

Supporting Information
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Supporting information

Synthesis of (2-Aminophenyl)(naphthalen-2-yl)methanones via Intramolecular Rearrangement of (*E*)-3-Styrylquinolin-4(1*H*)-ones under Irradiation with 365 nm UV Light

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1. General Experimental Procedure

All reagents in reactions were purchased from commercial sources. THF was distilled from sodium/benzophenone immediately prior to use. Thin-layer chromatography (TLC) used silica gel 60 GF254 plates. The silica gel (size 200-300 mesh) was used for the column chromatography. ^1H NMR yields shown were determined with 1,3,5-trimethoxybenzene as internal standard. ^1H NMR and ^{13}C NMR spectra were recorded on Bruker 400 or 600 MHz Spectrometer (^1H : 400 MHz or 600 MHz, ^{13}C : 101 MHz or 151 MHz) using CDCl_3 , $\text{DMSO}-d_6$ or CF_3COOD as the solvent. Chemical shifts (δ) were expressed in ppm and the coupling constants (J) were expressed in Hz. Melting points were measured using X-5 melting point apparatus and were uncorrected. IR spectra were recorded using a Nicolet 170SX FT-IR spectrophotometer and high resolution mass spectrometry (HRMS) was recorded using the electron-spray quadrupole-time-of-flight ionization (ESI-Q-TOF) technique. The irradiation experiments were performed in a WATTECS WP-TEC-1020 photo-chemical reactor equipped with a 10 W lamp.

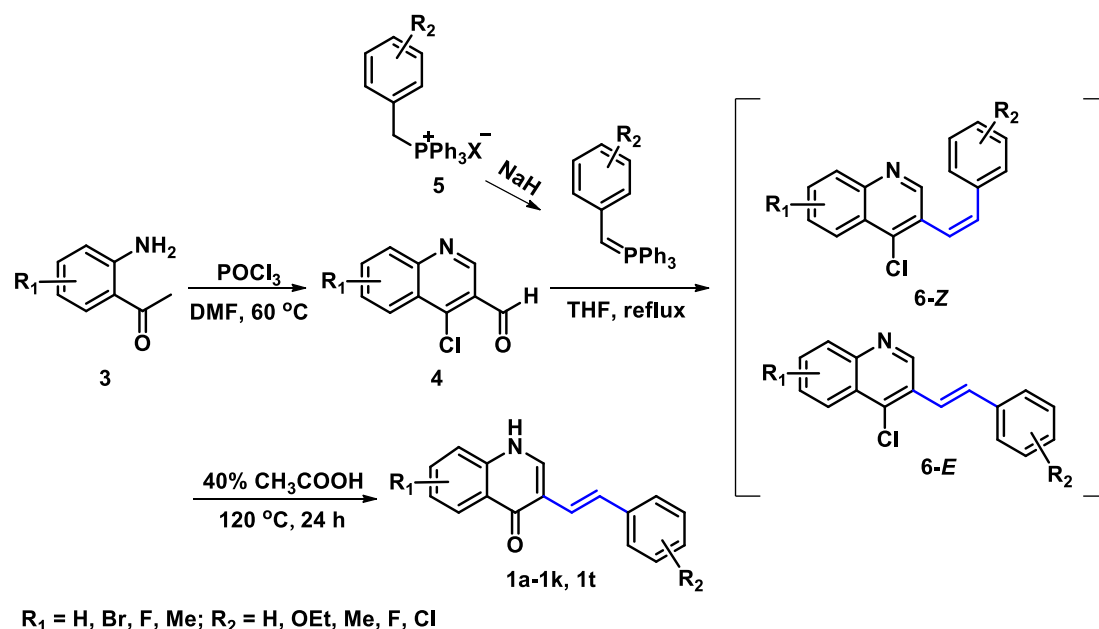
1-1. Synthesis of (*E*)-3-Styrylquinolin-4(1*H*)-ones **1a-1k** and **1t**

(*E*)-3-styrylquinolin-4(1*H*)-ones **1a-1k** and **1t** were prepared according to the literature procedure (**Scheme 1**).^{1, 2} POCl_3 (123.4 mmol) was added dropwise to 25 mL dry *N,N*-dimethylformamide (DMF) at 0 °C under argon, and the mixture was stirred for 15 min at room temperature. Then a solution of **3** 1-(2-aminophenyl) ethanones (20.6 mmol) in dry DMF (3 mL) was added dropwise. The reaction solution was heated to 60 °C and stirred for 4 h. The reaction was stopped by poured into 100 g ice and 100 mL water, and neutralized with NaHCO_3 . The formed solid was filtered off, dissolved into 200 mL CH_2Cl_2 and washed with 3 $\times$ 200 mL water. The organic layer was dried over Na_2SO_4 and concentrated under reduced pressure. The resulting solid was purified by column chromatography on silica gel (petroleum ether: ethyl acetate = 20:1-10:1) to afford 4-chloroquinoline-3-carbaldehydes **4**.

A mixture of sodium hydride (6.0 mmol) and appropriate phosphonium halide (6.0 mmol) in 50 mL dry THF was refluxed 3 h ($\text{R}_2 = \text{H, Me, F, Cl}$) or 1 h ($\text{R}_2 = \text{OEt}$) under argon. When the solution turned into orange, and the suspension of the

phosphonium salt disappeared, it indicated that ylide was formed. Subsequently, 4-chloroquinoline-3-carbaldehydes **4** (2.0 mmol) was added at the same temperature under magnetic stirring for 1 h. After the reaction mixture was cooled to room temperature, it was poured into 80 g ice and 80 mL water and acidified with 2M HCl solution until the pH was adjusted to 5. The mixture was extracted with 3 × 80 mL CH₂Cl₂ and then the organic phases were combined, dried over Na₂SO₄ and concentrated under reduced pressure. The resulting solid was purified by column chromatography on silica gel (petroleum ether: ethyl acetate = 30:1-15:1) to get **6-(Z)** and **6-(E)**.

A suspension of a mixture of (*Z*)- and (*E*)-4-chloro-3-styrylquinolines **6** (1.0 mmol) was stirred under 120 °C for 24 h in 40% aqueous formic acid (32 mL). The resulting suspension was cooled for 30 min in ice-water, and then Na₂CO₃ was added to adjust the value of pH to 5, and the precipitate of (*E*)-3-styrylquinolin-4(1*H*)-ones derivatives **1a-1k** and **1t** were collected by suction filtration and washed with water without the need for further purification.

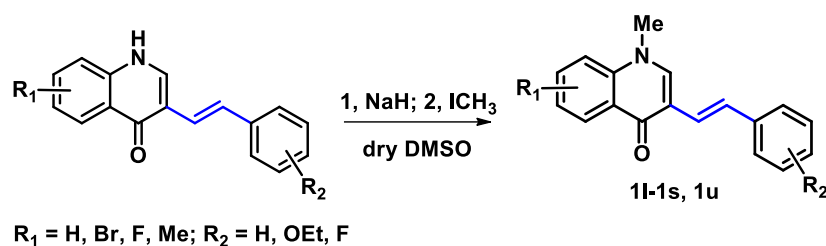


Scheme 1 Synthesis of (*E*)-3-styrylquinolin-4(1*H*)-ones **1a-1k** and **1t**.

1-2. Synthesis of (*E*)-3-styrylquinolin-4(1*H*)-ones **1l-1s** and **1u**

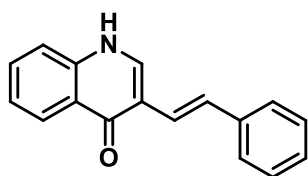
(*E*)-3-styrylquinolin-4(1*H*)-ones **1l-1s** and **1u** were prepared according to the literature procedure (**Scheme 2**).¹⁻³ A stirred suspension of (*E*)-3-styrylquinolin-

4(1*H*)-ones (0.5 mmol) in dry dimethyl sulfoxide (DMSO, 2.5 mL) was treated with NaH (0.75 mmol) at room temperature. The mixture was stirred for further 2 h until hydrogen evolution ceased and then treated with iodomethane (0.75 mmol). After (*E*)-3-styryl-4-quinolones had disappeared completely, the reaction solution was quenched with cold water and acidified with dilute hydrochloric acid until the pH reached 4-5. The mixture was extracted with 3 × 15 mL CH₂Cl₂ and washed with 3 × 15 mL water. The organic phases were combined, dried over Na₂SO₄ and concentrated under reduced pressure. The resulting solid was purified by column chromatography on silica gel to get **1l-1s** and **1u**.



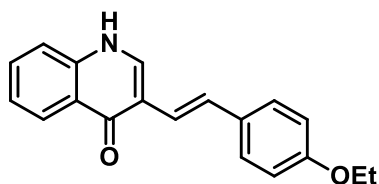
Scheme 2 Synthesis of (*E*)-3-Styrylquinolin-4(1*H*)-ones **1l-1s** and **1u**.

2. Spectroscopic data



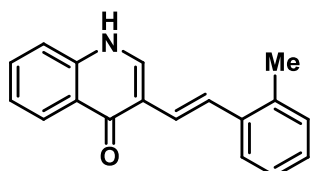
(*E*)-3-styrylquinolin-4(1*H*)-one (**1a**)⁴

227.3 mg. Yield: 37%. White solid. m.p. 258.2-260.1 °C. ¹H NMR (400 MHz, DMSO-*d*₆) δ 12.15 (s, 1H), 8.29 (s, 1H), 8.21 (d, *J* = 8.0 Hz, 1H), 7.80 (d, *J* = 16.3 Hz, 1H), 7.65 (t, *J* = 7.6 Hz, 1H), 7.58-7.56 (m, 1H), 7.51 (d, *J* = 7.6 Hz, 2H), 7.35 (t, *J* = 7.6 Hz, 3H), 7.24-7.20 (m, 2H); HRMS (ESI): calculated for C₁₇H₁₃NO [M + H]⁺ 248.1070, found 248.1066.



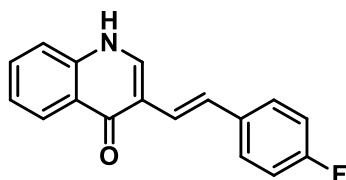
(*E*)-3-(4-ethoxystyryl)quinolin-4(1*H*)-one (**1b**)⁵

253.3 mg. Yield: 34%. White solid. m.p. 268.6-269.2 °C. ¹H NMR (400 MHz, DMSO-*d*₆) δ 12.08 (s, 1H), 8.24 (s, 1H), 8.20 (d, *J* = 8.0 Hz, 1H), 7.70 (d, *J* = 16.3 Hz, 1H), 7.66-7.62 (m, 1H), 7.57-7.55 (m, 1H), 7.42 (d, *J* = 8.6 Hz, 2H), 7.34 (t, *J* = 7.4 Hz, 1H), 7.06 (d, *J* = 16.3 Hz, 1H), 6.91 (d, *J* = 8.6 Hz, 2H), 4.03 (q, *J* = 6.9 Hz, 2H), 1.33 (t, *J* = 6.9 Hz, 3H); HRMS (ESI): calculated for C₁₉H₁₇NO₂ [M + H]⁺ 292.1332, found 292.1330.



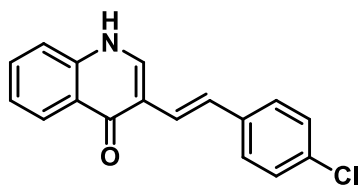
(*E*)-3-(2-methylstyryl)quinolin-4(1*H*)-one (1c)

216.7 mg. Yield: 34%. White solid. m.p. 266.1-270.0 °C. ¹H NMR (400 MHz, DMSO-*d*₆) δ 12.14 (s, 1H), 8.70-7.88 (m, 3H), 7.60 (s, 3H), 7.36-7.13 (m, 5H), 2.38 (s, 3H); ¹³C NMR (100 MHz, DMSO-*d*₆) δ 175.3, 139.1, 138.6, 137.3, 134.8, 131.4, 130.2, 126.6, 126.1, 125.4, 125.2, 125.5, 124.4, 124.2, 123.4, 118.3, 117.1, 19.6; IR (KBr), ν (cm⁻¹) 3526, 3078, 1510, 1385, 1250, 962, 767, 694, 501; HRMS (ESI): calculated for C₁₈H₁₅NO [M + H]⁺ 262.1227, found 262.1226.



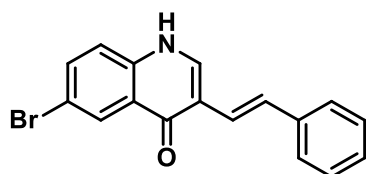
(*E*)-3-(4-fluorostyryl)quinolin-4(1*H*)-one (1d)

225.3 mg. Yield: 40%. White solid. m.p. 294.9-296.3 °C. ¹H NMR (400 MHz, DMSO-*d*₆) δ 12.15 (s, 1H), 8.26 (s, 1H), 8.21 (d, *J* = 8.0 Hz, 1H), 7.79 (d, *J* = 16.3 Hz, 1H), 7.67-7.63 (m, 1H), 7.58-7.52 (m, 3H), 7.35 (t, *J* = 7.4 Hz, 1H), 7.20-7.13 (m, 3H); ¹³C NMR (100 MHz, DMSO-*d*₆) δ 175.2, 161.1 (d, ¹*J* = 249.9 Hz), 138.8, 138.6, 135.0 (d, ⁴*J* = 3.0 Hz), 131.4, 127.5 (d, ³*J* = 8.0 Hz), 125.4, 125.4, 125.4, 124.1 (d, ⁵*J* = 2.0 Hz), 123.4, 118.4, 116.7, 115.5 (d, ²*J* = 21.5 Hz); IR (KBr), ν (cm⁻¹) 3479, 2991, 2907, 1510, 1227, 1148, 964, 760, 704, 527; HRMS (ESI): calculated for C₁₇H₁₂FNO [M + H]⁺ 266.0976, found 266.0972.



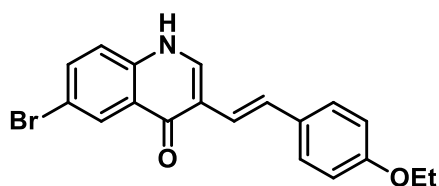
(E)-3-(4-chlorostyryl)quinolin-4(1H)-one (1e)²

238.9 mg. Yield: 35%. Yellow solid. m.p. > 300 °C. ¹H NMR (400 MHz, DMSO-*d*₆) δ 12.16 (s, 1H), 8.28 (s, 1H), 8.21 (d, *J* = 7.9 Hz, 1H), 7.80 (d, *J* = 16.3 Hz, 1H), 7.67-7.63 (m, 1H), 7.57 (d, *J* = 8.2 Hz, 1H), 7.51 (d, *J* = 8.4 Hz, 2H), 7.40-7.32 (m, 3H), 7.22 (d, *J* = 16.3 Hz, 1H); HRMS (ESI): calculated for C₁₇H₁₂ClNO [M + H]⁺ 282.0680, found 282.0676.



(E)-6-bromo-3-styrylquinolin-4(1H)-one (1f)

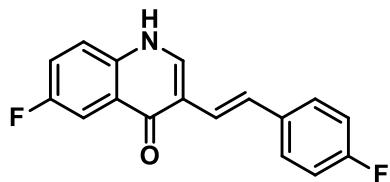
295.8 mg. Yield: 39%. Yellow solid. m.p. > 300 °C. ¹H NMR (400 MHz, DMSO-*d*₆) δ 12.30 (s, 1H), 8.33 (s, 1H), 8.28 (d, *J* = 2.0 Hz, 1H), 7.83-7.76 (m, 2H), 7.55 (d, *J* = 8.8 Hz, 1H), 7.51 (d, *J* = 7.6 Hz, 2H), 7.36 (t, *J* = 7.6 Hz, 2H), 7.24-7.18 (m, 2H); ¹³C NMR (150 MHz, DMSO-*d*₆) δ 173.8, 139.2, 138.3, 137.5, 134.1, 128.7, 127.5, 127.2, 126.9, 126.7, 125.8, 123.7, 121.1, 117.2, 116.1; IR (KBr), ν (cm⁻¹) 3416, 2991, 2025, 1614, 1385, 1069, 812, 621, 523; HRMS (ESI): calculated for C₁₇H₁₂BrNO [M + H]⁺ 326.0175, found 326.0175.



(E)-6-bromo-3-(4-ethoxystyryl)quinolin-4(1H)-one (1g)

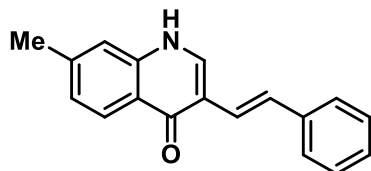
343.2 mg. Yield: 36%. Yellow solid. m.p. > 300 °C. ¹H NMR (400 MHz, CF₃COOD) δ 8.83 (s, 1H), 8.63 (d, *J* = 1.3 Hz, 1H), 8.05-8.03 (m, 1H), 7.85 (d, *J* = 9.0 Hz, 1H), 7.47 (d, *J* = 8.6 Hz, 2H), 7.14 (s, 2H), 7.00 (d, *J* = 8.6 Hz, 2H), 4.25 (q, *J* = 7.0 Hz, 2H), 1.42 (t, *J* = 7.1 Hz, 3H); ¹³C NMR (100 MHz, CF₃COOD) δ 164.1, 157.9, 141.8, 138.5, 137.2, 136.4, 129.8, 128.4, 125.7, 123.8, 120.7, 120.5, 118.8, 116.0, 112.6,

66.0, 12.5; IR (KBr), ν (cm^{-1}) 3526, 3045, 1506, 1252, 1043, 960, 814, 528; HRMS (ESI): calculated for $\text{C}_{19}\text{H}_{16}\text{BrNO}_2$ $[\text{M} + \text{H}]^+$ 370.0437, found 370.0435.



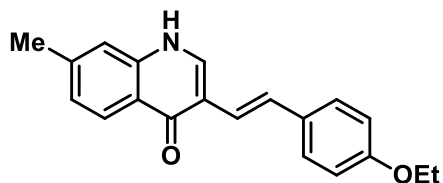
(E)-6-fluoro-3-(4-fluorostyryl)quinolin-4(1H)-one (1h)

243.5 mg. Yield: 36%. Yellow solid. m.p. $> 300\text{ }^{\circ}\text{C}$. ^1H NMR (600 MHz, $\text{DMSO}-d_6$) δ 12.29 (s, 1H), 8.30 (s, 1H), 7.84-7.83 (m, 1H), 7.80 (d, $J = 16.5$ Hz, 1H), 7.66-7.64 (m, 1H), 7.58-7.52 (m, 3H), 7.18 (t, $J = 8.7$ Hz, 2H), 7.14 (d, $J = 16.3$ Hz, 1H); ^{13}C NMR (150 MHz, $\text{DMSO}-d_6$) δ 174.3 (d, $^4J = 2.0$ Hz), 161.2 (d, $^1J = 244.3$ Hz), 158.5 (d, $^1J = 242.1$ Hz), 139.0, 135.3, 134.9 (d, $^4J = 2.8$ Hz), 127.5 (d, $^3J = 7.8$ Hz), 126.4 (d, $^3J = 6.3$ Hz), 125.8, 123.8 (d, $^5J = 1.4$ Hz), 121.2 (d, $^3J = 8.2$ Hz), 120.3 (d, $^2J = 25.8$ Hz), 116.0, 115.5 (d, $^2J = 21.3$ Hz), 109.3 (d, $^2J = 21.2$ Hz); IR (KBr), ν (cm^{-1}) 3414, 3003, 2841, 1506, 1238, 1146, 970, 824, 569; HRMS (ESI): calculated for $\text{C}_{17}\text{H}_{11}\text{F}_2\text{NO}$ $[\text{M} + \text{H}]^+$ 284.0882, found 284.0876.



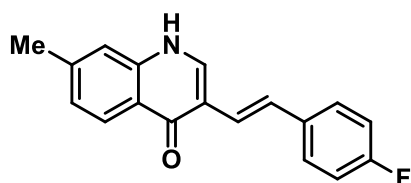
(E)-7-methyl-3-styrylquinolin-4(1H)-one (1i)

214.1 mg. Yield: 32%. Yellow solid. m.p. $294.7\text{--}295.6\text{ }^{\circ}\text{C}$. ^1H NMR (400 MHz, $\text{DMSO}-d_6$) δ 12.01 (s, 1H), 8.22 (s, 1H), 8.09 (d, $J = 8.4$ Hz, 1H), 7.78 (d, $J = 16.3$ Hz, 1H), 7.50 (d, $J = 7.6$ Hz, 2H), 7.37-7.33 (m, 3H), 7.22-7.16 (m, 3H), 2.43 (s, 3H); ^{13}C NMR (100 MHz, $\text{DMSO}-d_6$) δ 175.1, 141.6, 138.8, 138.6, 138.5, 128.6, 126.7, 126.4, 125.7, 125.4, 125.2, 124.2, 123.4, 117.5, 116.6, 21.2; IR (KBr), ν (cm^{-1}) 3416, 3080, 2920, 1512, 1375, 1229, 960, 743, 691, 500; HRMS (ESI): calculated for $\text{C}_{18}\text{H}_{15}\text{NO}$ $[\text{M} + \text{H}]^+$ 262.1227, found 262.1222.



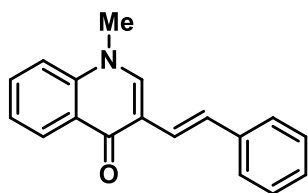
(E)-3-(4-ethoxystyryl)-7-methylquinolin-4(1H)-one (1j)

265.4 mg. Yield: 36%. White solid. m.p. 284.0-284.7 °C. ^1H NMR (400 MHz, CF_3COOD) δ 8.69 (s, 1H), 8.34 (d, $J = 8.7$ Hz, 1H), 7.71 (s, 1H), 7.63 (d, $J = 8.7$ Hz, 1H), 7.46 (d, $J = 8.3$ Hz, 2H), 7.17-7.07 (m, 2H), 6.99 (d, $J = 8.4$ Hz, 2H), 4.26 (q, $J = 6.9$ Hz, 2H), 2.58 (s, 3H), 1.41 (t, $J = 6.9$ Hz, 3H); ^{13}C NMR (100 MHz, CF_3COOD) δ 165.2, 157.7, 148.4, 140.8, 138.3, 136.3, 131.3, 130.1, 128.2, 122.7, 117.9, 117.6, 117.5, 116.1, 113.2, 66.1, 20.1, 12.5; IR (KBr), ν (cm^{-1}) 3416, 3057, 2874, 1616, 1520, 1387, 1074, 750, 609, 492; HRMS (ESI): calculated for $\text{C}_{20}\text{H}_{19}\text{NO}_2$ $[\text{M} + \text{H}]^+$ 306.1489, found 306.1484.



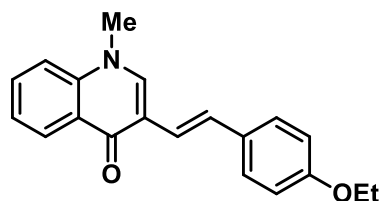
(E)-3-(4-fluorostyryl)-7-methylquinolin-4(1H)-one (1k)

226.1 mg. Yield: 32%. Yellow solid. m.p. > 300 °C. ^1H NMR (600 MHz, $\text{DMSO}-d_6$) δ 12.01 (s, 1H), 8.20 (s, 1H), 8.08 (d, $J = 8.2$ Hz, 1H), 7.77 (d, $J = 16.3$ Hz, 1H), 7.56-7.48 (m, 2H), 7.32 (s, 1H), 7.19-7.10 (m, 4H), 2.42 (d, $J = 12.6$ Hz, 3H); ^{13}C NMR (150 MHz, $\text{DMSO}-d_6$) δ 175.1, 161.1 (d, $^1J = 243.7$ Hz), 141.6, 138.7, 138.6, 135.1 (d, $^4J = 2.8$ Hz), 127.4 (d, $^3J = 7.8$ Hz), 125.4, 125.2, 125.2, 124.2, 123.4, 117.5, 116.5, 115.5 (d, $^2J = 21.2$ Hz), 21.2; IR (KBr), ν (cm^{-1}) 3524, 3072, 2910, 1508, 1464, 1229, 960, 770, 690, 494; HRMS (ESI): calculated for $\text{C}_{18}\text{H}_{14}\text{FNO}$ $[\text{M} + \text{H}]^+$ 280.1132, found 280.1129.



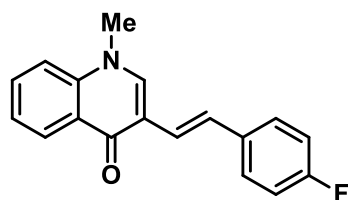
(E)-1-methyl-3-styrylquinolin-4(1H)-one (1l)¹

109.7 mg. Yield: 31%. White solid. m.p. 137.8-138.7 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.44 (d, $J = 8.0$ Hz, 1H), 7.57 (d, $J = 16.3$ Hz, 1H), 7.52-7.49 (m, 2H), 7.45 (d, $J = 7.6$ Hz, 2H), 7.32-7.28 (m, 3H), 7.23-7.19 (m, 2H), 7.00 (d, $J = 16.3$ Hz, 1H), 3.68 (s, 3H); HRMS (ESI): calculated for $\text{C}_{18}\text{H}_{15}\text{NO}$ $[\text{M} + \text{H}]^+$ 262.1227, found 262.1223.



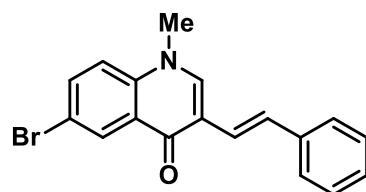
(E)-3-(4-ethoxystyryl)-1-methylquinolin-4(1H)-one (1m)⁵

114.4 mg. Yield: 26%. White solid. m.p. 140.7-142.0 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.45 (d, *J* = 8.0 Hz, 1H), 7.54-7.47 (m, 3H), 7.37 (d, *J* = 8.4 Hz, 2H), 7.30 (t, *J* = 7.5 Hz, 1H), 7.26-7.23 (m, 1H), 6.90 (d, *J* = 16.3 Hz, 1H), 6.82 (d, *J* = 8.5 Hz, 2H), 4.01 (q, *J* = 6.9 Hz, 2H), 3.70 (s, 3H), 1.40 (t, *J* = 7.0 Hz, 3H); HRMS (ESI): calculated for C₂₀H₁₉NO₂ [M + H]⁺ 306.1489, found 306.1486.



(E)-3-(4-fluorostyryl)-1-methylquinolin-4(1H)-one (1n)⁵

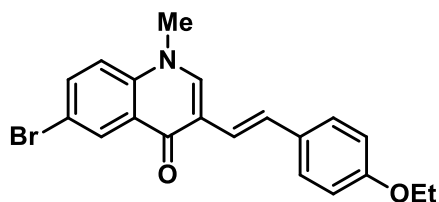
122.8 mg. Yield: 35%. Yellow solid. m.p. 162.6-163.0 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.41 (d, *J* = 8.0 Hz, 1H), 7.53-7.46 (m, 3H), 7.35-7.31 (m, 2H), 7.29-7.26 (m, 1H), 7.17 (d, *J* = 8.5 Hz, 1H), 6.94 (t, *J* = 8.6 Hz, 2H), 6.82 (d, *J* = 16.3 Hz, 1H), 3.66 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 176.0, 161.9 (d, ¹*J* = 247.1 Hz), 142.4, 139.1, 134.4 (d, ⁴*J* = 3.2 Hz), 131.7, 127.6 (d, ³*J* = 7.9 Hz), 126.8, 126.7, 126.5, 123.7, 122.5 (d, ⁵*J* = 2.2 Hz), 117.9, 115.4, 115.4 (d, ²*J* = 21.6 Hz), 40.8; HRMS (ESI): calculated for C₁₈H₁₄FNO [M + H]⁺ 280.1132, found 280.1129.



(E)-6-bromo-1-methyl-3-styrylquinolin-4(1H)-one (1o)

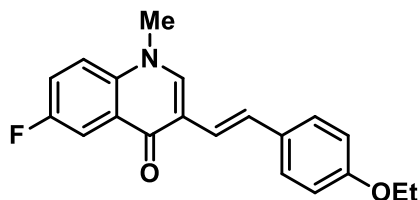
144.1 mg. Yield: 33%. Yellow solid. m.p. 228.1-230.6 °C. ¹H NMR (400 MHz, DMSO-*d*₆) δ 8.41 (s, 1H), 8.33 (d, *J* = 2.0 Hz, 1H), 7.86 (dd, *J* = 9.1, 2.2 Hz, 1H), 7.73-7.65 (m, 2H), 7.49 (d, *J* = 7.7 Hz, 2H), 7.36 (t, *J* = 7.5 Hz, 2H), 7.23 (t, *J* = 7.3 Hz, 1H), 7.14 (d, *J* = 16.2 Hz, 1H), 3.89 (s, 3H); ¹³C NMR (100 MHz, DMSO-*d*₆) δ 173.3, 144.5, 138.2, 138.0, 134.2, 128.7, 127.9, 127.4, 127.2, 127.0, 125.8, 123.0,

119.6, 117.2, 116.6, 40.5; IR (KBr), ν (cm⁻¹) 3416, 3057, 1580, 1483, 1333, 1115, 972, 752, 694, 519; HRMS (ESI): calculated for C₁₈H₁₄BrNO [M + H]⁺ 340.0332, found 340.0331.



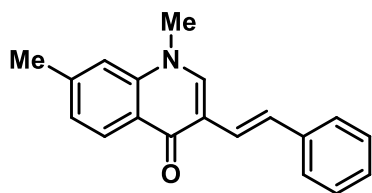
(E)-6-bromo-3-(4-ethoxystyryl)-1-methylquinolin-4(1H)-one (1p)

176.2 mg. Yield: 33%. Yellow solid. m.p. 191.6-192.7 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.36 (d, J = 2.4 Hz, 1H), 7.43 (dd, J = 9.0, 2.3 Hz, 1H), 7.36-7.26 (m, 4H), 6.99 (d, J = 9.0 Hz, 1H), 6.80 (d, J = 8.6 Hz, 2H), 6.72 (d, J = 16.3 Hz, 1H), 4.00 (q, J = 7.0 Hz, 2H), 3.62 (s, 3H), 1.41 (t, J = 6.9 Hz, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 174.5, 158.5, 141.7, 137.7, 134.3, 130.6, 129.1, 127.9, 127.5, 127.3, 119.8, 118.8, 117.5, 117.4, 114.7, 63.5, 41.0, 14.9; IR (KBr), ν (cm⁻¹) 3410, 2978, 2881, 1580, 1472, 1250, 1047, 810, 600; HRMS (ESI): calculated for C₂₀H₁₈BrNO₂ [M + H]⁺ 384.0594, found 384.0592.



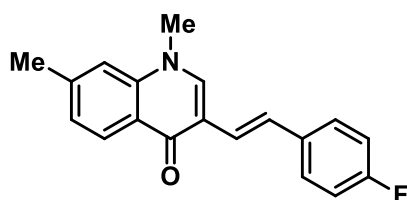
(E)-3-(4-ethoxystyryl)-6-fluoro-1-methylquinolin-4(1H)-one (1q)

130.9 mg. Yield: 23%. Yellow solid. m.p. 148.6-149.3 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.98 (d, J = 9.1 Hz, 1H), 7.44-7.40 (m, 2H), 7.32 (d, J = 8.5 Hz, 2H), 7.20-7.19 (m, 2H), 6.82-6.76 (m, 3H), 4.01 (q, J = 7.0 Hz, 2H), 3.69 (s, 3H), 1.40 (t, J = 6.9 Hz, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 175.0 (d, ⁴ J = 2.4 Hz), 159.1 (d, ¹ J = 246.2 Hz), 158.4, 141.7, 135.6, 130.7, 127.9, 127.7 (d, ³ J = 6.9 Hz), 127.4, 120.0 (d, ² J = 25.3 Hz), 120.0, 117.8, 117.6 (d, ³ J = 7.8 Hz), 114.6, 111.3 (d, ² J = 22.7 Hz), 63.5, 41.1, 14.9; IR (KBr), ν (cm⁻¹) 3414, 3003, 1649, 1531, 1356, 1279, 1194, 1067, 937, 841, 621, 492; HRMS (ESI): calculated for C₂₀H₁₈FNO₂ [M + H]⁺ 324.1395, found 324.1395.



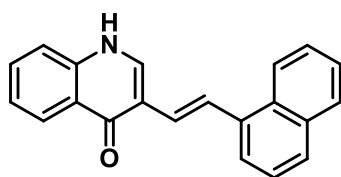
(E)-1,7-dimethyl-3-styrylquinolin-4(1H)-one (1r)

97.7 mg. Yield: 23%. Yellow soild. m.p. 166.3-167.2 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.30 (d, $J = 8.2$ Hz, 1H), 7.52 (d, $J = 16.3$ Hz, 1H), 7.43-7.40 (m, 3H), 7.29 (t, $J = 7.5$ Hz, 2H), 7.21-7.17 (m, 1H), 7.09 (d, $J = 8.2$ Hz, 1H), 6.94 (d, $J = 16.2$ Hz, 2H), 3.64 (s, 3H), 2.37 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 176.0, 142.5, 142.1, 139.3, 138.4, 128.6, 127.7, 127.0, 126.8, 126.3, 125.4, 124.6, 122.8, 117.8, 115.3, 40.9, 22.1; IR (KBr), ν (cm^{-1}) 3524, 3300, 1732, 1574, 1452, 1248, 1121, 968, 748, 694, 554; HRMS (ESI): calculated for $\text{C}_{19}\text{H}_{17}\text{NO}$ $[\text{M} + \text{H}]^+$ 276.1383, found 276.1383.



(E)-3-(4-fluorostyryl)-1,7-dimethylquinolin-4(1H)-one (1s)

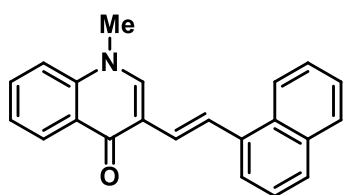
114.3 mg. Yield: 25%. Yellow soild. m.p. 206.1-207.3 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.34 (d, $J = 8.4$ Hz, 1H), 7.53 (d, $J = 16.3$ Hz, 1H), 7.49 (s, 1H), 7.39-7.36 (m, 2H), 7.15 (d, $J = 8.4$ Hz, 1H), 7.02 (s, 1H), 6.97 (t, $J = 8.7$ Hz, 2H), 6.88 (d, $J = 16.4$ Hz, 1H), 3.71 (s, 3H), 2.42 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 176.1, 162.1 (d, $^1J = 247.0$ Hz), 142.7, 142.2, 139.5, 134.6 (d, $^4J = 2.9$ Hz), 127.7 (d, $^3J = 8.1$ Hz), 127.0, 126.8, 125.6, 124.7, 122.6 (d, $^5J = 2.0$ Hz), 118.0, 115.5 (d, $^2J = 21.4$ Hz), 115.2, 40.9, 22.2; IR (KBr), ν (cm^{-1}) 3645, 3306, 3045, 1873, 1576, 1504, 1323, 1219, 1121, 970, 771, 698, 525; HRMS (ESI): calculated for $\text{C}_{19}\text{H}_{16}\text{FNO}$ $[\text{M} + \text{H}]^+$ 294.1289, found 294.1289.



(E)-3-(2-(naphthalen-1-yl)vinyl)quinolin-4(1H)-one (1t)

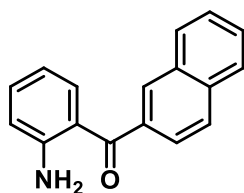
291.2 mg. Yield: 41%. Yellow soild. m.p. 243.9-244.2 °C. ^1H NMR (400 MHz,

DMSO-*d*₆) δ 12.53 (s, 1H), 8.68 (d, *J* = 16.0 Hz, 1H), 8.51 (s, 1H), 8.34 (d, *J* = 8.3 Hz, 1H), 8.28 (d, *J* = 8.0 Hz, 1H), 7.94 (d, *J* = 8.0 Hz, 1H), 7.84-7.82 (m, 2H), 7.71-7.65 (m, 2H), 7.61-7.52 (m, 3H), 7.42-7.39 (m, 1H), 7.32 (d, *J* = 16.0 Hz, 1H); ¹³C NMR (100 MHz, DMSO-*d*₆) δ 174.7, 139.7, 138.6, 135.6, 133.5, 131.6, 130.7, 128.5, 127.1, 126.5, 126.1, 125.9, 125.9, 125.4, 125.2, 123.9, 123.7, 123.4, 122.2, 118.6, 117.0; IR (KBr), ν (cm⁻¹) 3711, 3518, 3304, 3036, 2793, 1736, 1487, 1321, 959, 783, 698, 515; HRMS (ESI): calculated for C₂₁H₁₅NO [M + H]⁺ 298.1227, found 298.1223.



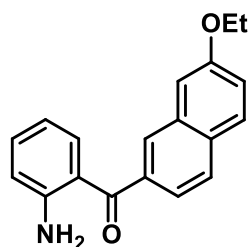
(*E*)-1-methyl-3-(2-(naphthalen-1-yl)vinyl)quinolin-4(1*H*)-one (1u)

126.0 mg. Yield: 33%. Yellow solid. m.p. 181.1-181.9 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.62 (d, *J* = 15.9 Hz, 1H), 8.51 (d, *J* = 7.8 Hz, 1H), 8.33 (d, *J* = 7.8 Hz, 1H), 7.84-7.81 (m, 1H), 7.72 (d, *J* = 8.1 Hz, 1H), 7.67 (d, *J* = 7.1 Hz, 1H), 7.56 (s, 1H), 7.53 (d, *J* = 8.6 Hz, 1H), 7.50-7.45 (m, 2H), 7.41 (t, *J* = 7.7 Hz, 1H), 7.34 (t, *J* = 7.5 Hz, 1H), 7.22 (d, *J* = 8.5 Hz, 1H), 6.97 (d, *J* = 16.0 Hz, 1H), 3.67 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 176.4, 143.2, 139.2, 136.1, 133.8, 131.8, 131.4, 128.5, 127.5, 127.1, 126.9, 126.0, 125.8, 125.6, 124.3, 123.9, 122.8, 118.5, 115.4, 40.9; IR (KBr), ν (cm⁻¹) 3416, 3038, 2924, 1736, 1614, 1495, 1317, 1115, 960, 770, 550; HRMS (ESI): calculated for C₂₂H₁₇NO [M + H]⁺ 312.1383, found 312.1382.



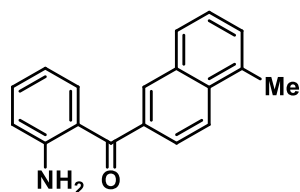
(2-Aminophenyl)(naphthalen-2-yl)methanone (2a)⁶

37.1 mg. Yield: 75%. Yellow solid. m.p. 108.1-109.0 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.13 (s, 1H), 7.94-7.90 (m, 3H), 7.79-7.76 (m, 1H), 7.61-7.51 (m, 3H), 7.34-7.30 (m, 1H), 6.79-7.76 (m, 1H), 6.65-6.61 (m, 1H), 6.09 (s, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 199.1, 151.0, 137.4, 134.7, 134.3, 132.4, 130.2, 129.2, 128.1, 127.9, 127.8, 126.8, 125.9, 118.6, 117.2, 115.7; HRMS (ESI): calculated for C₁₇H₁₃NO [M + H]⁺ 248.1070, found 248.1067.



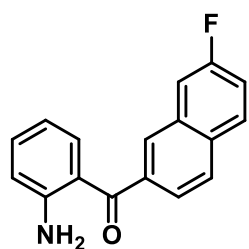
(2-Aminophenyl)(7-ethoxynaphthalen-2-yl)methanone (2b)

48.3 mg. Yield: 83%. Yellow solid. m.p. 104.7-105.2 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.98 (s, 1H), 7.83-7.76 (m, 2H), 7.60 (dd, J = 8.4, 1.7 Hz, 1H), 7.51 (dd, J = 8.0, 1.5 Hz, 1H), 7.31-7.27 (m, 1H), 7.24-7.21 (m, 1H), 7.17-7.16 (m, 1H), 6.75-6.73 (m, 1H), 6.63-6.58 (m, 1H), 6.07 (s, 2H), 4.14 (q, J = 7.0 Hz, 2H), 1.47 (t, J = 7.0 Hz, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 199.3, 157.6, 151.0, 137.9, 134.8, 134.2, 133.8, 130.2, 129.3, 128.9, 127.8, 123.6, 121.0, 118.7, 117.1, 115.7, 107.6, 63.7, 14.9; IR (KBr), ν (cm^{-1}) 3665, 3474, 3348, 1917, 1576, 1232, 1036, 889, 851, 750, 530; HRMS (ESI): calculated for $\text{C}_{19}\text{H}_{17}\text{NO}_2$ $[\text{M} + \text{H}]^+$ 292.1332, found 292.1330.



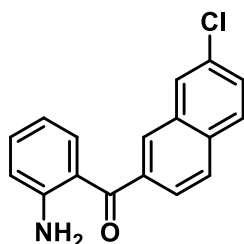
(2-Aminophenyl)(5-methylnaphthalen-2-yl)methanone (2c)

36.6 mg. Yield: 70%. Yellow solid. m.p. 115.1-116.3 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.13 (d, J = 1.3 Hz, 1H), 8.10-8.07 (m, 1H), 7.82 (dd, J = 8.8, 1.8 Hz, 1H), 7.79-7.77 (m, 1H), 7.54-7.52 (m, 1H), 7.47-7.42 (m, 2H), 7.34-7.30 (m, 1H), 6.77 (d, J = 8.5 Hz, 1H), 6.63 (t, J = 7.4 Hz, 1H), 6.10 (s, 2H), 2.74 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 199.1, 151.0, 137.1, 134.7, 134.5, 134.3, 133.9, 132.6, 130.7, 128.5, 127.6, 126.5, 125.7, 124.4, 118.7, 117.2, 115.7, 19.5; IR (KBr), ν (cm^{-1}) 3674, 3464, 3352, 3157, 1720, 1618, 1258, 1157, 750, 677, 525; HRMS (ESI): calculated for $\text{C}_{18}\text{H}_{15}\text{NO}$ $[\text{M} + \text{H}]^+$ 262.1227, found 262.1225.



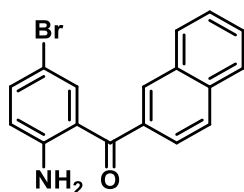
(2-Aminophenyl)(7-fluoronaphthalen-2-yl)methanone (2d)

38.2 mg. Yield: 72%. Yellow solid. m.p. 90.3-91.1 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.04 (s, 1H), 7.94-7.86 (m, 2H), 7.73-7.70 (m, 1H), 7.54-7.48 (m, 2H), 7.38-7.30 (m, 2H), 6.78-6.76 (m, 1H), 6.64-6.60 (m, 1H), 6.15 (s, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 198.8, 161.1 (d, $^1J = 248.2$ Hz), 151.2, 138.5, 134.7, 134.5, 133.3 (d, $^3J = 9.4$ Hz), 131.6, 130.3 (d, $^3J = 9.0$ Hz), 129.1 (d, $^4J = 5.4$ Hz), 128.1, 125.2 (d, $^4J = 2.4$ Hz), 118.3, 118.1 (d, $^2J = 28.3$ Hz), 117.2, 115.7, 112.1 (d, $^2J = 20.7$ Hz); IR (KBr), ν (cm^{-1}) 3476, 3379, 3061, 1919, 1805, 1585, 1448, 1292, 1231, 1155, 847, 754, 615, 471; HRMS (ESI): calculated for $\text{C}_{17}\text{H}_{12}\text{FNO}$ [$\text{M} + \text{H}$] $^+$ 266.0976, found 266.0972.



(2-Aminophenyl)(7-chloronaphthalen-2-yl)methanone (2e)

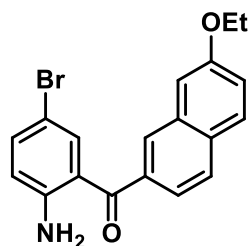
43.3 mg. Yield: 77%. Yellow solid. m.p. 148.1-149.3 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.01 (s, 1H), 7.90 (m, 2H), 7.84 (d, $J = 8.8$ Hz, 1H), 7.77-7.74 (m, 1H), 7.53-7.46 (m, 2H), 7.32 (t, $J = 7.7$ Hz, 1H), 6.77 (d, $J = 8.3$ Hz, 1H), 6.61 (t, $J = 7.5$ Hz, 1H), 6.14 (s, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ = 198.7, 151.2, 138.5, 134.6, 134.6, 133.1, 132.8, 132.6, 129.5, 128.9, 128.6, 128.1, 127.7, 126.1, 118.2, 117.2, 115.8; IR (KBr), ν (cm^{-1}) 3665, 3464, 3358, 3180, 1919, 1630, 1448, 1335, 1256, 1144, 968, 854, 748, 656, 532; HRMS (ESI): calculated for $\text{C}_{17}\text{H}_{12}\text{ClNO}$ [$\text{M} + \text{H}$] $^+$ 282.0680, found 282.0678.



(2-Amino-5-bromophenyl)(naphthalen-2-yl)methanone (2f)

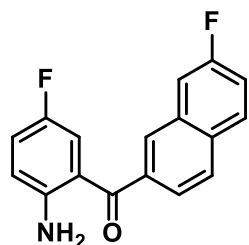
56.6 mg. Yield: 87%. Yellow solid. m.p. 127.2-128.0 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.13 (s, 1H), 7.96-7.90 (m, 3H), 7.77 (d, $J = 8.3$ Hz, 1H), 7.64-7.55 (m, 3H), 7.38-7.35 (m, 1H), 6.69-6.64 (m, 1H), 6.12 (s, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 197.8, 149.8, 136.9, 136.6, 136.3, 134.8, 132.4, 130.2, 129.3, 128.4, 128.1, 127.9,

126.9, 125.6, 119.8, 118.9, 106.8; HRMS (ESI): calculated for $C_{17}H_{12}BrNO$ $[M + H]^+$ 326.0175, found 326.0171.



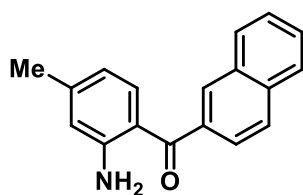
(2-Amino-5-bromophenyl)(7-ethoxynaphthalen-2-yl)methanone (2g)

64.9 mg. Yield: 88%. Yellow solid. m.p. 130.8-131.9 °C. 1H NMR (400 MHz, $CDCl_3$) δ 7.97 (s, 1H), 7.84-7.77 (m, 2H), 7.61 (d, $J = 2.3$ Hz, 1H), 7.58-7.56 (m, 1H), 7.36-7.33 (m, 1H), 7.26-7.23 (m, 1H), 7.19-7.18 (m, 1H), 6.64 (d, $J = 8.8$ Hz, 1H), 6.07 (s, 2H), 4.14 (q, $J = 7.0$ Hz, 2H), 1.48 (t, $J = 7.0$ Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 198.2, 157.7, 149.8, 137.1, 136.8, 136.3, 133.8, 130.3, 129.3, 128.9, 128.1, 123.4, 121.3, 120.0, 118.9, 107.7, 106.8, 63.7, 14.9; IR (KBr), ν (cm^{-1}) 3427, 3321, 2976, 2868, 1906, 1620, 1304, 1221, 1121, 883, 818, 719, 625, 519; HRMS (ESI): calculated for $C_{19}H_{16}BrNO_2$ $[M + H]^+$ 370.0437, found 370.0432.



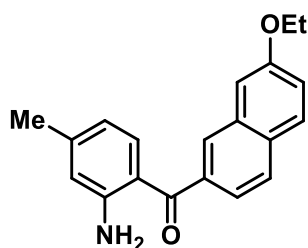
(2-Amino-5-fluorophenyl)(7-fluoronaphthalen-2-yl)methanone (2h)

52.1 mg. Yield: 92%. Yellow solid. m.p. 131.7-132.0 °C. 1H NMR (400 MHz, $CDCl_3$) δ 8.05 (s, 1H), 7.93-7.87 (m, 2H), 7.71-7.69 (m, 1H), 7.53 (dd, $J = 9.5, 2.4$ Hz, 1H), 7.39-7.34 (m, 1H), 7.18 (dd, $J = 9.6, 2.9$ Hz, 1H), 7.11-7.06 (m, 1H), 6.74-6.71 (m, 1H), 5.97 (s, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 197.8 (d, $^4J = 1.8$ Hz), 161.2 (d, $^1J = 248.6$ Hz), 153.3 (d, $^1J = 236.2$ Hz), 147.6, 137.8, 133.3 (d, $^3J = 9.3$ Hz), 131.7, 130.4 (d, $^3J = 9.1$ Hz), 129.2 (d, $^4J = 5.5$ Hz), 128.3, 124.9 (d, $^4J = 2.6$ Hz), 122.5 (d, $^2J = 23.6$ Hz), 119.0 (d, $^2J = 22.8$ Hz), 118.4 (d, $^2J = 25.4$ Hz), 118.4 (d, $^3J = 6.8$ Hz), 117.9 (d, $^3J = 5.8$ Hz), 112.2 (d, $^2J = 20.7$ Hz); IR (KBr), ν (cm^{-1}) 3447, 3337, 1620, 1551, 1460, 1373, 1234, 1194, 1103, 980, 837, 687, 559, 476; HRMS (ESI): calculated for $C_{17}H_{11}F_2NO$ $[M + H]^+$ 284.0882, found 284.0883.



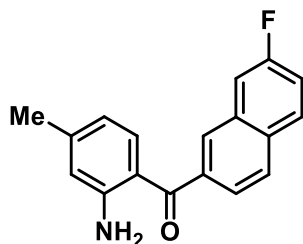
(2-Amino-4-methylphenyl)(naphthalen-2-yl)methanone (2i)

44.4 mg. Yield: 85%. Yellow solid. m.p. 139.7-141.7 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.11 (s, 1H), 7.93-7.90 (m, 3H), 7.76 (d, J = 8.4 Hz, 1H), 7.60-7.53 (m, 2H), 7.42 (d, J = 8.2 Hz, 1H), 6.58 (s, 1H), 6.44 (d, J = 8.1 Hz, 1H), 6.14 (s, 2H), 2.31 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 198.6, 151.3, 145.4, 137.7, 134.9, 134.6, 132.5, 129.8, 129.1, 128.0, 127.9, 127.7, 126.7, 125.9, 117.2, 116.4, 21.8; IR (KBr), ν (cm^{-1}) 3651, 3454, 3331, 3161, 2922, 1911, 1583, 1227, 1196, 906, 775, 739, 571; HRMS (ESI): calculated for $\text{C}_{18}\text{H}_{15}\text{NO}$ $[\text{M} + \text{H}]^+$ 262.1227, found 262.1224.



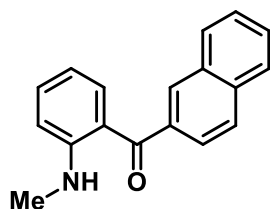
(2-Amino-4-methylphenyl)(7-ethoxynaphthalen-2-yl)methanone (2j)

54.3 mg. Yield: 89%. Yellow solid. m.p. 104.2-105.1 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.96 (s, 1H), 7.81-7.75 (m, 2H), 7.57 (d, J = 8.1 Hz, 1H), 7.40 (d, J = 8.0 Hz, 1H), 7.22 (d, J = 8.8 Hz, 1H), 7.15 (s, 1H), 6.54 (s, 1H), 6.41 (d, J = 7.9 Hz, 1H), 6.12 (s, 2H), 4.15-4.10 (m, 2H), 2.27 (s, 3H), 1.46 (t, J = 6.7 Hz, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 198.9, 157.6, 151.3, 145.3, 138.2, 134.9, 133.7, 130.0, 129.3, 128.5, 127.7, 123.6, 120.9, 117.2, 117.1, 116.4, 107.5, 63.7, 21.8, 14.9; IR (KBr), ν (cm^{-1}) 3663, 3477, 3342, 2986, 1913, 1572, 1520, 1236, 1043, 837, 731, 546; HRMS (ESI): calculated for $\text{C}_{20}\text{H}_{19}\text{NO}_2$ $[\text{M} + \text{H}]^+$ 306.1489, found 306.1489.



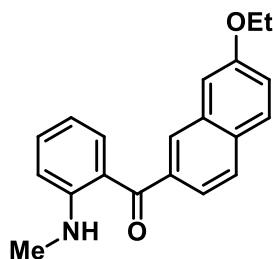
(2-Amino-4-methylphenyl)(7-fluoronaphthalen-2-yl)methanone (2k)

41.9 mg. Yield: 75%. Yellow solid. m.p. 173.3-173.7 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.02 (s, 1H), 7.95-7.84 (m, 2H), 7.69 (d, $J = 8.4$ Hz, 1H), 7.53-7.50 (m, 1H), 7.39-7.32 (m, 2H), 6.57 (s, 1H), 6.43 (d, $J = 8.2$ Hz, 1H), 6.18 (s, 2H), 2.30 (s, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 198.4, 161.1 (d, $^1J = 238.1$ Hz), 151.5, 145.7, 138.8, 134.8, 133.3 (d, $^3J = 9.2$ Hz), 131.43, 130.3 (d, $^3J = 9.0$ Hz), 128.8, 128.8, 128.0, 125.2 (d, $^4J = 2.5$ Hz), 118.0 (d, $^2J = 25.5$ Hz), 117.2 (d, $^4J = 1.5$ Hz), 116.1, 112.0 (d, $^2J = 20.7$ Hz), 21.8; IR (KBr), ν (cm^{-1}) 3477, 3341, 2918, 1618, 1229, 851, 773, 544, 478; HRMS (ESI): calculated for $\text{C}_{18}\text{H}_{14}\text{FNO}$ $[\text{M} + \text{H}]^+$ 280.1132, found 280.1130.



(2-(Methylamino)phenyl)(naphthalen-2-yl)methanone (2l)⁷

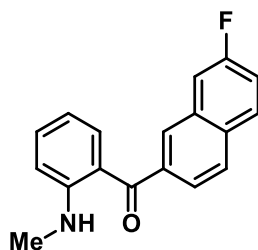
41.8 mg. Yield: 80%. Yellow solid. m.p. 100.7-101.3 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.56 (s, 1H), 8.09 (s, 1H), 7.94-7.90 (m, 3H), 7.77-7.74 (m, 1H), 7.60-7.53 (m, 3H), 7.44 (t, $J = 7.8$ Hz, 1H), 6.80 (d, $J = 8.5$ Hz, 1H), 6.57 (t, $J = 7.4$ Hz, 1H), 3.00 (d, $J = 4.9$ Hz, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 199.3, 152.8, 137.9, 135.6, 135.1, 134.5, 132.5, 129.8, 129.1, 128.0, 127.9, 127.6, 126.7, 125.9, 117.6, 113.8, 111.2, 29.6; HRMS (ESI): calculated for $\text{C}_{18}\text{H}_{15}\text{NO}$ $[\text{M} + \text{H}]^+$ 262.1227, found 262.1226.



(7-Ethoxynaphthalen-2-yl)(2-(methylamino)phenyl)methanone (2m)

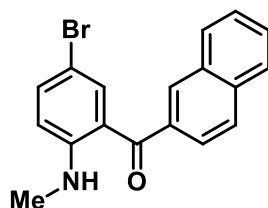
56.7 mg. Yield: 93%. Yellow solid. m.p. 92.9-94.3 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.52 (d, $J = 4.0$ Hz, 1H), 7.93 (s, 1H), 7.81-7.75 (m, 2H), 7.56-7.53 (m, 2H), 7.42-7.38 (m, 1H), 7.23-7.20 (m, 1H), 7.15 (d, $J = 2.2$ Hz, 1H), 6.76 (d, $J = 8.5$ Hz, 1H), 6.53 (t, $J = 7.5$ Hz, 1H), 4.12 (q, $J = 7.0$ Hz, 2H), 2.96 (d, $J = 5.0$ Hz, 3H), 1.46

(t, $J = 7.0$ Hz, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 199.5, 157.6, 152.8, 138.4, 135.7, 135.1, 133.7, 129.9, 129.3, 128.5, 127.7, 123.6, 120.8, 117.7, 113.8, 111.2, 107.5, 63.6, 29.6, 14.9; IR (KBr), ν (cm^{-1}) 3418, 2928, 1917, 1616, 1391, 1238, 843, 743, 563; HRMS (ESI): calculated for $\text{C}_{20}\text{H}_{19}\text{NO}_2$ $[\text{M} + \text{H}]^+$ 306.1489, found 306.1487.



(7-Fluoronaphthalen-2-yl)(2-(methylamino)phenyl)methanone (2n)

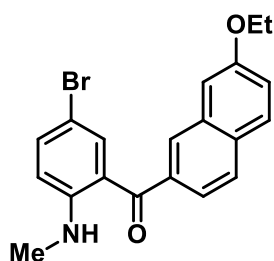
47.4 mg. Yield: 85%. Yellow solid. m.p. 114.3-114.7 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.60 (s, 1H), 8.00 (s, 1H), 7.92-7.86 (m, 2H), 7.69-7.67 (m, 1H), 7.53-7.50 (m, 2H), 7.46-7.42 (m, 1H), 7.37-7.33 (m, 1H), 6.80 (d, $J = 8.5$ Hz, 1H), 6.56 (t, $J = 7.5$ Hz, 1H), 3.00 (d, $J = 5.1$ Hz, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 199.0, 161.1 (d, $^1J = 248.4$ Hz), 152.9, 139.0, 135.6, 135.3, 133.3 (d, $^3J = 9.3$ Hz), 131.3, 130.3 (d, $^3J = 9.1$ Hz), 128.7 (d, $^4J = 5.4$ Hz), 128.0, 125.2 (d, $^4J = 2.5$ Hz), 117.9 (d, $^2J = 25.4$ Hz), 117.3, 113.9, 112.0 (d, $^2J = 20.4$ Hz), 111.3, 29.6; IR (KBr), ν (cm^{-1}) 3526, 3333, 2891, 1570, 1506, 1231, 852, 750, 525; HRMS (ESI): calculated for $\text{C}_{18}\text{H}_{14}\text{FNO}$ $[\text{M} + \text{H}]^+$ 280.1132, found 280.1132.



(5-Bromo-2-(methylamino)phenyl)(naphthalen-2-yl)methanone (2o)

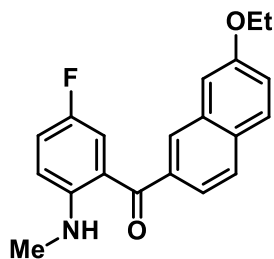
58.3 mg. Yield: 86%. Yellow solid. m.p. 131.4-132.3 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.47 (d, $J = 3.7$ Hz, 1H), 8.08 (s, 1H), 7.95-7.90 (m, 3H), 7.73-7.70 (m, 1H), 7.65 (d, $J = 2.5$ Hz, 1H), 7.62-7.55 (m, 2H), 7.49 (dd, $J = 9.0, 2.3$ Hz, 1H), 6.69 (d, $J = 9.1$ Hz, 1H), 2.97 (d, $J = 5.1$ Hz, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 198.2, 151.6, 137.6, 137.2, 137.1, 134.7, 132.5, 129.9, 129.2, 128.3, 127.9, 126.9, 125.7, 119.0, 113.3, 105.2, 29.7; IR (KBr), ν (cm^{-1}) 3686, 3358, 3146, 1726, 1626, 1504, 1167, 1134, 924,

802, 559; HRMS (ESI): calculated for $C_{18}H_{14}BrNO$ $[M + H]^+$ 340.0332, found 340.0335.



(5-Bromo-2-(methylamino)phenyl)(7-ethoxynaphthalen-2-yl)methanone (2p)

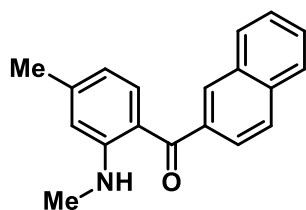
69.0 mg. Yield: 90%. Yellow solid. m.p. 167.3-167.7 °C. 1H NMR (400 MHz, $CDCl_3$) δ 8.44 (d, $J = 4.4$ Hz, 1H), 7.93 (s, 1H), 7.83 (d, $J = 8.4$ Hz, 1H), 7.78 (d, $J = 8.9$ Hz, 1H), 7.64-7.63 (m, 1H), 7.54-7.52 (m, 1H), 7.47-7.44 (m, 1H), 7.25-7.23 (m, 1H), 7.19-7.18 (m, 1H), 6.66 (d, $J = 9.1$ Hz, 1H), 4.15 (q, $J = 7.0$ Hz, 2H), 2.95 (t, $J = 6.4$ Hz, 3H), 1.48 (t, $J = 7.0$ Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 198.5, 157.7, 151.5, 137.6, 137.6, 137.1, 133.8, 130.1, 129.3, 128.6, 128.0, 123.4, 121.2, 119.1, 113.2, 107.6, 105.1, 63.7, 29.7, 14.9; IR (KBr), ν (cm^{-1}) 3684, 3329, 2922, 1624, 1267, 1227, 918, 808, 569; HRMS (ESI): calculated for $C_{20}H_{18}BrNO_2$ $[M + H]^+$ 384.0594, found 384.0595.



(7-Ethoxynaphthalen-2-yl)(5-fluoro-2-(methylamino)phenyl)methanone (2q)

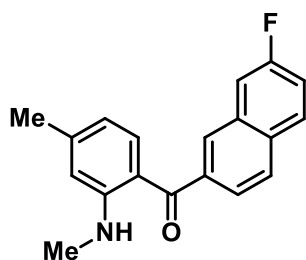
62.0 mg. Yield: 96%. Yellow solid. m.p. 112.3-113.4 °C. 1H NMR (400 MHz, $CDCl_3$) δ 8.29 (d, $J = 4.2$ Hz, 1H), 7.95 (s, 1H), 7.84-7.77 (m, 2H), 7.57-7.55 (m, 1H), 7.29-7.16 (m, 4H), 6.73-6.69 (m, 1H), 4.15 (q, $J = 7.0$ Hz, 2H), 2.96 (d, $J = 5.0$ Hz, 3H), 1.48 (t, $J = 7.0$ Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ 198.5 (d, $^4J = 2.4$ Hz), 157.7, 152.3 (d, $^1J = 234.1$ Hz), 149.6, 137.7, 133.7, 130.1, 129.3, 128.6, 127.9, 123.4, 122.8 (d, $^2J = 20.0$ Hz), 121.1, 120.1 (d, $^2J = 22.7$ Hz), 117.2 (d, $^3J = 5.5$ Hz), 112.3 (d, $^3J = 6.9$ Hz), 107.5, 63.7, 29.9, 14.9; IR (KBr), ν (cm^{-1}) 3674, 3368, 3140, 2984,

1952, 1520, 1389, 1227, 943, 847, 555; HRMS (ESI): calculated for C₂₀H₁₈FNO₂ [M + H]⁺ 324.1395, found 324.1391.



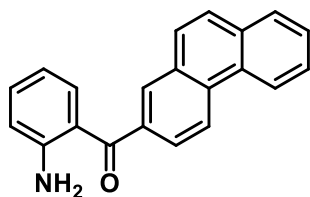
(4-Methyl-2-(methylanino)phenyl)(naphthalen-2-yl)methanone (2r)

52.3 mg. Yield: 95%. Yellow soild. m.p. 122.9-123.4 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.66 (s, 1H), 8.07 (s, 1H), 7.93-7.89 (m, 3H), 7.74-7.72 (m, 1H), 7.59-7.53 (m, 2H), 7.46 (d, *J* = 8.2 Hz, 1H), 6.61 (s, 1H), 6.39 (d, *J* = 8.2 Hz, 1H), 3.00 (d, *J* = 5.0 Hz, 3H), 2.38 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 198.8, 153.1, 146.3, 138.2, 135.8, 134.4, 132.5, 129.5, 129.0, 128.0, 127.9, 127.5, 126.7, 125.9, 115.5, 115.3, 111.4, 29.6, 22.4; IR (KBr), ν (cm⁻¹) 3665, 3331, 2930, 1732, 1556, 1269, 820, 775, 565; HRMS (ESI): calculated for C₁₉H₁₇NO [M + H]⁺ 276.1383, found 276.1383.



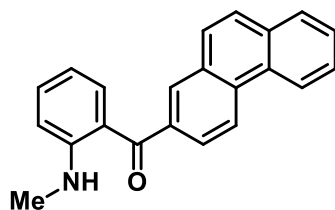
(7-Fluoronaphthalen-2-yl)(4-methyl-2-(methylanino)phenyl)methanone (2s)

45.7 mg. Yield: 78%. Yellow soild. m.p. 126.8-127.7 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.67 (d, *J* = 3.3 Hz, 1H), 7.97 (s, 1H), 7.91-7.86 (m, 2H), 7.65 (d, *J* = 8.1 Hz, 1H), 7.52-7.49 (m, 1H), 7.40 (d, *J* = 8.1 Hz, 1H), 7.37-7.32 (m, 1H), 6.60 (s, 1H), 6.37 (d, *J* = 8.2 Hz, 1H), 2.99 (d, *J* = 5.0 Hz, 3H), 2.37 (s, 3H); ¹³C NMR (100 MHz, CDCl₃) δ 198.5, 161.1 (d, ¹*J* = 248.2 Hz), 153.2, 146.5, 139.3, 135.7, 133.3 (d, ³*J* = 9.5 Hz), 131.3, 130.3 (d, ³*J* = 9.1 Hz), 128.5 (d, ⁴*J* = 5.5 Hz), 128.0, 125.3 (d, ⁴*J* = 2.5 Hz), 117.8 (d, ²*J* = 25.5 Hz), 115.4, 115.3, 112.0 (d, ²*J* = 20.6 Hz), 111.4, 29.6, 22.5; IR (KBr), ν (cm⁻¹) 3007, 3335, 3053, 2926, 1907, 1556, 1512, 1412, 1263, 1113, 908, 849, 714, 584, 474; HRMS (ESI): calculated for C₁₉H₁₆FNO [M + H]⁺ 294.1289, found 294.1287.



(2-Aminophenyl)(phenanthren-2-yl)methanone (2t)

29.7 mg. Yield: 50%. Yellow soild. m.p. 167.3-167.9 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.77-8.72 (m, 2H), 8.18 (s, 1H), 7.96-7.92 (m, 2H), 7.82-7.77 (m, 2H), 7.73-7.65 (m, 2H), 7.56 (d, J = 8.1 Hz, 1H), 7.35-7.32 (m, 1H), 6.79 (d, J = 8.3 Hz, 1H), 6.64 (t, J = 7.5 Hz, 1H), 6.13 (s, 2H); ^{13}C NMR (100 MHz, CDCl_3) δ 198.9, 151.1, 138.0, 134.8, 134.4, 132.8, 132.2, 131.3, 130.2, 130.0, 128.8, 127.9, 127.5, 127.3, 127.1, 126.9, 123.3, 122.8, 118.6, 117.2, 115.8; IR (KBr), ν (cm^{-1}) 3661, 3468, 3331, 1713, 1576, 1231, 1153, 910, 752, 675, 428; HRMS (ESI): calculated for $\text{C}_{21}\text{H}_{15}\text{NO}$ $[\text{M} + \text{H}]^+$ 298.1227, found 298.1224.



(2-(Methylamino)phenyl)(phenanthren-2-yl)methanone (2u)

33.6 mg. Yield: 54%. Yellow soild. m.p. 142.6-143.3 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.77-8.72 (m, 2H), 8.59-8.58 (m, 1H), 8.14 (s, 1H), 7.94-7.90 (m, 2H), 7.82-7.77 (m, 2H), 7.72-7.64 (m, 2H), 7.60 (dd, J = 8.0, 1.0 Hz, 1H), 7.45 (t, J = 7.7 Hz, 1H), 6.81 (d, J = 8.6 Hz, 1H), 6.58 (t, J = 7.6 Hz, 1H), 3.01 (d, J = 5.1 Hz, 3H); ^{13}C NMR (100 MHz, CDCl_3) δ 199.1, 152.9, 138.6, 135.7, 135.2, 132.8, 132.0, 131.4, 130.0, 130.0, 128.8, 127.9, 127.5, 127.3, 127.1, 126.9, 123.2, 122.8, 117.7, 113.9, 111.3, 29.6; IR (KBr), ν (cm^{-1}) 3653, 3443, 3310, 3167, 1680, 1616, 1414, 1259, 744, 712, 567; HRMS (ESI): calculated for $\text{C}_{22}\text{H}_{17}\text{NO}$ $[\text{M} + \text{H}]^+$ 312.1383, found 312.1380.

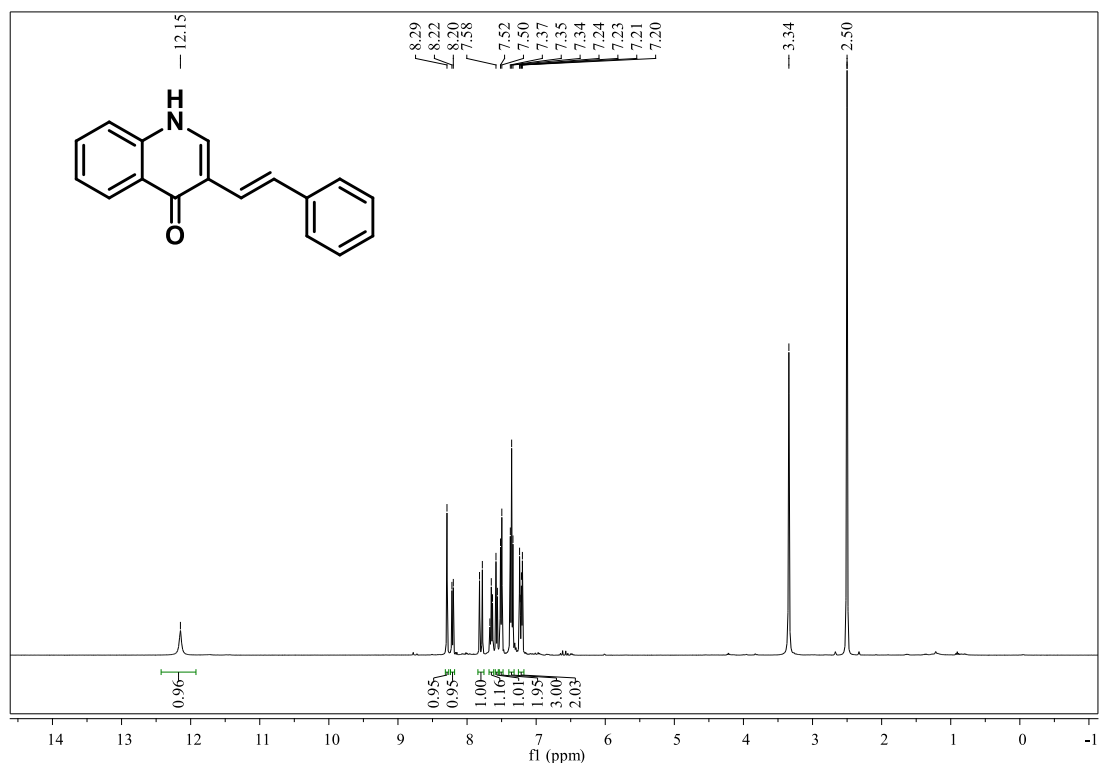
3. References

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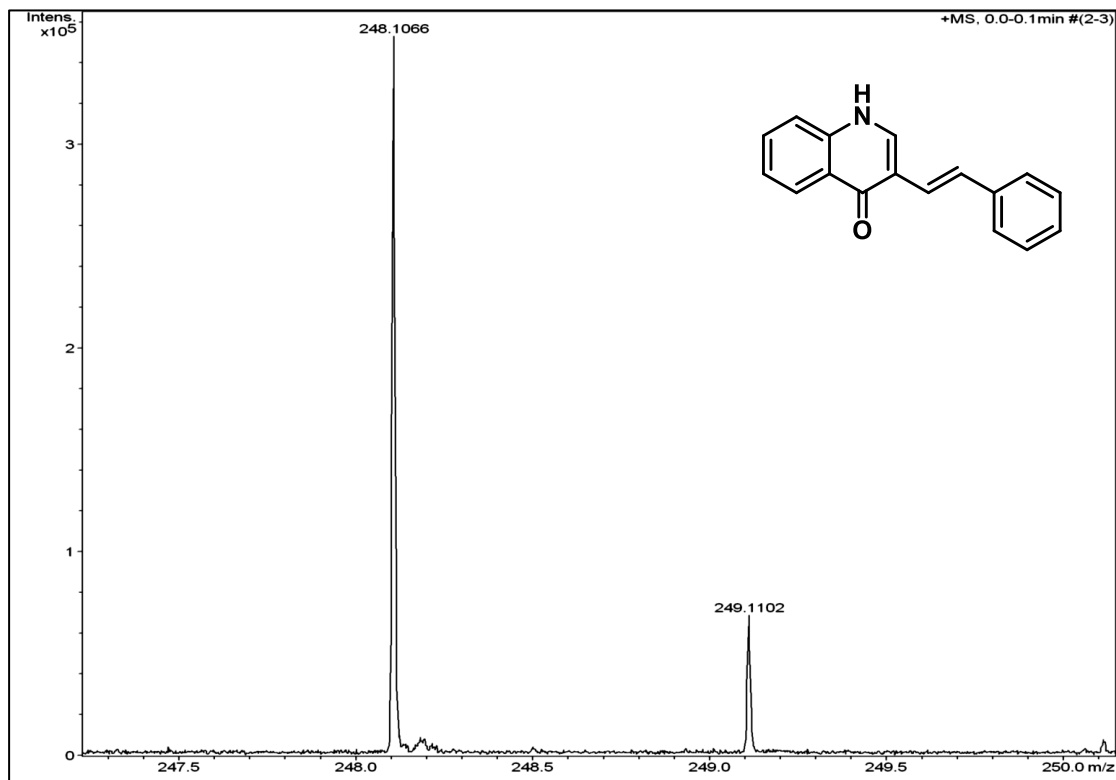
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6. Chen, J.; Li, J.; Su, W. *Molecules*, 2014, **19**, 6439-6449.
7. Susanti, D.; Ng, L. L. R.; Chan, P. W. H. *Adv. Synth.catal.*, 2014, **356**, 353-358.

4. ^1H NMR, ^{13}C NMR and HRMS Spectra

(*E*)-3-styrylquinolin-4(1*H*)-one (1a)

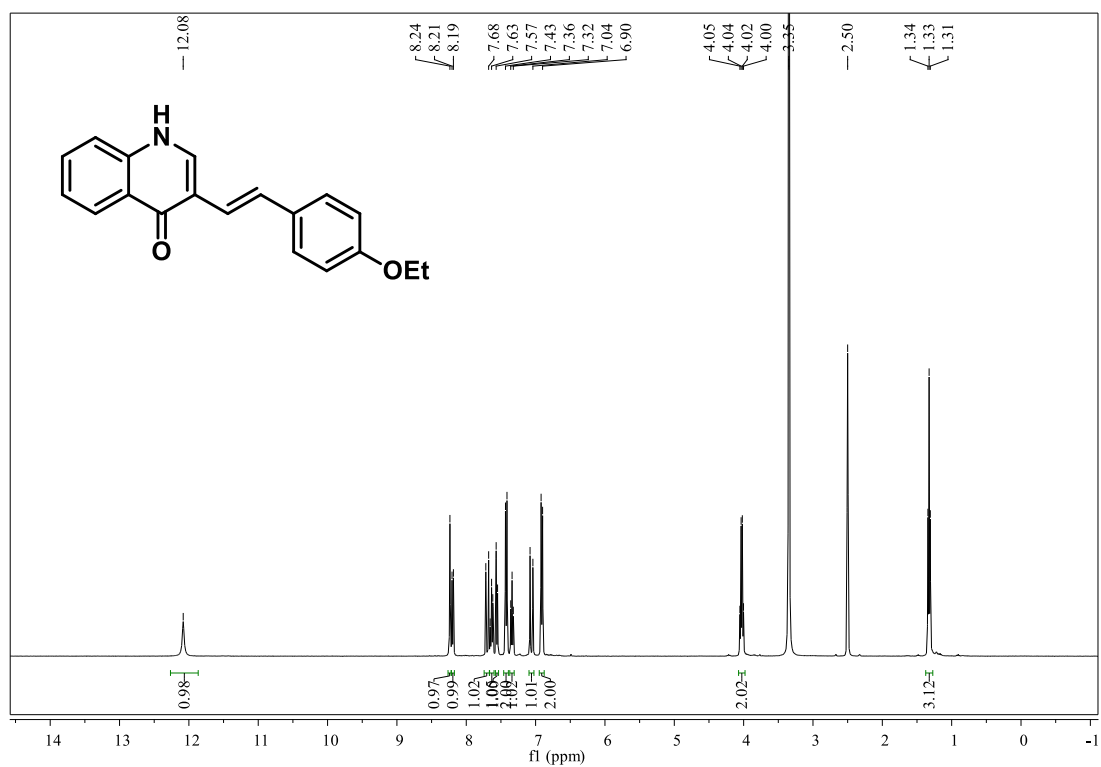


400 MHz, ^1H NMR in $\text{DMSO}-d_6$

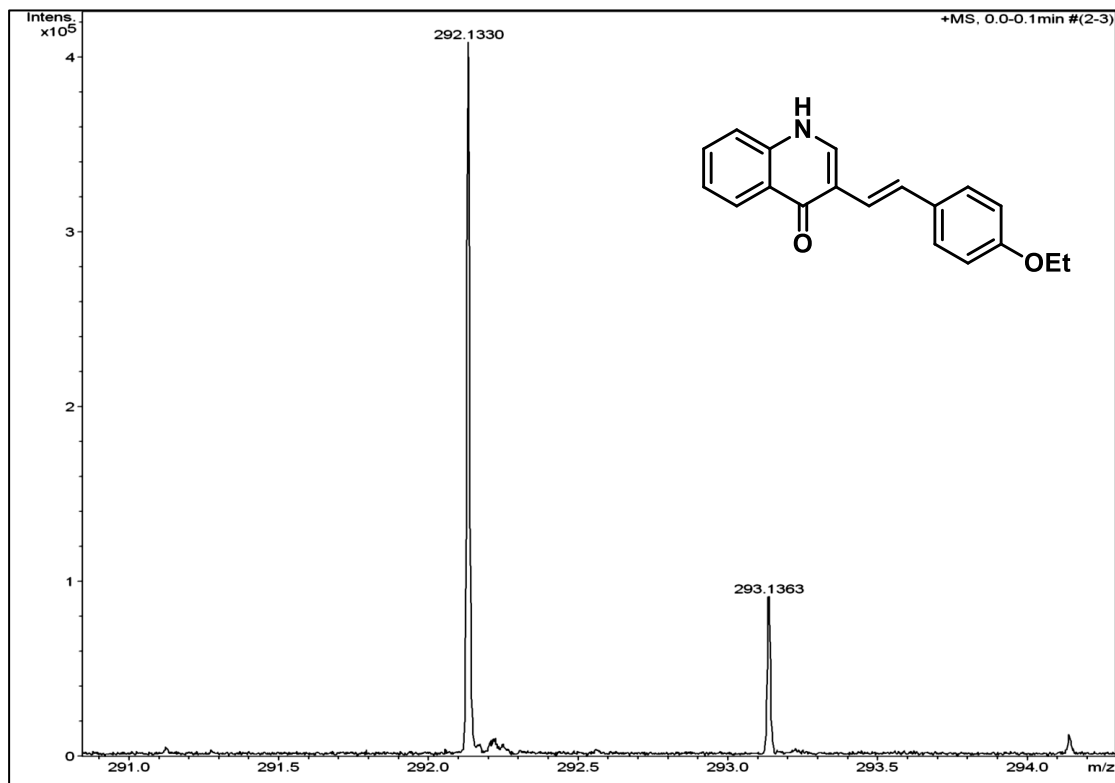


HRMS (MeOH)

(E)-3-(4-ethoxystyryl)quinolin-4(1H)-one (1b)

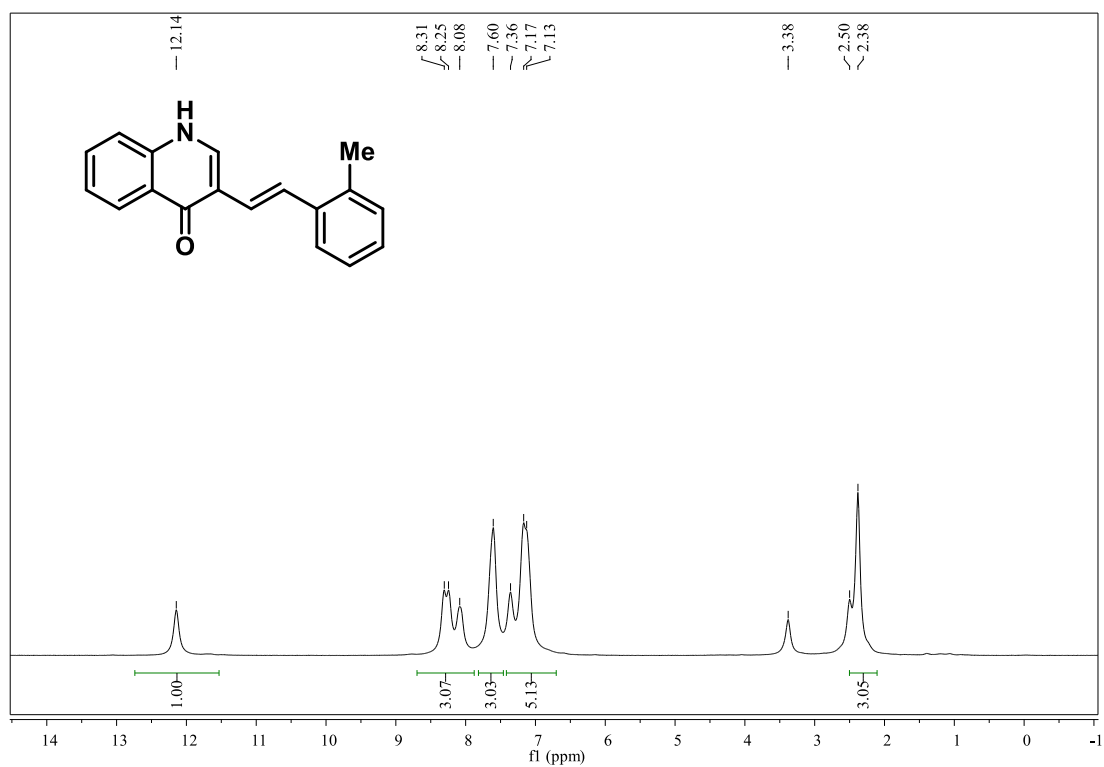


400 MHz, ¹H NMR in DMSO-*d*₆

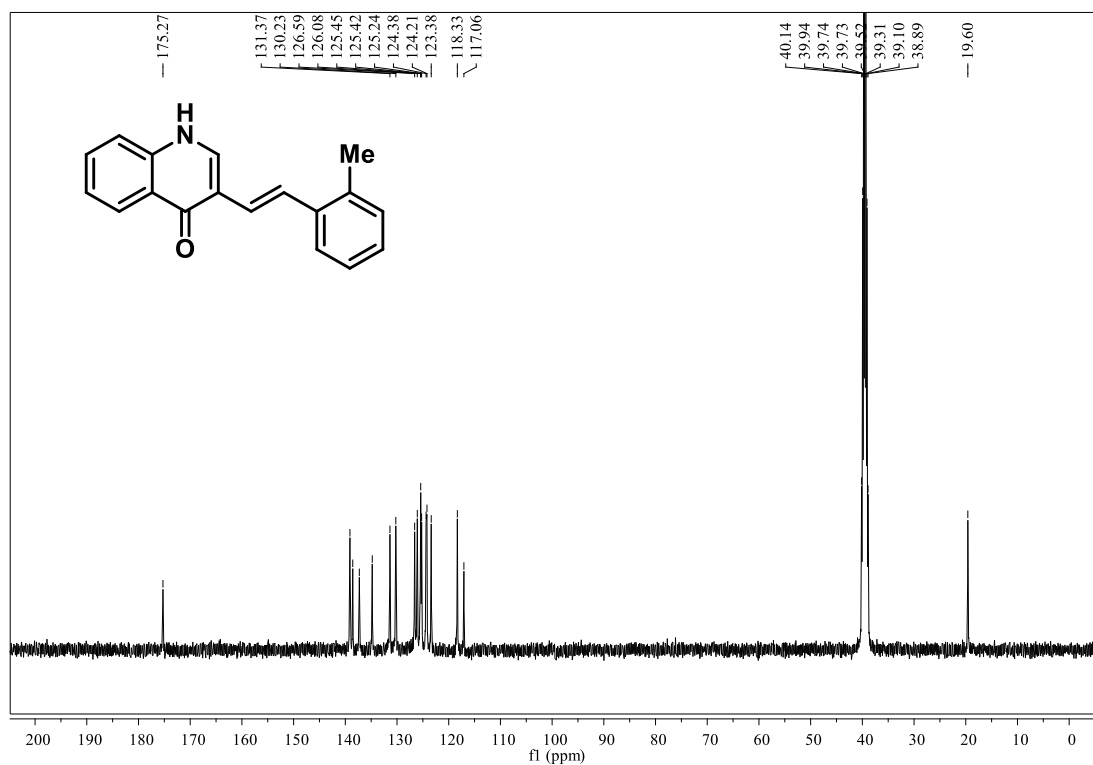


HRMS (MeOH)

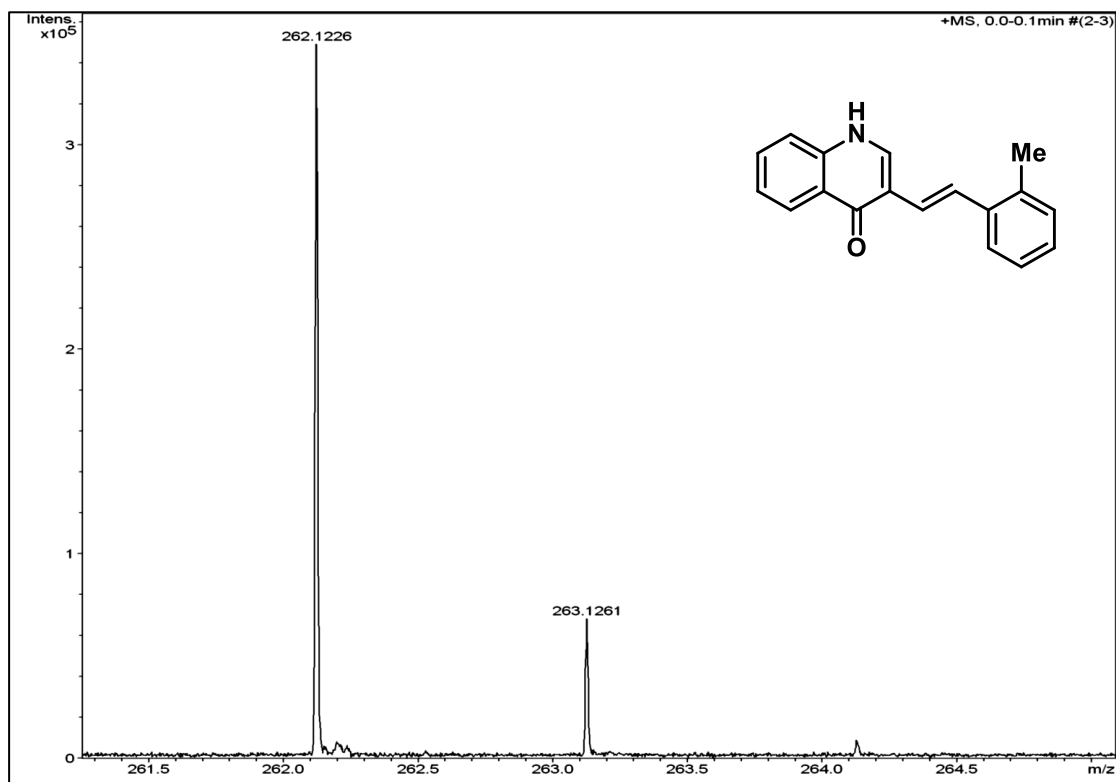
(E)-3-(2-methylstyryl)quinolin-4(1H)-one (1c)



400 MHz, ^1H NMR in $\text{DMSO}-d_6$

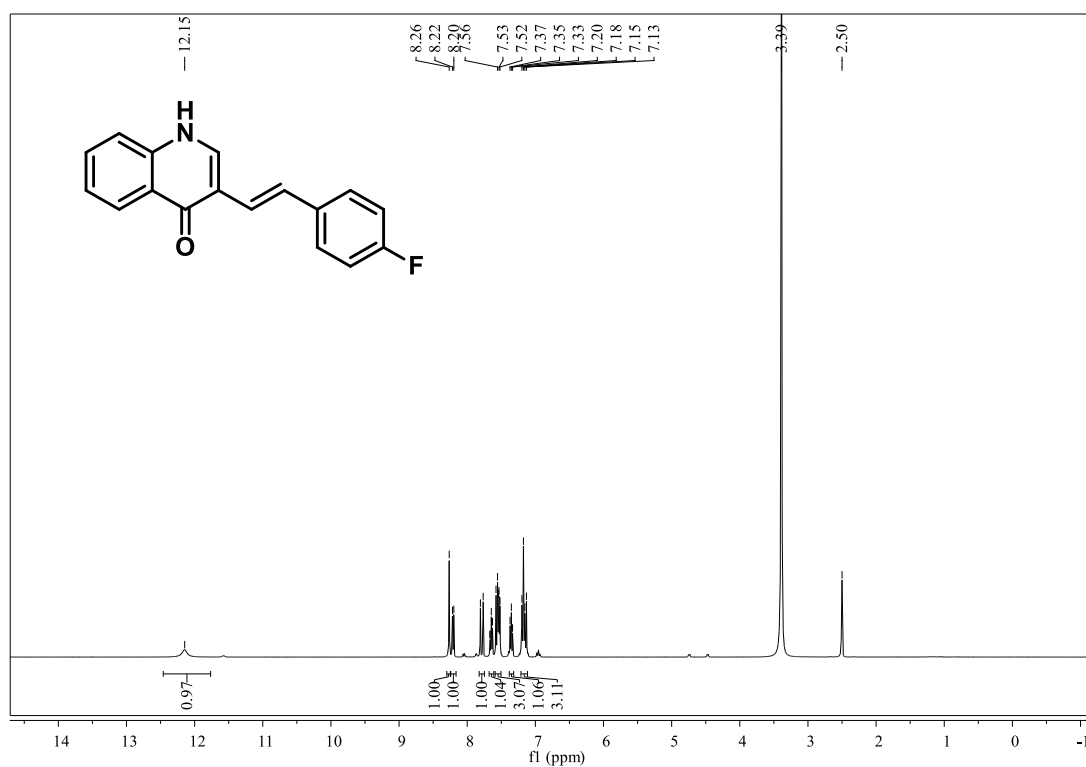


100 MHz, ^{13}C NMR in $\text{DMSO}-d_6$

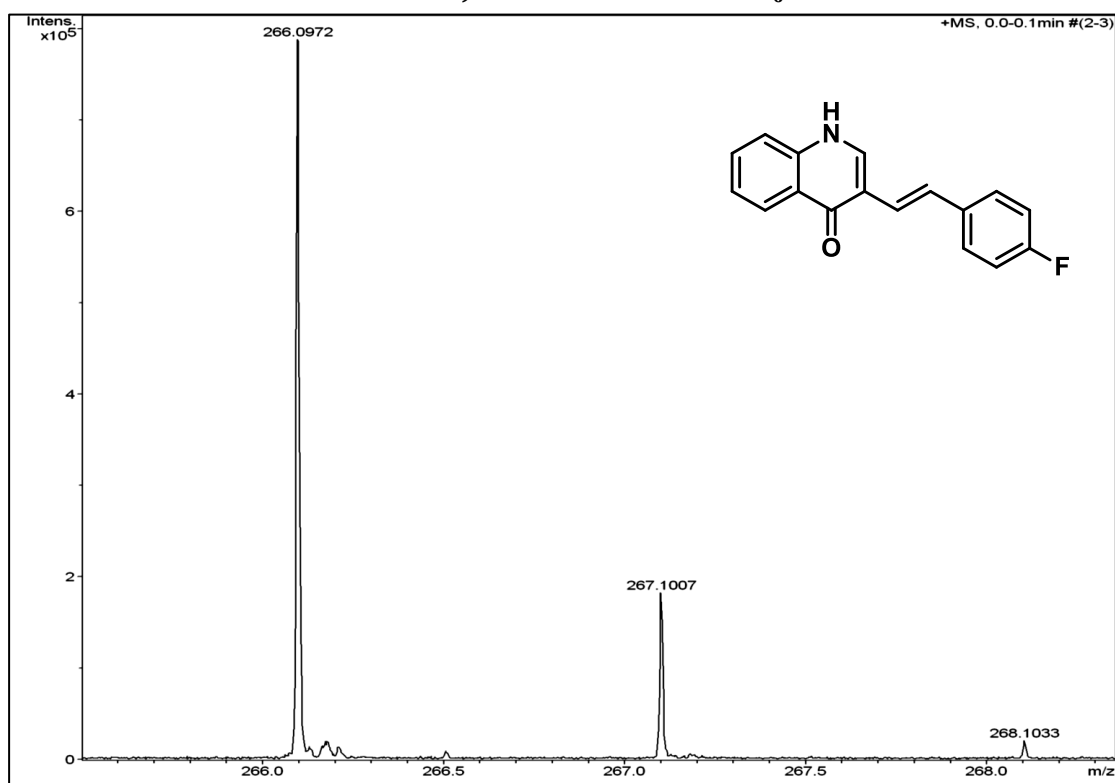
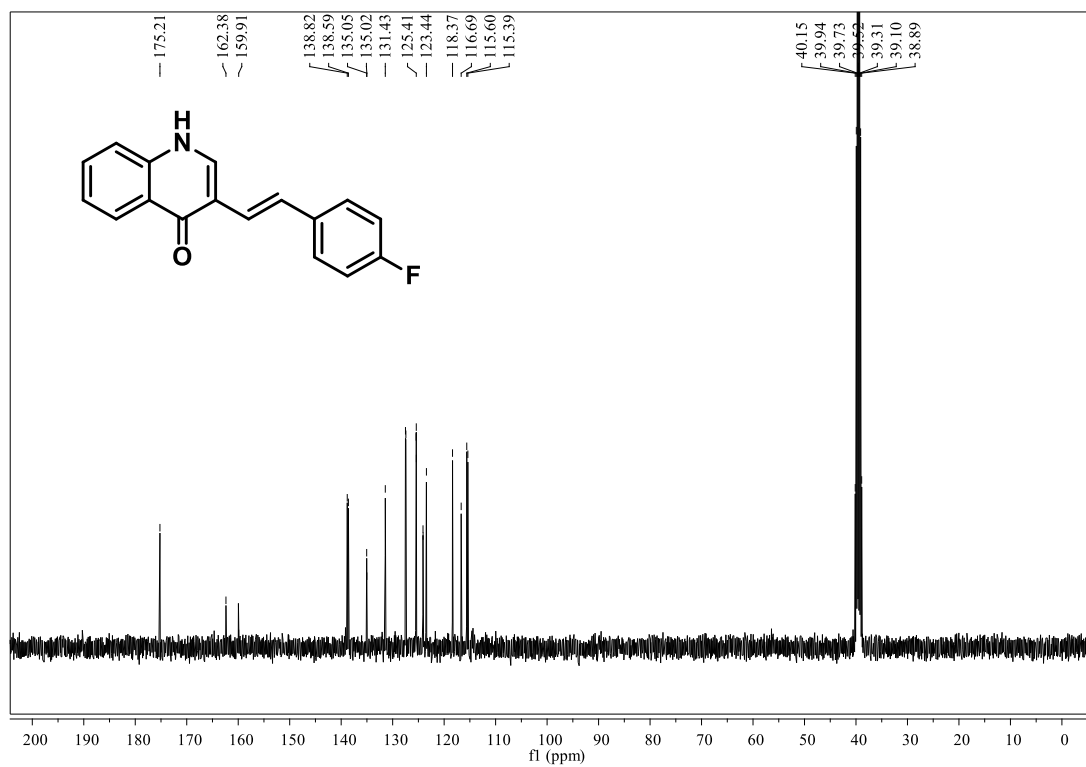


HRMS (MeOH)

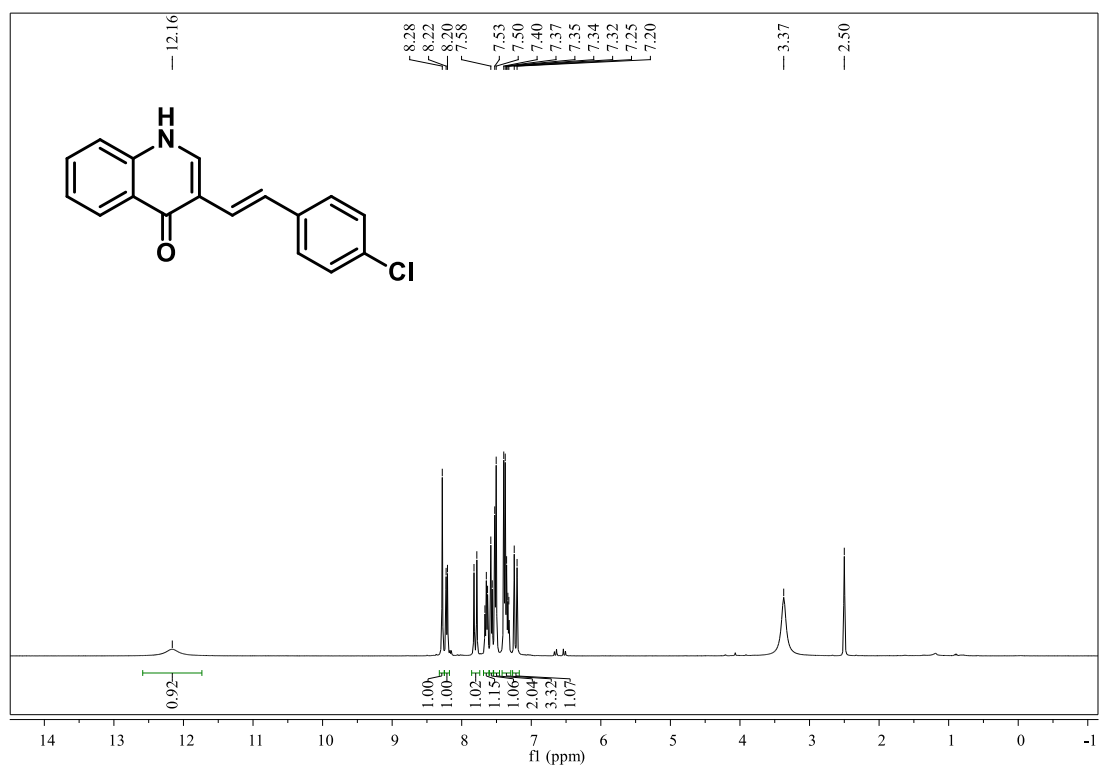
(E)-3-(4-fluorostyryl)quinolin-4(1H)-one (1d)



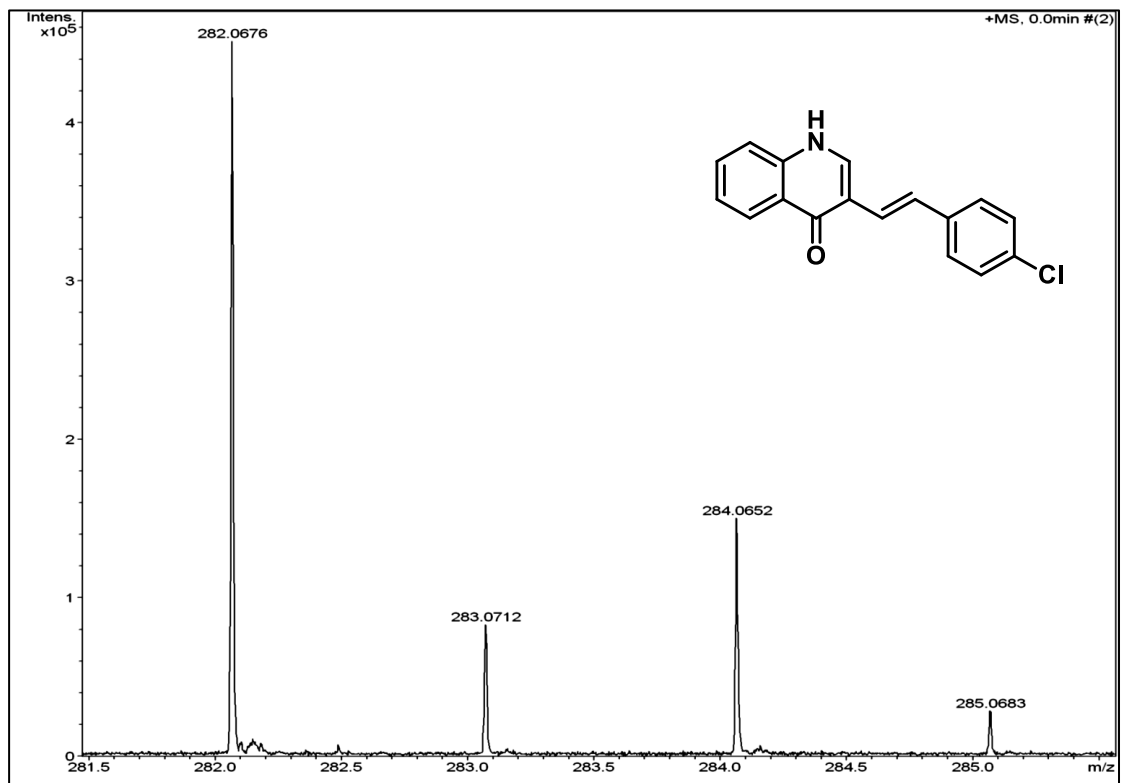
400 MHz, ^1H NMR in $\text{DMSO}-d_6$



(E)-3-(4-chlorostyryl)quinolin-4(1H)-one (1e)

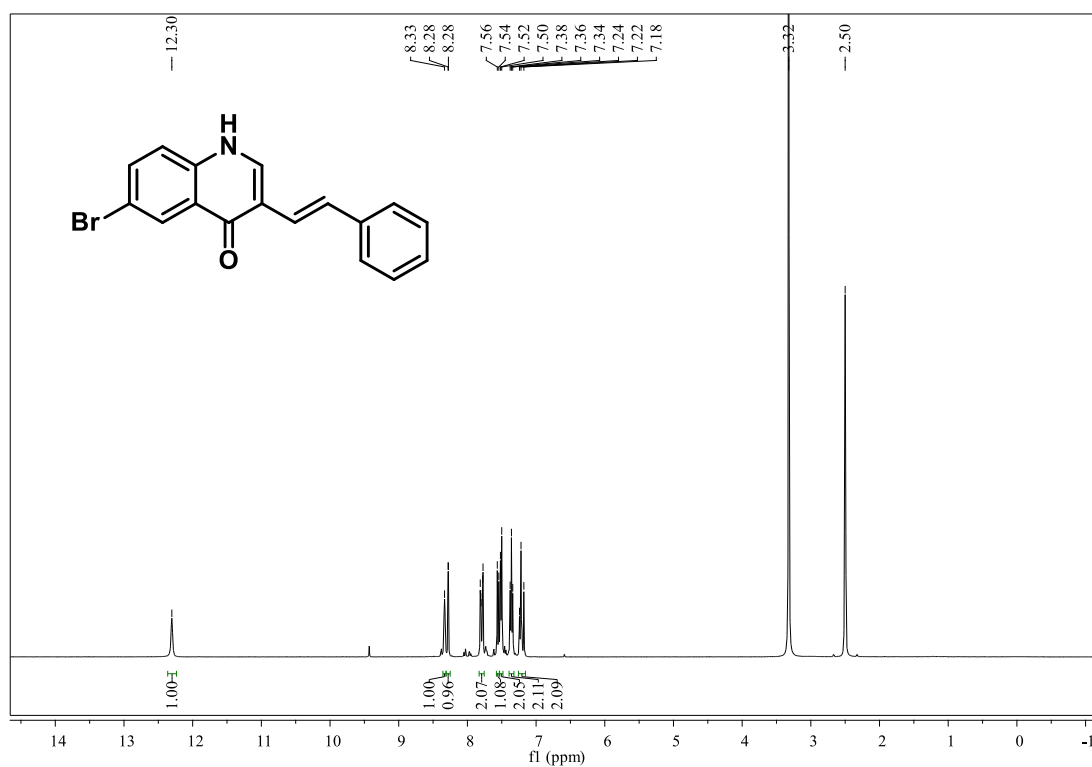


400 MHz, ¹H NMR in DMSO-*d*₆

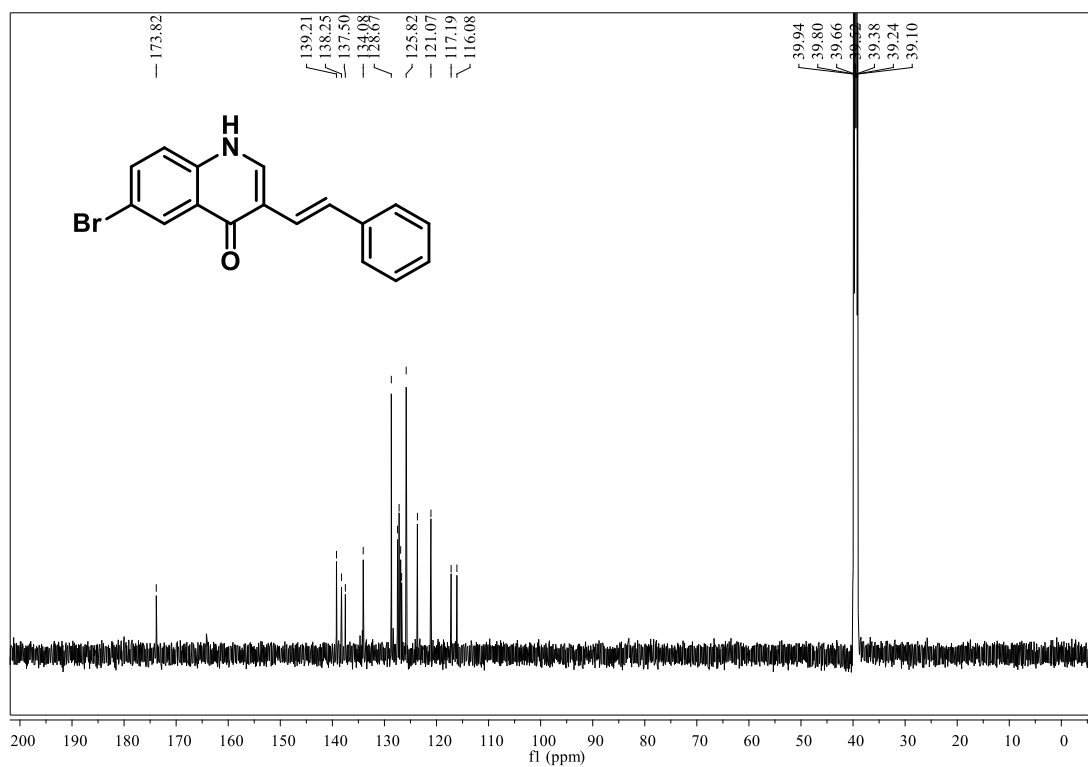


HRMS (MeOH)

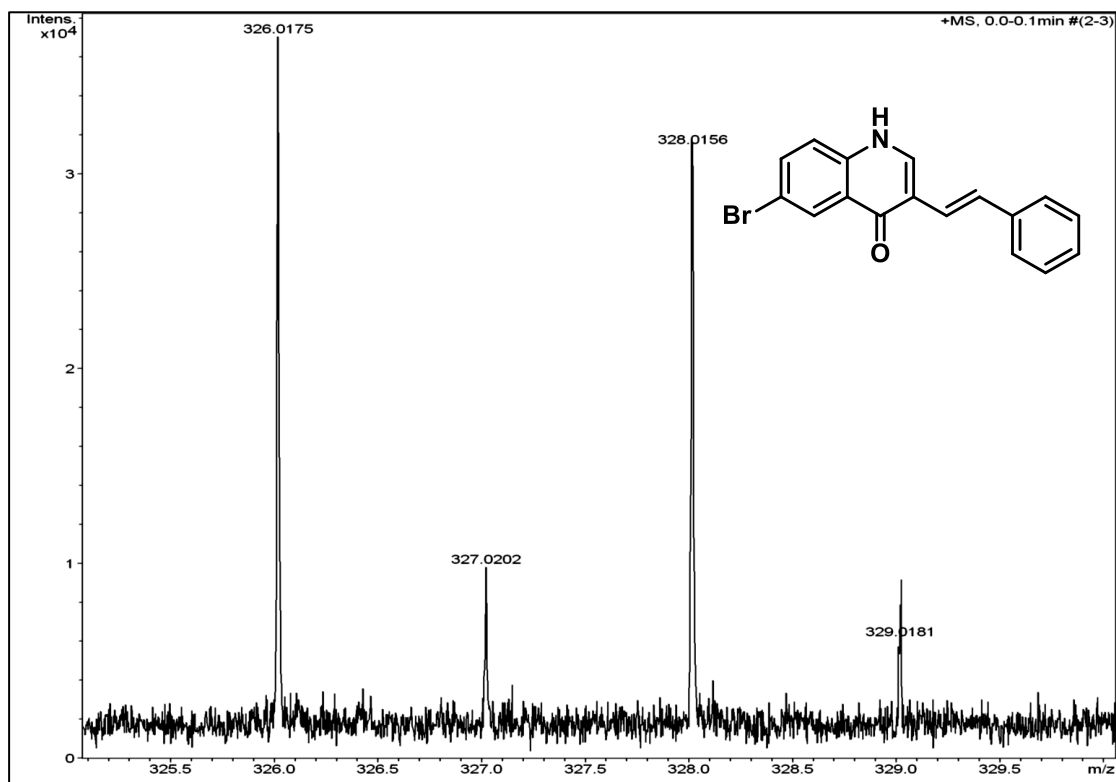
(E)-6-bromo-3-styrylquinolin-4(1H)-one (1f)



400 MHz, ^1H NMR in $\text{DMSO}-d_6$

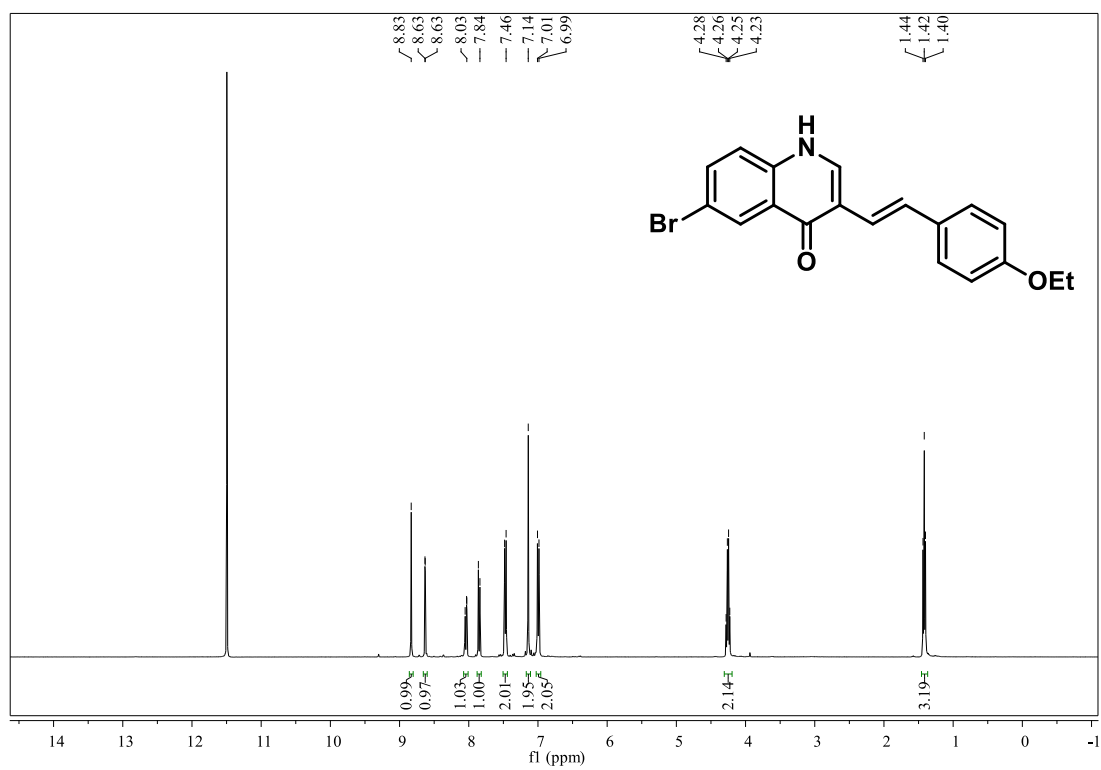


150 MHz, ^{13}C NMR in $\text{DMSO}-d_6$

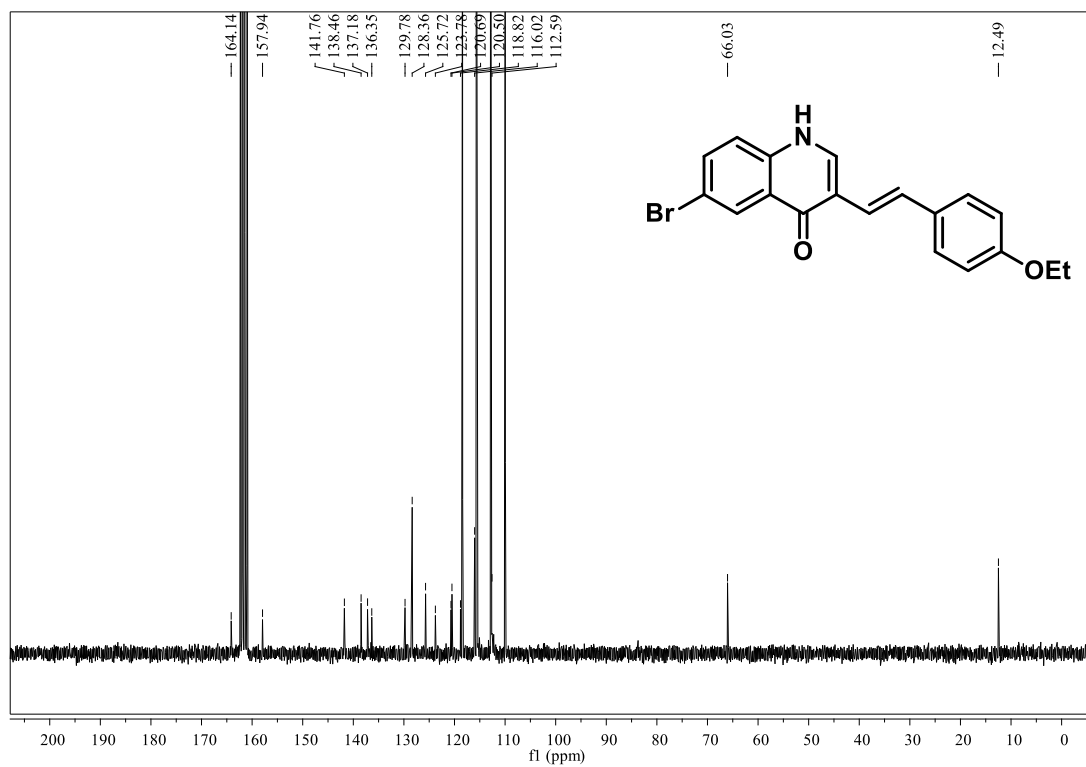


HRMS (MeOH)

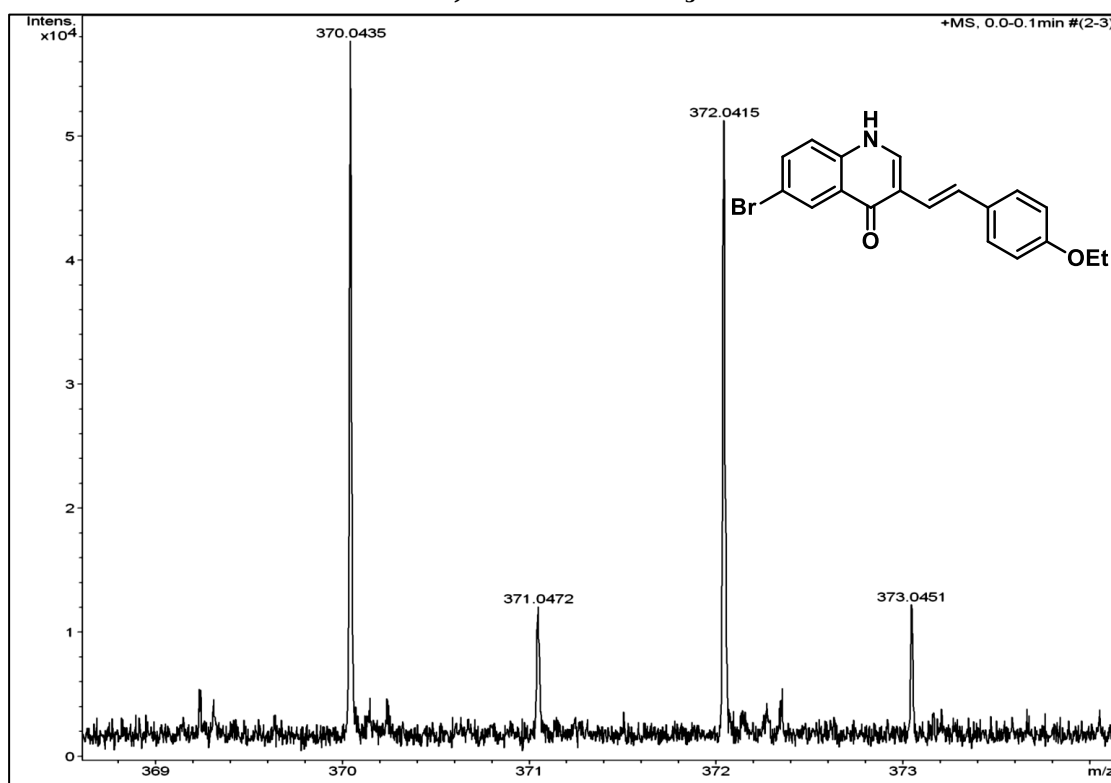
(E)-6-bromo-3-(4-ethoxystyryl)quinolin-4(1H)-one (1g)



400 MHz, ¹H NMR in CF₃COOD

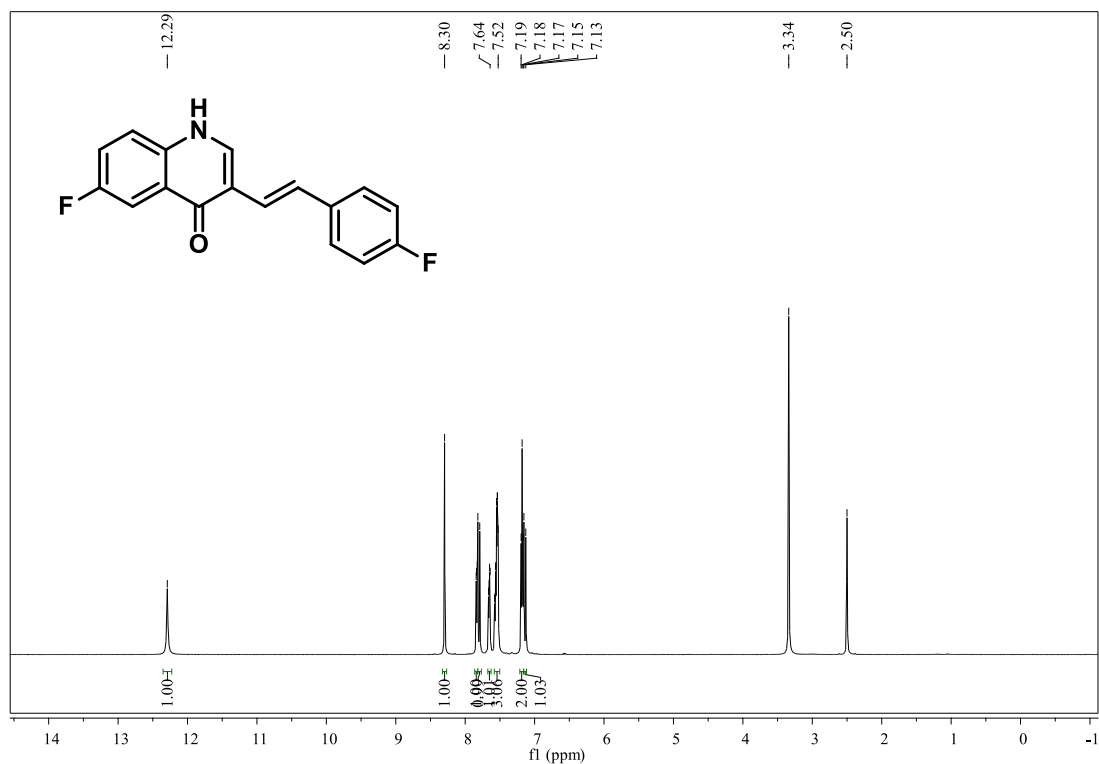


100 MHz, ^{13}C NMR in CF_3COOD

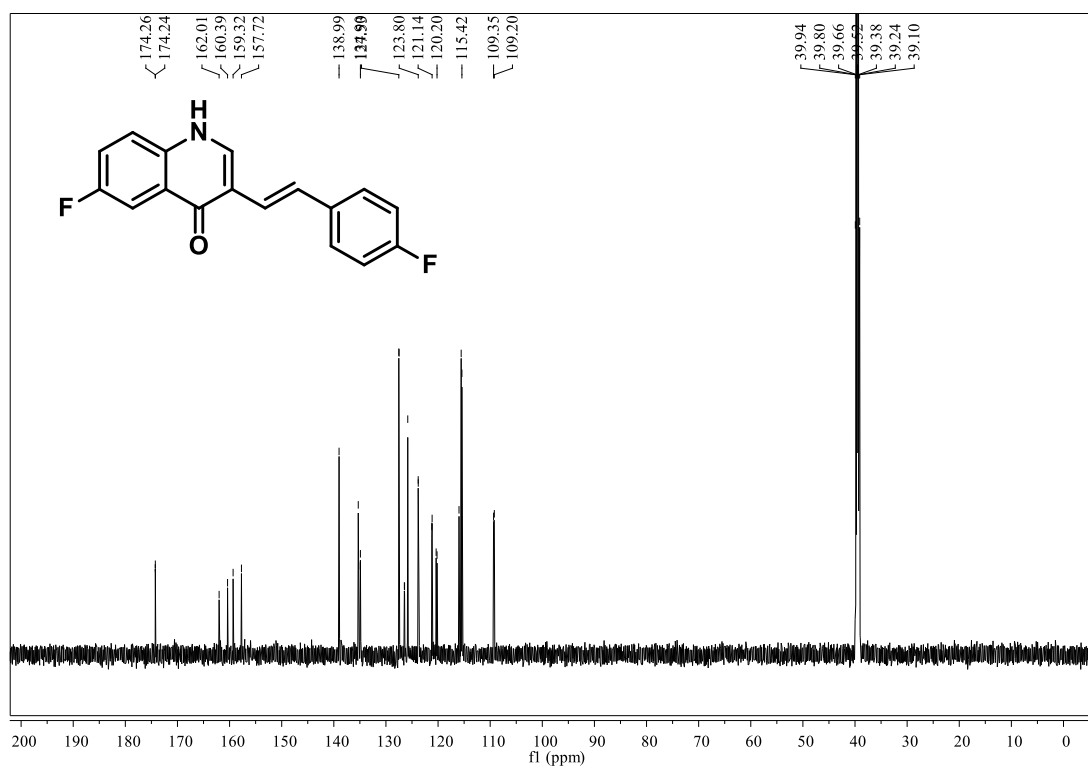


HRMS (MeOH)

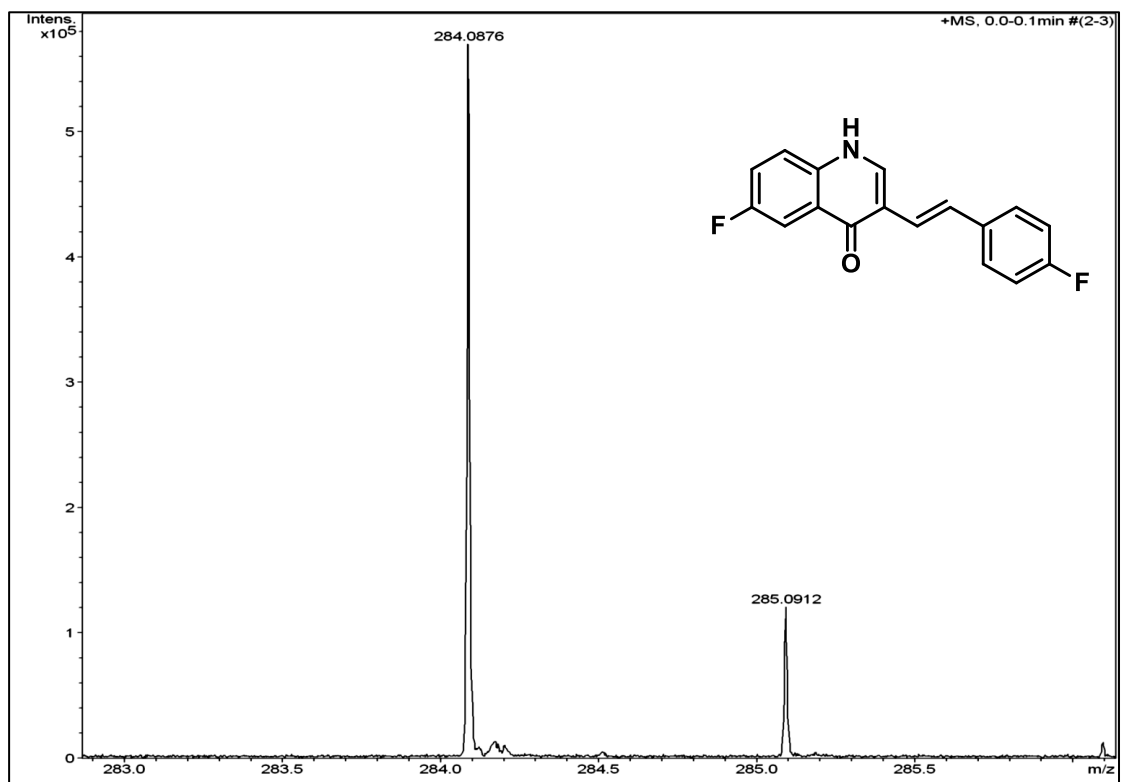
(E)-6-fluoro-3-(4-fluorostyryl)quinolin-4(1H)-one (1h)



600 MHz, ¹H NMR in DMSO-*d*₆

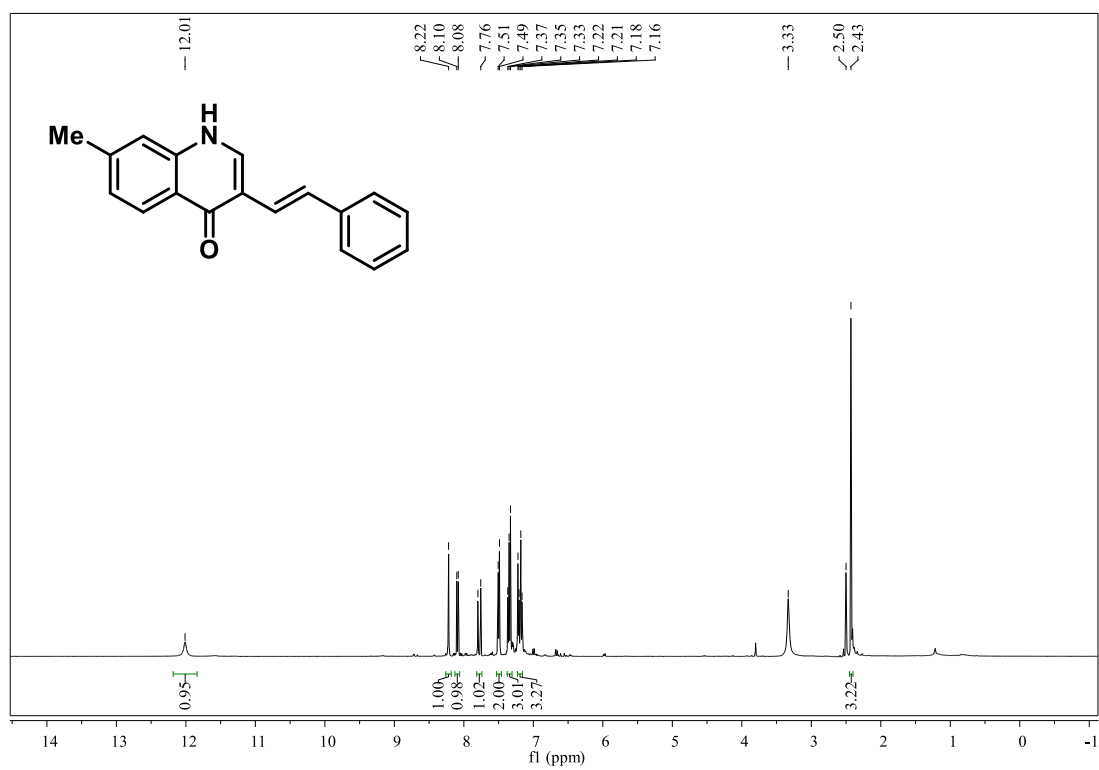


150 MHz, ¹³C NMR in DMSO-*d*₆

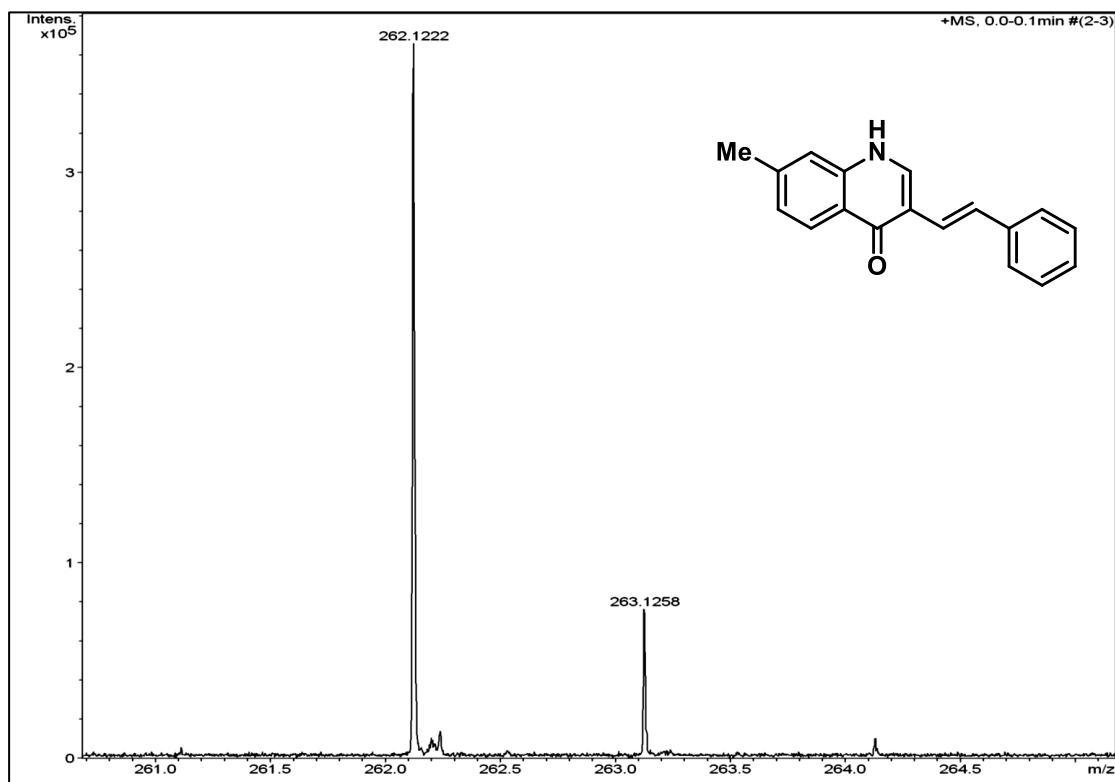
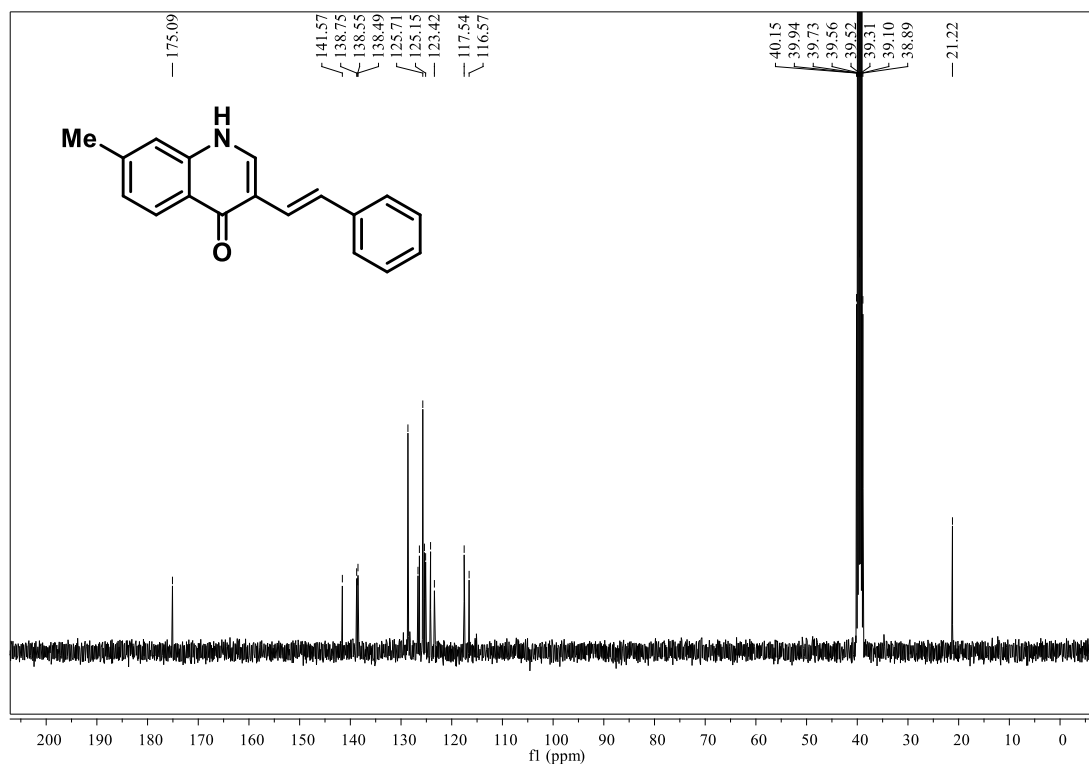


HRMS (MeOH)

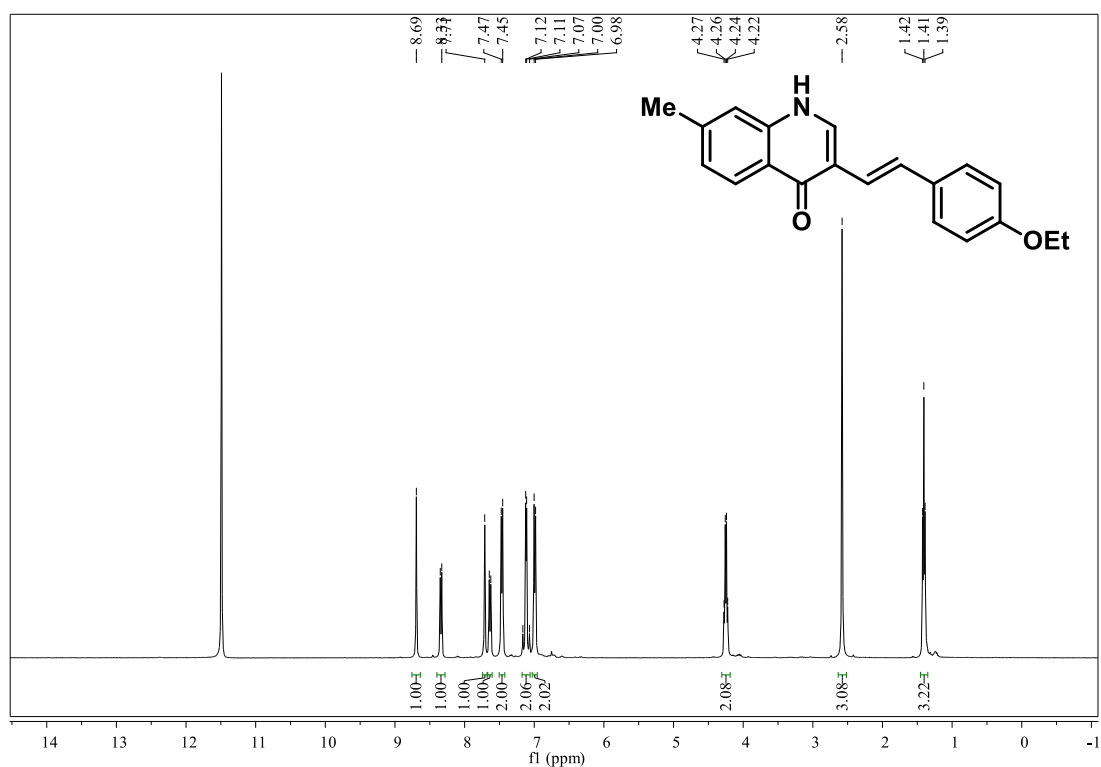
(*E*)-7-methyl-3-styrylquinolin-4(1*H*)-one (1i)



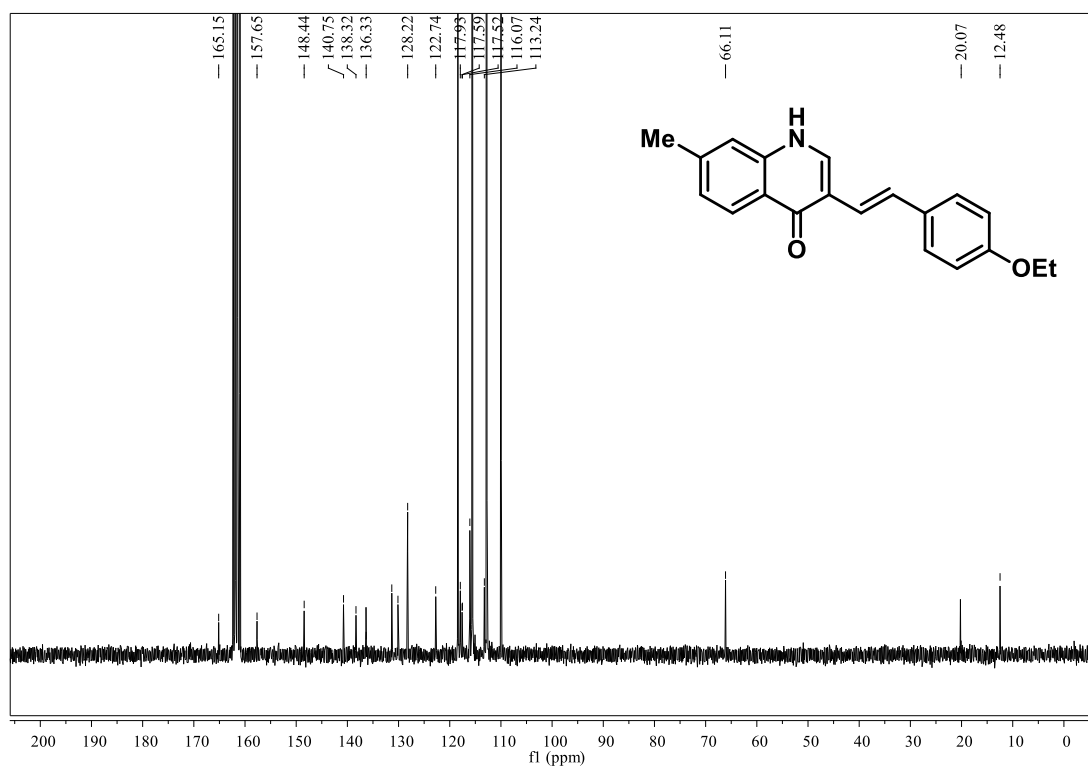
400 MHz, ^1H NMR in $\text{DMSO}-d_6$



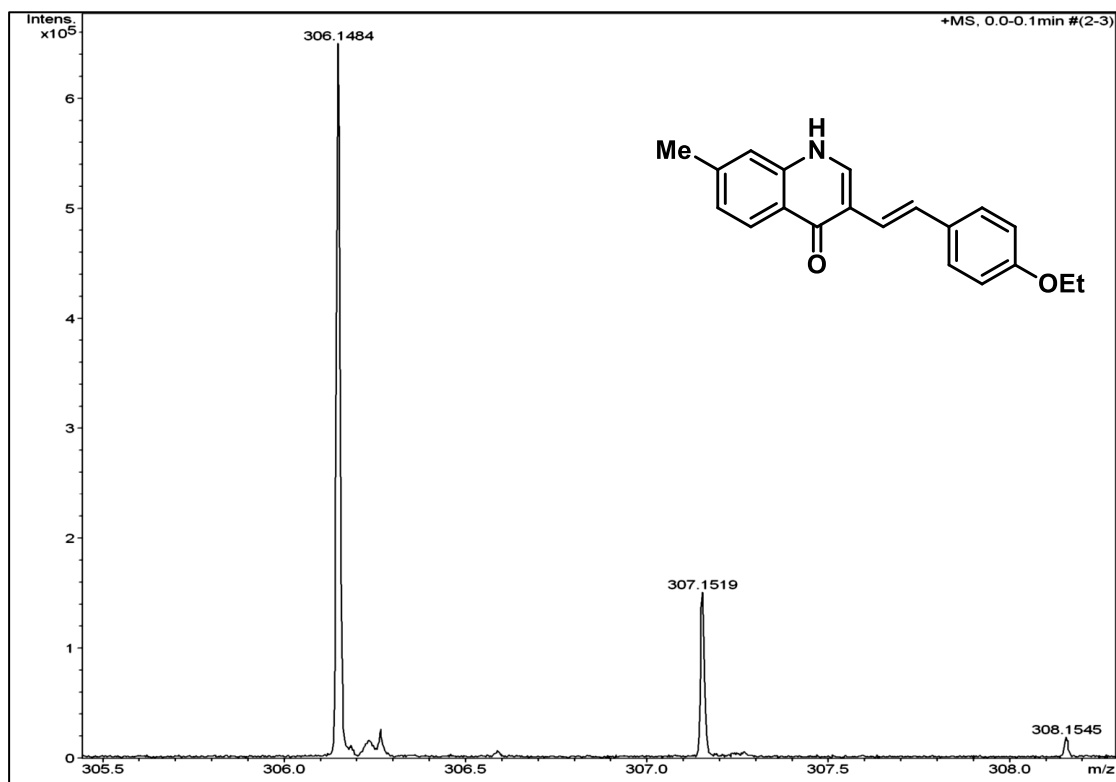
(E)-3-(4-ethoxystyryl)-7-methylquinolin-4(1H)-one (1j)



400 MHz, ¹H NMR in CF₃COOD

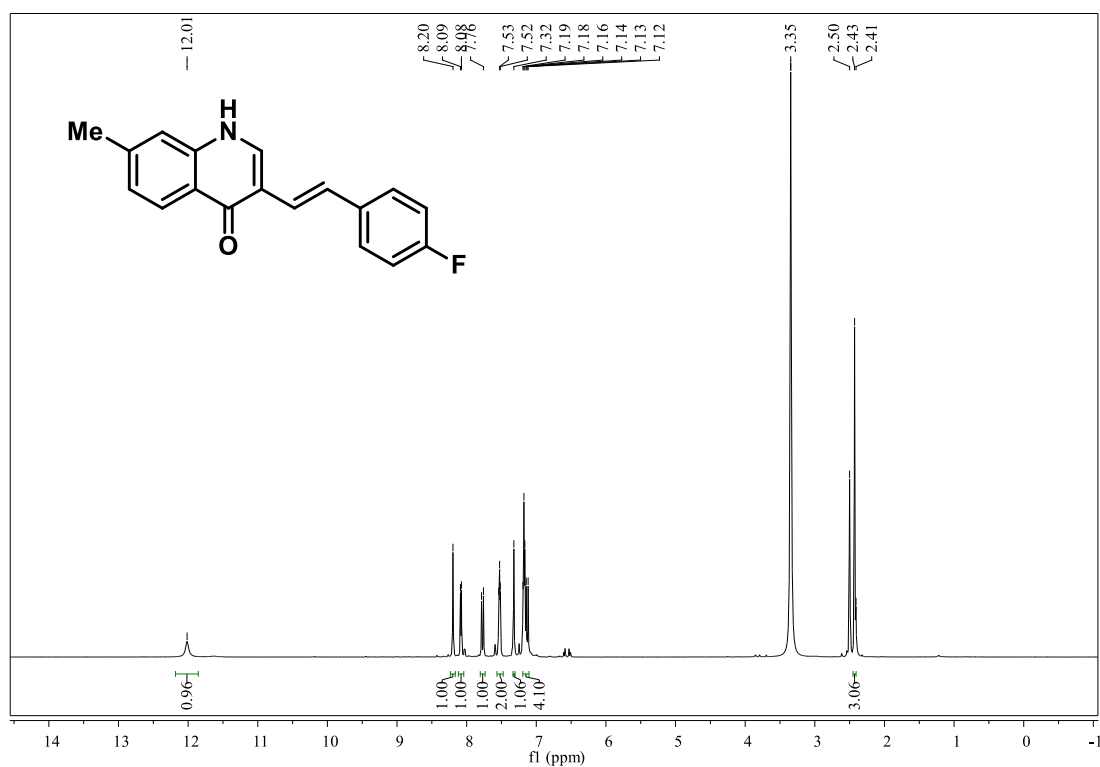


100 MHz, ¹³C NMR in CF₃COOD

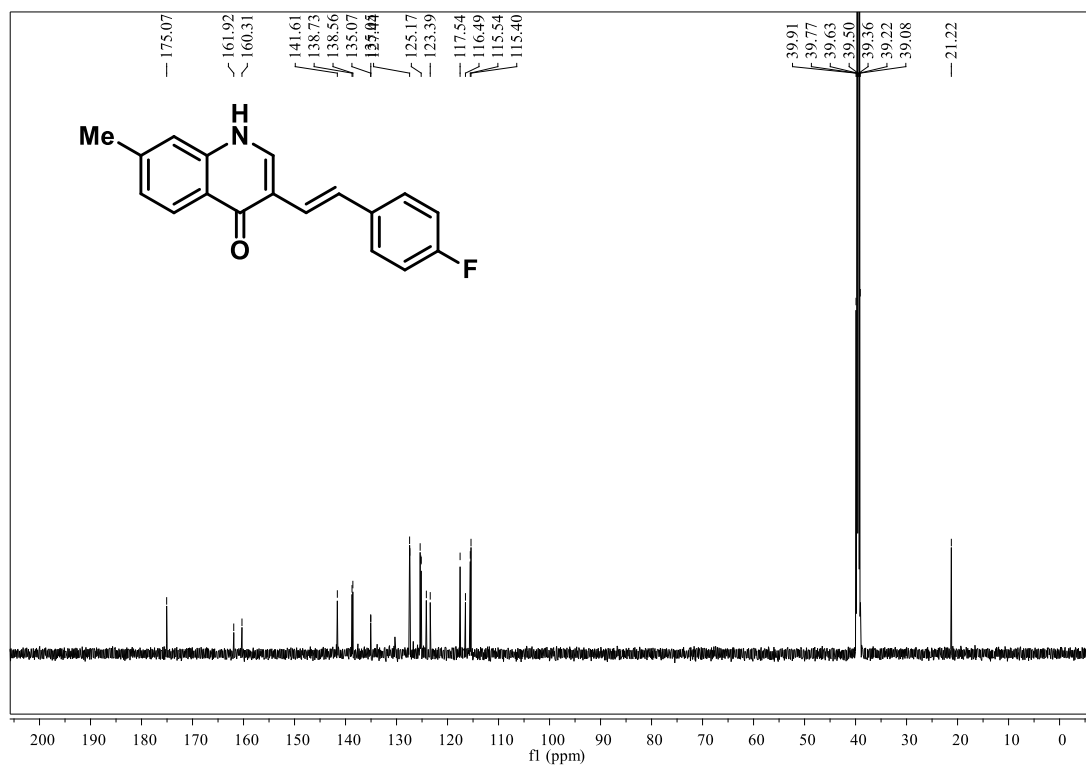


HRMS (MeOH)

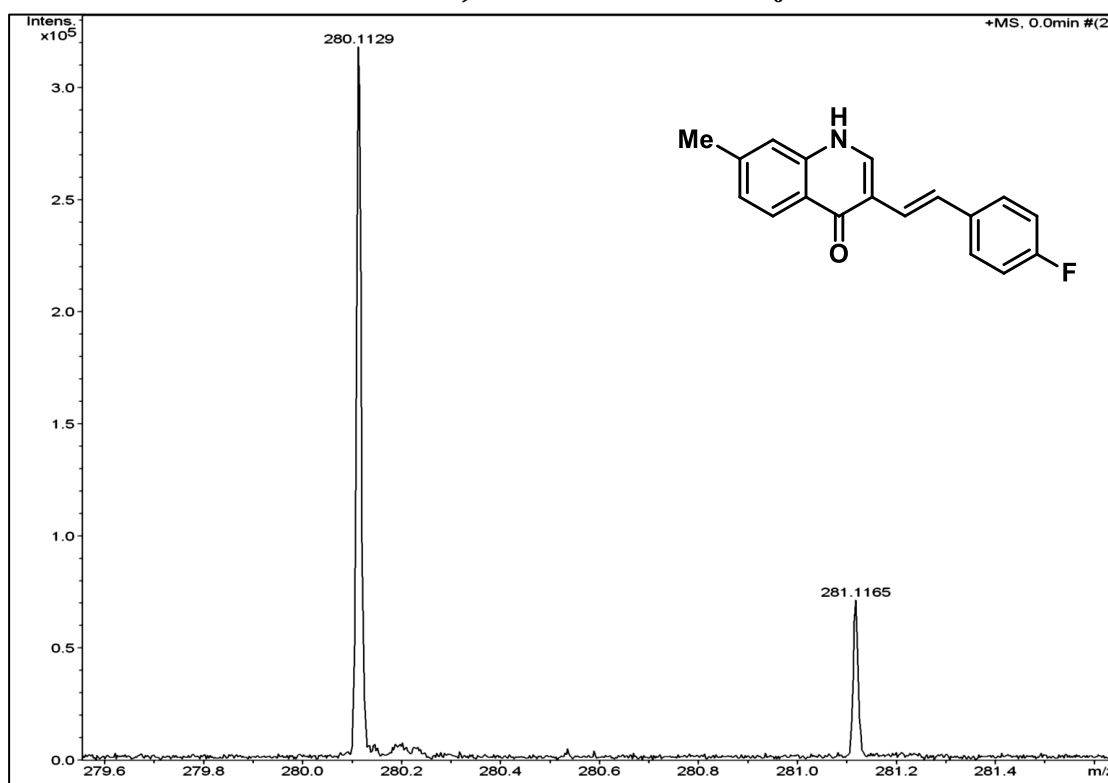
(*E*)-3-(4-fluorostyryl)-7-methylquinolin-4(1*H*)-one (1k)



600 MHz, ^1H NMR in $\text{DMSO}-d_6$

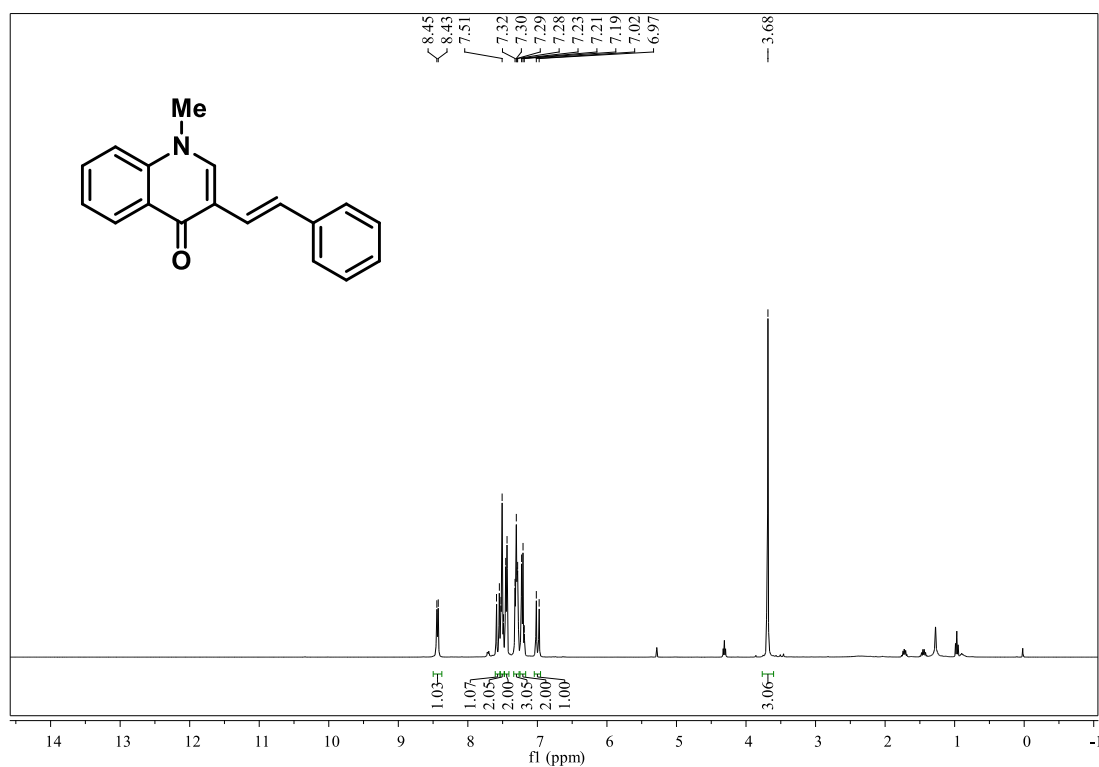


150 MHz, ^{13}C NMR in $\text{DMSO-}d_6$

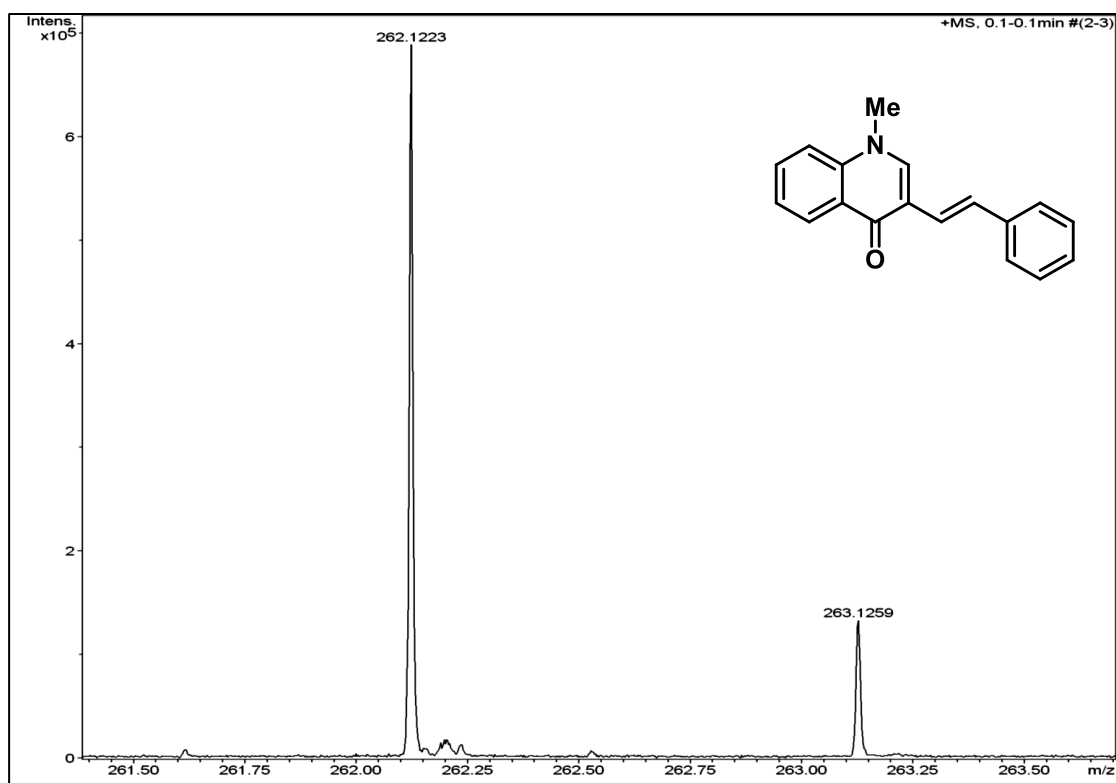


HRMS (MeOH)

(E)-1-methyl-3-styrylquinolin-4(1H)-one (1l)

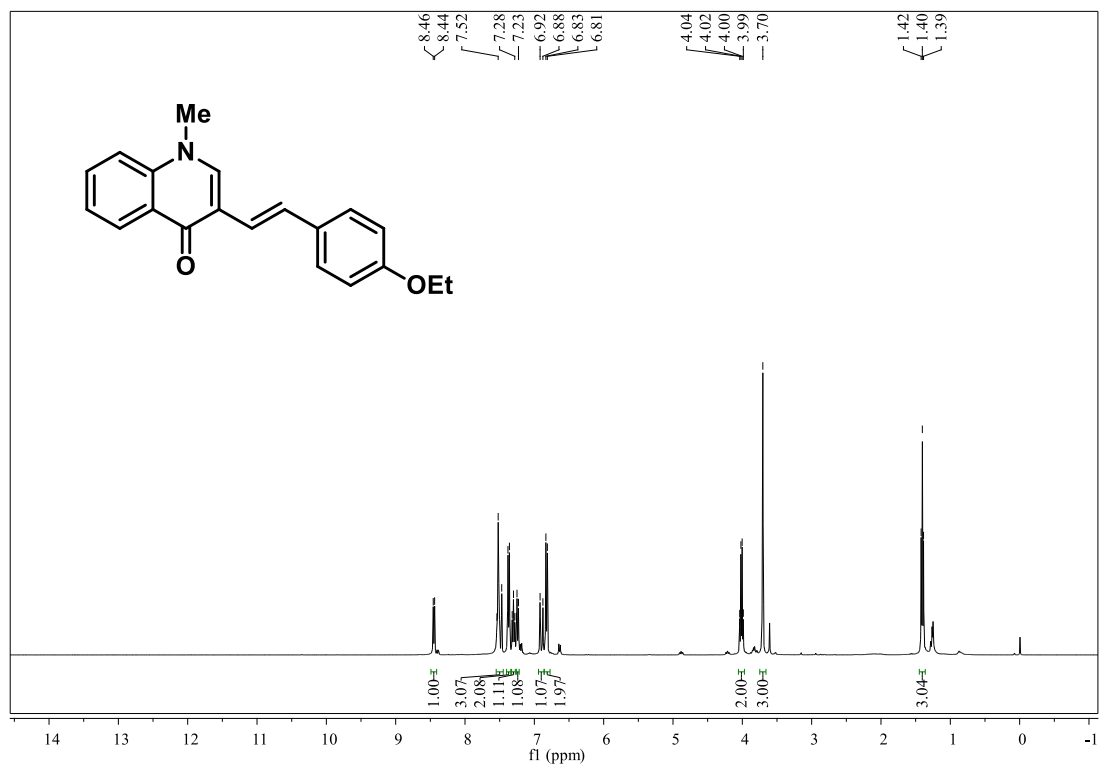


400 MHz, ¹H NMR in CDCl₃

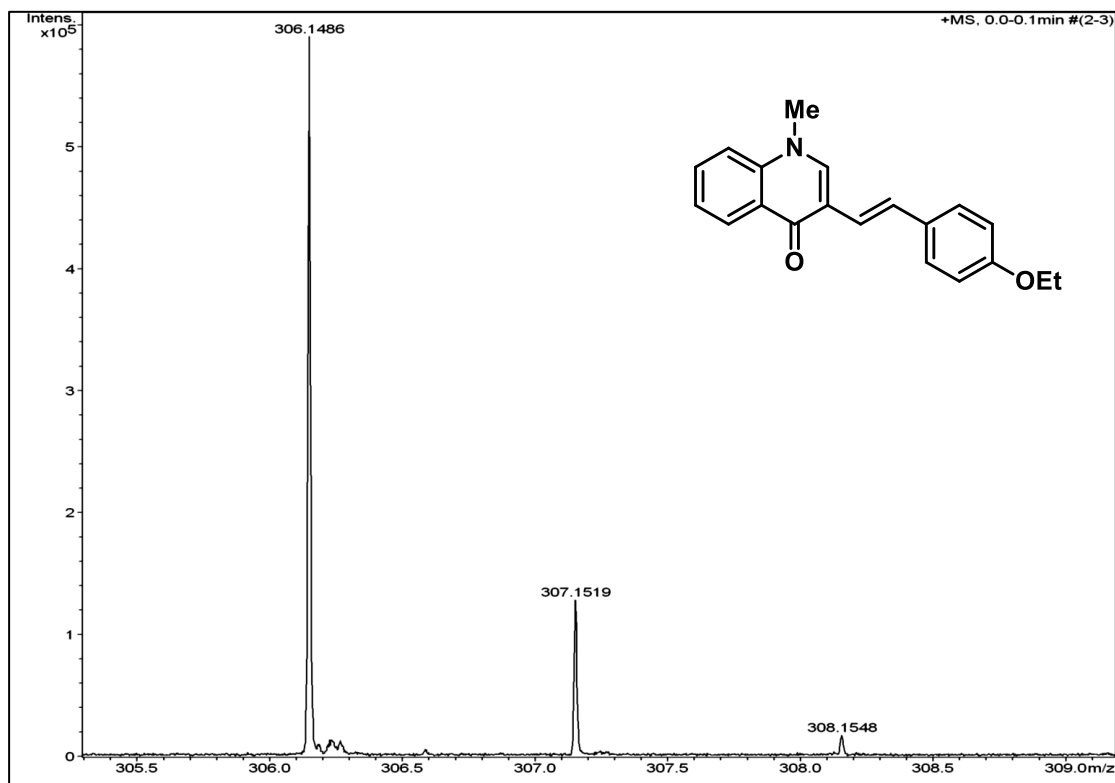


HRMS (MeOH)

(E)-3-(4-ethoxystyryl)-1-methylquinolin-4(1H)-one (1m)

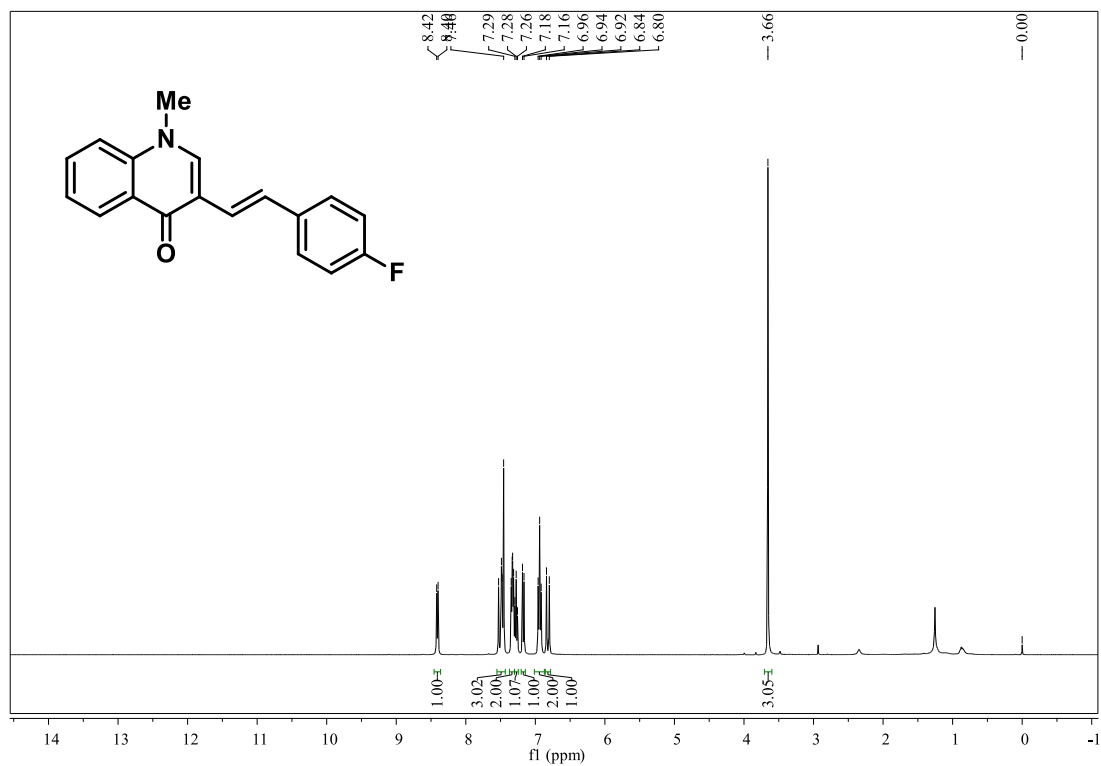


400 MHz, ¹H NMR in CDCl₃

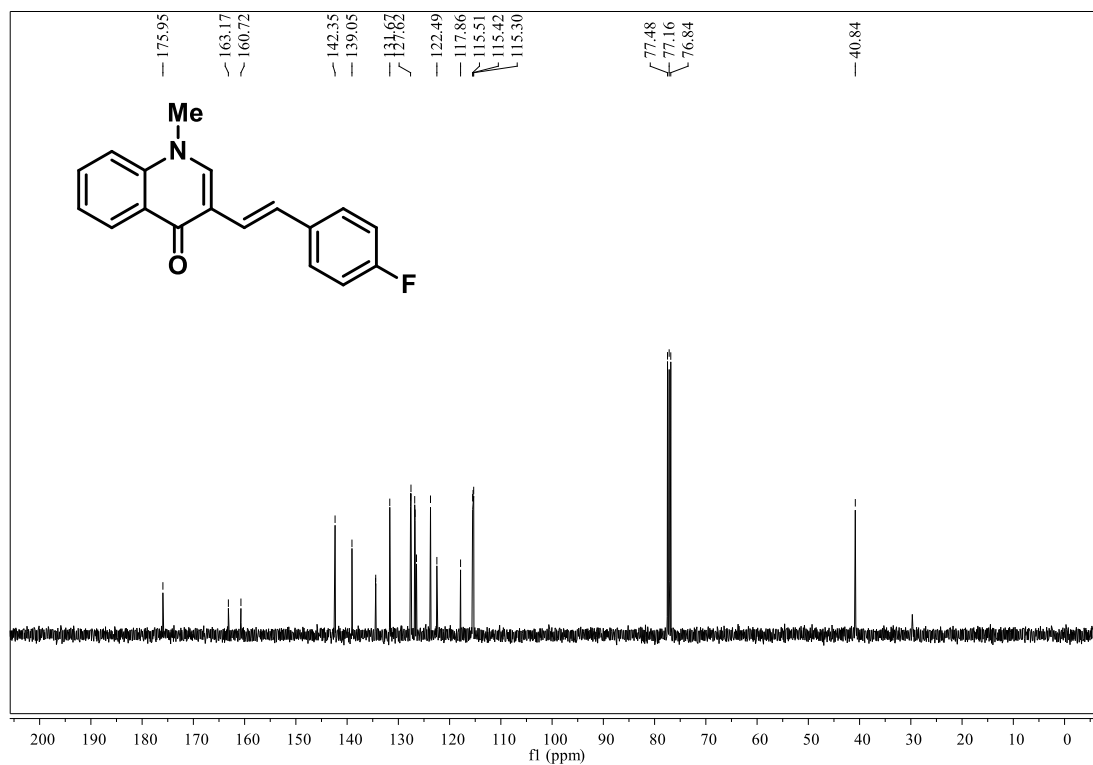


HRMS (MeOH)

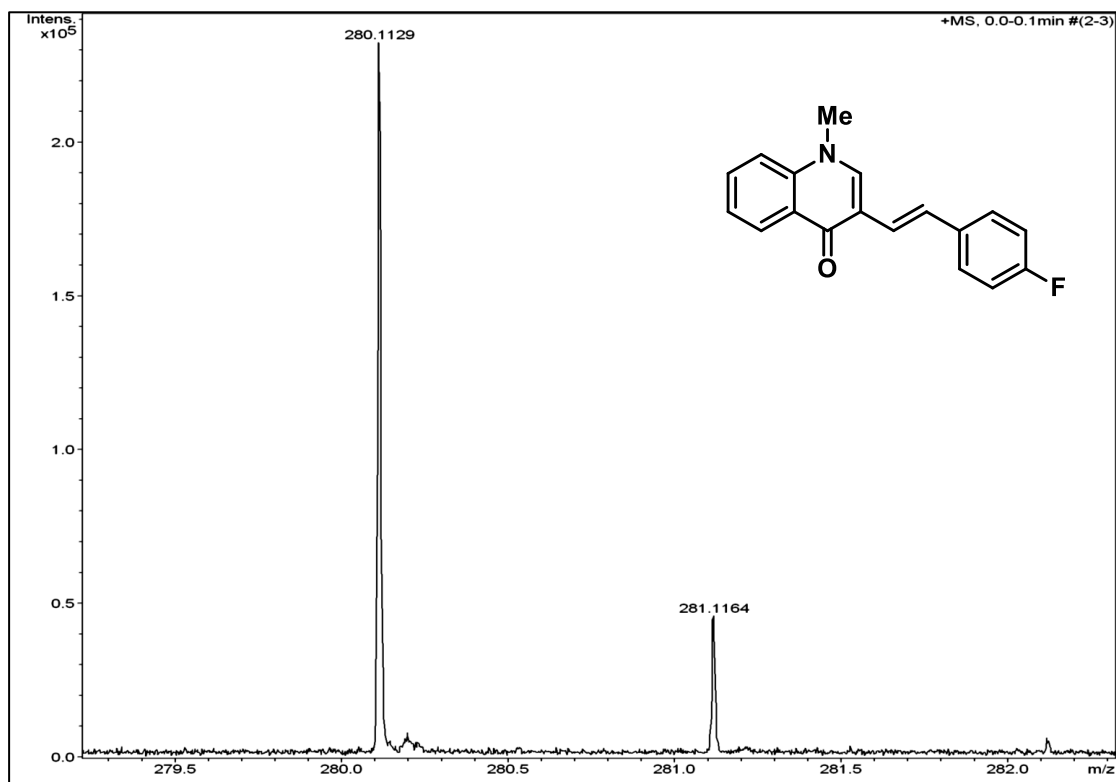
(E)-3-(4-fluorostyryl)-1-methylquinolin-4(1H)-one (1n)



400 MHz, ^1H NMR in CDCl_3

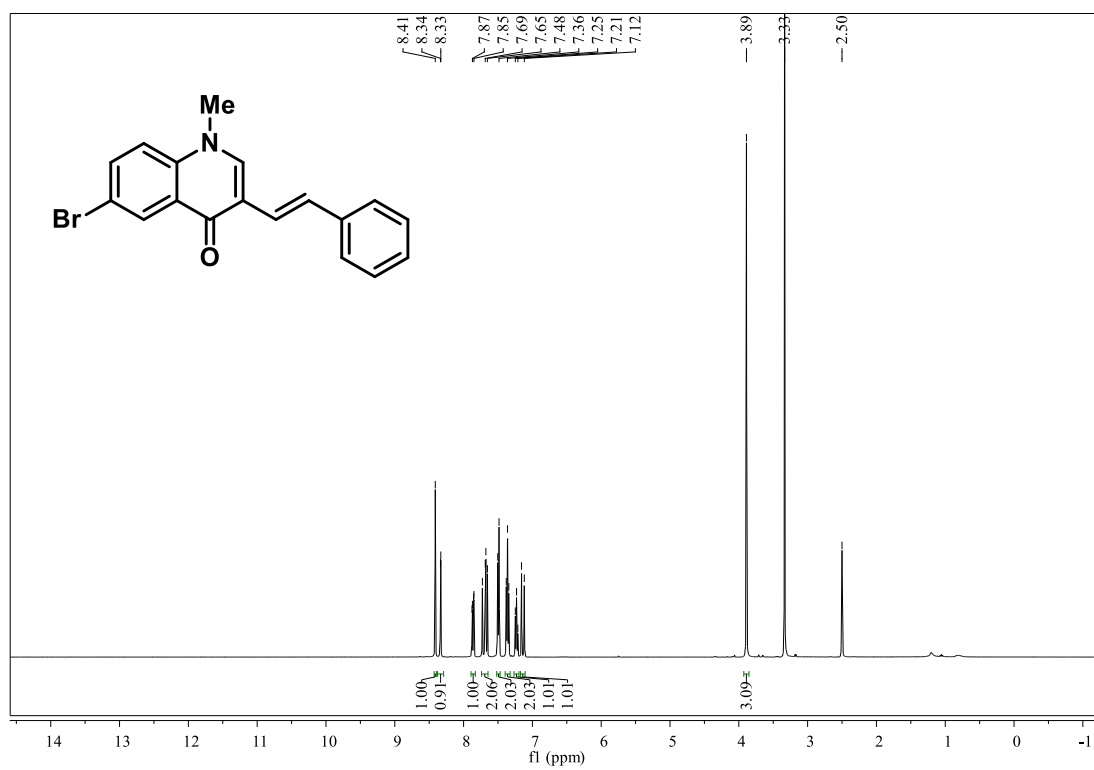


100 MHz, ^{13}C NMR in CDCl_3

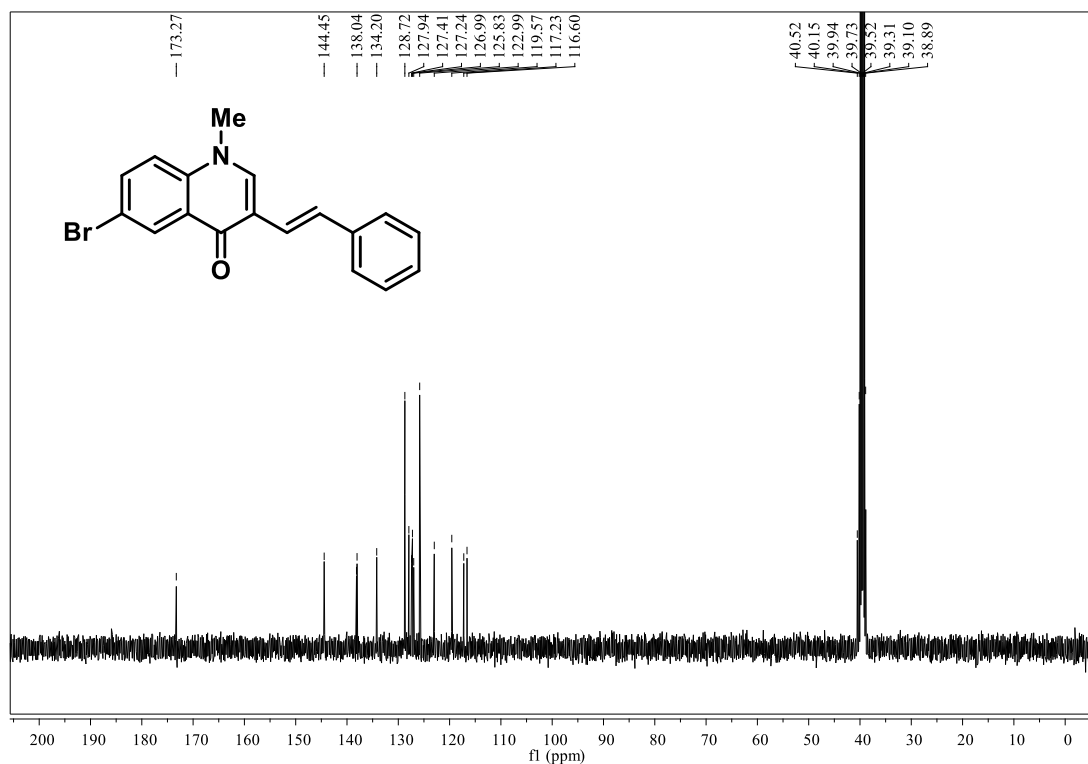


HRMS (MeOH)

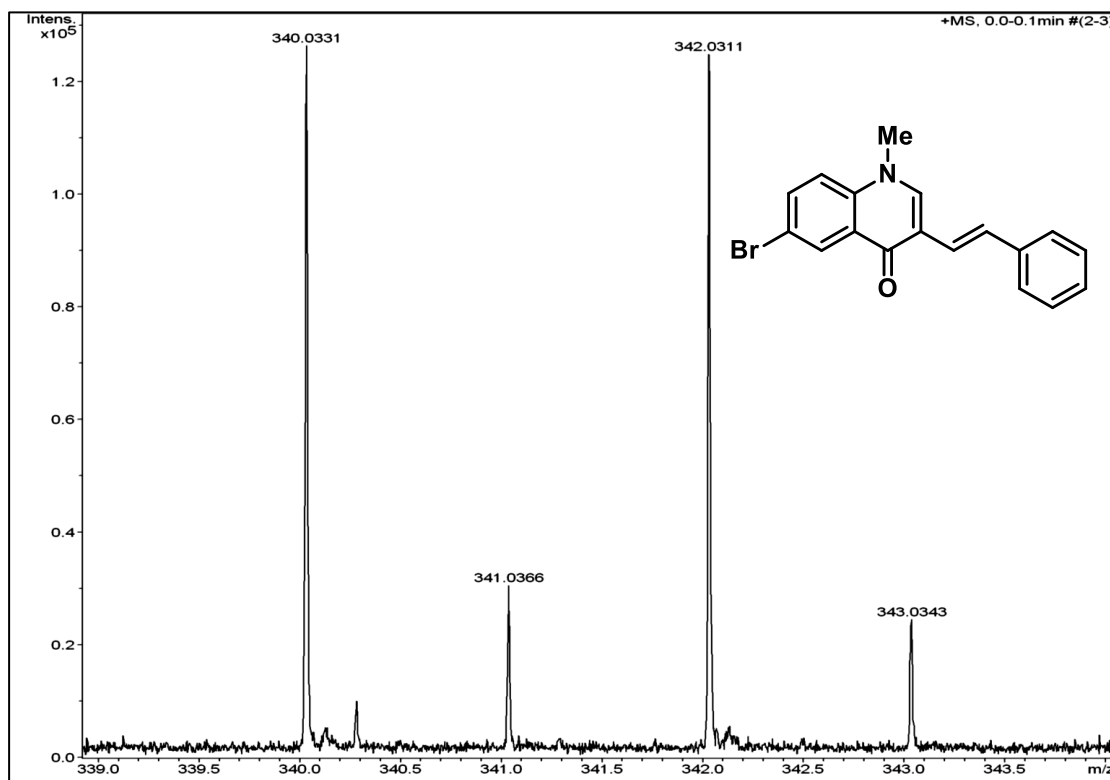
(E)-6-bromo-1-methyl-3-styrylquinolin-4(1H)-one (1o)



400 MHz, ^1H NMR in $\text{DMSO}-d_6$

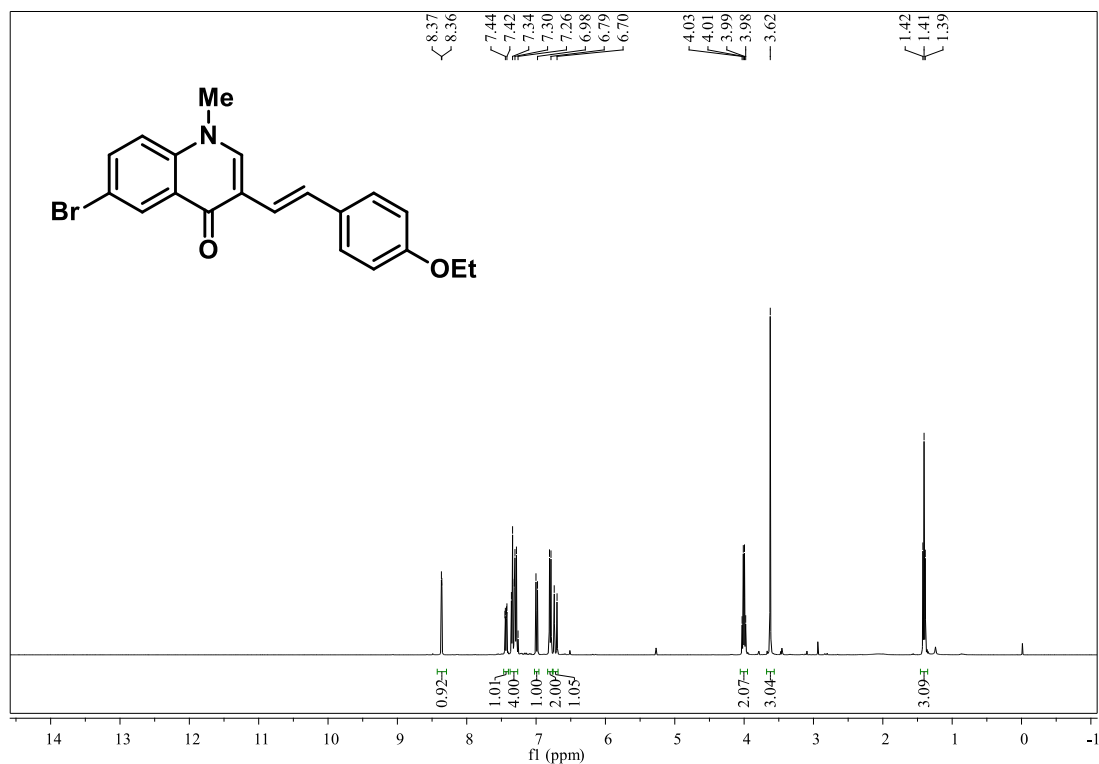


100 MHz, ¹³C NMR in DMSO-d₆

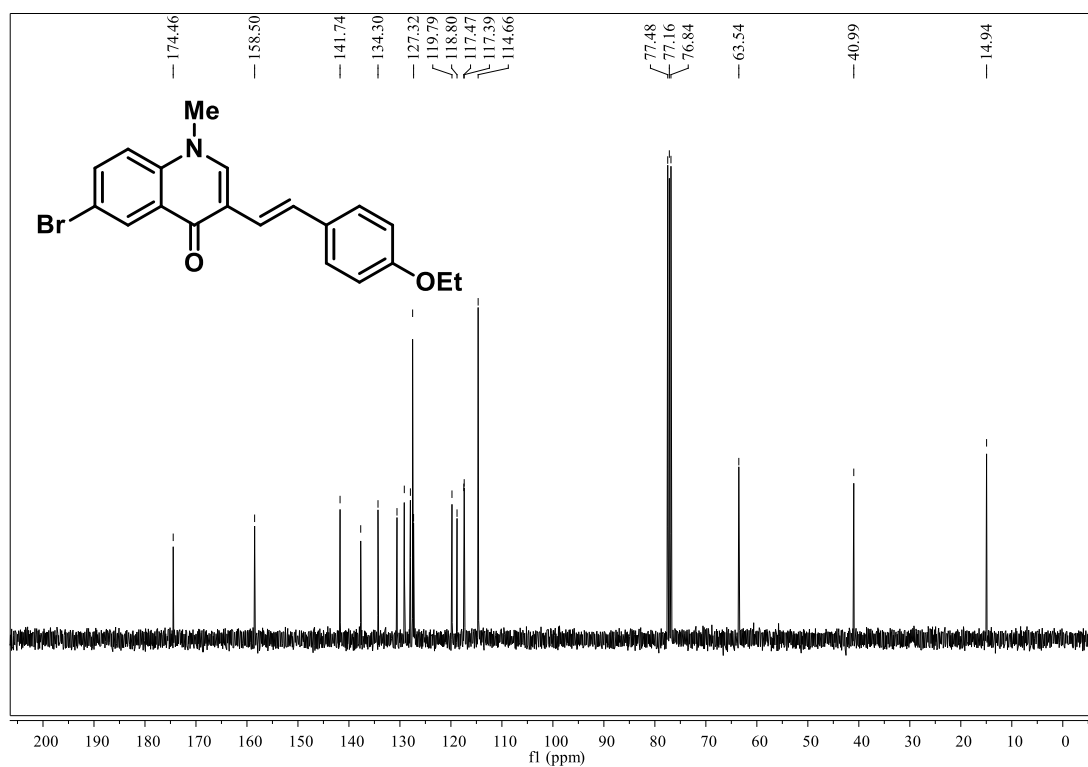


HRMS (MeOH)

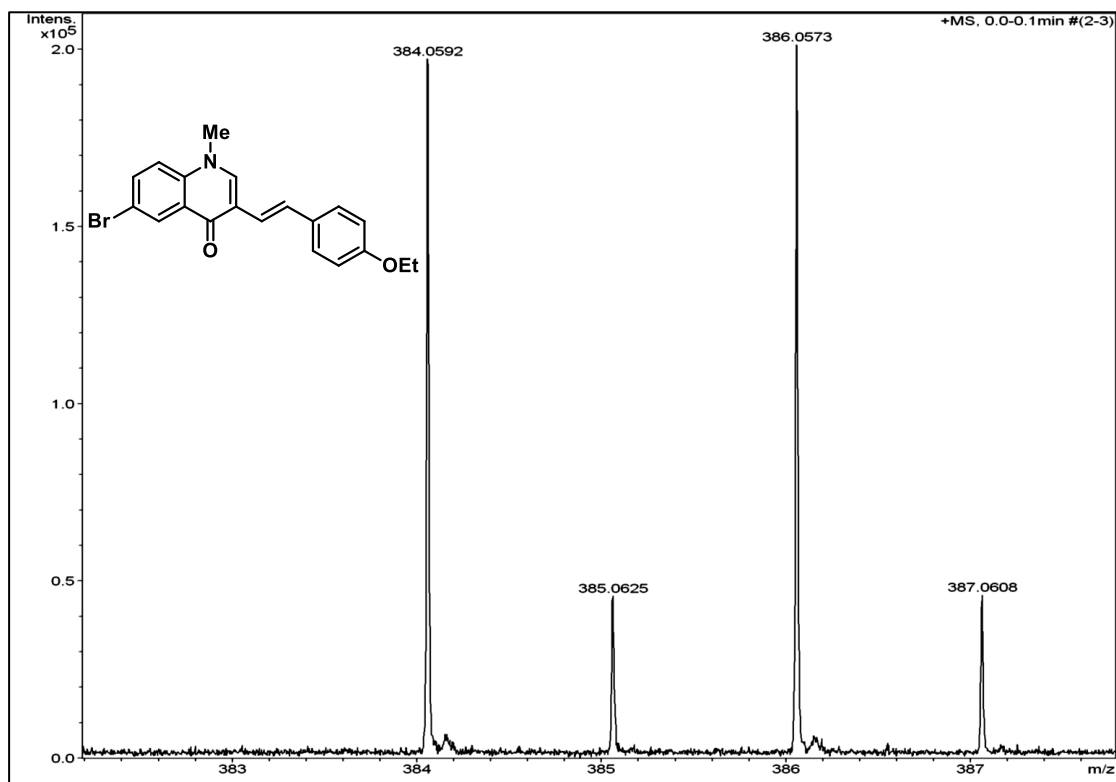
(E)-6-bromo-3-(4-ethoxystyryl)-1-methylquinolin-4(1H)-one (1p)



400 MHz, ¹H NMR in CDCl₃

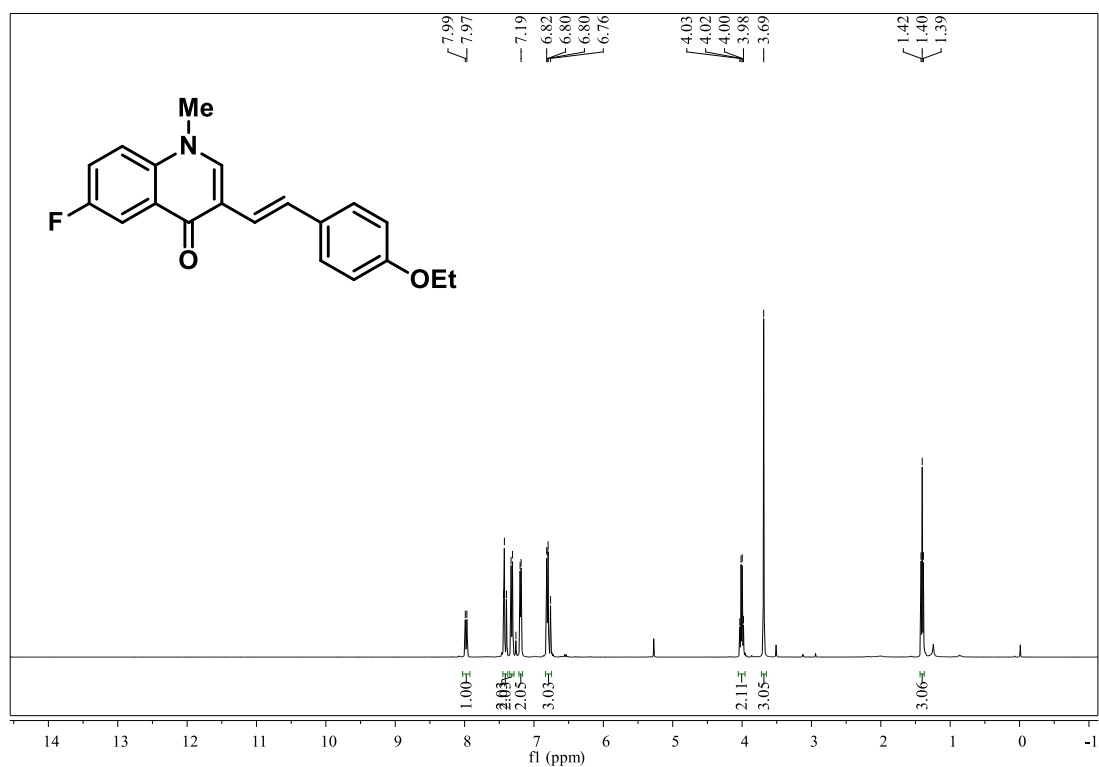


100 MHz, ¹³C NMR in CDCl₃

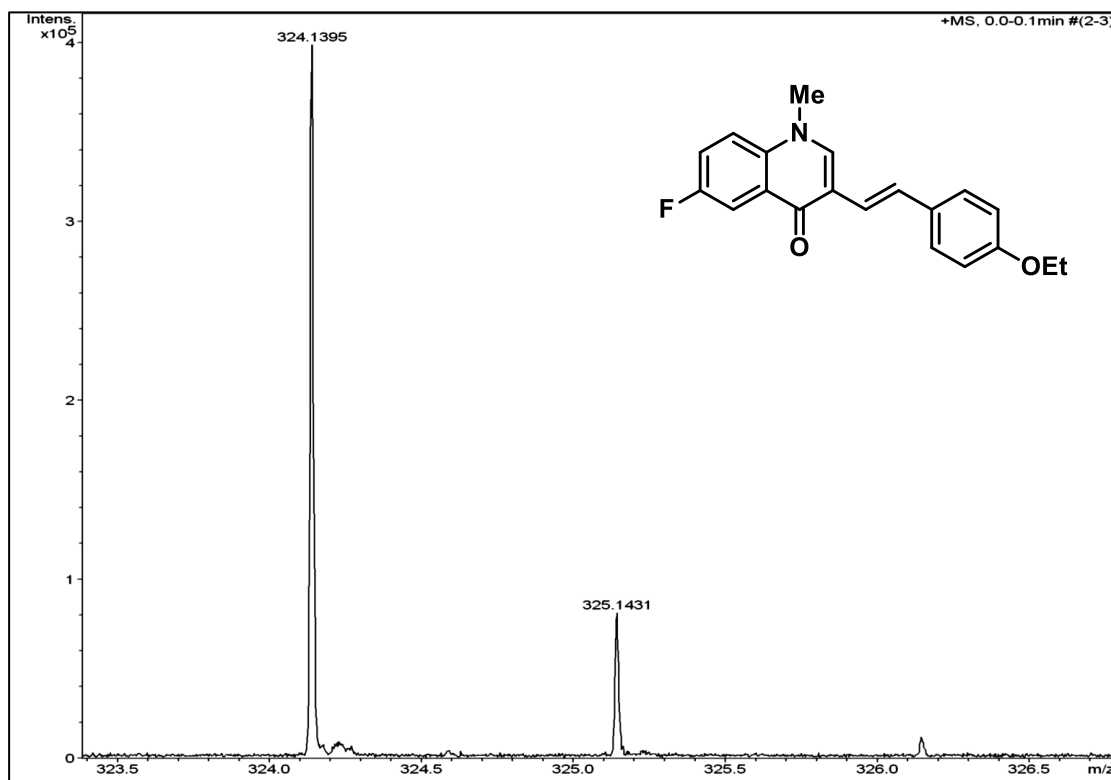
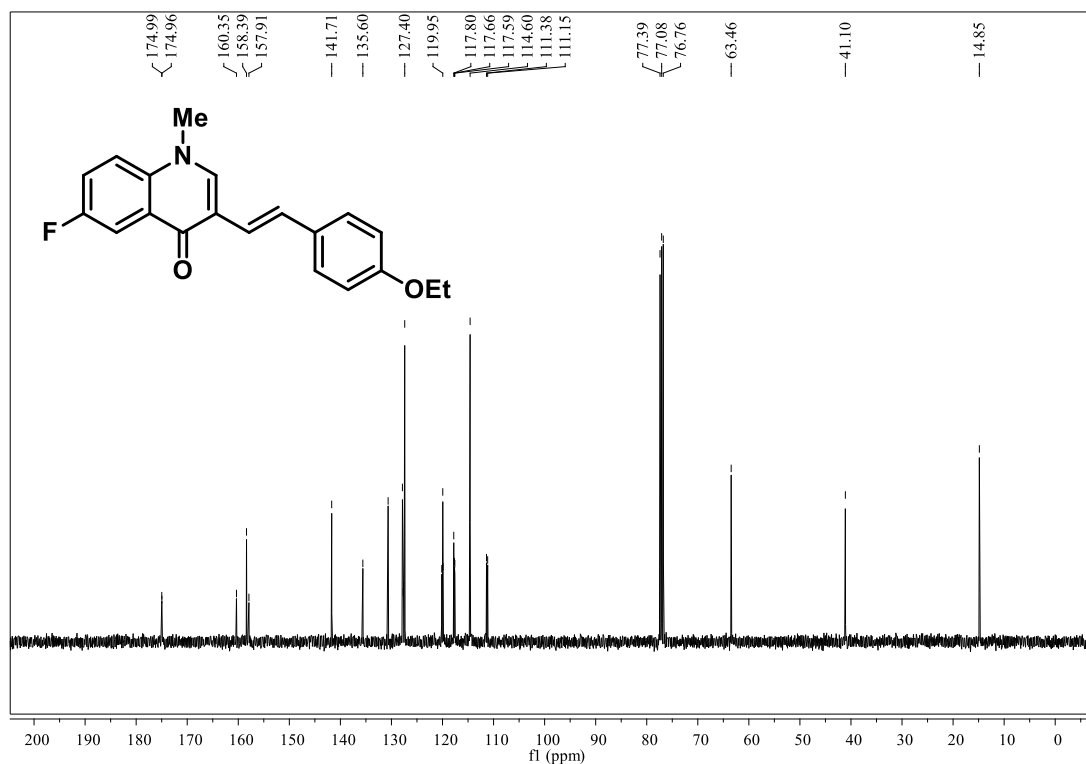


HRMS (MeOH)

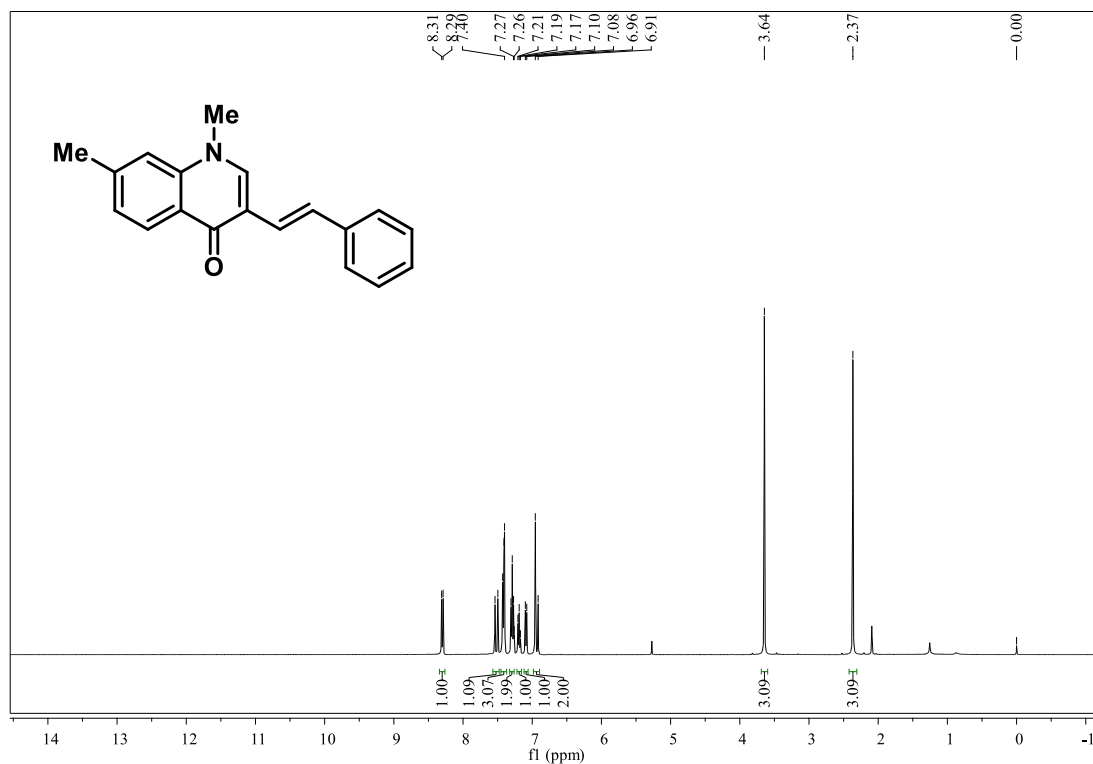
(*E*)-3-(4-ethoxystyryl)-6-fluoro-1-methylquinolin-4(1*H*)-one (1q)



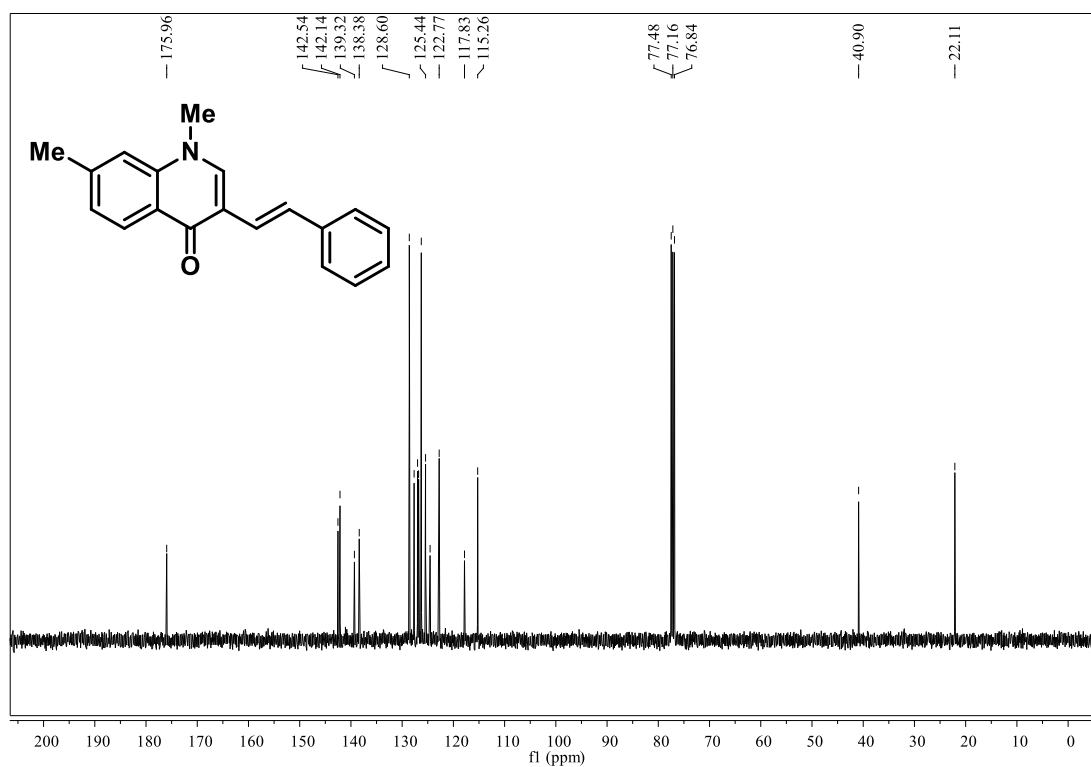
400 MHz, ¹H NMR in CDCl₃



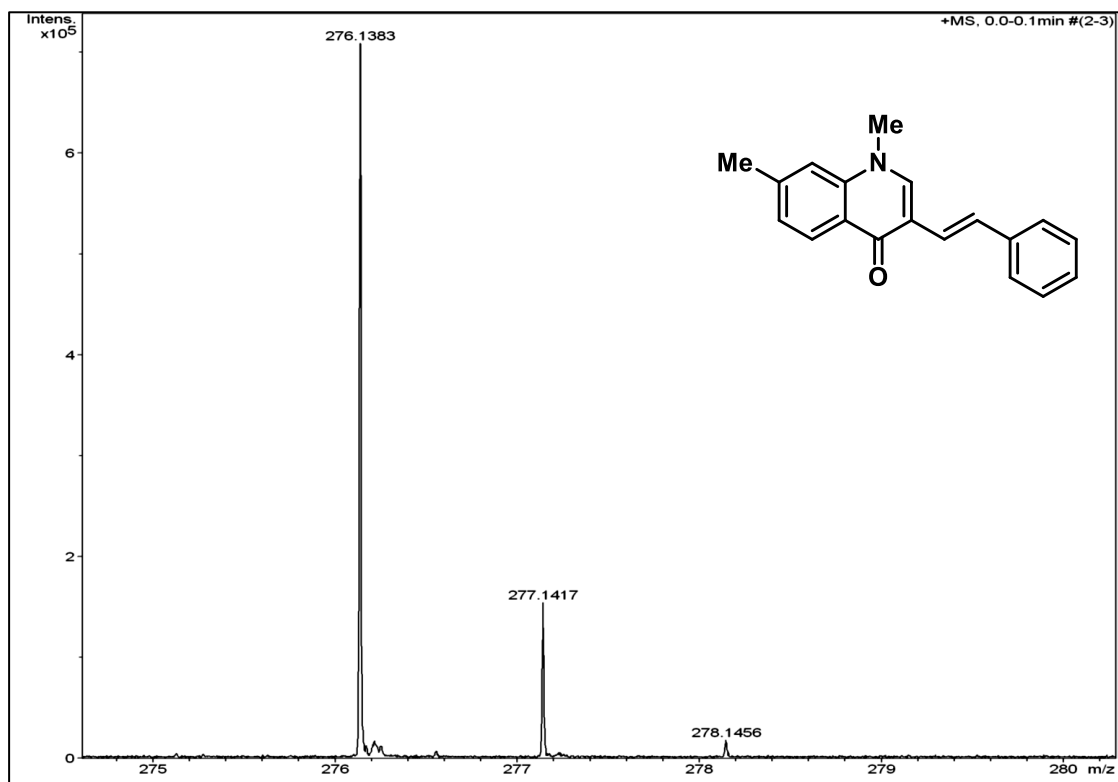
(E)-1,7-dimethyl-3-styrylquinolin-4(1H)-one (1r)



400 MHz, ¹H NMR in CDCl₃

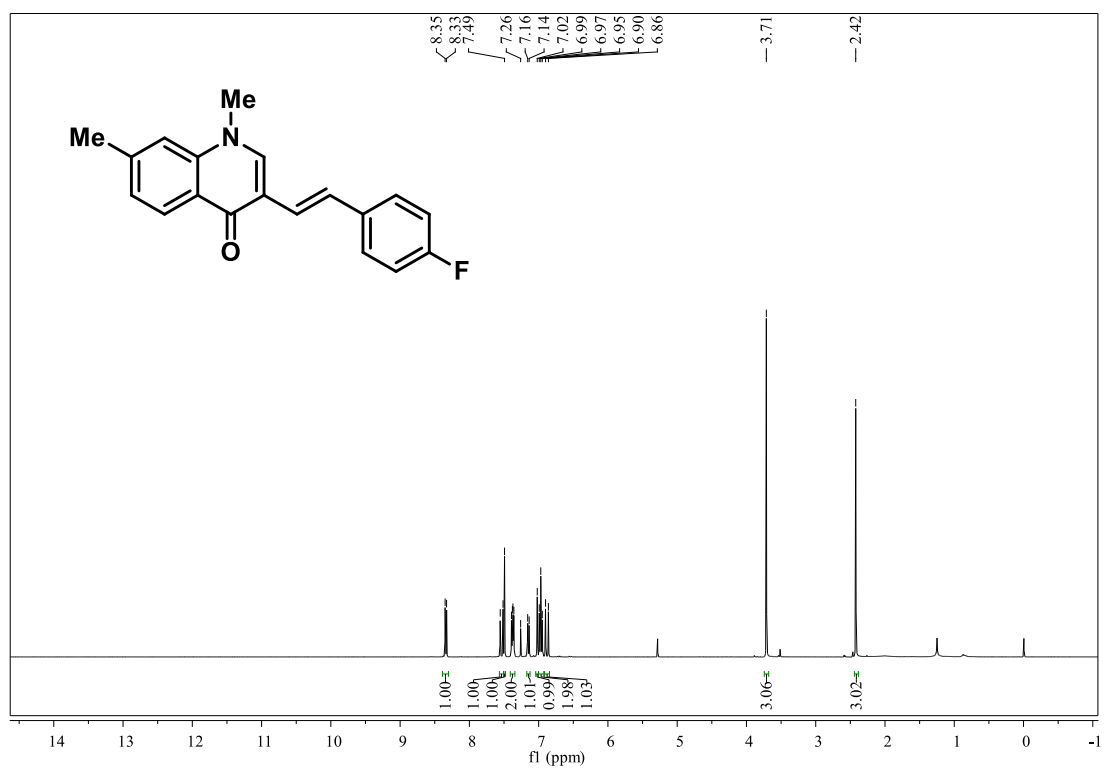


100 MHz, ¹³C NMR in CDCl₃

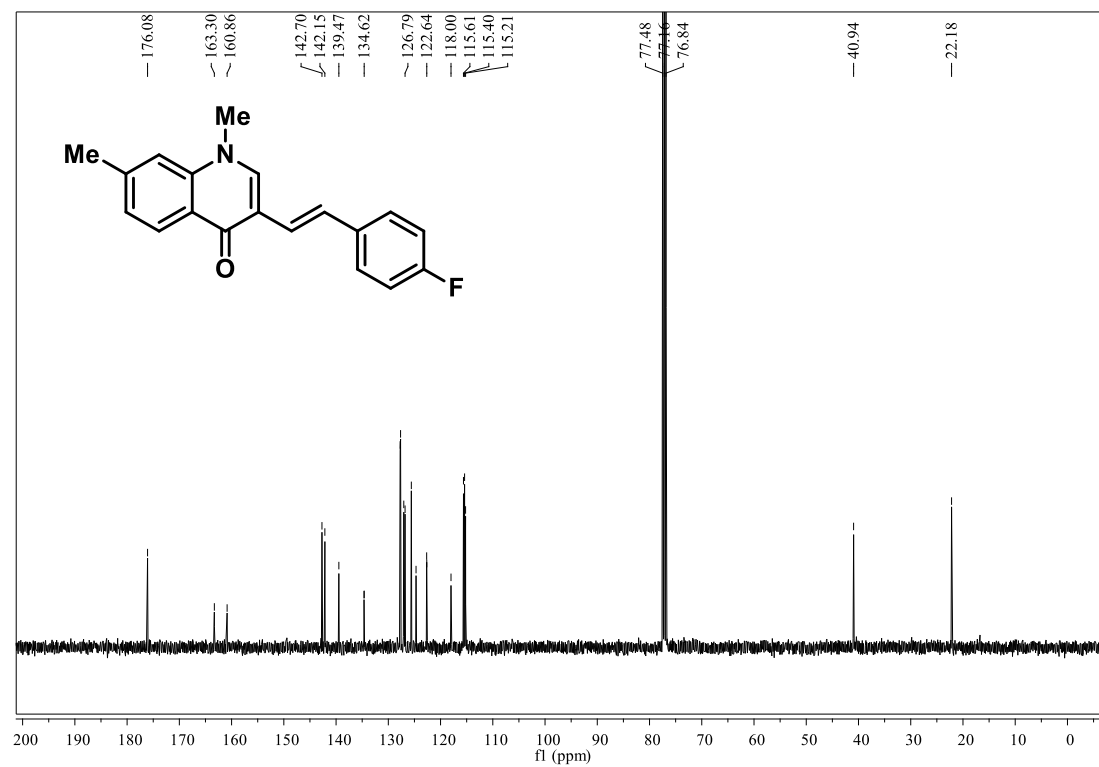


HRMS (MeOH)

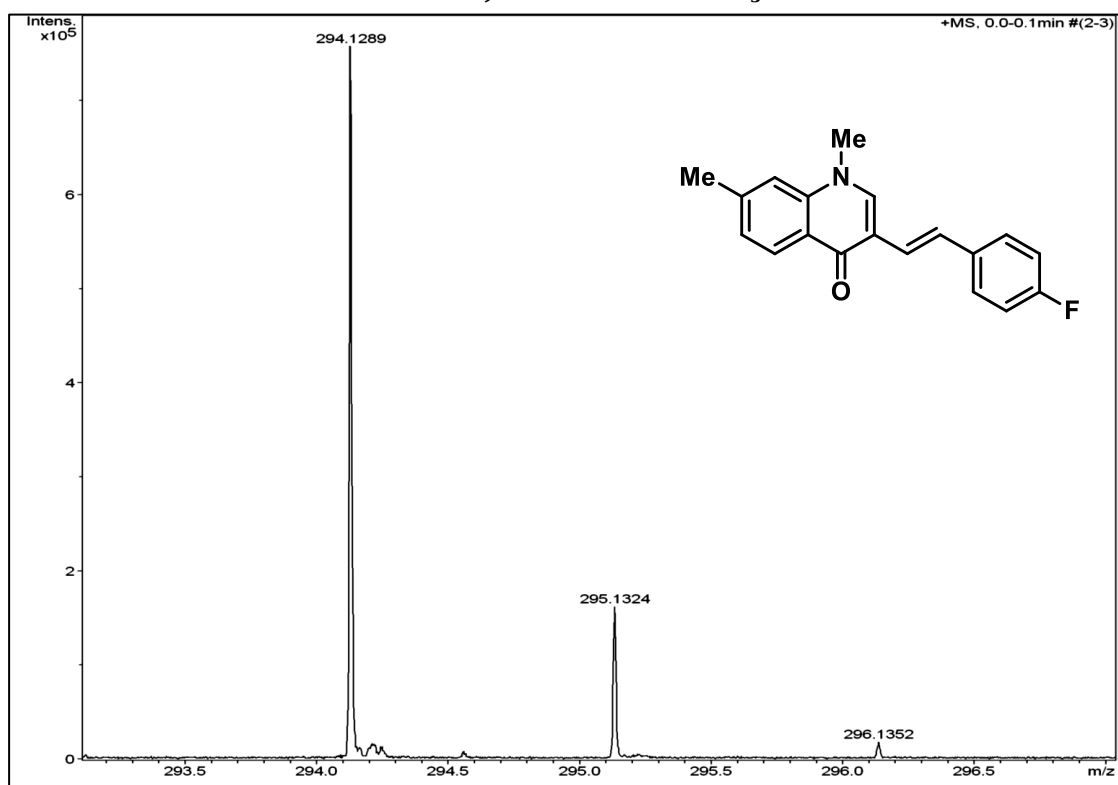
(*E*)-3-(4-fluorostyryl)-1,7-dimethylquinolin-4(1*H*)-one (1s)



400 MHz, ^1H NMR in CDCl_3

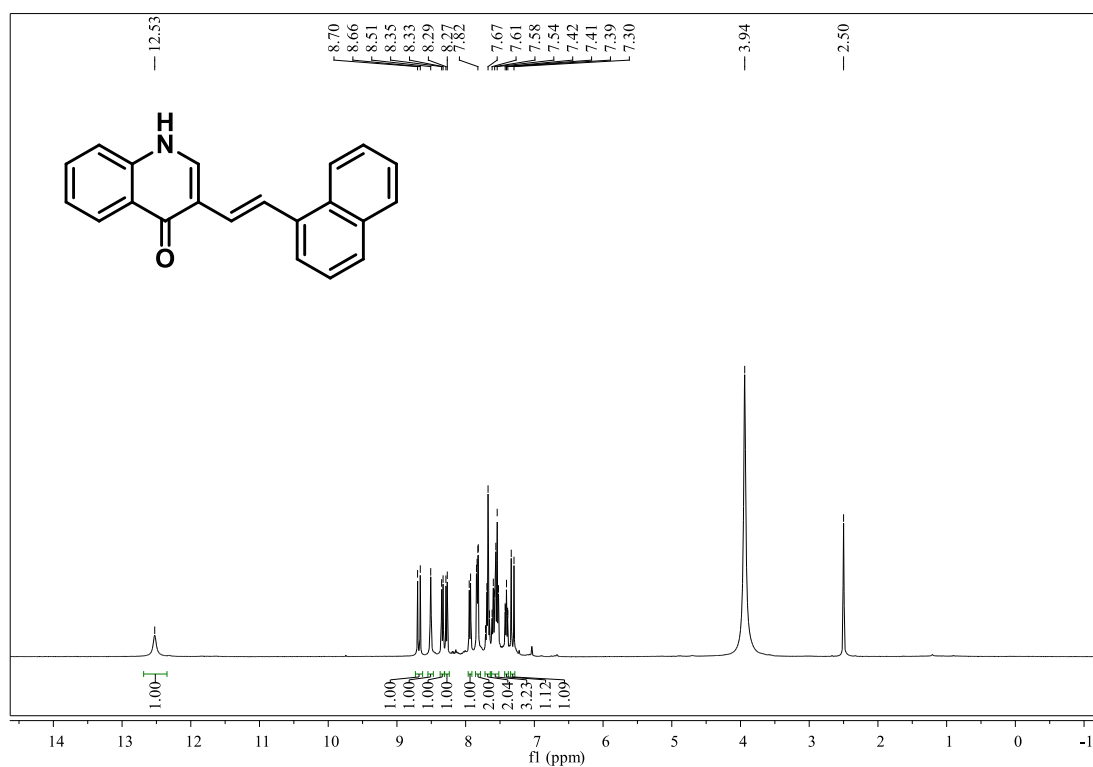


100 MHz, ^{13}C NMR in CDCl_3

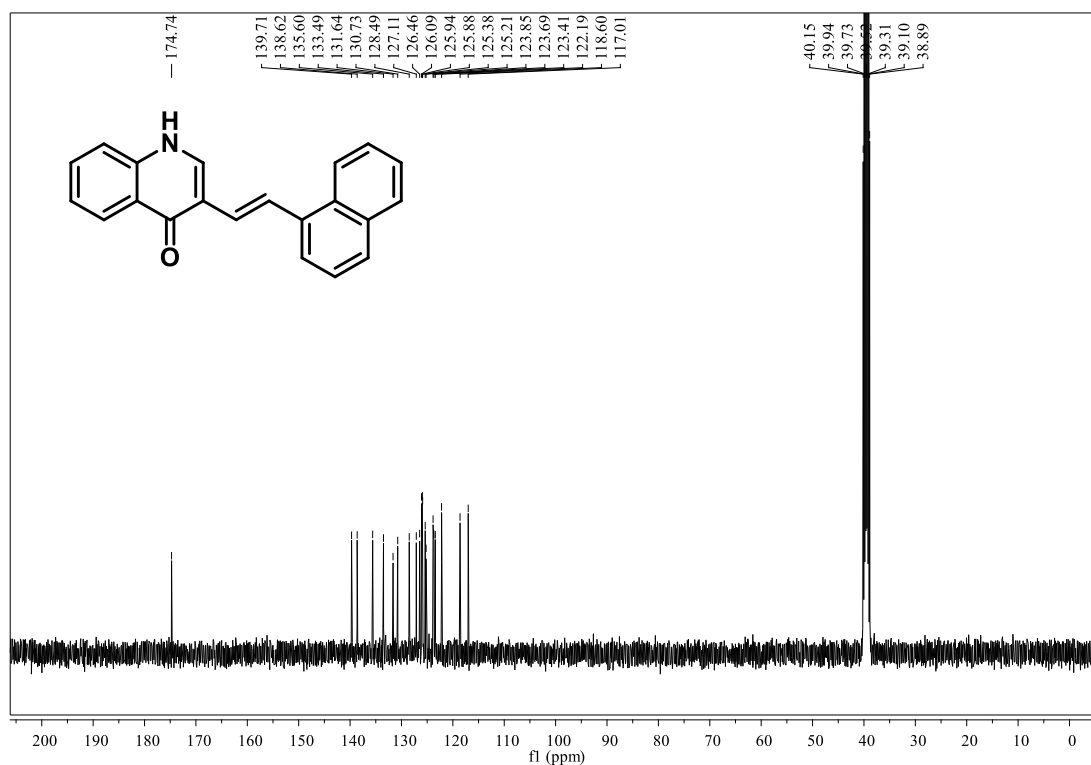


HRMS (MeOH)

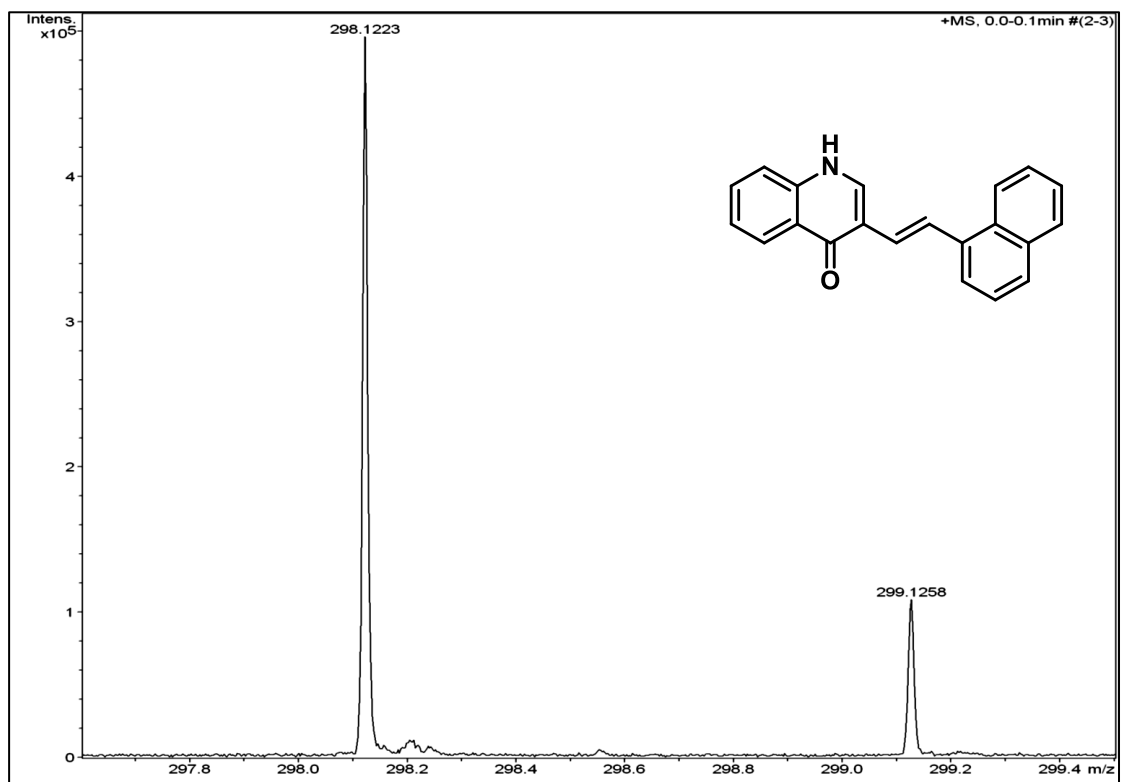
(E)-3-(2-(naphthalen-1-yl)vinyl)quinolin-4(1H)-one (1t)



400 MHz, ¹H NMR in DMSO-*d*₆

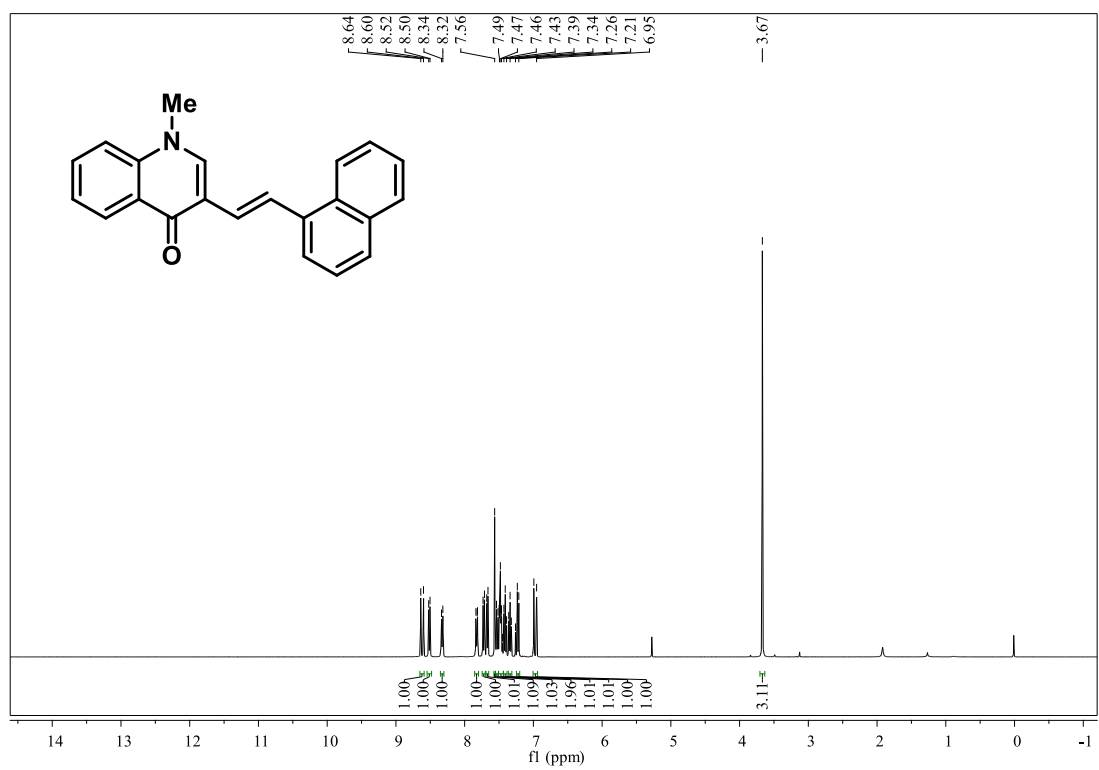


100 MHz, ¹³C NMR in DMSO-*d*₆

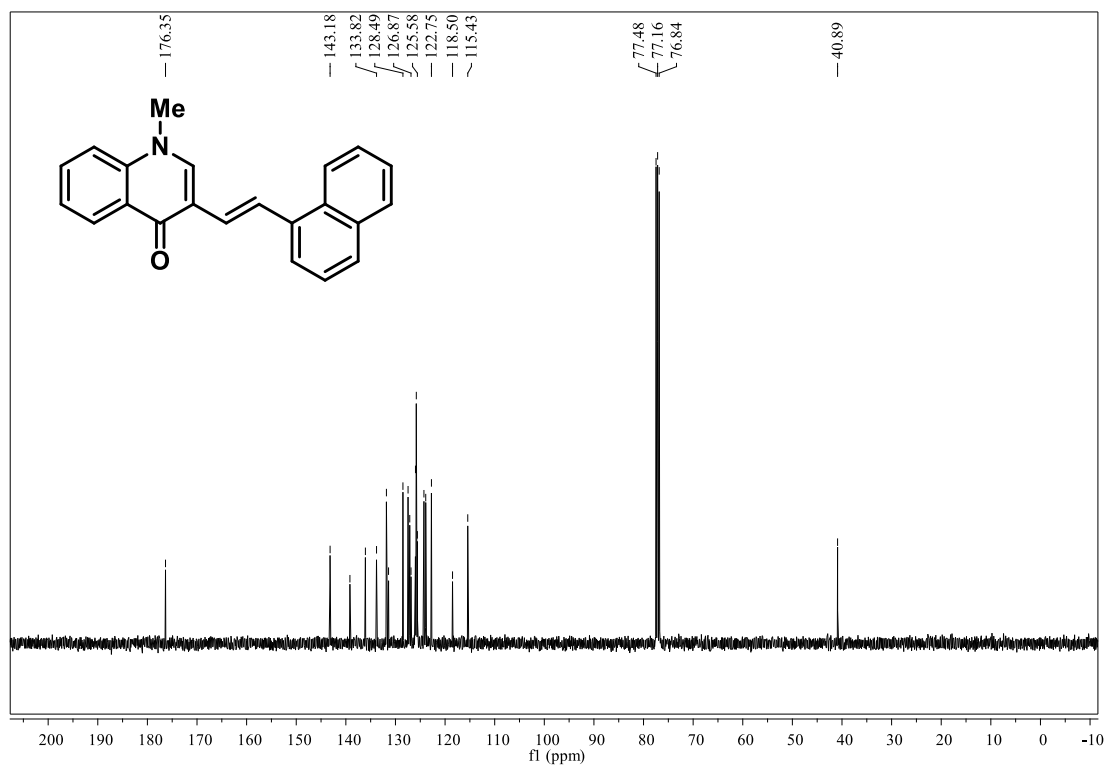


HRMS (MeOH)

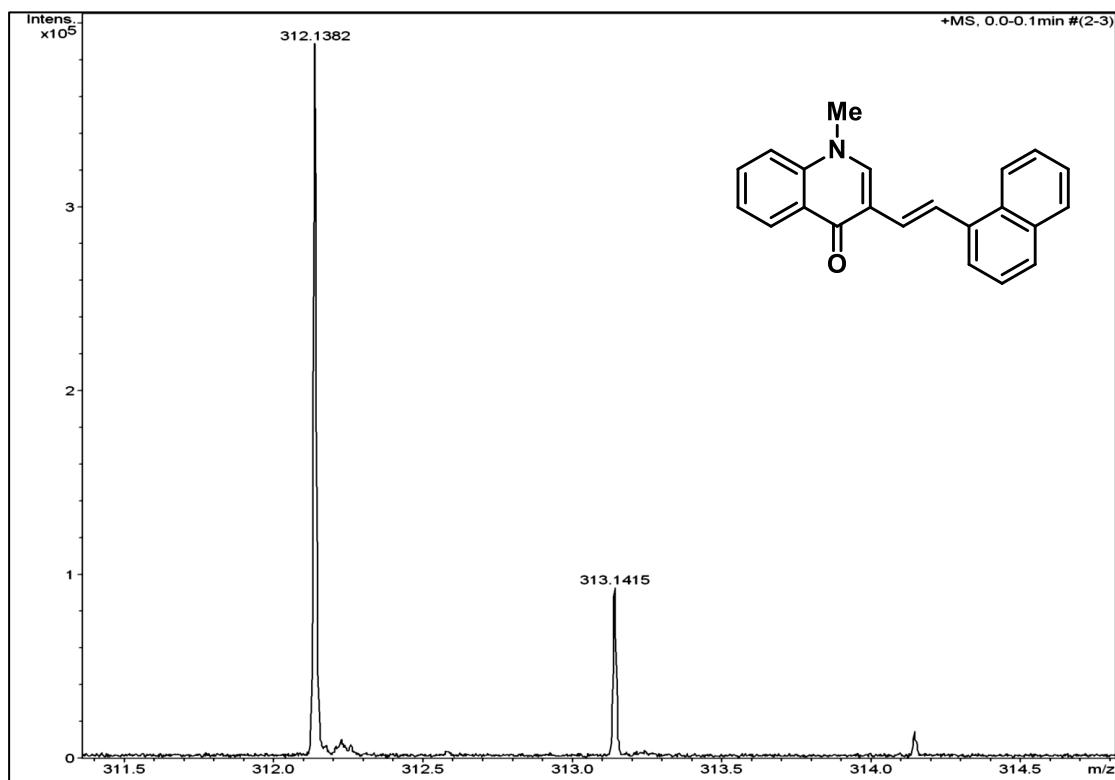
(*E*)-1-methyl-3-(2-(naphthalen-1-yl)vinyl)quinolin-4(1*H*)-one (1u)



400 MHz, ¹H NMR in CDCl₃

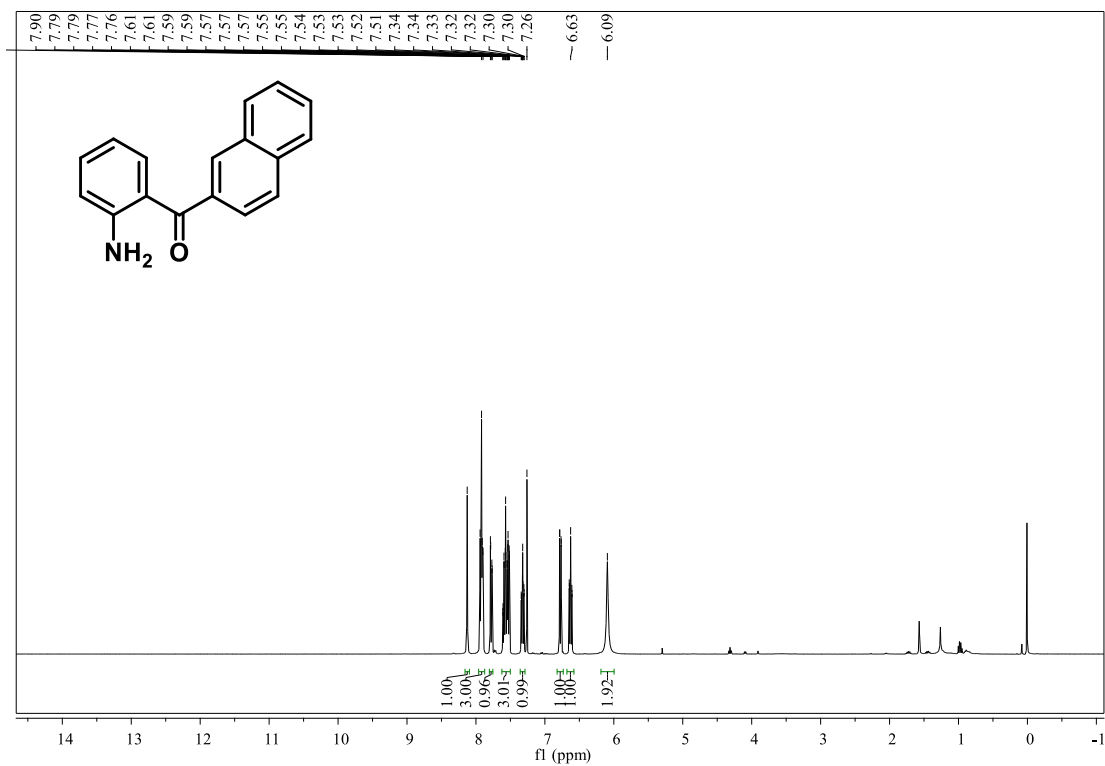


100 MHz, ^{13}C NMR in CDCl_3

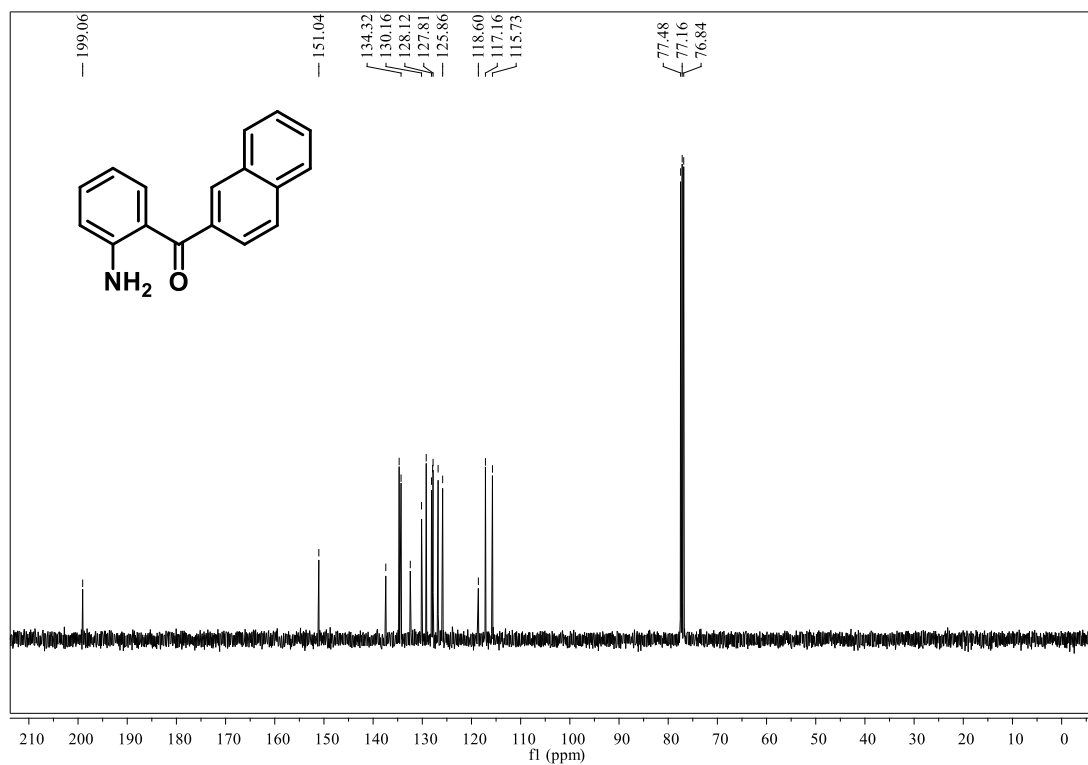


HRMS (MeOH)

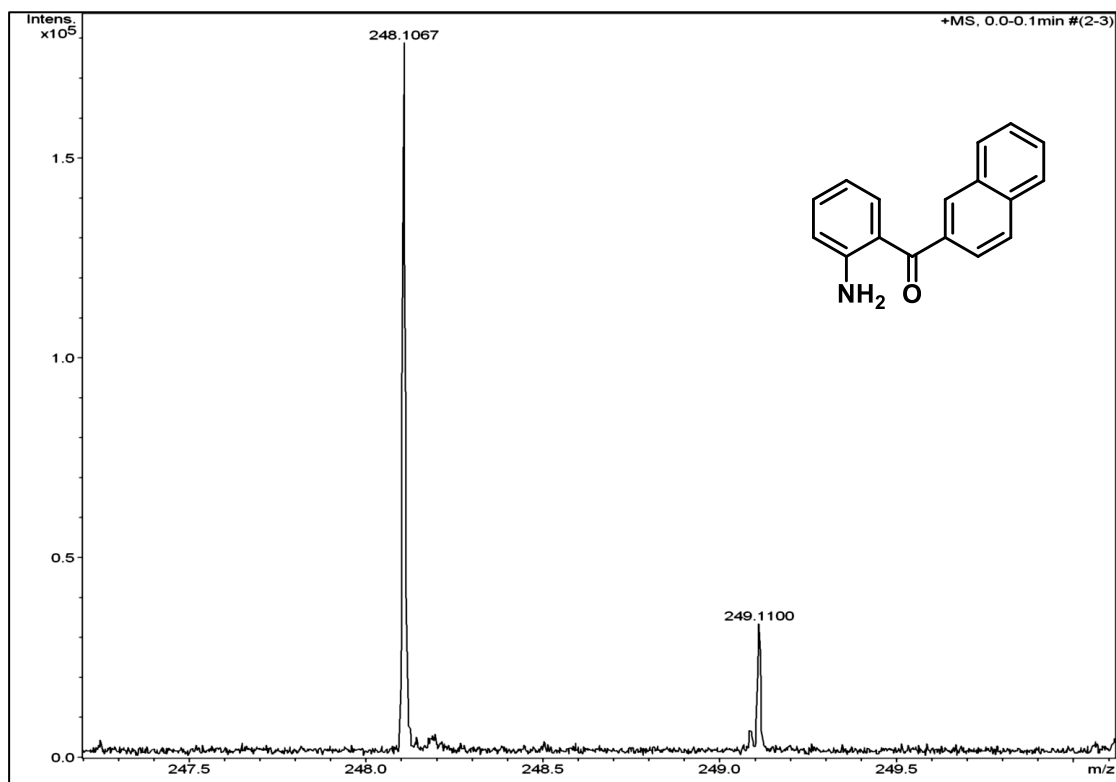
(2-Aminophenyl)(naphthalen-2-yl)methanone (2a)



400 MHz, ¹H NMR in CDCl₃

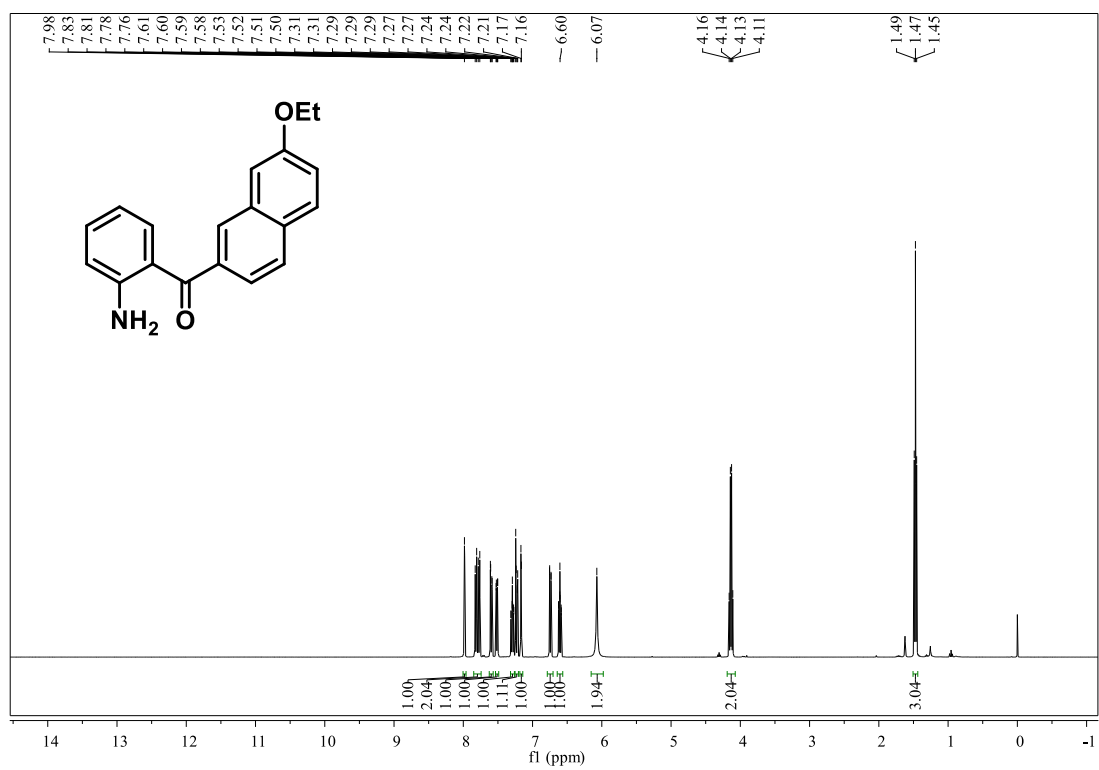


100 MHz, ¹³C NMR in CDCl₃

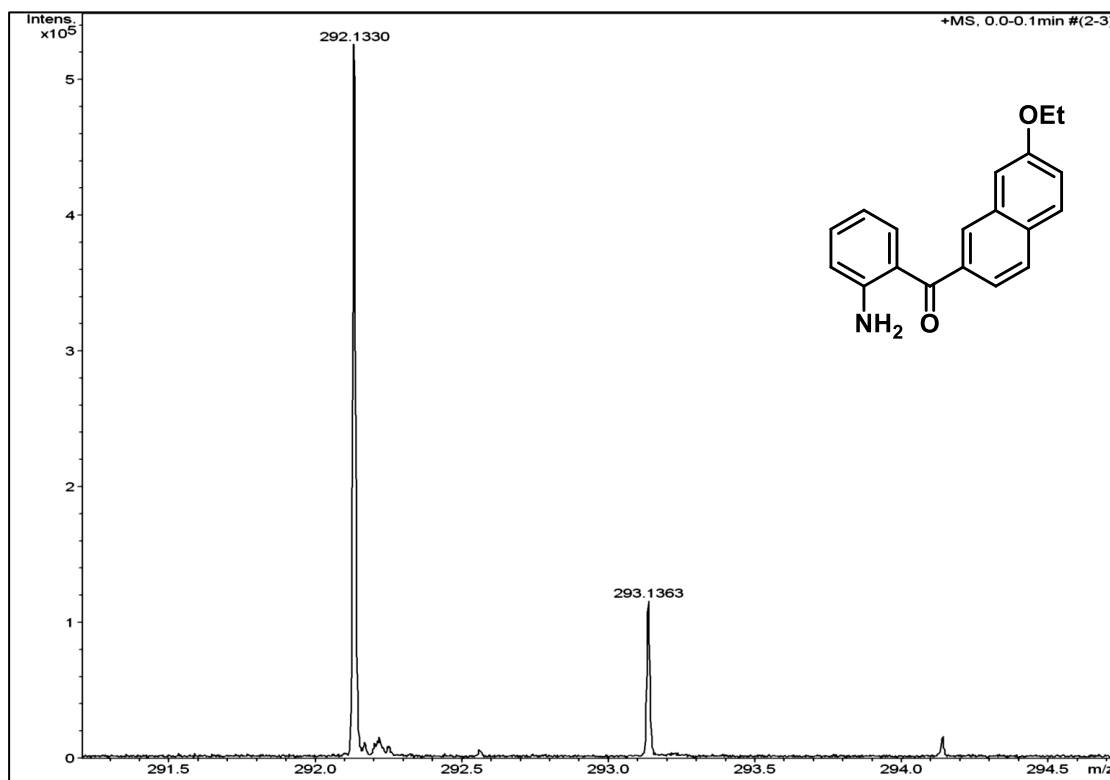
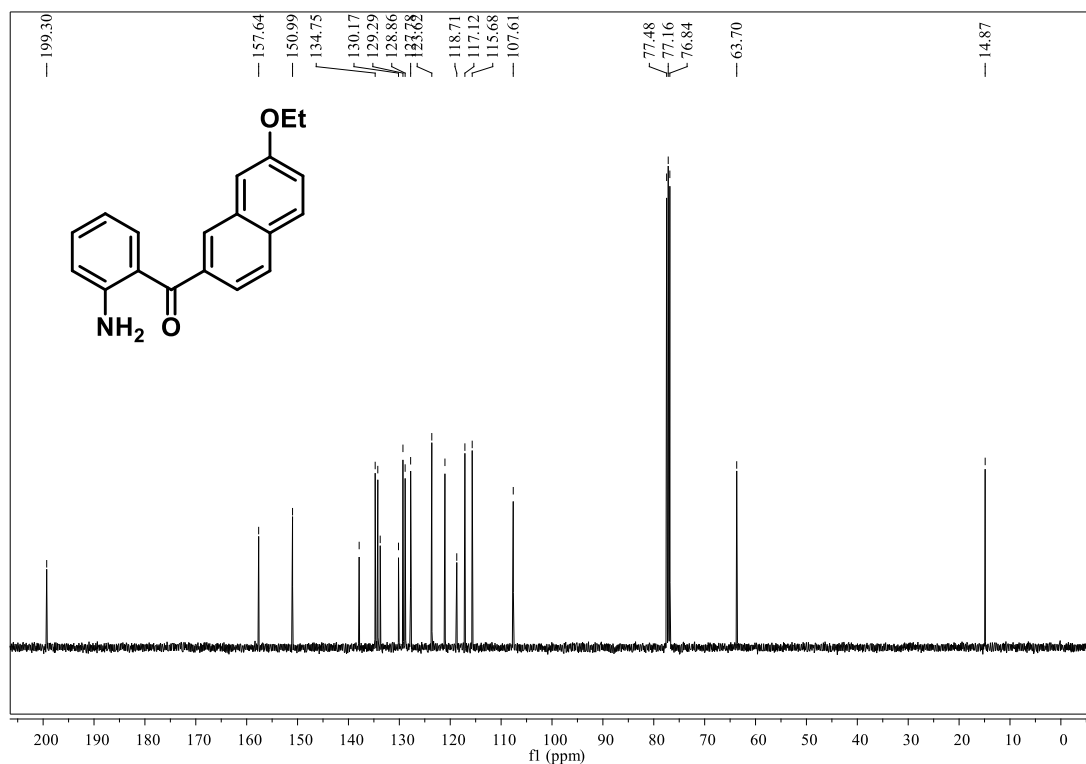


HRMS (MeOH)

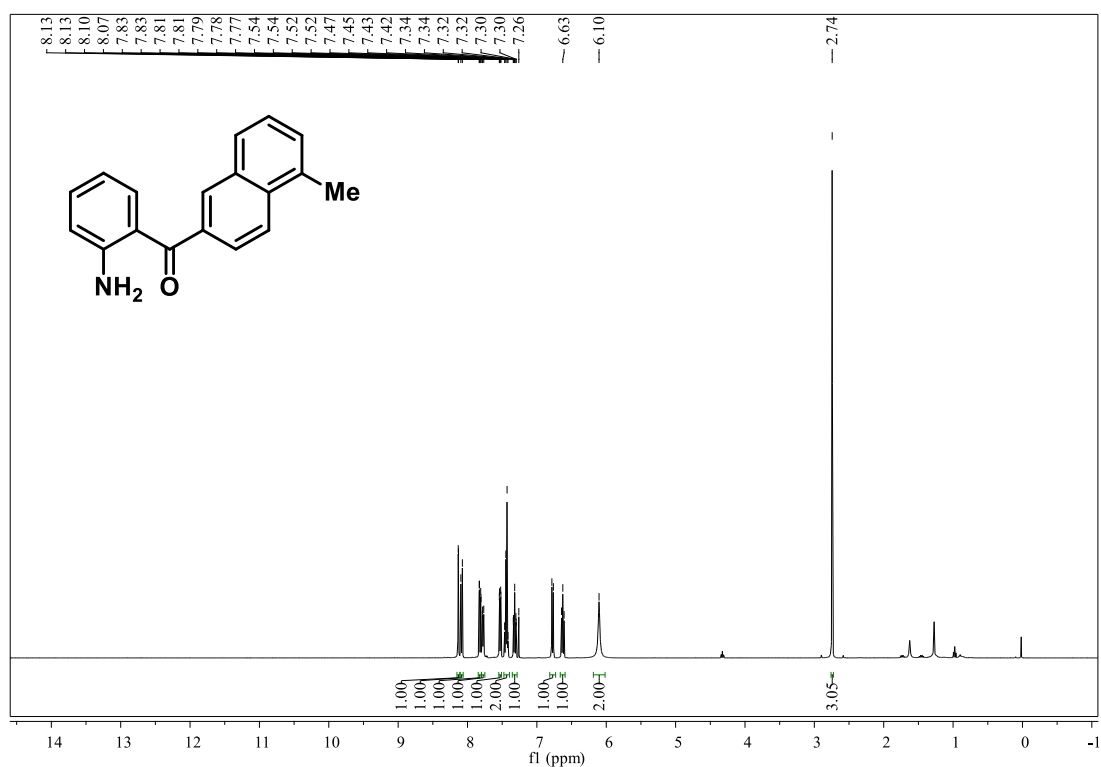
(2-Aminophenyl)(7-ethoxynaphthalen-2-yl)methanone (2b)



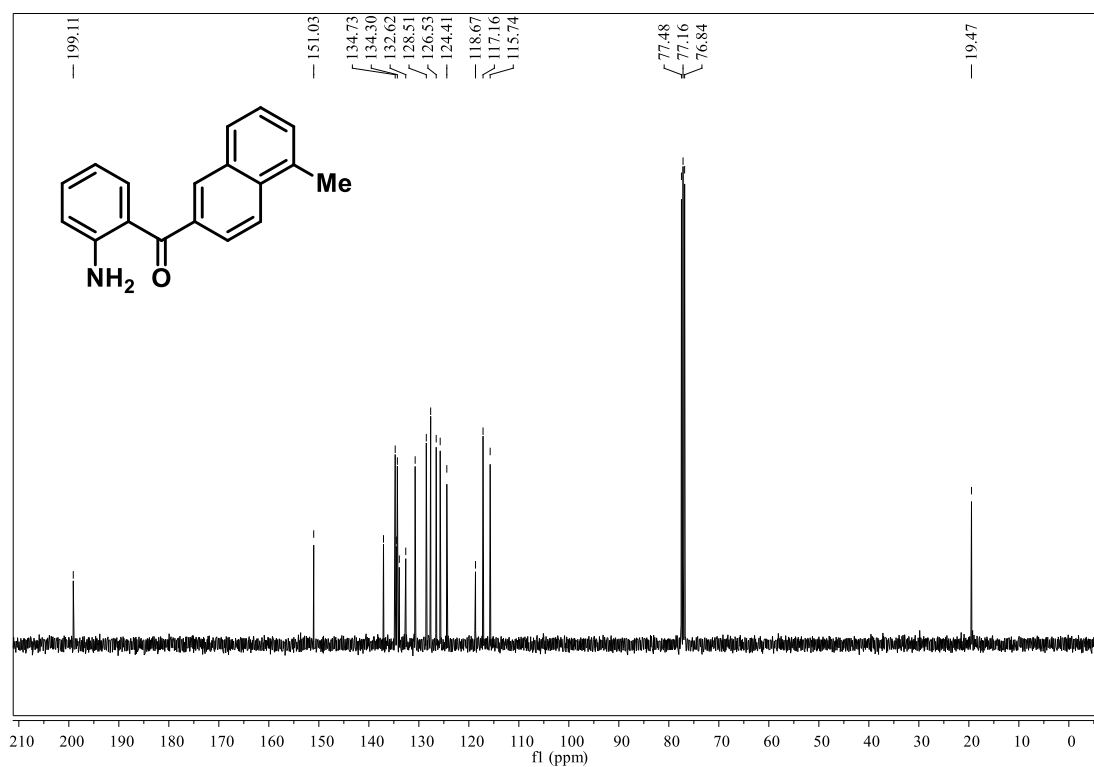
400 MHz, ¹H NMR in CDCl₃



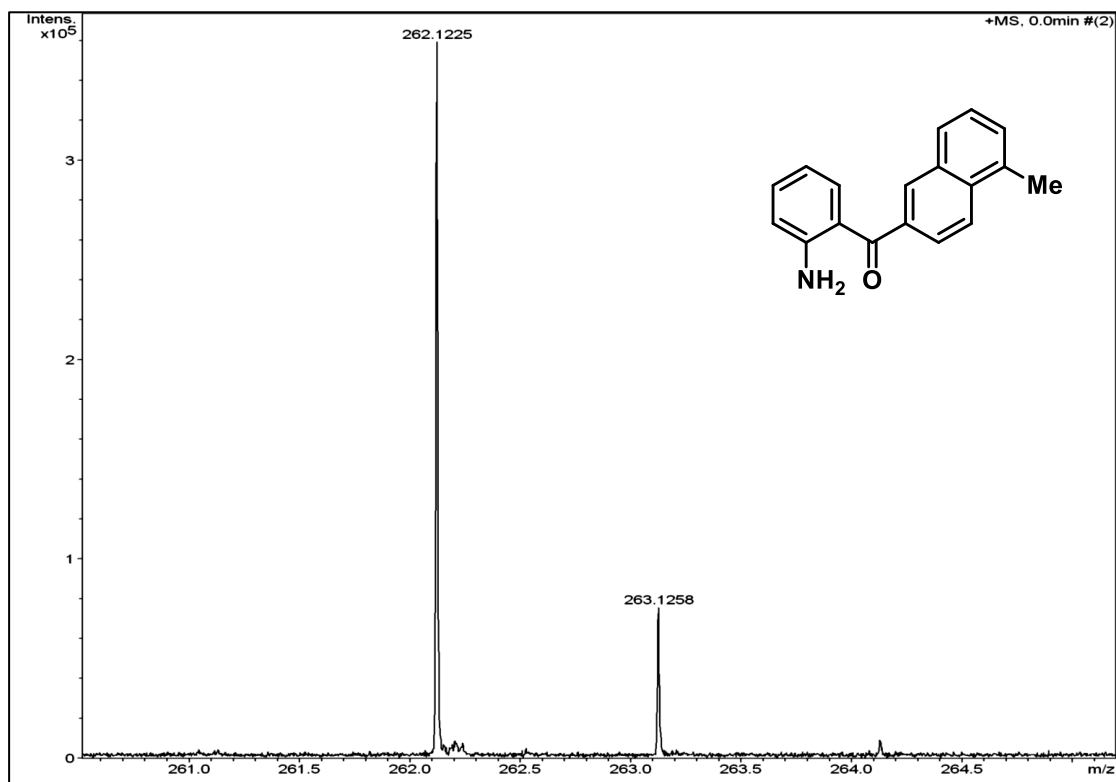
(2-Aminophenyl)(5-methylnaphthalen-2-yl)methanone (2c)



400 MHz, ^1H NMR in CDCl_3

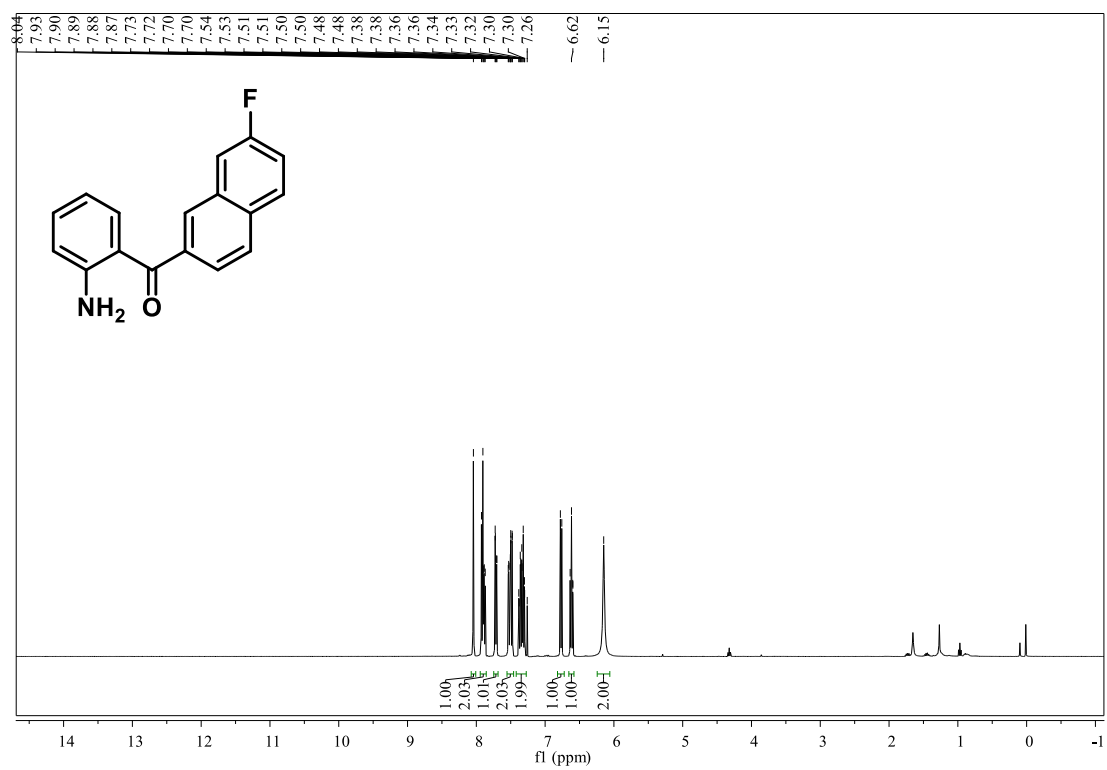


100 MHz, ^{13}C NMR in CDCl_3

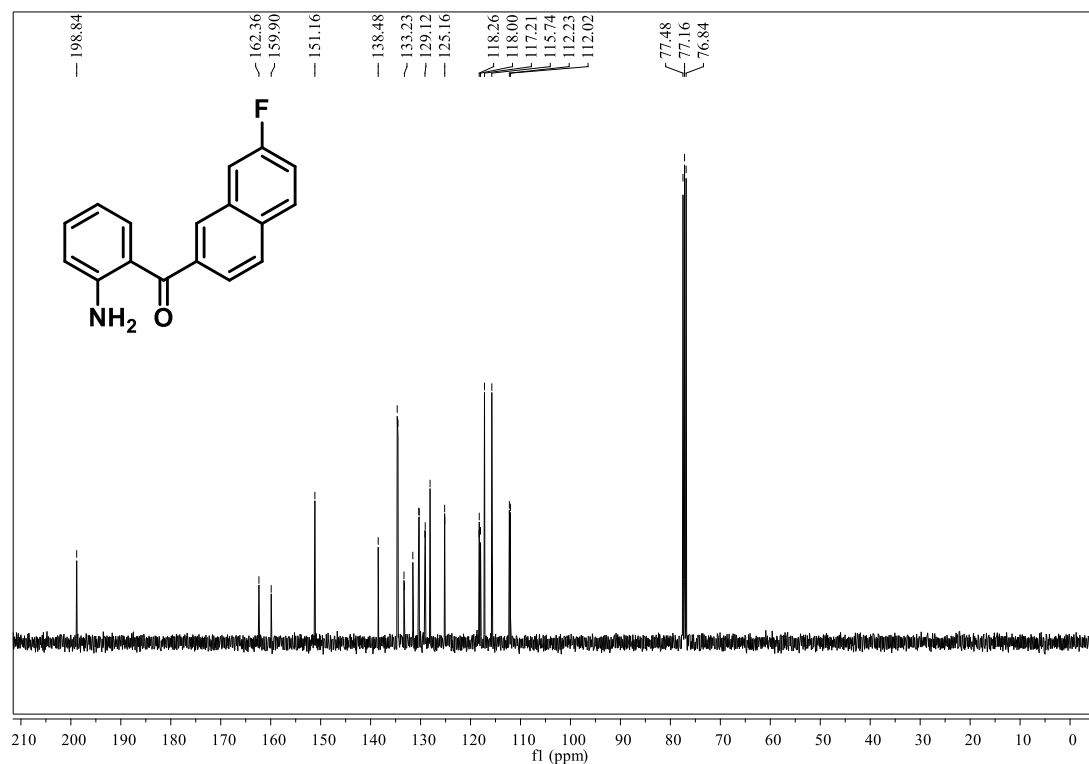


HRMS (MeOH)

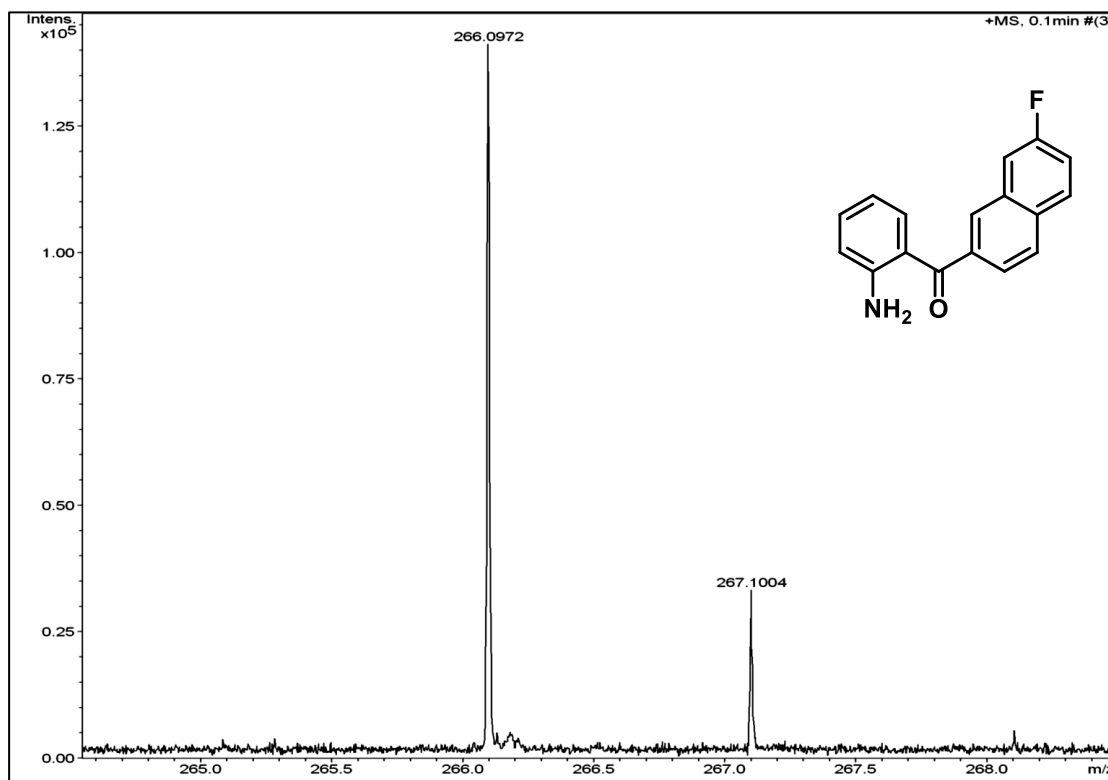
(2-Aminophenyl)(7-fluoronaphthalen-2-yl)methanone (2d)



400 MHz, ^1H NMR in CDCl_3

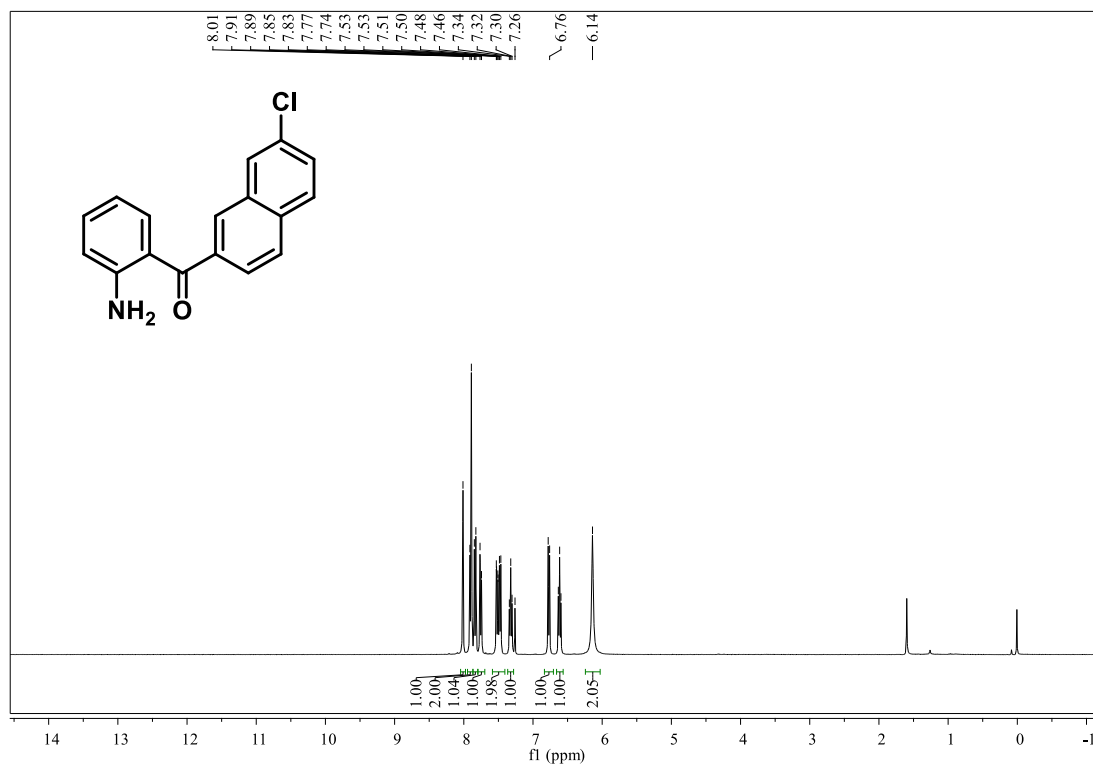


100 MHz, ^{13}C NMR in CDCl_3

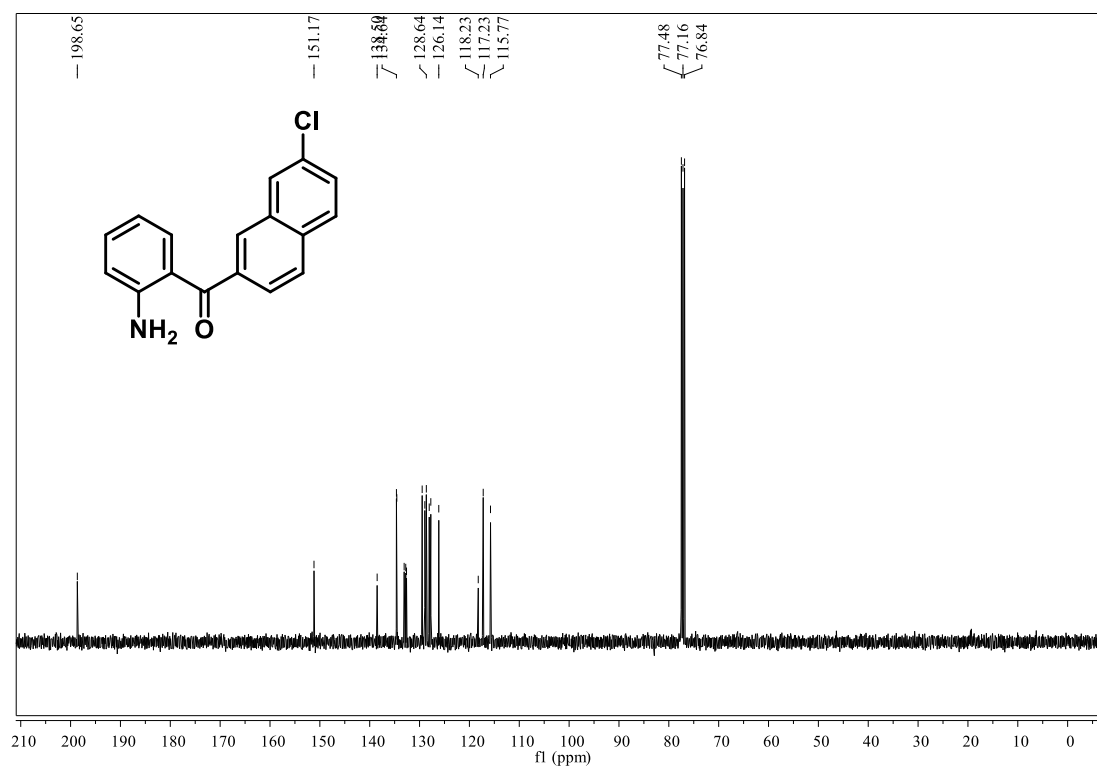


HRMS (MeOH)

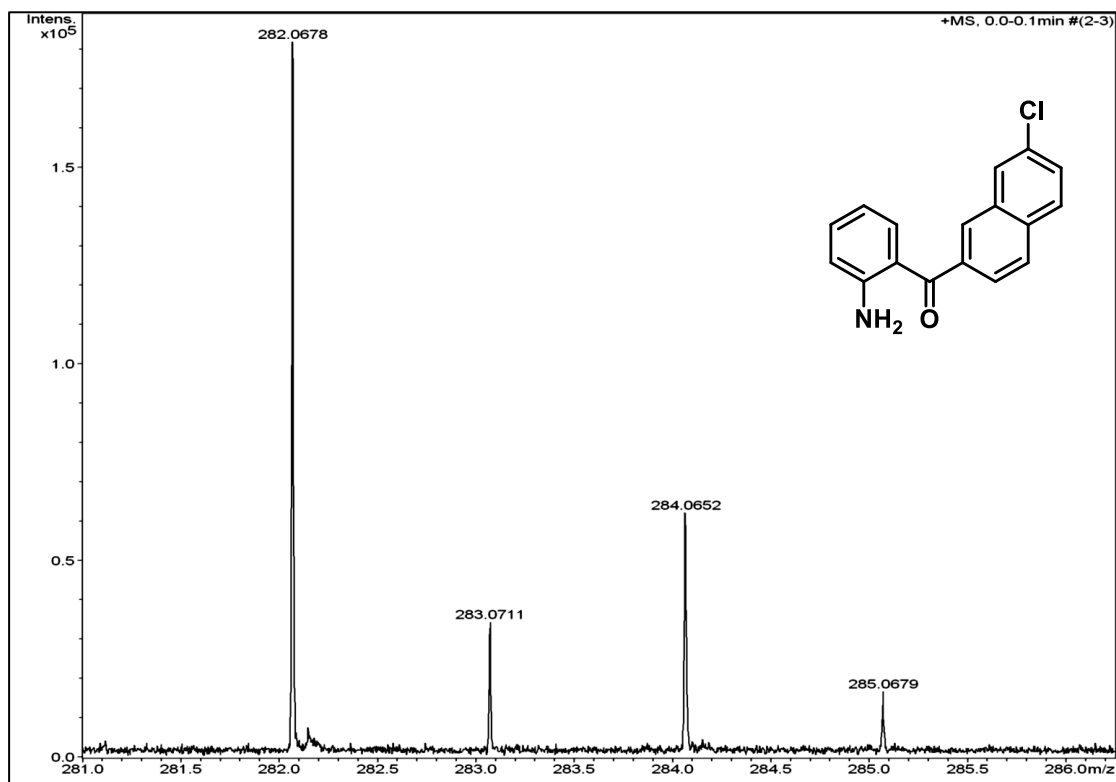
(2-Aminophenyl)(7-chloronaphthalen-2-yl)methanone (2e)



400 MHz, ¹H NMR in CDCl₃

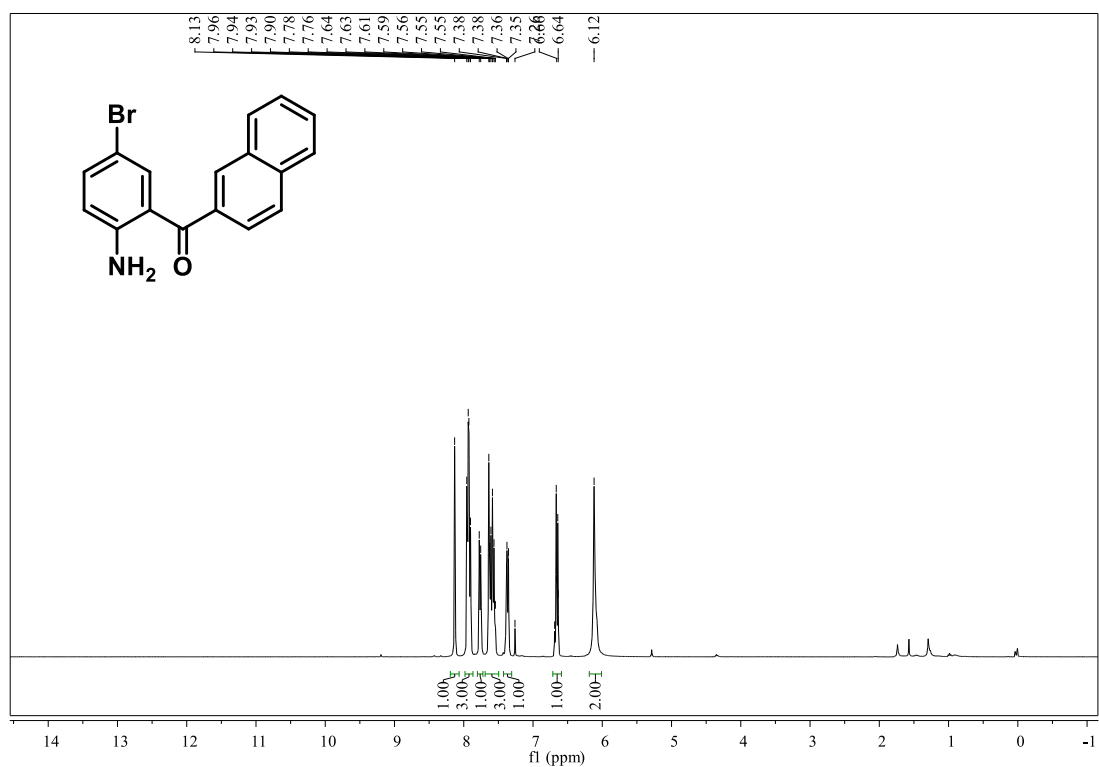


100 MHz, ¹³C NMR in CDCl₃

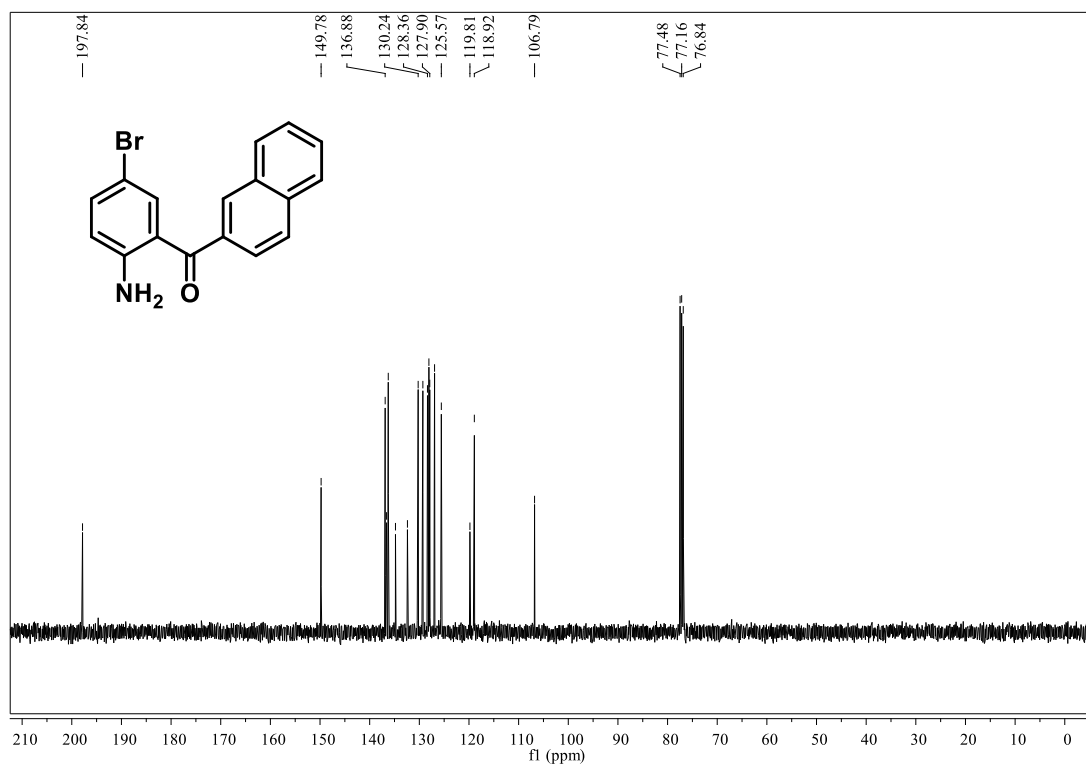


HRMS (MeOH)

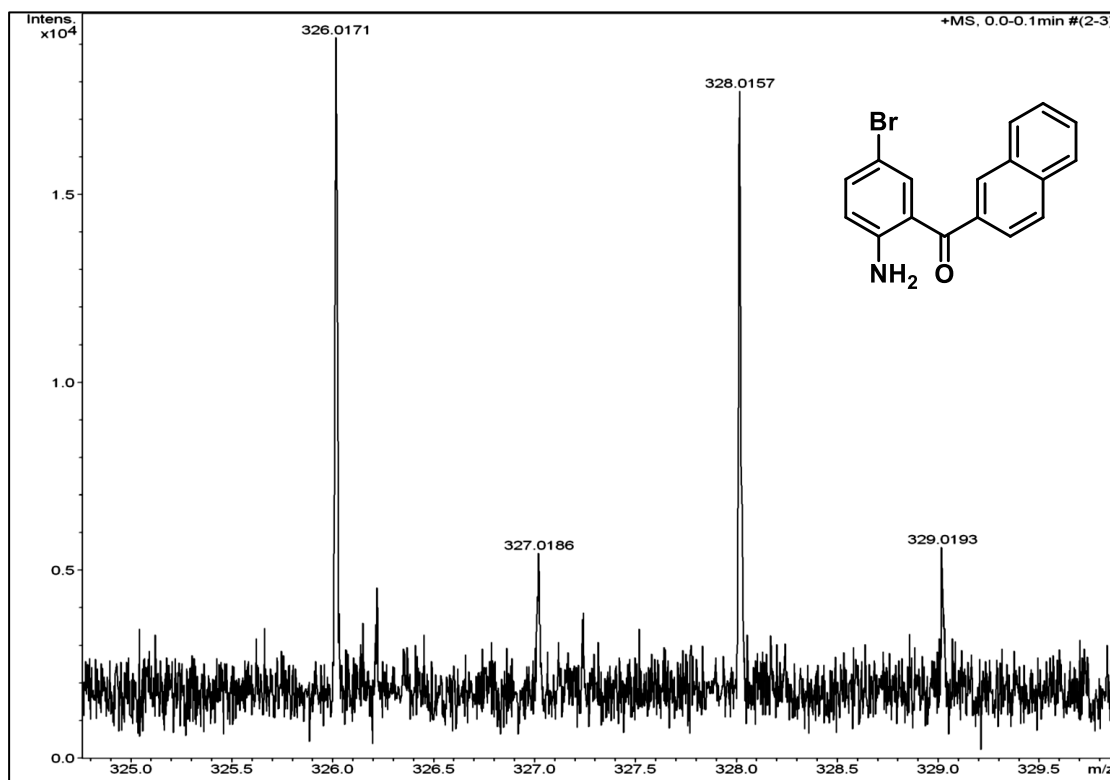
(2-Amino-5-bromophenyl)(naphthalen-2-yl)methanone (2f)



400 MHz, ^1H NMR in CDCl_3

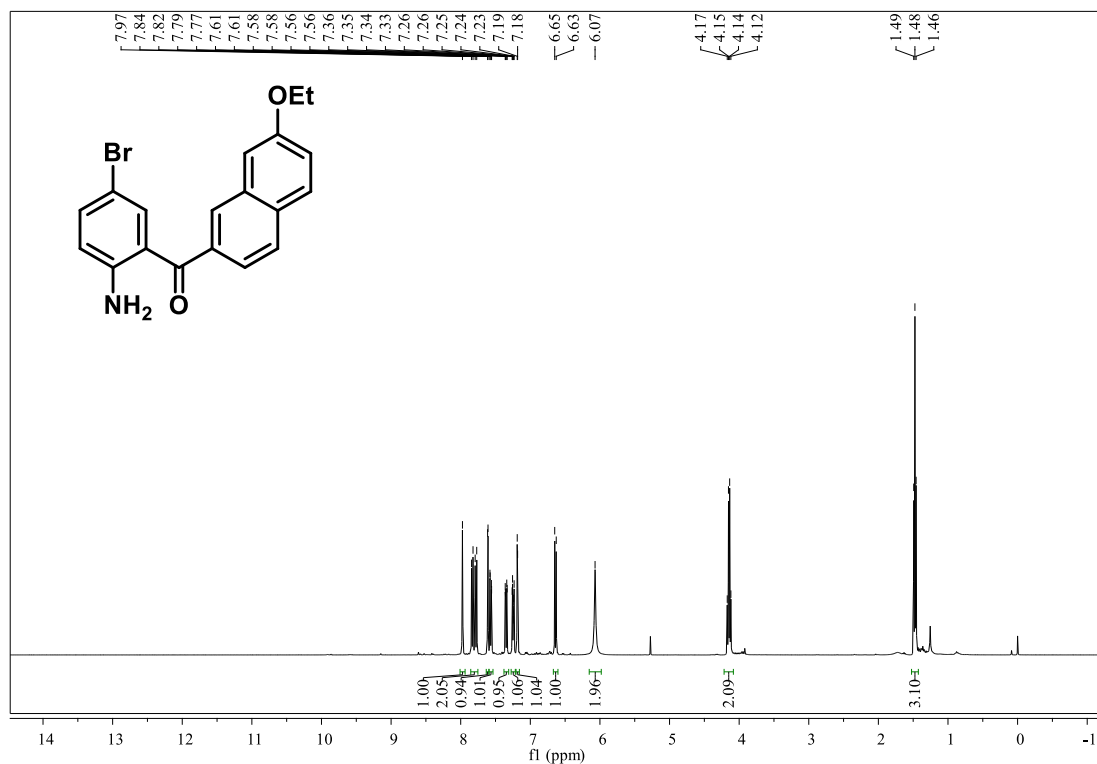


100 MHz, ¹³C NMR in CDCl₃

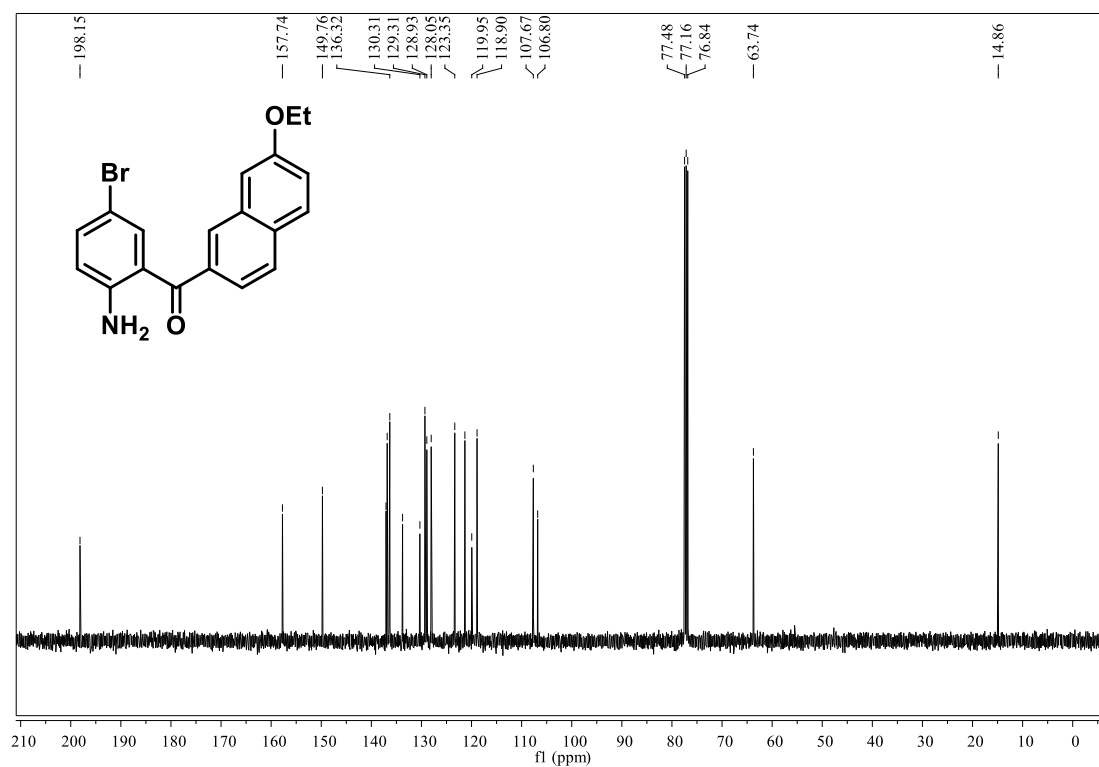


HRMS (MeOH)

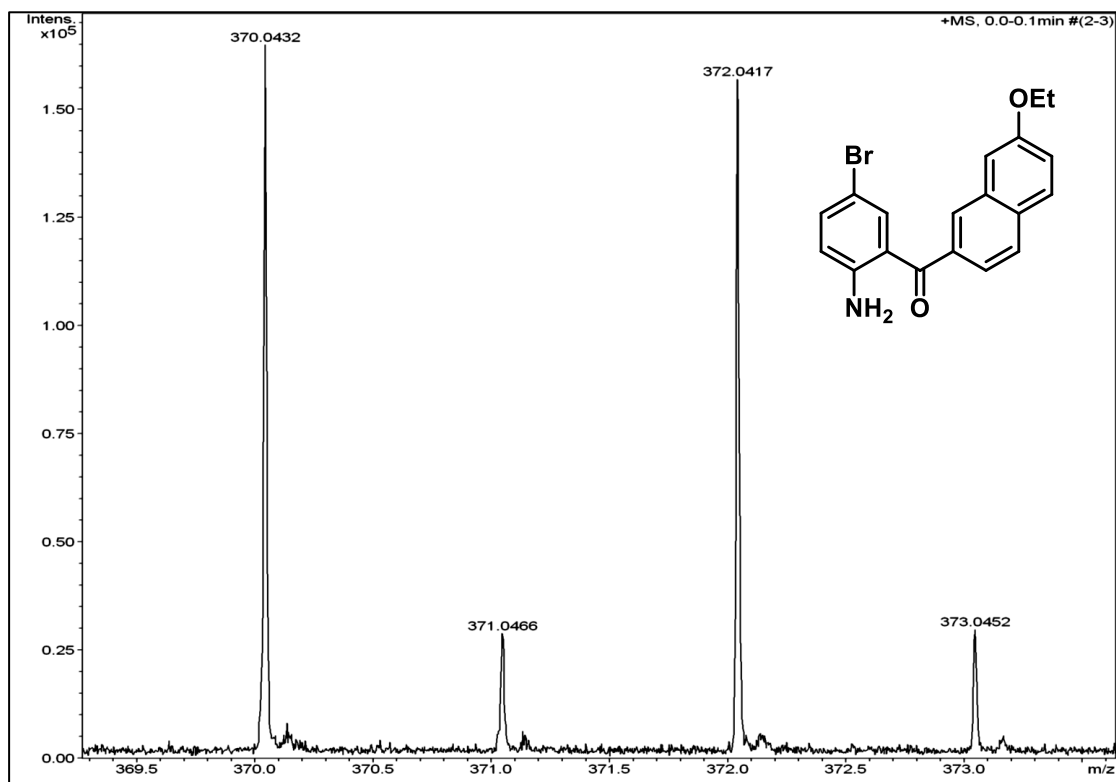
(2-Amino-5-bromophenyl)(7-ethoxynaphthalen-2-yl)methanone (2g)



400 MHz, ¹H NMR in CDCl₃

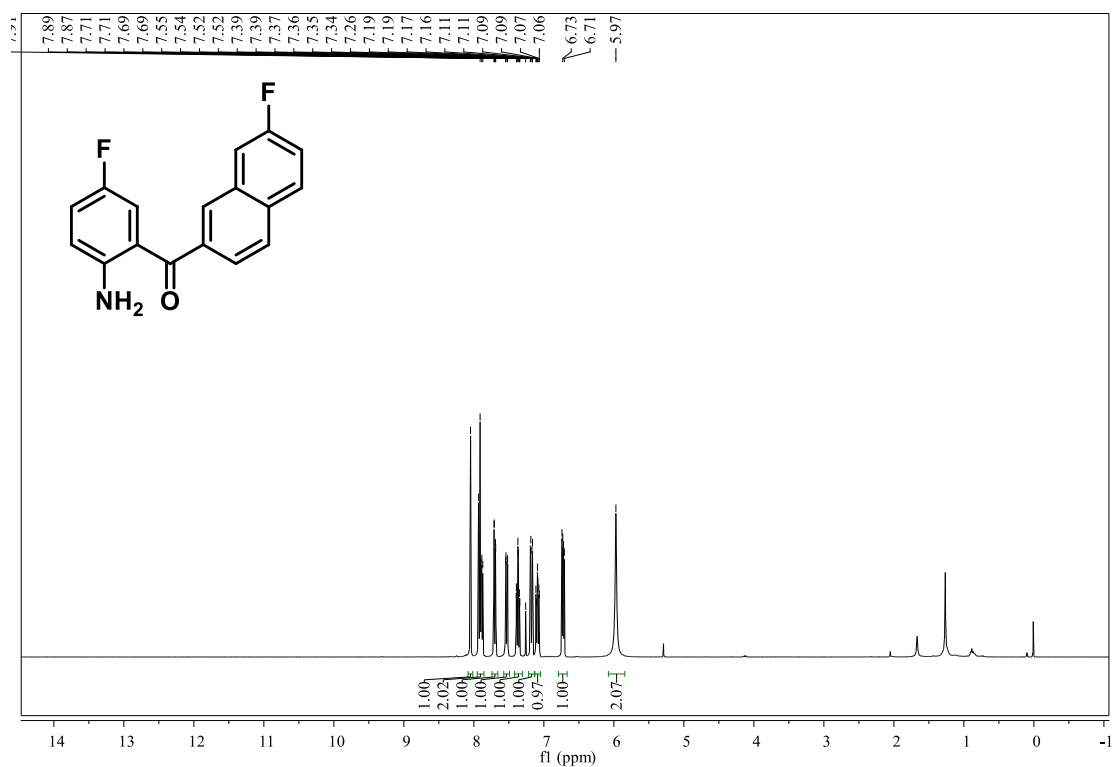


100 MHz, ¹³C NMR in CDCl₃

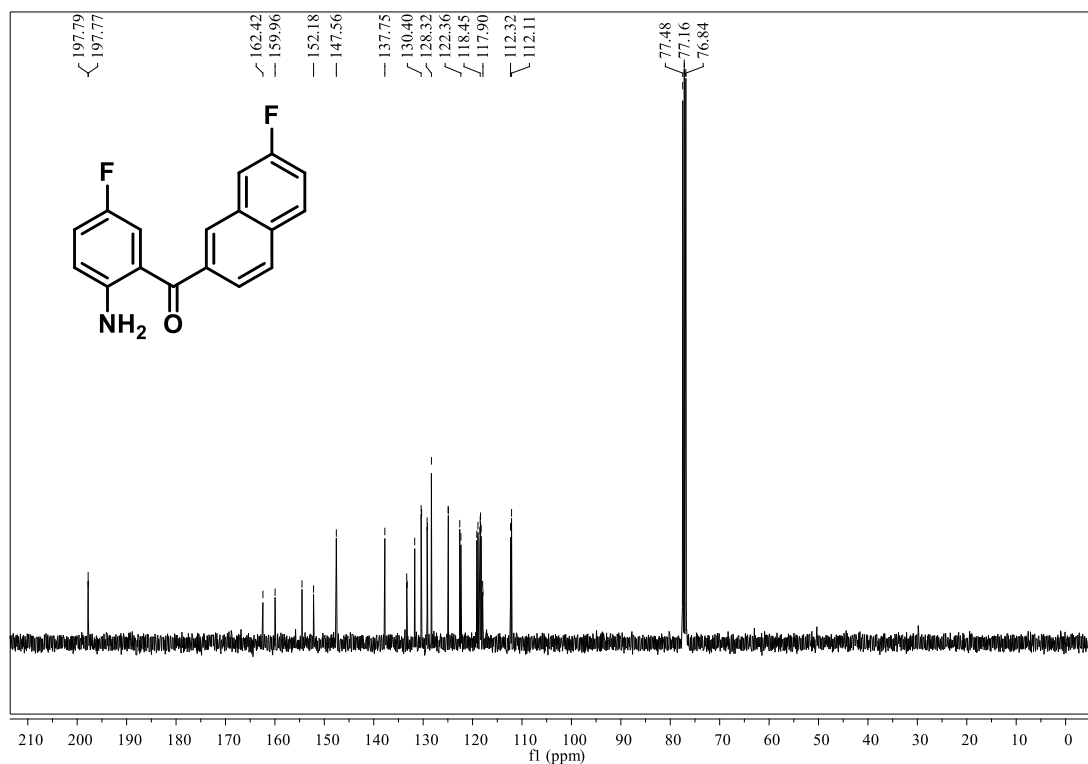


HRMS (MeOH)

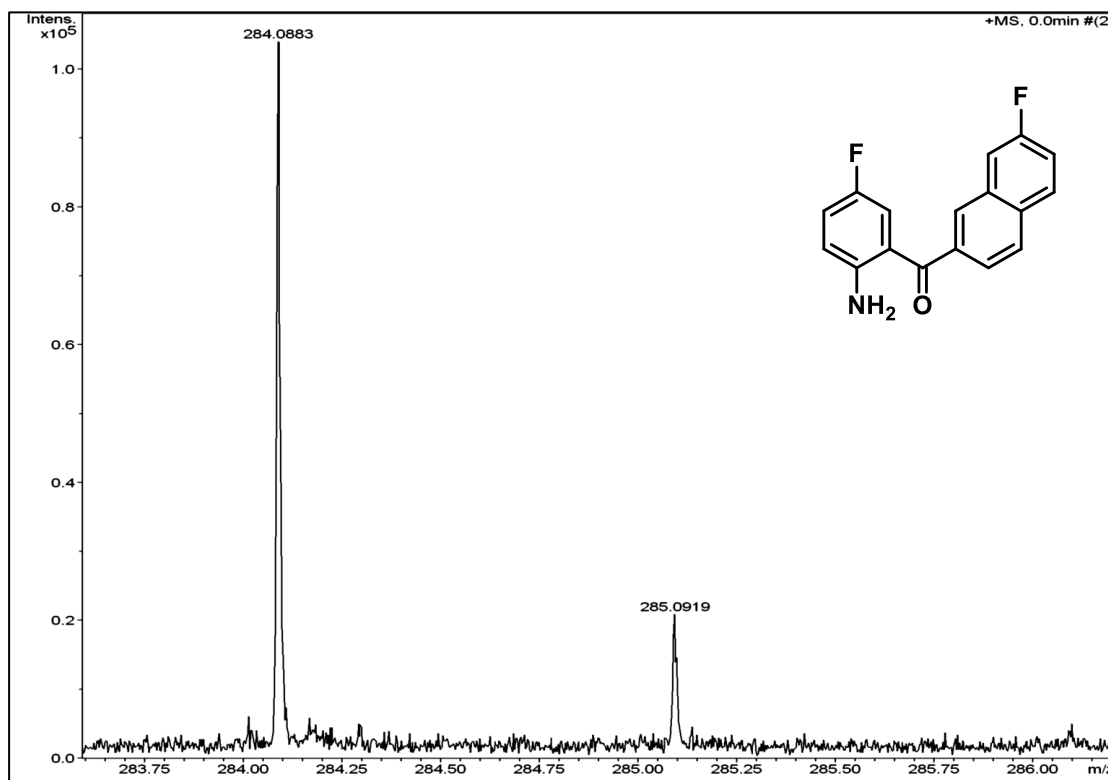
(2-Amino-5-fluorophenyl)(7-fluoronaphthalen-2-yl)methanone (2h)



400 MHz, ¹H NMR in CDCl₃

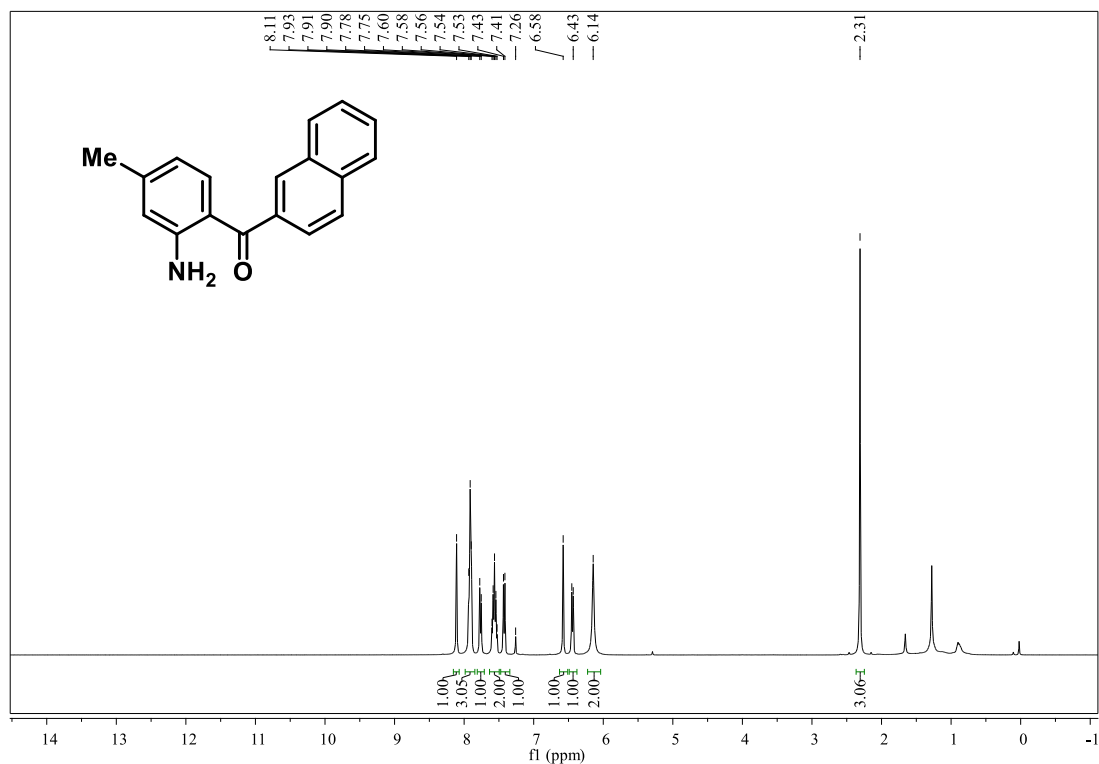


100 MHz, ^{13}C NMR in CDCl_3

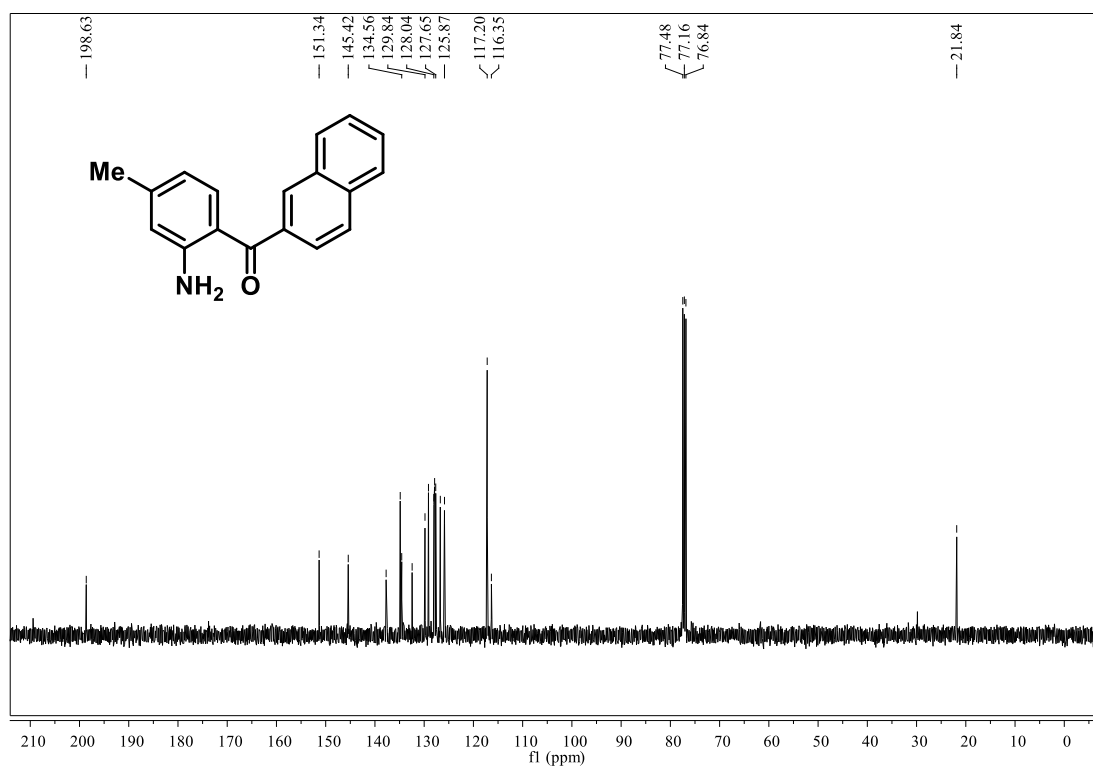


HRMS (MeOH)

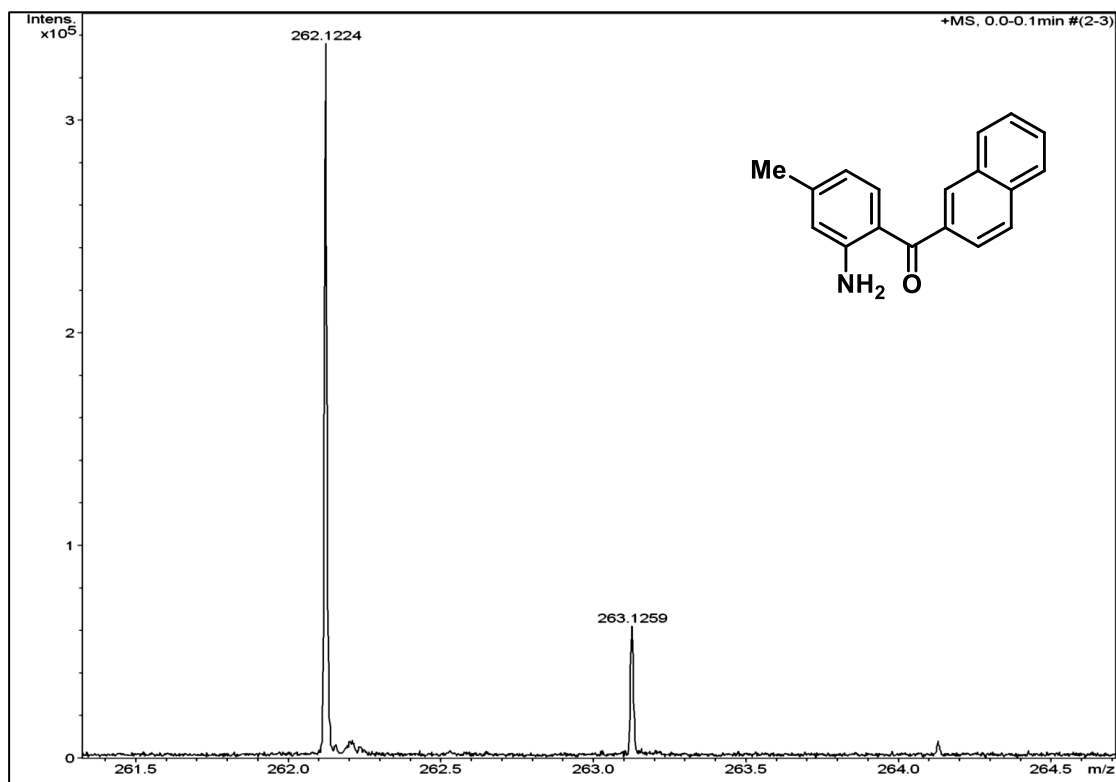
(2-Amino-4-methylphenyl)(naphthalen-2-yl)methanone (2i)



400 MHz, ¹H NMR in CDCl₃

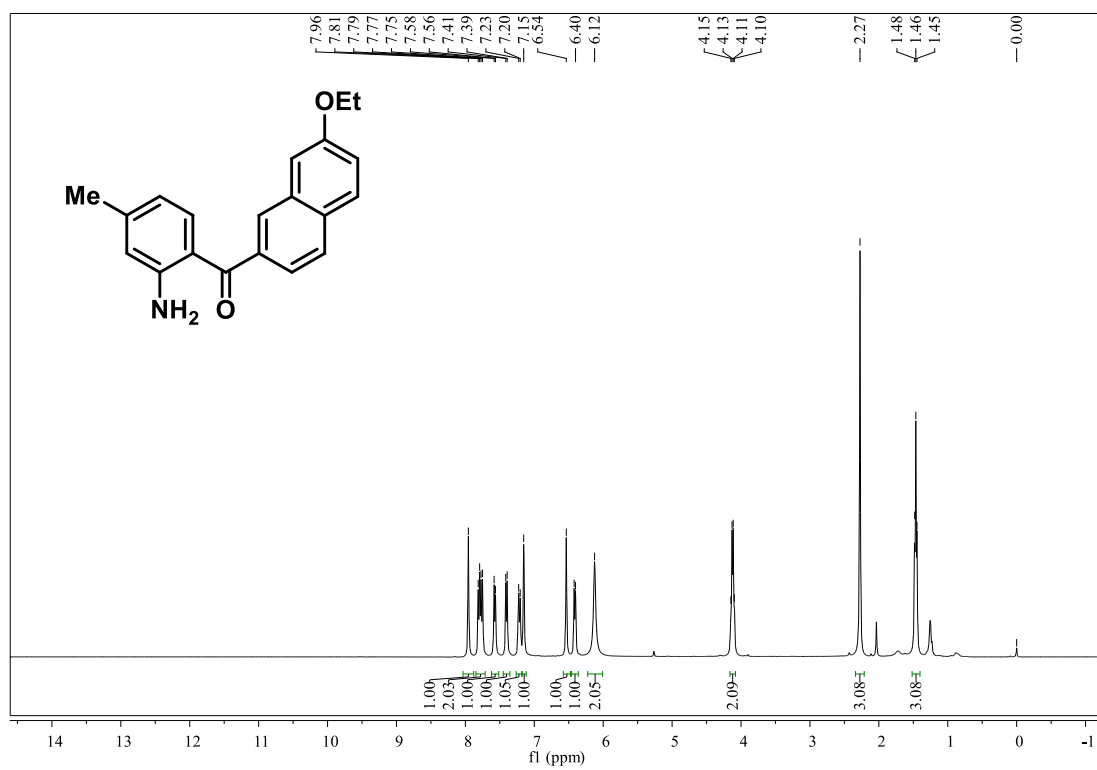


100 MHz, ¹³C NMR in CDCl₃

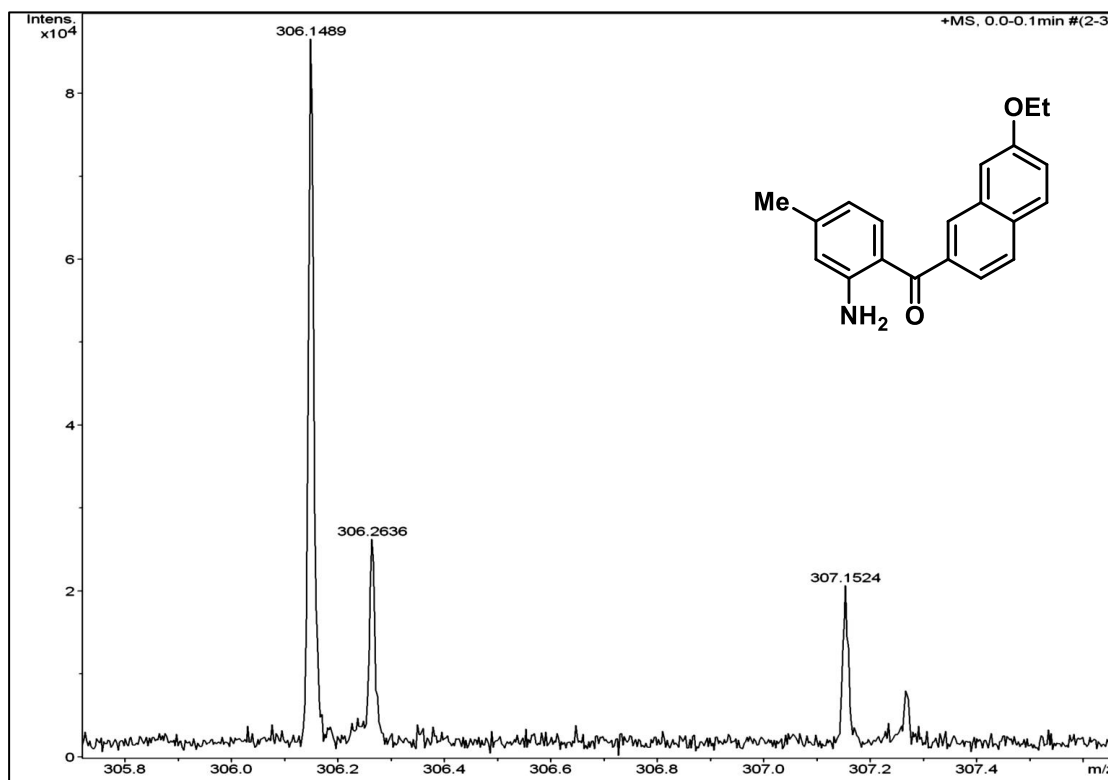
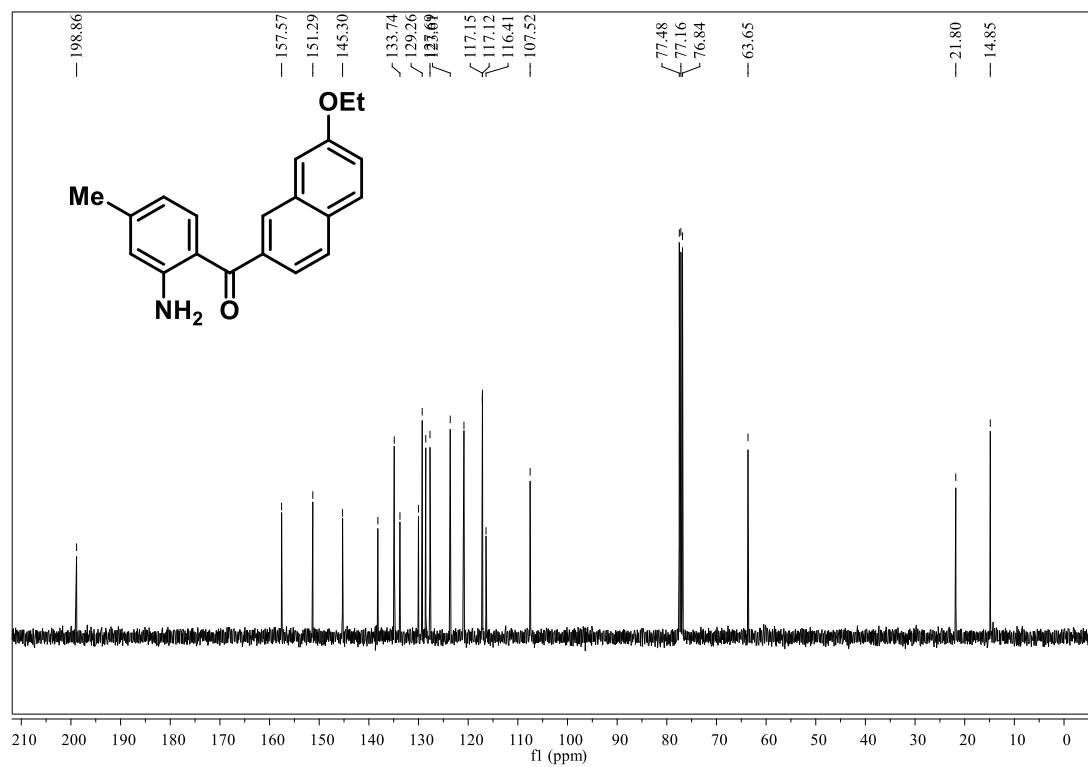


HRMS (MeOH)

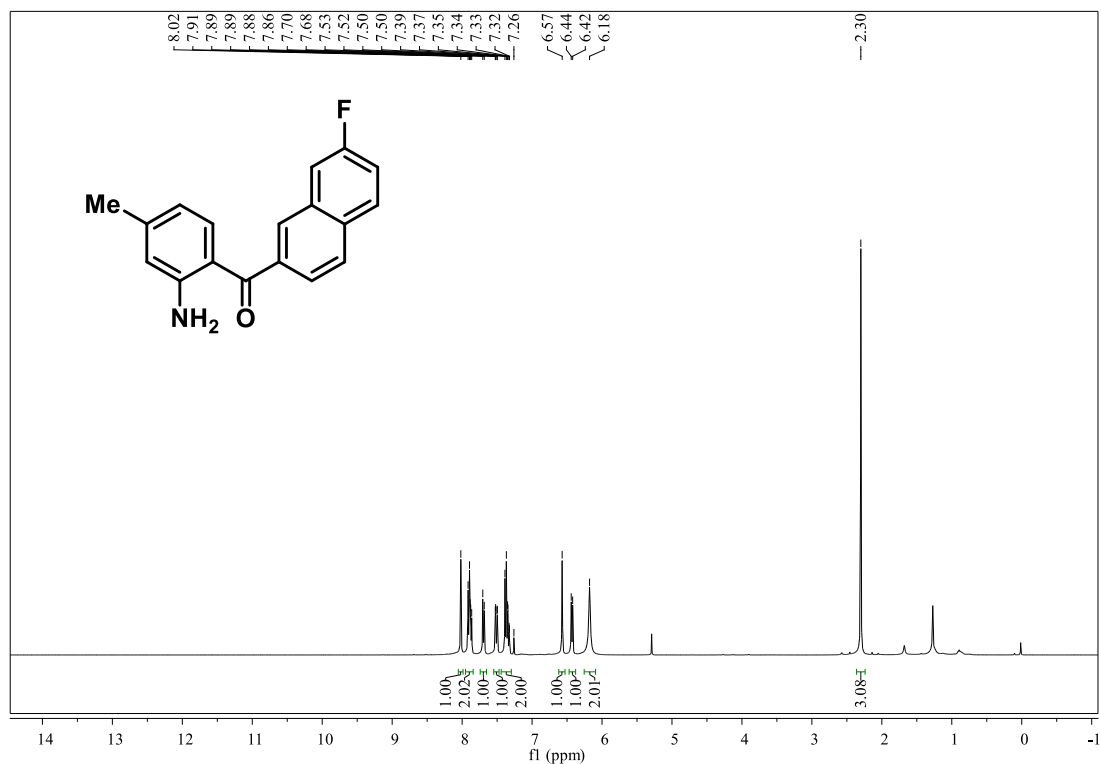
(2-Amino-4-methylphenyl)(7-ethoxynaphthalen-2-yl)methanone (2j)



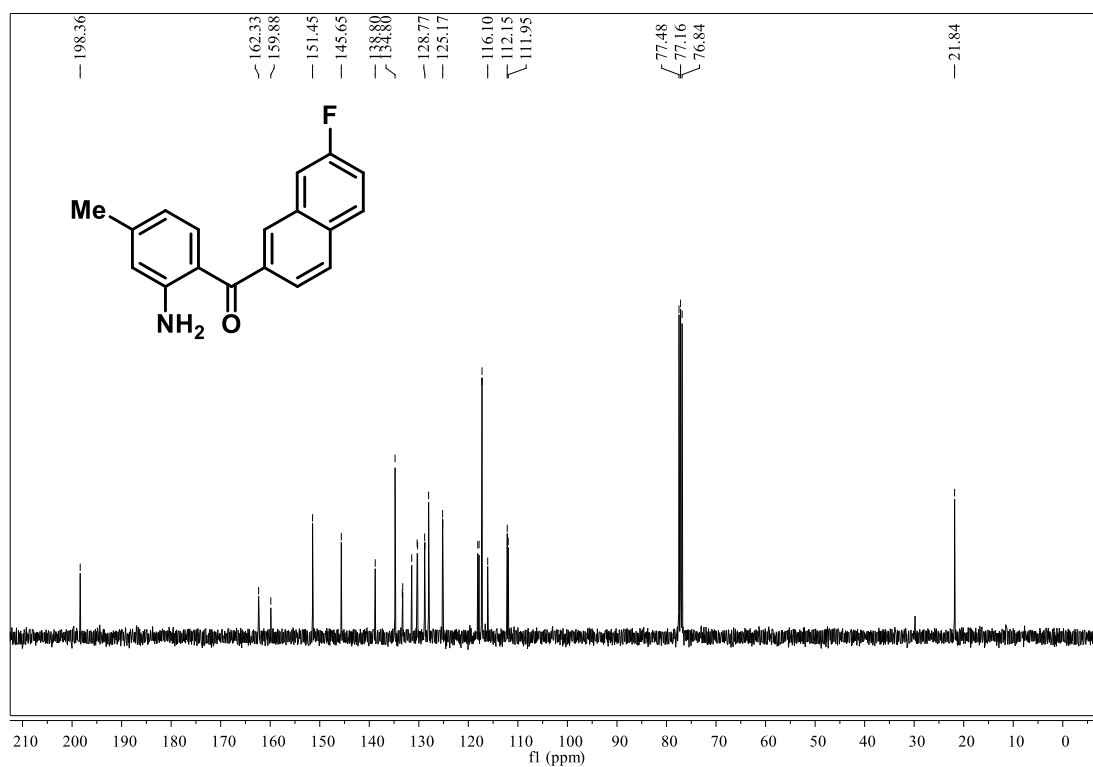
400 MHz, ^1H NMR in CDCl_3



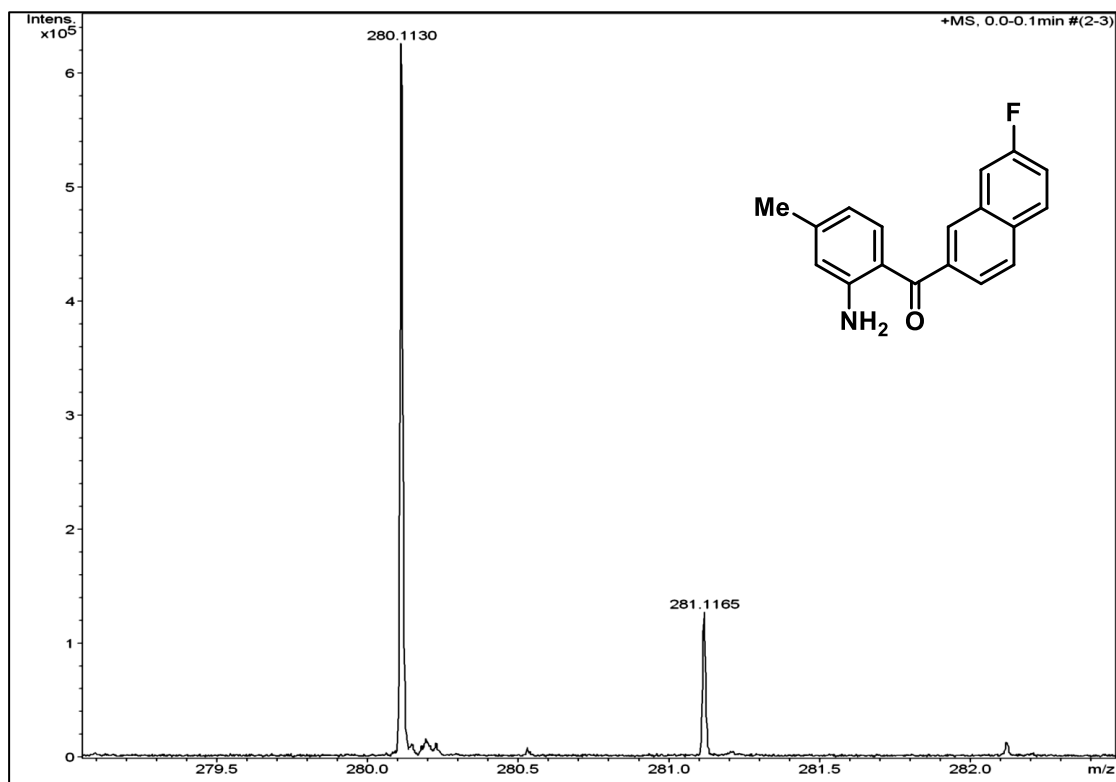
(2-Amino-4-methylphenyl)(7-fluoronaphthalen-2-yl)methanone (2k)



400 MHz, ^1H NMR in CDCl_3

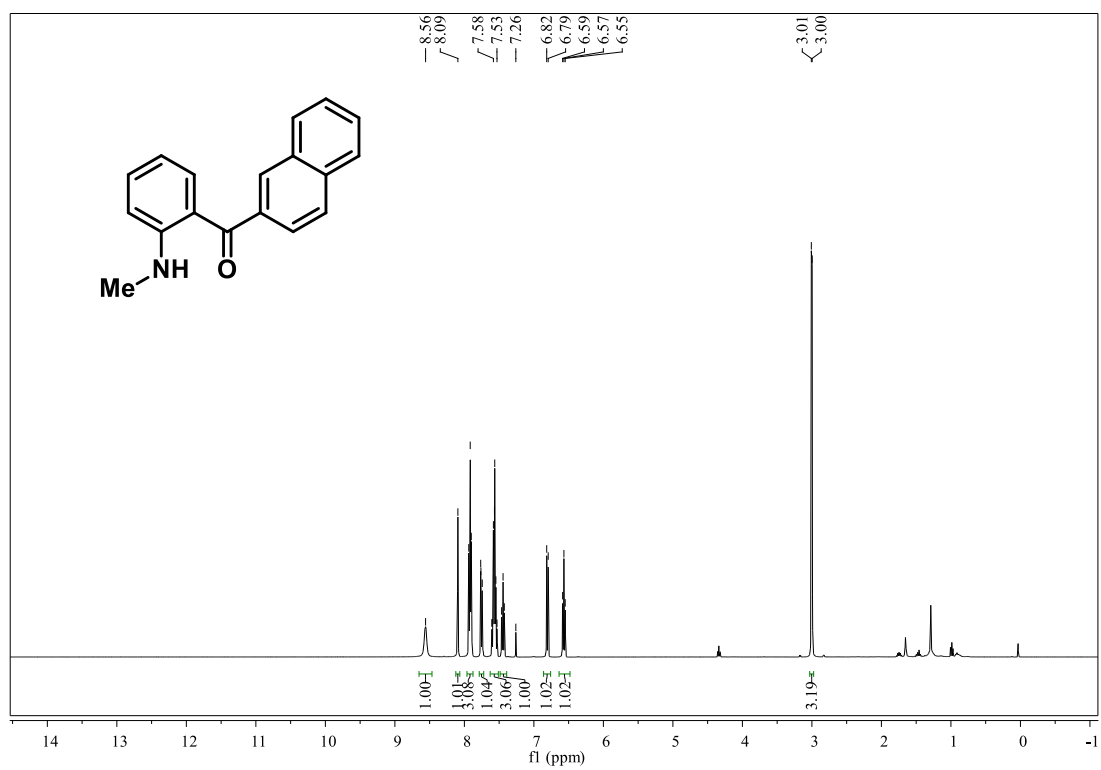


100 MHz, ^{13}C NMR in CDCl_3

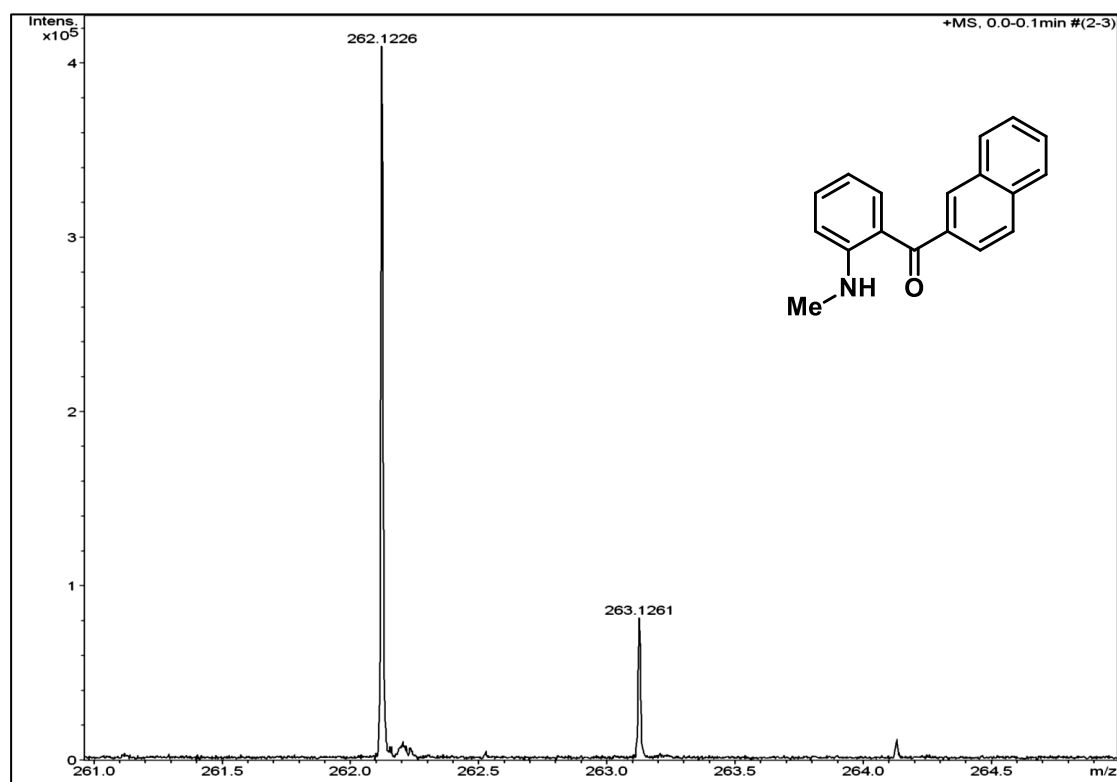
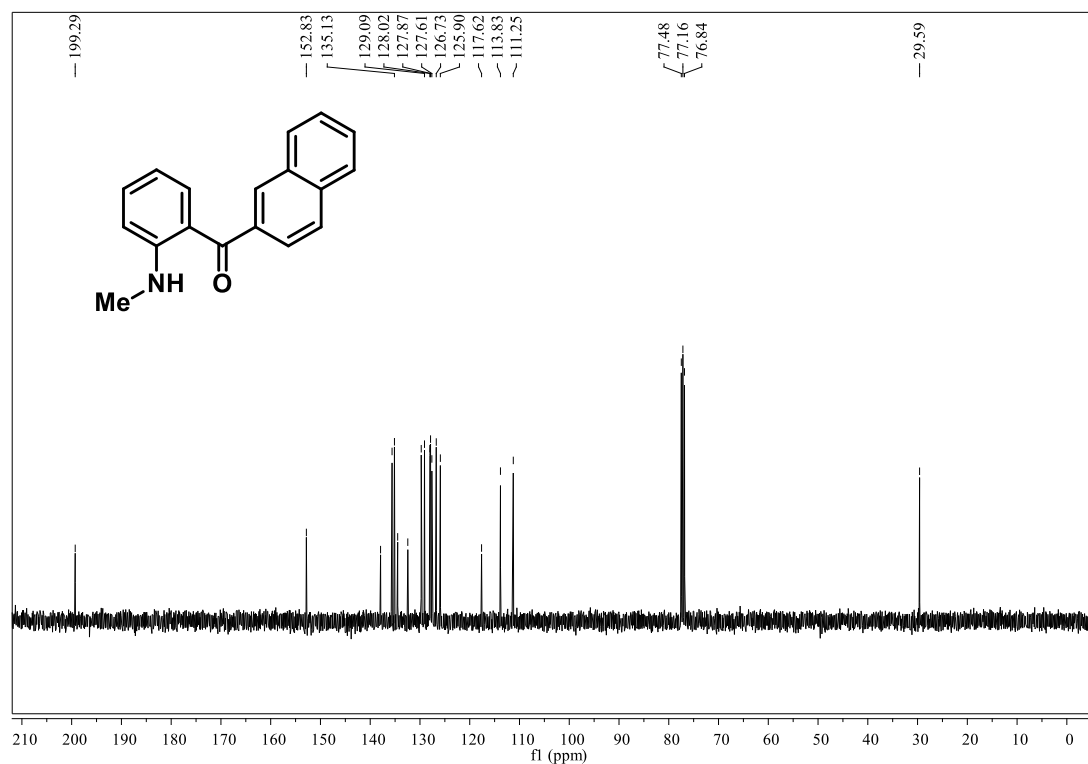


HRMS (MeOH)

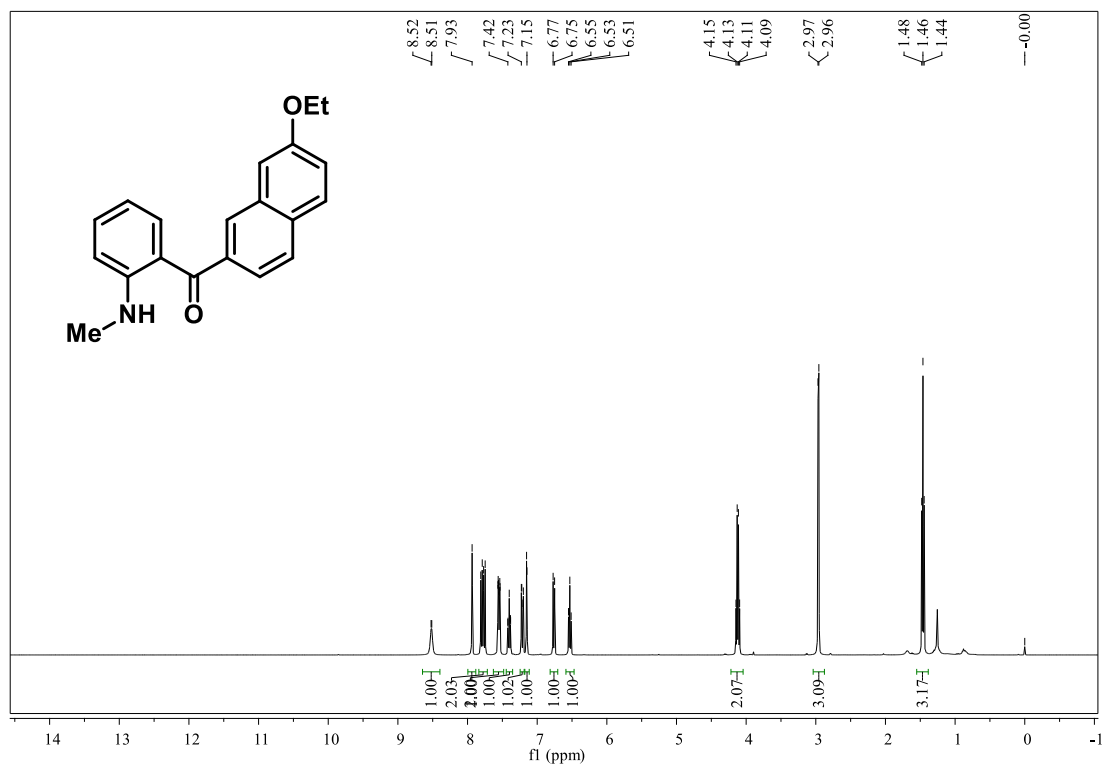
(2-(Methylamino)phenyl)(naphthalen-2-yl)methanone (2l)



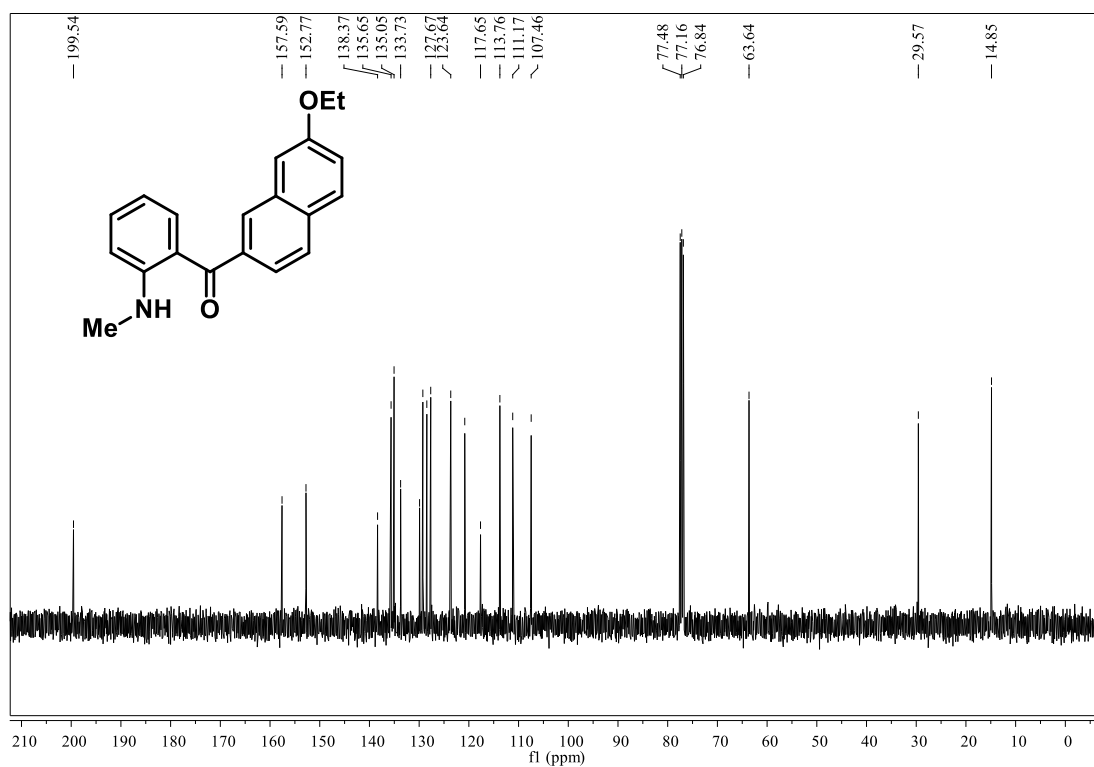
400 MHz, ¹H NMR in CDCl₃



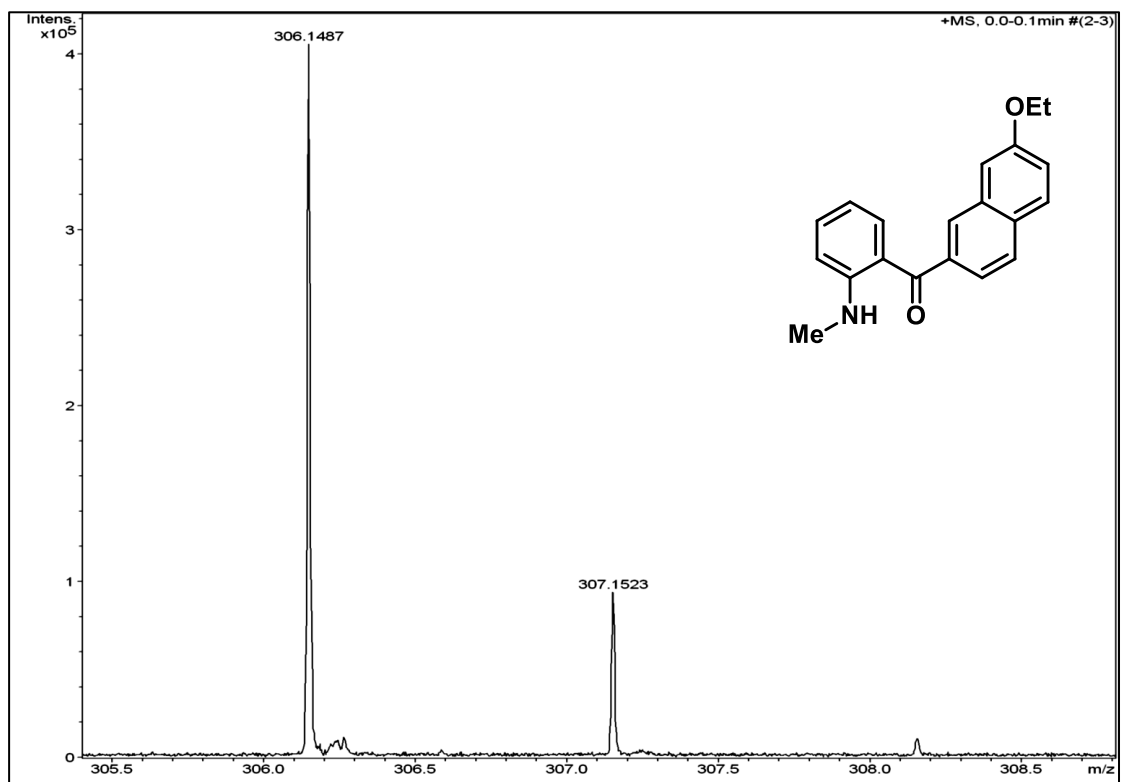
(7-Ethoxynaphthalen-2-yl)(2-(methylamino)phenyl)methanone (2m)



400 MHz, ^1H NMR in CDCl_3

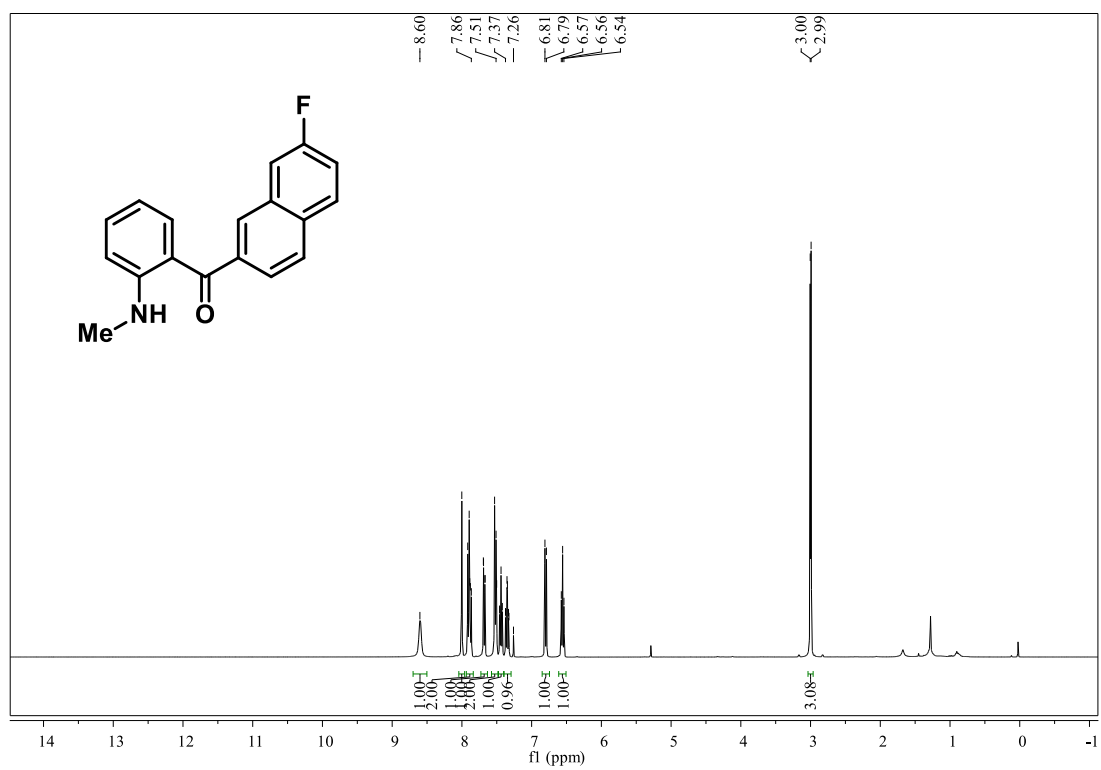


100 MHz, ^{13}C NMR in CDCl_3

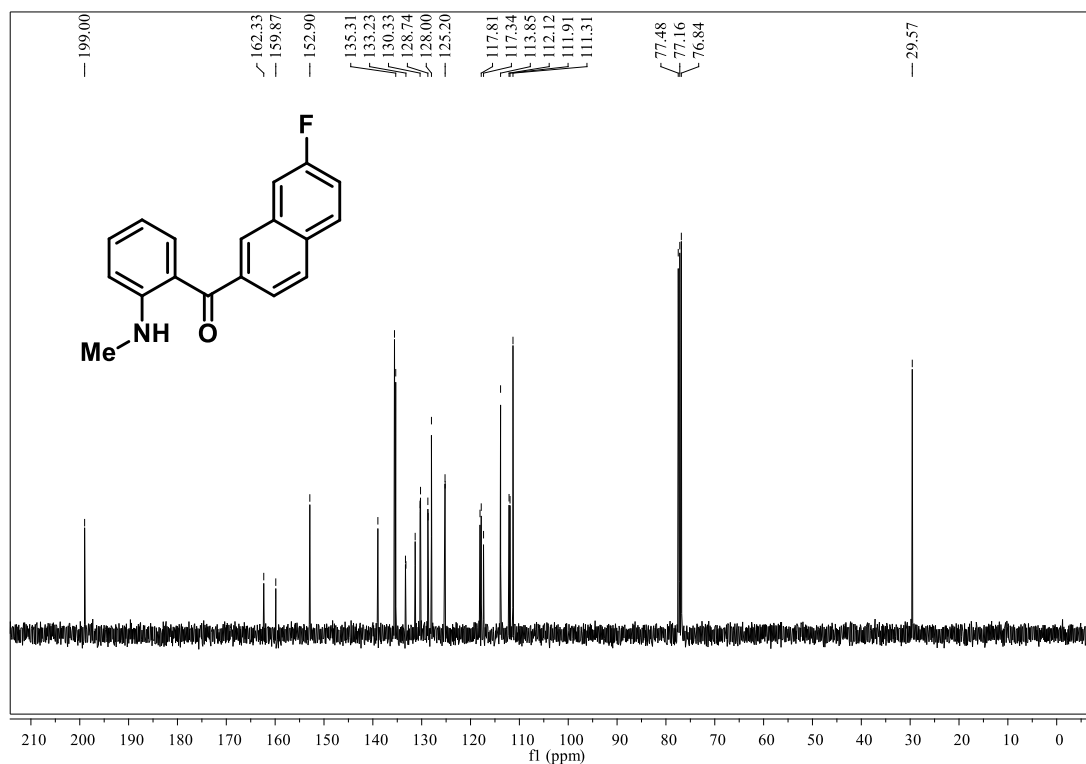


HRMS (MeOH)

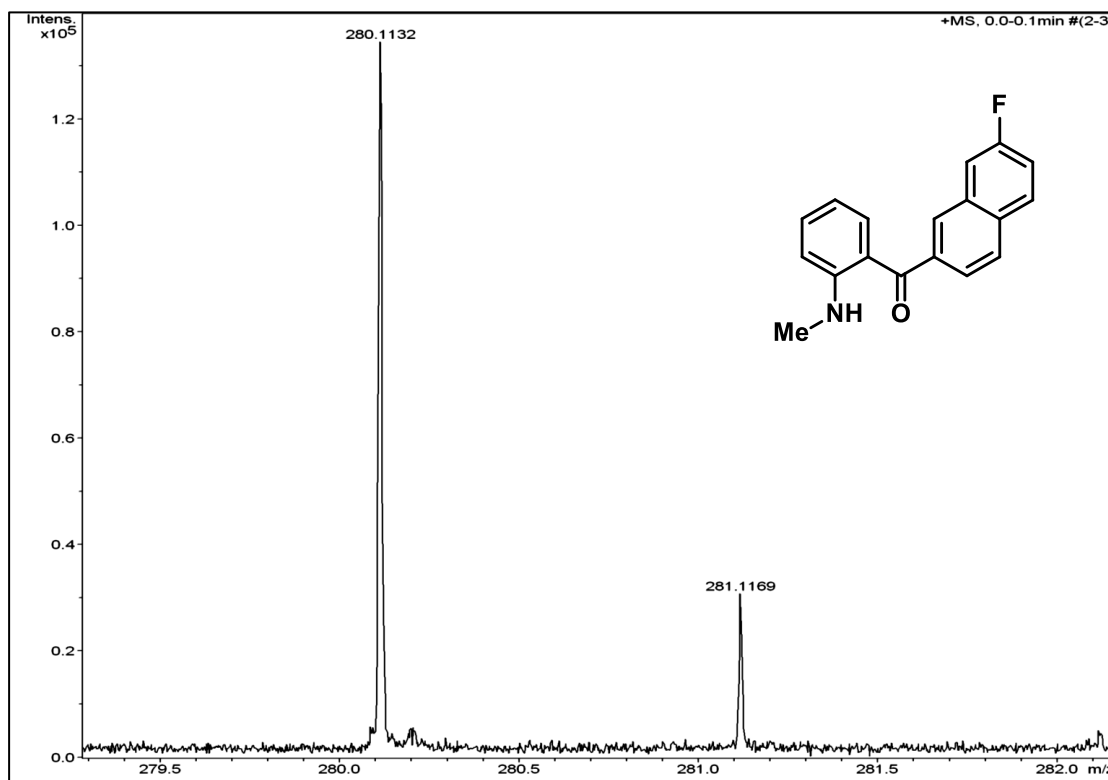
(7-Fluoronaphthalen-2-yl)(2-(methylamino)phenyl)methanone (2n)



400 MHz, ^1H NMR in CDCl_3

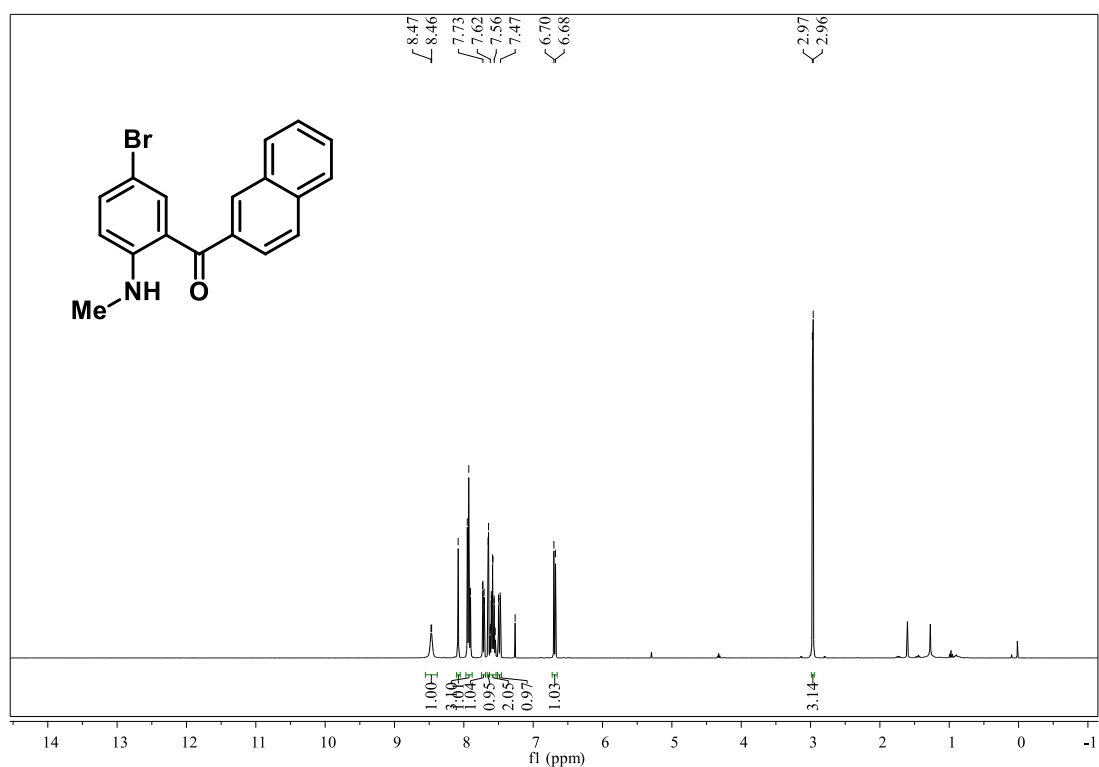


100 MHz, ^{13}C NMR in CDCl_3

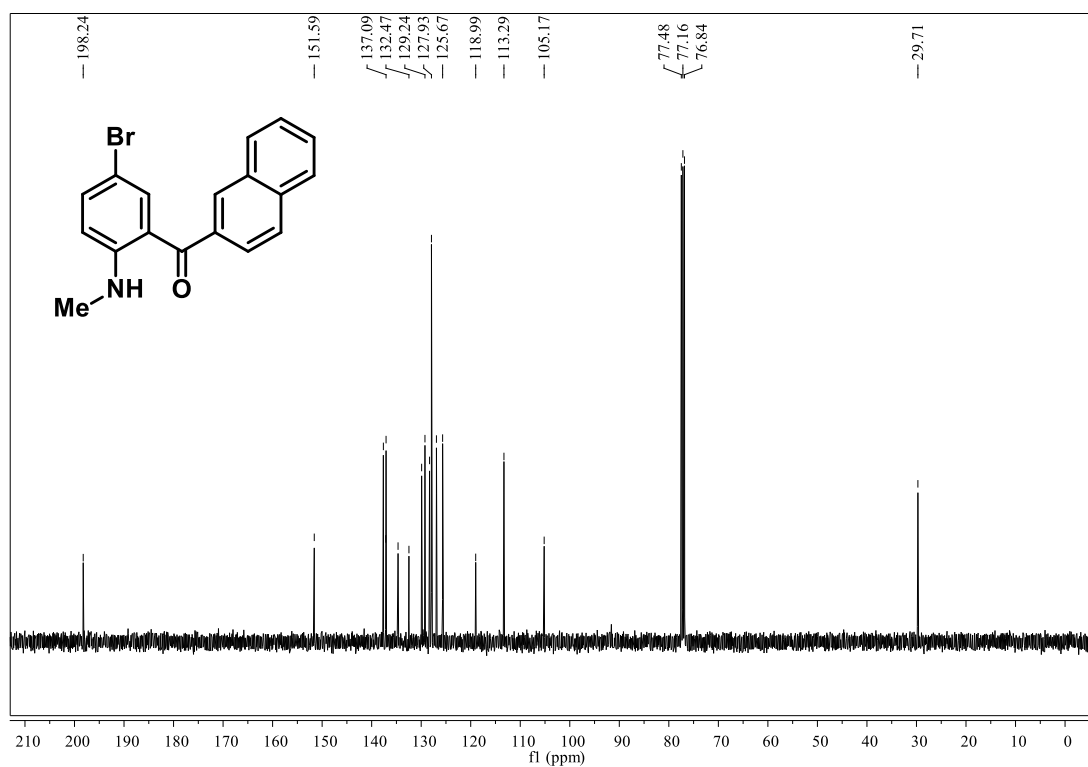


HRMS (MeOH)

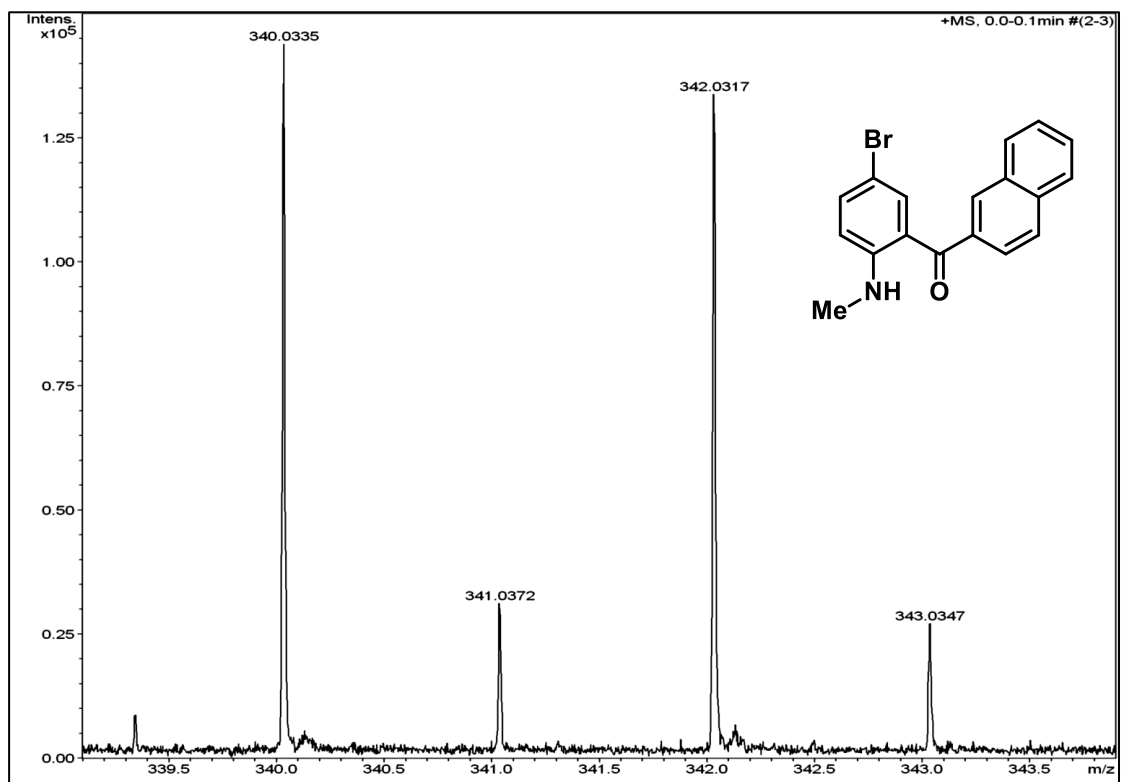
(5-Bromo-2-(methylamino)phenyl)(naphthalen-2-yl)methanone (2o)



400 MHz, ¹H NMR in CDCl₃

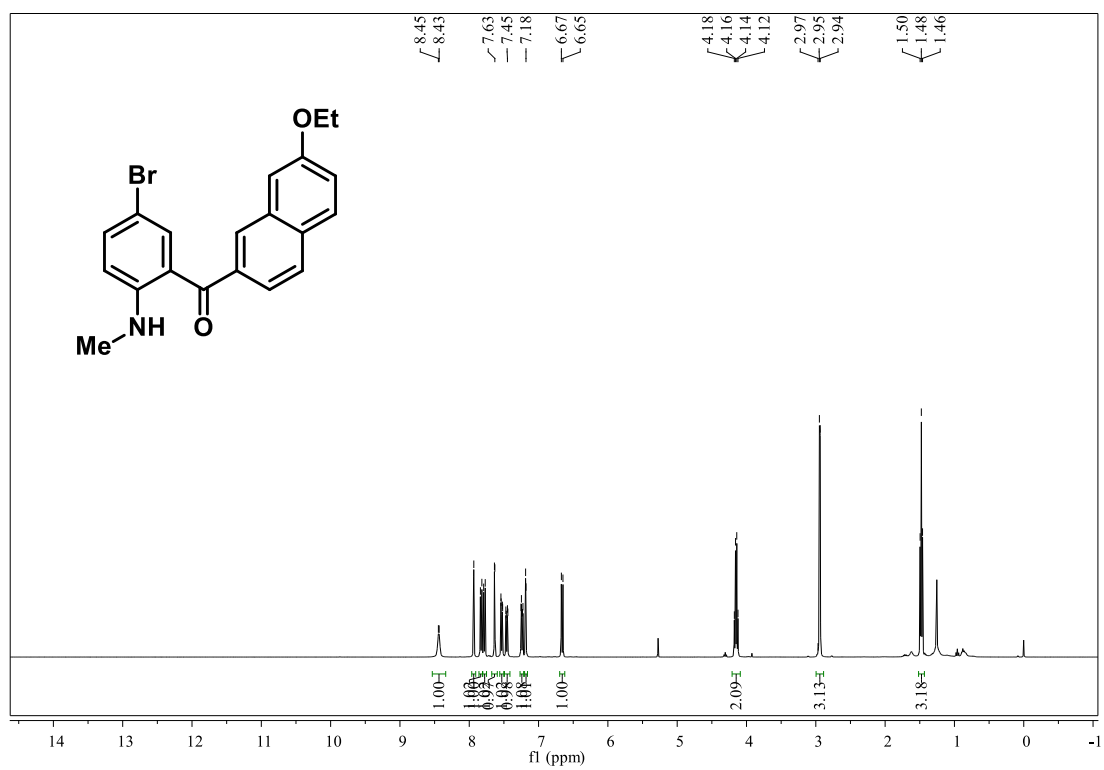


100 MHz, ¹³C NMR in CDCl₃

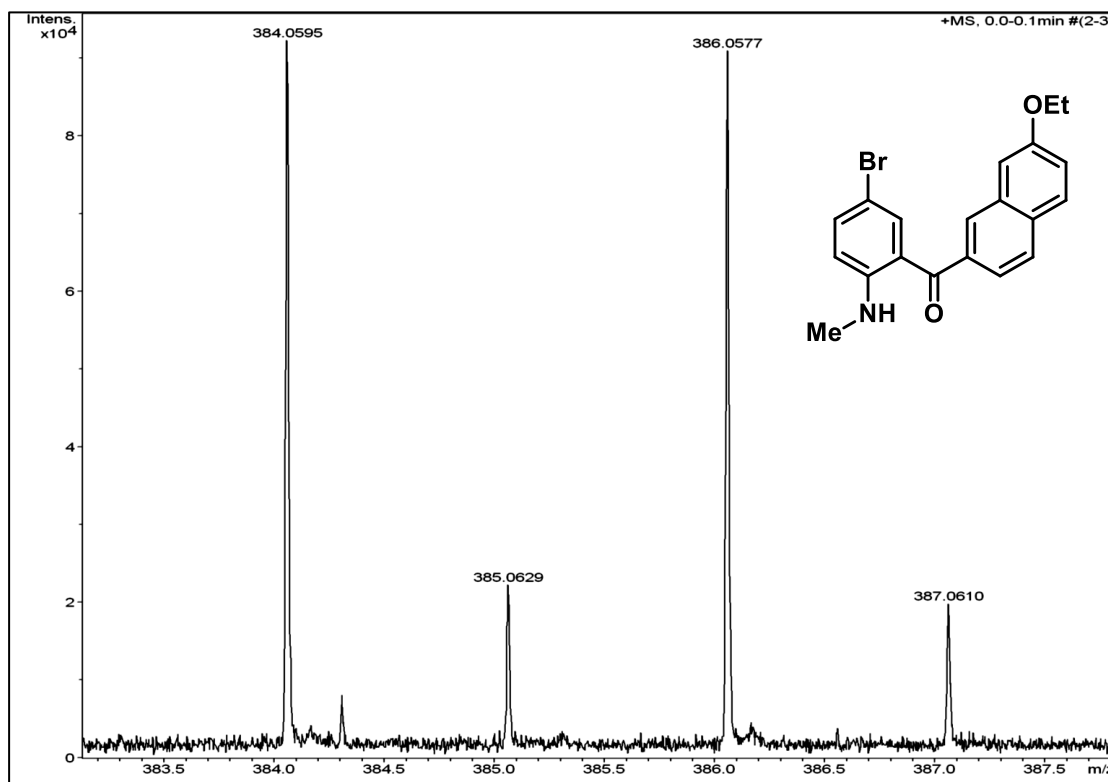
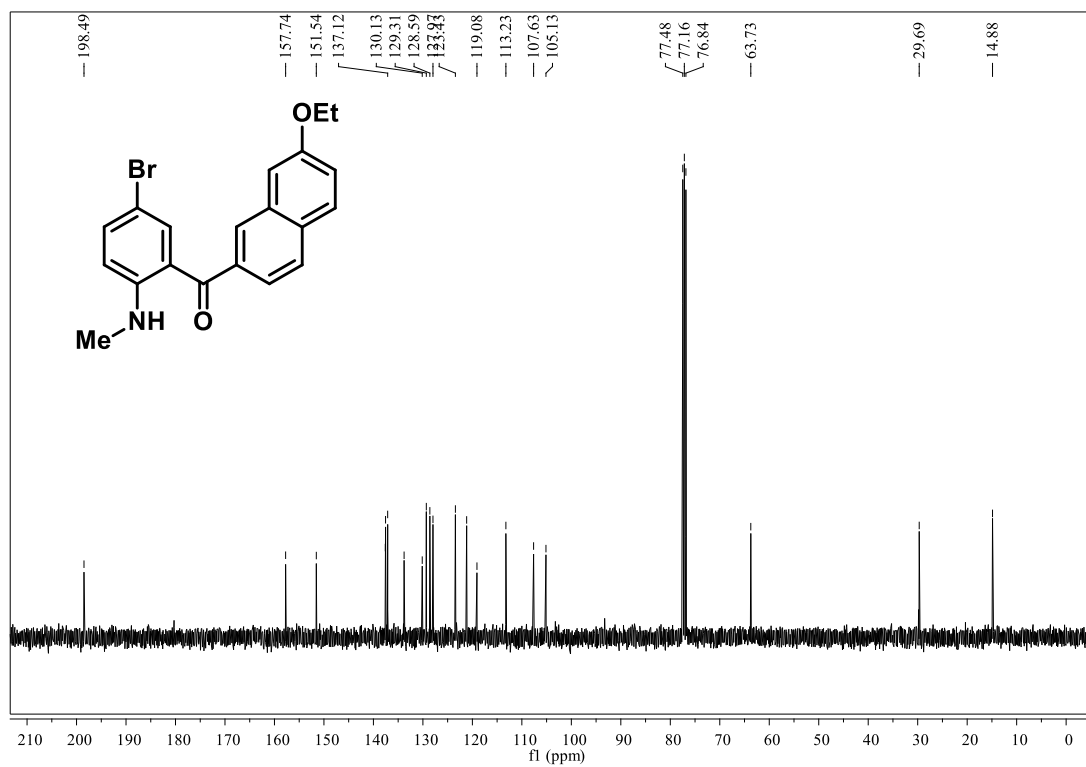


HRMS (MeOH)

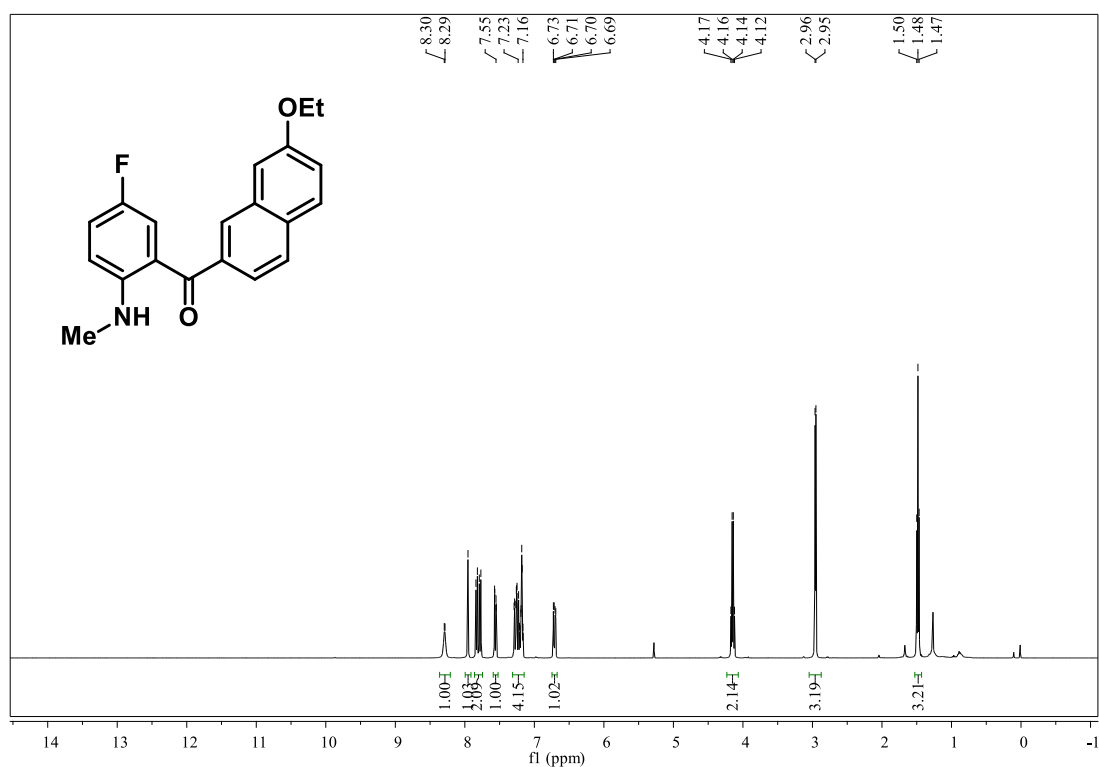
(5-Bromo-2-(methylamino)phenyl)(7-ethoxynaphthalen-2-yl)methanone (2p)



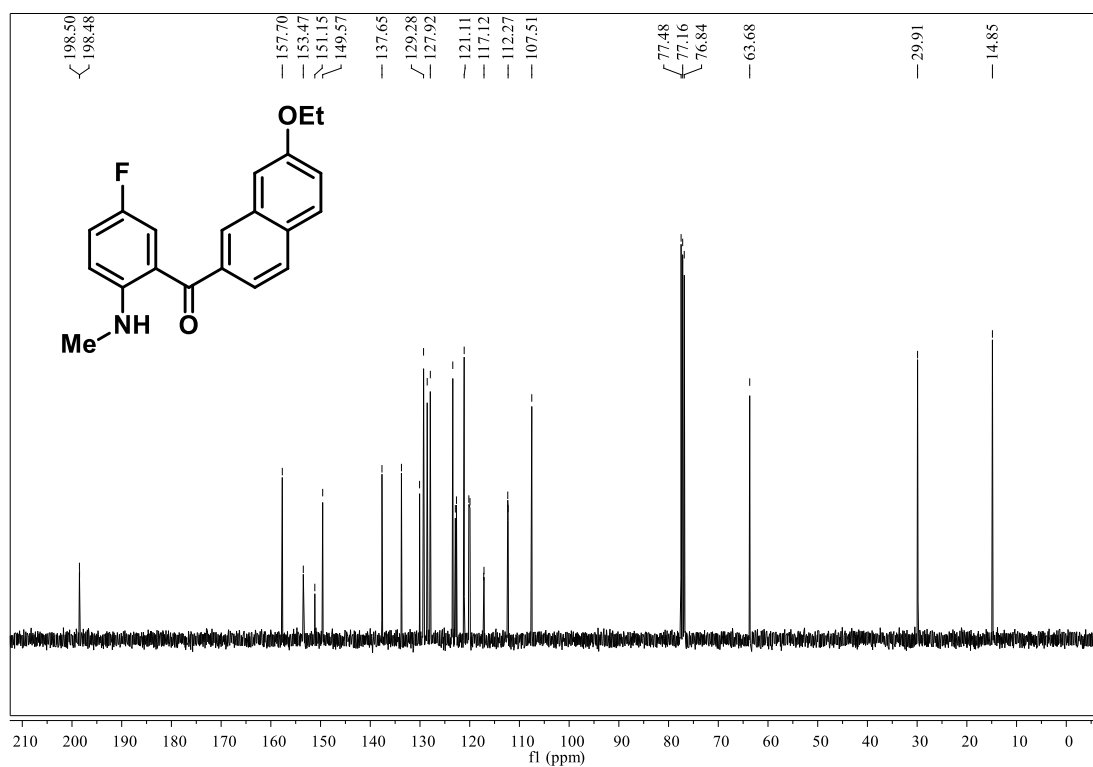
400 MHz, ¹H NMR in CDCl₃



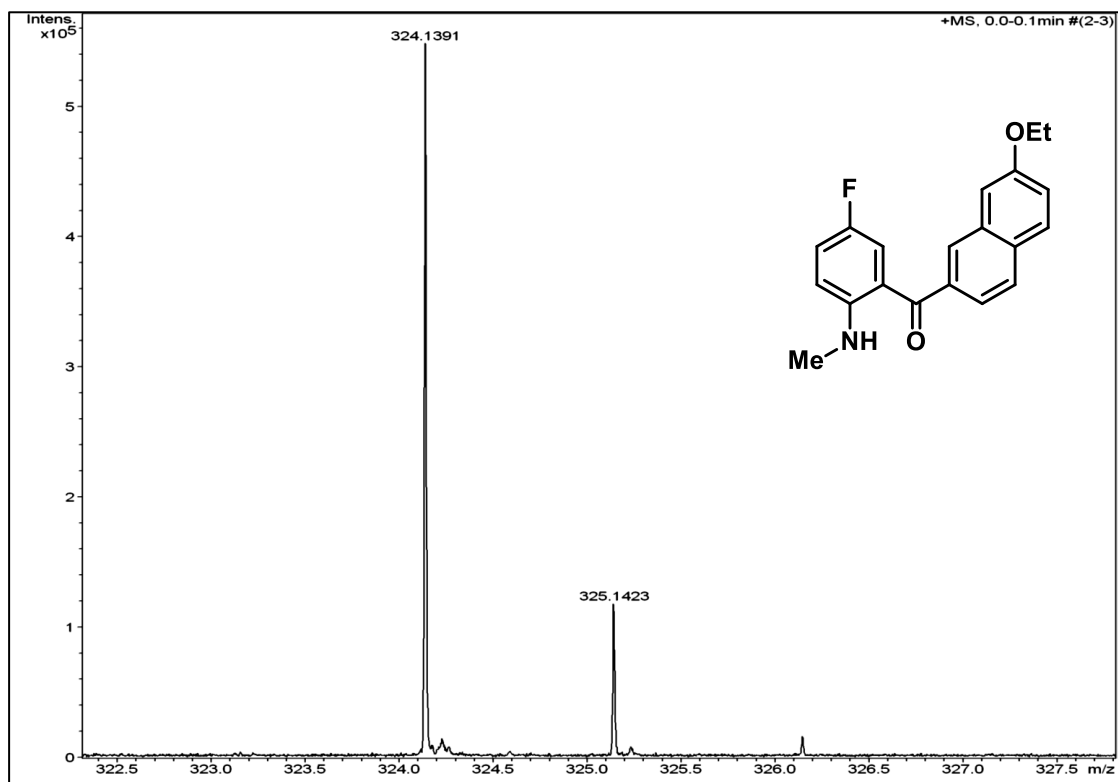
(7-Ethoxynaphthalen-2-yl)(5-fluoro-2-(methylamino)phenyl)methanone (2q)



400 MHz, ¹H NMR in CDCl₃

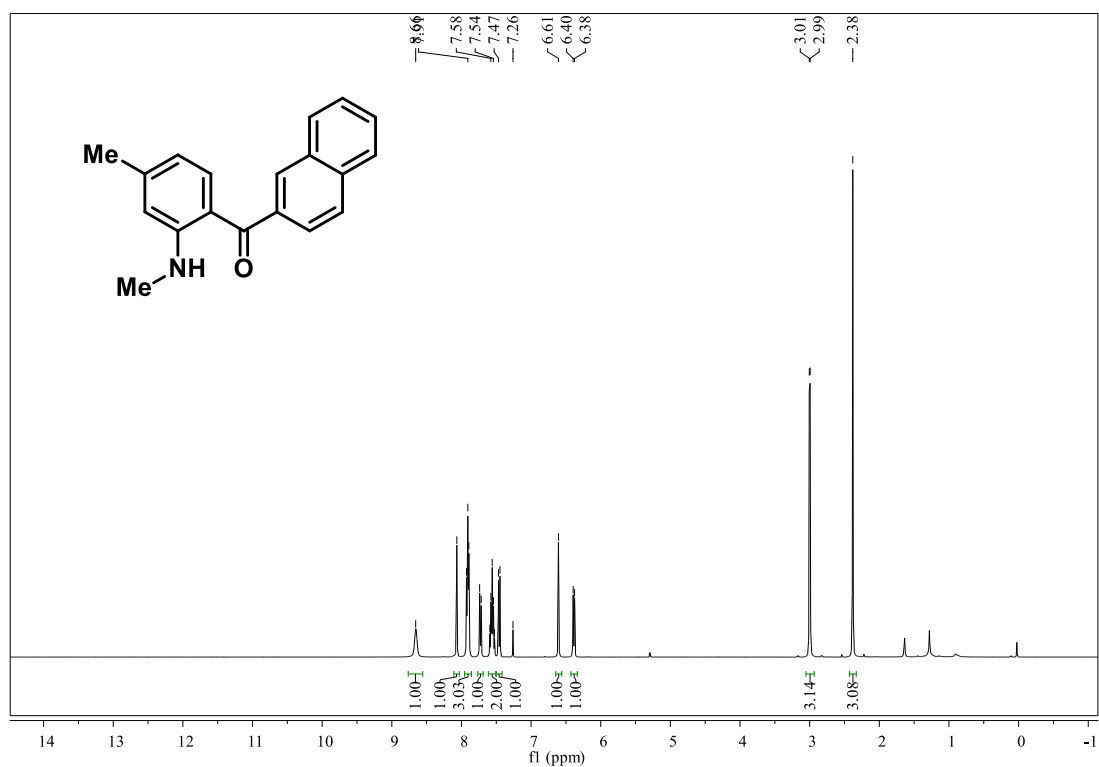


100 MHz, ¹³C NMR in CDCl₃

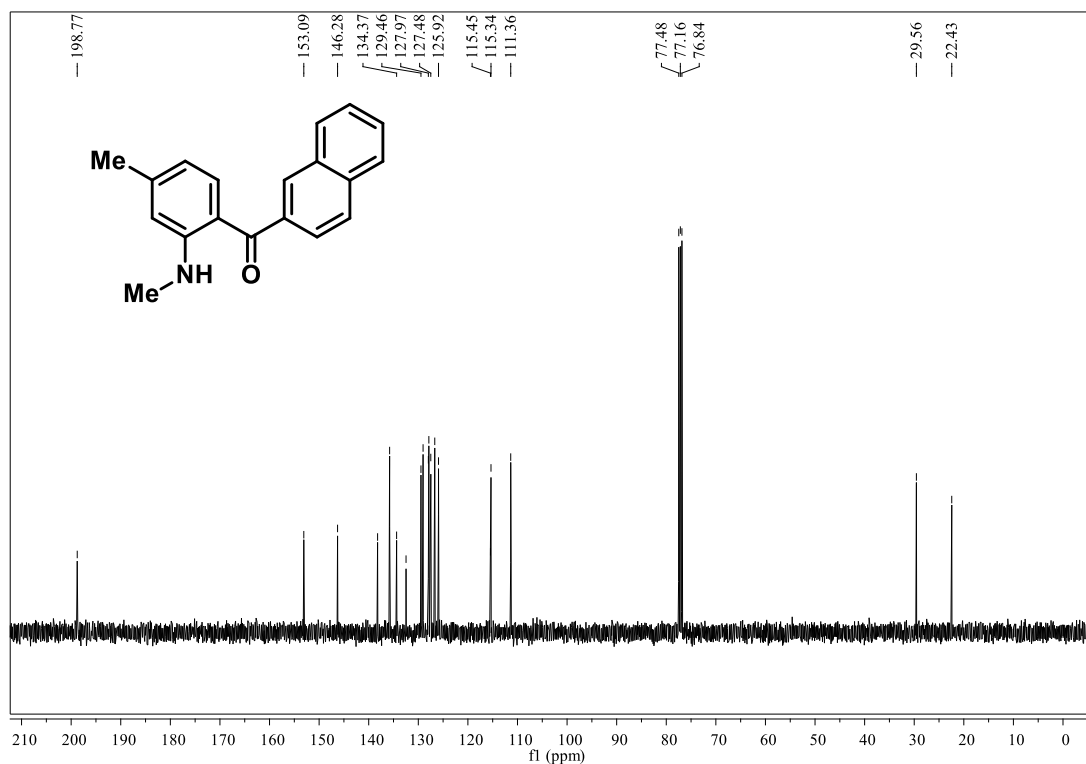


HRMS (MeOH)

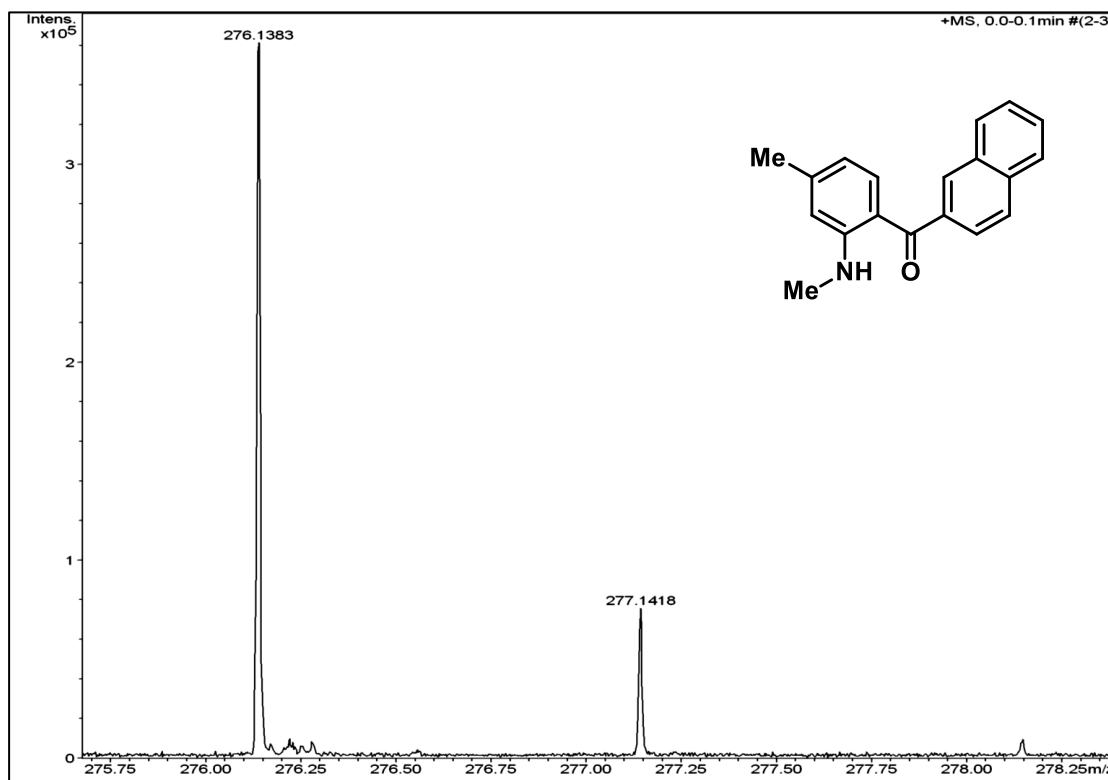
(4-Methyl-2-(methylanino)phenyl)(naphthalen-2-yl)methanone (2r)



400 MHz, ^1H NMR in CDCl_3

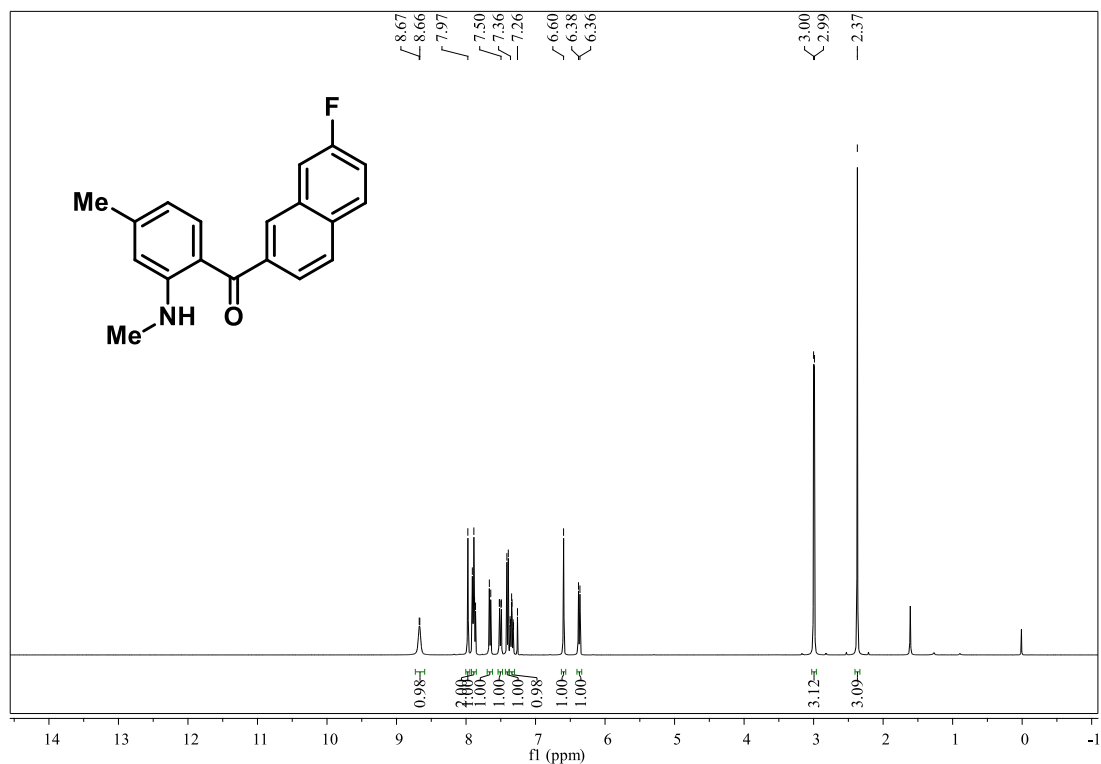


100 MHz, ^{13}C NMR in CDCl_3

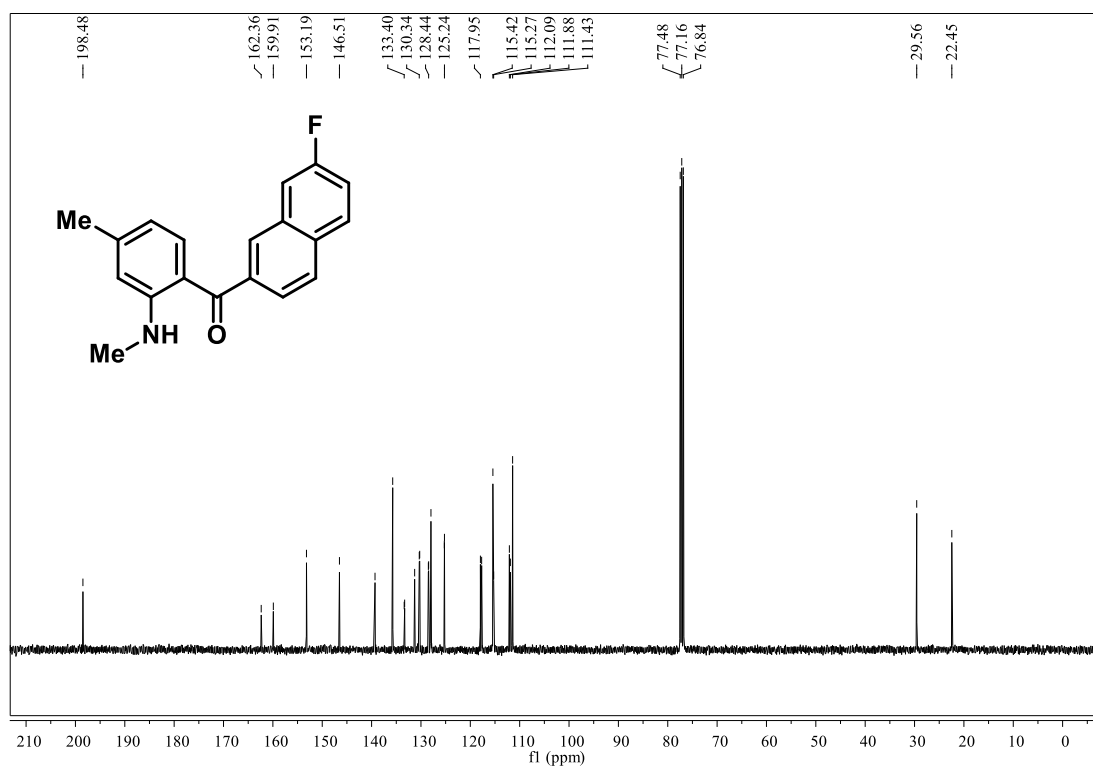


HRMS (MeOH)

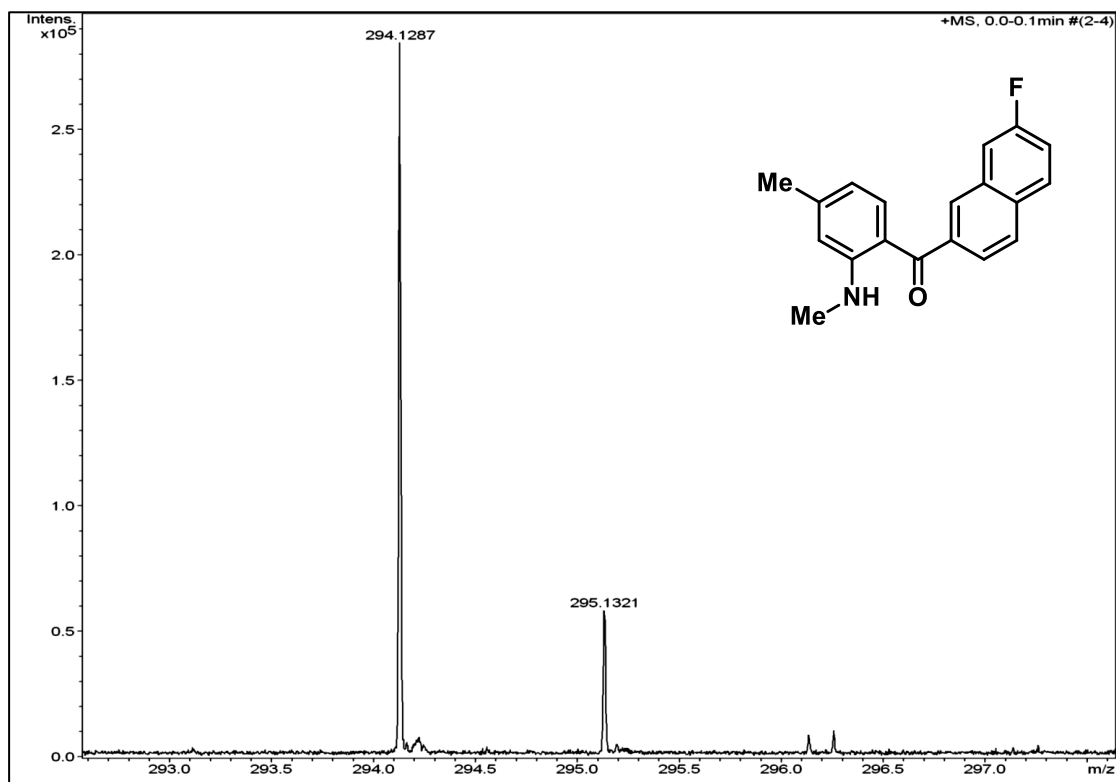
(7-Fluoronaphthalen-2-yl)(4-methyl-2-(methylamino)phenyl)methanone (2s)



400 MHz, ¹H NMR in CDCl₃

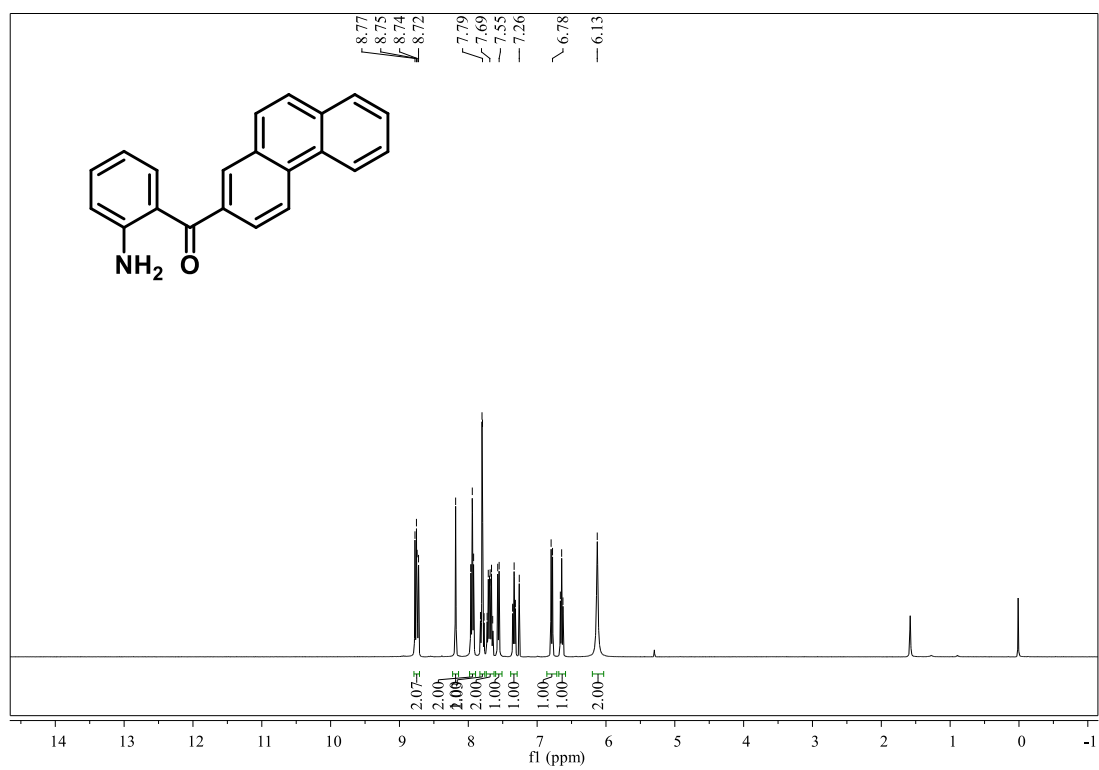


100 MHz, ¹³C NMR in CDCl₃

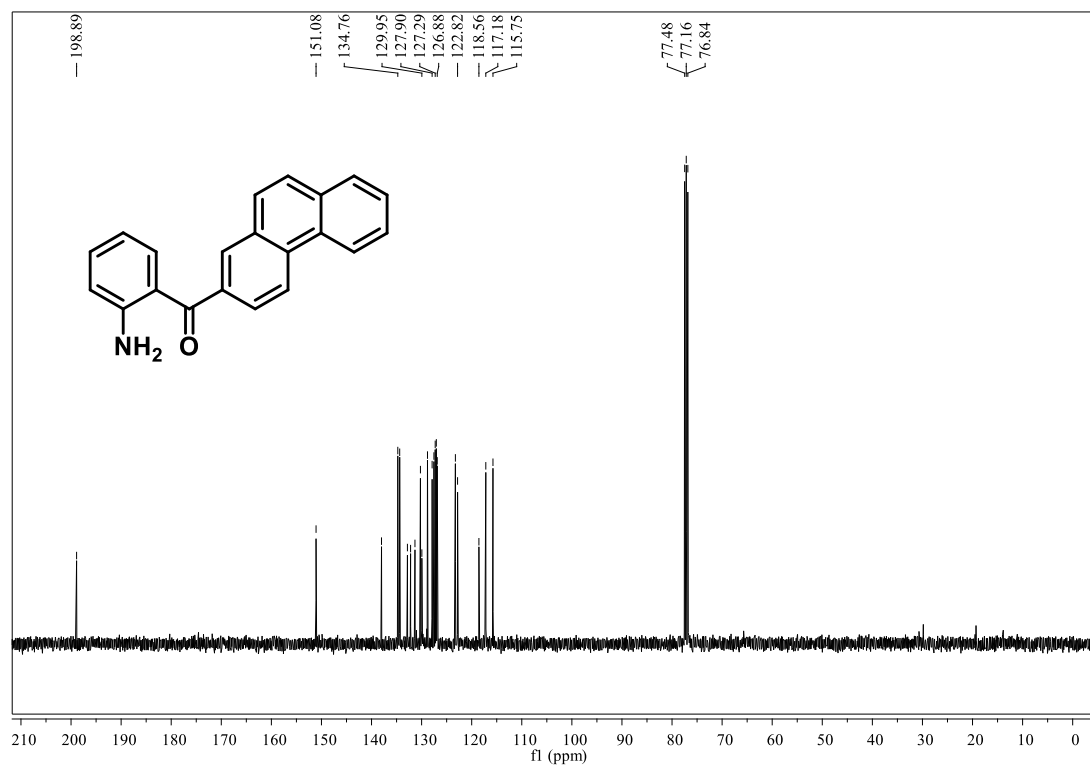


HRMS (MeOH)

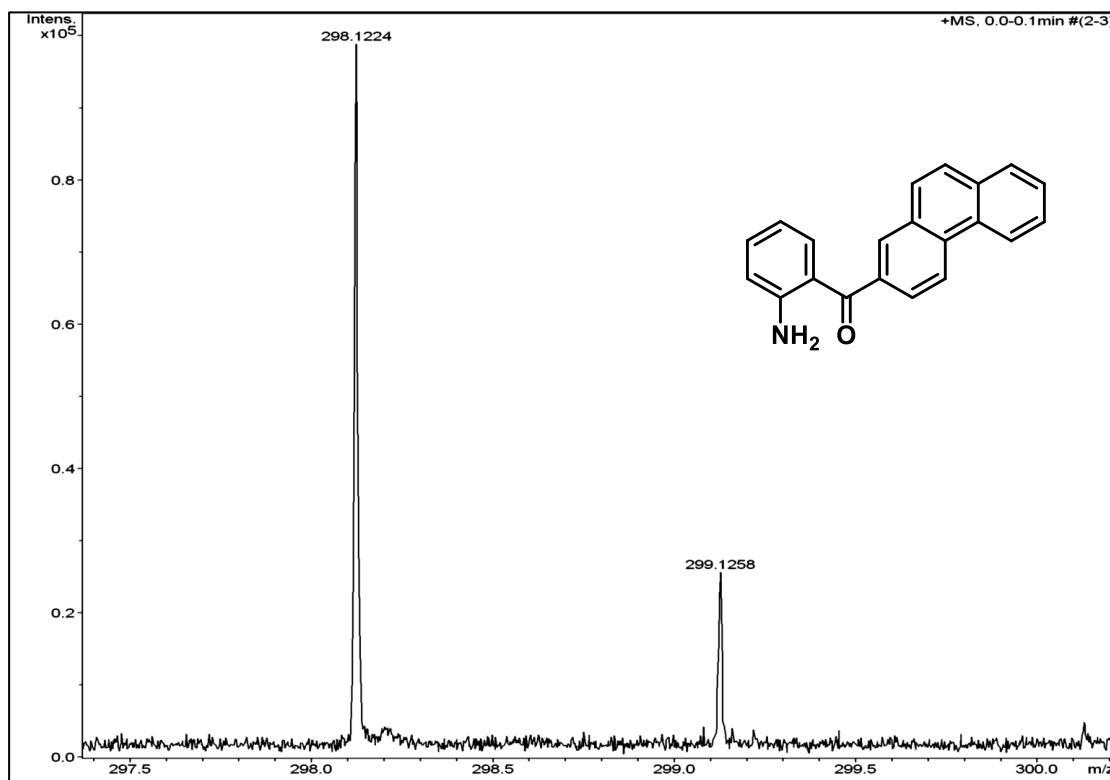
(2-Aminophenyl)(phenanthren-2-yl)methanone (2t)



400 MHz, ^1H NMR in CDCl_3

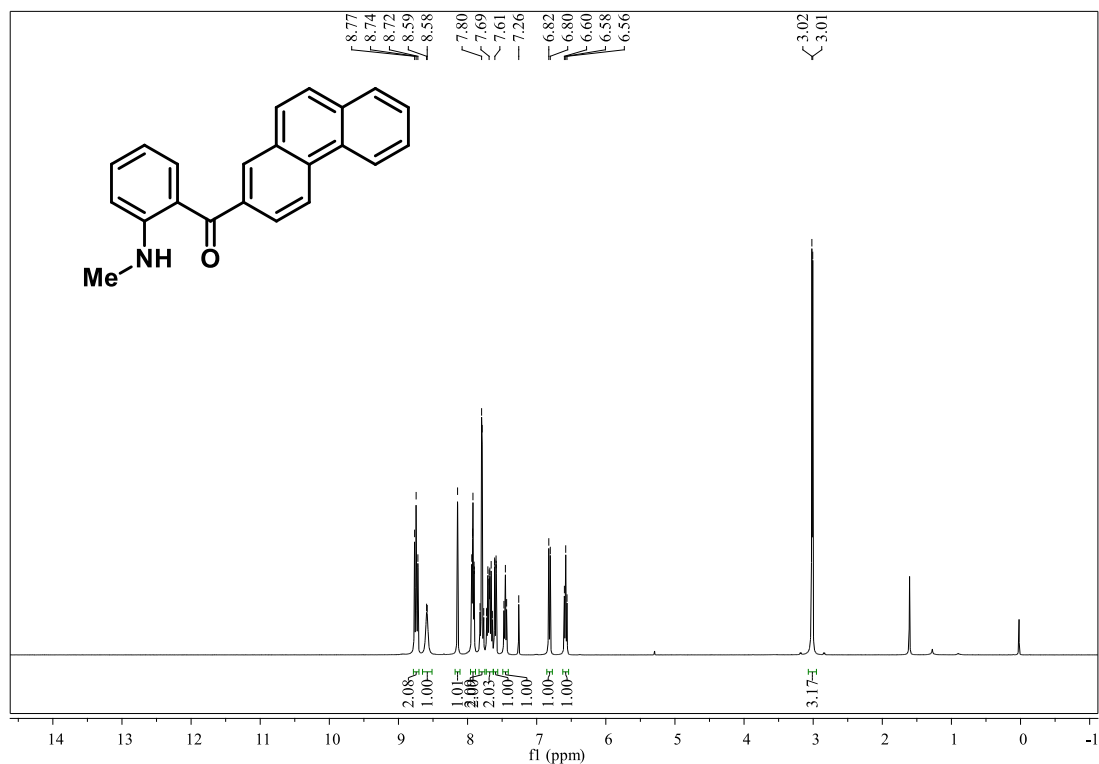


100 MHz, ^{13}C NMR in CDCl_3

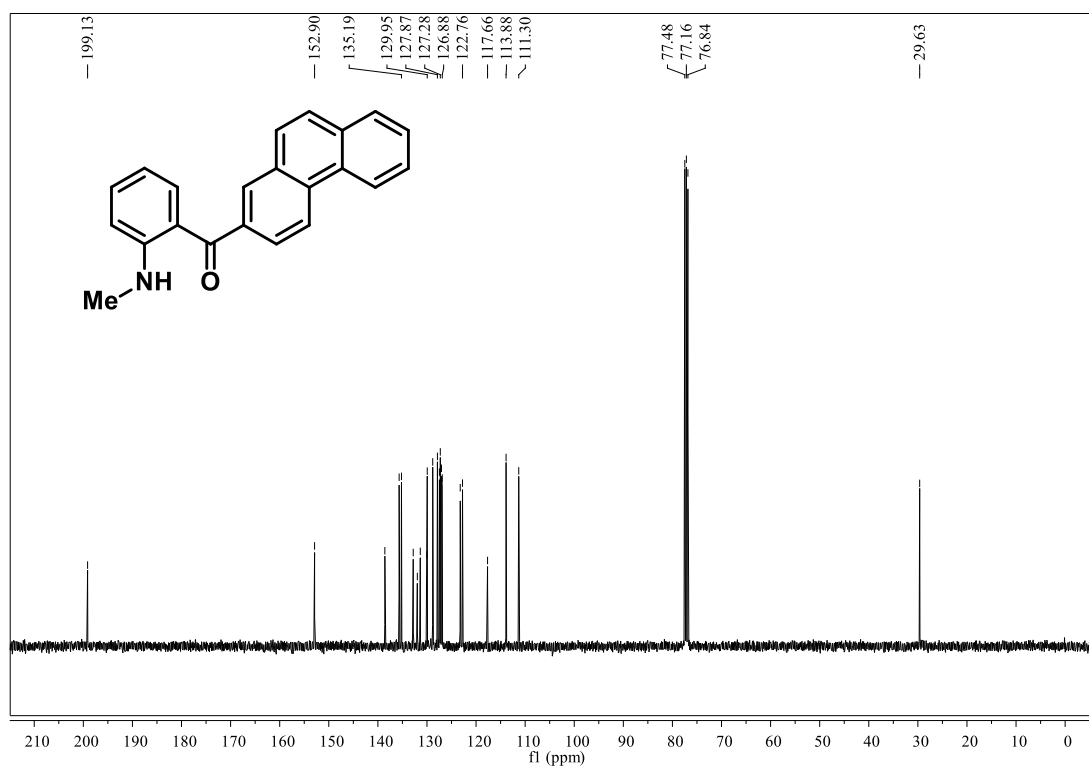


HRMS (MeOH)

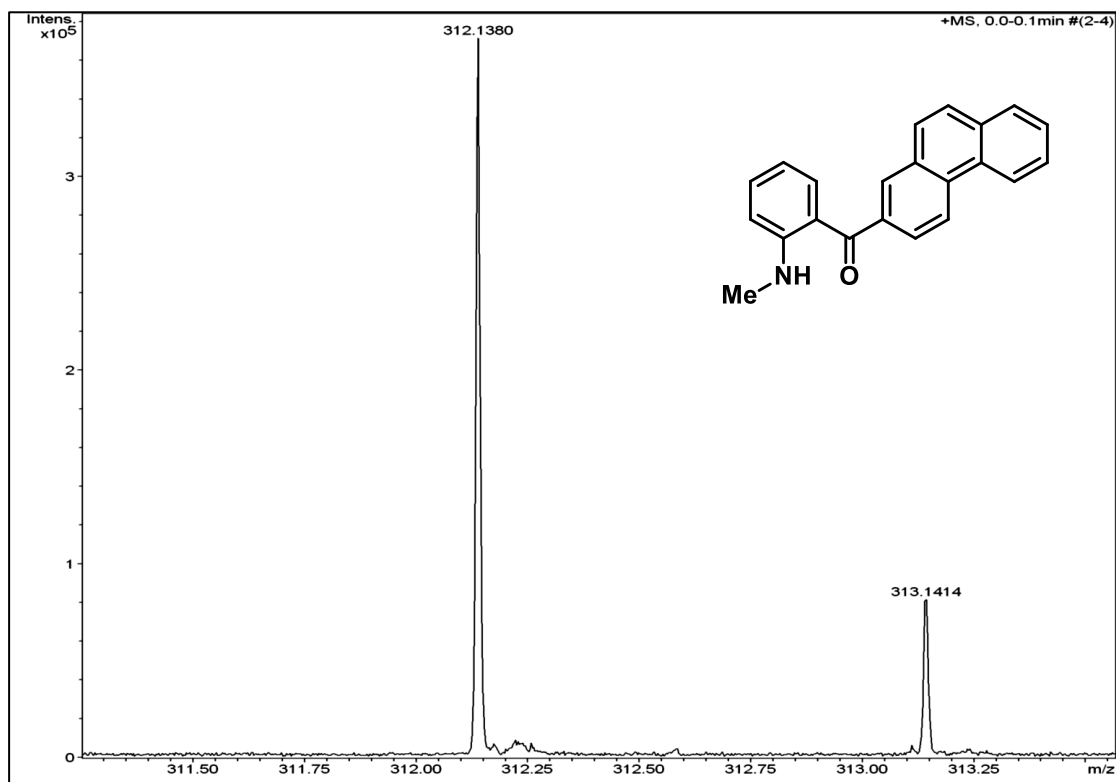
(2-(Methylamino)phenyl)(phenanthren-2-yl)methanone (2u)



400 MHz, ^1H NMR in CDCl_3



100 MHz, ^{13}C NMR in CDCl_3



HRMS (MeOH)