Supporting Information

Synthesis of 2-Aryl Quinazolinones *via* Iron-Catalyzed Cross Dehydrogenative Coupling (CDC) between N-H and C-H bonds

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1. General information

Experimental Details

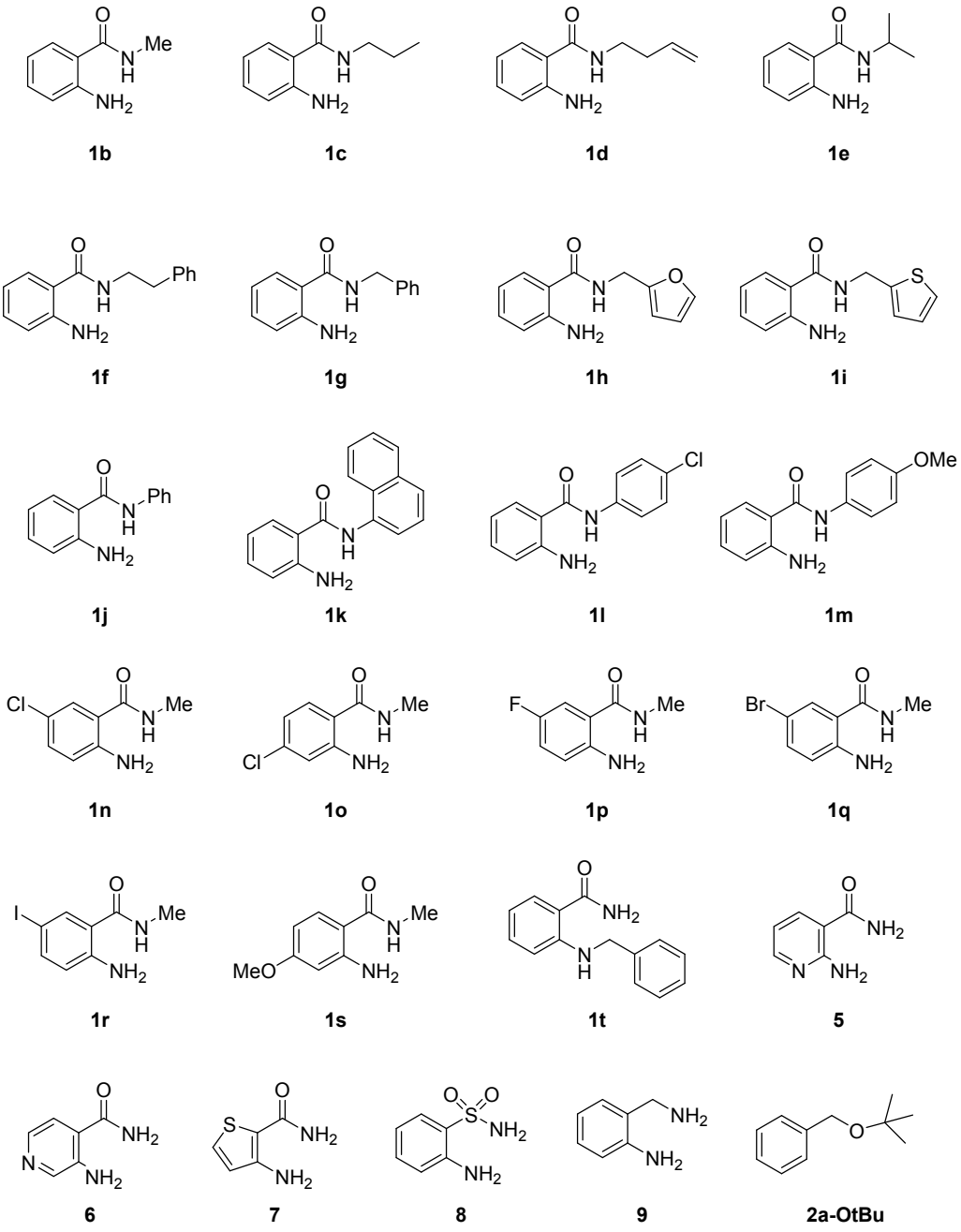
All commercially available reagents and solvents (purchased from Sigma-Aldrich, TCI, Alfa-Aesar, Acros, Combi-block) were used without further purification unless otherwise noted. All reactions were carried out in oven-dried round bottom flask or Borosilicate Glass Tubes purchased from Fishcer scientific (Fisherbrand™ Disposable Borosilicate Glass Tubes with Threaded End). Reactions were monitored by thin layer chromatography on silica gel 60 F254 plate (Merck, Darmstadt, Germany) using UV illumination at 254 nm (VL-4.LC, Vilber Lourmat, Eberhardzell, Germany). Column chromatography was performed on silica gel (230~400 mesh; Zeochem, Lake Zurich, Switzerland), using mixture of hexane and EtOAc as eluents.

Spectral data.

Melting points were measured on a Büchi B-540 melting point apparatus and were not corrected. Nuclear magnetic resonance (^{1}H-NMR and ^{13}C-NMR) spectra were measured on JEOL JNM-ECZ400s [400 MHz (^{1}H), 100 MHz (^{13}C), 376 MHz (^{19}F)], Bruker AVANCE 500 [125 MHz (^{13}C)], JEOL JNM-ECA-600 [150 MHz (^{13}C)] and Bruker ASCEND 800 [200 MHz (^{13}C)] spectrometer. The chemical shifts are given in parts per million (ppm) on the delta (δ) scale. The solvent peak was used as a reference value, for ^{1}H NMR: $CDCl_3$ = 7.26 ppm, DMSO-d^6 = 2.50 ppm; for ^{13}C NMR: $CDCl_3$ = 77.16 ppm, DMSO-d^6 = 39.52 ppm. Coupling constants (*J*) are expressed in hertz (Hz). All high-resolution mass spectra (HR-MS) were acquired using fast atom bombardments (FAB) ionization method on a JMS-700 MStation mass spectrometer (JEOL, Tokyo, Japan).

2. Synthetic procedures for substrates

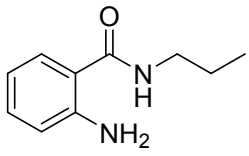
List of substrates.



1b, 5, **7, 8**, **9 and 2a-OtBu** are commercially available. Other substrates are synthesized following the known method in literature.

2-1. Synthesis of substrates 1c-1i[1]

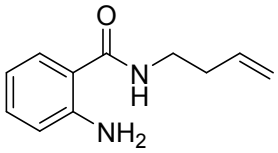
Isatoic anhydride (5 mmol, 816 mg) and alkyl amines (5 mmol) were added to DMF (25 mL) and the mixture was stirred at 50 ℃ in air for 3 h. Upon completion, the solution was diluted with EtOAc (125ml), and then washed by brine several times. The organic layer was dried over anhydrous Na_2SO_4, concentrated and then purified by flash column chromatography on silica gel. After column chromatography, the recrystallization was performed using dichloromethane (DCM) and ice-cold hexane to afford the pure substrates.



1c

2-amino-N-propylbenzamide (1c)

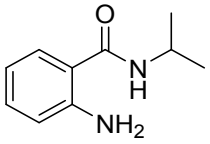
: Following the procedure mentioned above, *n*-propyl amine (0.41 ml) was used as an alkyl amine. After purification by column chromatography (hexane : EtOAc = 3:1), the compound was recrystallized to afford pure **1c** (white solid, 351 mg, 39% yield); mp : 103-104 ℃; ^{1}H-NMR (400 MHz, DMSO-d^6) δ 8.18 (t, *J* = 5.3 Hz, 1H), 7.45 (dd, *J* = 7.8, 1.4 Hz, 1H), 7.11 (td, *J* = 7.7, 1.5 Hz, 1H), 6.67 (dd, *J* = 8.3, 0.9 Hz, 1H), 6.51-6.47 (m, 1H), 6.35 (s, 2H), 3.16 (q, *J* = 6.6 Hz, 2H), 1.50 (td, *J* = 14.5, 7.2 Hz, 2H), 0.88 (t, *J* = 7.4 Hz, 3H); ^{13}C-NMR (100 MHz, DMSO-d^6) δ 168.80, 149.53, 131.47, 128.01, 116.26, 115.06, 114.52, 40.56, 22.42, 11.50; HRMS (FAB) m/z calcd for $C_{10}H_{15}N_2O$ $[M+H]^+$: 179.1184, found: 179.1184.



1d

2-amino-N-(but-3-en-1-yl)benzamide (1d)

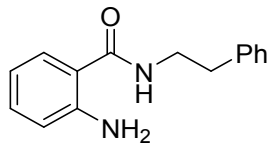
: Following the procedure mentioned above, 3-buten-1-amine (0.57 ml) was used as an alkyl amine. After purification by column chromatography (hexane : EtOAc = 2:1), the compound was recrystallized to afford pure **1d** (white solid, 736 mg, 39% yield); mp : 81-82 ℃; ^{1}H-NMR (400 MHz, CDCl3) δ 7.28 (d, *J* = 1.4 Hz, 1H), 7.22-7.18 (m, 1H), 6.69-6.62 (m, 2H), 6.07 (s, 1H), 5.88-5.78 (m, 1H), 5.49 (s, 2H), 5.19-5.12 (m, 2H), 3.49 (q, *J* = 6.4 Hz, 2H), 2.37 (q, *J* = 6.7 Hz, 2H); ^{13}C-NMR (100 MHz, CDCl3) δ 169.38, 148.77, 135.52, 132.36, 127.11, 117.63, 117.43, 116.76, 116.41, 38.56, 33.92; HRMS (FAB) m/z calcd for $C_{11}H_{15}N_2O$ $[M+H]^+$: 191.1184, found: 191.1182.



1e

2-amino-N-isopropylbenzamide (1e)

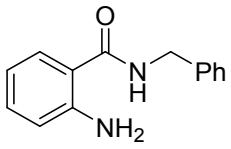
: Following the procedure mentioned above, isopropyl amine (0.49 ml) was used as an alkyl amine. After purification by column chromatography (hexane : EtOAc = 3:1), the compound was recrystallized to afford pure **1e** (white solid, 528mg, 53% yield); mp : 149-150 ℃; ^{1}H-NMR (400 MHz, DMSO-d^{6}) δ 7.93 (d, *J* = 7.4 Hz, 1H), 7.45 (dd, *J* = 8.3, 1.4 Hz, 1H), 7.13-7.09 (m, 1H), 6.66 (dd, *J* = 8.0, 1.1 Hz, 1H), 6.51-6.47 (m, 1H), 6.32 (s, 2H), 4.05 (qd, *J* = 13.6, 6.8 Hz, 1H), 1.13 (d, *J* = 6.4 Hz, 6H); ^{13}C-NMR (100 MHz, DMSO-d^{6}) δ 168.04, 149.49, 131.41, 128.20, 116.18, 115.26, 114.45, 40.39, 22.36; HRMS (FAB) m/z calcd for $C_{10}H_{15}N_2O$ $[M+H]^+$: 179.1184; found, 179.1183.



1f

2-amino-N-phenethylbenzamide (1f)

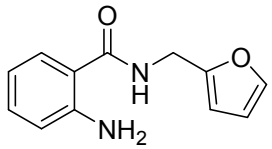
: Following the procedure mentioned above, isatoic anhydride (5.95 mmol, 1000mg) and phenylethylamine (5.95 mmol, 0.76 ml) were added to DMF (29.5 mL) were used for this reaction. After purification by column chromatography (hexane : EtOAc = 3:1), the compound was recrystallized to afford pure **1f** (white solid, 1100 mg, 77% yield); mp : 93-94 ℃; ^{1}H-NMR (400 MHz, DMSO-d^{6}) δ 8.28 (t, *J* = 5.5 Hz, 1H), 7.42-7.40 (m, 1H), 7.30 (t, *J* = 7.4 Hz, 2H), 7.25-7.18 (m, 3H), 7.13-7.09 (m, 1H), 6.67 (d, *J* = 8.3 Hz, 1H), 6.51-6.47 (m, 1H), 6.36 (s, 2H), 3.45-3.37 (m, 2H), 2.82 (t, *J* = 7.4 Hz, 2H); ^{13}C-NMR (100 MHz, DMSO-d^{6}) δ 168.82, 149.59, 139.66, 131.56, 128.67, 128.34, 127.97, 126.06, 116.29, 114.85, 114.52, 40.51, 35.15; HRMS (FAB) m/z calcd for $C_{15}H_{17}N_2O$ $[M+H]^+$: 241.1341, found: 241.1338.



1g

2-amino-N-benzylbenzamide (1g)

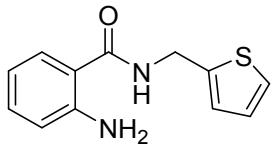
: Following the procedure mentioned above, isatoic anhydride (5.95 mmol, 1000mg) and benzyl amine (5.95 mmol, 0.65 ml) and DMF (29.5 mL) were used for this reaction. After purification by column chromatography (hexane : EtOAc = 3:1), the compound was recrystallized to afford pure **1g** (white solid, 1100 mg, 82% yield); mp : 125-126 ℃; ^{1}H-NMR (400 MHz, DMSO-d^{6}) δ 8.78 (t, *J* = 5.9 Hz, 1H), 7.55 (dd, *J* = 7.8, 1.4 Hz, 1H), 7.32 (ddd, *J* = 11.4, 6.2, 2.1 Hz, 4H), 7.26-7.21 (m, 1H), 7.16-7.12 (m, 1H), 6.69 (dd, *J* = 8.2, 1.4 Hz, 1H), 6.53-6.49 (m, 1H), 6.43 (brs, 2H), 4.42 (d, *J* = 5.9 Hz, 1H); ^{13}C-NMR (100 MHz, DMSO-d^{6}) δ 168.87, 149.85, 140.01, 131.80, 128.28, 128.08, 127.15, 126.67, 116.42, 114.60, 114.38, 42.18; HRMS (FAB) m/z calcd for $C_{14}H_{15}N_2O$ $[M+H]^+$: 227.1184; found, 227.1185.



1h

2-amino-N-(furan-2-ylmethyl)benzamide (1h)

: Following the procedure mentioned above, isatoic anhydride (5.95 mmol, 1000 mg) and 2-thiophenemethylamine (5.95 mmol, 0.658 ml) were added to DMF (29.5 mL) were used for this reaction. After purification by column chromatography (hexane : EtOAc = 4:1), the compound was recrystallized to afford pure **1h** (white solid, 960 mg, 74% yield); mp : 75-76 ℃; ^{1}H-NMR (400 MHz, DMSO-d^{6}) δ 8.69 (t, *J* = 5.7 Hz, 1H), 7.56 (d, *J* = 0.9 Hz, 1H), 7.51 (dd, *J* = 8.3, 1.4 Hz, 1H), 7.11-7.15 (m, 1H), 6.69 (dd, *J* = 8.3, 0.9 Hz, 1H), 6.48-6.52 (m, 1H), 6.44 (s, 2H), 6.39 (q, *J* = 1.7 Hz, 1H), 6.25 (d, *J* = 2.8 Hz, 1H), 4.40 (d, *J* = 5.5 Hz, 2H); ^{13}C-NMR (100 MHz, DMSO-d^{6}) δ 168.69, 149.87, 143.07, 131.84, 128.04, 126.57, 125.18, 124.81, 116.37, 114.53, 114.10, 37.35; HRMS (FAB) m/z calcd for $C_{12}H_{13}N_2O_2$ $[M+H]^+$: 217.0977, found: 217.0973.



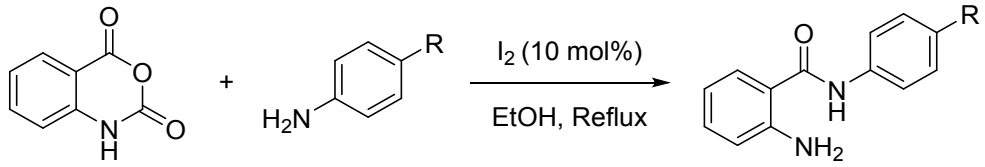
1i

2-amino-N-(thiophen-2-ylmethyl)benzamide (1i)

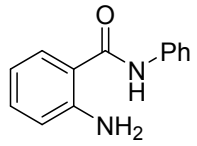
: Following the procedure mentioned above, isatoic anhydride (5.95 mmol, 1000 mg) and 2-thiophenemethylamine (5.95 mmol, 0.63 ml) were added to DMF (29.5 mL) were used for this reaction. After purification by column chromatography (hexane : EtOAc = 3:1), the compound was recrystallized to afford pure **1i** (white solid, 760 mg, 55% yield); mp : 87-89 ℃; ^{1}H-NMR (400 MHz, DMSO-d^{6}) δ 8.85 (t, *J* = 5.9 Hz, 1H), 7.49 (dd, *J* = 7.8, 1.4 Hz, 1H), 7.37 (dd, *J* = 5.0, 0.9 Hz, 1H), 7.11-7.15 (m, 1H), 7.00 (t, *J* = 1.6 Hz, 1H), 6.95 (q, *J* = 2.7 Hz, 1H), 6.68-6.70 (m, 1H), 6.48-6.52 (m, 1H), 6.44 (s, 2H), 4.56 (d, *J* = 5.9 Hz, 2H); ^{13}C-NMR (100 MHz, DMSO-d^{6}) δ 168.69, 149.87, 143.07, 131.84,

128.04, 126.57, 125.18, 124.81, 116.37, 114.53, 114.10, 37.35; HRMS (FAB) m/z calcd for $C_{12}H_{13}N_2OS$ $[M+H]^+$: 233.0749, found: 233.0751.

2-2. Synthesis of substrates 1j-1m[1]



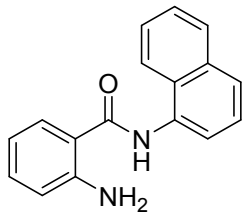
Isatoic anhydride (5 mmol, 816 mg), aniline derivative (5 mmol), and iodine (0.5 mmol) were added to EtOH (10 mL) and the mixture was heated at reflux in air. The progress of the reaction was monitored by TLC. Upon completion, the solvent was distilled off and the residue was diluted with EtOAc. The mixture was quenched by saturated Na_2SO_3 solution and then washed by brine. The organic layer was dried over anhydrous Na_2SO_4, concentrated and then purified by flash column chromatography on silica gel. After column chromatography, the recrystallization was performed using DCM and ice-cold hexane to afford the pure substrates.



1j

2-amino-N-phenylbenzamide (1j)

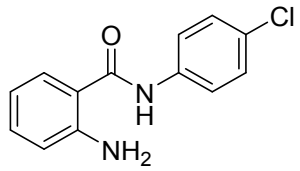
: Following the procedure mentioned above, aniline (0.50 ml) was used for the reaction. After purification by column chromatography (hexane : EtOAc = 5:1 to 2:1), the compound was recrystallized to afford pure **1j** (pale yellow solid, 206 mg, 19% yield); mp : 117-118 ℃; ^{1}H-NMR (400 MHz, DMSO-d^6) δ 9.99 (s, 1H), 7.71 (dd, *J* = 8.7, 0.9 Hz, 2H), 7.61 (dd, *J* = 8.2, 1.4 Hz, 1H), 7.34-7.30 (m, 2H), 7.22-7.18 (m, 1H), 7.09-7.05 (m, 1H), 6.75 (dd, *J* = 8.2, 0.9 Hz, 1H), 6.61-6.57 (m, 1H), 6.32 (s, 2H); ^{13}C-NMR (100 MHz, DMSO-d^6) δ 167.86, 149.74, 139.28, 132.11, 128.72, 128.52, 123.39, 120.54, 116.36, 115.28, 114.70; HRMS (FAB) m/z calcd for $C_{13}H_{13}N_2O$ $[M+H]^+$: 213.1028, found: 213.1025.



1k

2-amino-N-(naphthalen-1-yl)benzamide (1k)

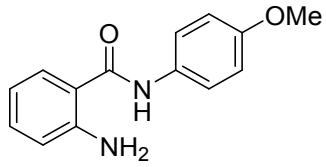
: Following the procedure mentioned above, 1-naphthaleneamine (788 mg) was used as an aniline derivative. After purification by column chromatography (hexane : EtOAc = 10:1 to 5:1) , the compound was recrystallized to afford pure **1k** (pink solid, 219 mg, 17% yield); mp : 161-162 ℃; ^{1}H-NMR (400 MHz, DMSO-d^{6}) δ 10.17 (s, 1H), 7.99-7.94 (m, 2H), 7.90 (dd, *J* = 8.0, 1.1 Hz, 1H), 7.85 (q, *J* = 3.2 Hz, 1H), 7.57-7.52 (m, 4H), 7.26-7.22 (m, 1H), 6.79-6.77 (m, 1H), 6.66-6.62 (m, 1H), 6.45 (s, 2H); ^{13}C-NMR (100 MHz, DMSO-d^{6}) δ 168.68, 150.18, 134.11, 133.79, 132.29, 129.48, 128.87, 128.06, 126.13, 126.01, 125.92, 125.57, 124.01, 123.46, 116.55, 114.79, 114.34; HRMS (FAB) m/z calcd for $C_{17}H_{14}N_2O$ $[M]^+$: 262.1106, found: 262.1107.



1l

2-amino-N-(4-chlorophenyl)benzamide (1l)

: Following the procedure mentioned above, 4-chloroaniline (702 mg) was used as an aniline derivative. After purification by column chromatography (hexane : EtOAc = 3:1) , the compound was recrystallized to afford pure **1l** (pink solid, 182 mg, 14% yield); mp : 148-149 ℃; ^{1}H-NMR (400 MHz, DMSO-d^{6}) δ 10.11 (s, 1H), 7.75 (dt, *J* = 9.7, 2.5 Hz, 2H), 7.61 (dd, *J* = 7.8, 1.4 Hz, 1H), 7.38 (dt, *J* = 9.5, 2.6 Hz, 2H), 7.20 (td, *J* = 7.7, 1.5 Hz, 1H), 6.75 (dd, *J* = 8.3, 1.4 Hz, 1H), 6.61-6.57 (m, 1H), 6.33 (s, 2H); ^{13}C-NMR (125 MHz, DMSO-d^{6}) δ 167.89, 149.81, 138.27, 132.27, 128.71, 128.40, 126.95, 121.98, 116.41, 114.90, 114.69; HRMS (FAB) m/z calcd for $C_{13}H_{12}ClN_2O$ $[M+H]^+$: 247.0638, found: 247.0640.

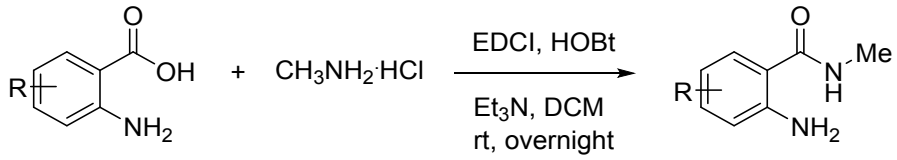


1m

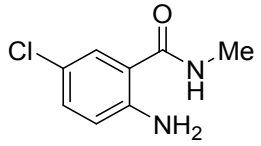
2-amino-N-(4-methoxyphenyl)benzamide (1m)

: Following the procedure mentioned above, *p*-anisidine (0.63 ml) was used as an aniline. After purification by column chromatography (hexane : EtOAc = 6:1 to 3:1) , the compound was recrystallized to afford pure **1m** (white solid, 600 mg, 50% yield); mp : 120-122 ℃; ^{1}H-NMR (400 MHz, DMSO-d^{6}) δ 9.87 (s, 1H), 7.59 (td, *J* = 6.2, 4.0 Hz, 3H), 7.20-7.16 (m, 1H), 6.90 (td, *J* = 6.2, 3.7 Hz, 2H), 6.73 (dd, *J* = 8.2, 1.4 Hz, 1H), 6.59-6.55 (m, 1H), 6.29 (s, 2H), 3.73 (s, 3H); ^{13}C-NMR (125 MHz, DMSO-d^{6}) δ 167.50, 155.41, 149.65, 132.27, 131.90, 128.54, 122.18, 116.32, 115.40, 114.68, 113.65, 55.17; HRMS (FAB) m/z calcd for $C_{14}H_{15}N_2O_2$ $[M+H]^+$: 243.1134, found: 243.1134.

2-3. Synthesis of substrates 1n-1s[1]



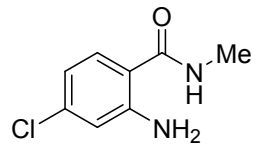
2-Aminobenzoic acid derivatives, methylamine hydrochloride, *N*-(3-dimethylaminopropyl)-*N*′-ethylcarbodiimide hydrochloride **(**EDCI), 1-hydroxybenzotriazole hydrate (HOBt) and Et_3N were added to DCM. Then, the mixture was stirred at room temperature overnight. Upon completion, the solution was washed by saturated NH_4Cl solution. The organic layer was dried over anhydrous Na_2SO_4, concentrated and then purified by flash column chromatography on silica gel. After column chromatography, the recrystallization was performed using DCM and ice-cold hexane to afford the pure substrates.



1n

2-amino-5-chloro-N-methylbenzamide (1n)

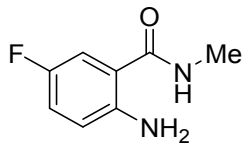
: Following the procedure mentioned above, 5-chloroanthranilic acid (2.28 mmol, 400mg), methylamine hydrochloride (2.74 mmol, 187 mg), EDCI (2.74 mmol, 756 mg), HOBt (2.74 mmol, 378 mg), Et_3N (6.85 mmol, 1.2 ml) and DCM (11.4 mL) was used for this reaction. After purification by column chromatography (hexane : EtOAc = 2:1), the compound was recrystallized to afford pure **1n** (white solid, 242 mg, 58% yield); mp : 132-135 ℃; ^{1}H-NMR (400 MHz, DMSO-d^6) δ 8.29 (d, *J* = 4.1 Hz, 1H), 7.49 (d, *J* = 2.7 Hz, 1H), 7.15 (dd, *J* = 8.9, 2.5 Hz, 1H), 6.70 (d, *J* = 8.7 Hz, 1H), 6.54 (s, 2H), 2.71 (d, J = 4.6 Hz, 3H); ^{13}C-NMR (125 MHz, DMSO-d^6) δ 168.10, 148.43, 131.26, 127.22, 117.99, 117.72, 115.57, 25.98; HRMS (FAB) m/z calcd for $C_8H_{10}ClN_2O$ $[M+H]^+$: 185.0482, found: 185.0487.



1o

2-amino-4-chloro-N-methylbenzamide (1o)

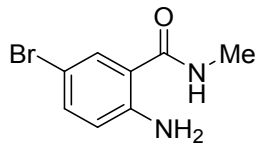
: Following the procedure mentioned above, 4-chloroanthranilic acid (5.86 mmol, 1000 mg), methylamine hydrochloride (7.03 mmol, 485 mg), EDCI (7.03 mmol, 1940 mg), HOBt (7.03 mmol, 970 mg), Et_3N (17.6 mmol, 3.1 ml) and DCM (29.0 mL) was used for this reaction. After purification by column chromatography (hexane : EtOAc = 2:1), the compound was recrystallized to afford pure **1o** (white solid, 252 mg, 24% yield); mp : 98-99 ℃; ^{1}H –NMR (400 MHz, DMSO-d^6) δ 8.23 (d, *J* = 4.1 Hz, 1H), 7.45 (d, *J* = 8.7 Hz, 1H), 6.74 (d, *J* = 1.8 Hz, 1H), 6.68 (s, 2H), 6.51 (dd, *J* = 8.5, 2.1 Hz, 1H), 2.71 (d, *J* = 4.6 Hz, 3H); ^{13}C-NMR (100 MHz, DMSO-d^6) δ 168.53, 150.93, 136.03, 129.79, 115.09, 114.21, 113.43, 26.00; HRMS (FAB) m/z calcd for $C_8H_{10}ClN_2O$ $[M+H]^+$: 185.0482, found: 185.0478.



1p

2-amino-5-fluoro-N-methylbenzamide (1p)

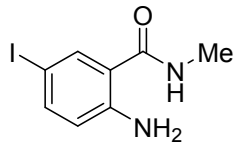
: Following the procedure mentioned above, 5-fluoroanthranilic acid (2.52 mmol, 400mg), methylamine hydrochloride (3.03 mmol, 207 mg), EDCI (3.03 mmol, 836 mg), HOBt (3.03 mmol, 418 mg), Et_3N (7.58 mmol, 1.33 ml) and DCM (12.6 mL) was used for this reaction. After purification by column chromatography (hexane : EtOAc = 2:1), the compound was recrystallized to afford pure **1p** (white solid, 363 mg, 86% yield); mp : 124-126 ℃; ^{1}H-NMR (400 MHz, DMSO-d^6) δ 8.23 (d, *J* = 4.1 Hz, 1H), 7.29 (dd, *J* = 10.3, 3.0 Hz, 1H), 7.03 (td, *J* = 8.6, 3.1 Hz, 1H), 6.69 (q, *J* = 4.7 Hz, 1H), 6.29 (s, 2H), 2.67-2.76 (m, 3H); ^{13}C-NMR (125 MHz, DMSO-d^6) δ 168.29, 152.69 (d, $J_{C\text{-}F}$ = 230.0 Hz), 146.25, 118.93 (d, $J_{C\text{-}F}$ = 22.5 Hz), 117.54 (d, $J_{C\text{-}F}$ = 7.5 Hz), 114.52 (d, $J_{C\text{-}F}$ = 5.0 Hz) , 113.41 (d, $J_{C\text{-}F}$ = 22.7 Hz), 25.99; HRMS (FAB) m/z calcd for $C_8H_{10}FN_2O$ $[M+H]^+$: 169.0777, found: 169.0774.



1q

2-amino-5-bromo-N-methylbenzamide (1q)

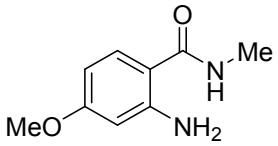
: Following the procedure mentioned above, 5-bromoanthranilic acid (2.27 mmol, 500 mg), methylamine hydrochloride (2.72 mmol, 186 mg), EDCI (2.72 mmol, 751 mg), HOBt (2.72 mmol, 375 mg), Et_3N (6.80 mmol, 888 mg) and DCM (13.5 mL) was used for this reaction. After purification by column chromatography (hexane : EtOAc = 2:1), the compound was recrystallized to afford pure **1q** (white solid, 369 mg, 71% yield); mp : 142-144 ℃; ^{1}H-NMR (400 MHz, DMSO-d^6) δ 8.30 (d, *J* = 4.1 Hz, 1H), 7.60 (d, *J* = 2.3 Hz, 1H), 7.25 (dd, *J* = 8.7, 2.3 Hz, 1H), 6.66 (d, *J* = 8.7 Hz, 1H), 6.56 (s, 2H), 2.70 (d, *J* = 4.6 Hz, 3H); ^{13}C-NMR (125 MHz, DMSO-d^6) δ 168.02, 148.75, 133.97, 130.04, 118.41, 116.22, 104.93, 25.98; HRMS (FAB) m/z calcd for $C_8H_{10}BrN_2O$ $[M+H]^+$: 228.9976, found: 228.9978.



1r

2-amino-5-iodo-N-methylbenzamide (1r)

: Following the procedure mentioned above, 5-iodoanthranilic acid (1.86 mmol, 500 mg), methylamine hydrochloride (2.24 mmol, 152 mg), EDCI (2.24 mmol, 617 mg), HOBt (2.24 mmol, 308 mg), Et_3N (5.59 mmol, 0.98 ml) and DCM (9.3 mL) was used for this reaction. After purification by column chromatography (hexane : EtOAc = 2:1), the compound was recrystallized to afford pure **1r** (white solid, 271 mg, 53% yield); mp : 160-166 ℃; ^{1}H-NMR (400 MHz, DMSO-d^6) δ 8.24 (d, *J* = 4.1 Hz, 1H), 7.68 (d, *J* = 2.3 Hz, 1H), 7.33 (dd, *J* = 8.7, 2.3 Hz, 1H), 6.51 (s, 2H), 6.49 (s, 1H), 2.69-2.65 (m, 3H); ^{13}C NMR (125 MHz, DMSO-d^6) δ 167.95, 149.10, 139.48, 135.77, 118.88, 117.12, 74.64, 25.97; HRMS (FAB) m/z calcd for $C_8H_{10}IN_2O$ $[M+H]^+$: 276.9838, found: 276.9836.

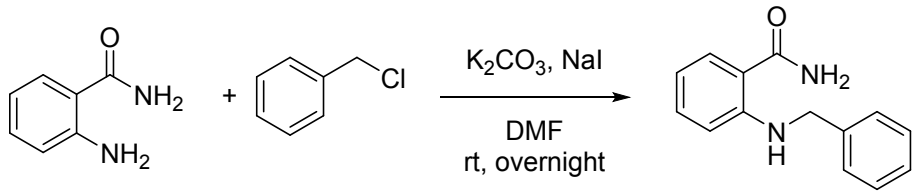


1s

2-amino-4-methoxy-N-methylbenzamide (1s)

: Following the procedure mentioned above, 2-Amino-4-methoxybenzoic acid (1.76 mmol, 300 mg), methylamine hydrochloride (2.11 mmol, 144 mg), EDCI (2.11 mmol, 582 mg), HOBt (2.11 mmol, 291 mg), Et_3N (5.28 mmol, 689 mg) and DCM (8.8 mL) was used for this reaction. After purification by column chromatography (hexane : EtOAc = 2:1), the compound was recrystallized to afford pure **1s** (white solid, 302 mg, 95% yield); mp : 137-139 ℃; ^{1}H-NMR (400 MHz, DMSO-d^6) δ 7.97 (d, *J* = 4.1 Hz, 1H), 7.40 (d, *J* = 8.7 Hz, 1H), 6.60 (s, 2H), 6.20 (d, *J* = 2.3 Hz, 1H), 6.08 (dd, *J* = 8.9, 2.5 Hz, 1H), 3.69 (s, 3H), 2.69 (d, *J* = 4.6 Hz, 3H); ^{13}C-NMR (100 MHz, DMSO-d^6) δ 169.10, 161.91, 151.76, 129.50, 107.83, 102.15, 99.46, 54.78, 25.92; HRMS (FAB) m/z calcd for $C_9H_{12}N_2O_2$ $[M]^+$: 180.0899, found: 180.0906.

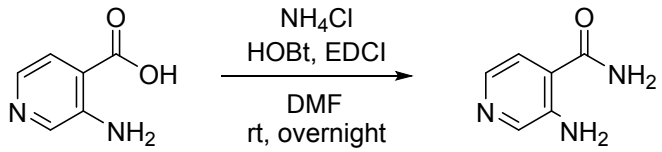
2-4. Synthesis of substrate 1t[2]



1t

To a stirred mixture of anthranilamide (1.0 g, 7.4 mmol), K_2CO_3 (1.0 g, 7.4 mmol), and NaI (1.1 g, 7.4 mmol) in DMF (10 mL) was added benzyl chloride (1.2 mL, 10 mmol), and the mixture was stirred overnight at room temperature. The reaction mixture was cooled and poured into water. It was extracted with EtOAc. The organic layer was washed with brine, dried over $MgSO_4$, and concentrated in *vacuo*. The residue was purified by flash column chromatography on silica gel (hexane : EtOAc = 1:1) and the recrystallization was performed using DCM and ice-cold hexane to afford pure **1t** (white solid, 599 mg, 36% yield); mp : 174-175 ℃; ^{1}H-NMR (400 MHz, DMSO-d^6) δ 8.58 (t, *J* = 5.7 Hz, 1H), 7.85 (s, 1H), 7.61 (d, *J* = 7.8 Hz, 1H), 7.33 (d, *J* = 4.1 Hz, 4H), 7.24 (q, *J* = 4.4 Hz, 1H), 7.19 (t, *J* = 7.8 Hz, 2H), 6.60 (d, *J* = 8.7 Hz, 1H), 6.52 (t, *J* = 7.2 Hz, 1H), 4.38 (d, *J* = 6.0 Hz, 2H); ^{13}C-NMR (100 MHz, DMSO-d^6) δ 171.67, 149.60, 139.71, 132.52, 129.09, 128.51, 127.10, 126.87, 114.26, 114.21, 111.56, 46.00; HRMS (FAB) m/z calcd for $C_{14}H_{15}N_2O$ $[M+H]^+$: 227.1184, found: 227.1184.

2-5. Synthesis of substrate 6[3]

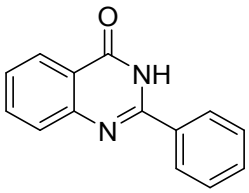


6

To a stirred mixture of isonicotinic acid (1.00 g, 7.24 mmol) in DMF (10 mL) were added HOBt (978 mg, 7.24 mmol), 7 M ammonia solution in methanol (2.06 mL, 14.5 mmol) and EDCI (2.08 g, 10.9 mmol). The mixture was stirred overnight at room temperature. Solvent was distilled off in *vacuo* and then DCM and saturated $NaHCO_3$ aqueous solution were added to the residue. Organic phase was separated, dried over Na_2SO_4 and concentrated in *vacuo*. The residue was purified by flash column chromatography on silica gel (hexane : EtOAc = 1:2 to 1:4) and the recrystallization was performed using DCM and ice-cold hexane to afford pure **6** (yellow solid, 129 mg, 47%); mp : 148-150 ℃; ^{1}H-NMR (400 MHz, DMSO-d^6) δ 8.11 (s, 1H), 8.01 (s, 1H), 7.71 (d, *J* = 5.5 Hz, 1H), 7.44 (s, 1H), 7.39 (d, *J* = 5.1 Hz, 1H), 6.60 (s, 2H); ^{13}C-NMR (100 MHz, DMSO-d^6) δ 169.77, 144.96, 140.27, 135.35, 121.17, 118.09; HRMS (FAB) m/z calcd for $C_6H_8N_3O$ $[M+H]^+$: 138.0667, found: 138.0668.

3. General procedure for the synthesis of Products

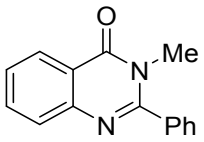
To a mixture of anthranilamides **1** (0.3 mmol), $FeCl_3.6H_2O$ (0.03 mmol, 8.11 mg) in 0.5mL of DMSO, methyl arenes **2** (18 mmol) was added in Borosilicate Glass Tubes. While stirring the mixture, DTBP (0.9mmol, 0.17 mL) was added dropwise. The reaction tube was capped with rubber septum and a needle (18/24 gauge) was injected on top of the septum to make the air-opened condition (see the figure below). The reaction mixture was stirred at 110 ℃ and monitored by TLC. After stirring for 40 h, the reaction mixture was cooled to room temperature and diluted with EtOAc (5 mL). Organic phase was washed with water (1 mL). Aqueous phase was extracted with EtOAc (5 mL x 3). Combined organic phase was dried over anhydrous $MgSO_4$, and concentrated in *vacuo*. The residue was purified by flash column chromatography on silica gel, using hexane and EtOAc as the eluent.



3aa

2-phenylquinazolin-4(3H)-one (3aa)

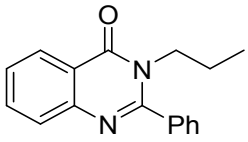
: Following the general procedure, **1a** (41.2 mg) was used as anthranilamide and toluene (**2a,** 1.91 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 3:1 to 2:1), **3aa** was obtained as white solid (64.2 mg, 95% yield); mp : 234-236 ℃; ^{1}H –NMR (400 MHz, DMSO-d^{6}) δ 12.52 (brs, 1H), 8.20-8.15 (m, 3H), 7.86-7.82 (m, 1H), 7.75-7.73 (m, 1H), 7.62-7.51 (m, 4H); ^{13}C-NMR (100 MHz, DMSO-d^{6}) δ 162.30, 152.37, 148.71, 134.63, 132.74, 131.42, 128.63, 127.78, 127.48, 126.61, 125.87, 120.98; HRMS (FAB) m/z calcd for $C_{14}H_{11}N_2O$ $[M+H]^+$: 223.0871, found: 223.0868.



3ba

3-methyl-2-phenylquinazolin-4(3H)-one (3ba)

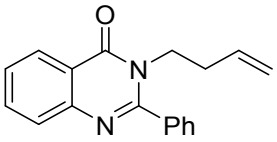
: Following the general procedure, **1b** (45.1 mg) was used as anthranilamide and toluene (**2a,** 1,91 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 3:1 to 2:1), **3ba** was obtained as white solid (53.6 mg, 76% yield); mp : 101-103 ℃; ^{1}H –NMR (400 MHz, DMSO-d^{6}) δ 8.19 (dd, *J* = 7.8, 1.4 Hz, 1H), 7.86-7.82(m, 1H), 7.69-7.65 (m, 3H), 7.58-7.52 (m, 4H), 3.36 (s, 3H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 162.82, 156.22, 147.42, 135.50, 134.40, 130.16, 128.99, 128.09, 127.60, 127.09, 126.78, 120.63, 34.36; HRMS (FAB) m/z calcd for $C_{15}H_{13}N_2O$ $[M+H]^+$: 237.1028, found: 237.1033.



3ca

2-phenyl-3-propylquinazolin-4(3H)-one (3ca)

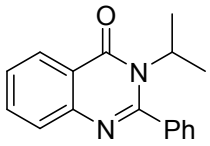
: Following the general procedure, **1c** (53.1 mg) was used as anthranilamide and toluene (**2a,** 1,91 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 4:1 to 2:1), **3ca** was obtained as pale yellow solid (60.8 mg, 77% yield); mp : 101-103 ℃; ^{1}H –NMR (400 MHz, $CDCl_3$) δ 8.31 (d, *J* = 7.6 Hz, 1H), 7.75-7.67 (m, 2H), 7.52-7.45 (m, 6H), 3.94-3.90 (m, 2H), 1.62 (td, *J* = 15.2, 7.5 Hz, 2H), 0.74 (t, *J* = 7.4 Hz, 3H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 162.33, 156.42, 147.32, 135.73, 134.45, 129.94, 128.93, 127.90, 127.58, 127.11, 126.91, 121.07, 47.62, 22.24, 11.30; HRMS (FAB) m/z calcd for $C_{17}H_{17}N_2O$ $[M+H]^+$: 265.1341, found: 265.1346.



3da

3-(but-3-en-1-yl)-2-phenylquinazolin-4(3H)-one (3da)

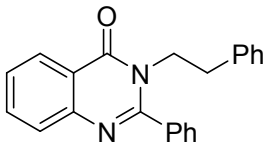
: Following the general procedure, **1d** (58.4 mg) was used as anthranilamide and toluene (**2a,** 1.91 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 5:1 to 3:1), **3da** was obtained as white solid (55.3 mg, 65% yield); mp : 102-105 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.33 (d, *J* = 8.7 Hz, 1H), 7.78-7.72 (m, 2H), 7.53-7.49 (m, 6H), 5.62-5.52 (m, 1H), 4.94-4.87 (m, 2H), 4.07 (t, *J* = 7.5 Hz, 2H), 2.38-2.33 (m, 2H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 162.29, 156.28, 147.24, 135.58, 134.49, 133.97, 130.01, 128.94, 128.03, 127.60, 127.15, 126.89, 120.98, 117.74, 45.28, 33.01; HRMS (FAB) m/z calcd for $C_{18}H_{17}N_2O$ $[M+H]^+$: 277.1341, found: 277.1345.



3ea

3-isopropyl-2-phenylquinazolin-4(3H)-one (3ea)

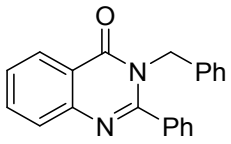
: Following the general procedure, **1e** (54.4 mg) was used as anthranilamide and toluene (**2a,** 1.91 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 10:1 to 8:1), **3ea** was obtained as white solid (56.8 mg, 70% yield); mp : 131-133 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.30 (d, *J* = 7.8 Hz, 1H), 7.75-7.69 (m, 2H), 7.55-7.46 (m, 6H), 4.38-4.28 (m, 1H), 1.58 (d, *J* = 6.9 Hz, 6H) ^{13}C-NMR (100 MHz, $CDCl_3$) δ 162.71, 156.77, 146.92, 136.57, 134.29, 129.81, 129.10, 127.34, 127.01, 126.54, 54.18, 19.76; HRMS (FAB) m/z calcd for $C_{17}H_{17}N_2O$ $[M+H]^+$: 265.1341, found: 265.1343.



3fa

3-phenethyl-2-phenylquinazolin-4(3H)-one (3fa)

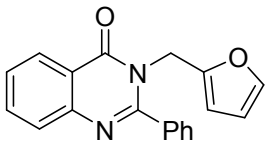
: Following the general procedure, **1f** (71.2 mg) was used as anthranilamide and toluene (**2a,** 1.91 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 10:1 to 5:1), **3fa** was obtained as white solid (71.0 mg, 73% yield); mp : 176-179 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.38 (d, *J* = 7.8 Hz, 1H), 7.79-7.74 (m, 2H), 7.55-7.47 (m, 4H), 7.40-7.31 (m, 2H), 7.21-7.18 (m, 3H), 6.88 (t, *J* = 3.7 Hz, 2H), 4.20 (t, *J* = 7.8 Hz, 2H), 2.92 (t, *J* = 8.0 Hz, 2H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 162.20, 156.19, 147.25, 137.82, 135.44, 134.48, 129.89, 128.84, 128.66, 127.87, 127.61, 127.15, 126.81, 126.72, 121.02, 47.62, 34.77; HRMS (FAB) m/z calcd for $C_{22}H_{19}N_2O$ $[M+H]^+$: 327.1497, found: 327.1500.



3ga

3-benzyl-2-phenylquinazolin-4(3H)-one (3ga)

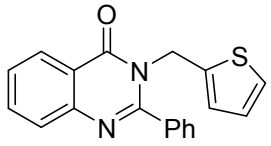
: Following the general procedure, **1g** (66.7 mg) was used as anthranilamide and toluene (**2a,** 1.91 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 10:1 to 5:1), **3ga** was obtained as white solid (66.3 mg, 72% yield); mp : 125-128 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.39-8.37 (m, 1H), 7.81-7.75 (m, 2H), 7.57-51 (m, 1H), 7.49-7.45 (m, 1H), 7.42-7.38 (m, 2H), 7.36-7.33 (m, 2H), 7.24-7.18 (m, 3H), 6.95-6.91 (m, 2H), 5.28 (s, 2H); ^{13}C-NMR (150 MHz, $CDCl_3$) δ 162.54, 156.46, 147.36, 136.68, 135.37, 134.63, 129.96, 128.66, 128.59, 128.09, 127.68, 127.51, 127.23, 127.18, 127.05, 120.95, 48.88; HRMS (FAB) m/z calcd for $C_{21}H_{17}N_2O$ $[M+H]^+$: 313.1341, found: 313.1346.



3ha

3-(furan-2-ylmethyl)-2-phenylquinazolin-4(3H)-one (3ha)

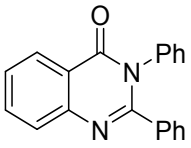
: Following the general procedure, **1h** (64.9mg) was used instead of anthranilamide and toluene (**2a,** 1.91 ml) was used as methyl arene for the reaction. The reaction mixture was stirred at 110 ℃ for 40 h. After purification by column chromatography (hexane : EtOAc = 4:1) , **3ha** was obtained as white solid (54.1 mg, 60% yield); mp : 111-112 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.34-8.36 (d, J = 8.4 Hz, 1H), 7.73-7.77 (m, 2H), 7.47-7.55 (m, 6H), 7.27 (d, J = 1.8 Hz, 1H), 6.25 (q, J = 1.6 Hz, 1H), 6.08 (d, J = 3.1 Hz, 1H), 5.20 (s, 2H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 162.19, 156.11, 149.41, 147.31, 142.25, 135.26, 134.68, 130.11, 128.86, 128.29, 127.71, 127.27, 127.14, 121.02, 110.63, 109.06, 42.55; HRMS (FAB) m/z calcd for $C_{19}H_{15}N_2O_2$ $[M+H]^+$: 303.1134, found: 303.1138.



3ia

2-phenyl-3-(thiophen-2-ylmethyl)quinazolin-4(3H)-one (3ia)

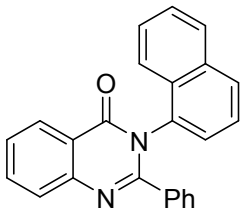
: Following the general procedure, **1i** (69.7 mg) was used instead of anthranilamide and toluene (**2a,** 1.91 ml) was used as methyl arene for the reaction. The reaction mixture was stirred at 110 ℃ for 40 h. After purification by column chromatography (hexane : EtOAc = 4:1) , **3ia** was obtained as white solid (68.3 mg, 72% yield); mp : 128-129 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.37-8.40 (d, *J* = 8.6 Hz, 1H), 7.73-7.79 (m, 2H), 7.47-7.57 (m, 6H), 7.16 (d, *J* = 4.3 Hz, 1H), 6.83 (q, *J* = 2.9 Hz, 1H), 6.61 (d, *J* = 3.1 Hz, 1H), 5.38 (s, 2H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 162.31, 155.88, 147.29, 138.37, 135.12, 134.73, 130.22, 128.91, 128.42, 127.71, 127.42, 127.32, 127.15, 126.43, 126.14, 121.03, 44.24; HRMS (FAB) m/z calcd for $C_{19}H_{15}N_2OS$ $[M+H]^+$: 319.0905, found: 319.0906.



3ja

2,3-diphenylquinazolin-4(3H)-one (3ja)

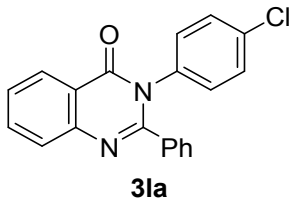
: Following the general procedure, **1j** (63.4 mg) was used as anthranilamide and toluene (**2a,** 1.91 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 5:1), **3ja** was obtained as white solid (75.8 mg, 85% yield); mp : 153-155 ℃; ^{1}H-NMR (400 MHz, DMSO-d^6) δ 8.20 (d, *J* = 7.8 Hz, 1H), 7.91 (td, *J* = 7.7, 1.5 Hz, 1H), 7.77 (d, *J* = 7.8 Hz, 1H), 7.59-7.63 (m, 1H), 7.37 (dd, *J* = 7.8, 1.8 Hz, 2H), 7.35-7.28 (m, 4H), 7.27-7.19 (m, 4H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 162.40, 155.33, 147.60, 137.76, 135.55, 134.88, 129.42, 129.21, 129.11, 129.09, 128.54, 128.12, 127.86, 127.42, 127.34, 121.07; HRMS (FAB) m/z calcd for $C_{20}H_{15}N_2O$ $[M+H]^+$: 299.1184, found: 299.1187.



3ka

3-(naphthalen-1-yl)-2-phenylquinazolin-4(3H)-one (3ka)

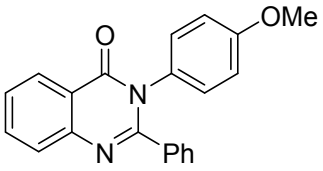
: Following the general procedure, **1k** (79.5 mg) was used as anthranilamide and toluene (**2a,** 1.91 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 5:1 to 3:1), **3ka** was obtained as white solid (83.2 mg, 79% yield); mp : 212-215 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.41-8.39 (m, 1H), 7.93-7.79 (m, 4H), 7.68 (d, *J* = 7.8 Hz, 1H), 7.60-7.43 (m, 3H), 7.35 (t, *J* = 7.8 Hz, 1H), 7.26-7.24 (m, 3H), 7.18-7.10 (m, 1H), 7.03 (t, *J* = 7.6 Hz, 2H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 162.47, 156.32, 147.87, 135.40, 135.05, 134.71, 134.10, 130.59, 129.64, 129.52, 128.74, 128.18, 128.00, 127.87, 127.73, 127.64, 127.55, 127.52, 126.65, 125.25, 124.96, 122.48, 121.03; HRMS (FAB) m/z calcd for $C_{24}H_{17}N_2O$ $[M+H]^+$: 349.1341, found: 349.1336.



3la

3-(4-chlorophenyl)-2-phenylquinazolin-4(3H)-one (3la)

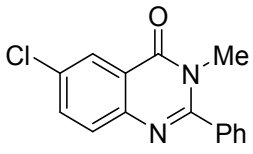
: Following the general procedure, **1l** (74.2 mg) was used as anthranilamide and toluene (**2a,** 1.91 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 10:1 to 5:1), **3la** was obtained as white solid (82.9 mg, 82% yield); mp : 198-199 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.31 (d, *J* = 7.8 Hz, 1H), 7.82-7.77 (m, 2H), 7.54-7.48 (m, 1H), 7.33-7.22 (m, 7H), 7.09 (d, *J* = 8.7 Hz, 2H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 162.25, 154.90, 147.47, 136.28, 135.24, 135.03, 134.46, 130.51, 129.67, 129.34, 129.05, 128.34, 127.91, 127.57, 127.32, 120.86; HRMS (FAB) m/z calcd for $C_{20}H_{14}ClN_2O$ $[M+H]^+$: 333.0795, found: 333.0796.



3ma

3-(4-methoxyphenyl)-2-phenylquinazolin-4(3H)-one (3ma)

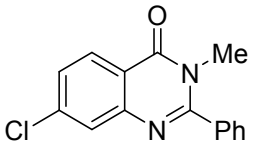
: Following the general procedure, **1m** (72.9 mg) was used as anthranilamide and toluene (**2a,** 1.91 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 10:1 to 5:1), **3ma** was obtained as white solid (82.0 mg, 83% yield); mp : 200-201 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.34(dd, *J* = 7.8, 0.9 Hz, 1H), 7.84-7.77 (m, 2H), 7.54-7.49 (m, 1H), 7.37-7.32 (m, 2H), 7.28-7.20 (m, 3H), 7.05 (td, *J* = 6.2, 3.7 Hz, 2H), 6.81 (td, *J* = 6.1, 3.8 Hz, 2H), 3.75 (s, 3H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 162.51, 159.23, 155.65, 147.33, 135.46, 134.75, 130.24, 130.08, 129.35, 129.04, 128.10, 127.62, 127.31, 127.26, 120.94, 114.29, 55.44; HRMS (FAB) m/z calcd for $C_{21}H_{17}N_2O_2$ $[M+H]^+$: 329.1290, found: 329.1286.



3na

6-chloro-3-methyl-2-phenylquinazolin-4(3H)-one (3na)

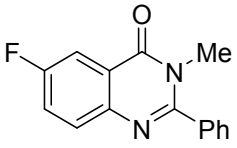
: Following the general procedure, **1n** (55.4 mg) was used as anthranilamide and toluene (**2a,** 1.91 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 3:1), **3na** was obtained as white solid (66.3 mg, 82% yield); mp : 126-127 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.24 (d, *J* = 1.4 Hz, 1H), 7.64 (d, *J* = 0.9 Hz, 2H), 7.56-7.50 (m, 5H), 3.47 (s, 3H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 161.72, 156.41, 145.84, 135.10, 134.76, 132.69, 130.30, 129.22, 128.96, 128.03, 126.01, 121.52, 34.49; HRMS (FAB) m/z calcd for $C_{15}H_{12}Cl_2N_2O$ $[M+H]^+$: 271.0638, found: 271.0638.



3oa

7-chloro-3-methyl-2-phenylquinazolin-4(3H)-one (3oa)

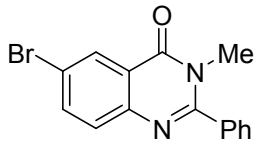
: Following the general procedure, **1o** (56.7 mg) was used as anthranilamide and toluene (**2a,** 1.91 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 3:1), **3oa** was obtained as white solid (71.4 mg, 85% yield); mp : 155-157 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.26 (d, *J* = 8.7 Hz, 1H), 7.73 (d, *J* = 1.8 Hz, 1H), 7.58-7.53 (m, 5H), 7.45 (dd, *J* = 8.7, 1.8 Hz, 1H), 3.49 (s, 3H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 162.30, 157.53, 148.39, 140.64, 135.18, 130.48, 129.09, 128.35, 128.09, 127.79, 127.18, 119.11, 34.52; HRMS (FAB) m/z calcd for $C_{15}H_{12}ClN_2O$ $[M+H]^+$: 271.0638, found: 271.0641.



3pa

6-fluoro-3-methyl-2-phenylquinazolin-4(3H)-one (3pa)

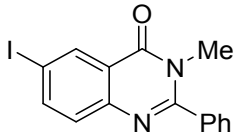
: Following the general procedure, **1p** (51.3 mg) was used as anthranilamide and toluene (**2a,** 1.91 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 5:1 to 3:1), **3pa** was obtained as white solid (57.7 mg, 74% yield); mp : 143-144 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 7.95 (dd, *J* = 8.5, 3.0 Hz, 1H), 7.74 (q, *J* = 4.6 Hz, 1H), 7.57-7.52 (m, 5H), 7.47 (td, *J* = 8.6, 3.0 Hz, 1H), 3.51 (d, *J* = 15.1 Hz, 3H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 162.29, 162.17, 162.13, 159.82, 155.57, 155.55, 144.10, 135.21, 130.29, 130.10, 130.03, 129.03, 128.09, 123.19, 122.95, 121.87, 121.79, 111.69, 111.45, 34.48; ^{19}F-NMR (376 MHz, $CDCl_3$) δ -112.24 ppm; HRMS (FAB) m/z calcd for $C_{15}H_{12}FN_2O$ $[M+H]^+$: 255.0934, found: 255.0932.



3qa

6-bromo-3-methyl-2-phenylquinazolin-4(3H)-one (3qa)

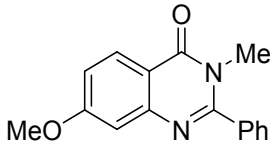
: Following the general procedure, **1q** (68.4 mg) was used as anthranilamide and toluene (**2a,** 1.91 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 5:1 to 3:1), **3qa** was obtained as white solid (72.2 mg, 77% yield); mp : 130-131 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.44 (d, *J* = 2.3 Hz, 1H), 7.81 (dd, *J* = 8.6, 2.4 Hz, 1H), 7.61-7.52 (m, 6H), 3.49 (s, 3H); ^{13}C-NMR (125 MHz, $CDCl_3$) δ 161.65, 156.62, 146.24, 137.57, 135.18, 130.37, 129.44, 129.29, 129.01, 128.06, 121.95, 120.55, 34.54; HRMS (FAB) m/z calcd for $C_{15}H_{12}BrN_2O$ $[M+H]^+$: 315.0133, found: 315.0141.



3ra

6-iodo-3-methyl-2-phenylquinazolin-4(3H)-one (3ra)

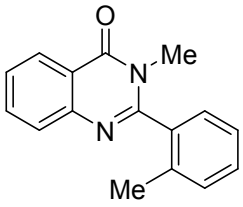
: Following the general procedure, **1r** (81.5 mg) was used as anthranilamide and toluene (**2a,** 1.91 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 3:1), **3ra** was obtained as white solid (78.9 mg, 74% yield); mp : 139-141 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.65 (d, *J* = 1.8 Hz, 1H), 8.00 (dd, *J* = 8.7, 2.3 Hz, 1H), 7.57-7.51 (m, 5H), 7.46 (d, *J* = 8.2 Hz, 1H), 3.49 (s, 3H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 161.42, 156.84, 146.70, 143.19, 135.63, 135.19, 130.40, 129.46, 129.04, 128.06, 122.21, 91.49, 34.61; HRMS (FAB) m/z calcd for $C_{15}H_{12}IN_2O$ $[M+H]^+$: 362.9994, found: 362.9999.



3sa

7-methoxy-3-methyl-2-phenylquinazolin-4(3H)-one (3sa)

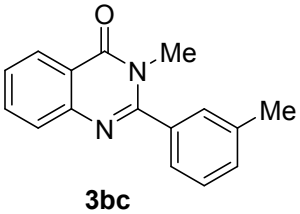
: Following the general procedure, **1s** (54.0 mg) was used as anthranilamide and toluene (**2a,** 1.91 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 3:1), **3sa** was obtained as white solid (54.0 mg, 68% yield); mp : 170-173 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.20 (d, *J* = 9.1 Hz, 1H), 7.56-7.50 (m, 5H), 7.11 (d, *J* = 2.7 Hz, 1H), 7.05 (dd, *J* = 8.9, 2.5 Hz, 1H), 3.88 (s, 3H), 3.46 (s, 3H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 164.62, 162.32, 156.96, 149.60, 135.59, 130.12, 128.97, 128.29, 128.01, 117.40, 114.18, 107.96, 55.75, 34.17; HRMS (FAB) m/z calcd for $C_{16}H_{15}N_2O_2$ $[M+H]^+$: 267.1131, found: 267.1131.



3bb

3-methyl-2-(o-tolyl)quinazolin-4(3H)-one (3bb)

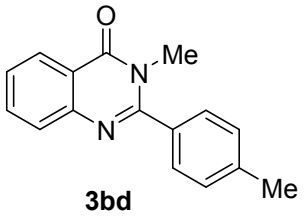
: Following the general procedure, **1b** (45.4 mg) was used as anthranilamide and o-xylene (**2b,** 2.17 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 5:1) , **3bb** was obtained as white solid (64.6 mg, 86% yield); mp : 85-88℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.33 (d, *J* = 7.8 Hz, 1H), 7.77-7.72 (m, 2H), 7.52-7.48 (m, 1H), 7.39 (dq, *J* = 10.3, 3.2 Hz, 1H), 7.34-7.31 (m, 3H), 3.33 (s, 3H), 2.23 (s, 3H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 162.49, 156.03, 147.40, 135.28, 135.11, 134.37, 130.80, 129.92, 127.53, 127.09, 126.73, 126.58, 120.71, 32.77, 19.15 HRMS (FAB) m/z calcd for $C_{16}H_{15}N_2O$ $[M+H]^+$: 251.1184, found: 251.1189.



3bc

3-methyl-2-(m-tolyl)quinazolin-4(3H)-one (3bc)

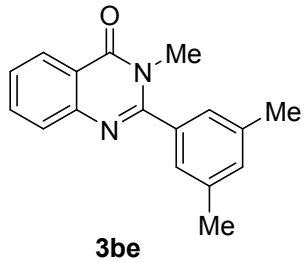
: Following the general procedure, **1b** (45.2 mg) was used as anthranilamide and m-xylene (**2b,** 2.22 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 5:1) , **3bc** was obtained as white solid (65.6 mg, 87% yield); mp : 119-120 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.30 (d, *J* = 8.2 Hz, 1H), 7.75-7.70 (m, 2H), 7.49-7.45 (m, 1H), 7.35 (dt, *J* = 28.8, 7.1 Hz, 4H), 3.47 (s, 3H), 2.42 (s, 3H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 162.76, 156.40, 147.38, 138.93, 135.37, 134.33, 130.83, 128.75, 128.57, 127.52, 126.96, 126.70, 125.01, 120.55, 34.30, 21.51; HRMS (FAB) m/z calcd for $C_{16}H_{15}N_2O$ $[M+H]^+$: 251.1184, found: 251.1189.



3bd

3-methyl-2-(p-tolyl)quinazolin-4(3H)-one (3bd)

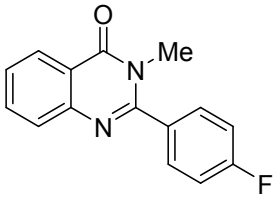
: Following the general procedure, **1b** (44.9 mg) was used as anthranilamide and p-xylene (**2d,** 2.22 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 5:1 to 4:1), **3bd** was obtained as white solid (67.4 mg, 90% yield); mp : 128-131 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.34-8.32 (m, 1H), 7.78-7.72 (m, 2H), 7.53-7.45 (m, 3H), 7.33 (d, *J* = 7.8 Hz, 2H), 3.51 (s, 3H), 2.44 (s, 3H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 162.94, 156.40, 147.51, 140.39, 134.36, 132.67, 129.59, 129.28, 128.09, 127.59, 126.96, 126.76, 120.58, 34.43, 21.56; HRMS (FAB) m/z calcd for $C_{16}H_{15}N_2O$ $[M+H]^+$: 251.1184, found: 251.1186.



3be

2-(3,5-dimethylphenyl)-3-methylquinazolin-4(3H)-one (3be)

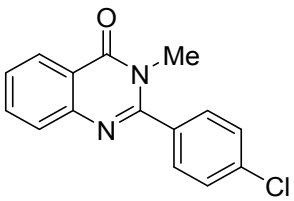
: Following the general procedure, **1b** (44.6 mg) was used as anthranilamide and 1,3,5-trimethylbenzene (**2e,** 2.56 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 5:1) , **3be** was obtained as white solid (72.3 mg, 92% yield); mp : 149-150 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.33 (d, *J* = 7.8 Hz, 1H), 7.76-7.74 (m, 2H), 7.52-7.47 (m, 1H), 7.15 (s, 3H), 3.49 (s, 3H), 2.39 (s, 6H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 162.83, 156.66, 147.47, 138.77, 135.37, 134.36, 131.72, 127.57, 126.96, 126.75, 125.60, 120.61, 34.33, 21.45; HRMS (FAB) m/z calcd for $C_{17}H_{17}N_2O$ $[M+H]^+$: 265.1341, found: 265.1348.



3bf

2-(4-fluorophenyl)-3-methylquinazolin-4(3H)-one (3bf)

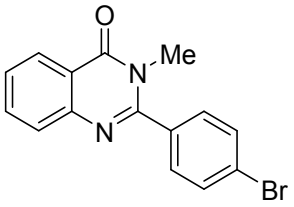
: Following the general procedure, **1b** (45.1 mg)was used as anthranilamide and 4-flurotoluene (**2f,** 1.98 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 5:1 to 2:1) , **3bf** was obtained as white solid (60.4 mg, 79% yield); mp : 163-166 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.34 (dd, *J* = 8.0, 1.1 Hz, 1H), 7.79-7.72 (m, 2H), 7.62-7.57 (m, 2H), 7.54-7.50 (m, 1H), 7.25-7.20 (m, 2H), 3.51 (s, 3H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 163.74 (d, J_{C-F} = 249.2 Hz), 162.84, 155.30, 147.32, 134.56, 131.67 (d, J_{C-F} = 3.9 Hz), 130.42(d, J_{C-F} = 8.6 Hz), 127.46 (d, J_{C-F} = 31.6 Hz), 126.87, 120.64, 116.22 (d, J_{C-F} = 22.0 Hz), 34.46; ^{19}F-NMR (376 MHz, $CDCl_3$) δ -109.53 ppm; HRMS (FAB) m/z calcd for $C_{15}H_{12}FN_2O$ $[M+H]^+$: 255.0934, found: 255.0938.



3bg

2-(4-chlorophenyl)-3-methylquinazolin-4(3H)-one (3bg)

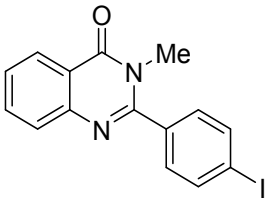
: Following the general procedure, **1b** (45.8 mg) was used as anthranilamide and 4-chlorotoluene (**2g,** 2.13 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 5:1 to 3:1) , **3bg** was obtained as white solid (71.0 mg, 86% yield); mp : 169-171 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.33 (dd, *J* = 7.8, 0.9 Hz, 1H), 7.79-7.75 (m, 1H), 7.73 (dd, *J* = 8.2, 0.9 Hz, 1H), 7.55-7.50 (m, 5H), 3.50 (s, 3H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 162.70, 155.12, 147.26, 136.46, 134.53, 133.86, 129.66, 129.29, 127.60, 127.32, 126.82, 120.62, 34.36; HRMS (FAB) m/z calcd for $C_{15}H_{12}ClN_2O$ $[M+H]^+$: 271.0638, found: 271.0643.



3bh

2-(4-bromophenyl)-3-methylquinazolin-4(3H)-one (3bh)

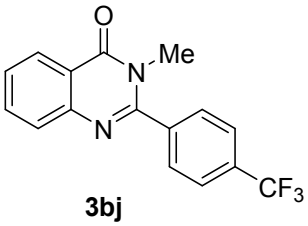
: Following the general procedure, **1b** (44.5 mg) was used as anthranilamide and 4-bromotoluene (**2h,** 2.21 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 5:1 to 4:1) , **3bh** was obtained as white solid (69.7 mg, 75% yield); mp : 165-167 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.31 (dd, *J* = 7.8, 0.9 Hz, 1H), 7.75 (td, *J* = 7.5, 1.4 Hz, 1H), 7.71-7.66 (m, 3H), 7.52-7.48 (m, 1H), 7.47-7.45 (m, 2H), 3.49 (s, 3H)**;** ^{13}C-NMR (100 MHz, $CDCl_3$) δ 162.68, 155.17, 147.26, 134.54, 134.32, 132.24, 129.85, 127.60, 127.33, 126.83, 124.70, 120.61, 34.36; HRMS (FAB) m/z calcd for $C_{15}H_{12}BrN_2O$ $[M+H]^+$: 315.0133, found: 315.0137.



3bi

2-(4-iodophenyl)-3-methylquinazolin-4(3H)-one (3bi)

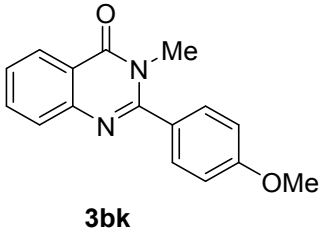
: Following the general procedure, **1b** (45.5 mg) was used as anthranilamide and 4-iodotoluene (**2i,** 2.39 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 5:1), **3bi** was obtained as white solid (67.5 mg, 62% yield); mp : 167-170 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.34-8.32 (m, 1H), 7.91-7.88 (m, 2H), 7.79-7.67 (m, 2H), 7.54-7.50 (m, 1H), 7.34-7.32 (m, 2H), 3.50 (d, J = 0.9 Hz, 3H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 162.74, 155.34, 147.32, 138.22, 134.92, 134.59, 129.87, 127.66, 127.38, 126.88, 120.66, 96.61, 34.40; HRMS (FAB) m/z calcd for $C_{15}H_{12}IN_2O$ $[M+H]^+$: 362.9994, found: 362.9998.



3bj

3-methyl-2-(4-(trifluoromethyl)phenyl)quinazolin-4(3H)-one (3bj)

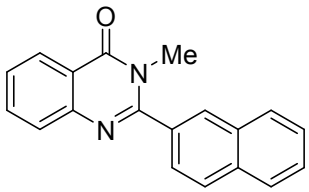
: Following the general procedure, **1b** (44.2 mg) was used as anthranilamide and 4-methylbenzotrifluoride (**2j,** 2.52 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 5:1 to 3:1), **3bj** was obtained as white solid (50.7 mg, 57% yield); mp : 132-134 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.35 (dd, J = 8.2, 0.9 Hz, 1H), 7.85-7.77 (m, 3H), 7.74-7.72 (m, 3H), 7.56-7.52 (m, 1H), 3.50 (s, 3H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 162.59, 154.79, 147.23, 138.86, 134.69, 132.29 (q, $J_{C\text{-}F}$ = 32.0 Hz), 128.76, 127.67 (d, $J_{C\text{-}F}$ = 10.6 Hz), 126.93, 126.19, 126.15 (q, $J_{C\text{-}F}$ = 3.0 Hz), 126.09, 123.78 (d, $J_{C\text{-}F}$ = 271.2 Hz), 120.77, 34.33; ^{19}F-NMR (376 MHz, $CDCl_3$) δ -62.85 ppm; HRMS (FAB) m/z calcd for $C_{16}H_{12}F_3N_2O$ $[M+H]^+$: 305.0902, found: 305.0904.



3bk

2-(4-methoxyphenyl)-3-methylquinazolin-4(3H)-one (3bk)

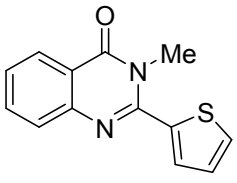
: Following the general procedure, **1b** (45.1 mg) was used as anthranilamide and 4-methoxytoluene (**2k,** 2.31 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 5:1 to 2:1), **3bk** was obtained as white solid (53.1 mg, 66% yield); mp : 140-142 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.33-8.31 (m, 1H), 7.77-7.71 (m, 2H), 7.53 (dt, *J* = 9.3, 2.3 Hz, 2H), 7.51-7.46 (m, 1H), 7.03 (dt, *J* = 9.3, 2.5 Hz, 2H), 3.88 (s, 3H), 3.53 (s, 3H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 162.99, 160.98, 156.08, 147.45, 134.30, 129.83, 127.80, 127.46, 126.83, 126.69, 120.42, 114.25, 55.54, 34.54; HRMS (FAB) m/z calcd for $C_{16}H_{15}N_2O_2$ $[M+H]^+$: 267.1134, found: 267.1139.



3bl

3-methyl-2-(naphthalen-2-yl)quinazolin-4(3H)-one (3bl)

: Following the general procedure, **1b** (46.3 mg) was used as anthranilamide and 2-methylnaphthalene (**2l,** 2.6 g) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 8:1 to 3:1), **3bl** was obtained as orange solid (65.1 mg, 74% yield); mp : 150-160 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.36 (d, *J* = 7.8 Hz, 1H), 8.10 (s, 1H), 7.99-7.90 (m, 3H), 7.77 (d, *J* = 3.7 Hz, 2H), 7.62-7.55 (m, 3H), 7.53-7.49 (m, 1H), 3.54 (s, 3H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 162.85, 156.25, 147.47, 134.44, 133.75, 132.97, 132.73, 128.80, 128.64, 128.24, 127.94, 127.61, 127.58, 127.11, 126.80, 124.83, 120.65, 34.47; HRMS (FAB) m/z calcd for $C_{19}H_{15}N_2O$ $[M+H]^+$: 287.1184, found: 287.1183.

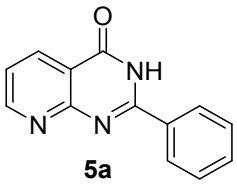


3bm

3-methyl-2-(thiophen-2-yl)quinazolin-4(3H)-one (3bm)

: Following the general procedure, **1b** (45.1 mg) was used as anthranilamide and 2-methylthiophene

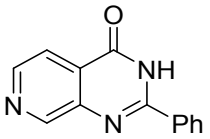
(**2m,** 1.77 ml) was used as methyl arene. After purification by column chromatography (hexane : EtOAc = 5:1 to 2:1), **3bm** was obtained as white solid (63.3 mg, 87% yield); mp : 235-238 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 8.31-8.29 (m, 1H), 7.77-7.72 (m, 2H), 7.57-7.55 (m, 1H), 7.53-7.52 (m, 1H), 7.50-7.46 (m, 1H), 7.17 (dd, *J* = 5.3, 3.9 Hz, 1H), 3.77 (s, 3H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 162.87, 150.20, 147.32, 137.20, 134.48, 129.91, 129.57, 127.58, 127.15, 126.88, 120.25, 34.27; HRMS (FAB) m/z calcd for $C_{13}H_{11}N_2OS$ $[M+H]^+$: 243.0592, found: 243.0594.



5a

2-phenylpyrido[2,3-d]pyrimidin-4(3H)-one (5a)

: Following the general procedure, 2-aminopyridine-3-carboxamide (**5,** 42.0 mg) was used instead of anthranilamide and toluene (**2a,** 1.91 ml) was used as methyl arene for the reaction. The reaction mixture was stirred at 110 ℃ for 65 h. After purification by column chromatography (hexane : EtOAc = 1:1), **5a** was obtained as pale yellow solid (66.0 mg, 99% yield); mp : 283-285 ℃ ^{1}H-NMR (400 MHz, DMSO-d^{6}) δ 8.96 (q, *J* = 2.1 Hz, 1H), 8.52 (dd, *J* = 7.8, 2.3 Hz, 1H), 8.23-8.21 (m, 2H), 7.65-7.56 (m, 3H), 7.53 (q, *J* = 4.1 Hz, 1H); ^{13}C-NMR (100 MHz, DMSO-d^{6}) δ 162.98, 156.14, 155.42, 135.52, 132.46, 131.97, 128.71, 128.10, 122.27, 116.18; HRMS (FAB) m/z calcd for $C_{13}H_{10}N_3O$ $[M+H]^+$: 224.0824, found: 224.0826.

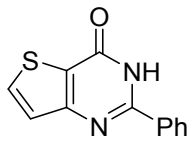


6a

2-phenylpyrido[3,4-d]pyrimidin-4(3H)-one (6a)

: Following the general procedure, **6** (45.3 mg) was used instead of anthranilamide and toluene (**2a,** 1.91 ml) was used as methyl arene for the reaction. The reaction mixture was stirred at 110 ℃ for 65 h. After purification by column chromatography (hexane : EtOAc = 1:1) , **6a** was obtained as orange solid (54.3 mg, 76% yield); mp : 263-265 ℃; ^{1}H-NMR (400 MHz, DMSO-d^{6}) δ 12.89 (s, 1H), 9.12 (s, 1H), 8.66 (d, *J* = 4.9 Hz, 1H), 8.19 (d, *J* = 6.7 Hz, 2H), 7.98(d, *J* = 5.5 Hz, 1H), 7.60 (td, *J* = 14.2, 6.9 Hz, 3H); ^{13}C-NMR (100 MHz, DMSO-d^{6}) δ 161.46, 154.40, 150.82, 145.84, 143.56, 132.38, 131.84, 128.72, 127.97, 126.01, 118.11; HRMS (FAB) m/z calcd for $C_{13}H_{10}N_3O$ $[M+H]^+$: 224.0824, found:

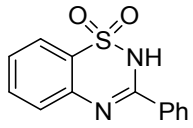
224.0826.



7a

2-phenylthieno[3,2-d]pyrimidin-4(3H)-one (7a)

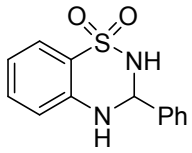
: Following the general procedure, 3-aminothiophene-2-carboxamide (**7,** 43.8 mg) was used instead of anthranilamide and toluene (**2a,** 1.91 ml) was used as methyl arene for the reaction. After purification by column chromatography (hexane : EtOAc = 1:1) , **7a** was obtained as white solid (45.0 mg, 69% yield); mp : 275-278 ℃; ^{1}H-NMR (400 MHz, DMSO-d^{6}) δ 12.74 (s, 1H), 8.23 (d, *J* = 5.5 Hz, 1H), 8.13 (dd, *J* = 9.5, 2.8 Hz, 2H), 7.61-7.52 (m, 3H), 7.48 (d, *J* = 5.5 Hz, 1H); ^{13}C-NMR (100 MHz, DMSO-d^{6}) δ 158.56, 157.99, 154.33, 135.47, 132.55, 131.37, 128.68, 127.87, 125.49, 121.28; HRMS (FAB) m/z calcd for $C_{12}H_9N_2OS$ $[M+H]^+$: 229.0436, found: 229.0428.



8a

3-phenyl-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide (8a)

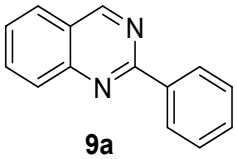
: Following the general procedure, 2-aminobenzenesulfonamide (**8,** 53.2 mg**)** was used instead of anthranilamide and toluene (**2a,** 1.91 ml) was used as methyl arene for the reaction. The reaction mixture was stirred at 110 ℃ for 65 h. After purification by column chromatography (hexane : EtOAc = 1:2), **8a** was obtained as yellow solid (74.1 mg, 92% yield); Rf : 0.17 (hexane : EtOAc = 1:1); mp : 293-295 ℃; ^{1}H-NMR (400 MHz, DMSO-d^{6}) δ 12.20 (s, 1H), 8.06-8.03 (m, 2H), 7.87 (dd, *J* = 7.8, 1.4 Hz, 1H), 7.76-7.69 (m, 2H), 7.65-7.62 (m, 3H), 7.53-7.49 (m, 1H); ^{13}C-NMR (100 MHz, DMSO-D6) δ 154.82, 135.57, 133.11, 132.81, 131.89, 128.86, 128.25, 126.70, 123.33, 121.49, 118.50; HRMS (FAB) m/z calcd for $C_{13}H_{11}N_2O_2S$ $[M+H]^+$: 259.0541, found: 259.0537.



8a'

3-phenyl-3,4-dihydro-2H-benzo[e][1,2,4]thiadiazine 1,1-dioxide (8a')

: Following the general procedure, 2-aminobenzenesulfonamide (**8,** 51.4 mg**)** was used instead of anthranilamide and toluene (**2a,** 1.91 ml) was used as methyl arene in 2.0 ml of DMSO. The reaction mixture was stirred at 110 ℃ for 20 h. After purification by column chromatography (hexane : EtOAc = 3:1), **8a'** was obtained as yellow solid (45.5 mg, 59% yield); Rf : 0.67 (hexane : EtOAc = 1:1); mp : 120-122 ℃; ^{1}H-NMR (400 MHz, DMSO-d^{6}) δ 7.90 (d, *J* = 11.9 Hz, 1H), 7.68-7.65 (m, 2H), 7.53 (dd, *J* = 7.8, 1.4 Hz, 1H), 7.49-7.44 (m, 3H), 7.41 (s, 1H), 7.32 (ddd, *J* = 9.4, 6.2, 1.6 Hz, 1H), 6.92 (d, *J* = 8.2 Hz, 1H), 6.79-6.75 (m, 1H), 5.79 (d, *J* = 11.9 Hz, 1H); ^{13}C-NMR (100 MHz, DMSO-D6) δ 143.94, 137.32, 132.89, 129.20, 128.58, 127.61, 123.80, 121.62, 116.78, 116.42, 68.42; HRMS (FAB) m/z calcd for $C_{13}H_{13}N_2O_2S$ $[M+H]^+$: 261.0698, found: 261.0695.



9a

2-phenylquinazoline (9a)

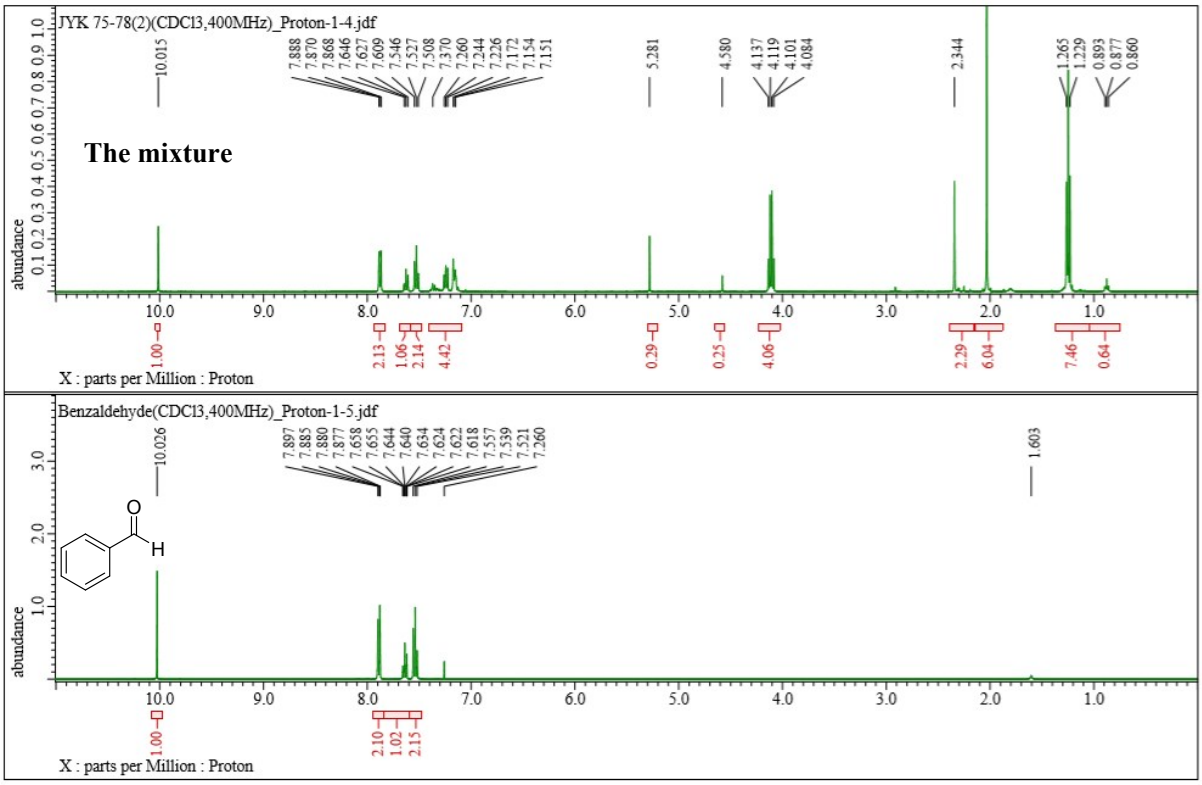
: Following the general procedure, 2-(aminomethyl)aniline (**9,** 36.7 mg) was used instead of anthranilamide and toluene (**2a,** 1.91 ml) was used as methyl arene. The reaction is carried out with DTBP (1.2 mmol, 0.22 ml) in 0.7 ml of DMSO. The reaction mixture was stirred at 110 ℃ for 40 h. After purification by column chromatography (hexane : EtOAc = 10:1), **9a** was obtained as yellow solid (33.3 mg, 54% yield); mp : 100-103 ℃; ^{1}H-NMR (400 MHz, $CDCl_3$) δ 9.48 (s, 1H), 8.62 (dd, *J* = 7.9, 1.5 Hz, 2H), 8.10 (dd, *J* = 8.7, 0.9 Hz, 1H), 7.95-7.89 (m, 2H), 7.64-7.60 (m, 1H), 7.57-7.51 (m, 3H); ^{13}C-NMR (100 MHz, $CDCl_3$) δ 161.17, 160.63, 150.88, 138.15, 134.23, 130.74, 128.77, 128.69, 127.39, 127.25, 123.71; HRMS (FAB) m/z calcd for $C_{14}H_{11}N_2$ $[M+H]^+$: 207.0922, found: 207.0921.

4. The rest of supplementary data

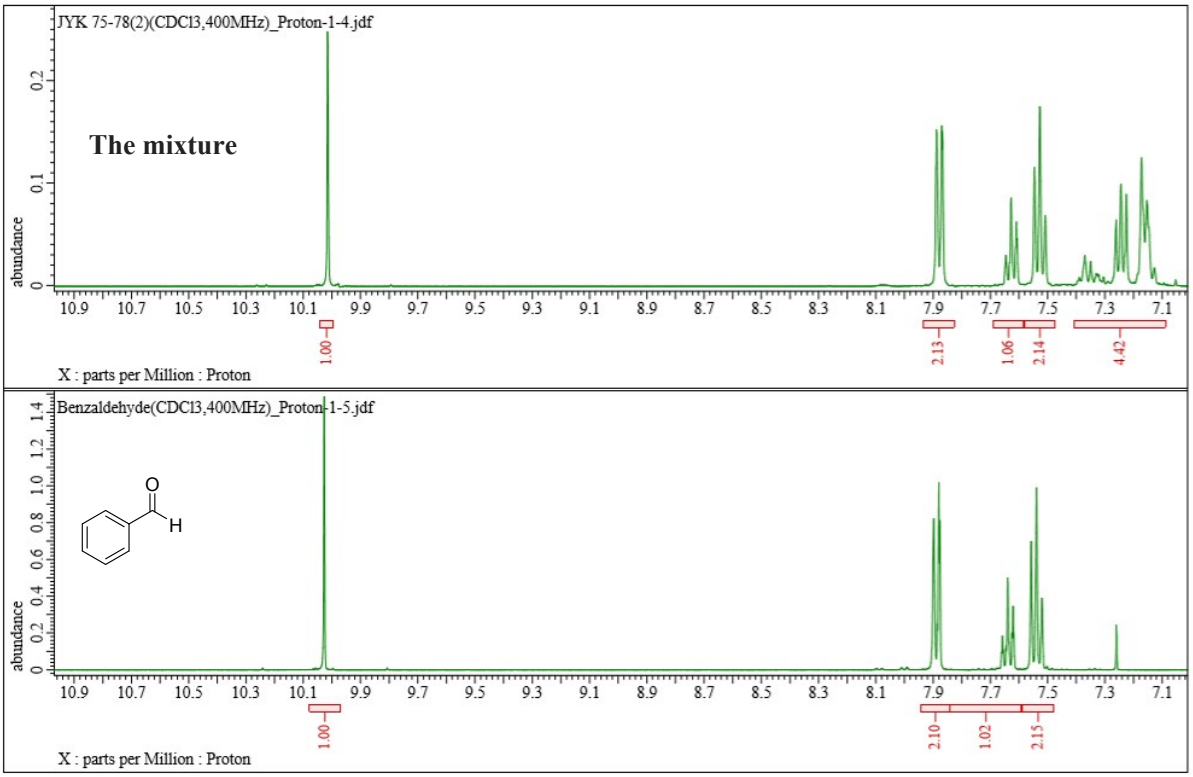
4-1. Aldehyde observation

In all reactions for the synthesis of 2-aryl quinazolinones, the corresponding benzaldehyde with methyl arene (**2**) was detected in TLC. Even though we couldn't calculate the exact amount of benzaldehyde because of its volatility and instability, obviously it was confirmed by ^{1}H-NMR spectrum. Since we employed excess amount of methyl arene, it was not easy to be separated. As shown below, benzaldehyde was observed in ^{1}H-NMR spectrum of the mixture fraction.

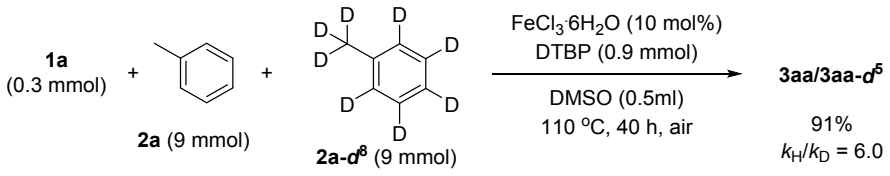
^{1}H-NMR spectrum of the mixture fraction (up) and authentic benzaldehyde (down)



Enlarged ^{1}H-NMR spectrum

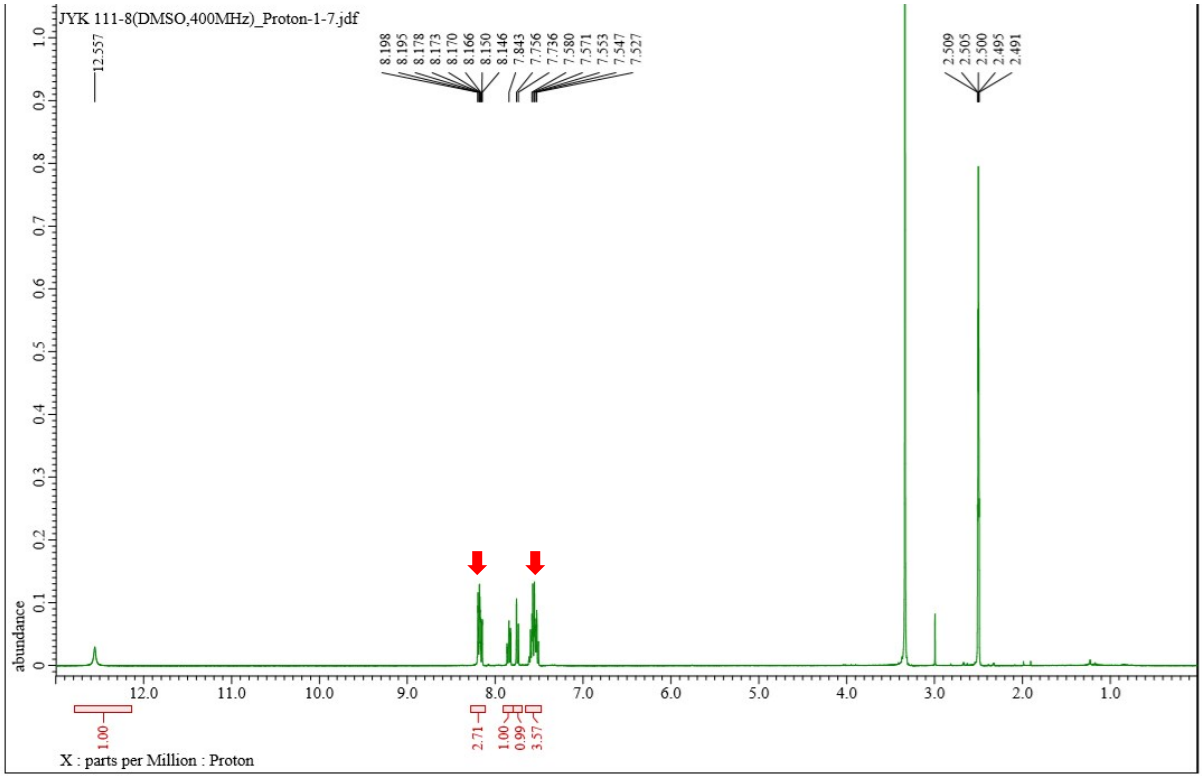


4-2. Kinetic Isotope Effect (KIE)

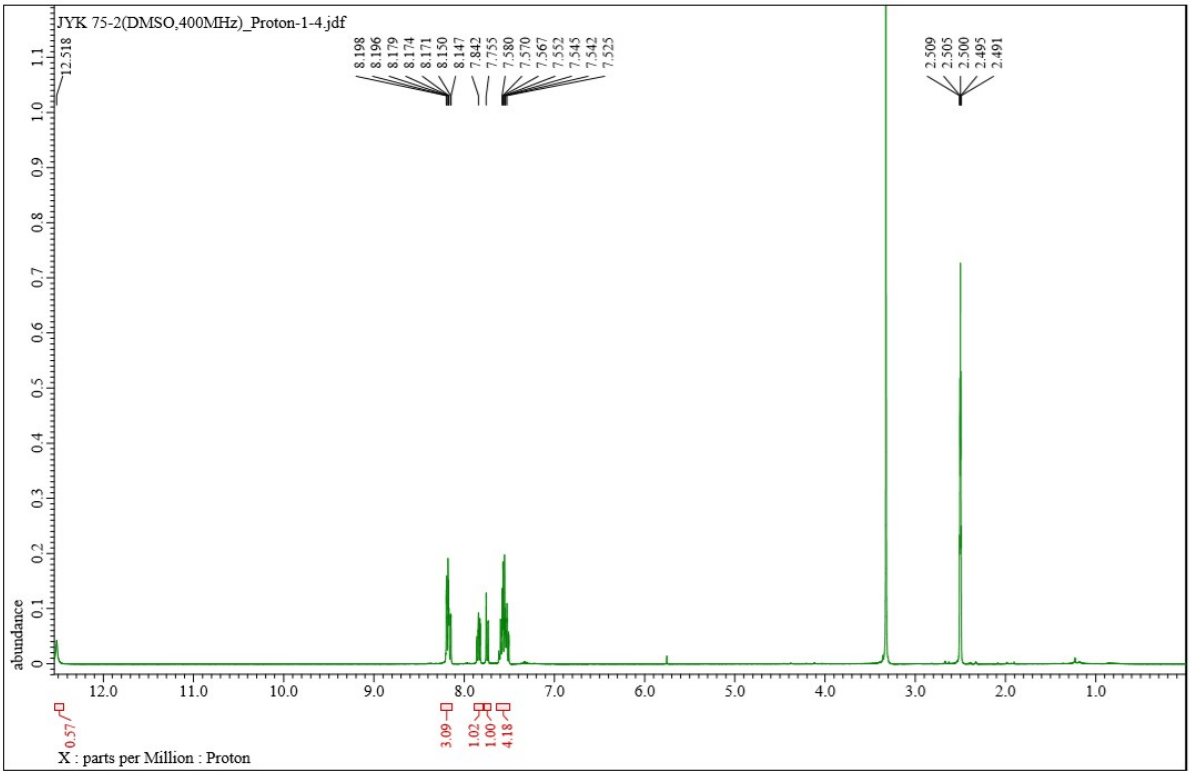


To a mixture of anthranilamide **1a** (0.3 mmol, 40.8 mg), $FeCl_3.6H_2O$ (0.03 mmol, 8.11 mg) in 0.5ml of DMSO, toluene (**2a,** 9 mmol, 0.96 ml) and toluene-d^8 (9 mmol, 0.96ml) was added in Borosilicate Glass Tubes. While stirring the mixture, DTBP (0.9 mmol) was added dropwise. The reaction tube was capped with rubber septum and a needle (18/24 gauge) was injected on top of the septum to make the air-opened condition. The reaction mixture was stirred at 110 ℃ and monitored by thin-layer chromatography (TLC). After stirring for 40 h, the reaction mixture was cooled to room temperature and diluted with EtOAc (5 mL). Organic phase was washed with water (2 ml). Aqueous phase was extracted with EtOAc (5 mL x 3). Combined organic phase was dried over anhydrous $MgSO_4$, and concentrated in *vacuo*. The residue was purified by flash column chromatography on silica gel, using hexane and EtOAc (3:1) as the eluent. **3aa/3aa-d^5** mixture was obtained as white solid (60.7 mg, 91%). The ratio of **3aa** to **3aa-d^5** was measured by ^{1}H-NMR spectrum compared with the standard ^{1}H-NMR spectrum of **3a**. The peaks appeared at 7.53~7.58 and 8.15~8.20 were observed 3.57 and 2.71 instead of 4.00 and 3.00, respectively. Since one proton comes from anthranilamide in each peak, the ratio of k_H to k_D is 6 to 1 roughly.

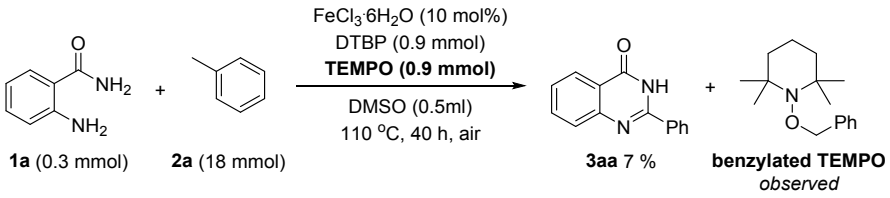
^{1}H-NMR Spectrum of **3aa/3aa-d^{5} mixture** (400 MHz)



^{1}H-NMR of compound **3aa** (400 MHz)



4-3. Iron catalyzed CDC reaction with TEMPO

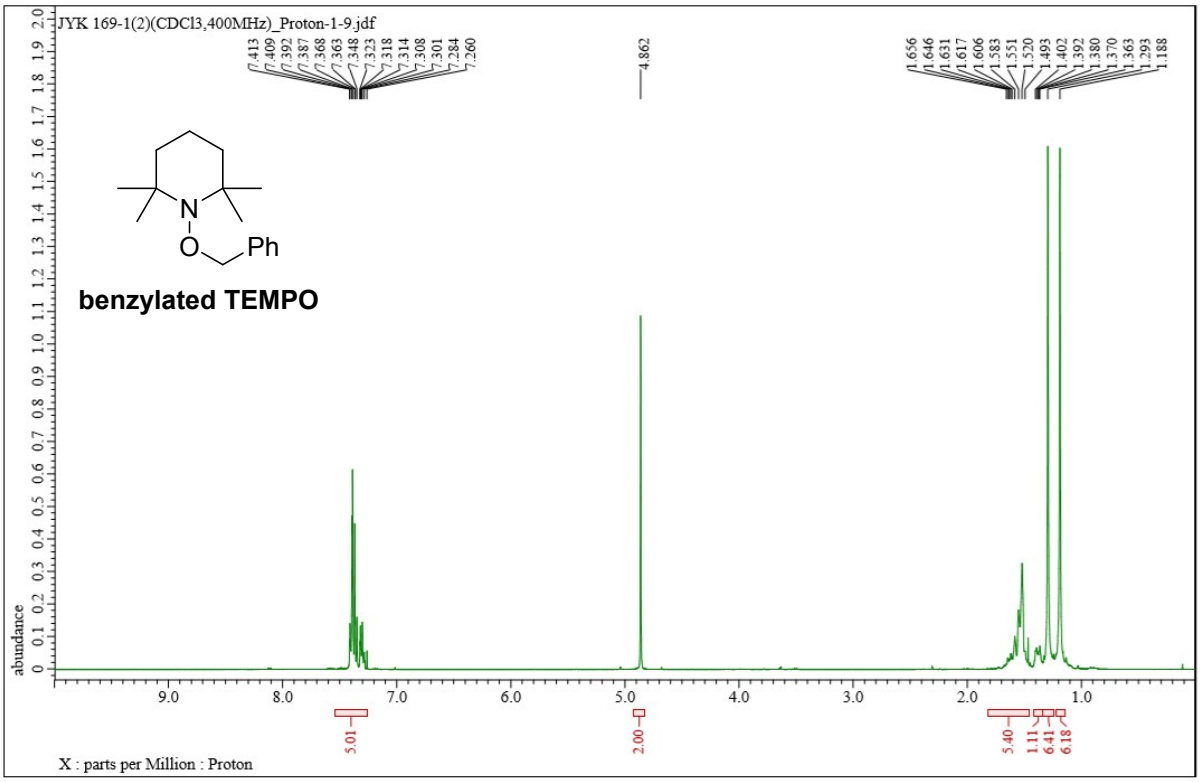


To a mixture of anthranilamide **1a** (0.3 mmol, 40.8 mg), $FeCl_3.6H_2O$ (0.03 mmol, 8.11 mg) and TEMPO (0.9 mmol, 140.6 mg) in 0.5ml of DMSO, toluene (**2a,** 18 mmol, 1.92 ml) was added in Borosilicate Glass Tubes. While stirring the mixture, DTBP (0.9 mmol) was added dropwise. The reaction tube was capped with rubber septum and a needle (18/24 gauge) was injected on top of the septum to make the air-opened condition. The reaction mixture was stirred at 110 ℃ and monitored by thin-layer chromatography (TLC). After stirring for 40 h, the reaction mixture was cooled to room temperature and diluted with EtOAc (5 mL). Organic phase was washed with water (2 ml). Aqueous phase was extracted with EtOAc (5 mL x 3). Combined organic phase was dried over anhydrous $MgSO_4$, and concentrated in *vacuo*. The residue was purified by flash column chromatography on silica gel, using hexane and EtOAc (10:1) as the eluent. **3aa** was obtained as white solid (4.8 mg, 7%) and trace amount of benzylated-TEMPO was obtained. The spectrum data of benzylated-TEMPO is in agreement with the literature.[4]

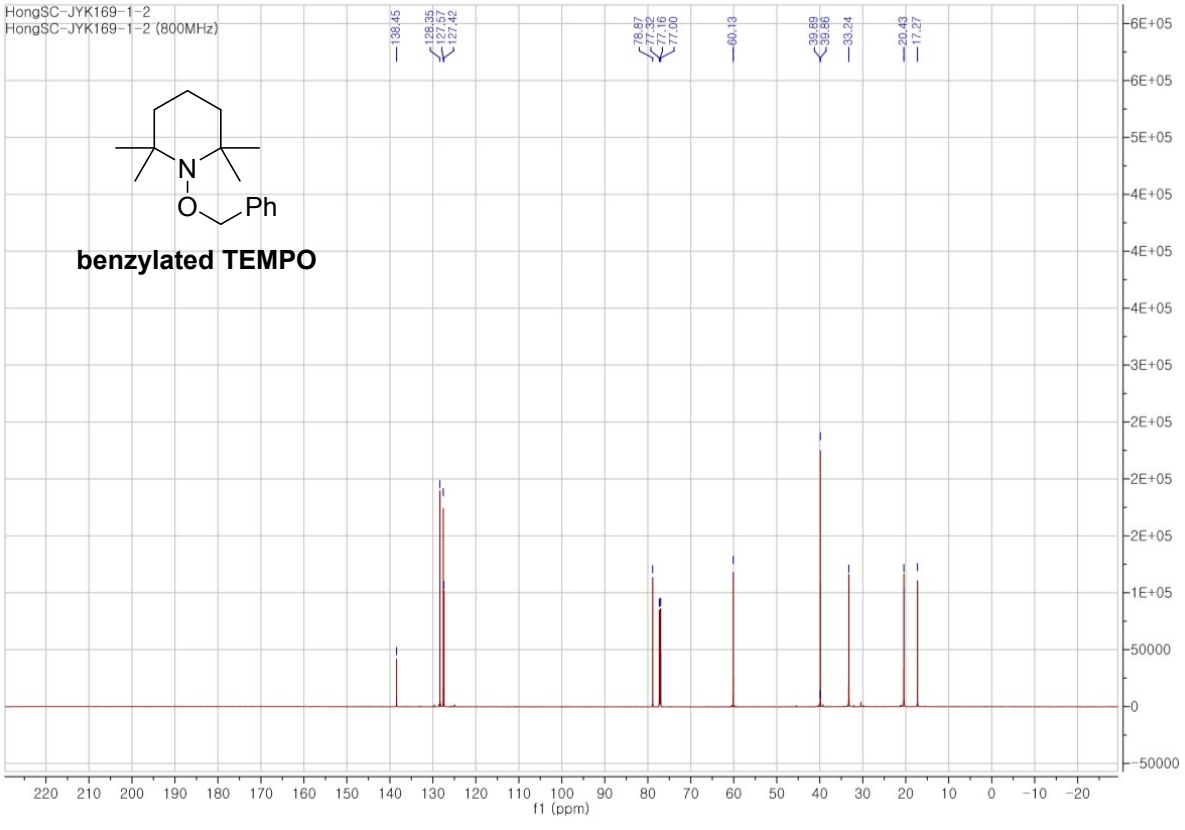
1-(benzyloxy)-2,2,6,6-tetramethylpiperidine (benzlyated-TEMPO)

: ^{1}H-NMR (400 MHz, $CDCl_3$) δ 7.26-7.41 (m, 5H), 4.86 (s, 2H), 1.67-1.49 (m, 5H), 1.40-1.36 (m, 1H), 1.29 (s, 6H), 1.19 (s, 6H); ^{13}C NMR (200 MHz, $CDCl_3$) δ 138.45, 128.35, 127.57, 127.42, 78.87, 60.13, 39.89, 39.86, 33.24, 20.43, 17.27.

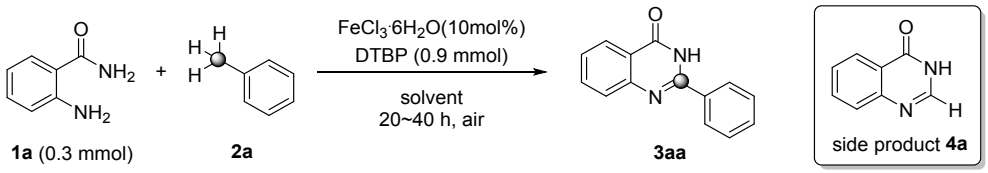
[1]H-NMR of **benzylated TEMPO** (400 MHz)



[13]C-NMR of **benzylated TEMPO** (200 MHz)

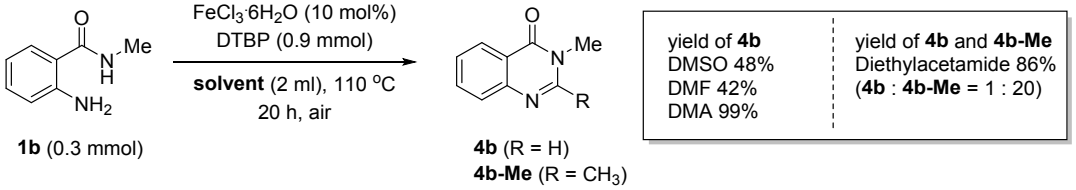


4-4. Iron catalyzed CDC reaction of 1b without toluene

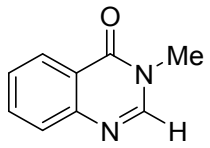


Trace of side product **4** was observed in standard reaction. The ^{1}H-NMR spectrum is in agreement with literature.[5]

^{1}H-NMR (400 MHz, CDCl3) δ 11.34 (brs, 1H), 8.33-8.31 (m, 1H), 8.13 (s, 1H), 7.85-7.76 (m, 2H), 7.58-7.52 (m, 1H)

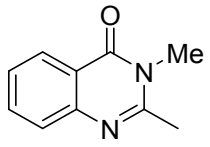


To a stirred mixture of **1b** (0.3 mmol, 45.0 mg) and $FeCl_3.6H_2O$ (0.03 mmol, 8.11 mg) in 2.0 mL of solvent in borosilicate glass tubes was added DTBP (0.9 mmol, 0.17 ml) dropwise. The reaction tube was capped with a rubber septum, and a needle (18/24 gauge) was inserted on top of the septum to create the open-air condition. The reaction mixture was stirred at 110 °C and monitored by TLC. After stirring for 20 h, the reaction mixture was cooled to room temperature and diluted with EtOAc (10 mL). The organic phase was washed with water several times (<0.5 mL). The organic phase was dried over anhydrous $MgSO_4$ and concentrated *in vacuo*. The residue was purified by flash column chromatography on silica gel using hexane and EtOAc (2:1 to 1:1) as the eluent.



3-methylquinazolin-4(3H)-one (4b)

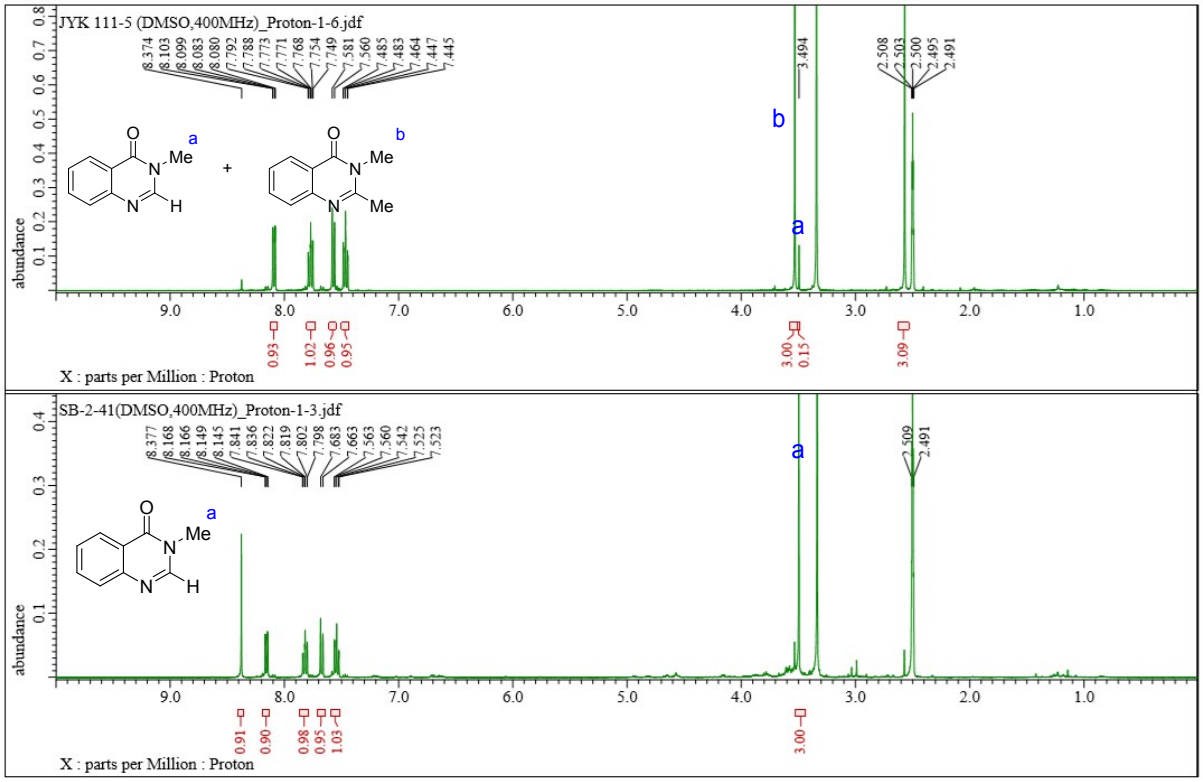
: The annulated product **4b** was obtained as yellow solid. (23.2 mg, 48% yield in DMSO/ 20.0 mg, 42% yield in DMF/ 47.4 mg, 99% yield in DMA); mp : 98-100 ℃; ^{1}H-NMR (400 MHz, DMSO-d^{6}) δ 8.38 (s, 1H), 8.16 (dd, *J* = 8.0, 1.1 Hz, 1H), 7.82 (td, *J* = 7.7, 1.5 Hz, 1H), 7.67 (d, *J* = 7.8 Hz, 1H), 7.58-7.52 (m, 1H), 3.50 (s, 3H); ^{13}C-NMR (150 MHz, DMSO-d^{6}) δ 160.63, 148.40, 148.11, 134.08, 127.11, 126.89, 125.82, 121.43, 33.49; HRMS (FAB) m/z calcd for $C_9H_9N_2O$ $[M+H]^+$: 161.0715, found: 161.0714.



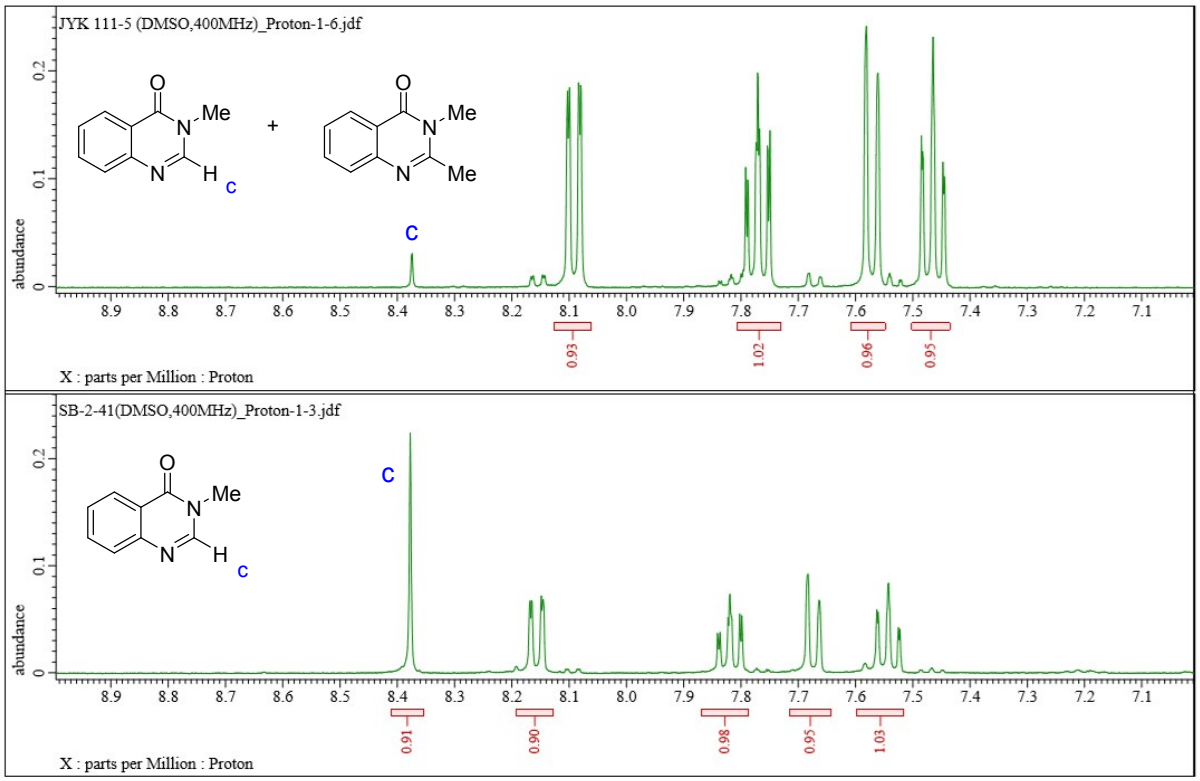
2,3-dimethylquinazolin-4(3H)-one (4b-me)(mixture)

: The inseparable mixture **4b-Me+4b** was obtained as yellow solid (45.2 mg, 86 %). In ^{1}H-NMR spectrum, the mixture shows the ratio of **4b-Me : 4b** = 20 : 1.; mp : 105-108 ℃; ^{1}H-NMR (400 MHz, DMSO-d^{6}) δ 8.09 (dd, *J* = 7.8, 1.4 Hz, 1H), 7.80-7.75 (m, 1H), 7.57 (d, *J* = 8.2 Hz, 1H), 7.48-7.44 (m, 1H), 3.53 (s, 3H), 2.57 (s, 3H); ^{13}C-NMR (150 MHz, DMSO-d^{6}) δ 161.27, 155.59, 147.09, 134.08, 126.41, 126.11, 126.04, 119.71, 30.52, 23.14; HRMS (FAB) m/z calcd for $C_{10}H_{11}N_2O$ $[M+H]^+$: 175.0871, found: 175.0874.

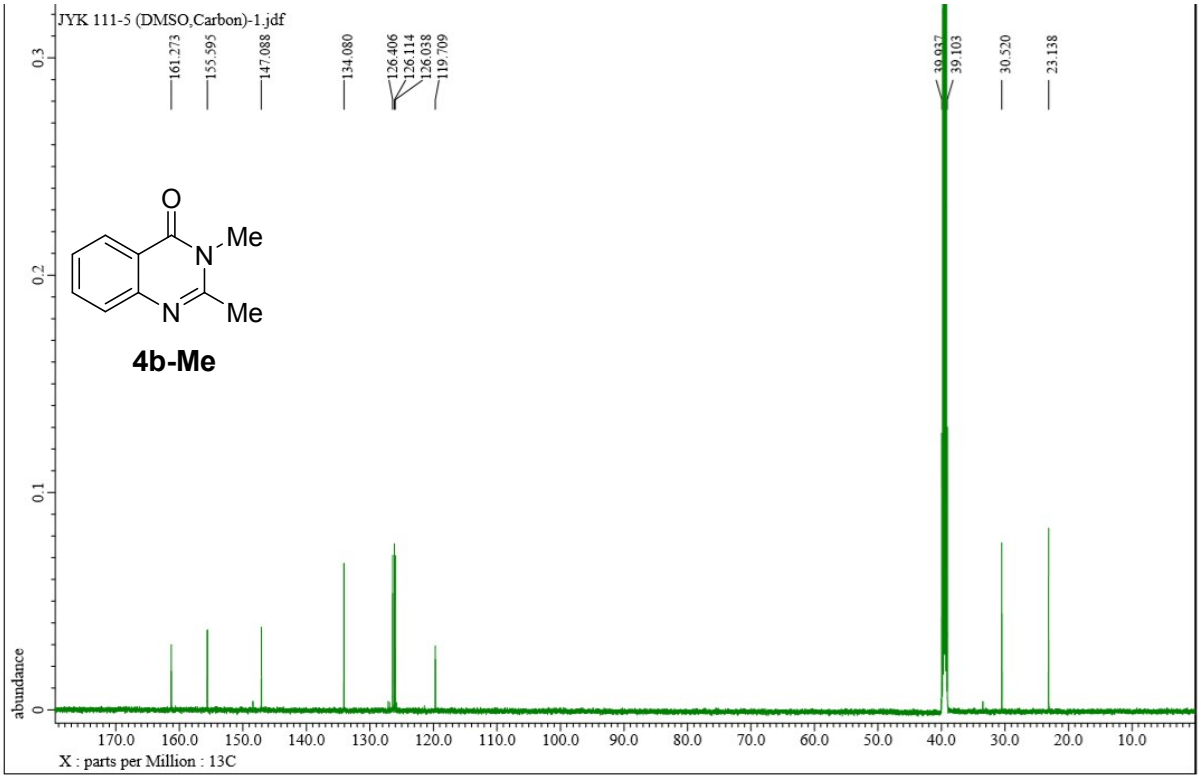
^{1}H-NMR spectrum of **4b and 4b-Me** mixture (up), **4b** (down).



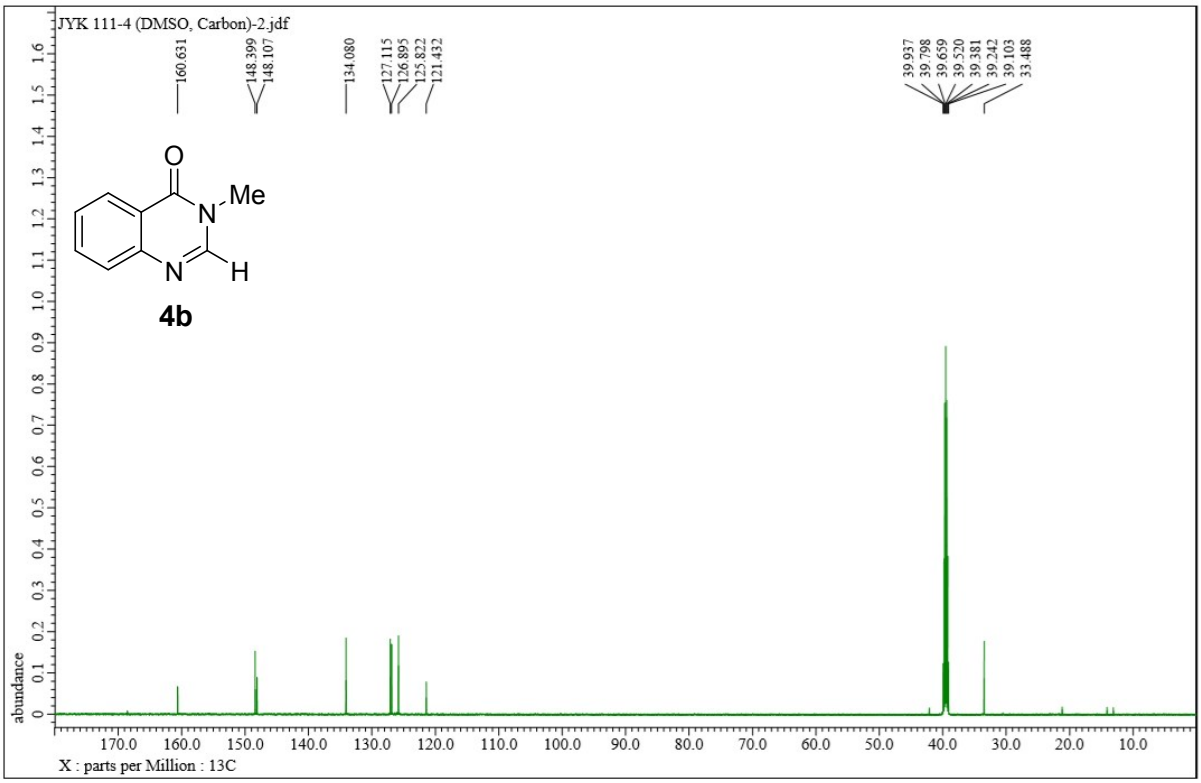
Enlarged ^{1}H-NMR spectrum



^{13}C-NMR of compound **4b-me** (150 MHz)



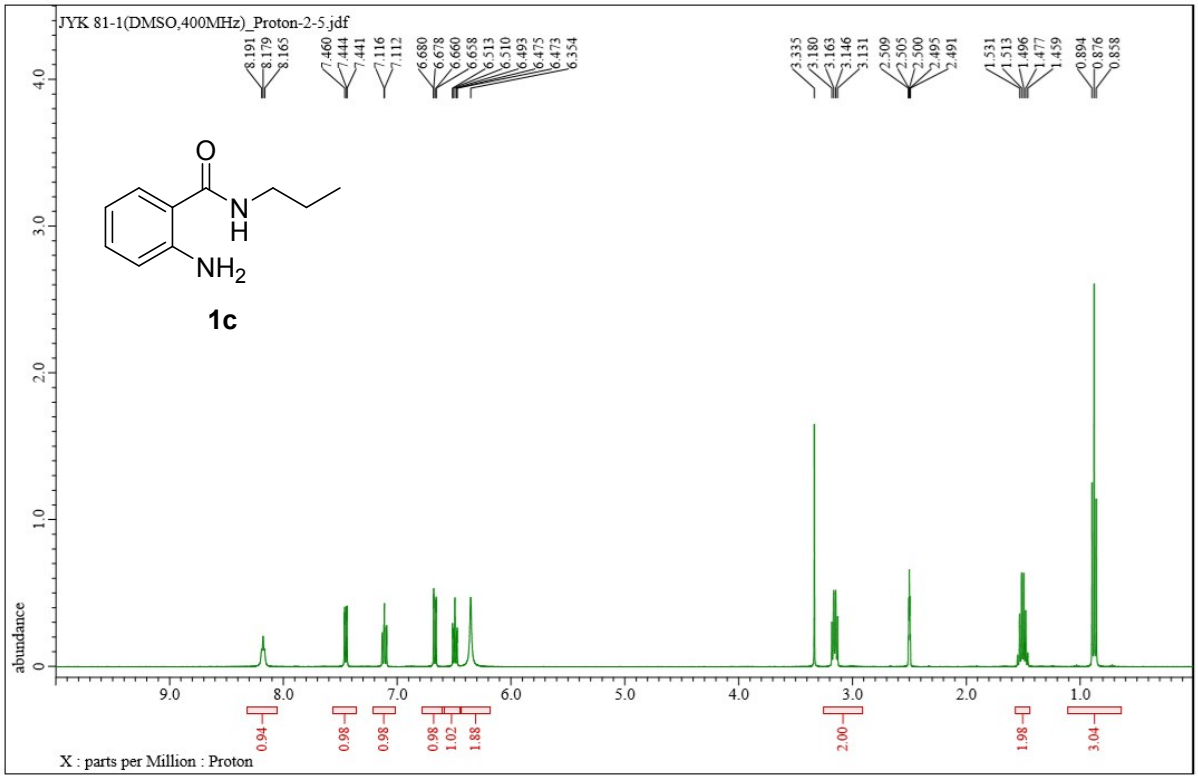
^{13}C-NMR of compound **4b** (150 MHz)



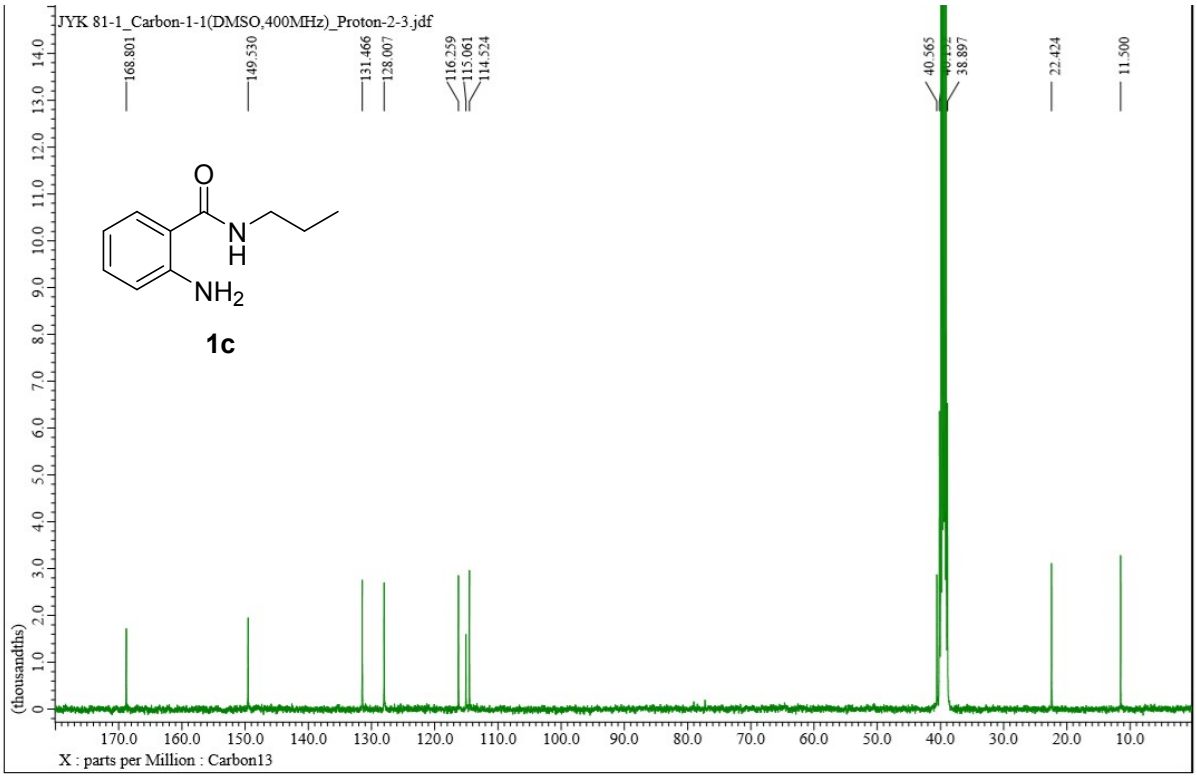
5. References

1. D. Zhao, T. Wang and J. X. Li, *Chem. Commun.*, 2014, **50**, 6471-6474.
2. K. Upadhyaya, R. K. Thakur, S. K. Shukla and R. P. Tripathi, *J. Org. Chem.*, 2016, **81**, 5046-5055.
3. A. Mochizuki, T. Nagata, H. Kanno, M. Suzuki and T. Ohta, *Bioorg. Med. Chem.*, 2011, **19**, 1623-1642.
4. C. Lévêque, L. Chenneberg, V. Corcé, C. Ollivier and L. Fensterbank, *Chem. Commun.*, 2016, **52**, 9877-9880.
5. X. Chen, T. Chen, Y. Zhou, D. Han, L.-B. Han and S.-F. Yin, *Org. Biomol. Chem.*, 2014, **12**, 3802-3807.

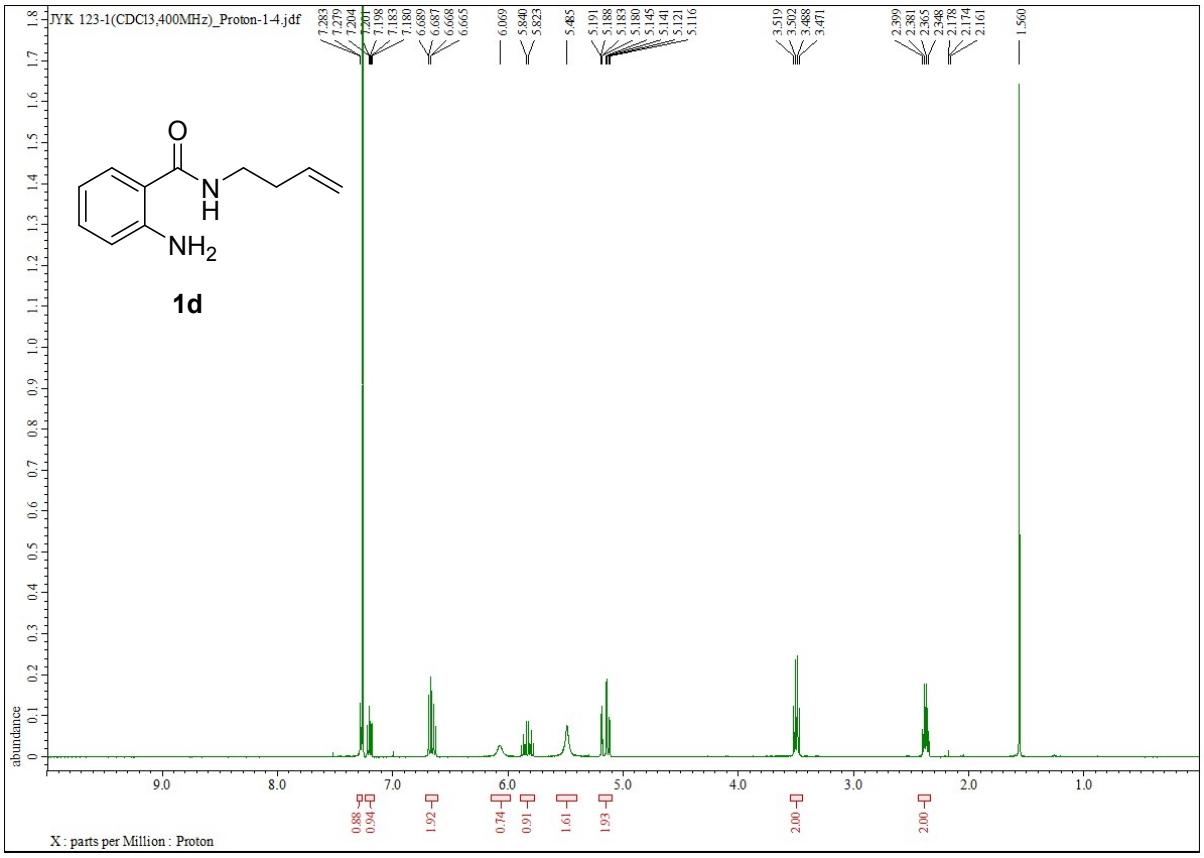
[1]H-NMR of compound **1c** (400 MHz)



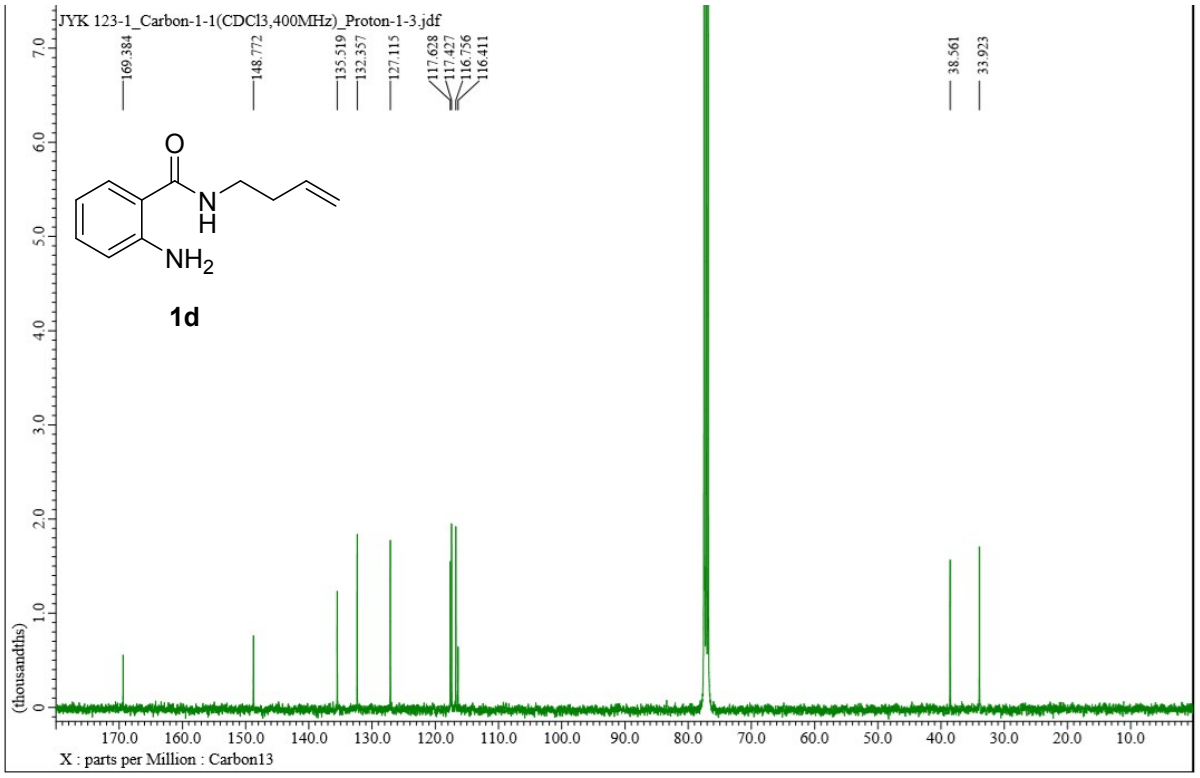
[13]C-NMR of compound **1c** (100 MHz)



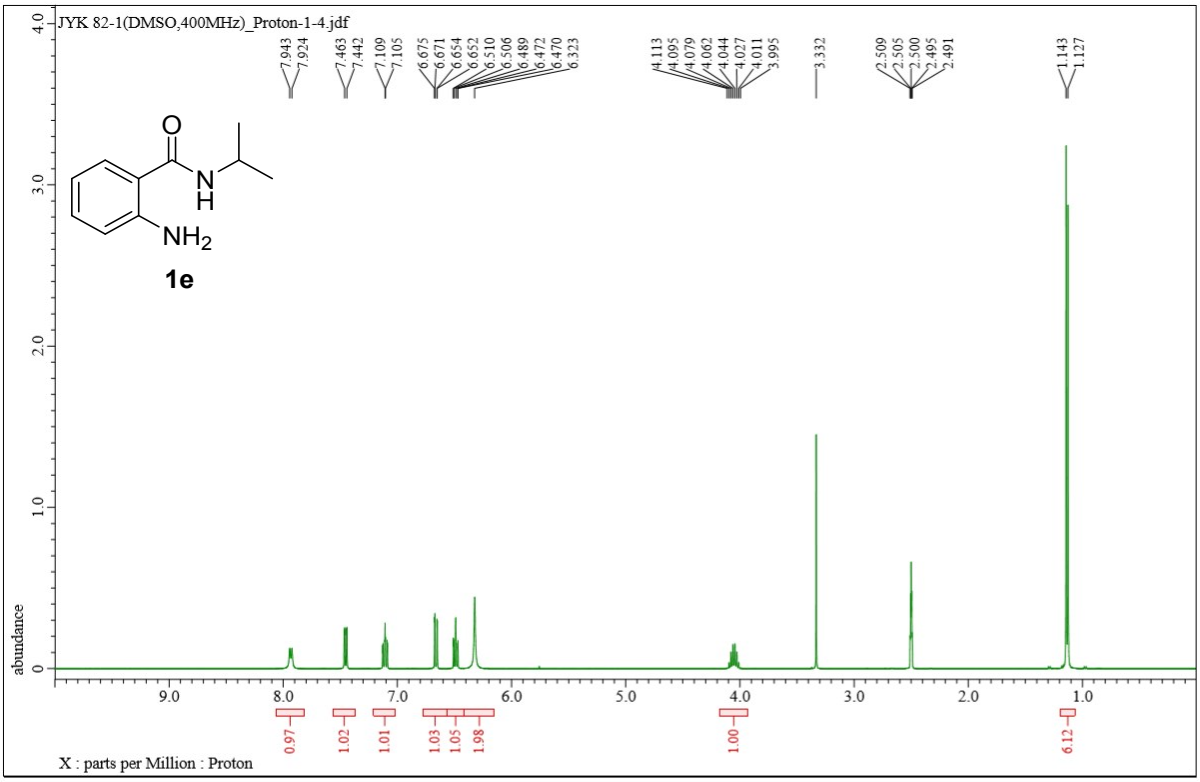
^{1}H-NMR of compound **1d** (400 MHz)



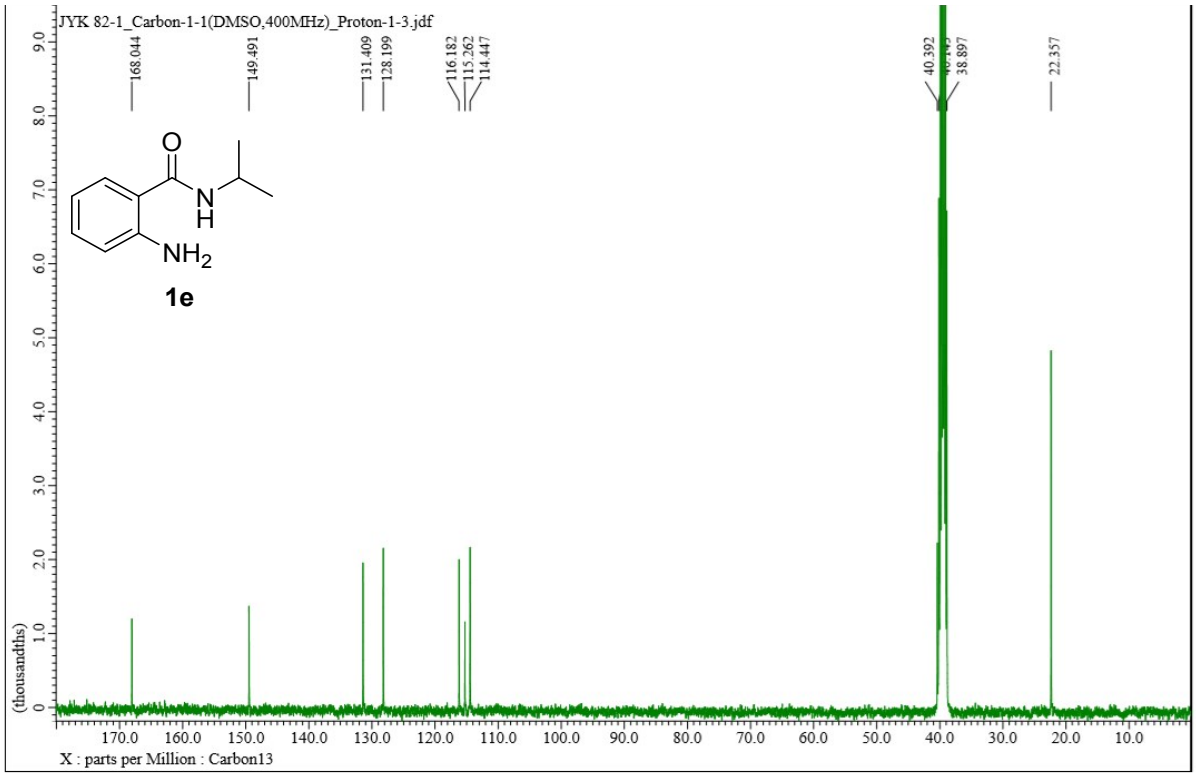
^{13}C-NMR of compound **1d** (100 MHz)



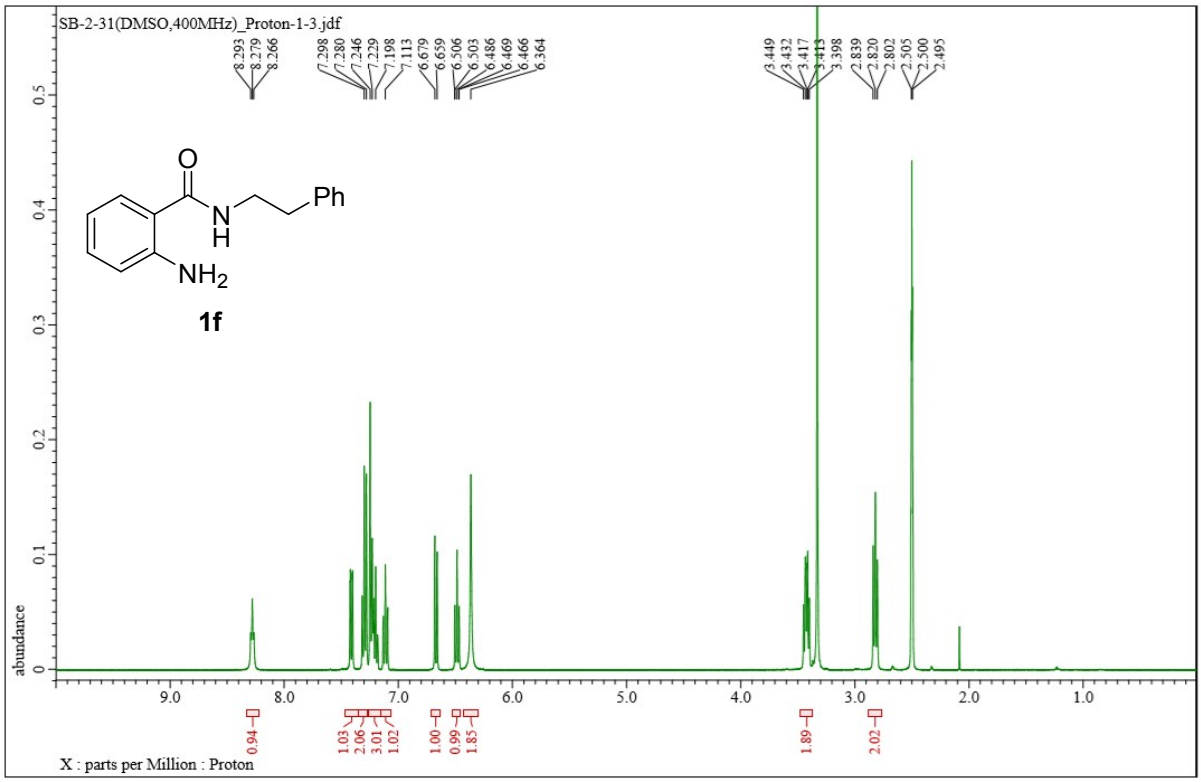
[1]H-NMR of compound **1e** (400 MHz)



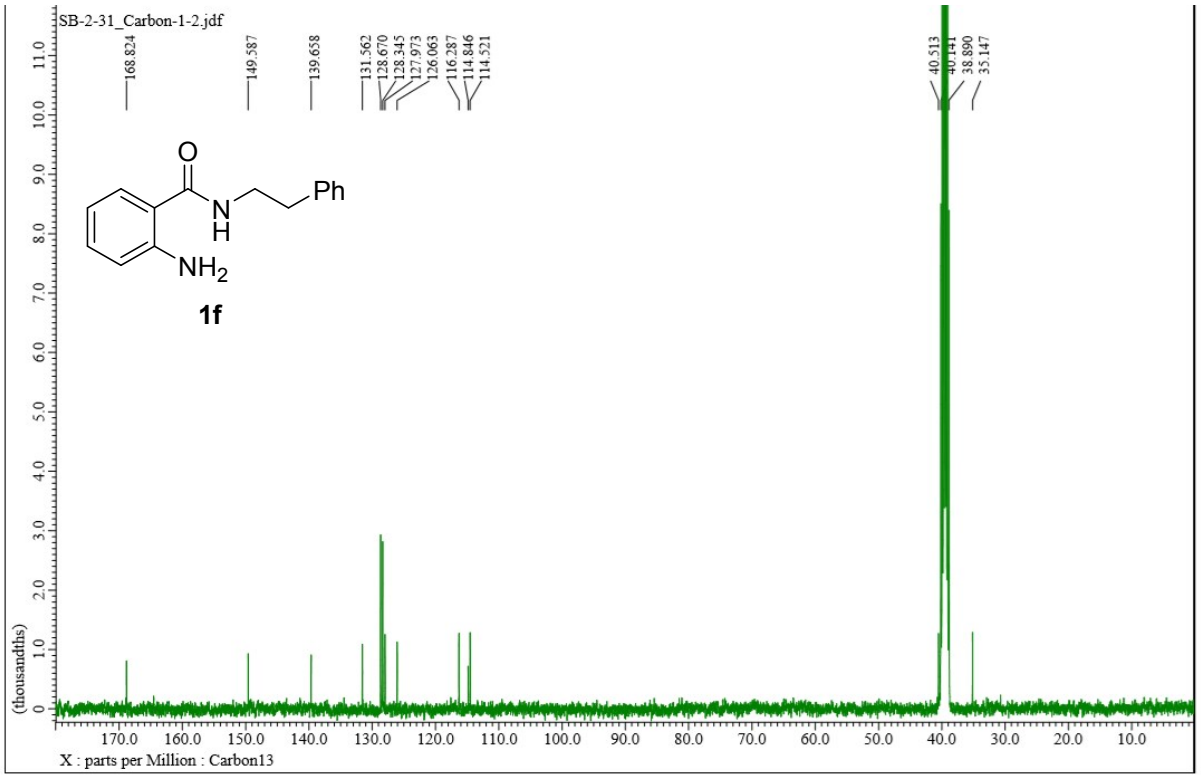
[13]C-NMR of compound **1e** (100 MHz)



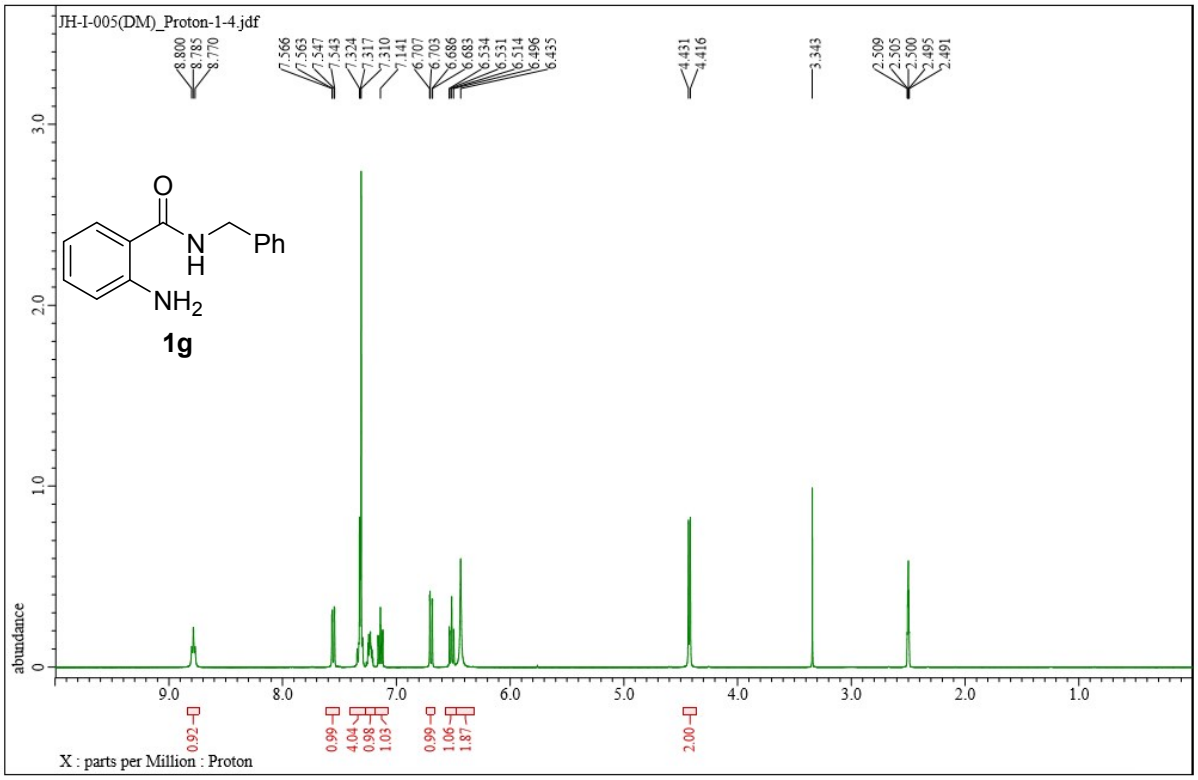
[1]H-NMR of compound **1f** (400 MHz)



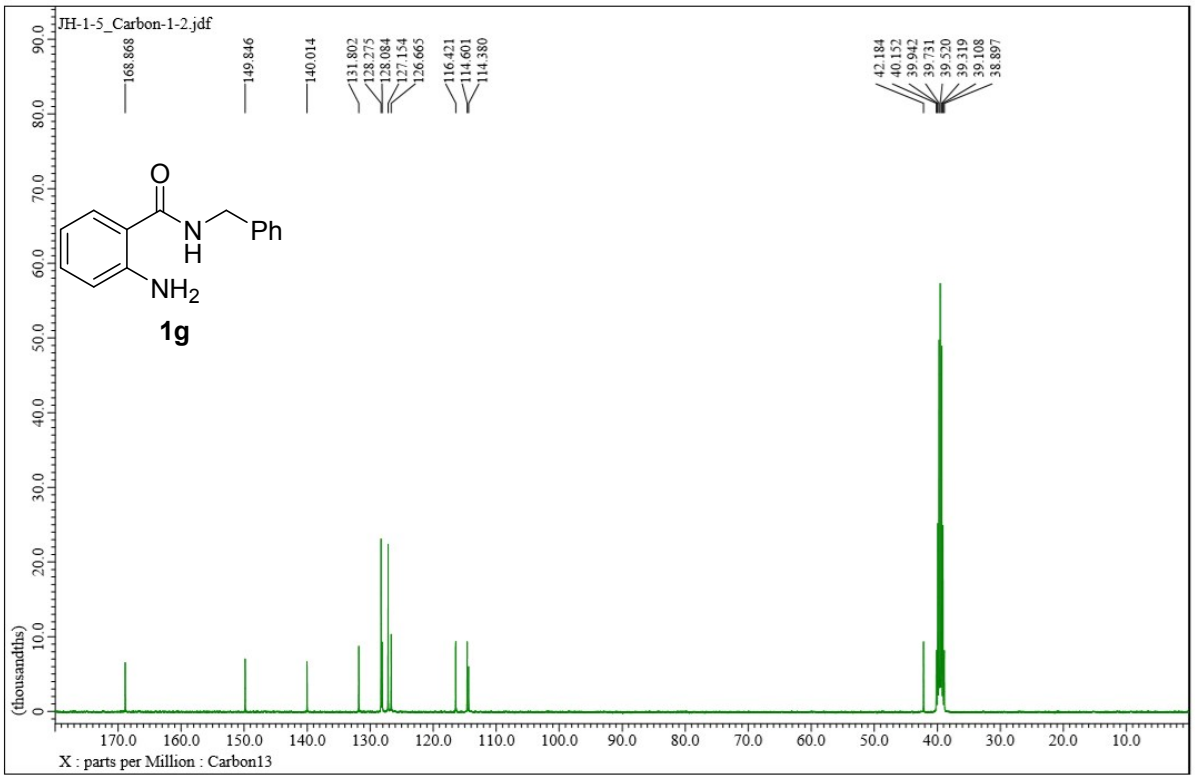
[13]C-NMR of compound **1f** (100 MHz)



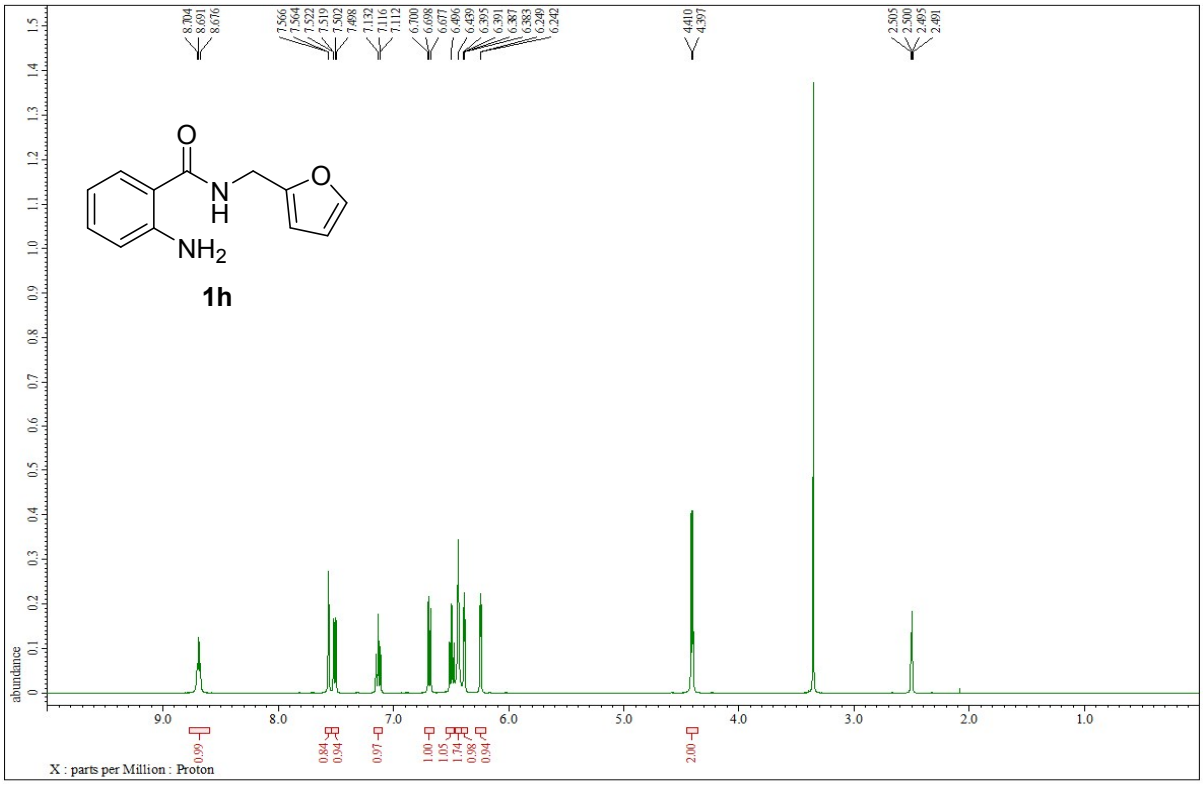
[1]H-NMR of compound **1g** (400 MHz)



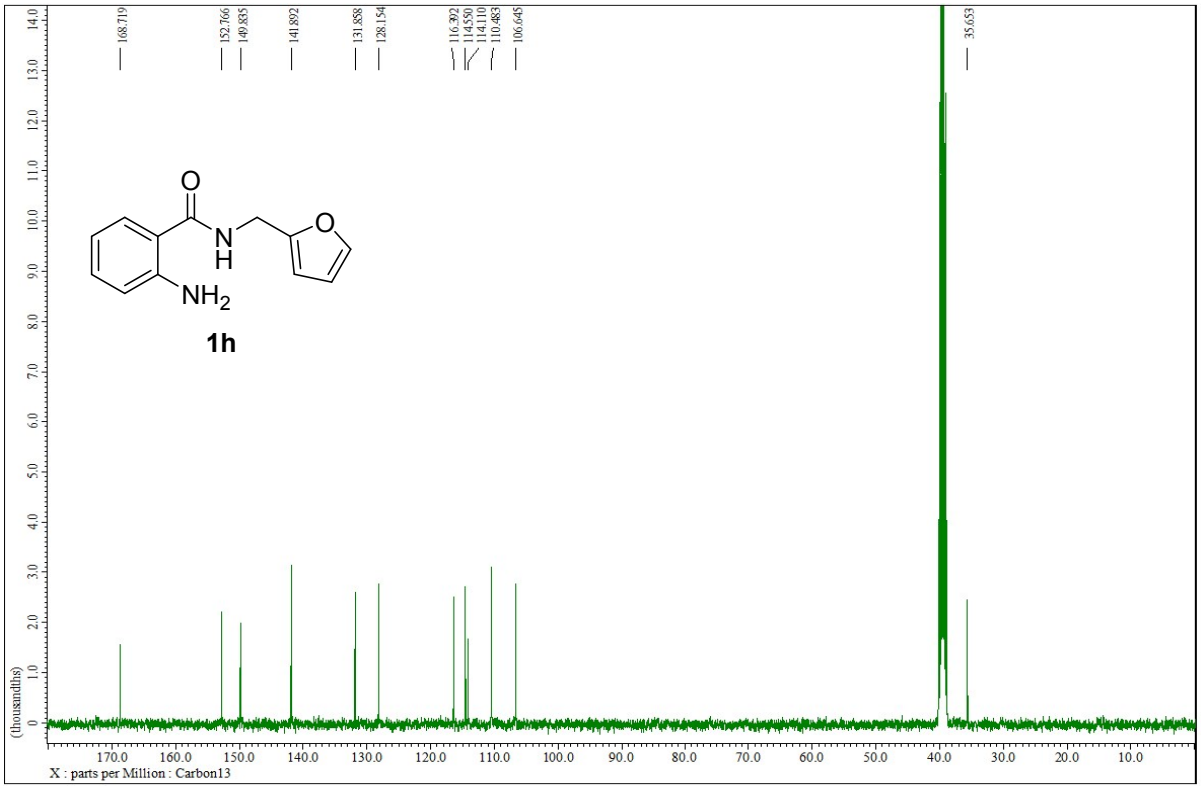
[13]C-NMR of compound **1g** (100 MHz)



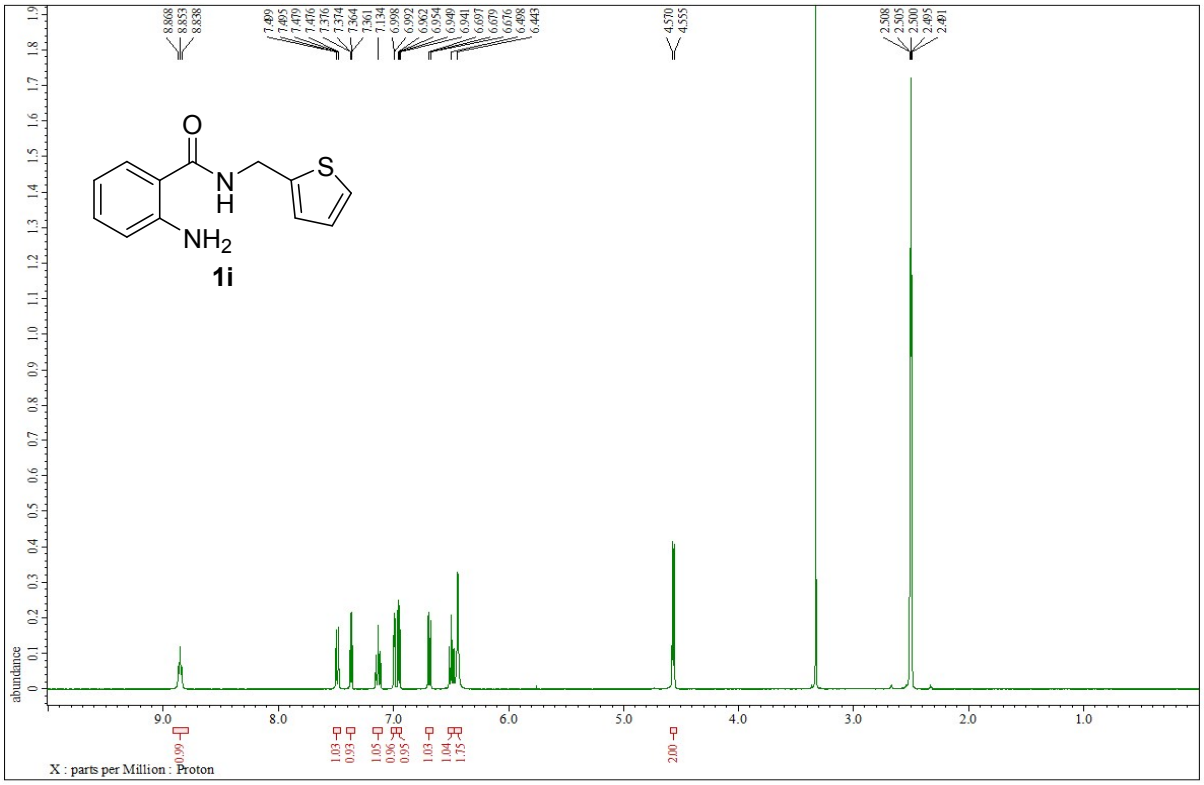
^{1}H-NMR of compound **1h** (400 MHz)



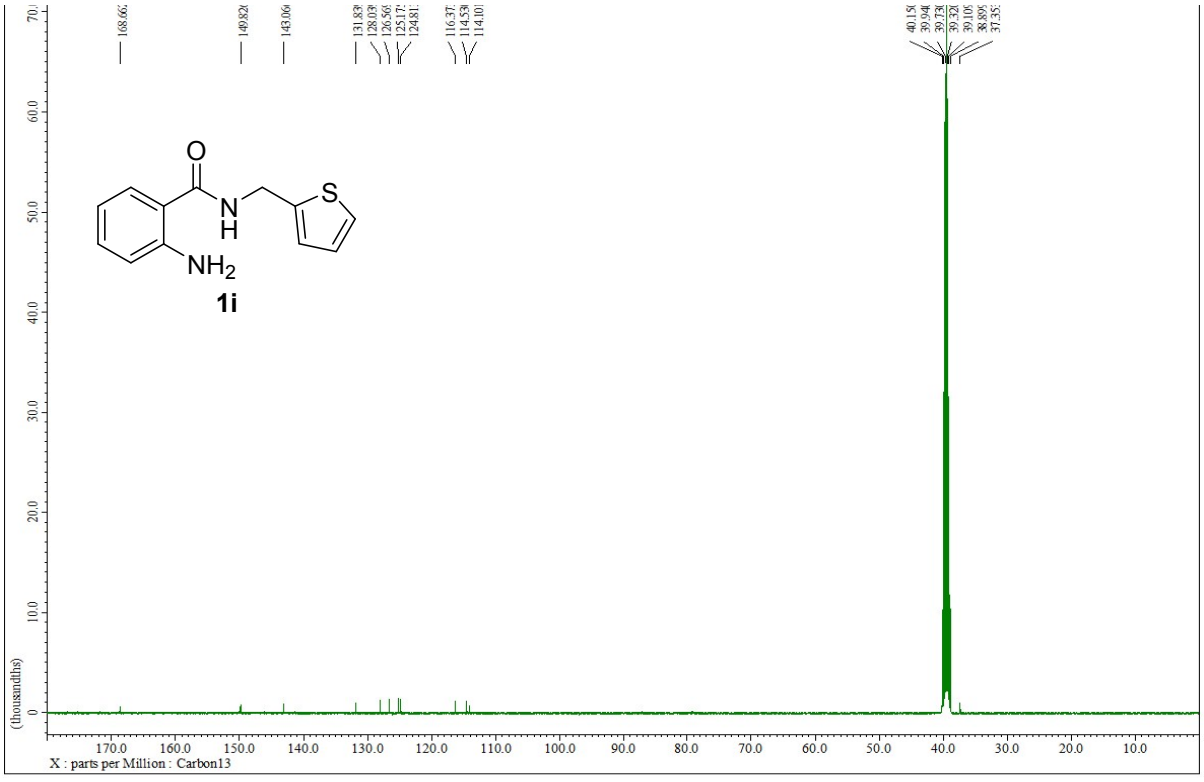
^{13}C-NMR of compound **1h** (100 MHz)



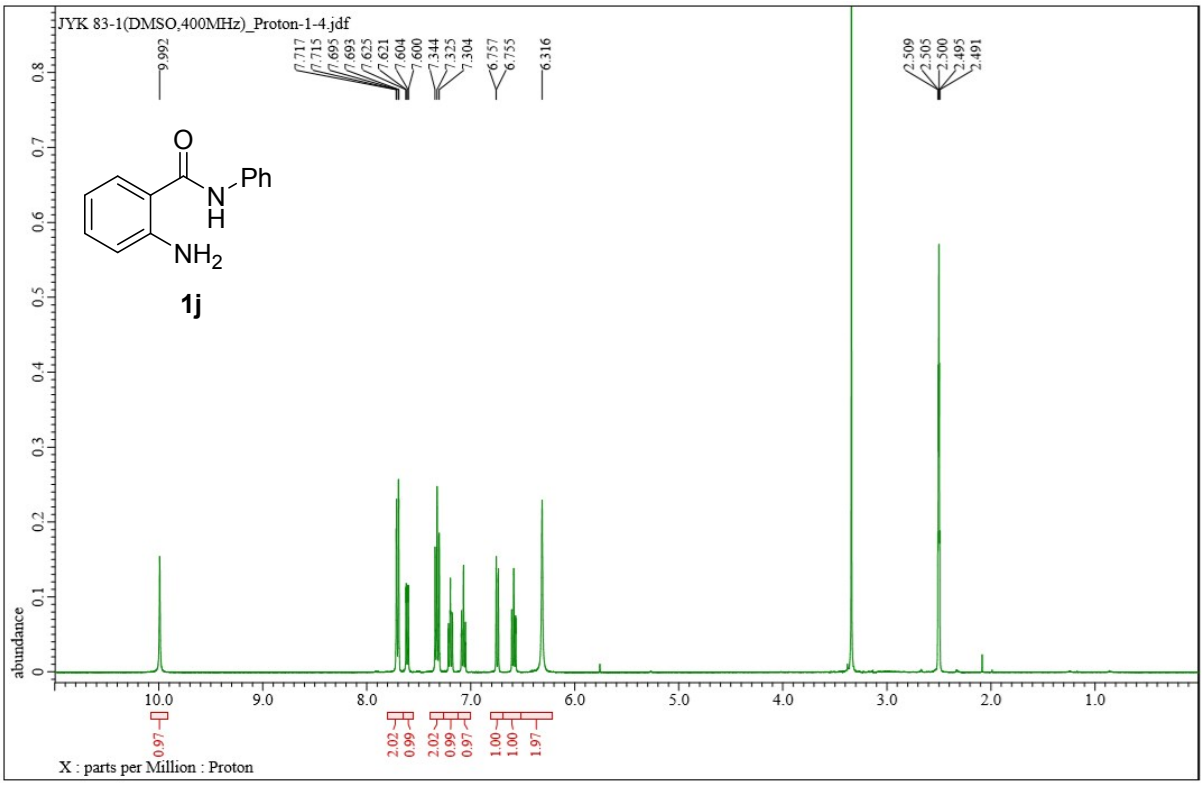
[1]H-NMR of compound **1i** (400 MHz)



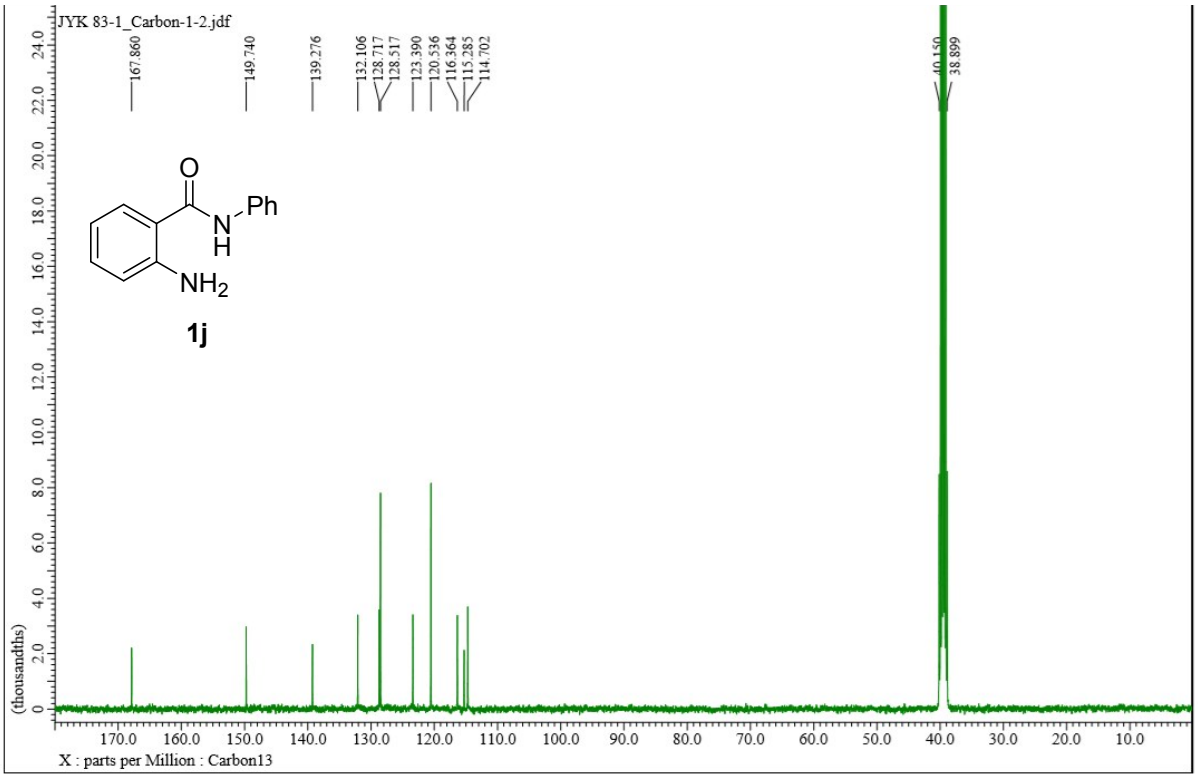
[13]C-NMR of compound **1i** (100 MHz)



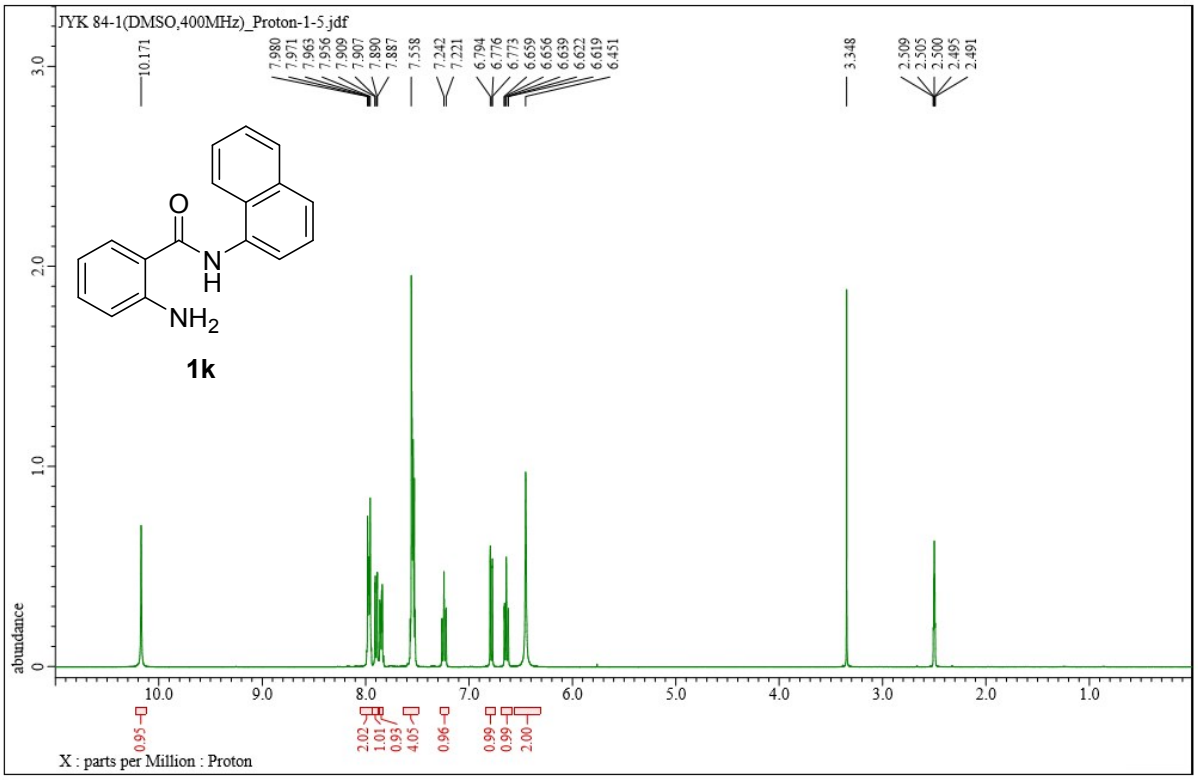
[1]H-NMR of compound **1j** (400 MHz)



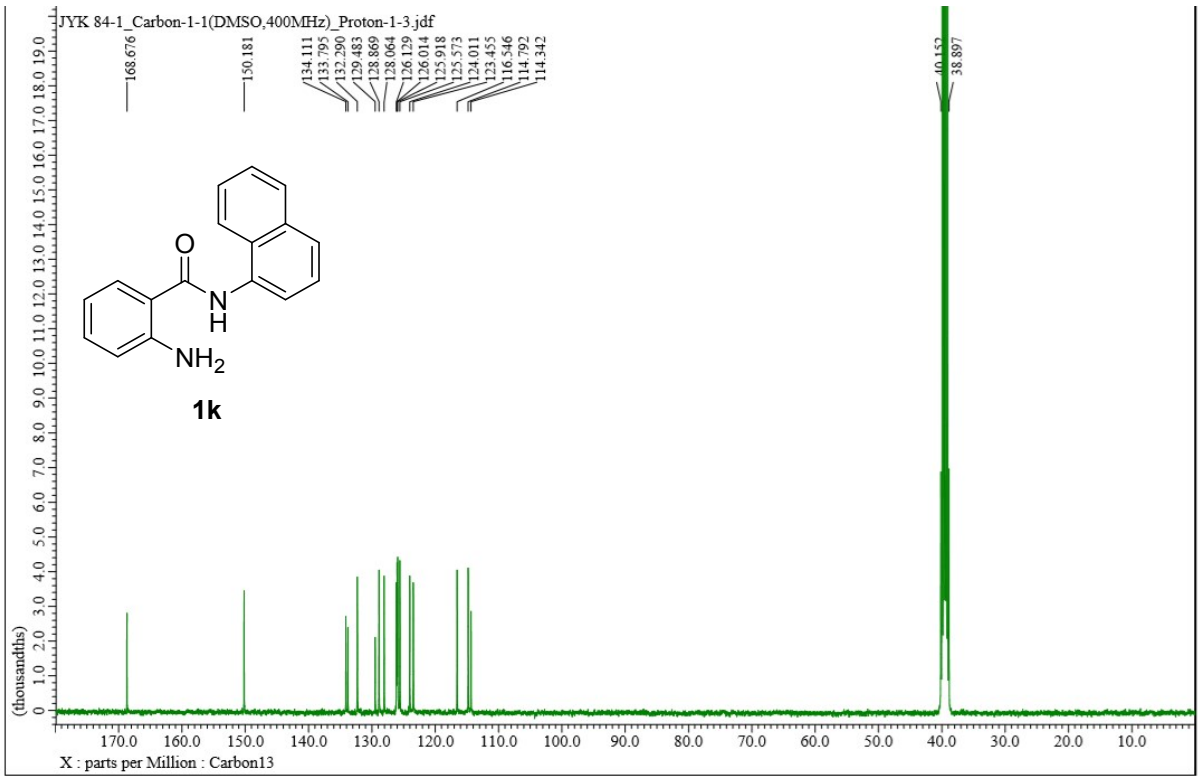
[13]C-NMR of compound **1j** (100 MHz)



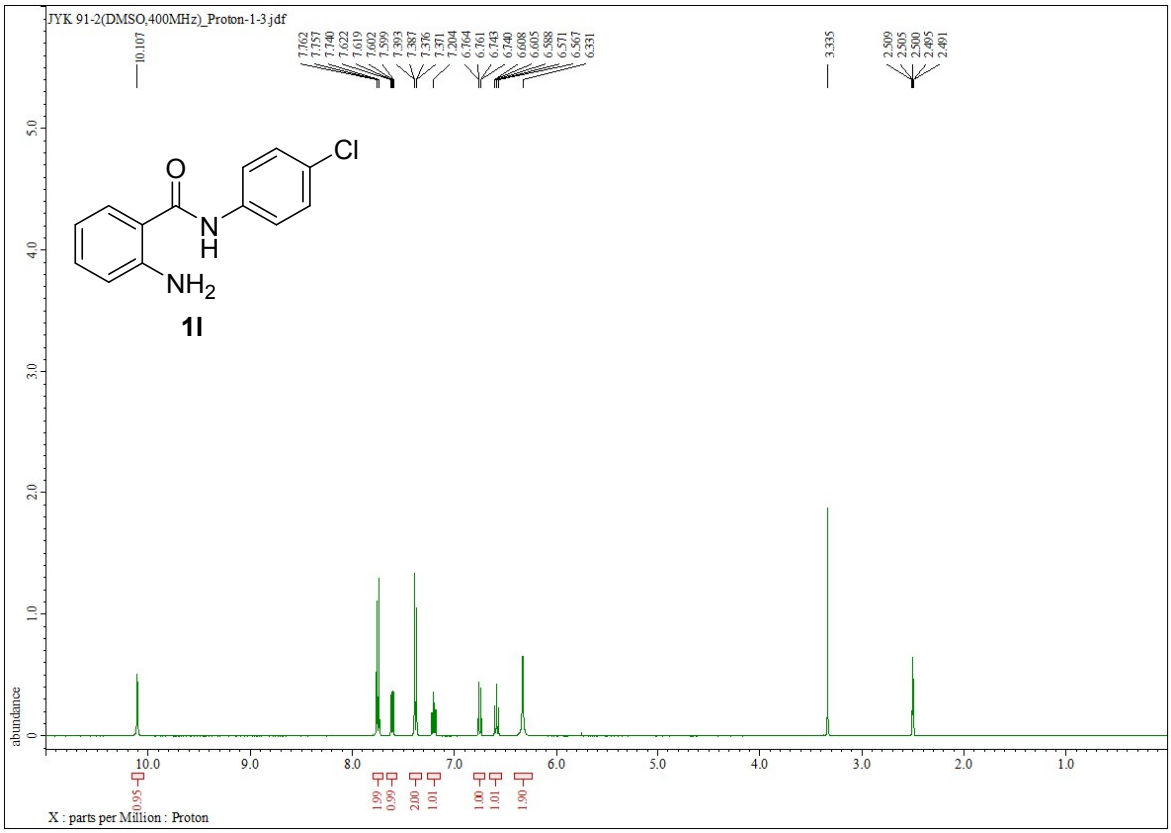
[1]H-NMR of compound **1k** (400 MHz)



[13]C-NMR of compound **1k** (100 MHz)

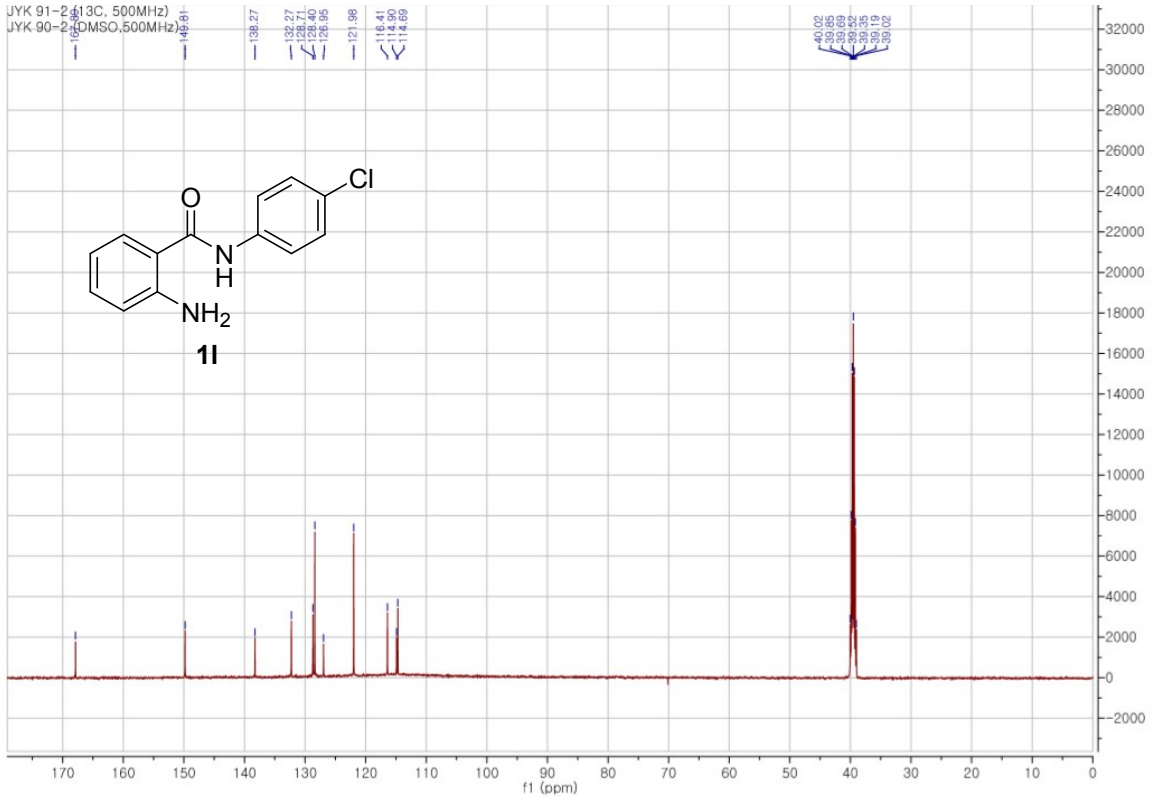


[1]H-NMR of compound **1l** (400 MHz)

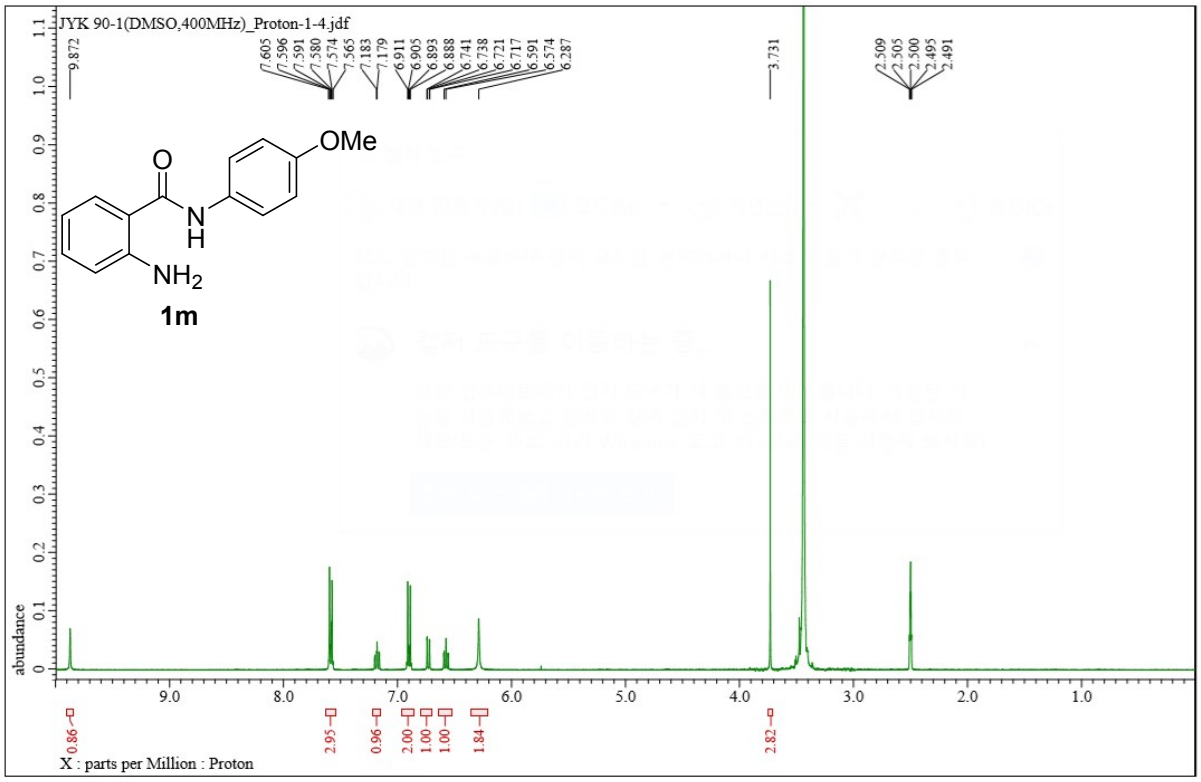


13

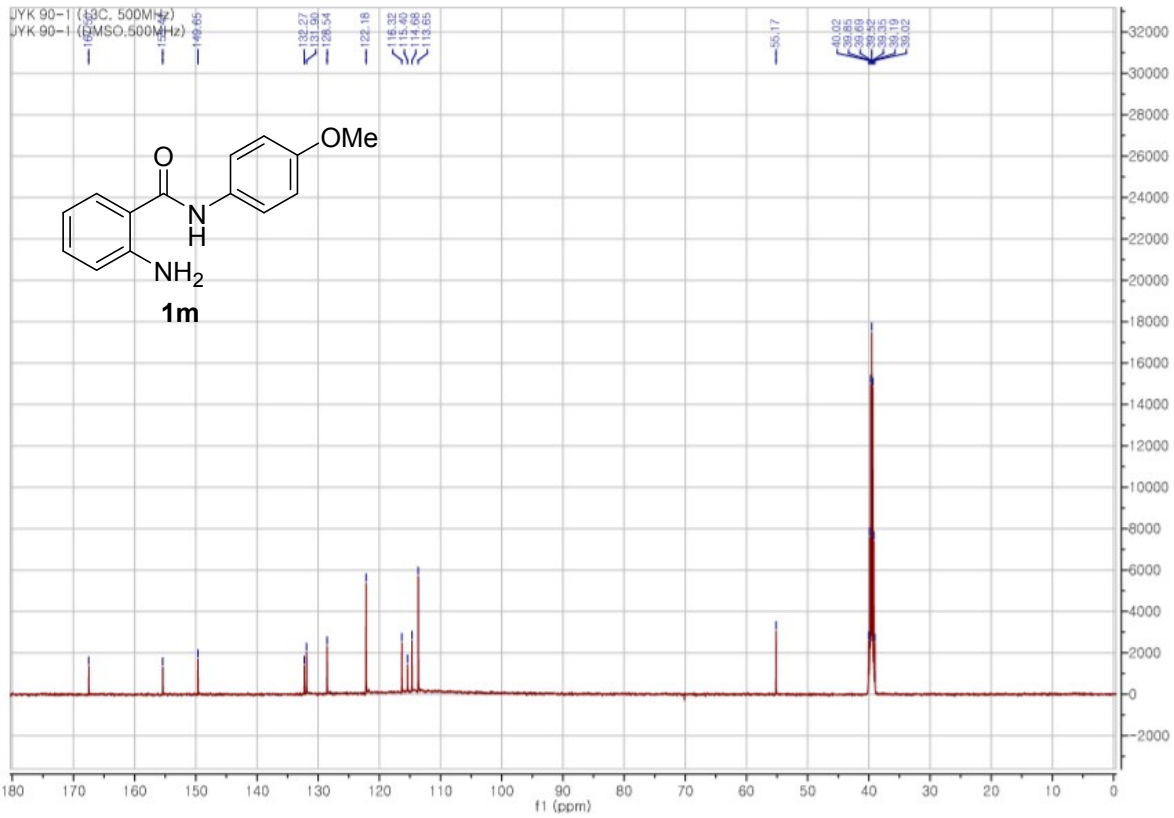
C-NMR of compound **1l** (125 MHz)



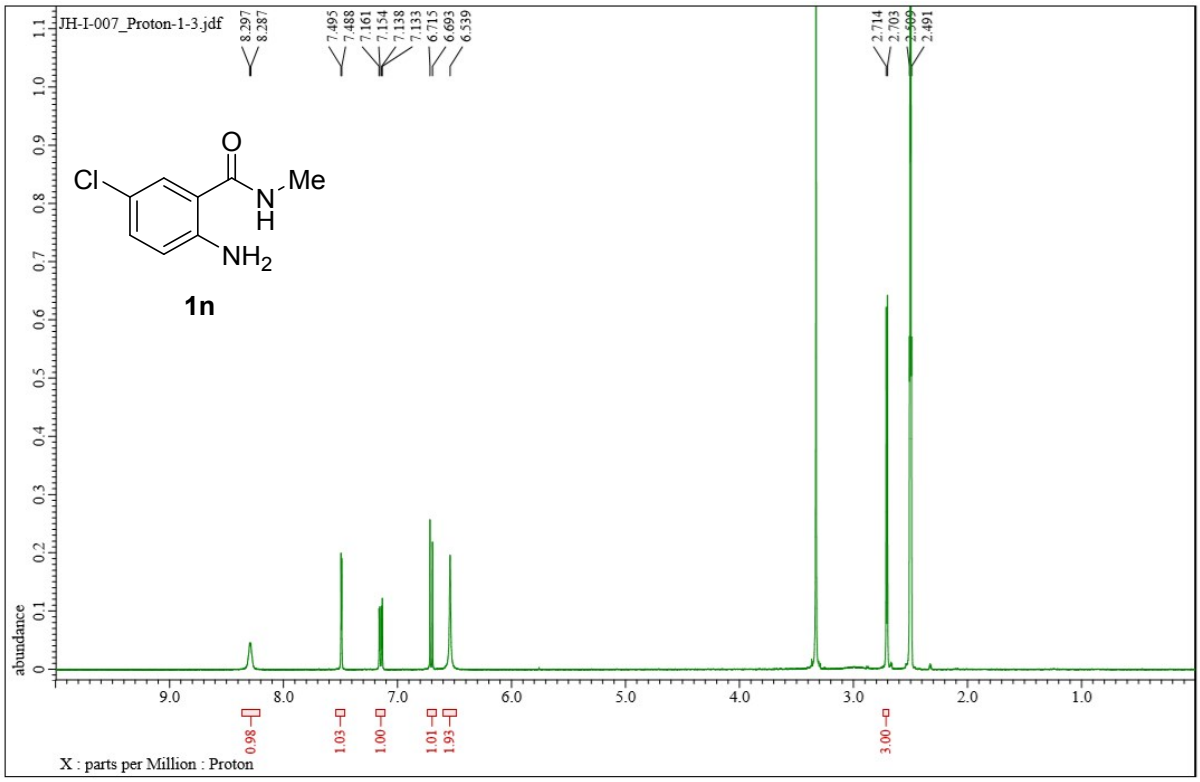
[1]H-NMR of compound **1m** (400 MHz)



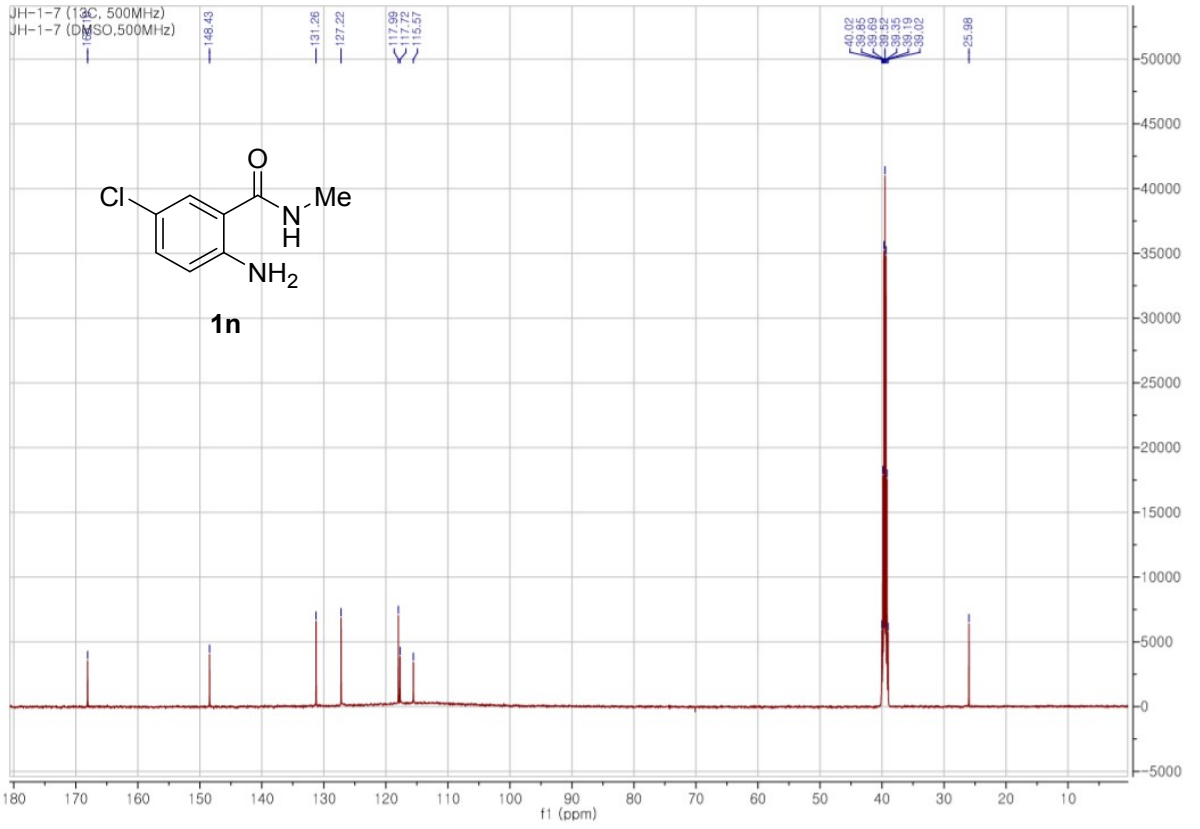
[13]C-NMR of compound **1m** (125 MHz)



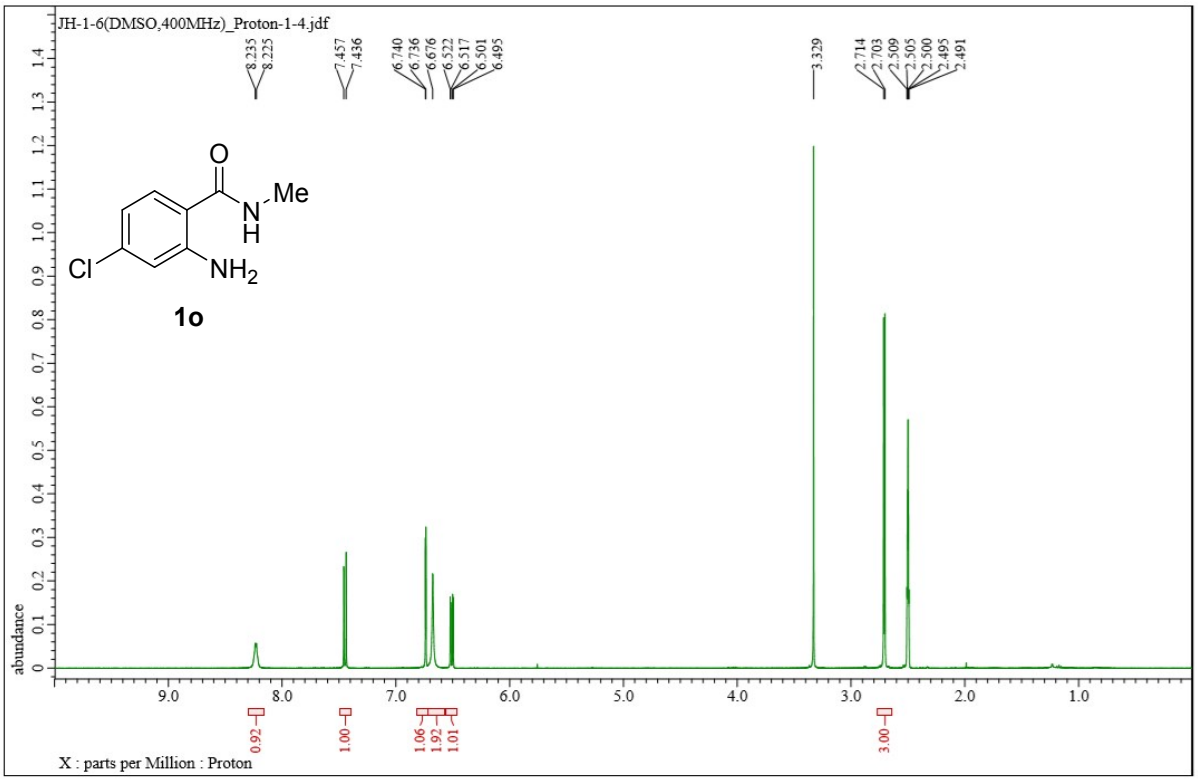
[1]H-NMR of compound **1n** (400 MHz)



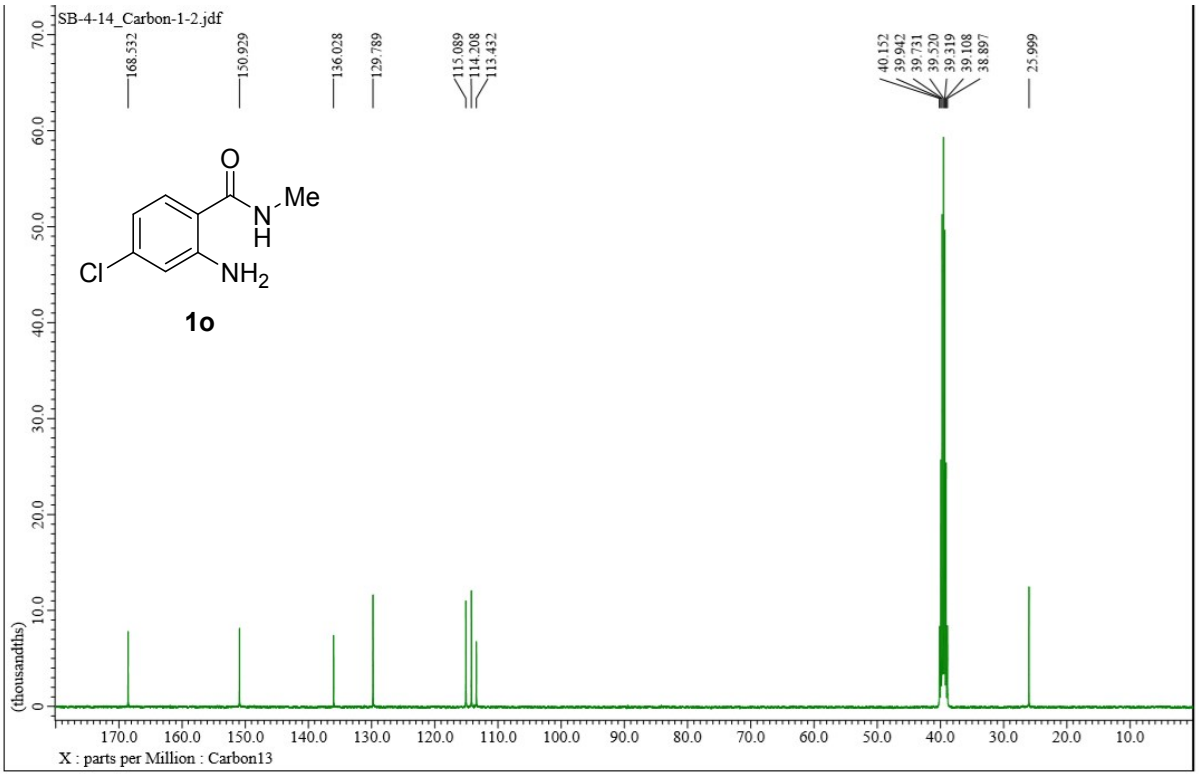
[13]C-NMR of compound **1n** (125 MHz)



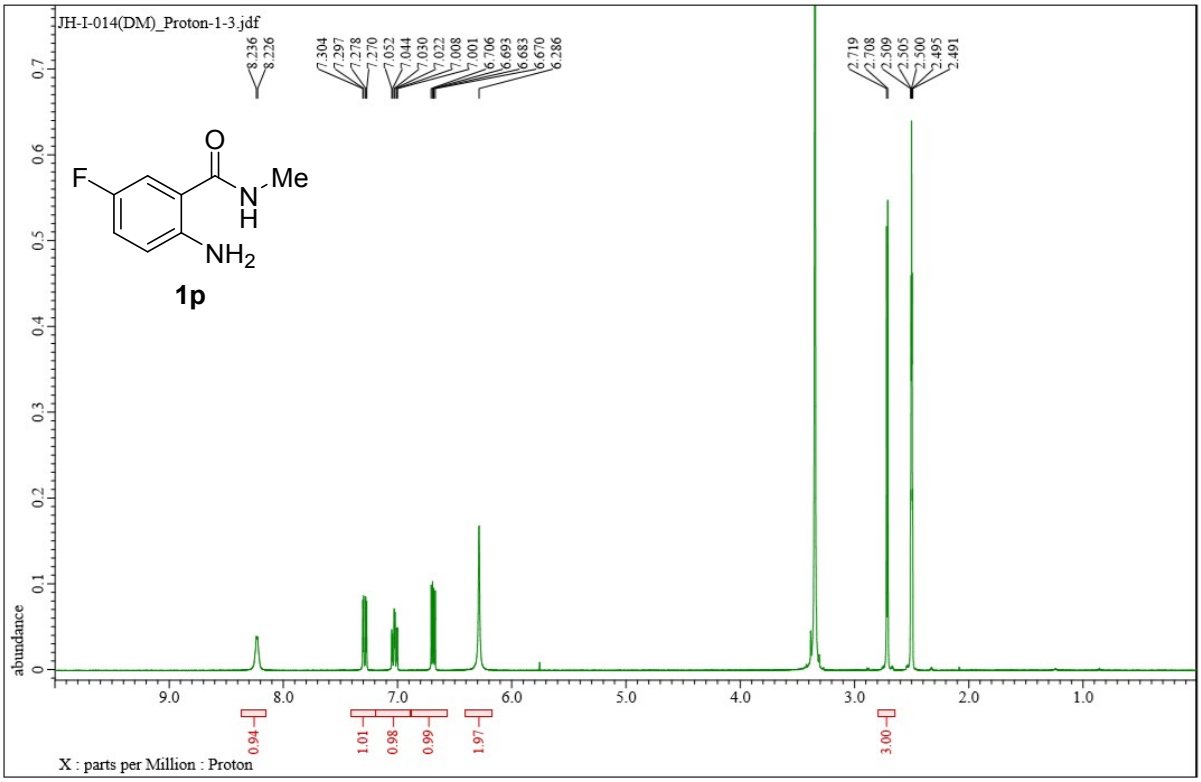
[1]H-NMR of compound **1o** (400 MHz)



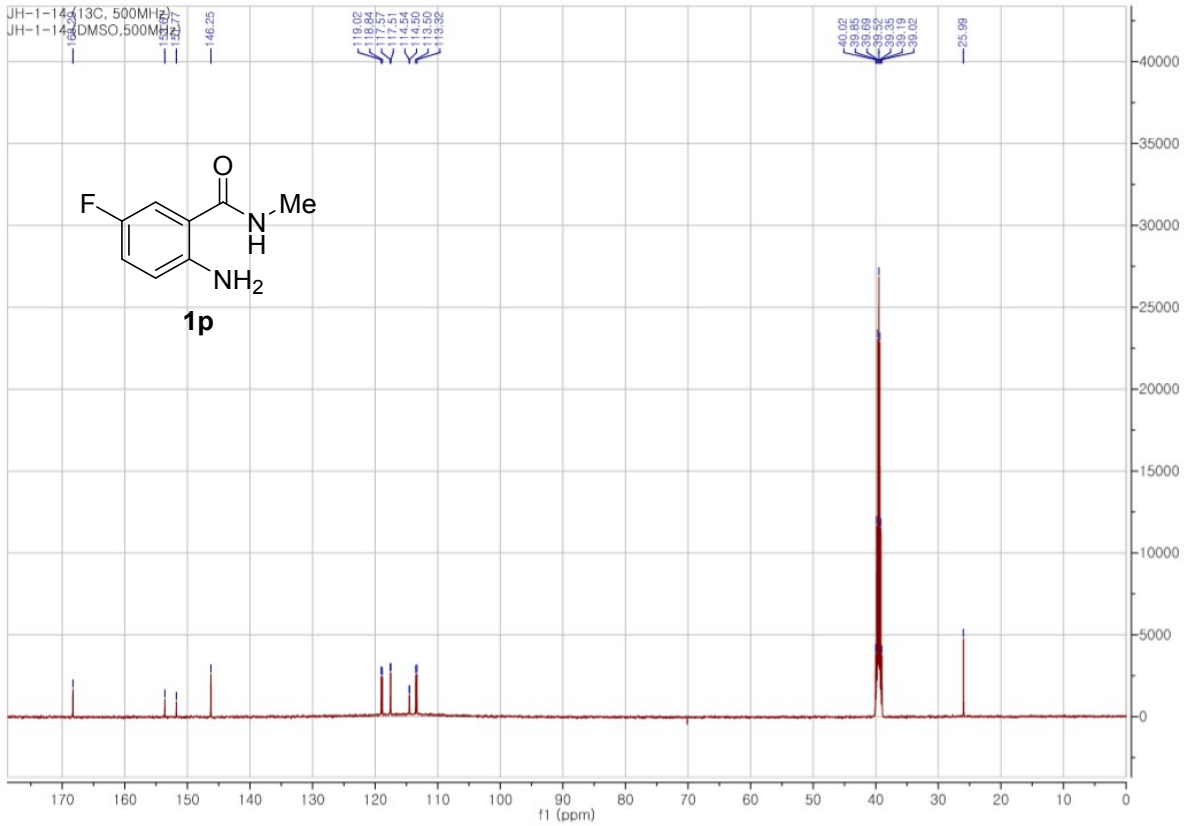
[13]C-NMR of compound **1o** (100 MHz)



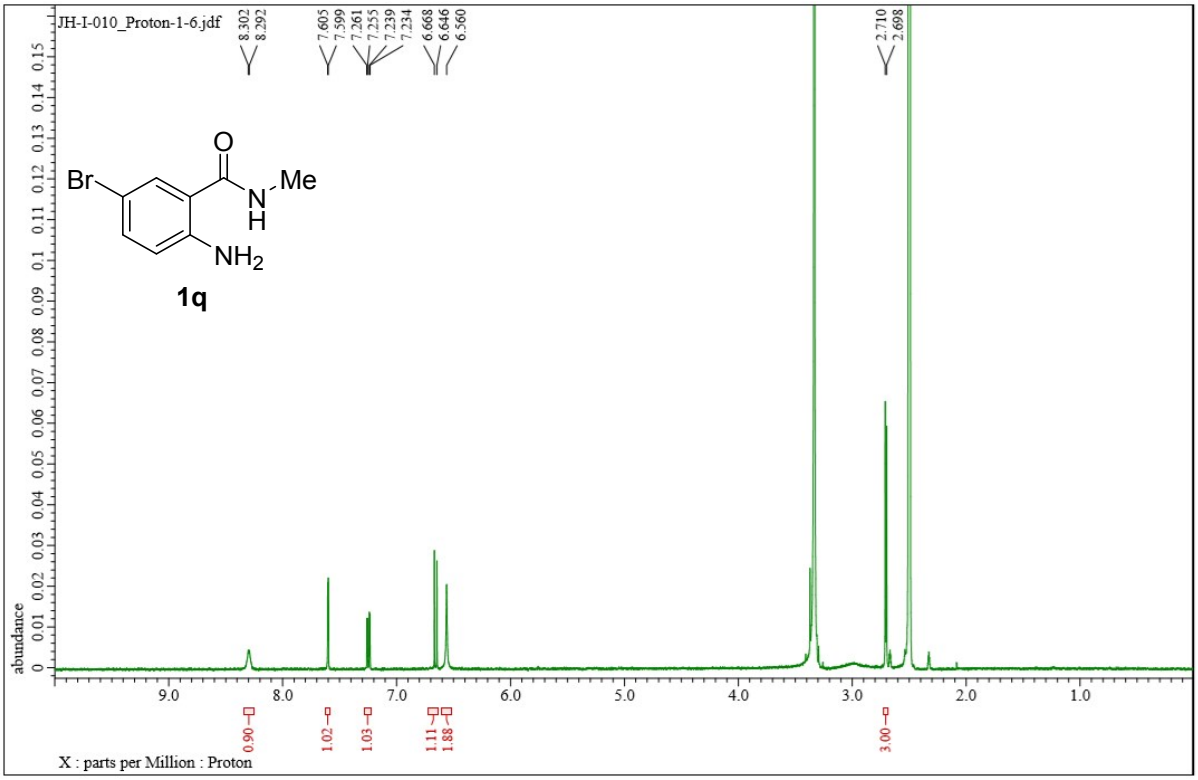
[1]H-NMR of compound **1p** (400 MHz)



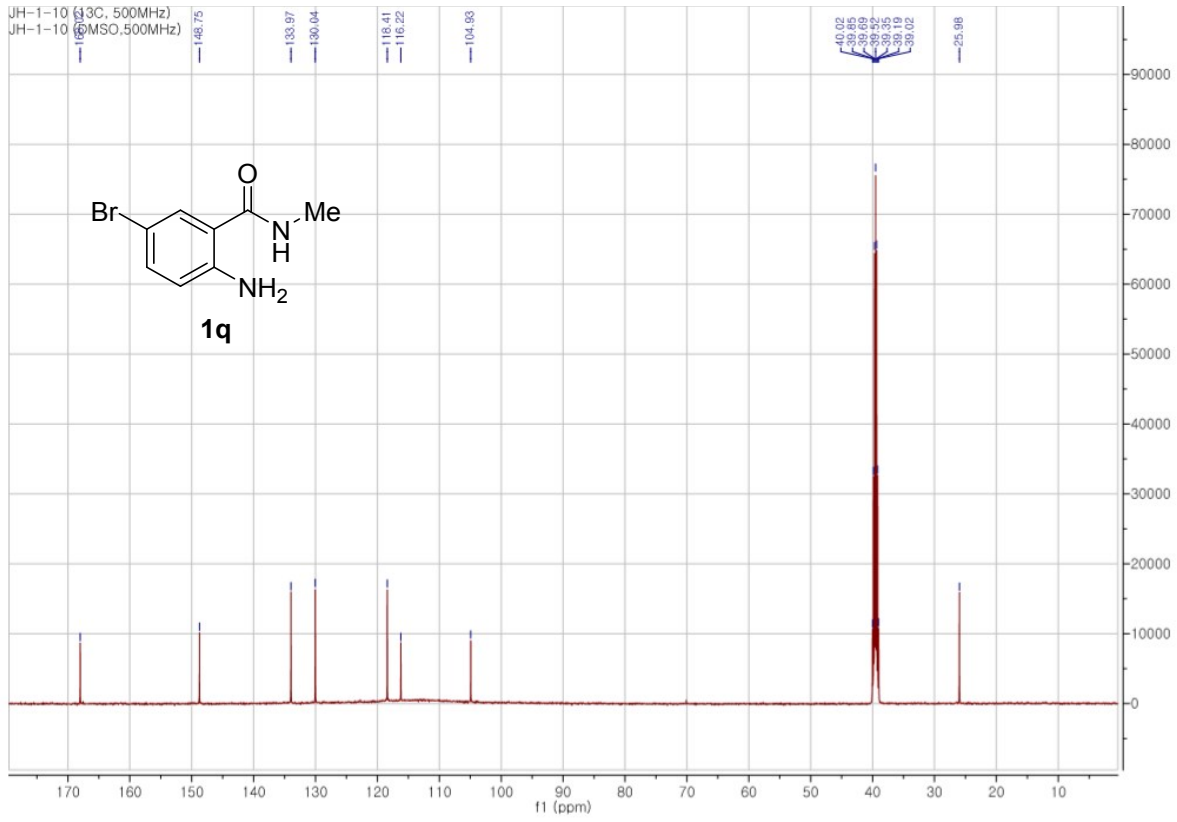
[13]C-NMR of compound **1p** (125 MHz)



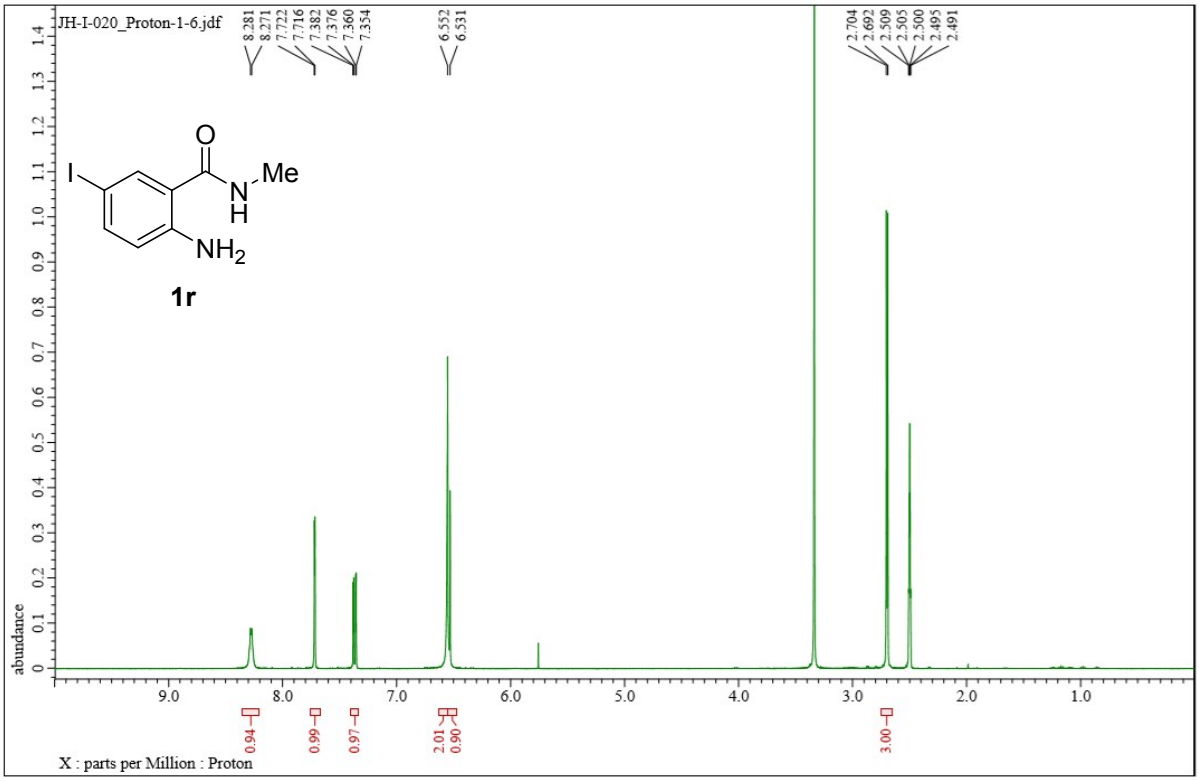
^{1}H-NMR of compound **1q** (400 MHz)



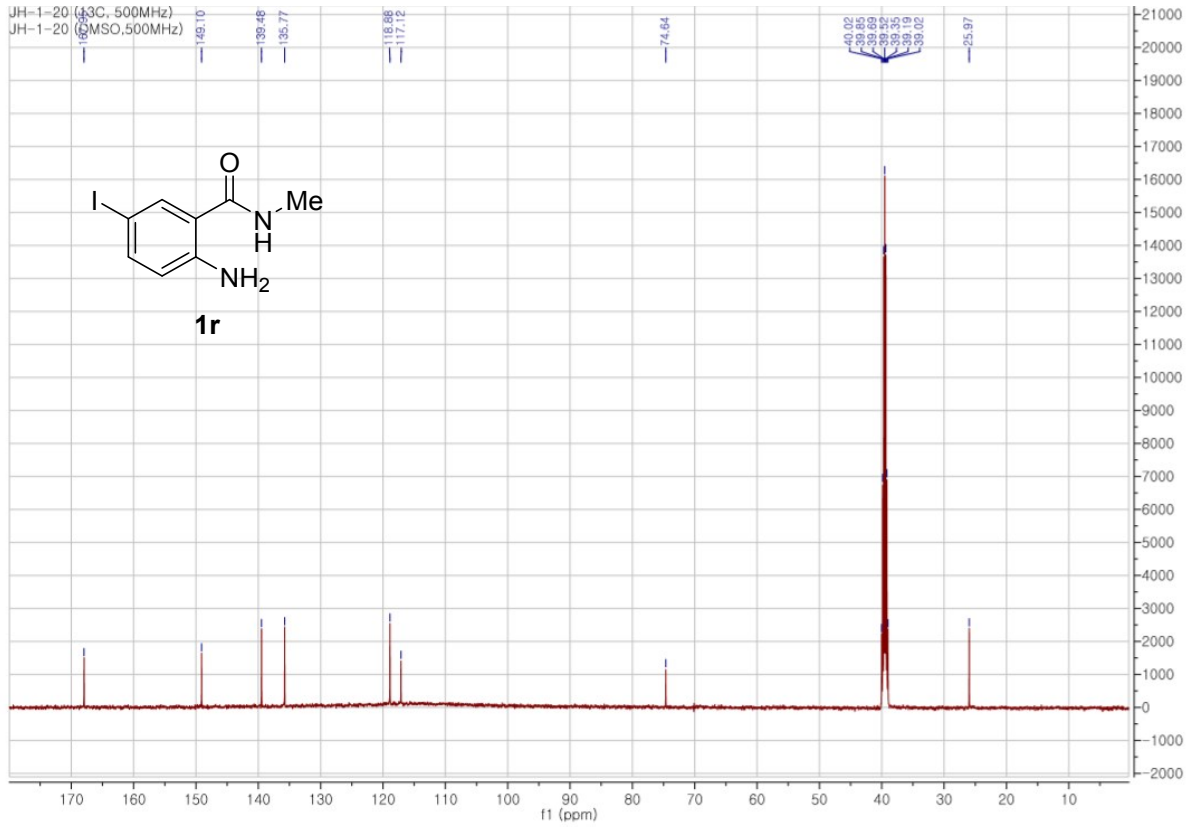
^{13}C-NMR of compound **1q** (125 MHz)



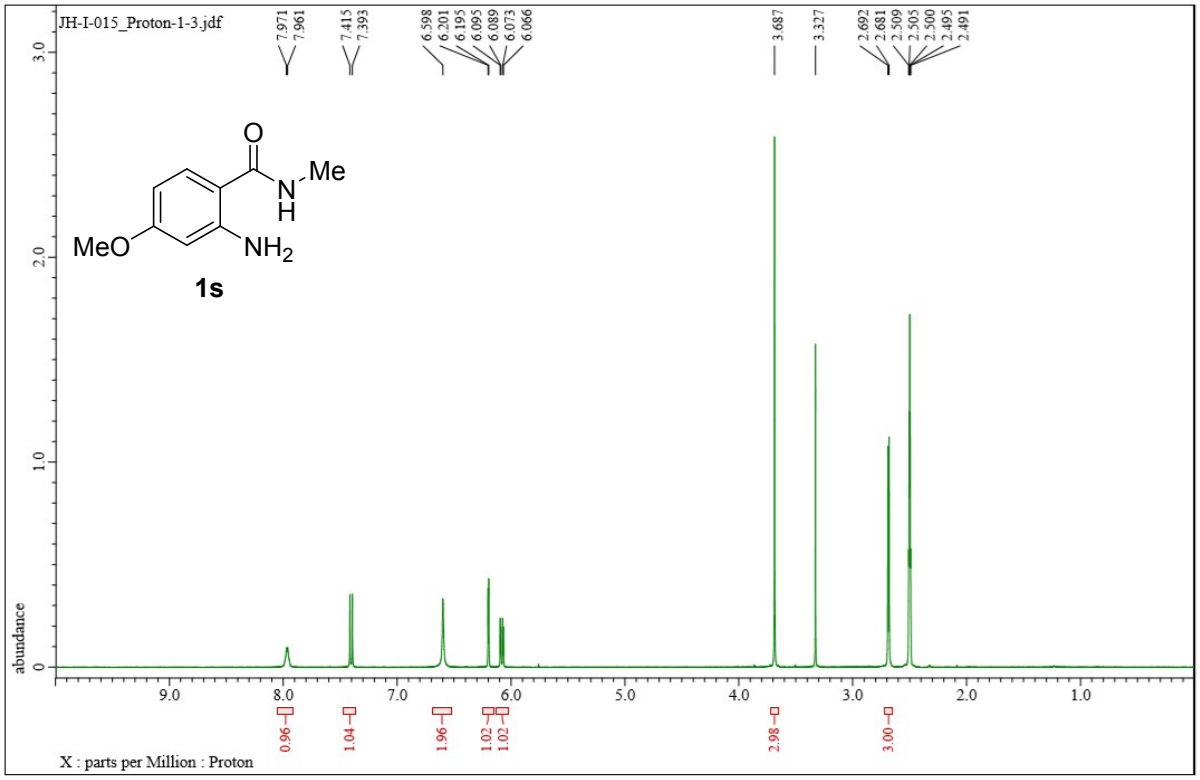
[1]H-NMR of compound **1r** (400 MHz)



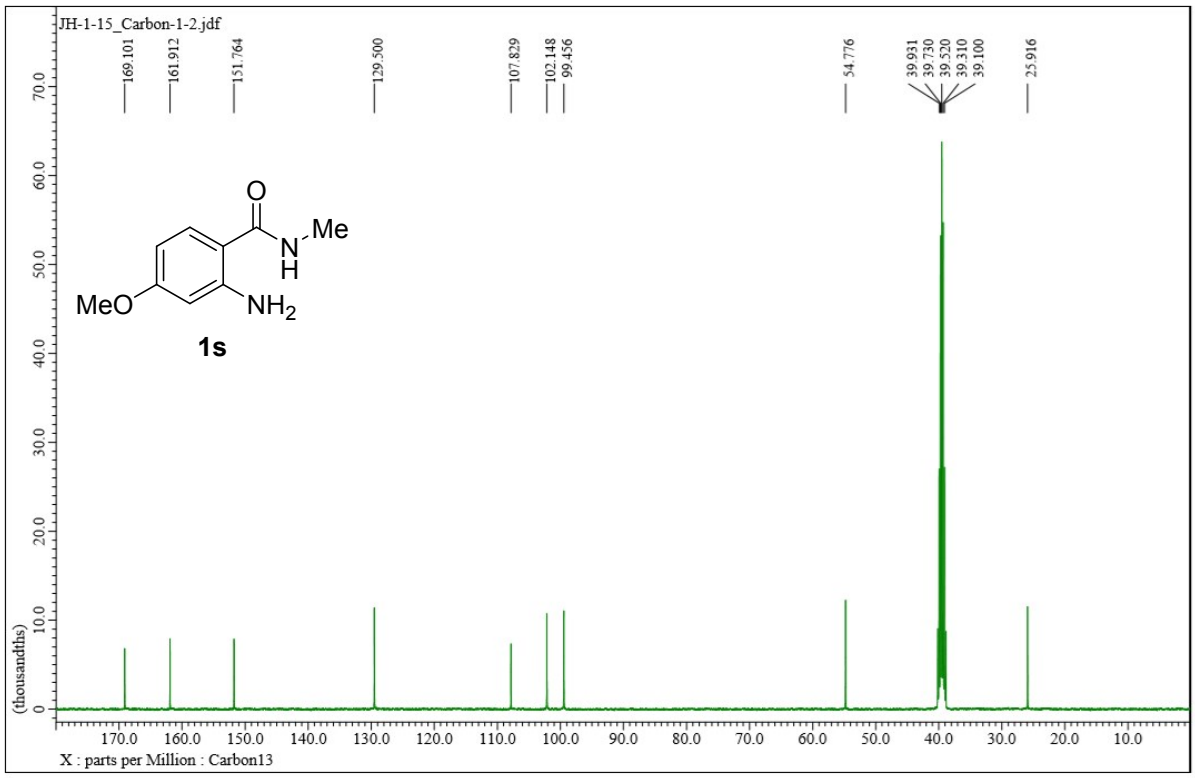
[13]C-NMR of compound **1r** (125 MHz)



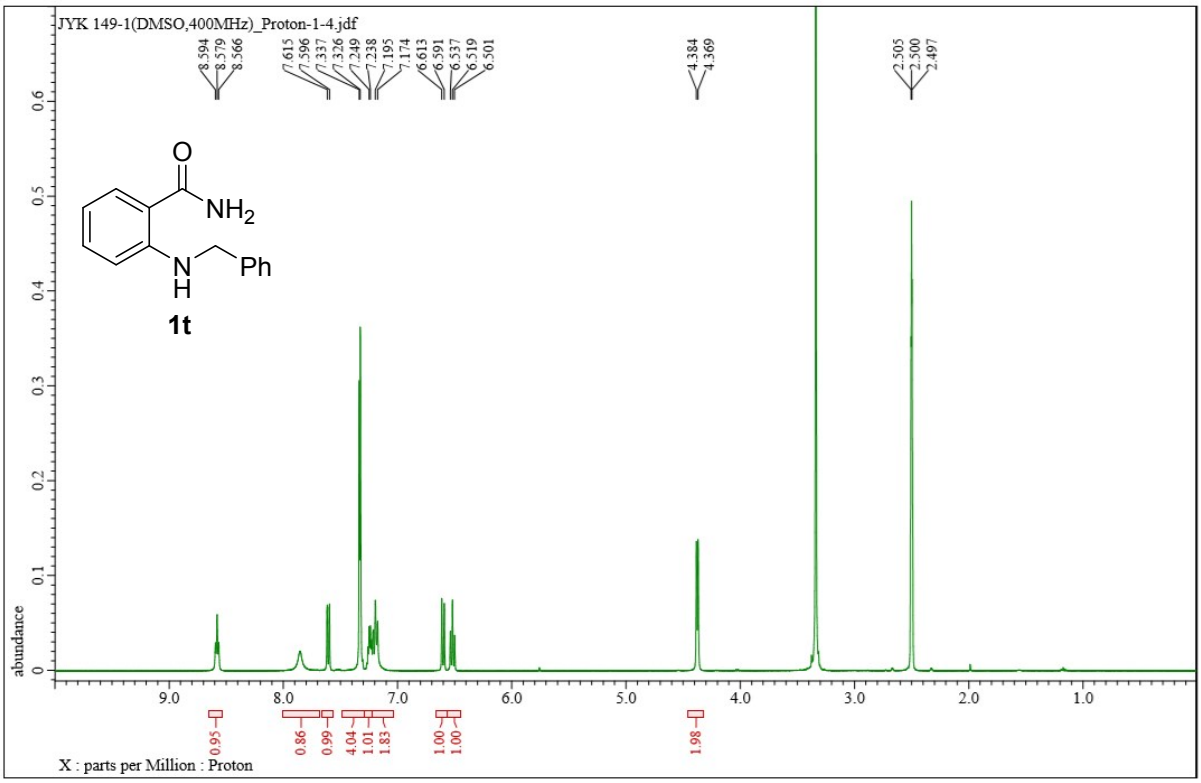
[1]H-NMR of compound **1s** (400 MHz)



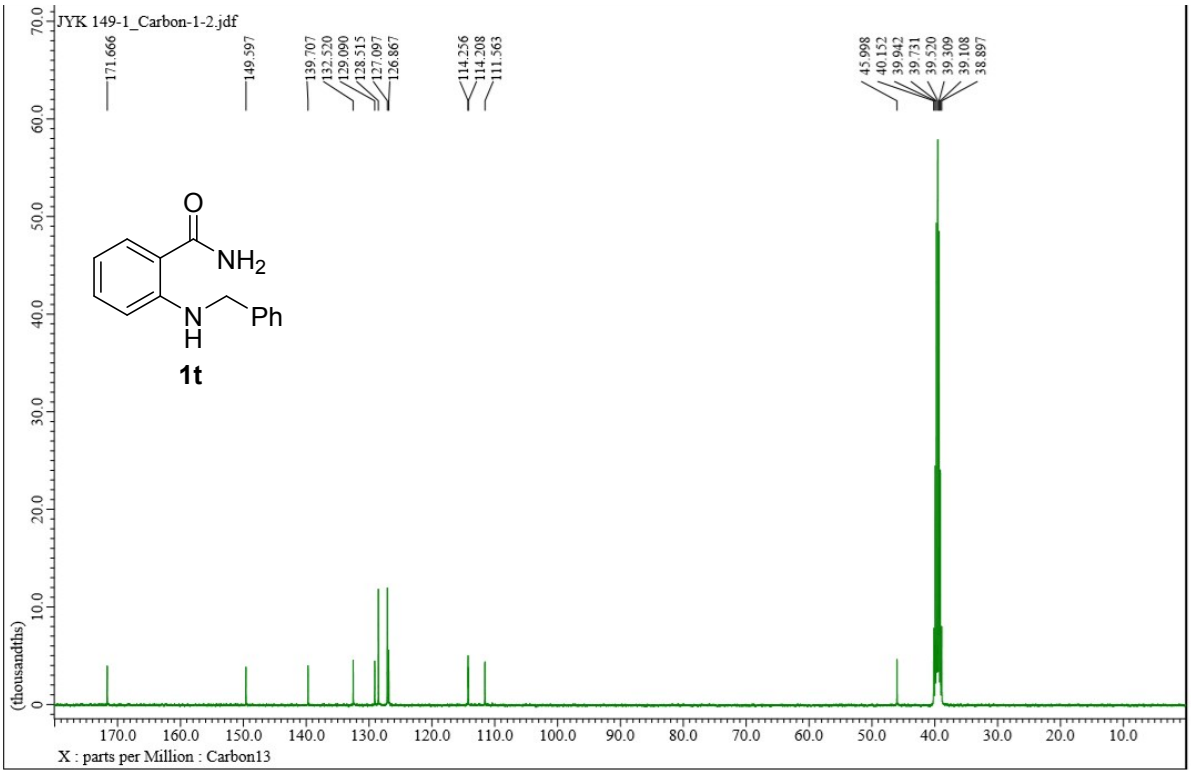
[13]C-NMR of compound **1s** (100 MHz)



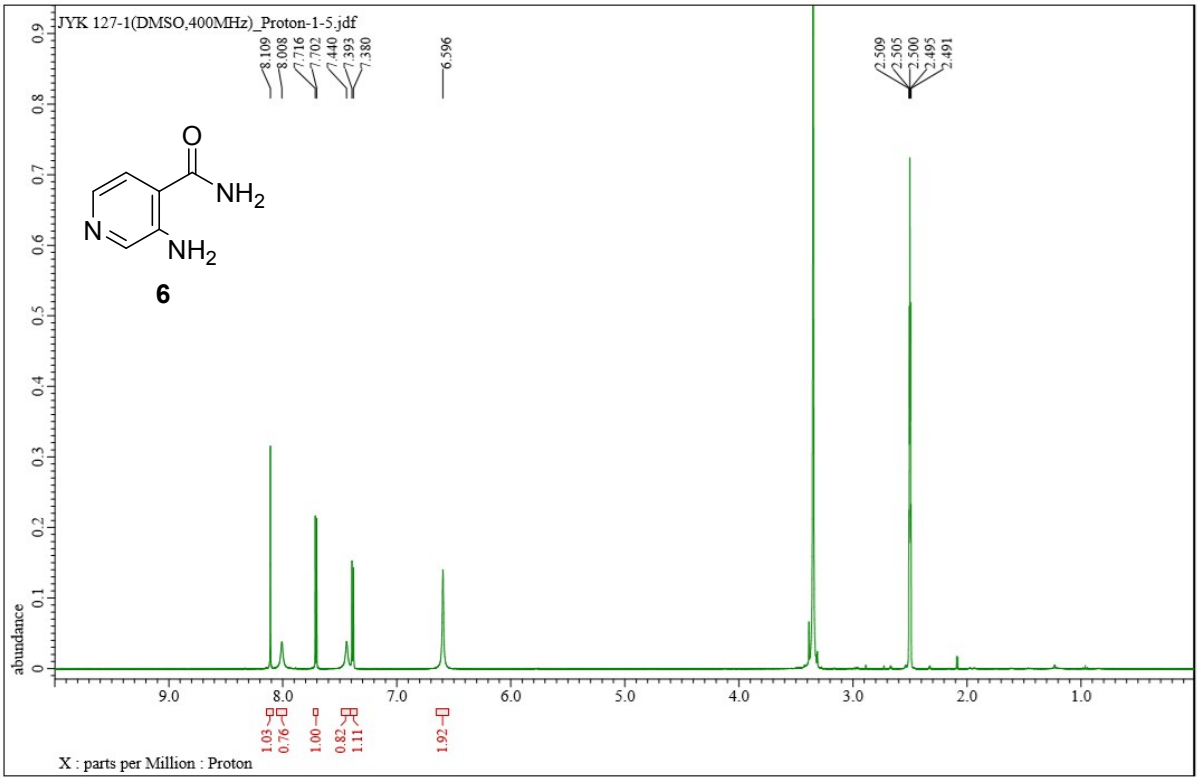
[1]H-NMR of compound **1t** (400 MHz)



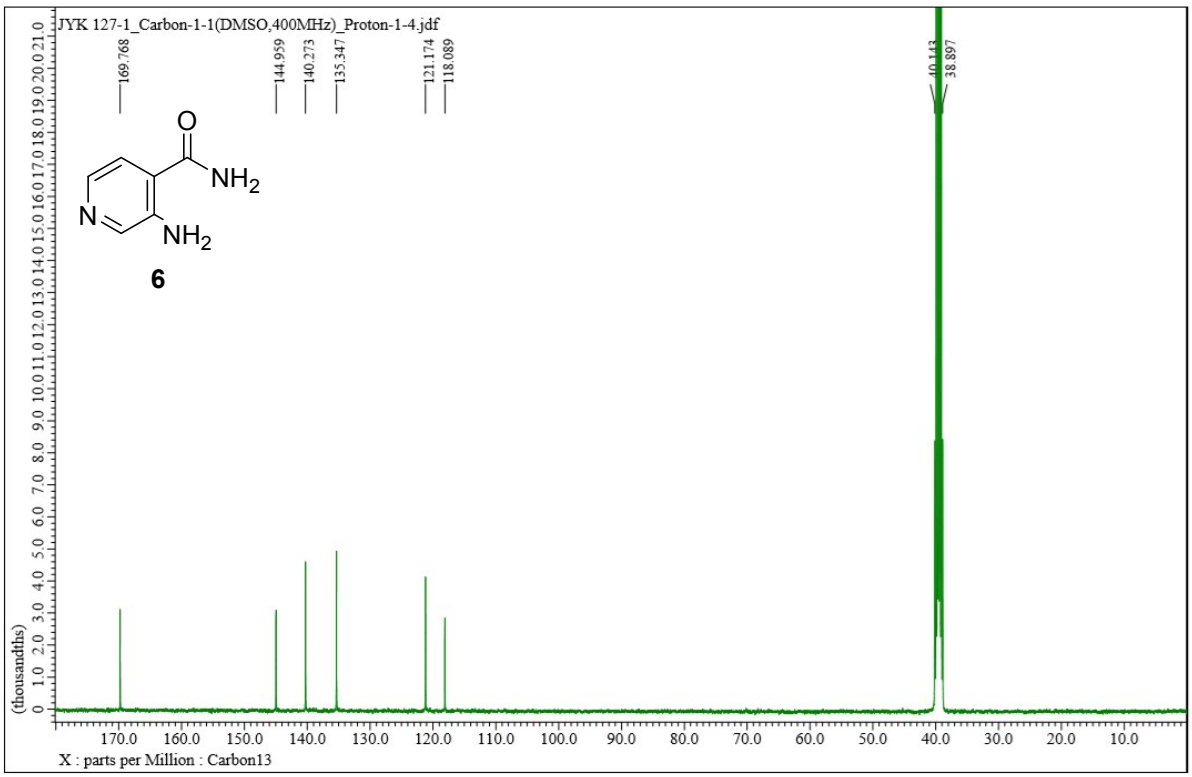
[13]C-NMR of compound **1t** (100 MHz)



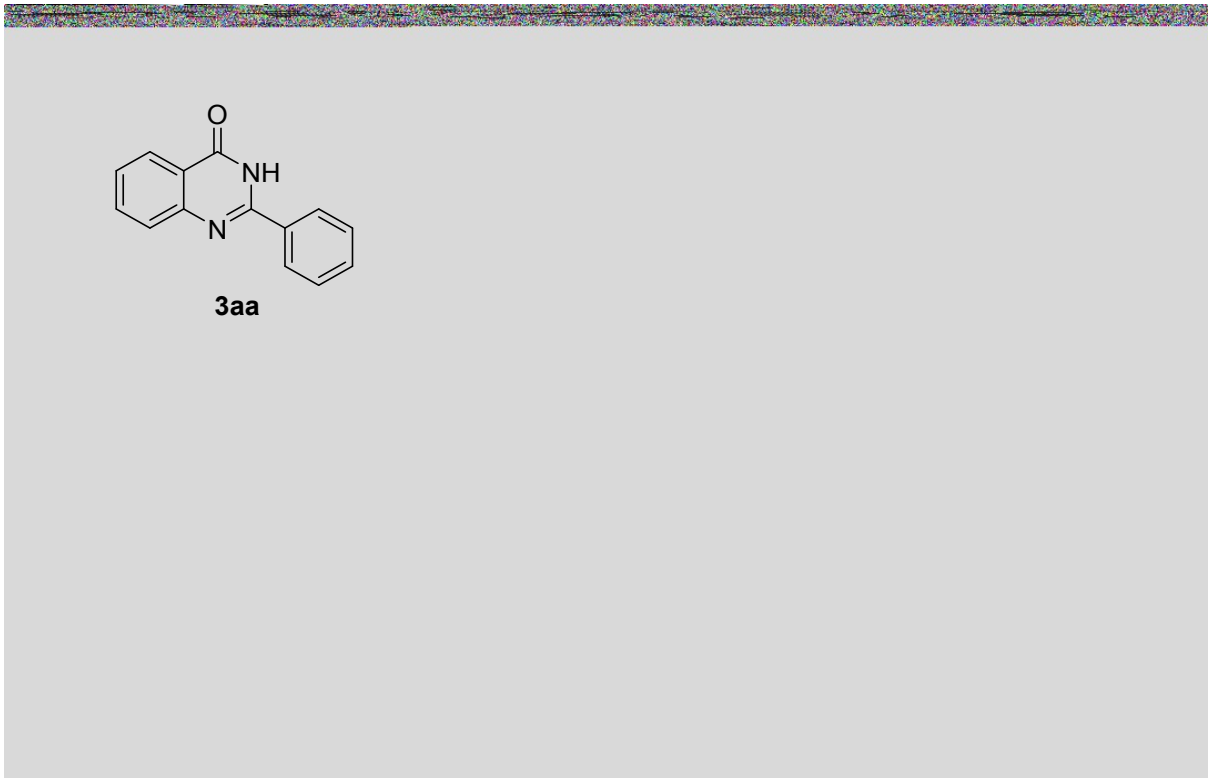
[1]H-NMR of compound **6** (400 MHz)



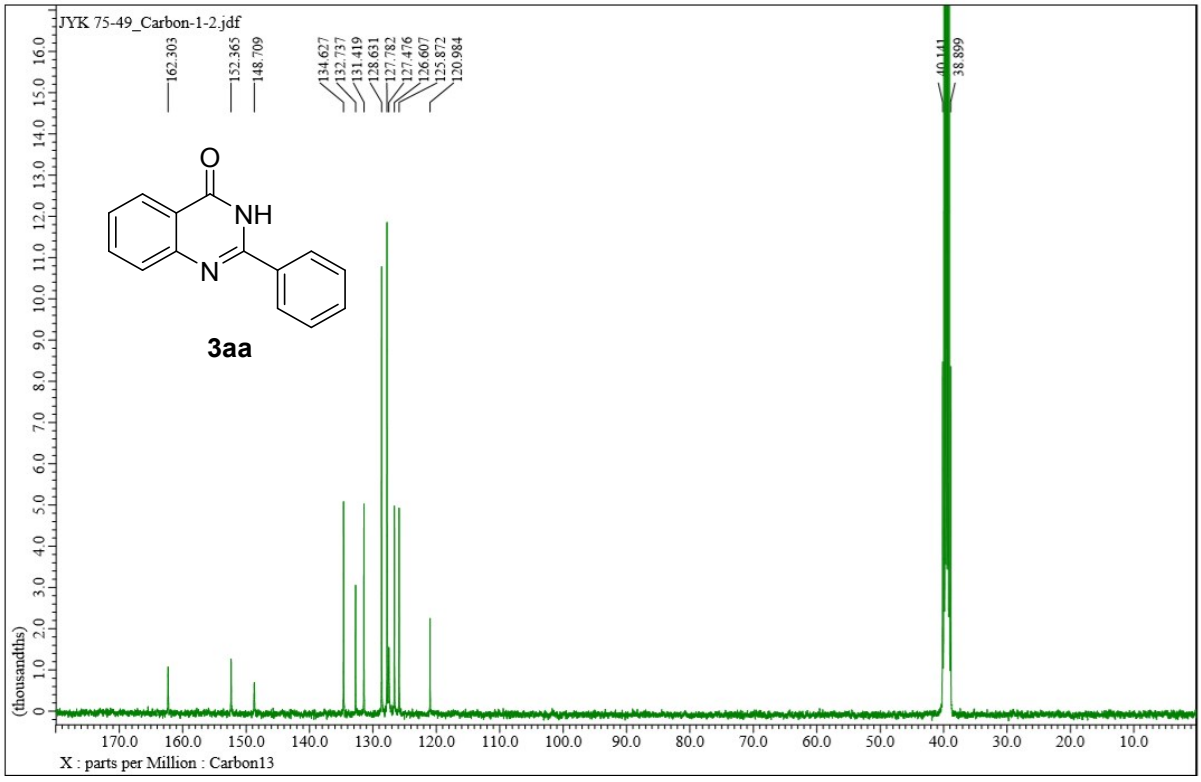
[13]C-NMR of compound **6** (100 MHz)



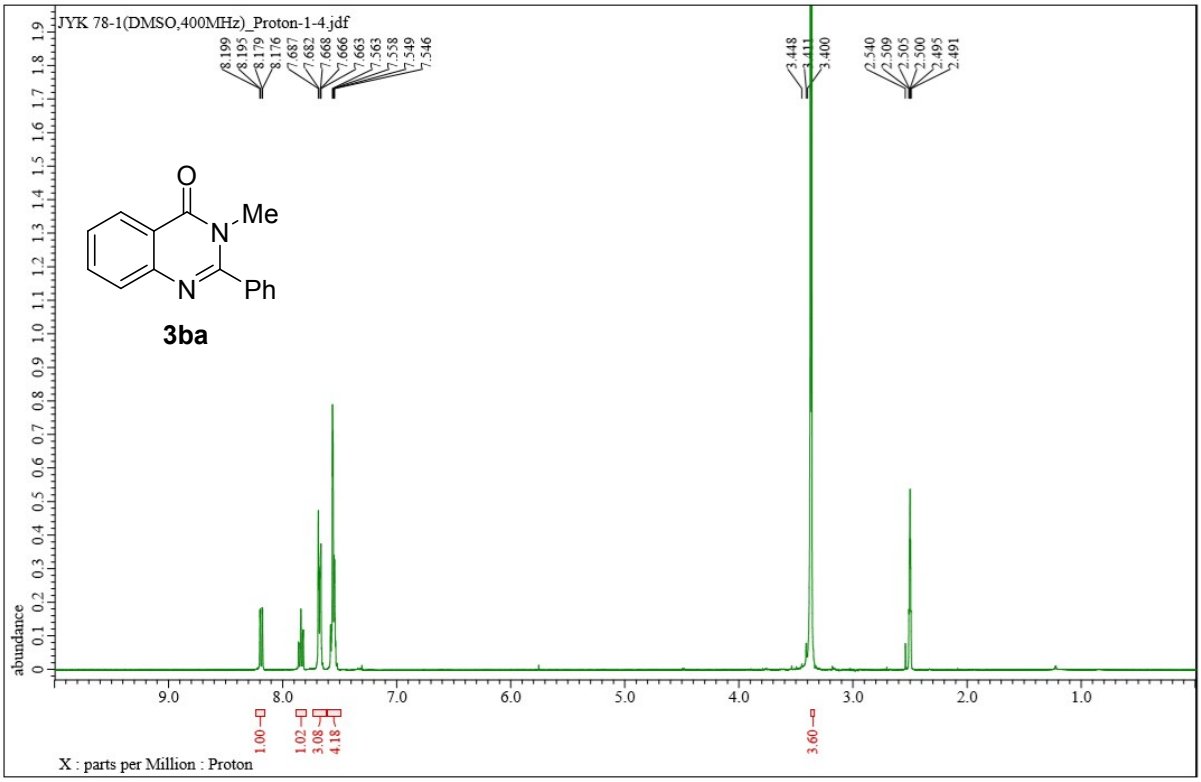
^{1}H-NMR of compound **3aa** (400 MHz)



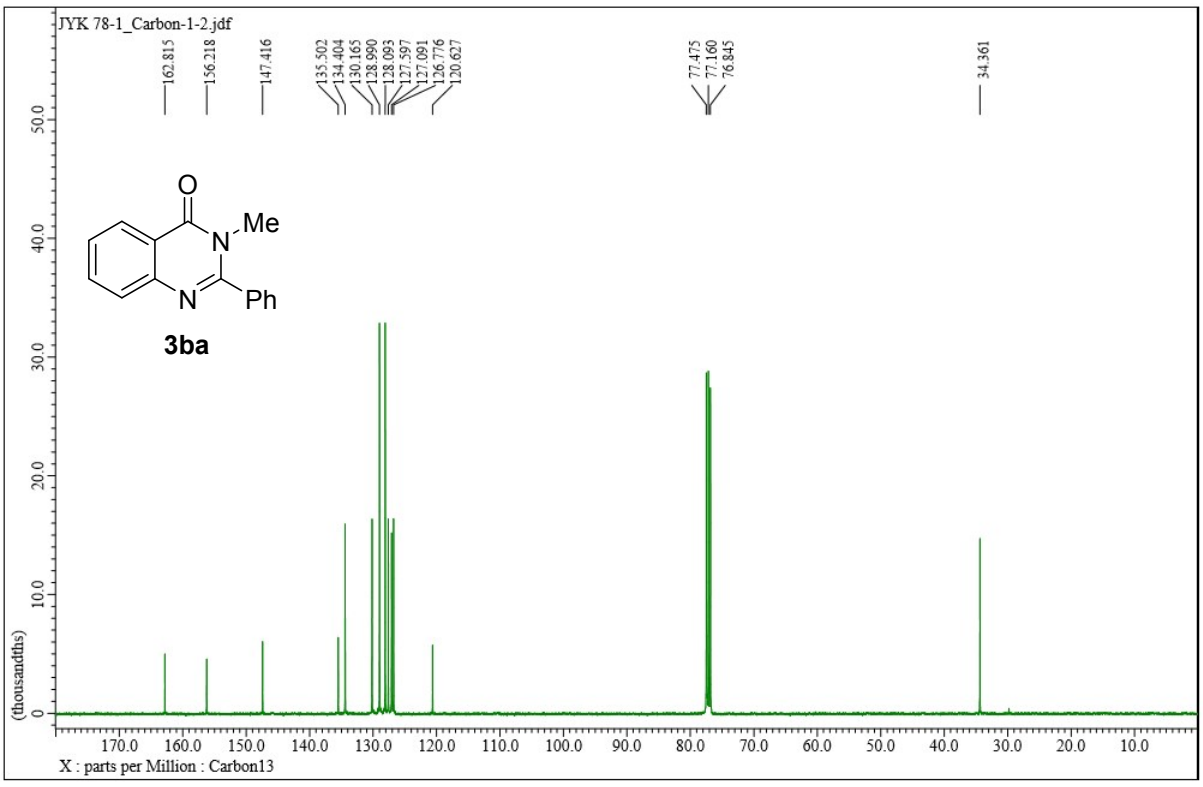
^{13}C-NMR of compound **3aa** (100 MHz)



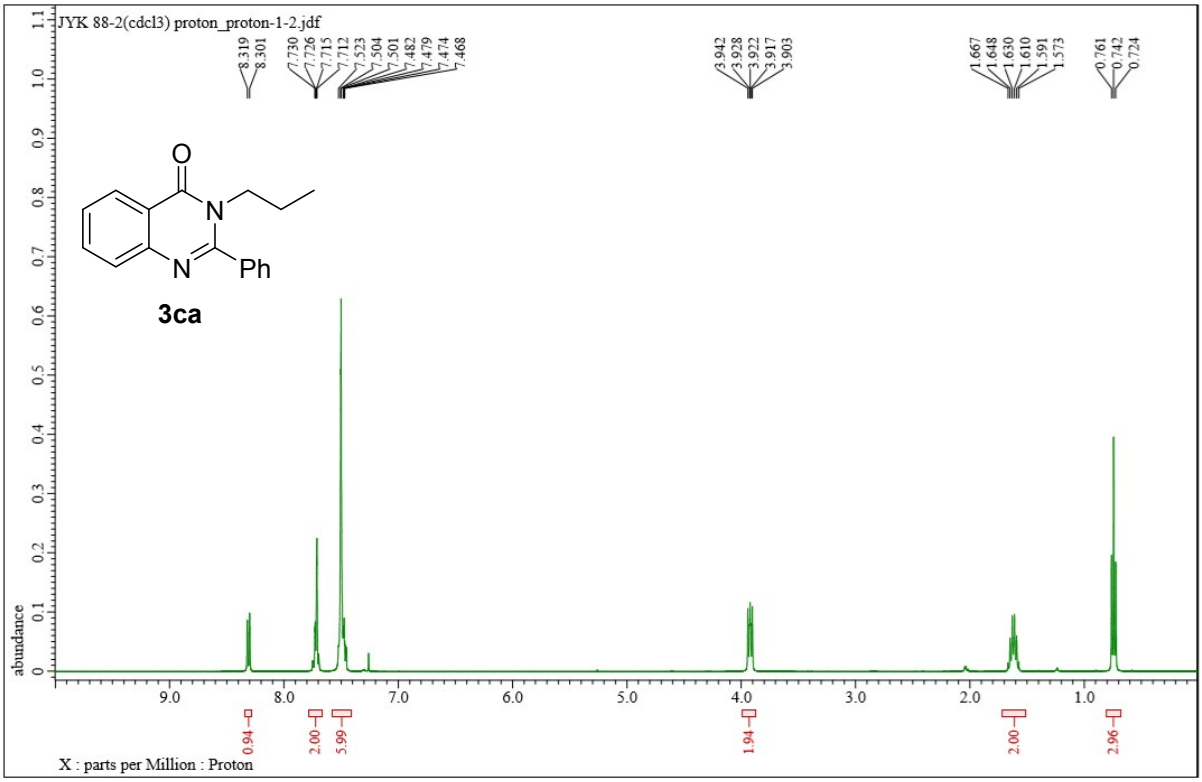
^{1}H-NMR of compound **3ba** (400 MHz)



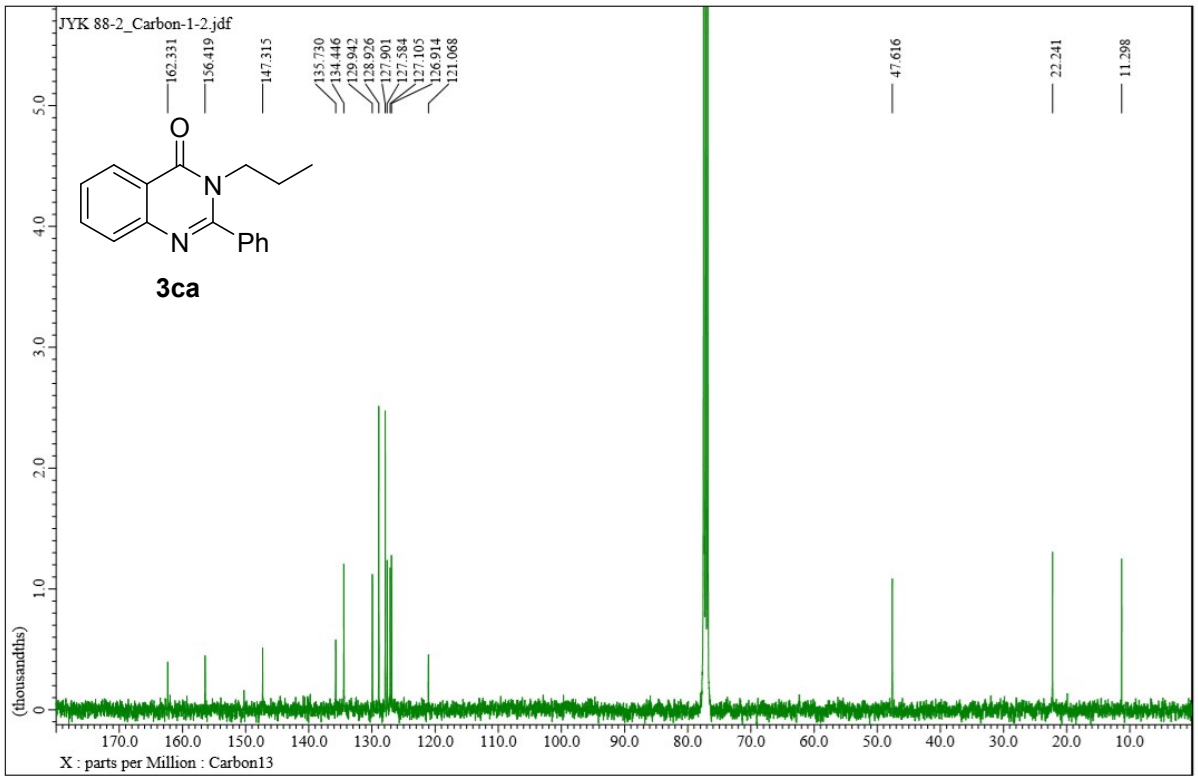
^{13}C-NMR of compound **3ba** (100 MHz)



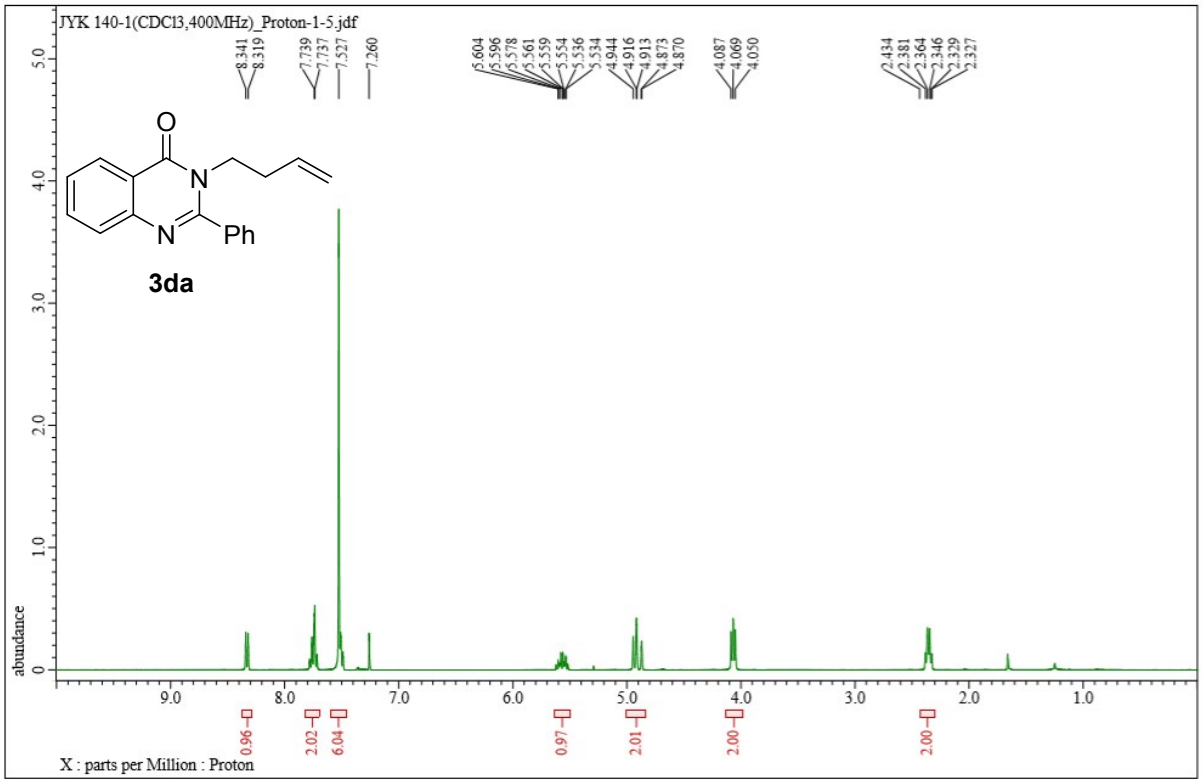
[1]H-NMR of compound **3ca** (400 MHz)



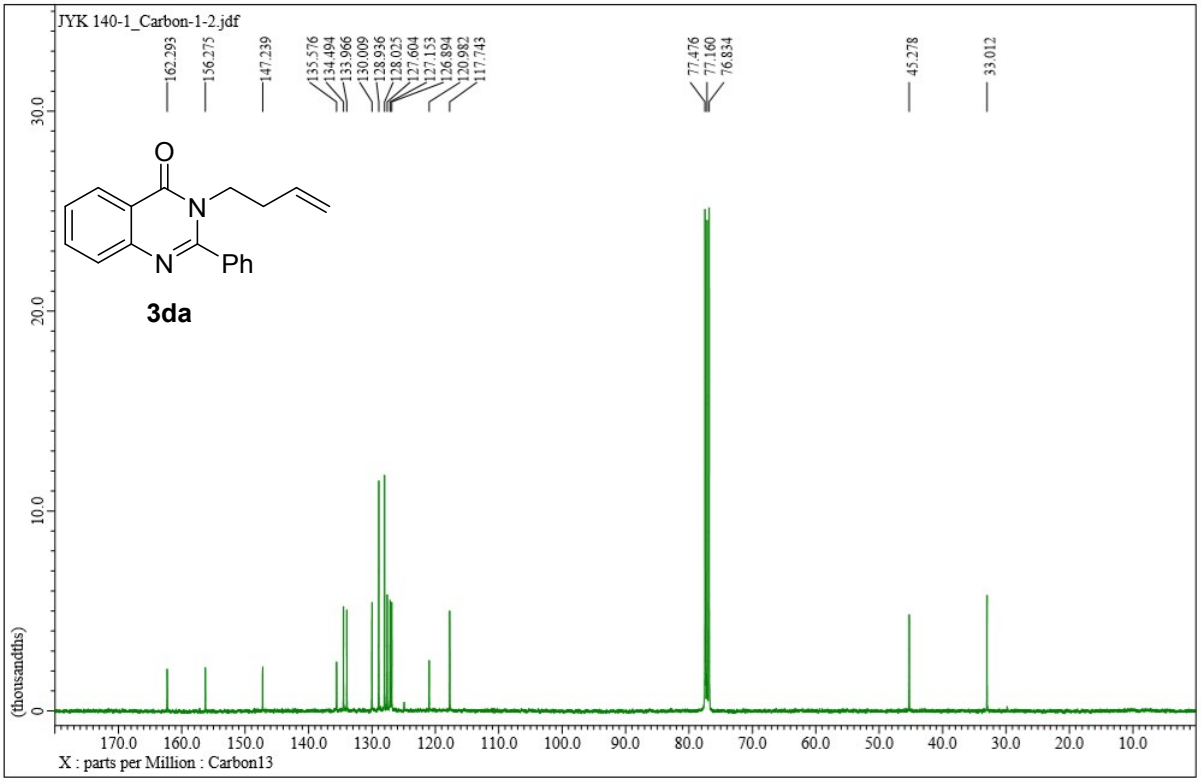
[13]C-NMR of compound **3ca** (100 MHz)



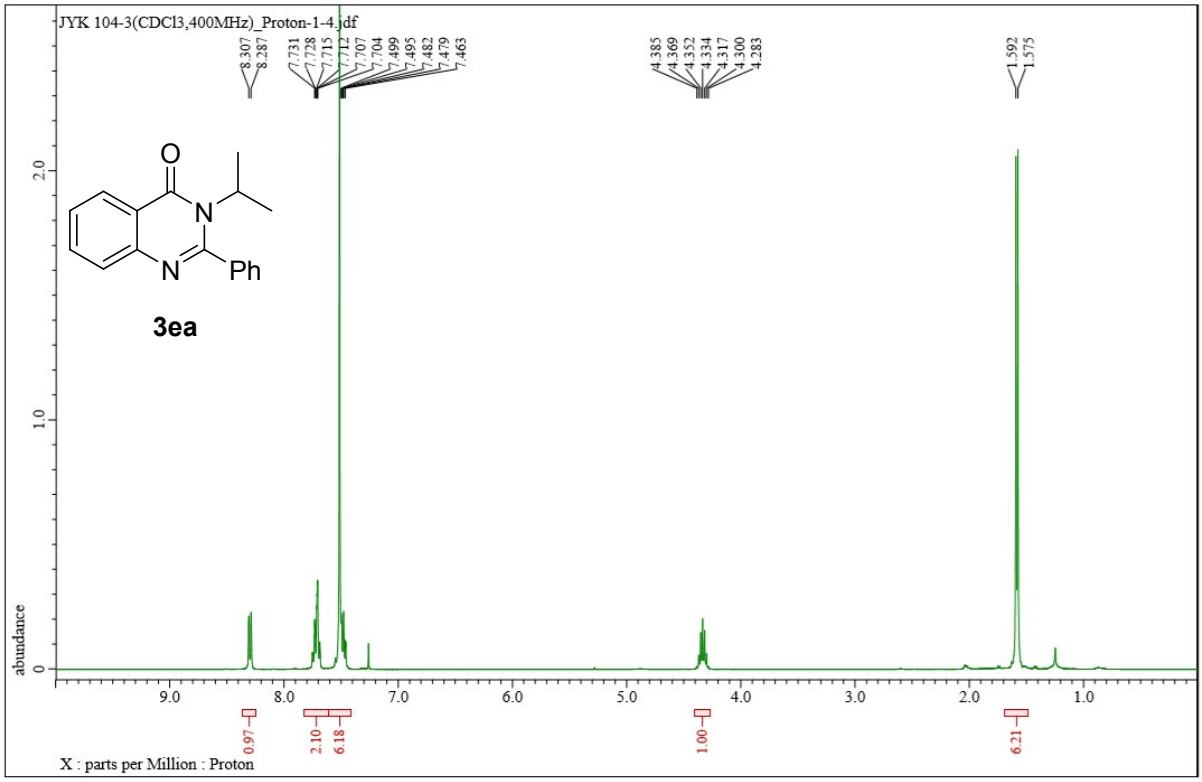
[1]H-NMR of compound **3da** (400 MHz)



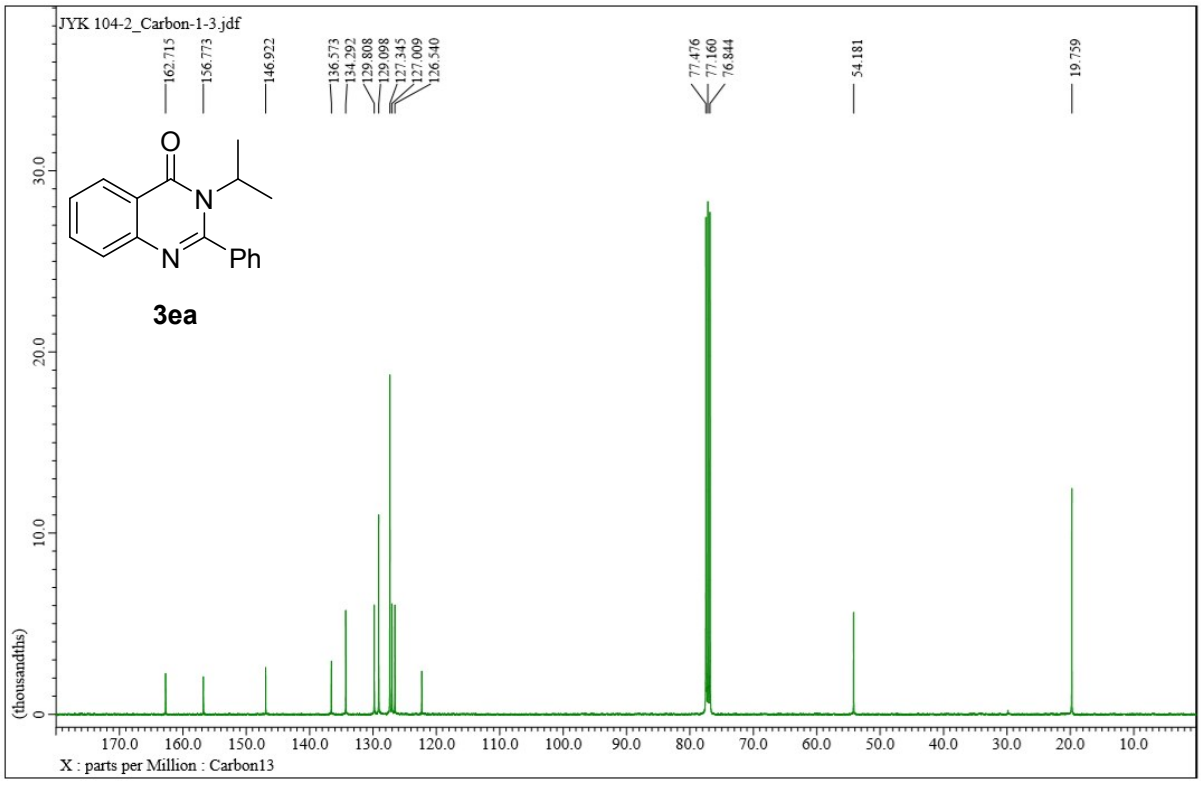
[13]C-NMR of compound **3da** (100 MHz)



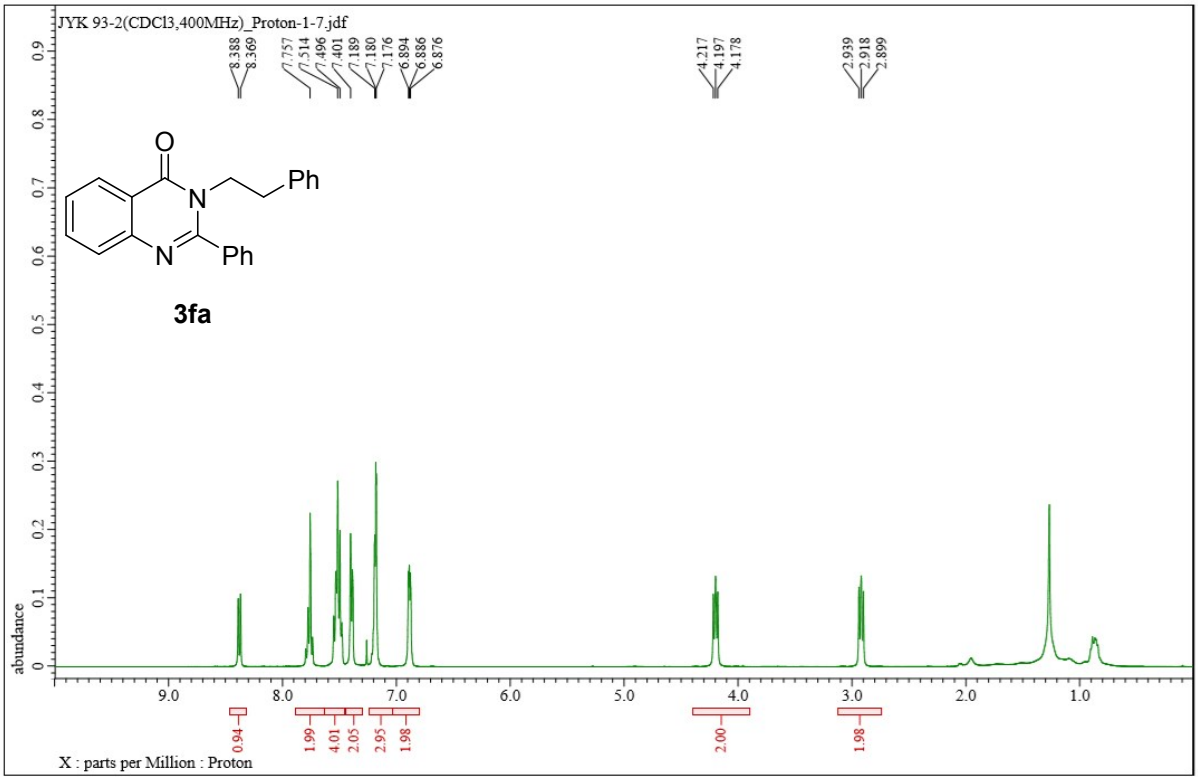
[1]H-NMR of compound **3ea** (400 MHz)



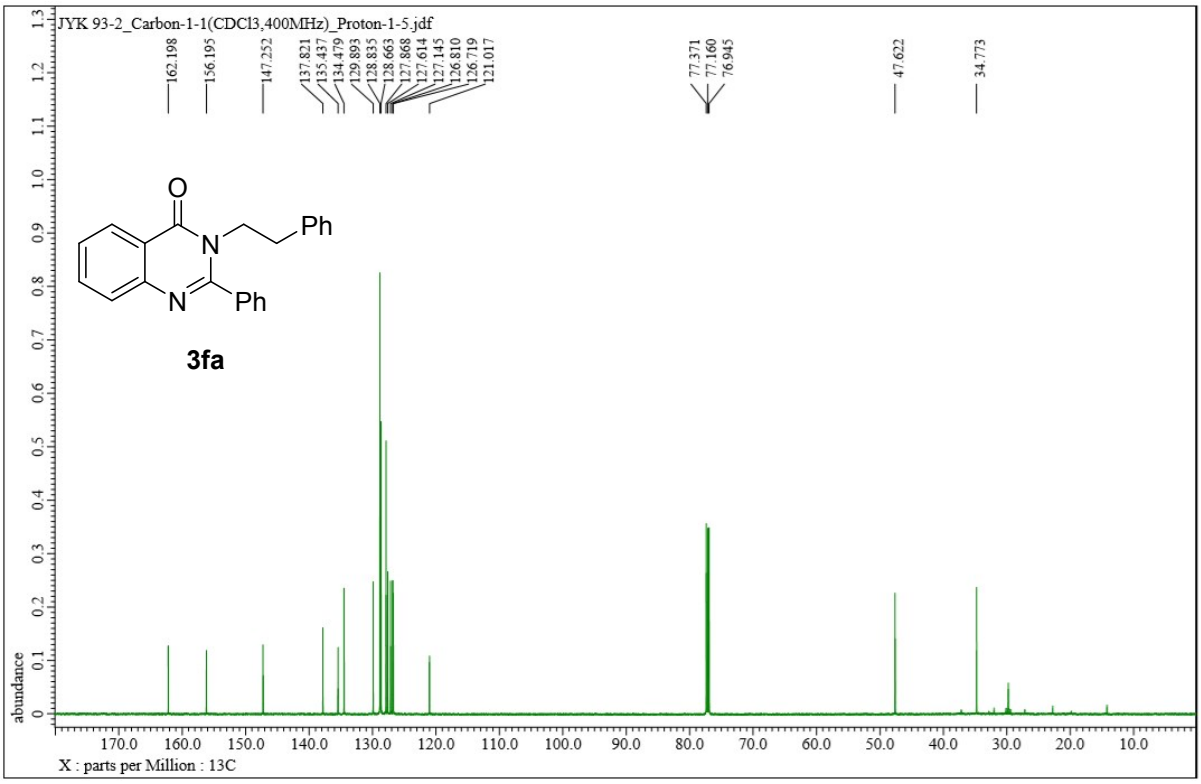
[13]C-NMR of compound **3ea** (100 MHz)



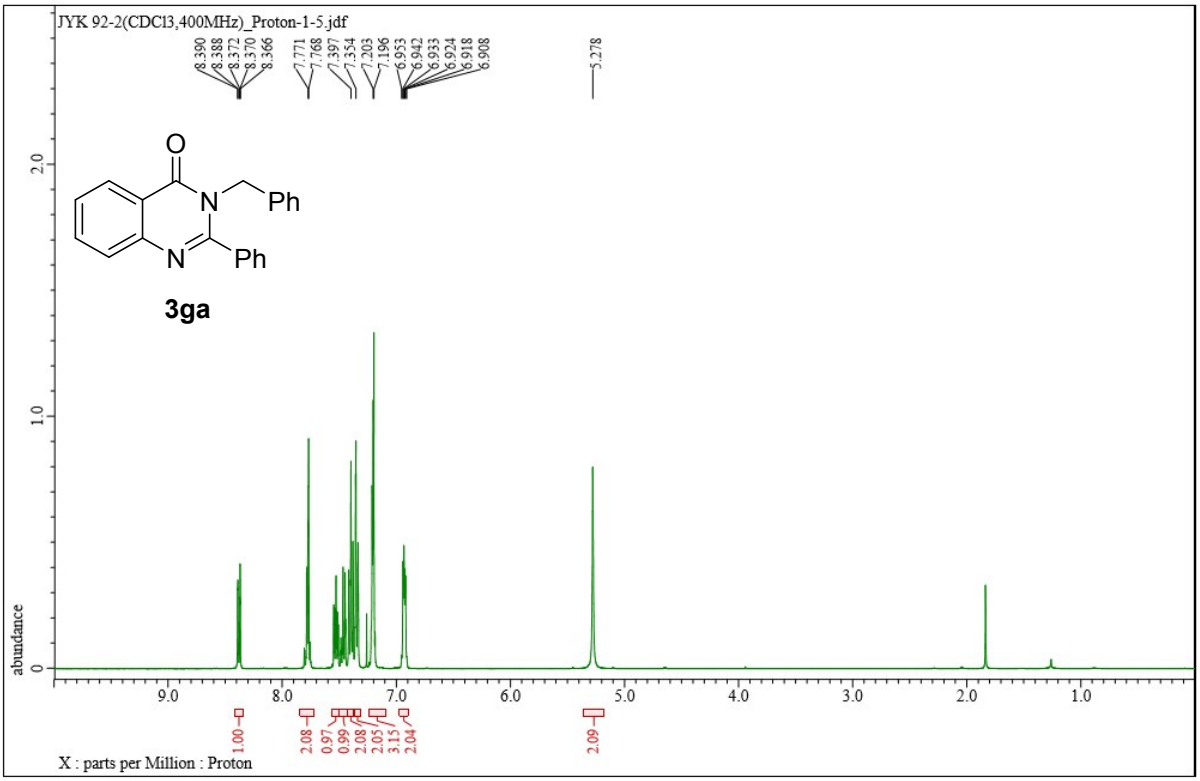
^{1}H-NMR of compound **3fa** (400 MHz)



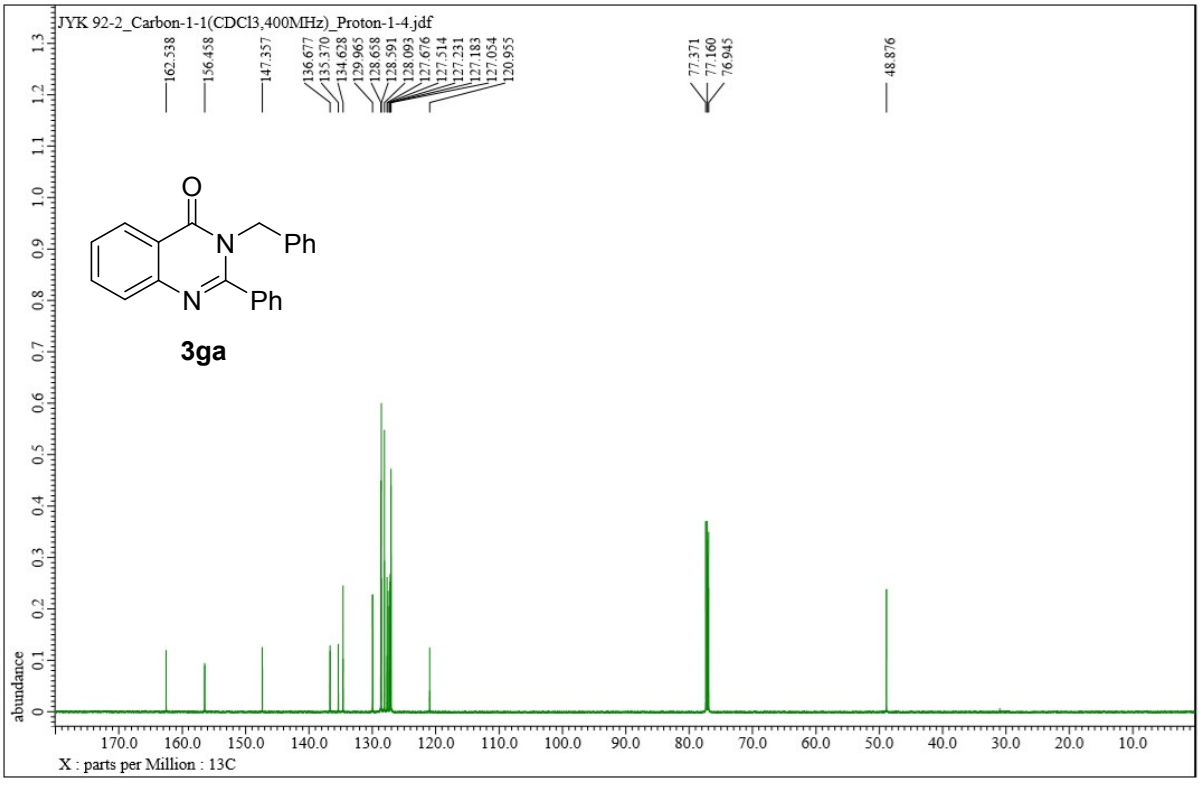
^{13}C-NMR of compound **3fa** (100 MHz)



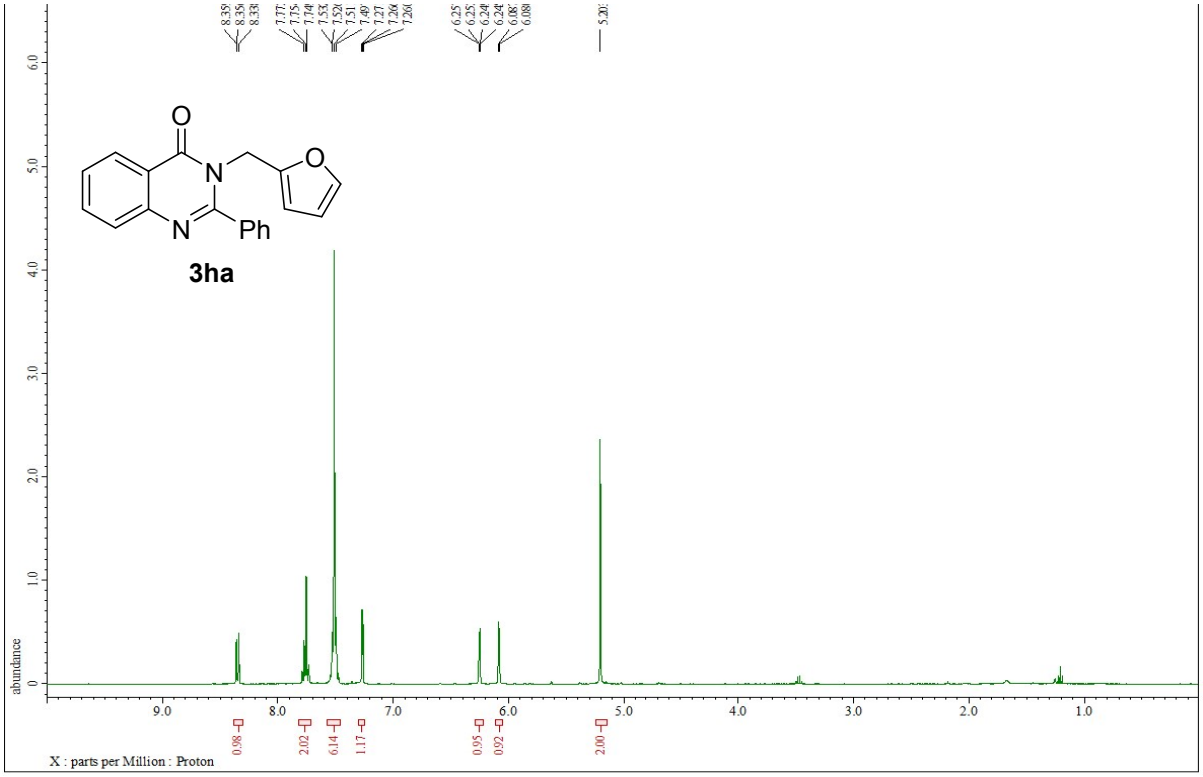
[1]H-NMR of compound **3ga** (400 MHz)



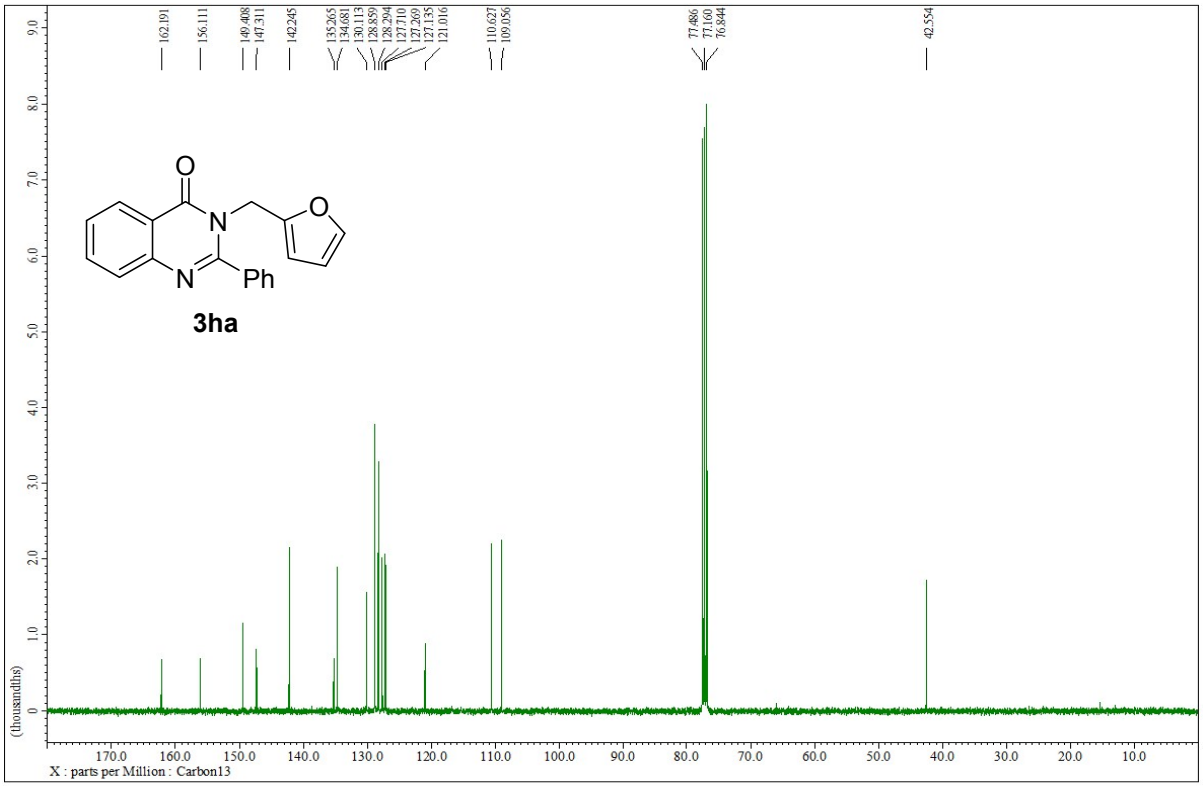
[13]C-NMR of compound **3ga** (100 MHz)



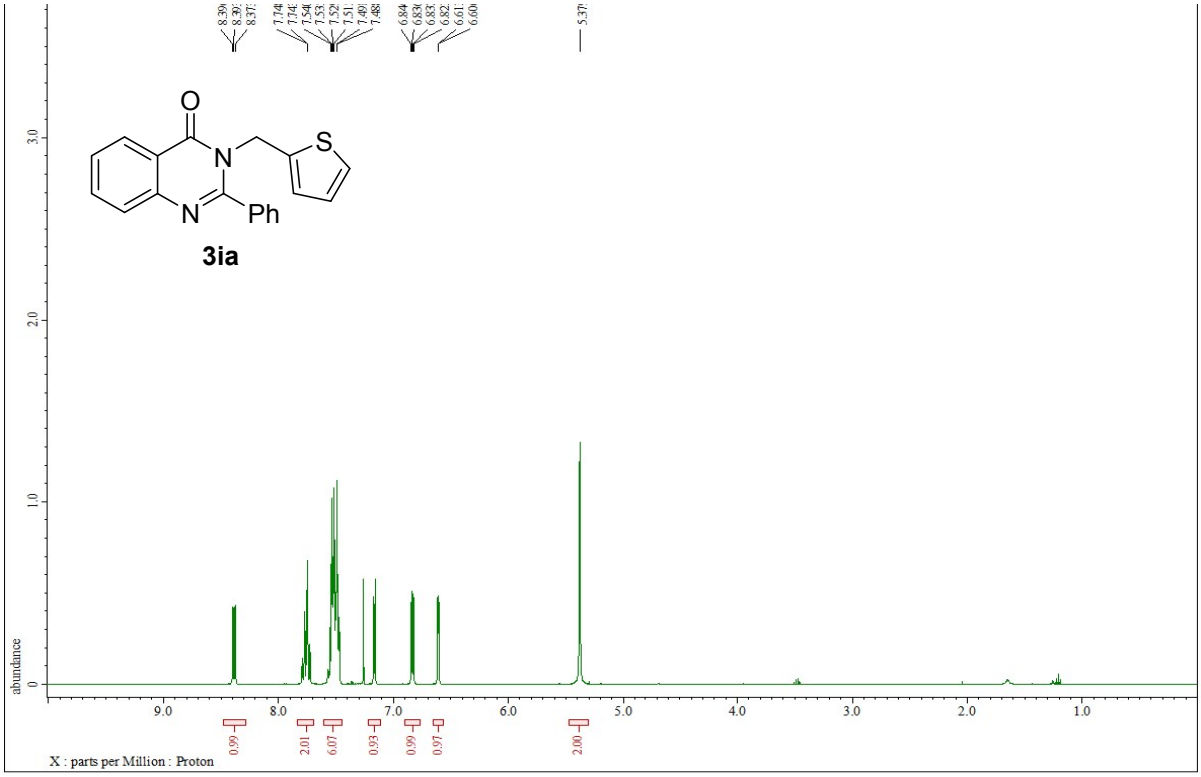
[1]H-NMR of compound **3ha** (400 MHz)



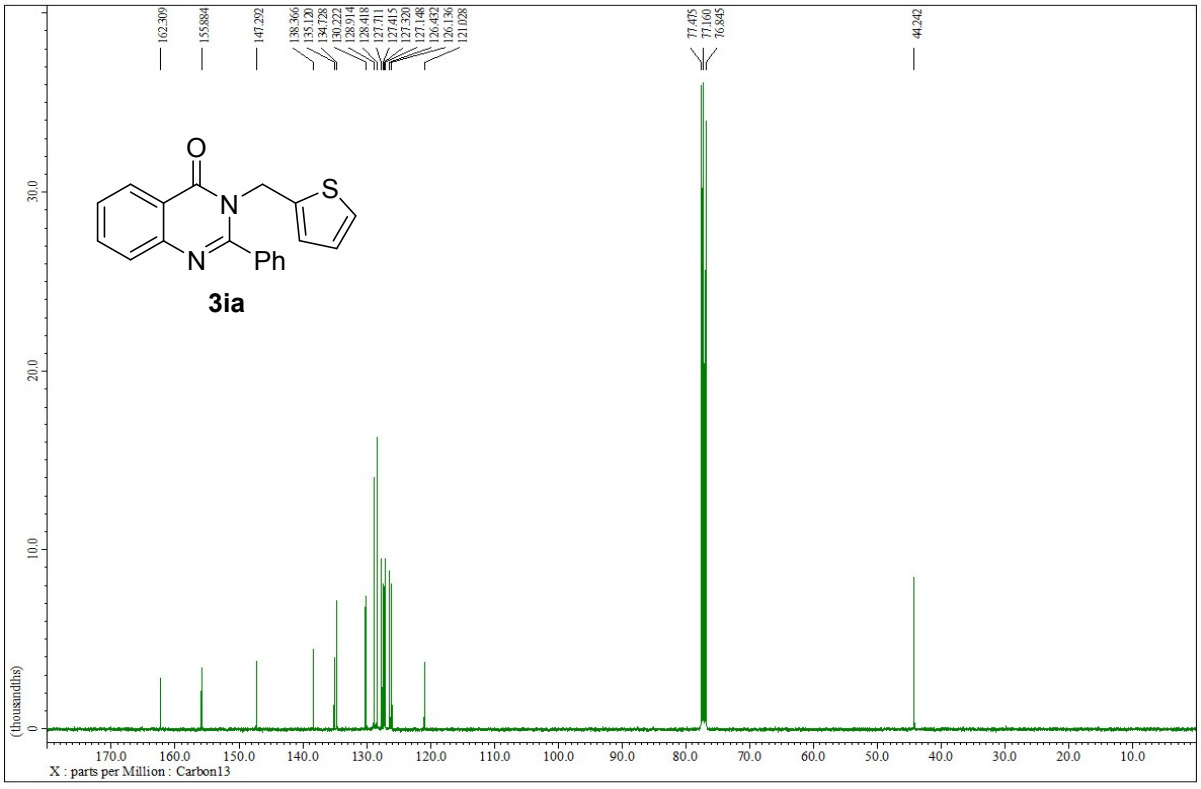
[13]C-NMR of compound **3ha** (100 MHz)



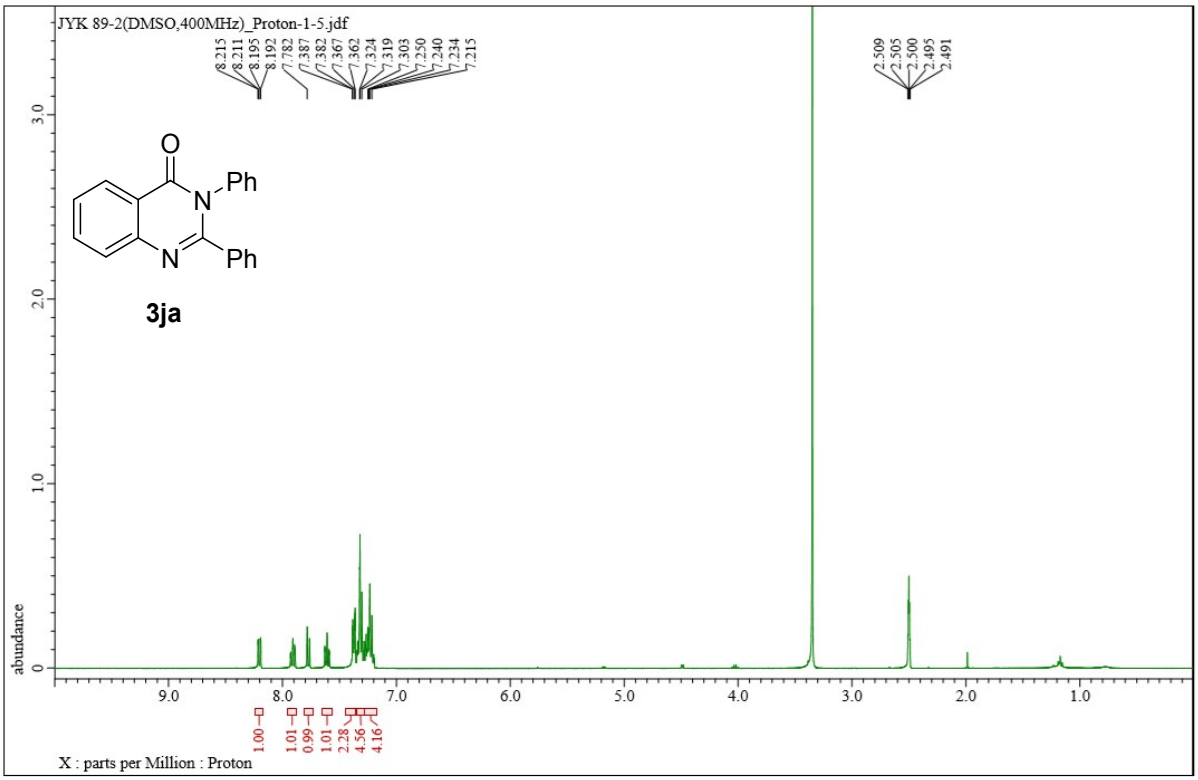
^{1}H-NMR of compound **3ia** (400 MHz)



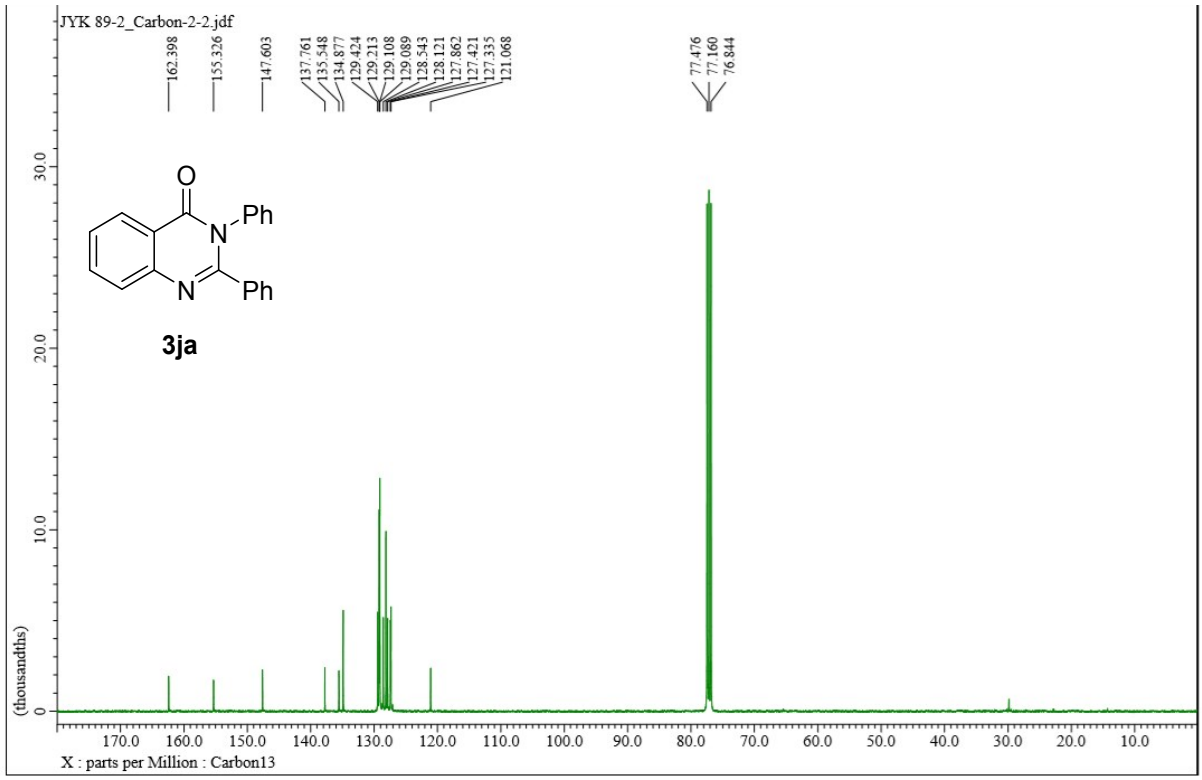
^{13}C-NMR of compound **3ia** (100 MHz)



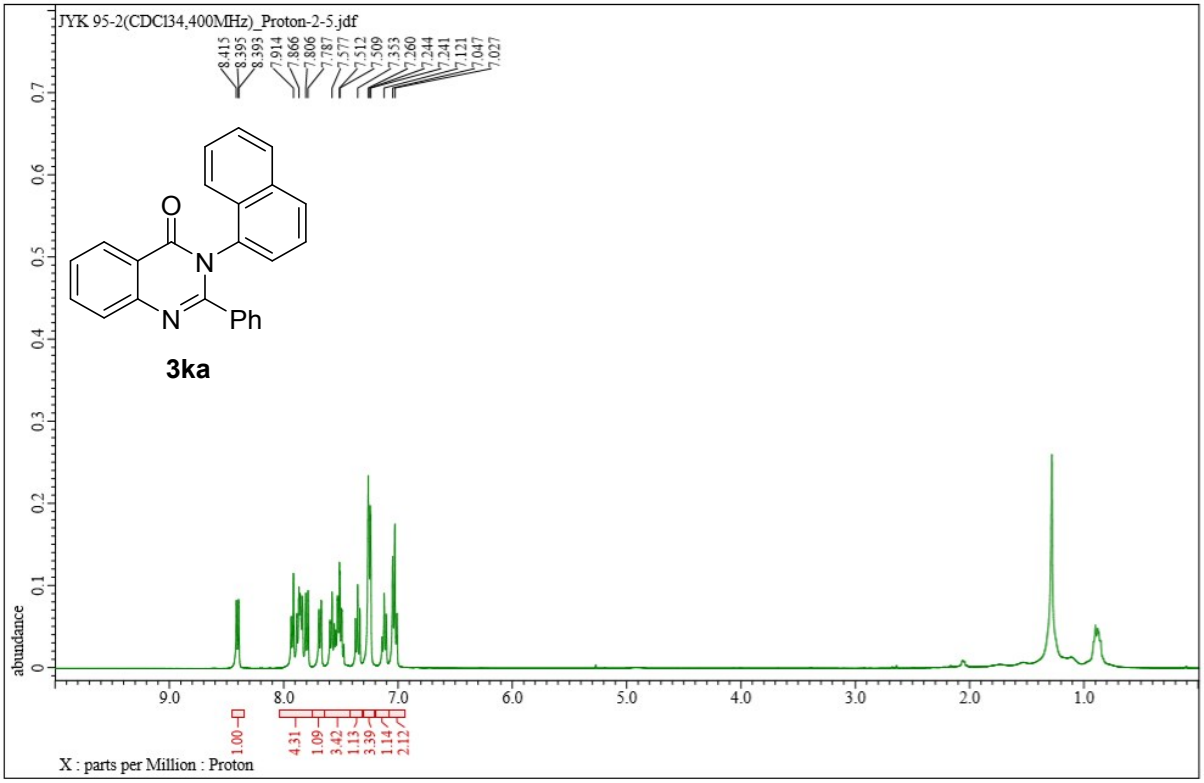
[1]H-NMR of compound **3ja** (400 MHz)



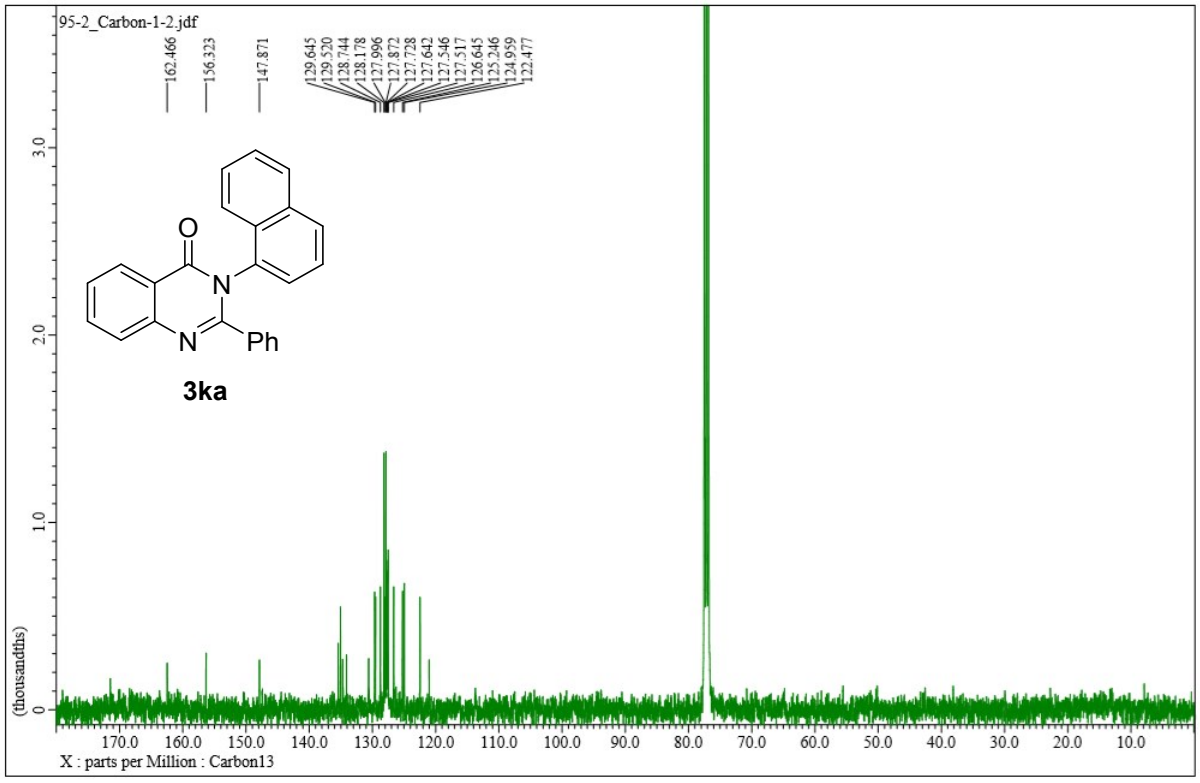
[13]C-NMR of compound **3ja** (100 MHz)



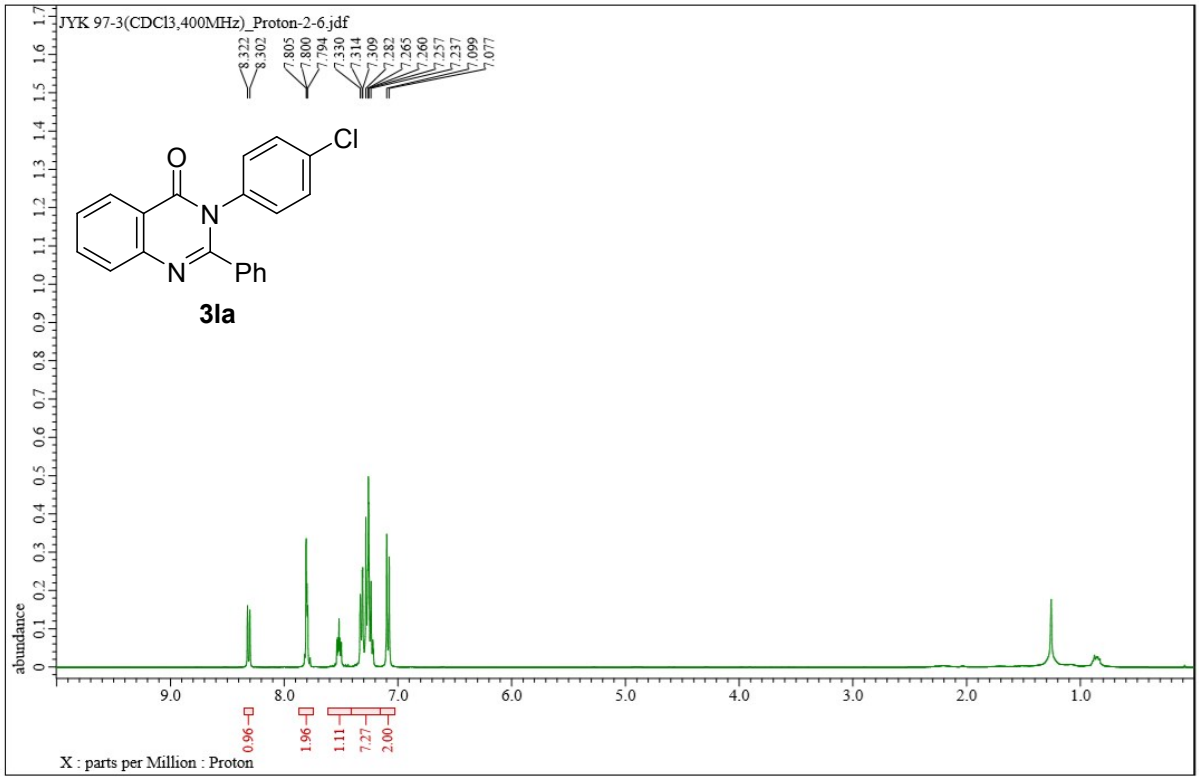
[1]H-NMR of compound **3ka** (400 MHz)



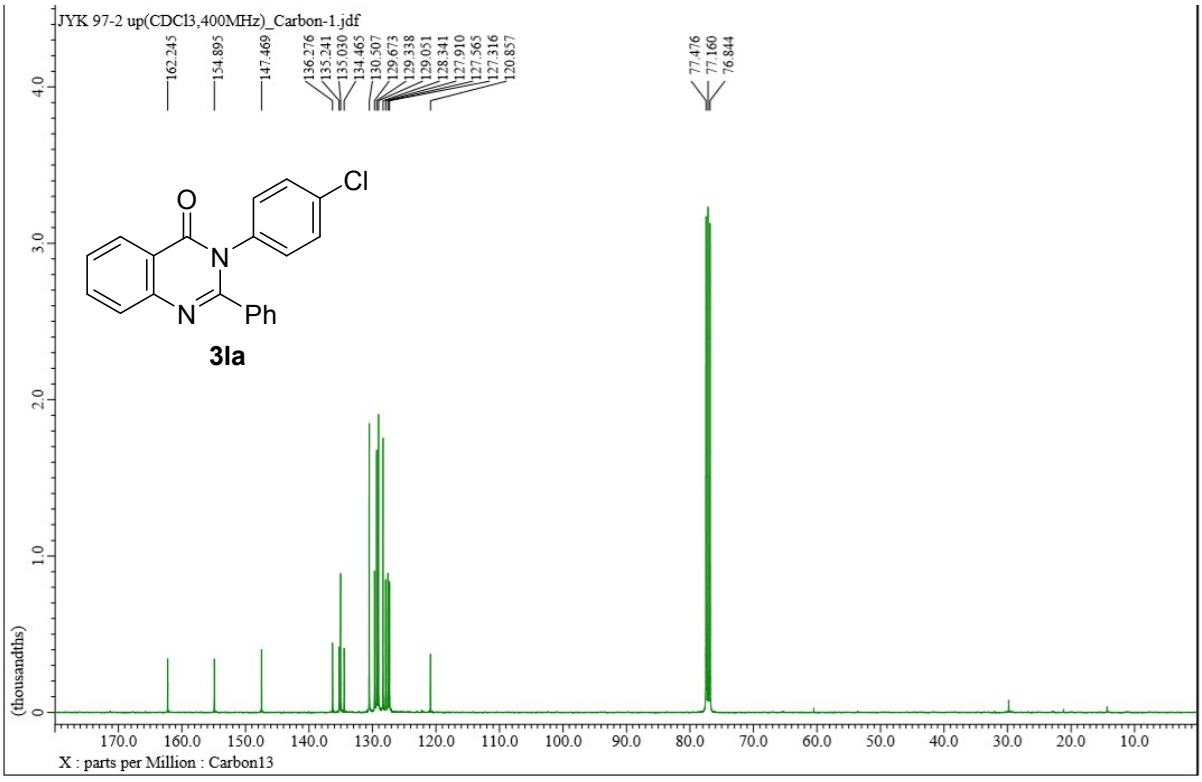
[13]C-NMR of compound **3ka** (100 MHz)



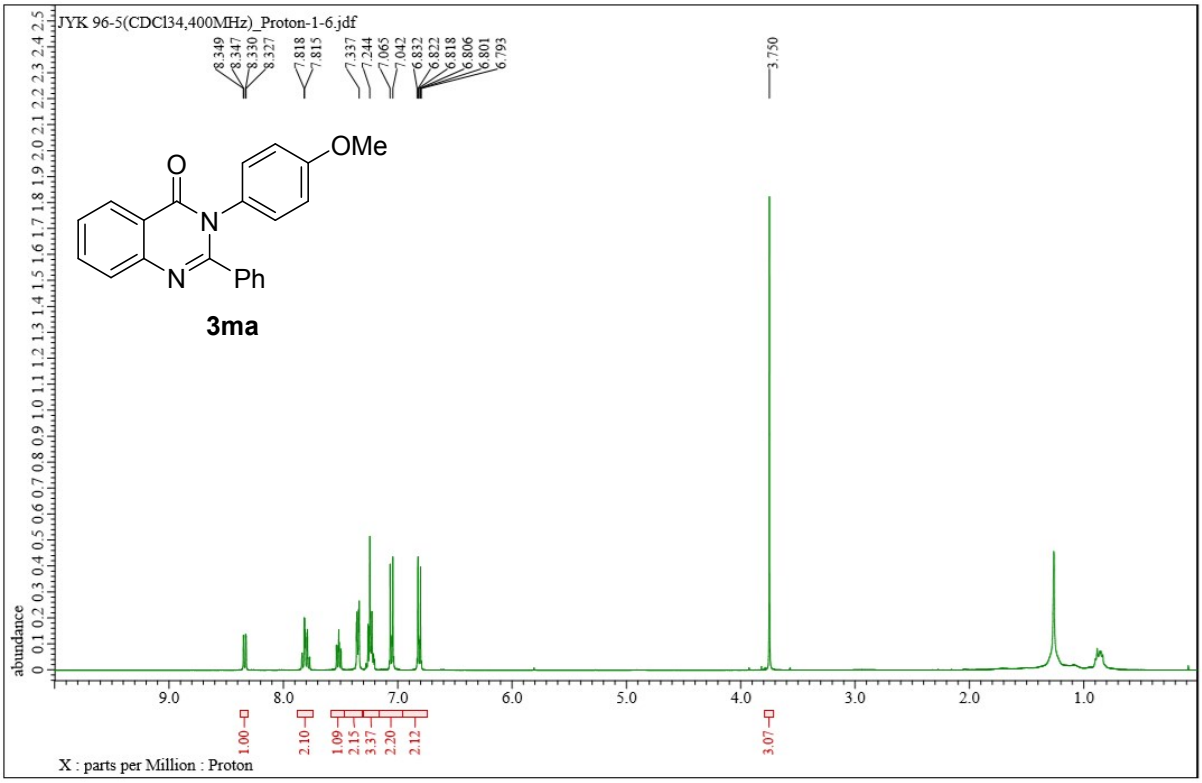
[1]H-NMR of compound **3la** (400 MHz)



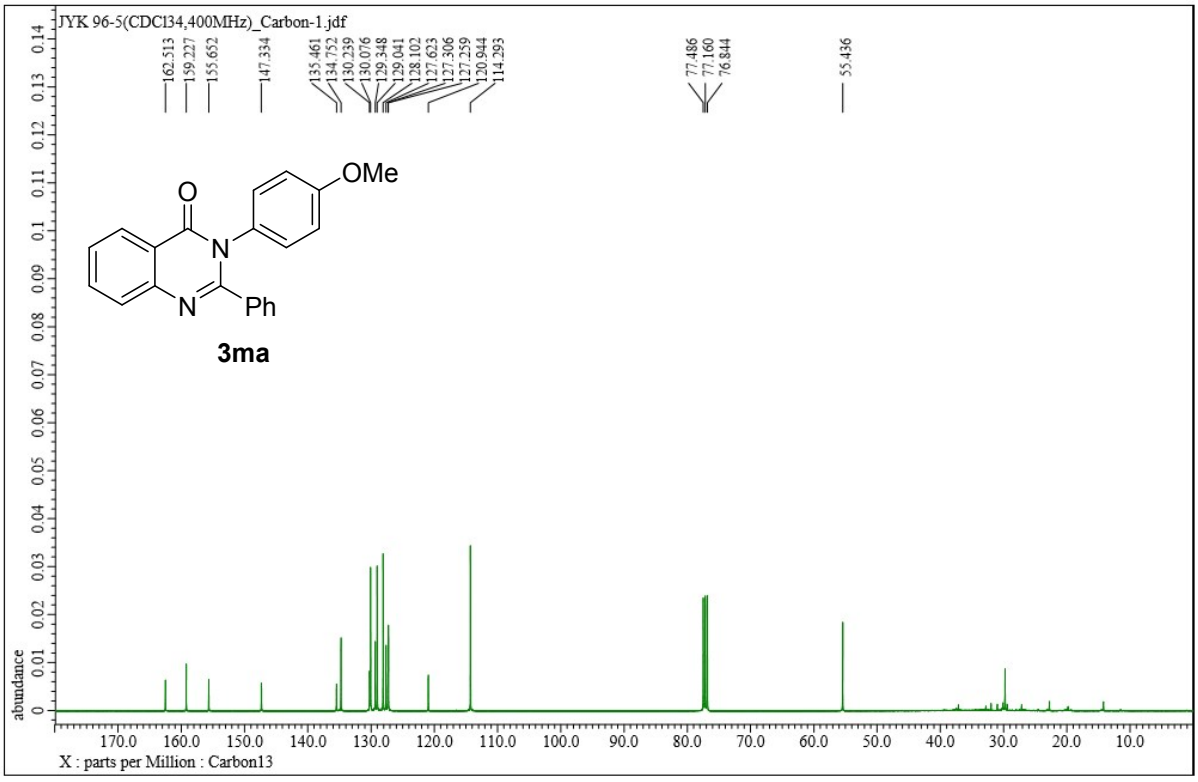
[13]C-NMR of compound **3la** (100 MHz)



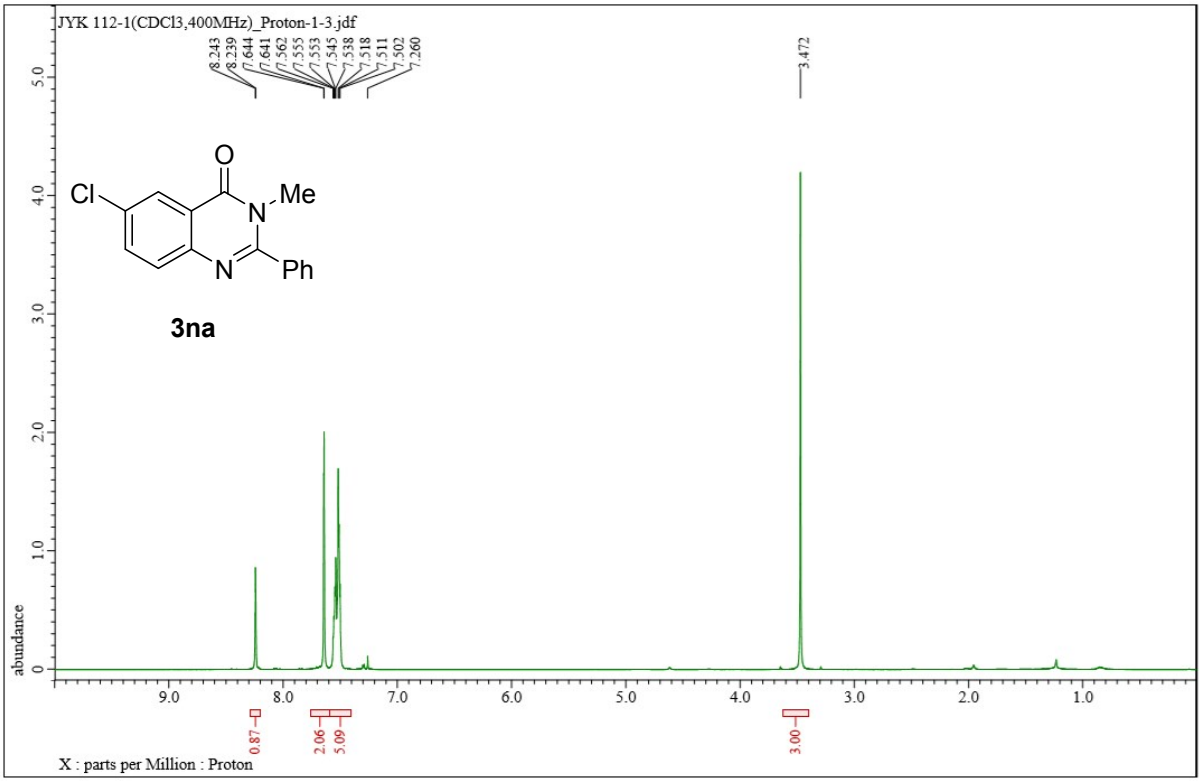
[1]H-NMR of compound **3ma** (400 MHz)



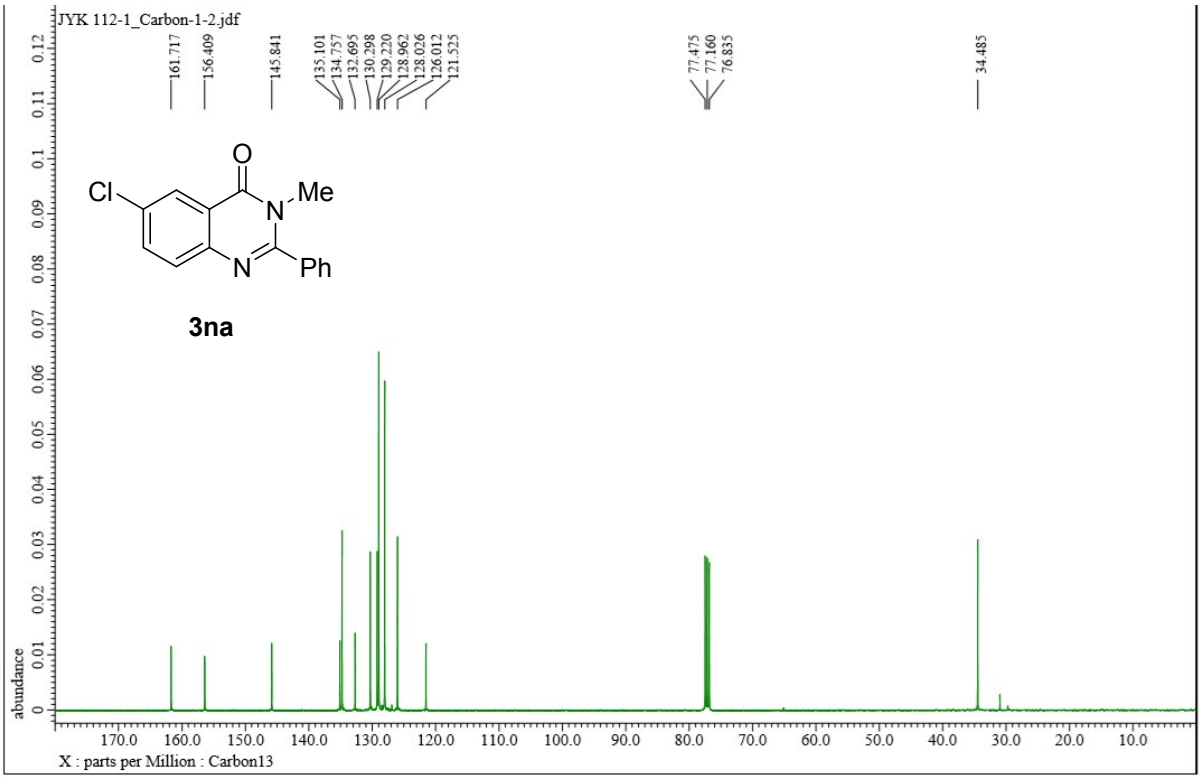
[13]C-NMR of compound **3ma** (100 MHz)



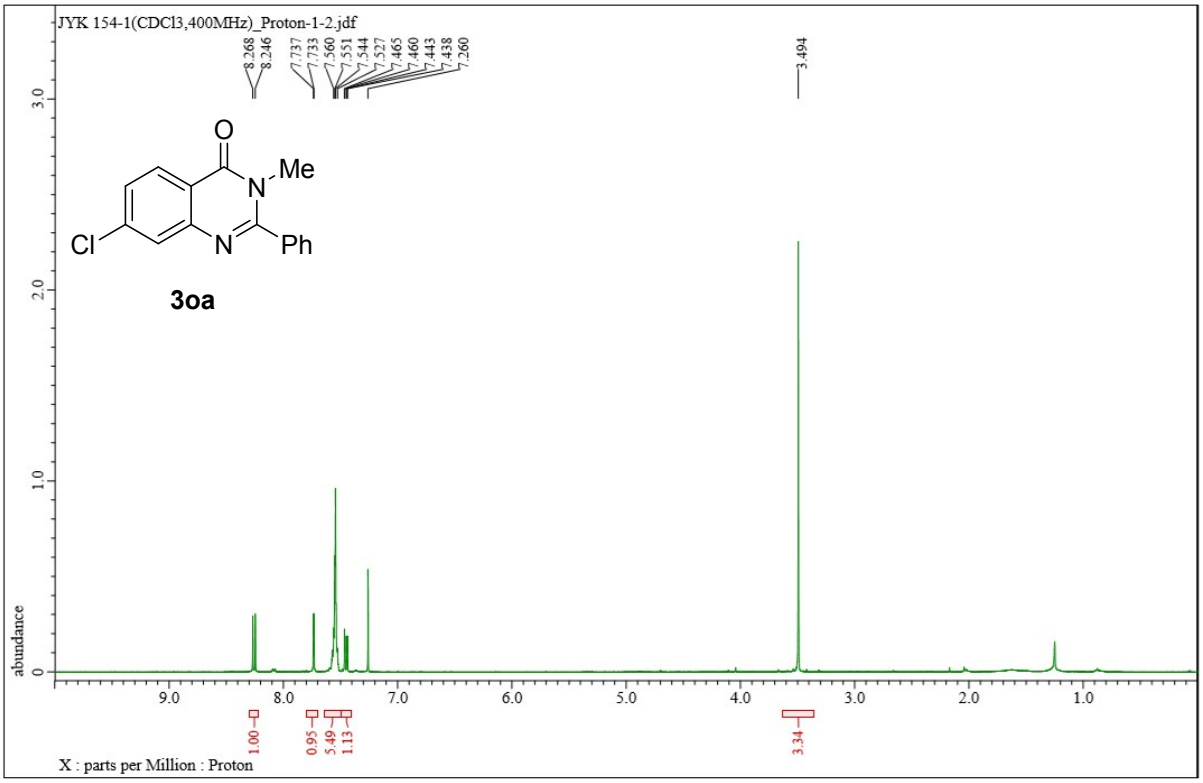
[1]H-NMR of compound **3na** (400 MHz)



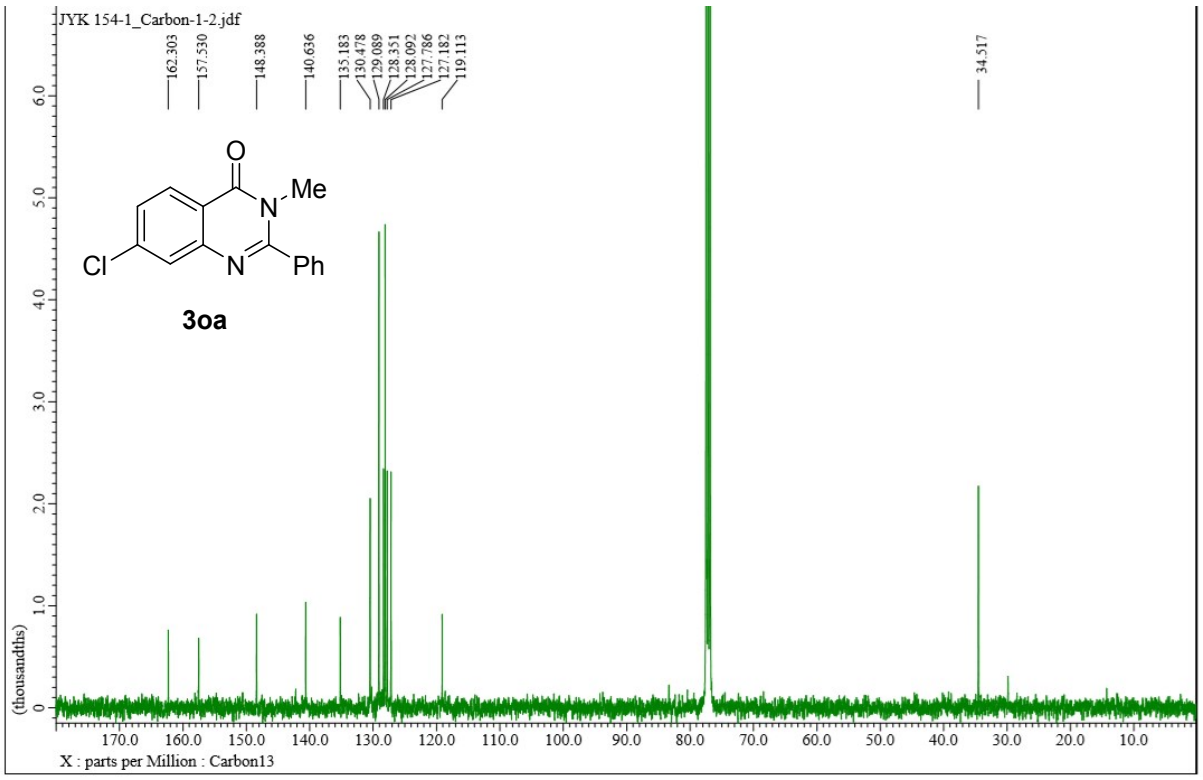
[13]C-NMR of compound **3na** (100 MHz)



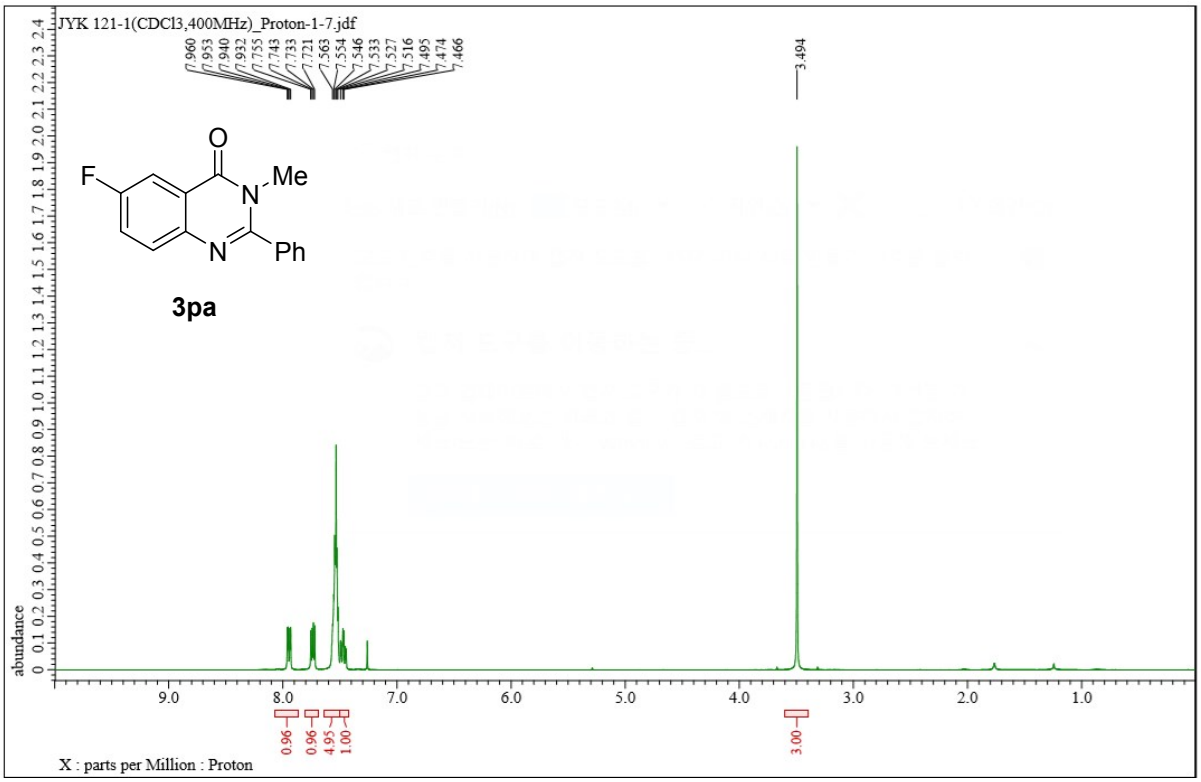
[1]H-NMR of compound **3oa** (400 MHz)



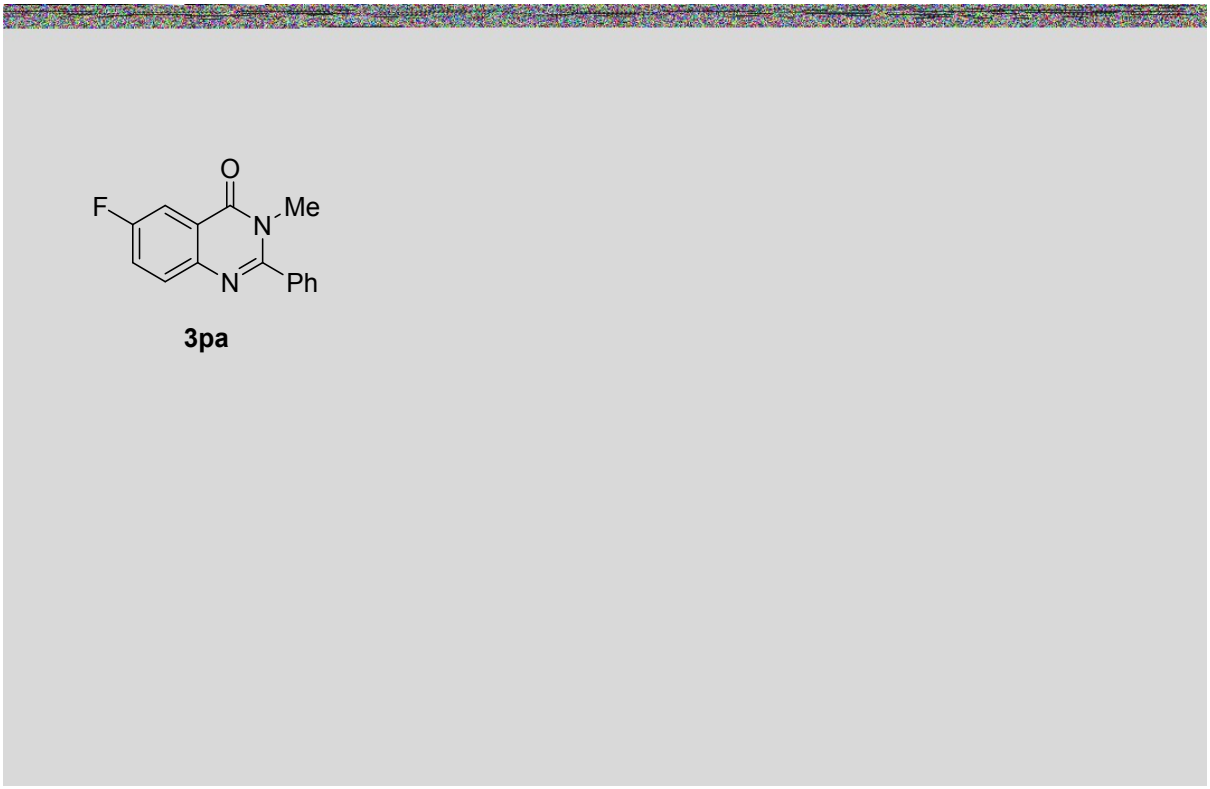
[13]C-NMR of compound **3oa** (100 MHz)



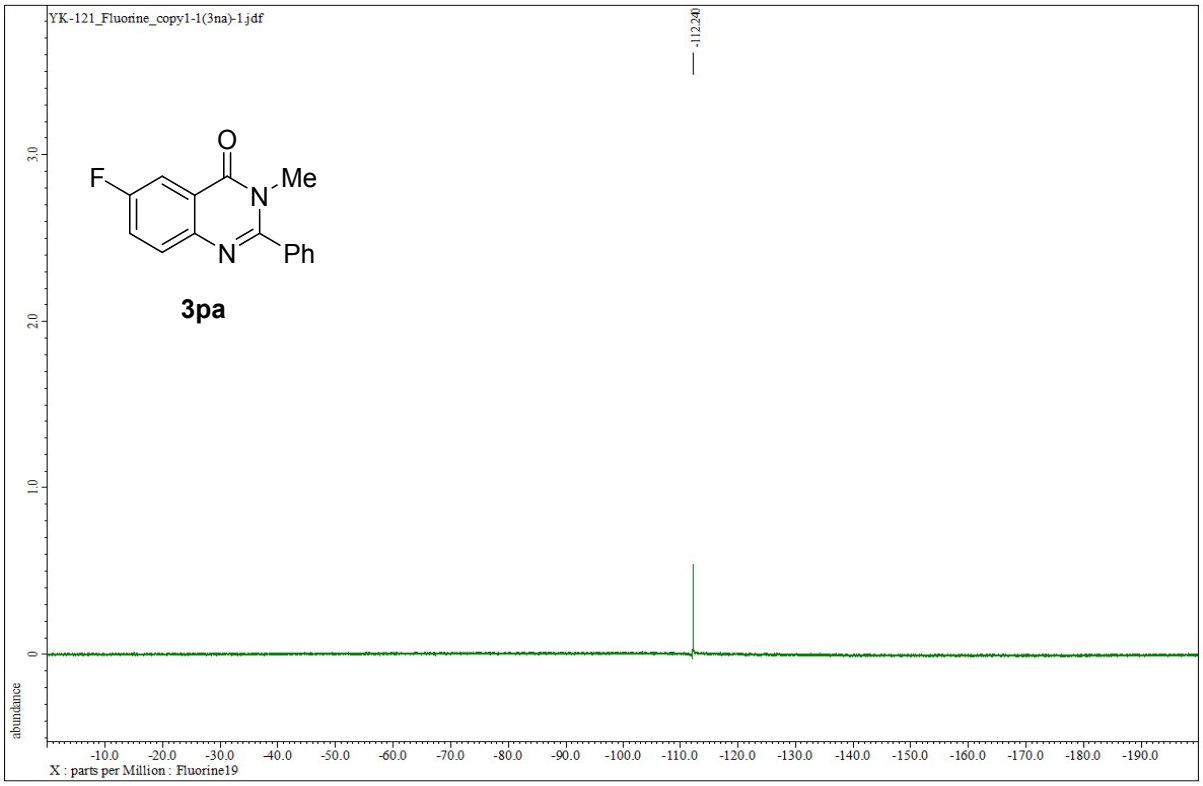
[1]H-NMR of compound **3pa** (400 MHz)



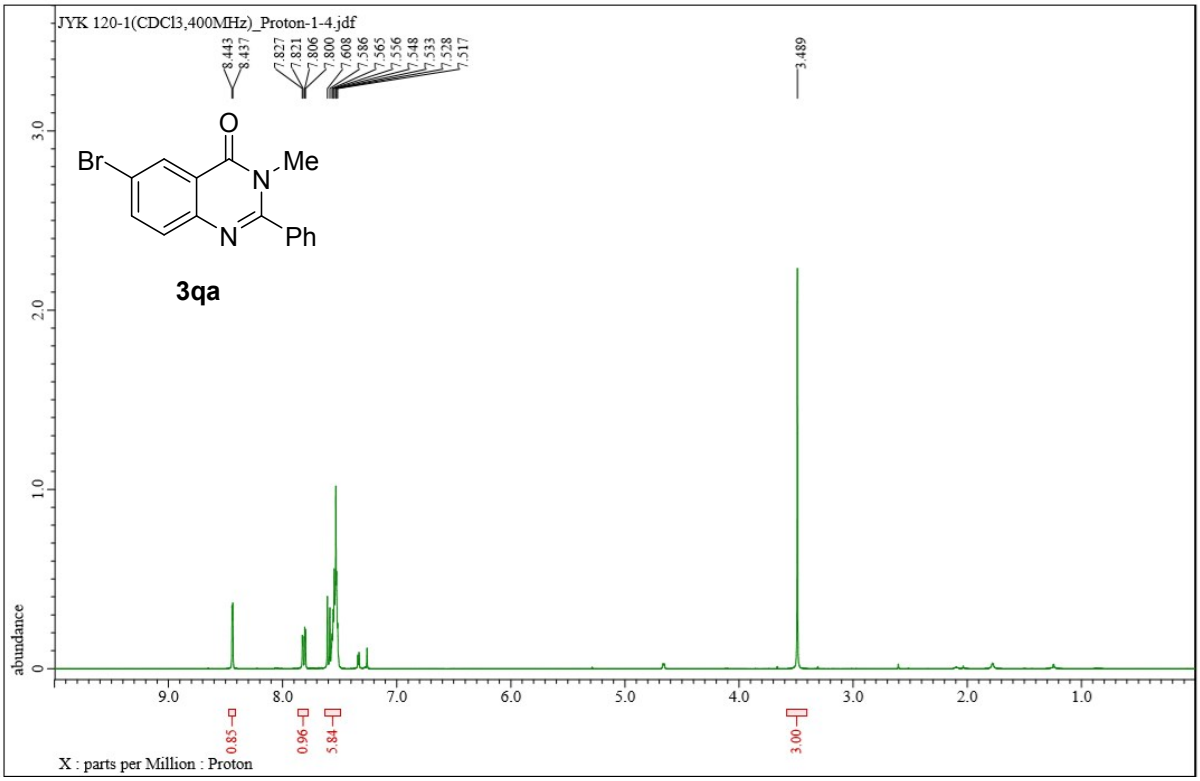
[13]C-NMR of compound **3pa** (100 MHz)



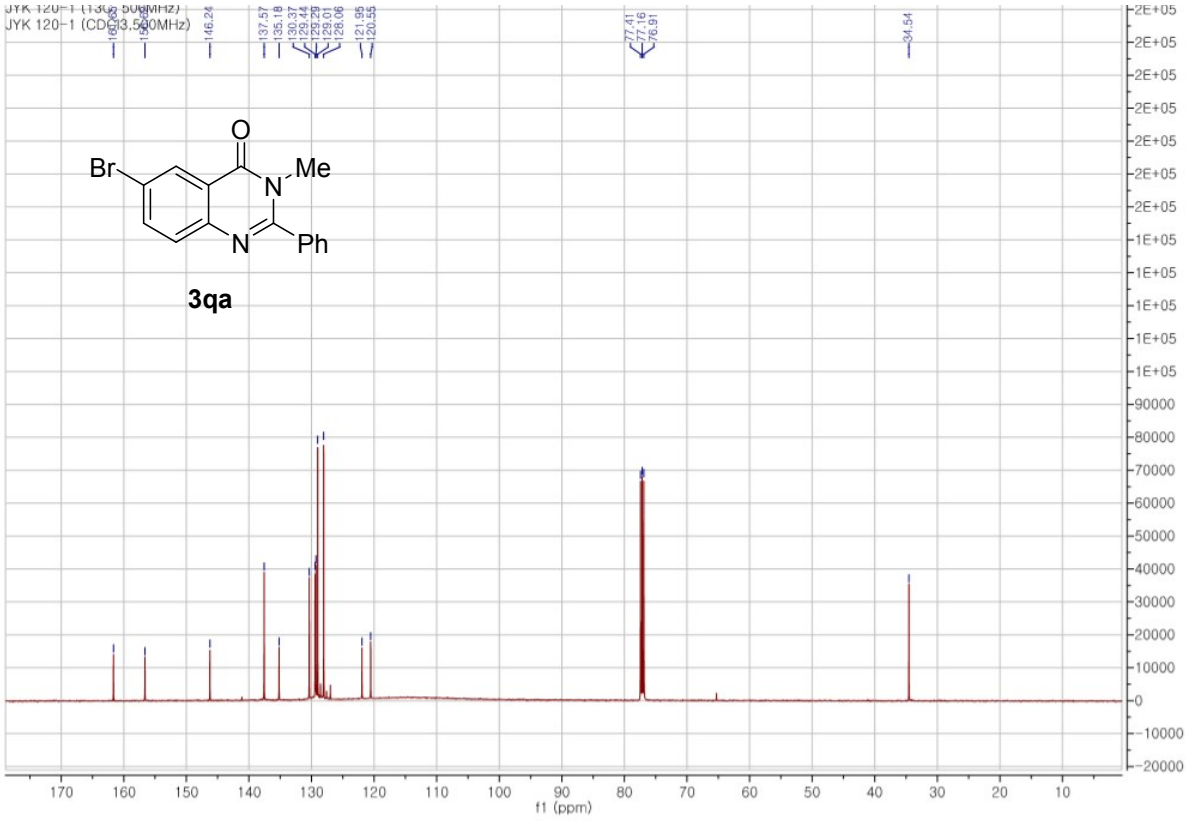
^{19}F-NMR of compound **3pa** (376 MHz)



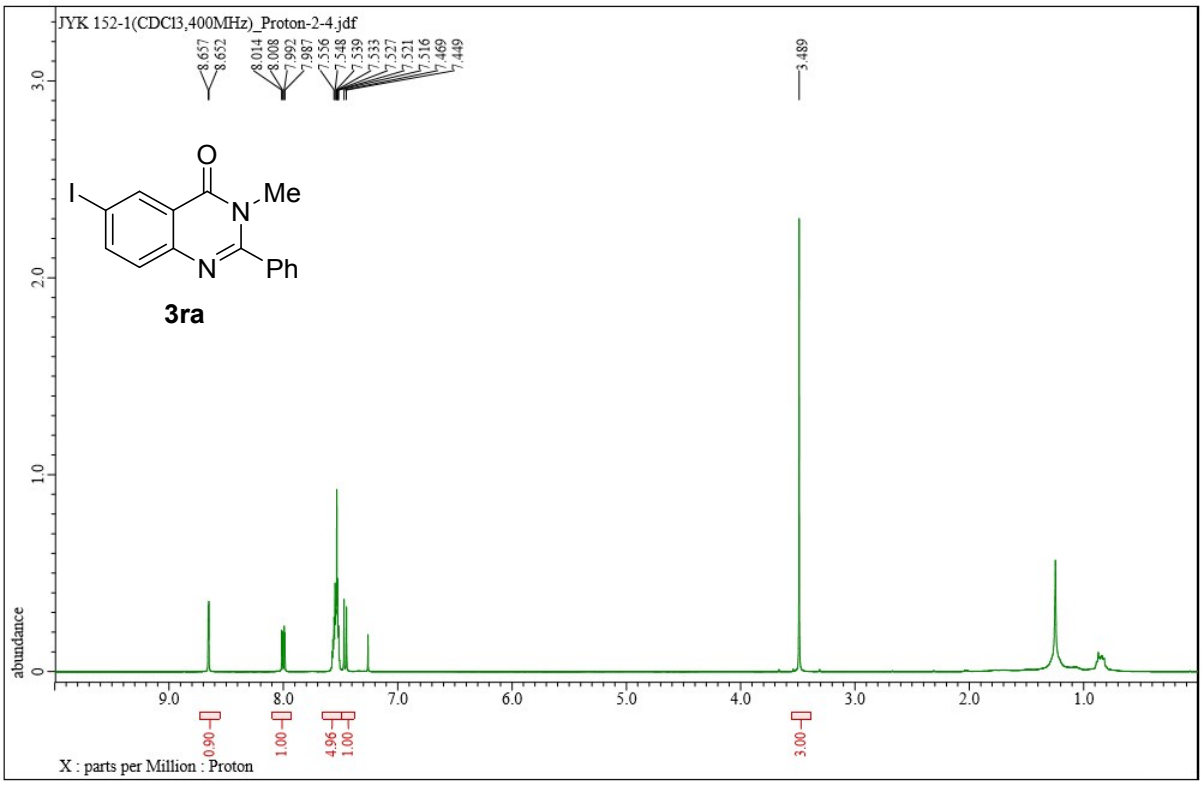
[1]H-NMR of compound **3qa** (400 MHz)



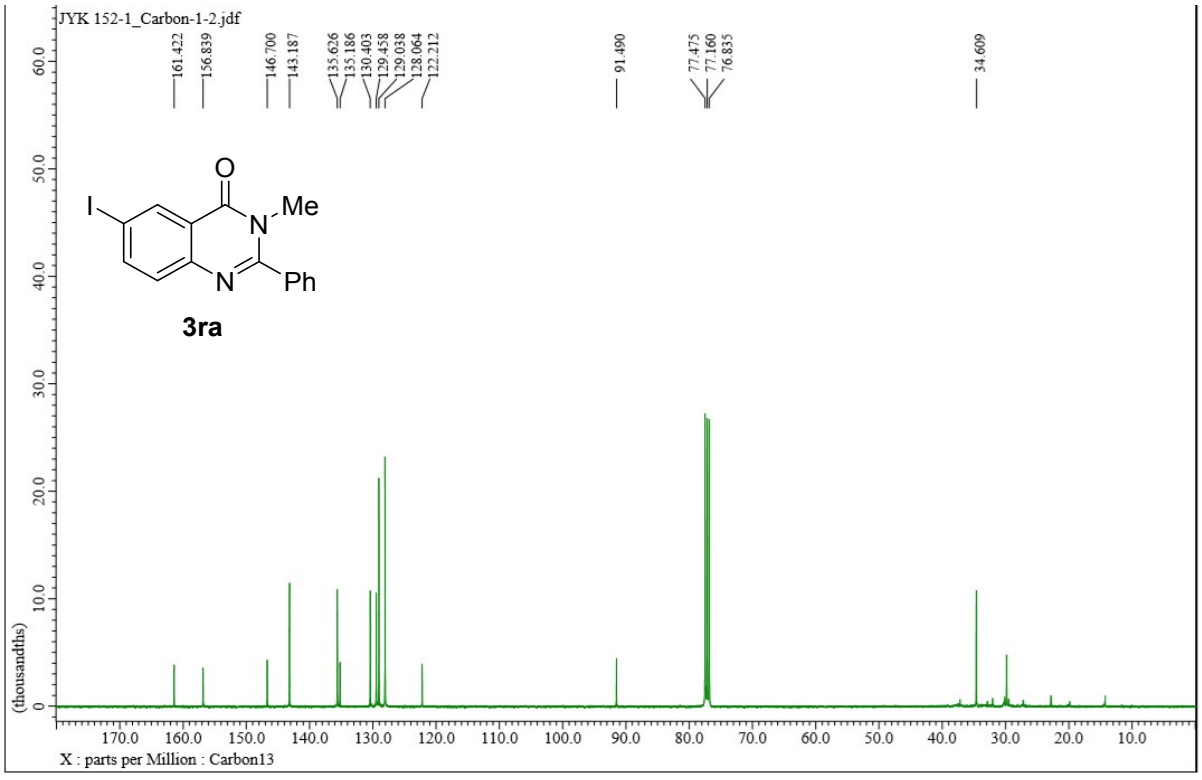
[13]C-NMR of compound **3qa** (125 MHz)



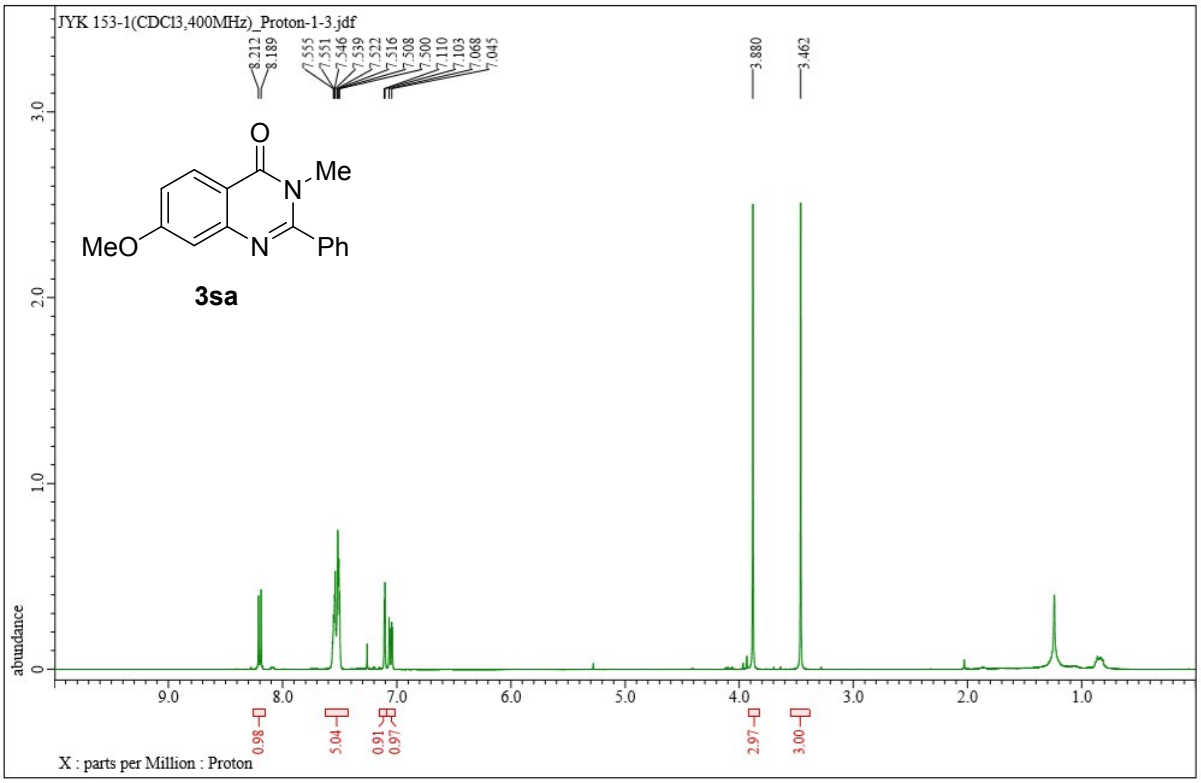
[1]H-NMR of compound **3ra** (400 MHz)



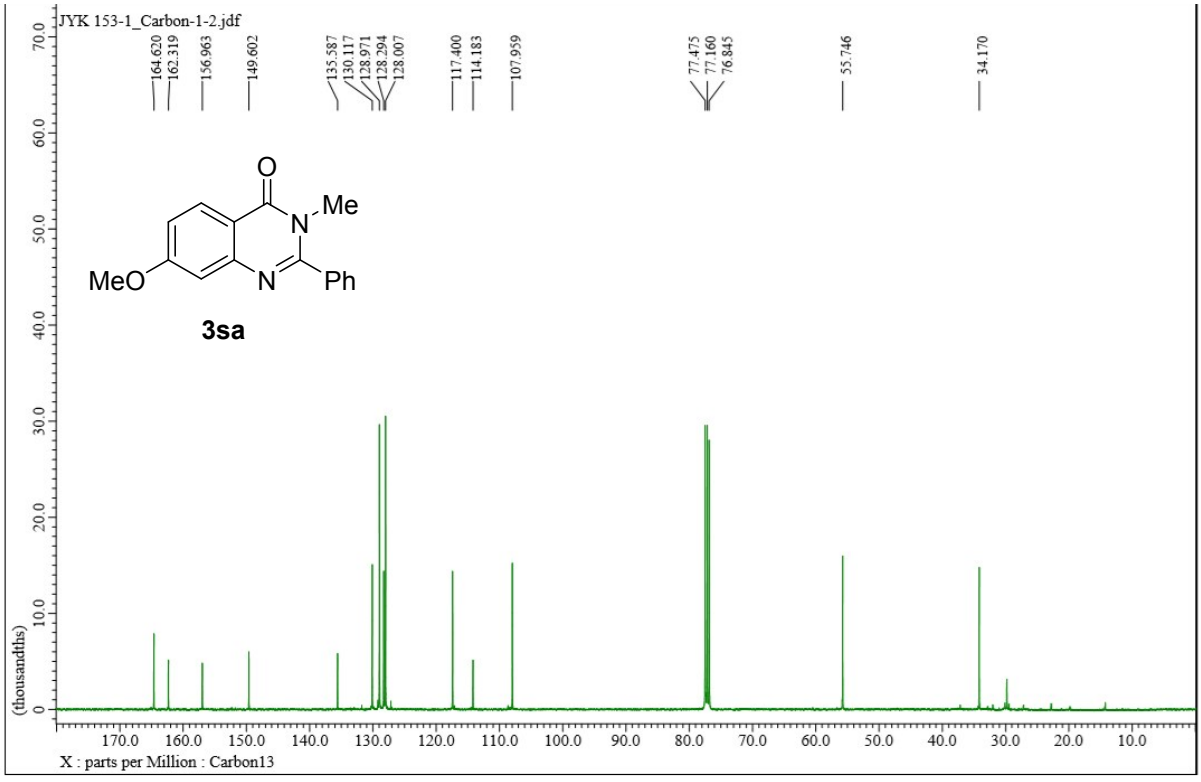
[13]C-NMR of compound **3ra** (100 MHz)



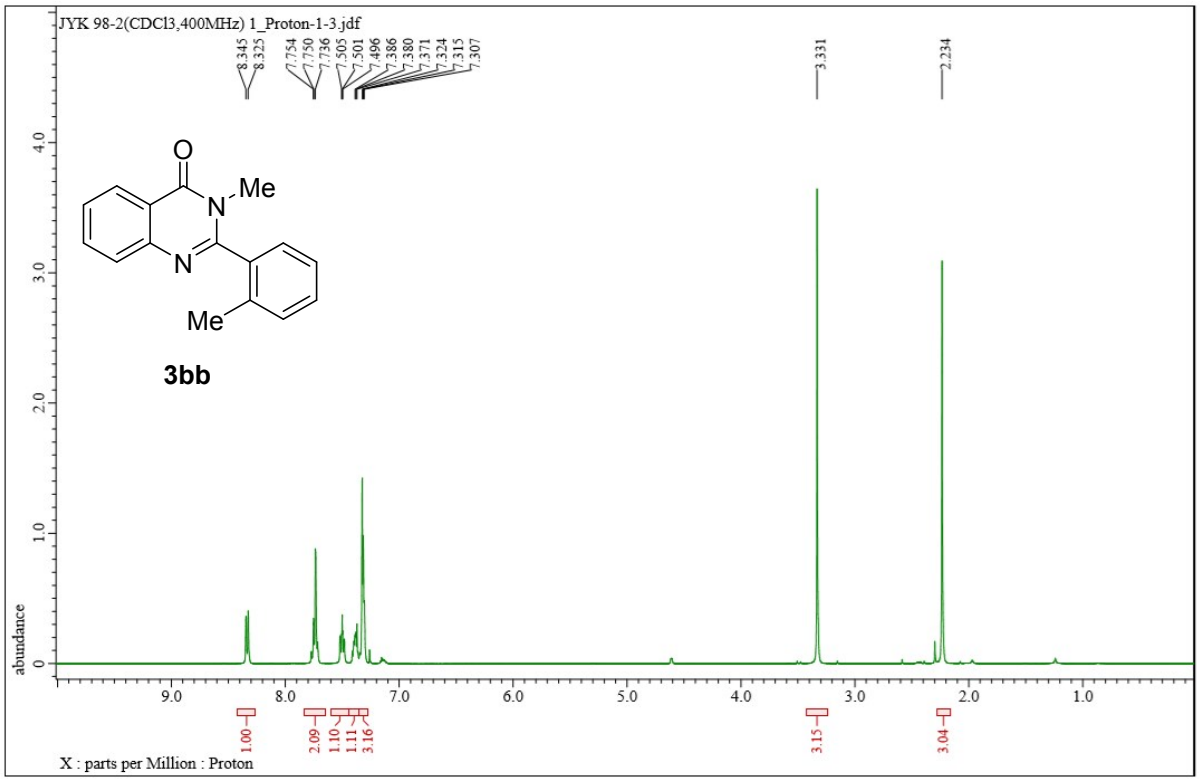
[1]H-NMR of compound **3sa** (400 MHz)



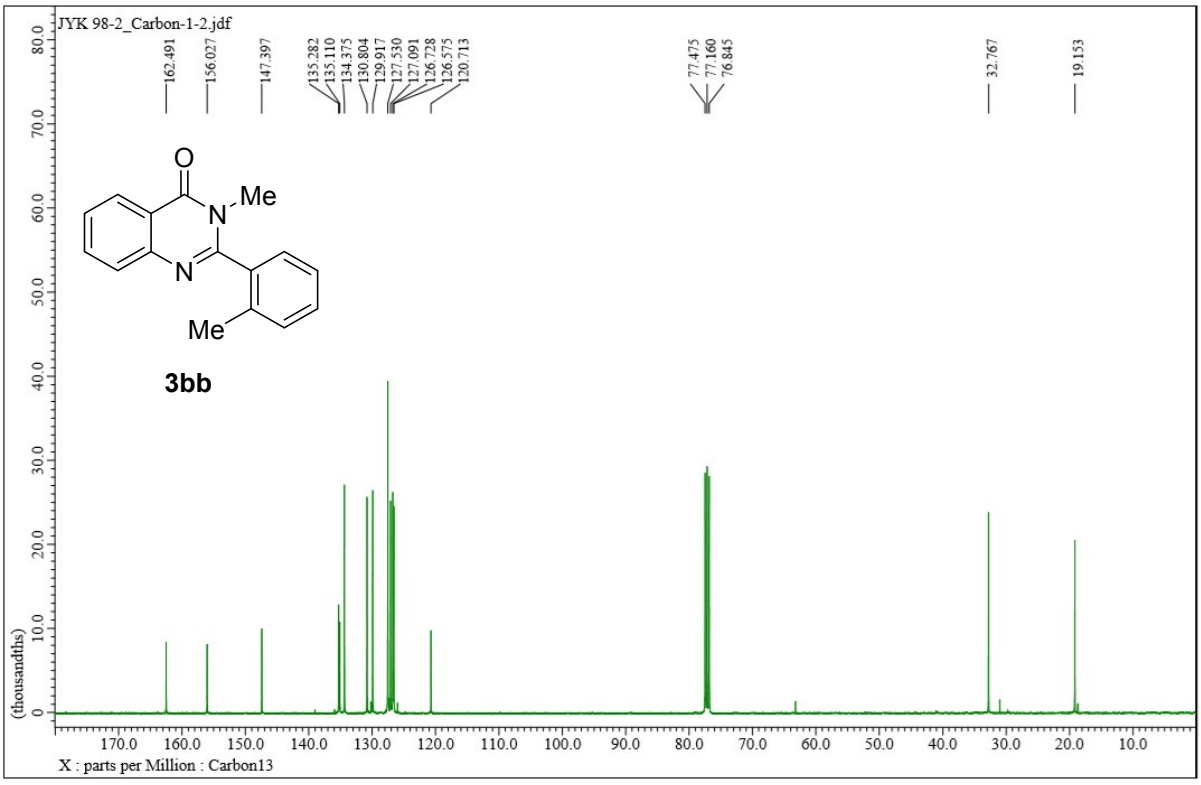
[13]C-NMR of compound **3sa** (100 MHz)



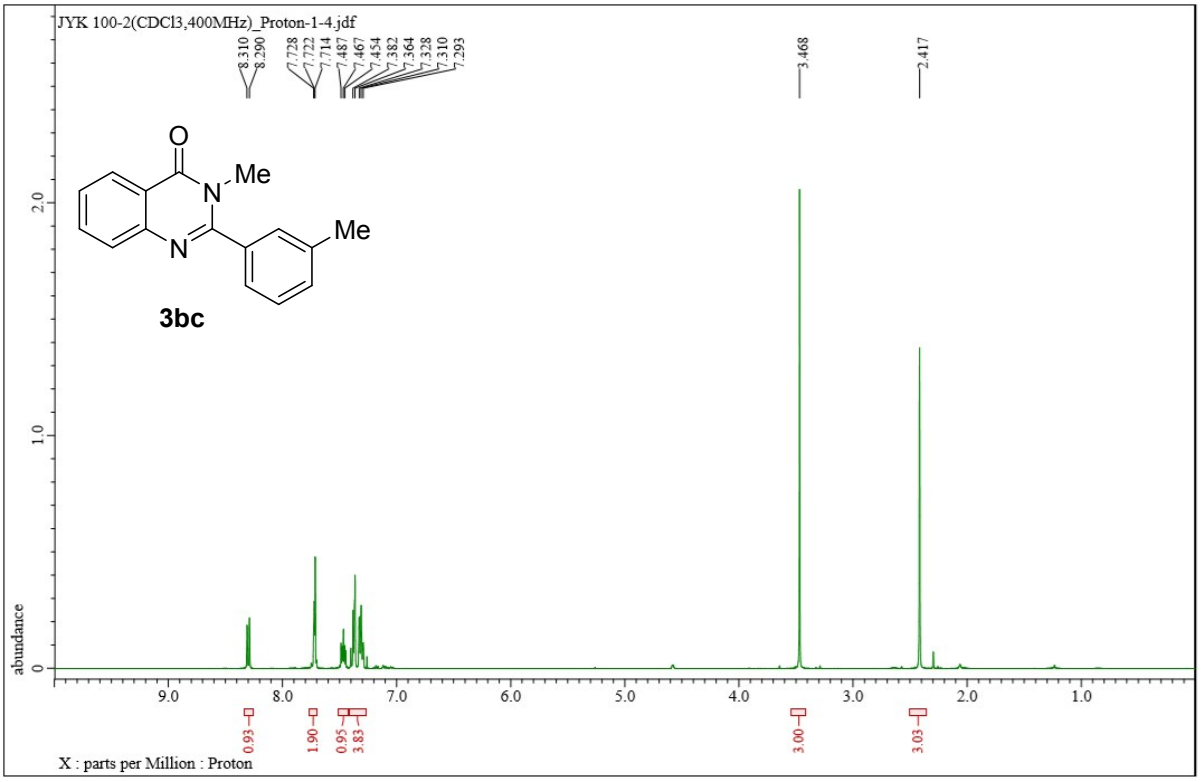
[1]H-NMR of compound **3bb** (400 MHz)



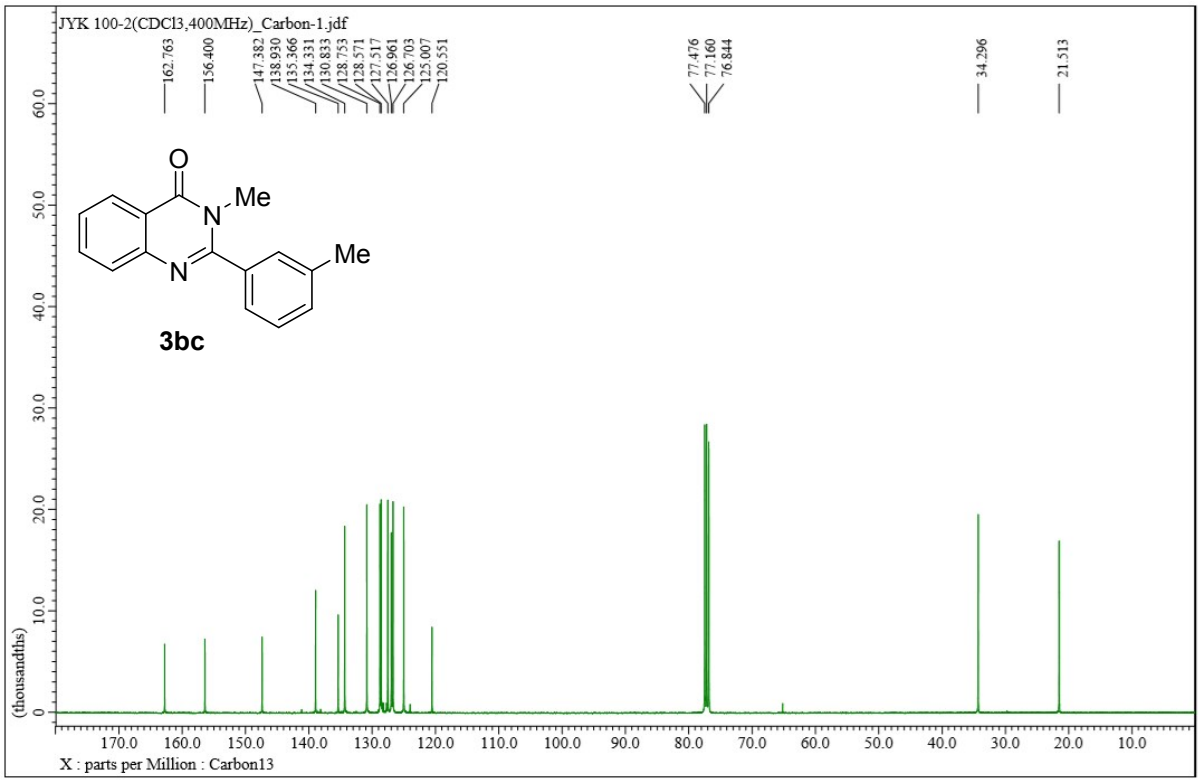
[13]C-NMR of compound **3bb** (100 MHz)



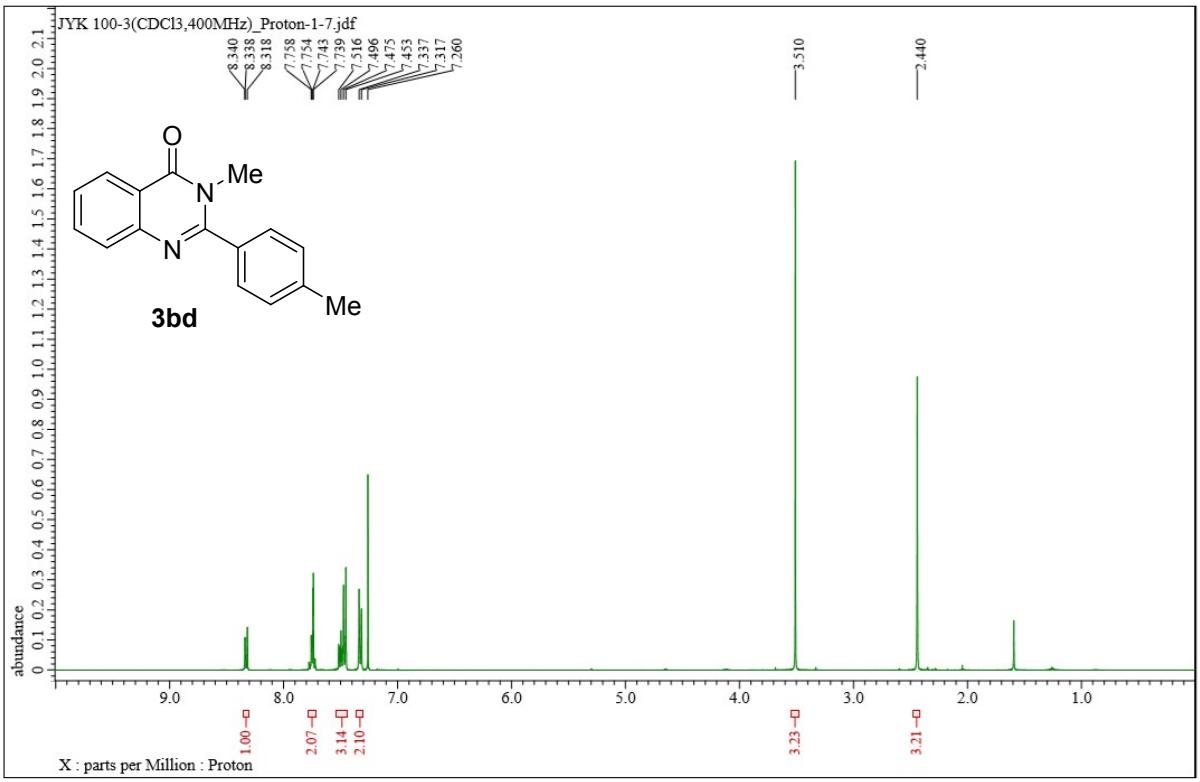
[1]H-NMR of compound **3bc** (400 MHz)



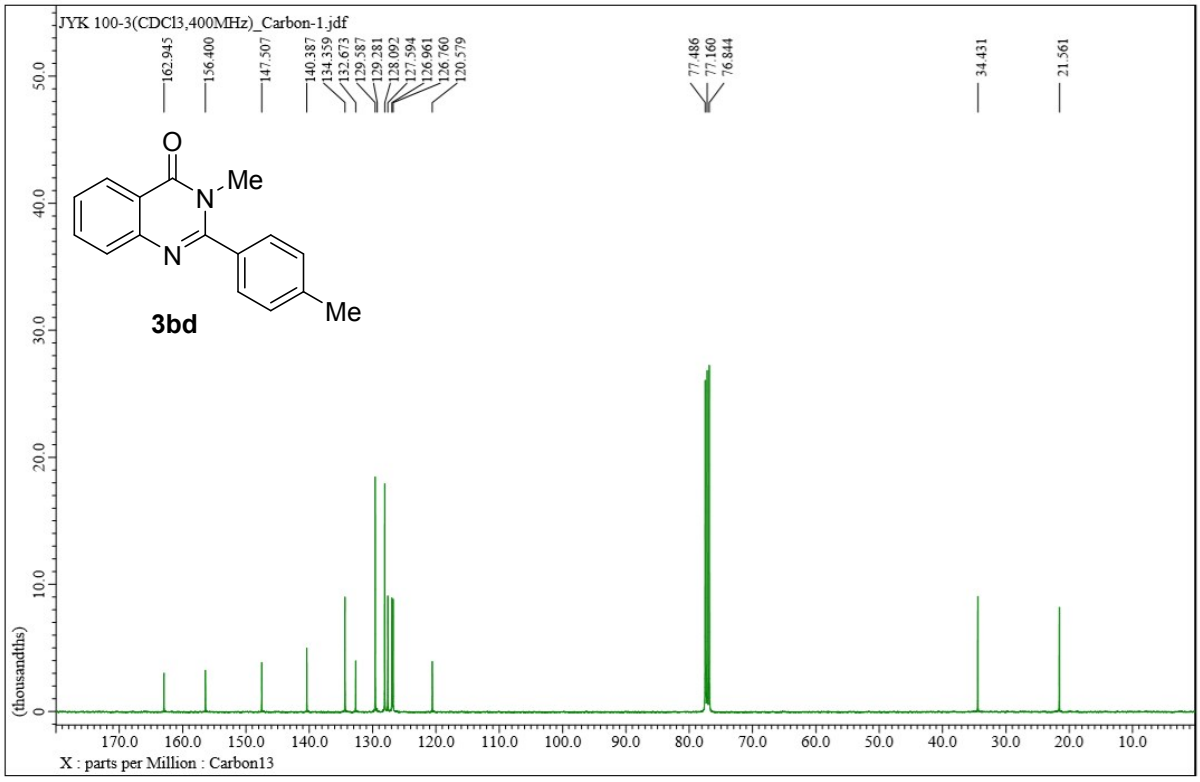
[13]C-NMR of compound **3bc** (100 MHz)



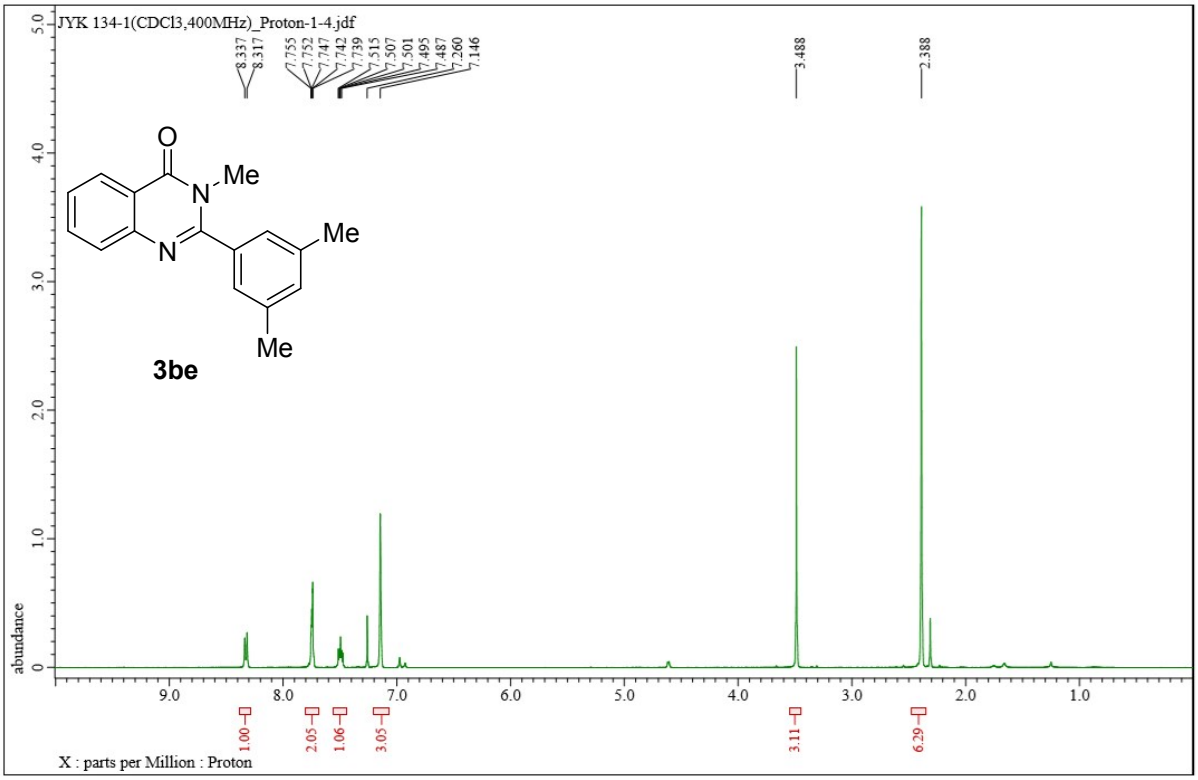
^{1}H-NMR of compound **3bd** (400 MHz)



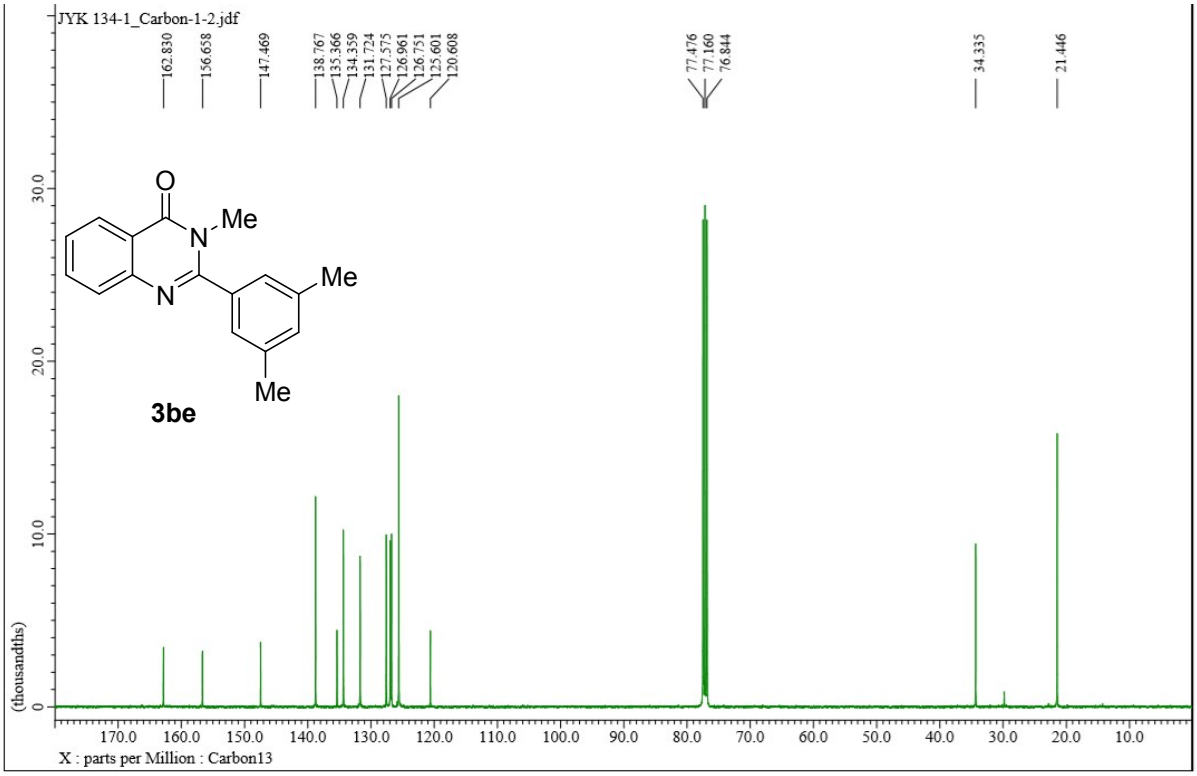
^{13}C-NMR of compound **3bd** (100 MHz)



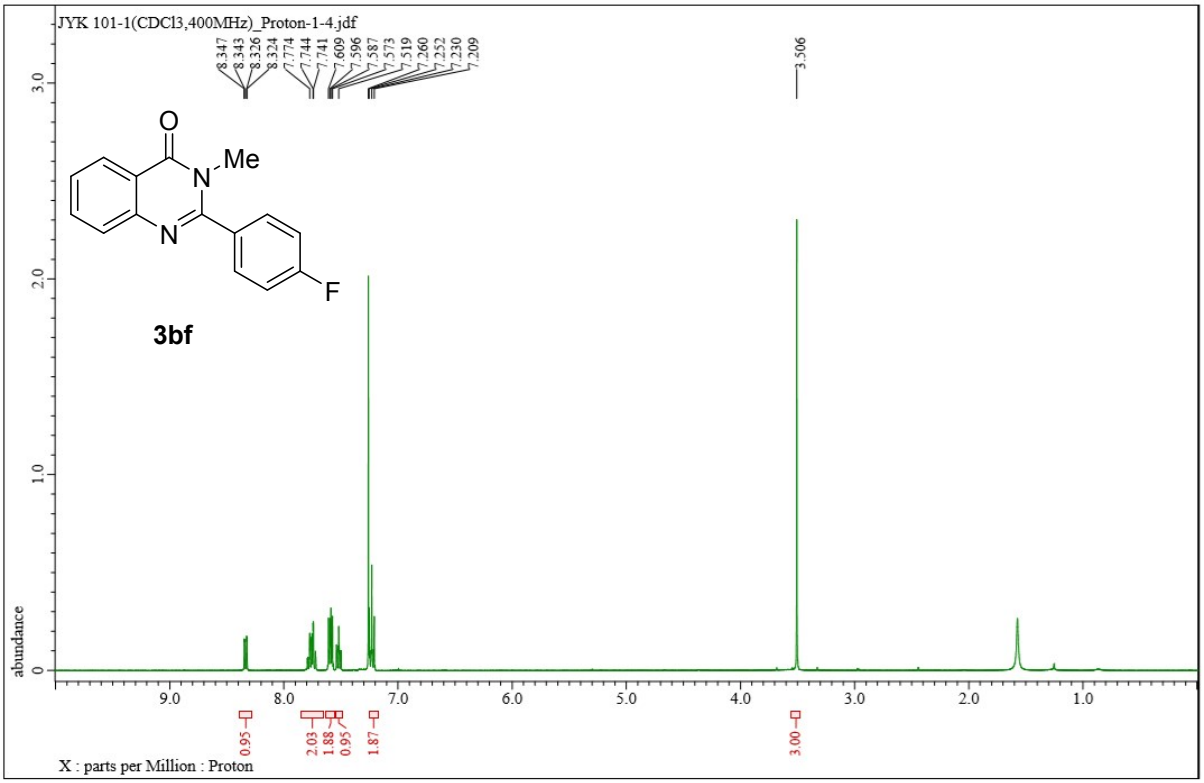
^{1}H-NMR of compound **3be** (400 MHz)



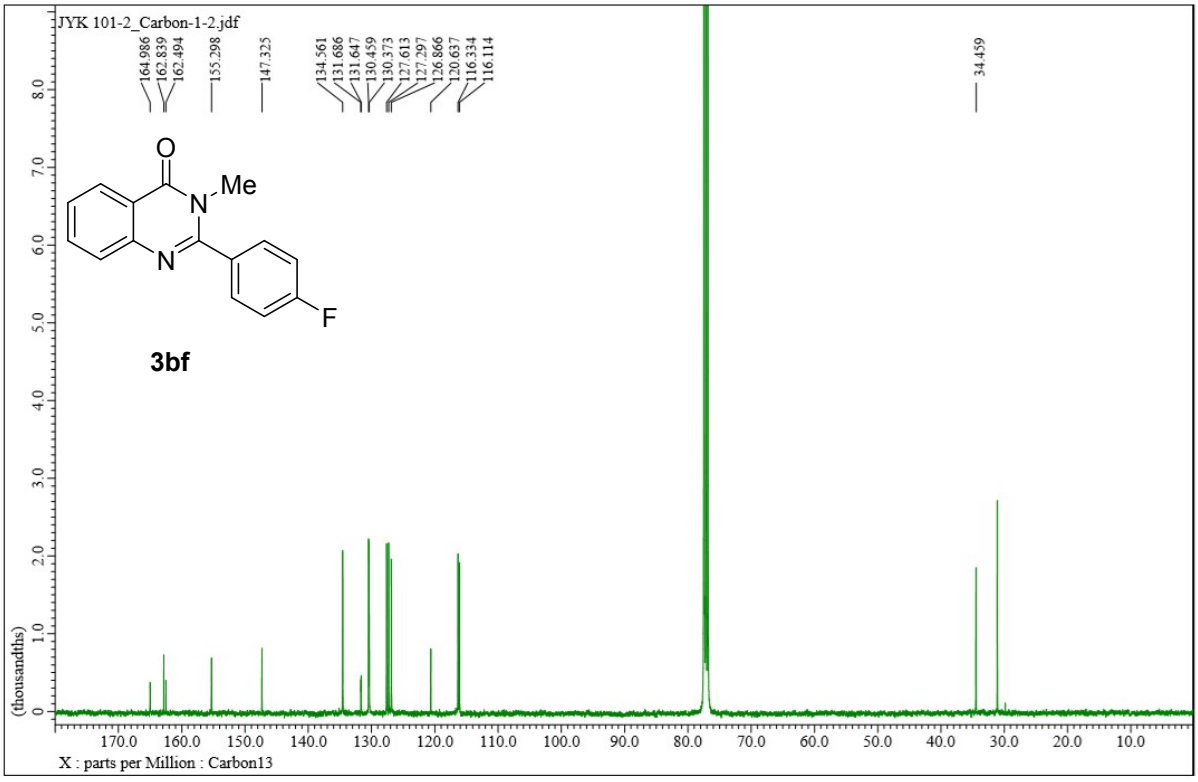
^{13}C-NMR of compound **3be** (100 MHz)



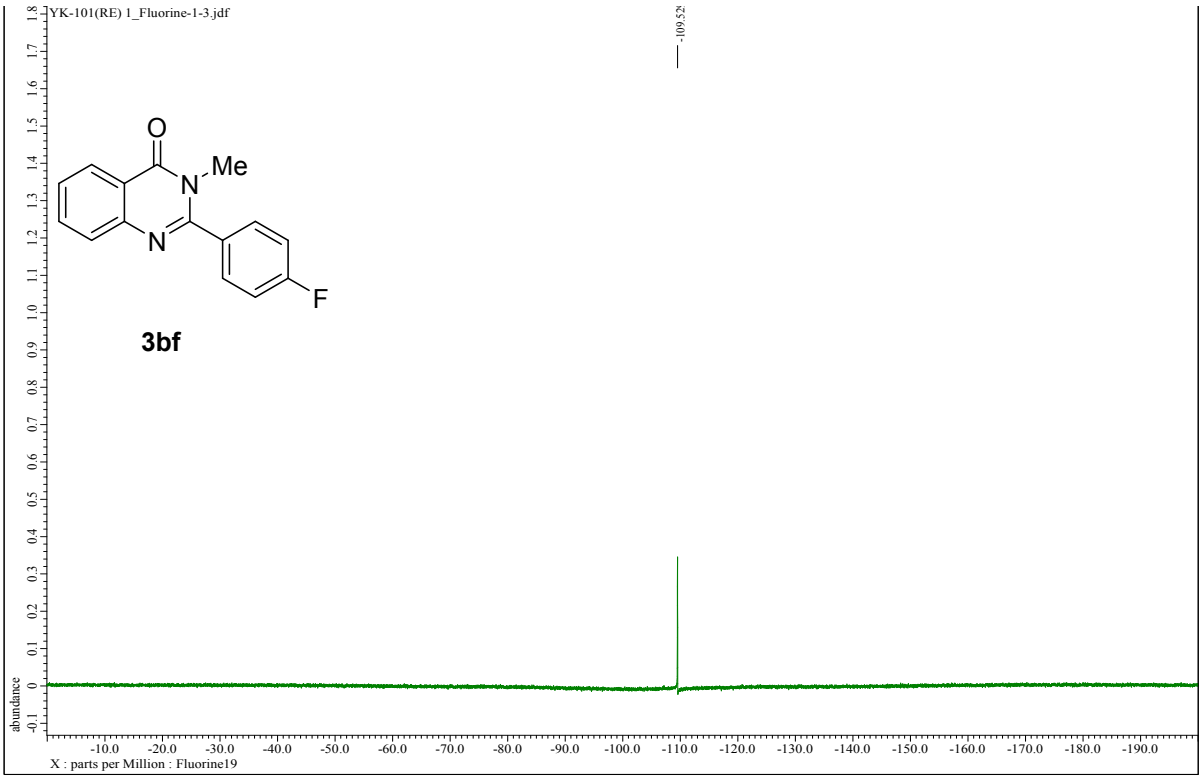
[1]H-NMR of compound **3bf** (400 MHz)



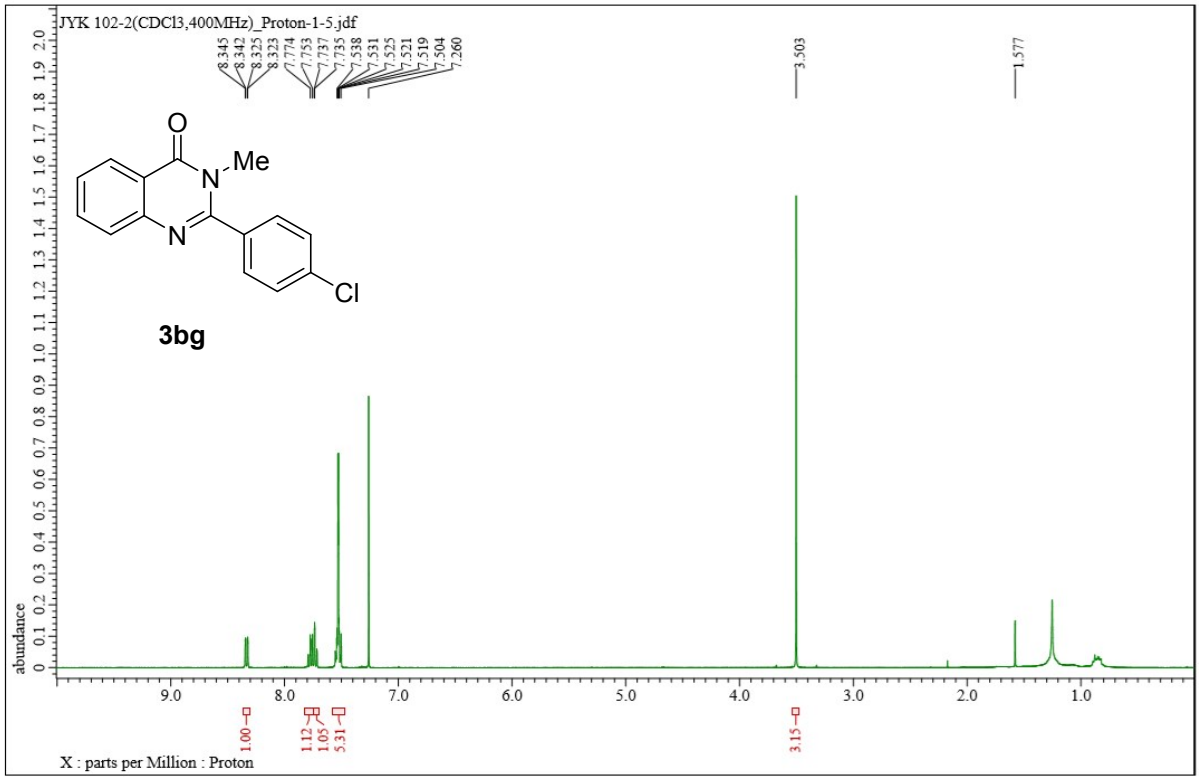
[13]C-NMR of compound **3bf** (100 MHz)



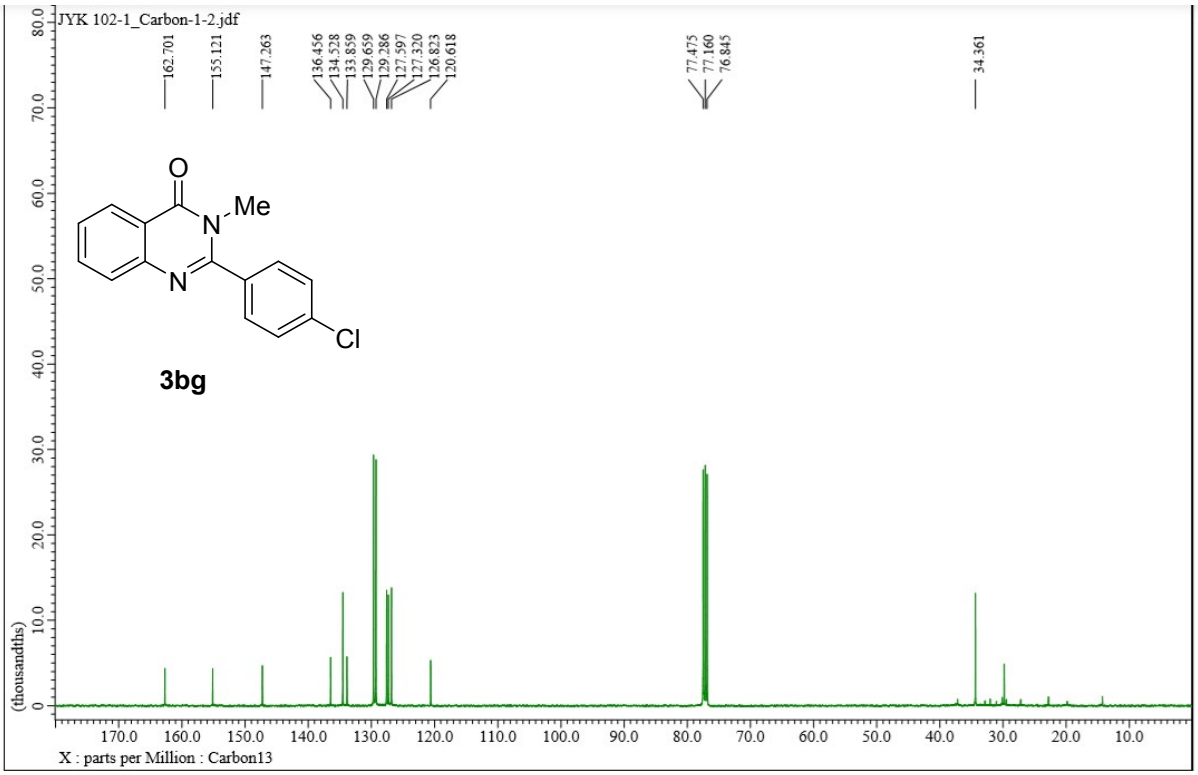
^{19}F-NMR of compound **3bf** (376 MHz)



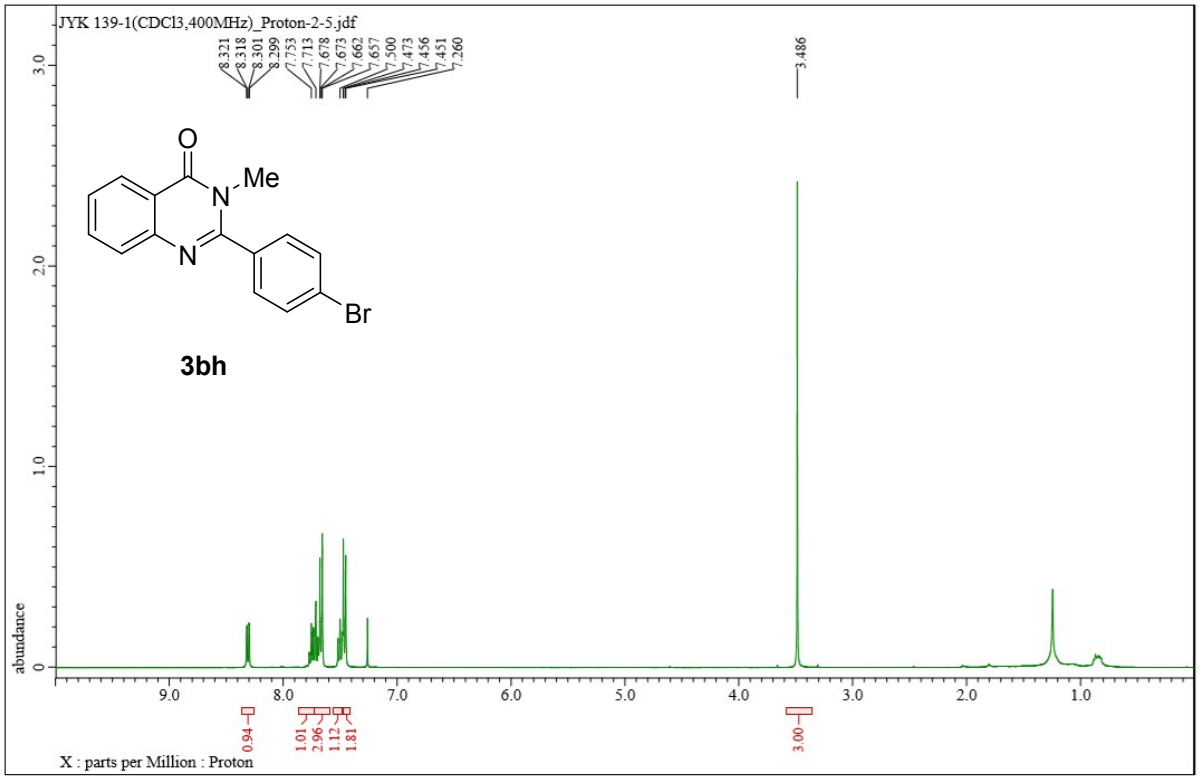
^{1}H-NMR of compound **3bg** (400 MHz)



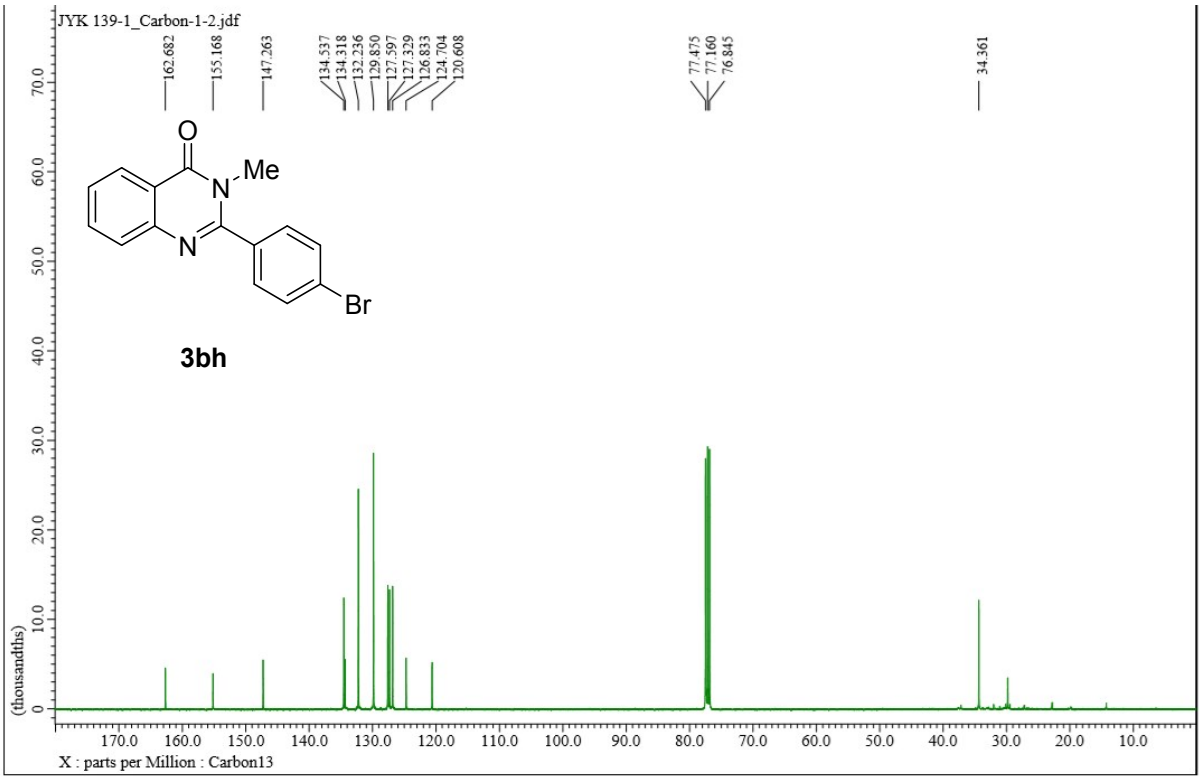
^{13}C-NMR of compound **3bg** (100 MHz)



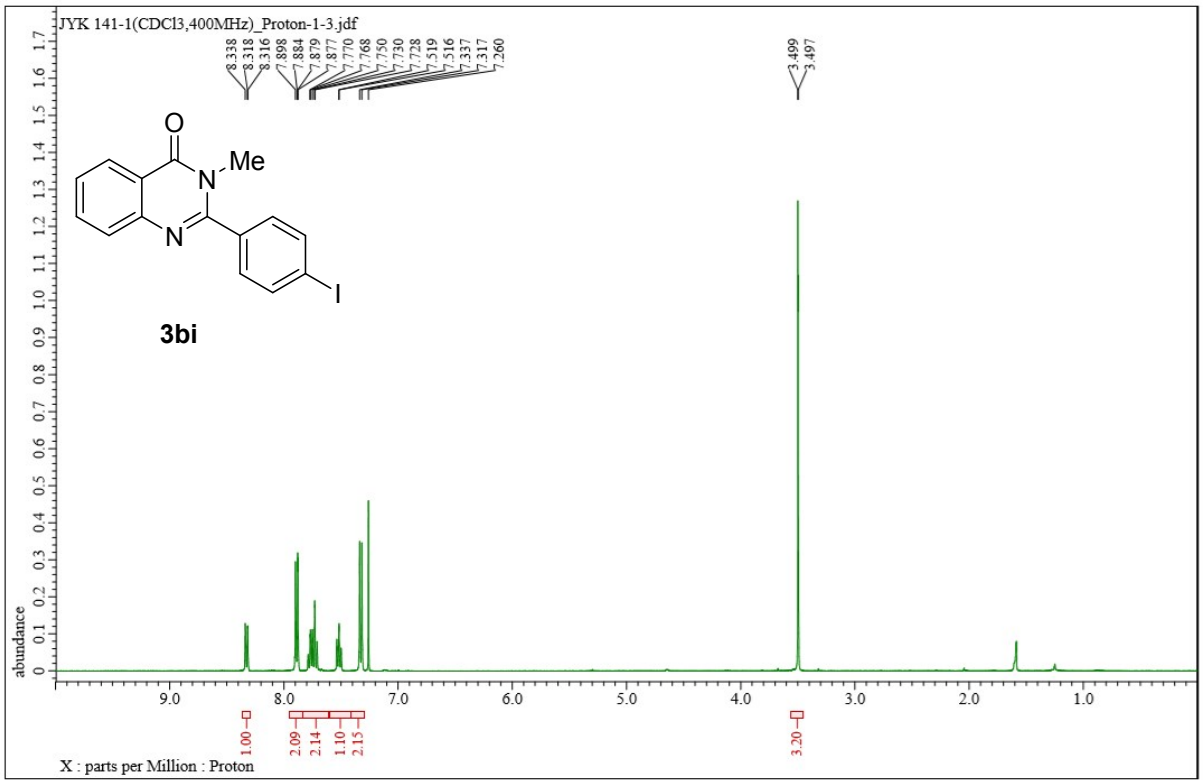
[1]H-NMR of compound **3bh** (400 MHz)



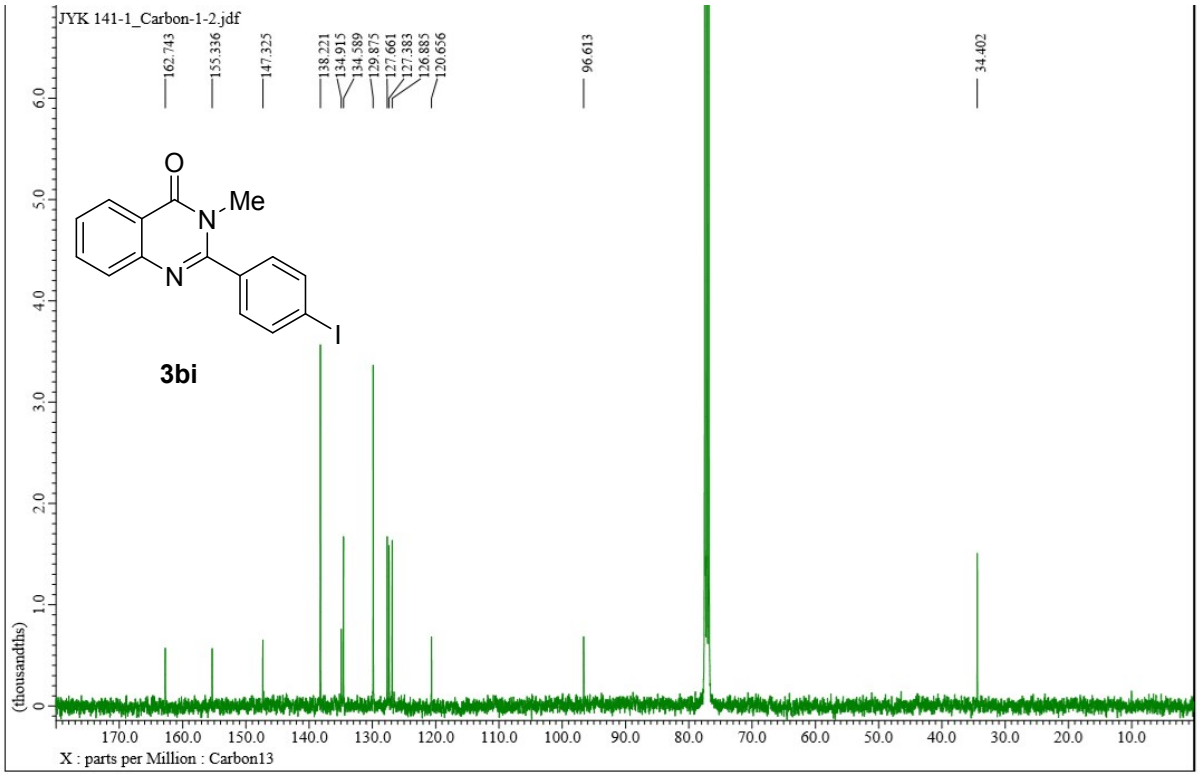
[13]C-NMR of compound **3bh** (100 MHz)



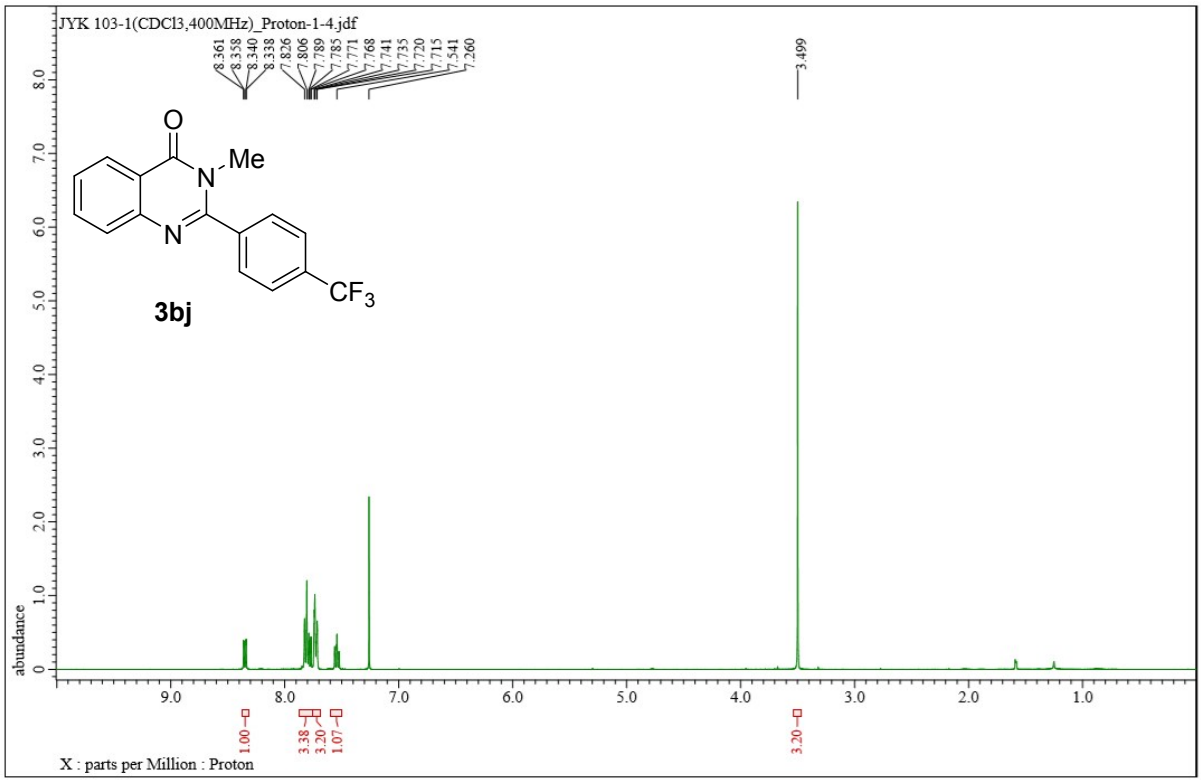
[1]H-NMR of compound **3bi** (400 MHz)



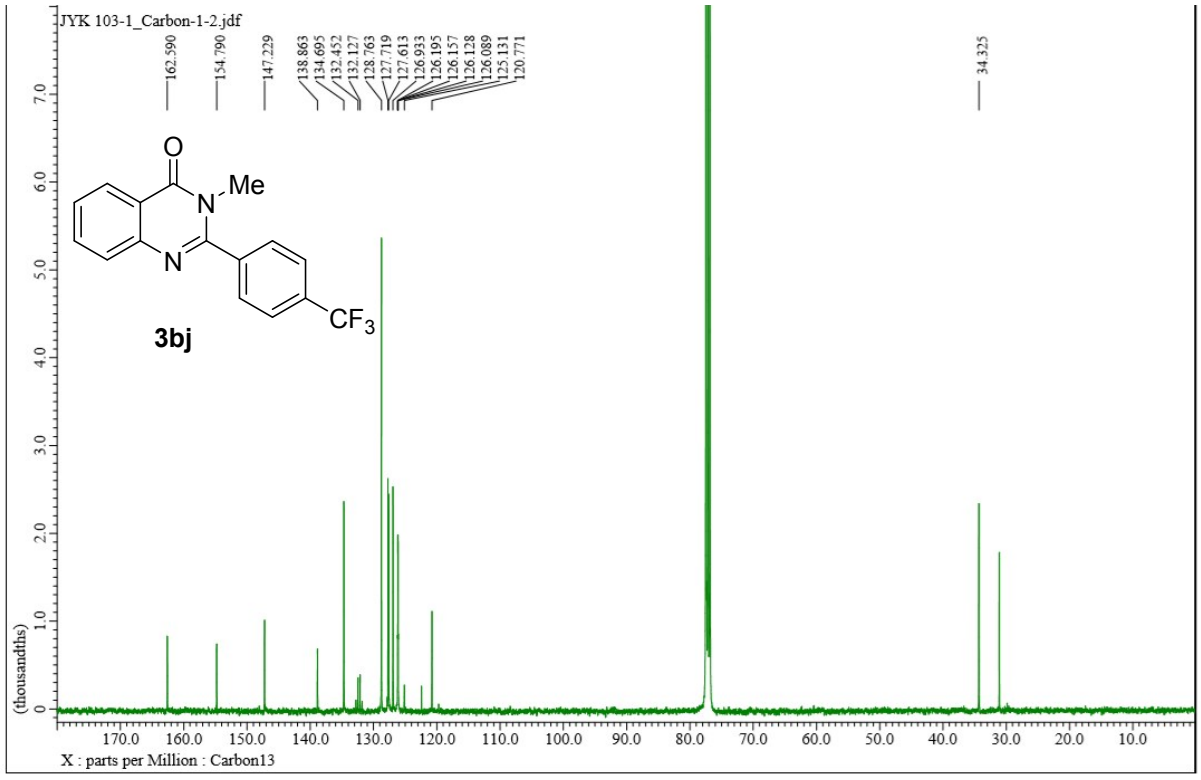
[13]C-NMR of compound **3bi** (100 MHz)



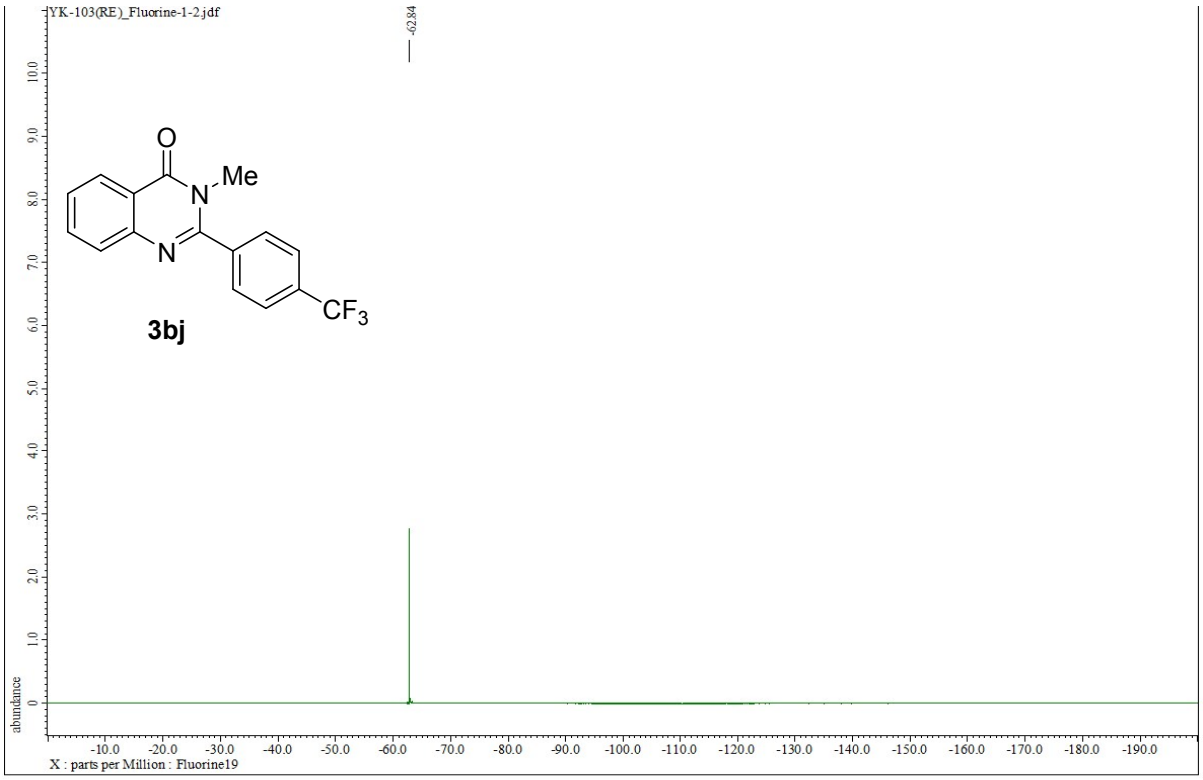
[1]H-NMR of compound **3bj** (400 MHz)



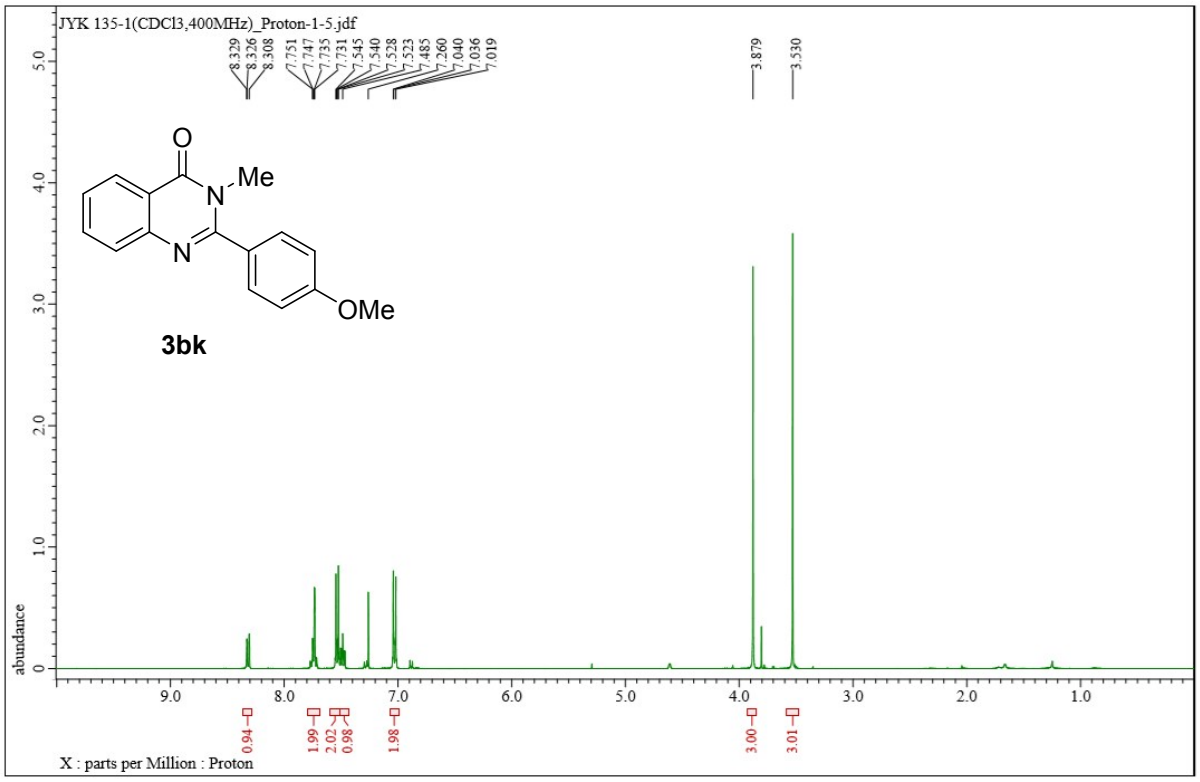
[13]C-NMR of compound **3bj** (100 MHz)



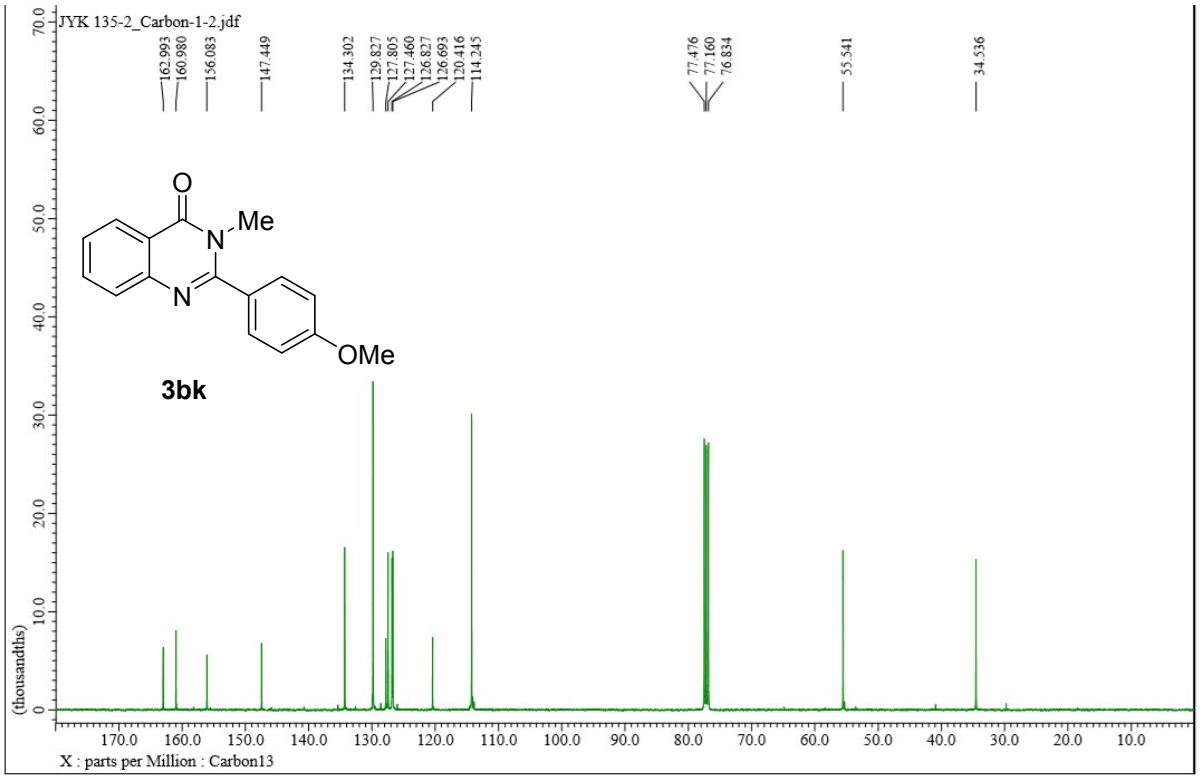
^{19}F-NMR of compound **3bj** (376 MHz)



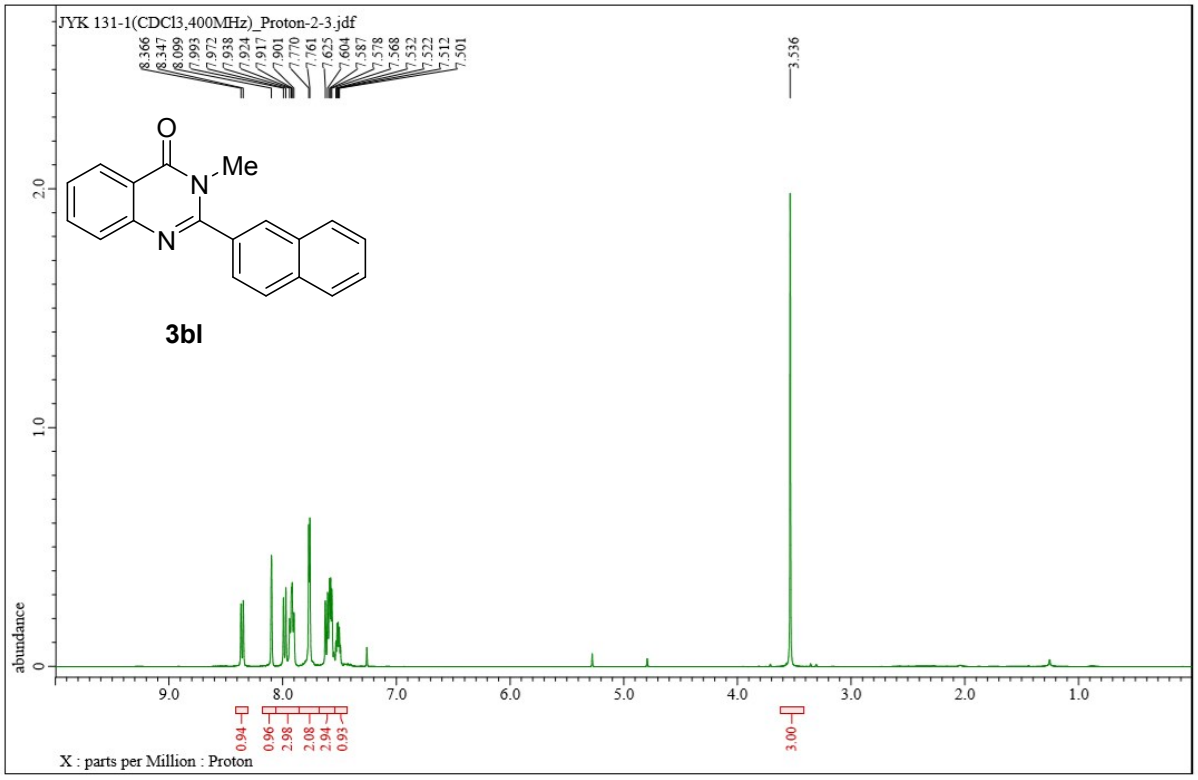
[1]H-NMR of compound **3bk** (400 MHz)



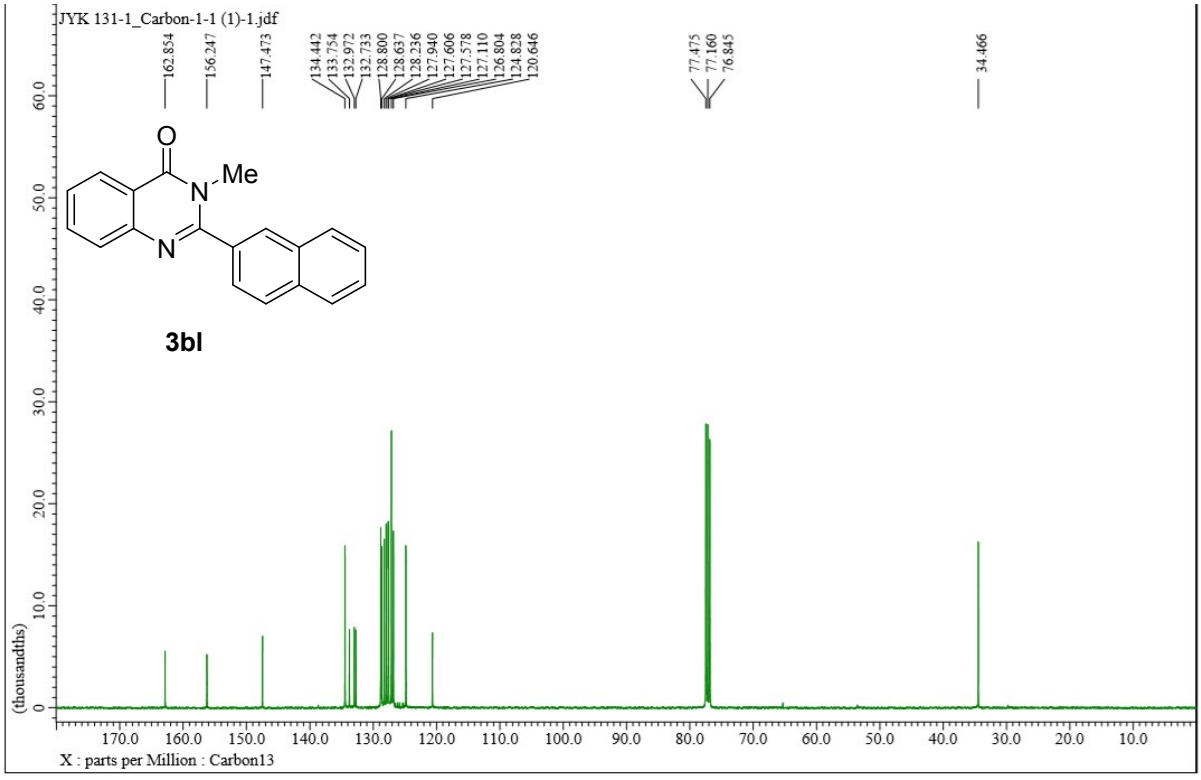
[13]C-NMR of compound **3bk** (100 MHz)



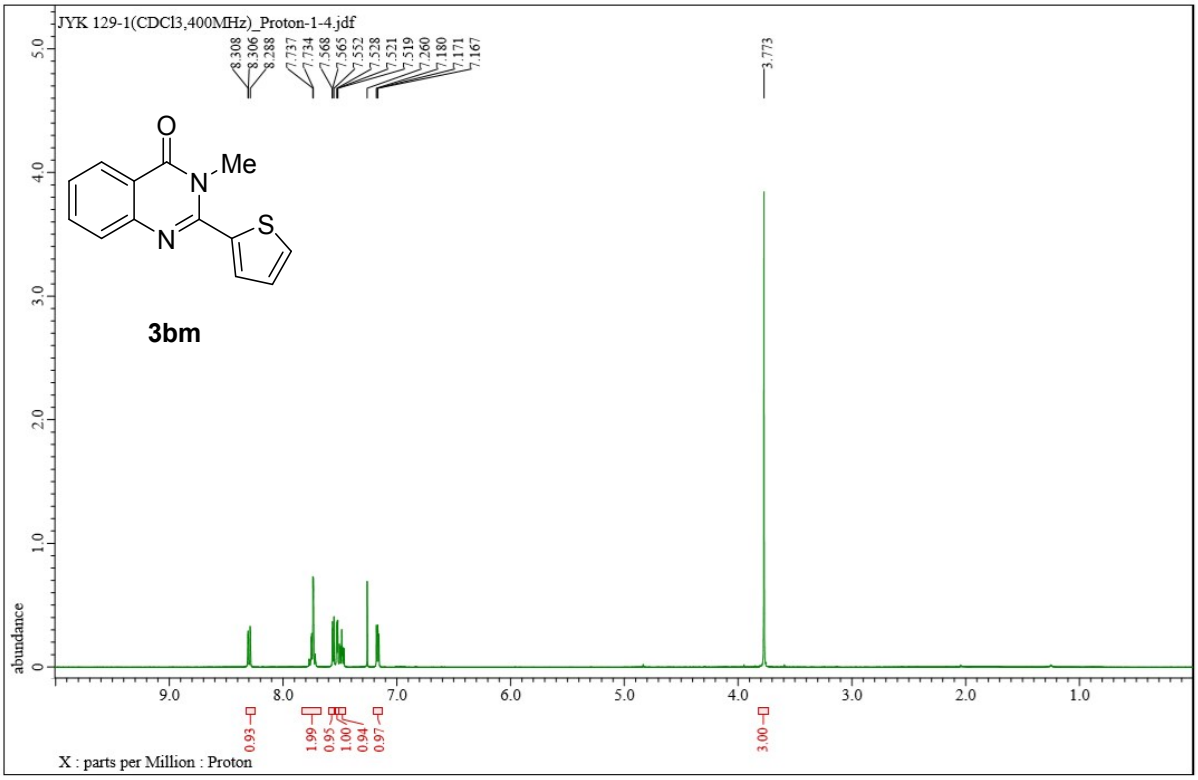
[1]H-NMR of compound **3bl** (400 MHz)



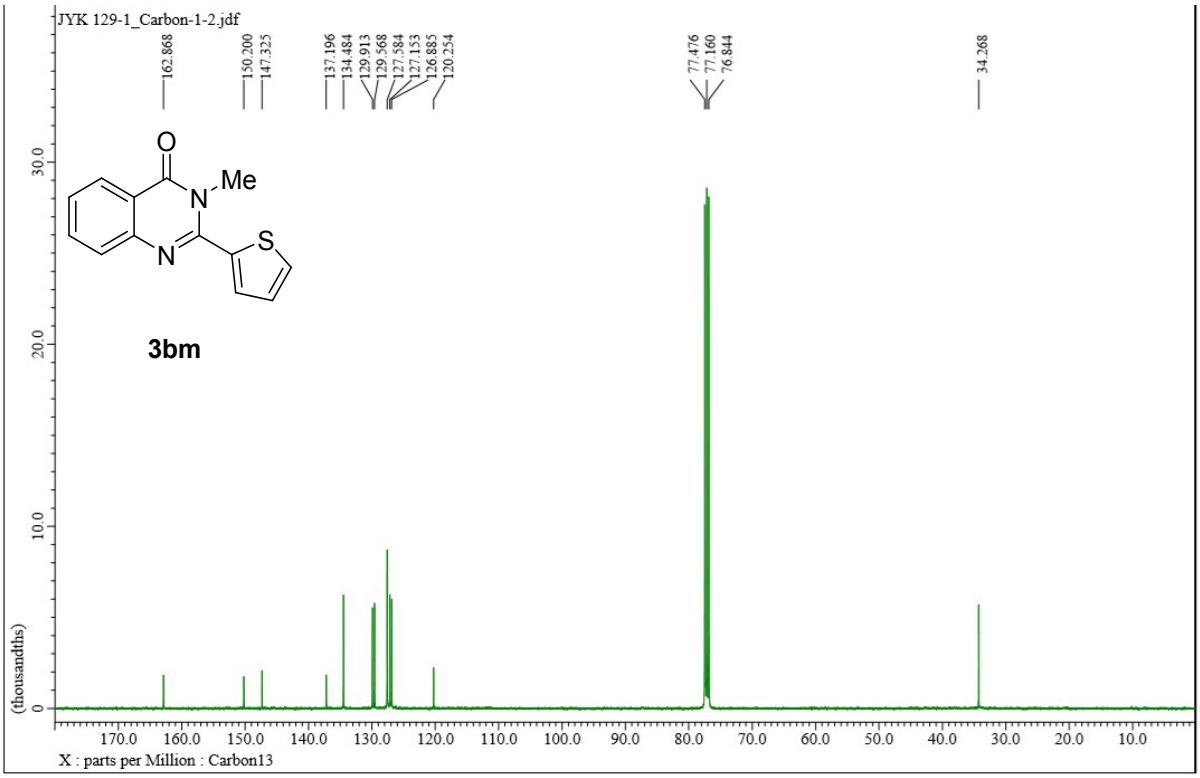
[13]C-NMR of compound **3bl** (100 MHz)



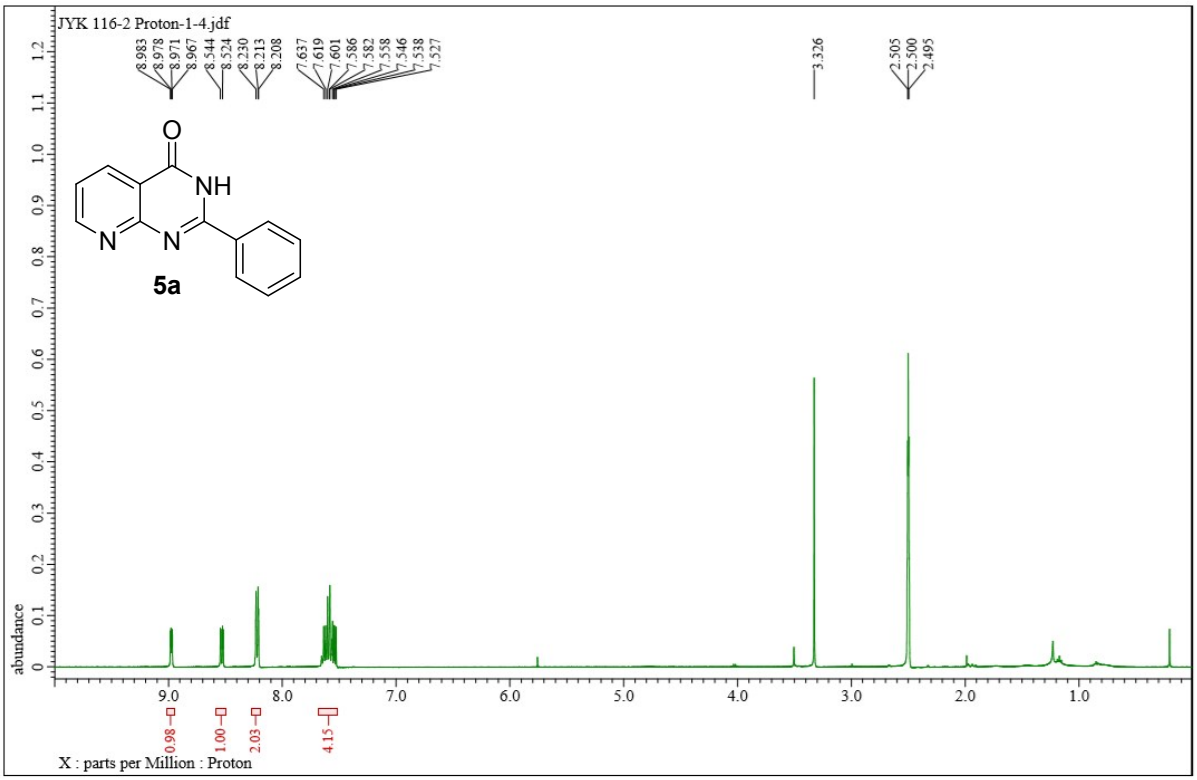
[1]H-NMR of compound **3bm** (400 MHz)



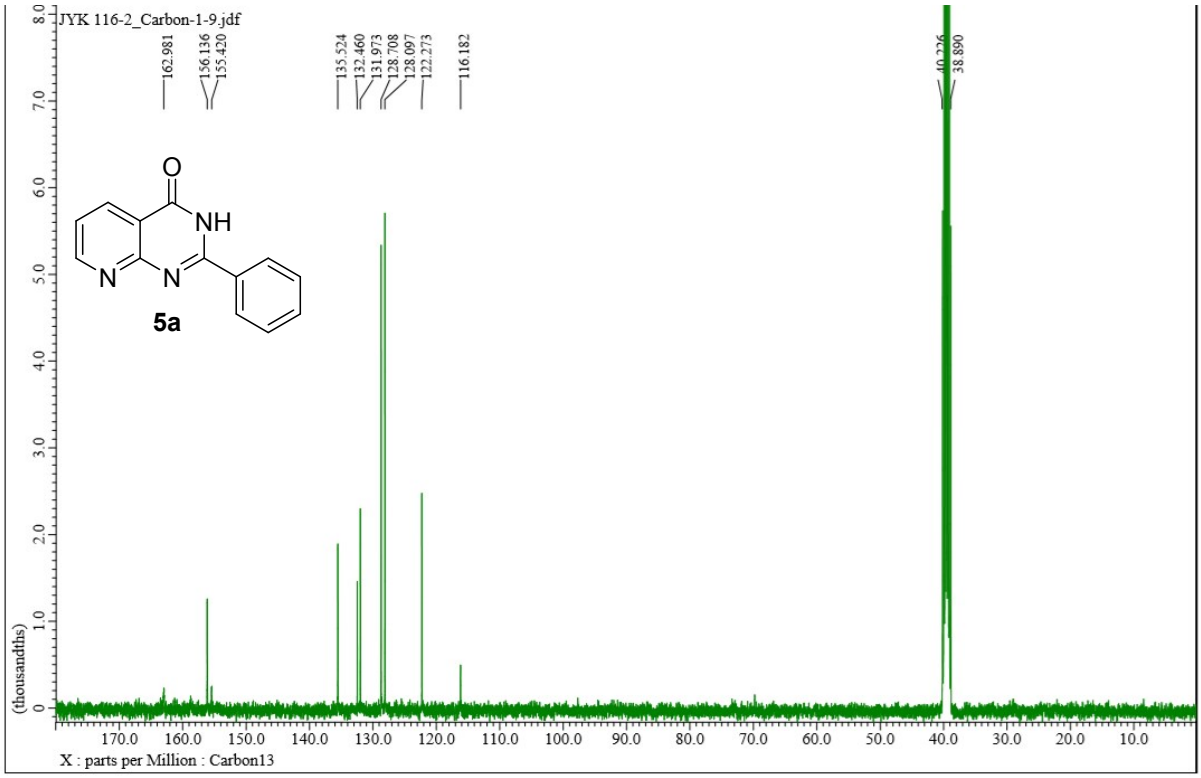
[13]C-NMR of compound **3bm** (100 MHz)



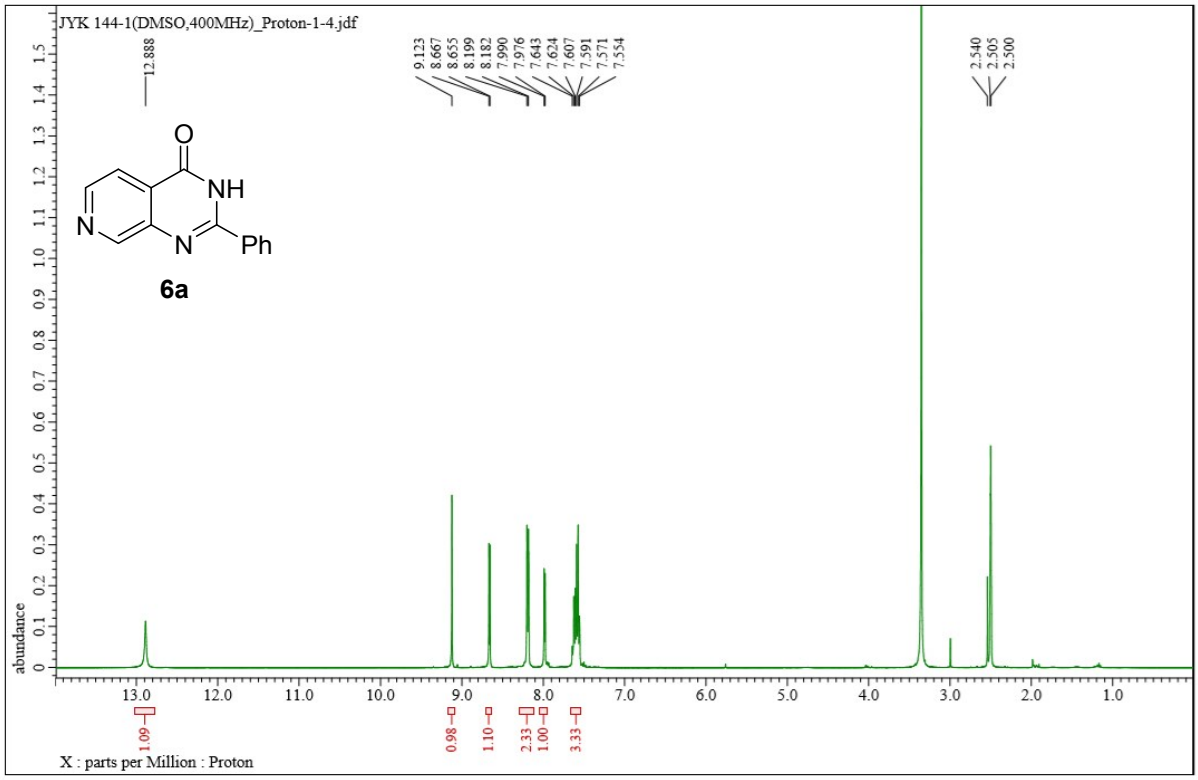
[1]H-NMR of compound **5a** (400 MHz)



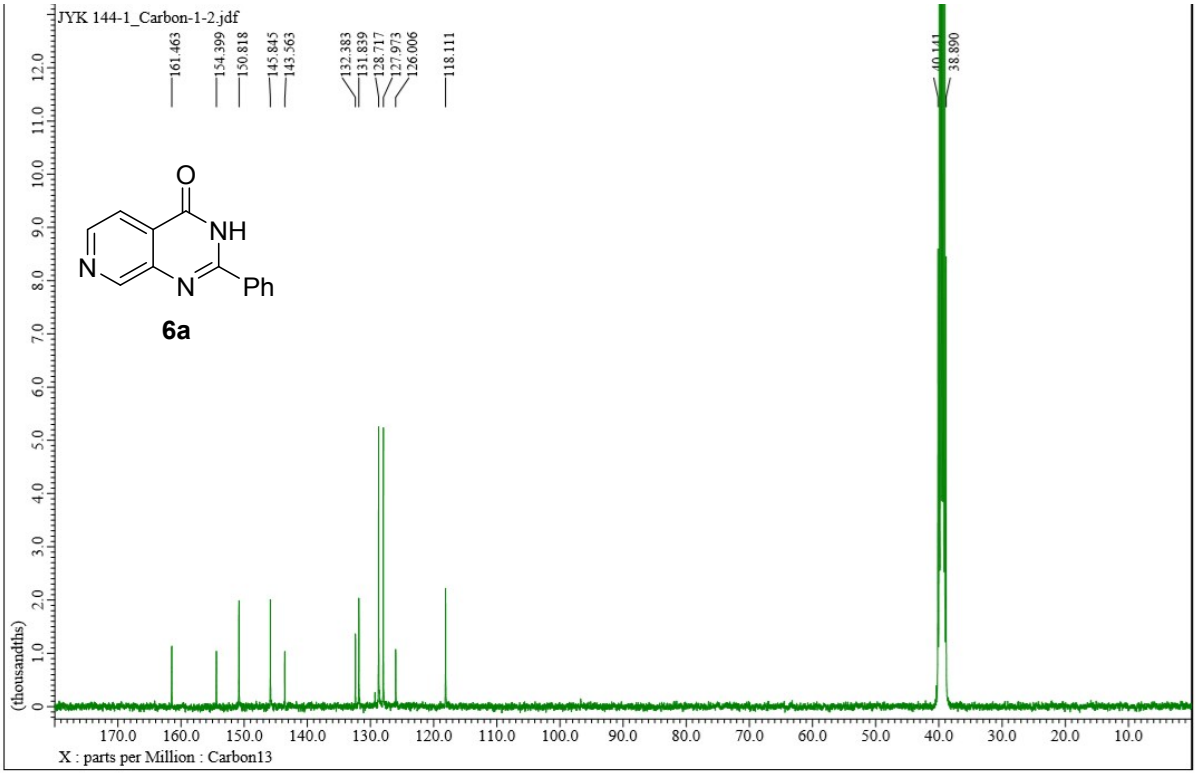
[13]C-NMR of compound **5a** (100 MHz)



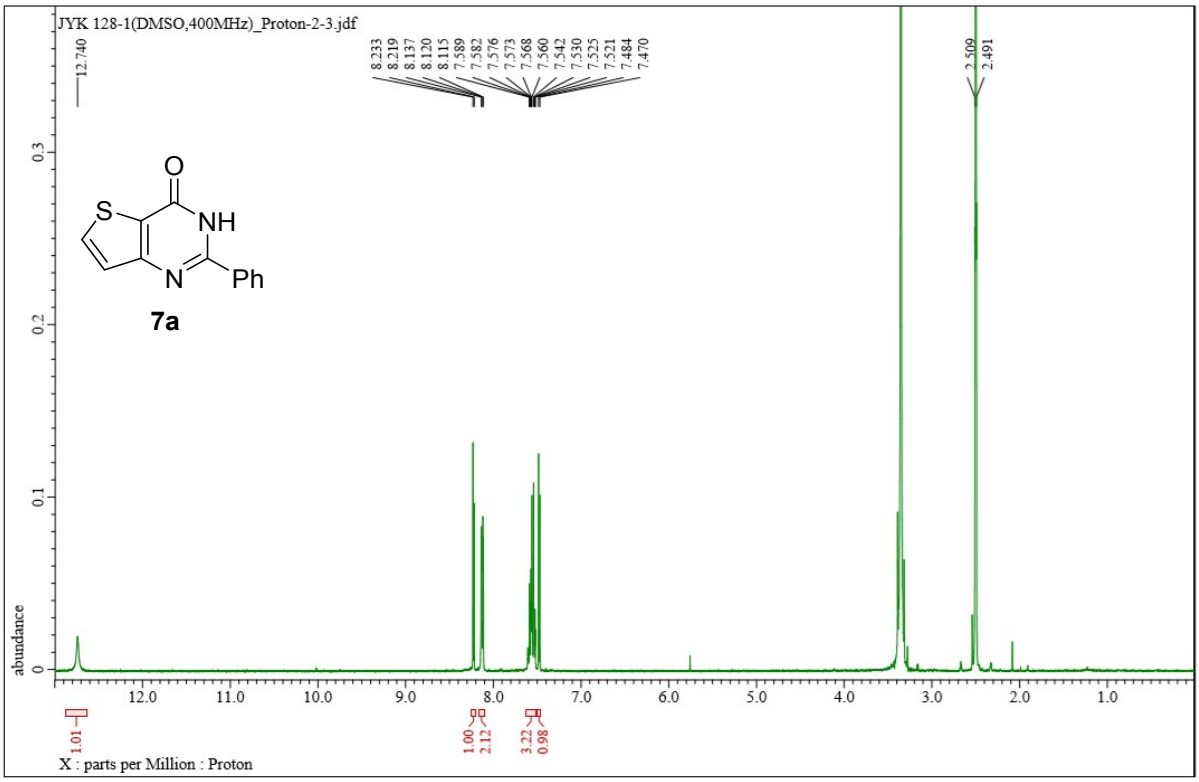
[1]H-NMR of compound **6a** (400 MHz)



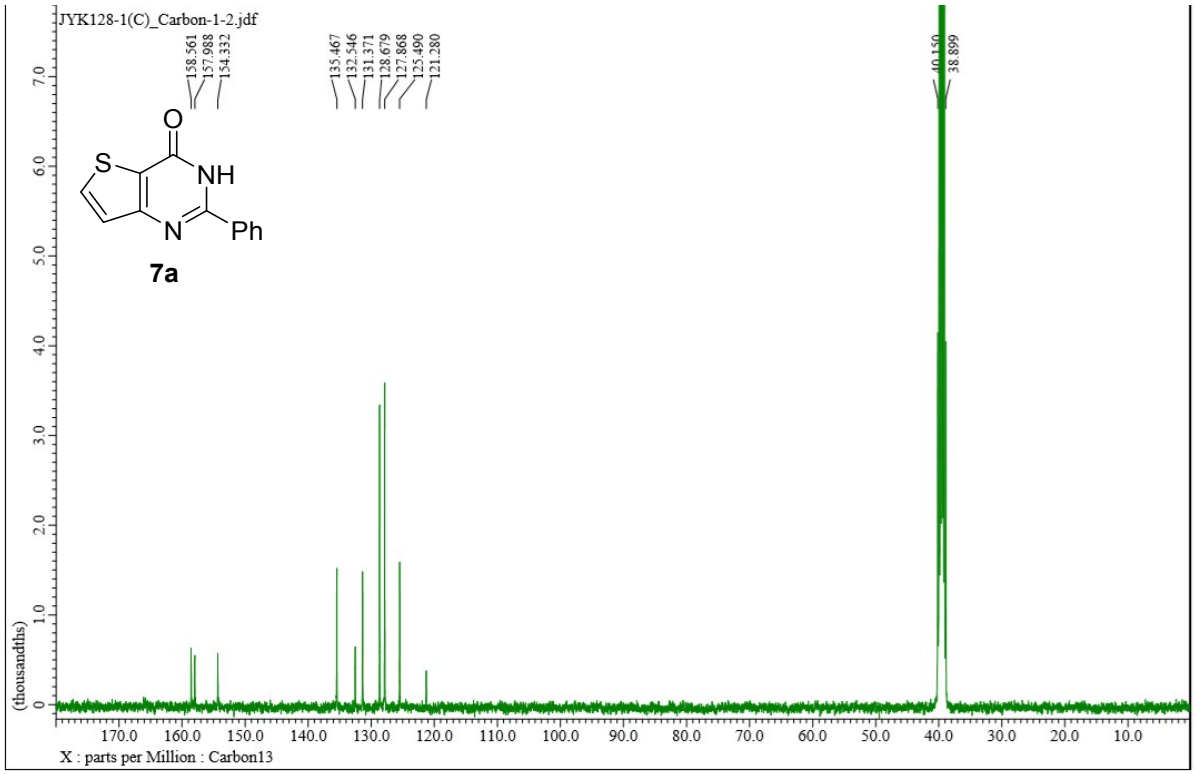
[13]C-NMR of compound **6a** (100 MHz)



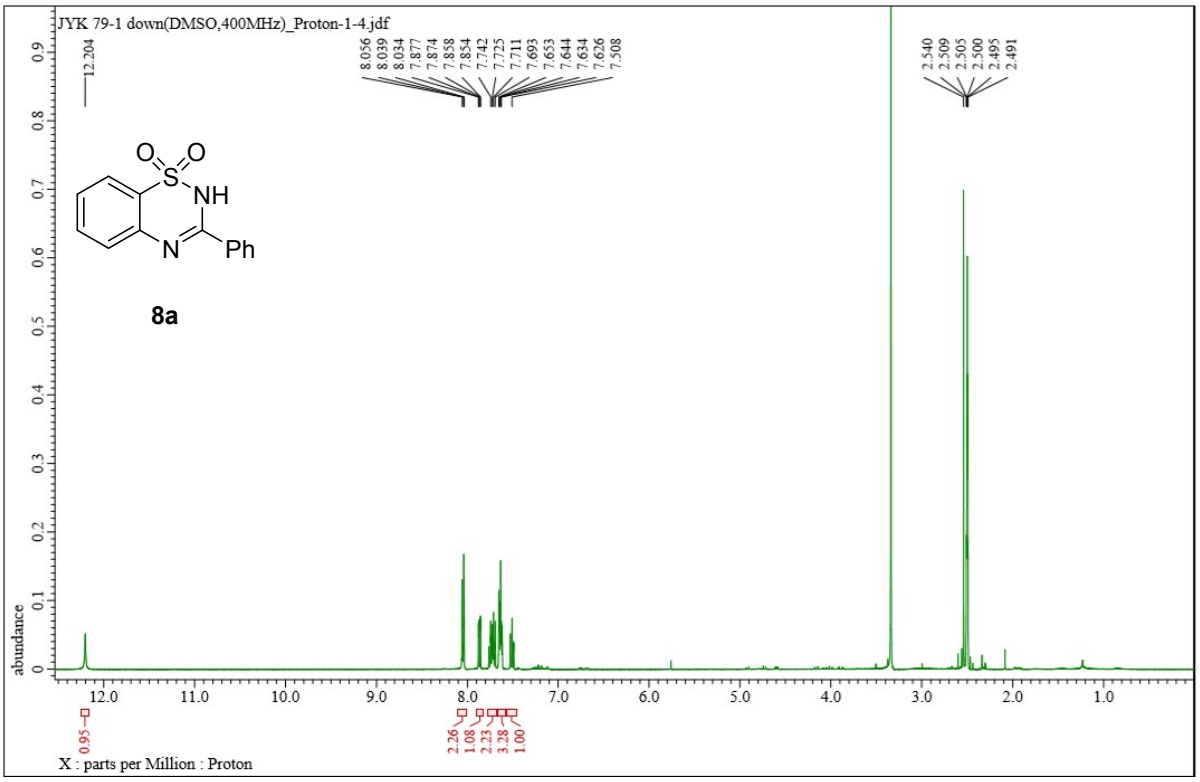
[1]H-NMR of compound **7a** (400 MHz)



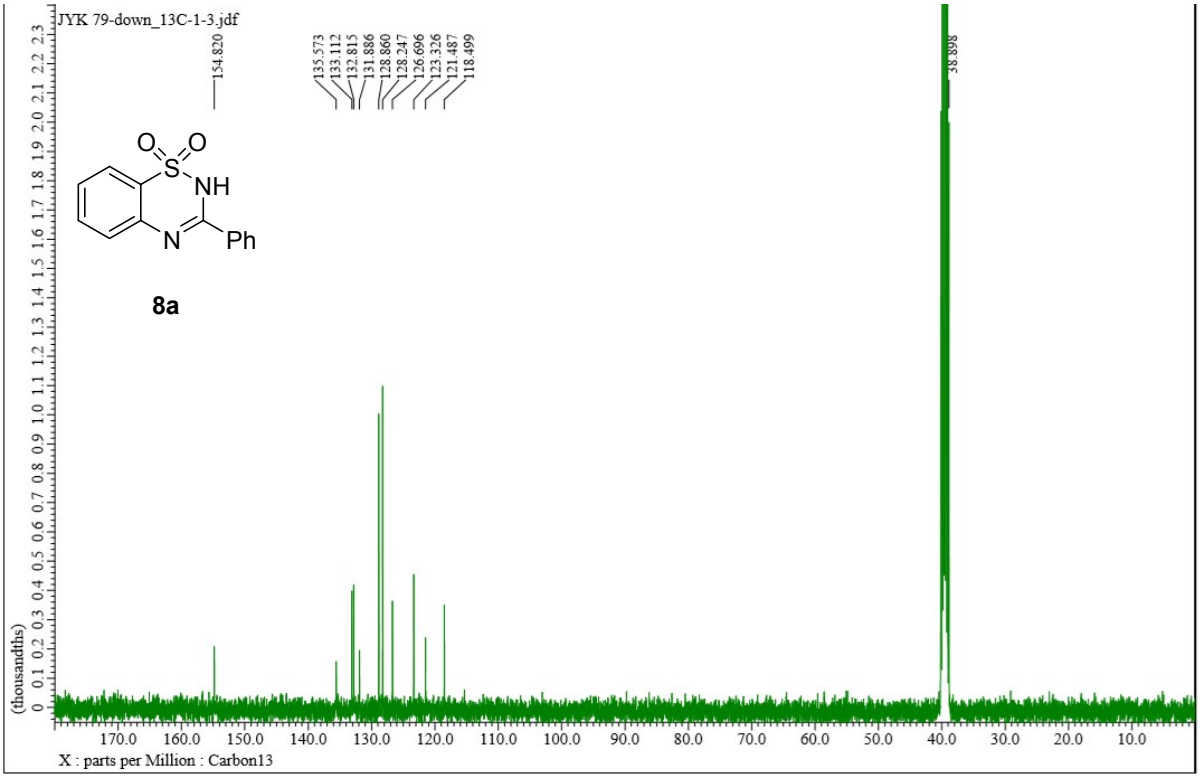
[13]C-NMR of compound **7a** (100 MHz)



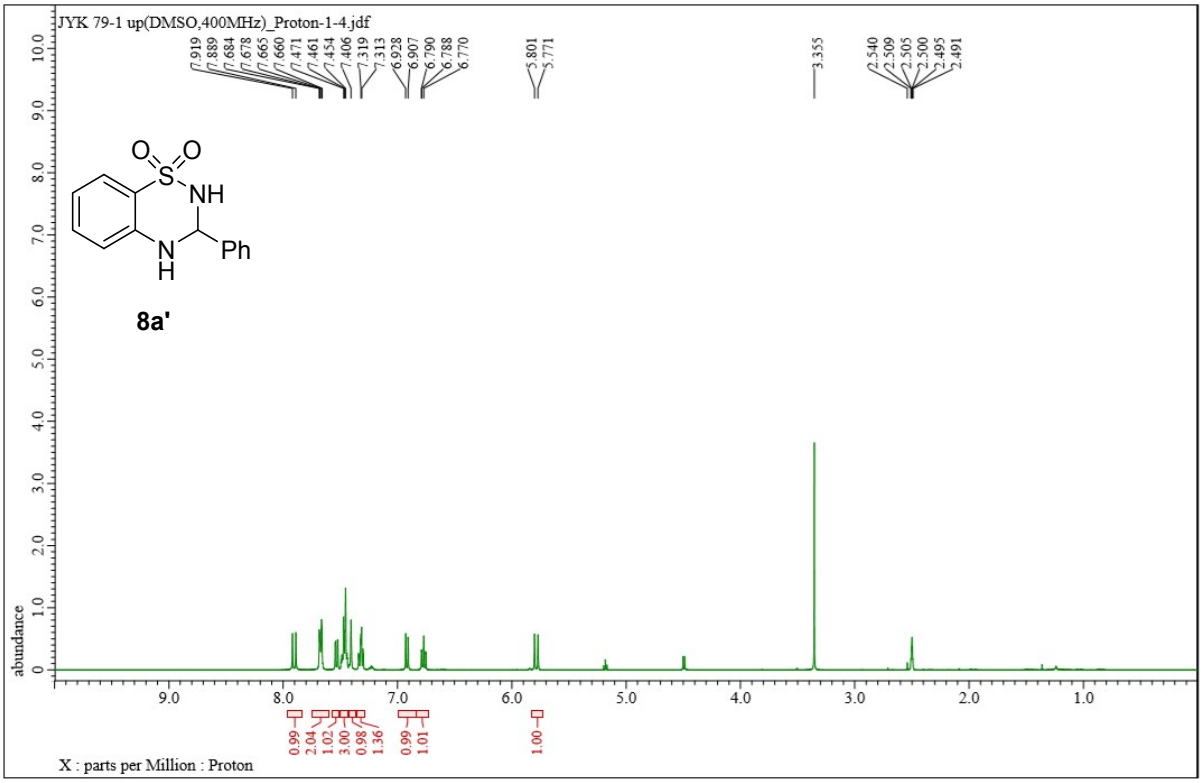
[1]H-NMR of compound **8a** (400 MHz)



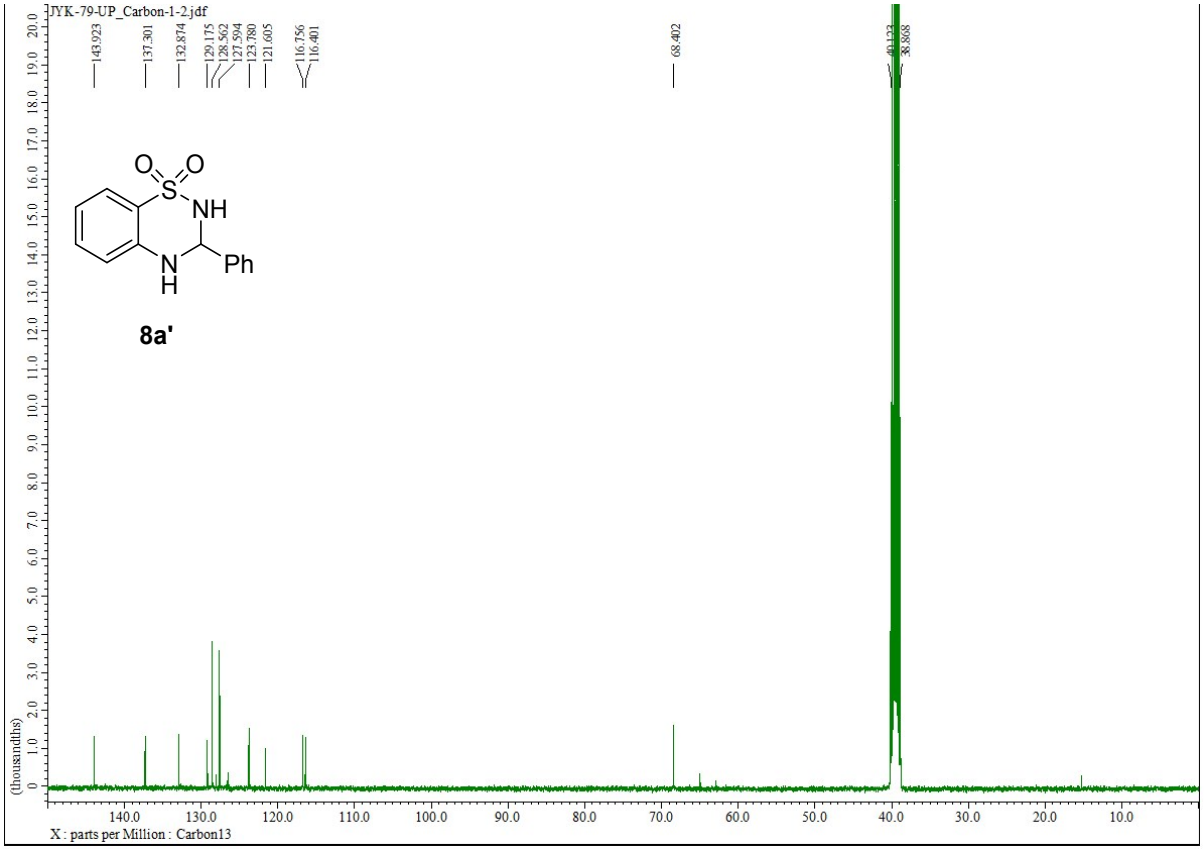
[13]C-NMR of compound **8a** (100 MHz)



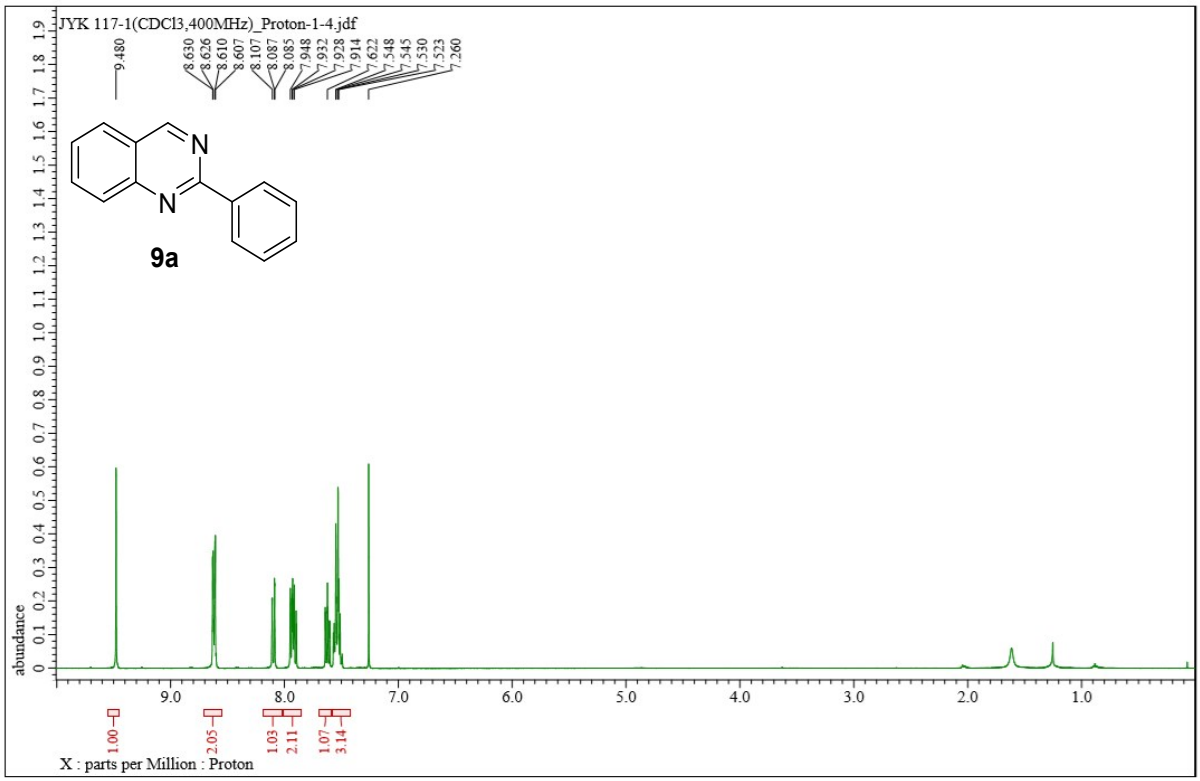
[1]H-NMR of compound **8a'** (400 MHz)



[13]C-NMR of compound **8a'** (100 MHz)



[1]H-NMR of compound **9a** (400 MHz)



[13]C-NMR of compound **9a** (100 MHz)