Supporting Information

Visible-Light Driven Synthesis of Polycyclic Benzo[d][1,3]oxazocine

From 2-Aminochalcone via Tandem

Aromatization/Dearomatization Process

Yu-Qi Gao, Yi, Hou, Liming Zhu, Junhan Chen, Ruoxin Li, Sheng-Yong Zhang, * Yu-Peng He, * and Weiqing Xie*

Table of Contents

1. General Information	S1		
 Preparation of the Substrates	S2 		
		5. Mechanistic Studies	S33
		6. References	S35
7. NMR Spectra	S36		
8. OTEP Drawing of 6aa, 6ba, 6co	S107		

1.General Information

Unless otherwise noted, all reagents were obtained from commercial sources and used directly without further purification. Non-aqueous reaction was conducted under an inert atmosphere of argon in flame-dried glassware. Anhydrous solvents were treated as follow: dichloromethane and toluene were distilled from calcium hydride under argon atmosphere; chloroform and carbon tetrachloride were distilled from phosphorus pentoxide under argon atmosphere; tetrahydrofuran and diethyl ether were distilled from sodium under argon atmosphere. Anhydrous 1,2-dichloroethane (Adamas-beta, SafeDry, with molecular sieves) was commercial available. Thin layer chromatography was conducted on Merck 60 F254 pre-coated silica gel plates. Column chromatography was carried out by normal silica gel (40-60 µm, 200-400 mesh, Silicycle P60). NMR data including ¹H NMR or ¹³C NMR spectra were recorded on Bruker AVANCE III 500MHz. All of the ¹³C NMR spectra were broad band proton-decoupled. ¹H NMR Chemical shifts were reported in ppm relative to residual signals of the solvents (CDCl₃: 7.26 ppm; (CD₃)₂CO: 2.09 ppm; (CD₂Cl₂: 5.32 ppm). ¹³C NMR chemical shifts were reported in ppm relative to the solvent (CDCl₃:77.36 ppm; (CD₃)₂CO: 30.6 ppm; CD₂Cl₂: 53.84 ppm). Multiplicities are reported using the following abbreviations: s = singlet, d = doublet, t = triplet, q =quartet, m = multiplet, br = broad resonance. High resolution mass spectra were obtained from IonSpec 4.7 Tesla FTMS mass spectrometer (MALDI), Bruker APEXIII 7.0 TESLA FTMS (ESI). IR spectra were obtained using a Bruker Tensor 27 FT-IR spectrometer with KBr pellets. The melting points of the products were determined on an SGW_® X-4 apparatus (Shanghai INESA Physico-Optical Instrument Co., Ltd.). Photoirradiation was carried out with 24 W blue LED.

2. Preparation of Substrates

General Procedure for Synthesis of 2-Aminochalcone 4a-4o^{[1][2][3]}.



To a reaction tube equipped with a magnetic stir bar and 2-bromoacetophenone (1.0 equiv., 30 mmol) dissolved in CH_2Cl_2 (1 M), a solution of triphenylphosphine (1.2 equiv.) in CH_2Cl_2 (0.5 M) was added dropwise and the mixture was stirred at room temperature for 24 h. After 2-bromoacetophenone was consumed completely, diethyl ether (100 mL) was added and stirred for a further hour. The crude triphenylphosphonium bromide salt was suspended in a mixture of water/methanol (100 mL, 1:1) and stirred for 1 h. Aqueous NaOH (2 M) was then added until pH reached 7-8 and the mixture was stirred at room temperature for another 5 h. Methanol was removed by rotary evaporator and the aqueous layer was extracted 3x with CH_2Cl_2 . The combined organic extracts were then dried with Na_2SO_4 and concentrated by rotary evaporator to afford the wittig reagent as a white solid.

2-Nitrobenzaldehyde (1.0 equiv., 10 mmol), phosphoris ylide (1.2 equiv.) and toluene (0.2 M) were added to a reaction tube equipped with a magnetic stir bar. The mixture was stirred at 90 $^{\circ}$ C until 2-Nitrobenzaldehyde completely consumed. The solvent was removed by rotary evaporator and the residue was purified by column chromatography on silica gel (EtOAc/petroleum ether = 1: 7) to provide 2-nitrochalcone.

To a solution of 2-nitrochalcon (1.0 equiv.) in ethanol (0.1 M), iron powder (7.0 equiv.), acetic acid (1.0 equiv.) and hydrochloric acid (1.5 equiv.) were added and the mixture was stirred at room temperature for 4h. After 2-nitrochalcon was consumed completely, the mixture was filtered and saturated sodium carbonate was added to basify the filtrate until pH reached 7-8. After an evaporation of ethanol, the residue was exacted 3x with CH₂Cl₂, and the combined organic extracts were washed with water, saturated brine and dried over anhydrous Na₂SO₄. The organic solvent was removed by rotary evaporator and the crude residue was recrystallized using Ethyl acetate/Petroleum ether to afford the 2-aminochalcones.

To a solution of 2-aminochalcone (1.0 equiv.) in acetonitrile (1 M), potassium carbonate (1.0 equiv.) and benzyl bromide (1.0 equiv.) were added and stirred at room temperature overnight. After 2-aminochalcone was consumed completely, the potassium carbonate was filter out and the solvent was evaporated under reduced pressure. The residue was purified by column chromatography on silica gel (EtOAc/petroleum ether = 1: 7) to give the desired product.



Following the general procedure, compound **4a** was isolated as a yellow solid in 42% yield (1.32 g). **M.p.** 113.6-114.3 °C; **IR (KBr)** V_{max} : 3448, 3018, 2852, 1653, 1576, 1505, 1444, 1334, 1207, 1011, 728, 684 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 8.10 – 7.98 (m, 3H), 7.64 – 7.45 (m, 5H), 7.43 – 7.34 (m, 4H), 7.33 – 7.23 (m, 2H), 6.78 (t, *J* = 7.5 Hz, 1H), 6.70 (d, *J* = 8.3 Hz, 1H), 4.63 (t, *J* = 5.5 Hz, 1H), 4.42 (d, *J* = 5.3 Hz, 2H).

¹³C NMR (126 MHz, CDCl₃): δ 190.36, 147.45, 140.31, 138.93, 138.66, 133.05, 132.28, 129.09, 128.93, 128.74, 128.62, 127.77, 127.73, 122.27, 120.73, 117.90, 112.26, 48.45.

HRMS (ESI): exact mass calcd for C₂₂H₂₀NO: m/z 314.1545 [M+H]⁺, found: m/z 314.1539.



Following the general procedure, compound **4b** was isolated as a yellow solid in 40% yield (1.31 g). **M.p.** 101.2-101.6 °C; **IR (KBr)** V_{max} : 3355, 3026, 2854, 1658, 1563, 1509, 1452, 1319, 1223, 1168, 1072, 821, 738, 695, 465 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 8.07 – 7.97 (m, 3H), 7.64 – 7.55 (m, 1H), 7.54 – 7.47 (m, 3H), 7.42 – 7.32 (m, 5H), 7.32 – 7.27 (m, 1H), 7.08 (dd, *J* = 8.5, 2.0 Hz, 1H), 6.61 (d, *J* = 8.3 Hz, 1H), 4.48 (t, *J* = 5.6 Hz, 1H), 4.40 (d, *J* = 5.5 Hz, 2H), 2.29 (s, 3H).

¹³C NMR (126 MHz, CDCl₃): δ 190.40, 145.45, 140.39, 139.15, 138.73, 133.15, 133.02, 129.07, 128.93, 128.80, 128.75, 127.73, 127.71, 127.04, 121.97, 120.70, 112.56, 48.67, 20.65.

HRMS (ESI): exact mass calcd for C₂₃H₂₂NO: m/z 328.1701 [M+H]⁺, found: m/z 328.1696.



Following the general procedure, compound **4c** was isolated as a yellow solid in 43% yield (1.50 g). **M.p.** 111.4-111.8 °C; **IR (KBr)** V_{max} : 3367, 3055, 2858, 1658, 1593, 1497, 1313, 1179, 1020, 822, 740, 693, 466 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 8.02 (d, J = 7.6 Hz, 2H), 7.96 (d, J = 15.2 Hz, 1H), 7.64 – 7.56 (m, 1H), 7.53 – 7.46 (m, 4H), 7.39 – 7.33 (m, 4H), 7.33 – 7.27 (m, 1H), 7.18 (dd, J = 8.9, 2.5 Hz, 1H), 6.60 (d, J = 8.8 Hz, 1H), 4.63 (t, J = 5.4 Hz, 1H), 4.40 (d, J = 5.3 Hz, 2H).

¹³C NMR (126 MHz, CDCl₃): δ 189.97, 145.88, 138.58, 138.49, 138.34, 133.30, 131.73, 129.16, 129.01, 128.80, 127.90, 127.69, 127.65, 123.26, 122.76, 122.02, 113.54, 48.50.

HRMS (ESI): exact mass calcd for C₂₂H₁₉ClNO: m/z 348.1155 [M+H]⁺, found: m/z 348.1150.



Following the general procedure, compound **4d** was isolated as a yellow solid in 39% yield (1.53 g). **M.p.** 105.4-106.0 °C; **IR (KBr)** V_{max} : 3370, 3027, 2918, 1650, 1572, 1503, 1446, 1341, 1269, 1217, 1031, 858, 782, 696, 464 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 8.00 (d, J = 7.7 Hz, 2H), 7.92 (d, J = 15.2 Hz, 1H), 7.63 – 7.55 (m, 1H), 7.54 – 7.44 (m, 3H), 7.44 – 7.29 (m, 6H), 6.93 – 6.81 (m, 2H), 4.61 (t, J = 5.4 Hz, 1H), 4.37 (d, J = 5.1 Hz, 2H).

¹³C NMR (126 MHz, CDCl₃): δ 190.07, 148.19, 139.11, 138.43, 138.10, 133.23, 129.71, 129.25, 128.99, 128.74, 128.08, 127.88, 126.67, 122.57, 120.93, 119.60, 114.98, 48.43.

HRMS (ESI): exact mass calcd for $C_{22}H_{19}BrNO$: m/z 392.0650 [M+H]⁺, found: m/z 392.0645.



Following the general procedure, compound **4e** was isolated as a yellow solid in 42% yield (1.44 g). **M.p.** 67.3-67.6 °C; **IR (KBr)** V_{max} : 3405, 3018, 2931, 1648, 1596, 1456, 1333, 1246, 1198, 1022, 975, 747 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 7.95 – 7.80 (m, 1H), 7.68 – 7.62 (m, 1H), 7.50 – 7.43 (m, 2H), 7.40 – 7.28 (m, 6H), 7.25 – 7.20 (m, 1H), 7.04 (t, *J* = 7.5 Hz, 1H), 6.97 (d, *J* = 8.4 Hz, 1H), 6.75 (t, *J* = 7.5 Hz, 1H), 6.67 (d, *J* = 8.3 Hz, 1H), 4.63 – 4.55 (m, 1H), 4.41 (d, *J* = 5.4 Hz, 2H), 3.83 (s, 3H).

¹³C NMR (126 MHz, CDCl₃): δ 192.77, 158.48, 147.30, 139.03, 138.73, 133.28, 131.88, 130.87, 129.79, 129.09, 128.89, 127.74, 127.54, 121.14, 120.97, 117.84, 112.06, 111.96, 56.00, 48.47.

HRMS (ESI): exact mass calcd for $C_{23}H_{22}NO_2$: m/z 344.1651 [M+H]⁺, found: m/z 344.1645.



Following the general procedure, compound **4f** was isolated as a yellow solid in 40% yield (1.37 g). **M.p.** 114.6-115.0 °C; **IR (KBr)** *V*_{max}: 3436, 2922, 1650, 1599, 1510, 1454, 1342, 1266, 1222, 1166, 1027, 831, 745 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** $\delta 8.10 - 7.97$ (m, 3H), 7.57 - 7.49 (m, 2H), 7.41 - 7.22 (m, 6H), 6.97 (d, J = 8.4 Hz, 2H), 6.77 (t, J = 7.5 Hz, 1H), 6.68 (d, J = 8.3 Hz, 1H), 4.60 (t, J = 5.7 Hz, 1H), 4.42 (d, J = 5.4 Hz, 2H), 3.89 (s, 3H).

¹³C NMR (126 MHz, CDCl₃): δ 188.67, 163.71, 147.31, 139.48, 138.96, 132.04, 131.50, 131.05, 129.09, 128.52, 127.75, 122.31, 120.93, 117.84, 114.16, 112.14, 55.82, 48.44.

HRMS (ESI): exact mass calcd for $C_{23}H_{22}NO_2$: m/z 344.1651 $[M+H]^+$, found: m/z 344.1645.



Following the general procedure, compound **4g** was isolated as a yellow solid in 45% yield (1.47 g). **M.p.** 108.0-108.2 °C; **IR (KBr)** V_{max} : 3428, 3026, 2916, 1651, 1576, 1511, 1454, 1338, 1173, 1030, 818, 747 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 8.03 (d, J = 15.2 Hz, 1H), 7.96 – 7.90 (m, 2H), 7.57 – 7.48 (m, 2H), 7.43 – 7.33 (m, 4H), 7.32 – 7.23 (m, 4H), 6.77 (t, J = 7.5 Hz, 1H), 6.69 (d, J = 8.2 Hz, 1H), 4.59 (t, J = 5.7 Hz, 1H), 4.42 (d, J = 5.4 Hz, 2H), 2.44 (s, 3H).

¹³C NMR (126 MHz, CDCl₃): δ 189.92, 147.39, 143.91, 139.91, 138.97, 136.10, 132.15, 129.66, 129.11, 128.91, 128.61, 127.78, 127.76, 122.46, 120.88, 117.90, 112.21, 48.49, 22.01.

HRMS (ESI): exact mass calcd for C₂₃H₂₂NO: m/z 328.1701 [M+H]⁺, found: m/z 328.1696.



Following the general procedure, compound **4h** was isolated as a yellow solid in 48% yield (1.67 g). **M.p.** 129.0-129.4 °C; **IR (KBr)** V_{max} : 3399, 3021, 2919, 1651, 1587, 1510, 1338, 1217, 1086, 1035, 827, 743, 482 cm⁻¹.

¹**H** NMR (500 MHz, CDCl₃): δ 8.10 – 7.99 (m, 1H), 7.98 – 7.91 (m, 2H), 7.54 (d, J = 7.8 Hz, 1H), 7.50 – 7.40 (m, 3H), 7.40 – 7.24 (m, 6H), 6.76 (t, J = 7.5 Hz, 1H), 6.69 (d, J = 8.0 Hz, 1H), 4.60 (t, J = 5.1 Hz, 1H), 4.42 (d, J = 5.0 Hz, 2H).

¹³C NMR (126 MHz, CDCl₃): δ 189.02, 147.52, 140.81, 139.48, 138.83, 136.94, 132.54, 130.15, 129.25, 129.13, 128.63, 127.84, 127.76, 121.54, 120.49, 117.94, 112.32, 48.45.

HRMS (ESI): exact mass calcd for C₂₂H₁₉ClNO: m/z 348.1155 [M+H]⁺, found: m/z 348.1150.



Following the general procedure, compound **4i** was isolated as a yellow solid in 43% yield (1.69 g). **M.p.** 135.0-135.3 °C; **IR (KBr)** V_{max} : 3432, 3025, 2920, 1650, 1584, 1512, 1338, 1218, 1034, 824, 750, 470 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 8.05 (d, J = 15.1 Hz, 1H), 7.89 – 7.84 (m, 2H), 7.70 – 7.58 (m, 2H), 7.57 – 7.51 (m, 1H), 7.44 (d, J = 15.4 Hz, 1H), 7.41 – 7.19 (m, 6H), 6.76 (t, J = 7.5 Hz, 1H), 6.69 (d, J = 8.3 Hz, 1H), 4.60 (t, J = 5.4 Hz, 1H), 4.41 (d, J = 5.4 Hz, 2H).

¹³C NMR (126 MHz, CDCl₃): δ 189.23, 147.56, 140.91, 138.86, 137.40, 132.54, 132.24, 130.26, 129.13, 128.67, 128.16, 127.84, 127.76, 121.54, 120.53, 117.97, 112.36, 48.49.

HRMS (ESI): exact mass calcd for C₂₂H₁₉BrNO: m/z 392.0650 [M+H]⁺, found: m/z 392.0645.



Following the general procedure, compound **4j** was isolated as a yellow solid in 38% yield (1.26 g). **M.p.** 100.3-100.6 °C; **IR (KBr)** V_{max} : 3434, 3024, 2909, 1651, 1569, 1506, 1337, 1215, 1029, 832, 750 cm⁻¹.

¹**H NMR (500 MHz, (CD₃)₂CO):** δ 8.32 – 8.23 (m, 2H), 8.18 (dd, *J* = 15.2, 2.8 Hz, 1H), 7.78 – 7.71 (m, 2H), 7.57 – 7.42 (m, 2H), 7.41 – 7.25 (m, 5H), 7.24 – 7.18 (m, 1H), 6.75 – 6.65 (m, 2H), 6.35 – 6.08 (m, 1H), 4.59 – 4.55 (m, 2H).

¹³C NMR (126 MHz, (CD₃)₂CO): δ 189.01, 167.01 (d, *J* = 251.5 Hz), 149.46, 141.61, 141.56, 136.78, 133.38, 132.83, 132.76, 130.02, 129.61, 128.72, 128.41, 122.24, 121.80, 118.36, 117.19, 117.01, 113.72, 48.62.

¹⁹F NMR (470 MHz, (CD₃)₂CO): δ -108.40.

HRMS (ESI): exact mass calcd for $C_{22}H_{19}FNO$: m/z 332.1451 [M+H]⁺, found: m/z 332.1445.



Following the general procedure, compound **4k** was isolated as a yellow solid in 30% yield (0.75 g). **M.p.** 101.7-102.5 °C; **IR (KBr)** V_{max} : 3416, 3025, 2926, 1640, 1600, 1513, 1453, 1361, 1266, 1172, 971, 734, 483 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 7.72 (d, J = 15.8 Hz, 1H), 7.41 (dd, J = 7.7, 1.7 Hz, 1H), 7.39 – 7.27 (m, 5H), 7.25 – 7.20 (m, 1H), 6.77 – 6.72 (m, 1H), 6.72 – 6.63 (m, 2H), 4.51 – 4.34 (m, 3H), 2.35 (s, 3H).

¹³C NMR (126 MHz, CDCl₃): δ 198.37, 147.15, 138.94, 138.76, 132.14, 129.12, 128.63, 127.79, 127.67, 127.31, 120.31, 118.10, 112.37, 48.52, 28.71.

HRMS (ESI): exact mass calcd for $C_{17}H_{18}NO$: m/z 252.1388 [M+H]⁺, found: m/z 252.1383.



Following the general procedure, compound **4** was isolated as a yellow solid in 20% yield (0.59 g). **M.p.** 74.9-75.2 °C; **IR (KBr)** V_{max} : 3373, 2955, 1633, 1600, 1515, 1453, 1333, 1262, 1164, 977, 739 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 7.76 (d, J = 15.6 Hz, 1H), 7.42 (dd, J = 7.8, 1.6 Hz, 1H), 7.40 – 7.33 (m, 4H), 7.33 – 7.27 (m, 1H), 7.24 – 7.20 (m, 1H), 6.76 – 6.68 (m, 2H), 6.66 (dd, J = 8.3, 1.1 Hz, 1H), 4.48 (s, 1H), 4.41 (s, 2H), 2.49 (d, J = 7.0 Hz, 2H), 2.29 – 2.10 (m, 1H), 0.98 (d, J = 6.6 Hz, 6H).

¹³C NMR (126 MHz, CDCl₃): δ 200.38, 147.23, 138.98, 137.77, 132.04, 129.11, 128.51, 127.78, 127.68, 126.68, 120.41, 117.99, 112.28, 51.33, 48.50, 25.67, 23.07.

HRMS (ESI): exact mass calcd for C₂₀H₂₄NO: m/z 294.1858 [M+H]⁺, found: m/z 294.1852.



Following the general procedure, compound **4m** was isolated as a yellow solid in 22% yield (0.65 g). **M.p.** 94.1-94.5 °C; **IR (KBr)** V_{max} : 3442, 3025, 2964, 1668, 1580, 1511, 1467, 1336, 1083, 981, 752 cm⁻¹.

¹**H NMR (500 MHz, (CD₃)₂CO):** δ 7.96 (d, J = 15.2 Hz, 1H), 7.62 – 7.58 (m, 1H), 7.49 – 7.44 (m, 2H), 7.39 – 7.33 (m, 2H), 7.29 – 7.23 (m, 2H), 7.19 – 7.14 (m, 1H), 6.66 (t, J = 7.4 Hz, 2H), 6.06 (t, J = 5.7 Hz, 1H), 4.54 (d, J = 5.8 Hz, 2H), 1.24 (s, 9H).

¹³C NMR (126 MHz, (CD₃)₂CO): δ 204.29, 149.11, 141.62, 139.32, 132.84, 129.99, 129.24, 128.70, 128.36, 122.06, 121.85, 118.24, 113.50, 48.59, 44.27, 27.31.

HRMS (ESI): exact mass calcd for C₂₀H₂₄NO: m/z 294.1858 [M+H]⁺, found: m/z 294.1852.



Following the general procedure to afford corresponding 2-aminochalcone. To a solution of 2-aminochalcone (1.0 equiv.) in acetonitrile (1 M), potassium carbonate (1.0 equiv.) and iodomethane (2.0 equiv.) was added and stirred at room temperature overnight. After 2-aminochalcone was consumed completely, the potassium carbonate was filter out and the solvent was evaporated under reduced presure. The residue was purified by column chromatography on silica gel (EtOAc/petroleum ether = 1: 7) to give the desired compound 4n as a yellow solid in 55% yield (1.31 g).

M.p. 85.6-86.4 °C; **IR (KBr)** V_{max} : 3336, 3226, 2923, 1654, 1577, 1453, 1340, 1211, 1013, 736, 684 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 8.09 – 7.95 (m, 3H), 7.61 – 7.45 (m, 5H), 7.36 – 7.29 (m, 1H), 6.80 – 6.73 (m, 1H), 6.69 (d, J = 8.3 Hz, 1H), 4.28 (d, J = 6.5 Hz, 1H), 2.92 (d, J = 5.1 Hz, 3H).

¹³C NMR (126 MHz, CDCl₃): δ 190.51, 148.65, 140.39, 138.72, 133.02, 132.38, 128.94, 128.76, 128.42, 122.10, 120.48, 117.45, 111.26, 30.92.

HRMS (ESI): exact mass calcd for $C_{16}H_{16}NO$: m/z 238.1232 [M+H]⁺, found: m/z 238.1227.



40 was prepared according to references^[4] and obtained as a white solid in 60% yield (1.94 g).

M.p. 97.2-97.8 °C; **IR (KBr)** *V*_{max}: 3259, 2976, 1723, 1653, 1599, 1482, 1333, 1242, 1160, 1015, 751, 689 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 8.06 - 8.02 (m, 2H), 8.00 (d, *J* = 15.3 Hz, 1H), 7.85 (d, *J* = 8.3 Hz, 1H), 7.65 (dd, *J* = 7.8, 1.5 Hz, 1H), 7.63 - 7.57 (m, 1H), 7.56 - 7.48 (m, 3H), 7.40 (td, *J* = 8.3, 7.8, 1.5 Hz, 1H), 7.15 (t, *J* = 7.5 Hz, 1H), 6.63 (s, 1H), 1.53 (s, 9H).

¹³C NMR (126 MHz, CDCl₃): δ 190.18, 153.25, 139.49, 138.28, 137.53, 133.38, 131.46, 129.04, 128.85, 127.58, 126.72, 124.63, 124.32, 123.16, 81.43, 28.63.

HRMS (ESI): exact mass calcd for $C_{20}H_{22}NO_3$: m/z 324.1600 [M+H]⁺, found: m/z 324.1595.



4p was prepared according to references^[4] and obtained as a white solid in 72% yield (2.72 g).

M.p. 171.9-172.9 °C; **IR (KBr)** *V*_{max}: 3184, 3065, 1655, 1596, 1454, 1339, 1216, 1159, 1020, 758, 689, 553 cm⁻¹.

¹**H NMR (500 MHz, (CD₃)₂CO):** δ 8.94 (s, 1H), 8.15 – 8.08 (m, 2H), 7.96 (dd, *J* = 7.8, 1.6 Hz, 1H), 7.92 (d, *J* = 15.6 Hz, 1H), 7.72 – 7.66 (m, 1H), 7.65 – 7.51 (m, 5H), 7.44 (td, *J* = 7.6, 1.6 Hz, 1H), 7.38 (td, *J* = 7.6, 1.4 Hz, 1H), 7.32 (dd, *J* = 7.9, 1.4 Hz, 1H), 7.27 (d, *J* = 8.0 Hz, 2H), 2.25 (s, 3H).

¹³C NMR (126 MHz, (CD₃)₂CO): δ 190.59, 145.12, 140.98, 139.73, 138.66, 137.75, 134.38, 133.83, 132.32, 131.18, 130.29, 130.08, 129.77, 128.92, 128.82, 128.74, 125.09, 22.02.

HRMS (ESI): exact mass calcd for $C_{22}H_{20}NO_3S$: m/z 378.1164 [M+H]⁺, found: m/z 378.1158.

3. Substrate Scope of the Visible-Light Driven Reaction

General Procedure for Visible-light driven Synthesis of flavonoids 11, 12, 14.



2-Aminochalcone (0.1 mmol), bifunctional nucleophile (0.12 mmol), 4Å molecular sieves (100mg) and dichloromethane (3.0 mL) were added to a reaction tube equipped with a magnetic stir bar. The mixture was stirred under the irradiation by blue LED at room temperature under argon overnight and monitored by TLC. After 2-aminochalcone was consumed, the solvent was removed by rotary evaporator. The residue was directly purified by column chromatography on silica gel (**6aa-6am**, **6co-6cv**, **6ba-6bn**: EtOAc/Petroleum ether = 1: 10; **6ca-6cn**: EtOAc/DCM = 1: 15) to yield the desired products.



Following the **general procedure**, compound **6aa** was isolated as a white solid in 99% yield (40.8 mg). **M.p.** 173.0-173.3 °C; **IR (KBr)** V_{max} : 3024, 2937, 1651, 1615, 1491, 1453, 1379, 1178, 1059, 1025, 992, 861, 819, 753 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 7.69 – 7.50 (m, 2H), 7.52 – 7.29 (m, 4H), 7.21 – 7.04 (m, 3H), 6.98 (t, *J* = 7.9 Hz, 1H), 6.91 (d, *J* = 6.8 Hz, 2H), 6.76 (t, *J* = 7.5 Hz, 1H), 6.68 (d, *J* = 8.1 Hz, 1H), 4.72 (d, *J* = 17.5 Hz, 1H), 4.34 (d, *J* = 17.5 Hz, 1H), 4.16 (s, 1H), 2.55 – 2.24 (m, 4H), 2.14 – 1.85 (m, 4H).

¹³C NMR (126 MHz, CDCl₃): δ 196.90, 170.14, 142.06, 141.90, 139.42, 128.92, 128.80, 128.51, 128.38, 128.18, 127.20, 126.82, 126.69, 126.50, 118.90, 115.84, 112.97, 92.38, 50.37, 37.73, 37.18, 28.50, 21.17.

HRMS (ESI): exact mass calcd for $C_{28}H_{26}NO_2$: m/z 408.1964 [M+H]⁺, found: m/z 408.1958.



Following the **general procedure**, compound **6ab** was isolated as a yellow solid in 96% yield (40.4 mg).

M.p. 109.3-110.0 °C; **IR (KBr)** V_{max} : 3028, 2934, 1688, 1593, 1492, 1348, 1253, 1156, 1114, 1017, 881, 839, 700, 583 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 7.55 (d, J = 7.5 Hz, 2H), 7.44 – 7.32 (m, 3H), 7.31 – 7.26 (m, 1H), 7.16 – 7.05 (m, 3H), 6.91 (d, J = 6.7 Hz, 3H), 6.79 (dd, J = 8.2, 2.3 Hz, 1H), 6.58 (d, J = 8.2 Hz, 1H), 4.69 (d, J = 17.4 Hz, 1H), 4.30 (d, J = 17.4 Hz, 1H), 4.11 (t, 1H), 2.53 – 2.26 (m, 4H), 2.25 (s, 3H), 2.14 – 1.86 (m, 4H).

¹³C NMR (126 MHz, CDCl₃): δ 197.01, 170.31, 142.19, 139.63, 139.55, 128.89, 128.86, 128.74, 128.46, 128.37, 128.04, 127.73, 126.84, 126.65, 126.52, 115.81, 112.85, 92.53, 50.37, 37.88, 37.24, 28.53, 28.46, 21.19, 20.75.

HRMS (ESI): exact mass calcd for C₂₉H₂₈NO₂: m/z 422.2120 [M+H]⁺, found: m/z 422.2115.





Following the **general procedure**, compound **6ac** was isolated as a white solid in 91% yield (40.1 mg). **M.p.** 175.8-176.1 °C; **IR (KBr)** V_{max} : 3056, 2952, 1650, 1616, 1485, 1379, 1179, 1024, 856, 805, 700 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 7.54 (d, J = 7.5 Hz, 2H), 7.46 – 7.35 (m, 4H), 7.20 – 7.07 (m, 3H), 7.01 – 6.82 (m, 3H), 6.56 (d, J = 8.8 Hz, 1H), 4.63 (d, J = 17.4 Hz, 1H), 4.34 (d, J = 17.4 Hz, 1H), 4.11 (t, J = 3.1 Hz, 1H), 2.56 – 2.21 (m, 4H), 2.16 – 1.88 (m, 4H).

¹³C NMR (126 MHz, CDCl₃): δ 196.73, 170.26, 141.60, 140.45, 138.82, 130.30, 129.03, 128.67, 128.50, 127.90, 126.95, 126.89, 126.72, 126.38, 123.58, 115.19, 114.02, 92.14, 50.50, 37.46, 37.12, 28.47, 28.37, 21.12.

HRMS (ESI): exact mass calcd for $C_{28}H_{24}CINNaO_2$: m/z 464.1393 [M+Na]⁺, found: m/z 464.1388.



Following the **general procedure**, compound **6ad** was isolated as a white solid in 90% yield (43.7 mg).

M.p. 167.8-168.2 °C; **IR (KBr)** *V*_{max}: 3028, 2940, 1653, 1619, 1484, 1376, 1174, 1024, 818, 757, 696 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 7.54 (d, *J* = 7.5 Hz, 2H), 7.45 – 7.34 (m, 3H), 7.31 (d, *J* = 7.9 Hz, 1H), 7.21 – 7.06 (m, 3H), 6.97 – 6.79 (m, 4H), 4.63 (d, *J* = 17.4 Hz, 1H), 4.31 (d, *J* = 17.4 Hz, 1H), 4.10 (t, *J* = 3.0 Hz, 1H), 2.52 – 2.19 (m, 4H), 2.09 – 1.84 (m, 4H).

¹³C NMR (126 MHz, CDCl₃): δ 196.81, 170.03, 143.37, 141.49, 138.68, 129.32, 129.03, 128.71, 128.55, 127.77, 126.99, 126.85, 126.39, 121.69, 120.87, 115.90, 115.44, 91.89, 50.33, 37.49, 37.10, 28.37, 28.15, 21.10.

HRMS (ESI): exact mass calcd for $C_{28}H_{25}BrNO_2$: m/z 486.1069 [M+H]⁺, found: m/z 486.1063.



Following the **general procedure**, compound **6ae** was isolated as a red solid in 95% yield (41.5 mg). **M.p.** 136.5-136.8 °C; **IR (KBr)** V_{max} : 3036, 2937, 1650, 1611, 1490, 1380, 1247, 1176, 1117, 1024, 815, 749 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 7.84 (d, J = 7.3 Hz, 1H), 7.44 (dd, J = 7.4, 1.7 Hz, 1H), 7.39 – 7.32 (m, 1H), 7.15 – 7.00 (m, 4H), 6.95 – 6.89 (m, 4H), 6.71 (t, J = 7.3 Hz, 1H), 6.49 (d, J = 8.1 Hz, 1H), 4.53 – 4.40 (m, 2H), 4.14 (t, J = 3.0 Hz, 1H), 3.68 (s, 3H), 2.67 (dd, J = 12.9, 2.6 Hz, 1H), 2.61 – 2.51 (m, 1H), 2.46 – 2.26 (m, 3H), 2.06 – 1.87 (m, 3H).

¹³C NMR (126 MHz, CDCl₃): δ 197.01, 169.60, 156.42, 141.52, 139.72, 129.99, 129.08, 128.87, 128.37, 127.63, 126.90, 126.72, 126.54, 120.80, 118.10, 115.97, 112.25, 111.61, 91.63, 55.91, 49.27, 37.30, 33.09, 28.81, 28.65, 21.31.

HRMS (ESI): exact mass calcd for $C_{29}H_{28}NO_3$: m/z 438.2069 [M+H]⁺, found: m/z 438.2064.



Following the **general procedure**, compound **6af** was isolated as a white solid in 87% yield (38.3 mg). **M.p.** 172.8-173.5 °C; **IR (KBr)** V_{max} : 3042, 2948, 1650, 1612, 1501, 1381, 1250, 1173, 1028, 846, 749 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 7.51 – 7.39 (m, 3H), 7.16 – 7.07 (m, 3H), 7.00 – 6.85 (m, 6H), 6.79 – 6.69 (m, 1H), 6.66 (d, J = 8.2 Hz, 1H), 4.69 (d, J = 17.5 Hz, 1H), 4.36 (d, J = 17.5 Hz, 1H), 4.13 (t, J = 3.1 Hz, 1H), 3.83 (s, 19H), 2.51 – 2.23 (m, 4H), 2.12 – 1.84 (m, 4H).

¹³C NMR (126 MHz, CDCl₃): δ 196.93, 170.26, 141.90, 139.49, 139.14, 138.29, 129.61, 128.81, 128.37, 128.15, 127.15, 126.81, 126.65, 126.39, 118.81, 115.79, 112.93, 92.43, 50.26, 37.77, 37.19, 28.54, 28.51, 21.44, 21.18.

HRMS (ESI): exact mass calcd for C₂₉H₂₈NO₃: m/z 438.2069 [M+H]⁺, found: m/z 438.2064.



Following the **general procedure**, compound **6ag** was isolated as a white solid in 79% yield (33.2 mg). **M.p.** 196.5-197.0 °C; **IR (KBr)** V_{max} : 3036, 2950, 1648, 1614, 1491, 1381, 1180, 1111, 1026, 814, 738 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 7.53 – 7.35 (m, 3H), 7.22 (d, *J* = 7.9 Hz, 2H), 7.17 – 7.06 (m, 4H), 6.98 (t, *J* = 7.8 Hz, 1H), 6.91 (d, *J* = 7.1 Hz, 2H), 6.75 (t, *J* = 7.3 Hz, 1H), 6.67 (d, *J* = 8.1 Hz, 1H), 4.70 (d, *J* = 17.5 Hz, 1H), 4.36 (d, *J* = 17.5 Hz, 1H), 4.15 (s, 1H), 2.48 (dt, *J* = 17.4, 5.9 Hz, 1H), 2.39 (s, 5H), 2.30 (ddd, *J* = 27.2, 11.1, 3.8 Hz, 2H), 2.14 – 1.86 (m, 3H).

¹³C NMR (126 MHz, CDCl₃): δ 196.93, 170.26, 141.90, 139.49, 139.14, 138.29, 129.61, 128.81, 128.37, 128.15, 127.15, 126.81, 126.65, 126.39, 118.81, 115.79, 112.93, 92.43, 50.26, 37.77, 37.19, 28.54, 28.51, 21.44, 21.18.

HRMS (ESI): exact mass calcd for $C_{29}H_{28}NO_2$: m/z 422.2120 [M+H]⁺, found: m/z 422.2115.



Following the **general procedure**, compound **6ah** was isolated as a white solid in 82% yield (36.3 mg).

M.p. 177.6-177.9 °C; **IR** (**KBr**) *V*_{max}: 3054, 2952, 1654, 1620, 1489, 1380, 1180, 1105, 1023, 853, 745 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 7.54 – 7.41 (m, 3H), 7.37 (d, *J* = 8.4 Hz, 2H), 7.20 – 7.06 (m, 3H), 7.02 – 6.96 (m, 1H), 6.93 – 6.85 (m, 2H), 6.76 (t, *J* = 7.4 Hz, 1H), 6.70 (d, *J* = 8.1 Hz, 1H), 4.71 (d, *J* = 17.4 Hz, 1H), 4.29 (d, *J* = 17.4 Hz, 1H), 4.15 (s, 1H), 2.53 – 2.34 (m, 2H), 2.35 – 2.22 (m, 2H), 2.09 – 1.84 (m, 4H).

¹³C NMR (126 MHz, CDCl₃): δ 196.84, 169.84, 141.79, 140.68, 139.24, 134.47, 129.11, 128.71, 128.45, 128.25, 128.04, 127.30, 126.82, 126.80, 119.15, 115.88, 113.09, 91.98, 50.36, 37.64, 37.13, 28.41, 28.39, 21.12.

HRMS (ESI): exact mass calcd for $C_{28}H_{25}CINO_2$: m/z 442.1574 [M+H]⁺, found: m/z 442.1568.



Following the **general procedure**, compound **6ai** was isolated as a white solid in 85% yield (41.3 mg). **M.p.** 187.8-188.0 °C; **IR (KBr)** V_{max} : 3052, 2949, 1653, 1617, 1487, 1379, 1174, 1108, 1061, 996, 817, 738, 615 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 7.68 – 7.37 (m, 5H), 7.20 – 7.07 (m, 3H), 6.99 (t, *J* = 7.8 Hz, 1H), 6.96 – 6.85 (m, 2H), 6.76 (t, *J* = 7.4 Hz, 1H), 6.69 (d, *J* = 8.2 Hz, 1H), 4.71 (d, *J* = 17.4 Hz, 1H), 4.29 (d, *J* = 17.4 Hz, 1H), 4.15 (s, 1H), 2.51 – 2.22 (m, 4H), 2.09 – 1.85 (m, 4H).

¹³C NMR (126 MHz, CDCl₃): δ 196.84, 169.83, 141.73, 141.21, 139.18, 132.07, 128.65, 128.44, 128.36, 128.23, 127.29, 126.81, 126.77, 122.64, 119.14, 115.84, 113.06, 91.99, 50.35, 37.58, 37.13, 28.38, 21.11.

HRMS (ESI): exact mass calcd for C₂₈H₂₅BrNO₂: m/z 486.1069 [M+H]⁺, found: m/z 486.1063.



Following the **general procedure**, compound **6aj** was isolated as a white solid in 96% yield (40.8 mg). **M.p.** 164.5-165.2 °C; **IR (KBr)** V_{max} : 3037, 2936, 1651, 1613, 1498, 1381, 1220, 1174, 1109, 1060, 1024, 990, 804, 743 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 7.64 – 7.49 (m, 2H), 7.46 (d, J = 7.3 Hz, 1H), 7.19 – 7.04 (m, 5H), 7.03 – 6.88 (m, 3H), 6.76 (t, J = 7.5 Hz, 1H), 6.69 (d, J = 8.2 Hz, 1H), 4.71 (d, J = 17.4 Hz, 1H), 4.32 (d, J = 17.4 Hz, 1H), 4.15 (s, 1H), 2.53 – 2.24 (m, 4H), 2.13 – 1.84 (m, 4H).

¹³C NMR (126 MHz, CDCl₃): δ 196.87, 169.93, 162.78 (d, J = 247.5 Hz), 141.85, 139.27, 137.82 (d, J = 2.9 Hz), 128.68, 128.41, 128.35, 128.21, 127.26, 126.77, 119.06, 115.85, 115.68, 113.05, 91.99, 50.28, 37.70, 37.12, 28.41, 21.12.

¹⁹F NMR (470 MHz, CDCl₃): δ -113.96.

HRMS (ESI): exact mass calcd for C₂₈H₂₅BrNO₂: m/z 426.1869 [M+H]⁺, found: m/z 426.1864.



Following the **general procedure**, compound **6ak** was isolated as a white solid in 93% yield (32.1 mg).

M.p. 182.0-182.4 °C; **IR (KBr)** *V*_{max}: 2947, 1644, 1609, 1493, 1383, 1289, 1160, 1114, 1057, 850, 745 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 7.41 (dd, J = 7.4, 1.9 Hz, 1H), 7.38 – 7.31 (m, 2H), 7.31 – 7.22 (m, 3H), 7.04 – 6.88 (m, 1H), 6.72 (t, J = 7.4 Hz, 1H), 6.52 (d, J = 8.1 Hz, 1H), 5.05 (d, J = 18.3 Hz, 1H), 4.46 (d, J = 18.3 Hz, 1H), 4.14 (t, J = 2.9 Hz, 1H), 2.46 – 2.23 (m, 5H), 2.06 – 1.90 (m, 3H), 1.68 (s, 3H).

¹³C NMR (126 MHz, CDCl₃): δ 196.64, 170.28, 143.51, 140.04, 128.91, 128.30, 128.07, 127.32, 126.96, 126.23, 118.74, 116.05, 112.60, 88.65, 50.22, 36.94, 34.77, 28.68, 27.37, 27.07, 21.20.

HRMS (ESI): exact mass calcd for $C_{23}H_{24}NO_2$: m/z 346.1807 [M+H]⁺, found: m/z 346.1802.



Following the general procedure, compound 6al was isolated as a white solid in 92% yield (35.7 mg).

M.p. 114.0-114.5 °C; **IR (KBr)** V_{max} : 2957, 1645, 1610, 1493, 1455, 1381, 1241, 1122, 1032, 783cm⁻¹. ¹**H NMR (500 MHz, CDCl₃)**: δ 7.39 (dd, J = 7.5, 1.7 Hz, 1H), 7.32 – 7.25 (m, 2H), 7.24 – 7.20 (m, 1H), 7.17 (d, J = 7.5 Hz, 2H), 7.01 – 6.89 (m, 1H), 6.71 (t, J = 7.3 Hz, 1H), 6.57 (d, J = 8.1 Hz, 1H), 4.99 (d, J = 18.0 Hz, 1H), 4.50 (d, J = 18.0 Hz, 1H), 4.11 (t, J = 3.0 Hz, 1H), 2.39 – 2.21 (m, 3H), 2.21 – 2.06 (m, 3H), 2.00 – 1.84 (m, 4H), 1.81 – 1.69 (m, 1H), 0.95 (d, J = 6.6 Hz, 3H), 0.83 (d, J = 6.7 Hz, 3H).

¹³C NMR (126 MHz, CDCl₃): δ 155.59, 154.34, 145.45, 140.44, 134.00, 130.39, 128.25, 127.67, 126.80, 126.27, 124.70, 119.14, 117.83, 117.47, 108.80, 104.42, 91.72, 53.16, 40.74, 35.29, 32.64, 27.92.

HRMS (ESI): exact mass calcd for C₂₆H₃₀NO₂: m/z 388.2277 [M+H]⁺, found: m/z 388.2271.



Following the **general procedure**, compound **6am** was isolated as a white solid in 92% yield (35.8 mg).

M.p. 151.8-152.3 °C; **IR (KBr)** V_{max} : 2954, 1651, 1614, 1490, 1382, 1249, 1118, 1035, 993, 803, 737 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 7.36 (d, *J* = 7.2 Hz, 1H), 7.25 – 7.12 (m, 3H), 7.08 (d, *J* = 7.4 Hz, 2H), 6.87 (t, *J* = 7.7 Hz, 1H), 6.71 (d, *J* = 8.1 Hz, 2H), 4.97 (d, *J* = 17.2 Hz, 1H), 4.62 (d, *J* = 17.2 Hz, 1H), 3.96 (s, 1H), 2.48 – 2.31 (m, 2H), 2.28 – 2.19 (m, 1H), 2.18 – 2.02 (m, 3H), 2.01 – 1.84 (m, 2H), 1.21 (s, 9H).

¹³C NMR (126 MHz, CDCl₃): δ 197.04, 170.23, 145.44, 140.76, 131.87, 128.50, 127.38, 127.23, 126.79, 126.60, 119.78, 116.53, 115.12, 94.38, 53.08, 40.44, 37.15, 31.70, 28.49, 28.16, 27.80, 21.16. HRMS (ESI): exact mass calcd for $C_{26}H_{30}NO_2$: m/z 388.2277 [M+H]⁺, found: m/z 388.2271.



Following the **general procedure**, compound **6ba** was isolated as a yellow solid in 99% yield (45.3 mg).

M.p. 159.8-160.1 °C; **IR (KBr)** V_{max} : 3032, 2897, 1701, 1617, 1489, 1386, 1167, 1037, 978, 920, 852, 793, 753 cm⁻¹.

¹**H NMR (500 MHz, CD_2Cl_2):** δ 7.85 (dd, J = 7.9, 1.7 Hz, 1H), 7.73 (d, J = 7.5 Hz, 2H), 7.57 – 7.40 (m, 5H), 7.33 (d, J = 8.3 Hz, 1H), 7.29 – 7.23 (m, 1H), 7.03 – 6.97 (m, 1H), 6.95 – 6.81 (m, 5H), 6.78 (t, J = 7.4 Hz, 1H), 6.71 (d, J = 8.2 Hz, 1H), 4.71 (d, J = 17.2 Hz, 1H), 4.48 (d, J = 17.2 Hz, 1H), 4.28 (t, J = 3.0 Hz, 1H), 2.55 (dd, J = 13.4, 2.6 Hz, 1H), 2.36 (dd, J = 13.4, 3.4 Hz, 1H).

¹³C NMR (126 MHz, CD₂Cl₂): δ 162.10, 159.00, 152.68, 141.89, 141.53, 138.77, 132.00, 129.16, 128.87, 128.33, 128.23, 127.70, 127.57, 126.86, 126.71, 126.63, 124.12, 123.14, 119.07, 116.78, 116.06, 113.42, 105.75, 93.50, 51.14, 37.27, 30.37.

HRMS (ESI): exact mass calcd for C₃₁H₂₄NO₃: m/z 458.1756 [M+H]⁺, found: m/z 458.1751.



Following the **general procedure**, compound **6bb** was isolated as an orange solid in 84% yield (39.6 mg).

M.p. 138.2-138.6 °C; **IR (KBr)** *V*_{max}: 3028, 2853, 1720, 1628, 1495, 1385, 1168, 1027, 978, 747, 692 cm⁻¹.

¹**H NMR (500 MHz, CD_2Cl_2):** δ 7.84 (dd, J = 7.9, 1.7 Hz, 1H), 7.79 – 7.61 (m, 2H), 7.57 – 7.39 (m, 4H), 7.37 – 7.29 (m, 2H), 7.29 – 7.22 (m, 1H), 6.98 – 6.67 (m, 6H), 6.59 (d, J = 8.3 Hz, 1H), 4.67 (d, J = 17.2 Hz, 1H), 4.45 (d, J = 17.2 Hz, 1H), 4.23 (t, J = 3.0 Hz, 1H), 2.52 (dd, J = 13.4, 2.6 Hz, 1H), 2.34 (dd, J = 13.3, 3.4 Hz, 1H), 2.25 (s, 3H).

¹³C NMR (126 MHz, CD₂Cl₂): δ 162.16, 159.11, 152.70, 141.71, 139.46, 139.00, 131.96, 129.14, 128.82, 128.79, 128.42, 128.31, 128.17, 127.55, 126.89, 126.66, 124.10, 123.16, 116.77, 116.13, 113.35, 105.72, 93.72, 51.12, 37.47, 30.38, 20.43.

HRMS (ESI): exact mass calcd for $C_{32}H_{26}NO_3$: m/z 472.1913 [M+H]⁺, found: m/z 472.1907.



Following the **general procedure**, compound **6bc** was isolated as a pink solid in 99% yield (48.6 mg). **M.p.** 134.2-134.6 °C; **IR (KBr)** V_{max} : 3030, 2865, 1706, 1622, 1488, 1388, 1170, 1028, 980, 798, 759, 698 cm⁻¹.

¹**H NMR (500 MHz, CD_2Cl_2):** δ 7.84 (dd, J = 7.9, 1.6 Hz, 1H), 7.71 (d, J = 7.6 Hz, 2H), 7.58 – 7.40 (m, 5H), 7.39 – 7.30 (m, 1H), 7.29 – 7.22 (m, 1H), 6.96 (dd, J = 8.7, 2.6 Hz, 1H), 6.94 – 6.76 (m, 5H), 6.62 (d, J = 8.7 Hz, 1H), 4.65 (d, J = 17.3 Hz, 1H), 4.48 (d, J = 17.2 Hz, 1H), 4.24 (t, J = 3.0 Hz, 1H), 2.52 (dd, J = 13.5, 2.6 Hz, 1H), 2.36 (dd, J = 13.5, 3.4 Hz, 1H).

¹³C NMR (126 MHz, CD₂Cl₂): δ 162.00, 159.17, 152.75, 141.14, 140.68, 138.26, 132.22, 129.27, 129.24, 129.05, 128.44, 127.79, 127.38, 126.89, 126.83, 126.58, 124.23, 123.68, 123.17, 116.89, 115.91, 114.68, 105.09, 93.30, 51.26, 37.02, 30.27.

HRMS (ESI): exact mass calcd for $C_{31}H_{23}CINO_3$: m/z 492.1366 [M+H]⁺, found: m/z 492.1361.



Following the **general procedure**, compound **6bd** was isolated as a yellow solid in 95% yield (51.0 mg).

M.p. 137.6-137.9 °C; **IR** (**KBr**) V_{max} : 3071, 2941, 1713, 1623, 1486, 1387, 1166, 1028, 979, 864, 756, 696 cm⁻¹.

¹**H NMR (500 MHz, CD₂Cl₂):** δ 7.81 (dd, J = 8.0, 1.6 Hz, 1H), 7.78 – 7.59 (m, 2H), 7.57 – 7.41 (m, 4H), 7.37 (d, J = 7.9 Hz, 1H), 7.32 (d, J = 8.3 Hz, 1H), 7.28 – 7.23 (m, 1H), 6.94 – 6.77 (m, 7H), 4.63 (d, J = 17.2 Hz, 1H), 4.45 (d, J = 17.2 Hz, 1H), 4.24 (t, J = 3.0 Hz, 1H), 2.50 (dd, J = 13.5, 2.5 Hz, 1H), 2.36 (dd, J = 13.5, 3.5 Hz, 1H).

¹³C NMR (126 MHz, CD₂Cl₂): δ 161.97, 158.92, 152.69, 143.38, 140.97, 137.97, 132.17, 129.40, 129.26, 129.05, 128.45, 126.96, 126.87, 126.63, 126.54, 124.21, 123.11, 121.78, 121.28, 116.84, 116.35, 115.86, 105.28, 92.94, 51.09, 37.00, 29.97.

HRMS (ESI): exact mass calcd for C₃₁H₂₃BrNO₃: m/z 536.0861 [M+H]⁺, found: m/z 536.0856.



Following the **general procedure**, compound **6be** was isolated as an orange solid in 75% yield (36.6 mg).

M.p. 116.9-117.3 °C; **IR (KBr)** V_{max} : 2944, 1714, 1626, 1491, 1387, 1249, 1165, 1025, 977, 750 cm⁻¹. ¹**H NMR (500 MHz, CD₂Cl₂):** δ 8.08 (dd, J = 7.9, 1.8 Hz, 1H), 7.94 (dd, J = 8.0, 1.7 Hz, 1H), 7.58 – 7.53 (m, 1H), 7.49 – 7.41 (m, 2H), 7.36 – 7.27 (m, 2H), 7.15 – 7.10 (m, 1H), 7.04 – 6.90 (m, 3H), 6.90 – 6.80 (m, 4H), 6.77 – 6.72 (m, 1H), 6.56 (d, J = 8.2 Hz, 1H), 4.59 (d, J = 16.9 Hz, 1H), 4.49 (d, J = 16.9 Hz, 1H), 4.25 (t, J = 2.9 Hz, 1H), 3.74 (s, 3H), 2.90 (dd, J = 13.2, 2.6 Hz, 1H), 2.21 (dd, J = 13.1, 3.4 Hz, 1H).

¹³C NMR (126 MHz, CD₂Cl₂): δ 162.22, 158.66, 156.52, 152.84, 141.62, 139.24, 131.92, 130.46, 128.82, 128.61, 128.38, 127.91, 127.73, 127.50, 126.94, 126.67, 124.14, 123.14, 121.07, 118.40, 116.87, 116.39, 112.71, 111.96, 105.85, 92.92, 56.05, 49.98, 32.84, 30.60.

HRMS (ESI): exact mass calcd for $C_{32}H_{26}NO_4$: m/z 488.1862 [M+H]⁺, found: m/z 488.1856.



Following the **general procedure**, compound **6bf** was isolated as a yellow solid in 97% yield (47.3 mg).

M.p. 119.8-120.2 °C; **IR** (**KBr**) *V*_{max}: 2940, 2848, 1705, 1620, 1500, 1389, 1254, 1169, 1031, 978, 754 cm⁻¹.

¹**H NMR (500 MHz, CD₂Cl₂):** δ 7.83 (dd, J = 7.8, 1.7 Hz, 1H), 7.63 (d, J = 8.2 Hz, 2H), 7.56 – 7.50 (m, 1H), 7.48 (dd, J = 7.4, 1.7 Hz, 1H), 7.38 – 7.28 (m, 1H), 7.28 – 7.22 (m, 1H), 7.02 – 6.96 (m, 3H), 6.94 – 6.80 (m, 5H), 6.80 – 6.74 (m, 1H), 6.69 (d, J = 8.2 Hz, 1H), 4.69 (d, J = 17.2 Hz, 1H), 4.51 (d, J = 17.2 Hz, 1H), 4.26 (t, J = 2.9 Hz, 1H), 3.84 (s, 3H), 2.53 (dd, J = 13.4, 2.6 Hz, 1H), 2.33 (dd, J = 13.4, 3.4 Hz, 1H).

¹³C NMR (126 MHz, CD₂Cl₂): δ 162.14, 160.11, 159.08, 152.68, 141.97, 138.92, 133.45, 131.96, 128.33, 128.22, 127.91, 127.67, 127.57, 126.86, 126.68, 124.09, 123.14, 119.00, 116.78, 116.10, 114.38, 113.42, 105.75, 93.45, 55.74, 50.91, 37.28, 30.43.

HRMS (ESI): exact mass calcd for $C_{32}H_{26}NO_4$: m/z 488.1862 [M+H]⁺, found: m/z 488.1856.



Following the **general procedure**, compound **6bg** was isolated as a white solid in 94% yield (44.4 mg).

M.p. 117.5-118.0 °C; **IR** (**KBr**) *V*_{max}: 3033, 2930, 1706, 1622, 1491, 1454, 1388, 1169, 1036, 979, 750 cm⁻¹.

¹**H NMR (500 MHz, CD₂Cl₂):** δ 7.84 (dd, J = 7.9, 1.7 Hz, 1H), 7.60 (d, J = 7.8 Hz, 2H), 7.56 – 7.50 (m, 1H), 7.48 (dd, J = 7.4, 1.7 Hz, 1H), 7.37 – 7.19 (m, 4H), 7.01 – 6.96 (m, 1H), 6.95 – 6.80 (m, 5H), 6.79 – 6.74 (m, 1H), 6.68 (d, J = 8.1 Hz, 1H), 4.68 (d, J = 17.2 Hz, 1H), 4.49 (d, J = 17.2 Hz, 1H), 4.26 (t, J = 3.0 Hz, 1H), 2.52 (dd, J = 13.4, 2.6 Hz, 1H), 2.41 (s, 3H), 2.33 (dd, J = 13.4, 3.4 Hz, 1H).

¹³C NMR (126 MHz, CD₂Cl₂): δ 162.14, 159.09, 152.69, 141.90, 138.87, 138.57, 131.97, 129.81, 128.33, 128.21, 127.66, 127.60, 126.86, 126.69, 126.51, 124.10, 123.17, 119.00, 116.78, 116.10, 113.40, 105.73, 93.57, 51.04, 37.31, 30.42, 21.25.

HRMS (ESI): exact mass calcd for C₃₂H₂₆NO₃: m/z 472.1913 [M+H]⁺, found: m/z 472.1907.



Following the **general procedure**, compound **6bh** was isolated as an orange solid in 99% yield (48.6 mg).

M.p. 123.9-124.2 °C; **IR** (**KBr**) V_{max} : 3029, 2937, 1709, 1622, 1489, 1387, 1167, 1036, 979, 755 cm⁻¹. ¹**H NMR** (**500 MHz**, **CD**₂**Cl**₂): δ 7.80 (dd, J = 7.9, 1.5 Hz, 1H), 7.67 (d, J = 8.2 Hz, 2H), 7.56 – 7.51 (m, 1H), 7.49 (dd, J = 7.4, 1.7 Hz, 1H), 7.47 – 7.41 (m, 2H), 7.32 (d, J = 8.3 Hz, 1H), 7.27 – 7.22 (m, 1H), 7.04 – 6.98 (m, 1H), 6.94 – 6.76 (m, 6H), 6.73 (d, J = 8.2 Hz, 1H), 4.71 (d, J = 17.2 Hz, 1H), 4.28 (t, J = 3.0 Hz, 1H), 2.52 (dd, J = 13.4, 2.7 Hz, 1H), 2.34 (dd, J = 13.4, 3.5 Hz, 1H).

¹³C NMR (126 MHz, CD₂Cl₂): δ 162.01, 158.81, 152.69, 141.86, 140.25, 138.65, 134.74, 132.08, 129.32, 128.40, 128.30, 127.82, 127.52, 126.87, 126.82, 124.16, 123.07, 119.34, 116.83, 115.95, 113.56, 105.82, 93.14, 51.14, 37.19, 30.32.

HRMS (ESI): exact mass calcd for C₃₁H₂₃ClNO₃: m/z 492.1366 [M+H]⁺, found: m/z 492.1361.



Following the **general procedure**, compound **6bi** was isolated as a pink solid in 95% yield (50.9 mg). **M.p.** 121.6-122.1 °C; **IR (KBr)** V_{max} : 3031, 2938, 1712, 1626, 1489, 1389, 1167, 1034, 978, 752 cm⁻¹. ¹**H NMR (500 MHz, CD₂Cl₂):** δ 7.80 (dd, J = 8.0, 1.7 Hz, 1H), 7.67 – 7.57 (m, 4H), 7.56 – 7.48 (m, 2H), 7.32 (d, J = 8.3 Hz, 1H), 7.25 (t, J = 7.6 Hz, 1H), 7.04 – 6.98 (m, 1H), 6.98 – 6.75 (m, 6H), 6.72 (d, J = 8.2 Hz, 1H), 4.71 (d, J = 17.1 Hz, 1H), 4.45 (d, J = 17.1 Hz, 1H), 4.28 (t, J = 3.0 Hz, 1H), 2.52 (dd, J = 13.4, 2.6 Hz, 1H), 2.34 (dd, J = 13.4, 3.4 Hz, 1H).

¹³C NMR (126 MHz, CD₂Cl₂): δ 161.99, 158.77, 152.64, 141.77, 140.74, 138.59, 132.28, 132.07, 128.57, 128.38, 128.27, 127.79, 127.46, 126.83, 126.80, 124.14, 123.04, 122.90, 119.31, 116.81, 115.89, 113.52, 105.78, 93.14, 51.12, 37.10, 30.26.

HRMS (ESI): exact mass calcd for C₃₁H₂₃BrNO₃: m/z 536.0861 [M+H]⁺, found: m/z 536.0856.



Following the **general procedure**, compound **6bj** was isolated as a white solid in 98% yield (46.5 mg). **M.p.** 171.8-172.0 °C; **IR (KBr)** *V*_{max}: 3033, 2936, 1708, 1623, 1496, 1387, 1227, 1037, 981, 847, 754 cm⁻¹.

¹**H** NMR (500 MHz, CD₂Cl₂): δ 7.81 (dd, J = 8.0, 1.6 Hz, 1H), 7.77 – 7.59 (m, 2H), 7.56 – 7.51 (m, 1H), 7.49 (dd, J = 7.4, 1.7 Hz, 1H), 7.32 (dd, J = 8.4, 1.1 Hz, 1H), 7.29 – 7.23 (m, 1H), 7.19 – 7.12 (m, 2H), 7.04 – 6.97 (m, 1H), 6.94 – 6.76 (m, 6H), 6.72 (d, J = 8.2 Hz, 1H), 4.71 (d, J = 17.2 Hz, 1H), 4.46 (d, J = 17.2 Hz, 1H), 4.28 (t, J = 3.0 Hz, 1H), 2.54 (dd, J = 13.4, 2.6 Hz, 1H), 2.34 (dd, J = 13.4, 3.4 Hz, 1H).

¹³C NMR (126 MHz, CD₂Cl₂): δ163.05 (d, *J* = 247.1 Hz), 162.03, 158.85, 152.67, 141.92, 138.71, 137.45 (d, *J* = 3.2 Hz), 132.05, 128.72, 128.65, 128.37, 128.27, 127.78, 127.51, 126.85, 126.78, 124.14, 123.06, 119.26, 116.82, 116.04, 115.97, 115.87, 113.53, 105.81, 93.15, 51.06, 37.27, 30.33.

¹⁹F NMR (470 MHz, CD₂Cl₂): δ -114.35.

HRMS (ESI): exact mass calcd for C₃₁H₂₃FNO₃: m/z 476.1662 [M+H]⁺, found: m/z 476.1656.



Following the **general procedure**, compound **6bk** was isolated as a white solid in 88% yield (34.8 mg).

M.p. 176.2-176.7 °C; **IR** (**KBr**) *V*_{max}: 3038, 2937, 1696, 1622, 1491, 1387, 1283, 1159, 1044, 996, 755 cm⁻¹.

¹**H** NMR (500 MHz, CD_2Cl_2): δ 7.85 (dd, J = 7.8, 1.7 Hz, 1H), 7.54 – 7.47 (m, 1H), 7.43 (dd, J = 7.4, 1.7 Hz, 1H), 7.37 – 7.22 (m, 7H), 6.99 – 6.92 (m, 1H), 6.76 – 6.67 (m, 1H), 6.52 (d, J = 8.2 Hz, 1H), 5.13 (d, J = 18.3 Hz, 1H), 4.46 (d, J = 18.3 Hz, 1H), 4.21 (t, J = 3.1 Hz, 1H), 2.49 (dd, J = 13.2, 2.8 Hz, 1H), 2.31 (dd, J = 13.2, 3.4 Hz, 1H), 1.86 (s, 3H).

¹³C NMR (126 MHz, CD₂Cl₂): δ 162.10, 159.19, 152.66, 143.59, 139.84, 131.84, 128.98, 127.93, 127.89, 127.10, 127.07, 126.33, 124.01, 123.03, 119.02, 116.73, 116.24, 113.01, 105.65, 90.06, 50.40, 34.56, 29.63, 26.73.

HRMS (ESI): exact mass calcd for $C_{26}H_{22}NO_3$: m/z 396.1600 [M+H]⁺, found: m/z 396.1594.



Following the **general procedure**, compound **6bl** was isolated as a yellow solid in 80% yield (35.1 mg).

M.p. 157.2-157.5 °C; **IR (KBr)** *V*_{max}: 3032, 2962, 1710, 1622, 1493, 1456, 1389, 1108, 1037, 984, 759 cm⁻¹.

¹**H NMR (500 MHz, CD₂Cl₂):** δ 7.78 (dd, J = 8.1, 1.6 Hz, 1H), 7.53 – 7.47 (m, 1H), 7.43 (dd, J = 7.4, 1.7 Hz, 1H), 7.29 – 7.15 (m, 7H), 6.99 – 6.90 (m, 1H), 6.77 – 6.70 (m, 1H), 6.57 (d, J = 8.2 Hz, 1H), 5.08 (d, J = 18.1 Hz, 1H), 4.51 (d, J = 18.1 Hz, 1H), 4.22 (t, J = 3.1 Hz, 1H), 2.42 (dd, J = 13.2, 3.5 Hz, 1H), 2.35 (dd, J = 13.2, 2.8 Hz, 1H), 2.22 (dd, J = 14.8, 8.0 Hz, 1H), 2.07 (dd, J = 14.8, 4.0 Hz, 1H), 1.93 – 1.82 (m, 1H), 1.02 (d, J = 6.6 Hz, 3H), 0.89 (d, J = 6.7 Hz, 3H).

¹³C NMR (126 MHz, CD₂Cl₂): δ 162.12, 159.15, 152.69, 143.75, 139.94, 131.82, 128.80, 127.86, 127.79, 127.70, 126.96, 126.64, 124.04, 123.05, 119.12, 116.73, 116.27, 113.74, 105.60, 92.60, 50.37, 47.19, 31.61, 29.31, 25.22, 24.70, 23.92.

HRMS (ESI): exact mass calcd for C₂₉H₂₈NO₃: m/z 438.2069 [M+H]⁺, found: m/z 438.2064.



Following the **general procedure**, compound **6bm** was isolated as an orange solid in 97% yield (42.5 mg).

M.p. 164.3-164.7 °C; **IR (KBr)** V_{max} : 2964, 1709, 1619, 1490, 1455, 1388, 1160, 1114, 1038, 980, 808, 747 cm⁻¹.

¹**H** NMR (500 MHz, CD₂Cl₂): δ 7.83 (dd, J = 7.9, 1.6 Hz, 1H), 7.54 – 7.49 (m, 1H), 7.39 (dd, J = 7.4, 1.7 Hz, 1H), 7.33 – 7.22 (m, 2H), 7.10 – 7.00 (m, 5H), 6.95 – 6.90 (m, 1H), 6.82 – 6.75 (m, 2H), 5.04 (d, J = 17.2 Hz, 1H), 4.58 (d, J = 17.2 Hz, 1H), 4.07 (t, J = 3.1 Hz, 1H), 2.44 (dd, J = 13.3, 3.9 Hz, 1H), 2.29 (dd, J = 13.3, 2.5 Hz, 1H), 1.34 (s, 9H).

¹³C NMR (126 MHz, CD₂Cl₂): δ 162.14, 159.03, 152.76, 145.87, 140.29, 131.87, 130.79, 128.41, 127.49, 127.41, 127.19, 126.65, 124.10, 122.88, 120.08, 117.00, 116.78, 116.31, 104.92, 95.68, 53.69, 40.94, 31.69, 29.79, 27.99.

HRMS (ESI): exact mass calcd for $C_{29}H_{28}NO_3$: m/z 438.2069 [M+H]⁺, found: m/z 438.2064.



Following the **general procedure**, compound **6ca** was isolated as a brown solid in 83% yield (35.0 mg).

M.p. 95.7-96.1 °C; **IR** (**KBr**) V_{max} : 3353, 3061, 2936, 1703, 1606, 1458, 1345, 1261, 1140, 1054, 1004, 877, 753, 699 cm⁻¹.

¹**H NMR (500 MHz, (CD₃)₂CO):** δ 8.45 (s, 1H), 8.11 (s, 1H), 7.71 (d, *J* = 7.7 Hz, 2H), 7.51 – 7.45 (m, 2H), 7.44 – 7.36 (m, 2H), 7.05 – 6.96 (m, 5H), 6.90 – 6.84 (m, 1H), 6.67 – 6.62 (m, 1H), 6.59 (d, *J* = 8.1 Hz, 1H), 6.14 (d, *J* = 2.4 Hz, 1H), 6.12 (d, *J* = 2.3 Hz, 1H), 4.59 (d, *J* = 17.1 Hz, 1H), 4.42 – 4.34 (m, 2H), 2.35 (dd, *J* = 12.9, 2.6 Hz, 1H), 2.25 (dd, *J* = 12.9, 3.6 Hz, 1H).

¹³C NMR (126 MHz, (CD₃)₂CO): δ 158.68, 157.48, 155.71, 145.01, 143.60, 140.67, 131.45, 130.12, 129.38, 129.35, 128.80, 128.67, 127.83, 127.66, 118.86, 114.39, 106.59, 97.33, 97.15, 90.92, 52.25, 39.51, 31.16.

HRMS (ESI): exact mass calcd for C₂₈H₂₄NO₃: m/z 422.1756 [M+H]⁺, found: m/z 422.1751.





M.p. 127.3-127.6 °C; **IR (KBr)** V_{max} : 3326, 3061, 2860, 1688, 1608, 1502, 1254, 1136, 1056, 1001, 853, 763 cm⁻¹.

¹**H NMR (500 MHz, (CD₃)₂CO):** δ 8.46 (s, 1H), 8.12 (s, 1H), 7.70 (d, *J* = 7.7 Hz, 2H), 7.51 – 7.45 (m, 2H), 7.42 – 7.36 (m, 1H), 7.23 (d, *J* = 2.1 Hz, 1H), 7.07 – 6.96 (m, 5H), 6.68 (dd, *J* = 8.2, 2.1 Hz, 1H), 6.47 (d, *J* = 8.2 Hz, 1H), 6.15 – 6.09 (m, 2H), 4.56 (d, *J* = 17.0 Hz, 1H), 4.36 (d, *J* = 17.0 Hz, 1H), 4.31 (t, *J* = 3.1 Hz, 1H), 2.34 (dd, *J* = 12.9, 2.6 Hz, 1H), 2.23 (dd, *J* = 12.9, 3.5 Hz, 1H), 2.19 (s, 3H).

¹³C NMR (126 MHz, (CD₃)₂CO): δ 158.64, 157.43, 155.79, 145.17, 141.26, 140.87, 131.39, 130.08, 129.39, 129.32, 128.82, 128.24, 127.83, 127.60, 127.44, 114.29, 106.65, 97.25, 97.14, 90.99, 52.25, 39.63, 31.14, 21.27.

HRMS (ESI): exact mass calcd for C₂₉H₂₆NO₃: m/z 436.1913 [M+H]⁺, found: m/z 436.1907.



Following the **general procedure**, compound **6cc** was isolated as a brown solid in 45% yield (20.6 mg).

M.p. 94.3-94.7 °C; **IR** (**KBr**) V_{max} : 3348, 3062, 2934, 1689, 1609, 1487, 1348, 1258, 1138, 1057, 1006, 884, 813, 700 cm⁻¹.

¹**H NMR (500 MHz, (CD₃)₂CO):** δ 8.59 (s, 1H), 8.18 (s, 1H), 7.71 (d, *J* = 7.7 Hz, 2H), 7.52 – 7.47 (m, 2H), 7.43 – 7.38 (m, 2H), 7.06 – 7.00 (m, 3H), 6.98 – 6.93 (m, 2H), 6.87 (dd, *J* = 8.7, 2.6 Hz, 1H), 6.56 (d, *J* = 8.7 Hz, 1H), 6.17 – 6.12 (m, 2H), 4.55 (d, *J* = 17.0 Hz, 1H), 4.40 (d, *J* = 17.0 Hz, 1H), 4.34 (t, *J* = 3.1 Hz, 1H), 2.37 (dd, *J* = 13.1, 2.6 Hz, 1H), 2.27 (dd, *J* = 13.1, 3.6 Hz, 1H).

¹³C NMR (126 MHz, (CD₃)₂CO): δ 158.98, 157.50, 155.65, 144.54, 142.52, 140.11, 133.34, 130.20, 129.54, 129.45, 128.80, 128.18, 127.85, 127.80, 127.32, 123.04, 115.75, 105.78, 97.47, 97.25, 90.81, 52.30, 39.07, 31.04.

HRMS (ESI): exact mass calcd for C₂₈H₂₃ClNO₃: m/z 456.1366 [M+H]⁺, found: m/z 456.1361.



Following the **general procedure**, compound **6cd** was isolated as a brown oil in 42% yield (21.1 mg). **IR (KBr)** V_{max} : 3343, 3064, 2942, 1703, 1601, 1484, 1357, 1221, 1142, 1057, 881, 822, 701, 537 cm⁻¹. ¹**H NMR (500 MHz, (CD₃)₂CO):** δ 8.55 (s, 1H), 8.19 (s, 1H), 7.73 (d, *J* = 7.7 Hz, 2H), 7.54 – 7.47 (m, 2H), 7.44 – 7.38 (m, 1H), 7.31 (d, *J* = 7.9 Hz, 1H), 7.09 – 6.97 (m, 5H), 6.79 (dd, *J* = 7.9, 1.9 Hz, 1H), 6.75 (d, *J* = 1.9 Hz, 1H), 6.16 (d, *J* = 2.3 Hz, 1H), 6.13 (d, *J* = 2.3 Hz, 1H), 4.55 (d, *J* = 17.0 Hz, 1H), 4.34 (t, *J* = 3.1 Hz, 1H), 2.34 (dd, *J* = 13.1, 2.5 Hz, 1H), 2.27 (dd, *J* = 13.1, 3.6 Hz, 1H).

¹³C NMR (126 MHz, (CD₃)₂CO): δ 158.92, 157.54, 155.47, 145.14, 144.40, 139.84, 130.76, 130.23, 129.92, 129.58, 129.51, 128.86, 127.97, 127.81, 121.39, 121.06, 117.20, 105.90, 97.48, 97.19, 90.65, 52.22, 39.13, 30.72.

HRMS (ESI): exact mass calcd for C₂₈H₂₃BrNO₃: m/z 500.0861 [M+H]⁺, found: m/z 500.0856.



Following the **general procedure**, compound **6ce** was isolated as a brown solid in 65% yield (29.4 mg).

M.p. 119.7-120.2 °C; **IR (KBr)** V_{max} : 3323, 3062, 2938, 1688, 1605, 1459, 1343, 1249, 1137, 1055, 872, 750 cm⁻¹.

¹**H** NMR (500 MHz, (CD₃)₂CO): δ 8.38 (s, 1H), 8.09 (s, 1H), 8.04 (dd, J = 7.6, 1.8 Hz, 1H), 7.45 – 7.33 (m, 2H), 7.16 – 7.06 (m, 2H), 7.05 – 6.90 (m, 5H), 6.89 – 6.80 (m, 1H), 6.66 – 6.54 (m, 1H), 6.49 (d, J = 8.1 Hz, 1H), 6.17 (d, J = 2.3 Hz, 1H), 6.12 (d, J = 2.4 Hz, 1H), 4.49 (d, J = 16.8 Hz, 1H), 4.39 (d, J = 16.7 Hz, 1H), 4.32 (t, J = 3.1 Hz, 1H), 3.74 (s, 3H), 2.69 (dd, J = 12.5, 2.6 Hz, 1H), 2.09 – 2.04 (m, 1H, overlapped).

¹³C NMR (126 MHz, (CD₃)₂CO): δ 158.62, 157.89, 157.58, 155.60, 143.60, 141.30, 131.80, 131.62, 131.04, 129.99, 129.37, 128.78, 128.29, 127.57, 127.53, 122.05, 118.19, 113.72, 113.23, 106.64, 97.31, 97.26, 89.95, 56.90, 50.86, 34.93, 31.45.

HRMS (ESI): exact mass calcd for $C_{29}H_{26}NO_4$: m/z 452.1862 [M+H]⁺, found: m/z 452.1856.



Following the **general procedure**, compound **6cf** was isolated as a brown solid in 80% yield (36.1 mg).

M.p. 118.7-119.0 °C; **IR** (**KBr**) *V*_{max}: 3310, 3062, 2939, 1689, 1606, 1502, 1457, 1346, 1254, 1136, 1055, 1003, 829, 748 cm⁻¹.

¹**H NMR (500 MHz, (CD₃)₂CO):** δ 8.44 (s, 1H), 8.10 (s, 1H), 7.62 (d, *J* = 8.3 Hz, 2H), 7.40 (dd, *J* = 7.4, 1.7 Hz, 1H), 7.07 - 6.94 (m, 7H), 6.89 - 6.82 (m, 1H), 6.73 - 6.59 (m, 1H), 6.57 (d, *J* = 8.2 Hz, 1H), 6.15 - 6.08 (m, 2H), 4.58 (d, *J* = 17.1 Hz, 1H), 4.43 (d, *J* = 17.1 Hz, 1H), 4.34 (t, *J* = 3.2 Hz, 1H), 3.86 (s, 3H), 2.35 (dd, *J* = 13.0, 2.7 Hz, 1H), 2.22 (dd, *J* = 13.0, 3.5 Hz, 1H).

¹³C NMR (126 MHz, (CD₃)₂CO): δ 160.99, 158.64, 157.46, 155.80, 143.70, 140.82, 136.90, 131.42, 129.35, 129.08, 128.78, 128.67, 127.79, 127.61, 118.77, 115.37, 114.36, 106.65, 97.25, 97.13, 90.78, 56.33, 52.04, 39.47, 31.19.

HRMS (ESI): exact mass calcd for C₂₉H₂₆NO₄: m/z 452.1862 [M+H]⁺, found: m/z 452.1856.



Following the **general procedure**, compound **6cg** was isolated as a brown solid in 82% yield (35.7 mg).

M.p. 123.2-123.5 °C; **IR** (**KBr**) *V*_{max}: 3314, 3028, 2945, 1690, 1607, 1499,1459, 1345, 1258, 1140, 1059, 1006, 822, 743 cm⁻¹.

¹**H NMR (500 MHz, (CD₃)₂CO):** δ 8.44 (s, 1H), 8.10 (s, 1H), 7.58 (d, *J* = 7.8 Hz, 2H), 7.41 (dd, *J* = 7.4, 1.7 Hz, 1H), 7.29 (d, *J* = 8.0 Hz, 2H), 7.05 - 6.96 (m, 5H), 6.89 - 6.83 (m, 1H), 6.66 - 6.60 (m, 1H), 6.57 (d, *J* = 8.2 Hz, 1H), 6.15 - 6.08 (m, 2H), 4.57 (d, *J* = 17.1 Hz, 1H), 4.41 (d, *J* = 17.1 Hz, 1H), 4.34 (t, *J* = 3.1 Hz, 1H), 2.39 (s, 3H), 2.33 (dd, *J* = 13.0, 2.6 Hz, 1H), 2.23 (dd, *J* = 12.9, 3.6 Hz, 1H).

¹³C NMR (126 MHz, (CD₃)₂CO): δ 158.66, 157.48, 155.79, 143.61, 142.10, 140.75, 138.92, 131.45, 130.73, 129.35, 128.80, 128.66, 127.79, 127.76, 127.63, 118.79, 114.35, 106.62, 97.29, 97.15, 90.92, 52.18, 39.54, 31.19, 21.85.

HRMS (ESI): exact mass calcd for C₂₉H₂₆NO₃: m/z 436.1913 [M+H]⁺, found: m/z 436.1907.



Following the **general procedure**, compound **6ch** was isolated as a brown oil in 62% yield (30.9 mg). **IR (KBr)** V_{max} : 3325, 3062, 2936, 1687, 1606, 1488, 1345, 1256, 1140, 1058, 1005, 823, 744 cm⁻¹.

¹**H NMR (500 MHz, (CD₃)₂CO):** δ 8.48 (s, 1H), 8.13 (s, 1H), 7.70 – 7.60 (m, 4H), 7.41 (dd, J = 7.3, 1.6 Hz, 1H), 7.06 – 6.95 (m, 5H), 6.91 – 6.84 (m, 1H), 6.68 – 6.62 (m, 1H), 6.59 (d, J = 8.2 Hz, 1H), 6.15 – 6.09 (m, 2H), 4.61 (d, J = 17.1 Hz, 1H), 4.40 – 4.34 (m, 2H), 2.36 (dd, J = 13.0, 2.6 Hz, 1H), 2.25 (dd, J = 12.9, 3.6 Hz, 1H).

¹³C NMR (126 MHz, (CD₃)₂CO): δ 158.70, 157.46, 155.45, 144.41, 143.51, 140.52, 133.14, 131.38, 130.15, 129.37, 128.77, 128.70, 127.88, 127.71, 122.95, 119.08, 114.53, 106.53, 97.44, 97.11, 90.63, 52.22, 39.22, 31.03.

HRMS (ESI): exact mass calcd for C₂₈H₂₃BrNO₃: m/z 500.0861 [M+H]⁺, found: m/z 500.0856.



Following the **general procedure**, compound **6ci** was isolated as a brown solid in 69% yield (30.3 mg).

M.p. 155.4-155.7 °C; **IR (KBr)** *V*_{max}: 3256, 3060, 2937, 1687, 1600, 1498, 1458, 1344, 1254, 1142, 1057, 1006, 829, 730 cm⁻¹.

¹**H NMR (500 MHz, (CD₃)₂CO):** δ 8.46 (s, 1H), 8.11 (s, 1H), 7.79 – 7.70 (m, 2H), 7.41 (dd, *J* = 7.3, 1.6 Hz, 1H), 7.25 – 7.19 (m, 2H), 7.05 – 6.96 (m, 5H), 6.91 – 6.85 (m, 1H), 6.68 – 6.62 (m, 1H), 6.59 (d, *J* = 8.1 Hz, 1H), 6.15 – 6.09 (m, 2H), 4.61 (d, *J* = 17.1 Hz, 1H), 4.41 – 4.34 (m, 2H), 2.38 (dd, *J* = 12.9, 2.7 Hz, 1H), 2.24 (dd, *J* = 13.0, 3.5 Hz, 1H).

¹³C NMR (126 MHz, (CD₃)₂CO): $\delta 163.89$ (d, J = 244.6 Hz), 158.69, 157.45, 155.55, 143.66, 141.06 (d, J = 3.2 Hz), 140.63, 131.40, 130.10, 130.03, 129.36, 128.78, 128.71, 127.87, 127.69, 119.03, 116.79, 116.61, 114.52, 106.61, 97.40, 97.13, 90.58, 52.14, 39.35, 31.08.

¹⁹F NMR (470 MHz, (CD₃)₂CO): δ -116.51.

HRMS (ESI): exact mass calcd for $C_{28}H_{23}FNO_3$: m/z 440.1662 [M+H]⁺, found: m/z 440.1656.



Following the **general procedure**, compound **6cj** was isolated as a brown solid in 33% yield (11.9 mg).

M.p. 153.2-153.6 °C; **IR (KBr)** V_{max} : 3285, 3065, 2936, 1604, 1463, 1358, 1218, 1151, 1055, 1008, 818, 747 cm⁻¹.

¹**H NMR (500 MHz, (CD_3)_2CO):** δ 8.42 (s, 1H), 8.03 (s, 1H), 7.35 (dq, J = 13.0, 7.3, 6.6 Hz, 5H), 7.29 – 7.23 (m, 1H), 6.93 – 6.81 (m, 1H), 6.62 (t, J = 7.3 Hz, 1H), 6.42 (d, J = 8.1 Hz, 1H), 5.99 (d, J = 2.3 Hz, 1H), 5.94 (d, J = 2.3 Hz, 1H), 5.12 (d, J = 18.3 Hz, 1H), 4.39 (d, J = 18.3 Hz, 1H), 4.30 (t, J = 3.2 Hz, 1H), 2.34 (dd, J = 12.9, 2.8 Hz, 1H), 2.21 (dd, J = 12.8, 3.5 Hz, 1H), 1.69 (s, 3H).

¹³C NMR (126 MHz, (CD₃)₂CO): δ 158.26, 157.04, 155.70, 145.68, 142.10, 130.74, 129.97, 128.47, 128.05, 127.91, 127.73, 118.81, 113.63, 107.26, 96.67, 96.64, 86.63, 50.94, 36.05, 30.19, 28.48. **HRMS (ESI):** exact mass calcd for C₂₃H₂₂NO₃: m/z 360.1600 [M+H]⁺, found: m/z 360.1594.



Following the **general procedure**, compound **6ck** was isolated as a brown oil in 62% yield (25.0 mg). **IR (KBr)** V_{max} : 3278, 2962, 1687, 1618, 1487, 1255, 1145, 1060, 822, 745 cm⁻¹.

¹**H NMR (500 MHz, (CD₃)₂CO):** δ 8.31 (s, 1H), 7.99 (s, 1H), 7.28 (dd, J = 7.6, 1.7 Hz, 1H), 7.08 – 7.01 (m, 3H), 6.88 – 6.83 (m, 2H), 6.76 – 6.71 (m, 1H), 6.62 – 6.57 (m, 2H), 6.10 (d, J = 2.4 Hz, 1H), 6.04 (d, J = 2.4 Hz, 1H), 4.90 (d, J = 16.3 Hz, 1H), 4.71 (d, J = 16.2 Hz, 1H), 4.16 – 4.12 (m, 1H), 2.35 (dd, J = 12.9, 4.0 Hz, 1H), 2.12 – 2.09 (m, 1H, overlapped), 1.28 (s, 9H).

¹³C NMR (126 MHz, (CD₃)₂CO): δ 158.72, 157.86, 156.31, 146.67, 142.05, 135.23, 129.33, 127.49, 127.39, 127.20, 119.80, 118.48, 105.85, 97.22, 97.20, 92.71, 54.02, 41.82, 34.10, 30.98, 28.65. **HRMS (ESI):** exact mass calcd for C₂₆H₂₈NO₃: m/z 402.2069 [M+H]⁺, found: m/z 402.2064.



Following the **general procedure**, compound **6cn** was isolated as a yellow solid in 59% yield (20.4 mg).

M.p. 112.1-112.5 °C; **IR** (**KBr**) V_{max} : 3309, 2940, 1692, 1605, 1485, 1342, 1262, 1143, 1066, 1019, 880, 821, 755, 699 cm⁻¹.

¹H NMR (500 MHz, (CD₃)₂CO): δ 8.41 (s, 1H), 8.04 (s, 1H), 7.67 – 7.60 (m, 2H), 7.52 – 7.46 (m, 2H), 7.43 – 7.36 (m, 2H), 7.11 – 7.05 (m, 1H), 6.81 (d, J = 8.0 Hz, 1H), 6.69 (td, J = 7.3, 1.1 Hz, 1H), 6.13 (d, J = 2.3 Hz, 1H), 6.04 (d, J = 2.2 Hz, 1H), 4.28 (t, J = 3.0 Hz, 1H), 2.77 (s, 3H), 2.23 – 2.15 (m, 2H). ¹³C NMR (126 MHz, (CD₃)₂CO): δ 158.48, 157.24, 155.69, 146.10, 145.02, 131.11, 130.08, 129.28, 128.45, 128.38, 127.79, 118.75, 113.07, 107.06, 97.11, 97.01, 90.18, 39.27, 34.94, 31.02. HRMS (ESI): exact mass calcd for C₂₂H₂₀NO₃: m/z 346.1443 [M+H]⁺, found: m/z 346.1438.



Following the **general procedure**, compound **6co** was isolated as a brown solid in 95% yield (38.5 mg).

M.p. 158.4-158.9 °C; **IR (KBr)** V_{max} : 3523, 3028, 1595, 1495, 1452, 1291, 1113, 1009, 872, 763, 696 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 7.67 (d, J = 7.6 Hz, 2H), 7.46 – 7.38 (m, 2H), 7.37 – 7.31 (m, 1H), 7.28 – 7.25 (m, 1H), 7.12 (d, J = 8.1 Hz, 1H), 7.03 – 6.98 (m, 3H), 6.95 (td, J = 7.8, 1.6 Hz, 1H), 6.80 – 6.70 (m, 3H), 6.56 (d, J = 8.1 Hz, 1H), 6.47 – 6.40 (m, 2H), 4.55 (d, J = 17.2 Hz, 1H), 4.43 (d, J = 17.2 Hz, 1H), 4.02 (t, J = 3.0 Hz, 1H), 2.44 – 2.34 (m, 2H).

¹³C NMR (126 MHz, CDCl₃): δ 155.51, 153.84, 143.02, 142.30, 138.95, 130.21, 130.11, 128.79, 128.26, 128.20, 127.42, 127.09, 126.65, 126.44, 126.09, 118.41, 118.30, 113.48, 108.91, 104.40, 89.84, 51.11, 38.04, 36.09.

HRMS (ESI): exact mass calcd for C₂₈H₂₄NO₂: m/z 406.1807 [M+H]⁺, found: m/z 406.1802.



Following **the general procedure**, compound **6cp** was isolated as a red oil in 98% yield (41.1 mg). **IR (KBr)** V_{max} : 3333, 3025, 2927, 1701, 1618, 1500, 1452, 1352, 1228, 1156, 1010, 874, 806, 698 cm⁻¹.

¹**H NMR** (**500 MHz**, **CDCl**₃): δ 7.67 (d, J = 7.6 Hz, 2H), 7.44 – 7.37 (m, 2H), 7.37 – 7.32 (m, 1H), 7.13 (d, J = 8.1 Hz, 1H), 7.09 (d, J = 2.1 Hz, 1H), 7.06 – 6.97 (m, 3H), 6.84 – 6.75 (m, 3H), 6.52 – 6.39 (m, 3H), 4.54 (d, J = 17.2 Hz, 1H), 4.41 (d, J = 17.2 Hz, 1H), 3.98 (t, J = 3.0 Hz, 1H), 2.46 – 2.33 (m, 2H), 2.27 (s, 3H).

¹³C NMR (126 MHz, CDCl₃): δ 155.45, 153.93, 143.16, 139.93, 139.19, 130.09, 130.02, 128.75, 128.24, 128.15, 127.88, 127.40, 127.10, 126.87, 126.66, 126.39, 118.54, 113.35, 108.81, 104.38, 89.95, 51.12, 38.15, 36.09, 20.73.

HRMS (ESI): exact mass calcd for $C_{29}H_{26}NO_2$: m/z 420.1964 [M+H]⁺, found: m/z 420.1958.



Following the **general procedure**, compound **6cq** was isolated as a yellow solid in 96% yield (46.4 mg).

M.p. 98.0-98.5 °C; **IR** (**KBr**) V_{max} : 3288, 3026, 2933, 1687, 1594, 1489, 1452, 1320, 1155, 1115, 1017, 880, 698 cm⁻¹.

¹**H NMR (500 MHz, (CD₃)₂CO):** δ 8.32 (s, 1H), 7.70 (d, J = 7.6 Hz, 2H), 7.49 – 7.43 (m, 2H), 7.41 – 7.34 (m, 1H), 7.25 (d, J = 7.9 Hz, 1H), 7.14 (d, J = 8.2 Hz, 1H), 7.05 – 6.95 (m, 3H), 6.93 – 6.85 (m,

2H), 6.78 (dd, *J* = 7.9, 1.8 Hz, 1H), 6.70 (d, *J* = 1.8 Hz, 1H), 6.53 (d, *J* = 2.4 Hz, 1H), 6.47 (dd, *J* = 8.3, 2.5 Hz, 1H), 4.53 (d, *J* = 17.1 Hz, 1H), 4.37 (d, *J* = 17.1 Hz, 1H), 4.08 (t, *J* = 3.0 Hz, 1H), 2.39 – 2.28 (m, 2H).

¹³C NMR (126 MHz, (CD₃)₂CO): δ 158.98, 154.73, 144.92, 144.11, 139.67, 131.46, 131.41, 130.24, 129.65, 129.51, 128.75, 128.69, 127.99, 127.82, 121.89, 121.50, 117.90, 117.41, 110.66, 105.43, 90.63, 52.17, 39.07, 36.37.

HRMS (ESI): exact mass calcd for C₂₈H₂₃BrNO₂: m/z 484.0912 [M+H]⁺, found: m/z 484.0907.



Following the **general procedure**, compound **6cr** was isolated as a brown solid in 86% yield (36.2 mg).

M.p. 192.9-193.3 °C; **IR** (**KBr**) V_{max} : 3516, 3025, 2929, 1596, 1496, 1453, 1345, 1290, 1148, 1112, 1063, 1014, 877, 763 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 7.55 (d, J = 7.8 Hz, 2H), 7.27 – 7.24 (m, 1H), 7.22 (d, J = 8.0 Hz, 2H), 7.12 (d, J = 8.1 Hz, 1H), 7.05 – 6.97 (m, 3H), 6.94 (td, J = 7.8, 1.6 Hz, 1H), 6.81 – 6.74 (m, 2H), 6.73 – 6.69 (m, 1H), 6.54 (d, J = 8.1 Hz, 1H), 6.46 – 6.39 (m, 2H), 4.53 (d, J = 17.3 Hz, 1H), 4.45 (d, J = 17.2 Hz, 1H), 4.01 (t, J = 3.1 Hz, 1H), 2.42 – 2.33 (m, 5H).

¹³C NMR (126 MHz, CDCl₃): δ 155.49, 153.94, 142.27, 140.13, 139.03, 137.93, 130.23, 130.12, 129.49, 128.25, 127.37, 127.09, 126.55, 126.40, 126.06, 118.42, 118.20, 113.45, 108.84, 104.40, 89.87, 51.01, 38.10, 36.14, 21.46.

HRMS (ESI): exact mass calcd for $C_{29}H_{26}NO2$: m/z 420.1964 [M+H]⁺, found: m/z 420.1958.



Following the **general procedure**, compound **6cs** was isolated as a brown solid in 93% yield (40.9 mg).

M.p. 180.7-181.0 °C; **IR** (**KBr**) V_{max} : 3518, 3023, 2928, 1595, 1493, 1452, 1288, 1111, 1061, 1010, 875, 767 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 7.60 (d, J = 8.1 Hz, 2H), 7.40 – 7.33 (m, 2H), 7.28 – 7.24 (m, 1H), 7.15 – 7.10 (m, 1H), 7.06 – 6.99 (m, 3H), 6.96 (td, J = 7.8, 1.6 Hz, 1H), 6.79 – 6.71 (m, 3H), 6.57 (d, J = 8.2 Hz, 1H), 6.45 – 6.40 (m, 2H), 4.54 (d, J = 17.2 Hz, 1H), 4.40 (d, J = 17.2 Hz, 1H), 4.01 (t, J = 3.0 Hz, 1H), 2.42 – 2.31 (m, 2H).

¹³C NMR (126 MHz, CDCl₃): δ 155.52, 153.57, 142.18, 141.60, 138.75, 134.11, 130.09, 130.07, 128.95, 128.31, 128.18, 127.51, 127.04, 126.55, 126.15, 118.57, 118.32, 113.60, 109.07, 104.36, 89.50, 51.09, 37.92, 35.97.

HRMS (ESI): exact mass calcd for $C_{28}H_{23}CINO_2$: m/z 440.1417 [M+H]⁺, found: m/z 440.1412.



Following the **general procedure**, compound **6ct** was isolated as a brown oil in 90% yield (34.8 mg). **IR (KBr)** V_{max} : 3359, 2967, 1702, 1597, 1495, 1456, 1358, 1226, 1154, 1115, 1077, 1027, 848, 745 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 7.16 (dd, J = 7.3, 1.6 Hz, 1H), 7.10 – 7.04 (m, 4H), 6.82 (td, J = 7.8, 1.6 Hz, 1H), 6.72 – 6.65 (m, 3H), 6.58 (d, J = 8.1 Hz, 1H), 6.45 – 6.39 (m, 2H), 4.85 (d, J = 16.6 Hz, 1H), 4.67 (d, J = 16.6 Hz, 1H), 3.86 (t, J = 3.1 Hz, 1H), 2.44 (dd, J = 12.8, 4.0 Hz, 1H), 2.17 (dd, J = 12.9, 2.3 Hz, 1H), 1.25 (s, 9H).

¹³C NMR (126 MHz, CDCl₃): δ 155.51, 154.36, 145.45, 140.44, 134.00, 130.41, 128.26, 127.68, 126.82, 126.28, 124.70, 119.16, 117.91, 117.48, 108.80, 104.43, 91.74, 53.16, 40.75, 35.29, 32.65, 27.93.

HRMS (ESI): exact mass calcd for $C_{26}H_{28}NO_2$: m/z 386.2120 [M+H]⁺, found: m/z 386.2115.



Following the **general procedure**, compound **6cu** was isolated as a brown oil in 57% yield (23.9 mg). **IR (KBr)** *V*_{max}: 3379, 3031, 2939, 1704, 1593, 1491, 1454, 1343, 1208, 1058, 879, 751, 698 cm⁻¹.

¹**H NMR (500 MHz, (CD₃)₂CO):** δ 8.45 (s, 1H), 7.73 (d, *J* = 7.6 Hz, 2H), 7.51 – 7.37 (m, 4H), 7.05 – 6.92 (m, 5H), 6.92 – 6.84 (m, 2H), 6.65 (td, *J* = 7.4, 1.2 Hz, 1H), 6.60 (d, *J* = 8.2 Hz, 1H), 6.43 (s, 1H), 6.37 (s, 1H), 4.60 (d, *J* = 17.1 Hz, 1H), 4.43 – 4.35 (m, 2H), 2.39 (dd, *J* = 13.0, 2.5 Hz, 1H), 2.28 – 2.25 (m, 1H), 2.24 (s, 3H).

¹³C NMR (126 MHz, (CD₃)₂CO): δ 156.64, 154.95, 144.94, 143.81, 140.66, 138.73, 131.06, 130.11, 129.40, 129.30, 128.83, 128.79, 127.94, 127.84, 127.65, 118.90, 114.41, 112.03, 110.73, 109.73, 90.73, 52.20, 39.20, 31.34, 22.13.

HRMS (ESI): exact mass calcd for $C_{29}H_{26}NO_2$: m/z 420.1964 $[M+H]^+$, found: m/z 420.1958.



Following the **general procedure**, compound **6cv** was isolated as a brown oil in 42% yield (17.6 mg). **IR (KBr)** V_{max} : 3377, 3029, 2935, 1701, 1596, 1488, 1455, 1350, 1216, 1134, 1055, 986, 885, 751, 696 cm⁻¹.

¹**H NMR (500 MHz, (CD₃)₂CO):** δ 8.19 (s, 1H), 7.69 (d, J = 7.6 Hz, 2H), 7.53 – 7.46 (m, 2H), 7.43 – 7.36 (m, 2H), 7.05 – 6.97 (m, 3H), 6.97 – 6.89 (m, 3H), 6.70 (td, J = 7.4, 1.2 Hz, 1H), 6.63 (d, J = 8.1 Hz, 1H), 6.45 (d, J = 2.5 Hz, 1H), 6.40 (d, J = 2.5 Hz, 1H), 4.54 (d, J = 17.0 Hz, 1H), 4.39 (d, J = 17.0 Hz, 1H), 4.25 (t, J = 3.1 Hz, 1H), 2.46 (s, 3H), 2.35 – 2.28 (m, 2H).

¹³C NMR (126 MHz, (CD₃)₂CO): δ 158.11, 155.21, 144.80, 143.75, 140.51, 139.73, 130.86, 130.18, 129.43, 129.39, 128.81, 128.23, 128.16, 127.75, 118.95, 116.46, 114.71, 112.28, 103.40, 90.30, 52.07, 40.27, 34.02, 20.66.

HRMS (ESI): exact mass calcd for C₂₉H₂₆NO₂: m/z 420.1964 [M+H]⁺, found: m/z 420.1958.



2-Aminochalcone **4o** (0.1 mmol, 32.3 mg), phloroglucinol (0.12 mmol, 15.1 mg), 4Å molecular sieves (100mg) and dichloromethane (3.0 mL) were added to a reaction tube equipped with a magnetic stir bar. The mixture was stirred under the irradiation by Blue compact fluorescence lamp (CFL) at room temperature under argon overnight and monitored by TLC. After 2-aminochalcone was consumed, the solvent was removed by rotary evaporator. The residue was directly purified by column chromatography on silica gel to yield 2-phenylquinoline **10** as a white solid in 88% yield (18.1 mg). The spectrum data of **10** were consistent with the reference^[5].

¹**H NMR (500 MHz, CDCl₃):** δ 8.22 (d, J = 8.6 Hz, 1H), 8.21 – 8.15 (m, 3H), 7.88 (d, J = 8.5 Hz, 1H), 7.83 (d, J = 8.1 Hz, 1H), 7.76 – 7.71 (m, 1H), 7.58 – 7.52 (m, 3H), 7.51 – 7.45 (m, 1H).

¹³C NMR (126 MHz, CDCl₃): δ 157.69, 148.62, 140.02, 137.09, 130.08, 129.97, 129.64, 129.17, 127.90, 127.78, 127.51, 126.60, 119.33.

4. Procedure for 1 mmol Scale Synthesis of 6aa, 6ba, 6co



To a 50 ml round-bottom flask equipped with a magnetic stir bar was added 2-aminochalcone (1.0 mmol), bifunctional nucleophile (1.2 mmol), 4Å molecular sieves (1.0 g) and dichloromethane (30 mL). The mixture was stirred under the irradiation by Blue compact fluorescence lamp (CFL) at room temperature under argon overnight and monitored by TLC. After 2-aminochalcone was consumed, the solvent was removed by rotary evaporator. The residue was directly purified by column chromatography on silica gel to yield **6aa** (99%, 403.4 mg), **6ba** (89%, 407.2 mg) and **6co** (93%, 377.1 mg) respectively.

5. Mechanistic Studies

The synthesis of quinolinium salt



The 2-aminochalcone **4a** (0.03 mmol, 9.4 mg) and $(CD_3)_2CO$ (0.6 mL) were added to a NMR tube. The mixture was irradiated by blue LED at room temperature for 40 minutes and monitored by ¹H NMR. After the 2-aminochalcone was consumed, the novel compound was identified to be **11** by the NMR spectrum, and the yield of **11** was confirmed to be 73% through adding dimethyl sulfone as the interior label.



¹**H NMR (500 MHz, (CD₃)₂CO):** δ 7.62 (d, *J* = 7.6 Hz, 2H), 7.35 (t, *J* = 7.6 Hz, 2H), 7.31 (d, *J* = 7.6 Hz, 2H), 7.27 (d, *J* = 7.4 Hz, 1H), 7.25 - 7.20 (m, 2H), 7.16 (d, *J* = 7.4 Hz, 2H), 6.99 (t, *J* = 7.7 Hz, 1H), 6.73 - 6.64 (m, 2H), 6.44 (d, *J* = 8.3 Hz, 1H), 5.71 (d, *J* = 9.7 Hz, 1H), 4.58 (s, 2H).

¹³C NMR (126 MHz, (CD₃)₂CO): δ 148.26, 143.56, 141.02, 130.38, 129.65, 129.50, 129.01, 128.91, 128.08, 128.02, 127.82, 127.79, 125.33, 120.94, 118.20, 113.62, 88.23, 50.79.



To a solution of 2-aminochalcone **4a** (0.3 mmol, 93.9 mg) in DCM (6 ml), TFA (0.3 mmol, 22.5 μ L) was added. After stirred for 1h with irradiation by blue LED at room temperature under argon, After the 2-aminochalcone was consumed completely, the solvent was removed by rotary evaporator to afford the desired quinolinium salt **12** as a brown oil in 85% yield (104.3 mg).



IR (**KBr**) V_{max} : 3420, 3060, 1687, 1600, 1520, 1352, 1197, 762 cm⁻¹.

¹**H NMR (500 MHz, CDCl₃):** δ 9.42 (d, *J* = 8.2 Hz, 1H), 8.40 (d, *J* = 8.0 Hz, 1H), 8.30 (d, *J* = 8.9 Hz, 1H), 8.02 (d, *J* = 8.0 Hz, 1H), 7.96 (t, *J* = 7.9 Hz, 1H), 7.78 (t, *J* = 7.5 Hz, 1H), 7.60 - 7.53 (m, 3H), 7.52 - 7.46 (m, 2H), 7.26 - 7.19 (m, 3H), 6.94 - 6.88 (m, 2H), 6.41 (s, 2H).

¹³C NMR (126 MHz, CDCl₃): δ 160.59, 148.71, 139.40, 136.77, 134.34, 132.93, 132.18, 131.55, 130.27, 129.86, 129.81, 129.68, 128.80, 128.77, 125.77, 125.57, 120.91, 57.71.

¹⁹F NMR (470 MHz, CDCl₃): δ -74.80.



To a reaction tube equipped with a magnetic stir bar was added the quinolinium salt (0.1 mmol, 40.9 mg), 1,3-cyclohexanedione (0.12 mmol, 13.5 mg) and DCM (3.0 mL). The mixture was stirred in dark, using aluminium foil to wrap up the reaction flask, at room temperature under argon for 4 days and monitored by TLC. After quinolinium salt was consumed, the solvent was removed by rotary evaporator. The residue was directly purified by column chromatography on silica gel (EtOAc/petroleum ether = 1: 8) to afford **6aa** in 84% yield (34.2 mg).

To a reaction tube equipped with a magnetic stir bar was added the quinolinium salt (0.1 mmol, 40.9 mg), 1,3-cyclohexanedione (0.12 mmol, 13.5 mg), $Et_3N(0.1 \text{ mmol}, 16.7 \mu\text{L})$ and DCM (3.0 mL). The mixture was stirred in dark, using aluminium foil to wrap up the reaction flask, at room temperature under argon for 10 min and monitored by TLC. After quinolinium salt was consumed, the solvent was removed by rotary evaporator. The residue was directly purified by column chromatography on silica gel (EtOAc/petroleum ether = 1: 8) to afford **6aa** in 99% yield (40.3 mg).



2-Aminochalcone **4a** (0.1 mmol, 31.3 mg), 1,3-cyclohexanedione **7**(0.12 mmol, 13.5 mg), 2,2,6,6-tetramethylpiperidinooxy (0.1 mmol, 15.6 mg), 4Å molecular sieves (100mg) and dichloromethane (3.0 mL) were added to a reaction tube equipped with a magnetic stir bar. The mixture was stirred under the irradiation by blue LED at room temperature under argon overnight and monitored by TLC. After **4a** was consumed, the solvent was removed by rotary evaporator. The residue was directly purified by column chromatography on silica gel to yield **6aa** in 96% yield (39.2 mg).
6. Reference

- [1] Ryan J., Siauciulis M., Gomm A., Macia B., O'Reilly E., Caprio V. J. Am. Chem. Soc. 2016, 138, 15798-15800.
- [2] Zhu Y., Li B., Wang C., Dong Z., Zhong X., Wang K., Yan W., Wang R. Org. Biomol. Chem., 2017,15, 4544-4547.
- [3] Wei W., Dong X., Nie S., Chen Y., Zhang X., Yan M.. Org. Lett. 2013, 15, 6018-6021.
- [4] Lee Y. T., Jang Y. J., Syu S. E., Chou S. C., Lee C. J., Lin W. W. Chem. Commun. 2012, 48, 8135-8137.
- [5] Wu S. Q., Liu C. Y., Luo G. Y., Jin Z. C., Zheng P. C., Chi Y. G. R. Angew. Chem. Int. Ed. 2019, 58, 18410-18413.

7. NMR Spectra






















































































































S93



S94













 $f1 \pmod{ppm}$





S102









-72.8 -73.0 -73.2 -73.4 -73.6 -73.8 -74.0 -74.2 -74.4 -74.6 -74.8 -75.0 -75.2 -75.4 -75.6 -75.8 -76.0 -76.2 -76.4 -76.6 -76.8 -77.0 -77.2 -77.4 -77.6 -77.8 f1 (ppm)
8. OTEP Drawing of 6aa, 6ba, 6co



Figure S1 compound 6aa

Table 1 Crystal data and structure refinement for compound 6aa.

Identification code	6aa
Empirical formula	C ₂₈ H ₂₅ NO ₂
Formula weight	407.49
Temperature/K	296.(2)
Crystal system	monoclinic
Space group	P2 ₁ /c
a/Å	12.1612(13)
b/Å	11.5968(12)
c/Å	14.9705(14)
α/°	90
β/°	90.2700(5)
γ/°	90
Volume/Å ³	2111.3(4)
Z	4
$\rho_{calc}g/cm^3$	1.282
µ/mm⁻¹	0.080
F(000)	864.0
Crystal size/mm ³	$0.250 \times 0.230 \times 0.230$
Radiation	ΜοΚα (λ = 0.71073)
20 range for data collection/°	4.86 to 50.28
Index ranges	-14 ≤ h ≤ 13, -11 ≤ k ≤ 13, -16 ≤ l ≤ 17
Reflections collected	14169
Independent reflections	3732 [R _{int} = 0.0692, R _{sigma} = 0.0822]
Data/restraints/parameters	3732/0/280
Goodness-of-fit on F ²	1.014
Final R indexes [I>=2 σ (I)]	$R_1 = 0.0602$, $wR_2 = 0.1274$
Final R indexes [all data]	$R_1 = 0.1296$, $wR_2 = 0.1546$
Largest diff. peak/hole / e Å ⁻³	0.56/-0.24



Figure S2 compound 6ba

Table 2 Crystal data and structure refinement for compound 6ba.

Identification code	6ba
Empirical formula	$C_{31}H_{23}NO_3$
Formula weight	457.50
Temperature/K	293(2)
Wavelength	1.54178 A
Crystal system, space group	Monoclinic, P2(1)/n
Unit cell dimensions	a = 14.9496(3) Å 🛛 alpha = 90 deg.
	b = 9.5237(2) Å beta = 111.831(1) deg.
	c = 17.4300(4) Å gamma = 90 deg.
Volume	2303.64(9) A^3
Z, Calculated density	4, 1.319 Mg/m^3
Absorption coefficient	0.675 mm^-1
F(000)	960
Crystal size	0.28 x 0.24 x 0.22 mm
Theta range for data collection	3.337 to 72.627 deg.
Limiting indices	-18<=h<=18, -11<=k<=11, -21<=l<=21
Reflections collected / unique	25350 / 4535 [R(int) = 0.0736]
Completeness to theta = 67.679	99.8 %
Absorption correction	None
Refinement method	Full-matrix least-squares on F^2
Data / restraints / parameters	4535 / 0 / 317
Goodness-of-fit on F^2	1.068
Final R indices [I>2sigma(I)]	$R_1 = 0.0490$, $wR_2 = 0.1288$
R indices (all data)	$R_1 = 0.0848, wR_2 = 0.1590$
Extinction coefficient	0.0015(2)
Largest diff. peak and hole	0.203 and -0.221 e.A^-3



Figure S3 compound 6co

Table 3 Crystal data and structure refinement for compound 6co.

Identification code	6co
Empirical formula	$C_{28}H_{23}NO_2$
Formula weight	405.47
Temperature/K	293(2)
Wavelength	1.54178 A
Crystal system, space group	Monoclinic, P2(1)/n
Unit cell dimensions	a = 10.1842(6) Å $alpha = 90$ deg. $b = 20.0153(11)$ Å $beta = 95.242(4)$ deg. $c = 10.5231(6)$ Å $gamma = 90$ deg.
Volume	2136.1(2) A^3
Z, Calculated density	4, 1.261 Mg/m^3
Absorption coefficient	0.620 mm^-1
F(000)	856
Crystal size	0.220 x 0.160 x 0.080 mm
Theta range for data collection	4.418 to 73.078 deg.
Limiting indices	-12<=h<=12, -24<=k<=23, -12<=l<=12
Reflections collected / unique	17833 / 4023 [R(int) = 0.1281]
Completeness to theta = 67.679	96.3 %
Absorption correction	None
Refinement method	Full-matrix least-squares on F^2
Data / restraints / parameters	4023 / 0 / 285
Goodness-of-fit on F^2	0.948
Final R indices [I>2sigma(I)]	$R_1 = 0.0880, wR_2 = 0.2222$
R indices (all data)	$R_1 = 0.2382$, $wR_2 = 0.3359$
Extinction coefficient	0.0059(9)
Largest diff. peak and hole	0.200 and -0.264 e.A^-3