

Full Paper

Synthesis and Biological Activity of Some Novel Derivatives of 4-Amino-3-(D-galactopentitol-1-yl)-5-mercapto-1,2,4-triazole

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Abstract: To discover new 1,2,4-triazole derivatives which may possess significant biological activities, we synthesized a series of novel 6-aryl-3-(D-galactopentitol-1-yl)-7*H*-1,2,4-triazolo[3,4-*b*][1,3,4]thiadiazines and 4-(arylmethylidene)amino-5-(D-galactopentitol-1-yl)-3-mercapto-4*H*-1,2,4-triazoles from 4-amino-3-(D-galactopentitol-1-yl)-5-mercapto-1,2,4-triazole. All the title compounds were characterized by elemental analysis, IR, ¹H- and ¹³C-NMR. Plant growth-regulating activity tests showed that these compounds have remarkable effects on the growth of radish and wheat.

Keywords: Galactose, 1,2,4-triazolo[3,4-*b*]-1,3,4-thiadiazine, 4-(arylmethylidene)amino-5-(D-galactopentitol-1-yl)-3-mercapto-4*H*-1,2,4-triazole, NMR, plant growth-regulating.

Introduction

Various substituted 1,2,4-triazolo[3,4-*b*]-1,3,4-thiadiazines and Schiff's bases are associated with diverse pharmacological activities, such as analgesic, anthelmintic, antitubercular, plant growth regulating, antiviral, antifungal and anticancer properties [1-8]. 3-Substituted-4-amino-5-mercapto-

1,2,4-triazole is the key intermediate in the formation of these heterocyclic compounds. Based on the principle of activity addition, we expected to obtain reinforcement of biological activities by means of substitutions at different positions of 3-substituted-4-amino-5-mercapto-1,2,4-triazole derivatives. Unfortunately, the water-solubility of most these compounds was too poor for use in a clinical trial as medicines. Attachment of a galactose residue containing five hydroxyl groups to the 3-position of the fused heterocycle could bring about changes in its solubility; in addition, we expected that there might be a strong affinity for the galactose residue in organisms, resulting in special activity.

Prompted by these observations and as a continuation of the success of the attachment of a hydroxypropyl group to the 3-position [9], we have now synthesized a series of 6-aryl-3-(D-galactopentitol-1-yl)-7*H*-1,2,4-triazolo[3,4-*b*][1,3,4]thiadiazines and 4-(arylmethylidene)amino-5-(D-galactopentitol-1-yl)-3-mercapto-4*H*-1,2,4-triazoles, then confirmed their structures by elemental analysis, IR, ¹H- and ¹³C-NMR, and determined the plant growth regulating effects of all the title compounds and the key intermediate **1**.

Results and Discussion

Synthesis

The synthetic route used is shown in Scheme 1. After preparing 4-amino-3-(D-galactopentitol-1-yl)-5-mercapto-1,2,4-triazole (**1**), the 3,6-disubstituted 1,2,4-triazolo[3,4-*b*][1,3,4]thiadiazines **2a~2h** were obtained through the reaction with the appropriate substituted phenacyl bromide (or chloride), whereas the 4-(arylmethylidene)amino-5-(D-galactopentitol-1-yl)-3-mercapto-4*H*-1,2,4-triazoles **3a~3h** were obtained through the reaction with the appropriate substituted benzaldehyde.

The syntheses of thiocarbohydrazide and 4-amino-3-(D-galactopentitol-1-yl)-5-mercapto-1,2,4-triazole (**1**) were carried out according to the literature methods with some improvements [9~11]. First, we quickly raised the reaction temperature to 100~110°C for half an hour, in order to maximize the yield of thiocarbohydrazide. Second, some water was added to the mixture when D(-)-galactonic acid γ -lactone was reacted with thiocarbohydrazide in pyridine. Finally, the solubility of the triazole intermediate in hot water differed noticeably from that in cold water, so the crude product was recrystallized from water.

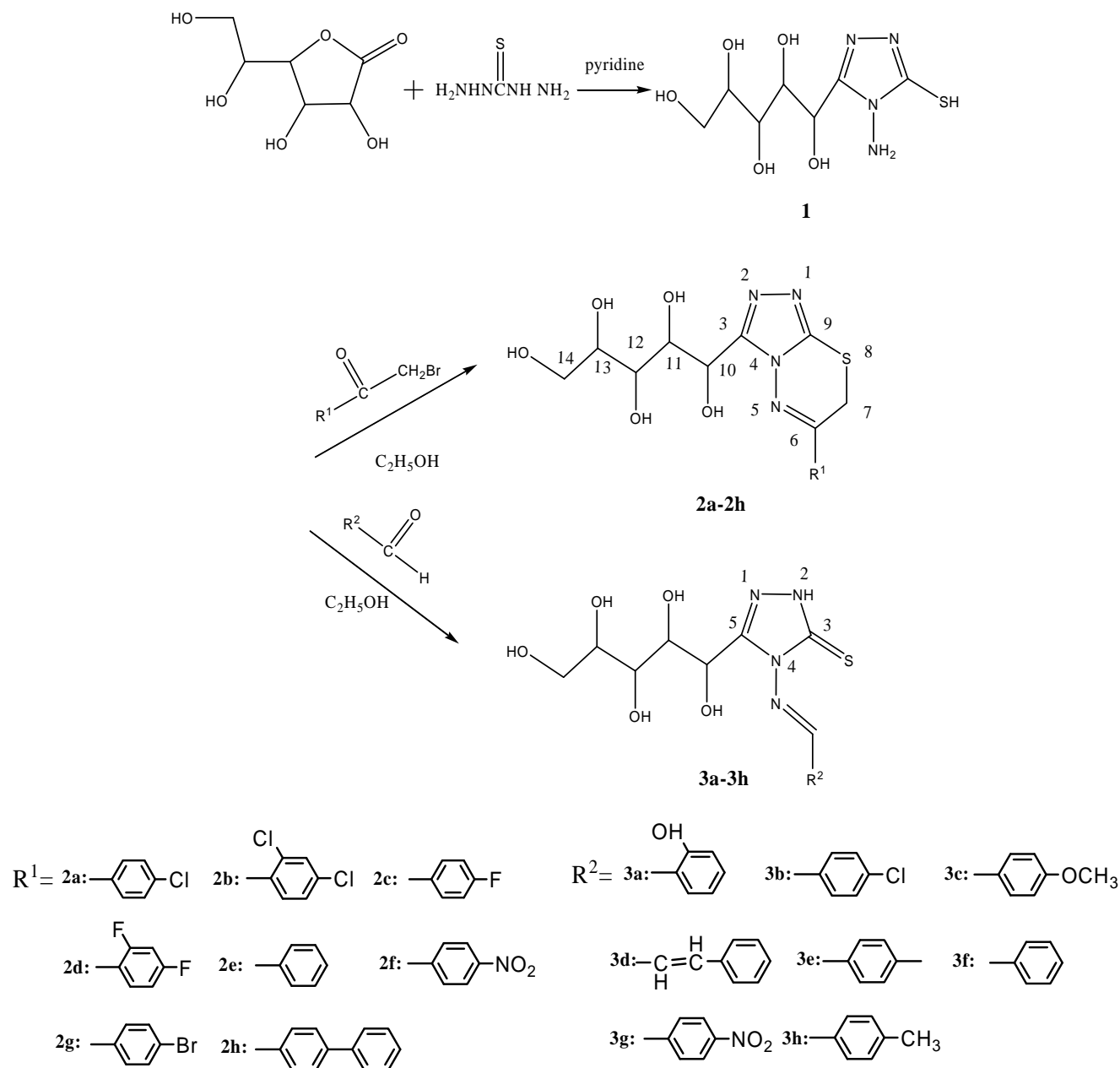
The reaction conditions of the two steps are mild and highly efficient. The rates of ring-closure are closely related to the structures of the substituents R. When R contains electron-withdrawing groups, the rates of ring-closure are increased because the electron-cloud densities of the carbonyl carbons are lowered.

In an attempt to prepare ring closed 3,6-disubstituted-5,6-dihydrogen-1,2,4-triazolo [3,4-*b*][1,3,4]-thiadiazole derivatives, we have applied a previously reported procedure [12], and treated the triazole **4** with the appropriate benzaldehyde maintaining the pH values during the reaction at 5–6, since the acidity of the reaction medium is crucial. However, the desired intramolecular Mannich reaction did not occur, and we only obtained open-chain hydrazones **3a~3b**, as in our previous research [13]. Consequently we did not adjust the pH values in the subsequent reactions, and thus obtained **3c~3h** more expediently.

Because of the successful attachment of a galactose residue to the 3-position of 1,2,4-triazole, the fused heterocycles display increased solubility and most of the title compounds can be dissolved in

ethanol. Moreover, compounds **2e** (possessing a phenyl ring without any substituent) and **3a** (having a phenyl ring with a hydroxyl group) dissolve in water, whereas compound **3e** (having two galactose residues but too large a relative molecular mass) dissolves somewhat in water and ethanol.

Scheme 1. Syntheses of the title compounds **2a~2h**, **3a~3h**.



IR spectra of the title compounds

The absence of N-H, S-H and C=O absorptions in the IR spectra confirms that the cyclocondensation to form the title compounds **2a~2h** has taken place. The characteristic stretching vibrations of the products are at 3413~3440 cm⁻¹ (O-H, strong), 1615~1634 cm⁻¹ (C=N). The C-S-C bending vibrations are in the fingerprint region, around 670 cm⁻¹. The CH₂ stretching vibration bands are observed at about 2921~2978 cm⁻¹.

The absence of N-H and C=O absorption bands in the IR spectra also confirmed that the title compounds **3a~3h** have been obtained via condensation. The stretching vibration bands of OH group are at 3261~3533 cm⁻¹. The C-H stretching vibration bands of the CH₂ groups are at 2873~2975 cm⁻¹. The characteristic stretching vibrations of the products are at 1582~1631cm⁻¹ (C=N), 1234~1292 cm⁻¹ (C=S). The absorbance band of S-H stretching vibration (2550-2650 cm⁻¹) was not observed because compounds **3a~3f** display tautomerism between the thiol and thioketone forms and exist mainly in the latter form [14~19].

¹H-NMR spectra of the title compounds

In the ¹H-NMR spectra of triazolothiadiazines **2a~2h**, the characteristic downfield signal at δ 13.50 ppm attributed to the -N=C-SH (-NH-C=S of the tautomer) in compound **1** is absent, as is a sharp signal at δ 5.53 ppm attributable to the N-NH₂ group in the parent triazole. In the spectra of the title compounds, the signal at δ 3.33~6.03 ppm is attributed to the CH₂ and OH of the galactopentitol-1-yl group. Resonance peaks at δ 7.30~8.42 ppm are attributed to the aromatic ring protons.

In all the title compounds **3a~3h**, additional resonances assigned to the -CH=N- (δ 9.56–10.41 ppm) were observed, which confirmed the condensation between the amino group and the carbonyl group. A downfield signal appearing at δ 13.51-13.92 ppm is attributed to the -NH-C=S moiety, whereas the chemical shifts (δ values) of the SH protons are at less than 4.0 ppm, in general. All these show the compounds **3a~3h** have 4-arylmethylideneamino-5-aryl-2,4-dihydro-3H-1,2,4-triazole-3-thione structures (D). The remaining protons resonated as multiplets in the aromatic region (δ 6.91-8.41 ppm). In typical methylideneamino groups, the methylidene hydrogen atoms display chemical shifts in the region above δ 8.8 ppm, but in the title compounds the corresponding δ values were in the region between 9.56 and 10.41 ppm. The triazole NH protons also showed downfield δ values (13 ppm or so). We are confident that compounds **3a~3h** exist only in an *E*-configuration, which results from the deshielding effects of the keto-thione (C=S) groups' anisotropy on the N=CH hydrogen. The chemical shifts of N=CH hydrogen and triazole N-H hydrogen appear downfield. We conclude that the mechanism of this type of reaction involves an elimination to give imines, followed by a nucleophilic substitution, and in the transition state an *E*-configuration would possess a lower energy.

¹³C-NMR spectra of the title compounds

The key intermediate, 4-amino-3-(D-galactopentitol-1-yl)-5-mercapto-1,2,4-triazole (**1**), exhibits absorption peaks at δ 152.70 and 165.53 ppm, due to N-C=N and N-C=S groups, respectively, and at δ 70.95, 69.83, 69.04, 65.67, 62.93 ppm, due to the five carbon atoms of the galactose residue.

The ¹³C-NMR spectra of compound **2e**, for instance, exhibited the expected 13 absorption peaks, *i.e.* δ 22.94, 62.91, 65.60, 68.93, 69.78, 71.31, 127.72, 129.06, 132.11, 133.27, 141.26, 154.80, 155.64. Those at 62.91~71.31 ppm are assigned to the sugar carbons. Because of the existence of carbon-nitrogen double bonds, the chemical shifts of the three carbon atoms in the triazole ring appear at δ 141.26, 154.80, 155.64, which conforms approximately with the values reported in the literature [20]. All the benzene carbons of the title compounds exhibit chemical shifts between 105.23~151.50, whereas the peaks with δ values near 22.86~25.83 ppm are attributed to the S-CH₂ in the thiadiazine

rings because of the influence from the *ortho* and *para* substituents of the benzene rings. The title compounds **3a~3h** show peaks at δ 151.99~165.65 ppm due to N-C=N, N-C=S and Ph-CH=N group. The δ values differ among the title compounds resulting from the presence of phenyl groups with different substituents.

Biological evaluation

The effects of the title compounds **1**, **2a~2h**, **3a~3h** on sprouting of wheat and radish seeds have been investigated. After treating with solutions of 100 $\mu\text{g/mL}$ and 10 $\mu\text{g/mL}$ of the title compounds for 7 days (25 °C), the germination percentages have been determined, and from the difference in length between stems and radicles of seedlings treated with the title compounds and those treated only with distilled water, the plant growth regulating activities have been calculated. A positive result represents a growth increase, whereas a negative result implies an inhibition:

$$\text{effect} = \frac{\text{the length of sample's stem/radicle} - \text{the reference's length}}{\text{the length of reference's stem/radicle}} \times 100\%$$

The results are shown in Table 1. From the data it is apparent that most of the title compounds show a more pronounced inhibiting effect than 4-amino-3-(D-galactopentitol-1-yl)-5-mercapto-1,2,4-triazole (**1**) on the growth of wheat and radish.

Table 1. Plant growth regulating activities of compounds **1**, **2a~2h**, **3a~3h** (germination percentage % / effect (on stem) % / effect (on root) %).

Compd.	Radish		Wheat	
	10 ppm	100 ppm	10 ppm	100 ppm
1	100/23.5/3.8	85/40.1/-1.3	85/-3.4/20.9	75/-28.1/8.7
2a	30/-54.7/-74.9	-100%	85/-74.5/-72.4	-100%
2b	35/-27/-52.2	-100%	85/-41.9/-52.6	-100%
2c	20/-56.1/-77.4	-100%	95/-79.5/-78.1	-100%
2d	25/-44.5/-61.1	-100%	100/-69/-65.9	-100%
2e	65/4.9/-21.6	75/6.2/-28.8	100/8.2/12.9	80/3.1/7.3
2f	-100%	-100%	85/-95.5/-95.6	-100%
2g	35/-37.2/-65.7	-100%	90/-52.6/-56.4	-100%
2h	15/-31.8/-58.1	-100%	95/-15.2/-43.5	95/-96.6/-96.1
3a	30/-17.5/-34.7	30/-14.4/-36.7	85/19/-2.0	85/6.9/-1.2
3b	5/-27.8/-77.8	-100%	5/-18.1/-83.6	-100%
3c	5/-12.5/-61.1	5/-100/-7.2	100/-85.8/-83.4	100/-91.8/-100
3d	5/-69.6/-91.7	-100%	95/-91.4/-92	75/-92.7/-100
3e	15/0.3/-46.7	15/-50.2/-78.1	60/-9.5/-12.3	55/-91.4/-91.6
3f	65/-11.6/-34.4	-100%	55/-79.4/-85.2	-100%
3g	40/-32.9/-31.5	-100%	85/-36.1/-28.7	-100%
3h	30/-96.4/-98.1	-100%	65/-83.8/-78.5	-100%
Reference	90/0/0	90/0/0	100/0/0	100/0/0

It was interesting to note that compound **2e** showed inhibitory activities towards the growth of the dicotyledon (radish) at two concentration levels, but under the same conditions it expressed stimulative activities towards the growth of the monocotyledon (wheat). It has a good level of activity and is worthy of further study to establish a relationship between structure and activity.

Experimental

General

All melting points were determined on an XT-4A melting point apparatus. The IR spectra (KBr disks) were recorded in the 4000–400 cm^{-1} range on a Bruker Quinox 55 spectrophotometer. The $^1\text{H-NMR}$ and $^{13}\text{C-NMR}$ spectra were measured at 25°C on a Bruker Advance 300 spectrometer operating at 300 and 75 MHz, respectively (DMSO- d_6 solutions using TMS as internal reference). Elemental analyses were carried out with a Flash-EA 1112 elemental analyzer. All the reagents used were AR grade.

Preparation of 4-amino-3-(D-galactopentitol-1-yl)-5-mercapto-1,2,4-triazole (**1**).

Pure thiocarbohydrazide was obtained by the quick heat-up method. The thiocarbohydrazide thus prepared (1 mmol) was then added to a solution of D(-)galactono-1,4-lactone (1 mmol) in pyridine (20 mL) and water (2 mL), and the mixture was refluxed for 6 h. After removing the solvent, the white cotton-like solid formed was recrystallized from water to give compound **1** in 69.1% yield; m.p.: 215~217 °C; IR: 3430 (OH), 3350 (NH_2), and 1627($\text{C}=\text{N}$) cm^{-1} ; $^1\text{H-NMR}$ δ ppm: 3.33~5.26 (m, 11H, C-H, O-H), 5.53 (s, 2H, NH_2), 13.50 (s, 1H, S-H); $^{13}\text{C-NMR}$ δ ppm: 62.93, 65.67, 69.04, 69.83 and 70.95 (galactose residue carbons), 152.70 and 165.53 (triazole ring carbons).

General method for the preparation of 6-aryl-3-(D-galactopentitol-1-yl)-7H-1,2,4-triazolo [3,4-b] [1,3,4] thiadiazines **2a~2h**

The appropriate ω -haloacetophenone (1 mmol) was added to a solution of compound **1** (1 mmol) in 75% $\text{C}_2\text{H}_5\text{OH}$ (20 mL). The mixture was refluxed for 4 h. The solid obtained on concentration of the solvent was filtered, dried and recrystallized from 75% $\text{C}_2\text{H}_5\text{OH}$ to give the title compounds. The physical and spectral data of the title compounds **2a~2h** are given in Tables 2~4.

General method for the preparation of 4-(arylmethylidene)amino-5-(D-galactopentitol-1-yl)-3-mercapto-4H-1,2,4-triazoles **3a~3h**

An appropriate aromatic aldehyde (1 mmol, distilled under reduced pressure before use) was added to a solution of compound **1** (1 mmol) in 75% ethanol (20 mL). The mixture was stirred and refluxed for 4 h. The solid obtained on concentration was filtered, dried and recrystallized from 75% ethanol to give the title compounds. The physical and spectral data of the title compounds **3a~3h** are given in Tables 2~4.

Table 2. Physical data of compounds 2a~2h, 3a~3h.

Compd.	Molecular formula	Colour	Yield/%	M.p./°C	Anal./% Found (calc.)		
					C	H	N
2a	C ₁₅ H ₁₇ ClN ₄ O ₅ S	white	75.6	195~197	45.21(44.95)	4.12(4.27)	14.31(13.98)
2b	C ₁₅ H ₁₆ Cl ₂ N ₄ O ₅ S	yellow	68.7	101~103	41.07(41.39)	3.64(3.70)	12.69(12.87)
2c	C ₁₅ H ₁₇ FN ₄ O ₅ S	white	79.2	208~210	46.78(46.87)	4.71(4.46)	14.79(14.58)
2d	C ₁₅ H ₁₆ F ₂ N ₄ O ₅ S	white	66.1	175~177	44.95(44.77)	4.25(4.01)	13.74(13.92)
2e	C ₁₅ H ₁₈ N ₄ O ₅ S	white	49.4	200~202	49.04(49.17)	4.86(4.95)	15.17(15.29)
2f	C ₁₅ H ₁₇ N ₅ O ₇ S	brown	48.7	178~180	44.08(43.79)	4.46(4.17)	17.35(17.02)
2g	C ₁₅ H ₁₇ BrN ₄ O ₅ S	white	57.3	183~185	40.22(40.46)	3.72(3.85)	12.28(12.58)
2h	C ₂₁ H ₂₂ N ₄ O ₅ S	brown	61.2	103~105	56.86(57.00)	5.24(5.01)	12.59(12.66)
3a	C ₁₄ H ₁₈ N ₄ O ₆ S	white	68.2	206~208	45.46(45.40)	4.81(4.90)	15.25(15.13)
3b	C ₁₄ H ₁₇ ClN ₄ O ₅ S	white	72.5	218~220	43.12(43.25)	4.50(4.41)	14.29(14.41)
3c	C ₁₅ H ₂₀ N ₄ O ₆ S	white	71.8	221~223	46.98(46.87)	5.36(5.24)	14.38(14.57)
3d	C ₁₆ H ₂₀ N ₄ O ₅ S	white	72.6	192~193	50.36(50.52)	5.47(5.30)	14.90(14.73)
3e	C ₂₂ H ₃₀ N ₈ O ₁₀ S ₂	yellow	75.1	218~220	41.72(41.90)	4.56(4.79)	17.82(17.77)
3f	C ₁₄ H ₁₈ N ₄ O ₅ S	white	65.4	203~205	47.71(47.45)	5.24(5.12)	15.57(15.81)
3g	C ₁₄ H ₁₇ N ₅ O ₇ S	brown	63.5	228~229	42.21(42.10)	4.36(4.29)	17.78(17.54)
3h	C ₁₅ H ₂₀ N ₄ O ₅ S	white	75.8	220~222	48.82(48.90)	5.31(5.47)	15.26(15.21)

Table 3. IR data of compounds 2a~2h, 3a~3h.

Compd.	IR (ν/cm ⁻¹)
2a	3417(OH), 2978(CH ₂), 1634(C=N), 1511(N=C-S), 679(C-S-C).
2b	3417(OH), 2968(CH ₂), 1632(C=N), 1469(N=C-S), 614(C-S-C).
2c	3417(OH), 2921(CH ₂), 1630(C=N), 1453(N=C-S), 624(C-S-C).
2d	3416(OH), 2958(CH ₂), 1615(C=N), 1469(N=C-S), 617(C-S-C).
2e	3421(OH), 2921(CH ₂), 1630(C=N), 1470(N=C-S), 668(C-S-C).
2f	3438(OH), 2976(CH ₂), 1634(C=N), 1470(N=C-S), 664(C-S-C).
2g	3413(OH), 2934(CH ₂), 1631(C=N), 1465(N=C-S), 680(C-S-C).
2h	3440(OH), 2946(CH ₂), 1632(C=N), 1469(N=C-S), 697(C-S-C).
3a	3417(OH), 2936(CH ₂), 1621(C=N), 1277(C=S).
3b	3415(OH), 2925(CH ₂), 1626(C=N), 1292(C=S).
3c	3416(OH), 2970(CH ₂), 1631(C=N), 1252(C=S).
3d	3416(OH), 2975(CH ₂), 1627(C=N), 1247(C=S).
3e	3414(OH), 2921(CH ₂), 1622(C=N), 1273(C=S).
3f	3261(OH), 2933(CH ₂), 1587(C=N), 1272(C=S).
3g	3535(OH), 2873(CH ₂), 1582(C=N), 1234(C=S).
3h	3363(OH), 2920(CH ₂), 1604(C=N), 1281(C=S).

Table 4. NMR data of compounds 2a~2h, 3a~3h.

Compd.	¹ H-NMR (δ, ppm)	¹³ C-NMR (δ, ppm)
2a	3.40-6.03 (m, 13H, C-H, O-H), 7.68-8.11 (m, 4H, Ar-H).	22.86, 62.90, 65.91, 68.83, 69.71, 71.12, 129.24, 129.68, 131.86, 137.26, 141.97, 155.06, 155.65.

Table 4. Cont.

2b	3.37-5.12 (m, 13H, C-H, O-H), 7.61-7.88 (m, 3H, Ar-H).	25.83, 62.88, 65.28, 69.00, 69.81, 71.45, 128.01, 129.76, 132.47, 132.61, 133.39, 136.21, 140.46, 154.54, 154.64.
2c	3.34-5.29 (m, 13H, C-H,O-H), 7.40-8.13 (m, 4H, Ar-H).	22.88, 62.92, 65.26, 69.02, 69.82, 71.44, 116.24, 130.11, 140.25, 153.49, 154.55, 162.54, 165.86.
2d	3.33-5.25 (m, 13H, C-H,O-H), 7.30-7.94 (m, 3H, Ar-H).	25.06, 62.90, 65.26, 69.02, 69.83, 71.46, 105.23, 112.74, 119.57, 132.14, 140.32, 151.50, 154.61, 162.58, 165.92.
2e	3.39-5.31 (m, 13H, C-H,O-H), 7.56-8.06 (m, 5H, Ar-H).	22.94, 62.91, 65.60, 68.93, 69.78, 71.31, 127.72, 129.06, 132.11, 133.27, 141.26, 154.80, 155.64.
2f	3.35-5.76 (m, 13H, C-H,O-H), 8.22-8.42 (m, 4H, Ar-H).	23.01, 62.54, 66.06, 73.70, 75.71, 81.18, 108.36, 123.96, 128.78, 139.43, 149.13, 152.61, 153.92.
2g	3.35-5.29 (m, 13H, C-H,O-H), 7.78-7.99 (m, 4H, Ar-H).	22.88, 63.08, 65.44, 69.19, 69.97, 71.57, 125.78, 129.72, 132.20, 132.94, 140.44, 153.70, 154.76.
2h	3.34-5.30 (m, 13H, C-H,O-H), 7.44-8.14 (m, 9H, Ar-H).	23.00, 63.10, 65.43, 69.19, 70.02, 71.66, 127.04, 127.29, 128.42, 128.48, 129.27, 132.59, 138.99, 140.56, 143.38, 154.24, 154.74.
3a	3.35-5.54 (m, 11H, C-H, C-OH), 6.91-7.87 (m, 4H, Ar-H), 10.10 (s, 1H, CH=N), 10.44 (s, 1H, Ar-OH), 13.79 (s, 1H, HN-C).	62.94, 65.73, 69.08, 69.82, 70.57, 116.57, 118.38, 119.58, 127.84, 134.03, 152.06, 158.37, 160.46, 161.38.
3b	3.32-5.29 (m, 11H, C-H, C-OH), 7.60-7.96 (m, 4H, Ar-H), 10.03 (s, 1H, CH=N), 13.84 (s, 1H, HN-C).	62.90, 65.63, 69.10, 69.81, 70.41, 129.27, 130.31, 131.25, 137.09, 152.30, 161.18, 161.36.
3c	3.32-5.26 (m, 11H, C-H, C-OH), 3.85-3.88 (m, 3H, CH ₃), 7.08-7.87 (m, 4H, Ar-H), 9.73(s, 1H, CH=N), 13.76 (s, 1H, HN-C).	55.53, 62.89, 65.58, 69.06, 69.83, 70.39, 114.59, 124.68, 130.65, 152.10, 161.29, 162.75, 163.26.
3d	3.35-5.26 (m, 11H, C-H, C-OH), 7.11-7.47 (m, 5H, Ar-H), 7.72-7.76 (m, 2H, CH=CH), 9.56-9.59 (d, 1H, CH=N), 13.79 (s, 1H, HN-C).	62.913, 65.42, 69.128, 69.76, 70.43, 123.65, 127.98, 128.98, 130.15, 135.00, 146.10, 151.99, 161.24, 165.65.
3e	3.32-5.91 (m, 22H, C-H, C-OH), 7.09-7.87 (m, 4H, Ar-H), 10.01-10.13 (m, 2H, CH=N), 13.51 (s, 2H, HN-C).	62.90, 65.68, 69.11, 69.83, 70.43, 129.17, 135.70, 152.43, 160.86, 161.40.
3f	3.31-5.27 (m, 11H, C-H, C-OH), 7.53-7.92 (m, 5H, Ar-H), 9.96 (s, 1H, CH=N), 13.81 (s, 1H, HN-C).	62.89, 65.60, 69.13, 69.84, 70.46, 128.62, 129.06, 132.26, 132.45, 152.20, 161.36, 162.94.
3g	3.45-5.32(m, 11H, C-H, C-OH), 7.85-8.41(m, 4H, Ar-H), 10.41(s, 1H, CH=N), 13.92(s, 1H, HN-C).	62.92, 65.69, 69.08, 69.80, 70.39, 124.20, 129.71, 138.43, 149.37, 152.60, 158.50, 161.46.
3h	2.40-2.51(m, 3H, CH ₃), 3.32-5.27(m, 11H, C-H, C-OH), 7.35-7.81(m, 4H, Ar-H), 9.86(s, 1H, CH=N), 13.80(s, 1H, HN-C).	21.25, 62.94, 65.61, 69.17, 69.89, 70.50, 128.69, 129.61, 129.69, 142.81, 152.18, 161.39, 163.15.

Plant growth-regulating activity tests: materials and preparation of the test solutions

The title compounds (recrystallized three times), Tween-40, *N,N*-dimethylformamide (DMF), wheat (monocotyledon) and radish (dicotyledon) seeds were used. A solution of sample (5 or 10 mg) in Tween-40 (1 g) and DMF (3 mL) was placed in a 5 mL volumetric flask and made up to the mark with distilled water. The blank was made up by adding Tween-40 (1 g), DMF (3 mL) and distilled water to the fixed volume of 5 mL. Each compound was thus tested at two concentrations (10 and 100 µg/mL).

Method for plate testing the plant growth-regulating activities of compounds 1, 2a~2h, 3a~3h

Similar seeds were picked out and dipped in distilled water for 3 hours at room temperature. Twenty seeds of each species were chosen and placed individually in Petri dishes of 9 cm diameter containing two pieces of filter paper and a 5 mL solution of the tested compounds **1**, **2a~2h**, **3a~3h** or the blank, and all of them were incubated in a constant temperature room (25°C), with a natural photoperiod and an uninterrupted supply of sterilized distilled water. Growth measurements carried out after a week.

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Sample Availability: Available from the authors.