

Supporting Information for

Gold-catalyzed Intramolecular Cyclization/Condensation Sequence: Synthesis of 1,2-Dihydro[*c*][2,7]naphthyridines

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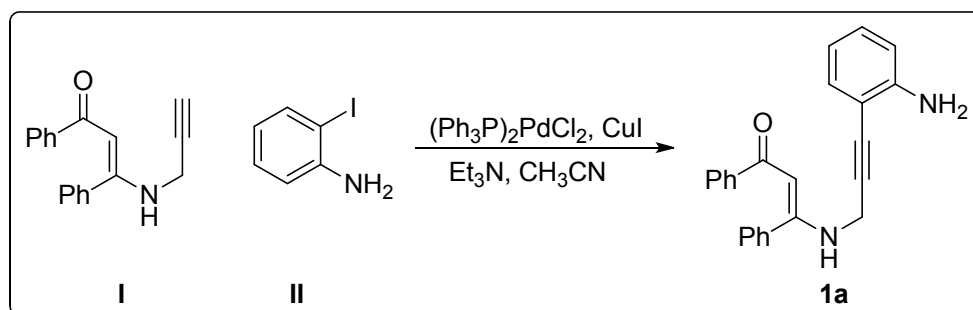
1.1 General information

All the reactions were carried out in oven dried reaction flasks under nitrogen atmosphere and also solvents and reagents were transferred by oven-dried syringes to ambient temperature. TLC was performed on Merck silica gel aluminium sheets using UV as a visualizing agent and a 0.5% aqueous potassium permanganate solution and heat as developing agents. Solvents were removed under reduced pressure. Columns were packed as slurry of silica gel in hexane and ethyl acetate solvent mixture. The elution was assisted by applying pressure with an air pump. ^{13}C NMR spectra were recorded on 75, 100 and 125 MHz spectrometers. ^1H NMR spectra were recorded on 300, 400 and 500 MHz spectrometers in appropriate solvents using TMS as internal standard. The following abbreviations were used to explain multiplicities: s = singlet, d = doublet, dd = double doublet, t = triplet, m = multiplet. All reactions were performed under nitrogen atmosphere with freshly distilled and dried solvents. All solvents were distilled using standard procedures. Unless otherwise noted, reagents were obtained from Aldrich, Alfa Aesar, and TCI used without further purification. 2-aminophenyl prop-2-yn-1-yl enaminones were (**1a-1v**) were prepared by following the reported procedure.¹

1.2 General procedure for synthesis of (*E*)-3-((3-(2-aminophenyl)prop-2-yn-1-yl)amino)-1,3-diphenylprop-2-en-1-one derivatives (**1**)¹

In a 100 mL round-bottomed two-neck flask equipped with magnetic stir bar and (*E*)-1,3-diphenyl-3-(prop-2-yn-1-ylamino)prop-2-en-1-one **I** (1 g, 3.8 mmol, 1equiv.), 2-iodoaniline **II** (0.83 g, 3.8 mmol, 1equiv.), $(\text{Ph}_3\text{P})_2\text{PdCl}_2$ (78 mg, 0.11 mmol, 0.03 equiv.) and CuI (36 mg, 0.19 mmol, 0.05 equiv.) was evacuated and filled with nitrogen, then dissolved in acetonitrile solvent (40 mL). This reaction flask was then purged with nitrogen for 15 min. To this reaction mixture

added Et₃N (2.66 mL, 19.1 mmol, 5 equiv.) drop wisely over 15-20 min. The reaction mixture was allowed to stir at room temperature for 12 hours. After completion of the reaction (monitored by TLC), the reaction mixture was filtered through cilite pad. The reaction mass was extracted with ethyl acetate. The combined organic layers were washed with aqueous brine, dried over anhydrous Na₂SO₄, and concentrated under vacuum. The crude residue was purified through a silica gel column chroma-tography using hexane and ethyl acetate as eluent (10/1.6) to give 77.4% (735 mg) yield of pure (*E*)-3-((3-(2-aminophenyl)prop-2-yn-1-yl)amino)-1,3-diphenylprop-2-en-1-one **1a**. A similar experimental procedure was adopted for the synthesis of substituted 2-aminophenyl prop-2-yn-1-yl enaminones (**1b-1v**).



1.3 General procedure for synthesis of dihydrobenzonaphthyridine derivatives (2)

In a 25 mL round-bottomed two-neck flask equipped with magnetic stir bar and 3-((3-(2-aminophenyl)prop-2-yn-1-yl)amino)-1,3-diphenylprop-2-en-1-one **1a** (124 mg, 0.35 mmol, 1 equiv.) purged with dry nitrogen, then dissolved in acetic acid (3 mL). To this reaction flask Ph₃PAuCl (7.0 mg, 0.0142 mmol, 5 mol%) and AgSbF₆ (4.9 mg, 0.0142 mmol, 5 mol%) was added. The reaction mixture was allowed to stir at room temperature for 2 hours. After completion of the reaction (monitored by TLC), the reaction mixture was diluted with ethyl acetate. To this reaction mixture, saturated NaHCO₃ solution was added and stirred for 5 min. The reaction mass was extracted with ethyl acetate (2 x 5 mL). The combined organic layers

were washed with aqueous brine, dried over anhydrous Na₂SO₄, and concentrated under vacuum. The crude residue was purified through a silica gel column using hexane and ethyl acetate as eluent (10/2.7) to give 96% (118 mg) yield of pure 4,5-diphenyl-1,2-dihydrobenzo[*c*][2,7]naphthyridine **2a**. A similar experimental procedure was adopted for the synthesis of all 1,2-dihydrobenzo[*c*][2,7]naphthyridine derivatives (**2b-2v**).

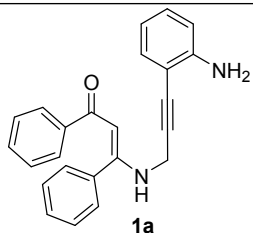
1.4 Synthetic procedure for synthesis of 4,5-Diphenyl-1,2-dihydrobenzo[*c*][2,7]naphthyridine (**2a**) [experiment was conducted in 5mmol scale].

To a stirring solution of 3-((3-(2-aminophenyl)prop-2-yn-1-yl)amino)-1,3-diphenylprop-2-en-1-one **1a** (1.75 g, 5 mmol, 1 equiv.) in acetic acid (20 mL) under dry condition, added Ph₃PAuCl (123 mg, 0.25 mmol, 5 mol%) and AgSbF₆ (87 mg, 0.25 mmol, 5 mol%). The reaction mixture was allowed to stir at room temperature for 2 hours. After completion of the reaction (monitored by TLC), the reaction mixture was diluted with ethyl acetate. To this reaction mixture, saturated NaHCO₃ solution was added and stirred for 5 min. The reaction mass was extracted with ethyl acetate (2 x 50 mL). The combined organic layers were washed with aqueous brine, dried over anhydrous Na₂SO₄, and concentrated under vacuum. The crude residue was purified through a silica gel column using hexane and ethyl acetate as eluent (10/2.7) to give 94% (1.57 g) yield of pure 4,5-diphenyl-1,2-dihydrobenzo[*c*][2,7]naphthyridine **2a**.

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1. (a) S. Cacchi, G. Fabrizi and E. Filisti, *Org. Lett.* 2008, **10**, 2679. (b) A. Wetzel and F. Gagosz, *Angew. Chem. Int. Ed.* **2011**, *50*, 7354. (c) K. Goutham, N. S. V. M. Rao Mangina, S. Suresh, P. Raghavaiah and G. V. Karunakar, *Org. Biomo. Chem.* **2014**, *12*, 2869.

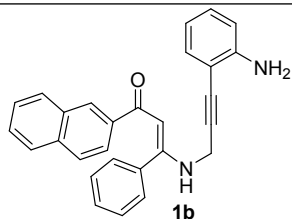
1.5 Spectroscopic data of 2-aminophenyl prop-2-yn-1-yl enaminones (1a, 1b, 1d, 1h, 1i, 1k and 1l) and 1,2-Dihydro[c][2,7]naphthyridines (2a-2v).

3-((3-(2-aminophenyl)prop-2-yn-1-yl)amino)-1,3-diphenylprop-2-en-1-one (1a) :



semisolid, R_f 0.3 (Hexane: EtOAc, 1:0.15); 735 mg, 77.4% yield; (^1H NMR, CDCl_3 , 500 MHz): δ 11.41 (1H, t, $J = 6.2$ Hz), 7.90 (2H, d, $J = 6.8$ Hz), 7.55-7.51 (2H, m), 7.50-7.41 (3H, m), 7.45-7.38 (3H, m), 7.23 (1H, d, $J = 6.7$ Hz), 7.10 (1H, dt, $J = 7.7, 1.5$ Hz), 6.66 (2H, d, $J = 7.7$ Hz), 5.86 (1H, s), 4.22 (2H, d, $J = 6.2$ Hz) ppm; (^{13}C NMR CDCl_3 , 125 MHz): δ 189.1, 165.9, 148.0, 139.9, 135.0, 132.3, 130.9, 129.7, 128.6, 128.29, 128.21, 127.8, 127.1, 117.7, 114.2, 107.0, 94.7, 90.3, 80.8, 35.2 ppm; IR (KBr, neat): ν_{max} 3462, 3360, 3060, 2955, 2922, 2854, 2184, 1593, 1561, 1485, 1325, 1300, 1226, 1144, 1057, 1022 cm^{-1} .

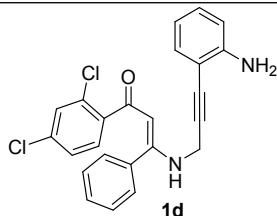
3-((3-(2-aminophenyl)prop-2-yn-1-yl)amino)-1-(naphthalen-2-yl)-3-phenylprop-2-en-1-one (1b) :



brown oil, R_f 0.4 (Hexane: EtOAc, 1:0.13); 650 mg, 50.3% yield; (^1H NMR CDCl_3 , 400 MHz) : δ 11.49 (1H, t, $J = 6.2$ Hz), 8.40 (1H, s), 8.02 (1H, dd, $J = 8.5, 1.7$ Hz), 7.91 (1H, dd, $J = 7.3, 1.7$ Hz), 7.85 (2H, t, $J = 8.4$ Hz), 7.59-7.55 (2H, m), 7.53-7.49 (5H, m), 7.24 (1H, d, $J = 1.4$), 7.11(1H, dt, $J = 7.8, 1.5$ Hz), 6.67 (2H, d, $J = 7.9$ Hz), 6.02 (1H, s), 4.25 (2H, d, $J = 6.2$ Hz) ppm; (^{13}C NMR CDCl_3 , 100 MHz): δ 188.9, 165.9, 148.0, 137.2, 135.0, 134.6, 132.8, 132.3, 129.8, 129.1, 128.7, 127.9, 127.6, 127.2, 126.2, 124.0, 117.7, 114.2, 107.1, 94.9, 90.4, 80.9, 35.2 ppm; IR (KBr, neat): ν_{max} 3462, 3362, 3061, 2927, 2846, 2175, 1655, 1594, 1514, 1484, 1452,

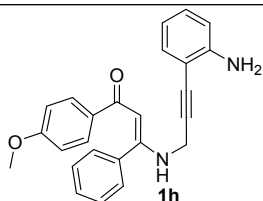
1308, 1253, 1173, 1143, 1066 cm^{-1} .

3-((3-(2-aminophenyl)prop-2-yn-1-yl)amino)-1-(2,4-dichlorophenyl)-3-phenylprop-2-en-1-one (1d) :



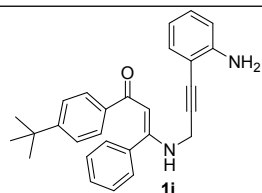
brown oil, R_f 0.4 (Hexane: EtOAc, 1:0.13); 660 mg, 52.3% yield; (^1H NMR CDCl_3 , 400 MHz) : δ 11.25 (1H, t, $J = 6.2$ Hz), 7.55-7.51 (2H, m), 7.50-7.45 (4H, m), 7.40 (1H, d, $J = 1.9$ Hz), 7.25-7.23 (2H, m), 7.12 (1H, dt, $J = 7.7, 1.4$ Hz), 6.68 (2H, d, $J = 7.8$ Hz), 5.52 (1H, s), 4.26 (2H, d, $J = 6.2$ Hz), 4.16 (2H, s, br) ppm; (^{13}C NMR CDCl_3 , 100 MHz): δ 188.6, 166.1, 148.0, 139.3, 135.3, 134.3, 132.3, 131.8, 130.3, 130.0, 129.94, 129.91, 128.7, 127.8, 126.9, 117.8, 114.3, 106.9, 98.3, 89.9, 81.2, 35.3 ppm; IR (KBr, neat): ν_{max} 3467, 3363, 2954, 2922, 2856, 2150, 1559, 1485, 1455, 1370, 1317, 1266, 1142, 1086, 1036 cm^{-1} .

3-((3-(2-aminophenyl)prop-2-yn-1-yl)amino)-1-(4-methoxyphenyl)-3-phenylprop-2-en-1-one (1h) :



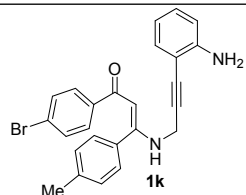
yellow oil, R_f 0.2 (Hexane: EtOAc, 1:0.18); 760 mg, 64% yield; (^1H NMR CDCl_3 , 500 MHz): δ 11.30 (1H, t, $J = 6.2$ Hz), 7.89 (2H, d, $J = 8.8$ Hz), 7.55-7.51 (2H, m), 7.48 (3H, d, $J = 2.4$ Hz), 7.23 (1H, d, $J = 7.0$ Hz), 7.10 (1H, dt, $J = 7.9, 1.2$ Hz), 6.90 (2H, d, $J = 8.6$ Hz), 6.66 (2H, d, $J = 7.7$ Hz), 5.83 (1H, s), 4.20 (2H, d, $J = 6.2$ Hz), 3.84 (3H, s) ppm; (^{13}C NMR CDCl_3 , 100 MHz): δ 188.2, 165.3, 161.9, 148.0, 135.2, 132.6, 132.2, 129.7, 129.6, 129.0, 128.6, 127.8, 117.7, 114.2, 113.4, 107.1, 94.3, 90.5, 80.7, 55.3, 35.1 ppm; IR (KBr, neat): ν_{max} 3462, 3361, 2956, 2924, 2850, 2121, 1591, 1563, 1484, 1454, 1321, 1252, 1173, 1140, 1064 cm^{-1} .

3-((3-(2-aminophenyl)prop-2-yn-1-yl)amino)-1-(4-(tert-butyl)phenyl)-3-phenylprop-2-en-1-one (1i) :



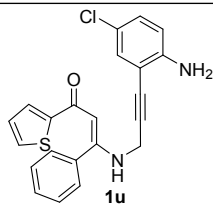
pale brown solid, R_f 0.4 (Hexane: EtOAc, 1:0.14); mp 117-112 °C; 730 mg, 56.8% yield; (^1H NMR CDCl_3 , 400 MHz): δ 11.37 (1H, t, $J = 6.2$ Hz), 7.84 (2H, d, $J = 8.4$ Hz), 7.55-7.50 (2H, m), 7.49-7.45 (3H, m), 7.42 (2H, d, $J = 8.4$ Hz), 7.23 (1H, d, $J = 8.0$ Hz), 7.09 (1H, dt, $J = 7.7, 1.3$ Hz), 6.65 (2H, d, $J = 7.9$ Hz), 5.86 (1H, s), 4.24 (2H, d, $J = 6.2$ Hz), 1.33 (9H, s) ppm; (^{13}C NMR CDCl_3 , 100 MHz): δ 189.0, 165.5, 154.3, 148.0, 137.2, 135.1, 132.2, 129.7, 128.6, 127.8, 126.9, 125.1, 117.6, 114.2, 107.0, 94.7, 90.4, 80.7, 35.1, 34.8, 31.1 ppm; IR (KBr, neat): ν_{max} 3455, 3325, 2959, 2866, 2143, 1593, 1561, 1483, 1359, 1302, 1268, 1192, 1110, 1064, 1017 cm^{-1} .

3-((3-(2-aminophenyl)prop-2-yn-1-yl)amino)-1-(4-bromophenyl)-3-(*p*-tolyl)prop-2-en-1-one (1k) :



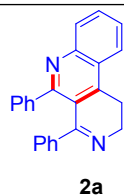
semi solid, R_f 0.5 (Hexane: EtOAc, 1:0.12); 500 mg, 53% yield; (^1H NMR CDCl_3 , 500 MHz) : δ 11.43 (1H, t, $J = 6.2$ Hz), 7.75 (2H, d, $J = 8.5$ Hz), 7.52 (2H, d, $J = 5.5$ Hz), 7.42 (2H, d, $J = 7.9$ Hz), 7.29 (3H, d, $J = 7.9$ Hz), 7.23 (1H, d, $J = 8.0$ Hz), 7.11 (1H, dt, $J = 8.0, 1.3$ Hz), 6.67 (1H, d, $J = 8.0$ Hz), 5.78 (1H, s), 4.24 (2H, d, $J = 6.2$ Hz), 2.42 (3H, s) ppm; (^{13}C NMR CDCl_3 , 125 MHz) : δ 187.4, 166.5, 148.0, 140.1, 138.8, 132.3, 131.8, 131.3, 129.8, 129.3, 128.7, 127.7, 125.5, 117.7, 114.2, 107.0, 94.1, 90.2, 80.9, 35.2, 21.3 ppm; IR (KBr, neat): ν_{max} 3466, 3358, 2954, 2922, 2855, 2133, 1579, 1495, 1320, 1142, 1067, 1008 cm^{-1} .

3-((3-(2-aminophenyl)prop-2-yn-1-yl)amino)-3-phenyl-1-(thiophen-2-yl)prop-2-en-1-one (1u) :

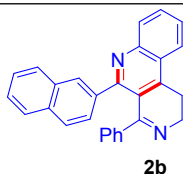


colourless solid, R_f 0.4 (Hexane: EtOAc, 1:0.14); mp 95-92 °C; 550 mg, 46.8% yield; (^1H NMR CDCl_3 , 400 MHz): δ 11.02 (1H, t, $J = 6.2$ Hz), 7.57 (1H, d, $J = 3.0$ Hz), 7.49 (6H, s), 7.17 (1H, d, $J = 2.3$ Hz), 7.08-7.01 (2H, m), 6.58 (1H, d, $J = 8.6$ Hz), 5.74 (1H, s), 4.18 (2H, d, $J = 6.2$ Hz) ppm; (^{13}C NMR CDCl_3 , 100 MHz): δ 182.0, 165.5, 146.6, 134.6, 131.3, 130.6, 129.8, 129.7, 128.6, 128.1, 127.7, 121.8, 115.3, 108.2, 94.5, 91.2, 79.7, 35.0 ppm; IR (KBr, neat): ν_{max} 3434, 3315, 2956, 2922, 2855, 2115, 1588, 1559, 1523, 1482, 1413, 1355, 1313, 1239, 1143, 1065, 1006 cm^{-1} .

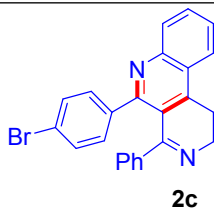
4,5-Diphenyl-1,2-dihydrobenzo[*c*][2,7]naphthyridine (2a):



brick red solid; R_f 0.3 (Hexane: EtOAc, 1:0.25); mp 97-95 °C; 118 mg, 96% yield; (^1H NMR, 400 MHz): δ 8.21 (1H, d, $J = 8.192$ Hz), 8.11 (1H, d, $J = 8.192$ Hz), 7.81 (1H, dt, $J = 6.847$, 1.345 Hz), 7.63 (1H, dt, $J = 6.847$, 1.345 Hz), 7.44 (2H, dd, $J = 8.192$, 1.589 Hz), 7.17 (2H, d, $J = 8.192$ Hz), 7.10-7.04 (3H, m), 7.03-6.95 (3H, m), 4.03 (2H, t, $J = 6.847$ Hz), 3.19 (2H, t, $J = 6.847$ Hz); (^{13}C NMR, 100 MHz): δ 167.6, 157.5, 149.9, 147.5, 140.5, 139.5, 130.8, 130.0, 129.6, 128.6, 128.3, 127.8, 127.5, 126.7, 124.0, 123.6, 120.7, 46.8, 23.3 ppm; HRMS (ESI) m/z calcd for $\text{C}_{24}\text{H}_{19}\text{N}_2^+$ $[\text{M}+\text{H}]^+$ 335.1542, found 335.1544; IR (KBr, neat): 3060, 3023, 2924, 2852, 1711, 1600, 1545, 1445, 1214, 908 cm^{-1} .

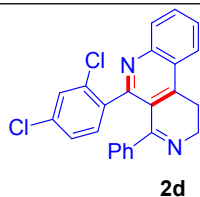
5-(Naphthalen-2-yl)-4-phenyl-1,2-dihydrobenzo[c][2,7]naphthyridine (2b):

pale yellow solid; R_f 0.3 (Hexane: EtOAc, 1:0.25) mp 121-123 °C; 123 mg, 91% yield; (^1H NMR, 400 MHz): δ 8.25 (1H, d, $J = 8.314$ Hz), 8.15 (1H, d, $J = 8.314$ Hz), 7.84 (1H, dt, $J = 8.192, 1.223$ Hz), 7.76 (1H, s), 7.74-7.64 (4H, m), 7.60 (1H, d, $J = 8.436$ Hz), 7.43-7.37 (2H, m), 7.14 (2H, dd, $J = 7.825, 2.323$ Hz), 6.83-6.76 (3H, m), 4.11 (2H, t, $J = 7.458$ Hz), 3.25 (2H, t, $J = 7.458$ Hz) ppm; (^{13}C NMR, 125 MHz): δ 168.0, 157.5, 150.0, 147.6, 139.4, 137.7, 132.8, 132.4, 131.0, 130.0, 128.4, 128.1, 127.7, 127.3, 127.2, 126.9, 126.6, 126.2, 125.8, 124.1, 123.7, 121.0, 46.7, 23.4 ppm; HRMS (ESI) m/z calcd for $\text{C}_{28}\text{H}_{21}\text{N}_2^+$ $[\text{M}+\text{H}]^+$ 385.1699, found 385.1710; IR (KBr, neat): 3058, 3020, 2923, 2853, 1714, 1544, 1215, 1018, 906 cm^{-1} .

5-(4-Bromophenyl)-4-phenyl-1,2-dihydrobenzo[c][2,7]naphthyridine (2c):

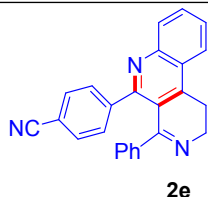
brown solid; R_f 0.4 (Hexane: EtOAc, 1:0.24); mp 133-135 °C; 115 mg, 80% yield; (^1H NMR, 400 MHz): δ 8.19 (1H, d, $J = 8.314$ Hz), 8.12 (1H, d, $J = 8.314$ Hz), 7.83 (1H, dt, $J = 6.847, 1.345$ Hz), 7.66 (1H, dt, $J = 6.847, 1.345$ Hz), 7.26 (4H, q, $J = 28.121, 8.558$ Hz), 7.15 (2H, d, $J = 6.969$ Hz), 7.10 (1H, tt, $J = 8.558, 1.345$ Hz), 7.04 (2H, d, $J = 6.969$ Hz), 4.03 (2H, t, $J = 7.458$ Hz), 3.21 (2H, t, $J = 7.458$ Hz) ppm; (^{13}C NMR, 100 MHz): δ 167.2, 156.2, 150.1, 147.4, 139.4, 139.3, 131.1, 131.0, 130.8, 128.9, 127.7, 127.5, 127.0, 124.1, 123.7, 122.7, 120.5, 46.7, 23.2 ppm; HRMS (ESI) m/z calcd for $\text{C}_{24}\text{H}_{18}\text{BrN}_2^+$ $[\text{M}+\text{H}]^+$ 413.0647, found 413.0655; IR (KBr, neat): 3062, 3020, 2924, 2852, 1711, 1589, 1544, 1488, 1214, 1069, 1010 cm^{-1} .

5-(2,4-Dichlorophenyl)-4-phenyl-1,2-dihydrobenzo[c][2,7]naphthyridine (2d):



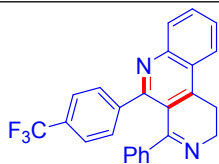
brown solid; R_f 0.4 (Hexane: EtOAc, 1:0.25); mp 158-160 °C; 129 mg, 92% yield; (^1H NMR, 400 MHz): δ 8.18 (2H, t, $J = 7.336$ Hz), 7.84 (1H, dt, $J = 6.969, 1.223$ Hz), 7.71 (1H, dt, $J = 6.969, 1.223$ Hz), 7.23 (1H, dd, $J = 7.58, 1.46$ Hz), 7.16 (2H, d, $J = 7.58$ Hz), 7.13 (1H, dd, $J = 8.069, 1.46$ Hz), 7.08-7.02 (3H, m), 6.97 (1H, t, $J = 8.069$ Hz), 4.23 (1H, dt, $J = 14.427, 5.50$ Hz), 3.74 (1H, dt, $J = 13.449, 4.768$ Hz), 3.36 (1H, td, $J = 10.759, 5.50$ Hz), 3.11 (1H, dt, $J = 13.449, 5.38$ Hz) ppm; (^{13}C NMR, 100 MHz): δ 167.2, 154.3, 148.5, 147.5, 141.4, 139.3, 132.8, 131.1, 130.0, 129.9, 128.8, 127.5, 127.1, 126.9, 124.6, 123.8, 122.2, 46.5, 22.7 ppm; HRMS (ESI) m/z calcd for $\text{C}_{24}\text{H}_{17}\text{Cl}_2\text{N}_2^+$ $[\text{M}+\text{H}]^+$ 403.0763, found 403.0766; IR (KBr, neat): 2955, 2925, 2852, 1714, 1603, 1551, 1410, 1215, 1080, 1025 cm^{-1} .

4-(4-Phenyl-1,2-dihydrobenzo[c][2,7]naphthyridin-5-yl)benzonitrile (2e):



colorless solid; R_f 0.3 (Hexane: EtOAc, 1:0.26); mp 135-137 °C; 106 mg, 84% yield; (^1H NMR, 500 MHz): δ 8.20 (1H, d, $J = 8.392$ Hz), 8.15 (1H, d, $J = 8.392$ Hz), 7.86 (1H, dt, $J = 8.24, 1.22$ Hz), 7.70 (1H, dt, $J = 8.24, 1.22$ Hz), 7.54 (2H, d, $J = 8.392$ Hz), 7.39 (2H, d, $J = 8.392$ Hz), 7.15 (2H, d, $J = 7.324$ Hz), 7.08 (1H, tt, $J = 7.324, 1.22$ Hz), 7.01 (2H, t, $J = 7.324$ Hz), 4.05 (2H, t, $J = 7.629$ Hz), 3.23 (2H, t, $J = 7.629$ Hz) ppm; (^{13}C NMR, 125 MHz): δ 166.6, 155.2, 150.4, 147.3, 144.8, 139.2, 131.4, 131.3, 130.2, 130.1, 129.2, 127.8, 127.5, 124.3, 123.7, 120.5, 118.5, 111.5, 46.7, 23.1 ppm; HRMS (ESI) m/z calcd for $\text{C}_{25}\text{H}_{18}\text{N}_3^+$ $[\text{M}+\text{H}]^+$ 360.1495, found 360.1508; IR (KBr, neat): 3020, 2925, 2852, 2227, 1601, 1492, 1447, 1214, 907 cm^{-1} .

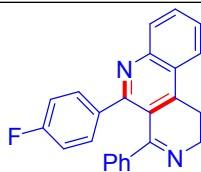
4-Phenyl-5-(4-(trifluoromethyl)phenyl)-1,2-dihydrobenzo[c][2,7]naphthyridine (2f):



2f

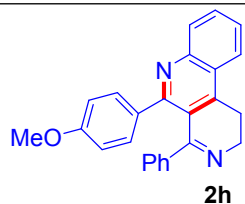
brown solid; R_f 0.3 (Hexane: EtOAc, 1:0.26); mp 125-127 °C; 112 mg, 80% yield; (^1H NMR, 500MHz): δ 8.20 (1H, d, $J = 8.392$ Hz), 8.11 (1H, d, $J = 8.392$ Hz), 7.82 (1H, dt, $J = 7.01, 1.06$ Hz), 7.65 (1H, dt, $J = 7.01, 1.06$ Hz), 7.51 (2H, d, $J = 8.087$ Hz), 7.33 (2H, d, $J = 8.087$ Hz), 7.12 (2H, d, $J = 7.324$ Hz), 7.02 (1H, t, $J = 7.324$ Hz), 6.97 (2H, t, $J = 7.782$ Hz), 4.02 (2H, t, $J = 7.172$ Hz), 3.19 (2H, t, $J = 7.172$ Hz) ppm; (^{13}C NMR, 125 MHz): δ 167.0, 155.9, 150.1, 147.4, 143.9, 139.3, 131.1, 130.0, 129.9, 128.9, 127.6, 127.5, 127.2, 124.5, 124.2, 123.7, 120.7, 46.6, 23.1 ppm; HRMS (ESI) m/z calcd for $\text{C}_{25}\text{H}_{18}\text{F}_3\text{N}_2^+$ $[\text{M}+\text{H}]^+$ 403.1416, found 403.1421; IR (KBr, neat): 3061, 2954, 2927, 2857, 1601, 1549, 1411, 1164, 1123, 1108, 1065, 1017 cm^{-1} .

5-(4-Fluorophenyl)-4-phenyl-1,2-dihydrobenzo[c][2,7]naphthyridine (2g):

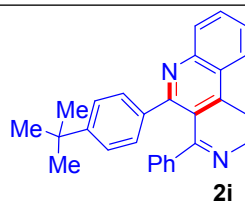


2g

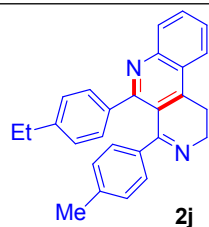
colorless solid; R_f 0.4 (Hexane: EtOAc, 1:0.25); mp 139-141 °C; 115 mg, 93% yield; (^1H NMR, 300 MHz): δ 8.20 (1H, d, $J = 8.309$ Hz), 8.11 (1H, d, $J = 8.309$ Hz), 7.84 (1H, t, $J = 8.12$ Hz), 7.66 (1H, t, $J = 8.12$ Hz), 7.43 (2H, q, $J = 8.498, 5.476$ Hz), 7.18 (2H, d, $J = 6.987$ Hz), 7.13-6.99 (3H, m), 6.80 (2H, t, $J = 8.498$ Hz), 4.05 (2H, t, $J = 7.176$ Hz), 3.23 (2H, t, $J = 7.176$ Hz) ppm; (^{13}C NMR, 125 MHz): δ 167.7, 163.6, 161.7, 156.4, 150.2, 147.5, 139.1, 136.6, 131.45 (2C, d, $J = 9.082$ Hz), 131.1, 129.9, 129.0, 127.6 (2C, d, $J = 14.532$ Hz), 126.9, 124.0, 123.7, 120.6, 114.75 (1C, d, $J = 21.798$ Hz), 46.5, 23.3 ppm; HRMS (ESI) m/z calcd for $\text{C}_{24}\text{H}_{16}\text{FN}_2^+$ $[\text{M}-\text{H}]^+$ 351.1292, found 351.1302; IR (KBr, neat) : 3020, 2924, 2853, 1711, 1601, 1494, 1215, 1156, 906 cm^{-1} .

5-(4-Methoxyphenyl)-4-phenyl-1,2-dihydrobenzo[c][2,7]naphthyridine (2h):

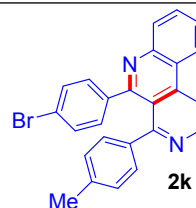
orange solid; R_f 0.1 (Hexane: EtOAc, 1:0.28); mp 148-150°C; 108 mg, 85% yield; (^1H NMR, 400 MHz): δ 8.19 (1H, d, $J = 8.314$ Hz), 8.10 (1H, d, $J = 8.314$ Hz), 7.81 (1H, dt, $J = 6.847, 1.22$ Hz), 7.62 (1H, dt, $J = 6.847, 1.22$ Hz), 7.39 (2H, d, $J = 8.803$ Hz), 7.18 (2H, dd, $J = 7.947, 1.22$ Hz), 7.08-6.98 (3H, m), 6.64 (2H, d, $J = 8.925$ Hz), 4.03 (2H, t, $J = 7.580$ Hz), 3.70 (3H, s), 3.20 (2H, t, $J = 7.580$ Hz) ppm; (^{13}C NMR, 100 MHz): δ 168.0, 159.7, 157.1, 150.0, 147.5, 139.2, 133.2, 131.0, 130.9, 129.8, 128.8, 127.5, 126.5, 123.9, 123.6, 120.6, 113.3, 55.2, 46.6, 23.4 ppm; HRMS (ESI) m/z calcd for $\text{C}_{25}\text{H}_{21}\text{N}_2\text{O}^+$ $[\text{M}+\text{H}]^+$ 365.1648, found 365.1647; IR (KBr, neat): 3061, 3019, 2924, 2853, 1714, 1606, 1511, 1458, 1338, 1248, 1215, 1174, 1030 cm^{-1} .

5-(4-(tert-butyl)phenyl)-4-phenyl-1,2-dihydrobenzo[c][2,7]naphthyridine (2i):

cream color solid; R_f 0.3 (Hexane: EtOAc, 1:0.23); mp 157-159 °C; 95 mg, 70% yield; (^1H NMR, 300 MHz): δ 8.21 (1H, d, $J = 8.49$ Hz), 8.13 (1H, d, $J = 8.49$ Hz), 7.82 (1H, t, $J = 8.12$ Hz), 7.64 (1H, t, $J = 8.12$ Hz), 7.30 (2H, d, $J = 8.309$ Hz), 7.17-7.05 (5H, m), 6.97 (2H, t, $J = 5.85$ Hz), 4.05 (2H, t, $J = 7.176$ Hz), 3.23 (2H, t, $J = 7.176$ Hz), 1.18 (9H, s) ppm; (^{13}C NMR, 125 MHz): δ 168.4, 157.8, 151.2, 149.9, 147.6, 138.9, 137.4, 131.0, 129.9, 129.4, 128.6, 127.7, 127.4, 126.7, 124.6, 123.7, 120.8, 46.1, 34.3, 30.9, 23.3 ppm; HRMS (ESI) m/z calcd for $\text{C}_{28}\text{H}_{27}\text{N}_2^+$ $[\text{M}+\text{H}]^+$ 391.2168, found 391.2167; IR (KBr, neat): 3019, 2961, 2923, 2853, 1714, 1605, 1544, 1214, 1018, 907 cm^{-1} .

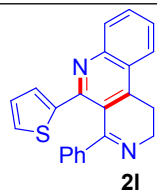
5-(4-Ethylphenyl)-4-(p-tolyl)-1,2-dihydrobenzo[c][2,7]naphthyridine (2j):

brick red solid; R_f 0.4 (Hexane: EtOAc, 1:0.23); mp 143-145 °C; 86 mg, 65% yield; (^1H NMR, 500 MHz): δ 8.20 (1H, d, $J = 8.392$ Hz), 8.07 (1H, d, $J = 8.392$ Hz), 7.78 (1H, dt, $J = 8.24, 1.37$ Hz), 7.59 (1H, dt, $J = 8.24, 1.37$ Hz), 7.31 (2H, d, $J = 8.24$ Hz), 7.03 (2H, d, $J = 8.24$ Hz), 6.90 (2H, d, $J = 8.24$ Hz), 6.76 (2H, d, $J = 8.24$ Hz), 4.00 (2H, t, $J = 7.477$ Hz), 3.17 (2H, t, $J = 7.477$ Hz), 2.47 (2H, q, $J = 15.259, 7.629$ Hz), 1.06 (3H, t, $J = 7.629$ Hz), 2.12 (3H, s) ppm; (^{13}C NMR, 125 MHz): δ 167.8, 157.7, 149.8, 147.4, 144.5, 138.3, 137.8, 136.4, 130.7, 129.8, 129.6, 128.0, 127.5, 127.2, 126.5, 123.9, 123.6, 120.8, 46.3, 28.5, 23.3, 20.9, 15.7 ppm; HRMS (ESI) m/z calcd for $\text{C}_{27}\text{H}_{25}\text{N}_2^+ [\text{M}+\text{H}]^+$ 377.2012, found 377.2011; IR (KBr, neat): 3020, 2961, 2925, 2853, 1712, 1600, 1547, 1214, 1019, 908 cm^{-1} .

5-(4-Bromophenyl)-4-(p-tolyl)-1,2-dihydrobenzo[c][2,7]naphthyridine (2k):

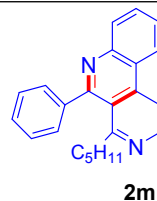
brown solid; R_f 0.3 (Hexane: EtOAc, 1:0.24); mp 110-112 °C; 121 mg, 81% yield; (^1H NMR, 500 MHz): δ 8.19 (1H, d, $J = 8.392$ Hz), 8.12 (1H, d, $J = 8.392$ Hz), 7.83 (1H, dt, $J = 7.09, 1.22$ Hz), 7.65 (1H, dt, $J = 7.09, 1.22$ Hz), 7.31 (2H, d, $J = 8.54$ Hz), 7.24 (2H, d, $J = 8.54$ Hz), 7.04 (2H, d, $J = 7.934$ Hz), 6.83 (2H, d, $J = 7.934$ Hz), 4.01 (2H, t, $J = 7.019$ Hz), 3.20 (2H, t, $J = 7.019$ Hz), 2.20 (3H, s) ppm; (^{13}C NMR, 125 MHz): δ 167.4, 156.3, 150.3, 147.5, 139.4, 139.2, 136.1, 137.47, 137.40, 131.18, 131.13, 130.8, 130.0, 128.4, 127.6, 127.0, 124.1, 123.7, 122.7, 120.6, 46.3, 23.3, 21.1 ppm; HRMS (ESI) m/z calcd for $\text{C}_{25}\text{H}_{20}\text{BrN}_2^+ [\text{M}+\text{H}]^+$ 427.0804, found 427.0796; IR (KBr, neat): 3020, 2923, 2853, 1586, 1215, 1069, 1010, 906 cm^{-1} .

4-Phenyl-5-(thiophen-2-yl)-1,2-dihydrobenzo[*c*][2,7]naphthyridine (2l):

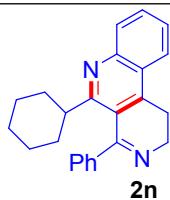


colorless solid; R_f 0.3 (Hexane: EtOAc, 1:0.26); mp 137-139 °C; 103 mg, 86% yield; (^1H NMR, 400 MHz): δ 8.15 (1H, d, $J = 8.314$ Hz), 8.07 (1H, d, $J = 8.314$ Hz), 7.80 (1H, dt, $J = 6.969, 1.345$ Hz), 7.60 (1H, dt, $J = 6.969, 1.345$ Hz), 7.32 (2H, dd, $J = 7.580, 1.223$ Hz), 7.17 (1H, dd, $J = 5.135, 1.223$ Hz), 7.15-7.06 (3H, m), 6.84 (1H, dd, $J = 3.668, 0.978$ Hz), 6.66 (1H, dd, $J = 5.013, 3.668$ Hz), 4.02 (2H, t, $J = 7.336$ Hz), 3.18 (2H, $J = 7.336$ Hz) ppm; (^{13}C NMR, 100 MHz): δ 166.9, 150.7, 150.2, 147.5, 143.1, 139.3, 130.9, 129.7, 128.8, 127.9, 127.7, 127.1, 126.6, 124.0, 123.6, 120.0, 46.7, 23.4 ppm; HRMS (ESI) m/z calcd for $\text{C}_{22}\text{H}_{17}\text{N}_2\text{S}^+$ $[\text{M}+\text{H}]^+$ 341.1107, found 341.1104; IR (KBr, neat): 3062, 3020, 2955, 2851, 1598, 1548, 1492, 1428, 1214, 1015 cm^{-1} .

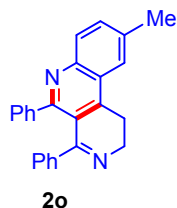
4-Pentyl-5-phenyl-1,2-dihydrobenzo[*c*][2,7]naphthyridine (2m):



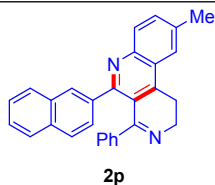
brown oil, R_f 0.3; (Hexane: EtOAc, 1:0.22); 76 mg, 66% yield; (^1H NMR, 300 MHz): δ 8.18 (1H, d, $J = 8.498$ Hz), 8.04 (1H, d, $J = 8.498$ Hz), 7.77 (1H, t, $J = 7.365$ Hz), 7.65 (2H, dd, $J = 7.176, 3.77$ Hz), 7.59 (1H, t, $J = 7.365$ Hz), 7.49 (3H, d, $J = 3.77$ Hz), 3.80 (2H, t, $J = 6.987$ Hz), 3.06 (2H, $J = 6.987$ Hz), 2.11 (2H, t, $J = 7.554$ Hz), 1.22-1.09 (2H, m), 1.08-0.95 (2H, m), 0.93-0.81 (2H, m), 0.69 (3H, t, $J = 6.987$ Hz) ppm; (^{13}C NMR, 100 MHz): δ 170.6, 156.9, 148.6, 147.0, 141.0, 130.7, 129.8, 129.1, 128.5, 126.7, 124.0, 123.6, 121.9, 45.1, 37.6, 31.0, 27.3, 23.2, 21.9, 13.7 ppm; HRMS (ESI) m/z calcd for $\text{C}_{23}\text{H}_{25}\text{N}_2^+$ $[\text{M}+\text{H}]^+$ 329.2012, found 329.2011; IR (KBr, neat): 3023, 2984, 1713, 1373, 1240, 1044, 910 cm^{-1} .

5-Cyclohexyl-4-phenyl-1,2-dihydrobenzo[c][2,7]naphthyridine (2n):

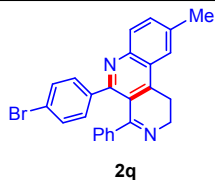
brown solid; R_f 0.4 (Hexane: EtOAc, 1:0.22); mp 132-134 °C; 64 mg, 54% yield; (^1H NMR, 400 MHz): δ 8.07 (1H, dd, $J = 8.436, 0.856$ Hz), 8.03 (1H, dd, $J = 8.436, 0.856$ Hz), 7.74 (1H, dt, $J = 6.847, 1.345$ Hz), 7.55 (1H, dt, $J = 6.847, 1.345$ Hz), 7.50-7.36 (5H, m), 3.84 (2H, t, $J = 7.214$ Hz), 3.09 (2H, t, $J = 7.214$ Hz), 2.52-2.41 (1H, m), 1.67-1.47 (7H, m), 1.20-1.08 (1H, m), 0.83-0.71 (2H, m) ppm; (^{13}C NMR, 125 MHz): δ 167.6, 163.6, 148.2, 147.7, 141.2, 134.0, 133.9, 130.1, 129.4, 129.2, 128.3, 126.8, 125.9, 123.6, 123.5, 121.1, 46.4, 44.2, 32.2, 26.3, 25.6, 23.3 ppm; HRMS (ESI) m/z calcd for $\text{C}_{24}\text{H}_{25}\text{N}_2^+$ $[\text{M}+\text{H}]^+$ 341.2012, found 341.2008; IR (KBr, neat): 3023, 2984, 2940, 1735, 1446, 1372, 1235, 1097, 1044 cm^{-1} .

9-Methyl-4,5-diphenyl-1,2-dihydrobenzo[c][2,7]naphthyridine (2o):

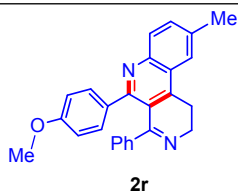
brown solid; R_f 0.3 (Hexane: EtOAc, 1:0.24); mp 128-130 °C; 84 mg, 68% yield; (^1H NMR, 500 MHz): δ 8.11 (1H, d, $J = 8.545$ Hz), 7.87 (1H, s), 7.65 (1H, dd, $J = 8.545, 1.678$ Hz), 7.42 (2H, dd, $J = 8.545, 1.678$ Hz), 7.16 (2H, dd, $J = 6.866, 1.678$ Hz), 7.12-7.034 (3H, m), 7.03-6.96 (3H, m), 4.03 (2H, t, $J = 7.477$ Hz), 3.18 (2H, t, $J = 7.477$ Hz), 2.61 (3H, s) ppm; (^{13}C NMR, 100 MHz): δ 167.7, 156.7, 149.1, 146.0, 140.5, 139.5, 136.6, 133.0, 129.6, 129.5, 128.5, 128.0, 127.7, 127.5, 127.4, 126.8, 123.9, 122.5, 120.7, 46.7, 23.2, 21.8 ppm; HRMS (ESI) m/z calcd for $\text{C}_{25}\text{H}_{21}\text{N}_2^+$ $[\text{M}+\text{H}]^+$ 349.1699, found 349.1696; IR (KBr, neat): 3058, 3024, 2953, 2924, 2852, 1711, 1599, 1550, 1494, 1445, 1355, 1215, 1093, 1023 cm^{-1} .

9-Methyl-5-(naphthalen-2-yl)-4-phenyl-1,2-dihydrobenzo[c][2,7]naphthyridine (2p):

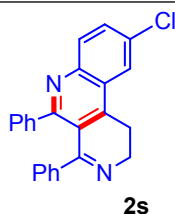
pale brown solid; R_f 0.3 (Hexane: EtOAc, 1:0.24); mp 138-140 °C; 94 mg, 67% yield; (^1H NMR, 400 MHz): δ 8.14 (1H, d, $J = 8.681$ Hz), 7.90 (1H, s), 7.74 (1H, s), 7.73-7.62 (4H, m), 7.58 (1H, d, $J = 8.558$ Hz), 7.42-7.37 (2H, m), 7.17-7.11 (2H, m), 6.83-6.76 (3H, m), 4.09 (2H, t, $J = 7.214$ Hz), 3.23 (2H, t, $J = 7.214$ Hz), 2.63 (3H, s) ppm; (^{13}C NMR, 100 MHz): δ 168.0, 156.6, 149.2, 146.2, 139.7, 137.9, 136.8, 133.2, 132.7, 132.4, 129.9, 129.7, 128.3, 128.1, 127.6, 127.3, 127.1, 126.6, 126.1, 125.7, 124.0, 122.6, 121.0, 46.9, 23.3, 21.9 ppm; HRMS (ESI) m/z calcd for $\text{C}_{29}\text{H}_{21}\text{N}_2^+$ $[\text{M}-\text{H}]^+$ 397.1699, found 397.1706; IR (KBr, neat): 3057, 3020, 2924, 2852, 1596, 1484, 1314, 1215, 1125, 906 cm^{-1} .

5-(4-Bromophenyl)-9-methyl-4-phenyl-1,2-dihydrobenzo[c][2,7]naphthyridine (2q):

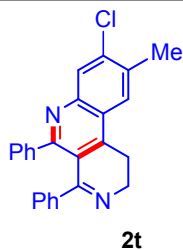
brown solid, R_f 0.3 (Hexane: EtOAc, 1:0.25); mp 143-145 °C; 124 mg, 84% yield; (^1H NMR, 500 MHz): δ 8.08 (1H, d, $J = 8.545$ Hz), 7.87 (1H, s), 7.66 (1H, dd, $J = 8.545, 1.526$ Hz), 7.28 (2H, d, $J = 8.392$ Hz), 7.21 (2H, d, $J = 8.392$ Hz), 7.14 (2H, d, $J = 7.172$ Hz), 7.09 (1H, t, $J = 7.629$ Hz), 7.02 (2H, t, $J = 7.629$ Hz), 4.01 (2H, t, $J = 6.866$ Hz), 3.18 (2H, t, $J = 6.866$ Hz), 2.62 (3H, s) ppm; (^{13}C NMR, 125 MHz): δ 167.5, 155.4, 149.4, 146.1, 139.5, 139.4, 137.1, 133.4, 131.1, 130.8, 129.7, 128.9, 127.7, 127.5, 124.1, 122.6, 120.6, 46.7, 23.2, 21.9 ppm; HRMS (ESI) m/z calcd for $\text{C}_{25}\text{H}_{18}\text{BrN}_2^+$ $[\text{M}-\text{H}]^+$ 425.0647, found 425.0659; IR (KBr, neat): 3019, 2924, 2853, 1670, 1545, 1372, 1295, 1214, 1095, 910 cm^{-1} .

5-(4-Methoxyphenyl)-9-methyl-4-phenyl-1,2-dihydrobenzo[c][2,7]naphthyridine (2r):

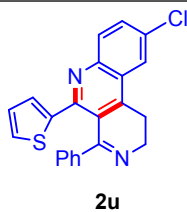
brown solid; R_f 0.2 (Hexane: EtOAc, 1:0.29); mp 141-143 °C; 105 mg, 79% yield; (^1H NMR, 400 MHz): δ 8.08 (1H, d, $J = 8.558$ Hz), 7.85 (1H, s), 7.64 (1H, dd, $J = 8.558, 1.712$ Hz), 7.37 (2H, d, $J = 8.925$ Hz), 7.18 (2H, dd, $J = 7.825, 1.100$ Hz), 7.07-6.97 (3H, m), 6.63 (2H, d, $J = 8.925$ Hz), 4.02 (2H, t, $J = 7.214$ Hz), 3.69 (3H, s), 3.17 (2H, t, $J = 7.214$ Hz), 2.61 (3H, s) ppm; (^{13}C NMR, 100 MHz): δ 168.0, 159.6, 156.3, 149.2, 146.2, 139.5, 136.4, 133.4, 133.1, 131.0, 129.6, 128.7, 127.5, 123.8, 122.6, 120.6, 113.3, 55.2, 46.8, 23.3, 21.9 ppm; HRMS (ESI) m/z calcd for $\text{C}_{26}\text{H}_{21}\text{N}_2\text{O}^+$ $[\text{M}-\text{H}]^+$ 377.1648, found 377.1659; IR (KBr, neat): 3019, 2926, 2839, 1598, 1510, 1302, 1251, 1214, 1173, 1029 cm^{-1} .

9-Chloro-4,5-diphenyl-1,2-dihydrobenzo[c][2,7]naphthyridine (2s):

brown solid; R_f 0.3 (Hexane: EtOAc, 1:0.26); mp 130-132 °C; 106 mg; 82% yield; (^1H NMR, 400 MHz): δ 8.21 (1H, d, $J = 2.078$ Hz), 8.05 (1H, d, $J = 8.925$ Hz), 7.59 (1H, dd, $J = 8.925, 2.078$ Hz), 7.42 (2H, dd, $J = 7.947, 1.834$ Hz), 7.26 (1H, d, $J = 40.103$ Hz), 7.16 (2H, dd, $J = 6.725, 1.589$ Hz), 7.11 (2H, d, $J = 7.458$ Hz), 7.06-6.96 (3H, m), 4.05 (2H, t, $J = 8.069$ Hz), 3.19 (2H, t, $J = 8.069$ Hz) ppm; (^{13}C NMR, 125 MHz): δ 167.6, 158.6, 150.0, 147.9, 140.1, 139.1, 137.0, 129.6, 128.9, 128.8, 128.6, 127.89, 127.80, 127.59, 127.55, 125.0, 122.5, 120.8, 46.5, 23.3 ppm; HRMS (ESI) m/z calcd for $\text{C}_{24}\text{H}_{18}\text{ClN}_2^+$ $[\text{M}+\text{H}]^+$ 369.1153, found 369.1152; IR (KBr, neat): 3059, 2924, 2852, 1574, 1483, 1413, 1353, 1295, 1095, 910 cm^{-1} .

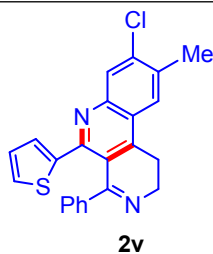
8-Chloro-9-methyl-4,5-diphenyl-1,2-dihydrobenzo[c][2,7]naphthyridine (2t):

brown solid; R_f 0.3 (Hexane: EtOAc, 1:0.25); mp 160-163 °C; 104 mg, 78% yield; (^1H NMR, 300 MHz): δ 8.23 (1H, s), 7.94 (1H, s), 7.41 (2H, dd, $J = 7.703, 2.201$ Hz), 7.18-7.05 (5H, m), 7.01 (3H, t, $J = 8.803$ Hz), 4.02 (2H, t, $J = 7.152$ Hz), 3.16 (2H, t, $J = 7.152$ Hz), 2.63 (3H, s) ppm; (^{13}C NMR, 100 MHz): δ 167.6, 157.8, 149.3, 146.6, 140.2, 139.3, 138.4, 135.4, 129.5, 129.2, 128.8, 128.7, 128.4, 127.8, 127.5, 126.88, 126.81, 124.5, 122.8, 120.88, 46.6, 23.3, 20.7 ppm; HRMS (ESI) m/z calcd for $\text{C}_{25}\text{H}_{20}\text{ClN}_2^+$ $[\text{M}+\text{H}]^+$ 383.1309, found 383.1310; IR (KBr, neat): 3059, 3021, 2924, 2853, 1599, 1549, 1474, 1446, 1215, 906 cm^{-1} .

9-Chloro-4-phenyl-5-(thiophen-2-yl)-1,2-dihydrobenzo[c][2,7]naphthyridine (2u):

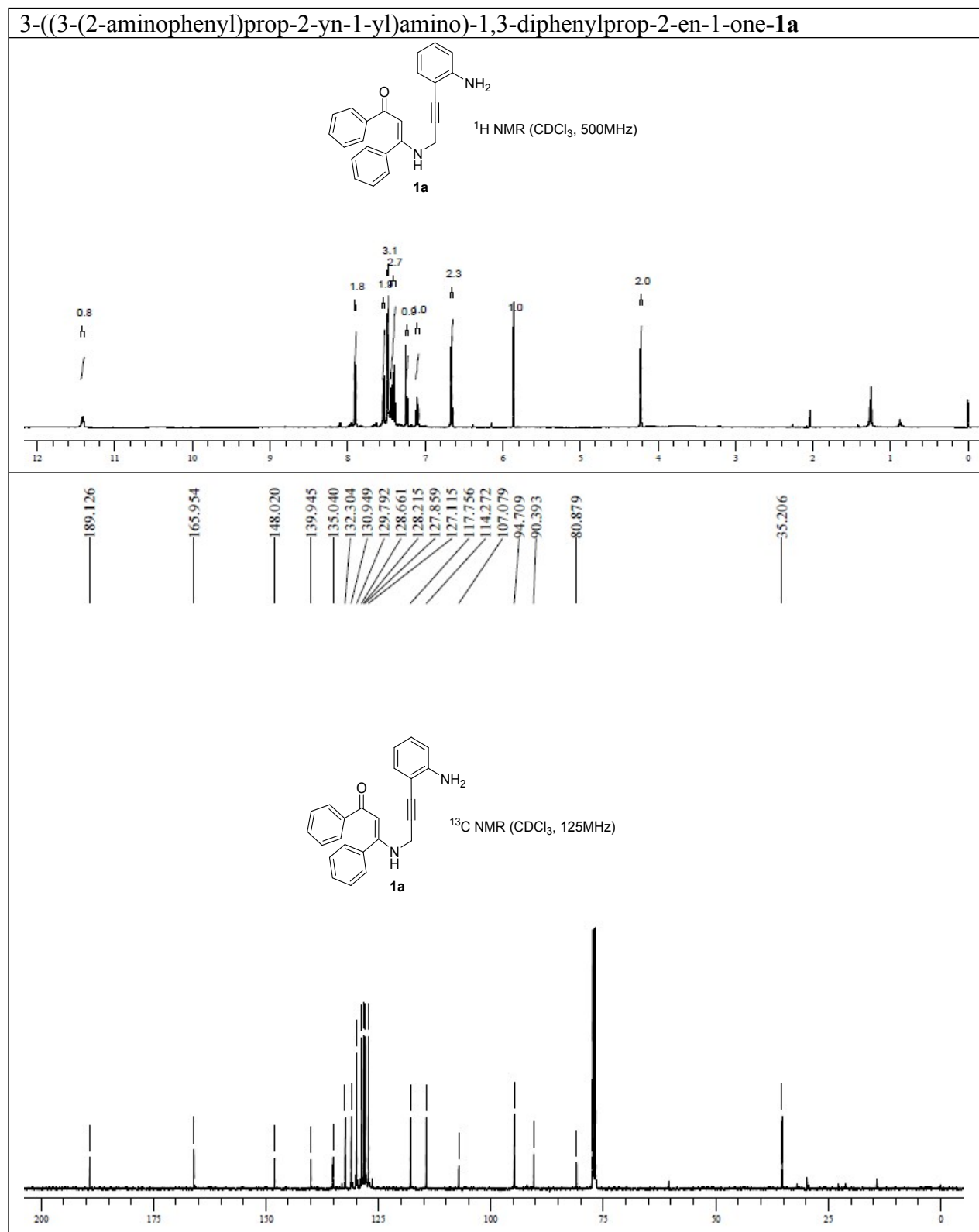
colorless solid; R_f 0.3 (Hexane: EtOAc, 1:0.27); mp 159-161 °C; 100 mg, 76% yield; (^1H NMR, 400 MHz): δ 8.09 (1H, d, $J = 9.048$ Hz), 8.04 (1H, d, $J = 9.048$ Hz), 7.74 (1H, dd, $J = 8.925, 2.078$ Hz), 7.48 (1H, dt, $J = 4.646, 0.73$ Hz), 7.31 (2H, d, $J = 8.925$ Hz), 7.18 (1H, dd, $J = 4.646, 0.734$ Hz), 7.15-7.08 (2H, m), 6.84 (1H, dd, $J = 3.668, 0.734$ Hz), 6.67 (1H, dd, $J = 4.891, 3.668$ Hz), 4.03 (2H, t, $J = 6.969$ Hz), 3.13 (2H, t, $J = 6.969$ Hz) ppm; (^{13}C NMR, 75 MHz): δ 166.8, 151.0, 149.5, 145.9, 142.7, 138.9, 132.6, 131.8, 131.2, 129.0, 128.3, 127.8, 127.2, 127.1, 124.8, 122.7, 120.6, 46.6, 23.4 ppm; HRMS (ESI) m/z calcd for $\text{C}_{22}\text{H}_{16}\text{ClN}_2\text{S}^+$ $[\text{M}+\text{H}]^+$ 375.0717, found 375.0716; IR (KBr, neat): 3019, 1214, 1711, 1672, 1601, 1320, 1260, 843 cm^{-1} .

8-Chloro-9-methyl-4-phenyl-5-(thiophen-2-yl)-1,2-dihydrobenzo[c][2,7]naphthyridine (2v):

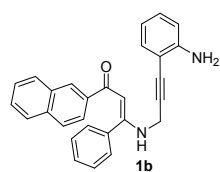
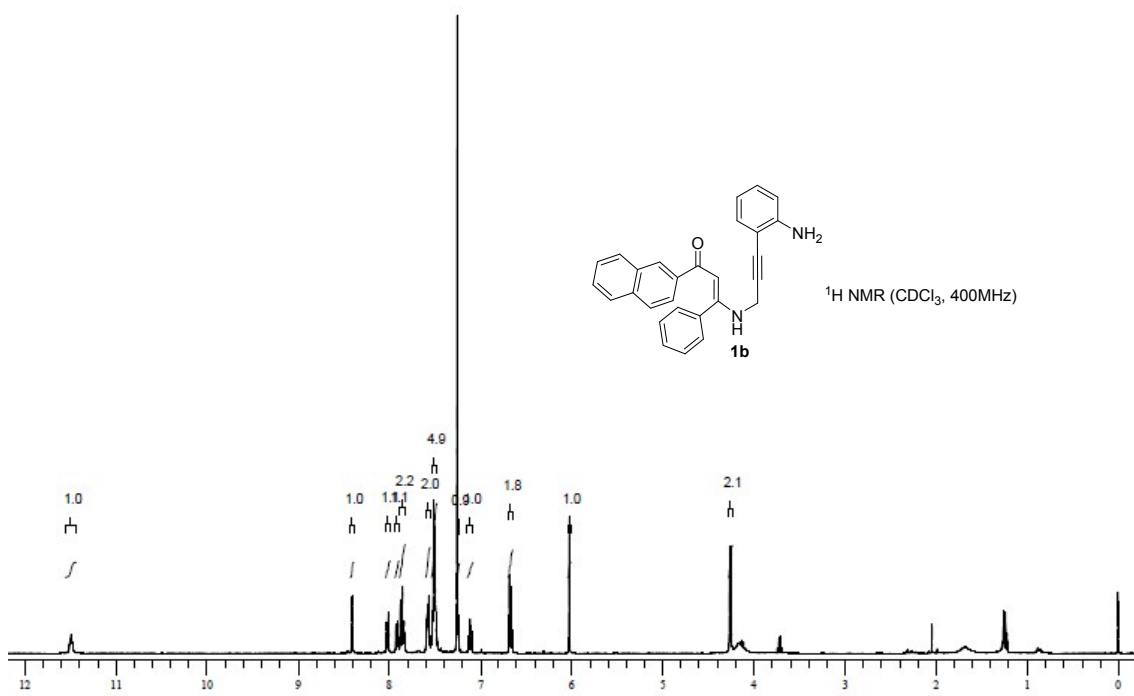


colorless solid, R_f 0.4 (Hexane: EtOAc, 1:0.27); mp 185-187 °C; 109 mg, 80% yield; (^1H NMR, 500 MHz): δ 8.17 (1H, s), 7.88 (1H, s), 7.30 (2H, d, $J = 6.866$ Hz), 7.16 (1H, dd, $J = 5.035, 0.763$ Hz), 7.14-7.07 (3H, m), 6.81 (1H, dd, $J = 3.662, 0.916$ Hz), 6.65 (1H, dd, $J = 5.035, 3.662$ Hz), 4.00 (2H, t, $J = 7.324$ Hz), 3.12 (2H, t, $J = 7.324$ Hz), 2.60 (3H, s) ppm; (^{13}C NMR, 100 MHz): δ 167.0, 151.0, 149.6, 146.7, 142.8, 139.1, 138.6, 135.3, 131.1, 129.0, 128.9, 128.1, 127.8, 127.1, 124.5, 122.7, 120.1, 46.6, 23.5, 20.7 ppm; HRMS (ESI) m/z $[\text{M}+\text{H}]^+$ calcd for $\text{C}_{23}\text{H}_{18}\text{ClN}_2\text{S}^+$ $[\text{M}+\text{H}]^+$ 389.0873, found 389.0874; IR (KBr, neat): 3020, 2924, 2852, 1598, 1574, 1546, 1475, 1433, 1214 cm^{-1} .

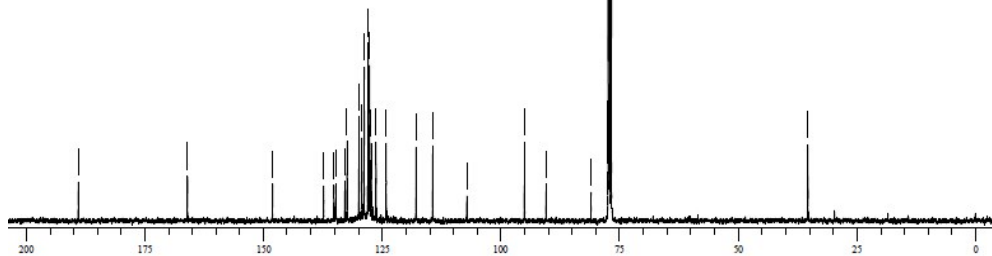
1.6 Copies of ^1H and ^{13}C NMR spectra (**1a**, **1b**, **1d**, **1h**, **1i**, **1k**, **1u**) (**2a-2v**)



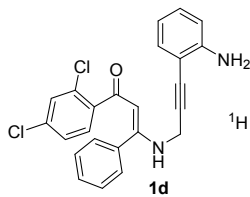
3-((3-(2-aminophenyl)prop-2-yn-1-yl)amino)-1-(naphthalen-2-yl)-3-phenylprop-2-en-1-one- **1b**



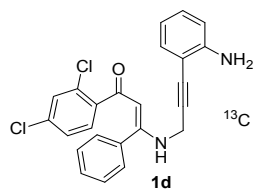
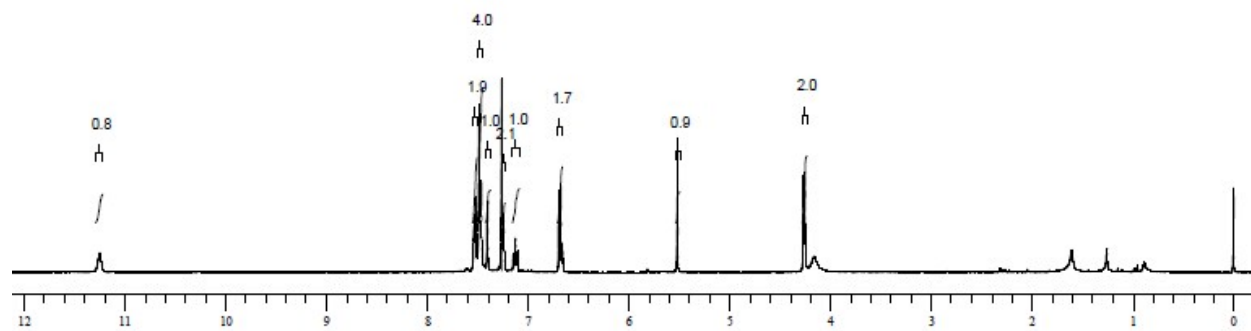
¹³C NMR (CDCl₃, 100MHz)



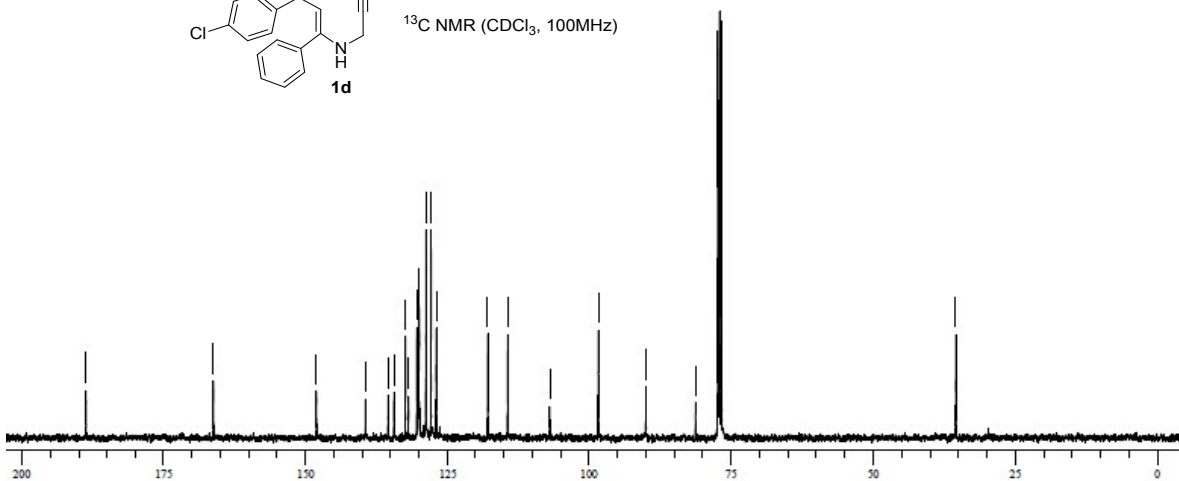
3-((3-(2-aminophenyl)prop-2-yn-1-yl)amino)-1-(2,4-dichlorophenyl)-3-phenylprop-2-en-1-one-1d



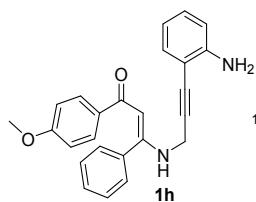
¹H NMR (CDCl₃, 400MHz)



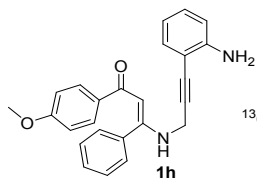
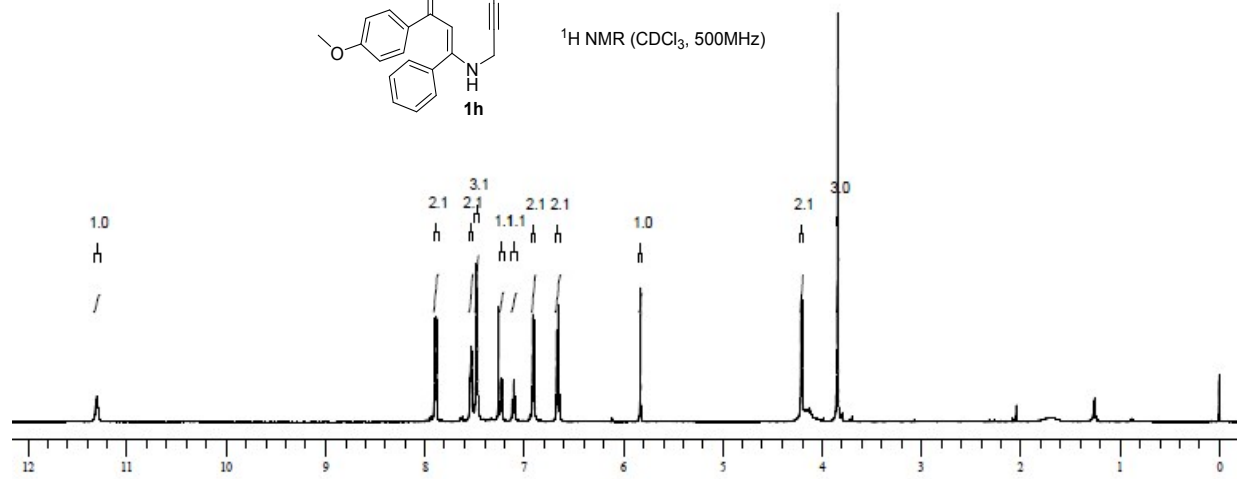
¹³C NMR (CDCl₃, 100MHz)



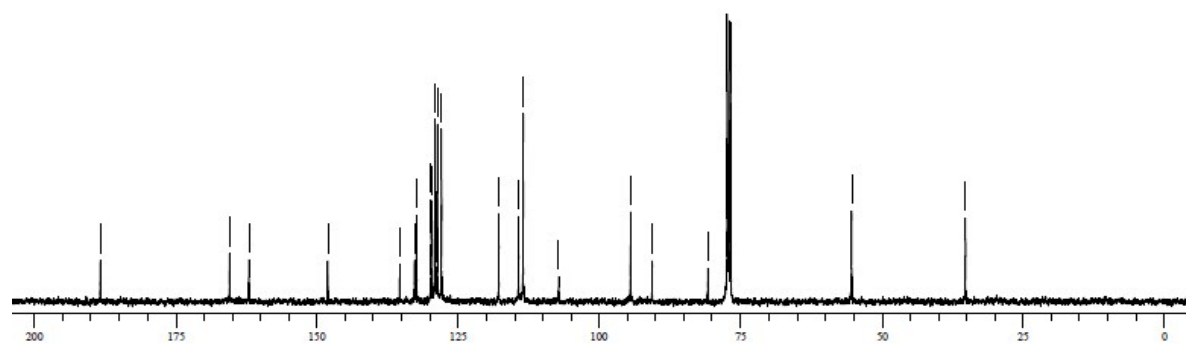
3-((3-(2-aminophenyl)prop-2-yn-1-yl)amino)-1-(4-methoxyphenyl)-3-phenylprop-2-en-1-one -**1h**



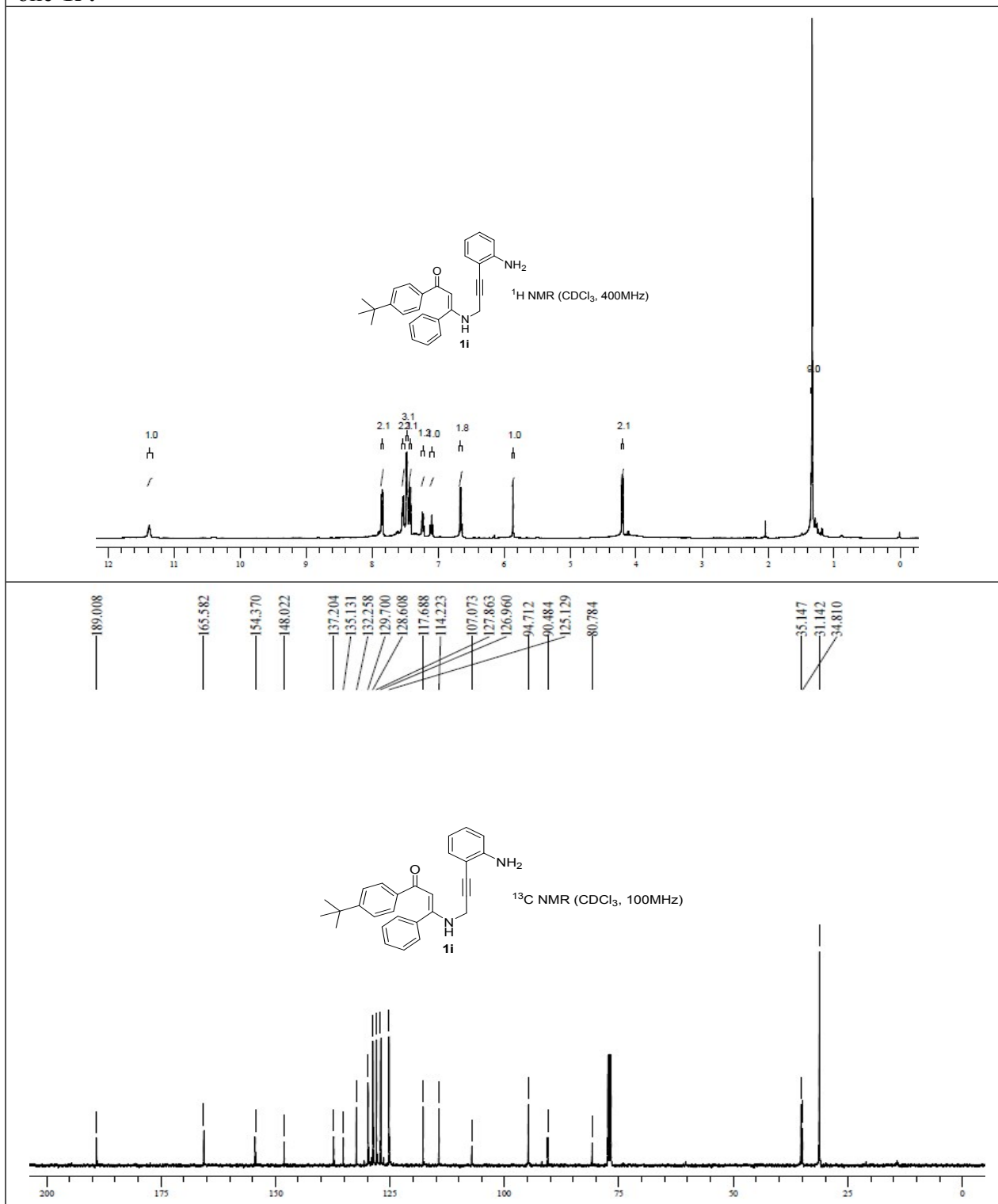
¹H NMR (CDCl₃, 500MHz)



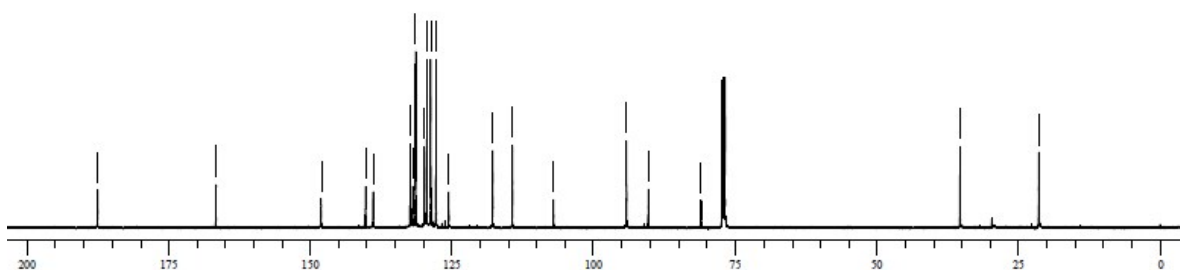
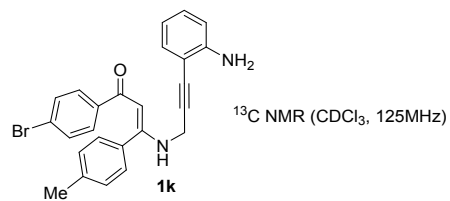
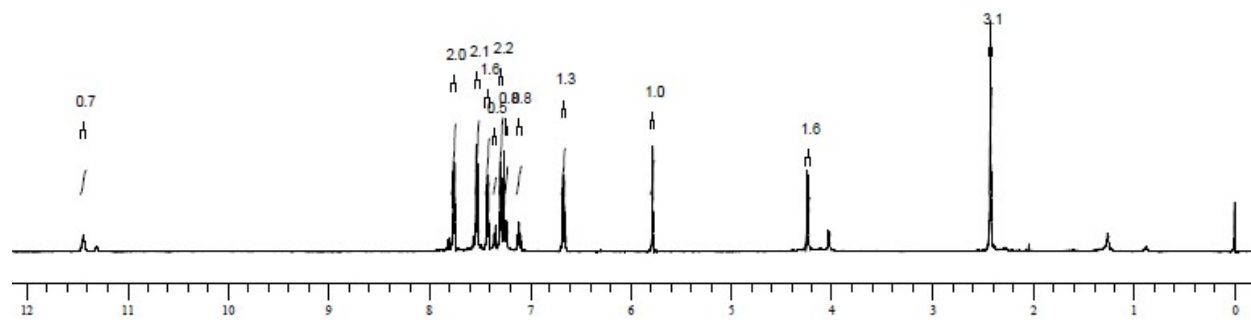
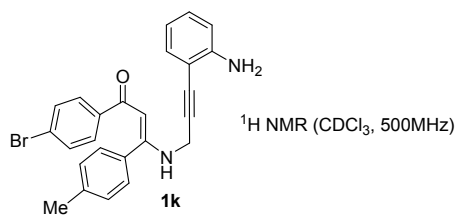
¹³C NMR (CDCl₃, 100MHz)



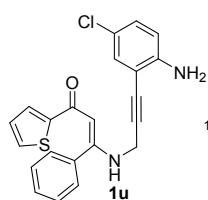
3-((3-(2-aminophenyl)prop-2-yn-1-yl)amino)-1-(4-(tert-butyl)phenyl)-3-phenylprop-2-en-1-one-**1i** :



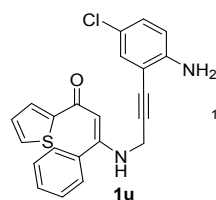
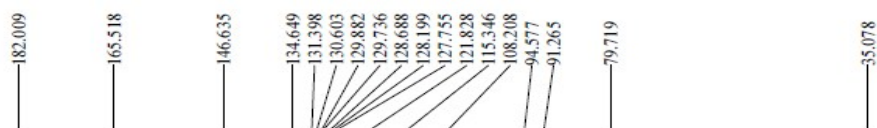
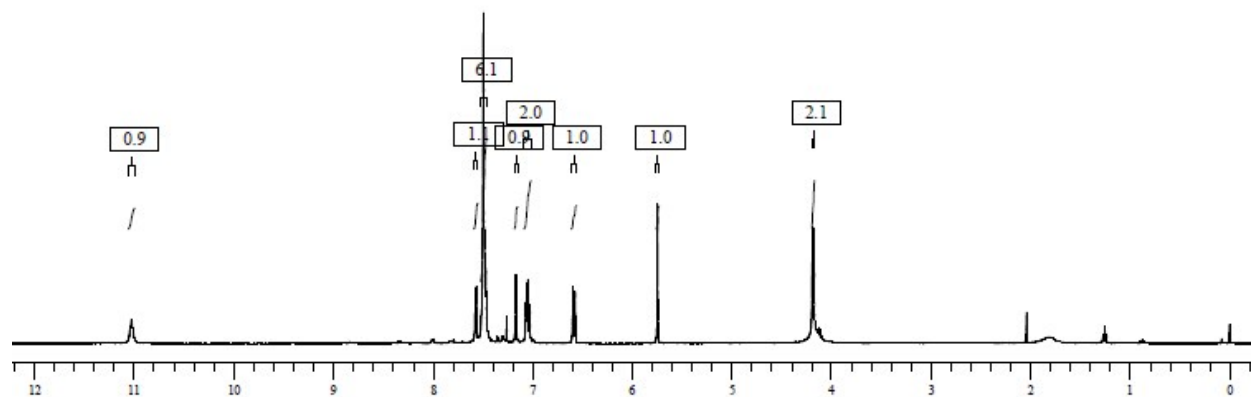
3-((3-(2-aminophenyl)prop-2-yn-1-yl)amino)-1-(4-bromophenyl)-3-(*p*-tolyl)prop-2-en-1-one 1k :



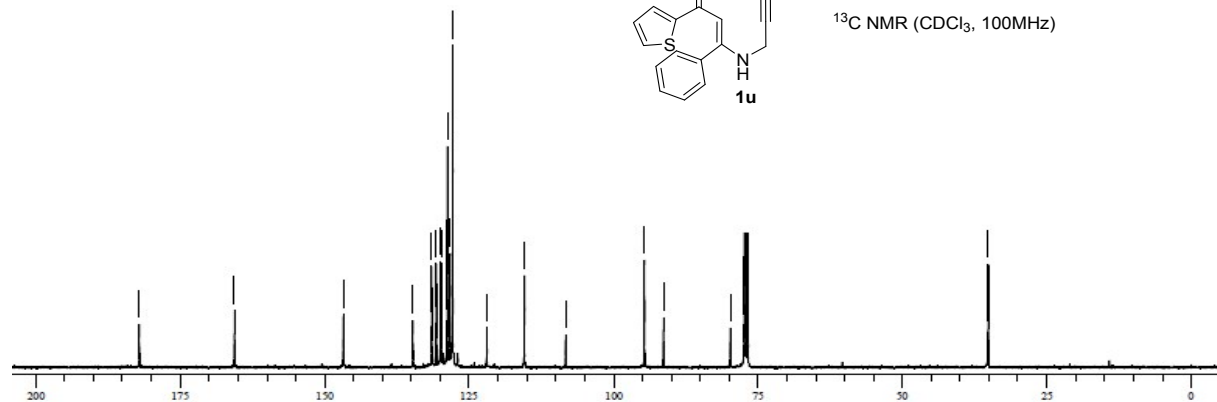
3-((3-(2-aminophenyl)prop-2-yn-1-yl)amino)-3-phenyl-1-(thiophen-2-yl)prop-2-en-1-one 1u :



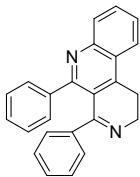
¹H NMR (CDCl₃, 400MHz)



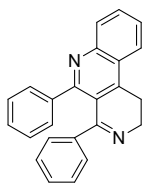
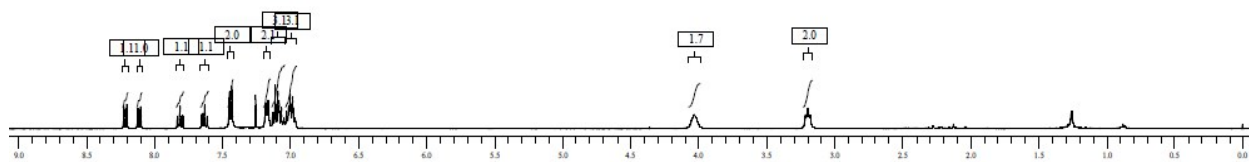
¹³C NMR (CDCl₃, 100MHz)



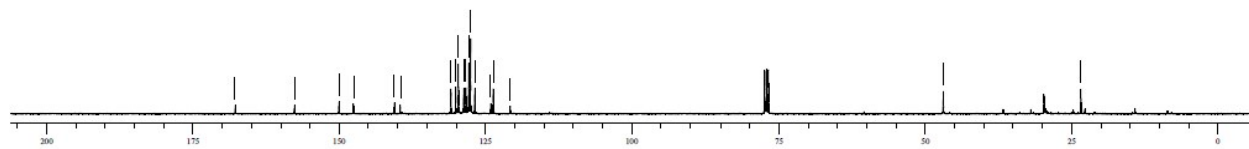
4,5-Diphenyl-1,2-dihydrobenzo[c][2,7]naphthyridine-2a



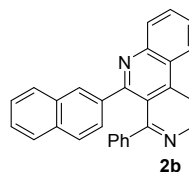
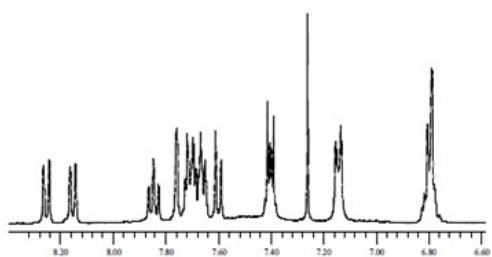
¹H NMR (CDCl₃, 400MHz)



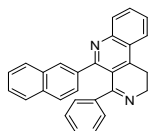
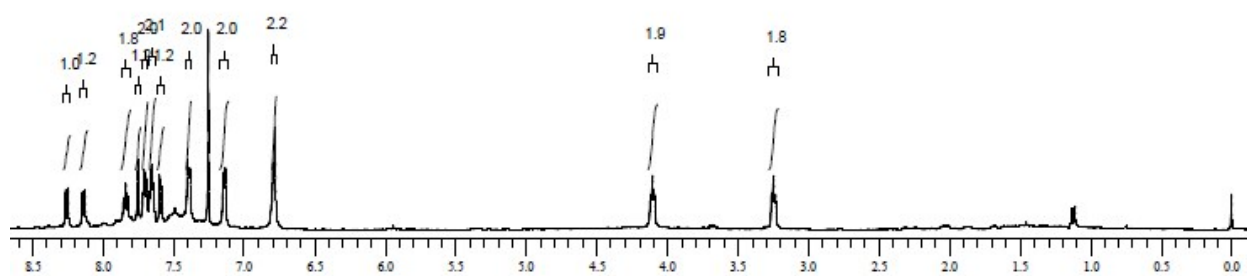
¹³C NMR (CDCl₃, 100MHz)



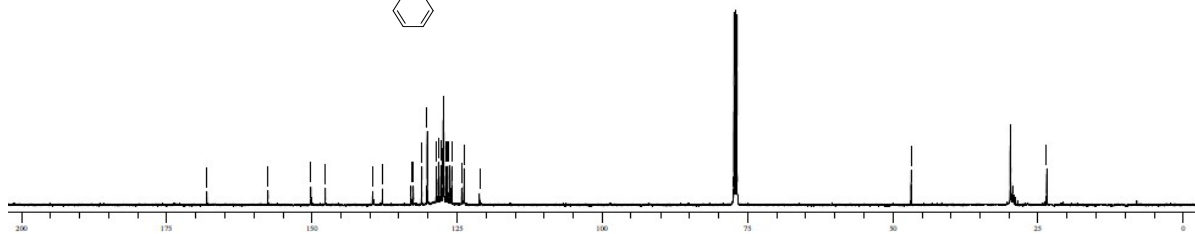
5-(Naphthalen-2-yl)-4-phenyl-1,2-dihydrobenzo[c][2,7]naphthyridine-2b



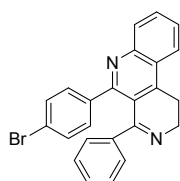
¹H NMR (CDCl₃, 500MHz)



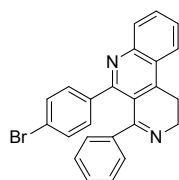
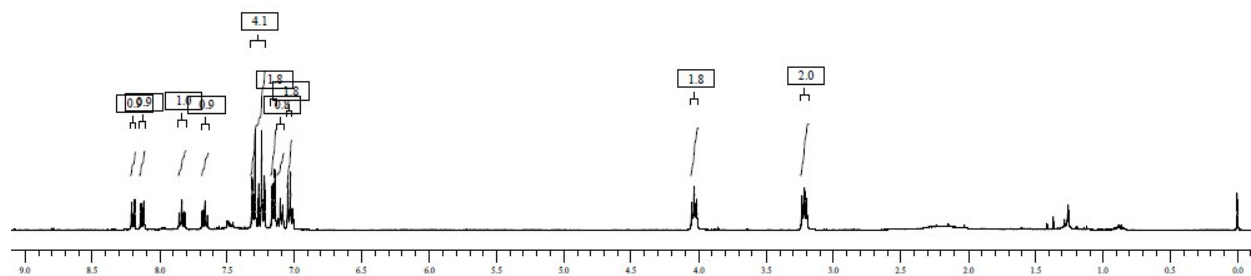
¹³C NMR (CDCl₃, 125MHz)



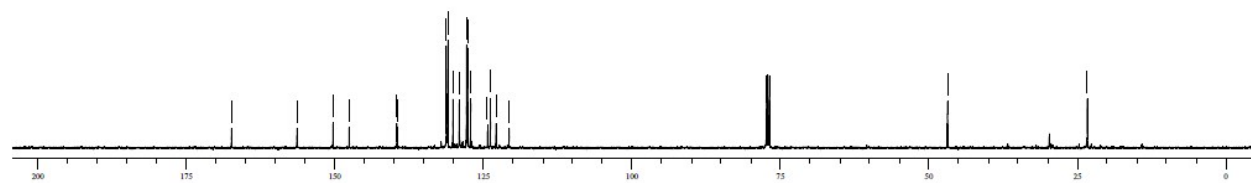
5-(4-Bromophenyl)-4-phenyl-1,2-dihydrobenzo[c][2,7]naphthyridine-2c



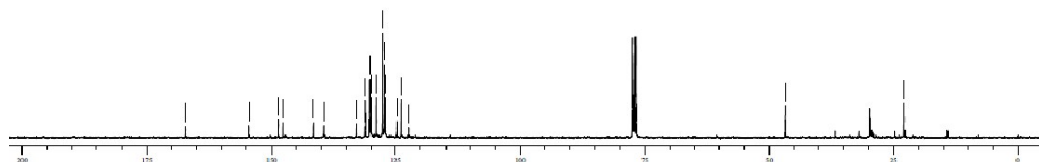
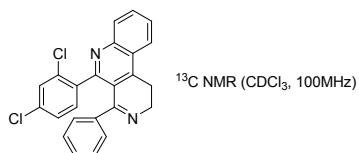
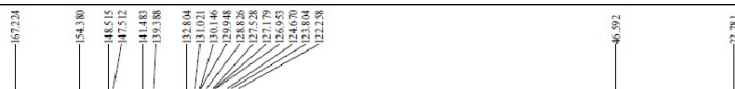
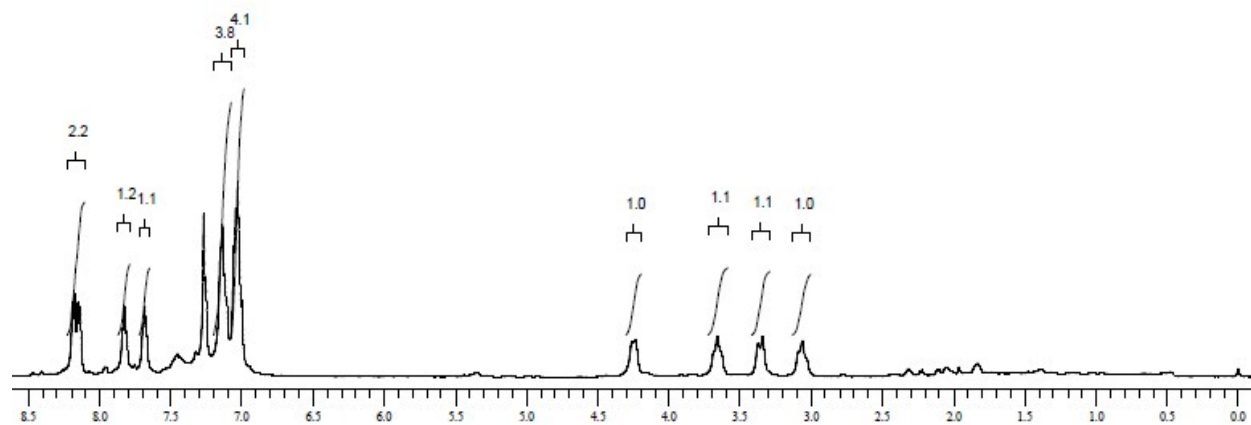
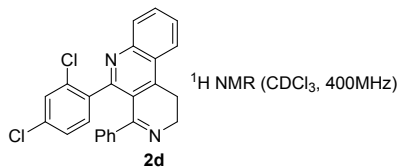
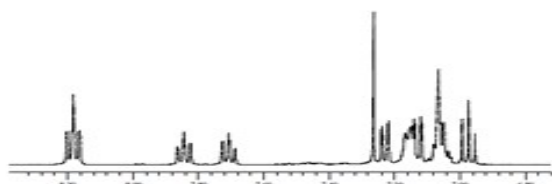
¹H NMR (CDCl₃, 400MHz)



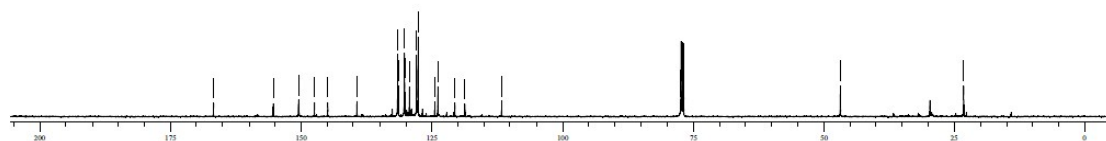
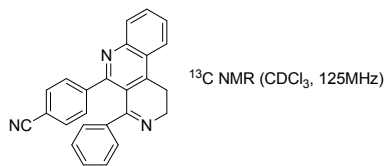
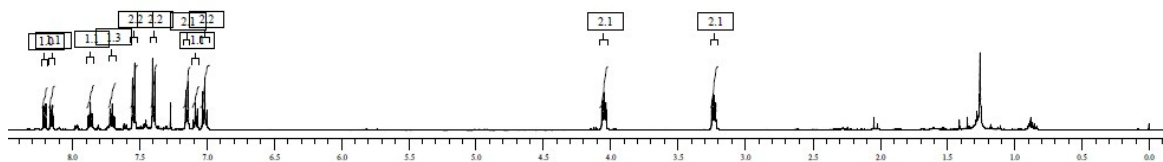
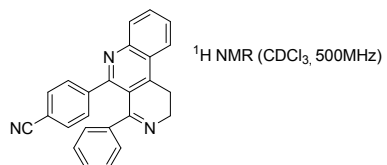
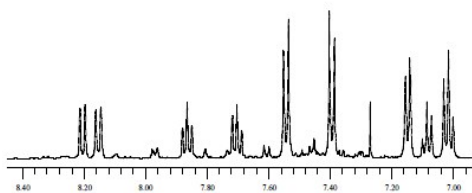
¹³C NMR (CDCl₃, 100MHz)



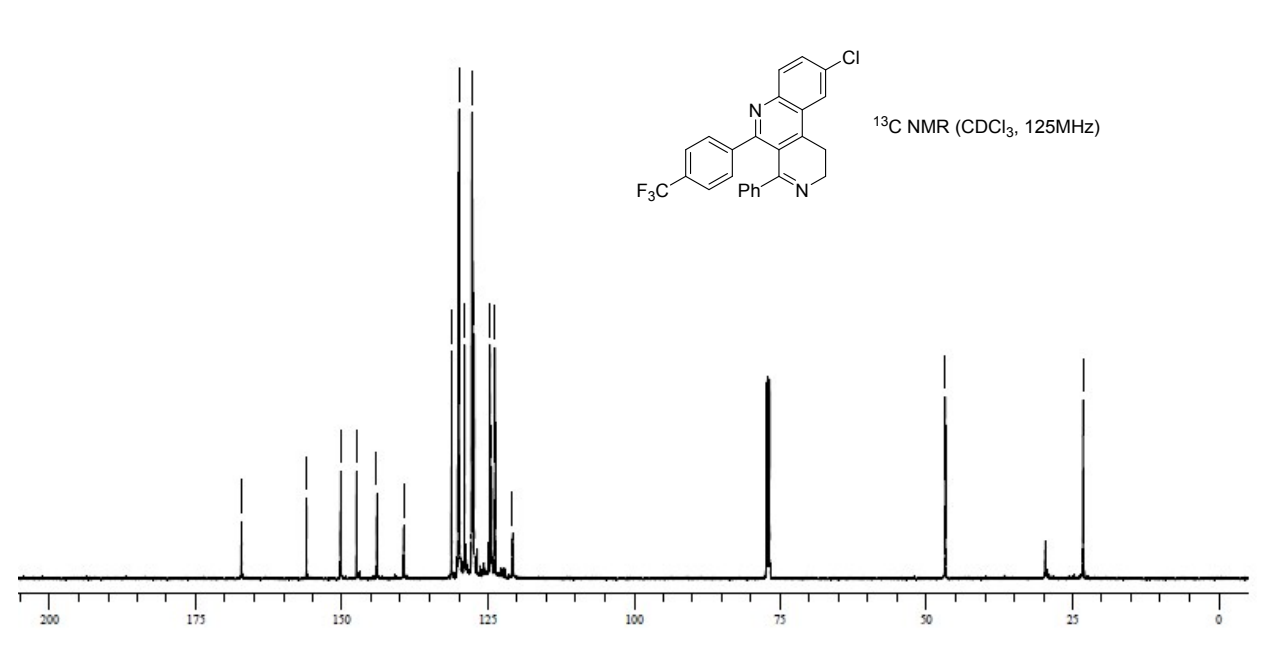
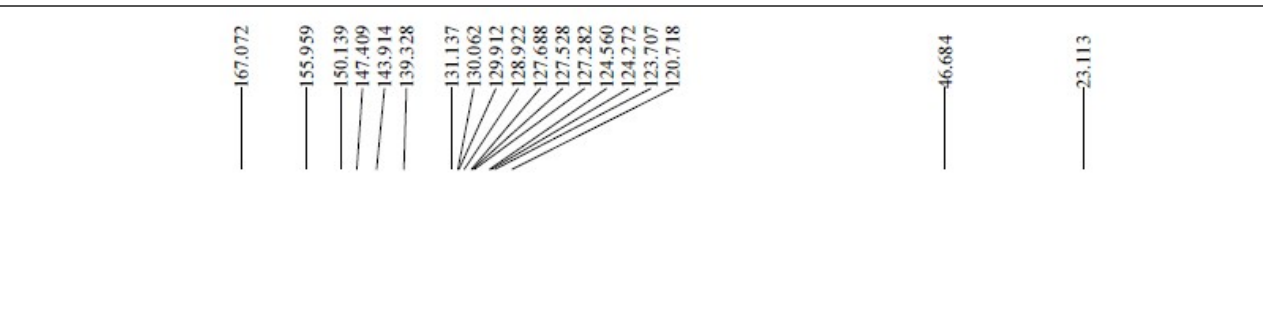
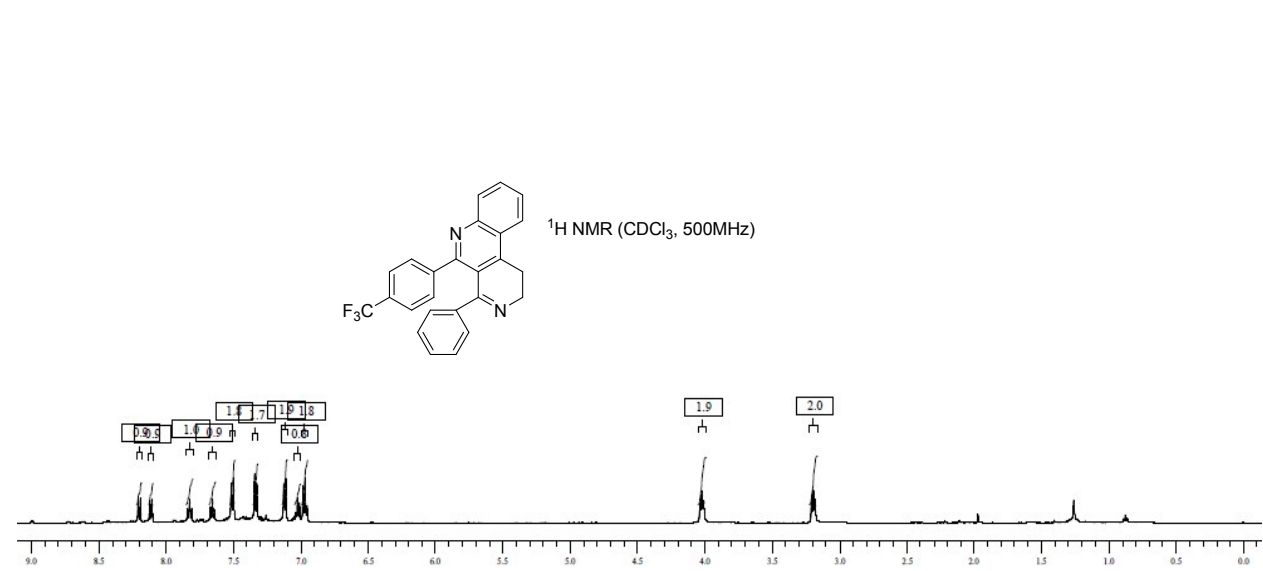
5-(2,4-Dichlorophenyl)-4-phenyl-1,2-dihydrobenzo[c][2,7]naphthyridine-2d



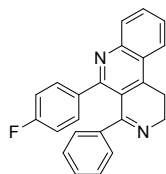
4-(4-Phenyl-1,2-dihydrobenzo[c][2,7]naphthyridin-5-yl)benzotrile-2e



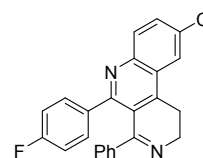
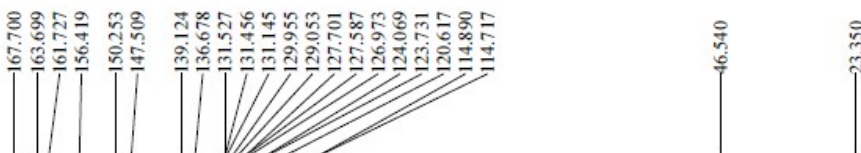
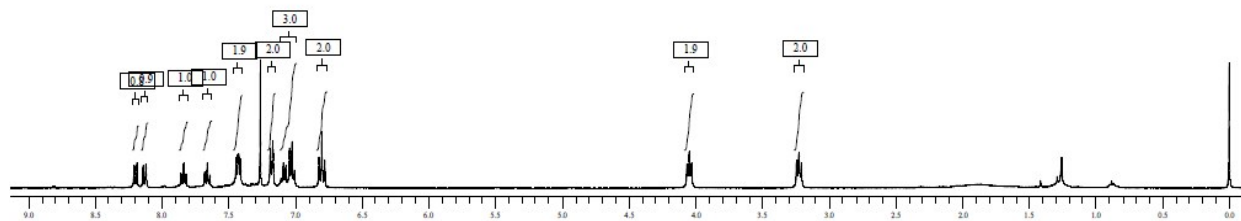
4-Phenyl-5-(4-(trifluoromethyl)phenyl)-1,2-dihydrobenzo[c][2,7]naphthyridine-2f



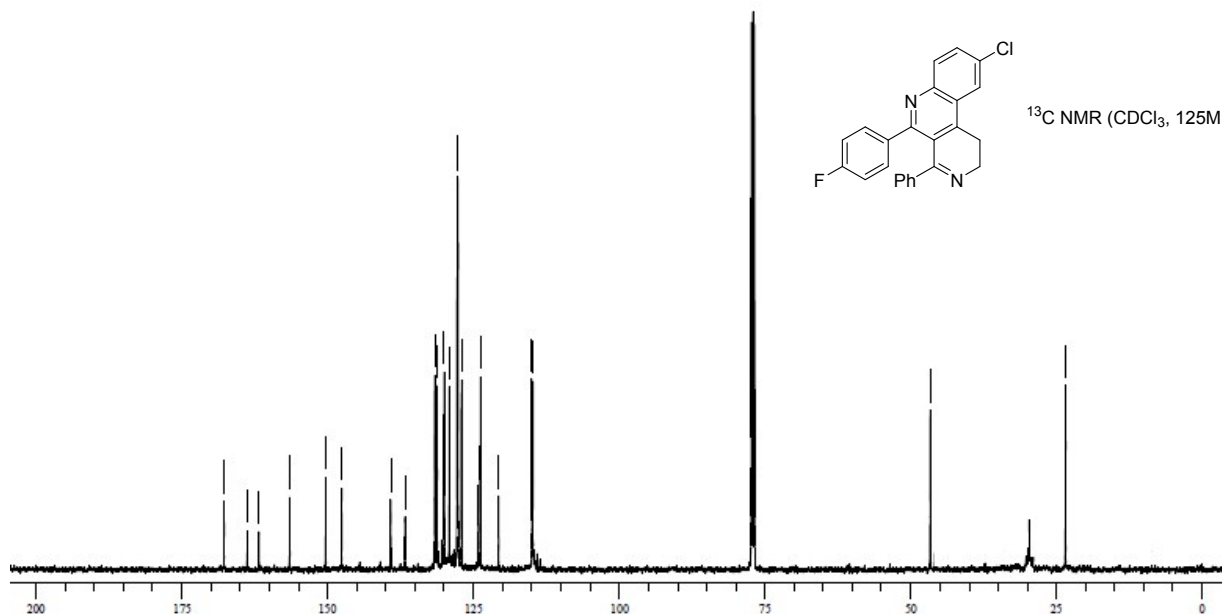
5-(4-Fluorophenyl)-4-phenyl-1,2-dihydrobenzo[c][2,7]naphthyridine-2g



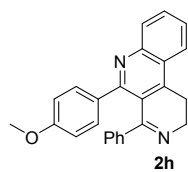
¹H NMR (CDCl₃, 400MHz)



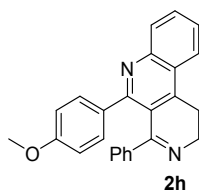
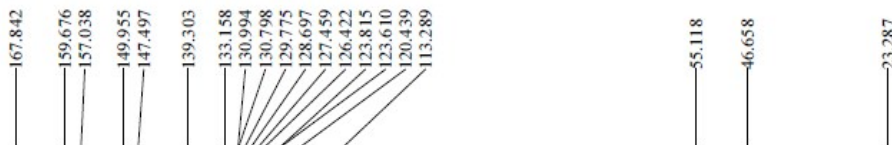
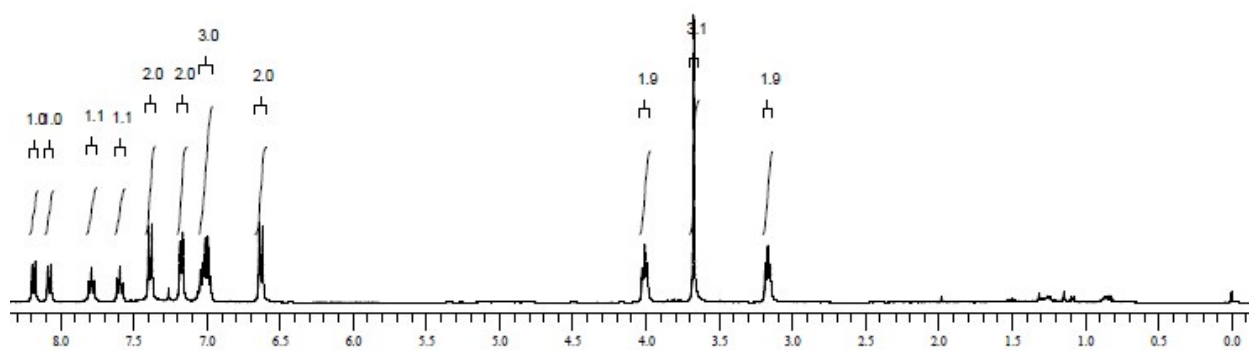
¹³C NMR (CDCl₃, 125MHz)



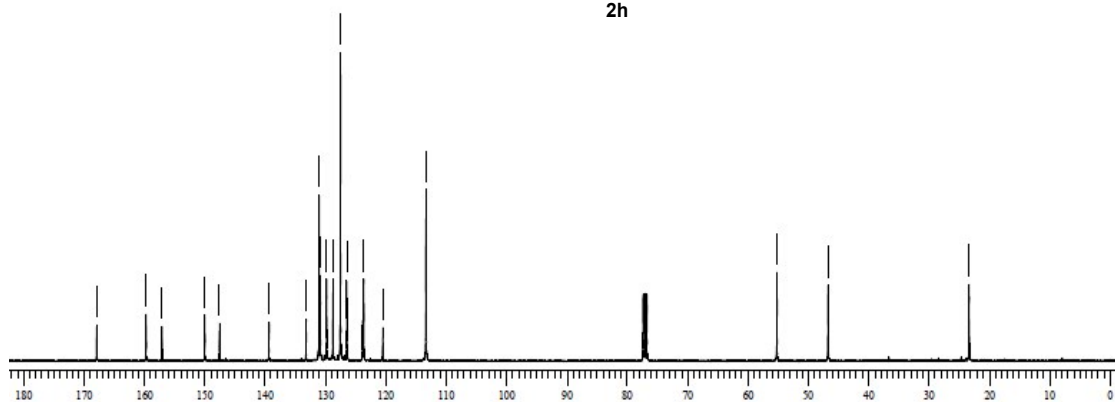
5-(4-Methoxyphenyl)-4-phenyl-1,2-dihydrobenzo[*c*][2,7]naphthyridine-2h



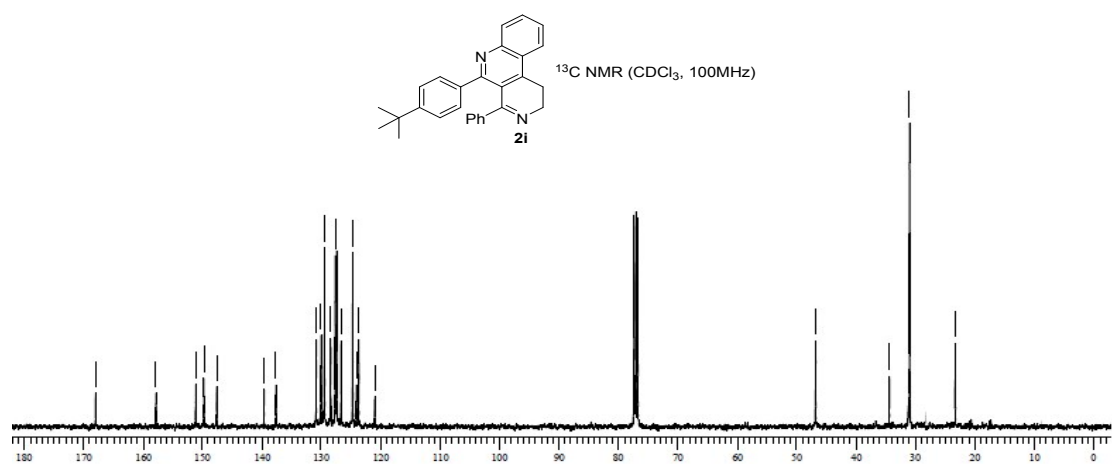
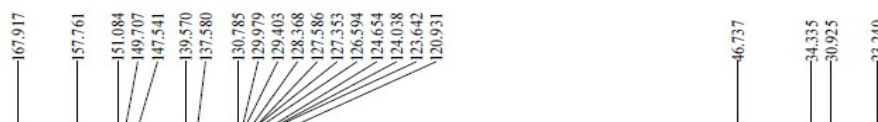
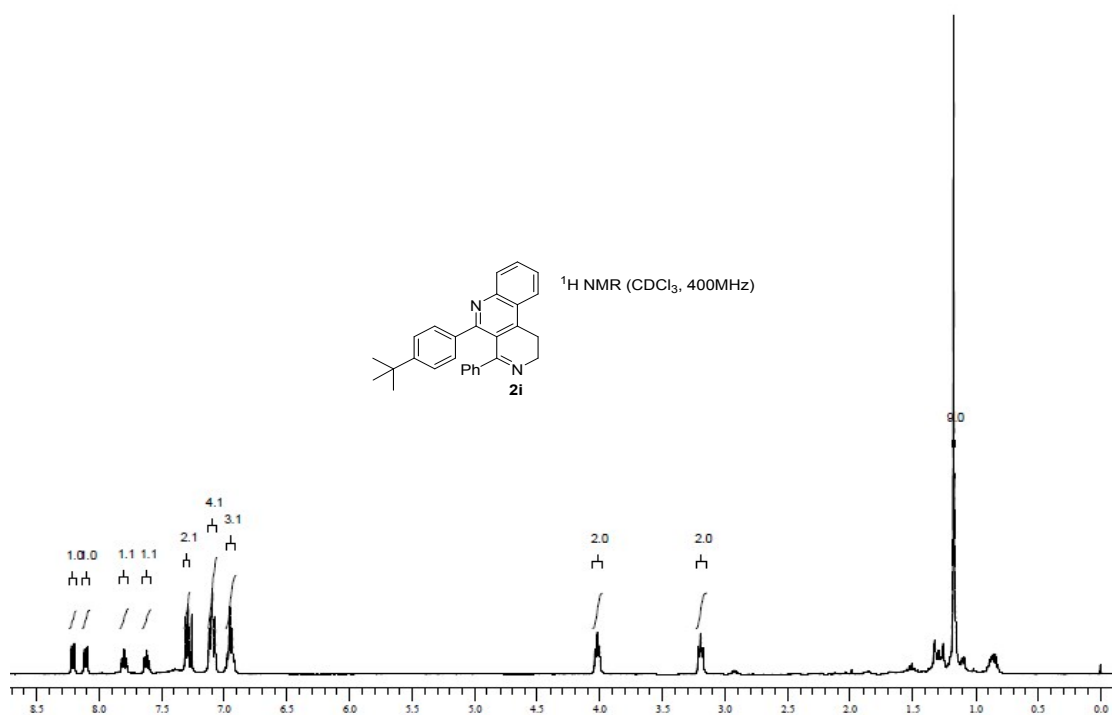
¹H NMR (CDCl₃, 400MHz)



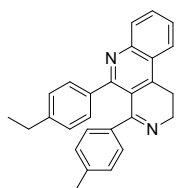
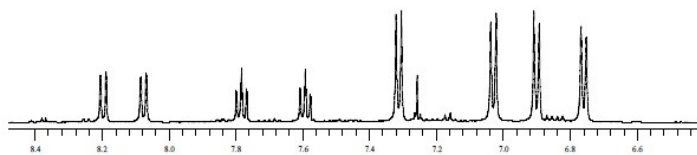
¹³C NMR (CDCl₃, 100MHz)



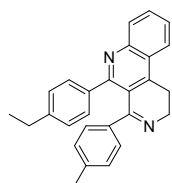
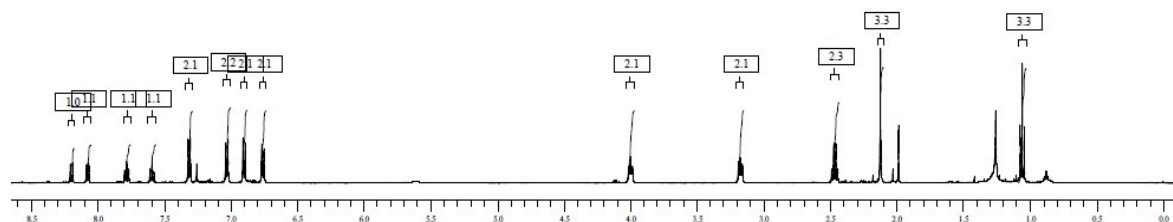
5-(4-(Tert-butyl)phenyl)-4-phenyl-1,2-dihydrobenzo[c][2,7]naphthyridine-2i



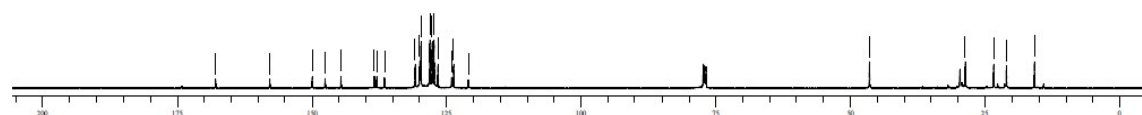
5-(4-Ethylphenyl)-4-(p-tolyl)-1,2-dihydrobenzo[c][2,7]naphthyridine-2j



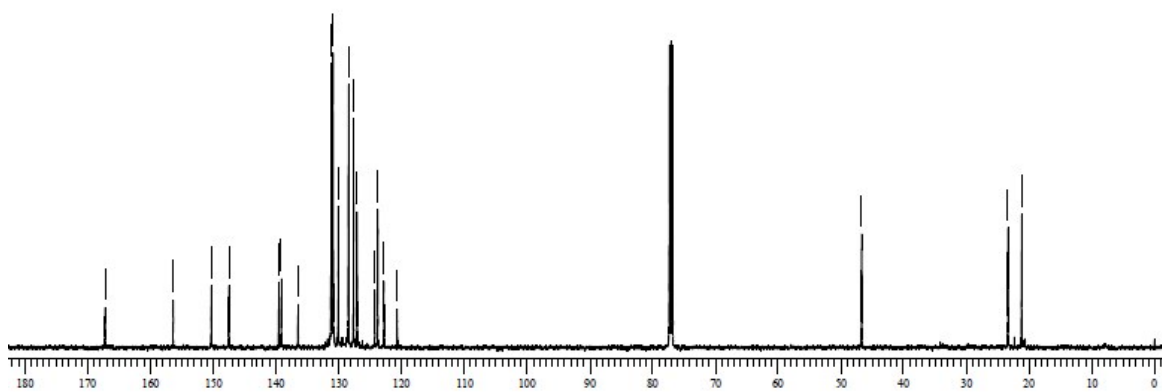
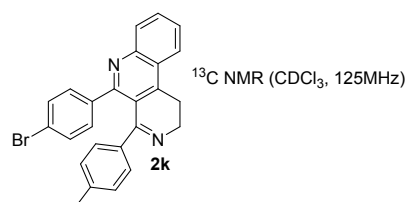
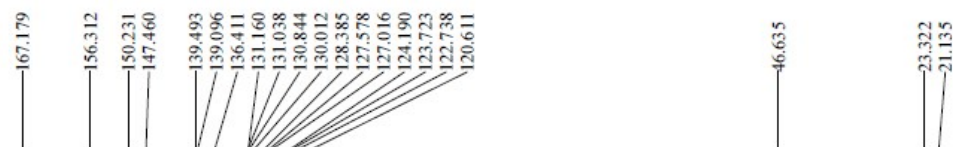
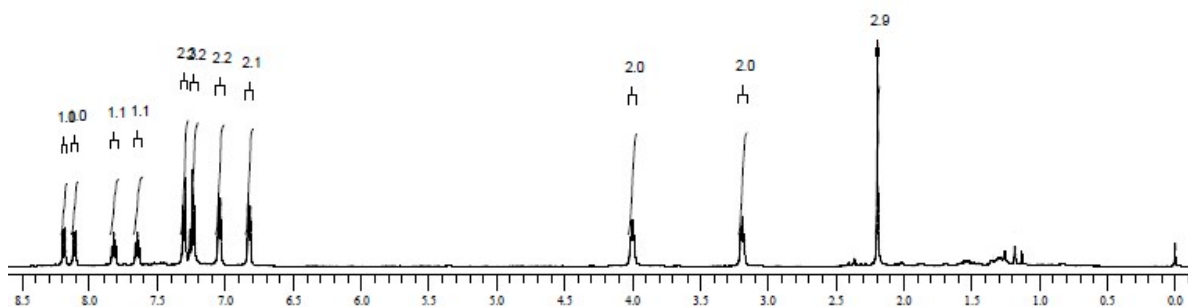
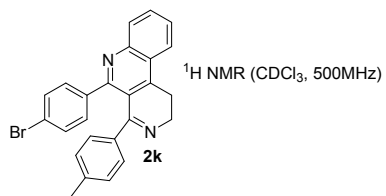
¹H NMR (CDCl₃, 500MHz)



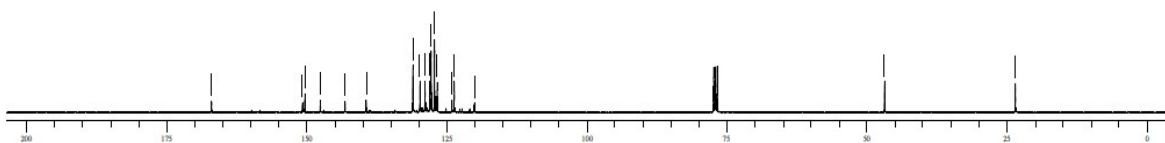
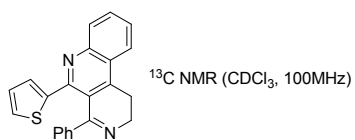
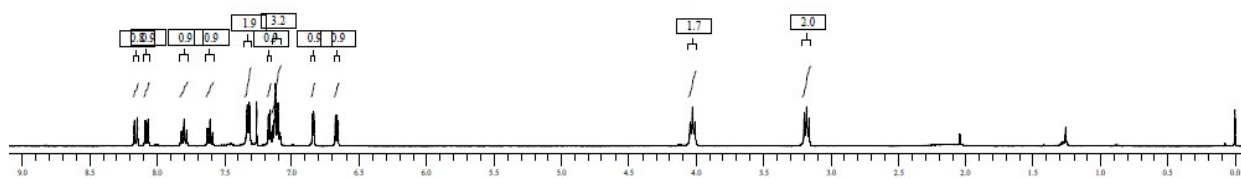
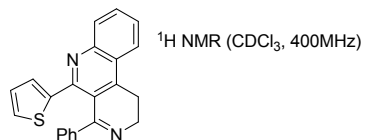
¹³C NMR (CDCl₃, 125MHz)



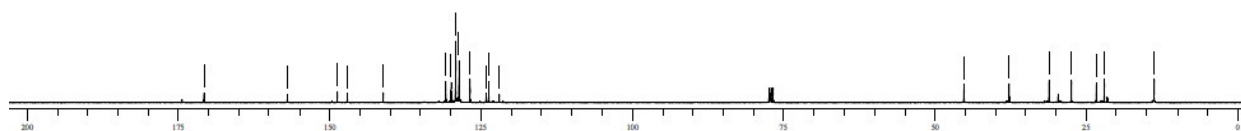
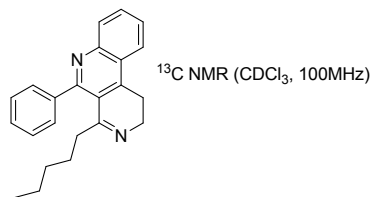
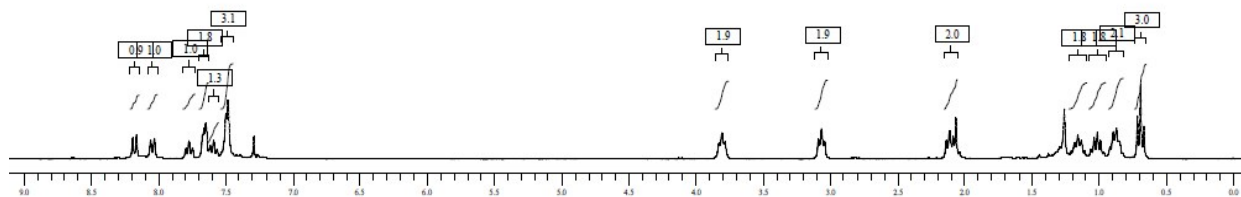
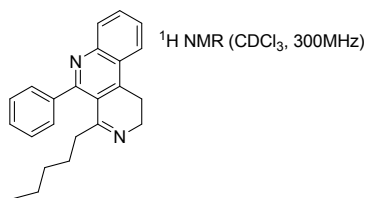
5-(4-Bromophenyl)-4-(p-tolyl)-1,2-dihydrobenzo[c][2,7]naphthyridine-2k



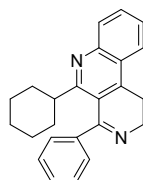
4-Phenyl-5-(thiophen-2-yl)-1,2-dihydrobenzo[c][2,7]naphthyridine-2l



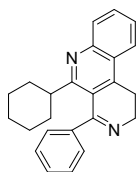
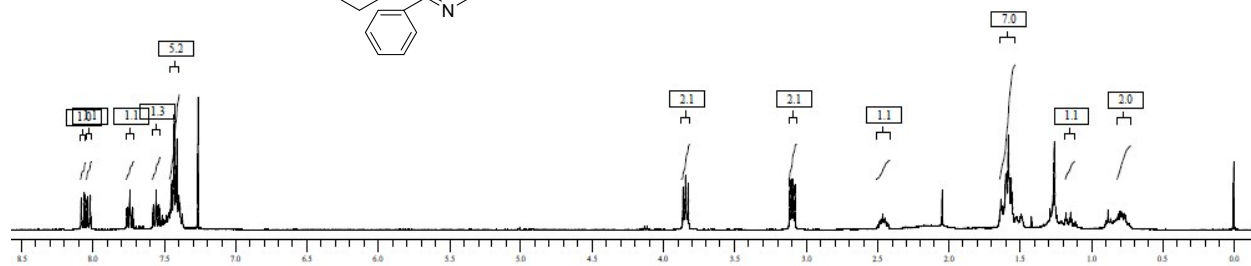
4-Pentyl-5-phenyl-1,2-dihydrobenzo[c][2,7]naphthyridine-2m



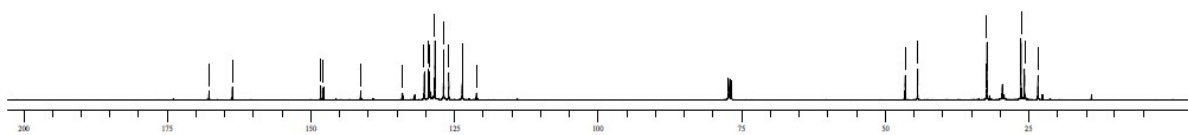
5-Cyclohexyl-4-phenyl-1,2-dihydrobenzo[c][2,7]naphthyridine-2n



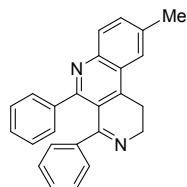
¹H NMR (CDCl₃, 400MHz)



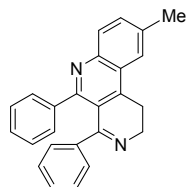
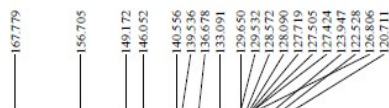
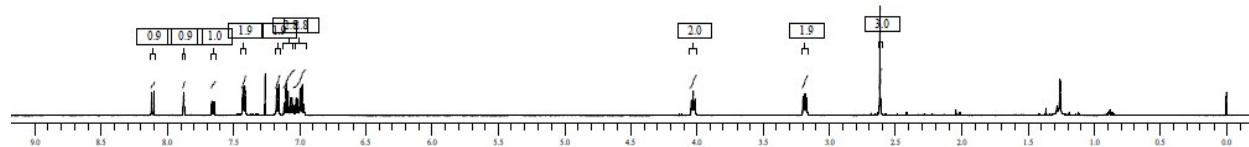
¹³C NMR (CDCl₃, 125MHz)



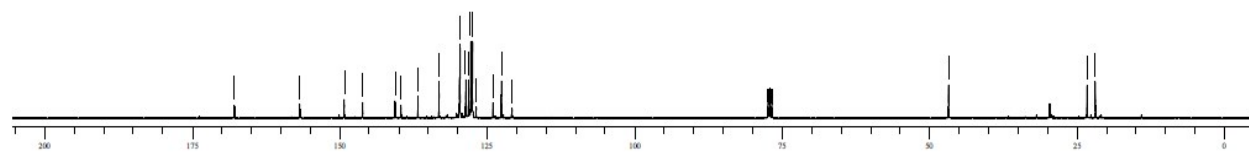
9-Methyl-4,5-diphenyl-1,2-dihydrobenzo[c][2,7]naphthyridine-2o



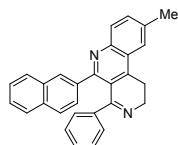
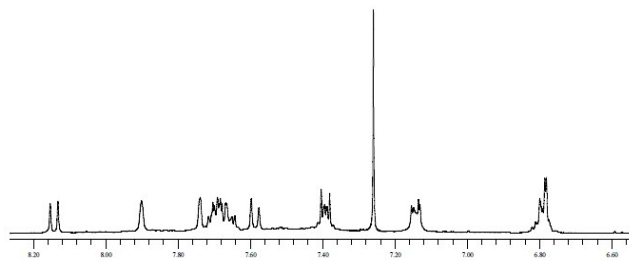
¹H NMR (CDCl₃, 400MHz)



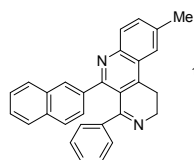
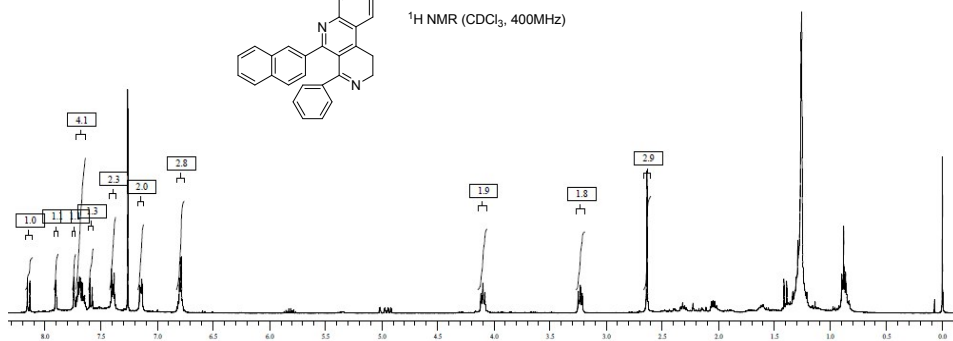
¹³C NMR (CDCl₃, 125MHz)



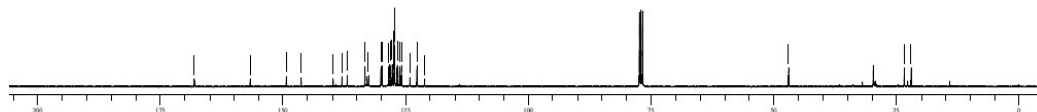
9-Methyl-5-(naphthalen-2-yl)-4-phenyl-1,2-dihydrobenzo[c][2,7]naphthyridine-2p



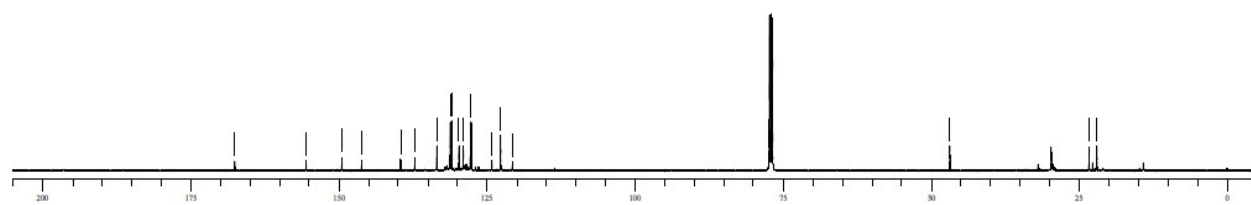
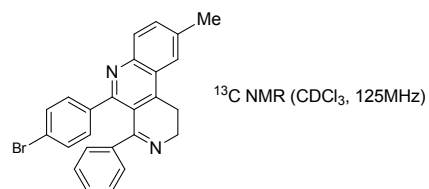
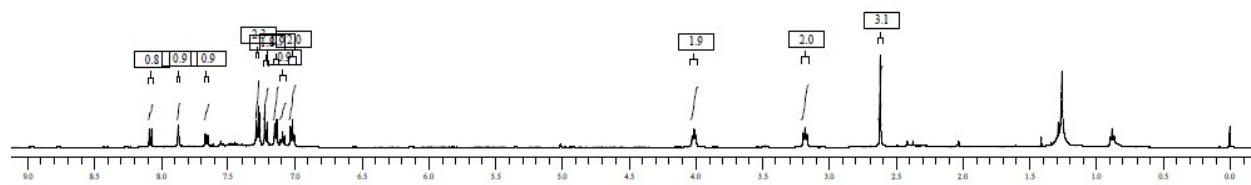
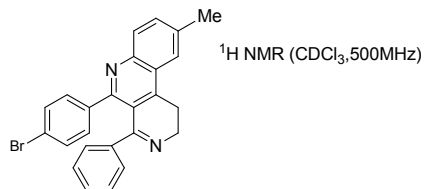
¹H NMR (CDCl₃, 400MHz)



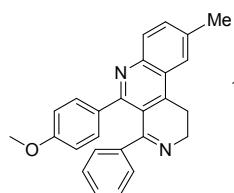
¹³C NMR (CDCl₃, 100MHz)



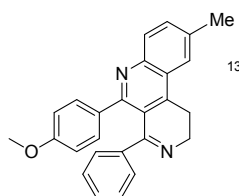
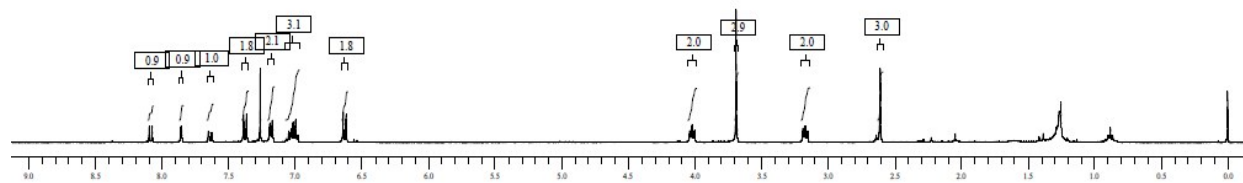
5-(4-Bromophenyl)-9-methyl-4-phenyl-1,2-dihydrobenzo[c][2,7]naphthyridine-2q



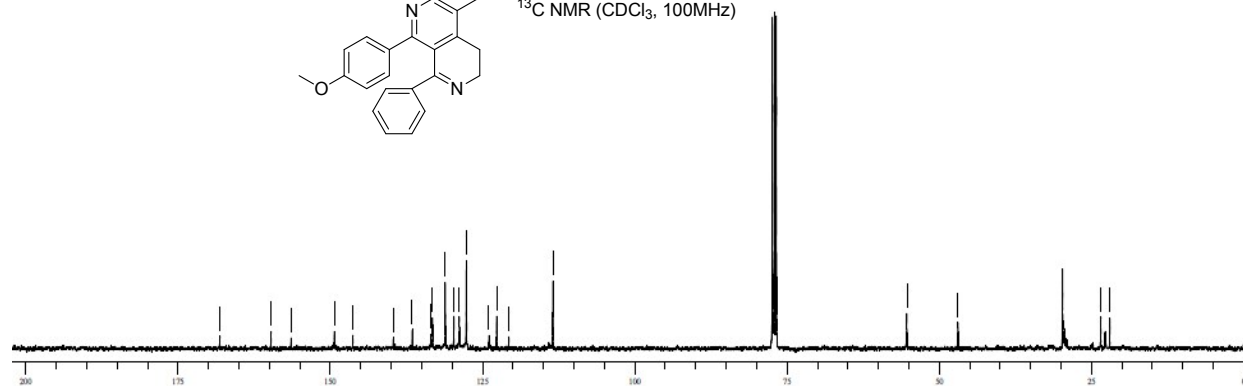
5-(4-Methoxyphenyl)-9-methyl-4-phenyl-1,2-dihydrobenzo[c][2,7]naphthyridine-2r



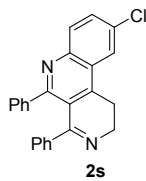
¹H NMR (CDCl₃, 400MHz)



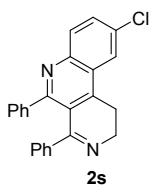
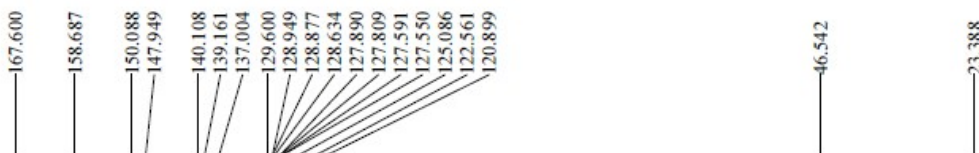
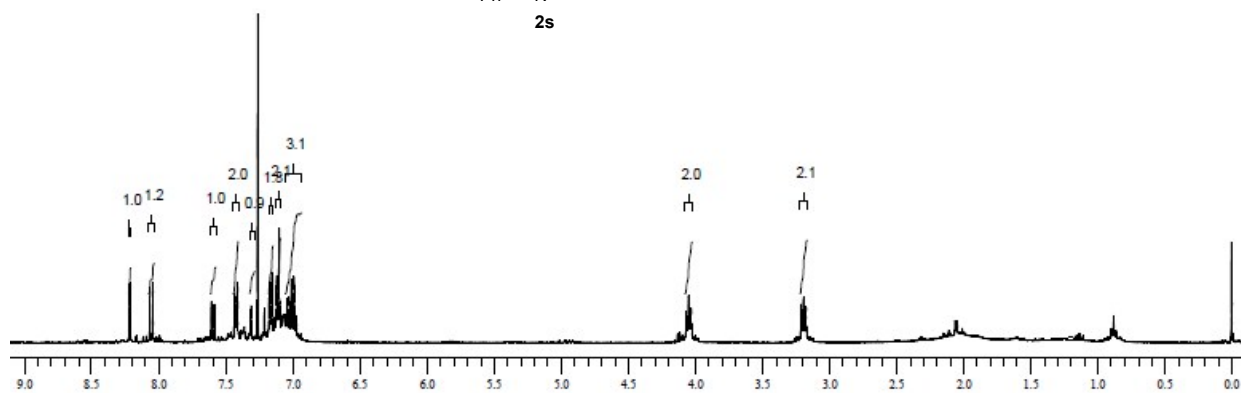
¹³C NMR (CDCl₃, 100MHz)



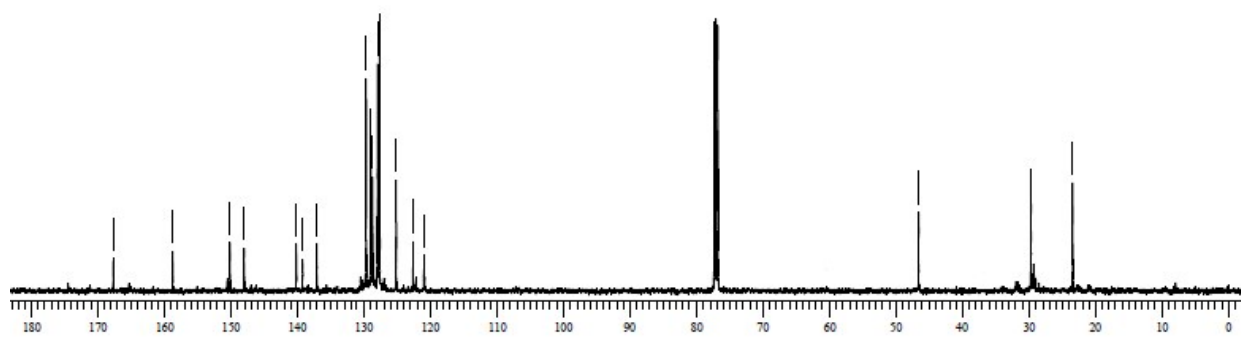
9-Chloro-4,5-diphenyl-1,2-dihydrobenzo[c][2,7]naphthyridine-2s



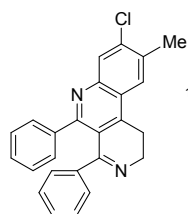
¹H NMR (CDCl₃, 400MHz)



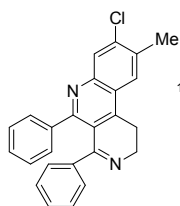
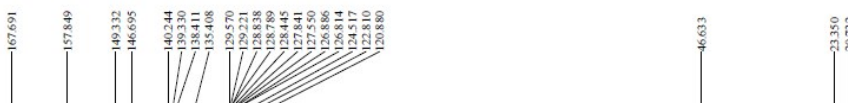
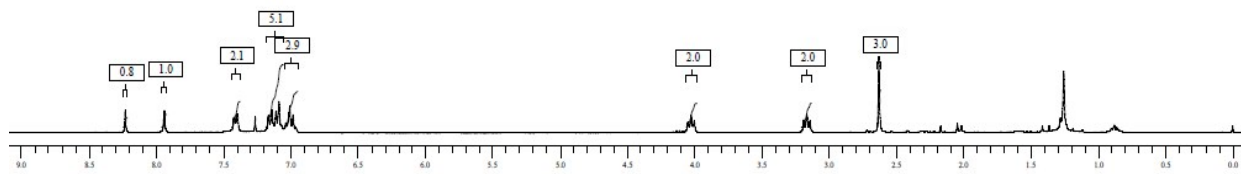
¹³C NMR (CDCl₃, 125MHz)



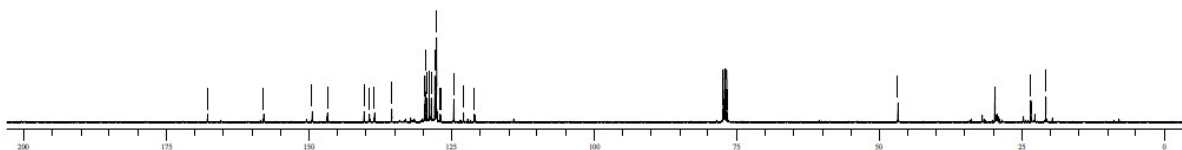
8-Chloro-9-methyl-4,5-diphenyl-1,2-dihydrobenzo[c][2,7]naphthyridine-2t



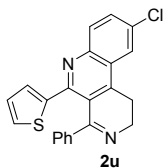
$^1\text{H NMR}$ (CDCl_3 , 300MHz)



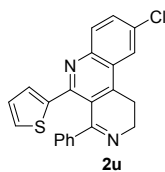
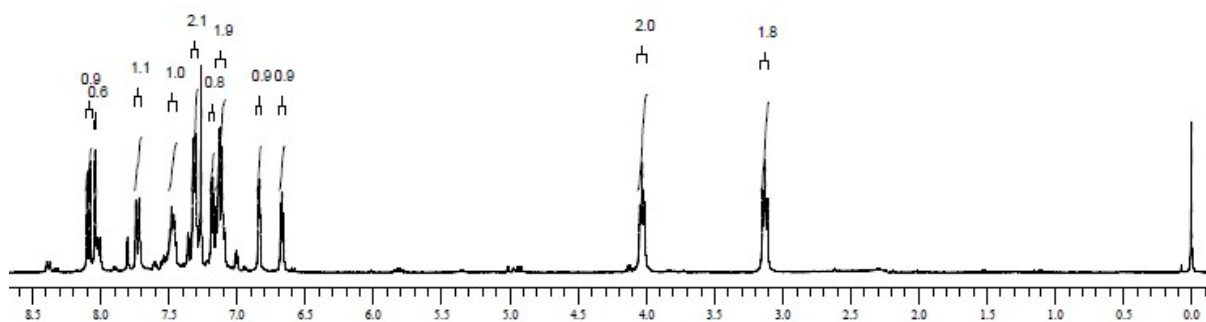
$^{13}\text{C NMR}$ (CDCl_3 , 100MHz)



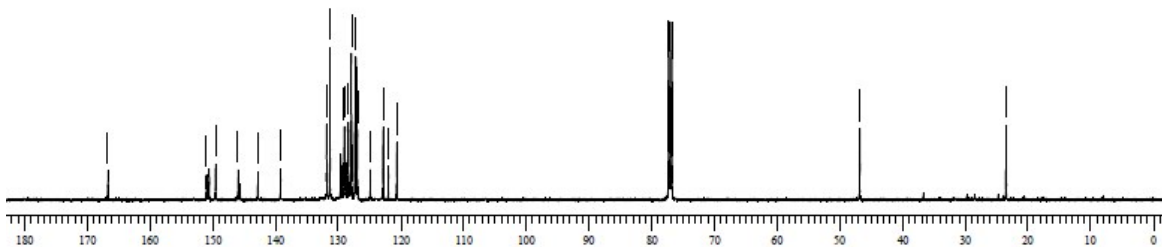
9-Chloro-4-phenyl-5-(thiophen-2-yl)-1,2-dihydrobenzo[*c*][2,7]naphthyridine-2u



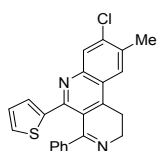
¹H NMR (CDCl₃, 400MHz)



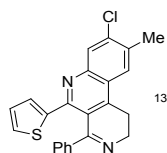
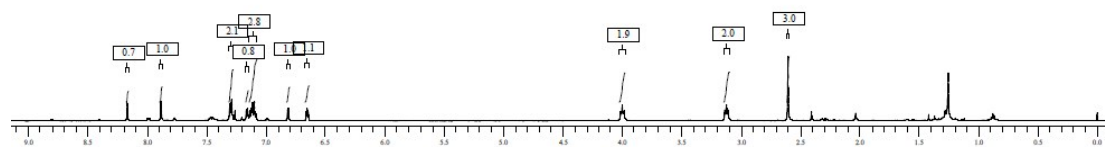
¹³C NMR (CDCl₃, 125MHz)



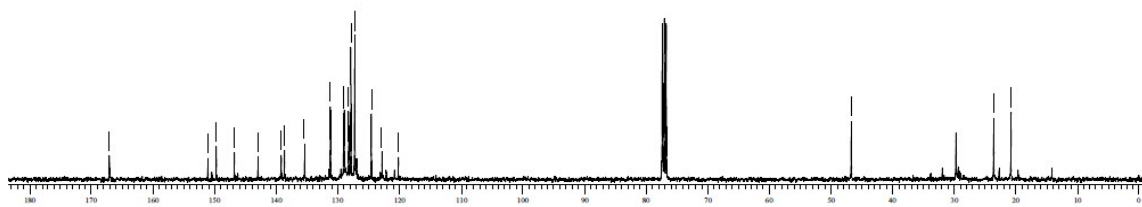
8-Chloro-9-methyl-4-phenyl-5-(thiophen-2-yl)-1,2-dihydrobenzo[c][2,7]naphthyridine-2v



$^1\text{H NMR}$ (CDCl_3 , 500MHz)



$^{13}\text{C NMR}$ (CDCl_3 , 100MHz)



1.7 X-ray crystallography data of **2v**

X-ray data for the compounds were collected at room temperature using a Bruker Smart Apex CCD diffractometer with graphite monochromated MoK α radiation ($\lambda=0.71073\text{\AA}$) with ω -scan method.² Preliminary lattice parameters and orientation matrices were obtained from four sets of frames. Integration and scaling of intensity data were accomplished using SAINT program. The structure was solved by direct methods using SHELXS and refinement was carried out by full-matrix least-squares technique using SHELXL.³ Anisotropic displacement parameters were included for all non-hydrogen atoms. H atoms were positioned geometrically and treated as riding on their parent C atoms [C-H = 0.93-0.97 \AA and $U_{\text{iso}}(\text{H}) = 1.5U_{\text{eq}}(\text{C})$ for methyl H or $1.2U_{\text{eq}}(\text{c})$ for other H atoms]. The methyl groups were allowed to rotate but not to tip.

Crystal Data for **2v** (BE02): $\text{C}_{23}\text{H}_{17}\text{N}_2\text{SCl}$ ($M=388.92$ g/mol): monoclinic, space group $P2_1/c$ (no. 14), $a = 8.3120(5)$ \AA , $b = 10.2393(7)$ \AA , $c = 21.6965(14)$ \AA , $\beta = 97.053(1)^\circ$, $V = 1832.6(2)$ \AA^3 , $Z = 4$, $T = 294.15$ K, $\mu(\text{Mo K}\alpha) = 0.333$ mm^{-1} , $D_{\text{calc}} = 1.4095$ g/cm^3 , 20958 reflections measured ($4.4^\circ \leq 2\Theta \leq 56.44^\circ$), 4434 unique ($R_{\text{int}} = 0.0247$, $R_{\text{sigma}} = 0.0202$) which were used in all calculations. The final R_1 was 0.0470 ($I > 2\sigma(I)$) and wR_2 was 0.1282 (all data). CCDC 1526953 contains supplementary Crystallographic data for the structure. These data can be obtained free of charge at www.ccdc.cam.ac.uk/conts/retrieving.html [or from the Cambridge Crystallographic Data Centre (CCDC), 12 Union Road, Cambridge CB2 1EZ, UK; fax: +44(0) 1223 336 033; email: deposit@ccdc.cam.ac.uk].

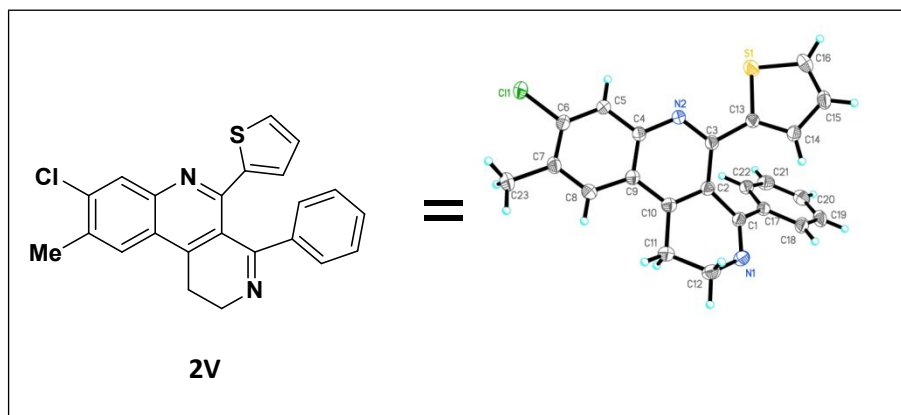


Figure.1. A view of compound **2v**, showing the atom-labelling scheme. Displacement ellipsoids are drawn at the 30% probability level and H atoms are represented by circles of arbitrary radii.

2. Bruker (2001). SAINT (Version 6.28a) & SMART (Version 5.625). Bruker AXS Inc., Madison, Wisconsin, USA.

3. Sheldrick G. M. (2015) *Acta Crystallogr C* 71: 3-8.