Electronic Supplementary Material (ESI) for Organic & Biomolecular Chemistry.

Substrate-Controlled Product-Selectivity in the Reaction of Bestmann-Ohira Reagent with *N*-Unprotected Isatin-Derived Olefins

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SUPPORTING INFORMATION

Content:

General experimental information:

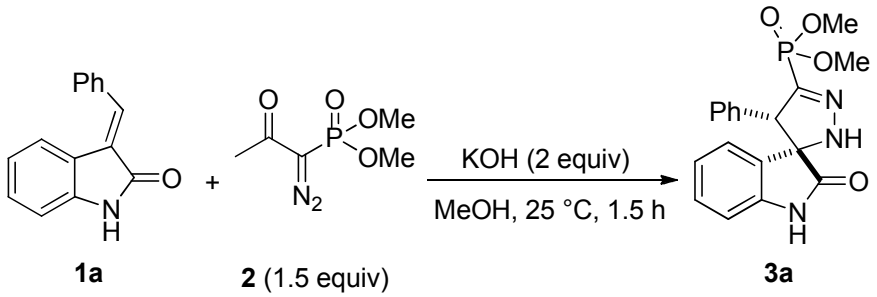
Unless otherwise specified, all reactions were carried out under air atmosphere in oven-dried round-bottom flasks. Dimethyl 2-oxopropylphosphonate was purchased from Acros and was used without further purification. The reactions were monitored by TLC visualized by UV (254 nm) and/or with iodine. Flash chromatography was performed on 100-200 mesh silica gel using the gradient system acetone-dicholoromethane (0-40%). NMR data were recorded at Bruker AV 400 MHz in DMSO-d_6/$CDCl_3$/CF_3CO_2D using as internal standards the residual DMSO signal for ^1H NMR (δ = 2.50 ppm), $CHCl_3$ (δ = 7.26 ppm) and CF_3CO_2H (δ = 11.50 ppm) respectively. The coresponding deuterated solvent signal for ^{13}C NMR were assigned as DMSO (δ = 39.51 ppm), $CDCl_3$ (77.16) and CF_3CO_2D (116.0). Coupling constants are given in Hertz (Hz) and the classical abbreviations are used to describe the signal multiplicities. Melting points were measured with a Büchi B-540 apparatus and are uncorrected. High resolution mass spectra were obtained using Q-TOF mass spectrometer. All commercially available reagents were used as received.

The Bestmann-Ohira reagent was synthesized from the corresponding Dimethyl 2-oxopropylphosphonate using a known procedure.[1]

(1) P. Callant, L. Dhaenens and M. Vandewalle, *synth. Commun,.* **1984**, *14*, 155.

Procedures

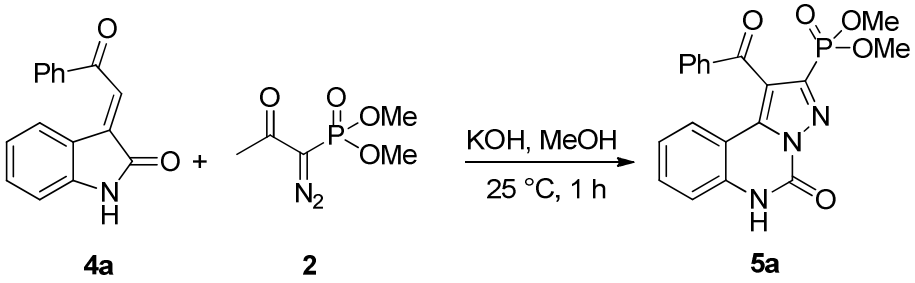
Synthesis of spiro-phosphonylpyrazoline-oxindoles 3a-3r



General procedure for the synthesis of spirophosphonylpyrazoline-oxindoles **3**

To an oven-dried round bottom flask was added 3-benzylideneoxindole **1a** (50 mg, 0.22 mmol) and dissolved in 3 mL of MeOH. Subsequently, a solution of Bestmann-Ohira reagent (65 mg, 0.33 mmol) in 2 mL of MeOH was added to the reaction mixture and kept stirring. After addition of potassium hydroxide (25 mg, 0.44 mmol), the reaction mixture was further stirred at 25 °C for 1.5 h. After the completion of reaction, as indicated by TLC, solvent was evaporated off and extracted using ethyl acetate. The organic layer was dried over Na_2SO_4 and evaporated under reduced pressure. The residue was purified using column chromatography (100-200 mesh silica gel) using acetone/dichloromethane as the eluent to afford the corresponding spiropyrazoline-oxindole **3a** as a white solid (78 mg) in 95% yield.

Synthesis of spiro-phosphonylpyrazoline-oxindoles 5a-5ad

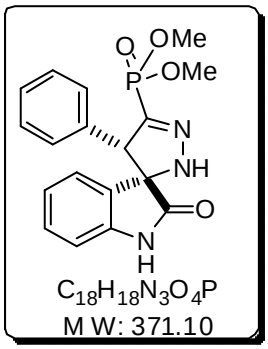


General procedure for the synthesis of phosphonylpyrazolo-quinazolinones ***5***

To an oven-dried round bottom flask was added 3-phenacylideneoxindole **4a** (50 mg, 0.20 mmol) and dissolved in 3 mL of MeOH. Subsequently, a solution of Bestmann-Ohira reagent

(58 mg, 0.30 mmol) in 2 mL of MeOH was added to the reaction mixture and kept stirring. After addition of potassium hydroxide (23 mg, 0.40 mmol), the reaction mixture was further stirred at 25 °C for 1 h. After the completion of reaction, as indicated by TLC, solvent was evaporated off and extracted using ethyl acetate. The organic layer was dried over Na_2SO_4 and evaporated under reduced pressure. The residue was purified using column chromatography (100-200 mesh silica gel) using acetone/dichloromethane as the eluent to afford phosphonylpyrazoloquinazolinone **5a** as a white solid (63 mg) in 80 yield.

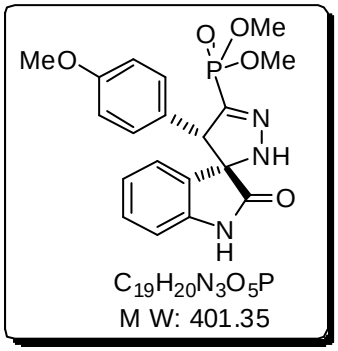
Dimethyl (2-oxo-4'-phenyl-2',4'-dihydrospiro[indoline-3,3'-pyrazol]-5'-yl)phosphonate (3a)



$C_{18}H_{18}N_3O_4P$
M W: 371.10

Following the general procedure, treatment of (benzylidine)indolin-2-one (50 mg, 0.22 mmol) with BOR (65 mg, 0.33 mmol) in the presence of KOH (25 mg, 0.44 mmol) in MeOH (3 mL) at 25 °C for 1.5 h followed by column chromatography afforded the product **3a** as a white solid (78 mg, 95%, 5:1 dr). $\mathbf{R_f}$ (Acetone/Dichloromethane : 3/7) = 0.45. **Mp** 178-180 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 178.0 (C), 142.3 (C), 141.6 (d, J_{C-P} = 227.2 Hz, C), 134.1 (C), 129.1 (C), 128.9 (CH), 128.9 (CH), 128.1 (CH), 128.1 (CH), 127.7 (CH), 125.6 (CH), 125.1 (CH), 120.9 (CH), 109.5 (CH), 73.8 (d, J_{C-P} = 4.7 Hz, C), 60.4 (d, J_{C-P} = 21.7 Hz, CH), 52.8 (d, J_{C-P} = 5.8 Hz, CH_3), 52.6 (d, J_{C-P} = 5.6 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 10.38 (s, 1H), 9.00 (s, 1H), 7.24 (d, J = 6.4 Hz, 3H), 7.06-6.98 (m, 3H), 6.71 (d, J = 7.6 Hz, 1H), 6.54 (t, J = 7.4 Hz, 1H), 6.23 (d, J = 7.2 Hz, 1H), 4.54 (s, 1H), 3.66 (d, J = 11.2 Hz, 3H), 3.58 (d, J = 11.2 Hz, 3H) . **^{31}P NMR** (161.9 MHz, DMSO-d_6): 10.83. **HRMS** for $C_{18}H_{19}N_3O_4P^+$: calcd. $[M+H]^+$: 372.1108, found: 372.1111.

Dimethyl (4'-(4-methoxyphenyl)-2-oxo-2',4'-dihydrospiro[indoline-3,3'-pyrazol]-5'-yl)phosphonate (3b)

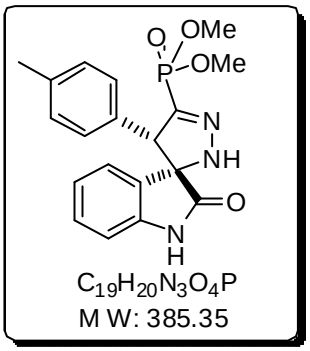


$C_{19}H_{20}N_3O_5P$
M W: 401.35

Following the general procedure, treatment of (4-methoxybenzylidine)indolin-2-one (50 mg, 0.20 mmol) with BOR (57 mg, 0.30 mmol) in the presence of KOH (22 mg, 0.40 mmol) in MeOH (3 mL) at 25 °C for 1.5 h followed by column chromatography afforded the product **3b** as a white solid (74 mg, 92%, 4:1 dr). $\mathbf{R_f}$ (Acetone/Dichloromethane : 3/7) = 0.39. **Mp**

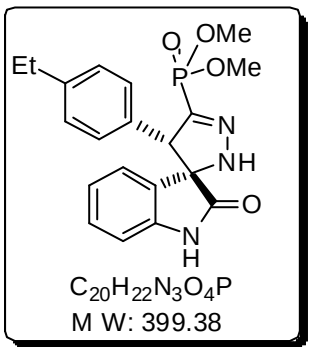
192-194 °C. **^{13}C NMR** (100 MHz, δ ppm/DMSO-d_6): 178.1 (C), 158.6 (C), 142.3 (C), 141.6 (d, J_{C-P} = 227.0 Hz, C), 130.2 (CH), 130.2 (CH), 129.1 (CH), 125.9 (CH), 125.7 (CH), 125.3 (C), 120.9 (CH), 113.4 (CH), 113.4 (CH), 109.4 (CH), 73.8 (d, J_{C-P} = 4.8 Hz, C), 59.8 (d, J_{C-P} = 21.8 Hz, CH), 54.9 (CH_3), 52.8 (d, J_{C-P} = 5.8 Hz, CH_3), 52.6 (d, J_{C-P} = 5.6 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 10.39 (s, 1H), 9.0 (s, 1H), 7.05 (t, *J* = 7.8 Hz, 1H), 6.91 (d, *J* = 8.0 Hz, 2H), 6.80 (d, *J* = 8.4 Hz, 2H), 6.71 (d, *J* = 8.0 Hz, 1H), 6.59 (t, *J* = 7.4 Hz, 1H), 6.29 (d, *J* = 7.6 Hz, 1H), 4.49 (s, 1H), 3.69 (s, 3H), 3.62 (d, *J* = 11.2 Hz, 3H), 3.55 (d, *J* = 11.2 Hz, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 10.85. **HRMS** for $C_{19}H_{21}N_3O_5P^+$: calcd. $[M+H]^+$: 402.1213, found: 402.1214.

Dimethyl(2-oxo-4'-(p-tolyl)-2',4'-dihydrospiro[indoline-3,3'-pyrazol]-5'-yl)phosphonate (3c)



Following the general procedure, treatment of (4-methylbenzylidine)indolin-2-one (50 mg, 0.21 mmol) with BOR (60 mg, 0.32 mmol) in the presence of KOH (23 mg, 0.42 mmol) in MeOH (3 mL) at 25 °C for 1.5 h followed by column chromatography afforded the product **3c** as a white solid (74 mg, 90%, 9:1 dr). **R_f** (Acetone/Dichloromethane : 3/7) = 0.41. **Mp** 182-184 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 178.1 (C), 142.3 (C), 141.8 (d, J_{C-P} = 227.1 Hz, C), 136.7 (C), 131.0 (C), 129.1 (C), 128.9 (CH), 128.9 (CH), 128.6 (CH), 128.6 (CH), 125.7 (CH), 125.3 (CH), 120.9 (CH), 109.4 (CH), 73.9 (d, J_{C-P} = 4.8 Hz, C), 60.2 (d, J_{C-P} = 21.7 Hz, CH), 52.8 (d, J_{C-P} = 5.8 Hz, CH_3), 52.6 (d, J_{C-P} = 5.6 Hz, CH_3), 20.6 (CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 10.36 (s, 1H), 8.97 (s, 1H), 7.06-7.03 (m, 3H), 6.87 (d, *J* = 8.0 Hz, 2H), 6.70 (d, *J* = 8.0 Hz, 1H), 6.57 (t, *J* = 7.6 Hz, 1H), 6.29 (d, *J* = 7.6 Hz, 1H), 4.49 (s, 1H), 3.63 (d, *J* = 11.2 Hz, 3H), 3.54 (d, *J* = 11.2 Hz, 3H), 2.23 (s, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 10.83. **HRMS** for $C_{19}H_{21}N_3O_4P^+$: calcd. $[M+H]^+$: 386.1264, found: 386.1263.

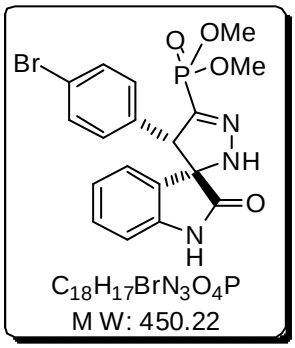
Dimethyl (4'-(4-ethylphenyl)-2-oxo-2',4'-dihydrospiro[indoline-3,3'-pyrazol]-5'-yl)phosphonate (3d)



Following the general procedure, treatment of (4-ethylbenzylidine)indolin-2-one (50 mg, 0.20 mmol) with BOR (57 mg, 0.30 mmol) in the presence of KOH (22 mg, 0.40 mmol) in MeOH (3 mL) at 25 °C for 1.5 h followed by column chromatography afforded the product **3d** as a white solid (65 mg,

81%, 7:1 dr). **R_f** (Acetone/Dichloromethane : 3/7) = 0.42. **Mp** 166-168 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 178.1 (C), 143.1 (C), 142.3(C), 141.7 (d, J_{C-P} = 227.6 Hz, C), 131.3 (C), 129.1 (CH), 129.1 (CH), 128.9 (CH), 128.9 (CH), 127.4 (CH), 125.7 (C), 125.2 (CH), 120.9 (CH), 109.4 (CH), 73.9 (C), 60.3 (d, J_{C-P} = 21.7 Hz, CH), 52.9 (d, J_{C-P} = 5.6 Hz, CH_3), 52.6 (d, J_{C-P} = 5.3 Hz, CH_3), 27.7 (CH_2), 15.5 (CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 10.35 (s, 1H), 8.97 (s, 1H), 7.08-7.02 (m, 3H), 6.88 (d, *J* = 7.2 Hz, 2H), 6.70 (d, *J* = 7.6 Hz, 1H), 6.54 (t, *J* = 7.4 Hz, 1H), 6.23 (d, *J* = 7.2 Hz, 1H), 4.49 (s, 1H), 3.63 (d, *J* = 11.2 Hz, 3H), 3.54 (d, *J* = 11.2 Hz, 3H), 2.53 (q, *J* = 6.8 Hz, 2H), 1.21 (t, *J* = 7.4 Hz, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 10.83. **HRMS** for $C_{20}H_{23}N_3O_4P^+$: calcd. $[M+H]^+$: 400.1421. found: 400.1432.

Dimethyl(4'-(4-bromophenyl)-2-oxo-2',4'-dihydrospiro[indoline-3,3'-pyrazol]-5'-yl)phosphonate (3e)

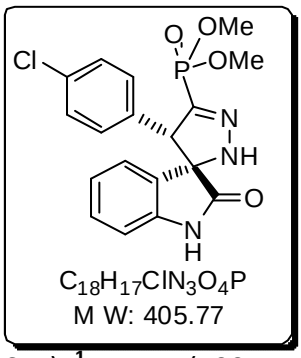


$C_{18}H_{17}BrN_3O_4P$
M W: 450.22

Following the general procedure, treatment of (4-Bromobenzylidine)indolin-2-one (50 mg, 0.17 mmol) with BOR (48 mg, 0.25 mmol) in the presence of KOH (19 mg, 0.34 mmol) in MeOH (3 mL) at 25 °C for 1.5 h followed by column chromatography afforded the product **3e** as a white solid (69 mg, 90%, 7:1 dr). **R_f** (Acetone/Dichloromethane : 3/7) = 0.42. **Mp** 174-178 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 177.7 (C), 142.4 (C), 141.1 (d, J_{C-P} = 227.5 Hz, C), 133.7 (C), 131.1 (CH), 131.1 (CH), 131.0 (CH), 131.0 (CH), 129.3 (C), 125.5 (CH), 124.4 (C), 121.0 (CH), 120.8 (CH), 109.6 (CH), 73.6 (d, J_{C-P} = 4.7 Hz, C), 59.5 (d, J_{C-P} = 21.8 Hz, CH), 52.9 (d, J_{C-P} = 5.8 Hz, CH_3), 52.7 (d, J_{C-P} = 5.5 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 10.39 (s, 1H), 9.05 (s, 1H), 7.44 (d, *J* = 8.0 Hz, 2H), 7.07 (t, *J* = 7.8 Hz, 1H), 6.95 (d, *J* = 8.4 Hz, 2H), 6.72 (d, *J* = 8.0 Hz, 1H), 6.61 (t, *J* = 7.6 Hz, 1H), 6.28 (d, *J* = 7.2 Hz, 1H), 4.57 (s, 1H), 3.65 (d, *J* = 11.2 Hz, 3H), 3.57 (d, *J* = 11.2 Hz, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 10.54. **HRMS** for $C_{18}H_{18}N_3O_4PBr^+$: calcd. $[M+H]^+$: 450.1213, found: 450.1214.

Dimethyl (4'-(4-chlorophenyl)-2-oxo-2',4'-dihydrospiro[indoline-3,3'-pyrazol]-5'-yl)phosphonate (3f)

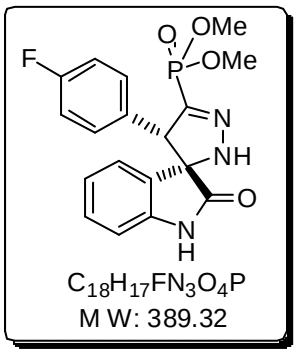
Following the general procedure, treatment of (4-Chlorobenzylidine)indolin-2-one (50 mg, 0.20 mmol) with BOR (57 mg, 0.30 mmol) in the presence of KOH (22 mg, 0.40 mmol) in MeOH (3 mL) at 25 °C for 1.5 h followed by column chromatography afforded the product **3f**



$C_{18}H_{17}ClN_3O_4P$
M W: 405.77

as a white solid (75 mg, 94%, 5:1 dr). **R_f** (Acetone/Dichloromethane : 3/7) = 0.42. **Mp** 178-180 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 177.8 (C), 142.4 (C), 142.2 (d, J_{C-P} = 227.6 Hz, C), 133.3 (C), 132.2 (C), 130.8 (CH), 130.8 (CH), 129.3 (C), 128.1 (CH), 128.1 (CH), 125.5 (CH), 124.9 (CH), 121.0 (CH), 109.6 (CH), 73.7 (d, J_{C-P} = 4.6 Hz, C), 59.4 (d, J_{C-P} = 21.7 Hz, CH), 52.9 (d, J_{C-P} = 5.7 Hz, CH_3), 52.7 (d, J_{C-P} = 5.5 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 10.40 (s, 1H), 9.06 (s, 1H), 7.31 (d, *J* = 7.6 Hz, 2H), 7.08 (t, *J* = 7.8 Hz, 1H), 7.02 (d, *J* = 8.0 Hz, 2H), 6.73 (d, *J* = 8.0 Hz, 1H), 6.61 (t, *J* = 7.6 Hz, 1H), 6.27 (d, *J* = 7.2 Hz, 1H), 4.59 (s, 1H), 3.65 (d, *J* = 11.2 Hz, 3H), 3.57 (d, *J* = 11.2 Hz, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 10.58. **HRMS** for $C_{18}H_{18}N_3O_4PCl^+$: calcd. $[M+H]^+$: 406.0718, found: 406.0725.

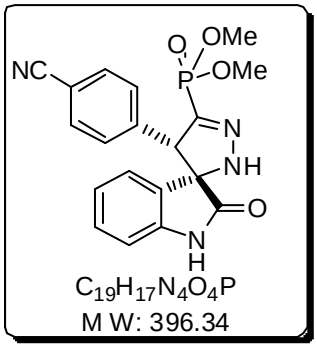
Dimethyl (4'-(4-fluorophenyl)-2-oxo-2',4'-dihydrospiro[indoline-3,3'-pyrazol]-5' yl)phosphonate (3g)



$C_{18}H_{17}FN_3O_4P$
M W: 389.32

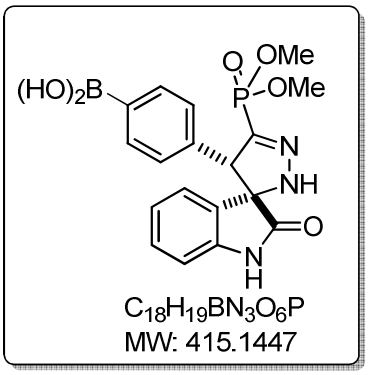
Following the general procedure, treatment of (4-fluorobenzylidine)indolin-2-one (50 mg, 0.21 mmol) with BOR (60 mg, 0.32 mmol) in the presence of KOH (23 mg, 0.42 mmol) in MeOH (3 mL) at 25 °C for 1.5 h followed by column chromatography afforded the product **3g** as a pale yellow solid (77 mg, 94%, 10:1 dr). **R_f** (Acetone/Dichloromethane : 3/7) = 0.39. **Mp** 168-170 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 177.8 (C), 161.3 (d, J_{C-F} = 242.3 Hz, C), 142.4 (C), 141.5 (d, J_{C-P} = 227.5 Hz, C), 131.0 (d, J_{C-F} = 8.3 Hz, CH), 130.4 (d, J_{C-F} = 2.8 Hz, CH), 129.2 (C), 125.6 (CH), 125.0 (CH), 121.0 (CH), 115.0 (CH), 114.8 (CH), 109.5 (CH), 73.7 (d, J_{C-P} = 5.0 Hz, C), 59.3 (d, J_{C-P} = 21.7 Hz, CH), 52.9 (d, J_{C-P} = 5.9 Hz, CH_3), 52.7 (d, J_{C-P} = 5.6 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 10.38 (s, 1H), 9.02 (s, 1H), 7.10-7.00 (m, 5H), 6.72 (d, *J* = 7.6 Hz, 1H), 6.60 (dt, *J* = 7.2 Hz, 1H), 6.22 (d, *J* = 7.2 Hz, 1H), 4.58 (s, 1H), 3.64 (d, *J* = 11.2 Hz, 3H), 3.57 (d, *J* = 11.2 Hz, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 10.66. **HRMS** for$C_{18}H_{18}N_3O_4PF^+$: calcd. $[M+H]^+$: 390.1013, found: 390.1014.

Dimethyl (4'-(4-cyanophenyl)-2-oxo-2',4'-dihydrospiro[indoline-3,3'-pyrazol]-5'-yl)phosphonate (3h)



Following the general procedure, treatment of (4-cyanobenzylidine)indolin-2-one (50 mg, 0.20 mmol) with BOR (57 mg, 0.30 mmol) in the presence of KOH (22 mg, 0.40 mmol) in MeOH (3 mL) at 25 °C for 1.5 h followed by column chromatography afforded the product **3h** as a white solid (75 mg, 94%, 9:1 dr). $\mathbf{R_f}$ (Acetone/Dichloromethane : 3/7) = 0.39. **Mp** 172-174 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 177.5 (C), 142.5 (C), 140.6 ($J_{C\text{-}P}$ =228.1 Hz,C), 140.1(C), 132.0 (CH), 132.0 (CH), 130.0 (CH), 130.0 (CH), 129.4 (C), 125.4 (CH), 124.5 (CH) 121.0 (CH), 118.6 (CH), 110.4 (C), 109.7 (C), 73.7 (d, $J_{C\text{-}P}$ = 4.5 Hz, C), 59.5 (d, $J_{C\text{-}P}$ = 21.7 Hz, CH), 53.0 (d, $J_{C\text{-}P}$ = 5.7 Hz, CH_3), 52.7 (d, $J_{C\text{-}P}$ = 5.6 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 10.44 (s, 1H), 9.13 (s, 1H), 7.73 (d, *J* = 8.0 Hz, 2H), 7.20 (d, *J* = 8.0 Hz, 2H), 7.07 (t, *J* = 7.6 Hz, 1H), 6.73 (d, *J* = 8.0 Hz, 1H), 6.59 (t, *J* = 7.6 Hz, 1H), 6.20 (d, *J* = 7.6 Hz, 1H), 4.71 (s, 1H), 3.67 (d, *J* = 11.2 Hz, 3H), 3.58 (d, *J* = 11.2 Hz, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 10.37. **HRMS** for $C_{19}H_{18}N_4O_4P^+$: calcd. $[M+H]^+$: 397.1055, found: 397.1062.

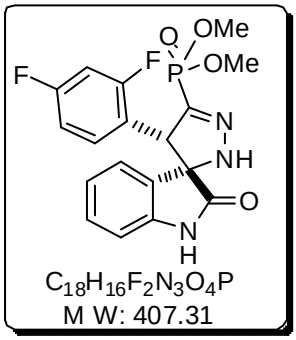
(4-(5'-(Dimethoxyphosphoryl)-2-oxo-2',4'-dihydrospiro[indoline-3,3'-pyrazol]-4'-yl)phenyl)boronic acid (3i)



Following the general procedure, treatment of (4-((2-oxoindolin-3-ylidene)methyl)phenyl)boronic acid (50 mg, 0.19 mmol) with BOR (56 mg, 0.29 mmol) in the presence of KOH (21 mg, 0.38 mmol) in MeOH (3 mL) at 25 °C for 1.5 h followed by column chromatography afforded the product **3i** as a pale yellow solid (53 mg, 67%, 7:1 dr). $\mathbf{R_f}$ (Acetone/Dichloromethane : 5/5) = 0.33. **Mp** 184-186 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 177.9 (C), 142.2 (C), 141.5 (d, $J_{C\text{-}P}$ = 227.4 Hz, C), 135.8 (C), 133.9 (CH), 133.9 (CH), 133.3 (C), 129.1 (C), 129.1 (CH), 128.0 (C), 125.6 (CH), 125.1 (CH), 120.9 (CH), 109.4 (CH), 73.8 (d, $J_{C\text{-}P}$ = 4.7 Hz, C), 60.5 (d, $J_{C\text{-}P}$ = 21.8 Hz, CH), 52.8 (d, $J_{C\text{-}P}$ = 5.8 Hz, CH_3), 52.6 (d, $J_{C\text{-}P}$ = 5.5 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 10.37 (s, 1H), 9.00 (s, 1H), 7.98 (s, 2H), 7.64 (d, *J* = 7.2 Hz, 2H), 7.03(t, *J* = 7.6 Hz, 1H), 6.95 (d, *J* = 7.6 Hz, 2H),

6.70 (d, *J* = 8.0 Hz, 1H), 6.53 (t, *J* = 7.4 Hz, 1H), 6.26 (d, *J* = 7.6 Hz, 1H), 4.52 (s, 1H), 3.63 (d, *J* = 11.2 Hz, 3H), 3.53 (d, *J* = 11.2 Hz, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 10.74. **HRMS** for $C_{18}H_{20}N_3O_6PB^+$: calcd. $[M+H]^+$: 416.1177, found: 416.1187.

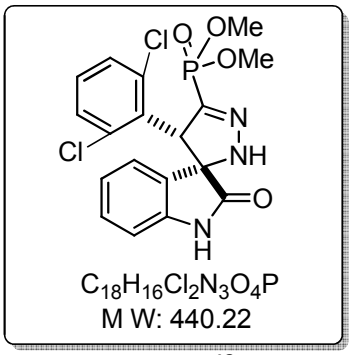
Dimethyl (4'-(2,4-difluorophenyl)-2-oxo-2',4'-dihydrospiro[indoline-3,3'-pyrazol]-5'-yl)phosphonate (3j)



$C_{18}H_{16}F_2N_3O_4P$
M W: 407.31

Following the general procedure, treatment of (2,4-difluorobenzylidine)indolin-2-one (50 mg, 0.19 mmol) with BOR (56 mg, 0.29 mmol) in the presence of KOH (21 mg, 0.38 mmol) in MeOH (3 mL) at 25 °C for 1.5 h followed by column chromatography afforded the product **3j** as a pale yellow solid (47 mg, 61%, 10:1 dr). **R_f** (Acetone/Dichloromethane : 3/7) = 0.36. **Mp** 175-177 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 177.6 (C), 158.1 (d, J_{C-F} = 239.8 Hz, C), 155.7 (d, J_{C-F} = 240.6 Hz, C), 142.4 (C), 137.7 (d, J_{C-P} = 230.3 Hz, C), 129.7 (C), 125.2 (CH), 124.8 (C), 123.7 (d, J_{C-F} = 7.5 Hz, CH), 123.6 (d, J_{C-F} = 7.4 Hz, C) 121.3 (CH), 116.8 (d, J_{C-F} = 24.7 Hz, CH), 116.6 (d, J_{C-F} = 5.0 Hz, CH), 116.4 (CH), 109.7 (CH), 73.1 (d, J_{C-P} = 4.5 Hz, C), 53.2 (d, J_{C-P} = 6.0 Hz, CH_3), 52.8 (d, J_{C-P} = 5.9 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 10.49 (s, 1H), 9.23 (s, 1H), 7.13-7.02 (m, 3H), 6.96-6.93 (m, 1H), 6.76 (d, *J* = 7.6 Hz, 1H), 6.64 (t, *J* = 7.4 Hz, 1H), 6.36 (d, *J* = 6.8 Hz, 1H), 4.71 (s, 1H), 3.71 (d, *J* = 11.2 Hz, 3H), 3.63 (d, *J* = 11.2 Hz, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 10.25. **HRMS** for $C_{18}H_{17}N_3O_4PF_2^+$: calcd. $[M+H]^+$: 408.0919, found: 408.0928.

Dimethyl (4'-(2,6-dichlorophenyl)-2-oxo-2',4'-dihydrospiro[indoline-3,3'-pyrazol]-5'-yl)phosphonate (3k)

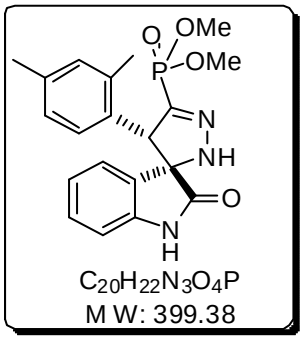


$C_{18}H_{16}Cl_2N_3O_4P$
M W: 440.22

Following the general procedure, treatment of (2,6-dichlorobenzylidine)indolin-2-one (50 mg, 0.17 mmol) with BOR (50 mg, 0.26 mmol) in the presence of KOH (19 mg, 0.34 mmol) in MeOH (3 mL) at 25 °C for 1.5 h followed by column chromatography afforded the product **3k** as a white solid (52 mg, 69%, 4:1 dr). **R_f** (Acetone/Dichloromethane : 3/7) = 0.36. **Mp** 204-206 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 178.0 (C), 142.8 (C), 137.2 (d, J_{C-P} = 233.0 Hz, C), 135.7 (C), 131.8 (C), 130.0 (C), 130.0 (C), 129.9 (CH), 129.6 (CH), 128.1 (CH),

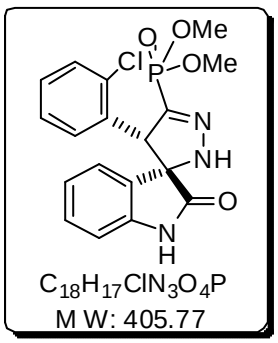
125.4 (CH), 125.4 (CH), 121.4 (CH), 109.7 (CH), 72.6 (d, J_{C-P} = 4.6 Hz, C), 57.0 (d, J_{C-P} = 23.2 Hz, CH), 53.0 (d, J_{C-P} = 5.5 Hz, CH_3), 52.8 (d, J_{C-P} = 5.5 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 10.50 (s, 1H), 9.33 (s, 1H), 7.42 (d, *J* = 1.6 Hz, 1H), 7.24-7.20 (m, 2H), 7.08 (t, *J* = 7.6 Hz, 1H), 6.96 (d, *J* = 7.2 Hz, 1H), 6.75 (d, *J* = 7.2 Hz, 1H), 6.67 (t, *J* = 7.6 Hz, 1H), 5.26 (s, 1H), 3.70 (d, *J* = 11.2 Hz, 3H), 3.62 (d, *J* = 11.2 Hz, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 10.03. **HRMS** for $C_{18}H_{17}N_3O_4PCl_2^+$: calcd. $[M+H]^+$: 440.0328, found: 440.0325.

Dimethyl (4'-(2,4-dimethylphenyl)-2-oxo-2',4'-dihydrospiro[indoline-3,3'-pyrazol]-5'-yl)phosphonate (3l)



Following the general procedure, treatment of (2,4-dimethylbenzylidine)indolin-2-one (50 mg, 0.21 mmol) with BOR (60 mg, 0.32 mmol) in the presence of KOH (23 mg, 0.42 mmol) in MeOH (3 mL) at 25 °C for 1.5 h followed by column chromatography afforded the product **3l** as a white solid (72 mg, 89%, 16:1 dr). **R_f** (Acetone/Dichloromethane : 3/7) = 0.38. **Mp** 203-205 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 178.1 (C), 142.3 (C), 141.7 (d, J_{C-P} = 227.1 Hz, C), 136.6 (C), 135.9 (C), 130.8 (C), 129.3 (CH), 129.2 (CH), 128.4 (CH), 126.2 (CH), 125.6 (CH), 125.1 (CH), 120.9 (CH), 109.3 (CH), 72.8 (d, J_{C-P} = 4.8 Hz, C), 56.4 (d, J_{C-P} = 21.7 Hz, CH), 52.9 (d, J_{C-P} = 5.9 Hz, CH_3), 52.6 (d, J_{C-P} = 5.5 Hz, CH_3), 20.5 (CH_3) 18.5 (CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 10.42 (s, 1H), 8.99 (s, 1H), 7.09-7.04 (m, 2H), 6.94 (d, *J* = 8.0 Hz, 2H), 6.80 (s, 1H), 6.74 (d, *J* = 7.6 Hz, 1H), 6.52 (t, *J* = 7.6 Hz, 1H), 6.04 (d, *J* = 7.6 Hz, 1H), 4.60 (s, 1H), 3.66 (d, *J* = 11.2 Hz, 3H), 3.58 (d, *J* = 11.2 Hz, 3H), 2.21 (s, 3H), 1.66 (s, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 10.71. **HRMS** for $C_{20}H_{23}N_3O_4P^+$: calcd. $[M+H]^+$: 400.1421, found: 400.1429.

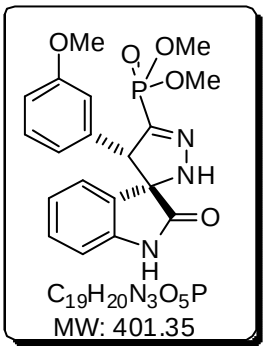
Dimethyl (4'-(2-chlorophenyl)-2-oxo-2',4'-dihydrospiro[indoline-3,3'-pyrazol]-5'-yl)phosphonate (3m)



Following the general procedure, treatment of (2-Chlorobenzylidine)indolin-2-one (50 mg, 0.20 mmol) with BOR (57 mg, 0.30 mmol) in the presence of KOH (22 mg, 0.40 mmol) in MeOH (3 mL) at 25 °C for 1.5 h followed by column chromatography afforded the product **3m** as a white solid (72 mg, 89%, >20:1 dr). **R_f**

(Acetone/Dichloromethane : 3/7) = 0.44. **Mp** 187-189 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 177.7 (C), 143.0 (C), 139.5 (d, J_{C-P} = 227.6 Hz, C), 133.5 (C), 131.9 (C), 130.2 (CH), 129.5 (CH), 129.4 (CH), 129.2 (CH), 127.0 (CH), 125.1 (CH), 124.7 (C), 120.9 (CH), 110.5 (CH), 72.7 (d, J_{C-P} = 4.4 Hz, C), 56.3 (d, J_{C-P} = 21.4 Hz, CH), 53.0 (d, J_{C-P} = 5.8 Hz, CH_3), 53.6 (d, J_{C-P} = 5.6 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 10.47 (s, 1H), 9.16 (s, 1H), 7.42 (t, *J* = 7.2 Hz, 1H), 7.29-7.20 (m, 3H), 7.08 (t, *J* = 8.0 Hz, 1H), 6.75 (d, *J* = 8.0 Hz, 1H), 6.51 (t, *J* = 7.4 Hz, 1H), 6.00 (d, *J* = 7.2 Hz, 1H), 4.87 (s, 1H), 3.70 (d, *J* = 11.2 Hz, 3H), 3.60 (d, *J* = 11.2 Hz, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 10.41. **HRMS** for $C_{18}H_{18}N_3O_4PCl^+$: calcd. $[M+H]^+$: 406.0718, found: 406.0725.

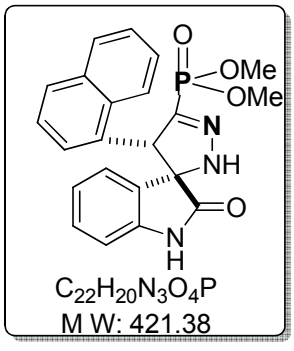
Dimethyl (4'-(3-methoxyphenyl)-2-oxo-2',4'-dihydrospiro[indoline-3,3'-pyrazol]-5'-yl)phosphonate(3n)



$C_{19}H_{20}N_3O_5P$
MW: 401.35

Following the general procedure, treatment of (3-methoxybenzylidine)indolin-2-one (50 mg, 0.20 mmol) with BOR (57 mg, 0.30 mmol) in the presence of KOH (22 mg, 0.40 mmol) in MeOH (3 mL) at 25 °C for 1.5 h followed by column chromatography afforded the product **3n** as a white solid (72 mg, 89%, 7:1 dr). **R_f** (Acetone/Dichloromethane : 3/7) = 0.41. **Mp** 176-178 °C. **^{13}C NMR** (100 MHz, δ ppm/DMSO-d_6): 177.9 (C), 158.9 (C), 142.3 (C), 141.3 (d, J_{C-P} = 227.0 Hz, C), 135.5 (C), 129.2 (C), 129.1 (CH), 125.8 (CH), 125.2 (C), 121.2 (CH), 121.0 (CH), 114.7 (CH), 113.1 (CH), 109.4 (CH), 74.0 (d, J_{C-P} = 4.7 Hz, C), 60.3 (d, J_{C-P} = 21.7 Hz, CH), 54.9 (CH_3), 52.9 (d, J_{C-P} = 5.8 Hz, CH_3), 52.7 (d, J_{C-P} = 5.5 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 10.38 (s, 1H), 9.0 (s, 1H), 7.16 (t, *J* = 8.0 Hz, 1H), 7.04 (t, *J* = 7.8 Hz, 1H), 6.78 (d, *J* = 10.0 Hz, 1H), 6.72 (d, *J* = 7.6 Hz, 1H), 6.60-6.54 (m, 3H), 6.31 (d, *J* = 7.6 Hz, 1H), 4.53 (s, 1H), 3.64 (s, 3H), 3.65 (d, *J* = 10.0 Hz, 3H), 3.56 (d, *J* = 11.2 Hz, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 10.76. **HRMS** for $C_{19}H_{21}N_3O_5P^+$: calcd. $[M+H]^+$: 402.1213, found: 402.1214.

Dimethyl (4'-(naphthalen-1-yl)-2-oxo-2',4'-dihydrospiro[indoline-3,3'-pyrazol]-5'-yl)phosphonate (3o)

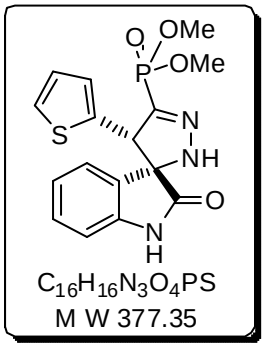


$C_{22}H_{20}N_3O_4P$
M W: 421.38

Following the general procedure, treatment of (naphthalen-2-ylmethylene)indolin-2-one (50 mg, 0.18 mmol) with BOR (52 mg, 0.27 mmol) in the presence of KOH (20 mg, 0.36 mmol) in MeOH (3

mL) at 25 °C for 1.5 h followed by column chromatography afforded the product **3o** as a white solid (37mg, 48 %, 7:1 dr). $\mathbf{R_f}$ (Acetone/Dichloromethane : 3/7) = 0.45. **Mp** 188-190 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 178.2 (C), 142.1 (C), 140.5 (d, $J_{C\text{-}P}$ = 230.3 Hz, C), 139.3(C), 133.2 (C), 131.3 (C), 129.9 (C), 129.0 (C), 128.4 (CH), 128.2 (CH), 126.9 (CH), 126.2 (CH), 125.3 (CH), 125.1 (CH), 124.8 (CH), 122.2 (CH), 120.6 (CH), 109.3 (CH), 73.3 (C), 55.4 (d, $J_{C\text{-}P}$ = 21.7 Hz, CH), 53.0 (d, $J_{C\text{-}P}$ = 5.9 Hz, CH_3), 52.7 (d, $J_{C\text{-}P}$ = 5.5 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 10.48 (s, 1H), 9.12 (s, 1H), 7.80 (t, J = 7.8 Hz, 2H), 7.57-7.48 (m, 2H), 7.35-7.26 (m, 3H), 6.81 (t, J = 7.6 Hz, 1H), 6.58 (d, J = 7.6 Hz, 1H), 6.23 (t, J = 7.4 Hz, 1H), 5.97 (d, J = 7.6 Hz, 1H), 5.31 (s, 1H), 3.70 (d, J = 11.2 Hz, 3H), 3.57 (d, J = 11.2 Hz, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 10.84. **HRMS** for $C_{22}H_{21}N_3O_4P^+$: calcd. $[M+H]^+$: 422.1264, found: 422.1268.

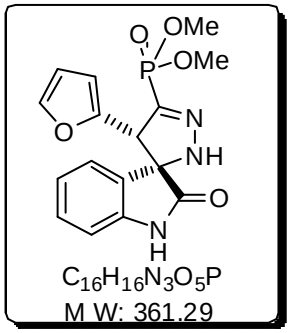
Dimethyl (2-oxo-4'-(thiophen-2-yl)-2',4'-dihydrospiro[indoline-3,3'-pyrazol]-5'-yl)phosphonate (3p)



$C_{16}H_{16}N_3O_4PS$
M W 377.35

Following the general procedure, treatment of (thiophene-2-ylmethylene)indolin-2-one (50 mg, 0.22 mmol) with BOR (63 mg, 0.33 mmol) in the presence of KOH (25 mg, 0.44 mmol) in MeOH (3 mL) at 25 °C for 1.5 h followed by column chromatography afforded the product **3p** as a white solid (60 mg, 73%, 8.3:1 dr). $\mathbf{R_f}$ (Acetone/Dichloromethane : 3/7) = 0.50. **Mp** 180-182 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 177.5 (C), 142.2 (C), 142.8 (C), 140.5 (d, $J_{C\text{-}P}$ = 228.9 Hz, C), 136.2 (C), 129.5 (C), 127.6 (CH), 126.8 (CH), 126.0 (CH), 125.1 (CH), 125.0 (CH), 121.2 (CH), 109.5 (CH) 74.0 (C), 55.2 (d, $J_{C\text{-}P}$ = 21.8 Hz, CH), 52.9 (d, $J_{C\text{-}P}$ = 5.9 Hz, CH_3), 52.7 (d, $J_{C\text{-}P}$ = 5.7 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 10.42 (s, 1H), 9.06 (s, 1H), 7.37 (d, J = 4.8 Hz, 1H), 7.11 (t, J = 7.4 Hz, 1H), 6.92 (t, J = 4.8 Hz, 1H), 6.79 (d, J = 2.8 Hz, 1H), 6.74 (d, J = 7.6 Hz,1H), 6.66 (t, J = 7.4Hz, 1H), 6.45 (d, J = 7.2Hz, 1H), 4.84 (s, 1H), 3.66 (d, J = 11.6 Hz, 3H), 3.59 (d, J = 11.2 Hz, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 10.24. **HRMS** for $C_{16}H_{17}N_3O_4PS^+$: calcd. $[M+H]^+$: 378.0672, found: 378.0662.

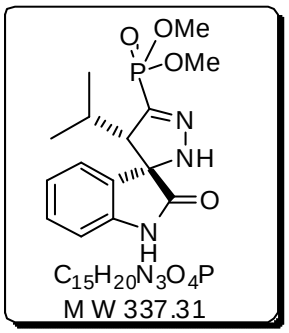
Dimethyl (4'-(furan-2-yl)-2-oxo-2',4'-dihydrospiro[indoline-3,3'-pyrazol]-5'-yl)phosphonate (3q)



$C_{16}H_{16}N_3O_5P$
M W: 361.29

Following the general procedure, treatment of (furan-2-methylene)indolin-2-one (50 mg, 0.24 mmol) with BOR (68 mg, 0.36 mmol) in the presence of KOH (27 mg, 0.48 mmol) in MeOH (3 mL) at 25 °C for 1.5 h followed by column chromatography afforded the product **3q** as a pale yellow solid (57 mg, 66%, 10:1 dr). $\mathbf{R_f}$ (Acetone/Dichloromethane : 3/7) = 0.39. **Mp** 176-178 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 177.4 (C), 147.8 (C), 142.8 (C), 142.2 (CH), 137.3 (d, $J_{C\text{-}P}$ = 230.3 Hz, C), 129.5 (C), 125.7 (CH), 125.1 (CH), 121.4 (CH), 110.7 (CH), 109.6 (CH), 109.5 (CH), 73.3 (d, $J_{C\text{-}P}$ = 4.5 Hz, C), 54.2 (d, $J_{C\text{-}P}$ = 21.9 Hz, CH), 52.8 (d, $J_{C\text{-}P}$ = 5.9 Hz, CH_3), 52.7 (d, $J_{C\text{-}P}$ = 5.6 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 10.44 (s, 1H), 9.08 (s, 1H), 7.50 (s, 1H), 7.13 (t, *J* =7.6 Hz, 1H), 6.76-6.71 (m, 2H), 6.59 (d, *J* = 7.6 Hz, 1H), 6.30 (s, 1H), 6.19 (s, 1H), 4.69 (s, 1H), 3.63 (d, *J* = 11.2 Hz, 3H), 3.59 (d, *J* = 11.2 Hz, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 10.48. **HRMS** for $C_{16}H_{17}N_3O_5P^+$: calcd. $[M+H]^+$: 362.0900, found: 362.0909.

Dimethyl(4'-isopropyl-2-oxo-2',4'-dihydrospiro[indoline-3,3'-pyrazol]-5'-yl)phosphonate (3r)

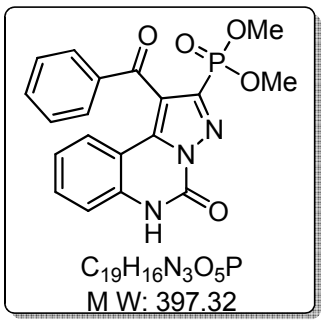


$C_{15}H_{20}N_3O_4P$
M W 337.31

Following the general procedure, treatment of (2-methylpropylidene)indolin-2-one (50 mg, 0.26 mmol) with BOR (77 mg, 0.40 mmol) in the presence of KOH (29 mg, 0.52 mmol) in MeOH (3 mL) at 25 °C for 2 h followed by column chromatography afforded the product **3r** as a white solid (55 mg, 61%, >20:1 dr). $\mathbf{R_f}$ (Acetone/Dichloromethane : 3/7) = 0.45. **Mp** 139-141 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 178.2 (C), 142.0 (C), 140.4 (d, $J_{C\text{-}P}$ = 226.7 Hz, C), 129.7 (CH), 126.6 (C), 125.5 (CH), 121.6 (CH), 110.0 (CH), 74.5 (d, $J_{C\text{-}P}$ = 5.4 Hz, C), 61.6 (d, $J_{C\text{-}P}$ = 22.7 Hz, CH), 53.2 (d, $J_{C\text{-}P}$ = 6.3 Hz, CH_3), 52.7 (d, $J_{C\text{-}P}$ = 5.8 Hz, CH_3), 27.0 (CH), 21.1 (CH_3), 19.6 (CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 10.44 (s, 1H), 8.76 (s, 1H), 7.28-7.22 (m, 2H), 6.98 (t, *J* = 7.2 Hz, 1H), 6.85 (d, *J* = 7.6 Hz, 1H), 3.74 (d, *J* = 11.2 Hz, 3H), 3.70 (d, *J* = 11.2 Hz, 3H), 3.25 (d, *J* = 5.2 Hz, 1H), 1.94-1.86 (m, 1H), 1.00 (d, *J* = 6.8 Hz, 3H), 0.75 (d, *J* = 6.8 Hz, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 12.41. **HRMS** for $C_{15}H_{21}N_3O_4P^+$: calcd. $[M+H]^+$: 338.1264, found: 338.1275.

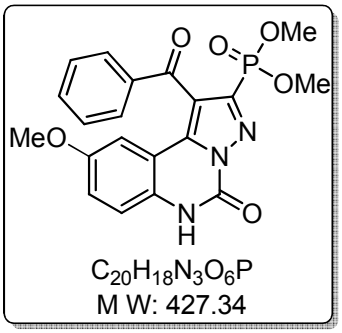
Dimethyl (1-benzoyl-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5a)

Following the general procedure, treatment of 3-(2-oxo-2-phenylethylidene)indolin-2-one (50 mg, 0.20 mmol) with BOR (58 mg, 0.30 mmol) in the presence of KOH (23 mg, 0.40 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5a** as a white solid (63 mg, 80%). $\mathbf{R_f}$ (Acetone/Dichloromethane: 3/7) = 0.38. **Mp** 226-228 °C. **^{13}C NMR** (100 MHz, δ ppm/DMSO-d_6): 191.0 (C), 143.7 (C), 143.5 (d, $J_{C\text{-}P}$ = 224.6 Hz, C), 138.7 (d, $J_{C\text{-}P}$ = 9.0 Hz, C), 136.9 (C), 135.2 (C), 134.3 (C), 131.1 (CH), 129.6 (CH), 129.6 (CH), 128.9 (CH), 128.9 (CH), 123.5 (CH), 123.3 (CH), 120.0 (d, $J_{C\text{-}P}$ = 24.4 Hz, C), 116.3 (CH), 111.2 (CH), 53.2 (d, $J_{C\text{-}P}$ = 5.7 Hz, CH_3), 53.1 (d, $J_{C\text{-}P}$ = 5.7 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 12.31 (s, 1H), 7.90 (d, *J* = 7.6 Hz, 2H), 7.70 (t, *J* = 7.2, 1H), 7.53 (d, *J* = 7.6 Hz, 3H), 7.40 (d, *J* = 8.4 Hz, 1H), 7.27 (d, *J* = 8.0 Hz, 1H), 7.10 (t, *J* = 7.6, 1H), 3.62 (d, *J* = 11.2 Hz, 6H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 8.75. **HRMS** for $C_{19}H_{17}N_3O_5P^+$: calcd. $[M+H]^+$: 398.0900, found: 398.0899.



$C_{19}H_{16}N_3O_5P$
M W: 397.32

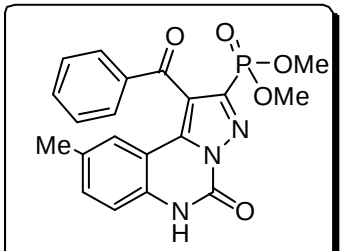
Dimethyl (1-benzoyl-9-methoxy-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5b)



$C_{20}H_{18}N_3O_6P$
M W: 427.34

Following the general procedure, treatment of 5-methoxy-3-(2-oxo-2-phenylethylidene)indolin-2-one (50 mg, 0.18 mmol) with BOR (58 mg, 0.27 mmol) in the presence of KOH (20 mg, 0.36 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5b** as a white solid (47 mg, 62%). $\mathbf{R_f}$ (Acetone/Dichloromethane: 3/7) = 0.27. **Mp** 216-218 °C. **^{13}C NMR** (100 MHz, δ ppm/CF_3COOD): 194.4 (C), 156.8 (C), 146.7 (C), 145.3 (d, $J_{C\text{-}P}$ = 224.6 Hz, C), 140.7 (d, $J_{C\text{-}P}$ = 9.0 Hz, C), 136.8 (C), 136.0 (C), 130.7 (CH), 130.7 (CH), 129.6 (CH), 129.6 (CH), 128.0 (CH), 122.0 (CH), 118.8 (d, $J_{C\text{-}P}$ = 24.4 Hz, C), 118.7 (CH), 112.1 (CH), 107.9 (CH), 55.2 (CH_3), 54.6 (d, $J_{C\text{-}P}$ = 5.7 Hz, CH_3), 54.5 (d, $J_{C\text{-}P}$ = 5.7 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/CF_3COOD): 8.20 (d, *J* = 7.6 Hz, 2H), 7.91 (t, *J* = 7.6 Hz, 1H), 7.71 (t, *J* = 7.8 Hz, 1H), 7.58 (d, *J* = 9.2 Hz, 1H), 7.43 (dd, *J* = 9.2, 2.8 Hz, 1H), 7.10 (d, *J* = 2.4 Hz, 1H), 4.06 (d, *J* = 11.6 Hz, 6H), 3.66 (s, 3H). **^{31}P NMR** (161.9 MHz, CF_3COOD): 8.08. **HRMS** for $C_{20}H_{19}N_3O_6P^+$: calcd. $[M+H]^+$: 428.1006, found: 428.1016.

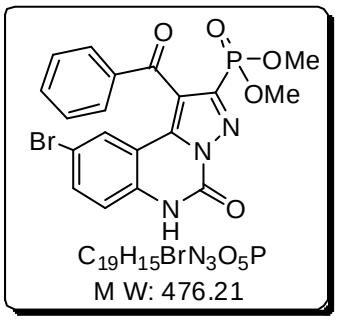
Dimethyl (1-benzoyl-9-methyl-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5c)



$C_{20}H_{18}N_3O_5P$
M W: 411.34

Following the general procedure, treatment of 5-methyl-3-2(oxo-2-phenylethylidene)indolin-2-one (50 mg, 0.19 mmol) with BOR (55 mg, 0.29 mmol) in the presence of KOH (21 mg, 0.38 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5c** as a light yellow solid (59 mg, 75%). $\mathbf{R_f}$ (Acetone/Dichloromethane : 3/7) = 0.41. **Mp** 210-212 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 191.0 (C), 143.7 (C), 143.7 (d, $J_{C\text{-}P}$ = 224.7 Hz, C), 138.7 (d, $J_{C\text{-}P}$ = 9.1 Hz, C), 137.1 (C), 134.2 (CH), 133.1 (C), 132.2 (C), 132.2 (C), 129.5 (CH), 129.5 (CH), 128.9 (CH), 128.9 (CH), 123.4 (CH), 120.0 (d, $J_{C\text{-}P}$ = 24.3 Hz, C), 116.2 (CH), 111.0 (CH), 53.2 (d, $J_{C\text{-}P}$ = 5.7 Hz, CH_3), 53.2 (d, $J_{C\text{-}P}$ = 5.7, CH_3), 20.4 (CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 12.24 (s, 1H), 7.89 (d, J = 7.6 Hz, 2H), 7.70 (t, J = 7.4 Hz, 1H), 7.53 (t, J = 7.8 Hz, 2H), 7.35-7.27 (m, 2H), 7.00 (s, 1H), 3.63 (d, J = 11.2 Hz, 6H), 2.08 (s, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 8.80. **HRMS** for $C_{20}H_{19}N_3O_5P^+$: calcd. $[M+H]^+$: 412.1057, found: 412.1071.

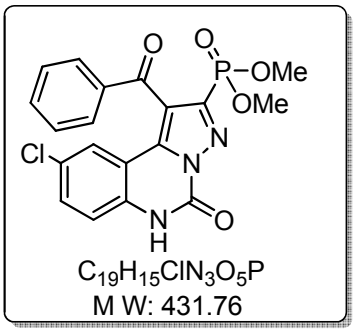
Dimethyl (1-benzoyl-9-bromo-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5d)



$C_{19}H_{15}BrN_3O_5P$
M W: 476.21

Following the general procedure, treatment of 5-bromo-3-2(oxo-2-phenylethylidene)indolin-2-one (50 mg, 0.15 mmol) with BOR (44 mg, 0.22 mmol) in the presence of KOH (17 mg, 0.20 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5d** as a white solid (62 mg, 86%). $\mathbf{R_f}$ (Acetone/Dichloromethane : 3/7) = 0.40. **Mp** 220-222 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 190.2 (C), 143.9 (d, $J_{C\text{-}P}$ = 224.0 Hz, C), 143.7 (C), 138.9 (d, $J_{C\text{-}P}$ = 9.0 Hz, C), 136.0 (C), 135.2 (C), 132.0 (CH), 132.0 (CH), 131.5 (CH), 131.5 (CH), 129.2 (C), 128.7 (C), 123.5 (CH), 123.4 (CH), 119.5 (d, $J_{C\text{-}P}$ = 24.4 Hz, C), 116.3 (CH), 111.1 (CH), 53.3 (d, $J_{C\text{-}P}$ = 5.9 Hz, CH_3), 53.2 (d, $J_{C\text{-}P}$ = 5.9 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 12.42 (s, 1H), 7.92-7.89 (m, 2H), 7.73-7.67 (m, 2H), 7.55 (t, J = 7.8 Hz, 2H), 7.34-7.31 (m, 2H), 3.62 (d, J = 9.6 Hz, 6H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 8.39. **HRMS** for $C_{19}H_{16}N_3O_5PBr^+$: calcd. $[M+H]^+$:476.0005, found: 476.0006.

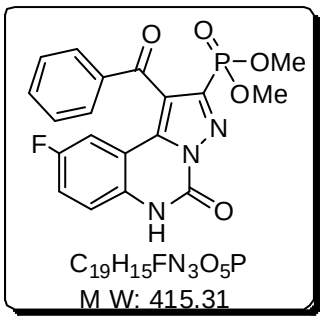
Dimethyl (1-benzoyl-9-chloro-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5e)



$C_{19}H_{15}ClN_3O_5P$
M W: 431.76

Following the general procedure, treatment of 5-chloro-3-2(oxo-2-phenylethylidene)indolin-2-one (50 mg, 0.18 mmol) with BOR (51 mg, 0.27 mmol) in the presence of KOH (20 mg, 0.36 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5e** as a white solid (67 mg, 87%). $\mathbf{R_f}$ (Acetone/Dichloromethane : 3/7) = 0.38. **Mp** 230-232 °C. **^{13}C NMR** (100 MHz, δ ppm/DMSO-d_6): 191.9 (C), 143.8 (d, J = 224.6 Hz, C), 143.5 (C), 137.7 (d, $J_{C\text{-}P}$ = 9.0 Hz, C), 136.9 (C), 134.5 (C), 134.2 (C), 131.0 (C), 129.5 (CH), 129.5 (CH), 129.0 (CH), 129.0 (CH), 126.8 (CH), 122.6 (CH), 120.5 (d, $J_{C\text{-}P}$ =24.4 Hz, C), 118.2 (CH), 112.6 (CH), 53.2 (d, $J_{C\text{-}P}$ = 5.7 Hz, CH_3), 53.2 (d, $J_{C\text{-}P}$ = 5.7 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 12.44 (s, 1H), 7.90 (dd, J = 2.4 Hz, 2H), 7.72 (t, J = 7.4, 1H), 7.59-7.53 (m, 3H), 7.39 (d, J = 7.2 Hz, 1H), 7.19 (d, J = 2.4 Hz, 1H), 3.62 (d, J = 11.2 Hz, 6H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 8.44. **HRMS** for $C_{19}H_{16}N_3O_5PCl^+$: calcd. $[M+H]^+$: 432.0510, found: 432.0511.

Dimethyl (1-benzoyl-9-fluoro-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5f)

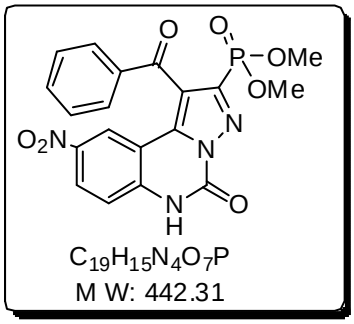


$C_{19}H_{15}FN_3O_5P$
M W: 415.31

Following the general procedure, treatment of 5-fluoro-3-(2-oxo-2-phenylethylidene)indolin-2-one (50 mg, 0.19 mmol) with BOR (44 mg, 0.28 mmol) in the presence of KOH (38 mg, 0.20 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5f** as a yellow solid (59 mg, 74%). $\mathbf{R_f}$ (Acetone/Dichloromethane : 3/7) = 0.31. **Mp** 228-230 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 190.8 (C), 157.1 (d, $J_{C\text{-}F}$ = 239.0 Hz, C), 143.7 (d, $J_{C\text{-}P}$ = 224.0 Hz, C), 143.4 (C), 138.0 (d, $J_{C\text{-}P}$ = 9.0 Hz, C), 136.9 (C), 134.5 (C), 132.1 (C), 129.6 (CH), 129.6 (CH), 129.0 (CH), 129.0 (CH), 120.6 (CH), 119.0 (d, $J_{C\text{-}P}$ = 23.8 Hz, C), 118.5 (d, $J_{C\text{-}F}$ = 8.4 Hz, CH), 112.1 (d, $J_{C\text{-}F}$ = 8.4 Hz, CH), 109.0 (d, $J_{C\text{-}F}$ = 25.4 Hz, CH), 53.2 (d, $J_{C\text{-}P}$ = 2.9 Hz, CH_3), 53.2 (d, $J_{C\text{-}P}$ = 2.9 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 12.42 (s, 1H), 7.90 (d, J = 8.4 Hz, 2H), 7.72 (t, J = 7.2 Hz, 1H), 7.54 (t, J = 7.8 Hz, 2H), 7.41 (d, J = 5.6 Hz, 2H), 6.92 (d, J = 8.8

Hz, 1H) 3.62 (d, *J* = 9.6 Hz, 6H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 8.51. **HRMS** for $C_{19}H_{16}N_3O_5PF^+$: calcd. $[M+H]^+$: 416.0806, found: 416.0805.

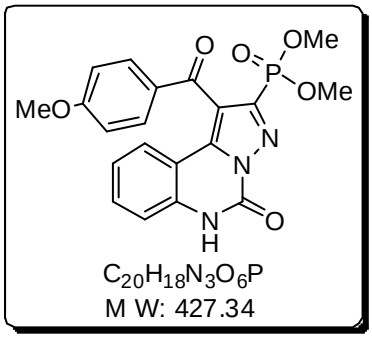
Dimethyl (1-benzoyl-9-nitro-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5g)



$C_{19}H_{15}N_4O_7P$
M W: 442.31

Following the general procedure, treatment of 5-nitro-3-2-(oxo-2-phenylethylidene)indolin-2-one (50 mg, 0.17 mmol) with BOR (49 mg, 0.26 mmol) in the presence of KOH (19 mg, 0.34mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5g** as a yellow solid (52 mg, 69%). **R$_f$** (Acetone/Dichloromethane : 3/7) = 0.29. **Mp** 236-238 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 190.7 (C), 144.2 (d, J_{C-P} = 224.6 Hz, C), 143.5 (C), 141.9 (C), 140.3 (C), 137.6 (d, J_{C-P} = 9.0 Hz, C), 136.8 (C), 134.6 (C), 129.5 (CH), 129.5 (CH), 129.0 (CH), 129.0 (CH), 125.9 (CH), 120.9 (d, J_{C-P} = 24.3 Hz, C), 119.5 (CH), 117.3 (CH), 111.4 (CH), 53.3 (d, J_{C-P} = 5.7 Hz, CH_3), 53.2 (d, J_{C-P} = 5.7 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 12.86 (s, 1H), 8.35 (dd, *J* = 8.0, 4.0 Hz, 1H), 8.14 (d, *J* = 2.4 Hz, 1H), 7.94 (d, *J* = 8 Hz, 2H), 7.72 (d, *J* = 7.6 Hz, 1H), 7.57-7.52 (m, 3H), 3.64 (d, *J* = 11.2 Hz, 6H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 8.23. **HRMS** for $C_{19}H_{16}N_4O_7P^+$: calcd. $[M+H]^+$: 443.0751 found: 443.0756.

Dimethyl (1-(4-methoxybenzoyl)-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5h)

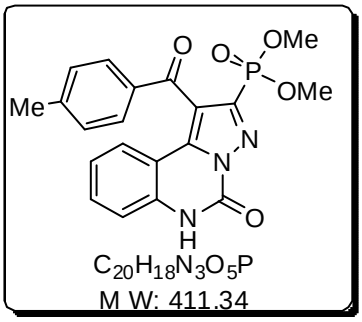


$C_{20}H_{18}N_3O_6P$
M W: 427.34

Following the general procedure, treatment of 3-(2-(4-methoxyphenyl)-2-oxoethylidene)indolin-2-one (50 mg, 0.18 mmol) with BOR (52 mg, 0.27 mmol) in the presence of KOH (20 mg, 0.36 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5h** as a white solid (54 mg, 71%). **R$_f$** (Acetone/Dichloromethane : 3/7) = 0.34. **Mp** 210-212 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 189.1 (C), 164.1 (C), 143.9 (d, J_{C-P}= 224.6 Hz, C), 143.8 (C), 138.2 (d, J_{C-P} = 9.0 Hz, C), 135.1 (C), 132.1 (CH), 132.1 (CH), 131.0 (C), 130.0 (C), 123.5 (CH), 123.3 (CH), 120.2 (d, J_{C-P} =24.4 Hz, C), 116.2 (CH), 114.2 (CH), 114.2 (CH), 111.3 (CH), 55.6 (CH_3), 53.2 (d, J_{C-P} = 5.7 Hz, CH_3), 53.2 (d, J_{C-P} = 5.7 Hz, CH_3). **^{1}H**

NMR (400 MHz, δ ppm/DMSO-d_6): 12.28 (s, 1H), 7.86 (d, *J* = 7.2 Hz, 2H), 7.53-7.49 (m, 1H), 7.38 (d, *J* = 8.0 Hz, 1H), 7.26 (d, *J* = 6.8 Hz, 1H), 7.09 (t, *J* = 7.2 Hz, 1H), 7.03 (d, *J* = 8.8 Hz, 2H), 3.84 (s, 3H), 3.63 (d, *J* = 11.6 Hz, 6H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 13.65. **HRMS** for $C_{20}H_{19}N_3O_6P^+$: calcd. $[M+H]^+$: 428.1006, found: 428.0983.

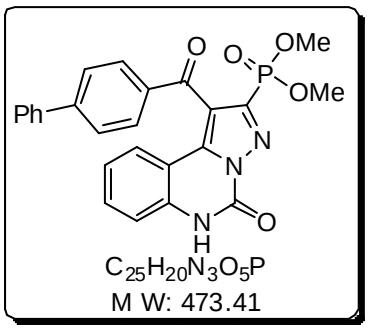
Dimethyl (1-(4-methylbenzoyl)-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5i)



$C_{20}H_{18}N_3O_5P$
M W: 411.34

Following the general procedure, treatment of 3-(2-oxo-2-(p-tolyl)ethylidene)indolin-2-one (50 mg, 0.19 mmol) with BOR (55 mg, 0.29 mmol) in the presence of KOH (21 mg, 0.38 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5i** as a pale yellow solid (63 mg, 81%). **R_f** (Acetone/Dichloromethane : 3/7) = 0.40 **Mp** 216-218 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 190.4 (C), 145.1 (C), 143.8 (C), 143.5 (d, J_{C-P} = 179.5 Hz, C), 138.5 (d, J_{C-P} = 7.2 Hz, C), 135.1 (C), 134.5 (C), 131.1 (C), 129.7 (CH), 129.7 (CH), 129.5 (CH), 129.5 (CH), 123.5 (CH), 123.4 (CH), 120.2 (d, J_{C-P} = 19.6 Hz, C), 116.3 (CH), 111.2 (CH), 53.2 (d, J_{C-P} = 5.7 Hz, CH_3), 53.2 (d, J_{C-P} = 5.7 Hz, CH_3), 21.2 (CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 12.29 (s, 1H), 7.79 (d, *J* = 7.6 Hz, 2H), 7.52-7.48 (m, 1H), 7.38 (d, *J* = 7.6 Hz, 1H), 7.33 (d, *J* = 8.0 Hz, 2H), 7.24 (d, *J* = 8.0, 1.2 Hz, 1H), 7.09 (dt, *J* = 7.2, 0.8 Hz, 1H), 3.62 (d, *J* =11.6 Hz, 6H), 2.38 (s, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 8.82. **HRMS** for $C_{20}H_{19}N_3O_5P^+$: calcd. $[M+H]^+$: 412.1057, found: 412.1050.

Dimethyl (1-([1,1'-biphenyl]-4-carbonyl)-5-oxo-5,6dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5j)

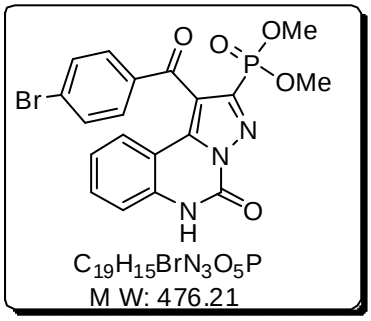


$C_{25}H_{20}N_3O_5P$
M W: 473.41

Following the general procedure, treatment of 3-(2-oxo-2-phenylethylidene)indolin-2-one (50 mg, 0.15 mmol) with BOR (43 mg, 0.23 mmol) in the presence of KOH (17 mg, 0.30 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5j** as a white solid (34 mg, 49%). **R_f** (Acetone/Dichloromethane: 3/7) = 0.40. **Mp** 220-222 °C. **^{13}C NMR** (100 MHz, δ ppm/DMSO-d_6): 190.5 (C), 145.6 (C), 143.8 (C), 143.5 (d, J_{C-P} = 224.4 Hz, C), 138.6 (d, J_{C-P} = 9.0 Hz, C), 135.8 (C), 135.2 (C), 131.1 (C), 130.3 (CH), 130.3 (CH),

129.0 (CH), 129.0 (CH), 129.0 (CH), 128.6 (C), 127.0 (CH), 127.0 (CH), 127.0 (CH), 127.0 (CH), 123.5 (CH), 123.4 (CH), 120.0 (d, J_{C-P} = 24.7 Hz, C), 116.3 (CH), 111.2 (CH) , 53.3 (d, J_{C-P} = 5.7 Hz, CH_3), 53.2 (d, J_{C-P} = 5.7 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 12.32 (s, 1H), 7.98 (d, *J* = 8.4 Hz, 2H), 7.83 (d, *J* = 8.4 Hz, 2H), 7.75(d, *J* = 8.0 Hz, 2H), 7.53-7.47 (m, 3H), 7.44-7.39 (m, 2H), 7.32 (d, *J* = 8.0 Hz, 1H), 7.12 (t, *J* = 7.6 Hz, 1H), 3.64 (d, *J* = 11.2 Hz, 6H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 8.75. **HRMS** for $C_{25}H_{21}N_3O_5P^+$: calcd. $[M+H]^+$: 474.1212, found: 474.1224.

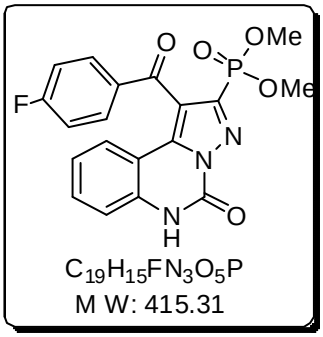
Dimethyl (1-(4-bromobenzoyl)-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5k)



$C_{19}H_{15}BrN_3O_5P$
M W: 476.21

Following the general procedure, treatment of 3-(2-(4-bromophenyl)-2-oxoethylidene)indolin-2-one (50 mg, 0.15 mmol) with BOR (44 mg, 0.23 mmol) in the presence of KOH (17 mg, 0.13 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5k** as a white solid (45 mg, 62%). **R_f** (Acetone/Dichloromethane : 3/7) = 0.42. **Mp** 210-212 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 190.2 (C), 143.7 (C), 143.4 (d, J_{C-P} = 224.1 Hz, C), 138.7 (d, J_{C-P} = 9.0 Hz, C), 136.0 (C), 135.2 (CH), 132.0 (C), 131.4 (CH), 131.4 (CH), 131.2 (CH), 131.2 (CH), 128.7 (C), 123.5 (C), 123.4 (CH), 119.5 (d, J_{C-P} = 24.5 Hz, C), 116.3 (CH), 111.1 (CH), 53.3 (d, J_{C-P} = 5.7 Hz, CH_3), 53.2 (d, J_{C-P} = 5.6 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 12.33 (s, 1H), 7.83 (d, *J* = 8.2 Hz, 2H), 7.74 (d, *J* = 8.2 Hz, 2H), 7.55-7.51 (m, 1H), 7.39 (d, *J* = 8.2 Hz, 1H), 7.28 (t, *J* = 4.0 Hz, 1H), 7.14-7.10 (m, 1H), 3.63 (d, *J* = 10.0 Hz, 6H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 8.62. **HRMS** for $C_{19}H_{16}N_3O_5PBr^+$: calcd. $[M+H]^+$: 476.0005, found: 476.0004.

Dimethyl (1-(4-fluorobenzoyl)-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5l)

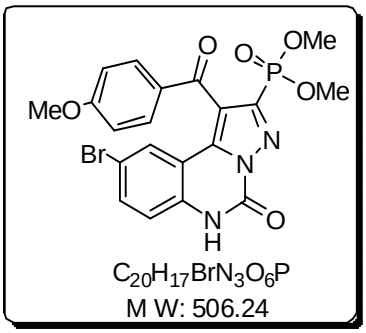


$C_{19}H_{15}FN_3O_5P$
M W: 415.31

Following the general procedure, treatment of 3-(2-(4-fluorophenyl)-2-oxoethylidene)indolin-2-one (50 mg, 0.19 mmol) with BOR (54 mg, 0.28 mmol) in the presence of KOH (21 mg, 0.38 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column

chromatography afforded the product **5l** as a white solid (58 mg, 74%). $\mathbf{R_f}$ (Acetone/Dichloromethane : 3/7) = 0.28. **Mp** 208-210 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 189.5 (C), 165.7 (d, $J_{C\text{-}F}$ = 252.3 Hz, C), 143.7 (d, $J_{C\text{-}P}$ = 224.3 Hz, C), 143.7 (C), 138.7 (d, $J_{C\text{-}P}$ = 8.8 Hz, C), 135.2 (C), 133.8 (d, $J_{C\text{-}F}$ = 2.5 Hz, CH), 132.7 (d, $J_{C\text{-}F}$ = 9.8 Hz, CH), 132.7 (d, $J_{C\text{-}F}$ = 9.8 Hz, CH), 131.2 (C), 123.5 (CH), 123.3 (CH), 119.7 (d, $J_{C\text{-}P}$ = 24.3 Hz, C), 116.3 (CH), 116.2 (CH), 115.9 (CH), 111.2 (CH), 53.2 (d, $J_{C\text{-}P}$ = 5.8 Hz, CH_3), 53.2 (d, $J_{C\text{-}P}$ = 5.8 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 12.32 (s, 1H), 8.01-7.97 (m, 2H), 7.53 (t, J = 7.6 Hz, 1H), 7.41-7.33 (m, 3H), 7.28 (d, J = 8.0 Hz, 1H), 7.12 (t, J = 7.6 Hz, 1H), 3.63 (d, J = 11.2 Hz, 6H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 8.70. **HRMS** for $C_{19}H_{16}N_3O_5PF^+$: calcd. $[M+H]^+$: 416.0806, found: 416.0820.

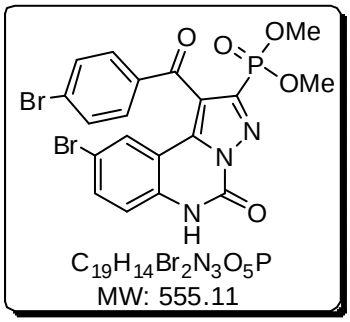
Dimethyl (9-bromo-1-(4-methoxybenzoyl)-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5m)



$C_{20}H_{17}BrN_3O_6P$
M W: 506.24

Following the general procedure, treatment of 5-bromo-3-(2-(4-methoxyphenyl)2-oxo-ethylidene)indolin-2-one (50 mg, 0.14 mmol) with BOR (40 mg, 0.21 mmol) in the presence of KOH (16 mg, 0.28 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5m** as a white solid (54 mg, 76%). $\mathbf{R_f}$ (Acetone/Dichloromethane : 3/7) = 0.38. **Mp** 210-212 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 189.0 (C), 164.2 (C), 143.6 (d, $J_{C\text{-}P}$ = 224.7 Hz, C), 143.5 (C), 137.2 (d, $J_{C\text{-}P}$ = 9.0 Hz, C), 134.4 (C), 133.6 (C), 132.1 (CH), 132.1 (CH), 129.9 (C), 125.6 (C), 120.8 (d, $J_{C\text{-}P}$ = 24.6 Hz, C), 118.4 (CH), 114.6 (CH), 114.3 (CH), 114.3 (CH), 113.2 (CH), 55.7 (CH_3), 53.3 (d, $J_{C\text{-}P}$ = 5.7 Hz, CH_3), 53.3 (d, $J_{C\text{-}P}$ = 5.7 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 12.41 (s, 1H), 7.87 (d, J = 7.2 Hz, 2H), 7.70-7.68 (m, 1H), 7.34-7.31 (m, 2H), 7.06 (d, J = 8.8 Hz, 2H), 3.86 (s, 3H), 3.64 (d, J = 11.2 Hz, 6H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 8.61. **HRMS** for $C_{20}H_{18}N_3O_6PBr^+$: calcd. $[M+H]^+$: 506.0111, found: 506.0110.

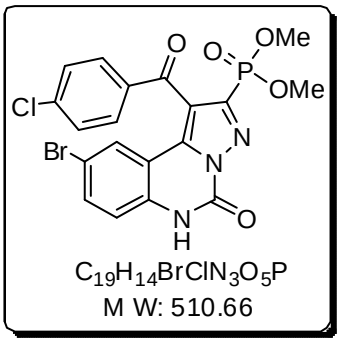
Dimethyl (9-bromo-1-(4-bromobenzoyl)-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5n)



$C_{19}H_{14}Br_2N_3O_5P$
MW: 555.11

Following the general procedure, treatment of 5-bromo-3-(2-(4-bromophenyl)-2-oxoethylidene)indolin-2-one (50 mg, 0.13 mmol) with BOR (36 mg, 0.20 mmol) in the presence of KOH (15 mg, 0.26 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5n** as a yellow solid (52 mg, 72%). $\mathbf{R_f}$ (Acetone/Dichloromethane : 3/7) = 0.40. **Mp** 208-210 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 190.1 (C), 143.8 (d, $J_{C\text{-}P}$ = 224.0 Hz, C), 143.5 (C), 137.6 (d, $J_{C\text{-}P}$ = 9.0 Hz, C), 136.1 (C), 134.6 (C), 133.8 (C), 132.1 (CH), 132.1 (CH), 131.4 (CH), 131.4 (CH), 128.9 (C), 125.6 (C), 119.2 (d, $J_{C\text{-}P}$ = 24.5 Hz, C), 118.5 (CH), 114.6 (CH), 113.0 (CH), 53.3 (d, $J_{C\text{-}P}$ = 5.8 Hz, CH_3), 53.2 (d, $J_{C\text{-}P}$ = 5.6 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 12.46 (s, 1H), 7.82 (d, J = 8.4 Hz, 2H), 7.77 (d, J = 8.4 Hz, 2H), 7.71 (d, J = 8.8 Hz, 1H), 7.36 (s, 1H), 7.33 (d, J = 8.8 Hz, 1H), 3.63 (d, J =10.0 Hz, 6H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 8.33. **HRMS** for $C_{19}H_{15}N_3O_5PBr_2^+$: calcd. $[M+H]^+$: 553.9111, found: 553.9094

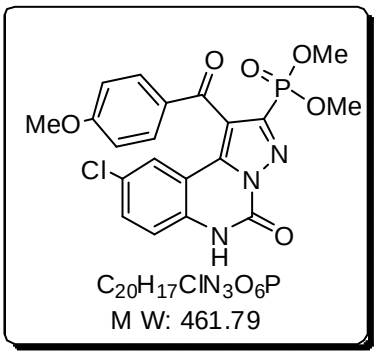
Dimethyl (9-bromo-1-(4-chlorobenzoyl)-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5o)



$C_{19}H_{14}BrClN_3O_5P$
M W: 510.66

Following the general procedure, treatment of 5-bromo-3-(2-(4-chlorophenyl)-2-oxoethylidene)indolin-2-one (50 mg, 0.14 mmol) with BOR (40 mg, 0.21 mmol) in the presence of KOH (16 mg, 0.28 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5o** as a pale yellow solid (47 mg, 66%). $\mathbf{R_f}$(Acetone/Dichloromethane : 3/7) = 0.28. **Mp** 210-212 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 189.9 (C), 143.8 (d, $J_{C\text{-}P}$ = 224.5 Hz, C), 143.5 (C), 139.5 (C), 137.9 (C), 135.8 (C), 134.6 (C), 133.8(C), 131.4 (CH), 131.4 (CH), 129.2 (CH), 129.2 (CH), 125.6 (C), 120.5 (d, $J_{C\text{-}P}$ =24.1 Hz, C), 118.5 (CH), 114.6 (CH), 113.1 (CH), 53.3 (d, $J_{C\text{-}P}$ = 5.8 Hz, CH_3), 53.3 (d, $J_{C\text{-}P}$ = 5.6 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 12.46 (s, 1H), 7.91 (d, J = 8.8 Hz, 2H), 7.71 (d, J = 8.8 Hz, 1H), 7.63 (d, J = 8.8 Hz, 2H), 7.36-7.32(m, 2H), 3.63 (d, J =11.6 Hz, 6H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 8.58. **HRMS** for $C_{19}H_{15}N_3O_5PBrCl^+$: calcd. $[M+H]^+$: 509.9616, found: 509.9614.

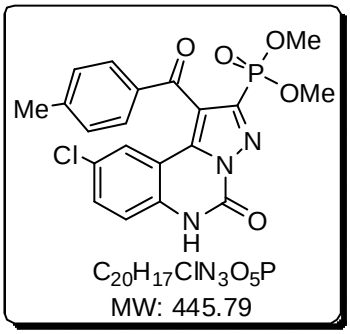
Dimethyl (9-chloro-1-(4-methoxybenzoyl)-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5p)



$C_{20}H_{17}ClN_3O_6P$
M W: 461.79

Following the general procedure, treatment of 5-chloro-3-(2-(4-methoxyphenyl)-2-oxoethylidene)indolin-2-one (50 mg, 0.16 mmol) with BOR (46 mg, 0.24 mmol) in the presence of KOH (18 mg, 0.32 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5p** as a white solid (51 mg, 69%). $\mathbf{R_f}$ (Acetone/Dichloromethane : 3/7) = 0.34. **Mp** 202-204 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 189.0 (C), 164.2 (C), 143.6 (d, $J_{C\text{-}P}$ = 224.7 Hz, C), 143.5 (C), 137.3 (d, $J_{C\text{-}P}$ = 9.0 Hz, C), 134.1 (C), 132.1 (CH), 132.1 (CH), 130.8 (C), 129.9 (C), 126.9 (C), 122.5 (CH), 120.8 (d, $J_{C\text{-}P}$ = 24.4 Hz, C), 118.2 (CH), 114.3 (CH), 114.3 (CH), 112.7 (CH), 55.7 (CH_3), 53.3 (d, $J_{C\text{-}P}$ = 5.7 Hz, CH_3), 53.2 (d, $J_{C\text{-}P}$ = 5.7 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 12.41 (s, 1H), 7.87 (d, J = 7.6 Hz, 2H), 7.56 (s, 1H), 7.39 (d, J = 7.6 Hz, 1H), 7.20 (s, 1H), 7.06 (d, J = 8.2 Hz, 2H), 3.86 (s, 3H), 3.63 (d, J = 10.0 Hz, 6H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 8.58. **HRMS** for $C_{20}H_{18}N_3O_6PCl^+$: calcd. $[M+H]^+$: 462.0616, found: 462.0616.

Dimethyl (9-chloro-1-(4-methylbenzoyl)-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5q)

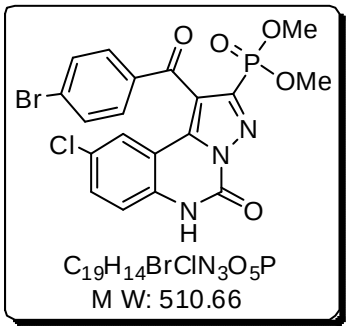


$C_{20}H_{17}ClN_3O_5P$
MW: 445.79

Following the general procedure, treatment of 5-chloro-3-(2-oxo-2-(p-tolyl)ethylidene)indolin-2-one (50 mg, 0.17 mmol) with BOR (50 mg, 0.26 mmol) in the presence of KOH (19 mg, 0.34 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5q** as a white solid (59 mg, 78%). $\mathbf{R_f}$ (Acetone/Dichloromethane : 3/7) = 0.42. **Mp** 220-222 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 190.3 (C), 145.3 (C), 143.7 (d, $J_{C\text{-}P}$ = 179.4 Hz, C), 143.5 (C), 137.5 (d, $J_{C\text{-}P}$ = 7.2 Hz, C), 134.5 (C), 134.1 (C), 130.9 (C), 129.7 (CH), 129.7 (CH), 129.6 (CH), 129.6 (CH), 126.8 (C), 122.5 (CH), 120.7 (d, $J_{C\text{-}P}$ = 19.6 Hz, C), 118.2 (CH), 112.7 (CH), 53.2 (CH_3), 53.2 (CH_3), 21.2 (CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 12.43 (s, 1H), 7.80 (d, J = 8.0 Hz, 2H), 7.57-7.55 (m, 1H), 7.39-7.34 (m, 3H), 7.18 (d, J = 2.4 Hz, 1H), 3.63 (d,

J =11.6 Hz, 6H), 2.39 (s, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 8.50. **HRMS** for $C_{20}H_{18}N_3O_5PCl^+$: calcd. $[M+H]^+$: 446.0667, found: 446.0652.

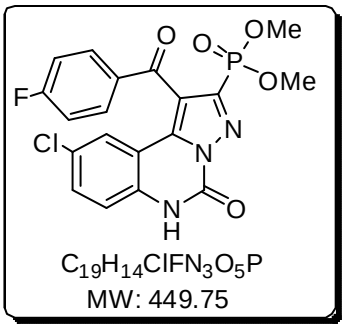
Dimethyl (1-(4-bromobenzoyl)-9-chloro-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5r)



$C_{19}H_{14}BrClN_3O_5P$
M W: 510.66

Following the general procedure, treatment of 3-(2-(4-bromophenyl)-2-oxoethylidene)-5-chloroindolin-2-one (50 mg, 0.14 mmol) with BOR (40 mg, 0.21 mmol) in the presence of KOH (16 mg, 0.28 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5r** as a white solid (47 mg, 66%). **R_f** (Acetone/Dichloromethane : 3/7) = 0.40. **Mp** 204-206 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 190.1 (C), 143.7 (d, J_{C-P} = 224.0 Hz, C), 143.4 (C), 138.4 (d, J_{C-P} = 9.0 Hz, C), 136.1 (C), 134.2 (C), 132.1 (C), 131.4 (CH), 131.4 (CH), 131.1 (CH), 131.1 (CH), 128.9 (C), 126.9 (C), 122.6 (CH), 120.1 (d, J_{C-P} = 24.5 Hz, C), 118.3 (CH), 112.6 (CH), 53.3 (d, J_{C-P} = 5.8 Hz, CH_3), 53.3 (d, J_{C-P} = 5.6 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 12.47 (s, 1H), 7.84-7.81 (m, 2H), 7.75 (d, *J* = 8.4 Hz, 2H), 7.59 (dd, *J* = 8.8, 2.0 Hz, 1H), 7.39 (d, *J* = 8.8 Hz, 1H), 7.23 (d, *J* = 2.0 Hz, 1H), 3.63 (d, *J* = 11.6 Hz, 6H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 8.31. **HRMS** for $C_{19}H_{15}N_3O_5PClBr^+$: calcd. $[M+H]^+$: 509.9616, found: 509.9609.

Dimethyl (9-chloro-1-(4-fluorobenzoyl)-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5s)

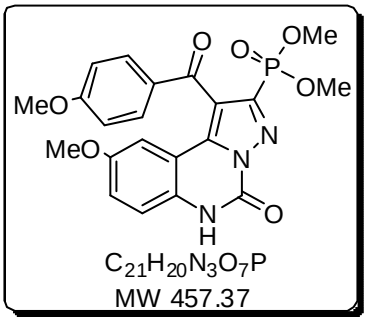


$C_{19}H_{14}ClFN_3O_5P$
MW: 449.75

Following the general procedure, treatment of 5-chloro-3-(2-(4-fluorophenyl)-2-oxoethylidene)indolin-2-one (50 mg, 0.17 mmol) with BOR (50 mg, 0.26 mmol) in the presence of KOH (19 mg, 0.34 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5s** as a white solid (54 mg, 71%). **R_f** (Acetone/Dichloromethane : 3/7) = 0.34. **Mp** 211-213 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 189.9 (C), 166.2 (d, J_{C-F} = 252.8 Hz, C), 143.7 (d, J_{C-P} = 224.3 Hz, C), 143.5 (C), 137.6 (d, J_{C-P} = 8.8 Hz, C), 134.2 (C), 133.8 (C), 132.8 (d, J_{C-F} = 24.3 Hz, CH), 132.8 (d, J_{C-F} = 2.5 Hz, CH), 131.0 (C), 126.9 (CH), 122.6 (CH), 120.2 (d, J_{C-F} = 24.3 Hz, C), 118.3 (C), 116.1 (d, J_{C-F} = 22.1 Hz, CH), 116.1 (d, J_{C-F} = 22.1 Hz, CH), 112.6

(CH), 53.3 (d, $J_{C\text{-}P}$ = 5.9 Hz, CH_3), 53.2 (d, $J_{C\text{-}P}$ = 5.9 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 12.46 (s, 1H), 8.01-7.97 (m, 2H), 7.61-7.59 (m, 1H), 7.39 (d, *J* = 9.0 Hz, 3H), 7.22 (d, *J* = 2.0 Hz, 1H), 3.63 (d, *J* =11.2 Hz, 6H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 8.38. **HRMS** for $C_{19}H_{15}N_3O_5PClF^+$: calcd. $[M+H]^+$: 450.0416, found: 450.0415.

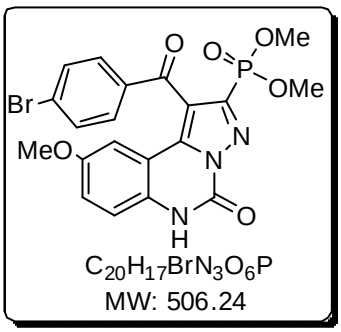
Dimethyl (9-methoxy-1-(4-methoxybenzoyl)-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5t)



$C_{21}H_{20}N_3O_7P$
MW 457.37

Following the general procedure, treatment of 5-methoxy-3-(2-(4-methoxyphenyl)-2-oxoethylidene)indolin-2-one (50 mg, 0.16 mmol) with BOR (46 mg, 0.24 mmol) in the presence of KOH (18 mg, 0.32 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5t** as a white solid (40 mg, 55%) **R_f** (Acetone/Dichloromethane : 3/7) = 0.26. **Mp** 216-218 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 188.9 (C), 164.1 (C), 154.6 (C), 143.5 (C), 143.1 (d, $J_{C\text{-}P}$ = 224.6 Hz, C), 138.1 (d, $J_{C\text{-}P}$ = 9.0 Hz, C), 132.0 (C), 130.0 (CH), 130.0 (CH), 129.1 (C), 120.4 (d, $J_{C\text{-}P}$ =24.4 Hz, C), 118.9 (C), 117.6 (CH), 114.3 (CH), 114.3 (CH), 114.3 (CH), 106.6 (CH), 55.6 (CH_3), 55.0 (CH_3), 53.3 (d, $J_{C\text{-}P}$ = 5.7 Hz, CH_3), 53.3 (d, $J_{C\text{-}P}$ = 5.7 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 12.19 (s, 1H), 7.88 (d, *J* = 8.4 Hz, 2H), 7.31 (d, *J* = 8.8 Hz, 2H), 7.15 (dd, *J* = 2.8, 9.2 Hz, 1H), 7.05 (d, *J* = 8.8 Hz, 2H), 6.65 (d, *J* = 2.8 Hz, 1H), 3.84 (s, 3H), 3.66 (d, *J* =11.2 Hz, 6H), 3.39 (s, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 8.95. **HRMS** for $C_{21}H_{21}N_3O_7P^+$: calcd. $[M+H]^+$: 458.1112, found: 458.1112.

Dimethyl (1-(4-bromobenzoyl)-9-methoxy-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5u)

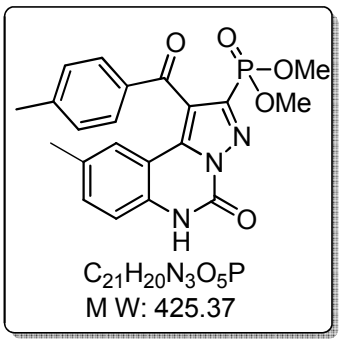


$C_{20}H_{17}BrN_3O_6P$
MW: 506.24

Following the general procedure, treatment of 3-(2-(4-bromophenyl)-2-oxoethylidene)-5-methoxyindolin-2-one (50 mg, 0.14 mmol) with BOR (40 mg, 0.21 mmol) in the presence of KOH (16 mg, 0.28 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5t** as a white solid (36 mg, 51%). **R_f** (Acetone/Dichloromethane : 3/7) = 0.36. **Mp** 235-237 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 189.9 (C), 154.6 (C), 143.7 (d, $J_{C\text{-}P}$ = 224.7 Hz, C), 143.5 (C), 138.7 (d, $J_{C\text{-}P}$ = 9.0 Hz, C), 136.1 (C), 132.2 (CH), 132.2 (CH),

131.4 (CH), 131.4 (CH), 129.2 (C), 128.7 (C), 119.6 (d, J_{C-P} =24.4 Hz, C), 119.2 (C), 117.7 (CH), 111.5 (CH), 106.6 (CH), 55.1 (CH_3), 53.3 (d, J_{C-P} = 5.7 Hz, CH_3), 53.3 (d, J_{C-P} = 5.7 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 12.22 (s, 1H), 7.84 (d, *J* = 8.4 Hz, 2H), 7.76 (d, *J* = 8.4 Hz, 2H), 7.33 (d, *J* = 8.8 Hz, 1H), 7.18 (d, *J* = 8.8 Hz, 1H), 6.63 (s, 1H), 3.66 (d, *J* =11.2 Hz, 6H), 3.43 (s, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 8.67. **HRMS** for $C_{20}H_{18}N_3O_6PBr^+$: calcd. $[M+H]^+$: 506.0111, found: 506.0112.

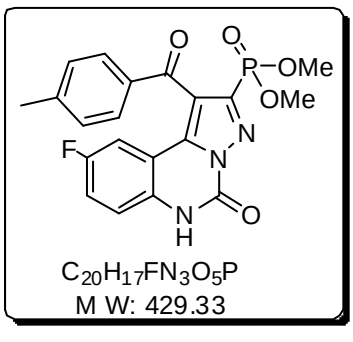
Dimethyl (9-methyl-1-(4-methylbenzoyl)-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5v)



$C_{21}H_{20}N_3O_5P$
M W: 425.37

Following the general procedure, treatment of 5-methyl-3-(2-oxo-2-(p-tolyl)ethylidene)indolin-2-one (50 mg, 0.18 mmol) with BOR (52 mg, 0.27 mmol) in the presence of KOH (20 mg, 0.36 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5v** as a light yellow solid (40 mg, 53%). **R_f** (Acetone/Dichloromethane : 3/7) = 0.47. **Mp** 214-216°C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 190.5 (C), 144.9 (C) 143.7 (d, J_{C-P} = 224.7 Hz, C), 143.7 (C), 138.4 (d, J_{C-P} = 9.1 Hz, C), 134.7 (C), 133.0 (C), 132.3 (C), 132.1 (C), 129.6 (CH), 129.6 (CH), 129.5 (CH), 129.5 (CH), 123.3 (CH), 120.1 (d, J_{C-P} = 24.3 Hz, C), 116.2 (CH), 111.1 (CH), 53.2 (d, J_{C-P} = 5.7 Hz, CH_3), 53.1 (d, J_{C-P} = 5.7, CH_3), 21.2 (CH_3), 20.4 (CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 12.22 (s, 1H), 7.78 (d, *J* = 8.0 Hz, 2H), 7.33 (d, *J* = 8.0 Hz, 3H), 7.28 (d, *J* = 8.4 Hz, 1H), 7.01 (s, 1H), 3.63 (d, *J* = 11.2 Hz, 6H), 2.38 (s, 3H), 2.08 (s, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 8.85. **HRMS** for $C_{21}H_{21}N_3O_5P^+$: calcd. $[M+H]^+$: 426.1213 found: 426.1239

Dimethyl (9-fluoro-1-(4-methylbenzoyl)-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5w)

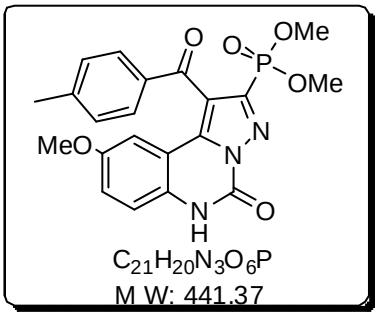


$C_{20}H_{17}FN_3O_5P$
M W: 429.33

Following the general procedure, treatment of 5-fluoro-3-2(oxo-2-(p-tolyl)ethylidene)indolin-2-one (50 mg, 0.18 mmol) with BOR (56 mg, 0.27 mmol) in the presence of KOH (19 mg, 0.34 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5w** as a white solid (60

mg, 78%). $\mathbf{R_f}$ (Acetone/Dichloromethane : 3/7) = 0.40. **Mp** 220-222 °C .**^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 190.3 (C), 157.1 (d, J_{C-F} = 238.9 Hz, C),145.3 (C), 143.6 (d, J_{C-P} = 224.0 Hz, C), 143.5 (C), 137.6 (d, J_{C-P} = 9.0 Hz, C), 134.5 (C), 132.0 (C), 129.7 (CH), 129.7 (CH), 129.6 (CH), 129.6 (CH), 120.7 (d, J_{C-P} = 23.8 Hz, C), 119.0 (d, J_{C-F} = 9.0 Hz, C), 118.5 (d, J_{C-F} = 8.3 Hz, CH), 112.1 (d, J_{C-F} = 9.0 Hz, CH), 109.0 (d, J_{C-F} = 25.6 Hz, CH), 53.3 (d, J_{C-P} = 5.7 Hz, CH_3), 53.2 (d, J_{C-P} = 5.7, CH_3), 21.2 (CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 12.36 (s, 1H), 7.80 (d, J = 8.0 Hz, 2H), 7.41 (d, J = 5.6 Hz, 2H), 7.34 (d, J = 8.4 Hz, 2H), 6.91 (d, J = 7.8 Hz, 1H), 3.62 (d, J = 11.2 Hz, 6H), 2.39 (s, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 8.56. **HRMS** for $C_{20}H_{18}N_3O_5PF^+$: calcd. $[M+H]^+$: 430.0963 found: 430.0961.

Dimethyl (9-methoxy-1-(4-methylbenzoyl)-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5x)

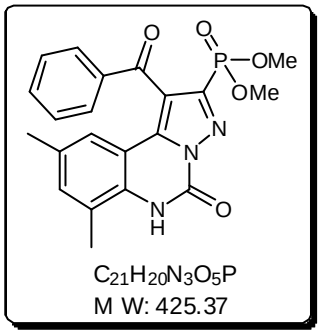


$C_{21}H_{20}N_3O_6P$
M.W: 441.37

Following the general procedure, treatment of 5-methoxy-3-(2-oxo-2-(p-tolyl)ethylidene)indolin-2-one (50 mg, 0.17 mmol) with BOR (49 mg, 0.26 mmol) in the presence of KOH (19 mg, 0.34 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5x** as a white solid (44 mg, 60%). $\mathbf{R_f}$ (Acetone/Dichloromethane : 3/7) = 0.23. **Mp** 238-240 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 190.1 (C), 154.6 (C), 145.2 (C), 143.7 (d, J_{C-P} = 224.2 Hz, C), 143.5 (C), 138.4 (d, J_{C-P} = 8.8 Hz, C), 134.6 (C), 129.6 (CH), 129.6 (CH), 129.6 (CH), 129.1 (CH), 120.3 (d, J_{C-P} =24.4 Hz, C), 119.0 (C), 117.7 (CH), 111.6 (CH), 106.6 (CH), 55.0 (CH_3), 53.3 (d, J_{C-P} = 5.7 Hz, CH_3), 53.2 (d, J_{C-P} = 5.7 Hz, CH_3), 21.2 (CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 12.18 (s, 1H), 7.81 (d, J = 7.2 Hz, 2H), 7.35-7.30 (m, 3H), 7.14 (d, J = 8.8 Hz, 1H), 6.62 (s, 1H), 3.65 (d, J =11.2 Hz, 6H), 3.37 (s, 3H), 2.38 (s, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 8.88. **HRMS** for $C_{21}H_{21}N_3O_6P^+$: calcd. $[M+H]^+$: 442.1162, found: 442.1159.

Dimethyl (1-benzoyl-7,9-dimethyl-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5y)

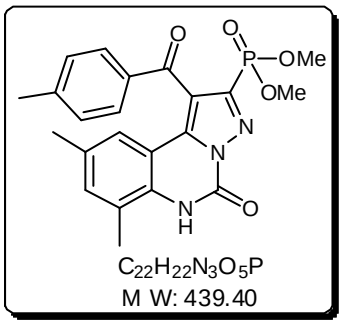
Following the general procedure, treatment of 5,7-dimethyl-3-(2-oxo-2-phenylethylidene)indolin-2-one (50 mg, 0.18 mmol) with BOR (52 mg, 0.27 mmol) in the presence of KOH (20 mg, 0.36 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column



$C_{21}H_{20}N_3O_5P$
M W: 425.37

chromatography afforded the product **5y** as a white solid (44 mg, 58%). **R_f** (Acetone/Dichloromethane : 3/7) = 0.34. **Mp** 226-228 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 191.0 (C), 144.0 (C), 143.9 (d, J_{C-P} = 224.7 Hz, C), 138.7 (d, J_{C-P} = 9.1 Hz, C), 137.1 (C), 134.2 (C), 133.5 (C), 132.0 (C), 131.4 (CH), 129.5 (CH), 129.5 (CH), 128.9 (CH), 128.9 (CH), 125.0 (CH), 121.4 (C), 120.0 (d, J_{C-P} = 24.3 Hz, C), 111.1 (CH), 53.2 (d, J_{C-P} = 5.7 Hz, CH_3), 53.2 (d, J_{C-P} = 5.7, CH_3), 20.1 (CH_3), 17.6 (CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 11.36 (s, 1H), 7.88 (d, J = 7.6 Hz, 2H), 7.69 (t, J = 7.2 Hz, 1H), 7.52 (t, J = 7.6 Hz, 2H), 7.16 (s, 1H), 6.86 (s, 1H), 3.63 (d, J = 11.2 Hz, 6H), 2.40 (s, 3H), 2.01 (s, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 8.78. **HRMS** for $C_{21}H_{21}N_3O_5P^+$: calcd. $[M+H]^+$: 426.1213 found: 426.1249.

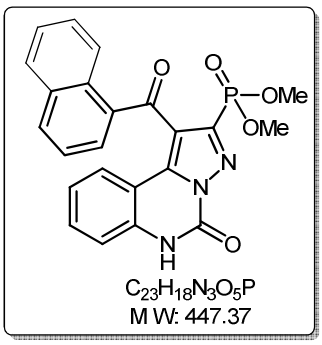
Dimethyl (7,9-dimethyl-1-(4-methylbenzoyl)-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5z)



$C_{22}H_{22}N_3O_5P$
M W: 439.40

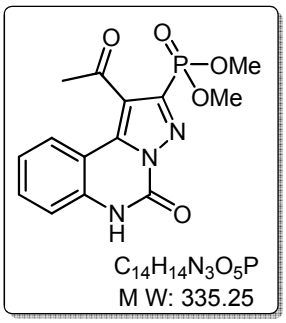
Following the general procedure, treatment of 5,7-dimethyl-3-(2-oxo-2-(p-tolyl)ethylidene)indolin-2-one (50 mg, 0.17 mmol) with BOR (50 mg, 0.26 mmol) in the presence of KOH (19 mg, 0.34 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5z** as a white solid (49 mg, 66%). **R_f** (Acetone/Dichloromethane : 3/7) = 0.31. **Mp** 240-242 °C .**^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 190.5 (C), 144.9 (C), 144.0 (C), 143.7 (d, J_{C-P} = 224.7 Hz, C), 138.6 (d, J_{C-P} = 9.1 Hz, C), 134.7 (C), 133.5 (C), 132.0 (C), 131.4 (C), 129.6 (CH), 129.6 (CH), 129.5 (CH), 129.5 (CH), 125.0 (CH), 121.3 (C), 120.0 (d, J_{C-P} = 24.3 Hz, C), 111.1 (CH), 53.2 (d, J_{C-P} = 5.7 Hz, CH_3), 53.2 (d, J_{C-P} = 5.7, CH_3), 21.2 (CH_3), 20.2 (CH_3), 17.6 (CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 11.34 (s, 1H), 7.78 (d, J = 8.0 Hz, 2H), 7.32 (d, J = 7.6 Hz, 2H), 7.18 (d, J = 5.2 Hz, 1H), 6.88 (s, 1H), 3.63 (d, J = 11.2 Hz, 6H), 2.41 (s, 3H), 2.38 (s, 3H), 2.03 (s, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 8.82. **HRMS** for $C_{22}H_{23}N_3O_5P^+$: calcd. $[M+H]^+$: 440.1370 found: 440.1377.

Dimethyl (1-(1-naphthoyl)-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5aa)



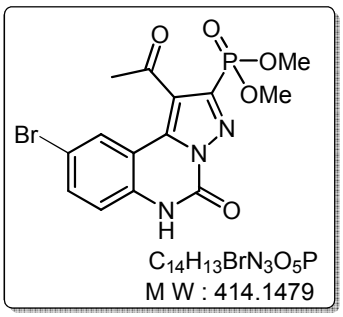
Following the general procedure, treatment of (2-(naphthalen-1-yl)-2-oxoethylidene)indolin-2-one (50 mg, 0.17 mmol) with BOR (50 mg, 0.26 mmol) in the presence of KOH (19 mg, 0.34 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5aa** as a white solid (42 mg, 56%). $\mathbf{R_f}$ (Acetone/Dichloromethane : 2/8) = 0.36. **Mp** 200-202 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 191.1 (C), 144.0 (C), 143.8 (d, $J_{C\text{-}P}$ = 224.1 Hz, C), 139.1 (d, $J_{C\text{-}P}$ = 8.9 Hz, C), 135.7 (C), 135.4 (C), 134.7 (C), 133.3 (C), 132.3 (C), 131.3 (CH), 129.9 (CH), 129.5 (CH), 128.9 (CH), 127.9 (CH), 127.3 (CH), 123.9 (CH), 123.8 (CH), 123.6 (CH), 120.4 (d, $J_{C\text{-}P}$ = 24.4 Hz, C), 116.5 (CH), 111.5 (CH), 53.4 (d, $J_{C\text{-}P}$ = 5.7 Hz, CH_3), 53.4 (d, $J_{C\text{-}P}$ = 5.7, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 12.34 (s, 1H), 8.44 (s, 1H), 8.08 (d, J = 0.8 Hz, 2H), 8.03-7.97 (m, 2H), 7.68 (dt, J = 8.0, 1.2 Hz, 1H), 7.57 (dt, J = 8.0, 0.8 Hz, 1H), 7.48 (dt, J = 8.4, 1.2 Hz, 1H), 7.39 (d, J = 5.2 Hz, 1H), 7.33 (dd, J = 8.0, 1.2 Hz, 1H), 7.07 (dt, J = 8.0, 1.2 Hz, 1H), 3.59 (d, J = 11.2 Hz, 6H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 8.76. **HRMS** for $C_{23}H_{19}N_3O_5P^+$: calcd. $[M+H]^+$: 448.1057 found: 448.1066.

Dimethyl (1-acetyl-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5ab)



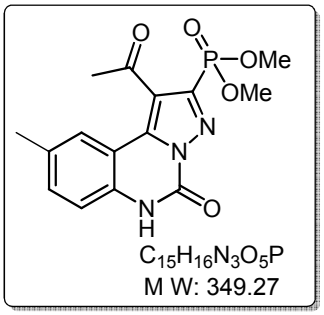
Following the general procedure, treatment of 2-oxopropylidene)indolin-2-one (50 mg, 0.27 mmol) with BOR (77 mg, 0.40 mmol) in the presence of KOH (30 mg, 0.54 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5ab** as a yellow solid (40 mg, 44%). $\mathbf{R_f}$ (Acetone/Dichloromethane : 2/8) = 0.30. **Mp** 186-188 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 198.5 (C), 143.9 (C), 143.3 (d, $J_{C\text{-}P}$ = 222.0 Hz, C), 139.0 (d, $J_{C\text{-}P}$ = 9.1 Hz, C), 135.7 (C), 132.1 (C), 124.7 (CH), 123.8 (CH), 123.6 (d, $J_{C\text{-}P}$ = 24.6 Hz, C), 116.7 (CH), 111.8 (CH), 54.2 (d, $J_{C\text{-}P}$ = 6.0 Hz, CH_3), 54.2 (d, $J_{C\text{-}P}$ = 6.0 Hz, CH_3), 33.1 (CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 12.32 (s, 1H), 7.94 (d, J = 8 Hz, 1H), 7.59 (t, J = 7.6 Hz, 1H), 7.38 (d, J = 8.0, 1H), 7.29 (t, J = 7.6, 1H), 3.81 (d, J = 11.2 Hz, 6H), 2.72 (s, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 9.46. **HRMS** for $C_{14}H_{15}N_3O_5P^+$: calcd. $[M+H]^+$: 336.0744 found: 336.0743.

Dimethyl (1-acetyl-9-bromo-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5ac)



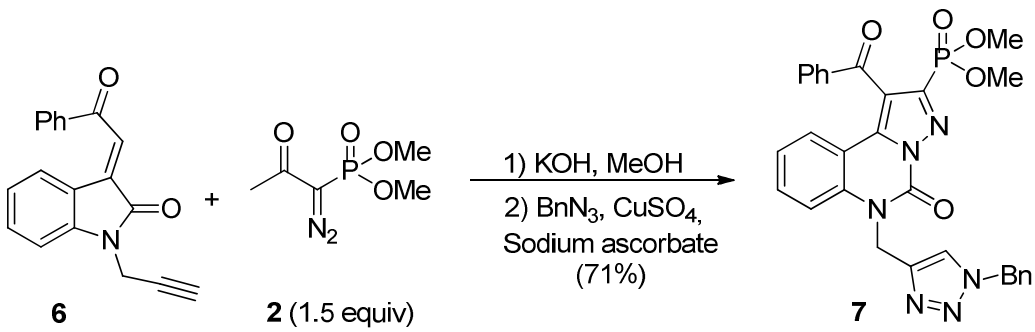
Following the general procedure, treatment of 5-bromo-3-(2-oxopropylidene)indolin-2-one (50 mg, 0.19 mmol) with BOR (55 mg, 0.28 mmol) in the presence of KOH (21 mg, 0.38 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5ac** as a white solid (35 mg, 45%). $\mathbf{R_f}$ (Acetone/Dichloromethane : 2/8) = 0.33. **Mp** 174-176 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 198.2 (C), 143.9 (d, $J_{C\text{-}P}$ = 222.0 Hz, C), 143.7 (C), 138.4 (d, $J_{C\text{-}P}$ = 9.1 Hz, C), 135.1 (C), 134.7 (C), 127.0 (C), 123.7 (d, $J_{C\text{-}P}$ = 24.7 Hz, C), 118.7 (CH), 115.2 (CH), 113.7 (CH), 54.3 (d, $J_{C\text{-}P}$ = 6.1 Hz, CH_3), 54.2 (d, $J_{C\text{-}P}$ = 6.1 Hz CH_3), 33.0 (CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 12.45 (s, 1H), 8.23 (s, 1H), 7.77 (d, J = 8.0 Hz, 1H), 7.31 (d, J = 8.8 Hz, 1H), 3.83 (d, J = 11.2 Hz, 6H), 2.76 (s, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 9.34. **HRMS** for $C_{14}H_{14}BrN_3O_5P^+$: calcd. $[M+H]^+$: 413.9849 found: 413.9854.

Dimethyl (1-acetyl-9-bromo-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (5ad)

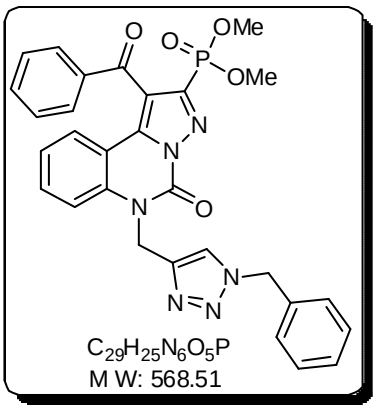


Following the general procedure, treatment of 5-methyl-3-(2-oxopropylidene)indolin-2-one (50 mg, 0.25 mmol) with BOR (72 mg, 0.37 mmol) in the presence of KOH (28 mg, 0.50 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **5ad** as a light yellow solid (45 mg, 52%). $\mathbf{R_f}$ (Acetone/Dichloromethane : 2/8) = 0.33. **Mp** 180-182 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 198.5 (C), 143.3 (d, $J_{C\text{-}P}$ = 222.0 Hz, C), 143.9 (C), 138.9 (d, $J_{C\text{-}P}$ = 8.8 Hz, C), 133.5 (C), 133.1 (C), 132.9 (C), 124.2 (C), 123.3 (d, $J_{C\text{-}P}$ = 24.7 Hz, C), 116.6 (CH), 111.6 (CH), 54.2 (d, $J_{C\text{-}P}$ = 5.9 Hz, CH_3), 54.2 (d, $J_{C\text{-}P}$ = 5.9 Hz, CH_3), 33.0 (CH_3), 21.1 (CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 12.25 (s, 1H), 7.71 (s, 1H), 7.40 (s, 1H), 7.29 (s, 1H), 3.82 (d, J = 11.2 Hz, 6H), 2.73 (s, 3H) , 2.34 (s, 3H). **^{31}P NMR** (161.9 MHz, DMSO-d_6): 9.51. **HRMS** for $C_{15}H_{17}N_3O_5P^+$: calcd. $[M+H]^+$: 350.0900 found: 350.0912.

<u>Procedure for the one- pot, cycloaddition-click reaction sequence for the synthesis of compound 7</u>

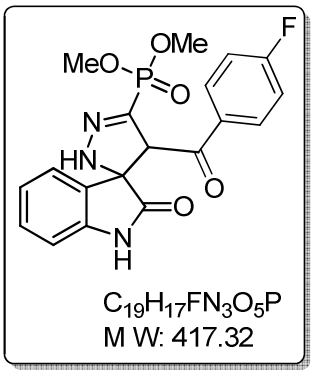


Dimethyl (1-benzoyl-6-((1-benzyl-1H-1,2,3-triazol-4-yl)methyl)-5-oxo-5,6-dihydropyrazolo[1,5-c]quinazolin-2-yl)phosphonate (7)

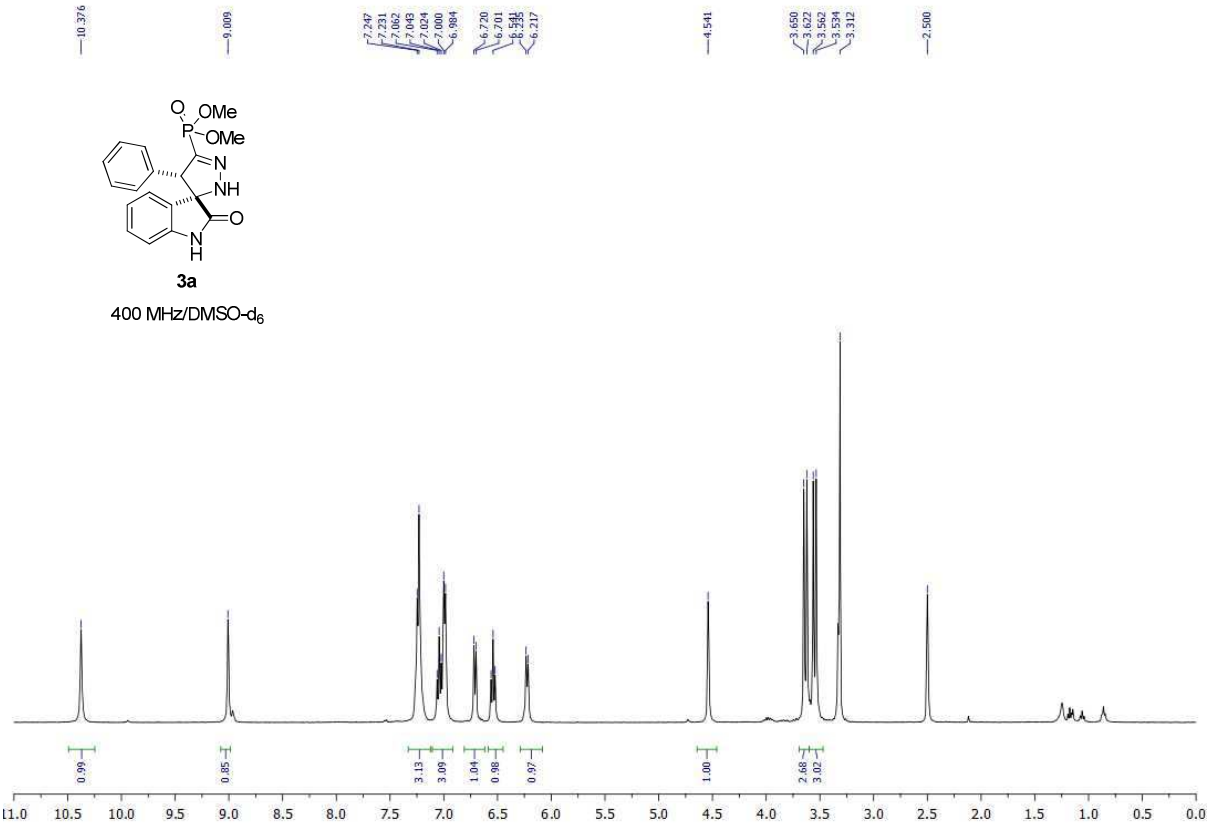


To an oven-dried round bottom flask was added N-propargyl-3-phenacylideneoxindole **6** (50 mg, 0.18 mmol) and dissolved in 3 mL of MeOH. Subsequently, a solution of Bestmann-Ohira reagent (52 mg, 0.27 mmol) in 2 mL of MeOH was added to the reaction mixture and kept stirring. After addition of potassium hydroxide (20 mg, 0.36 mmol), the reaction mixture was further stirred at 25 °C for 1.5 h. After the completion of reaction, as indicated by TLC, the requisite amount of $CuSO_4$ (6 mg, 0.02 mmol), sodium ascorbate (10 mg, 0.048 mmol) and BnN_3 (18 mg, 0.14 mmol) were added and kept for an additional stirring of 2 h. Subsequently, solvent was evaporated off and crude reaction mixture was extracted using ethyl acetate. The organic layer was dried over anhydrous Na_2SO_4 and solvent was evaporated under reduced pressure. Column chromatographic purification carried out using 100-200 mesh silica gel using dichloromethane-acetone as eluent afforded the product (62 mg) in 71% yield. $\mathbf{R_f}$ (Acetone/Dichloromethane: 2/8) = 0.33. **Mp** 160-162 °C. **^{13}C NMR** (100 MHz, δ ppm/$CDCl_3$): 191.2 (C), 146.2 (d, $J_{C\text{-}P}$ = 224.6 Hz, C), 145.1 (C), 142.5 (C), 138.2 (d, $J_{C\text{-}P}$ = 9.0 Hz, C), 137.3 (C), 135.2 (C), 134.4 (C), 134.1 (C), 131.9 (CH), 129.9 (CH), 129.9 (CH), 129.2 (CH), 129.2 (CH), 129.0 (CH), 128.9 (CH), 128.9 (CH), 128.4 (CH), 128.4 (CH), 125.4 (CH), 124.4 (CH), 123.9 (C), 120.9 (d, $J_{C\text{-}P}$ = 24.1 Hz, C), 116.3 (CH), 112.4 (CH), 54.5 (CH_2), 53.6 (d, $J_{C\text{-}P}$ = 5.7 Hz, CH_3), 53.6 (d, $J_{C\text{-}P}$ = 5.7 Hz, CH_3), 40.2 (CH_2). **^{1}H NMR** (400 MHz, δ ppm/ $CDCl_3$): 8.03 (d, *J* = 8.4 Hz, 1H), 7.90 (d, *J* = 7.6 Hz, 2H), 7.72 (s, 1H) 7.60-7.53 (m, 3H), 7.45 (t, *J* = 7.4 Hz, 2H), 7.34-7.26 (m, 5H), 7.11 (t, *J* = 7.6 Hz, 1H), 5.60 (s, 2H), 5.46 (s, 2H), 3.72 (d, *J* = 11.2 Hz, 6H). **^{31}P NMR** (161.9 MHz, $CDCl_3$): 8.75. **HRMS** for $C_{29}H_{26}N_6O_5P^+$: calcd. $[M+H]^+$: 569.1697, found: 569.1699.

Dimethyl (4'-(4-fluorobenzoyl)-2-oxo-2',4'-dihydrospiro[indoline-3,3'-pyrazol]-5'-yl)phosphonate (8)

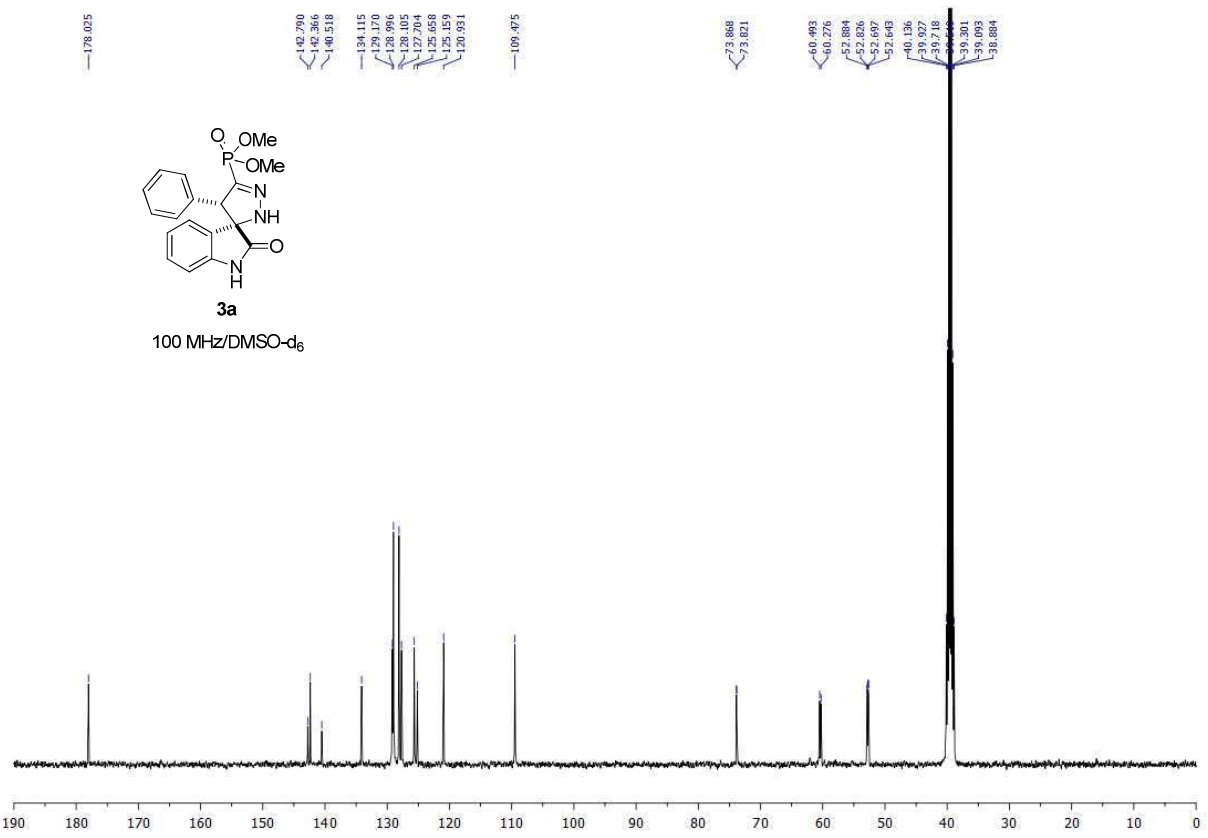


Following the general procedure, treatment of (2-(4-fluorophenyl)-2-oxoethylidene)indolin-2-one (50 mg, 0.19 mmol) with BOR (54 mg, 0.28 mmol) in the presence of KOH (21 mg, 0.38 mmol) in MeOH (3 mL) at 25 °C for 1 h followed by column chromatography afforded the product **8** as a pale yellow solid (36 mg, 46%, > 20:1 dr) along with the final product **5l** (21 mg, 26%). $\mathbf{R_f}$ (Acetone/Dichloromethane : 2/8) = 0.33. **Mp** 108-110 °C. **^{13}C NMR** (100 MHz, δ ppm/ DMSO-d_6): 192.9 (C), 177.4 (C), 165.6 (d, $J_{C\text{-}F}$ = 251.3 Hz, C), 142.3 (C), 137.6 (C), 134.2 (d, $J_{C\text{-}P}$ = 223.1 Hz, C), 131.4 (d, $J_{C\text{-}F}$ = 9.8 Hz, CH), 131.3 (d, $J_{C\text{-}F}$ = 9.8 Hz, CH), 130.3 (C), 126.3 (CH), 125.5 (CH), 122.2 (CH), 116.3 (d, $J_{C\text{-}F}$ = 21.3 Hz, CH), 116.0 (d, $J_{C\text{-}F}$ = 21.3 Hz, CH), 110.2 (CH), 72.2 (d, $J_{C\text{-}P}$ = 4.0 Hz, C), 62.1 (d, $J_{C\text{-}P}$ = 21.3 Hz, CH), 53.6 (d, $J_{C\text{-}P}$ = 5.6 Hz, CH_3), 53.2 (d, $J_{C\text{-}P}$ = 5.5 Hz, CH_3). **^{1}H NMR** (400 MHz, δ ppm/DMSO-d_6): 10.60 (s, 1H), 9.07 (s, 1H), 7.66-7.63 (m, 2H), 7.15 (t, *J* = 8.8 Hz, 2H), 7.02 (t, *J* = 7.4 Hz, 1H), 6.81 (d, *J* = 7.2 Hz, 1H), 6.75 (t, *J* = 7.6 Hz, 1H), 6.63 (d, *J* = 7.6 Hz, 1H), 5.35 (s, 1H), 3.76 (d, *J* = 11.2 Hz, 3H), 3.67 (d, *J* = 11.2 Hz, 3H).



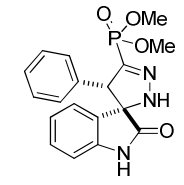
3a

400 MHz/DMSO-d_6



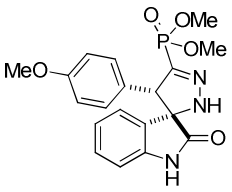
3a

100 MHz/DMSO-d_6



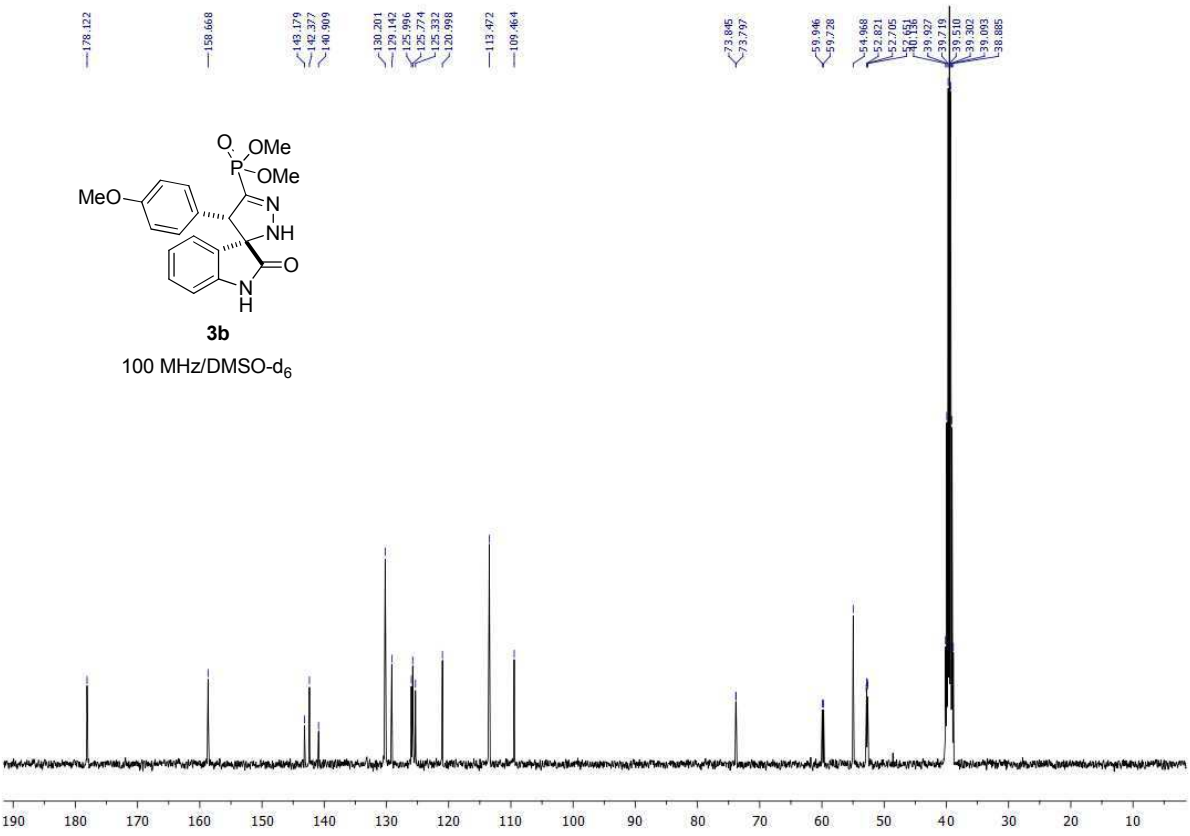
3a

161.9 MHz/DMSO-d_6



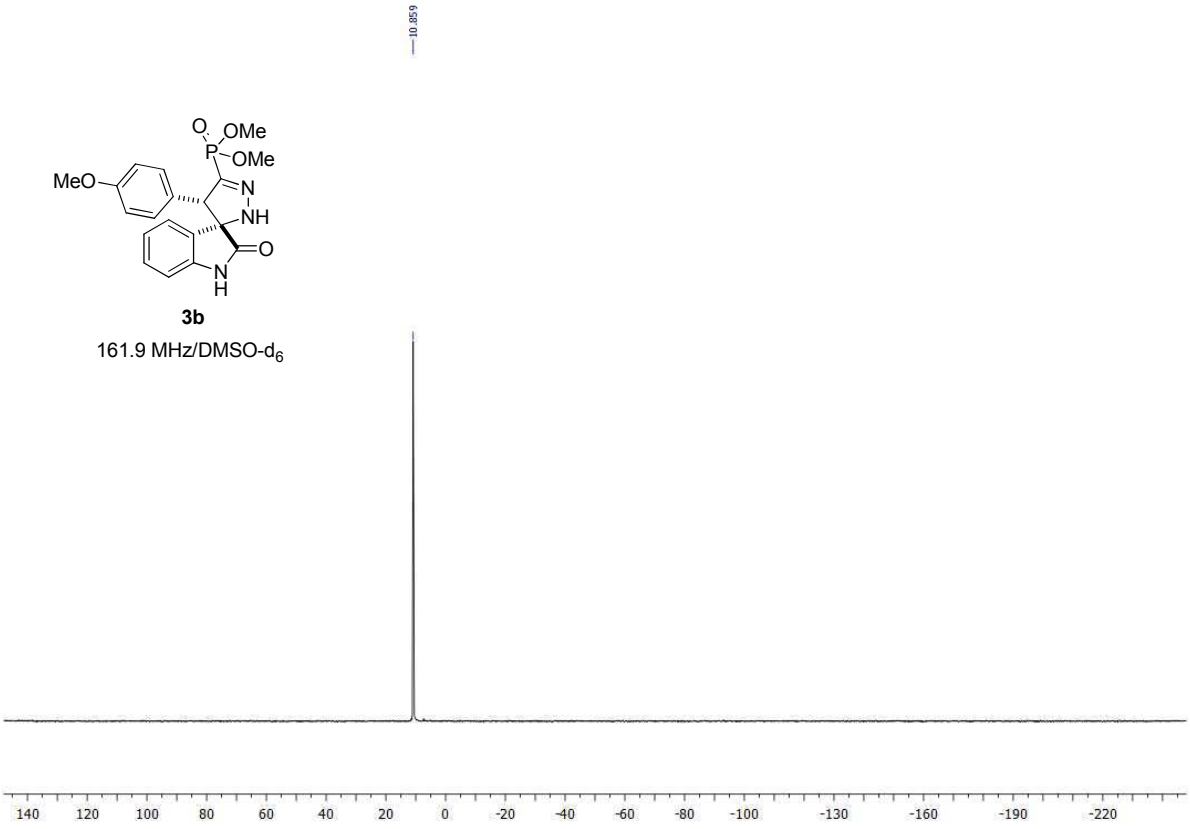
3b

400 MHz/DMSO-d_6



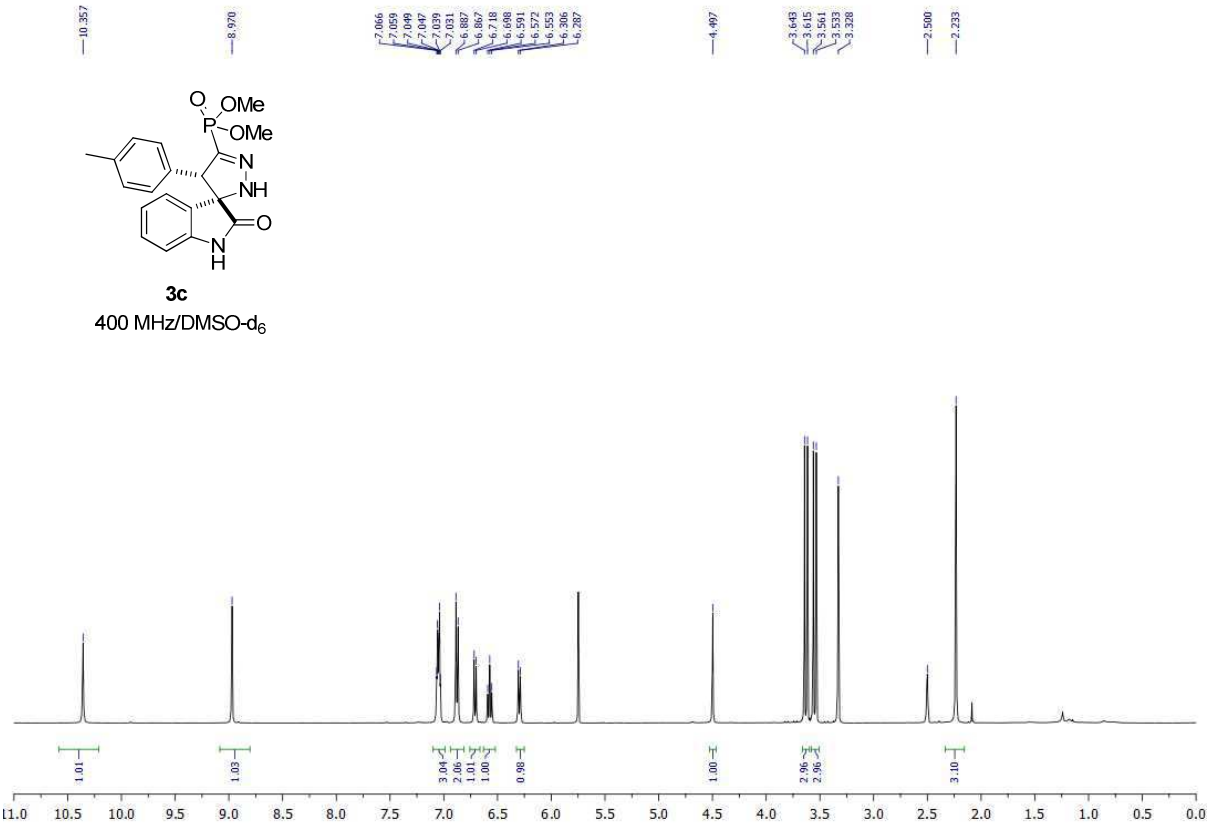
3b

100 MHz/DMSO-d_6



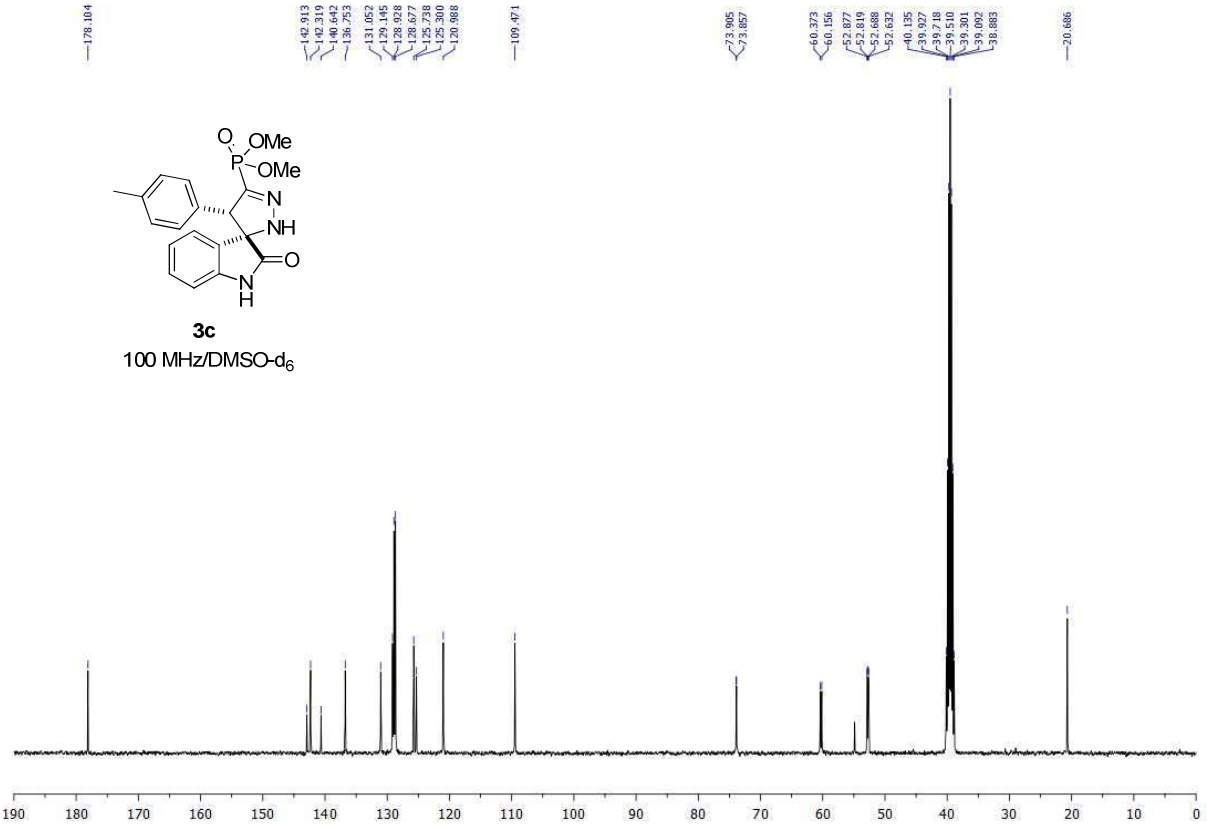
3b

161.9 MHz/DMSO-d_6



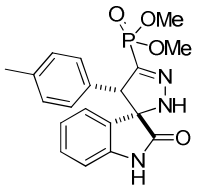
3c

400 MHz/DMSO-d_6



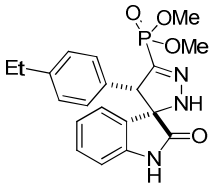
3c

100 MHz/DMSO-d_6



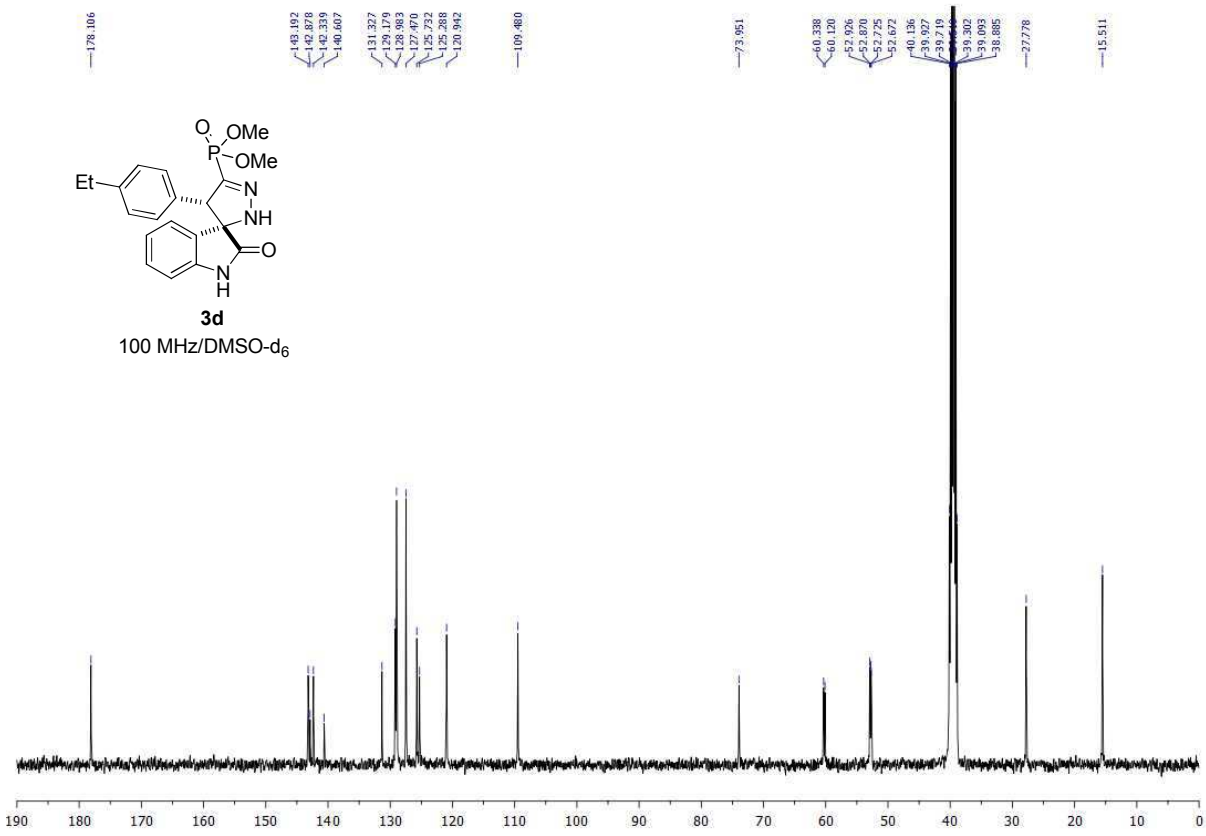
3c

161.9 MHz/DMSO-d_6



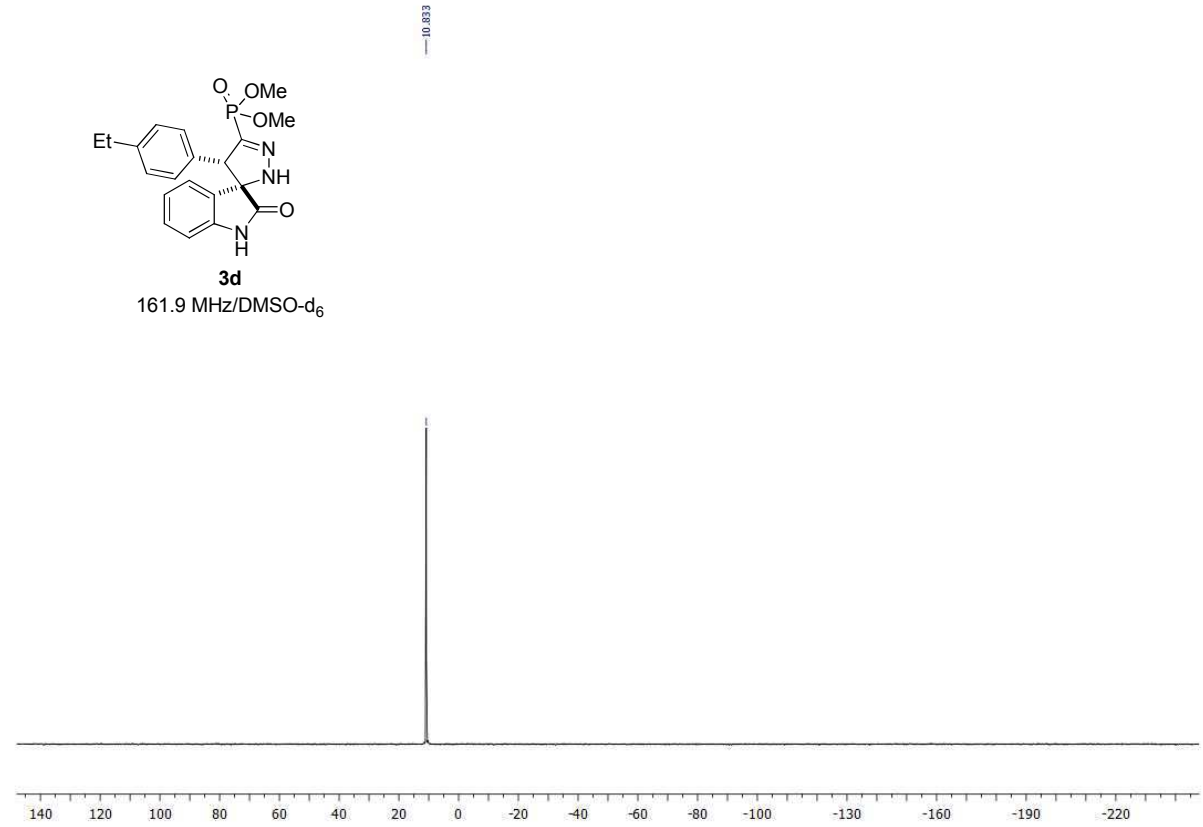
3d

400 MHz/DMSO-d_6



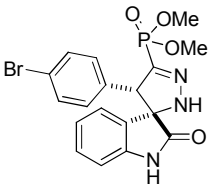
3d

100 MHz/DMSO-d_6



3d

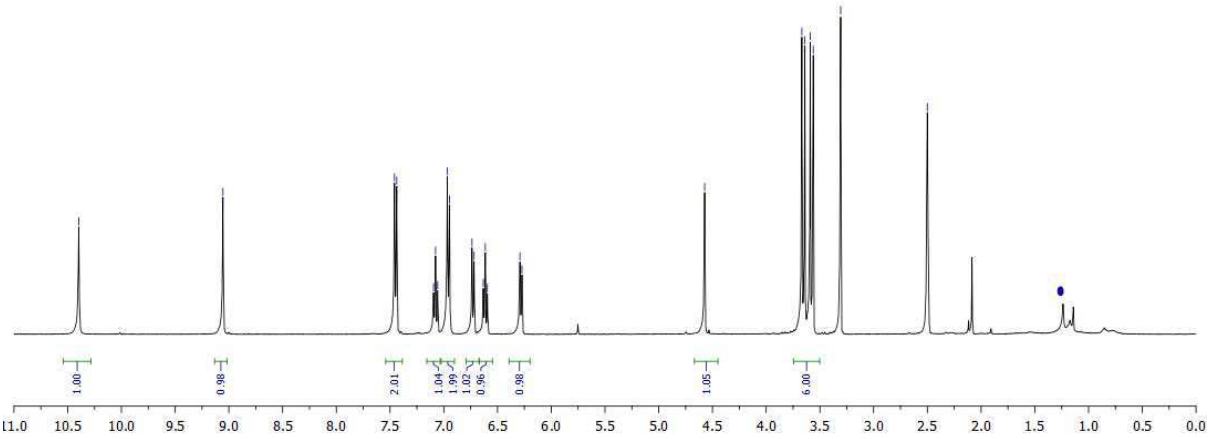
161.9 MHz/DMSO-d_6

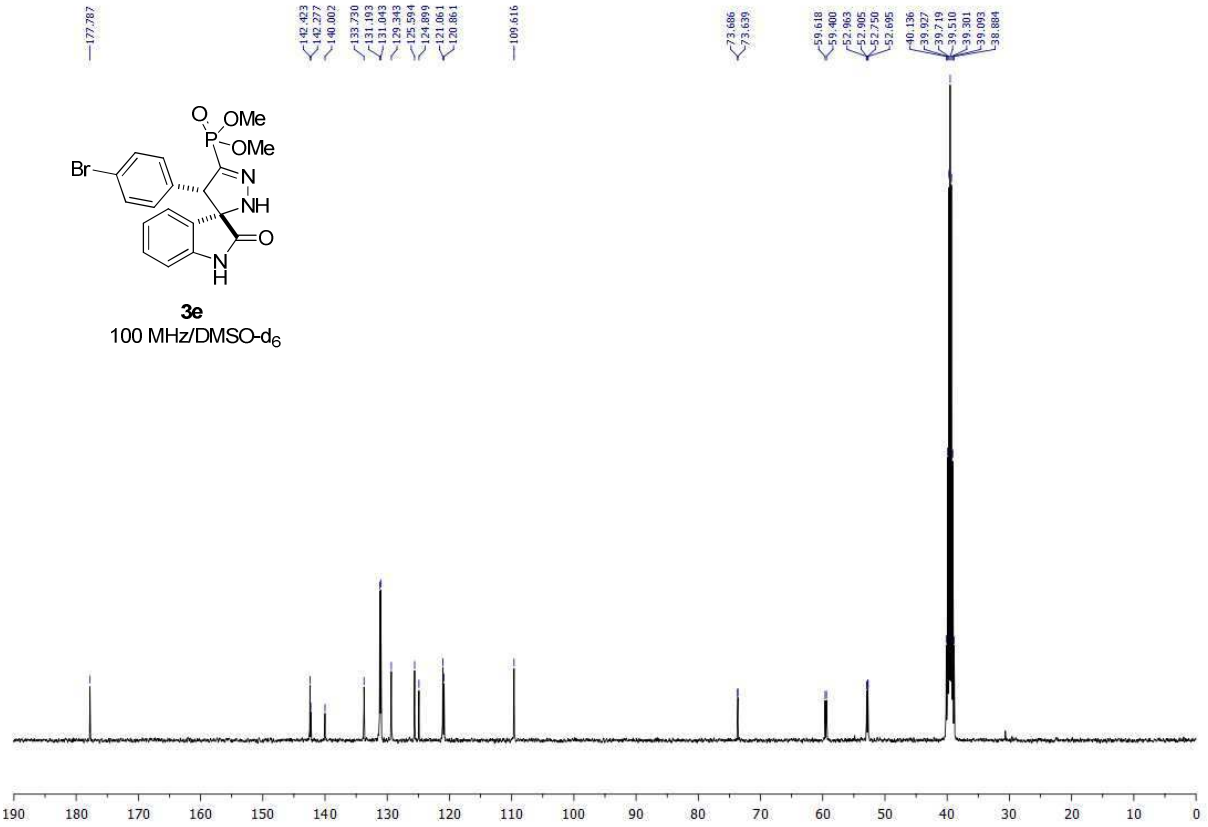


3e

400 MHz/DMSO-d_6

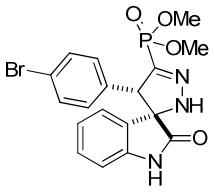
grease





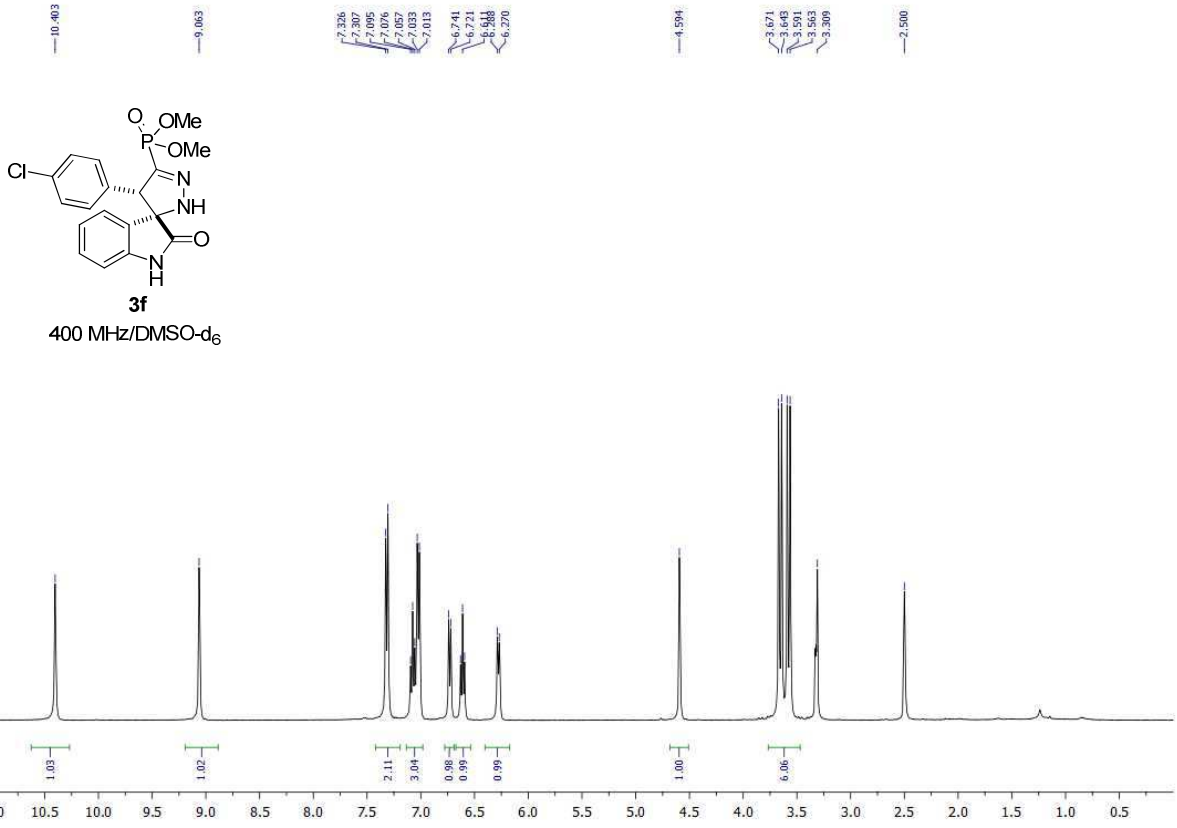
3e

100 MHz/DMSO-d_6



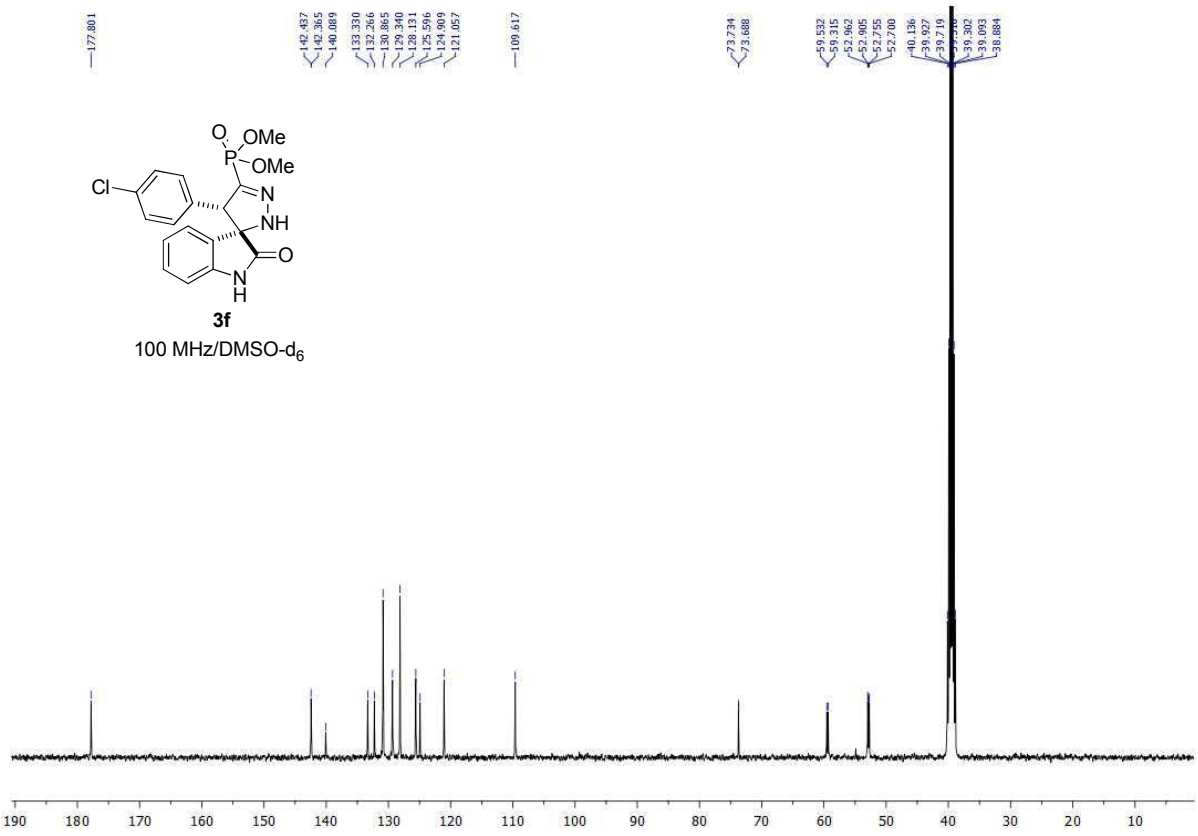
3e

161.9 MHz/DMSO-d_6



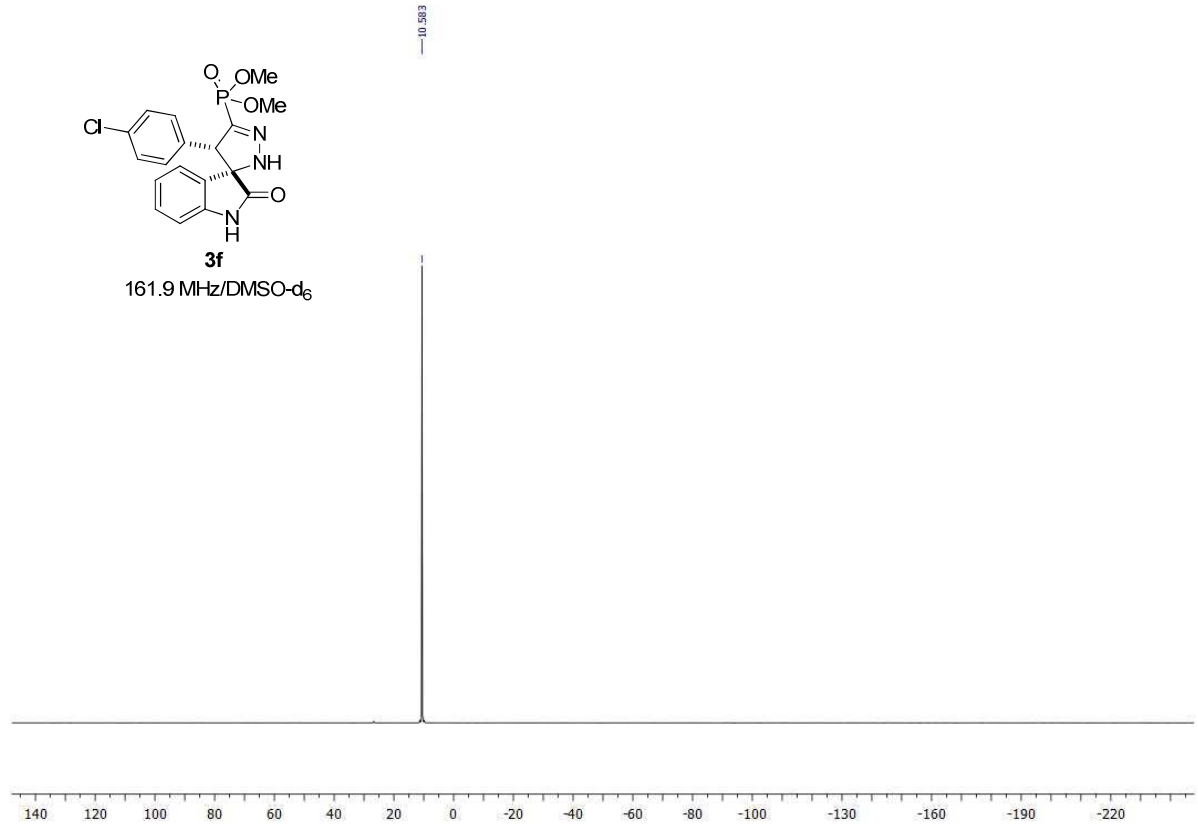
3f

400 MHz/DMSO-d_6



3f

100 MHz/DMSO-d_6



3f

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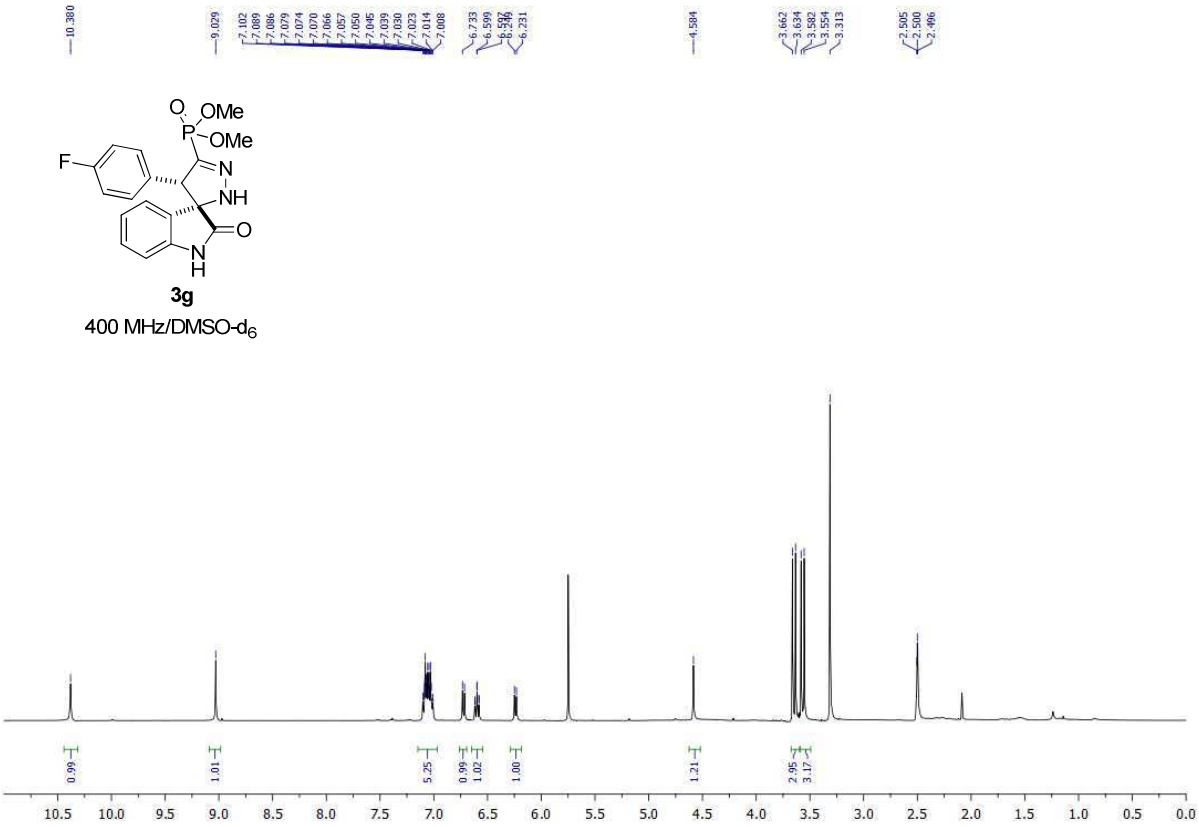
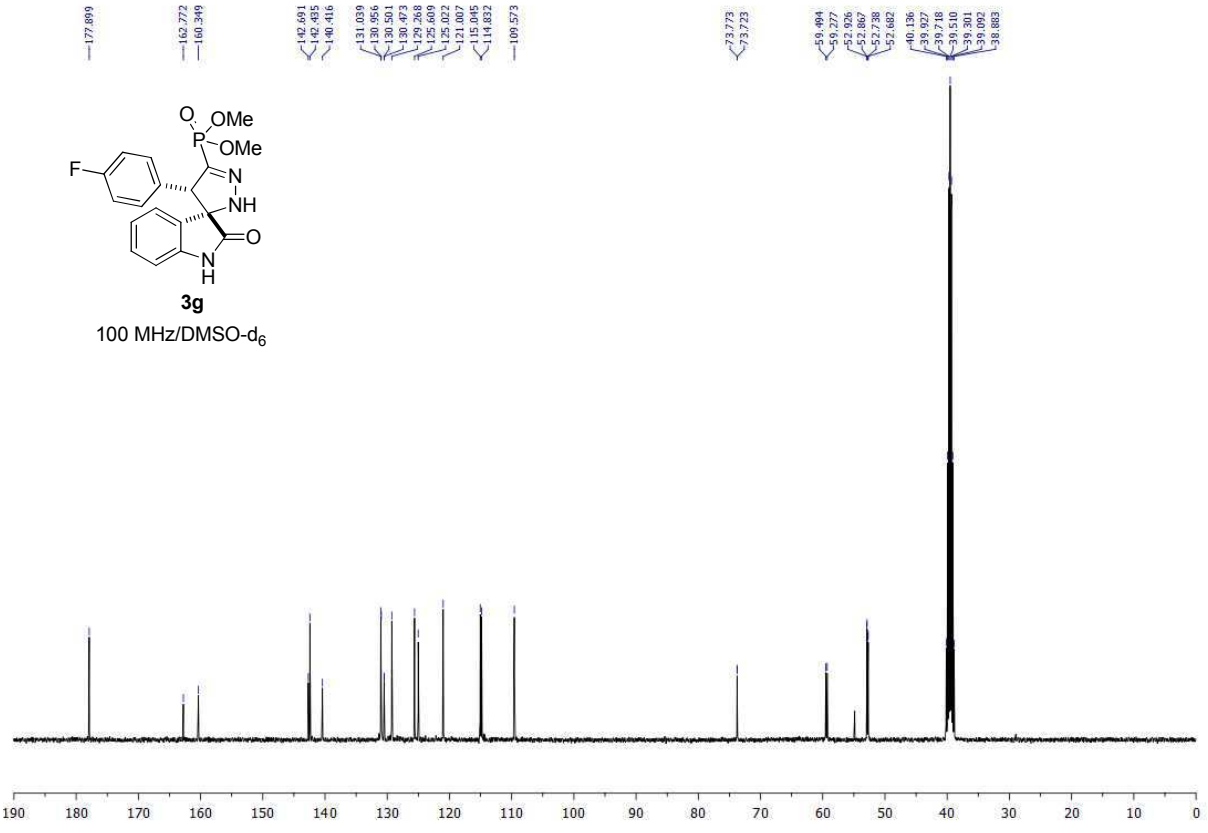


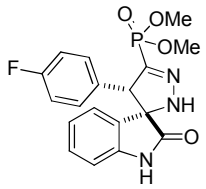
3g

400 MHz/DMSO-d_6



3g

100 MHz/DMSO-d_6



3g

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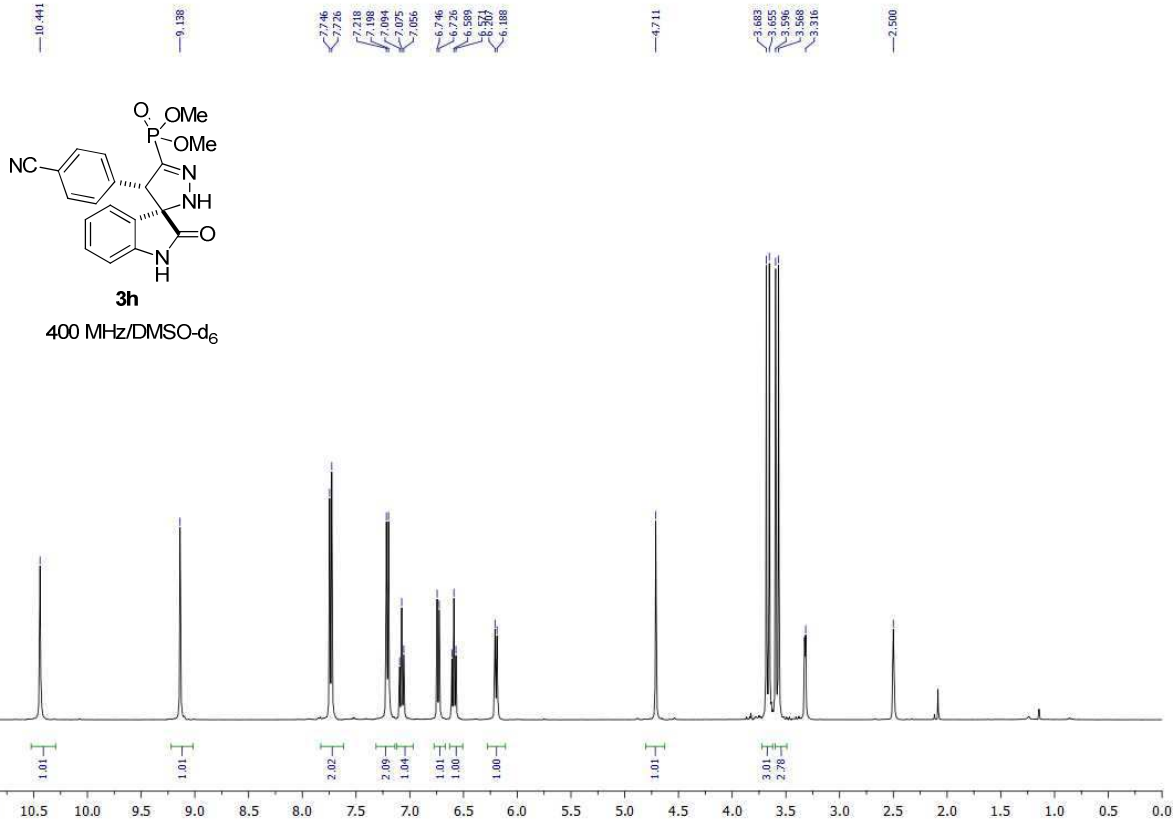
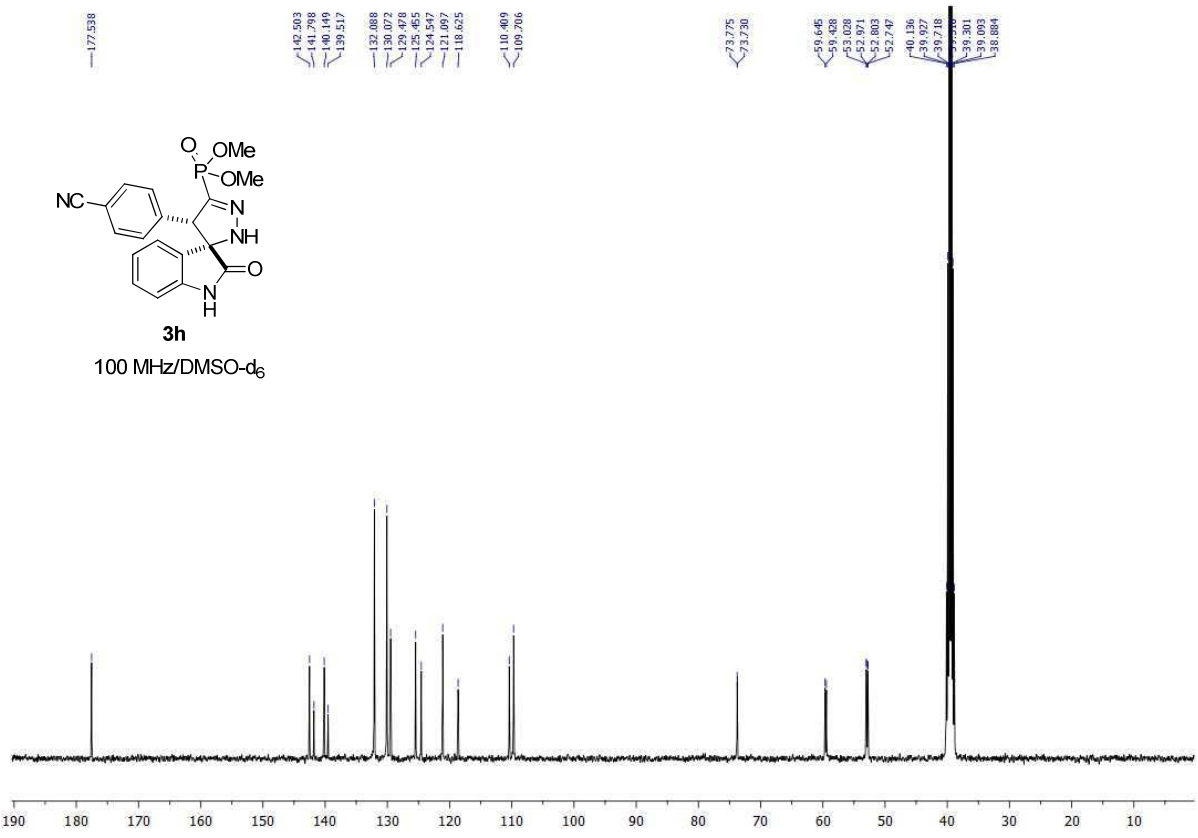


3h

400 MHz/DMSO-d_6


177.538
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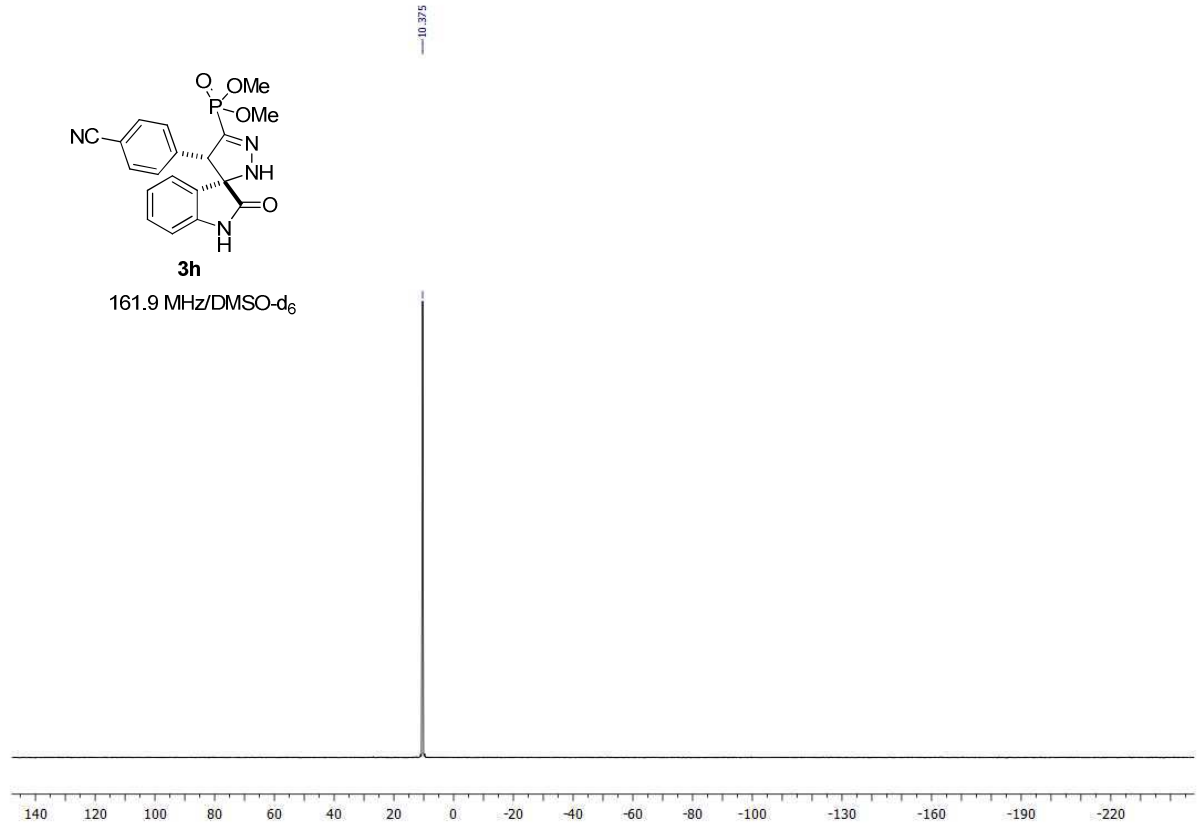
141.798
140.149
139.517
132.088
130.072
129.478
125.455
124.547
121.097
118.625
110.409
109.706
73.775
73.730
59.645
59.428
53.028
52.971
52.803
52.747
40.136
39.927
39.718
39.301
39.093
38.884
OMe
P
OMe
NC
N
NH
O
N
H
3h
100 MHz/DMSO-d6
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10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OMe
P
OMe
NC
N
NH
O
N
H
3h
161.9 MHz/DMSO-d6
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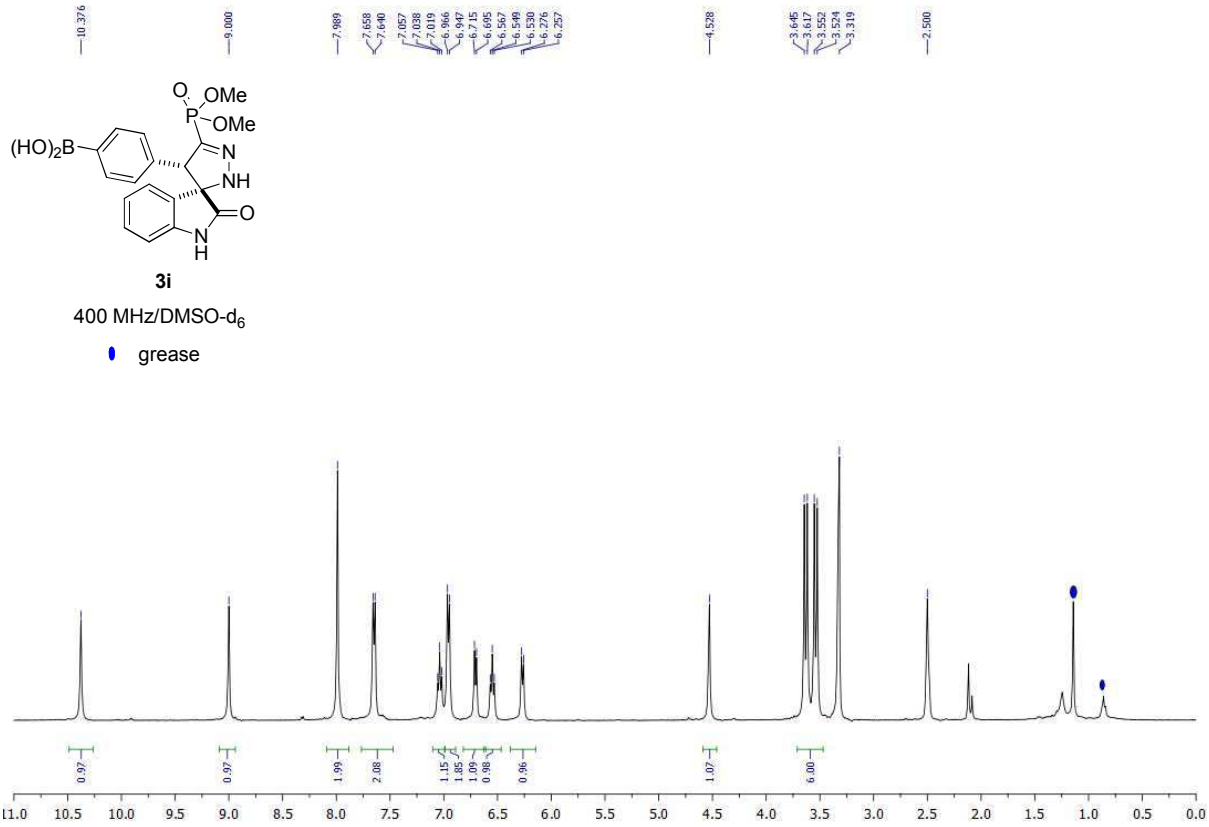
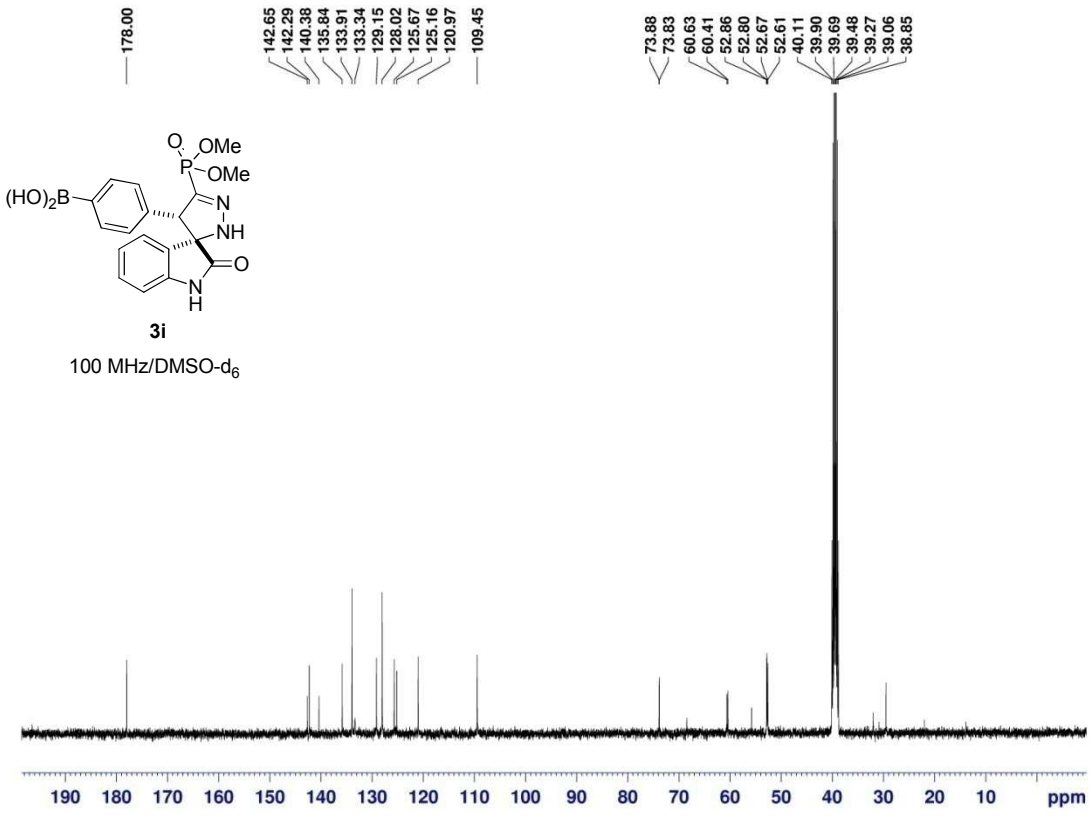
60
40
20
0
-20
-40
-60
-80
-100
-130
-160
-190
-220



3i

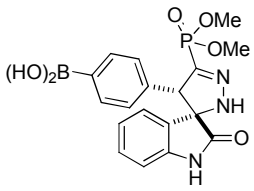
400 MHz/DMSO-d_6

grease



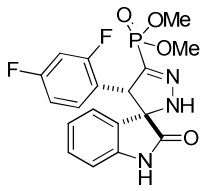
3i

100 MHz/DMSO-d_6



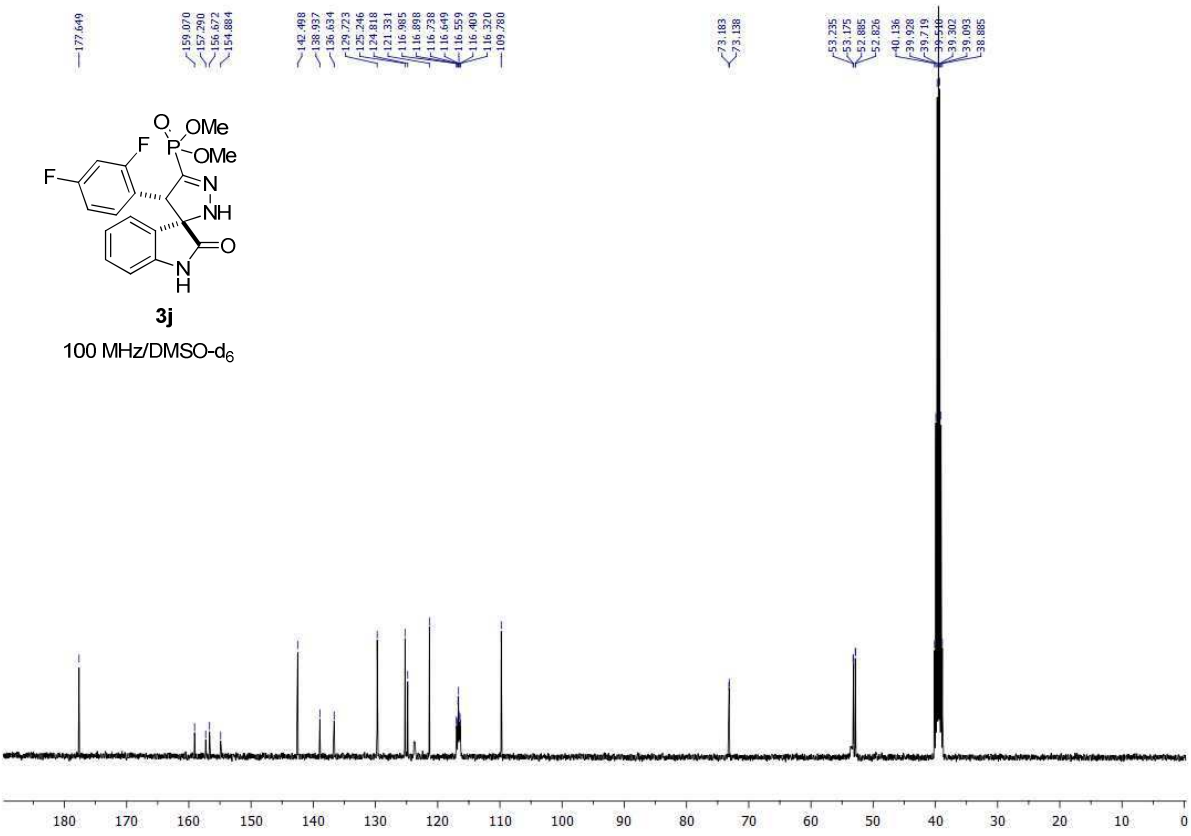
3i

161.9 MHz/DMSO-d_6



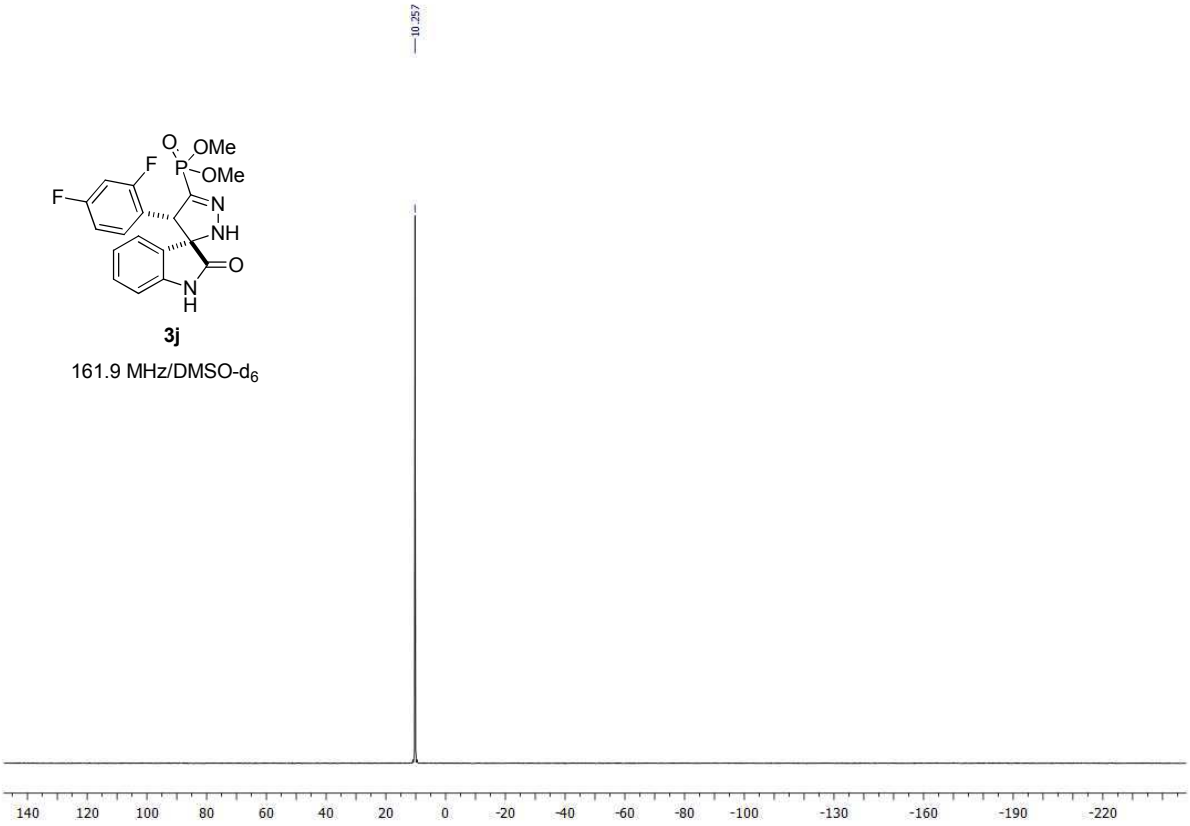
3j

400 MHz/DMSO-d_6



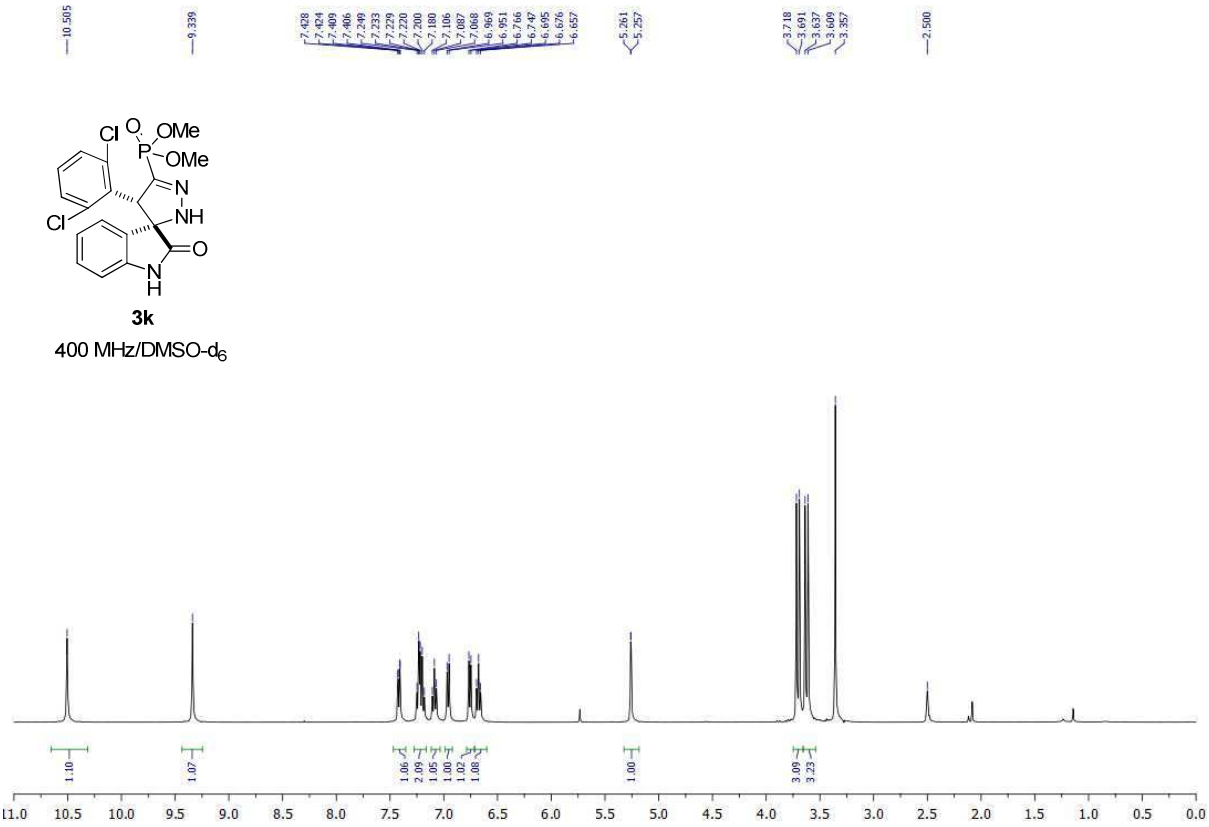
3j

100 MHz/DMSO-d_6



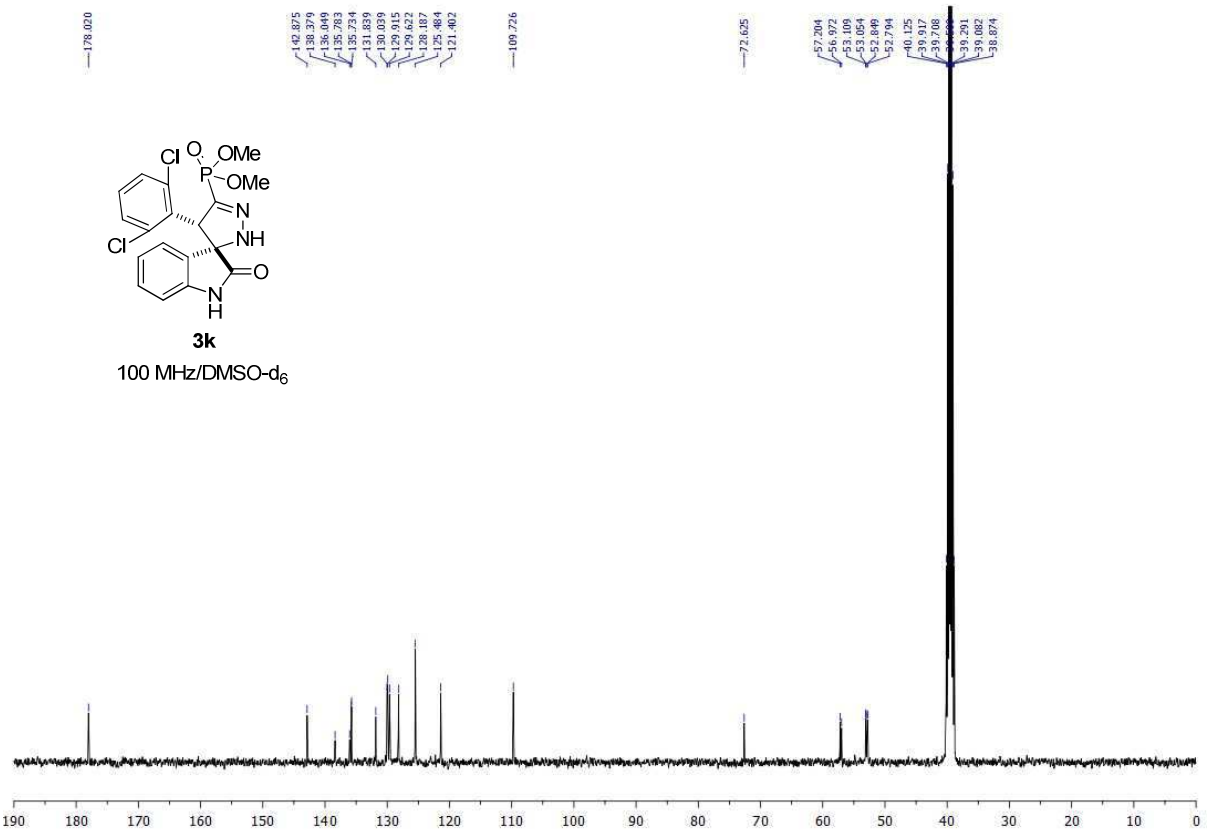
3j

161.9 MHz/DMSO-d_6



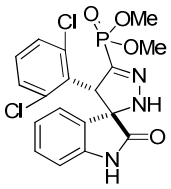
3k

400 MHz/DMSO-d_6



3k

100 MHz/DMSO-d_6



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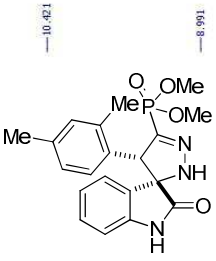
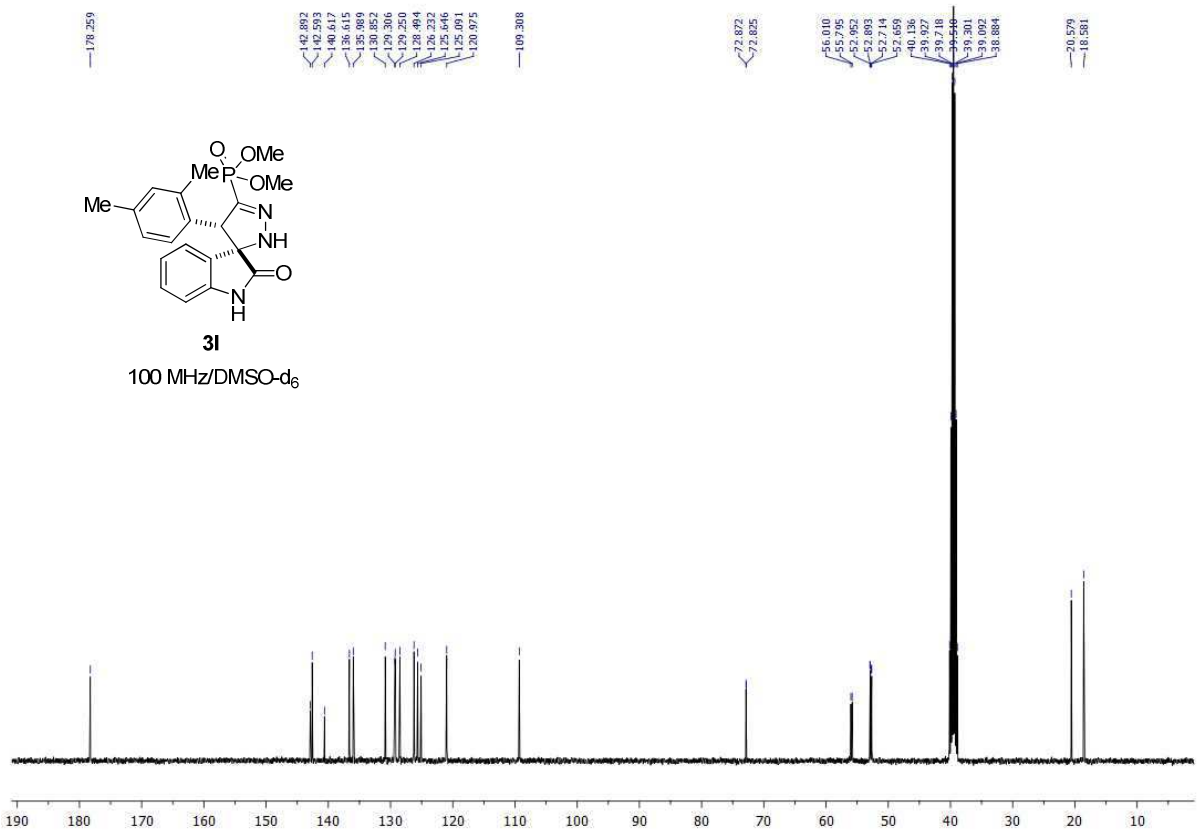
161.9 MHz/DMSO-d_6



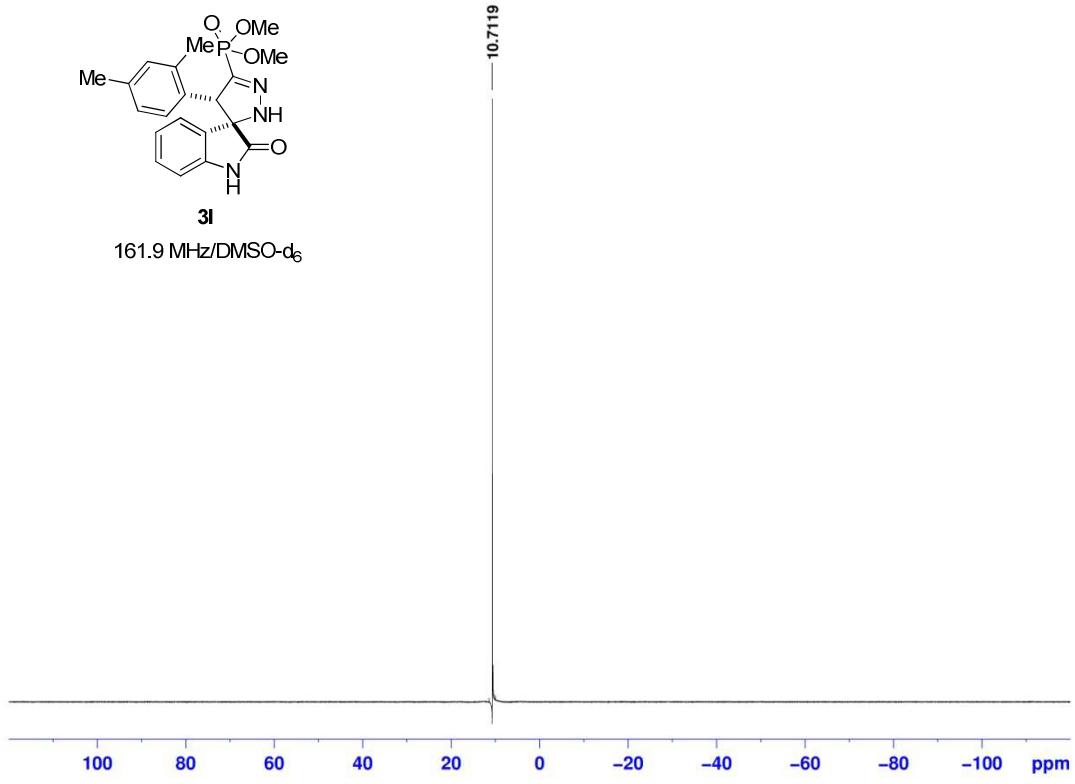
3l

400 MHz/DMSO-d_6



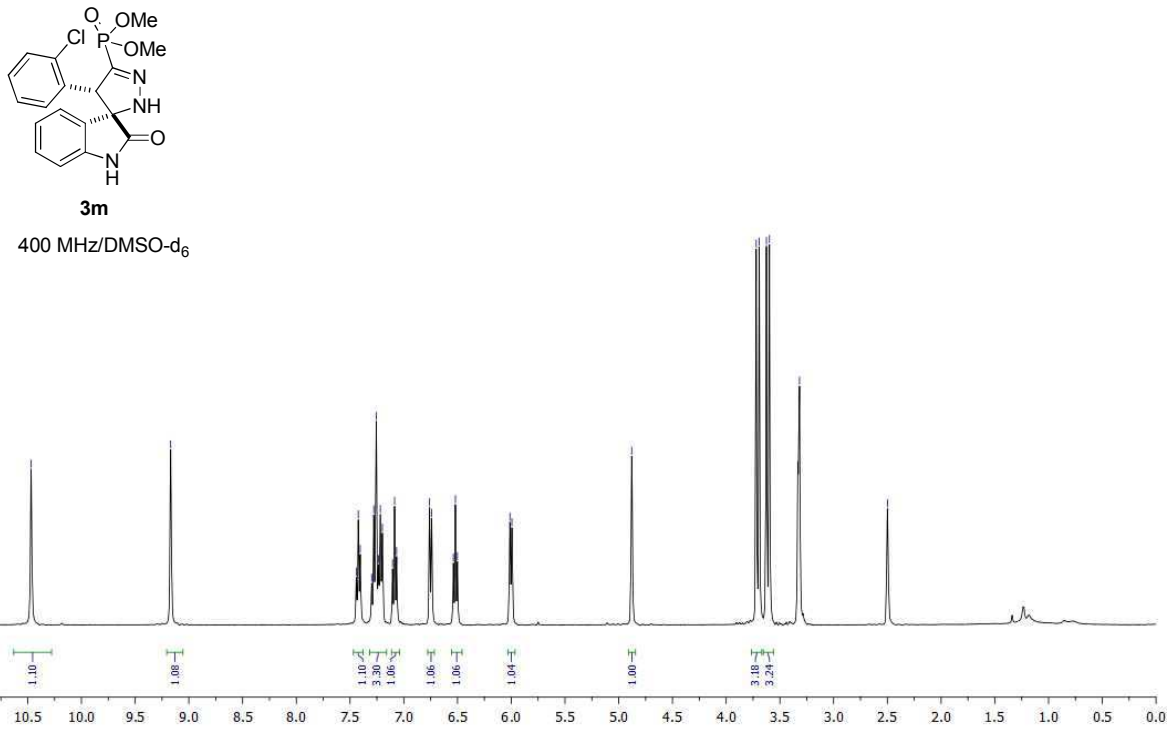
3l

100 MHz/DMSO-d_6



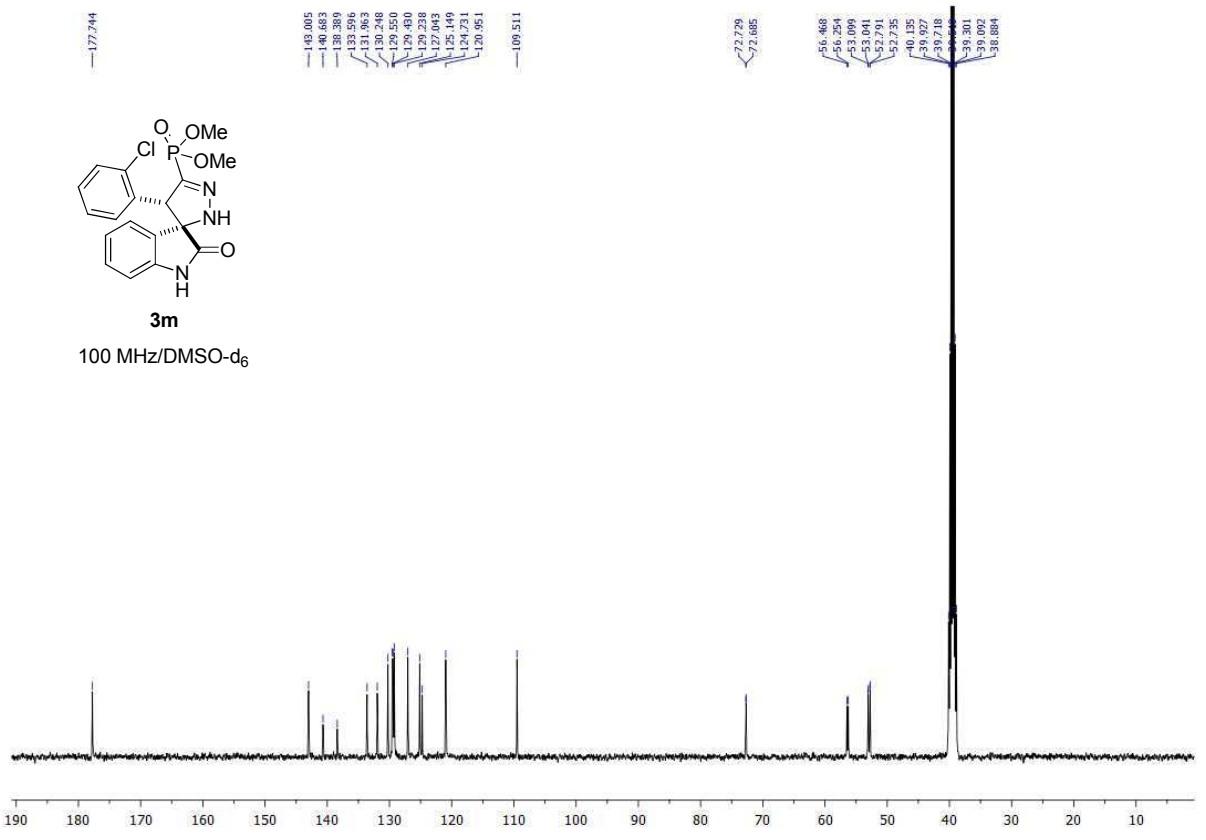
3l

161.9 MHz/DMSO-d_6



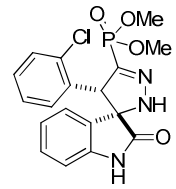
3m

400 MHz/DMSO-d_6



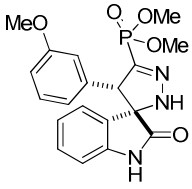
3m

100 MHz/DMSO-d_6



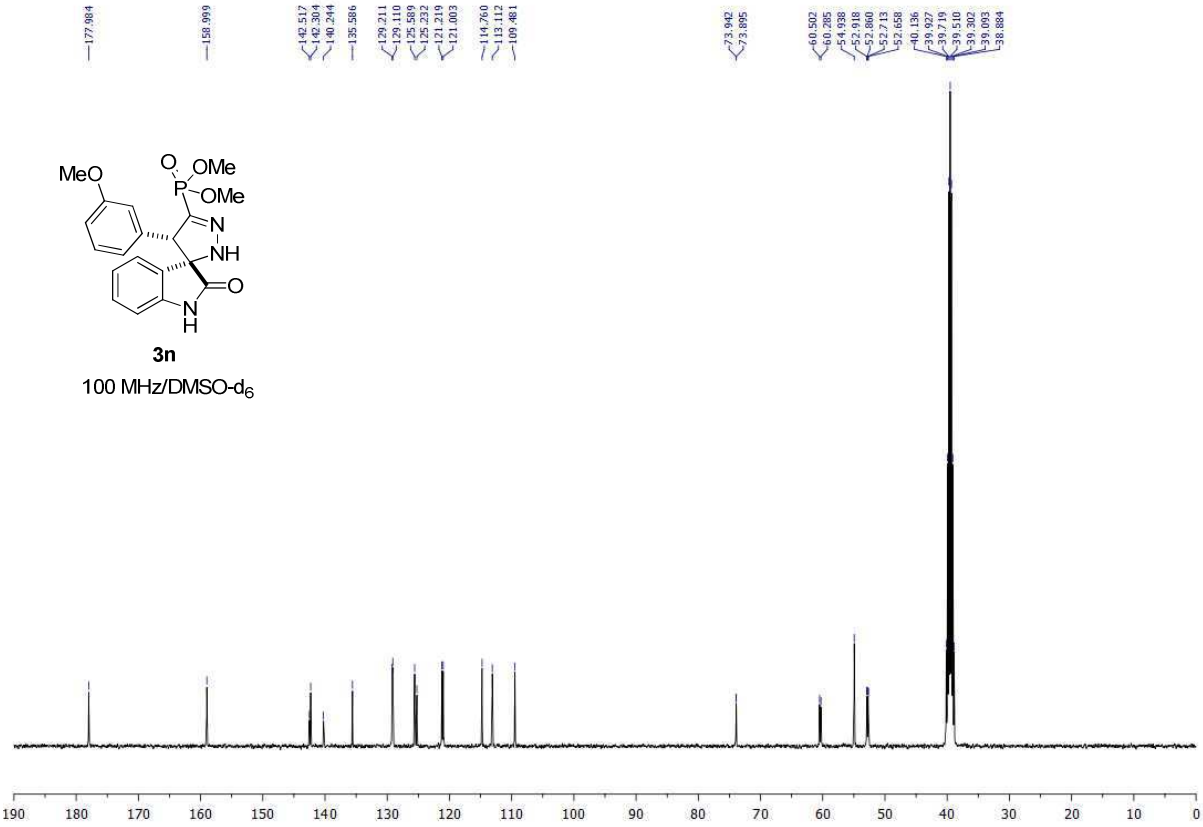
3m

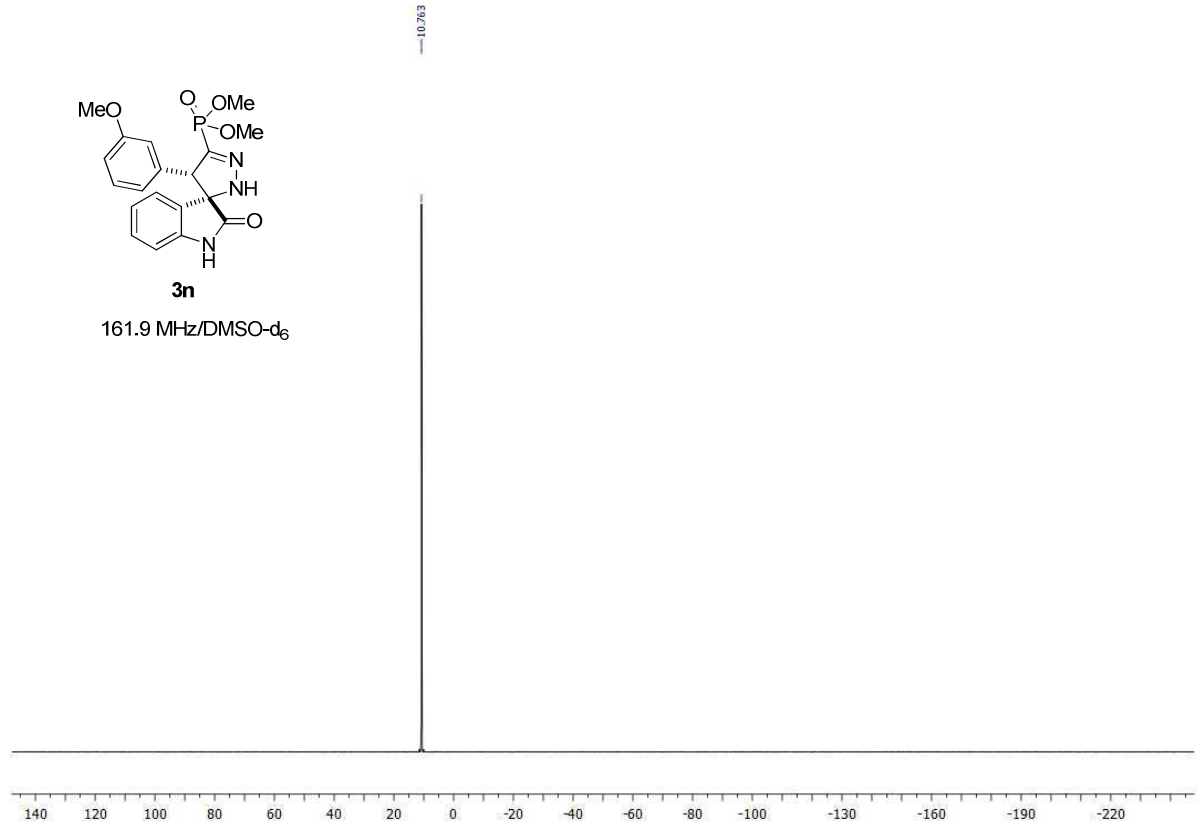
161.9 MHz/DMSO-d_6

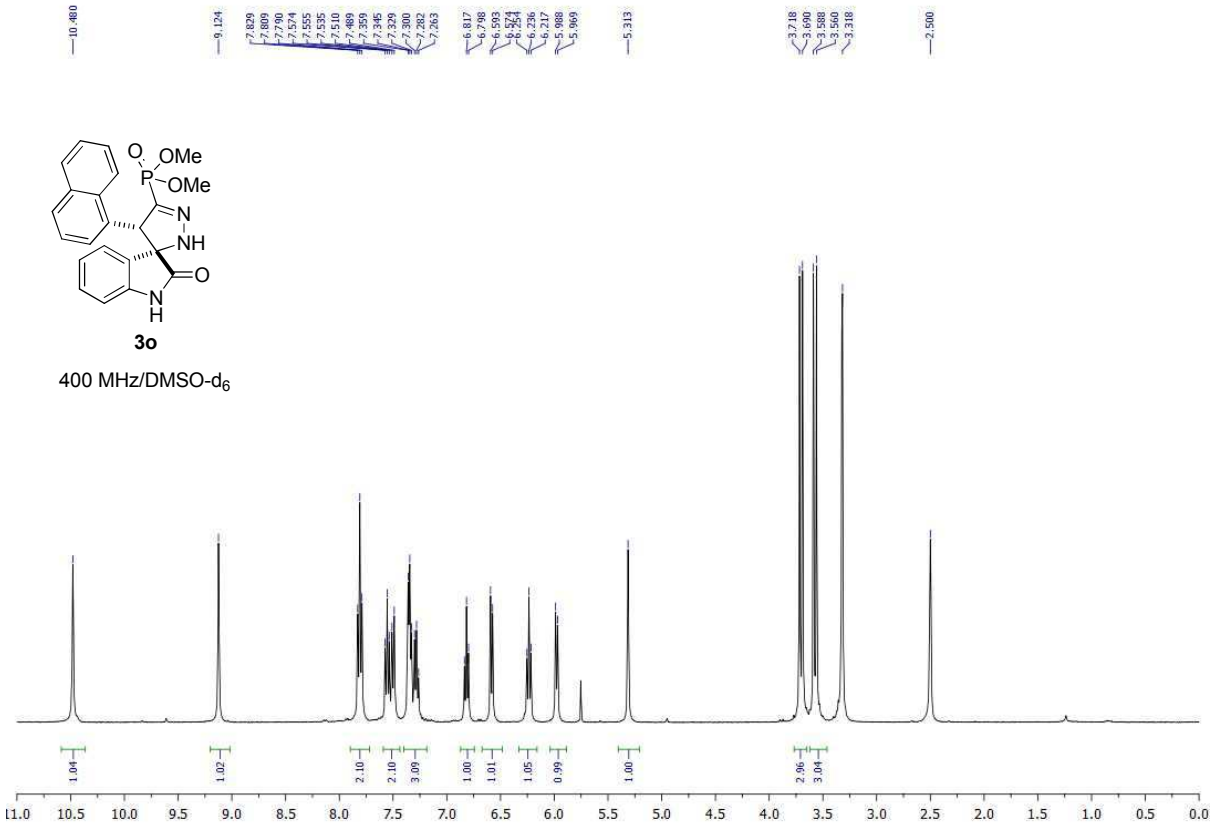


3n

400 MHz/DMSO-d_6

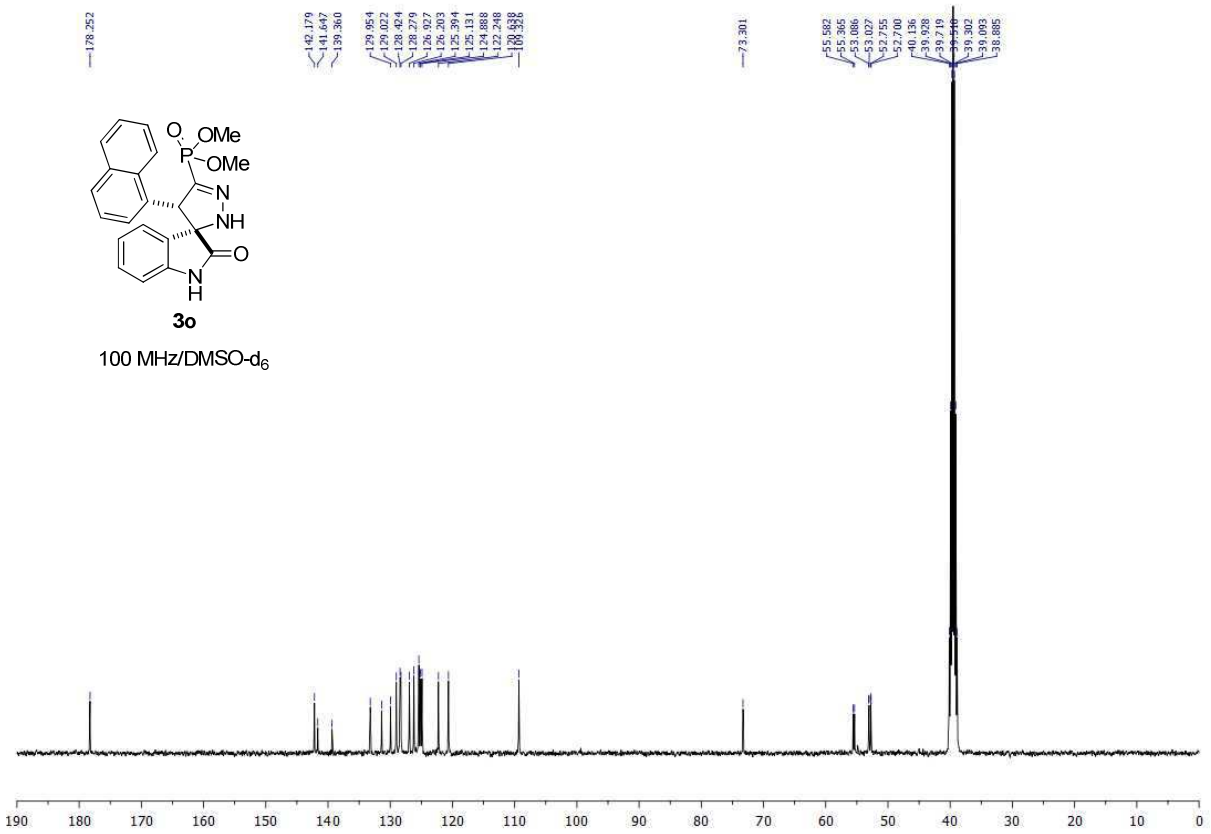

177.984
158.999
142.517
142.304
140.244
135.586
129.211
129.110
125.589
125.232
121.219
121.003
114.760
113.112
109.481
73.942
73.895
60.502
60.205
54.938
52.918
52.860
52.713
52.658
40.136
39.927
39.719
39.510
39.302
39.093
38.884
MeO
OMe
OMe
N
NH
O
N
H
3n
100 MHz/DMSO-d6
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0


10.763
MeO
OMe
OMe
N
NH
O
N
H
3n
161.9 MHz/DMSO-d6
140
120
100
80
60
40
20
0
-20
-40
-60
-80
-100
-130
-160
-190
-220



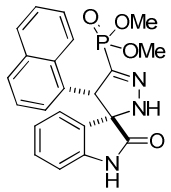
3o

400 MHz/DMSO-d_6



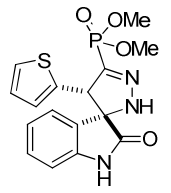
3o

100 MHz/DMSO-d_6



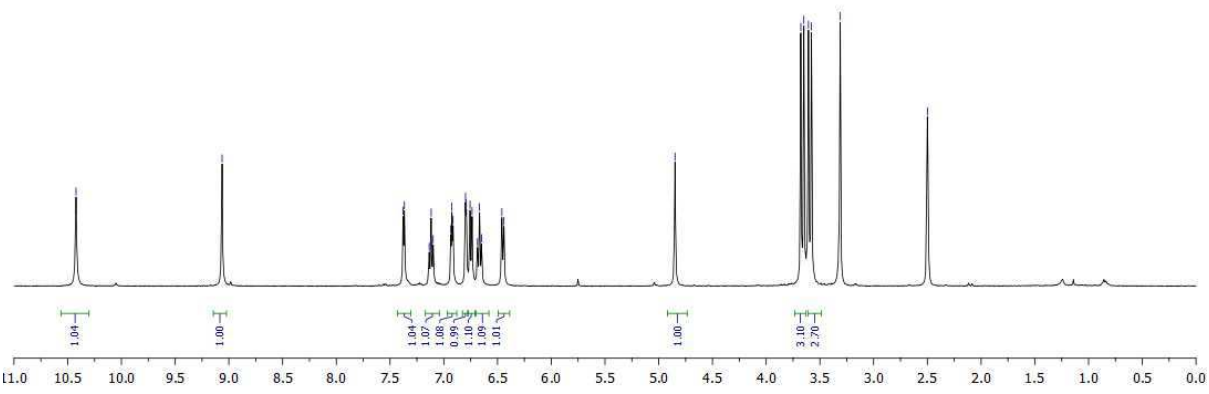
3o

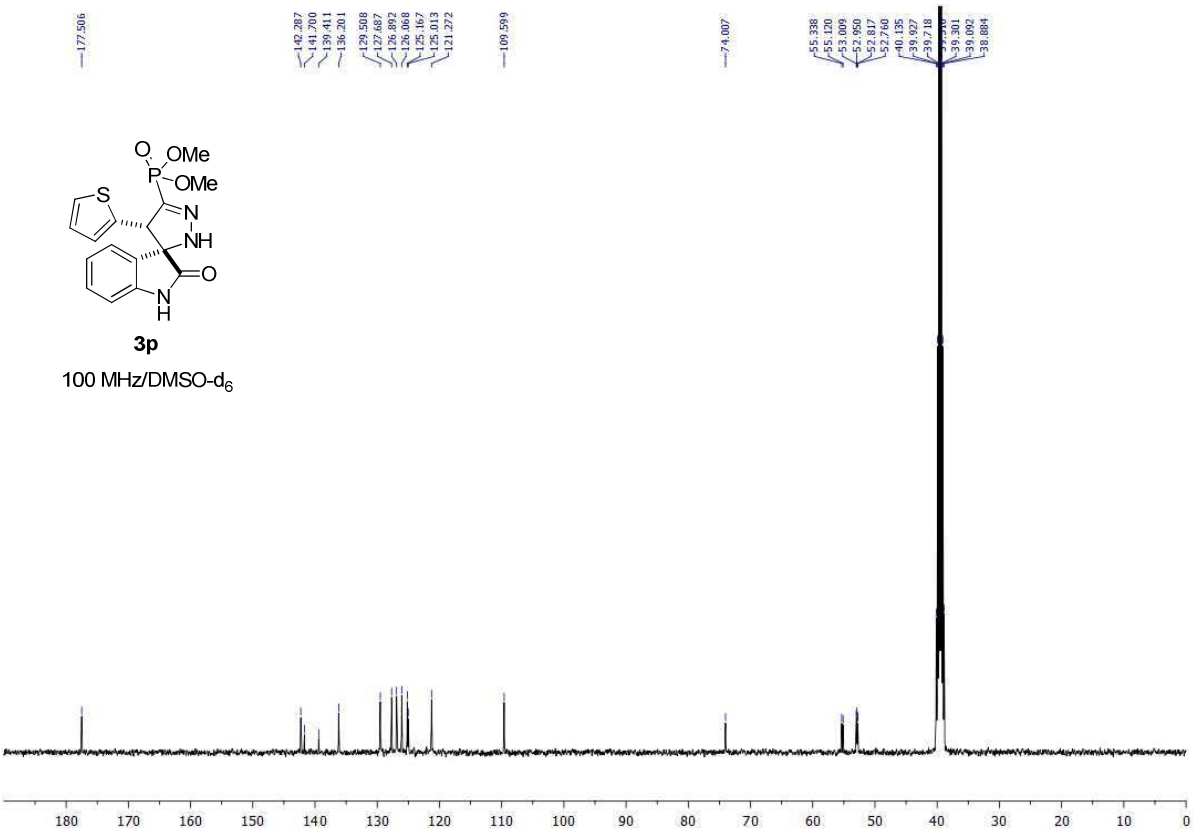
161.9 MHz/DMSO-d_6



3p

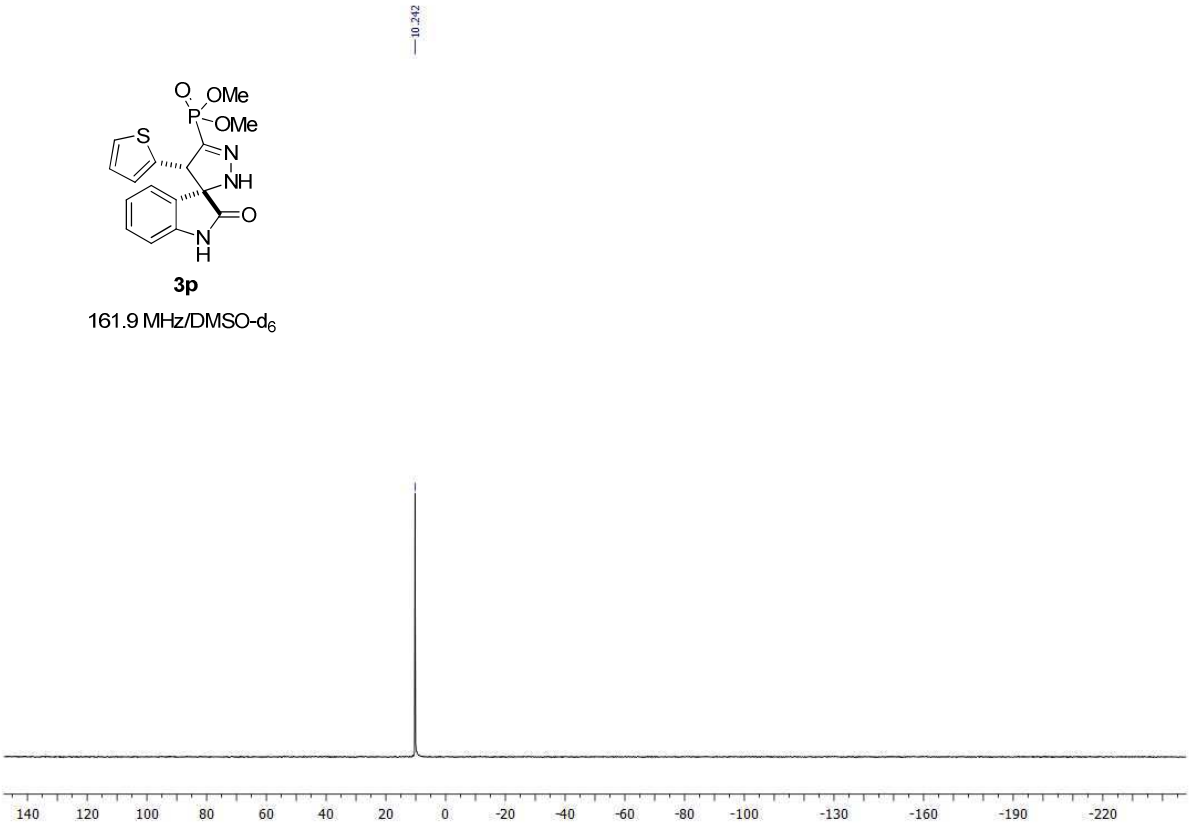
400 MHz/DMSO-d_6





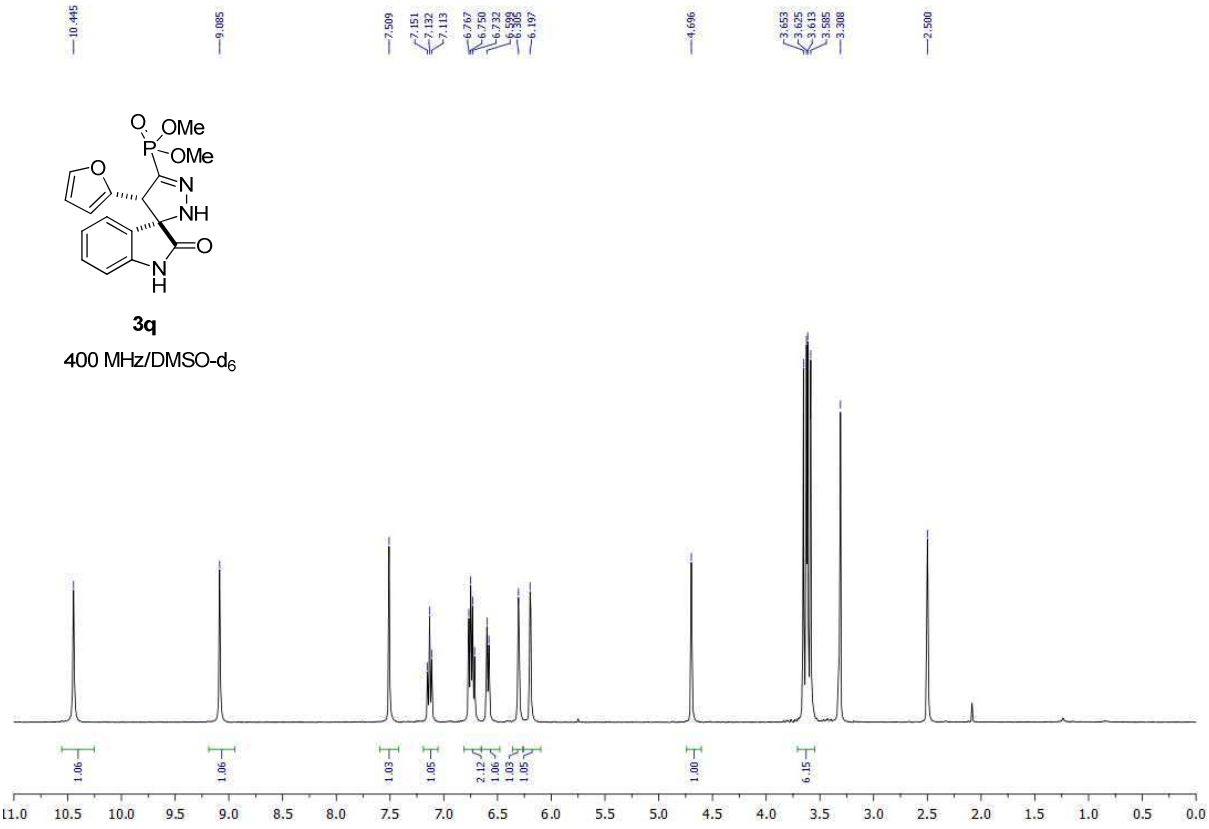
3p

100 MHz/DMSO-d_6



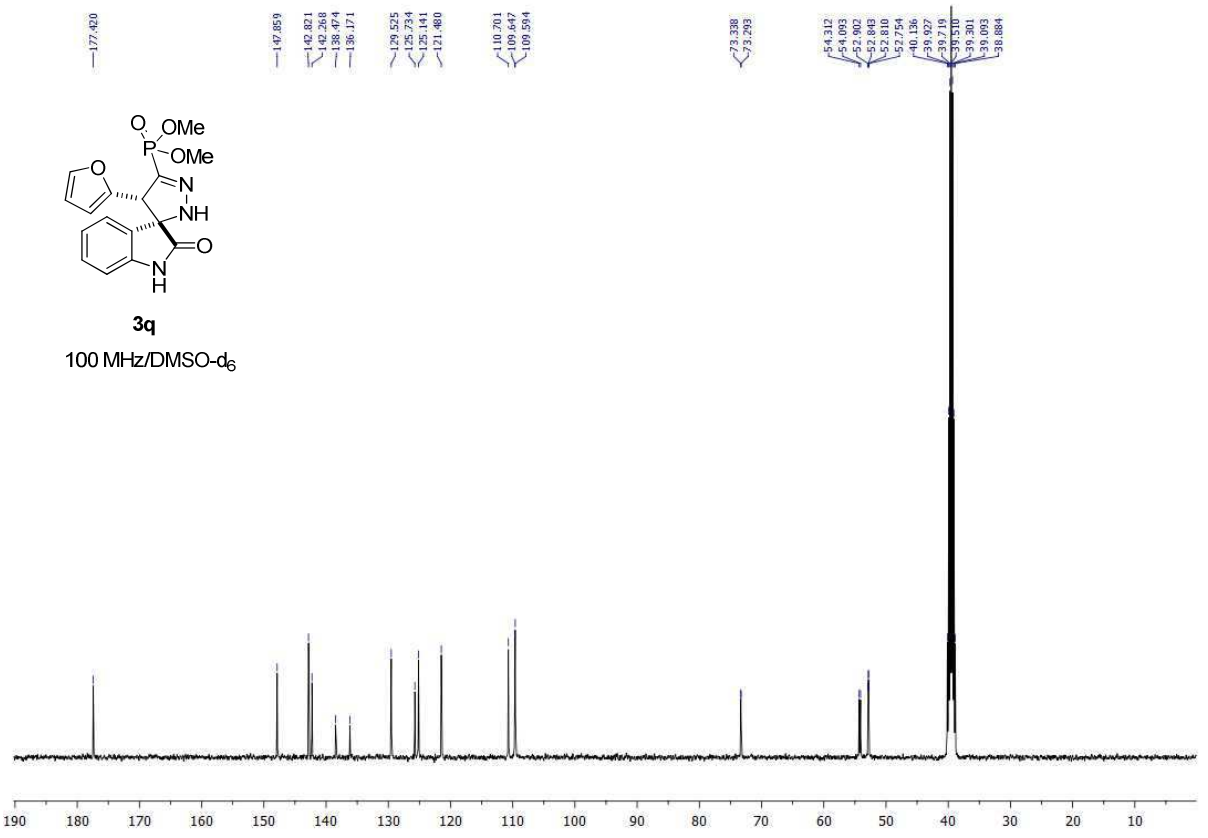
3p

161.9 MHz/DMSO-d_6



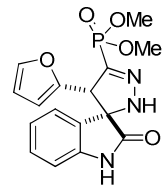
3q

400 MHz/DMSO-d_6



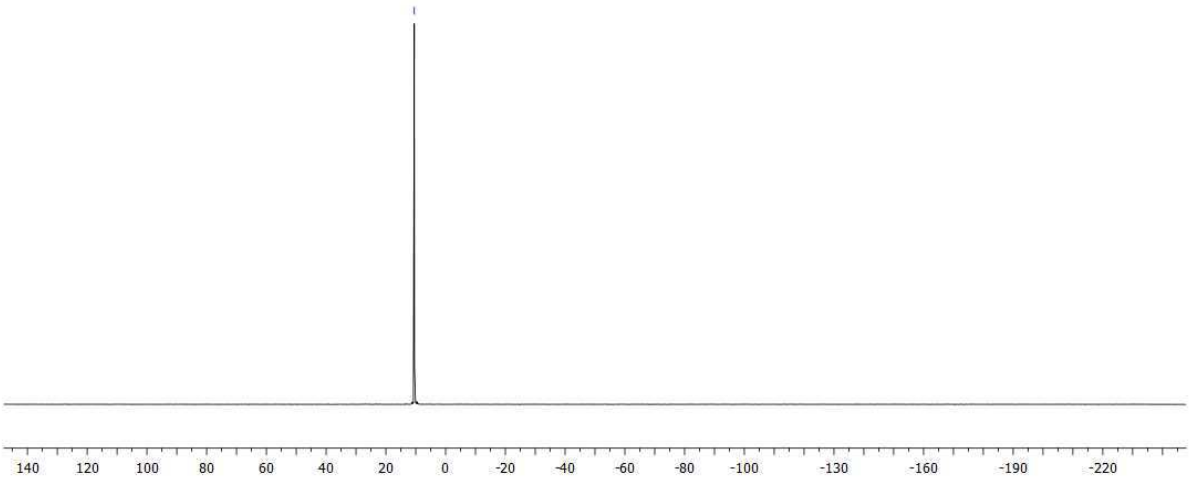
3q

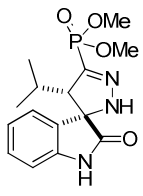
100 MHz/DMSO-d_6



3q

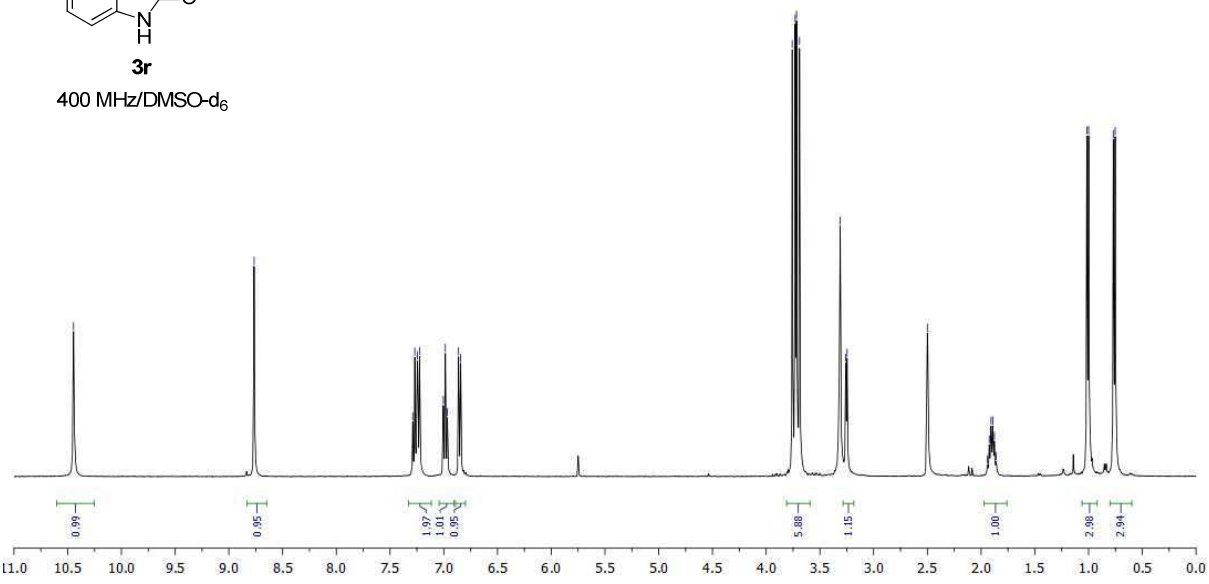
161.9 MHz/DMSO-d_6

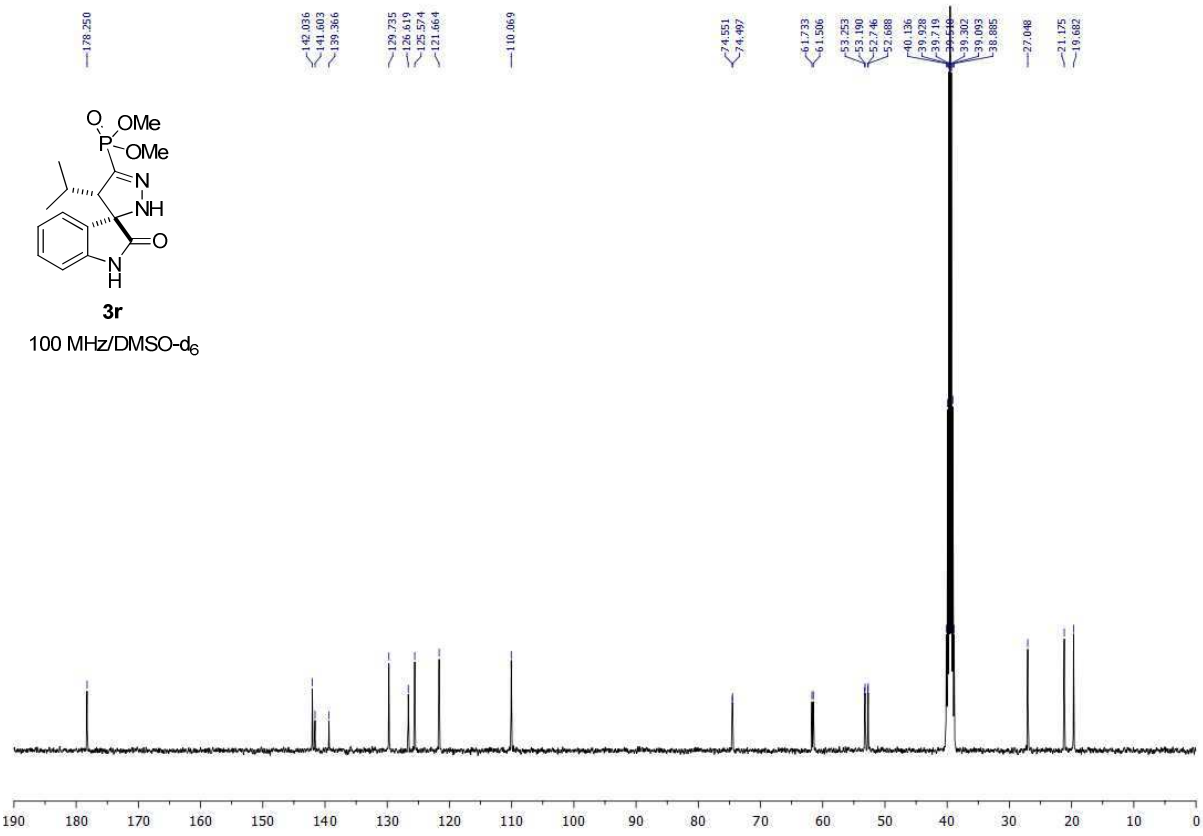




3r

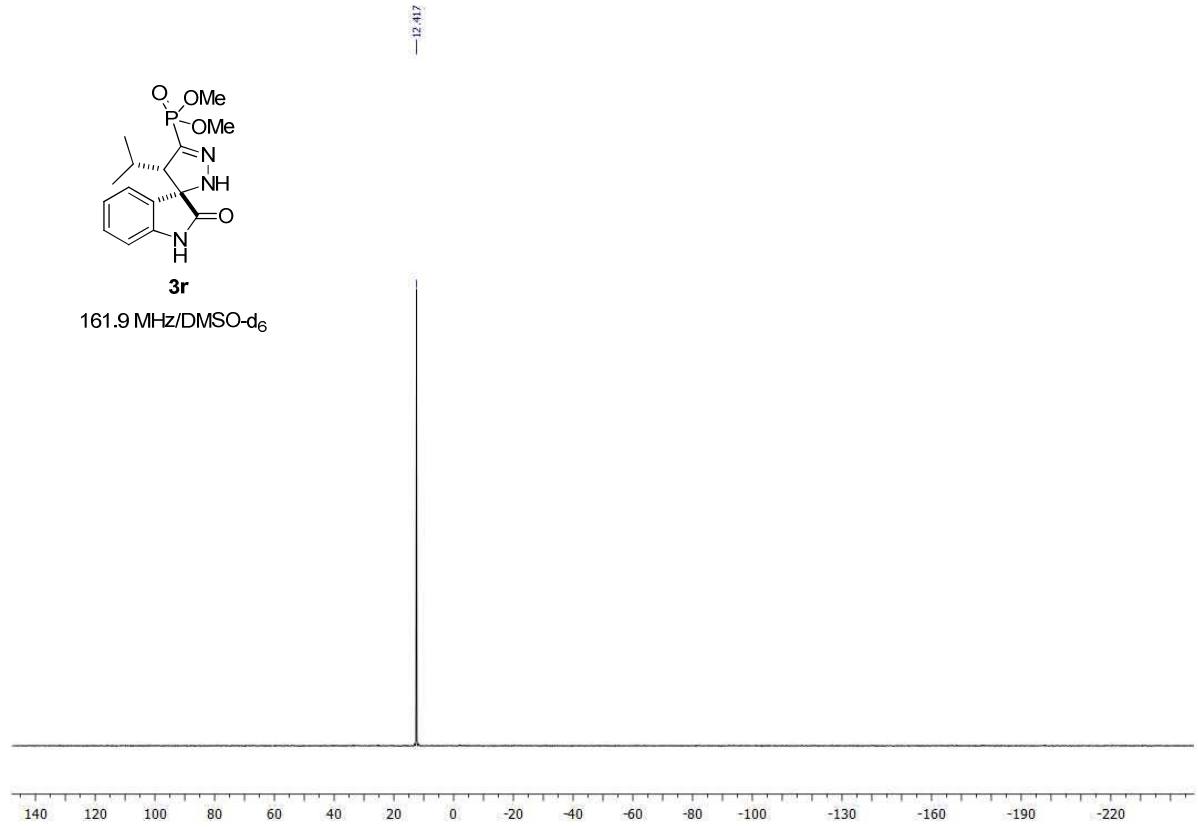
400 MHz/DMSO-d_6





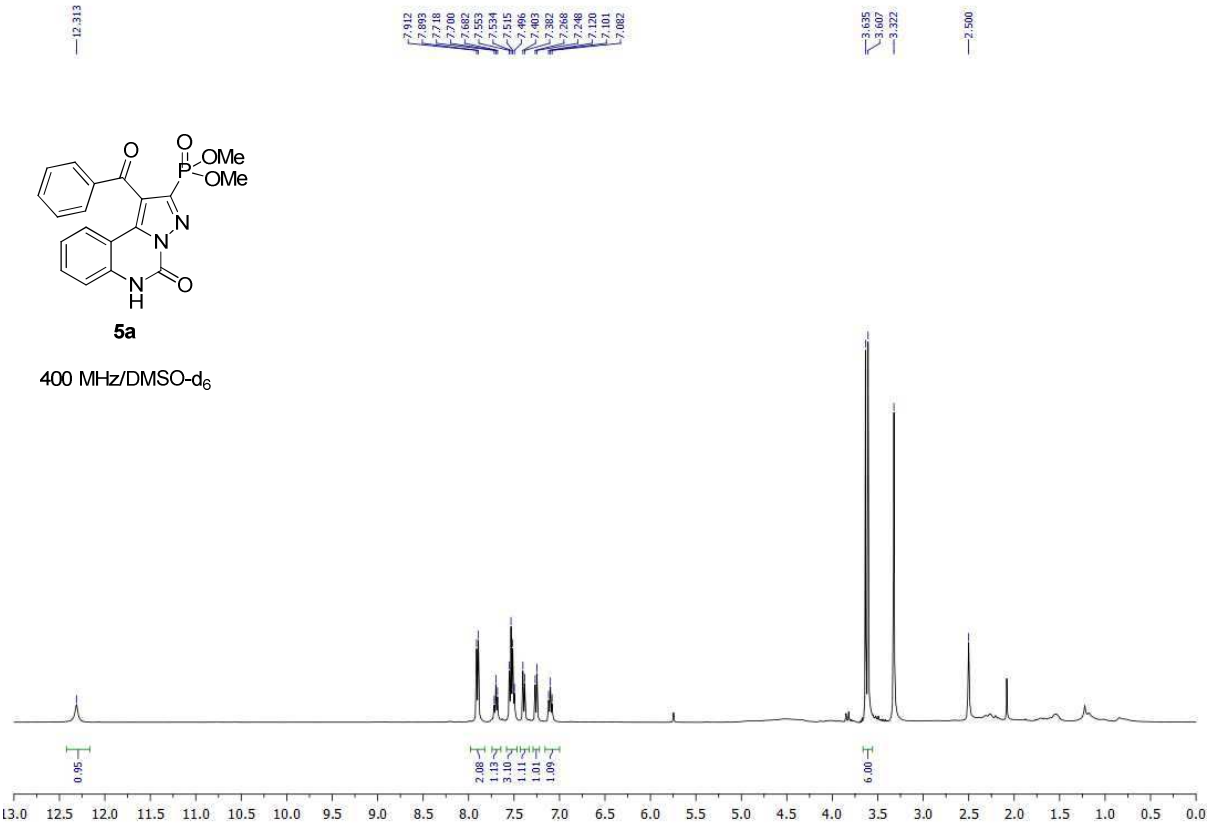
3r

100 MHz/DMSO-d_6



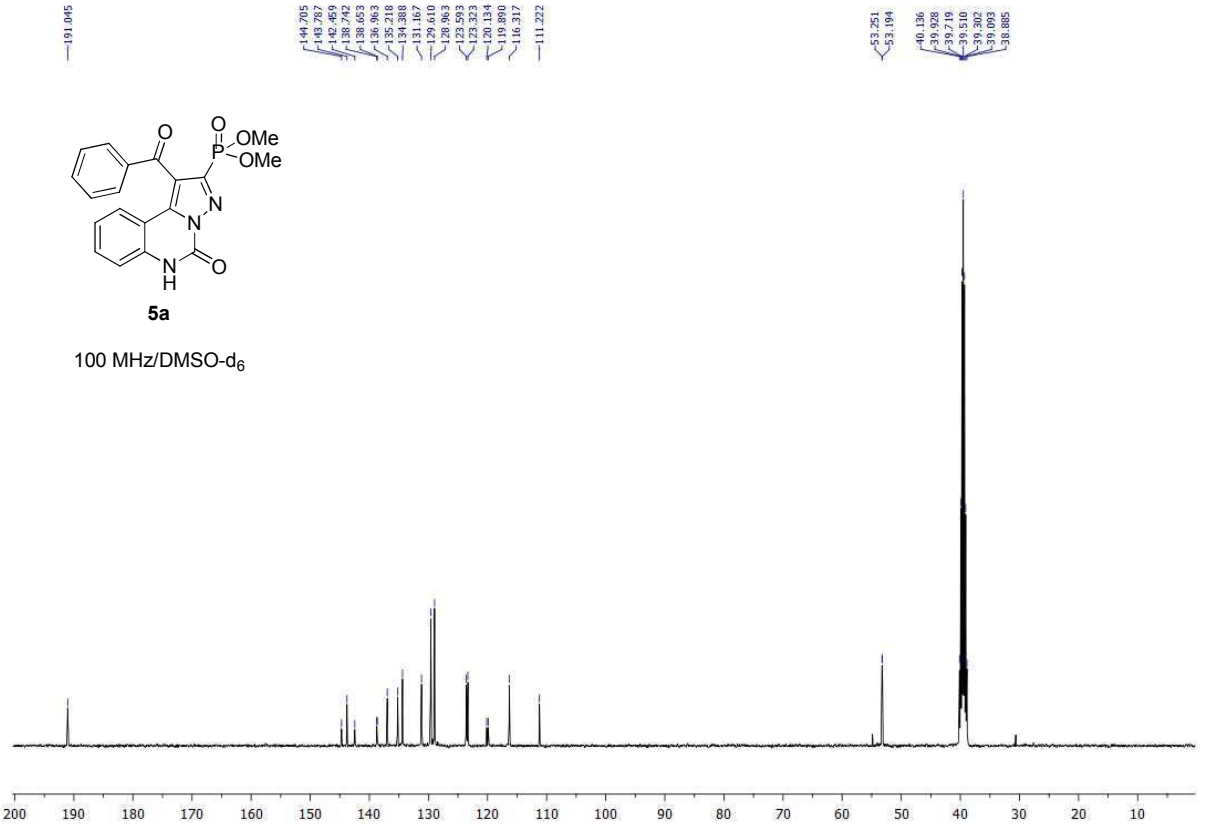
3r

161.9 MHz/DMSO-d_6



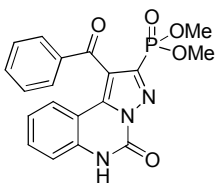
5a

400 MHz/DMSO-d_6



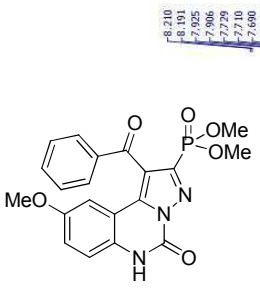
5a

100 MHz/DMSO-d_6



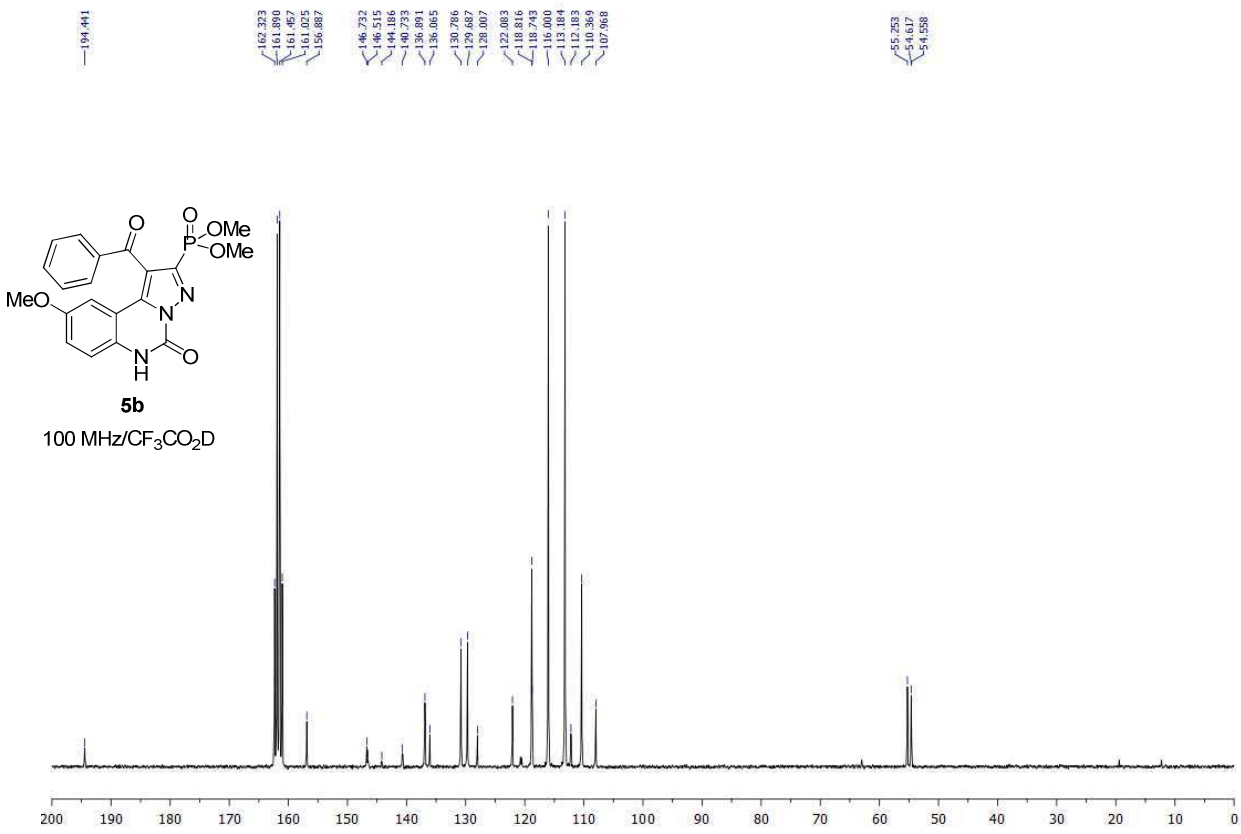
5a

161.9 MHz/DMSO-d_6



5b

400 MHz/CF_3CO_2D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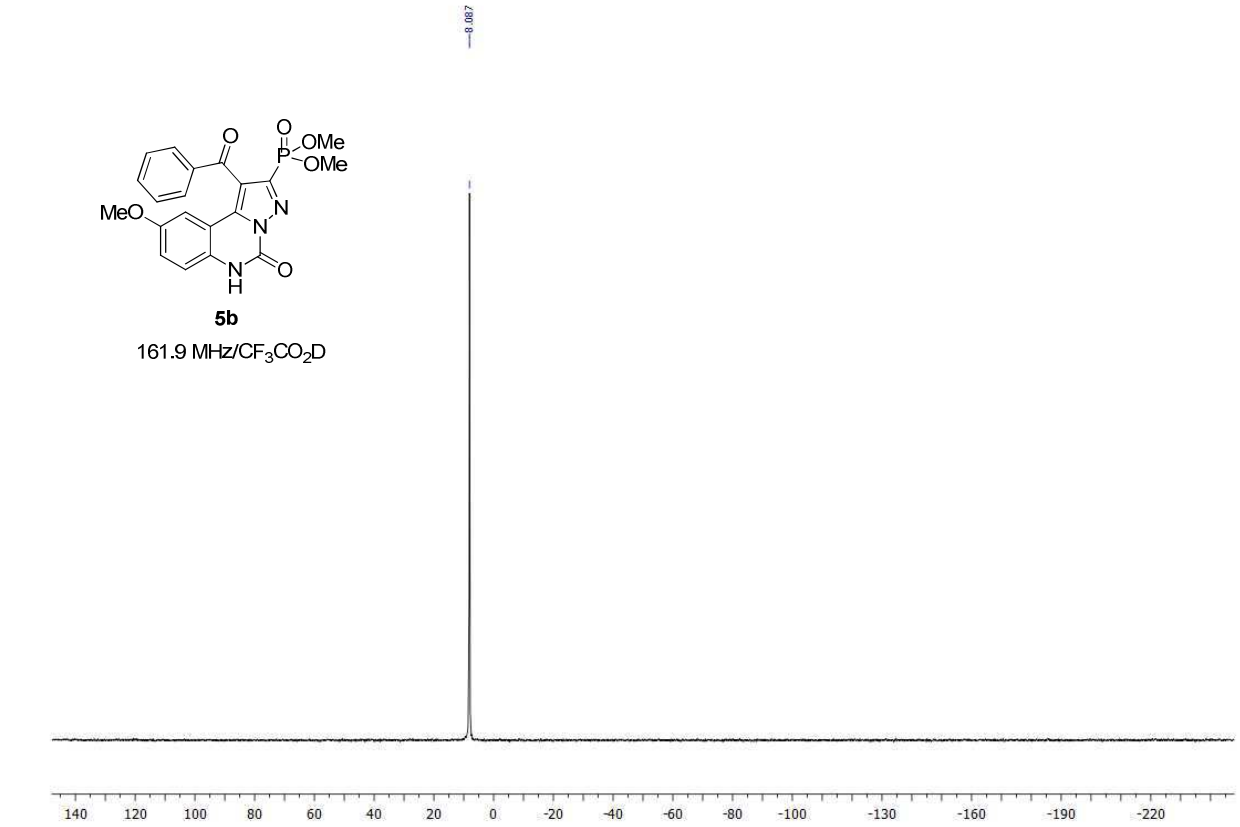
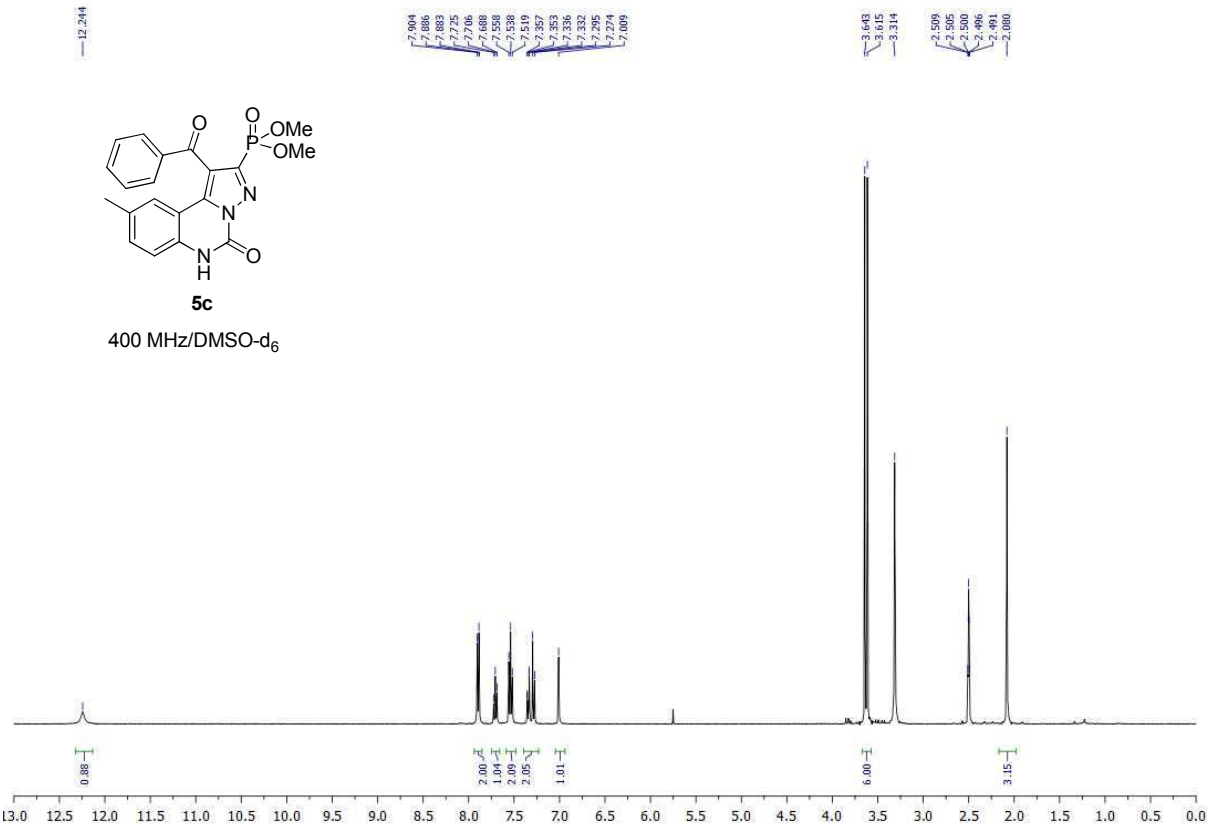
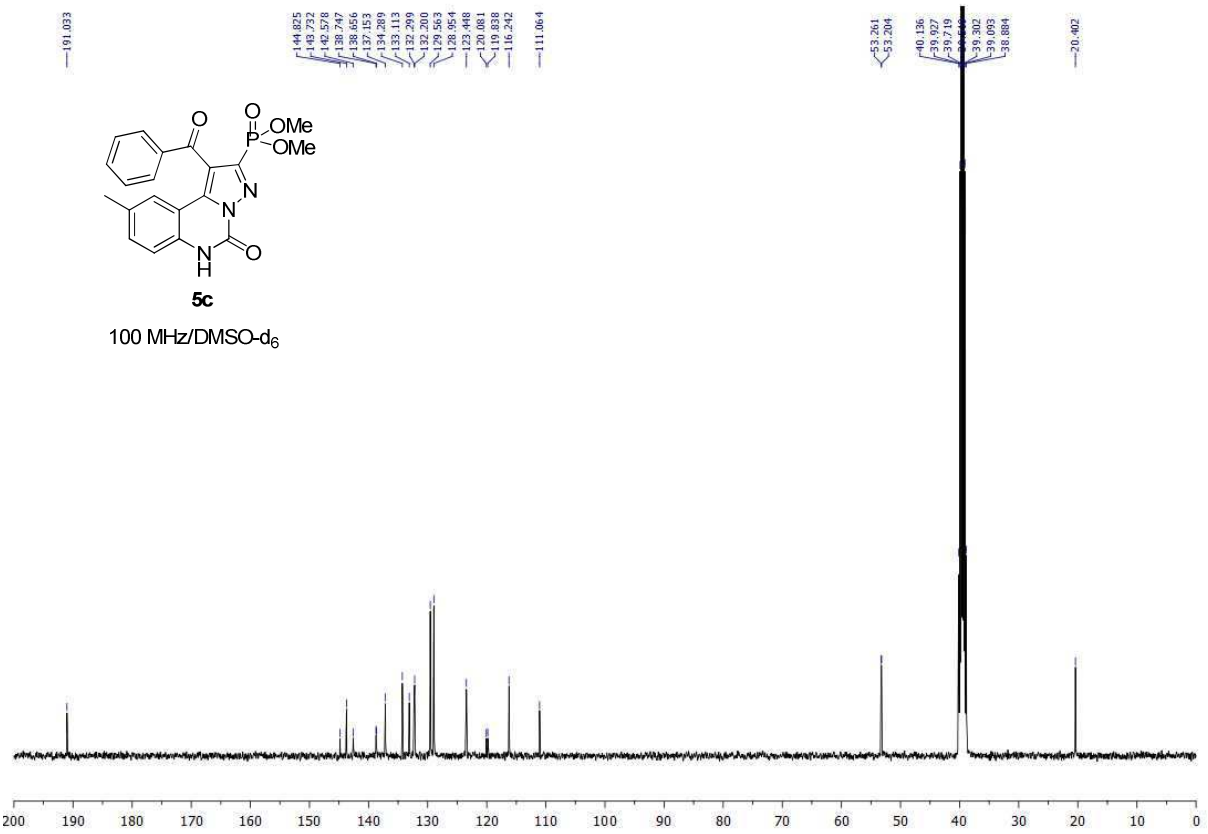
162.323
161.890
161.457
161.025
156.887
146.732
146.515
144.186
140.733
136.891
136.665
130.786
129.687
128.007
122.083
118.816
118.743
116.000
113.184
112.183
110.369
107.968
55.253
54.617
54.558
MeO
OMe
OMe
N
N
N
H
O
O
O
O
5b
100 MHz/CF3CO2D
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0


8.087
MeO
OMe
OMe
N
N
N
H
O
O
O
O
5b
161.9 MHz/CF3CO2D
140
120
100
80
60
40
20
0
-20
-40
-60
-80
-100
-130
-160
-190
-220



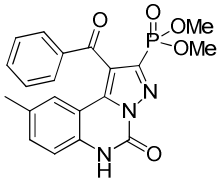
5c

400 MHz/DMSO-d_6



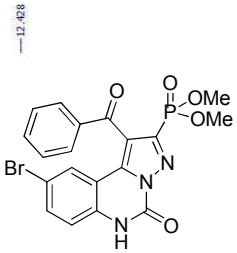
5c

100 MHz/DMSO-d_6



5c

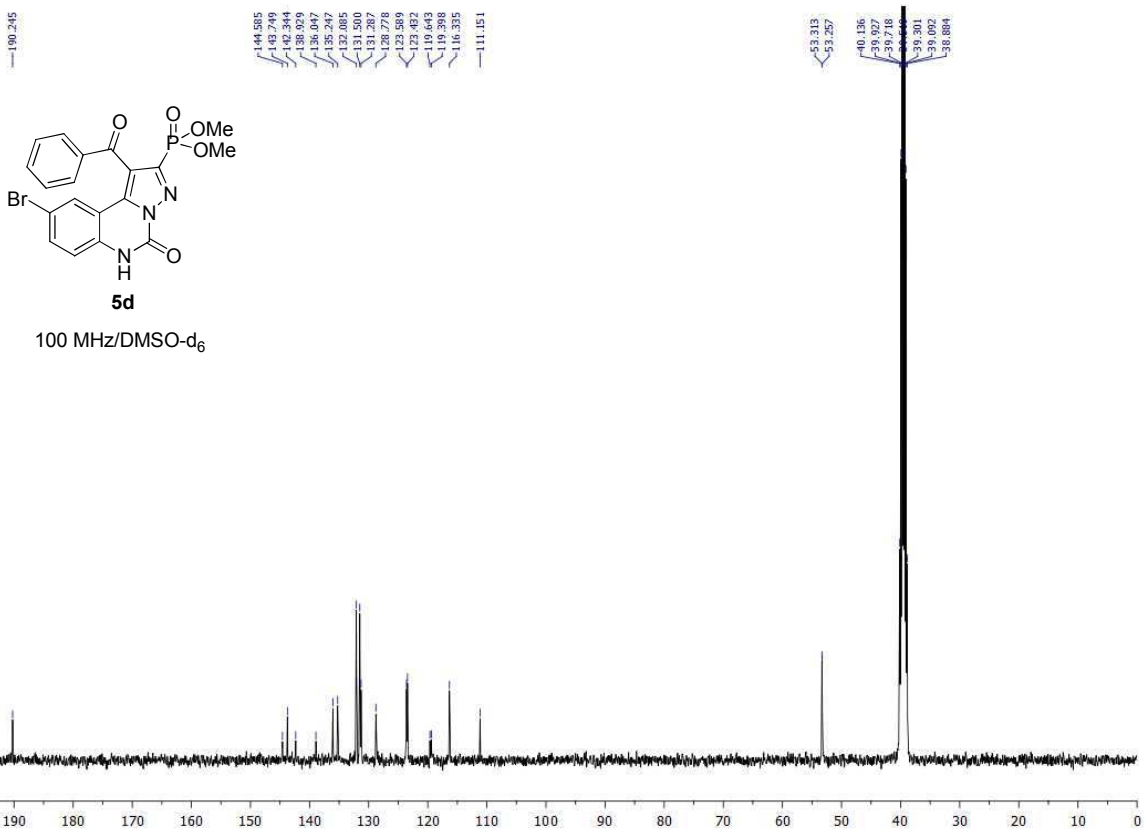
161.9 MHz/DMSO-d_6



5d

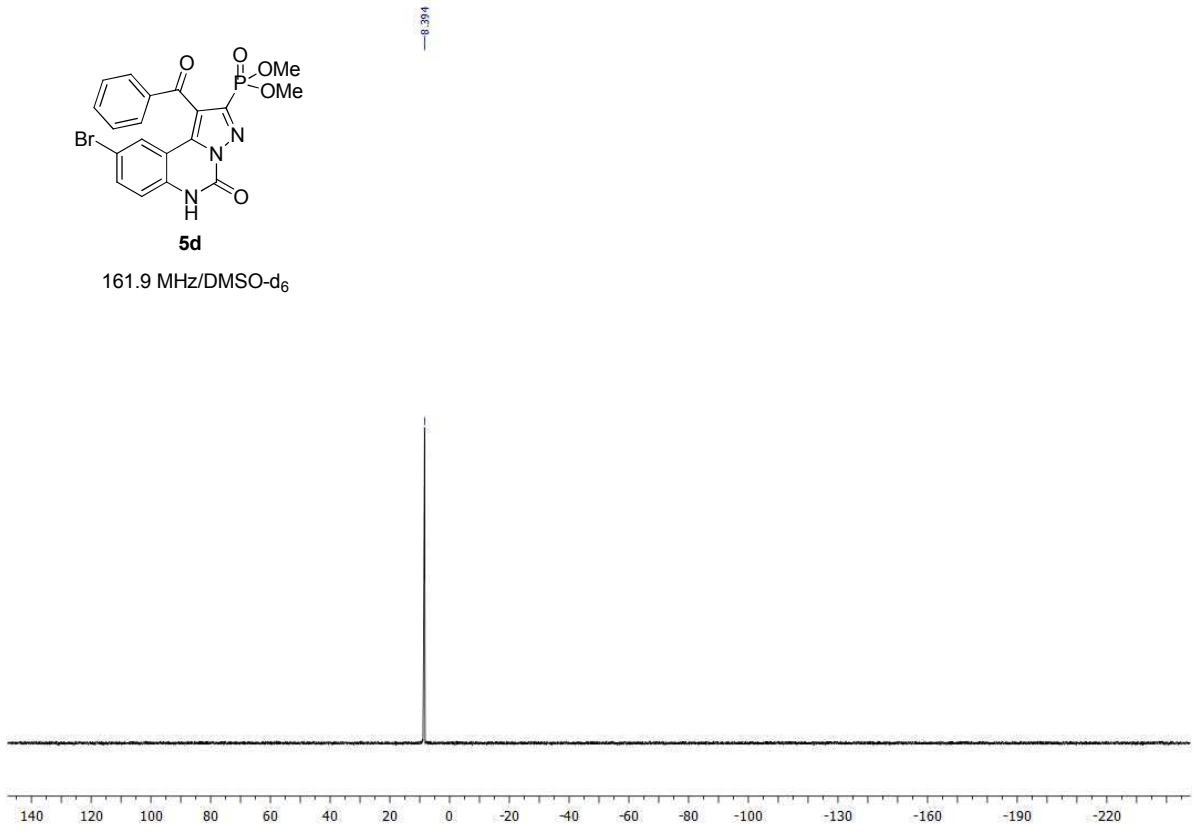
400 MHz/DMSO-d_6

acetone



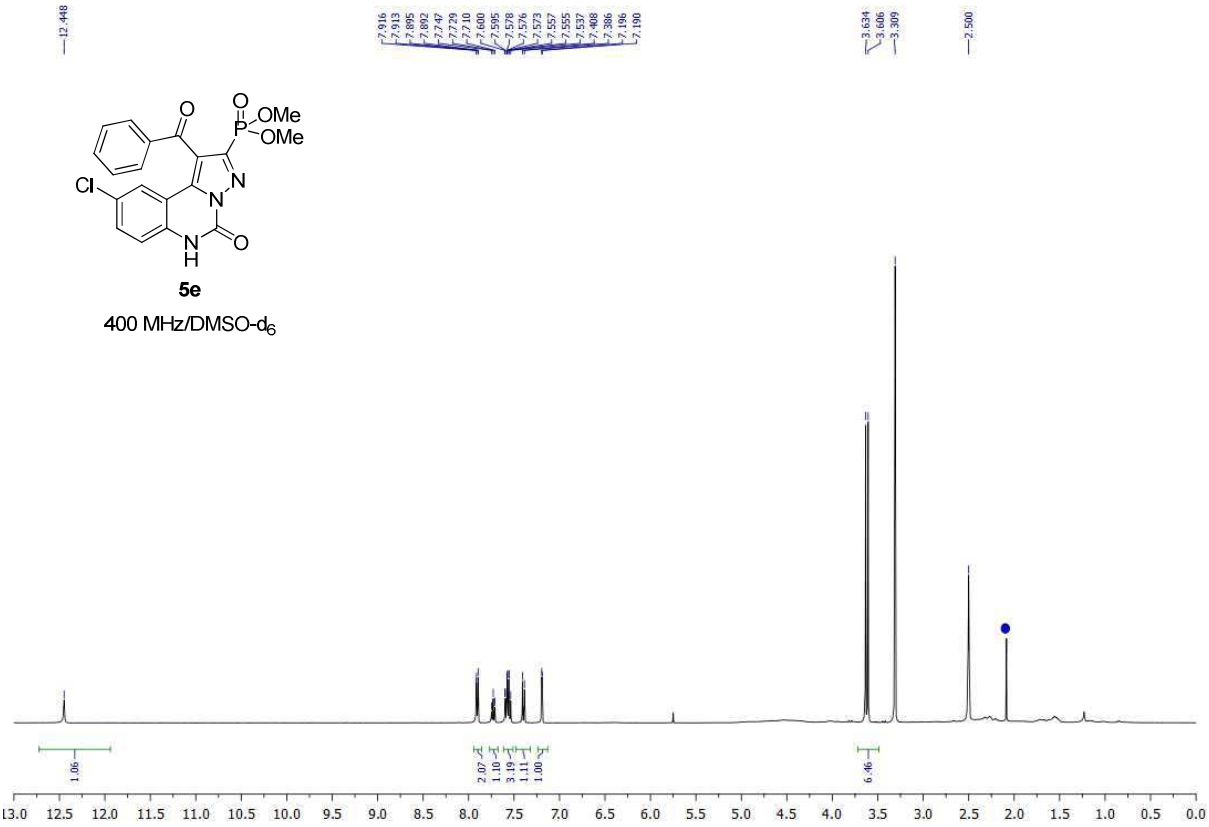
5d

100 MHz/DMSO-d_6



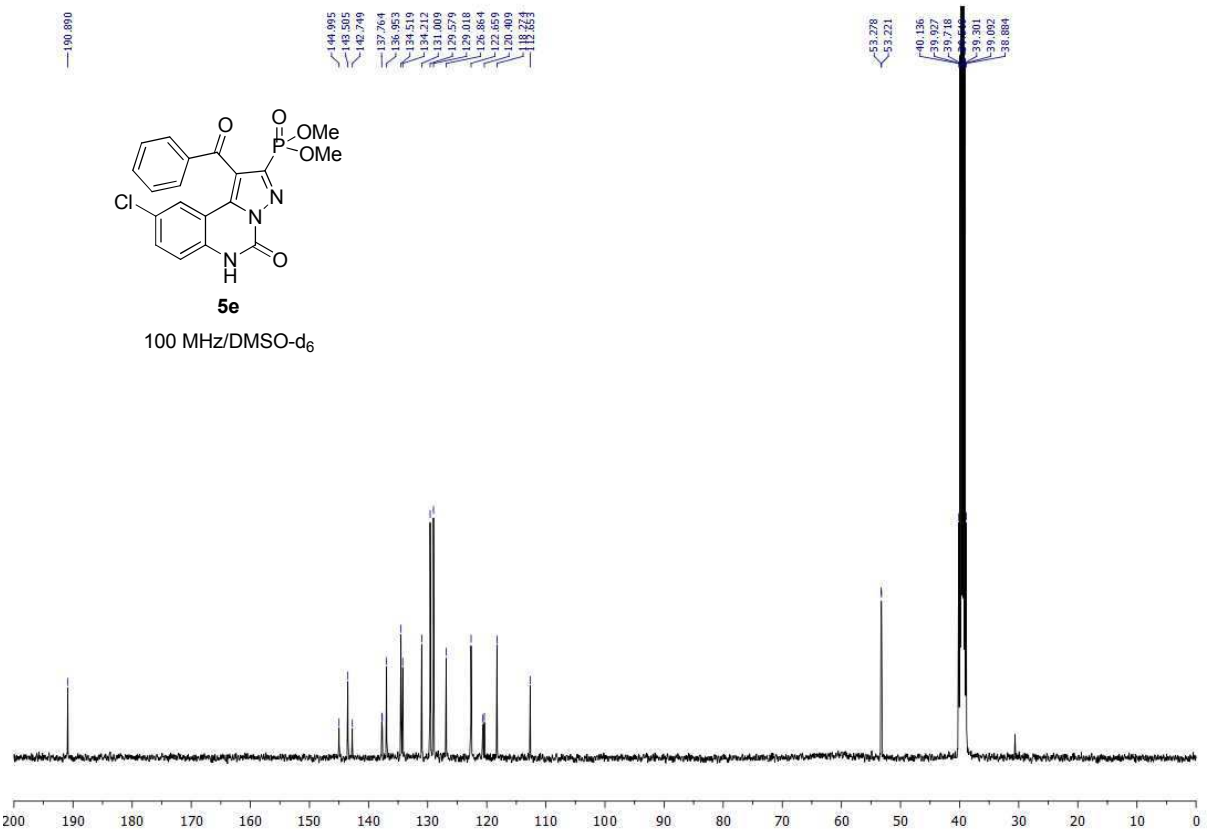
5d

161.9 MHz/DMSO-d_6



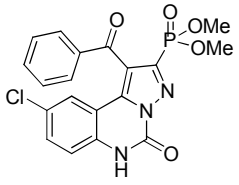
5e

400 MHz/DMSO-d_6



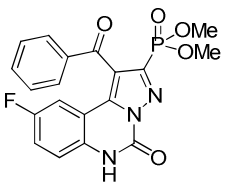
5e

100 MHz/DMSO-d_6



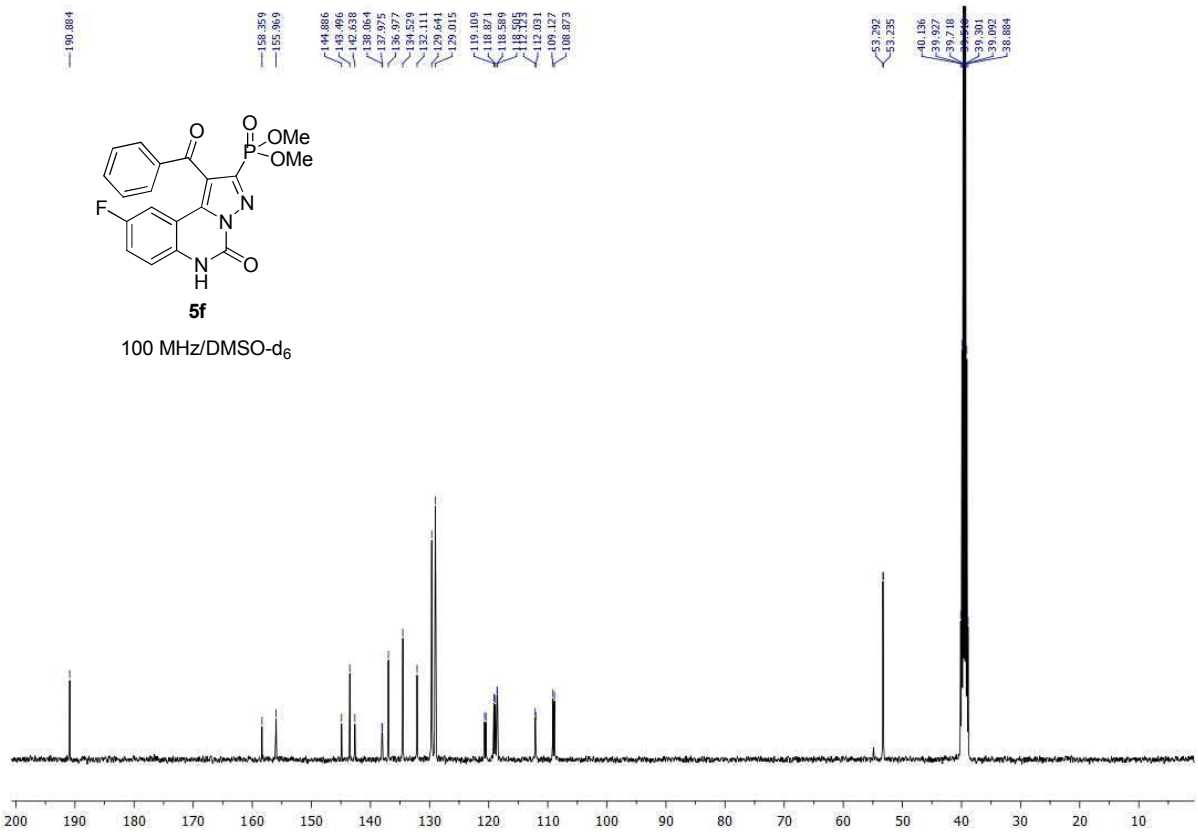
5e

161.9 MHz/DMSO-d_6



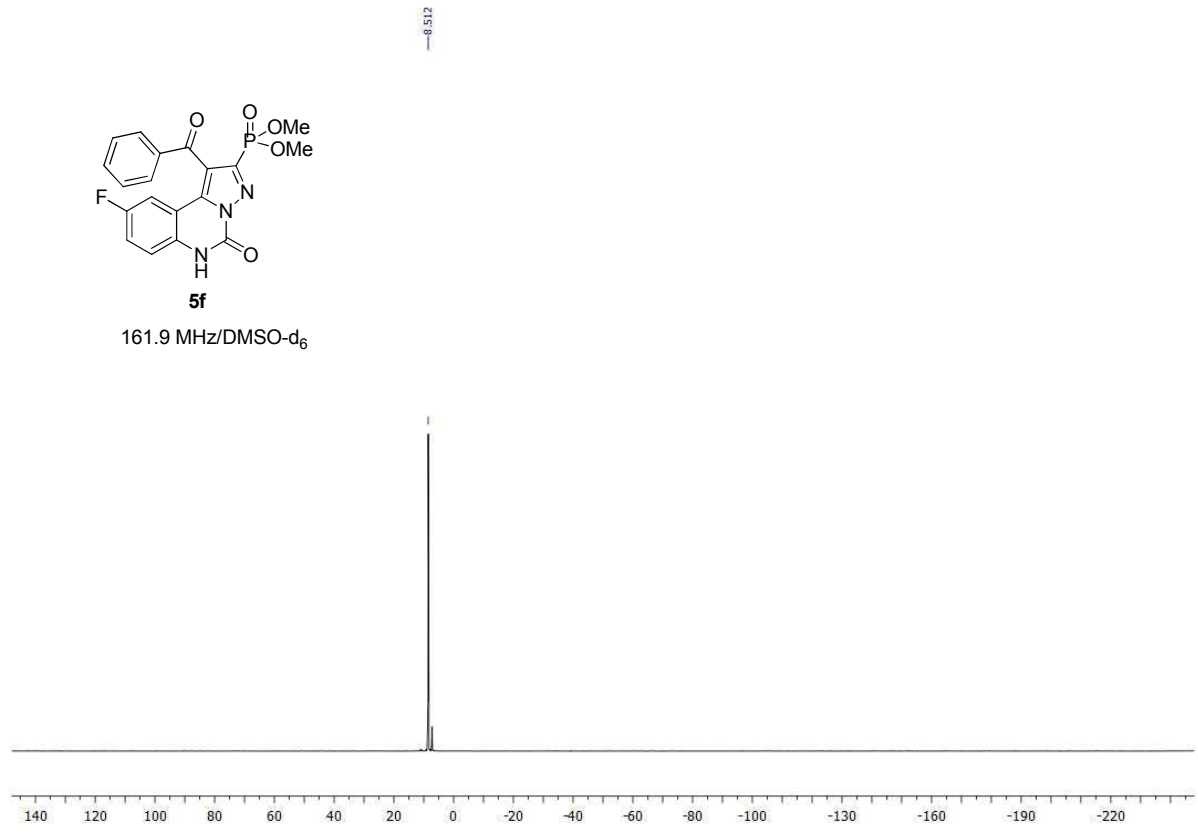
5f

400 MHz/DMSO-d_6



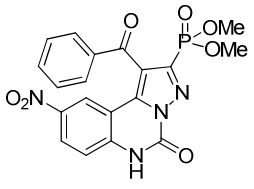
5f

100 MHz/DMSO-d_6



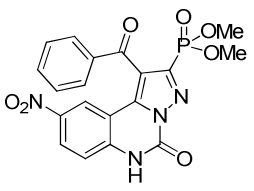
5f

161.9 MHz/DMSO-d_6



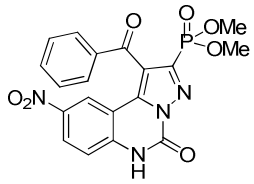
5g

400 MHz/DMSO-d_6



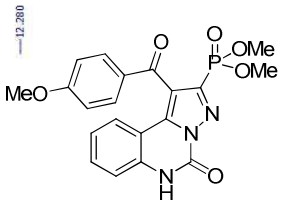
5g

100 MHz/DMSO-d_6



5g

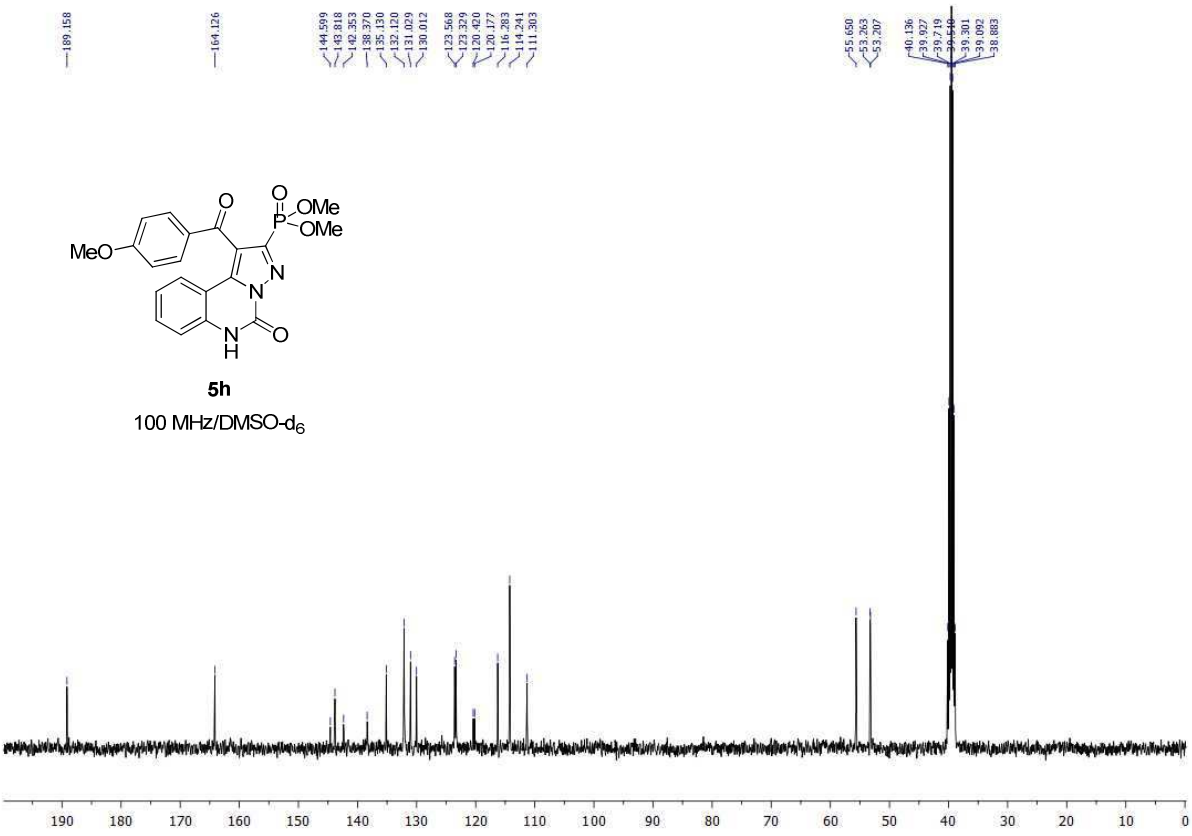
161.9 MHz/DMSO-d_6



5h

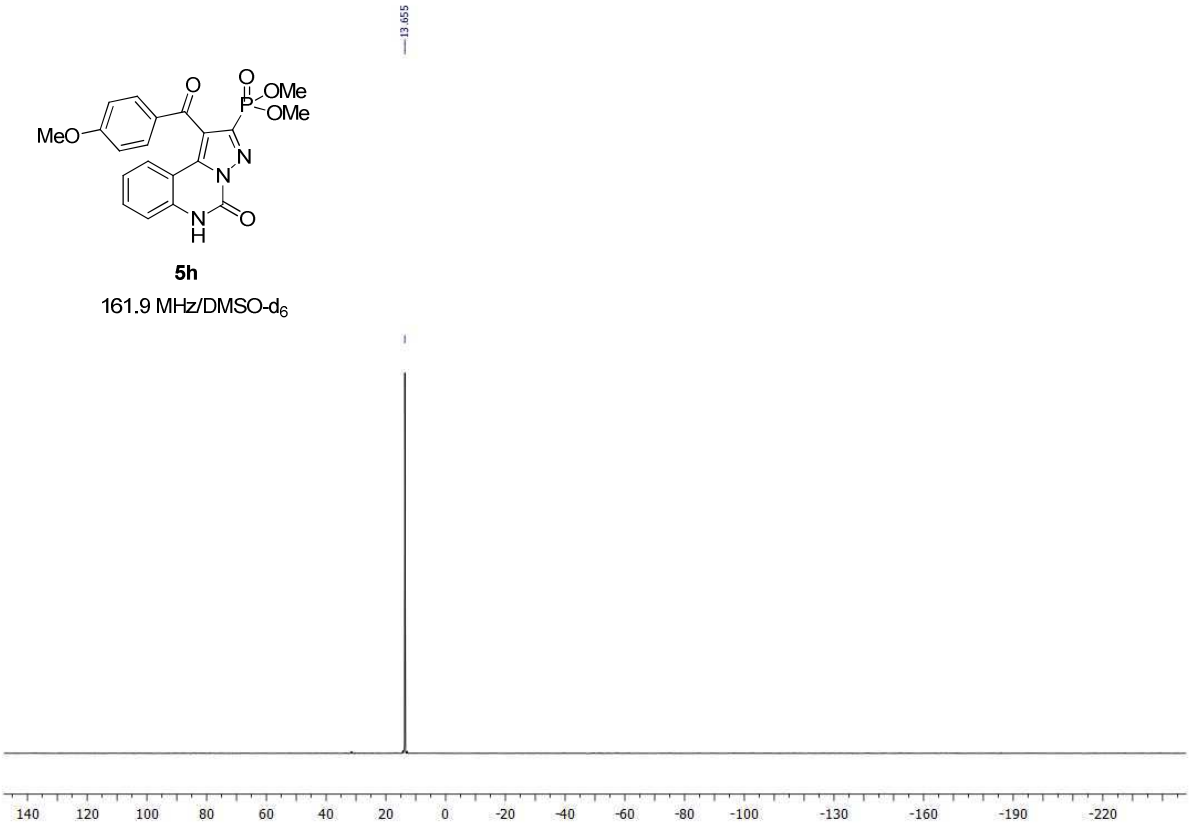
400 MHz/DMSO-d_6

CH_2Cl_2



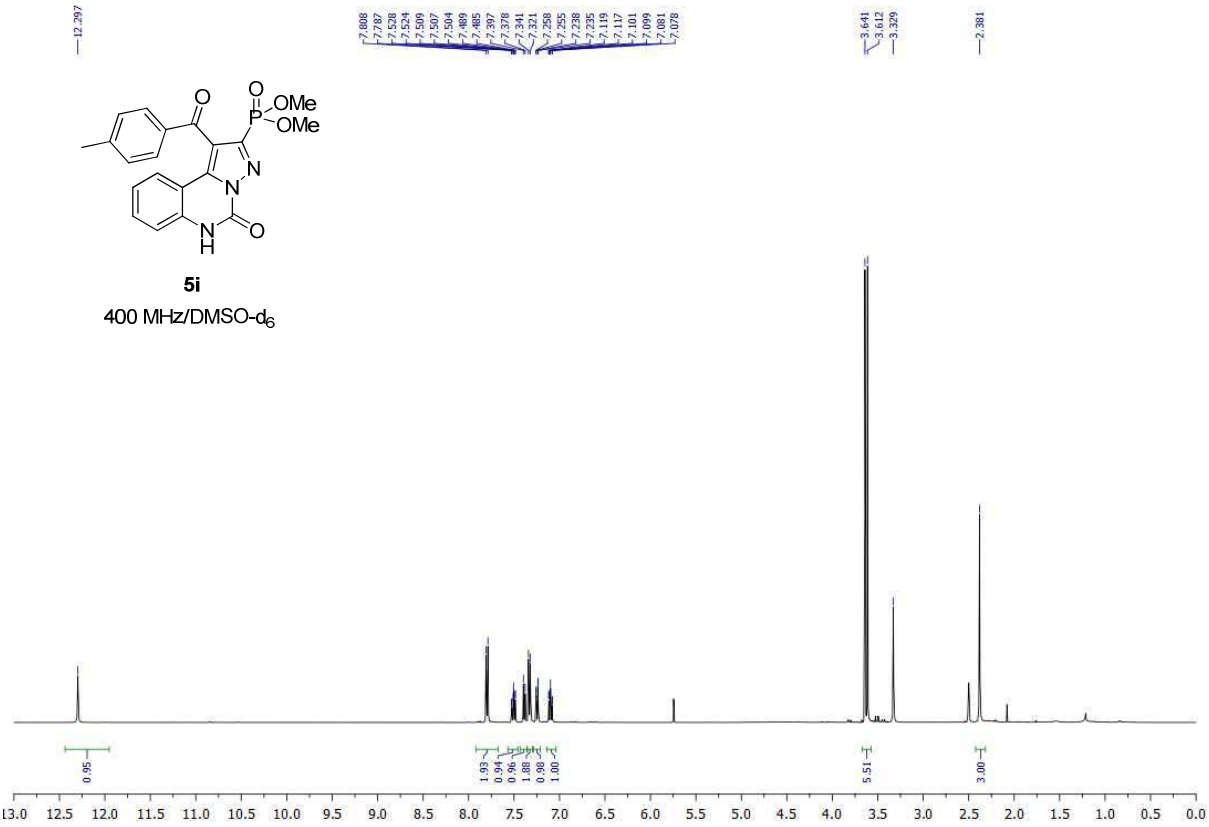
5h

100 MHz/DMSO-d_6



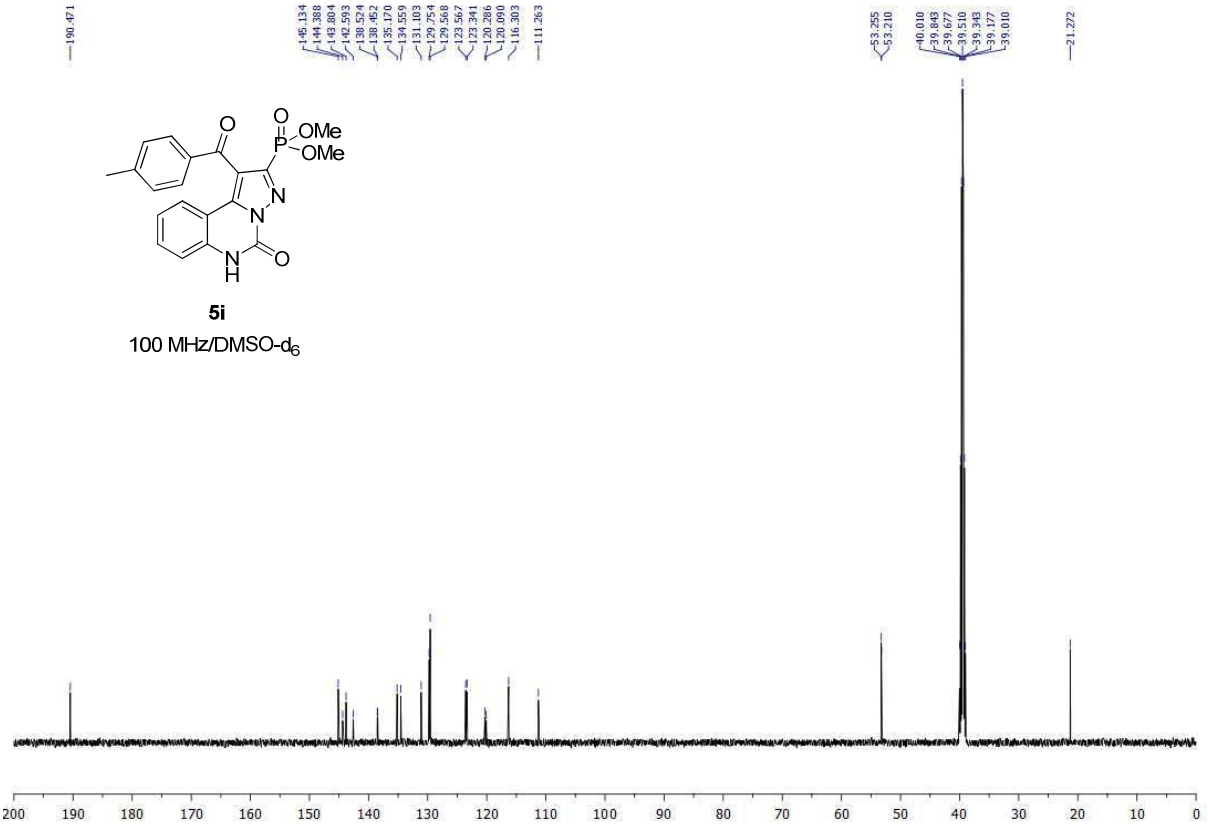
5h

161.9 MHz/DMSO-d_6



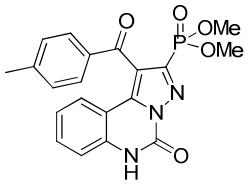
5i

400 MHz/DMSO-d_6



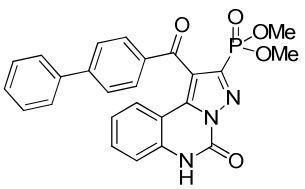
5i

100 MHz/DMSO-d_6



5i

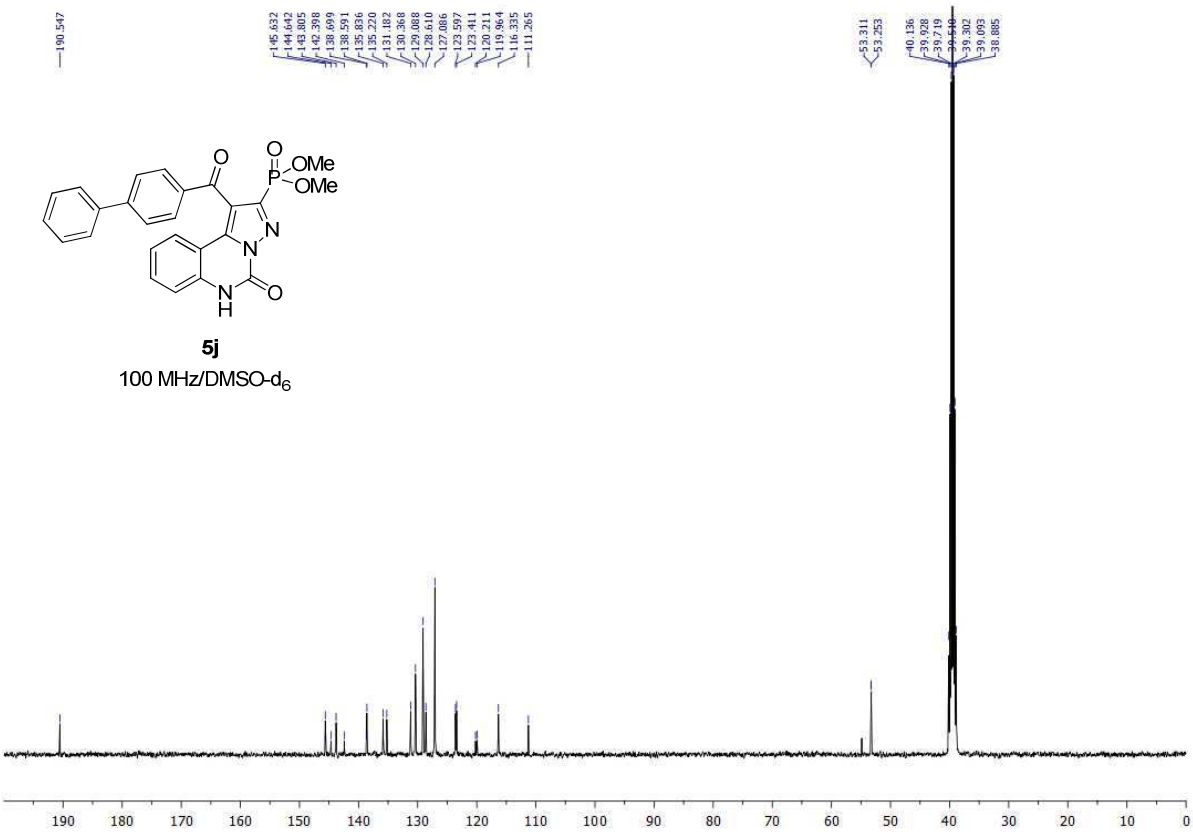
161.9 MHz/DMSO-d_6



5j

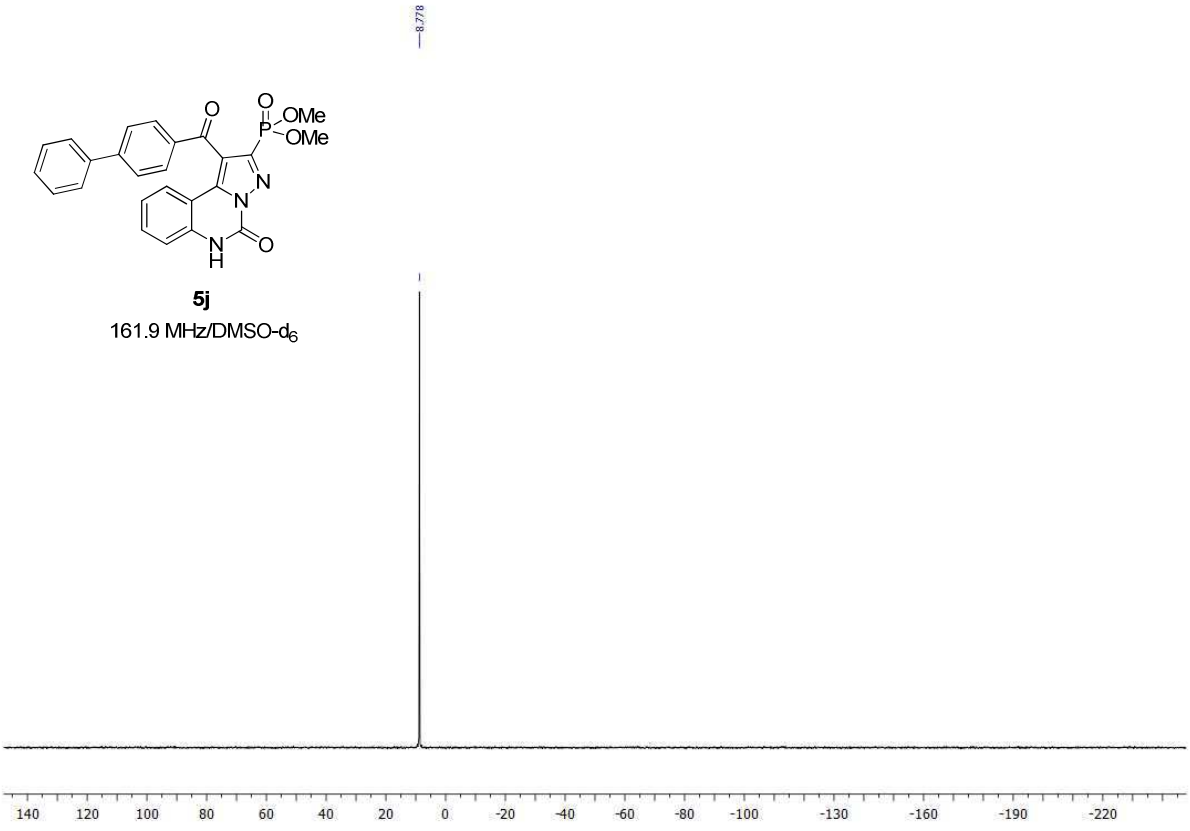
400 MHz/DMSO-d_6

• CH_2Cl_2



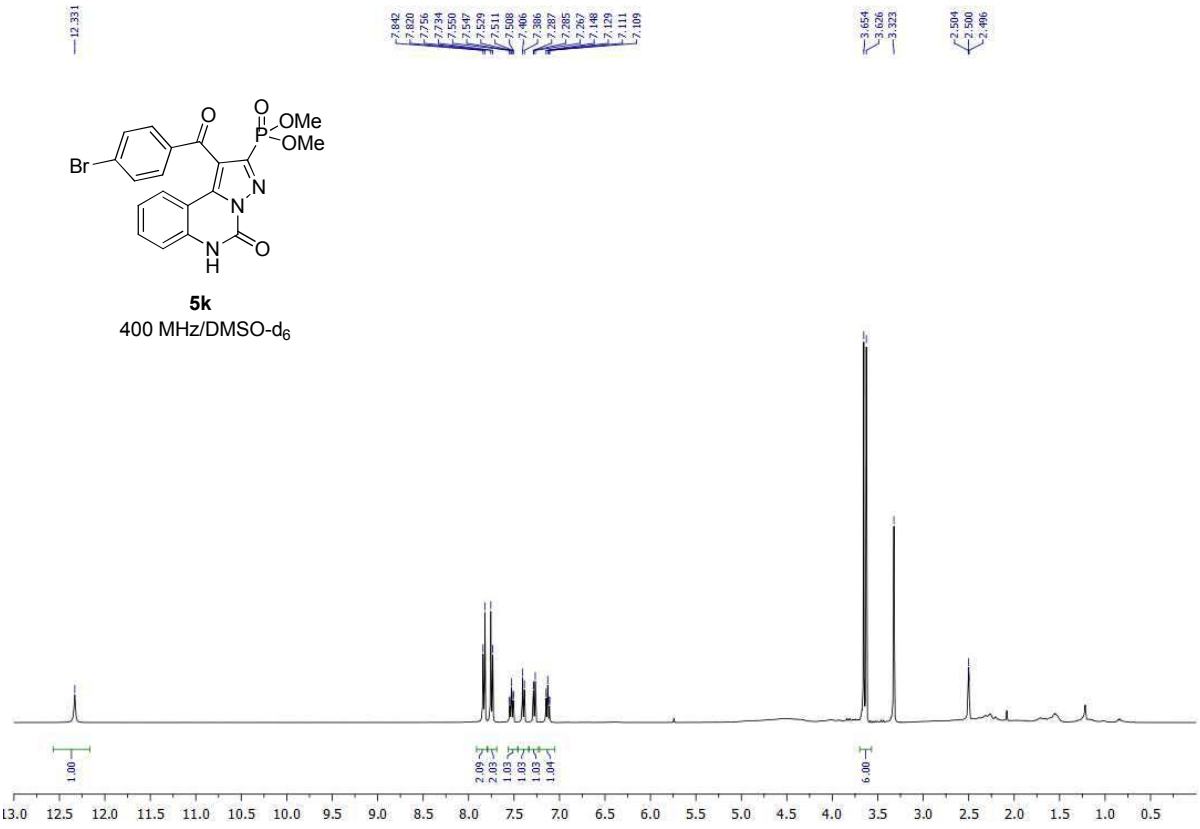
5j

100 MHz/DMSO-d_6



5j

161.9 MHz/DMSO-d_6



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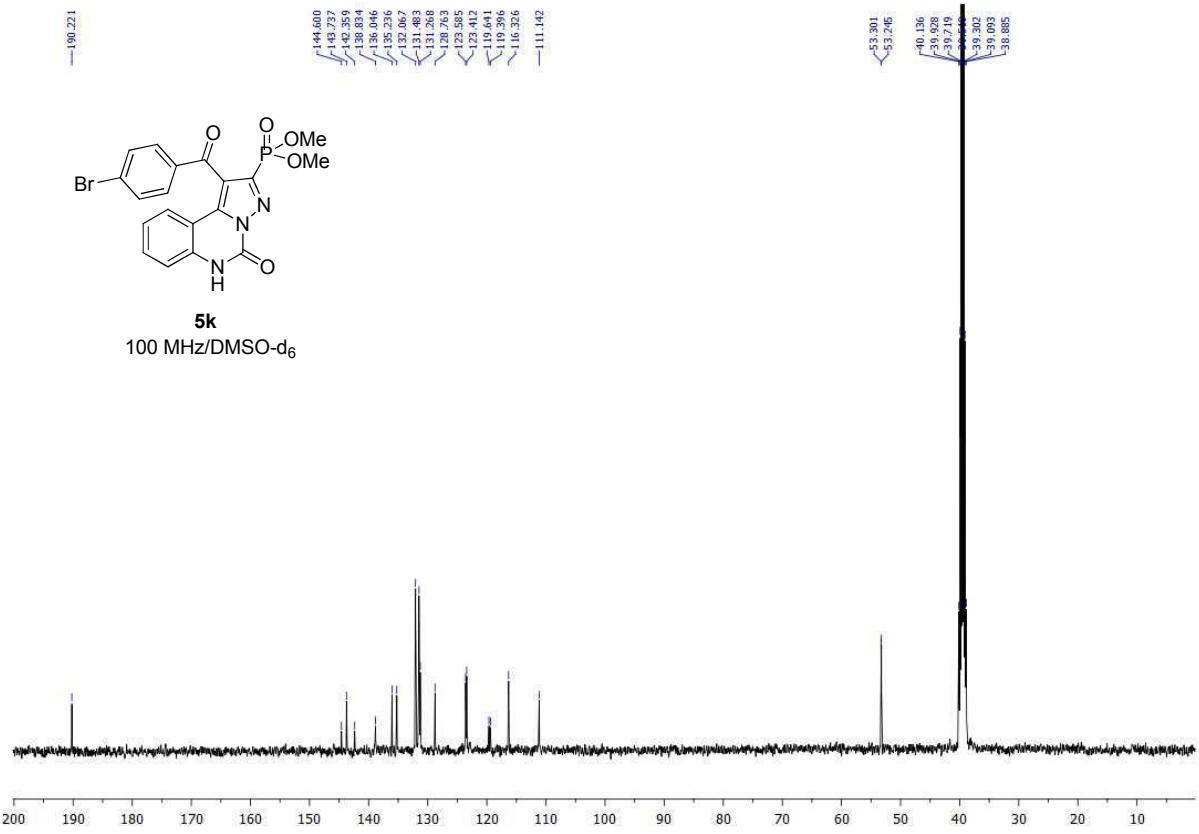

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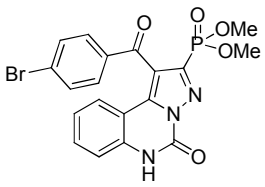

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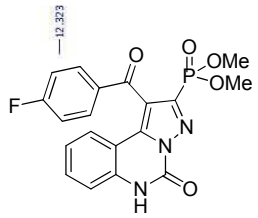

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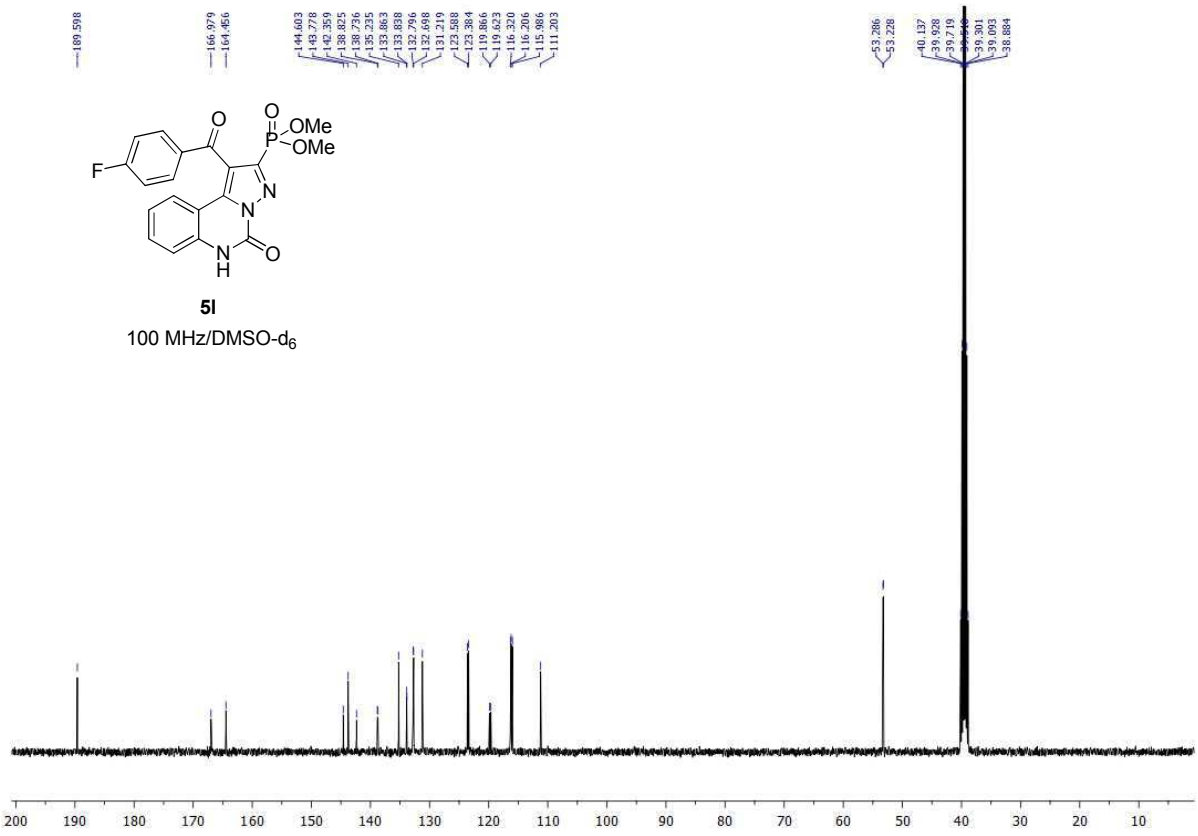

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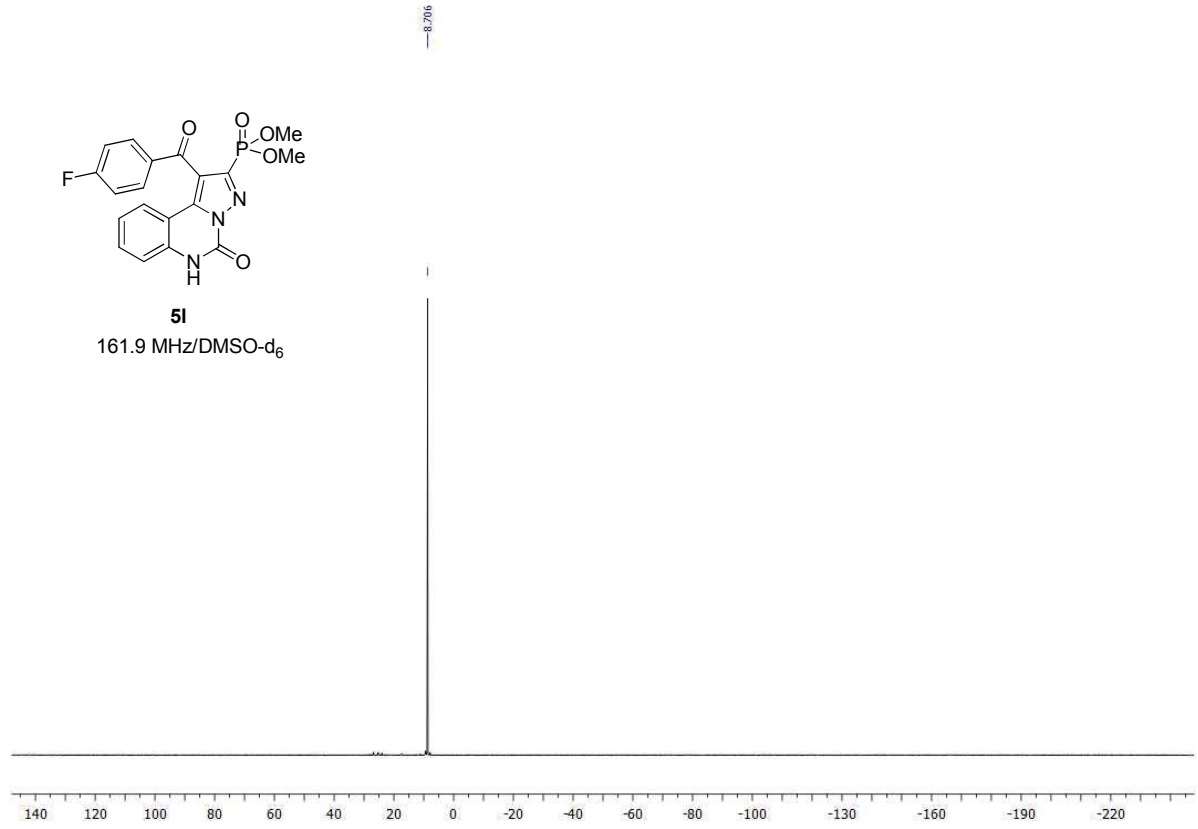

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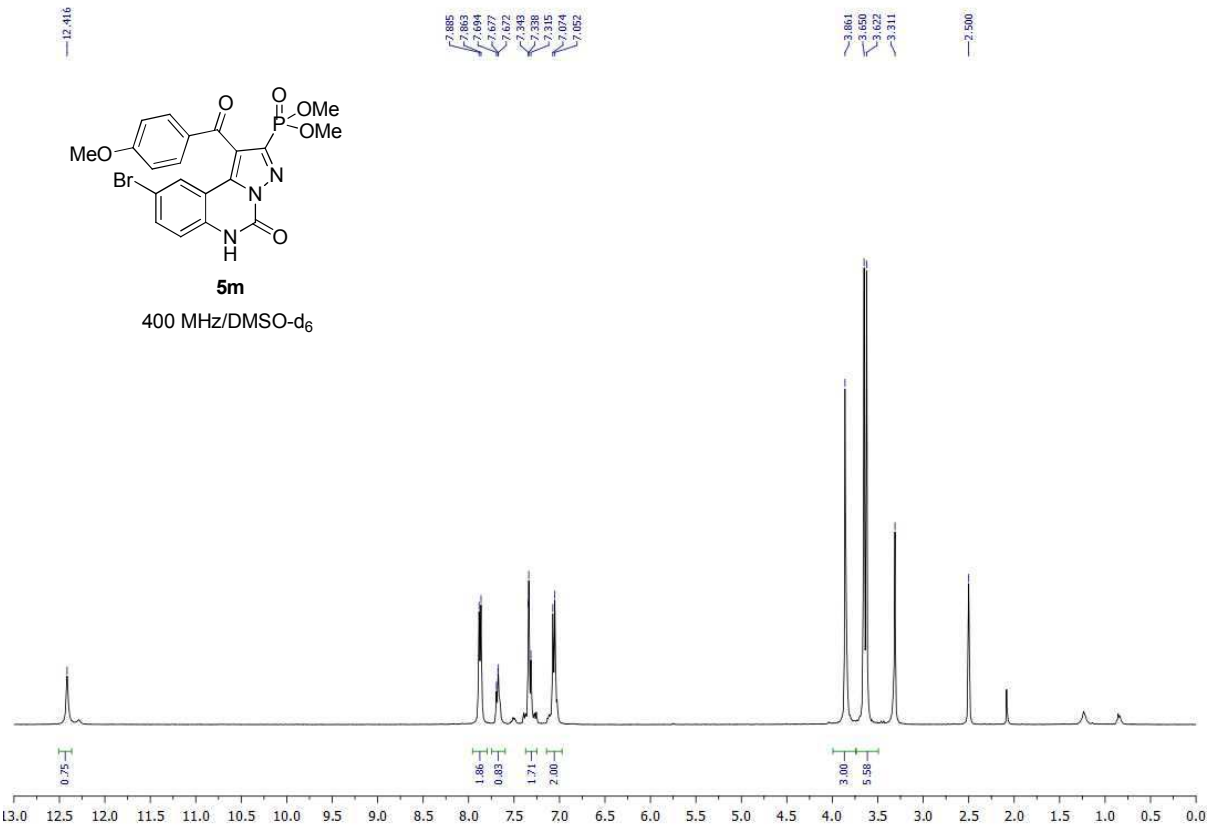

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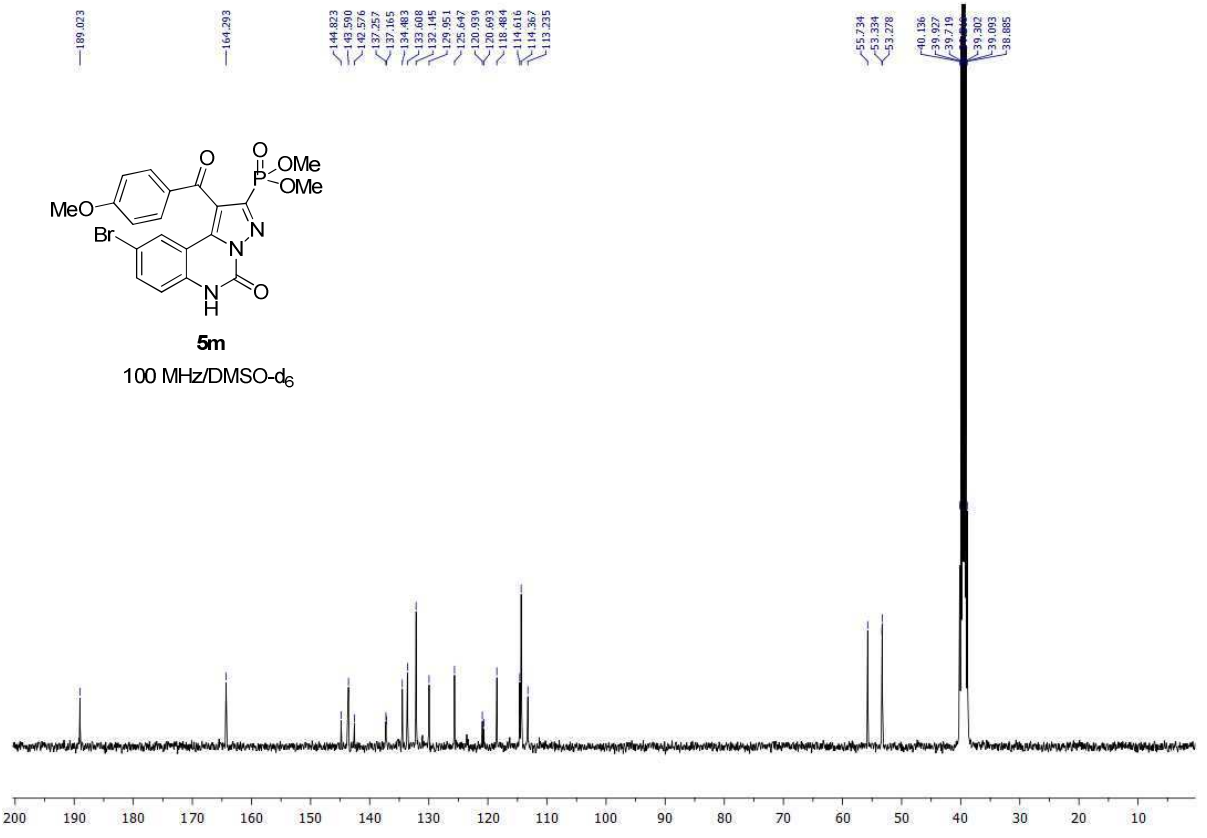

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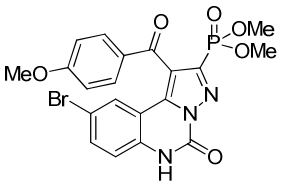

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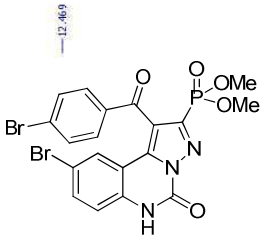

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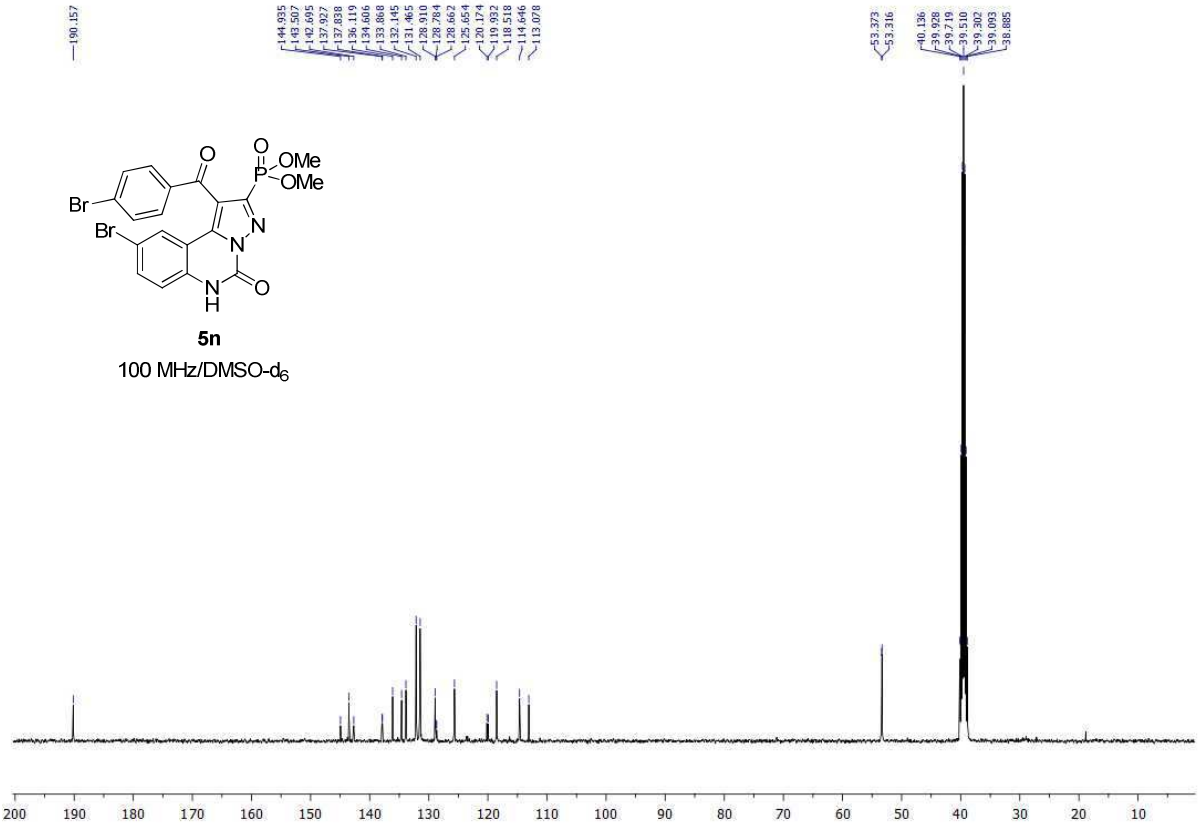
400 MHz/DMSO-d_6



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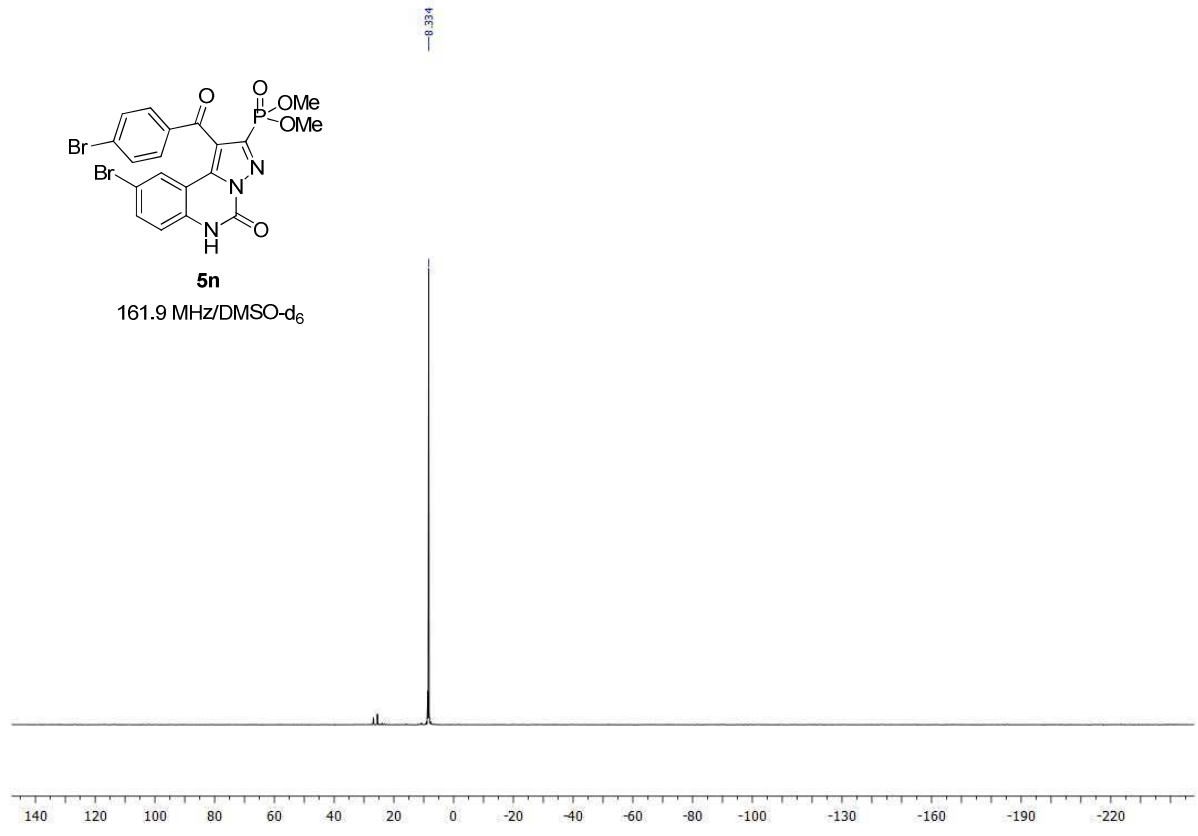

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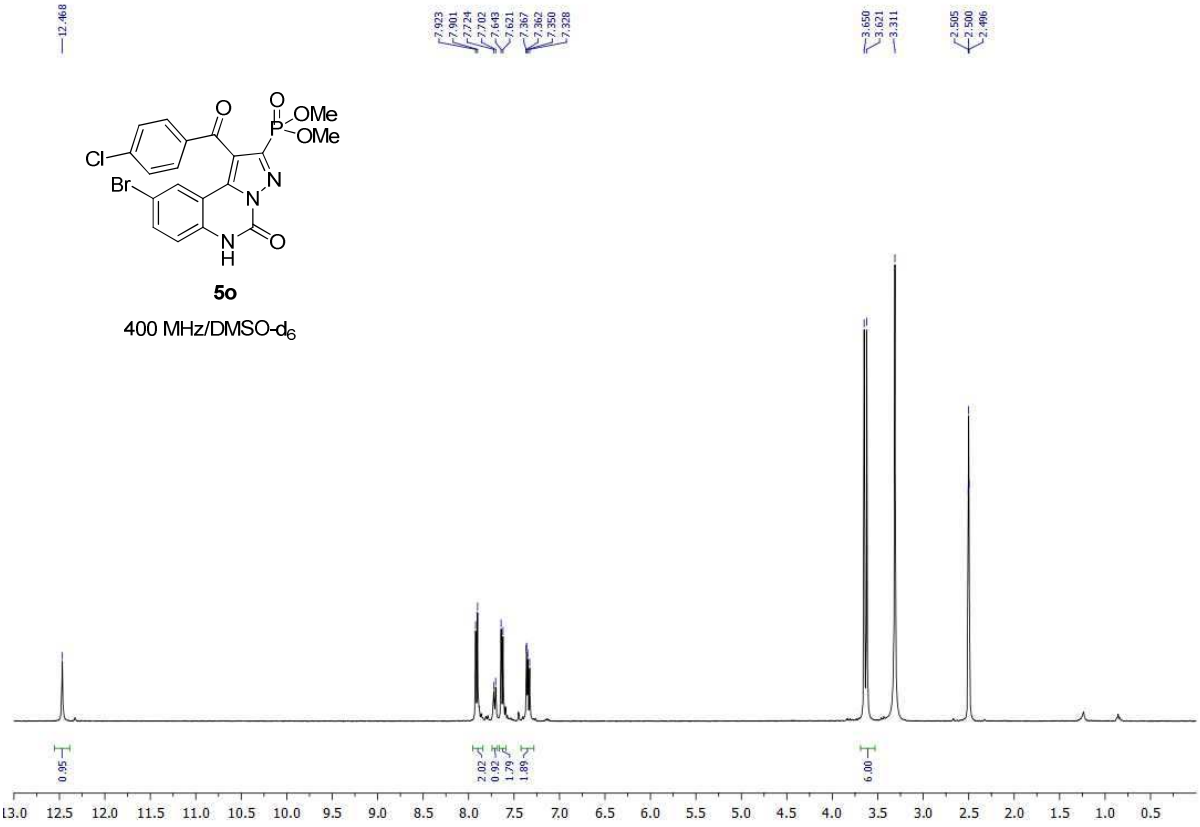

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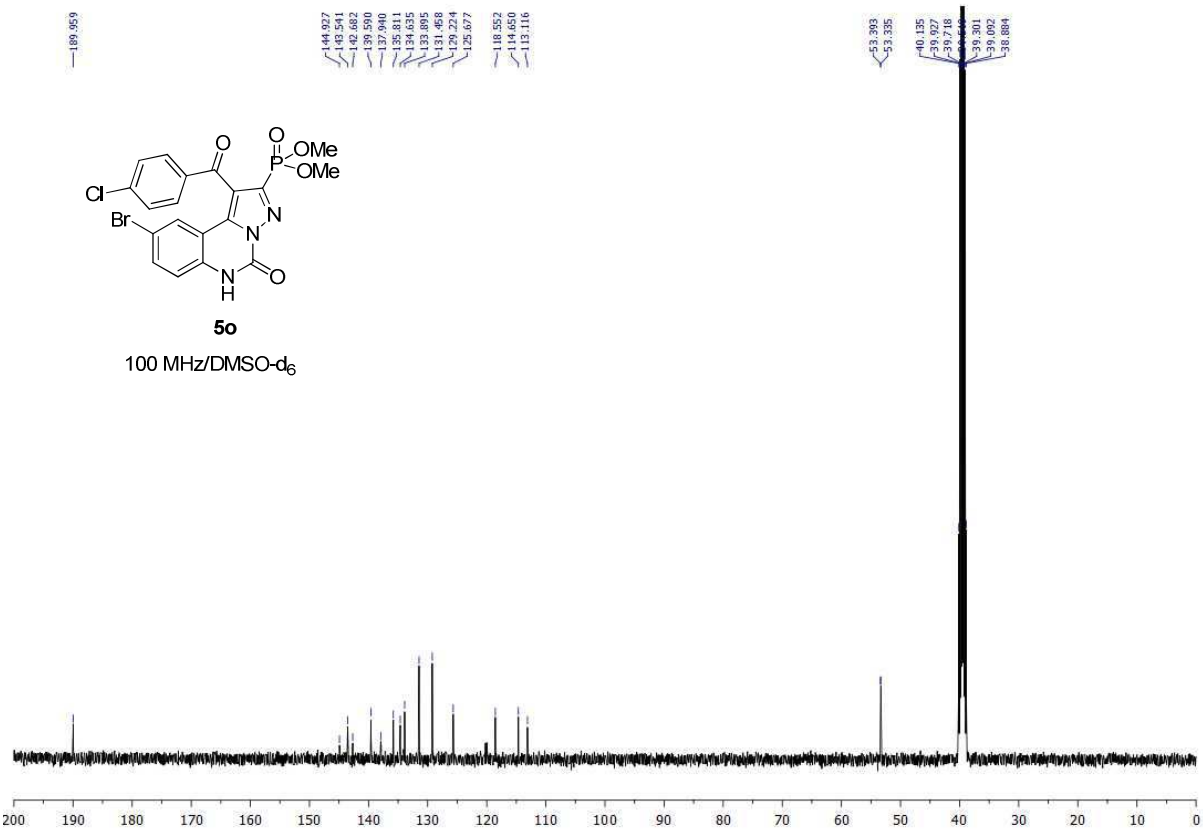
400 MHz/DMSO-d_6



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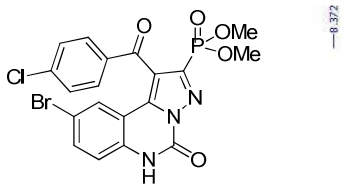
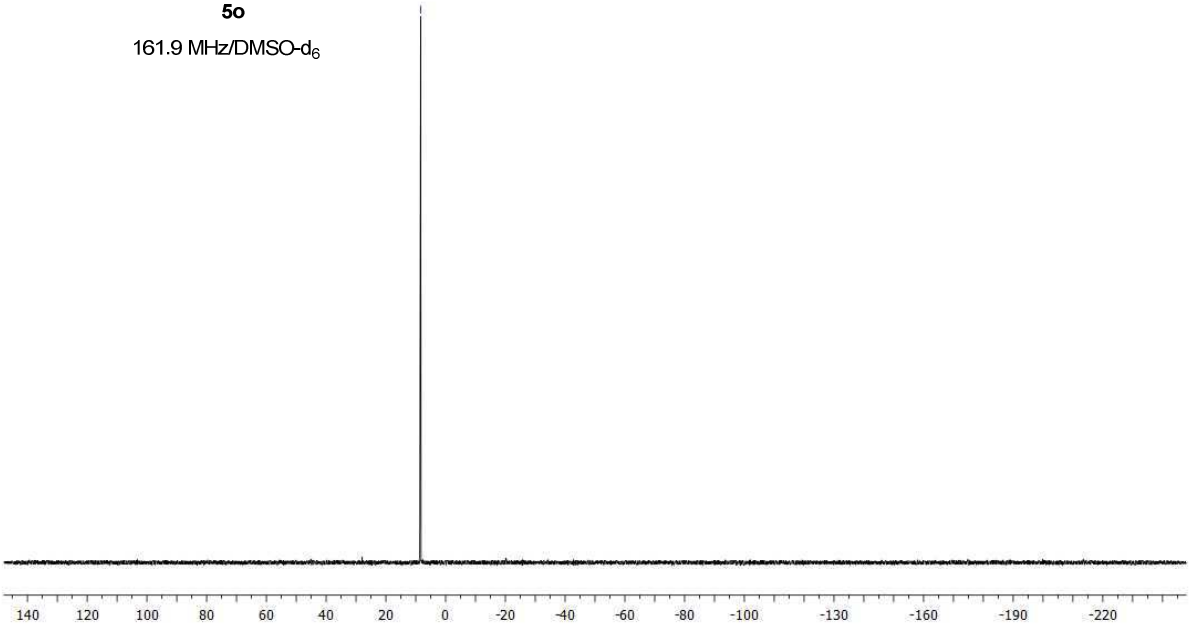
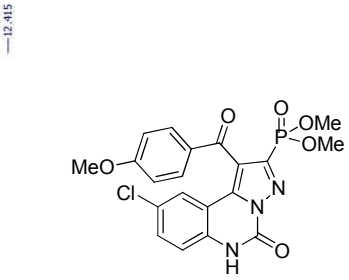
100 MHz/DMSO-d_6



5o

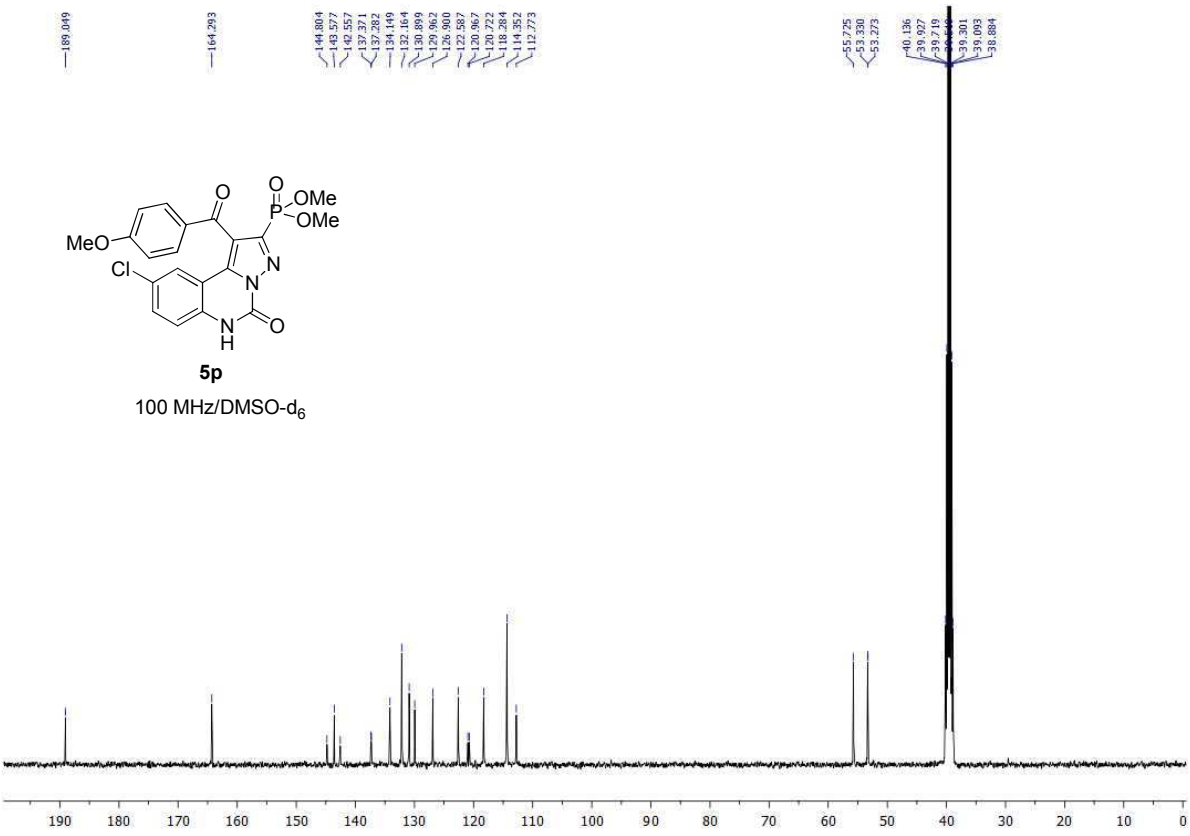
161.9 MHz/DMSO-d_6





5p

400 MHz/DMSO-d_6



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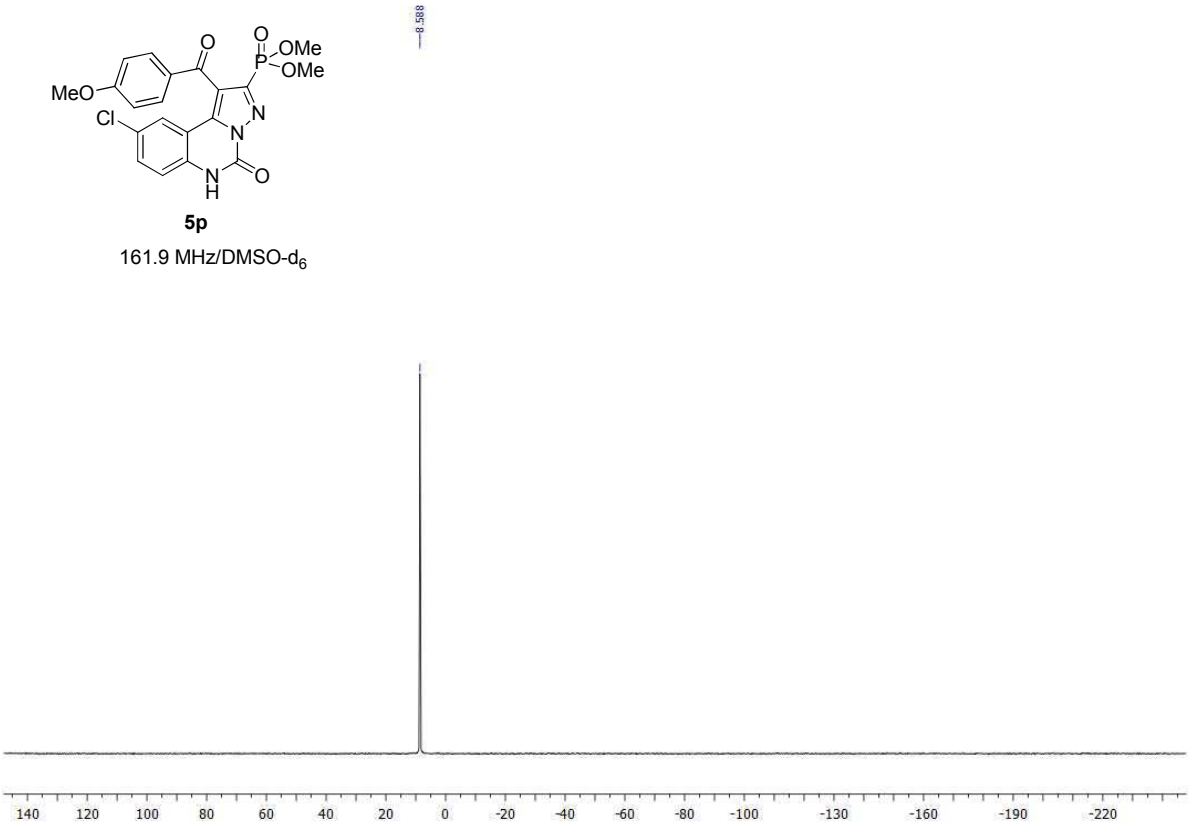
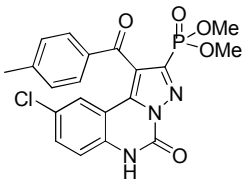


5p

161.9 MHz/DMSO-d_6



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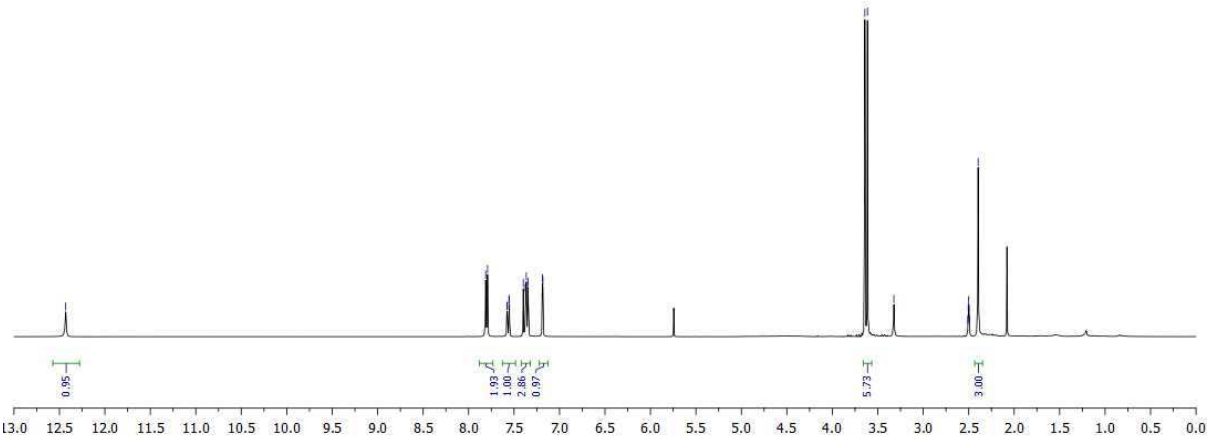
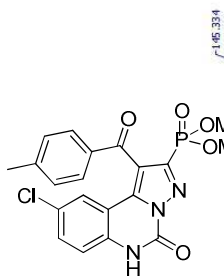
400 MHz/DMSO-d_6





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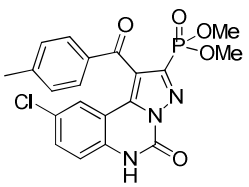
100 MHz/DMSO-d_6



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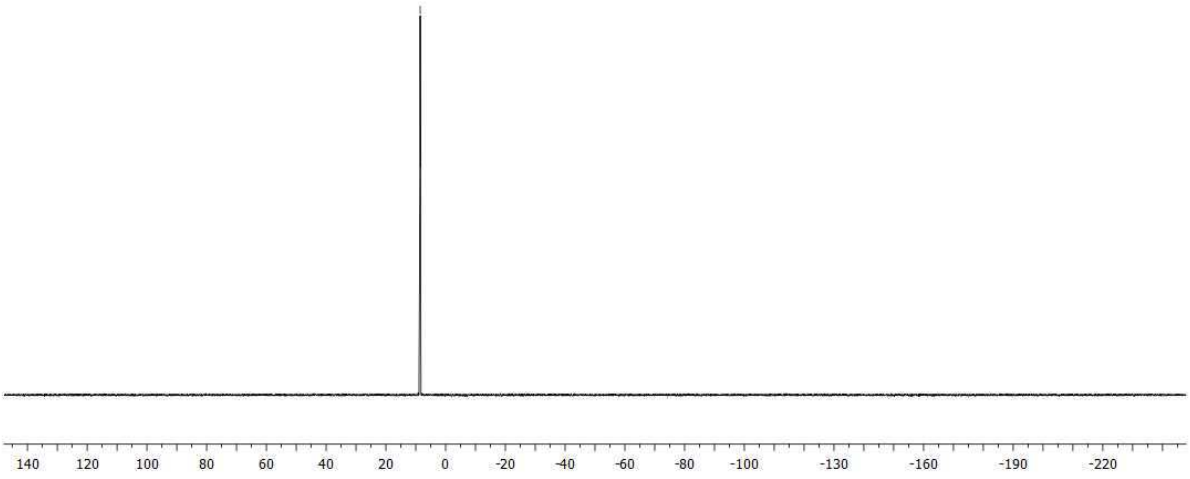
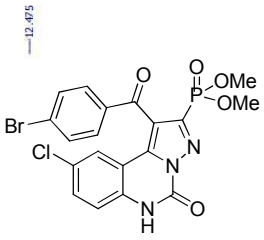
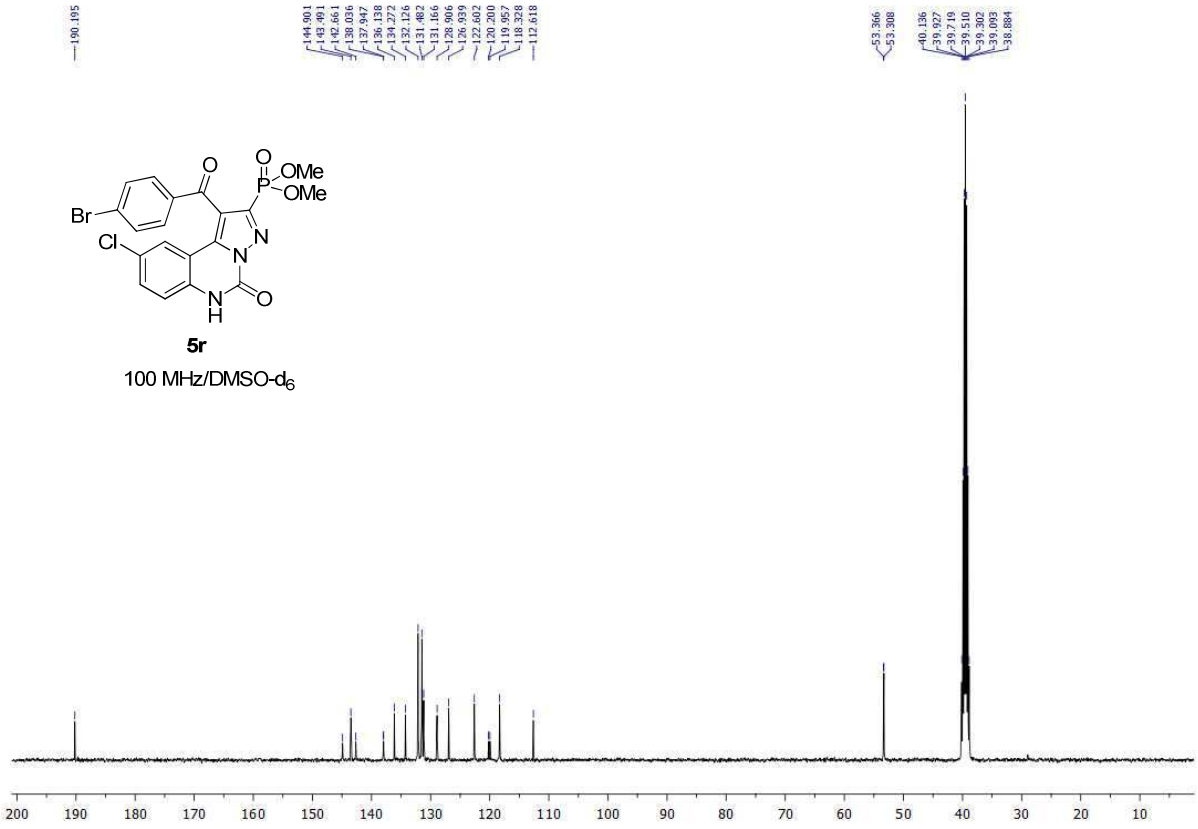
161.9 MHz/DMSO-d_6





5r

400 MHz/DMSO-d_6



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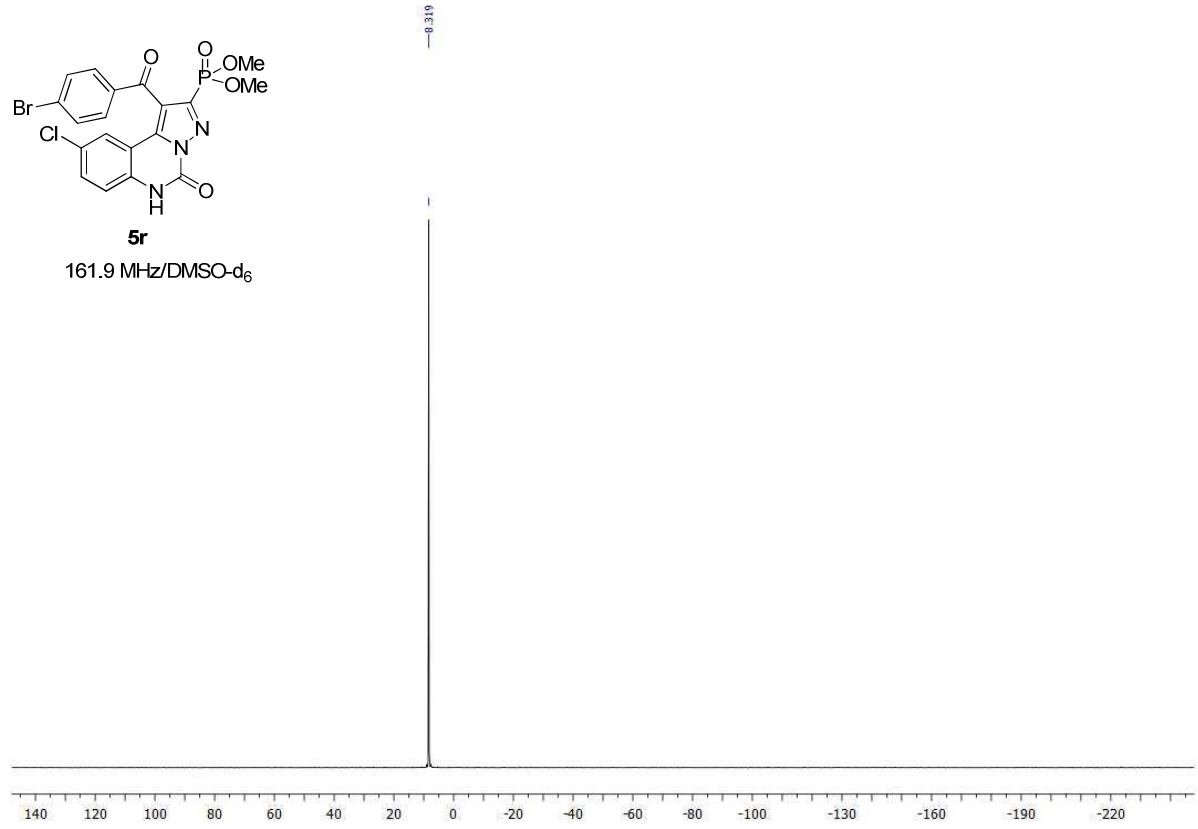
100 MHz/DMSO-d_6



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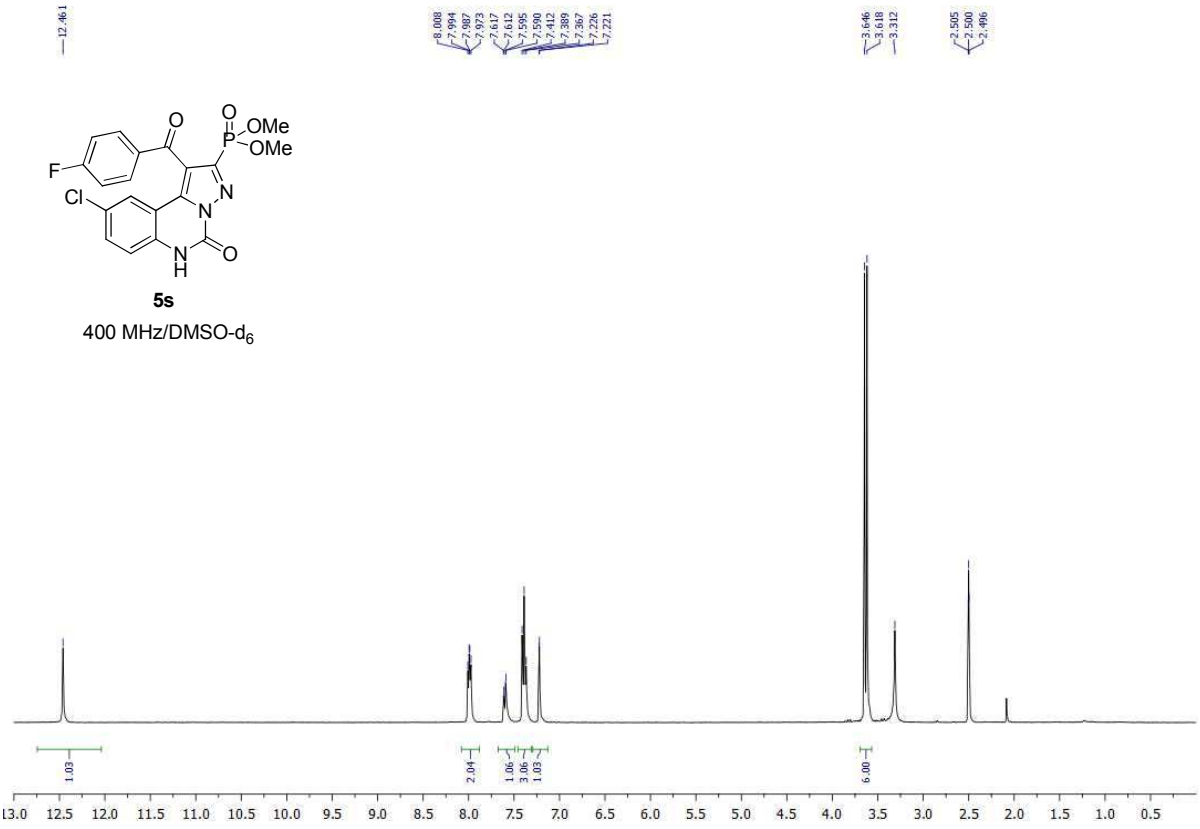
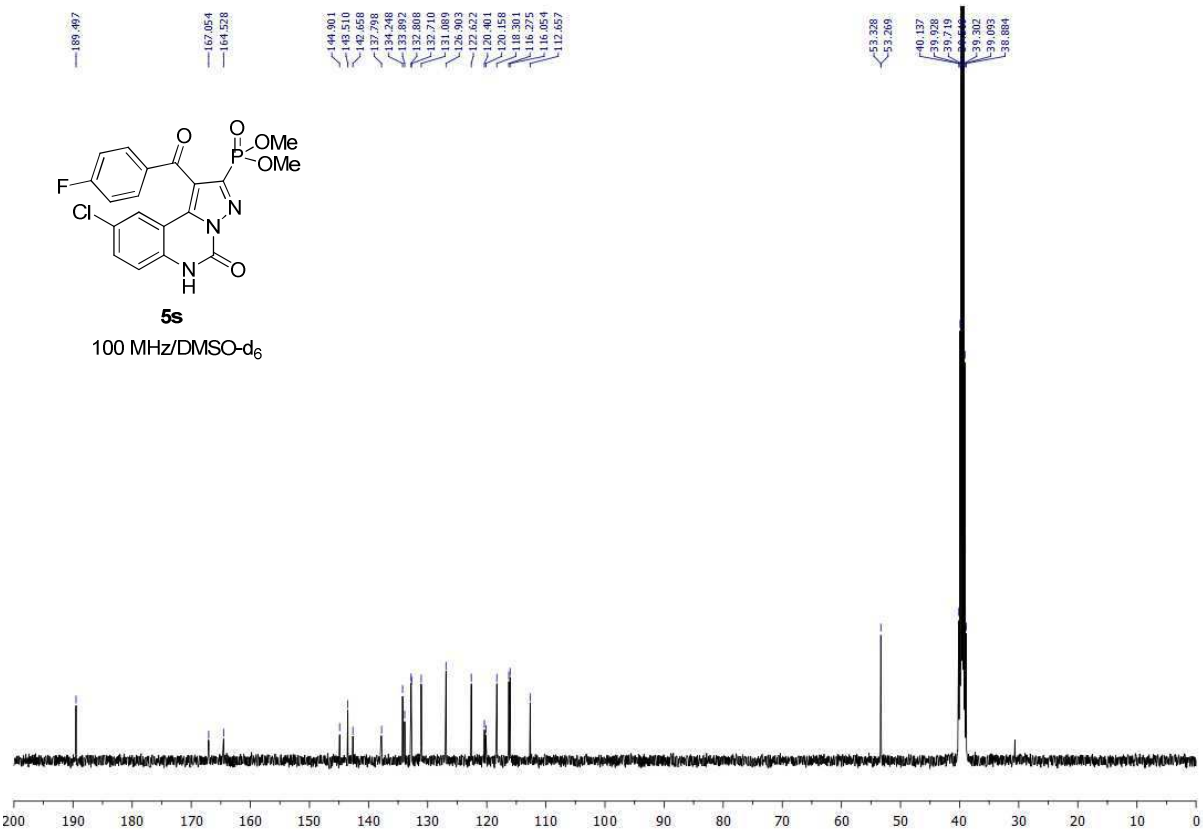
161.9 MHz/DMSO-d_6



5s

400 MHz/DMSO-d_6



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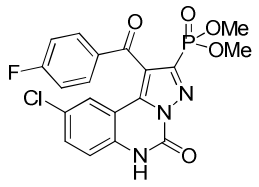
100 MHz/DMSO-d_6



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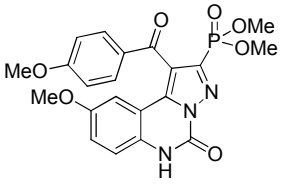
161.9 MHz/DMSO-d_6



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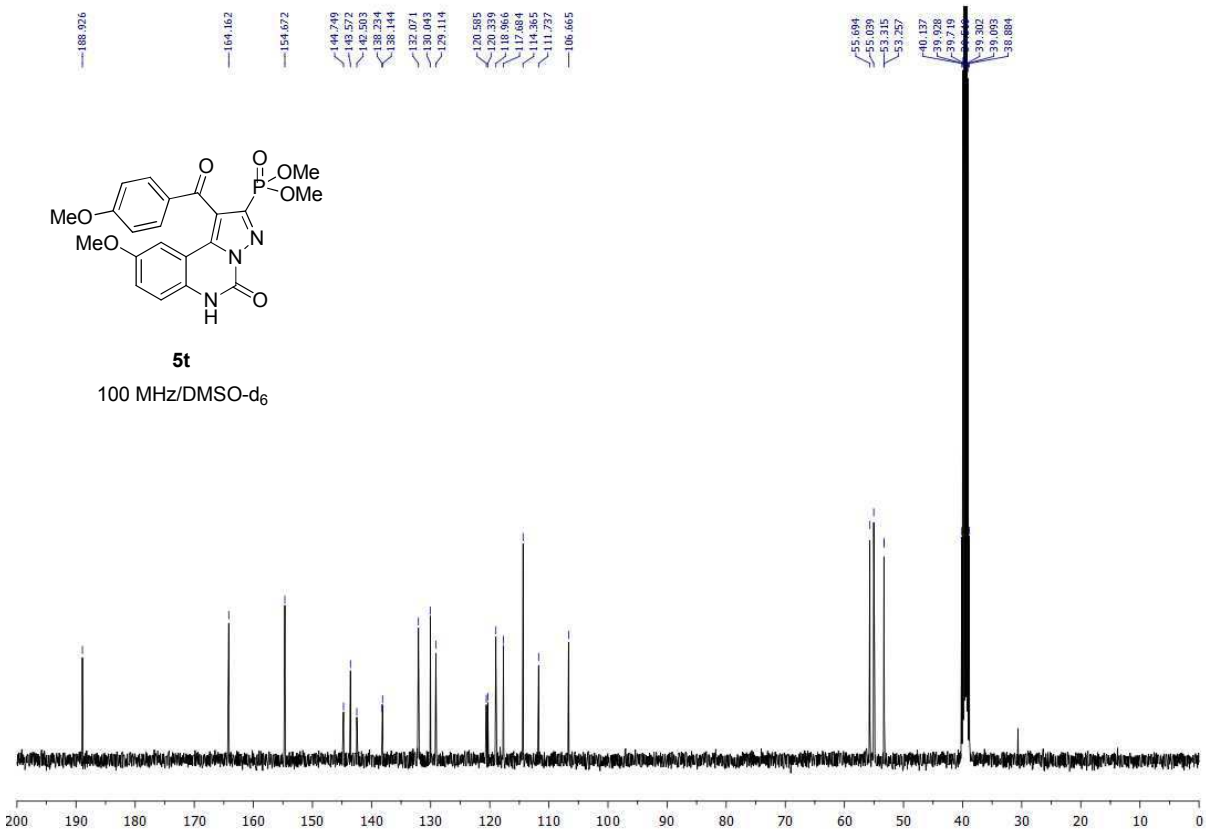
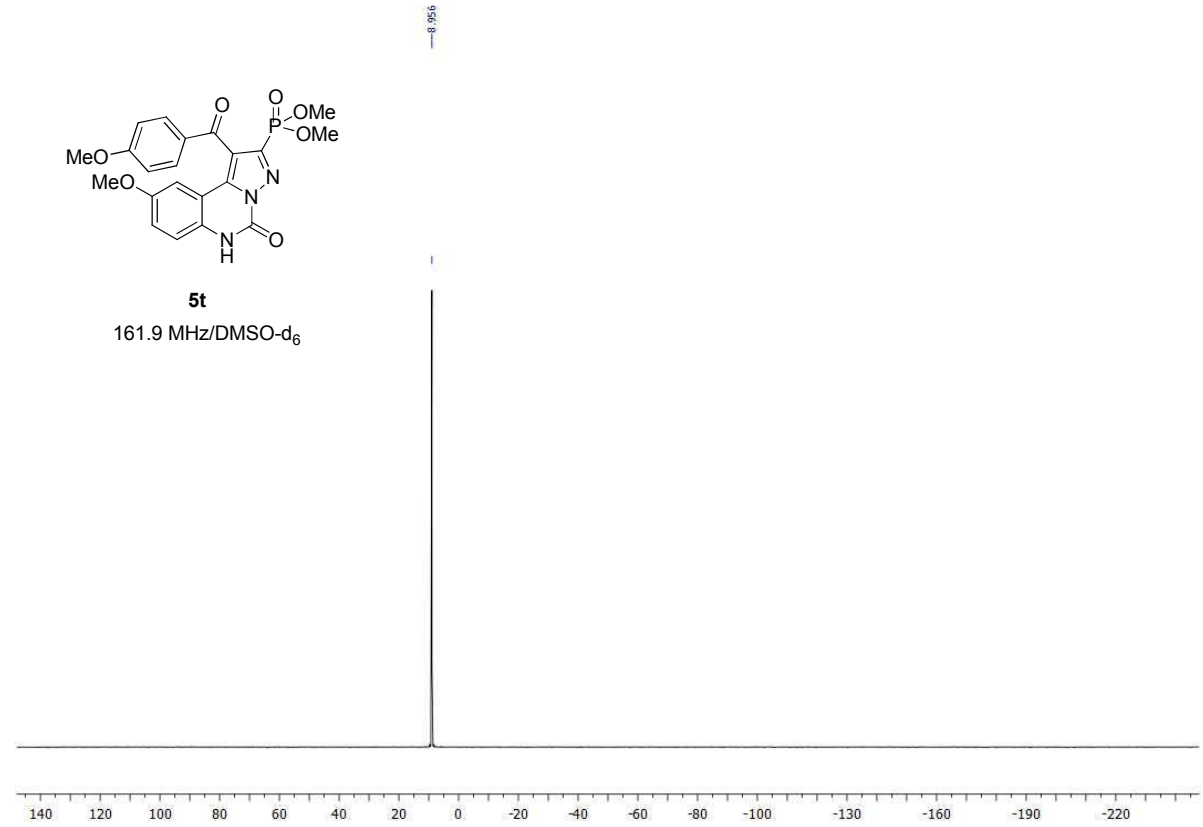
400 MHz/DMSO-d_6



5t

100 MHz/DMSO-d_6



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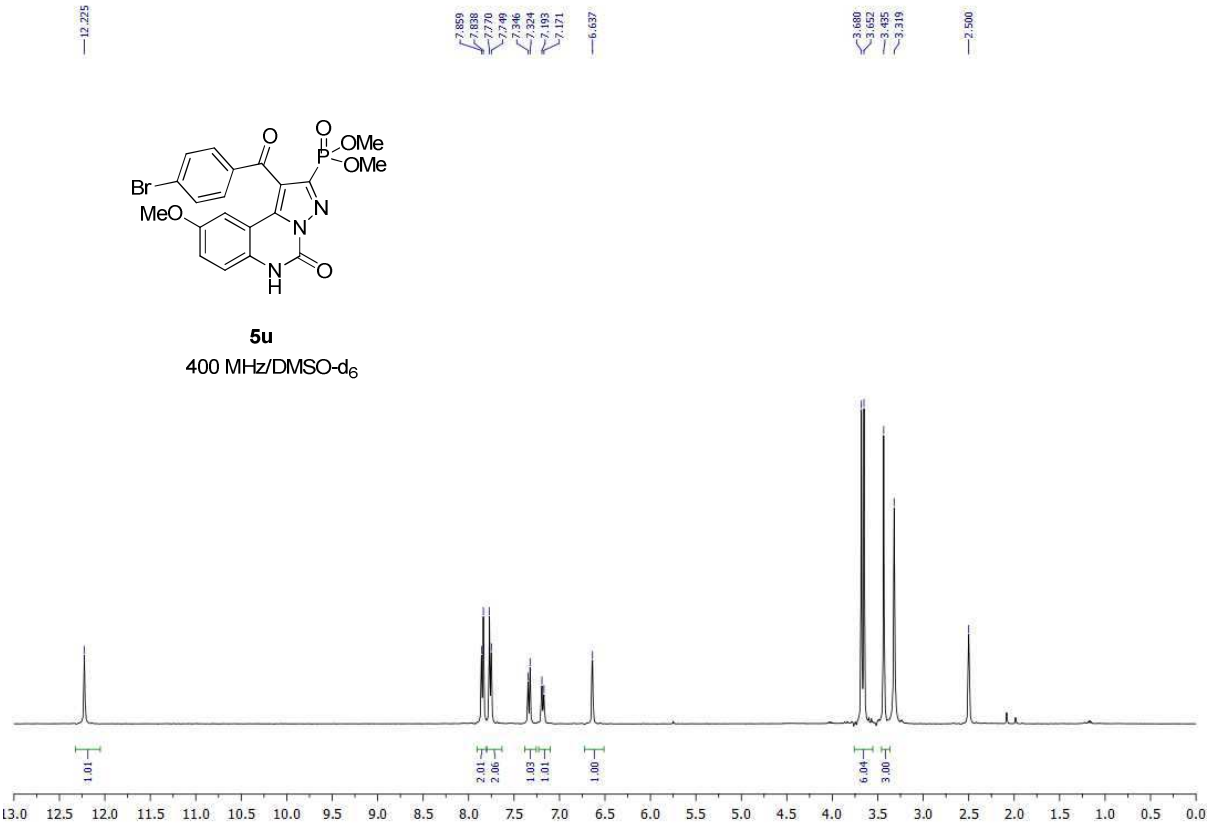
161.9 MHz/DMSO-d_6



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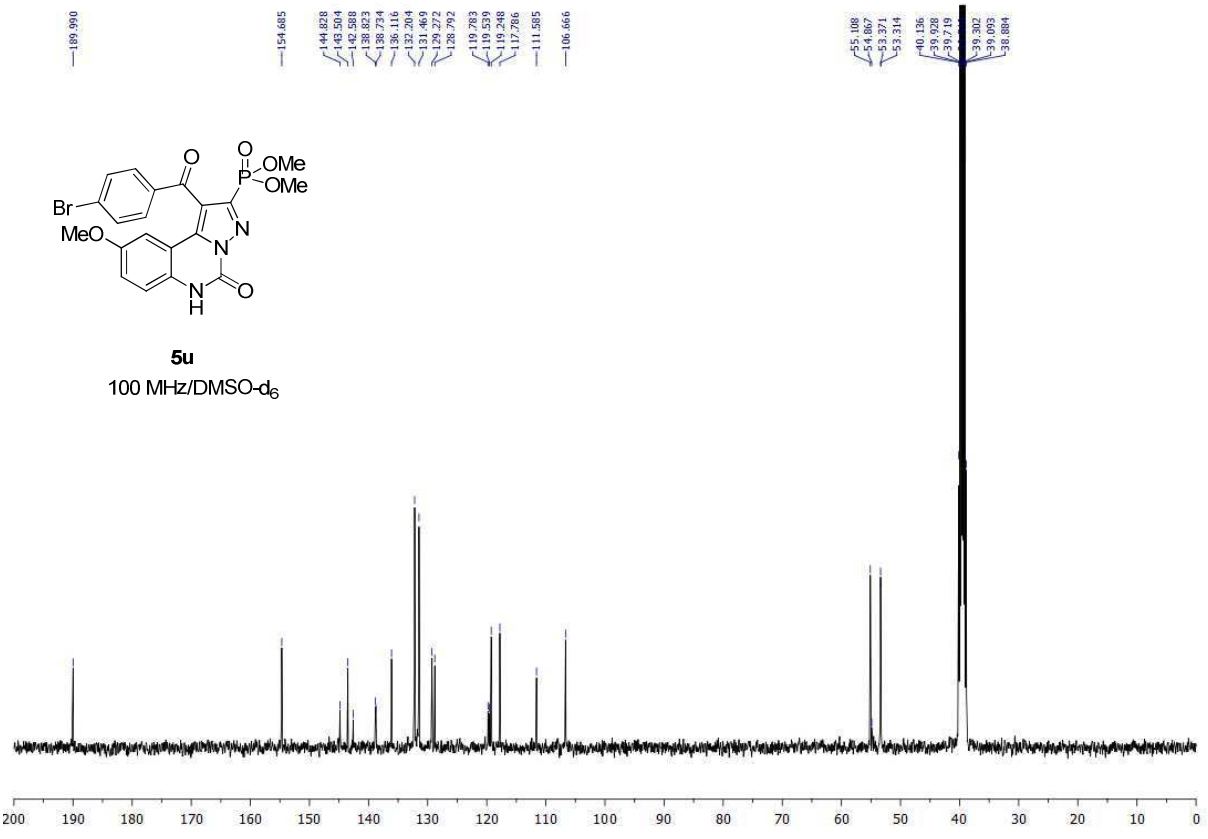
400 MHz/DMSO-d_6



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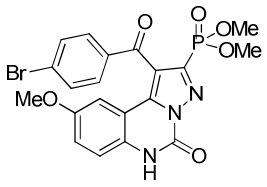
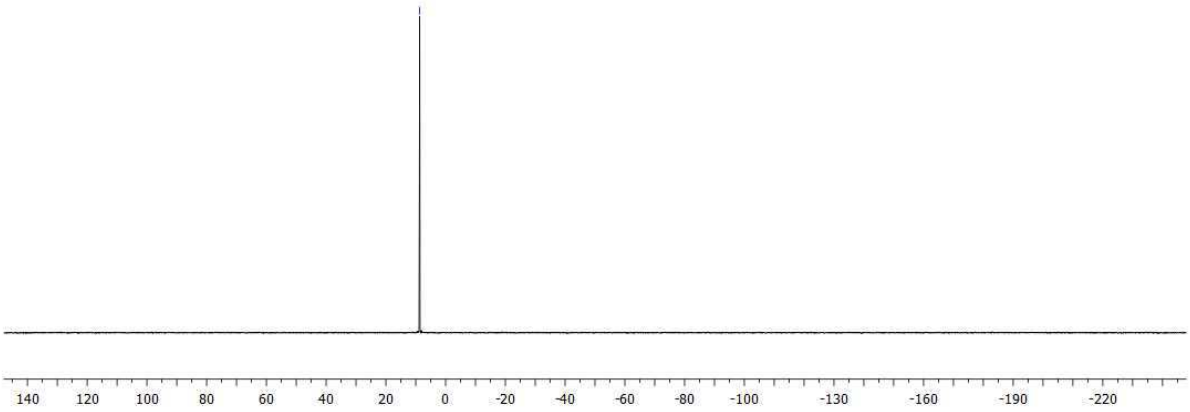
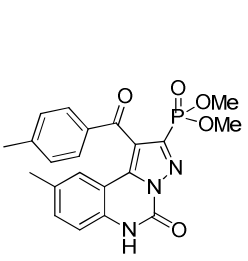
100 MHz/DMSO-d_6



5u

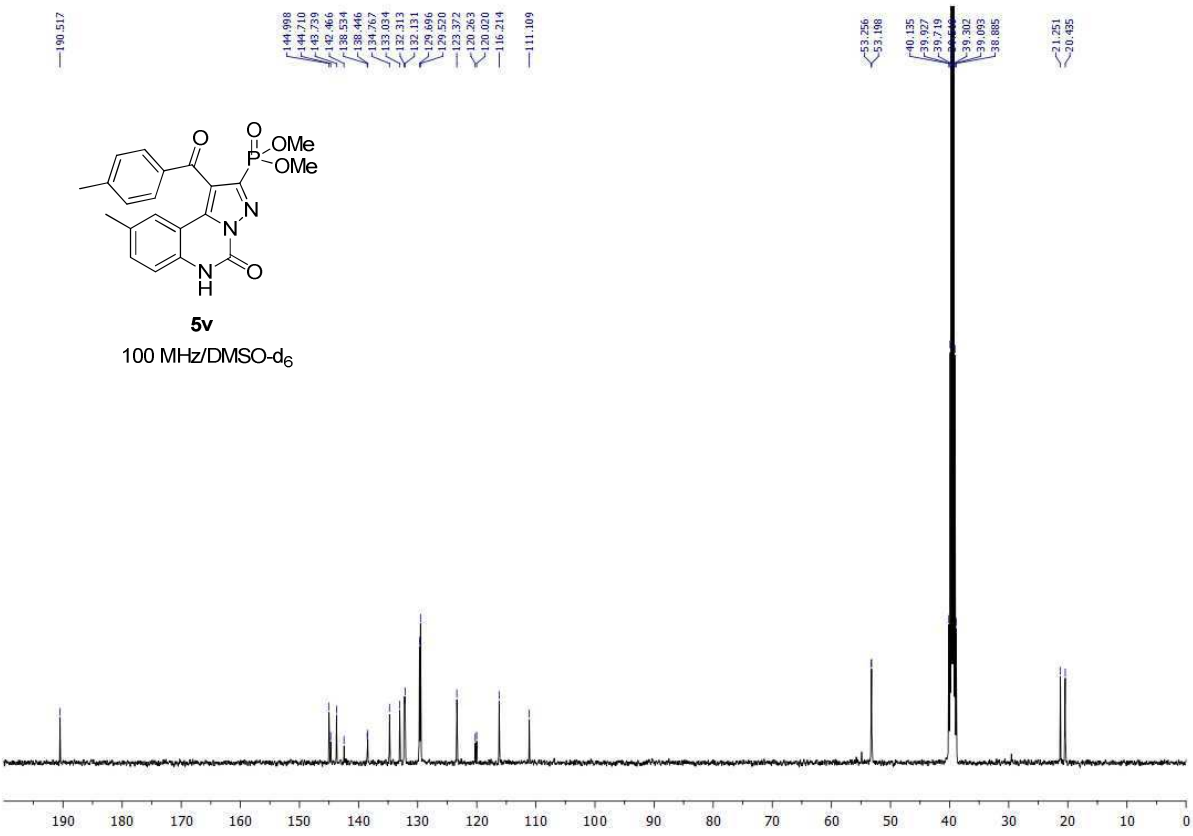
161.9 MHz/DMSO-d_6





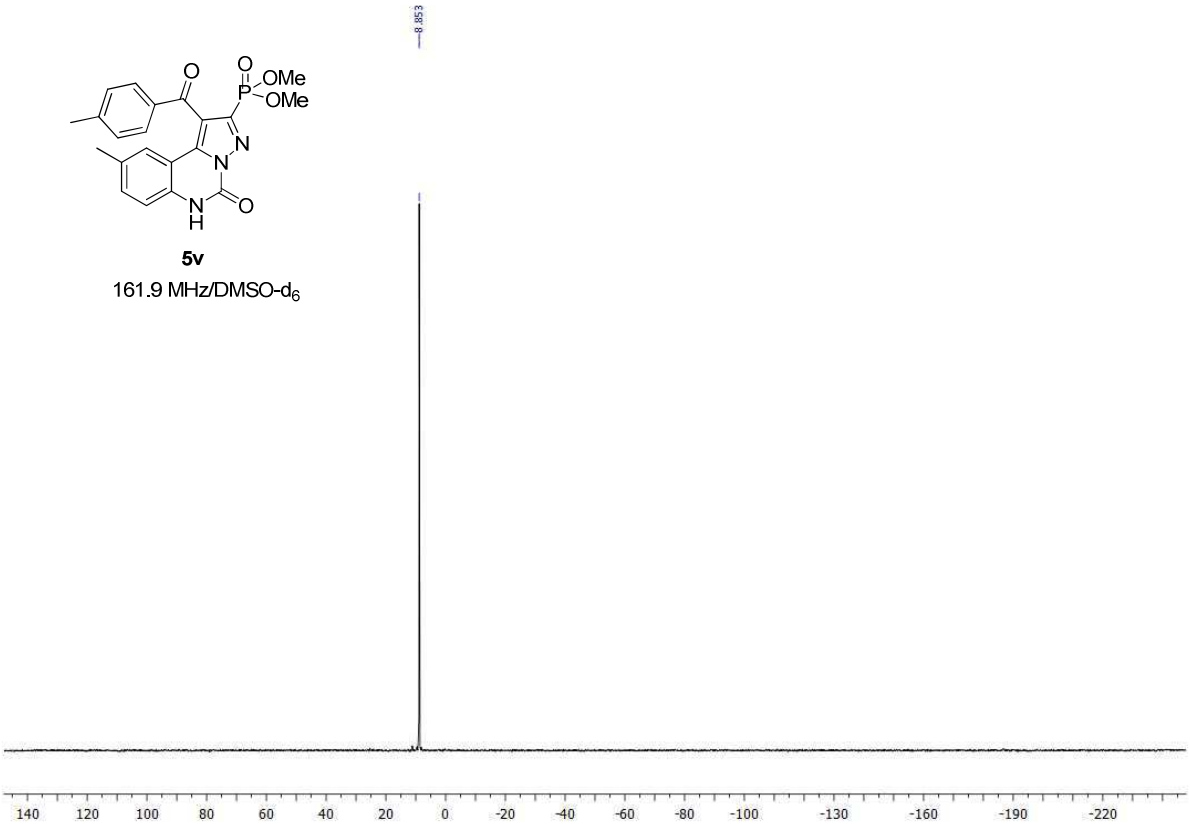
5v

400 MHz/DMSO-d_6



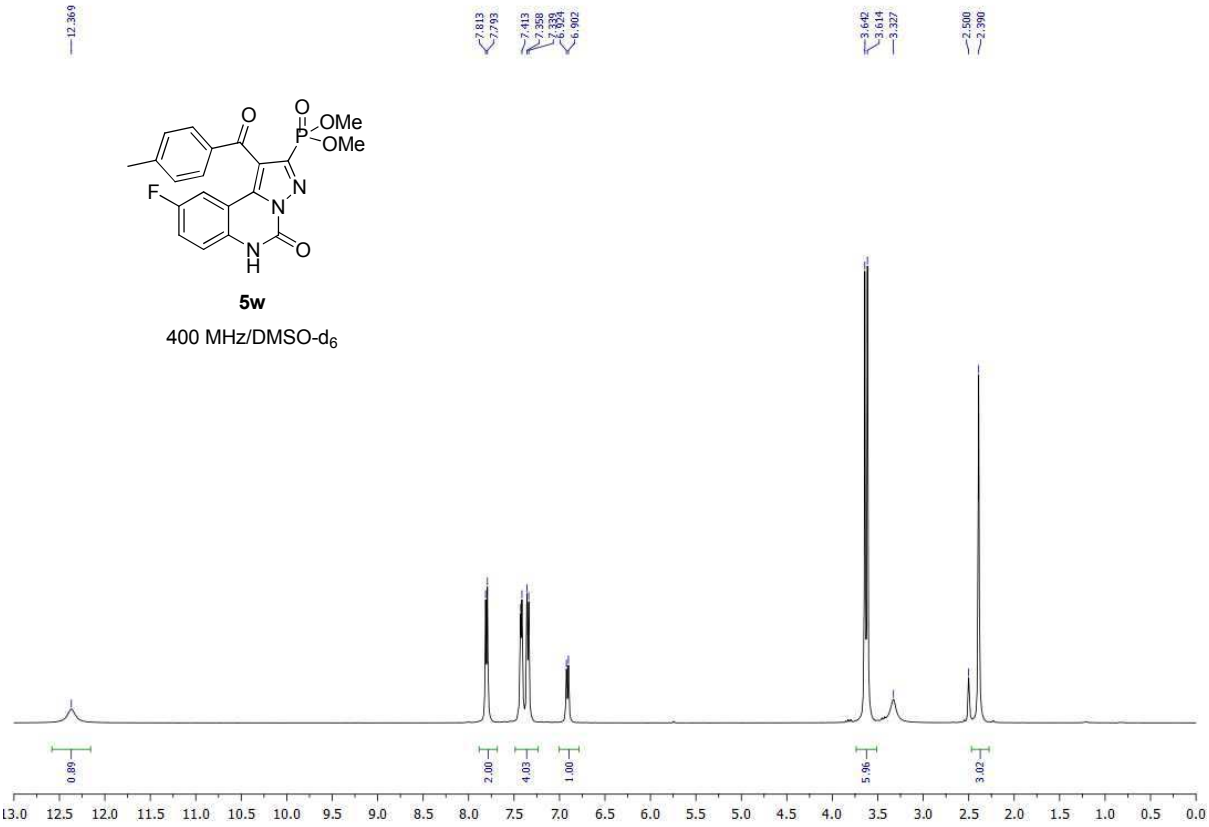
5v

100 MHz/DMSO-d_6



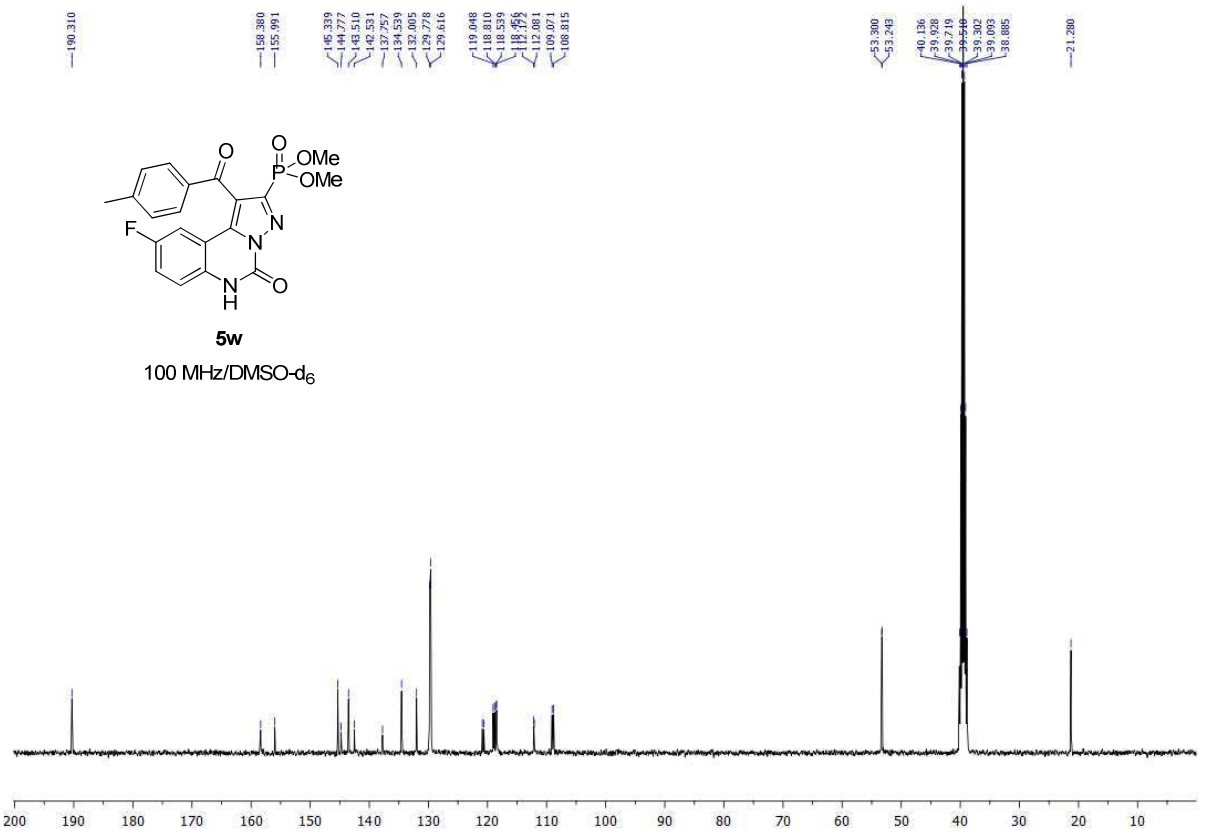
5v

161.9 MHz/DMSO-d_6



5w

400 MHz/DMSO-d_6



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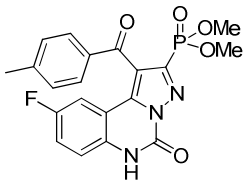
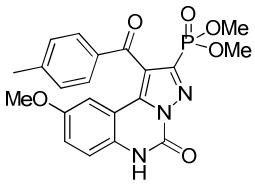
100 MHz/DMSO-d_6



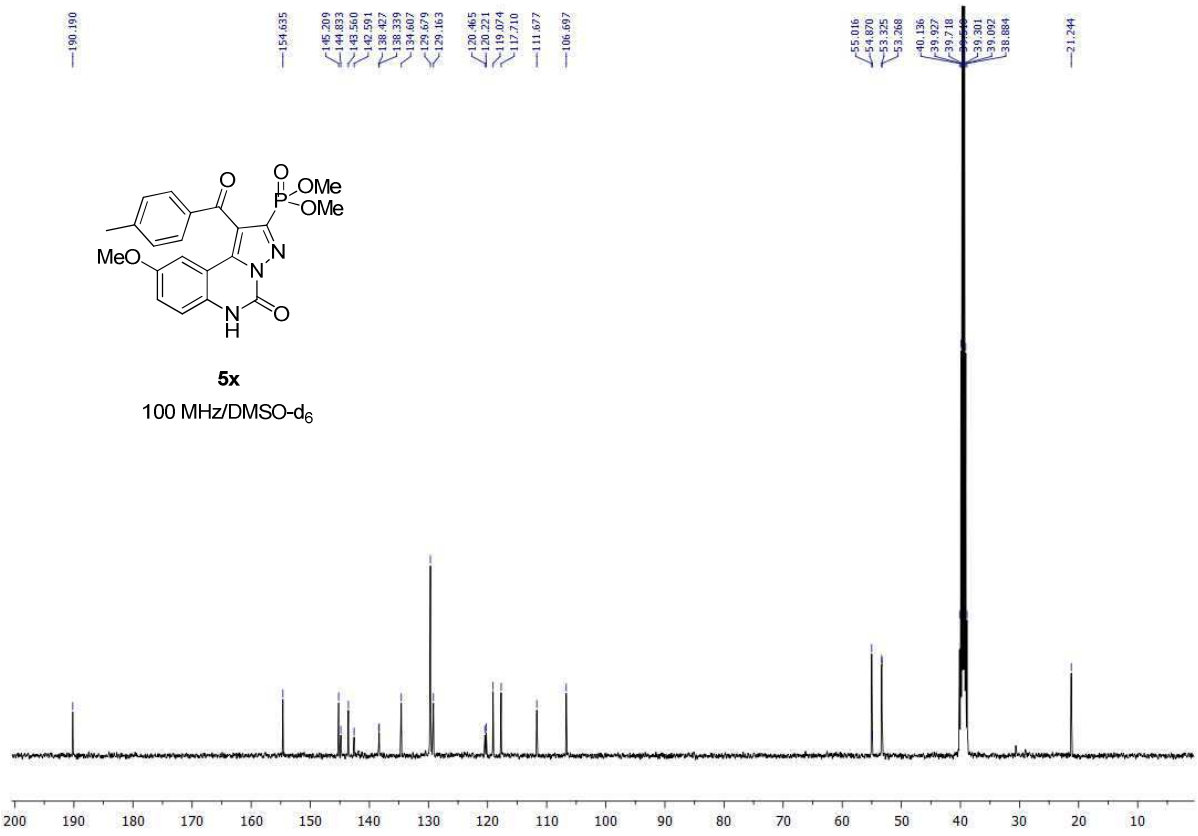
5w

161.9 MHz/DMSO-d_6



5x

400 MHz/DMSO-d_6



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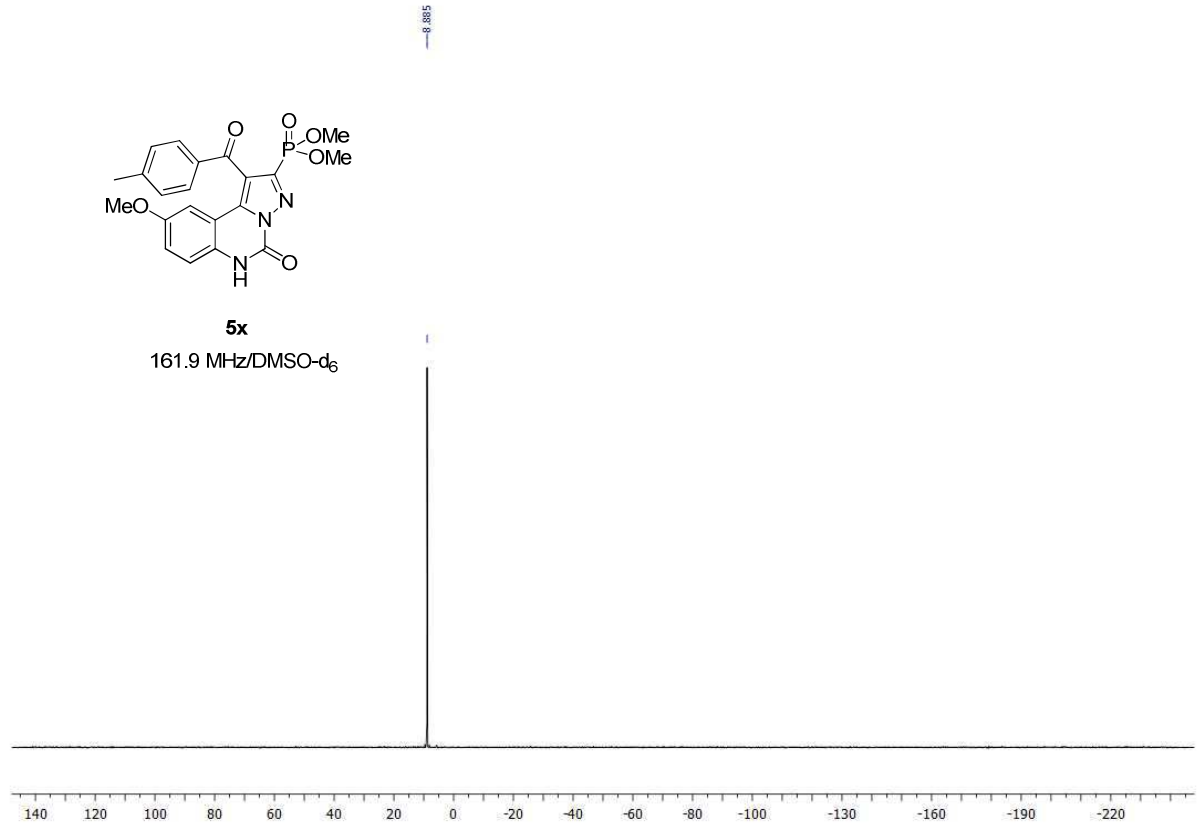
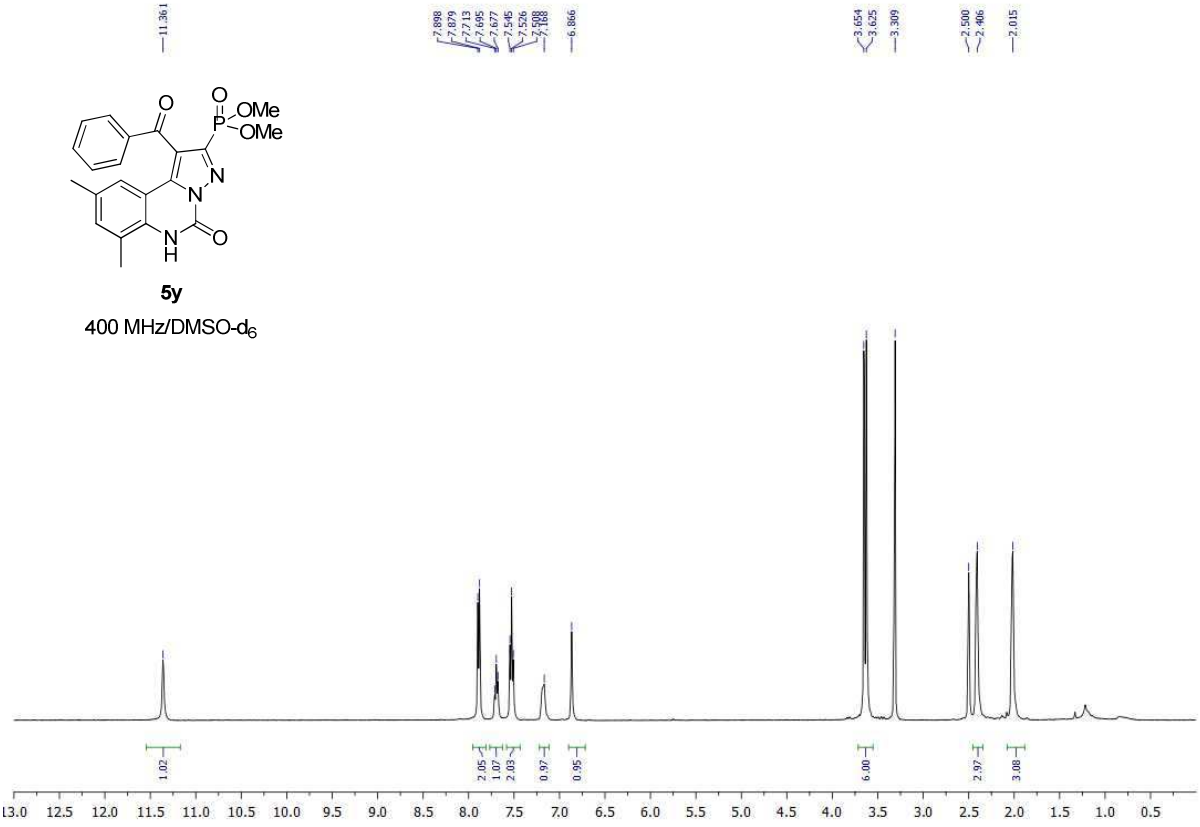
100 MHz/DMSO-d_6



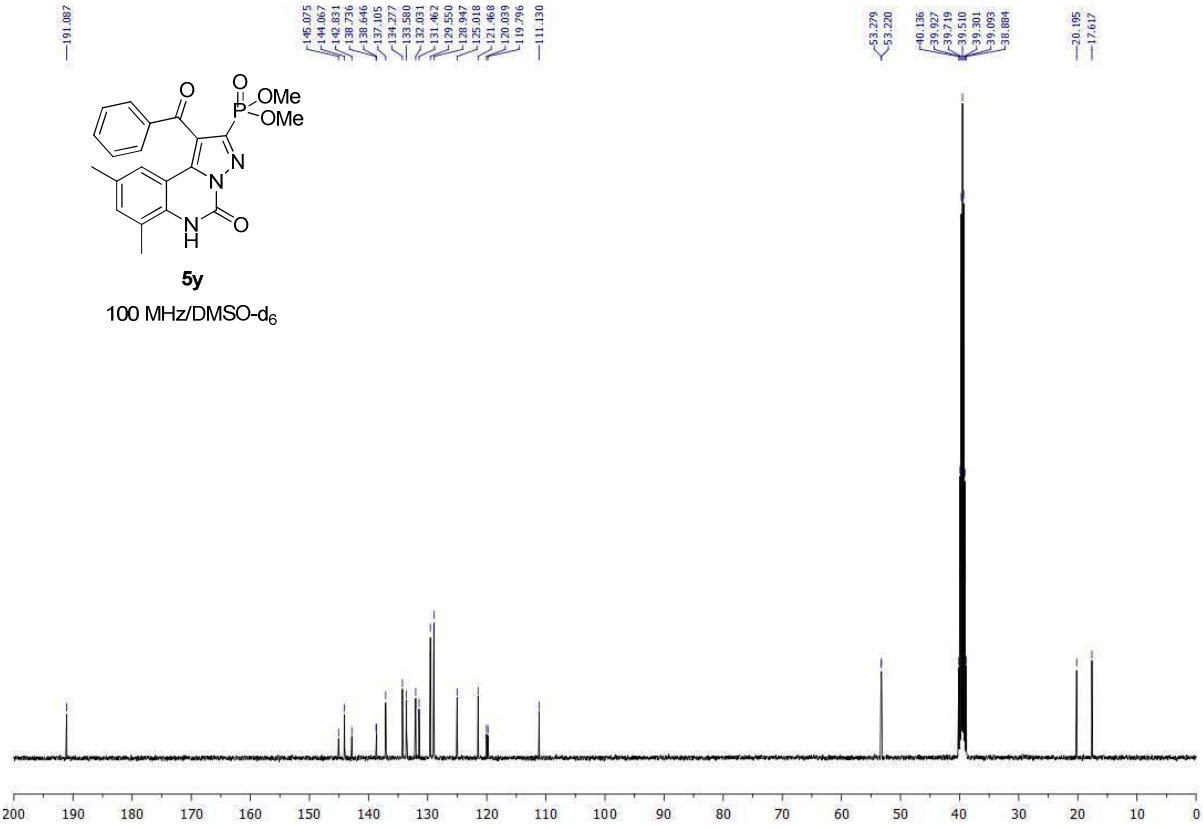
5x

161.9 MHz/DMSO-d_6



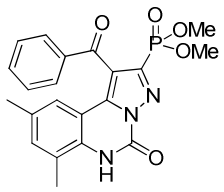
5y

400 MHz/DMSO-d_6



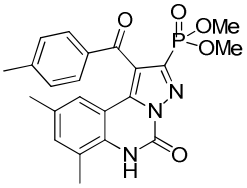
5y

100 MHz/DMSO-d_6



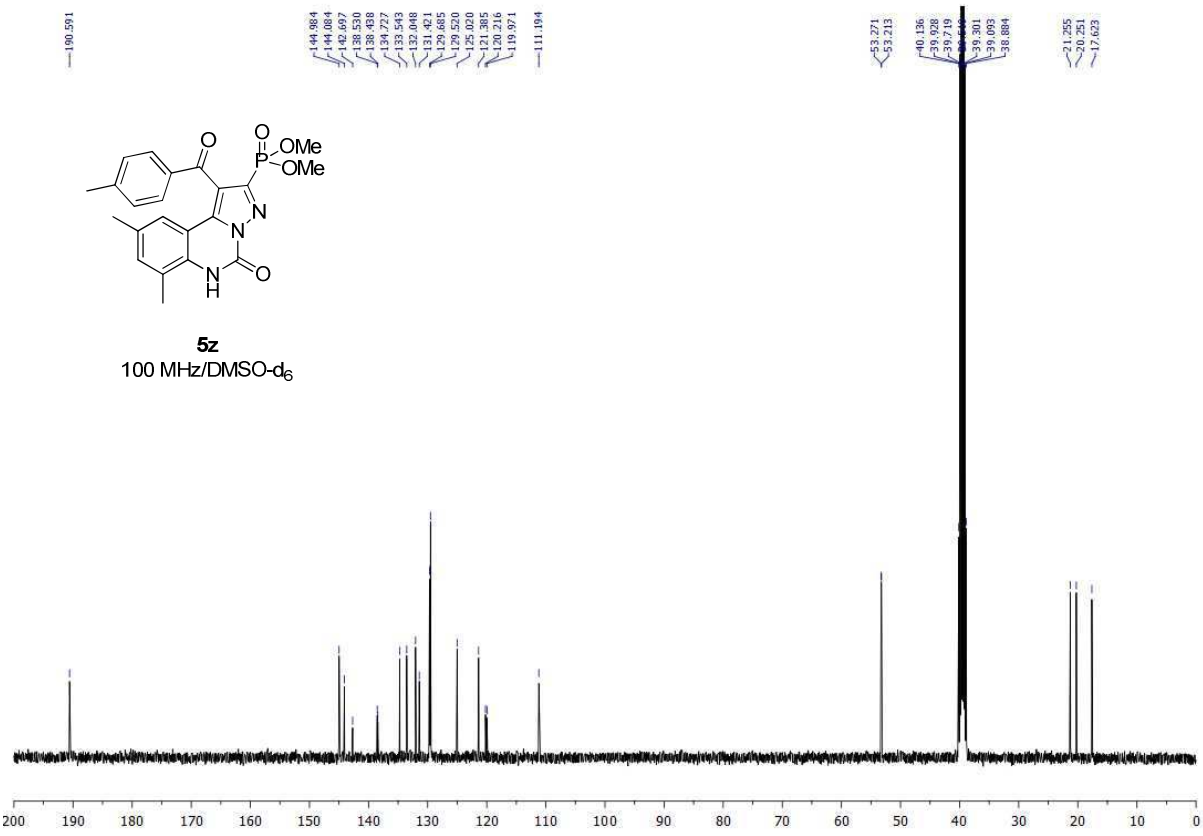
5y

161.9 MHz/DMSO-d_6

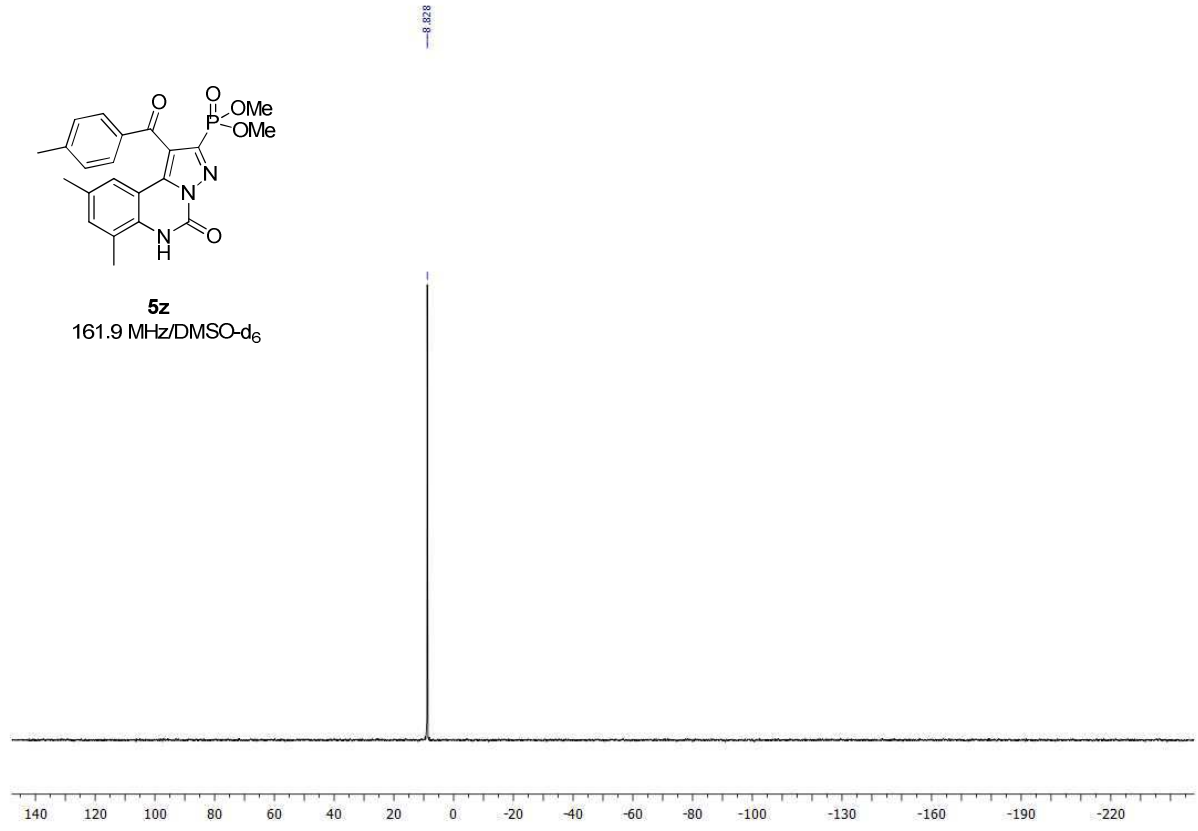


5z

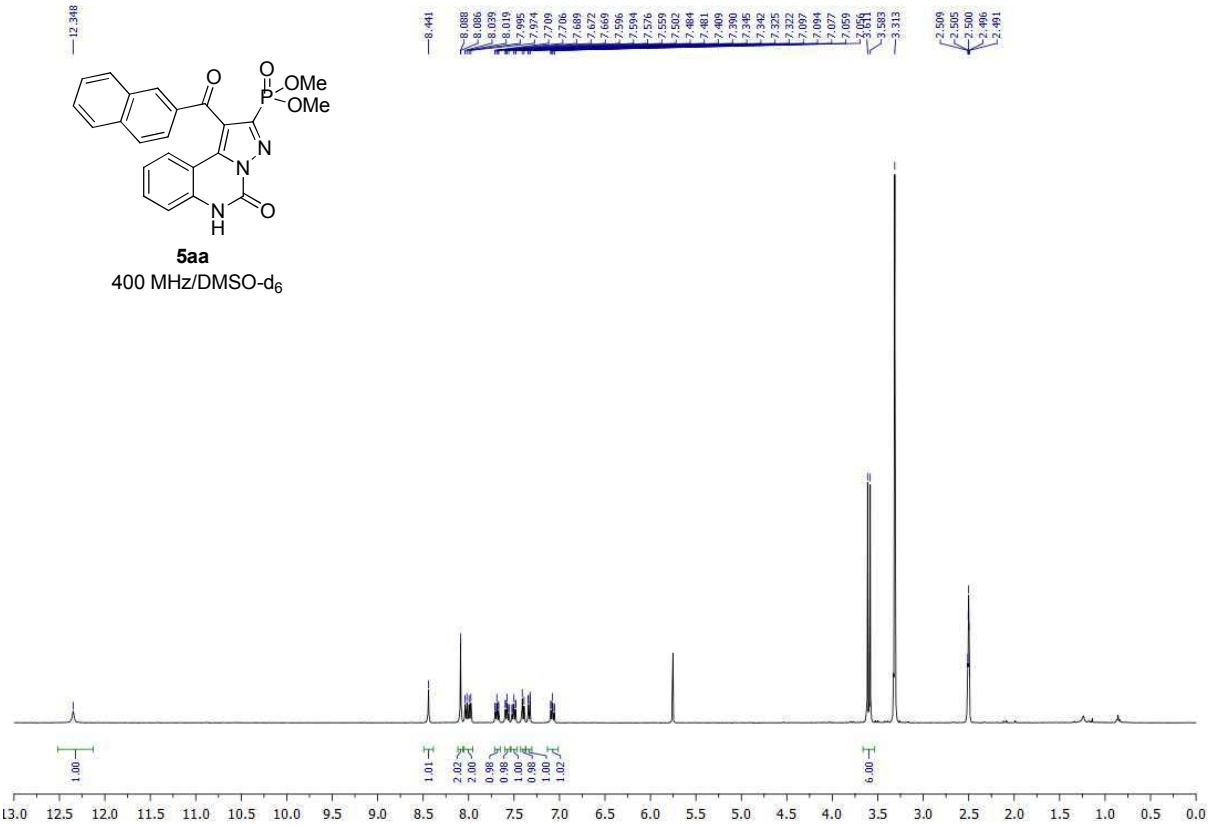
400 MHz/DMSO-d_6



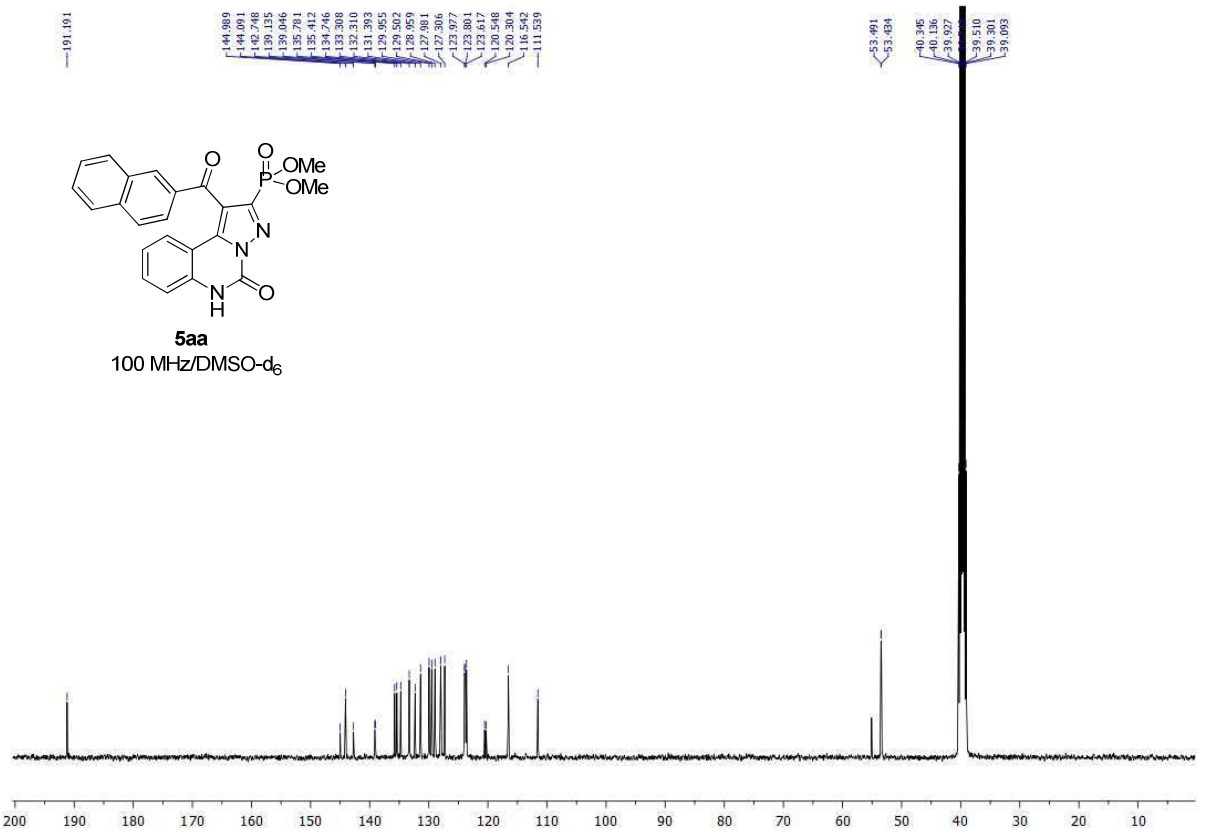
5z
100 MHz/DMSO-d_6



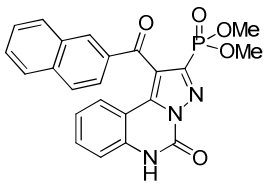
5z
161.9 MHz/DMSO-d_6



5aa
400 MHz/DMSO-d_6

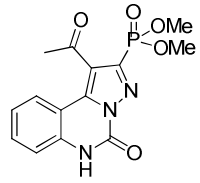


5aa
100 MHz/DMSO-d_6



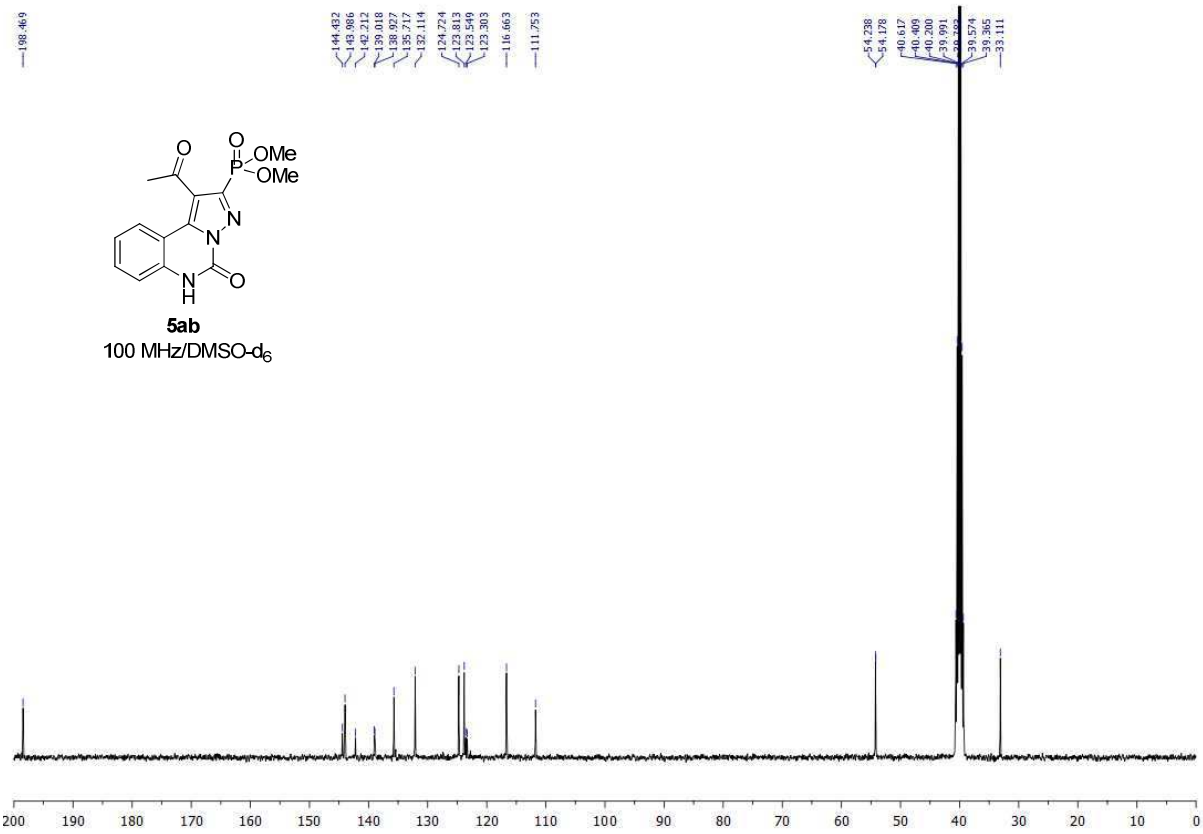
5aa

161.9 MHz/DMSO-d_6

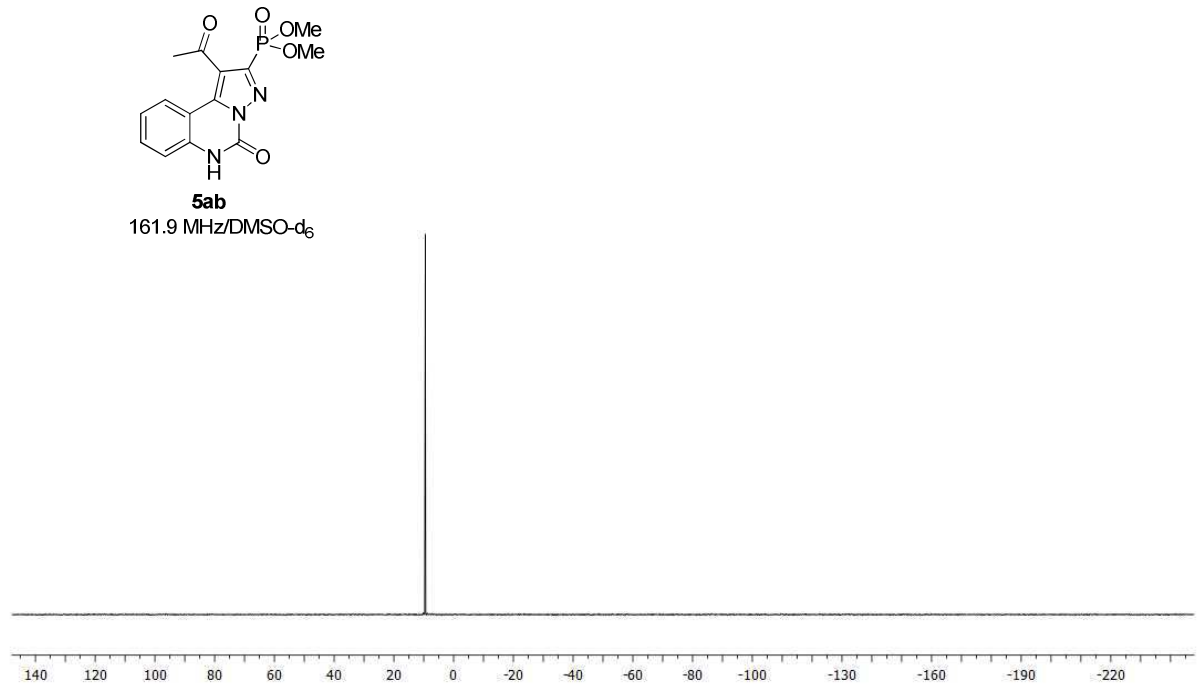


5ab

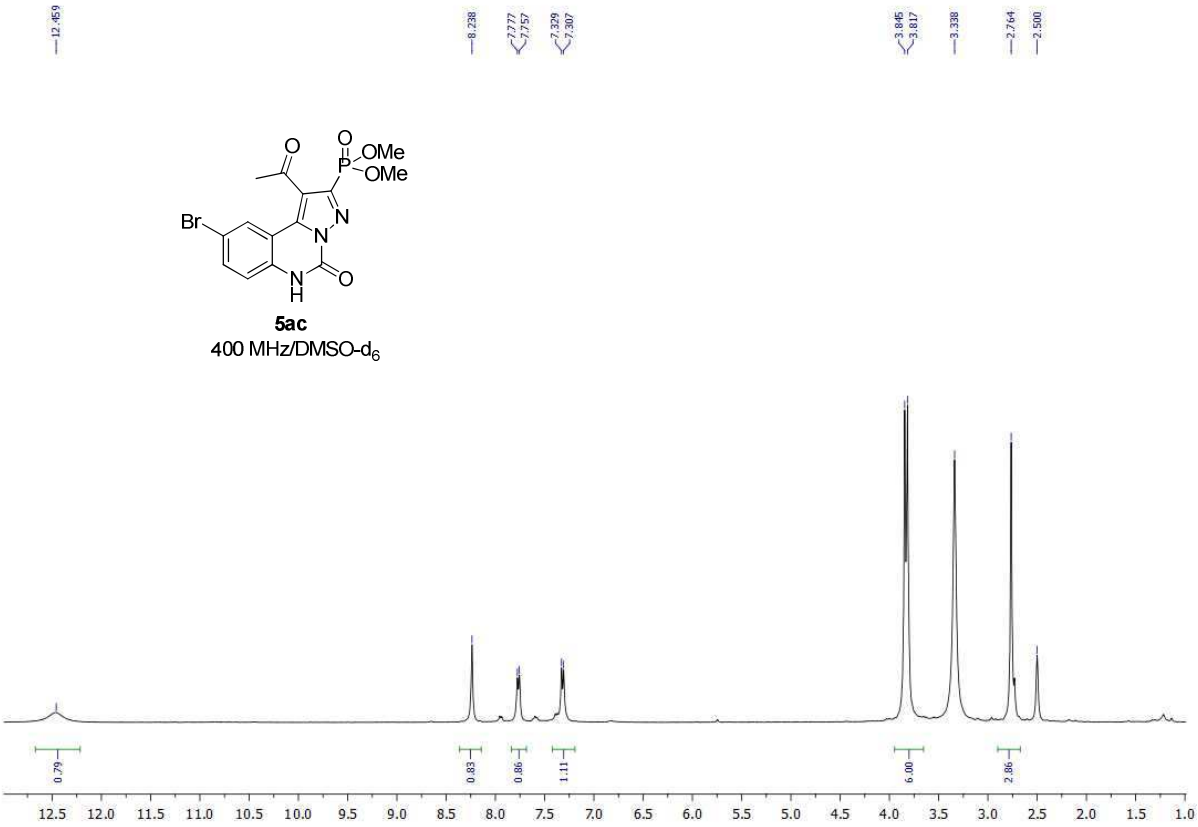
400 MHz/DMSO-d_6



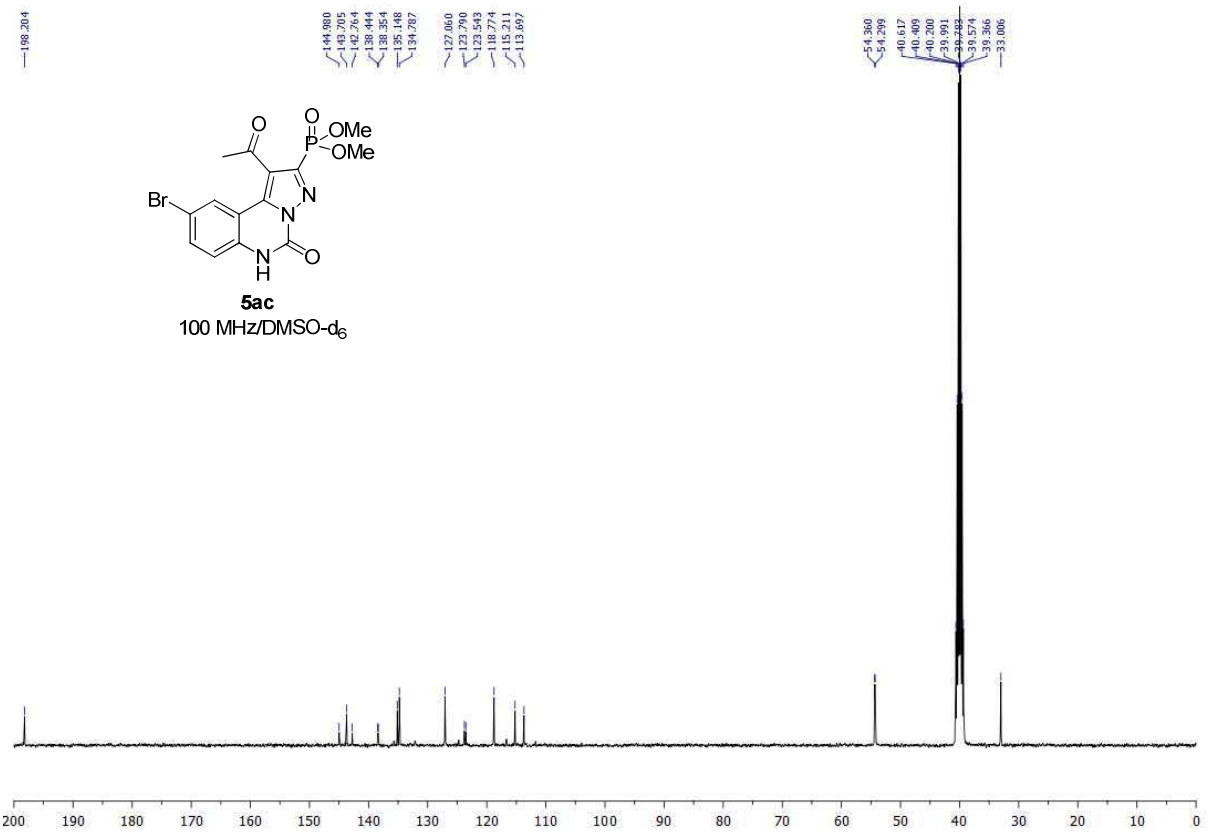
5ab
100 MHz/DMSO-d_6



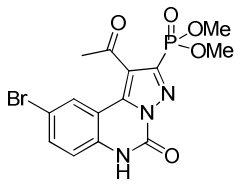
5ab
161.9 MHz/DMSO-d_6



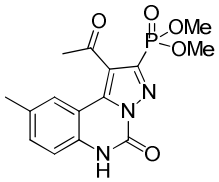
5ac
400 MHz/DMSO-d_6



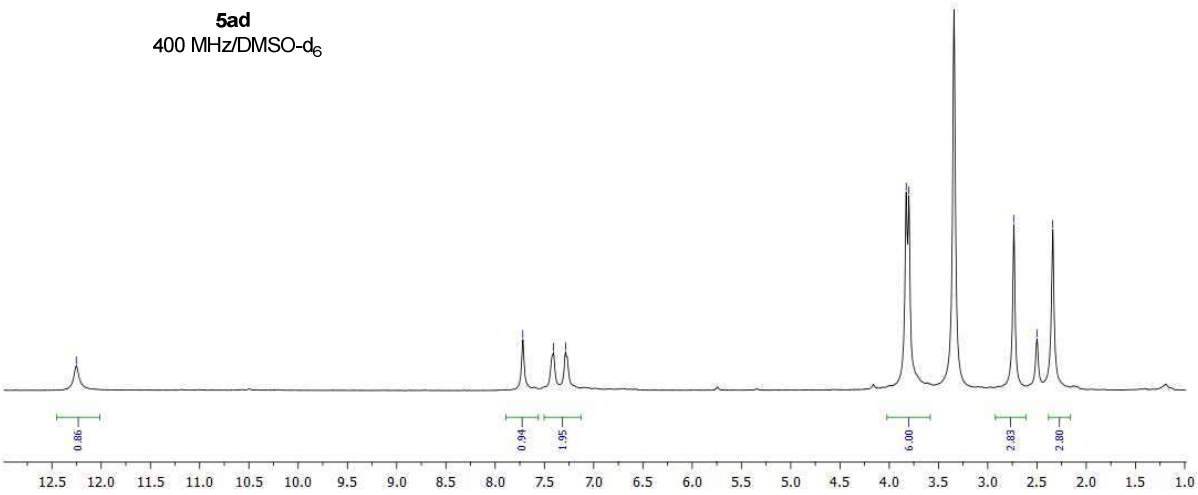
5ac
100 MHz/DMSO-d_6

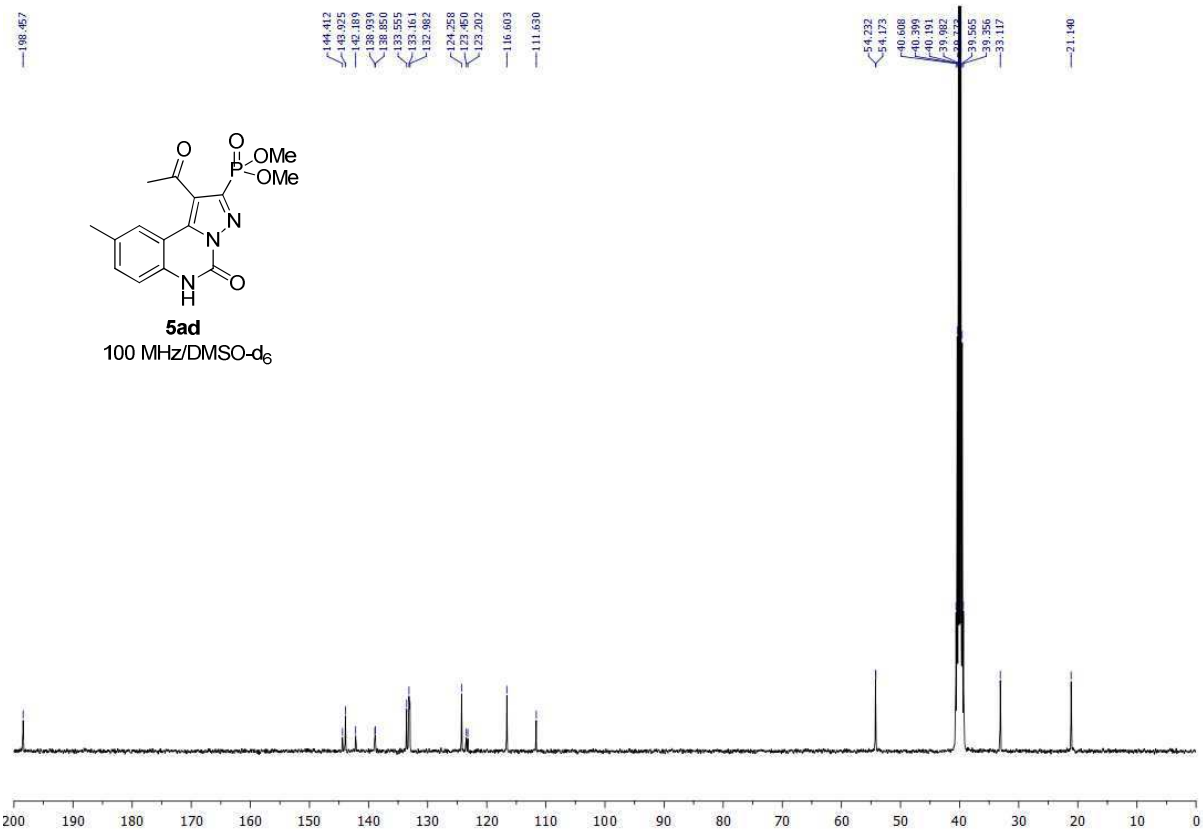


5ac
161.9 MHz/DMSO-d_6



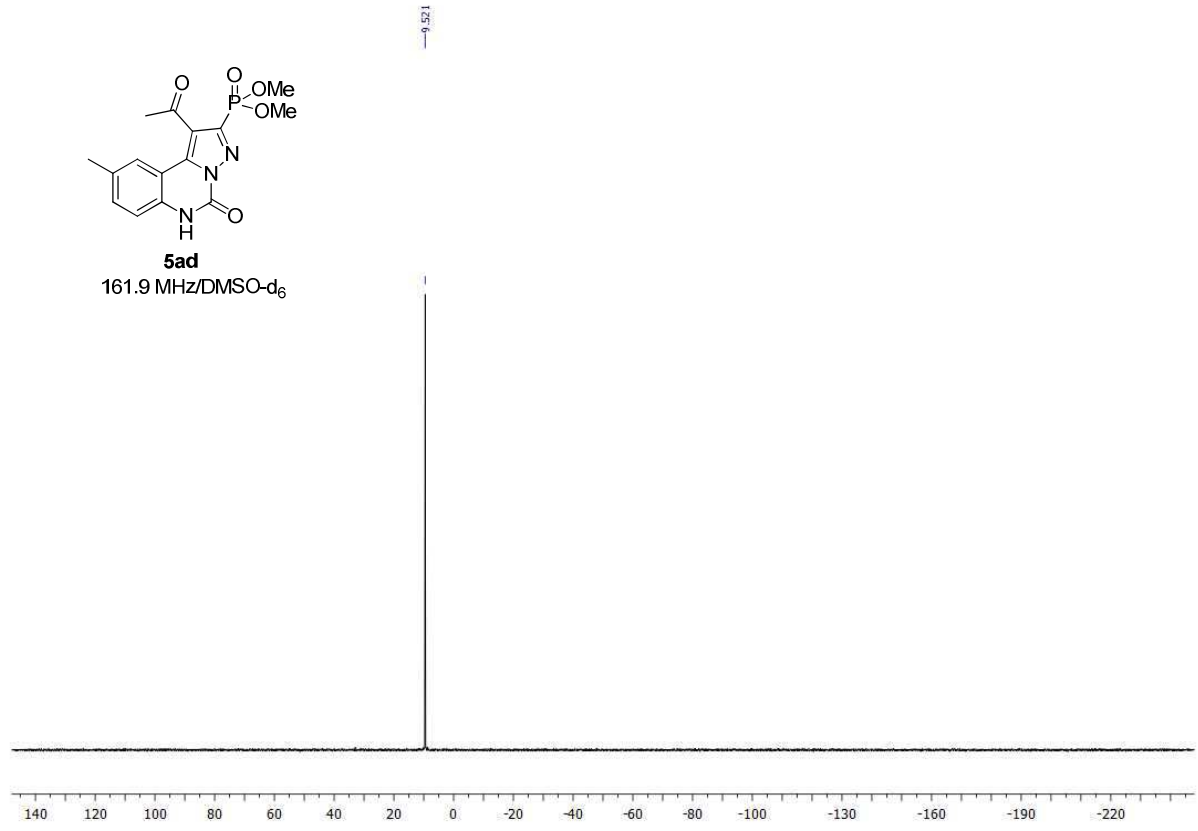
5ad
400 MHz/DMSO-d_6





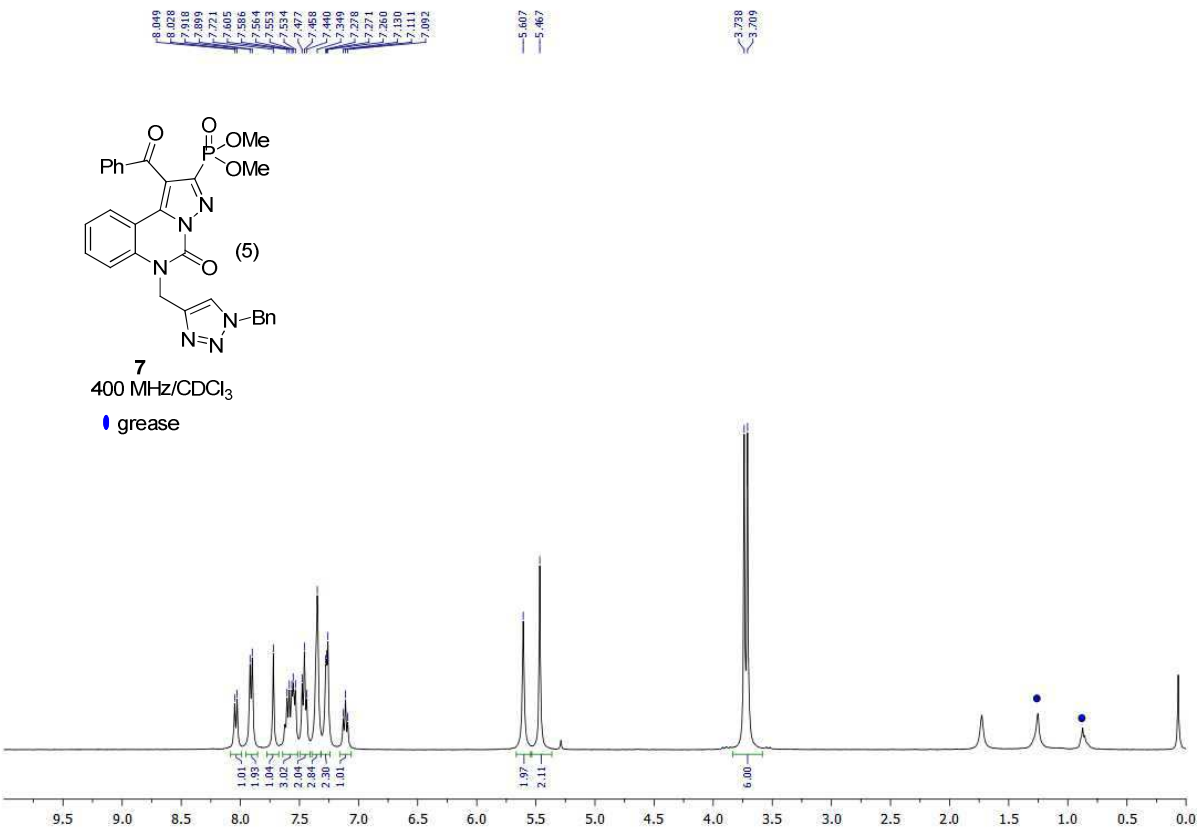
5ad

100 MHz/DMSO-d_6



5ad

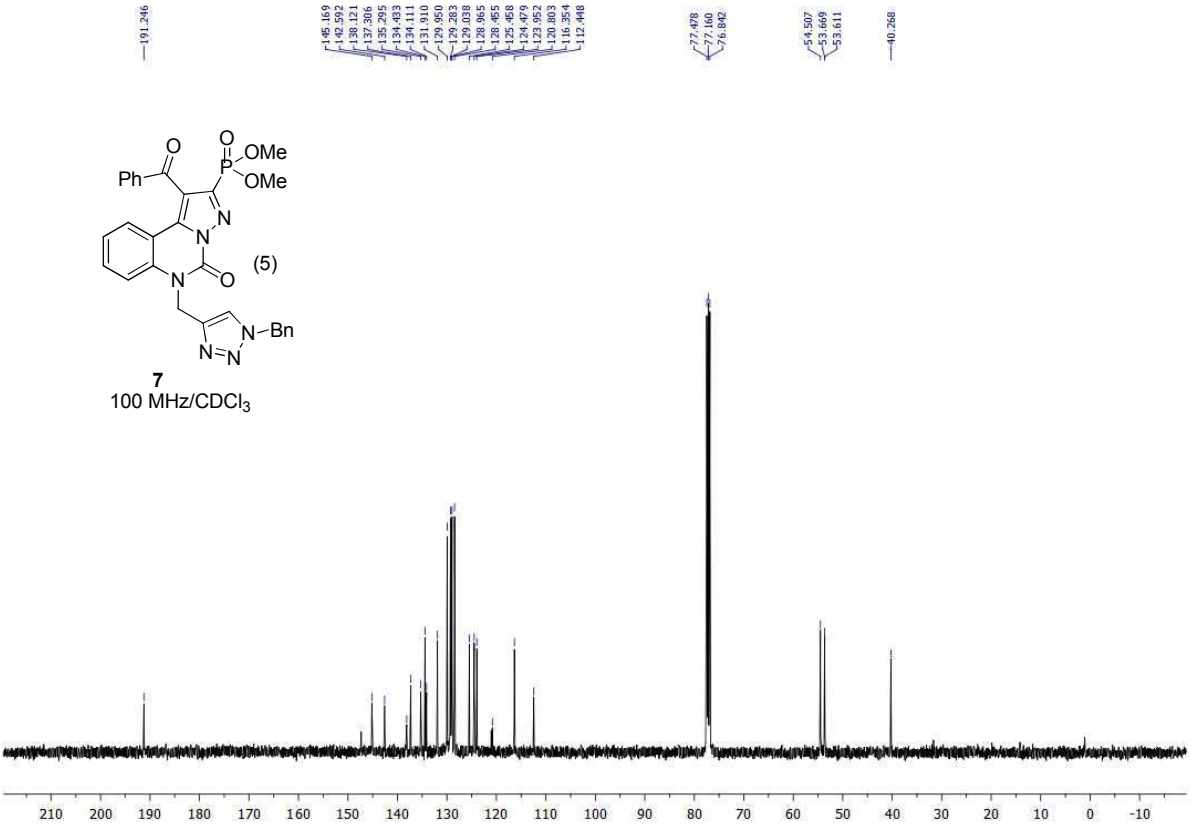
161.9 MHz/DMSO-d_6



7

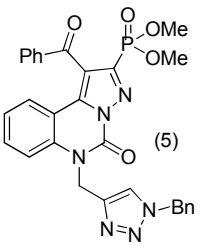
400 MHz/$CDCl_3$

grease

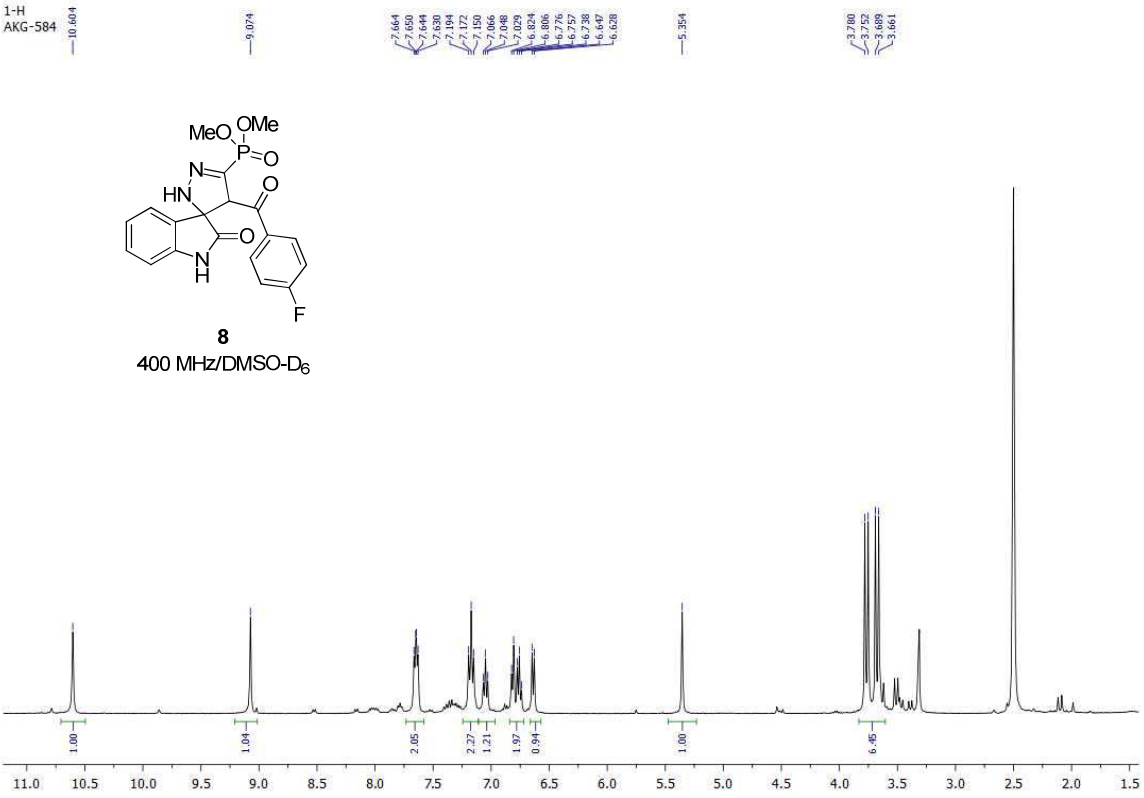


7

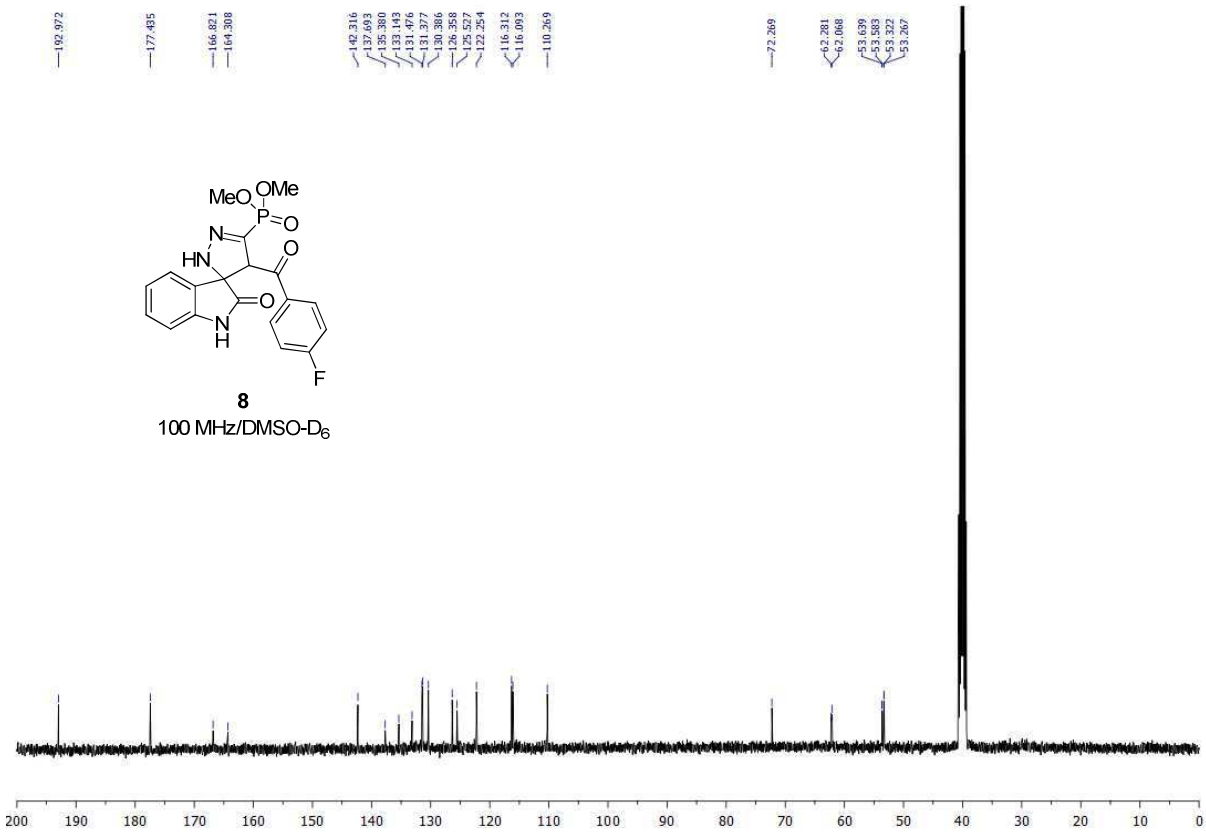
100 MHz/$CDCl_3$



7
161.9 MHz/$CDCl_3$



8
400 MHz/DMSO-D_6



8

100 MHz/DMSO-D_6