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Optimized Palladium(0)-catalyzed Suzuki Cross-coupling Reaction of Polystyrene-supported Selenenyl Flavanones: A Convenient Preparation of Biaryl-chromen-4-one

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Application of the Suzuki cross-coupling reaction for efficient synthesis of diverse substituted biaryl-chromen-4-ones using an optimized palladium(0) catalyst system is reported. The coupling of arylboronic acids with the resin-bound bromoflavanones which were prepared by organoselenium-induced regioselective intramolecular cyclization of bromo-2-hydroxylchalcones proceeded smoothly. Biaryl-chromen-4-ones were synthesized by subsequent selenoxide *syn*-elimination in good total yields.

Keywords biaryl-chromen-4-ones, Suzuki coupling, solid-phase synthesis, palladium(0), selenium

Introduction

Flavonoids are widely spread in the plant kingdom.[1] Many flavonoids with biaryl configuration have been reported to display a variety of biochemical properties including spasmolytic,[2] antiarthritic,[3] and antiviral[4,5] activities, reduction of histamine expression,[6] phospholipase[7] and phosphodiesterase inhibition,[8] and antimalarial[4,5,9] activities. In many cases, Such flavonoids exhibited more pronounced bioactivities.[4,5,10,11] However, there are only a few reports on the synthesis of flavonoids with biaryl configuration.[12] The Ullmann coupling reaction[13] and the intramolecular oxidative coupling technique[14] comprise major routes to the flavonoids. Park[15] and Deodhar *et al*.[16] have reported the synthesis of biflavonoids by Stille coupling reaction and Suzuki coupling reaction. Caddick *et al*. have reported a microwave enhanced diversity-oriented synthesis of functionalized flavones using POPd as the catalyst.[17] We have previously reported a simple solid-phase Suzuki cross-coupling reaction of arylboronic acid with the resin-bounded 4'-bromo-flavanone employing a palladium dichloride catalyst system.[18] The Suzuki cross-coupling reaction worked smoothly for most arylboronic acids. However, the Suzuki coupling reaction was incomplete when R (in $RB(OH)_2$) is an aryl group with a strong electron-withdrawing group such as trifluoromethyl. Herein, we want to report an improved Suzuki coupling reaction on polymer support using a palladium(0) catalyst system and biarylchromen-4-ones have been synthesized efficiently with good yields by subsequent oxidative cleavage of selenium resins.

Results and Discussion

The solid-phase cyclization of 4'-bromo-2-hydroxylchalcones (**1a**) with polystyrene-supported selenenyl bromide (dark-red resin; Br, 0.99 mmol/g) in the presence of 40 mol% $ZnCl_2$ was performed at room temperature to afford resin **2a**. A range of Suzuki coupling cyclization reaction conditions involving Pd-catalysts, solvents, bases and reaction time were explored while phenylboronic acids (3.0 mmol) and resin **2a** (0.5 g) were used (Table 1).

In the first attempt, according to the report of the literature,[18] in the presence of K_2CO_3 and catalyzed by 0.3 mol% $PdCl_2$, phenylboronic acids reacted smoothly with resin **2a** in pyridine, followed by selenoxide *syn*-elimination to afford **4a**.[18] The yield of **4a** dropped to 46% when the coupling reaction time extended to 24 h (Table 1, Entry 1). No product was gotten when the coupling reaction was catalyzed by 1.0 mol% $Pd(OAc)_2$ and carried out in CH_3OH because of the low swelling property of polystyrene in CH_3OH (Table 2, Entry 4). Cross-coupling reactions were performed in DMF or DME with K_3PO_4 or Na_2CO_3, with different catalysts such as $PdCl_2(PPh_3)_2$ and $Pd(PPh_3)_4$, the best results were obtained with 5.0 mol% $Pd(PPh_3)_4$ and Na_2CO_3 in

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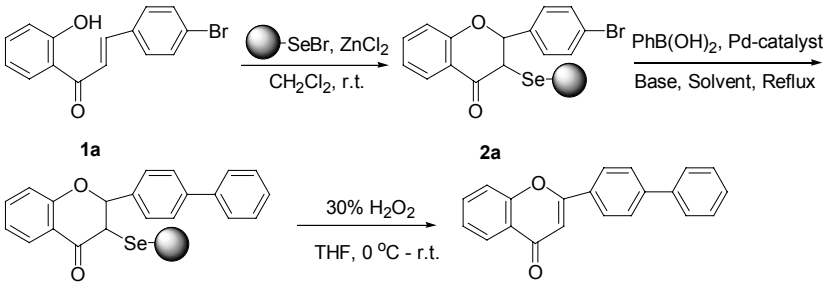
Table 1 Optimization of solid-phase conditions of cyclization



Entry	Pd-catalyst	Base[a]	Solvent	Reaction time/h	Yield of **4a**[b]/%
1	0.3 mol% $PdCl_2$	K_2CO_3	Pyridine	24	46
2	1.0 mol% $PdCl_2(PPh_3)_2$	Na_2CO_3	DMF	8	32
3	1.0 mol% $PdCl_2(PPh_3)_2$	K_3PO_4	DMF	8	20
4	1.0 mol% $Pd(OAc)_2$	Na_2CO_3	CH_3OH	24	0
5	1.0 mol% $Pd(PPh_3)_4$	Na_2CO_3	DMF	24	40
6	3.0 mol% $Pd(PPh_3)_4$	Na_2CO_3	DMF	24	44
7	5.0 mol% $Pd(PPh_3)_4$	Na_2CO_3	DMF	24	52
8	8.0 mol% $Pd(PPh_3)_4$	Na_2CO_3	DMF	24	44
9	5.0 mol% $Pd(PPh_3)_4$	Na_2CO_3	DME	24	57
10	5.0 mol% $Pd(PPh_3)_4$	K_3PO_4	DME	24	45
11	5.0 mol% $Pd(PPh_3)_4$	Na_2CO_3	DME	48	56

[a] 2.0 mol/L aqueous solution of base (4.0 mmol) in degassed solvent (15 mL). [b] Isolated yield.

DME and 24-hour reflux time (isolated yield: 57%) (Table 1, Entries 2, 3, 5—10). Longer coupling reaction time (48 h) did not increase significantly the yield of **4a** (Table 1, Entry 11).

In order to extend the result, polystyrene-supported selenenyl bromide was treated with a sample of bromo-2-hydroxylchalcones and $ZnCl_2$ to afford intermediates **2**. Suzuki cross coupling reactions of a range of arylboronic acids with resins **2** were carried out. The results are displayed in Table 2. From the table, We found that in the presence of $Pd(PPh_3)_4$ and Na_2CO_3, the Suzuki coupling reaction worked well despite R (in $RB(OH)_2$) being an aryl group with an electron-donating group or an electron-withdrawing group. Especially, cross coupling of 3-trifluoromethyl-4-chlorophenyl boronic acid with resin **2** resulted, after cleavage, in 2-(4'-chloro-3'-trifluoro-methyl-biphenyl-4-yl)chromen-4-one (**4g**) in good total isolated yield of 48% (Table 2, Entry 7). Furthermore, good coupling results were also obtained when 3'-bromo-2-hydroxylchalcone, 3-bromo-2-hydroxychalcone, 4-bromo-2-hydroxy chalcone, and 5-bromo-2-hydroxychalcone were used as substrates (Table 2, Entries 9, 11—13). Suzuki coupling reaction also worked well when resin **2** reacted with flavone pinacolato boronate and two diflavones were obtained in total isolated yields of 40% and 42% (Table 2, Entries 8 and 10). However, no product was obtained when 4-nitrophenylboronic acid and 2-nitrophenylboronic acid reacted with resin **2a**.

Experimental

General procedures

The melting points were uncorrected. ^{1}H NMR (400 MHz) and ^{13}C NMR (100 MHz) spectra were recorded on a Bruker Avance 400 spectrometer in $CDCl_3$ or DMSO-d_6 with TMS as the internal standard; IR spectra were recorded on a Bruker Vector 22 spectrometer. EIMS were run on an HP 5989B mass spectrometer. Elemental analysis was run on Thermofinnigan Flash EA 1112. HRMS were performed on an Agllent LC/Msd TOF instrument.

Typical procedure for the preparation of flavanone-supported selenium resin 2

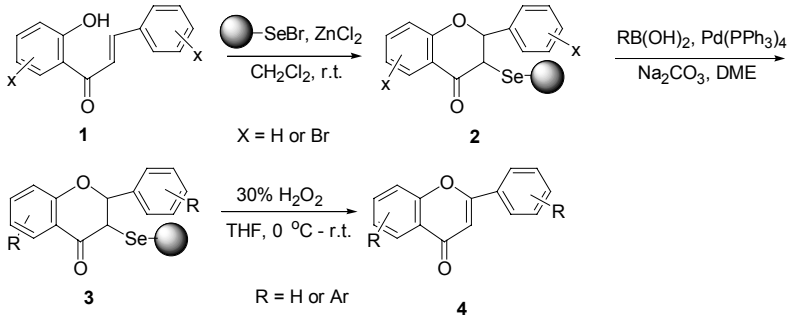
To a suspension of the swollen polystyrene-supported selenenyl bromide (Br: 0.99 mmol/g, 1.0 g) in dry CH_2Cl_2 (20 mL) was added $ZnCl_2$ (40 mol%) at room temperature. After stirring for 0.5 h, substituted 3-(4-bromophenyl)-1-(2-hydroxyphenyl)prop-2-en-1-one (**1**) (3.0 mmol) was added, and stirring was continued for another 12 h. The resin **2** was collected by filtration, washed with H_2O (20 mL×4), THF/H_2O (*V*/*V*= 3/1) (20 mL×2), THF (15 mL×2), MeOH (15 mL×2) and CH_2Cl_2 (15 mL×2) and dried in vacuum.

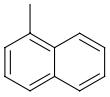
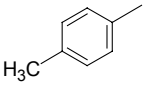
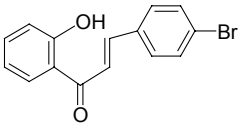
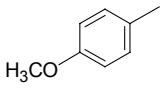
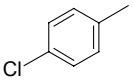
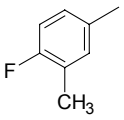
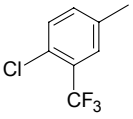
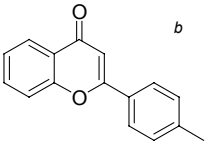
Typical procedure for the preparation of biarylchromen-4-ones (4)

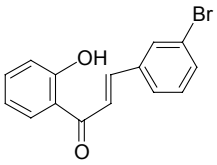
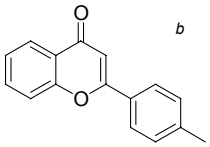
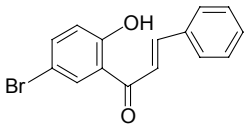
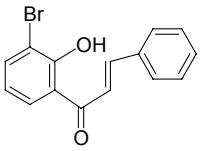
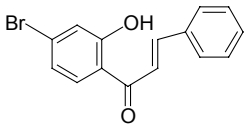
Resin **2** (0.5 g) was swollen in anhydrous DME (15

CHINESE JOURNAL OF CHEMISTRY

Table 2 Solid-phase synthesis of biaryl-chromen-4-ones (**4**)



Entry	Substrate	R	Product (Yield[a]/%)
1			**4a** (57)
2			**4b** (44)
3			**4c** (58)
4			**4d** (65)
5			**4e** (51)
6			**4f** (46)
7			**4g** (48)
8			**4h**[c] (40)

Entry	Substrate	R	Product (Yield[a]/%)
9			**4i** (51)
10			**4j**[c] (42)
11			**4k** (52)
12			**4l** (49)
13			**4m** (44)

[a] Isolated yields; [b] The substrate was flavone pinacolato boronate; [c] NaOH was used as the base in stead of Na_2CO_3 in Suzuki coupling reaction.

mL) and the system was flushed with nitrogen (30 min). $Pd(PPh_3)_4$ (5 mol %) was added, the reaction mixture was stirred (30 min) and treated with degassed Na_2CO_3 solution (2 mol/L, 8 equiv.) and stirred for 30 min. The boronic acid (6 equiv.) was added, the reaction mixture was flushed with nitrogen (15 min), heated to reflux for 24—36 h, cooled to room temperature, and treated with aqueous saturated NH_4Cl solution (10 mL) and further

stirred for 10 min. The resin was removed by filtration and the filtrate was washed successively with DME (15 mL×2), DME/H_2O (V∶V=1∶1) (15 mL×2), 0.3 mol/L HCI (15 mL×2), H_2O (30 mL×2), DMF (15 mL×2), EtOAc (15 mL×2), EtOAc/MeOH (V∶V=1∶1) (15 mL×2), MeOH (15 mL×2) and dried *in vacuo* (12 h) to afford resin **3a**—**3g**, **3i**, **3k**—**3m**.

Resin **2** (0.5 g) was swollen in anhydrous DME (15 mL) and the system was flushed with nitrogen (30 min). $Pd(PPh_3)_4$ (5 mol%) was added, the reaction mixture was stirred for 30 min and treated with degassed NaOH solution (6 mol/L, 12 equiv.) and stirred for 30 min. The flavone pinacolato boronate (4 equiv.) was added, the reaction mixture was flushed with nitrogen for 15 min and stirred at 85 ℃ for 16 h, cooled to room temperature, and treated with aqueous saturated NH_4Cl solution (15 mL) and further stirred for 10 min. The resin was removed by filtration and the filtrate was washed successively with saturated NH_4Cl solution (30 mL×2), DME (15 mL×2), DME/H_2O (V∶V=1∶1) (15 mL×2), 0.3 mol/L HCI (15 mL×2), H_2O (30 mL×2), DMF (15 mL×2), EtOAc (15 mL×2), EtOAc/MeOH (V∶V=1∶1) (15 mL×2), MeOH (15 mL×2) and dried *in vacuo* (12 h) to afford resin **3h** and **3j**.

To a suspension of the swollen resin **3** (0.5 g) in THF (15 mL) was added 30% (aq.) H_2O_2 (0.5 mL) and stirred for 1 h at 0 ℃, then at room temperature for 20 min. The mixture was filtered and the resin was washed with CH_2Cl_2 (10 mL×2). The filtrate was washed with H_2O (10 mL×2), dried over $MgSO_4$, and evaporated to dryness in vacuum to afford crude products. The crude products were further purified by column chromatography (eluent: petroleum ether/EtOAc, V/V=1/8) to afford biaryl-chromen-4-ones **4a**—**4m**.

2-Biphenyl-4-yl-chromen-4-one (4a) Pale yellow solid, m.p. 142—144 ℃; ^{1}H NMR ($CDCl_3$, 400 MHz) δ: 8.24 (dd, J=8.0, 0.8 Hz, 1H), 7.99 (d, J=8.4 Hz, 2H), 7.76—7.63 (m, 5H), 7.58 (d, J=8.4 Hz, 1H), 7.48 (t, J=7.6 Hz, 2H), 7.44—7.39 (m, 2H), 6.87 (s, 1H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ: 178.4, 163.2, 156.3, 144.4, 139.8, 133.8, 130.6, 129.0, 128.2, 127.7, 127.2, 126.8, 125.8, 125.3, 124.1, 118.1, 107.5; MS (70 eV) *m/z* (%): 43 (100), 298 (M^+); IR (neat) v: 3071, 1647, 1605, 1466, 1376, 768 cm^{-1}. Anal. calcd for $C_{21}H_{14}O_2$: C 84.54, H 4.73; found C 84.60, H 4.66.

2-[4'-(Naphthalen-1-yl)]-phenyl-chromen-4-one (4b) Yellow solid, m.p. 135—137 ℃; ^{1}H NMR ($CDCl_3$, 400 MHz) δ: 8.28 (d, J=8.4 Hz, 1H), 8.08 (d, J=8.4 Hz, 2H), 7.97—7.88 (m, 3H), 7.75—7.66 (m, 3H), 7.63 (d, J=8.4 Hz, 1H), 7.60—7.43 (m, 5H), 6.94 (s, 1H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ: 178.5, 163.3, 156.4, 144.4, 138.9, 133.9, 133.8, 131.3, 130.8, 130.7, 128.5, 128.4, 127.7, 127.0, 126.4, 126.3, 126.0, 125.8, 125.6, 125.4, 124.1, 118.1, 107.7; MS (70 ev) *m/z* (%): 120 (100), 348 (M^+); IR (neat) v: 2926, 1638, 1466, 1466, 1376, 912, 742, 650 cm^{-1}. Anal. calcd for $C_{25}H_{16}O_2$: C 86.19, H 4.63; found C 86.15, H 4.71.

2-(4'-Methyl-biphenyl-4-yl)-chromen-4-one (4c) White solid, m.p. 140—142 ℃; ^{1}H NMR ($CDCl_3$, 400 MHz) δ: 8.25 (d, J=8.0 Hz, 1H), 8.00 (d, J=8.4 Hz, 2H), 7.74 (d, J=8.4 Hz, 2H), 7.70 (t, J=6.8 Hz, 1H), 7.59 (d, J=8.4 Hz, 1H), 7.56 (d, J=8.0 Hz, 2H), 7.43 (t, J=7.6 Hz, 1H), 7.29 (d, J=7.6 Hz, 2H), 6.87 (s, 1H), 2.42 (s, 3H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ: 178.7, 163.4, 159.4, 156.5, 144.6, 134.0, 132.0, 130.0, 127.5, 127.2, 127.0, 126.0, 125.4, 123.0, 118.3, 112.3, 107.5, 21.3; MS (70 ev) *m/z* (%): 192 (100), 312 (M^+); IR (neat) v: 2923, 1638, 1461, 1371, 1043, 811, 775 cm^{-1}. Anal. calcd for $C_{22}H_{16}O_2$: C 84.59, H 5.16; found C 84.65, H 5.10.

2-(4'-Methoxy-biphenyl-4-yl)-chromen-4-one (4d) White solid, m.p. 154—156 ℃; ^{1}H NMR ($CDCl_3$, 400 MHz) δ: 8.25 (d, J=8.0 Hz, 1H), 7.99 (d, J=8.0 Hz, 2H), 7.73—7.69 (m, 3H), 7.60 (d, J=9.2 Hz, 2H), 7.59 (d, J=8.4 Hz, 1H), 7.43 (t, J=7.2 Hz, 1H), 7.02 (d, J=9.2 Hz, 2H), 6.87 (s, 1H), 3.87 (s, 3H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ: 178.4, 163.3, 159.9, 156.3, 144.0, 133.7, 132.1, 129.8, 128.2, 127.5, 126.7, 125.7, 125.2, 124.0, 118.0, 114.4, 107.2, 56.3; MS (70 eV) *m/z* (%): 57 (100), 328 (M^+); IR (neat) v: 2924, 1641, 1460, 1373, 1255, 1031, 820, 776 cm^{-1}. Anal. calcd for $C_{22}H_{16}O_3$: C 80.47, H 4.91; found C 80.41, H 4.98.

2-(4'-Chloro-biphenyl-4-yl)-chromen-4-one (4e) White solid, mp. 178—180 ℃; ^{1}H NMR ($CDCl_3$, 400 MHz) δ: 8.26 (dd, J=7.6, 2.0 Hz, 1H), 8.02 (d, J=8.8 Hz, 2H), 7.75—7.70 (m, 3H), 7.63—7.57 (m, 3H), 7.49—7.42 (m, 3H), 6.89 (s, 1H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ: 178.3, 162.9, 156.2, 143.0, 138.1, 134.4, 133.7, 130.8, 129.1, 128.3, 127.4, 126.8, 125.7, 125.2, 124.0, 118.0, 107.5; MS (70 eV) *m/z* (%): 57 (100), 332 (M^+); IR (neat) v: 2927, 1640, 1605, 1467, 1376, 1095, 908, 737 cm^{-1}. Anal. calcd for $C_{21}H_{13}O_2Cl$: C 75.79, H 3.94, Cl 10.65; found C 75.72, H 4.03, Cl 10.60.

2-(4'-Floro-3'-methyl-biphenyl-4-yl)-chromen-4-one (4f) White solid, m.p. 143—145 ℃; ^{1}H NMR ($CDCl_3$, 400 MHz) δ: 8.23 (dd, J=1.25, 7.95 Hz, 1H), 7.97 (d, J=8.4 Hz, 2H), 7.72—7.66 (m, 3H), 7.58 (d, J=8.4 Hz, 1H), 7.45—7.40 (m, 3H), 7.10 (t, J=8.9 Hz, 1H), 6.85 (s, 1H), 2.36 (s, 3H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ: 178.4, 163.0, 162.7, 160.3, 156.2, 143.5, 135.5, 135.5, 133.7, 130.3, 130.2, 130.2, 127.4, 126.7, 126.0, 126.0, 125.7, 125.5, 125.3, 125.2, 124.0, 118.0, 115.6, 115.4, 107.4, 14.68, 14.65; MS (70 eV) *m/z* (%): 210 (40.2), 330 (M^+); IR (neat) v: 2923, 2853, 1640, 1464, 1373, 1122, 807, 772, 753 cm^{-1}; HRMS calcd for $C_{22}H_{15}FO_2$ $[M]^+$ 330.1056, found 330.1053.

2-(4'-chloro-3'-trifluoromethyl-biphenyl-4-yl)-chromen-4-one (4g) White solid, mp. 210—212 ℃; ^{1}H NMR ($CDCl_3$, 400 MHz) δ: 8.24 (dd, J=1.2, 7.9 Hz, 1H), 8.03 (d, J=8.4 Hz, 2H), 7.94 (d, J=1.7 Hz, 1H), 7.75—7.70 (m, 4H), 7.61 (t, J=8.1 Hz, 2H), 7.44 (t, J=7.6 Hz, 1H), 6.88 (s, 1H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ: 178.2, 162.5, 156.2, 141.6, 138.6, 133.8, 132.2, 132.14, 132.08, 131.6, 131.1, 129.2, 128.9, 127.5, 127.0, 126.2, 126.1, 126.07, 126.01, 125.7, 125.3, 124.1, 124.0, 121.3, 118.0, 107.8; MS (70 eV) *m/z* (%): 120 (67.9),

CHINESE JOURNAL OF CHEMISTRY

400 (M^+, 100); IR (neat) *v*: 2924, 2853, 1636, 1462, 1375, 1116, 823, 773, 666 cm^{-1}; HRMS calcd for $C_{22}H_{12}ClF_3O_2$ $[M]^+$ 400.0478, found 400.0474.

4', 4'''-Biflavone (4h) White solid, m.p. ＞300 ℃; ^1H NMR ($CDCl_3$, 400 MHz) δ: 8.28 (dd, J=7.9, 1.5 Hz, 2H), 8.09 (d, J=8.4 Hz, 4H), 7.85 (d, J=8.4 Hz, 4H), 7.79—7.72 (m, 2H), 7.64 (d, J=8.1 Hz, 2H), 7.47 (t, J=7.4 Hz, 2H), 6.93 (s, 2H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ: 178.9, 163.5, 156.7, 143.4, 134.5, 131.9, 128.2, 127.5, 126.3, 125.9,124.3, 118.5, 108.1; HRMS calcd for $C_{30}H_{18}O_4$ $[M]^+$ 442.1205, found 442.1204.

2-Biphenyl-3-yl-chromen-4-one (4i) Pale yellow solid, m.p. 120—123 ℃; ^1H NMR ($CDCl_3$, 400 MHz) δ: 8.24 (dd, J=7.9, 1.6 Hz, 1H), 8.12 (t, J=1.7 Hz, 1H), 7.87 (ddd, J=7.8, 1.9, 1.2 Hz, 1H), 7.73 (ddd, J=8.4, 1.5, 1.2 Hz, 1H), 7.69—7.36 (m, 9H), 6.88 (s, 1H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ: 178.2, 163.2, 156.2, 142.1, 140.0, 133.6, 132.2, 130.3, 129.4, 128.8, 127.8, 127.1, 125.6, 125.2, 125.0, 123.8, 118.1, 107.6; MS (70 eV) *m/z* (%): 298 (M^+); IR (neat) *v*: 3070, 1645, 1609 cm^{-1}; Anal. calcd for $C_{21}H_{14}O_2$: C 84.54, H 4.73; found C 84.59, H 4.69.

4',3'''-Biflavone (4j) White solid, m.p. 265—267 ℃; ^1H NMR ($CDCl_3$, 400 MHz) δ: 8.28 (dd, J=7.9, 1.5 Hz, 2H), 8.21 (d, J=1.4 Hz, 1H), 8.10 (d, J=8.4 Hz, 2H), 8.00 (d, J=8.0 Hz, 1H), 7.84 (d, J=8.4 Hz, 3H), 7.78—7.72 (m, 2H), 7.70—7.62 (m, 3H), 7.47 (t, J=7.4 Hz, 2H), 6.94 (s, 2H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ: 178.9, 163.5, 163.3, 156.7, 143.7, 141.3, 134.4, 134.3, 133.1, 131.8, 130.7, 130.2, 128.2, 127.5, 126.3, 126.1, 125.8, 125.7, 125.4, 124.4, 118.6, 118.5, 108.5, 108.1; HRMS calcd for $C_{30}H_{18}O_4$ $[M]^+$ 442.1205, found 442.1207.

2,6-Diphenyl-chromen-4-one(4k) Pale yellow solid, m.p. 149—151 ℃ (from MeOH/H_2O); ^1H NMR ($CDCl_3$, 400 MHz) δ: 8.45 (d, J=2.3 Hz, 1H), 7.90—8.02 (m, 3H), 7.62—7.74 (m, 3H), 7.34—7.58 (m, 6H), 6.87 (s, 1H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ: 178.5, 163.4, 155.7, 139.3, 138.4, 132.6, 131.8, 131.6, 129.1, 129.0, 127.8, 127.2, 126.3, 124.1, 123.5, 118.6, 107.6; MS (70 eV) *m/z* (%): 298 (M^+); IR (neat) *v*: 3072, 1635, 1496 cm^{-1}. Anal. calcd for $C_{21}H_{14}O_2$: C 84.54, H 4.73; found C 84.61, H 4.78.

2,8-Diphenyl-chromen-4-one (4l) White solid, m.p. 125—127 ℃; ^1H NMR ($CDCl_3$, 400 MHz) δ: 8.27 (d, J=7.8 Hz, 1H), 7.81—7.69 (m, 3H), 7.66—7.62 (m, 2H), 7.59—7.39 (m, 7H), 6.88 (s, 1H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ: 178.5, 163.1, 153.0, 136.1, 134.6, 132.0, 131.6, 131.6, 129.6, 129.1, 128.5, 128.2, 126.2, 125.2, 125.1, 124.5, 107.0; MS (70 eV) *m/z* (%): 298 (M^+); IR (neat) *v*: 3050, 1643 cm^{-1}. Anal. calcd for $C_{21}H_{14}O_2$: C 84.54, H 4.73; found C 84.56, H 4.80.

2,7-Diphenyl-chromen-4-one (4m) Pale yellow solid, m.p. 143—145 ℃; ^1H NMR ($CDCl_3$, 400 MHz) δ: 8.26 (d, J =8.3 Hz, 1H), 7.93—7.97 (m, 2 H), 7.78 (d, J=1.5 Hz, 1H), 7.61—7.74 (m, 4H), 7.58—7.39 (m, 5H), 6.85 (s, 1H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ: 178.2, 163.6, 156.6, 146.8, 139.1, 131.8, 131.5, 129.0, 128.5, 127.3, 126.3, 126.1, 124.2, 122.7, 116.0, 107.6; IR (neat) *v*: 3072, 1643, 1625, 1608 cm^{-1}; MS (70 eV) *m/z* (%): 298 (M^+). Anal. calcd for $C_{21}H_{14}O_2$: C 84.54, H 4.73; found C 84.50, H 4.67.

Conclusions

In summary, we have developed an improved solid-phase synthetic method for the preparation of biaryl-chromen-4-ones with good yields. The easy workup procedure provides the method that is well-suited for better building the parallel libraries of biflavones with a biaryl linkage.

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