

A general access to 1,1-cyclopropane aminoketones and their conversion into 2-benzoyl quinolines

Zhenjun Mao, Haijun Qu, Yanyan Zhao, Xufeng Lin*

Department of Chemistry, Zhejiang University, Hangzhou 310027, P. R. China

E-mail: lxfok@zju.edu.cn

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General Considerations

IR spectra were recorded on a ATR spectrometer (neat) and reported in reciprocal centimeters (cm^{-1}). NMR spectra were recorded for ^1H NMR at 400 MHz or 500 MHz and for ^{13}C NMR at 100 MHz or 125 MHz. For ^1H NMR, tetramethylsilane (TMS) served as internal standard ($\delta = 0$) and data are reported as follows: chemical shift, integration, multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, br = broad), and coupling constant in Hz. For ^{13}C NMR, CDCl_3 ($\delta = 77.00$) was used as internal standard and spectra were obtained with complete proton decoupling. HRMS data were obtained using EI ionization. Mp data were measured with micro melting point apparatus. Elemental Analyses were recorded on an automatic analyzer. All starting materials **1a-1m**¹ were prepared according to the methods reported in the literature, vinylsulfonium salt **2a**² and styryl sulfonium salt **2b**³ were prepared according to the methods reported in the literature.

General procedure for the synthesis of **3** or **4**

A stirred solution of β -amino ketone (1.0 mmol) in CH_2Cl_2 (2 mL) was treated with DBU (2.0 mmol) at 0 °C under nitrogen. After 5 min, a solution of vinyl sulfonium salts (1.2 mmol) in CH_2Cl_2 (5 mL) was added drop-wise over 5 min, and the reaction was stirred for 1 h at 0 °C. The reaction was then quenched with saturated ammonium chloride solution (10 mL), extracted with CH_2Cl_2 (3×10 mL), washed with brine (20 mL), dried over Na_2SO_4 . The filtrate was concentrated in vacuum, the residue was subject to a flash chromatography on silica gel with petroleum ether/ethyl acetate (8:1) as eluent to afford the corresponding product **3** or **4**.

General Procedure for the Synthesis of **5**

To a solution of **3** (0.5 mmol) in toluene (2 mL) was added DEAD (1.0 mmol) and the resulting mixture was heated under 80 °C for 8 h. After removal of the solvent in vacuum, the residue was subject to a flash chromatography on silica gel with petroleum ether/ethyl acetate (20:1) as eluent to afford the corresponding product **5**.

General Procedure for the Synthesis of **3aa**

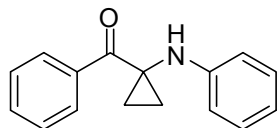
To a solution of **3a** (1.0 mmol) in methanol (2 mL) was added Sodium borohydride (2.0 mmol) under 0 °C, and the resulting mixture was heated at 50 °C for 2 h. The reaction mixture was allowed to cool to room temperature, then quenched with water (5 mL), extracted with CH₂Cl₂ (3 × 10 mL), washed with brine (20 mL), dried over Na₂SO₄, the residue was subject to a flash chromatography on silica gel with petroleum ether/ethyl acetate (6:1) as eluent to afford the corresponding product **3aa** in 95% yield.

General Procedure for the Synthesis of **6**

A stirred solution of **3aa** (1.0 mmol) in CH₂Cl₂ (2 mL) was treated with NaH (3.0 mmol) at 0 °C under nitrogen, a solution of vinyl sulfonium salt **2a** (5.0 mmol) in CH₂Cl₂ (5 mL) was added drop-wise over five min, the reaction was stirred for 1 h at 0 °C and at room temperature for 12 h. The reaction was then quenched with saturated ammonium chloride solution (10 mL), extracted with CH₂Cl₂ (3 × 10 mL), washed with brine (20 mL), dried over Na₂SO₄. The filtrate was concentrated in vacuum, the residue was subject to a flash chromatography on silica gel with petroleum ether/ethyl acetate (50:1) as eluent to afford the corresponding product **6** as a mixture of two isomers (19: 6) in 84% yield.

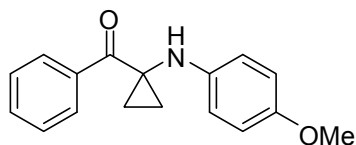
Characterization Data

phenyl (1-(phenylamino)cyclopropyl)methanone (3a)



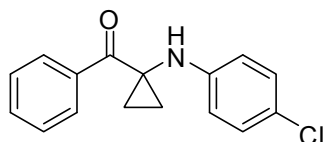
Light yellow solid, m.p.139–140 °C; IR (neat) ν 3394, 2921, 2851, 1660, 1602, 1512, 1446, 1317, 1202, 1025, 980, 748, 691 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.79 – 7.77 (m, 2 H), 7.42 (t, J = 7.2 Hz, 1 H), 7.33 (t, J = 7.6 Hz, 2 H), 7.08 (t, J = 7.6 Hz, 2 H), 6.66 (t, J = 7.2 Hz, 1 H), 6.57 (d, J = 7.6 Hz, 2 H), 4.51 (s, 1 H), 1.78 – 1.75 (m, 2 H), 1.24 – 1.21 (m, 2 H) ppm; ^{13}C NMR (100 MHz, CDCl_3) δ 203.92, 146.50, 137.55, 131.76, 129.08, 128.10, 127.91, 118.21, 113.06, 42.98, 18.93 ppm; HRMS (EI): m/z calcd for ($\text{C}_{16}\text{H}_{15}\text{NO}$): 237.1154; found: 237.1156.

(1-(4-methoxyphenylamino)cyclopropyl)(phenyl)methanone (3b)



Yellow solid, m.p.119–120 °C; IR (neat) ν 3398, 2954, 2926, 1667, 1512, 1446, 1235, 1178, 1036, 971, 822, 714 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.78 – 7.76 (m, 2 H), 7.41 (t, J = 7.2 Hz, 1 H), 7.32 (t, J = 7.6 Hz, 2 H), 6.68–6.65 (m, 2 H), 6.53–6.50 (m, 2 H), 4.33 (s, 1 H), 3.67 (s, 3 H), 1.73 – 1.70 (m, 2 H), 1.20 – 1.17 (m, 2 H) ppm; ^{13}C NMR (100 MHz, CDCl_3) δ 204.23, 152.45, 140.57, 137.61, 131.71, 128.10, 127.85, 114.72, 114.09, 55.60, 43.50, 18.61 ppm; HRMS (EI): m/z calcd for ($\text{C}_{17}\text{H}_{17}\text{NO}_2$): 267.1259 ; found: 267.1256.

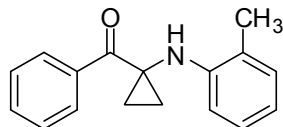
(1-(4-chlorophenylamino)cyclopropyl)(phenyl)methanone (3c)



White solid, m.p.149–150 °C; IR (neat) ν 3398, 2961, 2924, 1667, 1593, 1488, 1307, 1247, 1087, 977, 813, 715, 688 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.76 – 7.74 (m, 2 H), 7.44 (t, J = 7.2 Hz, 1 H), 7.35 (t, J = 7.6 Hz, 2 H), 7.03 – 7.00 (m, 2 H), 6.51 – 6.48 (m, 2 H), 4.55 (s, 1 H), 1.78 – 1.75 (m, 2 H), 1.24 – 1.20 (m, 2 H) ppm; ^{13}C NMR (100 MHz, CDCl_3) δ 203.50, 145.08, 137.37,

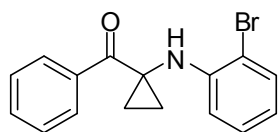
131.93, 128.98, 128.22, 127.81, 122.96, 114.14, 43.05, 18.80 ppm; HRMS (EI): m/z calcd for ($C_{16}H_{14}ClNO$): 271.0764 ; found: 271.0764.

phenyl(1-(*o*-tolylamino)cyclopropyl)methanone (3d)



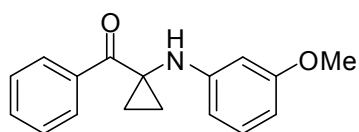
Light yellow solid, m.p.82–83 °C; IR (neat) ν 3419, 3056, 2928, 1682, 1599, 1503, 1441, 1298, 1197, 974, 751, 703 cm^{-1} ; 1H NMR (400 MHz, $CDCl_3$) δ 7.80 – 7.78 (m, 2 H), 7.45 – 7.40 (m, 1 H), 7.36 – 7.32 (m, 2 H), 7.29 – 7.26 (m, 1 H), 7.09 – 7.05 (m, 1 H), 6.79 – 6.77 (m, 1 H), 6.54 – 6.50 (m, 1 H), 5.22 (s, 1 H), 1.83 – 1.80 (m, 2 H), 1.29 – 1.26 (m, 2 H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ 204.26, 144.46, 137.36, 131.71, 129.95, 128.01, 127.66, 126.93, 121.31, 117.79, 111.13, 42.85, 18.68, 17.22 ppm; HRMS (EI): m/z calcd for ($C_{17}H_{17}NO$): 251.1310; found: 251.1310.

(1-(2-bromophenylamino)cyclopropyl)(phenyl)methanone (3e)



White solid, m.p.79–80 °C; IR (neat) ν 3395, 2959, 2924, 1673, 1596, 1503, 1456, 1316, 1201, 1021, 978, 744, 715 cm^{-1} ; 1H NMR (400 MHz, $CDCl_3$) δ 7.86 (d, J = 7.6 Hz, 2 H), 7.57 (t, J = 7.6 Hz, 1 H), 7.44 (t, J = 7.6 Hz, 2 H), 7.27 – 7.24 (m, 3 H), 7.13 – 7.11 (m, 2 H), 7.02 (d, J = 8.4 Hz, 2 H), 6.92 (s, 1 H), 3.95 (q, J = 7.2 Hz, 2 H), 0.88 (t, J = 7.2 Hz, 3 H) ppm; ^{13}C NMR (100 MHz, $CDCl_3$) δ 203.20, 143.89, 136.89, 132.21, 132.02, 128.31, 128.12, 127.89, 118.85, 112.60, 109.00, 42.84, 18.95 ppm; HRMS (EI): m/z calcd for ($C_{16}H_{14}BrNO$):315.0259; found: 315.0257.

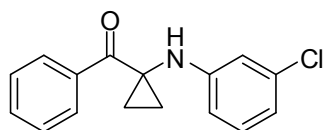
(1-(3-methoxyphenylamino)cyclopropyl)(phenyl)methanone (3f)



White solid, m.p.95–96 °C; IR (neat) ν 3399, 2958, 2926, 1667, 1614, 1494, 1446, 1279, 1205, 1159, 1050, 978, 834, 714, 689 cm^{-1} ; 1H NMR (400 MHz, $CDCl_3$) δ 7.79 – 7.77 (m, 2 H), 7.43 –

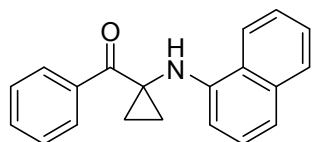
7.40 (m, 1 H), 7.35 – 7.31 (m, 2 H), 6.98 (t, $J = 8.0$ Hz, 1 H), 6.22 (dd, $J_1 = 2.0$ Hz, $J_2 = 8.0$ Hz, 1 H), 6.18 (dd, $J_1 = 1.6$ Hz, $J_2 = 8.0$ Hz, 1 H), 6.18 – 6.14 (m, 1 H), 4.59 (s, 1 H), 3.68 (s, 3 H), 1.77 – 1.74 (m, 2 H), 1.23 – 1.20 (m, 2 H) ppm; ^{13}C NMR (100 MHz, CDCl_3) δ 203.84, 160.51, 147.91, 137.42, 131.79, 129.86, 128.10, 127.92, 105.99, 103.33, 99.05, 54.93, 42.91, 18.98 ppm; HRMS (EI): m/z calcd for ($\text{C}_{17}\text{H}_{17}\text{NO}_2$): 267.1259; found: 267.1260.

1-(3-chlorophenylamino)cyclopropyl(phenyl)methanone (3g)



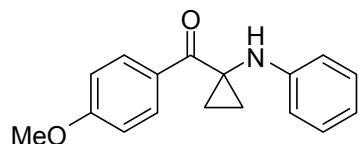
White solid, m.p. 105–106 °C; IR (neat) ν 3390, 3063, 2925, 1667, 1599, 1483, 1334, 1202, 1075, 979, 884, 767, 718, 685 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.77 – 7.75 (m, 2 H), 7.45 – 7.41 (m, 1 H), 7.34 – 7.32 (m, 2 H), 6.97 (t, $J = 8.0$ Hz, 1 H), 6.63 – 6.61 (m, 2 H), 6.45 (dd, $J_1 = 2.0$ Hz, $J_2 = 8.0$ Hz, 1 H), 4.68 (s, 1 H), 1.79 – 1.76 (m, 2 H), 1.23 – 1.20 (m, 2 H) ppm; ^{13}C NMR (100 MHz, CDCl_3) δ 203.44, 147.65, 137.20, 134.74, 131.97, 130.12, 128.19, 127.82, 118.15, 112.81, 111.11, 42.76, 18.95 ppm; HRMS (EI): m/z calcd for ($\text{C}_{16}\text{H}_{14}\text{ClNO}$): 271.0764; found: 271.0763.

1-(naphthalen-1-ylamino)cyclopropyl(phenyl)methanone (3h)



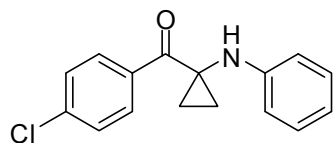
Light yellow solid, m.p. 131–132 °C; IR (neat) ν 3434, 3068, 2920, 1654, 1577, 1407, 1326, 1202, 1174, 973, 850, 770, 713 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.84 – 7.82 (m, 2 H), 7.72 – 7.70 (m, 1 H), 7.65 (d, $J = 8.0$ Hz, 1 H), 7.37 – 7.18 (m, 7 H), 6.80 (d, $J = 8.0$ Hz, 1 H), 5.30 (b, 1 H), 1.88 – 1.85 (m, 2 H), 1.33 – 1.30 (m, 2 H) ppm; ^{13}C NMR (100 MHz, CDCl_3) δ 204.14, 141.57, 137.25, 134.08, 131.88, 128.63, 128.10, 127.78, 126.40, 125.63, 124.72, 122.80, 119.29, 118.24, 106.21, 43.05, 18.96 ppm; HRMS (EI): m/z calcd for ($\text{C}_{20}\text{H}_{17}\text{NO}$): 287.1310; found: 287.1311.

(4-methoxyphenyl)(1-(phenylamino)cyclopropyl)methanone (3i)



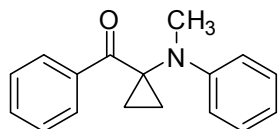
White solid, m.p.129–130 °C; IR (neat) ν 3389, 3054, 2932, 1651, 1600, 1511, 1433, 1316, 1265, 1169, 1026, 977, 840, 745, 691 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.90 (d, J = 8.0 Hz, 2 H), 7.08 (t, J = 8.0 Hz, 1 H), 6.83 – 6.81 (m, 1 H), 6.66 (t, J = 7.2 Hz, 1 H), 6.60 (d, J = 8.0 Hz, 2 H), 4.55 (s, 1 H), 3.78 (s, 3 H), 1.74 – 1.71 (m, 2 H), 1.17 – 1.14 (m, 2 H) ppm; ^{13}C NMR (100 MHz, CDCl_3) δ 201.18, 162.65, 146.56, 130.66, 129.80, 129.09, 118.11, 113.40, 113.10, 55.27, 42.76, 18.68 ppm; HRMS (EI): m/z calcd for ($\text{C}_{17}\text{H}_{17}\text{NO}_2$): 267.1259; found: 267.1261.

(4-chlorophenyl)(1-(phenylamino)cyclopropyl)methanone (3j)



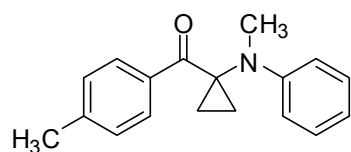
Light yellow solid, m.p.146–147 °C; IR (neat) ν 3393, 2961, 2923, 1661, 1599, 1510, 1488, 1317, 1201, 1089, 978, 830, 747, 692 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.76 (d, J = 8.4 Hz, 2 H), 7.28 (d, J = 8.4 Hz, 2 H), 7.09 (t, J = 8.0 Hz, 2 H), 6.68 (t, J = 7.6 Hz, 1 H), 6.55 (d, J = 8.0 Hz, 2 H), 4.48 (s, 1 H), 1.79 – 1.76 (m, 2 H), 1.23 – 1.20 (m, 2 H) ppm; ^{13}C NMR (100 MHz, CDCl_3) δ 202.73, 146.24, 138.08, 135.72, 129.54, 129.17, 128.38, 118.38, 112.96, 43.06, 19.56 ppm; HRMS (EI): m/z calcd for ($\text{C}_{16}\text{H}_{14}\text{NO}$): 271.0764; found: 271.0763.

(1-(methyl(phenyl)amino)cyclopropyl)(phenyl)methanone (3k)



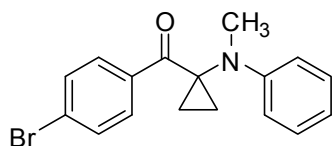
Light yellow liquid; IR(neat) ν 3061, 2925, 1670, 1599, 1504, 1358, 1290, 1196, 1042, 988, 750, 695 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.57 – 7.55 (m, 2 H), 7.42 – 7.38 (m, 1 H), 7.30 – 7.20 (m, 4 H), 6.76 – 6.72 (m, 3 H), 2.92 (s, 3 H), 1.95 (br, 2 H), 1.35 (br, 2 H) ppm; ^{13}C NMR (100 MHz, CDCl_3) δ 206.39, 148.00, 139.07, 131.15, 128.91, 127.98, 127.30, 117.17, 112.96, 51.34, 37.70 ppm; HRMS (EI): m/z calcd for ($\text{C}_{17}\text{H}_{17}\text{NO}$): 251.1310; found: 251.1312.

(1-(methyl(phenyl)amino)cyclopropyl)(p-tolyl)methanone (3l)



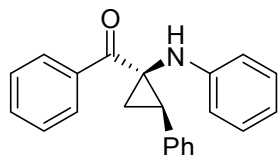
Light yellow liquid; IR (neat) ν 3028, 2924, 1658, 1600, 1504, 1360, 1292, 1179, 992, 822, 749, 694 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.52 (d, $J = 8.4$ Hz, 2 H), 7.24 – 7.19 (m, 2 H), 7.07 (d, $J = 8.0$ Hz, 2 H), 6.75 – 6.72 (m, 3 H), 2.93 (s, 3 H), 2.30 (s, 3 H), 1.93 (br, 2 H), 1.31 (br, 2 H) ppm; ^{13}C NMR (100 MHz, CDCl_3) δ 205.62, 148.00, 141.94, 136.02, 128.93, 128.77, 127.81, 117.09, 113.03, 51.28, 37.78, 21.43 ppm; HRMS (EI): m/z calcd for ($\text{C}_{18}\text{H}_{19}\text{NO}$): 265.1467; found: 265.1469.

(4-bromophenyl)(1-(methyl(phenyl)amino)cyclopropyl)methanone (3m)



Light yellow solid, m.p. 89–90 °C; IR (neat) ν 3030, 2925, 1667, 1599, 1504, 1360, 1288, 1155, 1071, 986, 822, 746, 691 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.47 – 7.40 (m, 4 H), 7.25 – 7.21 (m, 2 H), 6.77 – 6.70 (m, 3 H), 2.90 (s, 3 H), 1.94 (br, 2 H), 1.35 (br, 2 H) ppm; ^{13}C NMR (100 MHz, CDCl_3) δ 205.50, 147.43, 137.55, 131.33, 129.21, 129.06, 126.26, 117.43, 112.99, 51.42, 37.75 ppm; HRMS (EI): m/z calcd for ($\text{C}_{17}\text{H}_{16}\text{BrNO}$): 329.0415; found: 329.0417.

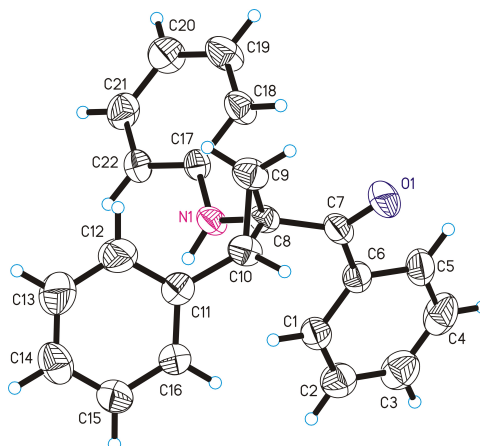
phenyl((1R,2R)-2-phenyl-1-(phenylamino)cyclopropyl)methanone (4a)



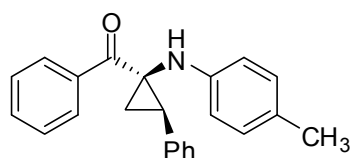
White solid, m.p. 140–141 °C; IR (neat) ν 3335, 3060, 2925, 1667, 1600, 1499, 1445, 1317, 1267, 1177, 1072, 977, 752, 694 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.76 – 7.74 (m, 2 H), 7.43 – 7.30 (m, 6 H), 7.25 – 7.23 (m, 2 H), 7.08 (t, $J = 8.0$ Hz, 2 H), 6.67 (t, $J = 7.6$ Hz, 1 H), 6.49 (d, $J = 8.0$ Hz, 2 H), 3.77 (s, 1 H), 2.95 (t, $J = 8.6$ Hz, 1 H), 2.65 (dd, $J_1 = 5.2$ Hz, $J_2 = 9.6$ Hz, 1 H), 1.50 (dd, $J_1 = 5.2$ Hz, $J_2 = 7.6$ Hz, 1 H) ppm; ^{13}C NMR (100 MHz, CDCl_3): δ 203.18, 146.65,

136.97, 134.16, 131.92, 129.11, 128.85, 128.67, 128.29, 128.05, 127.64, 118.22, 113.21, 48.36,
38.06, 19.89 ppm; HRMS (EI): m/z calcd for (C₂₂H₁₉NO): 313.1467; found: 313.1469.

The single-crystal X-ray Structure of compound **4a** is below.

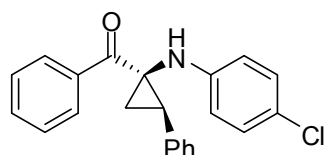


phenyl((1R,2R)-2-phenyl-1-(p-tolylamino)cyclopropyl)methanone (4b)



Light yellow solid, m.p.127–128 °C; IR (neat) ν 3398, 3027, 2917, 1667, 1518, 1447, 1325, 1265,
981, 810, 742, 696 cm⁻¹; ¹H NMR (400 MHz, CDCl₃) δ 7.77 – 7.75 (m , 2 H), 7.43 – 7.39 (m, 3
H), 7.36 – 7.30 (m, 3 H), 7.23 – 7.22 (m , 2 H), 6.89 (d, J = 6.4 Hz, 2 H), 6.40 (d, J = 6.8 Hz, 2
H), 3.65 (s, 1 H), 2.94 (t, J = 7.0 Hz, 1 H), 2.63 (dd, J ₁ = 4.0 Hz, J ₂ = 7.6 Hz, 1 H), 2.18 (s, 3 H),
1.48(dd, J ₁ = 4.0 Hz, J ₂ = 6.2 Hz, 1 H) ppm; ¹³C NMR (100 MHz, CDCl₃) δ 203.42, 144.35,
137.09, 134.32, 131.90, 129.66, 128.89, 128.38, 128.06, 127.62, 127.42, 113.29, 48.52, 38.31,
20.32, 19.92 ppm; HRMS (EI): m/z calcd for (C₂₃H₂₁NO): 327.1623; found: 327.1627.

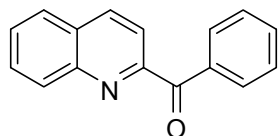
((1R,2R)-1-(4-chlorophenylamino)-2-phenylcyclopropyl)(phenyl)methanone (4c)



Light yellow solid, m.p.133–134 °C; IR (neat) ν 3397, 3061, 2912, 1668, 1598, 1495, 1326, 1267,
1175, 1092, 982, 817, 698 cm⁻¹; ¹H NMR (400 MHz, CDCl₃) δ 7.73 – 7.72 (m , 2 H), 7.43 – 7.31
(m, 6 H), 7.25 – 7.22 (m , 2 H), 7.01 (d, J = 7.2 Hz, 2 H) , 6.40 (d, J = 6.8 Hz, 2 H), 3.79 (s, 1 H),

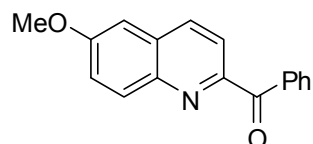
2.97 (t, $J = 6.8$ Hz, 1 H), 2.62 (dd, $J_1 = 4.4$ Hz, $J_2 = 7.6$ Hz, 1 H), 1.47 (dd, $J_1 = 4.4$ Hz, $J_2 = 6.4$ Hz, 1 H) ppm; ^{13}C NMR (100 MHz, CDCl_3) δ 202.82, 145.21, 136.87, 133.97, 132.08, 129.02, 128.82, 128.77, 128.19, 128.17, 127.78, 122.98, 114.28, 48.38, 37.85, 19.88 ppm; HRMS (EI): m/z calcd for ($\text{C}_{22}\text{H}_{18}\text{ClNO}$): 347.1077; found: 347.1075.

phenyl(quinolin-2-yl)methanone (5a)⁴



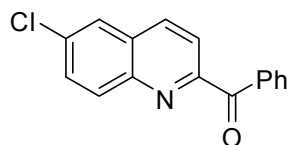
White solid, m.p. 106–107 °C; IR (neat) ν 1658, 1592, 1441, 1381, 1168, 849, 783, 754 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 8.33 (d, $J = 8.4$ Hz, 1 H), 8.23 (d, $J = 7.6$ Hz, 2 H), 8.19 (d, $J = 8.4$ Hz, 1 H), 8.10 (d, $J = 8.4$ Hz, 1 H), 7.89 (d, $J = 8.0$ Hz, 1 H), 7.78 (t, $J = 7.6$ Hz, 1 H), 7.67 – 7.60 (m, 2 H), 7.51 (t, $J = 7.6$ Hz, 2 H) ppm; ^{13}C NMR (100 MHz, CDCl_3) δ 193.77, 154.62, 146.66, 137.07, 136.07, 133.03, 131.41, 130.05, 128.84, 128.37, 128.11, 127.60, 120.75 ppm; HRMS (EI): m/z calcd for ($\text{C}_{16}\text{H}_{11}\text{NO}$): 233.0841; found: 233.0850.

(6-methoxyquinolin-2-yl)(phenyl)methanone (5b)⁵



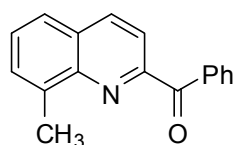
White solid, m.p. 118–119 °C; IR (neat) ν 1652, 1621, 1474, 1437, 1328, 1234, 1029, 970, 871, 726, 690 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 8.16 – 8.12 (m, 3 H), 8.04 – 7.99 (m, 2 H), 7.53 (t, $J = 7.2$ Hz, 1 H), 7.43 (t, $J = 7.6$ Hz, 2 H), 7.34 (dd, $J_1 = 2.0$ Hz, $J_2 = 9.6$ Hz, 1 H), 7.06 (s, 1 H), 3.89 (s, 3 H) ppm; ^{13}C NMR (100 MHz, CDCl_3) δ 193.69, 159.33, 152.24, 142.73, 132.81, 131.40, 128.04, 123.12, 121.30, 104.78, 55.64 ppm; HRMS (EI): m/z calcd for ($\text{C}_{17}\text{H}_{13}\text{NO}_2$): 263.0946; found: 263.0944.

(6-chloroquinolin-2-yl)(phenyl)methanone (5c)



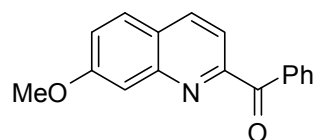
White solid, m.p.145–146 °C; IR (neat) ν 1656, 1598, 1456, 1322, 1287, 1192, 1074, 968, 876, 703, 686 cm^{-1} ; ^1H NMR (500 MHz, CDCl_3) δ 8.27 (d, J = 10.5 Hz, 1 H), 8.22 (d, J = 9.5 Hz, 2 H), 8.14 (d, J = 11.0 Hz, 2 H), 7.90 (d, J = 2.5 Hz, 1 H), 7.72 (dd, J_1 = 2.5 Hz, J_2 = 11.0 Hz, 1 H), 7.64 (t, J = 9.5 Hz, 1 H), 7.52 (t, J = 9.5 Hz, 2 H) ppm; ^{13}C NMR (125 MHz, CDCl_3) δ 193.38, 154.82, 145.06, 136.18, 135.95, 134.38, 133.17, 132.09, 131.14, 129.44, 128.18, 126.33, 121.71 ppm; HRMS (EI): m/z calcd for ($\text{C}_{16}\text{H}_{10}\text{ClNO}$):267.0451; found: 267.0449.

(8-methylquinolin-2-yl)(phenyl)methanone (5d)



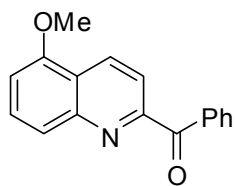
Light yellow solid, m.p.118–119 °C; IR (neat) ν 1658, 1596, 1444, 1320, 1286, 1157, 947, 870, 846, 725, 690 cm^{-1} ; ^1H NMR (500 MHz, CDCl_3) δ 8.35 (d, J = 8.0 Hz, 2 H), 8.29 (d, J = 8.5 Hz, 1 H), 8.17 (d, J = 8.5 Hz, 1 H), 7.71 (d, J = 8.0 Hz, 1 H), 7.61 (t, J = 7.0 Hz, 2 H), 7.55 – 7.49 (m, 3 H), 2.77 (s, 3 H) ppm; ^{13}C NMR (125 MHz, CDCl_3) δ 193.39, 153.03, 145.77, 138.78, 137.18, 136.52, 132.66, 131.66, 130.03, 128.93, 128.30, 127.81, 125.45, 120.36, 17.90 ppm; HRMS (EI): m/z calcd for ($\text{C}_{17}\text{H}_{13}\text{NO}$): 247.0997; found:247.0995.

(7-methoxyquinolin-2-yl)(phenyl)methanone (5e)



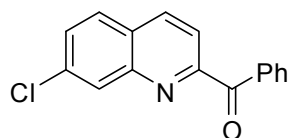
Light yellow solid, m.p.173–174 °C; IR (neat) ν 1660, 1588, 1466, 1358, 1266, 1090, 959, 849, 792, 688 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 8.67 (d, J = 8.4 Hz, 1 H), 8.14 (d, J = 7.6 Hz, 2 H), 7.98 (d, J = 8.8 Hz, 1 H), 7.69 (d, J = 8.4 Hz, 1 H), 7.59 – 7.52 (m, 2 H), 7.43 (t, J = 7.6 Hz, 2 H), 6.87 (d, J = 7.6 Hz, 1 H), 3.96 (s, 3 H) ppm; ^{13}C NMR (125 MHz, CDCl_3) δ 193.93, 155.00, 154.91, 147.51, 136.13, 133.01, 131.98, 131.40, 130.02, 128.10, 122.40, 121.40, 119.83, 105.78, 55.84 ppm; HRMS (EI): m/z calcd for ($\text{C}_{17}\text{H}_{13}\text{NO}_2$): 263.0946; found: 263.0945.

(5-methoxyquinolin-2-yl)(phenyl)methanone (5e')



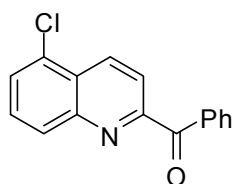
Light yellow solid, m.p. 66–67 °C; IR (neat) ν 1661, 1621, 1596, 1456, 1385, 1219, 1027, 980, 903, 850, 721, 690 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 8.18 (d, $J = 8.4$ Hz, 1 H), 8.12 (d, $J = 6.4$ Hz, 2 H), 7.87 (d, $J = 8.4$ Hz, 1 H), 7.70 (d, $J = 9.2$ Hz, 1 H), 7.55 (t, $J = 7.2$ Hz, 1 H), 7.46–7.41 (m, 3 H), 7.23 (dd, $J_1 = 2.4$ Hz, $J_2 = 9.2$ Hz, 1 H), 3.88 (s, 3 H) ppm; ^{13}C NMR (125 MHz, CDCl_3) δ 194.12, 161.18, 154.91, 148.55, 136.66, 136.24, 133.01, 131.35, 128.55, 128.15, 124.28, 121.87, 118.82, 107.98, 55.64 ppm; HRMS (EI): m/z calcd for ($\text{C}_{17}\text{H}_{13}\text{NO}_2$): 263.0946; found: 263.0947.

(7-chloroquinolin-2-yl)(phenyl)methanone (5f)



White solid, m.p. 90–91 °C; IR (neat) ν 1663, 1595, 1460, 1321, 1158, 951, 817, 757, 716, 691 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 8.77 (d, $J = 8.8$ Hz, 1 H), 8.23–8.19 (m, 3 H), 8.13 (d, $J = 8.0$ Hz, 1 H), 7.75–7.63 (m, 3 H), 7.53 (t, $J = 7.6$ Hz, 2 H) ppm; ^{13}C NMR (125 MHz, CDCl_3) δ 193.35, 155.24, 147.39, 135.88, 134.13, 133.25, 131.44, 131.37, 129.78, 129.67, 128.31, 128.21, 126.98, 121.61 ppm; HRMS (EI): m/z calcd for ($\text{C}_{16}\text{H}_{10}\text{ClNO}$): 267.0451; found: 267.0455.

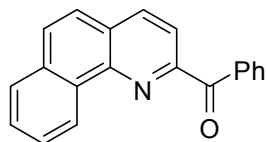
(5-chloroquinolin-2-yl)(phenyl)methanone (5f')



White solid, m.p. 118–119 °C; IR (neat) ν 1658, 1598, 1448, 1323, 1162, 1065, 932, 858, 718, 698 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 8.34 (d, $J = 8.8$ Hz, 1 H), 8.22–8.21 (m, 3 H), 8.11 (d, $J = 8.4$ Hz, 1 H), 7.86 (d, $J = 8.8$ Hz, 1 H), 7.65–7.61 (m, 2 H), 7.53 (t, $J = 7.6$ Hz, 2 H) ppm; ^{13}C NMR (125 MHz, CDCl_3) δ 193.42, 155.53, 147.04, 137.01, 136.07, 135.90, 133.22, 131.39,

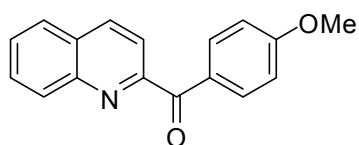
129.44, 129.39, 128.82, 128.19, 127.22, 121.01 ppm; HRMS (EI): m/z calcd for (C₁₆H₁₀ClNO): 267.0451; found: 267.0450.

benzo[h]quinolin-2-yl(phenyl)methanone (5g)



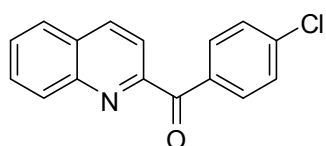
Light yellow solid, m.p. 108–109 °C; IR (neat) ν 1658, 1596, 1445, 1321, 1272, 1158, 1089, 944, 853, 732, 705 cm⁻¹; ¹H NMR (500 MHz, CDCl₃) δ 9.09 – 9.07 (m, 1 H), 8.30 – 8.24 (m, 4 H), 7.88 – 7.85 (m, 2 H), 7.69 (d, J = 8.5 Hz, 1 H), 7.67 – 7.63 (m, 2 H), 7.59 (t, J = 7.5 Hz, 1 H), 7.50 (t, J = 7.5 Hz, 2 H) ppm; ¹³C NMR (125 MHz, CDCl₃) δ 193.63, 152.74, 145.00, 136.73, 136.68, 133.78, 132.73, 131.79, 131.61, 129.94, 128.59, 127.99, 127.93, 127.66, 127.57, 124.94, 124.76, 121.86 ppm; HRMS (EI): m/z calcd for (C₂₀H₁₃NO): 283.0997; found: 283.0996.

(4-methoxyphenyl)(quinolin-2-yl)methanone (5h)⁶



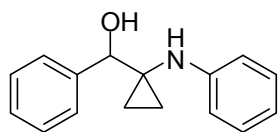
Light yellow solid, m.p. 154–155 °C; IR (neat) ν 1652, 1597, 1462, 1312, 1259, 1028, 924, 850, 774 cm⁻¹; ¹H NMR (500 MHz, CDCl₃) δ 8.23 (d, J = 8.5 Hz, 1 H), 8.20 (d, J = 9.0 Hz, 2 H), 8.11 (d, J = 8.5 Hz, 1 H), 7.97 (d, J = 8.5 Hz, 1 H), 7.80 (d, J = 8.0 Hz, 1 H), 7.70 – 7.67 (m, 1 H), 7.55 (t, J = 8.0 Hz, 1 H), 6.90 (d, J = 9.0 Hz, 2 H), 3.80 (s, 3 H) ppm; ¹³C NMR (125 MHz, CDCl₃) δ 192.17, 163.68, 155.32, 146.59, 136.97, 133.86, 130.36, 129.97, 128.87, 128.71, 128.14, 127.59, 120.84, 113.48, 55.44 ppm; HRMS (EI): m/z calcd for (C₁₇H₁₃NO₂): 263.0946; found: 263.0950.

(4-chlorophenyl)(quinolin-2-yl)methanone (5i)⁶



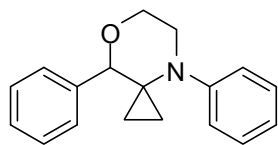
Light yellow solid, m.p.128–129 °C; IR (neat) ν 1663, 1589, 1459, 1318, 1211, 1090, 925, 850, 771 cm^{-1} ; ^1H NMR (500 MHz, CDCl_3) δ 8.36 (d, J = 8.5 Hz, 1 H), 8.24 (d, J = 8.5 Hz, 2 H), 8.19 (d, J = 8.5 Hz, 1 H), 8.14 (d, J = 8.5 Hz, 1 H), 7.92 (d, J = 8.0 Hz, 1 H), 7.80 (t, J = 7.5 Hz, 1 H), 7.68 (t, J = 7.5 Hz, 1 H), 7.50 (d, J = 8.5 Hz, 2 H) ppm; ^{13}C NMR (125 MHz, CDCl_3) δ 192.37, 154.21, 146.66, 139.55, 137.25, 134.49, 132.92, 130.51, 130.21, 128.98, 128.62, 128.45, 127.67, 120.73 ppm; HRMS (EI): m/z calcd for ($\text{C}_{16}\text{H}_{10}\text{ClNO}$): 267.0451; found: 267.0450.

phenyl(1-(phenylamino)cyclopropyl)methanol (3aa)



Colorless liquid; IR (neat) ν 3399, 3050, 3024, 1601, 1500, 1451, 1322, 1178, 1026, 750, 696 cm^{-1} ; ^1H NMR (400 MHz, CDCl_3) δ 7.33 – 7.25 (m, 5 H), 7.18 – 7.14 (m, 2 H), 6.74 – 6.69 (m, 3 H), 4.95 (s, 1 H), 4.18 (br, 1 H), 2.84 (br, 1 H), 1.03 – 0.97 (m, 1 H), 0.87 – 0.83 (m, 1 H), 0.78 – 0.70 (m, 2 H) ppm; ^{13}C NMR (100 MHz, CDCl_3) δ 146.75, 141.76, 129.15, 128.12, 127.67, 126.59, 117.62, 113.64, 74.16, 39.17, 12.08, 10.92 ppm; HRMS (EI): m/z calcd for ($\text{C}_{16}\text{H}_{17}\text{NO}$): 239.1310; found: 239.1310.

4, 8-diphenyl-7-oxa-4-azaspiro[2.5]octane (6)



Light yellow liquid; a mixture of two isomers (19:4) in 84% yield; IR (neat) ν 3024, 2851, 1599, 1495, 1451, 1349, 1254, 1215, 1030, 987, 751, 706 cm^{-1} ; ^1H NMR (500 MHz, CDCl_3) δ 7.35 – 6.74 (m, , 10 H), 5.25 (s, 0.77 H), 4.72 (s, 0.23 H), 3.92 – 3.37 (m, 4 H), 1.05 – 0.56 (m, 4 H) ppm; ^{13}C NMR (125 MHz, CDCl_3) δ 147.97, 146.83, 139.16, 137.80, 129.27, 129.18, 128.17, 127.86, 127.82, 127.55, 127.31, 127.04, 118.53, 117.68, 116.68, 113.86, 81.12, 77.50, 69.12, 65.37, 51.04, 42.18, 38.50, 31.03, 14.21, 11.50, 10.37, 9.38 ppm; HRMS (EI): m/z calcd for ($\text{C}_{18}\text{H}_{19}\text{NO}$): 265.1417; found: 265.1468.

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Copies of ^1H NMR and ^{13}C NMR

