_3	CH_3CO	H	**f**	CH_3	H	CH_3CO
b	CH_3CH_2	CH_3CO	H	**g**	CH_2=$CHCH_2$	H	CH_3CO
c	CH_2=$CHCH_2$	CH_3CO	H	**h**	C_6H_5	H	CH_3CO
d	C_6H_5	CH_3CO	H	**9a**	CH_3CH_2	OH	H
e	4-$CH_3OC_6H_4$	CH_3CO	H	**9b**	C_6H_5	OH	H

EXPERIMENTAL

(J = 6.25 Hz) assigned to SCH_2, a singlet at 3.67 ppm assigned to OCH_3, a triplet at 3.81 ppm (J = 6.25 Hz) assigned to the OCH_2, a triplet at δ_H 7.50 ppm (J = 7.25 Hz) assigned to 8-H, a triplet at δ_H 7.59 ppm (J = 7.25 Hz) assigned to 7-H, a doublet at 7.94 ppm (J = 8.50 Hz) assigned to 9-H, a singlet at δ_H 7.98 ppm assigned to 10-H, a doublet at δ_H 8.03 ppm (J = 8.50 Hz) assigned to 6-H, and a singlet at δ_H 8.80 ppm assigned to 5-H. The ^{13}C-NMR (500 MHz, DMSO-d_6) spectrum of **5k** showed a singlet at δ_C 155.50 and 162.20 ppm assigned to –N=C–S– at C-2 and the carbonyl group at C-4, respectively, indicated that the site of the alkylation is the sulfur atom rather than the nitrogen atom. These data are also in agreement with the ^{13}C-NMR (300 MHz, DMSO-d_6) spectrum of 3-ethyl-2-[2-(4-methoxyphenyl)-2-oxo-ethylthio]quinazolin-4(3*H*)-one [35], because –N=C–S– at C-2 appears at δ_c 153.40 ppm and the carbonyl group at C-4 appears at δ_C 160.60 ppm.

The key intermediates for the synthesis of cyclic thioglycosides are shown in Scheme 2. Treatment of **4a–f** with 1.1 equivalents of NaH in anhydrous acetonitrile furnished the sodium salts of 2-thioxo-4-thiazolidinones (**6a–e**), which in turn were treated with 2,3,4,6-tetra-*O*-acetyl-α-D-glucopyranosyl bromide (**7a**) and/or 2,3,4,6-tetra-*O*-acetyl-α-D-galactopyranosyl bromide (**7b**) to afford the *S*-glycosylated nucleosides **8a–h** in good yields (58–96%) (Scheme 2). Thin-layer chromatography (TLC) (using 98:2 CH_2Cl_2/MeOH (v/v) as eluent) indicated the formation of the pure compounds. The structures of the *S*-glycoside **8a–h** were confirmed by elemental analysis and spectral data (IR, ^{1}H-NMR, and ^{13}C-NMR). The ^{1}H-NMR (500 MHz, $CDCl_3$) spectra of compounds **8a–h** showed the anomeric proton of the glucose moiety as a doublet at δ_H 5.85–6.08 ppm with a coupling constant $^2J_{1',2'}$ = 10.50–11.00 Hz indicating β-configuration of the anomeric center. The other protons of the glucopyranose ring resonated at δ_H 4.09–5.48 ppm, while the four acetoxy groups appeared as four singlets at δ_H 1.88–2.20 ppm. The ^{13}C-NMR (500 MHz, $CDCl_3$) of compounds **5k–o** and **8a–h** revealed the absence of the thione carbon atom at about 175.43–179.00 ppm, and a resonance of –N=C–N– carbon atom (C-2) of compounds **5k–o** and **8a–h** at δ_C 154.40–157.20 and 151.70–153.53 ppm, respectively, indicated the chemical shift of the corresponding carbon atom (C-2) (Fig. 1).

The signals at δ = 169.63, 170.30, and 170.70 ppm were due to the four acetoxy carbonyl atoms (4C=O), and the six signals at δ 62.04, 68.33, 68.80, 74.14, 76.53, and 82.37 ppm were assigned to C-6′, C-2′, C-3′, C-4′, C-5′, and C-1′, respectively. Moreover, the IR spectra of

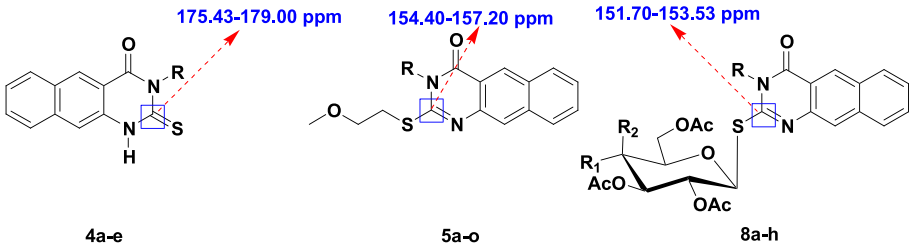


Figure 1. The chemical shift of the corresponding carbon atom at position 2 in **4a**–**e**, **5a**–**o**, and **8a**–**h**. [Color figure can be viewed at wileyonlinelibrary.com]

compounds **8a**–**h** revealed the absence of the stretching signal of a thione group. These data are also in agreement with the ^{13}C-NMR (DMSO-d_6) spectrum of 7-(4-chlorophenyl)-5-phenyl-2-(2′,3′,4′,6′-tetra-*O*-acetyl-β-D-glucopyranosylthio)pyrido[2,3-*d*]pyrimidine-4-one [37], because the carbonyl at C-4 appears at δ_c 163.20 ppm and –N=C–N– carbon atom (C-2) appears at δ_C 152.20.8 ppm, indicating the presence of *S*-glycosylation. Removal of the acetyl groups from the glycon moiety of **8b** and **8d** with saturated 5% NH_3/MeOH solution at room temperature furnished the corresponding free nucleosides **9a** and **9b**, respectively (Scheme 2). The structures of **9a** and **9b** were confirmed on the basis of their spectroscopic and mass spectral data. The mass spectrum of **9b** showed a molecular ion peak at *m*/*z* = 466, while the ^{1}H-NMR spectrum showed a doublet at δ_H 5.70 with $^2J_{1',2'}$ = 9.8 Hz, corresponding to the 1′-H and indicating a β-configuration. C-2 of **9b** resonated at δ_C 154.83 ppm, establishing the *S*-glycosylation. Furthermore, in the heteronuclear spectra (heteronuclear multiple quantum coherence and DFQ-COSY) of **9a**,**b**, no such correlation was shown between C-10a and 1′-H, which is an indication of the *S*-glycosylation. The nucleoside bases **4** can be utilized as starting materials for the synthesis of other carbohydrate derivatives as deoxy, amino, and azido nucleosides.

EXPERIMENTAL

General procedures. All melting points were taken on Electrothermal IA 9100 series digital melting point apparatus. Microanalytical data (in accord with the calculated values) were performed by Vario, Elementar apparatus (Shimadzu). The IR spectra (KBr) were recorded on a Perkin–Elmer 1650 spectrometer (USA). ^{1}H-NMR and ^{13}C-NMR spectra were determined on a JEOL ECA-500. Chemical shifts were expressed in ppm relative to $SiMe_4$ as internal standards and DMSO-d_6 or $CDCl_3$ or CD_3OD as solvent. Mass spectra were recorded on 70 eV EI Ms-QP 1000 EX (Shimadzu).

3-Substituted 2-thioxo-2,3-dihydro-1*H*-benzo[*g*]quinazolin-4-ones (4a–e). To a mixture of 3-aminonaphthalene-2-carboxylic acid (**1**) (1.87 g, 10 mmol) and triethylamine (2.00 mL, 22.22 mmol) in absolute ethanol (50 mL) was added the appropriate alkyl/aryl isothiocyanates **2a–e** (11 mmol). The mixture was refluxed until the starting material was consumed (4 h; TLC, ethyl acetate/methanol, 99.9:0.1) and cooled at room temperature. The reaction mixture was diluted with water and neutralized with diluted hydrochloric acid. The solid separated was collected by filtration and recrystallized from ethanol to give the products **4a**–**e** in quantitative yields.

3-Methyl-2-thioxo-2,3-dihydro-1H-benzo[g]quinazolin-4-one (4a). Yield: 2.13 g (88%), mp: 308–310°C. MS: *m*/*z*: 242 (M^+, 80%). Calculated for $C_{13}H_{10}N_2OS$ (242.30): C, 64.44; H, 4.16; N, 11.56. Found: C, 64.22; H, 4.27; N, 11.38. IR (KBr): ν 3232 (NH), 1654 (C=O), 1279 (C=S) cm^{-1}. ^{1}H-NMR (500 MHz, DMSO-d_6): δ = 3.71 (3H, s, CH_3), 7.51 (1H, t, *J* = 7.25 Hz, H-8), 7.64 (1H, d, *J* = 7.25 Hz, H-7), 7.76 (1H, s, H-10), 7.94 (1H, d, *J* = 8.50 Hz, H-9), 8.14 (1H, d, *J* = 8.50 Hz, H-6), 8.71 (1H, s, 5-H H-5), 13.00 (1H, s, NH). ^{13}C-NMR (500 MHz, DMSO-d_6): δ = 33.70 (CH_3), 111.57 (C-4a), 116.00 (C-9), 126.04 (C-7), 127.70 (C-6, C-8), 129.74 (C-10), 130.01 (C-5, C-9a), 135.90 (C-5a), 136.00 (C-10a), 160.00 (C-4), 179.00 (C-2).

3-Ethyl-2-thioxo-2,3-dihydro-1H-benzo[g]quinazolin-4-one (4b). Yield: 1.41 g (55%), mp: 288–290°C. MS: *m*/*z*: 256 (M^+, 3%). Calculated for $C_{14}H_{12}N_2OS$ (256.32): C, 65.60; H, 4.72; N, 10.93. Found: C, 65.46; H, 4.84; N, 10.70. IR (KBr): ν 3257 (NH), 1653 (C=O), 1261 (C=S) cm^{-1}. ^{1}H-NMR (500 MHz, DMSO-d_6): δ = 1.27 (3H, t, *J* = 7.00 Hz, CH_3), 4.50 (2H, q, *J* = 7.00 Hz, CH_2), 7.52 (1H, t, *J* = 7.50 Hz, H-8), 7.64 (1H, t, *J* = 7.50 Hz, H-7), 7.77 (1H, s, H-10), 7.95 (1H, d, *J* = 8.50 Hz, H-9), 8.15 (1H, d, *J* = 8.50 Hz, H-6), 8.71 (1H, s, H-5), 12.90 (1H, s, NH). ^{13}C-NMR (500 MHz, DMSO-d_6): δ = 12.71 (CH_3), 41.44 (CH_2), 111.62 (C-4a), 116.09 (C-9), 126.11 (C-7), 127.73 (C-8), 129.75 (C-6), 129.95 (C-10), 130.03 (C-5, C-9a), 135.42 (C-5a), 136.62 (C-10a), 160.00 (C-4), 175.43 (C-2).

3-Allyl-2-thioxo-2,3-dihydro-1H-benzo[g]quinazolin-4-one (4c). Yield: 1.88 g (70%), mp: 258–260°C. MS: *m*/*z*: 268 (M^+, 6%). Calculated for $C_{15}H_{12}N_2OS$ (268.07): C, 65.14; H, 4.51; N, 10.44. Found: C, 65.42; H, 4.68; N, 10.30. IR (KBr): ν 3166 (NH), 1653 (C=O), 1255

(C=S) cm^{-1}. ^{1}H-NMR (500 MHz, DMSO-d_6): δ = 5.14 (2H, d, *J* = 4.86 Hz, H-1_{allyl}), 5.24 (1H, m, H-3_{allyl}), 5.97 (1H, m, H-2_{allyl}), 7.51 (1H, t, *J* = 7.35 Hz, H-8), 7.64 (1H, t, *J* = 7.35 Hz, H-7), 7.75 (1H, s, H-10), 7.95 (1H, d, *J* = 8.25 Hz, H-9), 8.00 (1H, d, *J* = 8.25 Hz, H-6), 8.62 (1H, s, H-5), 12.88 (1H, s, NH). ^{13}C-NMR (500 MHz, DMSO-d_6): δ = 48.02 (C_1-allyl), 112.14 (C-4a), 116.09 (C-9), 117.43 (C_3-allyl), 125.94 (C-7), 127.67 (C-8), 129.65 (C-6), 129.81 (C-10), 129.84 (C-5), 129.94 (C-9a), 132.76 (C-5a), 136.01 (C_2-allyl), 136.65 (C-10a), 159.70 (C-4), 175.53 (C-2).

3-Phenyl-2-thioxo-2,3-dihydro-1H-benzo[g]quinazolin-4-one (4d). Yield: 1.30 g (43%), mp: 308–310°C. MS: *m/z*: 304 (M^+, 4%). Calculated for $C_{18}H_{12}N_2OS$ (304.37): C, 71.03; H, 3.97; N, 9.20. Found: C, 70.76; H, 4.05; N, 8.98. IR (KBr): ν 3140 (NH), 1655 (C=O), 1267 (C=S) cm^{-1}. ^{1}H-NMR (500 MHz, DMSO-d_6): δ = 7.32 (2H, d, *J* = 7.50 Hz, H-2_{Ph}, H-6_{Ph}), 7.49 (4H, m, H-3_{Ph}, H-4_{Ph}, H-5_{Ph}, H-8), 7.64 (1H, t, *J* = 7.50 Hz, H-7), 7.84 (1H, s, H-10), 7.95 (1H, d, *J* = 8.50 Hz, H-9), 8.12 (1H, d, *J* = 8.50 Hz, H-6), 8.68 (1H, s, H-5), 13.00 (1H, s, NH). ^{13}C-NMR (500 MHz, DMSO-d_6): δ = 111.99 (C-4a), 116.70 (C-9), 120.90 (C-7), 126.06 (C-8), 127.74 (C_4-Ph), 128.48 (C-6), 128.99 (C-10), 129.28 (C_2-Ph, C_6-Ph), 129.68 (C_3-Ph, C_5-Ph), 129.80 (C-5), 130.04 (C-9a), 136.23 (C_1-Ph), 136.75 (C-5a), 139.96 (C-10a), 160.39 (C-4), 176.50 (C-2).

3-(4-Methoxyphenyl)-2-thioxo-2,3-dihydro-1H-benzo[g]quinazolin-4-one (4e). Yield 3.00 g (90%), mp: 302–304°C. MS: *m/z*: 334 (M^+, 4%). Calculated for $C_{19}H_{14}N_2O_2S$ (334.08): C, 68.24; H, 4.22; N, 8.38. Found: C, 68.66; H, 4.24; N, 8.07. IR (KBr): ν 3164 (NH), 1663 (C=O), 1266 (C=S) cm^{-1}. ^{1}H-NMR (500 MHz, DMSO-d_6): δ = 3.83 (3H, s, OCH_3), 7.03 (2H, d, *J* = 8.50 Hz, H-3_{aryl}, H-5_{aryl}), 7.23 (2H, d, *J* = 9.00 Hz, H-2_{aryl}, H-6_{aryl}), 7.53 (1H, t, *J* = 7.50 Hz, H-8), 7.62 (1H, d, *J* = 7.50 Hz, H-7), 7.70 (1H, s, H-10), 7.92 (1H, d, *J* = 8.50 Hz, H-9), 8.24 (1H, d, *J* = 8.50 Hz, H-6), 8.70 (1H, s, H-5), 13.00 (1H, s, NH). ^{13}C-NMR (500 MHz, DMSO-d_6): δ = 55.25 (OCH_3), 115.04 (C_3-aryl, C_5-aryl), 119.64 (C-4a), 123.32 (C-9), 126.44 (C-7), 128.15 (C-8), 128.63 (C-6), 128.85 (C_1-aryl), 128.99 (C-10), 129.12 (C-5), 130.97 (C-9a), 131.32 (C_2-aryl, C_6-aryl), 136.59 (C-5a), 143.11 (C-10a), 155.50 (C-4′), 158.71 (C-4), 176.15 (C-2).

3-Substituted 2-ethylsulfanyl-2,3-dihydro-1*H*-benzo[*g*]quinazolin-4-ones (5a–e). A mixture of 3-substituted 2-thioxo-2,3-dihydro-1*H*-benzo[*g*]quinazolin-4-ones (**4a–e**) (1 mmol), anhydrous acetonitrile (10 mL), and sodium hydride (45 mg, 80%) was stirred at room temperature for 1.5 h. Ethyl bromide (0.22 g, 2 mmol) was added to the mixture with stirring at 40–50°C until the starting material was consumed (8 h; TLC, ethyl acetate/hexane, 30:70) and cooled at room temperature. The solvent was removed under reduced pressure and the residue was treated with cold water. The solid separated was collected by filtration and recrystallized from ethanol to give the products **5a–e** in quantitative yields.

3-Methyl-2-ethylsulfanyl-2,3-dihydro-1H-benzo[g]quinazolin-4-one (5a). Yield: 0.25 g (93%), mp: 62–64°C. MS: *m/z*: 270 (M^+, 3%). Calculated for $C_{15}H_{14}N_2OS$ (270.35): C, 66.64; H, 5.22; N, 10.36. Found: C, 66.76; H, 5.43; N, 10.12. IR (KBr): ν 1666 (C=O) cm^{-1}. ^{1}H-NMR (500 MHz, $CDCl_3$): δ = 1.36 (3H, t, *J* = 7.25 Hz, SCH_2CH_3), 3.25 (2H, q, *J* = 7.25 Hz, SCH_2CH_3), 3.48 (3H, s, N_3-CH_3), 7.46 (1H, t, *J* = 7.25 Hz, H-8), 7.54 (1H, t, *J* = 7.50 Hz, H-7), 7.85 (1H, d, *J* = 8.00 Hz, H-9), 7.98 (1H, s, H-10), 8.00 (1H, d, *J* = 8.50 Hz, H-6), 8.77 (1H, s, H-5). ^{13}C-NMR (500 MHz, $CDCl_3$): δ = 14.36 (SCH_2CH_3), 26.34 (SCH_2CH_3), 26.37 (N_3-CH_3), 118.46 (C-4a), 123.42 (C-9), 125.74 (C-7), 127.76 (C-8), 128.37 (C-6), 128.60 (C-10), 129.50 (C-5), 131.03 (C-9a), 136.87 (C-5a), 142.92 (C-10a), 155.47 (C-2), 161.98 (C-4).

3-Ethyl-2-ethylsulfanyl-2,3-dihydro-1H-benzo[g]quinazolin-4-one (5b). Yield: 0.25 g (89%), mp: 82–84°C. MS: *m/z*: 284 (M^+, 33%). Calculated for $C_9H_8N_2OS$ (284.38): C, 67.58; H, 5.67; N, 9.85. Found: C, 67.45; H, 5.78; N, 9.80. IR (KBr): ν 1669 (C=O) cm^{-1}. ^{1}H-NMR (500 MHz, $CDCl_3$): δ = 1.40 (3H, t, *J* = 7.25 Hz, SCH_2CH_3), 1.47 (3H, t, *J* = 7.00 Hz, N_3-CH_2CH_3), 3.17 (2H, q, *J* = 7.00 Hz, SCH_2CH_3), 4.20 (2H, q, *J* = 7.50 Hz, N_3-CH_2CH_3), 7.44 (1H, t, *J* = 7.25 Hz, H-8), 7.50 (1H, t, *J* = 7.50 Hz, H-7), 7.87 (1H, d, *J* = 8.00 Hz, H-9), 7.95 (1H, s, H-10), 7.97 (1H, d, *J* = 8.50 Hz, H-6), 8.78 (1H, s, H-5). ^{13}C-NMR (500 MHz, $CDCl_3$): δ = 13.69 (SCH_2CH_3), 14.45 (NCH_2CH_3), 26.35 (SCH_2CH_3), 39.45 (NCH_2CH_3), 118.49 (C-4a), 122.99 (C-9), 125.54 (C-7), 127.67 (C-8), 128.15 (C-6), 128.27 (C-10), 129.33 (C-5), 130.87 (C-9a), 136.73 (C-5a), 142.89 (C-10a), 155.59 (C-2), 161.08 (C-4).

3-Allyl-2-ethylsulfanyl-2,3-dihydro-1H-benzo[g]quinazolin-4-one (5c). Yield: 0.28 g (95%), mp: 74–76°C. MS: *m/z*: 296 (M^+, 18%). Calculated for $C_{17}H_{16}N_2OS$ (296.39): C, 68.89; H, 5.44; N, 9.45. Found: C, 68.52; H, 5.80; N, 9.12. IR (KBr): ν 1681 (C=O) cm^{-1}. ^{1}H-NMR (500 MHz, $CDCl_3$): δ = 1.50 (t, *J* = 7.00 Hz, SCH_2CH_3), 3.36 (2H, q, *J* = 7.00 Hz, SCH_2CH_3), 4.83 (2H, d, *J* = 1.50 Hz, H-1_{allyl}), 5.30 (2H, m, H-3_{allyl}), 6.00 (1H, m, H-2_{allyl}), 7.50 (1H, t, *J* = 7.00 Hz, H-8), 7.59 (1H, t, *J* = 7.00 Hz, H-7), 7.94 (1H, d, *J* = 8.50 Hz, H-9), 8.03 (2H, m, H-6, H-10), 8.85 (1H, s, H-5). ^{13}C-NMR (500 MHz, $CDCl_3$): δ = 14.03 (SCH_2CH_3), 26.73 (SCH_2CH_3), 46.37 (C-1_{allyl}), 118.26 (C-4a), 118.85 (C-3_{allyl}), 123.41 (C-9), 125.71 (C-7),

127.73 (C-8), 128.35 (C-6), 128.59 (C-10), 129.42 (C-5), 130.98 (C-9a), 131.30 (C-2_{allyl}), 136.88 (C-5a), 142.90 (C-10a), 155.45 (C-2), 161.90 (C-4).

3-Phenyl-2-ethylsulfanyl-2,3-dihydro-1H-benzo[g]quinazolin-4-one (5d). Yield: 0.26 g (79%), mp: 180–182°C. MS: *m/z*: 332 (M^+, 2%). Calculated for $C_{20}H_{16}N_2OS$ (332.42): C, 72.26; H, 4.85; N, 8.43. Found: C, 72.04; H, 5.16; N, 8.22. IR (KBr): ν 1696 (C=O) cm^{-1}. ^{1}H-NMR (500 MHz, DMSO-d_6): δ = 1.27 (t, *J* = 7.25 Hz, SCH_2CH_3), 3.12 (2H, q, *J* = 7.25 Hz, SCH_2CH_3), 7.41 (2H, d, *J* = 7.50 Hz, H-2_{Ph}, H-6_{Ph}), 7.52 (1H, t, *J* = 7.50 Hz, H-8), 7.62 (3H, m, H-3_{Ph}, H-4_{Ph}, H-5_{Ph}), 7.68 (1H, t, *J* = 7.50 Hz, H-7), 7.91 (1H, s, H-10), 8.03 (1H, d, *J* = 8.50 Hz, H-9), 8.12 (1H, d, *J* = 8.50 Hz, H-6), 8.88 (1H, s, H-5). ^{13}C-NMR (500 MHz, $CDCl_3$): δ = 14.33 (SCH_2CH_3), 26.80 (SCH_2CH_3), 119.64 (C-4a), 123.39 (C-9), 126.49 (C-7), 128.49 (C-8), 128.17 (C-4_{Ph}), 128.62 (C-6), 129.17 (C-10), 129.72 (C-2_{Ph}, C-6_{Ph}), 129.83 (C-3_{Ph}, C-5_{Ph}), 130.15 (C-5), 130.46 (C-9a), 136.52 (C-1_{Ph}), 136.98 (C-5a), 143.21 (C-10a), 156.72 (C-2), 161.50 (C-4).

3-(4-Methoxyphenyl)-2-ethylsulfanyl-2,3-dihydro-1H-benzo[g]quinazolin-4-one (5e). Yield: 0.32 g (89%), mp: 186–188°C. MS: *m/z*: 362 (M^+, 5%). Calculated for $C_{21}H_{18}N_2O_2S$ (362.45): C, 69.59; H, 5.01; N, 7.73. Found: C, 69.23; H, 5.27; N, 8.04. IR (KBr): ν 1696 (C=O) cm^{-1}. ^{1}H-NMR (500 MHz, DMSO-d_6): δ = 1.31 (t, *J* = 7.25 Hz, SCH_2CH_3), 3.15 (2H, q, *J* = 7.25 Hz, SCH_2CH_3), 3.80 (1H, s, OCH_3), 7.12 (3H, 2H, d, *J* = 8.50 Hz, H-3_{aryl}, H-5_{aryl}), 7.39 (2H, d, *J* = 8.50 Hz, H-2_{aryl}, H-6_{aryl}), 7.55 (1H, t, *J* = 7.50 Hz, H-8), 7.69 (1H, t, *J* = 7.50 Hz, H-7), 8.12 (1H, s, H-10), 8.15 (1H, d, *J* = 8.50 Hz, H-9), 8.23 (1H, d, *J* = 8.50 Hz, H-6), 8.88 (1H, s, H-5). ^{13}C-NMR (500 MHz, DMSO-d_6): δ = 14.31 (SCH_2CH_3), 26.75 (SCH_2CH_3), 55.57 (OCH_3), 114.99 (C-3_{aryl}, C-5_{aryl}), 119.70 (C-4a), 123.50 (C-9), 126.42 (C-7), 128.02 (C-8), 128.15 (C-6), 128.61 (C-1_{aryl}), 129.12 (C-10), 129.79 (C-5), 131.15 (C-9a), 131.30 (C-2_{aryl}, C-6_{aryl}), 136.85 (C-5a), 143.50 (C-10a), 155.50 (C-2), 160.75 (C-4_{aryl}), 162.20 (C-4).

3-Substituted 4-oxo-3,4-dihydro-benzo[*g*]quinazolin-2-ylsulfanyl-acetic acid ethyl esters (5f–j). A mixture of **4a–e** (1 mmol), anhydrous acetonitrile (10 mL), and sodium hydride (45 mg, 80%) was stirred at room temperature for 1.5 h. Ethyl bromoacetate (0.33 g, 2 mmol) was added to the mixture with stirring at 40–50°C until the starting material was consumed (8 h; TLC, ethyl acetate/hexane, 30:70) and cooled at room temperature. The solvent was removed under reduced pressure and the residue was treated with cold water. The solid separated was collected by filtration and recrystallized from ethanol to give the products **5f–j** in quantitative yields.

3-Methyl-4-oxo-3,4-dihydro-benzo[g]quinazolin-2-ylsulfanyl-acetic acid ethyl ester (5f). Yield: 0.28 g (85%), mp: 149–151°C. MS: *m/z*: 328 (M^+, 2%). Calculated for $C_{17}H_{16}N_2O_3S$ (328.39): C, 62.18; H, 4.91; N, 8.53. Found: C, 62.55; H, 5.24; N, 8.31. IR (KBr): ν 1734 (COO), 1667 (C=O) cm^{-1}. ^{1}H-NMR (500 MHz, $CDCl_3$): δ = 1.35 (3H, t, *J* = 7.00 Hz, OCH_2CH_3), 3.66 (3H, s, N_3-CH_3), 4.09 (2H, s, SCH_2COO), 4.30 (2H, t, *J* = 7.00 Hz, OCH_2CH_3), 7.49 (1H, t, *J* = 7.25 Hz, H-8), 7.57 (1H, t, *J* = 7.50 Hz, H-7), 7.91 (1H, d, *J* = 8.00 Hz, H-9), 7.94 (1H, s, H-10), 8.01 (1H, d, *J* = 8.00 Hz, H-6), 8.80 (1H, s, H-5). ^{13}C-NMR (DMSO-d_6): δ = 14.30 (OCH_2CH_3), 30.23 (N_3-CH_3), 34.16 (SCH_2COO), 61.96 (OCH_2CH_3), 118.52 (C-4a), 123.18 (C-9), 125.83 (C-7), 127.73 (C-8), 128.39 (C-6), 128.55 (C-10), 129.40 (C-5), 131.05 (C-9a), 136.71 (C-5a), 142.43 (C-10a), 154.40 (C-2), 162.00 (C-4), 168.60 (COO).

3-Ethyl-4-oxo-3,4-dihydro-benzo[g]quinazolin-2-ylsulfanyl-acetic acid ethyl ester (5g). Yield: 0.30 g (88%), mp: 116–118°C. MS: *m/z*: 342 (M^+, 2%). Calculated for $C_{18}H_{18}N_2O_3S$ (342.41): C, 63.14; H, 5.30; N, 8.18. Found: C, 63.01; H, 5.44; N, 8.00. IR (KBr): ν 1735 (COO), 1683 (C=O) cm^{-1}. ^{1}H-NMR (500 MHz, DMSO-d_6): δ = 1.22 (3H, t, *J* = 7.00 Hz, $N_3CH_2CH_3$), 1.32 (3H, t, *J* = 7.00 Hz, OCH_2CH_3), 4.17 (6H, m, SCH_2COO, N_3-CH_2CH_3, OCH_2CH_3), 7.58 (1H, t, *J* = 7.50 Hz, H-8), 7.69 (1H, d, *J* = 8.00 Hz, H-7), 7.98 (1H, s, H-10), 8.09 (1H, d, *J* = 7.50 Hz, H-9), 8.16 (1H, d, *J* = 7.50 Hz, H-6), 8.79 (1H, d, *J* = 7.50 Hz, H-5). ^{13}C-NMR (500 MHz, DMSO-d_6): δ = 13.73 ($N_3CH_2CH_3$), 14.61 (OCH_2CH_3), 34.49 (SCH_2COO), 61.64 (OCH_2CH_3), 118.85 (C-4a), 123.15 (C-9), 126.56 (C-7), 128.15 (C-6), 128.48 (C-8), 129.17 (C-10), 129.77 (C-5), 131.03 (C-9a), 136.76 (C-5a), 142.48 (C-10a), 154.94 (C-2), 161.02 (C-4), 168.80 (COO).

3-Allyl-4-oxo-3,4-dihydro-benzo[g]quinazolin-2-ylsulfanyl-acetic acid ethyl ester (5h). Yield: 0.29 g (83%), mp: 138–140°C. MS: *m/z*: 354 (M^+, 2%). Calculated for $C_{19}H_{18}N_2O_3S$ (354.42): C, 64.39; H, 5.12; N, 7.90. Found: C, 64.18; H, 5.29; N, 7.82. IR (KBr): ν 1734 (COO), 1683 (C=O) cm^{-1}. ^{1}H-NMR (500 MHz, $CDCl_3$): δ = 1.34 (3H, t, *J* = 7.00 Hz, OCH_2CH_3), 4.10 (6H, m, SCH_2COO), 4.29 (2H, q, *J* = 7.75 Hz, OCH_2CH_3), 4.70 (2H, m, H-1_{allyl}), 5.33 (2H, m, H-3_{allyl}), 6.01 (1H, m, H-2_{allyl}), 7.50 (1H, t, *J* = 7.25 Hz, H-8), 7.60 (1H, t, *J* = 7.25 Hz, H-7), 7.98 (1H, d, *J* = 8.50 Hz, H-9), 8.00 (1H, s, H-10), 8.04 (1H, d, *J* = 8.50 Hz, H-6), 8.85 (1H, s, H-5). ^{13}C-NMR (500 MHz, DMSO-d_6): δ = 14.62 (OCH_2CH_3), 34.58 (SCH_2COO), 46.22 (C_1-allyl), 61.60 (OCH_2CH_3), 117.93 (C-4a), 118.71 (C_3-allyl), 123.23 (C-9), 126.58 (C-7), 128.17 (C-8), 128.66 (C-6), 129.22 (C-10), 129.78 (C-5), 131.05 (C-9a), 132.05 (C_2-allyl), 136.82 (C-5a), 142.44 (C-10a), 155.30 (C-2), 161.80 (C-4), 168.70 (COO).

3-Phenyl-4-oxo-3,4-dihydro-benzo[g]quinazolin-2-ylsulfanyl-acetic acid ethyl ester (5i). Yield: 0.30 g (78%), mp: 178–180°C. MS: *m/z*: 390 (M^+, 3%). Calculated for $C_{22}H_{18}N_2O_3S$ (390.46): C, 67.67; H, 4.65; N, 7.17. Found: C, 67.60; H, 4.84; N, 7.28. IR (KBr): ν 1736 (COO), 1697 (C=O) cm^{-1}. ^{1}H-NMR (500 MHz, $CDCl_3$): δ = 1.35 (3H, t, *J* = 7.25 Hz, OCH_2CH_3), 3.98 (2H, s, SCH_2COO), 4.28 (2H, q, *J* = 7.25 Hz, OCH_2CH_3), 7.44 (2H, d, *J* = 7.50 Hz, H-2_{Ph}, H-6_{Ph}), 7.53 (1H, t, *J* = 7.50 Hz, H-8), 7.59 (4H, m, H-3_{Ph}, H-4_{Ph}, H-5_{Ph}, H-7), 7.98 (1H, m, H-H, H-10), 8.05 (1H, d, *J* = 8.50 Hz, H-6), 8.87 (1H, s, H-5). ^{13}C-NMR (500 MHz, $CDCl_3$): δ = 14.29 (OCH_2CH_3), 35.04 (SCH_2COO), 61.82 (OCH_2CH_3), 119.10 (C-4a), 123.49 (C-9), 126.05 (C-7), 127.83 (C-8), 128.64 (C_4-Ph), 129.02 (C-6), 129.27 (C-10), 129.47 (C_2-Ph, C_6-Ph), 129.76 (C_3-Ph, C_5-Ph), 130.18 (C-5), 131.18 (C-9a), 135.62 (C-1_{Ph}), 136.47 (C-5a), 142.72 (C-10a), 156.72 (C-2), 161.50 (C-4).

3-(4-Methoxyphenyl)-4-oxo-3,4-dihydro-benzo[g]quinazolin-2-ylsulfanyl-acetic acid ethyl ester (5j). Yield: 0.29 g (69%), mp: 149–151°C. MS: *m/z*: 420 (M^+, 3%). Calculated for $C_{23}H_{20}N_2O_4S$ (420.48): C, 65.70; H, 4.79; N, 6.66. Found: C, 65.57; H, 4.84; N, 6.62. IR (KBr): ν 1735 (COO), 1697 (C=O) cm^{-1}. ^{1}H-NMR (500 MHz, $CDCl_3$): δ = 1.34 (t, *J* = 7.25 Hz, OCH_2CH_3), 3.86 (3H, s, OCH_3), 3.90 (2H, s, 2H, s, SCH_2COO), 4.28 (2H, q, *J* = 7.25 Hz, OCH_2CH_3), 7.09 (3H, 2H, d, *J* = 8.50 Hz, H-3_{aryl}, H-5_{aryl}), 7.34 (2H, d, *J* = 8.50 Hz, H-2_{aryl}, H-6_{aryl}), 7.52 (1H, t, *J* = 7.50 Hz, H-8), 7.62 (1H, t, *J* = 7.50 Hz, H-7), 7.96 (1H, s, H-10), 8.03 (1H, d, *J* = 8.50 Hz, H-9), 8.24 (1H, d, *J* = 8.50 Hz, H-6), 8.90 (1H, s, H-5). ^{13}C-NMR (500 MHz, $CDCl_3$): δ = 14.31 (OCH_2CH_3), 35.09 (SCH_2COO), 55.57 (OCH_3), 61.80 (OCH_2CH_3), 114.99 (C_3-aryl, C_5-aryl), 119.15 (C-4a), 123.46 (C-9), 125.99 (C-7), 127.81 (C-8), 128.02 (C-6), 128.59 (C_1-aryl), 129.00 (C-10), 129.49 (C-5), 130.58 (C-9a), 131.14 (C_2-aryl, C_6-aryl), 136.94 (C-5a), 142.81 (C-10a), 155.54 (C-2), 160.80 (C_4-aryl), 162.20 (C-4), 168.80 (COO).

3-Substituted 2-ethoxymethylsulfanyl-2,3-dihydro-1*H*-benzo[*g*]quinazolin-4-ones (5k–o). A mixture of **4a–e** (5 mmol), anhydrous dimethylformamide (10 mL), and potassium carbonate (0.83 g, 6 mmol) was stirred at room temperature for 1.5 h. 1-Chloro-2-methoxyethane (0.54 g, 6 mmol) was added to the mixture with stirring 90–100°C until the starting material was consumed (2 h; TLC, ethyl acetate/hexane, 50:50) and cooled at room temperature. The mixture was poured into cold water, and the solid separated was collected by filtration and recrystallized from cyclohexane to give the products **5f–j** in quantitative yields.

3-Methyl-2-ethoxymethylsulfanyl-2,3-dihydro-1H-benzo[g]quinazolin-4-one (5k). Yield: 1.18 g (78%), mp: 90–92°C. MS: *m/z*: 300 (M^+, 5%). Calculated for $C_{16}H_{16}N_2O_2S$ (300.38): C, 63.98; H, 5.37; N, 9.33. Found: C, 63.90; H, 5.08; N, 9.26. IR (KBr): ν 1663 (C=O) cm^{-1}. ^{1}H-NMR (500 MHz, DMSO-d_6): δ = 3.40 (3H, s, N_3-CH_3), 3.59 (2H, t, *J* = 5.25 Hz, SCH_2), 3.67 (3H, s, OCH_3), 3.81 (2H, t, *J* = 6.25 Hz, OCH_2), 7.50 (1H, t, *J* = 7.25 Hz, H-8), 7.59 (1H, t, *J* = 7.25 Hz, H-7), 7.94 (1H, d, *J* = 8.00 Hz, H-9), 7.98 (1H, s, H-10), 8.03 (1H, d, *J* = 8.00 Hz, H-6), 8.80 (1H, s, H-5). ^{13}C-NMR (500 MHz, $CDCl_3$): δ = 30.14 (SCH_2), 31.40 (N_3-CH_3), 58.86 (OCH_3), 70.67 (OCH_2), 118.69 (C-4a), 123.08 (C-9), 125.71 (C-7), 127.70 (C-8), 128.34 (C-6), 128.53 (C-10), 129.41 (C-5), 131.00 (C-9a), 136.80 (C-5a), 142.77 (C-10a), 155.50 (C-2), 162.20 (C-4).

3-Ethyl-2-ethoxymethylsulfanyl-2,3-dihydro-1H-benzo[g]quinazolin-4-one (5l). Yield: 1.22 g (78%), mp: 54–56°C. MS: *m/z*: 314 (M^+, 5%). Calculated for $C_{17}H_{18}N_2O_2S$ (314.40): C, 64.94; H, 5.77; N, 8.91. Found: C, 64.63; H, 5.60; N, 8.82. IR (KBr): ν 1670 (C=O) cm^{-1}. ^{1}H-NMR (500 MHz, CD_3COCD_3-d_6): δ = 1.36 (3H, t, *J* = 7.00 Hz, N_3-CH_2CH_3), 3.56 (2H, t, *J* = 6.25 Hz, SCH_2), 3.64 (3H, s, OCH_3), 3.76 (2H, t, *J* = 6.25 Hz, OCH_2), 4.19 (2H, t, *J* = 7.00 Hz, N_3-CH_2CH_3), 7.54 (1H, t, *J* = 7.25 Hz, H-8), 7.56 (1H, t, *J* = 7.25 Hz, H-7), 7.92 (1H, d, *J* = 8.00 Hz, H-9), 7.96 (1H, s, H-10), 8.01 (1H, d, *J* = 8.00 Hz, H-6), 8.76 (1H, s, H-5). ^{13}C-NMR (500 MHz, CD_3COCD_3-d_6): δ = 13.20 (N_3-CH_2CH_3), 31.00 (SCH_2), 39.90 (N_3-CH_2CH_3), 58.00 (OCH_3), 71.00 (OCH_2), 119.00 (C-4a), 123.00 (C-9), 126.00 (C-7), 127.50 (C-8), 128.00 (C-6), 128.50 (C-10), 129.00 (C-5), 131.00 (C-9a), 136.00 (C-5a), 143.00 (C-10a), 155.00 (C-2), 161.00 (C-4).

3-Allyl-2-ethoxymethylsulfanyl-2,3-dihydro-1H-benzo[g]quinazolin-4-one (5m). Yield: 0.90 g (55%), mp: 62–64°C. MS: *m/z*: 326 (M^+, 2%). Calculated for $C_{18}H_{18}N_2O_2S$ (326.41): C, 66.23; H, 5.56; N, 8.58. Found: C, 66.06; H, 5.44; N, 8.67. IR (KBr): ν 1691 (C=O) cm^{-1}. ^{1}H-NMR (500 MHz, CD_3COCD_3-d_6): δ = 3.57 (2H, t, *J* = 6.30 Hz, SCH_2), 3.64 (3H, s, OCH_3), 3.75 (2H, t, *J* = 6.30 Hz, OCH_2), 4.80 (2H, d, *J* = 5.00 Hz, H-1_{allyl}), 5.24 (2H, m, H-3_{allyl}), 6.01 (1H, m, H-2_{allyl}), 7.56 (1H, t, *J* = 7.25 Hz, H-8), 7.65 (1H, t, *J* = 7.25 Hz, H-7), 8.06 (1H, d, *J* = 8.00 Hz, H-9), 8.45 (1H, s, H-10), 8.50 (1H, d, *J* = 8.00 Hz, H-6), 8.80 (1H, s, H-5). ^{13}C-NMR (500 MHz, CD_3COCD_3-d_6): δ = 31.00 (SCH_2), 45.90 (C_1-allyl), 58.00 (OCH_3), 70.20 (OCH_2), 119.21 (C-4a), 120.21 (C_3-allyl), 121.91 (C-9), 122.02 (C-7), 128.63 (C-8), 129.21 (C-6), 129.32 (C-10), 131.52 (C-5), 132.05 (C-9a), 135.81 (C-5a), 136.02 (C_2-allyl), 142.45 (C-10a), 155.00 (C-2), 161.00 (C-4).

3-Phenyl-2-ethoxymethylsulfanyl-2,3-dihydro-1H-benzo[g]quinazolin-4-one (5n). Yield: 1.10 g (61%), mp: 154–156°C. MS: *m/z*: 362 (M^+, 2%). Calculated for $C_{21}H_{18}N_2O_2S$ (362.45): C, 69.59; H, 5.01; N, 7.73. Found: C, 69.32; H, 5.14; N, 8.01. IR (KBr): ν 1696

(C=O) cm^{-1}. ^{1}H-NMR (500 MHz, $CDCl_3$): δ = 3.46 (t, *J* = 6.30 Hz, SCH_2), 3.70 (2H, q, *J* = 6.30 Hz, OCH_2), 7.39 (2H, d, *J* = 7.50 Hz, H-2_{Ph}, H-6_{Ph}), 7.53 (1H, t, *J* = 7.50 Hz, H-8), 7.57 (3H, m, H-3_{Ph}, H-4_{Ph}, H-5_{Ph}), 7.62 (1H, t, *J* = 7.50 Hz, H-7), 7.97 (1H, s, H-10), 8.05 (1H, d, *J* = 8.50 Hz, H-9), 8.10 (1H, d, *J* = 8.50 Hz, H-6), 8.80 (1H, s, H-5). ^{13}C-NMR (500 MHz, $CDCl_3$): δ = 14.33 (SCH_2CH_3), 26.80 (SCH_2CH_3), 119.64 (C-4a), 123.39 (C-9), 126.49 (C-7), 128.49 (C-8), 128.17 (C_4-Ph), 128.62 (C-6), 129.17 (C-10), 129.72 (C_2-Ph, C_6-Ph), 129.83 (C_3-Ph, C_5-Ph), 130.15 (C-5), 130.46 (C-9a), 136.52 (C_1-Ph), 136.98 (C-5a), 143.21 (C-10a), 156.72 (C-2), 161.50 (C-4).

3-(4-Methoxyphenyl)-2-ethoxymethylsulfanyl-2,3-dihydro-1H-benzo[g]quinazolin-4-one (5o). Yield: 1.28 g (65%), mp: 158–160°C. MS: *m/z*: 392 (M^+, 2%). Calculated for $C_{22}H_{20}N_2O_3S$ (392.47): C, 67.33; H, 5.14; N, 7.14; S, 8.17. Found: C, 67.26; H, 5.32; N, 7.27. IR (KBr): ν 1695 (C=O) cm^{-1}. ^{1}H-NMR (500 MHz, $CDCl_3$): δ = 3.40 (3H, br. s, OCH_3), 3.50 (2H, t, *J* = 6.00 Hz, SCH_2), 3.70 (3H, *J* = 6.00 Hz, OCH_2), 3.90 (3H, s, OCH_3), 7.08 (2H, d, *J* = 7.50 Hz, H-3_{aryl}, H-5_{aryl}), 7.29 (2H, d, *J* = 7.50 Hz, H-2_{aryl}, H-6_{aryl}), 7.54 (1H, t, *J* = 7.50 Hz, H-8), 7.63 (1H, d, *J* = 8.50 Hz, H-7), 7.99 (1H, d, *J* = 8.50 Hz, H-9), 8.05 (1H, d, *J* = 8.50 Hz, H-6), 8.19 (1H, s, H-10), 8.88 (1H, s, H-5). ^{13}C-NMR (500 MHz, $CDCl_3$): δ = 31.95 (SCH_2), 55.95 (OCH_3), 58.36 (OCH_3), 70.36 (OCH_2), 115.04 (C_3-aryl, C_5-aryl), 119.64 (C-4a), 123.32 (C-9), 126.44 (C-7), 128.15 (C-8), 128.63 (C-6), 128.85 (C_1-aryl), 129.12 (C-10), 129.78 (C-5), 130.97 (C-9a), 131.32 (C_2-aryl, C_6-aryl), 136.95 (C-5a), 143.11 (C-10a), 157.20 (C-2), 160.51 (C_4-aryl), 161.65 (C-4).

3-Substituted 2-(2′,3′,4′,6′-tetra-*O*-acetyl-β-D-glucopyranosylsulfanyl)-2,3-dihydro-1*H*-benzo[*g*]quinazolin-4-ones (8a–h). The nucleoside bases **4a–e** (5 mmol) was suspended in anhydrous acetonitrile (25 mL) at room temperature. To this suspension was added NaH (80%, 0.15 g, 5 mmol), and the mixture was stirred at room temperature for 1.5 h. 2′,3′,4′,6′-Tetra-*O*-acetyl-α-D-glycopyranosylsulfanyl bromides (**6a**,**b**, 2.66 g, 5.50 mmol) was added, and the mixture was stirred at room temperature for 12 h until the starting material was consumed (TLC, CH_2Cl_2/MeOH, 98:2). The solvent was removed under reduced pressure and then treated with water. The solid separated was collected by filtration and recrystallized from ethanol to give the products **8a–h** in quantitative yields.

3-Methyl-2-(2',3',4',6'-tetra-O-acetyl-β-D-glucopyranosylsulfanyl)-2,3-dihydro-1H-benzo[g]quinazolin-4-one (8a). Yield: 1.81 g (63%), mp: 190–192°C. MS: *m/z*: 572 (M^+, 1%). Calculated for $C_{27}H_{28}N_2O_{10}S$ (572.58): C, 59.64; H, 4.93; N, 4.89. Found: C, 59.28; H, 4.82; N, 4.85. IR (KBr): ν 1761 (C=O), 1675 (C=O) cm^{-1}. ^{1}H-NMR (500 MHz, $CDCl_3$): δ = 1.97 (3H, s, Ac), 2.03 (3H, s, Ac), 2.04 (3H, s, Ac), 2.10 (3H, s, Ac), 3.63 (3H, s, N_3-CH_3), 4.11 (1H, m, H-6′), 4.27 (2H, m, H-5′, H-6″), 5.22 (1H, t, *J* = 9.50 Hz, H-4′), 5.38 (1H, d, *J* = 9.50 Hz, H-2′), 5.48 (1H, d, *J* = 9.50 Hz, H-3′), 6.08 (1H, d, $^2J_{1',2'}$ = 10.50 Hz, H-1′), 7.55 (1H, t, *J* = 7.50 Hz, H-8), 7.64 (1H, t, *J* = 7.50 Hz, H-7), 8.05 (1H, s, H-10), 8.06 (1H, d, *J* = 8.50 Hz, H-6, H-9), 8.87 (1H, s, H-5). ^{13}C-NMR (500 MHz, $CDCl_3$): δ = 20.62, 20.65 (4Ac), 30.31 (N_3-CH_3), 62.04 (C-6′), 68.33 (C-2′), 68.80 (C-3′), 74.14 (C-4′), 76.53 (C-5′), 82.37 (C-1′), 119.56 (C-4a), 123.52 (C-9), 125.85 (C-7), 126.15 (C-8), 127.70 (C-6), 128.70 (C-10), 129.52 (C-5), 131.28 (C-9a), 136.02 (C-5a), 142.43 (C-10a), 153.00 (C-2), 162.25 (C-4), 169.63, 170.30, 170.70 (4Ac).

3-Ethyl-2-(2',3',4',6'-tetra-O-acetyl-β-D-glucopyranosylsulfanyl)-2,3-dihydro-1H-benzo[g]quinazolin-4-one (8b). Yield: 2.50 g (85%), mp: 164–166°C. MS: *m/z*: 586 (M^+, 1%). Calculated for $C_{28}H_{30}N_2O_{10}S$ (586.61): C, 57.33; H, 5.15; N, 4.78. Found: C, 57.23; H, 5.26; N, 4.48. IR (KBr): ν 1752 (C=O), 1684 (C=O) cm^{-1}. ^{1}H-NMR (500 MHz, $CDCl_3$): δ = 1.40 (3H, t, *J* = 7.05 Hz, N_3-CH_2CH_3), 1.93 (3H, s, Ac), 2.01 (3H, s, Ac), 2.03 (3H, s, Ac), 2.12 (3H, s, Ac), 4.09 (1H, m, H-6′), 4.19 (3H, m, N_3-CH_2CH_3, H-5′), 4.28 (1H, m, H-6′), 5.20 (1H, t, *J* = 9.50 Hz, H-4′), 5.35 (1H, d, *J* = 9.50 Hz, H-2′), 5.48 (1H, d, *J* = 9.50 Hz, H-3′), 6.07 (1H, d, $^2J_{1',2'}$ = 10.50 Hz, H-1′), 7.55 (1H, d, *J* = 7.50 Hz, H-8), 7.63 (1H, t, *J* = 7.50 Hz, H-7), 7.90 (1H, d, *J* = 8.50 Hz, H-9), 8.02 (1H, s, H-10), 8.05 (1H, d, *J* = 8.5 Hz, H-6), 8.80 (1H, s, H-5). ^{13}C-NMR (500 MHz, $CDCl_3$): δ = 13.69 (N_3-CH_2CH_3), 20.66 (4Ac), 39.77 (N_3-CH_2CH_3), 62.04 (C-6′), 68.03 (C-2′), 68.87 (C-3′), 74.15 (C-4′), 76.52 (C-5′), 82.64 (C-1′), 118.96 (C-4a), 123.54 (C-9), 126.13 (C-7), 127.69 (C-8), 128.58 (C-6), 128.60 (C-10), 129.50 (C-5), 131.28 (C-9a), 136.76 (C-5a), 142.40 (C-10a), 151.70 (C-2), 161.75 (C-4), 169.52, 169.63, 170.18, 170.70 (4Ac).

3-Allyl-2-(2',3',4',6'-tetra-O-acetyl-β-D-glucopyranosylsulfanyl)-2,3-dihydro-1H-benzo[g]quinazolin-4-one (8c). Yield: 2.68 g (89%), mp: 166–168°C. MS: *m/z*: 598 (M^+, 1%). Calculated for $C_{29}H_{30}N_2O_{10}S$ (598.62): C, 58.19; H, 5.05; N, 4.68. Found: C, 57.95; H, 4.70; N, 4.57. IR (KBr): ν 1751 (C=O), 1682 (C=O) cm^{-1}. ^{1}H-NMR (500 MHz, $CDCl_3$): δ = 1.90 (3H, s, Ac), 2.02 (3H, s, Ac), 2.05 (3H, s, Ac), 2.10 (3H, s, Ac), 4.09 (1H, m, H-6′), 4.19 (1H, dd, *J* = 1.50, 11.00 Hz, H-5′), 4.28 (1H, dd, *J* = 5.00, 12.50 Hz, H-6″), 4.60 (2H, dd, *J* = 5.50, 11.50 Hz, H-1_{allyl}), 4.80 (2H, dd, *J* = 5.50, 11.50 Hz, H-3_{allyl}), 5.20 (1H, t, *J* = 9.50 Hz, H-4′), 5.33 (1H, d, *J* = 9.50 Hz, H-2′), 5.47 (1H, d, *J* = 9.50 Hz, H-3′), 5.59 (1H, m, H-2_{allyl}), 6.04 (1H, d, $^2J_{1',2'}$ = 10.50 Hz, H-1′), 7.55 (1H, d, *J* = 7.50 Hz, H-8), 7.64 (1H, t, *J* = 7.50 Hz, H-7), 7.90 (1H, d, *J* = 8.50 Hz, H-9), 8.04 (1H, s, H-10),

8.05 (1H, d, J = 8.5 Hz, H-6), 8.80 (1H, s, H-5). ^{13}C-NMR (500 MHz, $CDCl_3$): δ = 20.63 (4Ac), 46.18 (C-1_{allyl}), 62.05 (C-6′), 68.40 (C-2′), 68.88 (C-3′), 74.11 (C-4′), 76.54 (C-5′), 82.79 (C-1′), 118.83 (C-3_{allyl}), 123.64 (C-4a), 126.19 (C-9), 127.71 (C-7), 128.74 (C-8), 129.51 (C-6, C-10), 130.79 (C-5), 131.32 (C-9a), 136.93 (C-2_{allyl}, C-5a), 142.35 (C-10a), 151.94 (C-2), 161.71 (C-4), 169.49, 169.53, 170.14, 170.65 (4Ac).

3-Phenyl-2-(2',3',4',6'-tetra-O-acetyl-β-D-glucopyranosylsulfanyl)-2,3-dihydro-1H-benzo[g]quinazolin-4-one (8d). Yield: 1.85 g (58%), mp: 108–110°C. MS: *m*/*z*: 634 (M^+, 1%). Calculated for $C_{32}H_{30}N_2O_{10}S$ (634.65): C, 60.56; H, 4.76; N, 4.41. Found: C, 60.37; H, 4.78; N, 4.15. IR (KBr): ν 1751 (C=O), 1699 (C=O) cm^{-1}. ^{1}H-NMR (500 MHz, $CDCl_3$): δ = 1.92 (3H, s, Ac), 1.99 (3H, s, Ac), 2.01 (3H, s, Ac), 2.07 (3H, s, Ac), 4.11 (1H, m, H-6′), 4.17 (1H, d, J = 12.50 Hz, H-5′), 4.27 (1H, d, J = 12.50 Hz, H-6″), 5.12 (1H, m, H-2′, H-4′), 5.38 (1H, t, J = 9.50 Hz, H-3′), 5.88 (1H, d, $^2J_{1',2'}$ = 10.50 Hz, H-1′), 7.25 (2H, m, H-2_{Ph}, H-6_{Ph}), 7.38 (1H, d, J = 7.50 Hz, H-8), 7.47 (1H, t, J = 7.50 Hz, H-7), 7.56 (3H, m, H-3_{Ph}, H-4_{Ph}, H-5_{Ph}), 7.64 (1H, d, J = 8.50 Hz, H-9), 7.78 (1H, s, H-10), 8.29 (1H, d, J = 8.5 Hz, H-6), 8.82 (1H, s, H-5). ^{13}C-NMR (500 MHz, $CDCl_3$): δ = 20.61, 20.70 (4Ac), 61.95 (C-6′), 68.21 (C-2′), 68.81 (C-3′), 74.20 (C-4′), 76.39 (C-5′), 82.40 (C-1′), 119.08 (C-4a), 123.85 (C-9), 126.29 (C-7), 127.69 (C-8), 128.82 (C-4_{Ph}), 128.86 (C-6), 129.10 (C-10), 129.20 (C-3_{Ph}), 129.38 (C-5_{Ph}), 129.54 (C-2_{Ph}), 129.67 (C-6_{Ph}), 130.31 (C-5), 131.15 (C-9a), 135.15 (C-1_{Ph}), 136.79 (C-5a), 142.45 (C-10), 152.57 (C-2), 161.77 (C-4), 169.15, 169.39, 169.90, 170.45 (4Ac).

3-(4-Methoxyphenyl)-2-(2',3',4',6'-tetra-O-acetyl-β-D-glucopyranosylsulfanyl)-2,3-dihydro-1H-benzo[g]quinazolin-4-one (8e). Yield: 3.20 g (96%), mp: 151–158°C. MS: *m*/*z*: 664 (M^+). Calculated for $C_{33}H_{32}N_2O_{11}S$ (664.68): C, 59.63; H, 4.85; N, 4.21. Found: C, 59.48; H, 4.88; N, 4.35. IR (KBr): ν 1694 (C=O), 1755 (C=O) cm^{-1}. ^{1}H-NMR (500 MHz, $CDCl_3$): δ = 1.96 (3H, s, Ac), 2.03 (3H, s, Ac), 2.05 (3H, s, Ac), 2.13 (3H, s, Ac), 3.92 (3H, s, OCH_3), 4.07 (1H, m, H-6′), 4.21 (1H, m, H-6″), 4.28 (1H, dd, J = 5.00, 12.50, H-5′), 5.17 (2H, m, H-2′, H-3′, H-4′), 5.85 (1H, d, J = 11.00 Hz, H-1′), 7.06 (2H, d, J = 8.50 Hz, H-3_{aryl}, H-5_{aryl}), 7.20 (1H, d, J = 8.50 Hz, H-2_{aryl}), 7.34 (1H, d, J = 8.50 Hz, H-6_{aryl}), 7.56 (1H, t, J = 7.25 Hz, H-8), 7.66 (1H, t, J = 7.25 Hz, H-7), 8.02 (1H, d, J = 8.50 Hz, H-9), 8.08 (1H, d, J = 8.50 Hz, H-6), 8.09 (1H, s, H-10), 8.89 (1H, s, H-5). ^{13}C-NMR (500 MHz, $CDCl_3$): δ = 20.62, 20.68 (4Ac), 55.54 (OCH_3), 62.04 (C-6′), 68.31 (C-2′), 68.91 (C-3′), 74.32 (C-4′), 76.47 (C-5′), 82.52 (C-1′), 115.23 (C-3_{aryl}, H-5_{aryl}), 119.25 (C-4a), 123.76 (C-9), 126.23 (C-7), 127.50 (C-8), 128.82 (C-6), 129.15 (C-1_{aryl}), 129.56 (C-10), 129.56 (C-5), 130.57 (C-2_{aryl}, C-6_{aryl}), 131.32 (C-9a), 136.95 (C-5a), 142.65 (C-10a), 153.53 (C-2), 160.85 (C-4_{aryl}), 162.25 (C-4), 169.36, 169.46, 170.19, 170.69 (4Ac).

3-Methyl-2-(2',3',4',6'-tetra-O-acetyl-β-D-galactopyranosylsulfanyl)-2,3-dihydro-1H-benzo[g]quinazolin-4-ones (8f). Yield: 1.86 g (65%), mp: 168–170°C. MS: *m*/*z*: 572 (M^+, 2%). Calculated for $C_{27}H_{28}N_2O_{10}S$ (572.58): C, 59.64; H, 4.93; N, 4.89. Found: C, 59.50; H, 4.78; N, 4.54. IR (KBr): ν 1752 (C=O), 1686 (C=O) cm^{-1}. ^{1}H-NMR (500 MHz, $CDCl_3$): δ = 1.88 (3H, s, Ac), 2.05 (3H, s, Ac), 2.012 (3H, s, Ac), 2.22 (3H, s, Ac), 3.65 (3H, s, N_3-CH_3), 4.13 (1H, m, H-6′), 4.22 (2H, m, H-5′), 4.29 (1H, m, H-6″), 5.31 (1H, dd, J = 3.00, 9.50 Hz, H-4′), 5.50 (1H, m, 2′-H, H-3′), 6.07 (1H, d, $^2J_{1',2'}$ = 10.50 Hz, H-1′), 7.55 (1H, t, J = 7.50 Hz, H-8), 7.64 (1H, t, J = 7.50 Hz, H-7), 7.99 (1H, d, J = 8.50 Hz, H-9), 8.09 (2H, s, H-6, H-10), 8.80 (1H, s, H-5). ^{13}C-NMR (500 MHz, $CDCl_3$): δ = 20.61, 20.71 (4Ac), 30.29 (N_3-CH_3), 61.65 (C-6′), 66.21 (C-2′), 67.46 (C-3′), 72.12 (C-4′), 75.25 (C-5′), 82.83 (C-1′), 118.71 (C-4a), 123.61 (C-9), 126.13 (C-7), 127.73 (C-8), 128.67 (C-6), 128.73 (C-10), 129.49 (C-5), 131.27 (C-9a), 136.76 (C-5a), 142.37 (C-10a), 152.26 (C-2), 162.16 (C-4), 169.84, 169.98, 170.27, 170.47 (4Ac).

3-Allyl-2-(2',3',4',6'-tetra-O-acetyl-β-D-galactopyranosylsulfanyl)-2,3-dihydro-1H-benzo[g]quinazolin-4-ones (8g). Yield: 1.89 g (63%), mp: 116–118°C. MS: *m*/*z*: 598 (M^+, 1%). Calculated for $C_{29}H_{30}N_2O_{10}S$ (598.62): C, 58.19; H, 5.05; N, 4.68. Found: C, 58.02; H, 5.27; N, 4.68. IR (KBr): ν 1743 (C=O), 1686 (C=O) cm^{-1}. ^{1}H-NMR (500 MHz, $CDCl_3$): δ = 1.91 (3H, s, Ac), 2.03 (3H, s, Ac), 2.06 (3H, s, Ac), 2.20 (3H, s, Ac), 4.10–4.30 (3H, m, H-5′, H-6′, H-6″), 4.70 (1H, dd, J = 5.50, 11.50 Hz, H-4′), 4.88 (1H, d, J = 9.50 Hz, H-2′), 5.15–5.49 (4H, m, H-1_{allyl}, H-3_{allyl}), 5.47 (1H, t, J = 9.50 Hz, H-3′), 5.95 (1H, m, H-2_{allyl}), 6.04 (1H, d, $^2J_{1',2'}$ = 10.50 Hz, H-1′), 7.50 (1H, d, J = 7.50 Hz, H-8), 7.64 (1H, t, J = 7.50 Hz, H-7), 7.99 (1H, d, J = 8.50 Hz, H-9), 8.00 (1H, m, H-H, H-10), 8.80 (1H, s, H-5). ^{13}C-NMR (500 MHz, $CDCl_3$): δ = 20.64, 20.73 (4Ac), 46.17 (C-1_{allyl}), 61.58 (C-6′), 66.27 (C-2′), 67.44 (C-3′), 72.10 (C-4′), 75.23 (C-5′), 83.26 (C-1′), 118.83 (C-3_{allyl}), 123.70 (C-4a), 126.20 (C-9), 127.77 (C-7), 128.74 (C-8), 128.86 (C-6), 129.51 (C-10), 130.84 (C-5), 131.31 (C-9a), 136.84 (C-2_{allyl}, C-5a), 142.35 (C-10a), 151.94 (C-2), 161.78 (C-4), 169.79, 170.02, 170.29, 170.48 (4Ac).

3-Phenyl-2-(2',3',4',6'-tetra-O-acetyl-β-D-galactopyranosylsulfanyl)-2,3-dihydro-1H-benzo[g]quinazolin-4-one (8h). Yield: 1.2.70 g (85%), mp: 82–84°C. MS: *m*/*z*: 634 (M^+, 1%). Calculated for $C_{32}H_{30}N_2O_{10}S$ (634.65): C, 60.56; H, 4.76; N, 4.41. Found: C, 60.44; H, 4.82; N, 4.60. IR (KBr): ν 1752 (C=O), 1699 (C=O) cm^{-1}. ^{1}H-NMR (500 MHz, $CDCl_3$): δ = 1.95 (3H, s, Ac), 2.06 (3H, s, Ac), 2.12 (3H, s, Ac), 2.21 (3H, s, Ac), 4.16–4.27 (3H, m, H-5′, H-6′, H-6″), 5.26 (1H, dd, J = 3.00, 12.00 Hz,

H-4′), 5.30 (1H, t, J = 9.00 Hz, H-2′), 5.50 (1H, t, J = 9.00 Hz, H-3′), 5.94 (1H, d, $^2J_{1',2'}$ = 10.50 Hz, H-1′), 7.29 (2H, m, H-2_{Ph}, H-6_{Ph}), 7.57 (4H, m, H-3_{Ph}, H-4_{Ph}, H-5_{Ph}, 8-H), 7.76 (1H, t, J = 7.50 Hz, H-7), 8.02 (1H, d, J = 8.50 Hz, H-9), 8.07 (1H, d, J = 8.5 Hz, H-6), 8.13 (1H, s, H-10), 8.90 (1H, s, H-5). ^{13}C-NMR (500 MHz, $CDCl_3$): δ = 20.62, 20.68 (4Ac), 61.54 (C-6′), 66.26 (C-2′), 67.42 (C-3′), 72.23 (C-4′), 75.11 (C-5′), 82.96 (C-1′), 119.23 (C-4a), 123.89 (C-9), 126.29 (C-7), 127.82 (C-8), 128.87 (C_4-Ph), 129.07 (C-6), 129.14 (C-10), 129.56 (C_3-Ph), 129.61 (C_5-Ph), 129.66 (C_2-Ph), 129.77 (C_6-Ph), 130.41 (C-5), 131.34 (C-9a), 135.19 (C_1-Ph), 136.98 (C-5a), 142.62 (C-10), 152.85 (C-2), 162.05 (C-4), 169.42, 170.05, 170.23, 170.48 (4Ac).

3-Substituted-2-(β-D-glucopyranosylsulfanyl)-2,3-dihydro-1*H*-benzo[*g*]quinazolin-4-ones (9a,b). The protected nucleosides **8b**,**d** (1 mmol) was stirred in saturated NH_3/MeOH (5%, 50 mL) at room temperature for 12 h until the starting material was consumed (TLC, ether petroleum ether, 90:10). The solvent was removed *in vacuo*, and the residue was chromatographed on silica gel with a gradient at 1–5% MeOH in CH_2Cl_2 to afford the deprotected nucleosides **9a**,**b** as a white solid.

3-Ethyl-2-(β-D-glucopyranosylsulfanyl)-2,3-dihydro-1H-benzo[g]quinazolin-4-one (9a). Yield: 0.14 g (33%), mp: 308–310°C. MS: *m*/*z*: 418 (M^+, 5%). Calculated for $C_{20}H_{22}N_2O_6S$ (418.46): C, 57.40; H, 5.30; N, 6.69. Found: C, 57.39; H, 5.41; N, 6.53. IR (KBr): ν 3406 (OH), 1686 (C=O) cm^{-1}. ^{1}H-NMR (500 MHz, CD_3OD): δ = 1.38 (3H, t, J = 7.05 Hz, N_3-CH_2CH_3), 3.46 (2H, m, H-3′, H-4′), 3.54 (2H, m, H-5′, H-6′), 3.71 (1H, dd, J = 5.10, 12.02 Hz, H-6″), 3.85 (1H, dd, J = 2.00, 10.50 Hz, H-2′), 4.22 (2H, q, J = 7.05 Hz, N_3-CH_2CH_3), 5.81 (1H, d, $^2J_{1',2'}$ = 10.50 Hz, H-1′), 7.46 (1H, d, J = 7.50 Hz, H-8), 7.59 (1H, t, J = 7.50 Hz, H-7), 7.98 (1H, d, J = 8.50 Hz, H-9), 8.02 (1H, d, J = 8.5 Hz, H-6), 8.04 (1H, s, H-10), 8.74 (1H, s, H-5).

3-Phenyl-2-(β-D-glucopyranosylsulfanyl)-2,3-dihydro-1H-benzo[g]quinazolin-4-one (9b). Yield: 0.18 g (38%), mp: 296–298°C (dec.). MS: *m*/*z*: 466 (M^+, 3%). Calculated for $C_{24}H_{22}N_2O_6S$ (466.51): C, 61.79; H, 4.75; N, 6.00. Found: C, 61.86; H, 5.02; N, 5.88. IR (KBr): ν 3408 (OH), 1682 (C=O) cm^{-1}. ^{1}H-NMR (500 MHz, CD_3OD): δ = 3.40 (2H, m, H-3′, H-4′), 3.58 (2H, M, H-5′, H-6′), 3.74 (1H, dd, J = 5.10, 12.02 Hz, H-6″), 3.87 (1H, dd, J = 2.00, 10.50 Hz, H-2′), 5.70 (1H, d, $^2J_{1',2'}$ = 10.50 Hz, H-1′), 7.37 (2H, d, J = 3.20 Hz, H-2_{Ph}, H-6_{Ph}), 7.47–7.61 (5H, m, H-3_{Ph}, H-4_{Ph}, H-5_{Ph}, H-7, H-8), 7.96 (2H, t, J = 9.25 Hz, H-6, H-9), 8.03 (1H, s, H-10), 8.71 (1H, s, H-5). ^{13}C-NMR (500 MHz, CD_3OD): δ = 62.31 (C-6′), 70.69 (C-4′), 72.52 (C-2′), 79.50 (C-5′), 81.84 (C-3′), 85.74 (C-1′), 119.08 (C-4a), 124.58 (C-9), 126.77 (C-7), 126.91 (C-8), 128.86 (C_4-Ph), 128.51 (C-6), 129.21 (C-10), 129.49 (C_3-Ph), 130.03 (C_5-Ph), 130.32 (C_2-Ph, C_6-Ph), 130.82 (C-5), 132.00 (C-9a), 136.49 (C_1-Ph), 137.91 (C-5a), 143.51 (C-10), 154.83 (C-2), 163.38 (C-4).

CONCLUSIONS

In the present study, we have carried out the successful synthesis of hitherto unreported 3-substituted 2-thioxo-2,3-dihydro-1*H*-benzo[*g*]quinazolin-4-ones **4a**–**e**, 3-substituted-2-alkylsulfanyl-2,3-dihydro-1*H*-benzo[*g*]quinazolin-4-ones **5a**–**o**, 3-substituted 2-(2′,3′,4′,6′-tetra-*O*-acetyl-β-D-glucopyranosylsulfanyl)-2,3-dihydro-1*H*-benzo[*g*]quinazolin-4-ones **8a**–**h**, and 3-substituted 2-(β-D-glucopyranosylsulfanyl)-2,3-dihydro-1*H*-benzo[*g*]quinazolin-4-ones **9a**,**b**. The conformational analyses of their most stable configurations were established by NMR spectroscopy.

Acknowledgments. Research Centre (King Saud University) is thanked for carrying out the measurement of IR, ^{1}H-NMR, ^{13}C-NMR, and MS. Ahmed I. Khodair is grateful for an Alexander von Humboldt-Fellowship (Alexander von Humboldt-Stiftung).

REFERENCES AND NOTES

[1] Xue, S.; McKenna, J.; Shieh, W.-C.; Repic, O. J Org Chem 2004, 69, 6474.
[2] Honkanen, E.; Pippuri, A.; Kairisalo, P.; Nore, P.; Karppanen, H.; Paakkari, I. J Med Chem 1983, 26, 1433.
[3] Zhou, Y.; Murphy, D. E.; Sun, Z.; Gregor, V. E. Tetrahedron Lett 2004, 45, 8049.
[4] Panneerselvam, P.; Pradeep, C. R. V.; Sridhar, S. K. Ind J Pharm Sci 2003, 65, 268.
[5] Refaie, F. M.; Esmat, A. Y.; Gawad, S. M. A.; Ibrahim, A. M.; Mohamed, M. A. Lipids Health Dis 2005, 4, 22.
[6] Habib, N. S.; Ismail, K. A.; El-Tombary, A. A.; Abdel-Aziem, T. Pharmazie 2000, 55, 495.
[7] Jessy, E. M.; Sambanthan, A. T.; Alex, J.; Sridevi, C. H.; Srinivasan, K. K. I. J Pharm Sci 2007, 69, 476.
[8] Alagarsamy, V.; Solomon, V. R.; Dhanabal, K. B. Med Chem 2007, 15, 235.
[9] Georgey, H. H.; Abdel-Gawad, N.; Abbas, S. Molecules 2008, 13, 2557.
[10] Guan, L. P.; Jin, Q. H.; Tian, G. R.; Chai, K. Y.; Quan, Z. S. J. Pharm Pharm Sci 2007, 10, 254.
[11] Abbas, S. E. S. B. Fac Pharm Cairo Uni 2007, 45, 119.
[12] Malawska, B. Curr Top Med Chem 2005, 5, 69.
[13] Archana, K.; Srivastava, V. K.; Kumar, A. Bioorg Med Chem 2004, 12, 1257.
[14] Li, J.; Meng, Y.; Liu, Y.; Feng, Z.; Chen, X. Invest New Drugs 2010, 28, 132.
[15] Chandrika, P. M.; Yakaiah, T.; Rao, A. R. R.; Narsaiah, B.; Reddy, N. C.; Sridhar, V.; Rao, J. V. E. J Med Chem 2008, 43, 846.
[16] Foote, K. M.; Mortlock, A. A.;. A. A.; Heron, A. A.; Jung, F. H.; Hill, G. B.; Pasquet, G.; Brady, M. C.; Green, S.; Heaton, S. P.; Kearney, S.; Keen, N. J.; Odedra, R.; Wedge, S. R.; Wilkinson, R. W. Bioorg Med Chem Lett 2008, 18, 1904.
[17] Cao, S. L.; Feng, Y. P.; Jiang, Y. Y.; Liu, S. Y.; Ding, G. Y.; Li, R. T. Bioorg Med Chem Lett 2005, 15, 1915.
[18] Al-Omary, F. A. M.; Abou-Zeid, L. A.; Nagi, M. N.; Habib, E. E.; Abdel-Aziz, A. A. M.; El-Azab, A. S.; Abdel-Hamide, S. G.; Al-Omar,

M. A.; Al-Obaid, A. M.; El-Subbagh, H. I. Bioorg Med Chem 2010, 18, 2849.

[19] Al-Rashood, S. T.; Aboldahab, I. A.; Nagi, M. N.; Abouzeid, L. A.; Abdel-Aziz, A. A. M.; Abdel-Hamide, S. G.; Youssef, K. M.; Al-Obaid, A. M.; El-Subbagh, H. I. Bioorg Med Chem 2006, 14, 8608.

[20] Alafeefy, A. M.; Ceruso, M.; Al-Jaber, N. A.; Parkkila, S.; Vermelho, A. B.; Supuran, C. T. J Enzyme Inhib Med Chem 2015, 30, 581.

[21] Abuelizz, H. A.; Marzouk, M.; Ghabbour, H.; Al-Salahi, R. Saudi Pharm J 2017, 25, 1047.

[22] Al-Rashood, S. T.; Aboldahab, I. A.; Nagi, M. N.; Abouzeid, L. A.; Abdel-Aziz, A. A. M.; Abdel-Hamide, S. G.; Youssef, K. M.; Al-Obaid, A. M.; El-Subbagh, H. I. B. Med Chem 2006, 14, 8608.

[23] Tiwari, A. K.; Mishra, A. K.; Bajpai, A.; Mishra, P.; Sharma, R. K.; Pandey, V. K.; Singh, V. K. Bioorg Med Chem Lett 2006, 16, 4581.

[24] Babatin, M. M.; Said, M. M.; Hafez, H. N.; El-Gazzar, A. B. A. I. J Pharm Scie Rev and Res 2010, 4, 25.

[25] De Clercq, E. J Clin Virol 2004, 30, 115.

[26] Matsuda, A.; Sasaki, T. Cancer Sci 2004, 95, 105.

[27] Huryn, D. M.; Okabe, M. Chem Rev 1992, 92, 1745.

[28] Pathak, T. Chem Rev 2002, 102, 1623.

[29] Len, C.; MacKenzie, G. Tetrahedron 2006, 62, 9085.

[30] El-Barbary, A. A.; Khodair, A. I.; Pedersen, E. B.; Nielsen, C. J Med Chem 1994, 37, 73.

[31] Khodair, A. I.; EL-Subagh, H. I.; El-Emam, A. A. Boll Chim Farm 1997, 136, 561.

[32] Al-Obaid, A. M.; EL-Subagh, H. I.; Khodair, A. I.; Elmazar, M. M. A. Anticancer Drugs 1996, 7, 873.

[33] Wang, F.; Zhao, P.; Xi, C. Tetrahedron Lett 2011, 52, 231.

[34] Abdel Gawad, N. M.; Georgey, H. H.; Youssef, R. M.; El-Sayed, N. A. Eur J Med Chem 2010, 45, 6058.

[35] Siddiqui, I. R.; Singh, P. K.; Srivastava, V.; Yadav, S.; Singh, J. Indian J Chem 2010, 49B, 1535.

[36] El–Gazzar, A. B. A.; Hafez, H. N.; Abbas, H. S. Eur J Med Chem 2009, 44, 4249.

[37] Siddiqui, I. R.; Siddique, S. A.; Srivastava, V.; Singh, P. K.; Singh, J. ARKIVOC 2008, 7, 277.

[38] Girniene, J. G.; Apremont, G.; Tatiboue, A.; Rollin, P. Tetrahedron 2004, 60, 2609.

[39] Chien, T.–C.; Chen, C.–S.; Yu, F.–H.; Chern, J.–W. Chem Pharm Bull 2004, 52, 1422.

[40] Abdel–Megeed, M. F.; Saleh, M. A.; Aly, Y. L.; Abdo, I. M. Nucleosides Nucleotides 1995, 14, 1985.

[41] El–Barbary, A. A.; Abou El–Ezz, A. Z.; Sharaf, A. M.; Nielsen, C. Phosphorus, Sulfur, and Silicon and the Related Elements 2006, 181, 1895.

[42] Saeed, A.; Mahmood, S.; Ishida, H. Crystals 2011, 1, 171.