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Cobalt Catalyzed C–H activation and Highly Regioselective Intermolecular Annulation of Sulfonamides with Allenes

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Supporting Information

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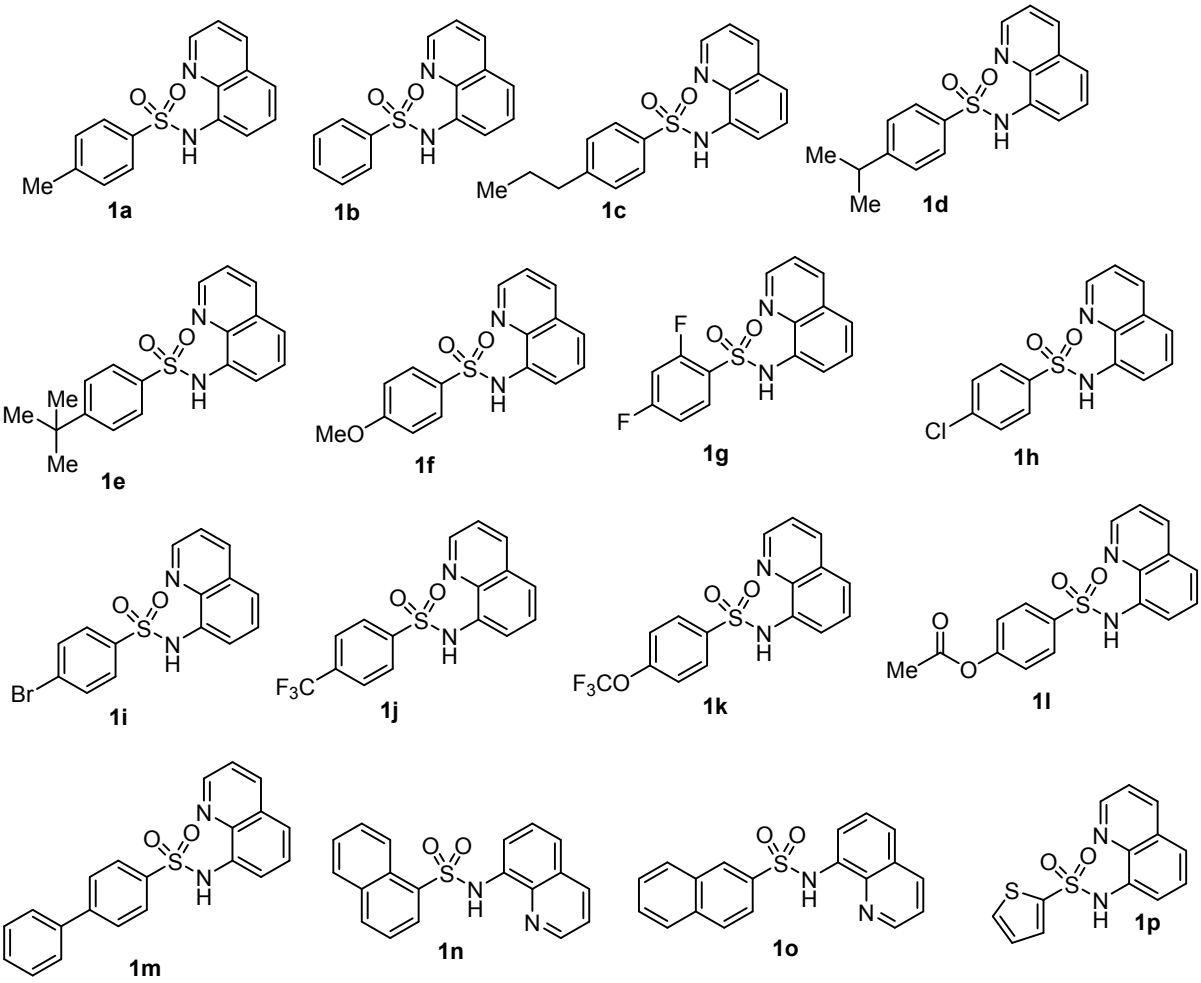
General considerations:

Reagent Information. Unless otherwise stated, all reactions were carried out under air atmosphere in screw cap reaction tubes. All the solvents were bought from Aldrich in sure-seal bottle and were used as received. $Co(OAc)_2$, $Co(acac)_2$, $Mn(OAc)_3\,2H_2O$ and $NaOPiv.H_2O$ were bought from Aldrich. Allenes likecyclohexylallene and methoxyallene were also bought from Aldrich. For column chromatography, silica gel (100–200 mesh) from SRL Co. was used. A gradient elution using petroleum ether and ethyl acetate was performed based on Merck aluminium TLC sheets (silica gel $60F_{254}$).

Analytical Information. The melting points recorded are uncorrected. All isolated compounds are characterized by ^1H NMR, ^{13}C NMR spectroscopy, gas chromatography mass spectra (GC-MS). In addition, all the compounds are further characterized by HRMS. Copies of ^1H NMR and^{13}C NMR can be found in the supporting information. Nuclear magnetic resonance spectra were recorded either on a Bruker 500 or a 400 MHz instrument. All ^1H NMR experiments are reported in units, parts per million (ppm), and were measured relative to the signals for residual chloroform (7.26 ppm) in the deuterated solvent, unless otherwise stated. All ^{13}C NMR and ^{31}P spectra were reported in ppm relative to deuteron chloroform (77.16 ppm), unless otherwise stated, and all were obtained with ^1H decoupling. All GC analysis were performed on Agilent 7890A GC system with an FID detector using a J & W DB–1 column (10 m, 0.1 mm I.D.) with *n*-decane as the internal standard. All GCMS analysis were done by Agilent 7890A GC system connected with 5975C inert XL EI/CI MSD (with triple axis detector).

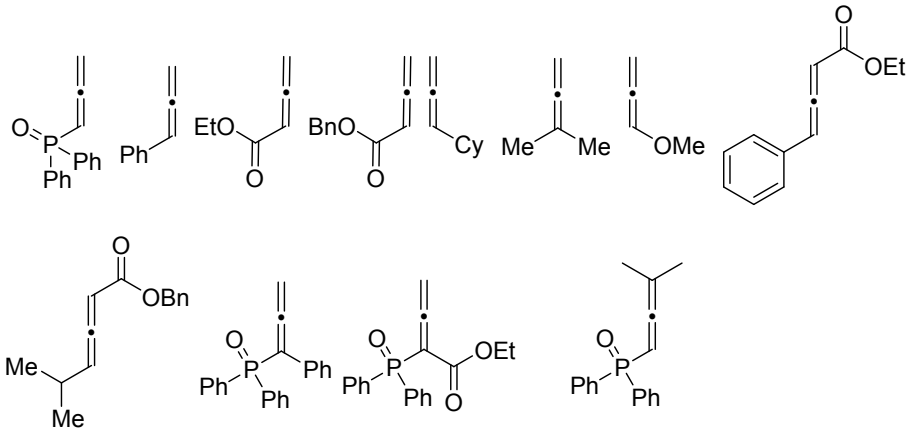
All sulfonamides were prepared according to the reported literature.[1]



General procedure A: Preparation of 8-aminoquinolinyl sulfonamides

To a solution of 8-aminoquinoline in dichloromethane (DCM) under nitrogen, triethylamine was added at 0˚C. Subsequently, solution of sulfonyl chloride in dichloromethane was added slowly at 0˚C and further stirred at room temperature for 6-12 h. The completion of the reaction was monitored by TLC and the reaction mixture was quenched with saturated $NaHCO_3$ followed by extraction with DCM. The separated organic layer was dried under Na_2SO_4. Compound was purified through column chromatography by using silica gel and EtOAC and pet ether.

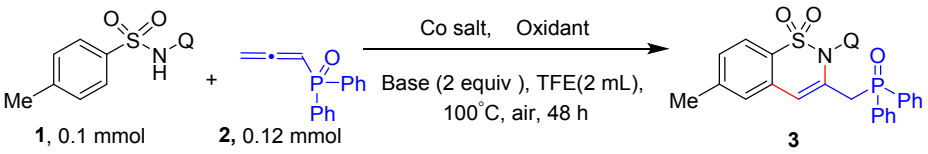
Figure 1: Different allenes



The allenylphosphonates/phosphineoxides,[2] phenyl allenes[3] and buta-2,3-dienoate[4]were prepared by known procedures reported in the literature.

Optimization Details

Table S1. Optimization of cobalt catalysed annulations of sulphonamides.



1, 0.1 mmol **2,** 0.12 mmol **3**

entry	Catalyst(mol%)	Oxidant(equiv)	Base	nmr yield (%)
1	$Co(acac)_2$(20)/rt	$Mn(OAc)_3$ $2H_2O$(2)	NaOPiv.H_2O	n.d
2	$Co(acac)_2$(20)/ 100°C	$Mn(OAc)_3$ $2H_2O$(2)	NaOPiv.H_2O	32%.
3	$Co(OAc)_2$(20)/100 °C	$Mn(OAc)_3$ $2H_2O$(2)	NaOPiv.H_2O	91%
4	$Co(OAc)_2$/rt(20)	$Mn(OAc)_3$ $2H_2O$(2)	NaOPiv.H_2O	trace
5	$Co(OAc)_2$(20)	$Mn(OAc)_3$ $2H_2O$(1)	--	trace

6	$Co(OAc)_2$(10)	$Mn(OAc)_3$ $2H_2O$(2)	NaOPiv.H_2O	45
7	--	$Mn(OAc)_3$ $2H_2O$(2)	NaOPiv.H_2O	n.d.
8	$Co(OAc)_2$(20)/100 °C	--	NaOPiv.H_2O	trace

n.d.: not detected.Yields were determined by ^1H NMR analysis of the crude reaction mixture using trimethoxybenzene as internal standard.

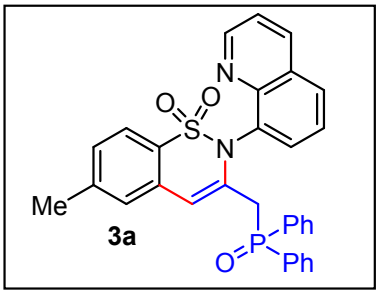
B. One- Pot, sequential 3-Component Procedure for C–H Activation and Intermolecular annulation with Allene: To a solution of 8-aminoquinoline in dichloromethane (DCM) under nitrogen, triethylamine was added at 0°C. Subsequently, solution of sulfonyl chloride in dichloromethane was added slowly at 0°C and further stirred at room temperature for 6-12 h. The completion of the reaction was monitored by TLC and the reaction mixture was evaporated under reduced pressure. In the same flask $Co(OAc)_2$ (20 mol%), $Mn(OAc)_3.2H_2O$ (0.8 mmol), NaOPiv.H_2O (0.8 mmol),and allene followed by trifluoroethanol (4 ml)were added at room temperature. The reaction tube was capped and stirred at 100 °C temperature for 36-48 hours. Upon completion, the reaction mixture was evaporated under reduced pressure and passed through the column for purification. Petroleum ether and ethyl acetate mixture was used as an eluents.

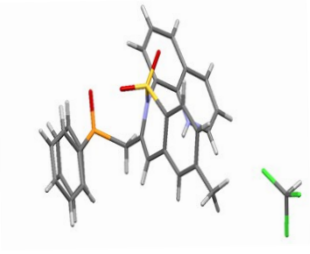
C. General Procedure for C–H Activation and Intermolecular annulation with Allene (General Procedure for the Synthesis of Benzosultam Derivatives):

In an oven dried reaction tube, charged with magnetic stir-bar, $Co(OAc)_2$ (20 mol%), $Mn(OAc)_3.2H_2O$ (0.8 mmol), NaOPiv.H_2O (0.8 mmol), and aryl or alkenyl amide substrate (**1a-1v**) (0.4 mmol) were added. Freshly prepared allene was added to the reaction mixture followed by trifluoroethanol (4 ml). The reaction tube was capped and stirred at 100 °C temperature for 36-48 hours. Upon completion, the reaction mixture was evaporated under reduced pressure and passed through the column for purification. Petroleum ether and ethyl acetate mixture was used as an eluents.

Note: The ratios of regioisomeric products were determined by the NMR analysis of crude reaction mixture. Minor regioisomeric product as in none of the cases this pure product was isolable. Calculated yield is combined region-isomeric product

Characterization data:





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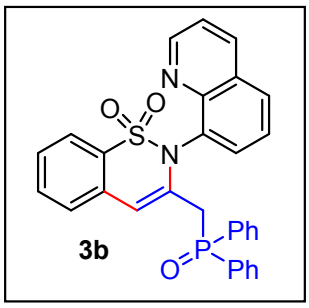
3-((diphenylphosphoryl)methyl)-6-methyl-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxidewas synthesized by general procedure C with allenylphosphonates(0.48 mmol) and sulfonamide (0.4 mmol) as the substrates. Pure **3b**was obtained as white crystalline colourless solid in 82% (92mg) yield after column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 20:80).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.76 (s, 1H), 8.10 (d, *J*=7.4, 1H), 7.78 (d, *J*=8.5, 3H), 7.72 – 7.55 (m, 3H), 7.53 – 7.07 (m, 11H), 6.84 (s, 1H), 3.28 (t, *J*=14.6, 1H), 3.02 (t, *J*=14.6, 1H), 2.40 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 151.3, 149.1, 145.6, 142.5, 141.9, 136.0, 135.0, 133.7, 133.0, 132.7, 132.1, 131.3, 131.1, 129.6, 129.2, 129.0, 128.7, 128.6, 128.5, 127.6, 126.0, 123.7, 121.9, 121.7, 117.5, 113.3, 35.8, 35.1, 21.7.

Crystal structure is also reported for this compound.

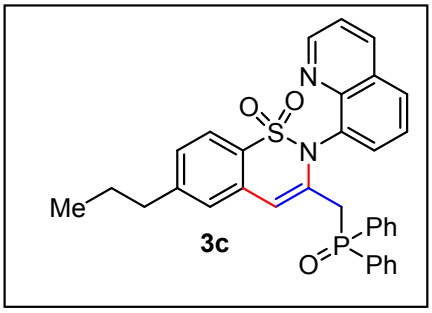


3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxidewas synthesized by general procedure C with allenylphosphonates(0.48 mmol) and sulfonamide 1a (0.4 mmol) as the substrates. Pure **3a**was obtained as white crystalline colourless solid in 86% (180mg) yield after column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 20:80).

^{1}H NMR (500 MHz, $CDCl_3$) δ = 8.74 (dd, *J*=4.1, 1.5, 1H), 8.13 (dd, *J*=8.3, 1.5, 1H), 7.82 (dd, *J*=8.2, 0.8, 1H), 7.77 (dd, *J*=11.2, 7.8, 2H), 7.71 (d, *J*=7.7, 1H), 7.65 (dd, *J*=11.2, 7.9, 2H), 7.56 (t, *J*=7.2, 1H), 7.50 (d, *J*=5.7, 2H), 7.46 – 7.35 (m, 8H), 7.31 (dd, *J*=7.3, 1.0, 1H), 6.91 (d, *J*=1.7, 1H), 3.27 (t, *J*=15.2, 1H), 3.03 (t, *J*=15.2, 1H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 151.2, 145.6, 136.0, 135.0, 133.0, 132.7, 132.1, 132.0, 131.9, 131.4, 131.3, 131.3, 131.2, 131.1, 129.6, 129.1, 128.7, 128.6, 127.5, 127.3, 126.0, 121.9, 121.7, 113.5, 113.4, 35.6, 35.1.

LR-MS (ESI): $[M+1]^+$ calculated for $C_{30}H_{23}N_2O_3PS$*m/z* 523.1235.



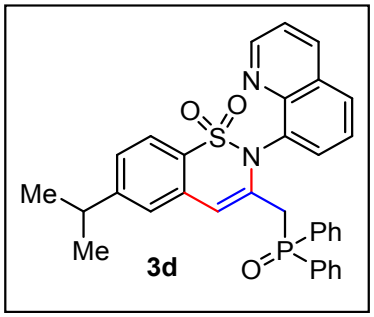
3c

3-((diphenylphosphoryl)methyl)-6-propyl-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxidewas synthesized by general procedure C with allenylphosphonates(0.24 mmol) and sulfonamide (0.4 mmol) as the substrates. Pure **3c**was obtained as crystalline whitesolid in 82% (186 mg) yield after column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 20:80).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.78 (dd, *J*=4.1, 1.5, 1H), 8.13 (dd, *J*=8.3, 1.3, 1H), 7.78 (dt, *J*=15.9, 8.9, 3H), 7.64 (dd, *J*=13.3, 7.7, 3H), 7.44 (tdd, *J*=13.4, 12.0, 5.1, 8H), 7.35 – 7.15 (m, 3H), 6.98 – 6.84 (m, 1H), 3.29 (dd, *J*=32.4, 16.8, 1H), 3.02 (t, *J*=15.3, 1H), 2.66 (t, *J*=7.6, 2H), 1.74 – 1.58 (m, 2H), 0.96 (t, *J*=7.3, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 151.4, 147.2, 145.8, 136.1, 135.0, 134.9, 133.1, 133.0, 132.9, 131.5, 131.4, 131.37, 131.32, 131.2, 129.6, 129.2, 128.86, 128.80, 128.7, 128.68, 128.62, 128.0, 127.2, 126.1, 122.0, 121.8, 113.6, 113.5, 38.1, 35.6, 34.9, 24.2, 13.7.

HRMS (ESI-QTOF)calculated. for $C_{33}H_{29}N_2O_3PS$; m/z 565.1709and found m/z 565.1709.



3d

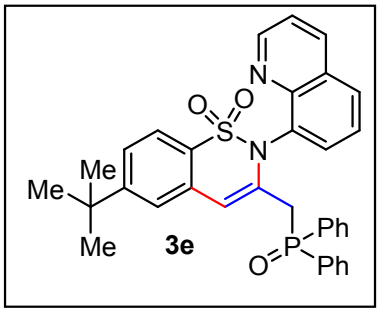
3-((diphenylphosphoryl)methyl)-6-isopropyl-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxidewas synthesized by general procedure C with allenylphosphonates(0.48 mmol) and

sulfonamide (0.4 mmol) as the substrates. Pure **3d** was obtained as crystalline colourlesssolid in 84% (190 mg) yield after column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 20:80).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.78 (dd, *J*=4.0, 1.4, 1H), 8.11 (dd, *J*=8.3, 1.3, 1H), 7.76 (dt, *J*=22.5, 9.5, 3H), 7.66 – 7.56 (m, 3H), 7.52 – 7.33 (m, 8H), 7.26 (s, 3H), 6.92 (d, *J*=2.7, 1H), 3.25 (t, *J*=15.2, 1H), 3.06 – 2.87 (m, 2H), 1.26 (d, *J*=6.9, 6H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 153.3, 151.4, 145.8, 136.1, 135.0, 133.1, 132.9, 132.2, 132.1, 131.4, 131.4, 131.3, 131.1, 129.7, 129.2, 128.88, 128.80, 128.6, 126.3, 126.1, 125.3, 122.0, 121.9, 113.77, 113.70, 35.6, 34.9, 34.4, 23.8.

HRMS (ESI-QTOF)calculated. for $C_{33}H_{29}N_2O_3PS$; m/z 565.1706and found m/z 565.1709.



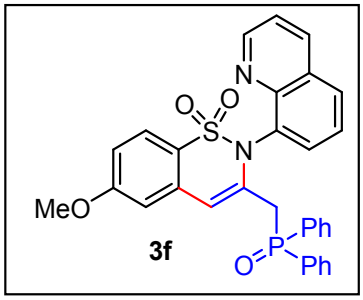
3e

6-(tert-butyl)-3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxidewas synthesized by general procedure C with allenylphosphonates(0.48 mmol) and sulphonamide (0.4 mmol) as the substrates. Pure **3e**was obtained as white crystalline solid in 86% (200 mg) yield after column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 20:80).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.81 (dd, *J*=4.1, 1.6, 1H), 8.11 (dd, *J*=8.3, 1.5, 1H), 7.79 (dd, *J*=9.9, 8.9, 3H), 7.63 (dd, *J*=13.9, 7.9, 3H), 7.54 – 7.35 (m, 10H), 7.34 – 7.29 (m, 1H), 7.01 (d, *J*=2.6, 1H), 3.27 (t, *J*=15.1, 1H), 3.03 (t, *J*=15.3, 1H), 1.34 (s, 9H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 155.5, 151.3, 145.8, 136.0, 134.9, 132.9, 132.7, 132.1, 131.4, 131.3, 131.2, 131.1, 129.6, 129.2, 129.0, 128.8, 128.7, 128.6, 126.1, 125.1, 124.3, 121.9, 121.5, 113.8, 35.5, 35.2, 34.8, 31.2.

HRMS (ESI-QTOF)calculated. For $C_{34}H_{31}N_2NaO_3PS$ m/z 601.1687 and found m/z 601.1685

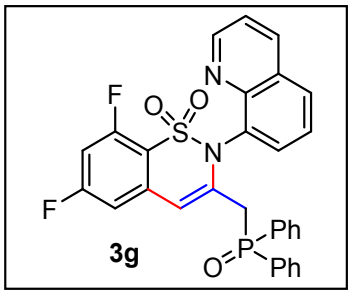


3-((diphenylphosphoryl)methyl)-6-methoxy-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxidewas synthesized by general procedure C with allenylphosphonates(0.48 mmol) and sulfonamide (0.4 mmol) as the substrates. Pure **3f** was obtained as white crystalline solid in 78% (174 mg) yield after column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 20:80).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.77 (dd, *J*=4.1, 1.6, 1H), 8.10 (dd, *J*=8.3, 1.5, 1H), 7.77 (ddd, *J*=19.0, 9.7, 4.3, 3H), 7.63 (t, *J*=8.9, 3H), 7.51 – 7.46 (m, 2H), 7.41 (dd, *J*=14.8, 7.2, 5H), 7.33 (ddd, *J*=8.5, 7.8, 2.6, 2H), 6.89 (dd, *J*=10.8, 2.0, 3H), 3.82 (s, 3H), 3.27 (t, *J*=15.2, 1H), 3.01 (t, *J*=15.1, 1H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 162.3, 151.3, 145.6, 136.1, 135.7, 135.6, 135.0, 132.6, 132.16, 132.13, 131.3, 131.26, 131.23, 131.1, 129.7, 129.2, 128.8, 128.76, 128.71, 128.6, 126.1, 124.3, 123.7, 122.0, 55.7, 35.5, 35.1.

HRMS (ESI-QTOF)calculated. For$C_{31}H_{25}N_2O_4PS$; m/z 575.1160and found m/z 575.1165.



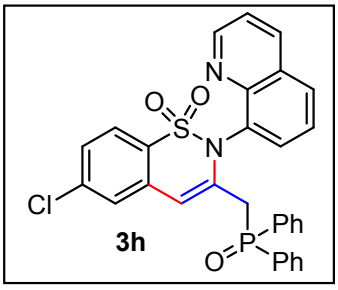
3-((diphenylphosphoryl)methyl)-6,8-difluoro-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxidewas synthesized by general procedure C with allenylphosphonates(0.48 mmol) and sulfonamide (0.4 mmol) as the substrates. Pure **3g** was obtained as white crystalline solid in 60% (135mg) yield after column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 20:80).

^{1}H NMR (500 MHz, $CDCl_3$) δ = 8.69 (dd, *J*=4.2, 1.7, 1H), 8.12 (ddd, *J*=18.7, 9.3, 5.1, 1H), 7.85 (dt, *J*=17.5, 8.7, 1H), 7.77 – 7.60 (m, 4H), 7.57 – 7.32 (m, 9H), 6.91 (d, *J*=8.5, 1H), 6.86 – 6.69 (m, 2H), 3.21 (t, *J*=15.3, 1H), 3.04 – 2.92 (m, 1H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 151.3, 145.56, 145.55, 139.5, 137.33, 137.30, 137.29, 136.0, 132.25 (dd, *J*=5.2, 2.7), 132.1, 131.2, 131.1, 130.0, 129.2, 129.7 (d, *J* = 2.0), 128.6 (d, *J* = 1.5), 126.0, 122.0, 114.7, 112.53, 112. 50,112.4, 109.6, 109.5, 108.8,103.5, 35.7, 35.2.

^{31}P NMR (202 MHz, $CDCl_3$) δ = 28.89 (s)

HRMS (ESI-QTOF)calculated. For$C_{30}H_{21}F_2N_2O_3PS$; m/z 559.1057and found m/z 559.1051.



3h

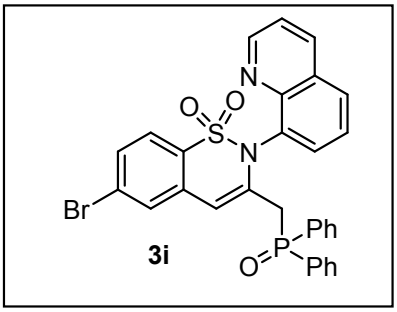
6-chloro-3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxidewas synthesized by general procedure C with allenylphosphonates(0.48 mmol) and sulfonamide (0.4 mmol) as the substrates. Pure **3h**was obtained as white crystalline solid in 83% (186 mg) yield after column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 20:80).

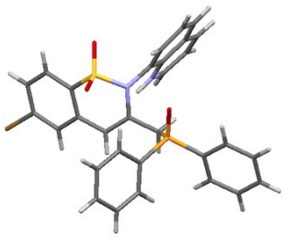
^{1}H NMR (500 MHz, $CDCl_3$) δ = 8.70 (d, *J*=2.7, 1H), 8.18 – 8.08 (m, 1H), 7.84 (d, *J*=7.9, 1H), 7.71 (dt, *J*=18.4, 9.1, 2H), 7.65 (dd, *J*=12.9, 8.3, 3H), 7.51 (dd, *J*=13.9, 7.4, 2H), 7.48 – 7.30 (m, 9H), 6.78 (d, *J*=2.2, 1H), 3.25 (t, *J*=15.2, 1H), 3.02 (t, *J*=15.0, 1H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 151.3, 145.4, 138.0, 136.0, 134.7, 132.3, 132.1, 131.9, 131.7, 131.3, 131.2, 131.1, 129.8, 129.7, 129.1, 128.7, 128.6, 127.5, 126.86, 126.0, 123.3, 122.0, 112.2, 112.1, 35.8, 35.3.

^{31}P NMR (202 MHz, $CDCl_3$) δ 28.75.

HRMS (ESI-QTOF)calculated. For $C_{30}H_{22}ClN_2O_3PS$; m/z 579.0660and found m/z 579.0669.





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6-bromo-3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide was synthesized by general procedure C with allenylphosphonates(0.48 mmol) and sulfonamide (0.4 mmol) as the substrates. **Pure 3i** was obtained as white crystalline solid in 68% (164 mg) yield after column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 20:80).

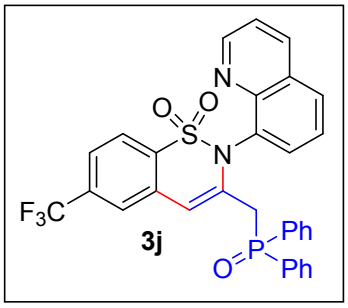
^{1}H NMR (500 MHz, $CDCl_3$) δ = 8.71 (dd, *J* = 4.0, 1.3, 1H), 8.14 (dd, *J* = 8.3, 1.2, 1H), 7.86 (t, *J* =12.9, 1H), 7.79 – 7.69 (m, 2H), 7.65 (dd, *J* =12.1, 8.2, 2H), 7.52 (dd, *J* =13.7, 6.7, 2H), 7.48 – 7.31 (m, 10H), 6.79 (d, *J* =1.7, 1H), 3.25 (t, *J* =15.2, 1H), 3.01 (t, *J* =15.0, 1H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 151.4, 145.5, 138.1, 136.8, 136.1, 134.8, 132.4, 132.3, 131.8, 131.4, 131.3, 131.2, 130.0, 129.7, 129.2, 128.8, 128.7, 127.6, 126.9, 126.1, 123.4, 122.1, 112.3, 112.2, 35.9, 35.4.

^{31}P NMR (202 MHz, $CDCl_3$) δ 28.83.

HRMS (ESI-QTOF)calculated. For $C_{30}H_{23}BrN_2O_3PS$ m/z 601.0346 and found m/z 601.0345

Crystal structure is also reported for this compound.



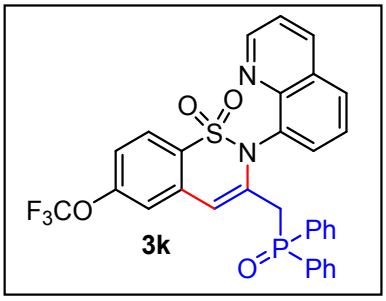
3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-6-(trifluoromethyl)-2H-benzo[e][1,2]thiazine 1,1-dioxidewas synthesized by general procedure C with allenylphosphonates(0.48 mmol) and sulfonamide (0.4 mmol) as the substrates. Pure **3j**was obtained as white crystalline solid in 73% (173mg) yield with after column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 20:80).

^{1}H NMR (500 MHz, $CDCl_3$) δ = 8.65 (dd, *J* =4.2, 1.7, 1H), 8.14 (dd, *J*=8.3, 1.6, 1H), 7.90 – 7.79 (m, 2H), 7.75 – 7.61 (m, 6H), 7.56 – 7.41 (m, 8H), 7.37 (dt, *J*=25.4, 12.7, 1H), 6.92 (d, *J*=2.9, 1H), 3.26 (t, *J*=15.2, 1H), 3.08 – 3.01 (m, 1H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 151.4, 145.5, 137.1, 136.1, 133.8, 132.4, 132.3, 132.1, 131.4, 131.3, 131.2 (t, *J* = 9.3), 130.1, 129.3, 128.9, 128.8, 126.2, 124.5(m, 1c), 124.0, 122.7, 122.2, 112.6, 35.9, 35.4.

^{31}P NMR (202 MHz, $CDCl_3$) δ 28.65.

HRMS (ESI-QTOF)calculated. For$C_{31}H_{23}F_3N_2O_3PS$; m/z 591.1113and found m/z 591.1114



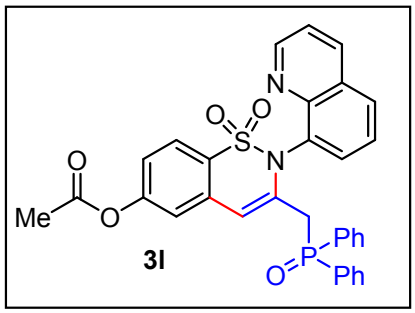
3k

3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-6-(trifluoromethoxy)-2H-benzo[e][1,2]thiazine 1,1-dioxidewas synthesized by general procedure C with allenylphosphonates(0.48 mmol, 58mg) and sulfonamide (0.4 mmol, 65 mg) as the substrates. Pure **3k**was obtained as white crystalline solid in 72% (175mg) yield after column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 20:80).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.70 (d, *J*=2.3, 1H), 8.15 (d, *J*=7.0, 1H), 7.86 (d, *J*=7.2, 1H), 7.80 – 7.59 (m, 5H), 7.59 – 7.35 (m, 10H), 7.23 (d, *J*=8.5, 1H), 6.88 (s, 1H), 3.25 (t, *J*=15.1, 1H), 3.02 (t, *J*=15.0, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 151.4, 151.3, 145.4, 136.99, 136.93, 136.0, 135.3, 135.29, 132.3, 132.25, 132.22, 131.9, 131.7, 131.3, 131.2, 131.1, 129.9, 129.5, 129.1, 128.7, 128.6, 125.0 (q, *J* = 203), 119.6, 118.6, 112.27, 112.31 (d, *J* = 6.5), 35.7, 35.1.

HRMS (ESI-QTOF)calculated. For $C_{31}H_{22}F_3N_2NaO_4PS$ m/z 629.0896 and found m/z 629.0882.

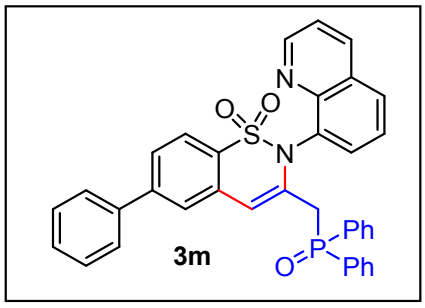


3-((diphenylphosphoryl)methyl)-1,1-dioxido-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazin-6-yl acetatewas synthesized by general procedure C with allenylphosphonates(0.48 mmol) and sulfonamide (0.4 mmol) as the substrates. Pure **3l**was obtained as white crystalline solid in 62% (145mg) yield after column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 20:80).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.64 (dd, *J*=2.5, 1.6, 1H), 8.13 (d, *J*=8.3, 1H), 8.01 (s, 1H), 7.95 (d, *J*=8.2, 1H), 7.85 (d, *J*=8.1, 1H), 7.79 (d, *J*=8.2, 1H), 7.76 – 7.62 (m, 4H), 7.56 – 7.39 (m, 8H), 7.35 (ddd, *J*=14.3, 7.9, 6.4, 1H), 6.97 (d, *J*=2.9, 1H), 3.27 (t, *J*=15.2, 1H), 3.08 – 2.96 (m, 1H), 2.65 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 197.2, 151.4, 145.5, 139.5, 136.3, 136.3, 136.1, 134.4, 133.5, 132.5, 132.3, 131.9, 131.3, 131.3, 131.2, 130.0, 129.2, 128.8, 128.7, 127.7, 126.8, 126.1, 122.3, 122.1, 113.3, 113.2, 35.8, 35.1, 27.0.

HRMS (ESI-QTOF)calculated. For $C_{32}H_{25}N_2NaO_5PS$ m/z 603.1121 and found m/z 603.1114



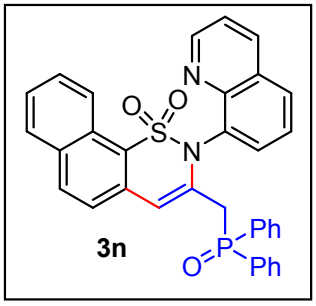
3-((diphenylphosphoryl)methyl)-6-phenyl-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxidewas synthesized by general procedure C with allenylphosphonates(0.48 mmol) and sulfonamide (0.4 mmol) as the substrates. Pure **3m** was obtained as white crystalline solid in 54% (130 mg) yield after column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 20:80).

^{1}H NMR (500 MHz, $CDCl_3$) δ = 8.78 (d, *J*=2.6, 1H), 8.14 (d, *J*=8.0, 1H), 7.83 (d, *J*=8.0, 1H), 7.78 (d, *J*=8.0, 3H), 7.63 (dd, *J*=20.7, 11.4, 6H), 7.57 – 7.30 (m, 12H), 6.98 (s, 1H), 3.31 (t, *J*=14.4, 1H), 3.07 (t, *J*=14.5, 1H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 151.4, 145.7, 145.0, 139.7, 136.1, 135.5, 133.5, 132.7, 132.2, 132.2, 131.5, 131.4, 131.3, 131.3, 130.2, 129.8, 129.3, 129.1, 128.8, 128.7, 128.4, 127.4, 126.4, 126.1, 126.0, 122.4, 122.1, 113.6, 113.5, 35.9, 35.4.

^{31}P NMR (202 MHz, $CDCl_3$) δ 29.59.

HRMS (ESI-QTOF)calculated. For $C_{36}H_{27}N_2NaO_3PS$ m/z 621.1374 and found m/z 621.1372



3n

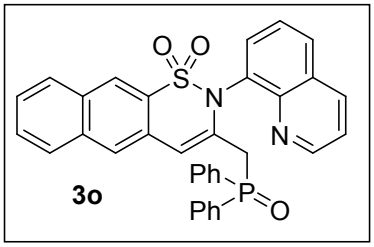
3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-2H-naphtho[2,1-e][1,2]thiazine 1,1-dioxidewas synthesized by general procedure C with allenylphosphonates(0.48 mmol) and sulfonamide (0.4 mmol) as the substrates. Pure **3n**was obtained as white crystalline solid in 59% (135mg) yieldafter column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 20:80).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.81 (d, *J*=8.6, 1H), 8.74 (d, *J*=3.3, 1H), 8.12 (d, *J*=8.2, 1H), 7.95 (d, *J*=8.5, 1H), 7.87 – 7.74 (m, 4H), 7.67 (dd, *J*=11.2, 7.9, 2H), 7.47 (ddd, *J*= 24.1, 14.2, 6.3, 10H), 7.35 (d, *J*=7.2, 2H), 6.95 (s, 1H), 3.35 (dd, *J*=19.6, 11.0, 1H), 3.07 (t, *J*=15.1, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 151.5, 145.8, 136.1, 135.8, 133.3, 132.9, 132.8, 132.5, 132.3, 132.2, 131.9, 131.5, 131.4, 131.3, 131.2, 130.0, 129.2, 128.9, 128.8, 128.7, 128.7, 128.5, 128.3, 127.5, 126.4, 126.1, 125.8, 125.6, 123.9, 122.0, 113.5, 113.4, 35.78, 35.1.

^{31}P NMR (202 MHz, $CDCl_3$) δ 29.19.

HRMS (ESI-QTOF)calculated. For $C_{34}H_{26}N_2O_3PS$ m/z 573.1400 and found m/z 573.1396

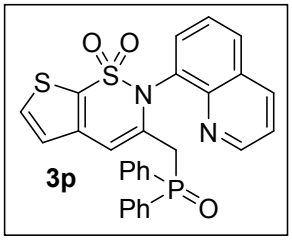


3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-2H-naphtho[2,3-e][1,2]thiazine 1,1-dioxidewas synthesized by general procedure C with allenylphosphonates(0.48 mmol) and sulfonamide (0.4 mmol) as the substrates. Pure **3o**was obtained as white crystalline solid in 76% (175mg) yieldafter column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 20:80).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.68 (s, 1H), 8.25 (s, 1H), 8.10 (d, *J*=8.0, 1H), 7.82 (dt, *J*= 45.3, 24.8, 8H), 7.62 – 7.54 (m, 1H), 7.52 – 7.37 (m, 8H), 7.31 (t, *J*=8.2, 2H), 7.09 (s, 1H), 3.29 (d, *J*=13.2, 1H), 3.12 (d, *J*=13.5, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 151.3, 145.8, 136.1, 134.8, 134.5, 133.3, 132.2, 132.0, 131.4, 131.3, 129.7, 129.3, 129.1, 128.8, 128.7, 128.5, 128.3, 126.8, 126.6, 126.2, 122.5, 122.0, 115.4, 35.9, 35.3.

HRMS (ESI-QTOF)calculated. for $C_{34}H_{25}N_2O_3PS$; m/z 573.1390and found m/z 573.1396.



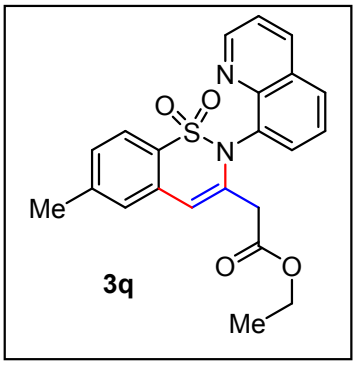
3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-2H-thieno[3,2-e][1,2]thiazine 1,1-dioxidewas synthesized by general procedure C with allenylphosphonates (0.48 mmol) and sulfonamide (0.4 mmol) as the substrates. Pure**3p**was obtained as white crystalline solid in 80% (170mg) yield after column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 20:80).

^{1}H NMR (500 MHz, $CDCl_3$) δ = 8.84 (dd, *J*=4.0, 1.3, 1H), 8.14 (dd, *J*=8.2, 1.2, 1H), 7.85 (d, *J*=8.0, 1H), 7.76 (dd, *J*=11.3, 7.7, 2H), 7.62 (dd, *J*=11.4, 7.7, 2H), 7.57 – 7.37 (m, 9H), 7.35 (d, *J*=6.7, 1H), 7.06 (dd, *J*=19.1, 5.3, 1H), 7.00 (d, *J*=2.4, 1H), 3.28 (t, *J*=15.2, 1H), 3.02 (t, *J*=15.1, 1H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 151.5, 145.7, 141.45, 136.1, 135.2, 135.1, 132.3, 132.2, 131.8, 131.4, 131.3, 131.2, 131.1, 130.0, 129.2, 129.0, 128.8, 128.7, 126.7, 126.1, 125.7, 122.1, 109.2,35.3, 34.8.

^{31}P NMR (202 MHz, $CDCl_3$) δ 29.43.

HRMS (ESI-QTOF)calculated. for $C_{28}H_{22}N_2O_3PS_2$; m/z 529.0804and found m/z 529.0804.



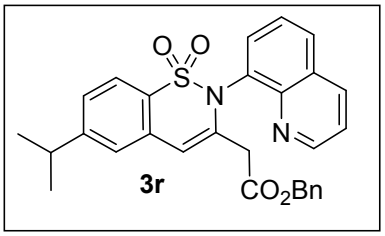
3q

ethyl 2-(6-methyl-1,1-dioxido-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazin-3-yl)acetatewas synthesized by general procedure C with ethyl allenoate(0.48 mmol) and sulfonamide (0.4 mmol,) as the substrates. Pure **3q**was obtained as white crystalline solid in 60% (98mg) yield after column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 70:30).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.79 (dd, *J*=4.2, 1.7, 1H), 8.16 (dd, *J*=8.3, 1.7, 1H), 7.89 (dd, *J*=8.3, 1.3, 1H), 7.80 (dd, *J*=7.4, 1.4, 1H), 7.71 (d, *J*=8.0, 1H), 7.55 (dt, *J*=15.0, 7.5, 1H), 7.39 (dd, *J*=8.3, 4.2, 1H), 7.32 – 7.27 (m, 2H), 6.52 (s, 1H), 3.95 (q, *J*=7.1, 2H), 3.17 (dd, *J*=82.2, 16.3, 2H), 2.48 (s, 3H), 1.12 (t, *J*=7.1, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 169.0, 151.5, 145.8, 142.5, 137.2, 136.1, 133.0, 132.6, 132.1, 130.0, 129.4,128.6, 128.5, 127.6, 127.4, 126.0, 122.0, 121.9, 111.2, 61.3, 40.3, 21.8, 14.1.

HRMS (ESI-QTOF)calculated. For $C_{22}H_{20}N_2NaO_4S$ m/z 431.1034 and found m/z 431.1034



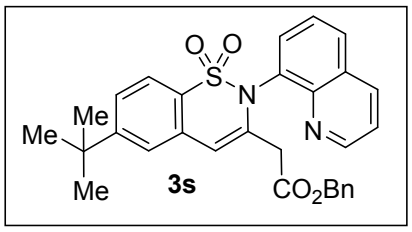
3r

was synthesized by general procedure C with benzyl allenoate(0.6 mmol) and sulfonamide (0.4 mmol) as the substrates. Pure **3r**was obtained as white crystalline solid in 76% (153mg) yield after column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 20:80).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.77 (dd, *J*=4.1, 1.5, 1H), 8.14 (td, *J*=8.4, 1.4, 1H), 7.83 (d, *J*=8.2, 1H), 7.76 (t, *J*=7.6, 1H), 7.70 – 7.63 (m, 1H), 7.48 – 7.29 (m, 7H), 7.25 (dd, *J*=6.7, 3.0, 2H), 6.54 (s, 1H), 4.95 (s, 2H), 3.40 – 3.08 (m, 2H), 3.01 (tt, *J*=15.5, 7.8, 1H), 1.32 (d, *J*=6.9, 6H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.8, 153.3, 151.4, 145.8, 136.9, 136.1, 135.4, 133.0, 132.5, 132.1, 131.9, 129.9, 129.2, 128.6, 128.4, 126.2, 126.0, 124.9, 121.9, 111.3, 111.4, 66.9, 40.2, 34.5, 23.9.

HRMS (ESI-QTOF)calculated. For $C_{29}H_{26}N_2NaO_4S$ m/z 521.1502 and found m/z 521.1505

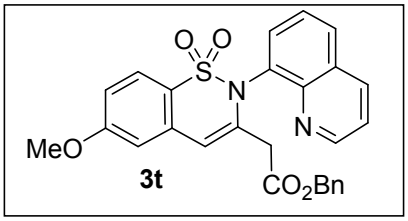


was synthesized by general procedure C with benzyl allenoate(0.6 mmol) and sulfonamide (0.4 mmol) as the substrates. Pure **3s**was obtained as white crystalline solid in 80% (165mg) yield with 4:1 mixture of regioisomers after column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 20:80).

^{1}H NMR (500 MHz, $CDCl_3$) δ = 8.81 (ddd, *J*=10.4, 4.2, 1.6, 1H), 8.17 (ddd, *J*=20.6, 8.3, 1.4, 1H), 7.85 (dd, *J*=8.2, 1.1, 1H), 7.79 (d, *J*=8.3, 1H), 7.69 (dd, *J*=7.4, 1.2, 1H), 7.53 (dd, *J*=8.3, 1.8, 1H), 7.48 (d, *J*=1.5, 1H), 7.47 – 7.42 (m, 1H), 7.40 – 7.33 (m, 4H), 7.28 (dd, *J*=7.0, 3.2, 2H), 6.59 (s, 1H), 4.98 (s, 2H), 3.44 – 3.10 (m, 2H), 1.42 (s, 9H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 168.8, 155.5, 151.4, 145.7, 136.8, 136.1, 135.3, 132.6, 132.4, 132.0, 129.9, 129.2, 129.2, 128.6, 128.5, 128.4, 126.0, 125.2, 123.9, 121.9, 121.6, 111.5, 66.9, 40.0, 35.2, 31.2.

HRMS (ESI-QTOF)calculated. For $C_{30}H_{28}N_2NaO_4S$ m/z 535.1661 and found m/z 535.1662

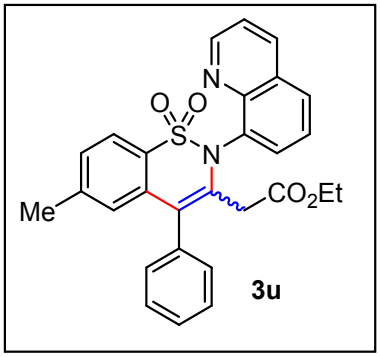


benzyl 2-(6-methoxy-1,1-dioxido-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazin-3-yl)acetat ewas synthesized by general procedure C with benzyl allenoate(0.6 mmol) and sulfonamide (0.4 mmol) as the substrates. Pure **3t**was obtained as white crystalline solid in 76% (150mg) yield after column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 20:80).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.76 (dd, *J*=4.1, 1.3, 1H), 8.12 (dd, *J*=8.3, 1.3, 1H), 7.83 (d, *J*=8.2, 1H), 7.74 (d, *J*=8.7, 1H), 7.68 (d, *J*=6.8, 1H), 7.42 (t, *J*=7.8, 1H), 7.38 – 7.31 (m, 4H), 7.25 (dd, *J*=6.7, 2.9, 3H), 7.00 – 6.94 (m, 1H), 6.89 (d, *J*=2.3, 1H), 6.49 (s, 1H), 4.95 (s, 2H), 3.88 (s, 4H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 168.7, 162.3, 151.4, 145.7, 137.6, 136.1, 135.4, 135.0, 132.1, 129.9, 129.2, 128.6, 128.5, 128.4, 126.0, 123.9, 121.9, 114.5, 110.8, 110.5, 66.9, 55.71, 40.1.

HRMS (ESI-QTOF)calculated. For $C_{27}H_{22}N_2NaO_5S$ m/z 509.1142 and found m/z 509.1142.



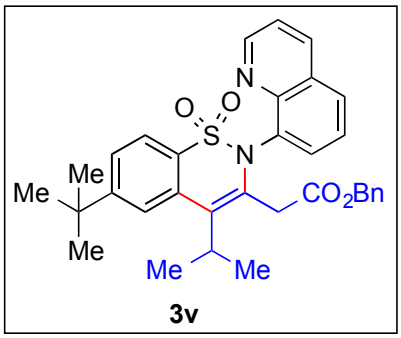
ethyl 2-(6-methyl-1,1-dioxido-4-phenyl-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazin-3-yl)acetatewas synthesized by general procedure C with allenylphosphonates(0.8 mmol) and sulfonamide (0.4 mmol) as the substrates. Pure **3u**was obtained as white crystalline solid in 49% (120mg) yield with 2:1 mixture of regioisomers after column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 70:30).black solid.

^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.94 (d, *J*=3.0, 1H), 8.89 (d, *J*=3.0, 1H), 8.75 (d, *J*=3.3, 1H), 8.16 (d, *J*=8.0, 1H), 8.12 – 8.04 (m, 1H), 7.97 (d, *J*=8.1, 1H), 7.87 (d, *J*=8.1, 1H), 7.86 – 7.78

(m, 1H), 7.75 (dt, *J*=8.7, 4.5, 2H), 7.60 – 7.50 (m, 4H), 7.50 – 7.36 (m, 6H), 7.26 (s, 5H), 7.13 (dd, *J*=15.2, 7.8, 1H), 7.09 – 6.99 (m, 3H), 6.83 (s, 1H), 4.31 – 4.13 (m, 2H), 3.83 – 3.68 (m, 1H), 3.63 (s, 2H), 3.09 (dd, *J*=92.0, 16.2, 1H), 2.49 (d, *J*=12.8, 3H), 2.33 (s, 2H), 2.29 (s, 1H), 1.37 – 1.22 (m, 10H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 172.3, 169.4, 151.5, 150.8, 145.5, 142.9, 142.5, 142.1, 136.7, 136.0, 135.5, 135.0, 134.8, 134.6, 134.3, 132.3, 130.9, 130.5, 130.0, 129.9, 129.6, 129.0, 128.9, 128.8, 128.7, 128.6, 128.1, 127.8, 127.7, 127.3, 126.0, 125.7, 125.6, 122.5, 122.0, 121.6, 115.1, 61.2, 60.9, 38.6, 36.5, 31.5, 30.3, 29.8, 27.8, 22.2, 21.9, 14.3, 13.9.

HRMS (ESI-QTOF)calculated. For$C_{28}H_{25}N_2O_4S$; m/z 485.1457 and found m/z 485.1462



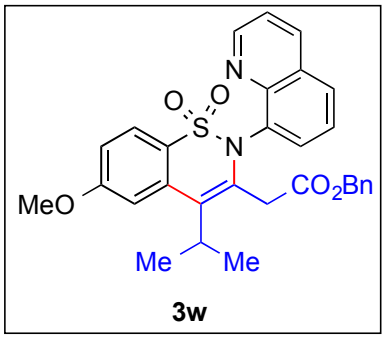
3v

benzyl 2-(6-(tert-butyl)-4-isopropyl-1,1-dioxido-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazin-3-yl)acetate was synthesized by general procedure C with benzyl allenoate(0.6 mmol) and sulfonamide (0.4 mmol) as the substrates. Pure **3** was obtained as white crystalline solid in 41% yield after column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 20:80).

^{1}H NMR (500 MHz, $CDCl_3$) δ = 8.84 (dd, *J*=4.1, 1.6, 1H), 8.13 (dt, *J*=9.9, 4.9, 1H), 7.96 (t, *J*=4.2, 1H), 7.79 (dd, *J*=8.1, 1.3, 1H), 7.73 (d, *J*=8.2, 1H), 7.53 – 7.49 (m, 1H), 7.44 (dt, *J*=8.0, 2.2, 1H), 7.41 – 7.31 (m, 6H), 7.27 – 7.23 (m, 2H), 4.99 (s, 2H), 3.30 (dq, *J*=14.5, 7.3, 1H), 1.46 – 1.42 (m, 11H), 1.35 (s, 1H), 1.26 (s, 1H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 169.39, 154.26, 151.25, 146.10, 135.98, 135.57, 133.80, 133.50, 132.41, 132.13, 131.35, 129.39, 129.35, 129.14, 128.78, 128.56, 128.36, 126.01, 124.71, 123.51, 122.11, 121.89, 66.99, 37.51, 35.42, 31.42, 29.83, 27.16.

HRMS (ESI-QTOF)calculated. For$C_{33}H_{35}N_2O_4S$; m/z 555.2312 and found m/z 555.2314



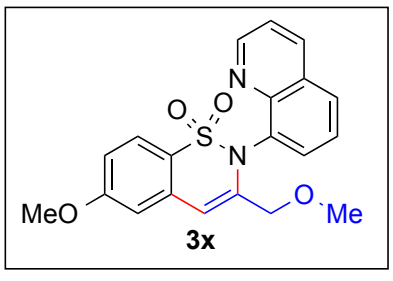
3w

benzyl 2-(4-isopropyl-6-methoxy-1,1-dioxido-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazin-3-yl)acetate was synthesized by general procedure C with benzyl allenoate(0.6 mmol) and sulfonamide (0.4 mmol) as the substrates. Pure **3** was obtained as white crystalline solid in 38% yield after column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 20:80).

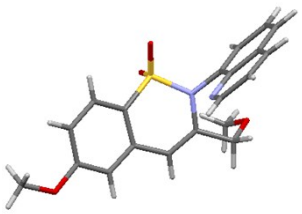
^{1}H NMR (500 MHz, $CDCl_3$) δ = 8.82 (d, *J*=3.0, 1H), 8.16 – 8.09 (m, 2H), 7.88 (d, *J*=8.9, 1H), 7.78 (t, *J*=9.0, 1H), 7.74 (d, *J*=8.7, 1H), 7.49 – 7.45 (m, 2H), 7.42 – 7.32 (m, 8H), 7.27 (dd, *J*=7.7, 4.6, 3H), 6.99 (dd, *J*=8.6, 2.1, 1H), 6.84 (d, *J*=8.9, 1H), 5.01 (s, 2H), 3.94 (s, 1H), 3.78 (d, *J*=8.2, 2H), 3.31 (dt, *J*=14.5, 7.2, 1H), 1.47 (d, *J*=6.7, 5H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 169.20, 161.48, 151.22, 148.74, 145.98, 135.97, 135.85, 135.58, 133.61, 133.26, 131.32, 129.53, 129.32, 129.16, 128.72, 128.63, 128.58, 128.39, 127.78, 127.01, 126.03, 124.33, 122.12, 122.06, 121.87, 114.18, 112.55, 112.08, 67.04, 55.74, 37.57, 29.78, 21.93.

HRMS (ESI-QTOF)calculated. For$C_{30}H_{29}N_2O_5S$; m/z 529.1792 and found m/z 529.1795



3x



CCDC 1504460

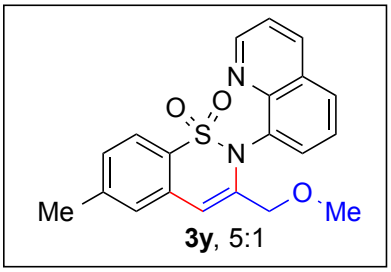
6-methoxy-3-(methoxymethyl)-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide was synthesized by general procedure C with methoxyallene (0.8 mmol) and sulfonamide (0.4 mmol) as the substrates. Pure **4g**was obtained as white crystalline solid in 82% (92mg)

yield after column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 70:30).

^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.75 (d, *J*=3.9, 1H), 8.16 (d, *J*=8.3, 1H), 7.88 (t, *J*=7.6, 2H), 7.74 (d, *J*=8.6, 1H), 7.65 – 7.52 (m, 1H), 7.37 (dd, *J*=8.2, 4.2, 1H), 6.98 (dd, *J*=12.8, 4.1, 2H), 6.60 (s, 1H), 4.47 (s, 1H), 3.92 (d, *J*=2.4, 1H), 3.91 (s, 3H), 3.88 (s, 2H), 3.41 (s, 1H), 3.27 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 162.3, 151.3, 145.7, 141.4, 136.1, 135.0, 132.6, 131.7, 129.7, 129.2, 126.1, 125.0, 124.0, 121.9, 114.5, 110.7, 109.2, 71.8, 58.0, 55.7.

Crystal structure is also reported for this compound.



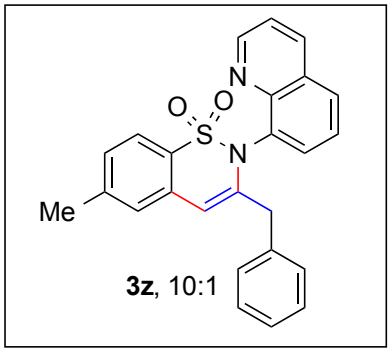
3y, 5:1

3-(methoxymethyl)-6-methyl-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxidewas synthesized by general procedure C with allenylphosphonates(0.8 mmol) and sulfonamide (0.4 mmol) as the substrates. Pure **3w**was obtained as white crystalline solid in 35% (52mg) yield after column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 70:30). (major compound peaks)

^{1}H NMR (400 MHz, $CDCl_3$) δ = 8.73 (dd, *J*=4.2, 1.7, 1H), 8.15 (dd, *J*=8.3, 1.6, 1H), 7.89 (dd, *J*=8.6, 7.2, 2H), 7.71 (d, *J*=8.0, 1H), 7.58 (td, *J*=7.8, 5.2, 1H), 7.35 (dt, *J*=12.8, 6.4, 1H), 7.28 (dd, *J*=13.0, 4.9, 2H), 6.61 (s, 1H), 3.88 (s, 2H), 3.27 (s, 3H), 2.48 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 151.3, 145.7, 142.5, 140.7, 136.0, 132.9, 132.8, 131.7, 129.7, 129.7, 129.2, 128.7, 127.4, 126.1, 121.9, 121.9, 109.6, 71.8, 57.9, 21.8.

HRMS (ESI-QTOF)calculated. For$C_{20}H_{18}N_2O_3S$; m/z 389.0933and found m/z 389.0930

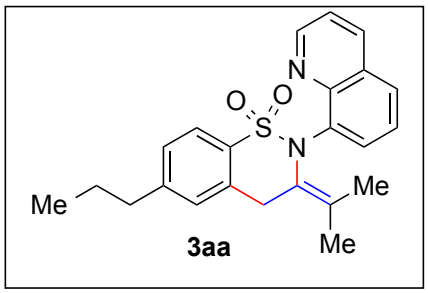


3-benzyl-6-methyl-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxidewas synthesized by general procedure C with phenylallene(1.6 mmol) and sulfonamide (0.4 mmol) as the substrates. Pure **3x**was obtained as white solid in 24% (40 mg) yield with 10:1 mixture of regioisomers after column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 80:20).

^{1}H NMR (500 MHz, $CDCl_3$) δ = 8.80 (dd, *J*=4.2, 1.7, 1H), 8.17 (dd, *J*=8.3, 1.7, 1H), 7.87 (dd, *J*=8.2, 1.4, 1H), 7.73 (d, *J*=7.8, 1H), 7.53 (dd, *J*=7.4, 1.4, 1H), 7.49 – 7.43 (m, 2H), 7.40 (dd, *J*=8.3, 4.2, 1H), 7.28 – 7.17 (m, 6H), 6.27 (s, 1H), 3.58 (d, *J*=16.7, 1H), 3.37 (t, *J*=18.9, 1H), 2.48 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 151.2, 145.6, 143.5, 142.3, 136.6, 135.9, 133.3, 132.5, 131.9, 129.4, 129.0, 128.3, 127.9, 127.0, 126.6, 125.8, 121.7, 109.5, 40.5, 21.6.

HRMS (ESI-QTOF)calculated. For$C_{25}H_{20}KN_2O_2S$; m/z 451.0874and found m/z 451.0877



3-(propan-2-ylidene)-6-propyl-2-(quinolin-8-yl)-3,4-dihydro-2H-benzo[e][1,2]thiazine 1,1-dioxidewas synthesized by general procedure C with dimethylallene (0.8 mmol) and sulfonamide (0.4 mmol) as the substrates. Pure **3y**was obtained as liquid in 22% yield after column chromatography of the crude reaction mixture (silica gel, mesh 100-200; petroleum ether: ethyl acetate; 80:20).

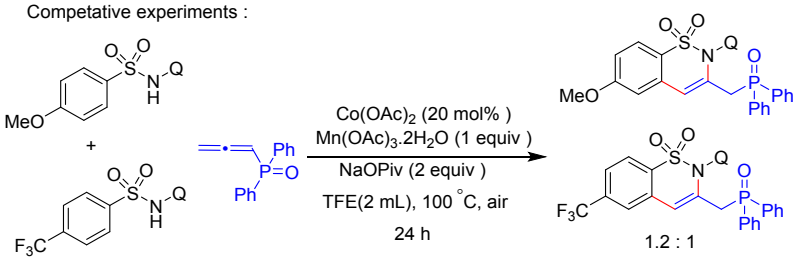
^{1}H NMR (500 MHz, $CDCl_3$) δ = 8.74 (dd, *J*=4.2, 1.8, 1H), 8.13 (dd, *J*=8.3, 1.7, 1H), 7.74 (dd, *J*=7.8, 1.8, 1H), 7.66 (d, *J*=7.9, 1H), 7.47 – 7.41 (m, 3H), 7.38 – 7.35 (m, 3H), 7.16 (dd,

J=7.9, 1.5, 1H), 4.89 (s, 2H), 2.74 – 2.70 (m, 3H), 2.11 (s, 4H), 1.82 (s, 4H), 1.78 – 1.70 (m, 4H), 1.01 (dd, *J*=8.9, 5.7, 4H).

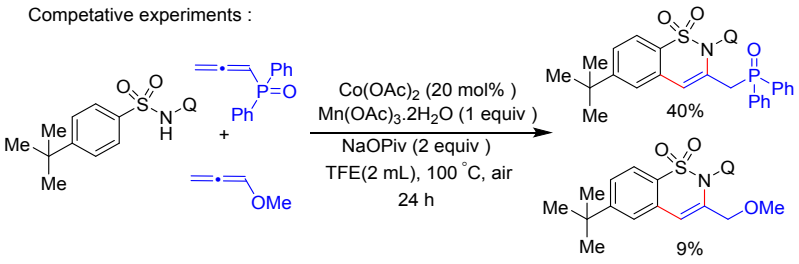
^{13}C NMR (126 MHz, $CDCl_3$) δ 150.25, 146.29, 145.20, 138.64, 136.52, 136.26, 135.98, 133.79, 130.49, 129.58, 129.22, 128.01, 126.68, 126.19, 123.24, 122.71, 121.50, 55.70, 38.28, 24.61, 23.93, 20.92, 13.82.

LR-MS (ESI): $[M+1]^+$ calculated for $C_{23}H_{24}N_2O_2S$*m/z* 393.1558.

Competitive experiments:



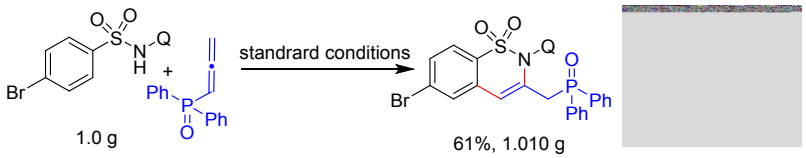
Intermolecular competitive experiment was carried out reacting with*p*-methoxy sulfonamide - **1g** and *p*-triflouromethyl sulfonamide**1j** with allenylphosphonates under standard reaction conditions. The yield ratio was obtained in 1.2: 1. The yield was determined by ^{1}HNMR spectroscopic analysis of the crude product.



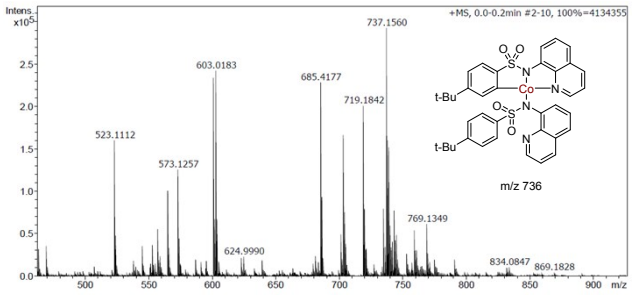
Intermolecular competitive experiment was carried out reacting with*p*-t-butyl sulfonamide - **1e**withmethoxyallene andallenylphosphonates under standard reaction conditions. The yield ratio was obtained in 40: 9. The yield was determined by ^{1}HNMR spectroscopic analysis of the crude product.

Gram-scale reaction:

Procedural simplicity of the present method was demonstrated with gram-scale reactions with simple laboratory set-up. Gratifyingly, the synthetic yields of the gram-scale reactions were found to be comparable with reactions performed in small batches.



ESI-MS spectra for intermediate B



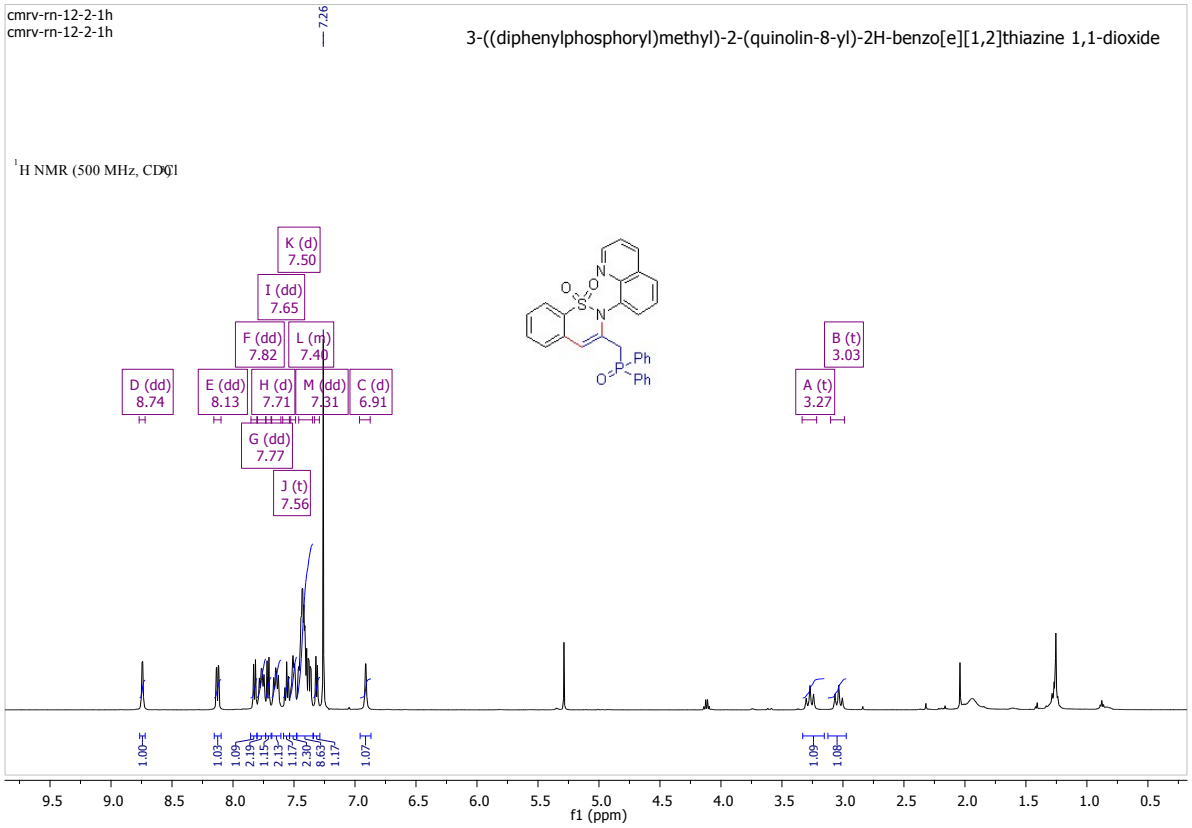
1). Aihara, Y.; Chatani, N. *J.Am.Chem.Soc.***2013**, 135, 5308−531.

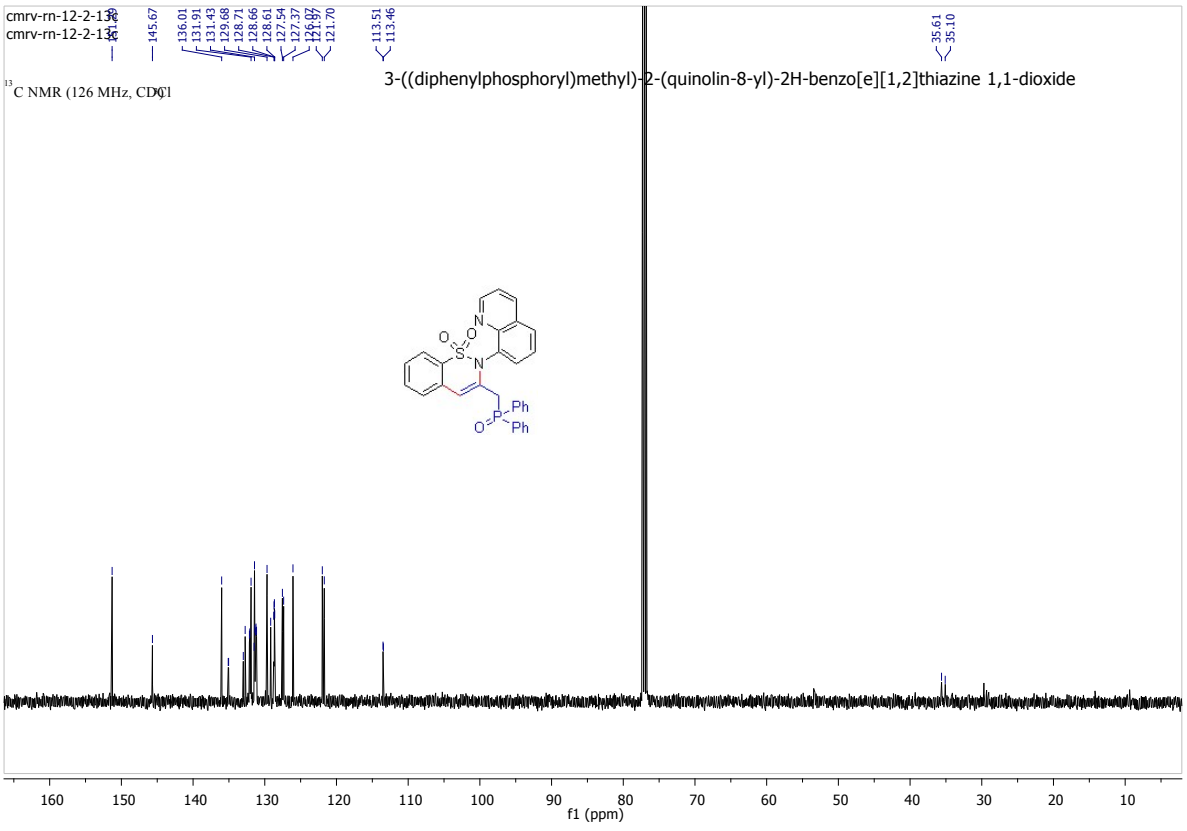
2). For allenylphosphonates: Suresh, R. R.; Swamy, K. C. K. *J. Org. Chem.***2012**, *77*, 6959.

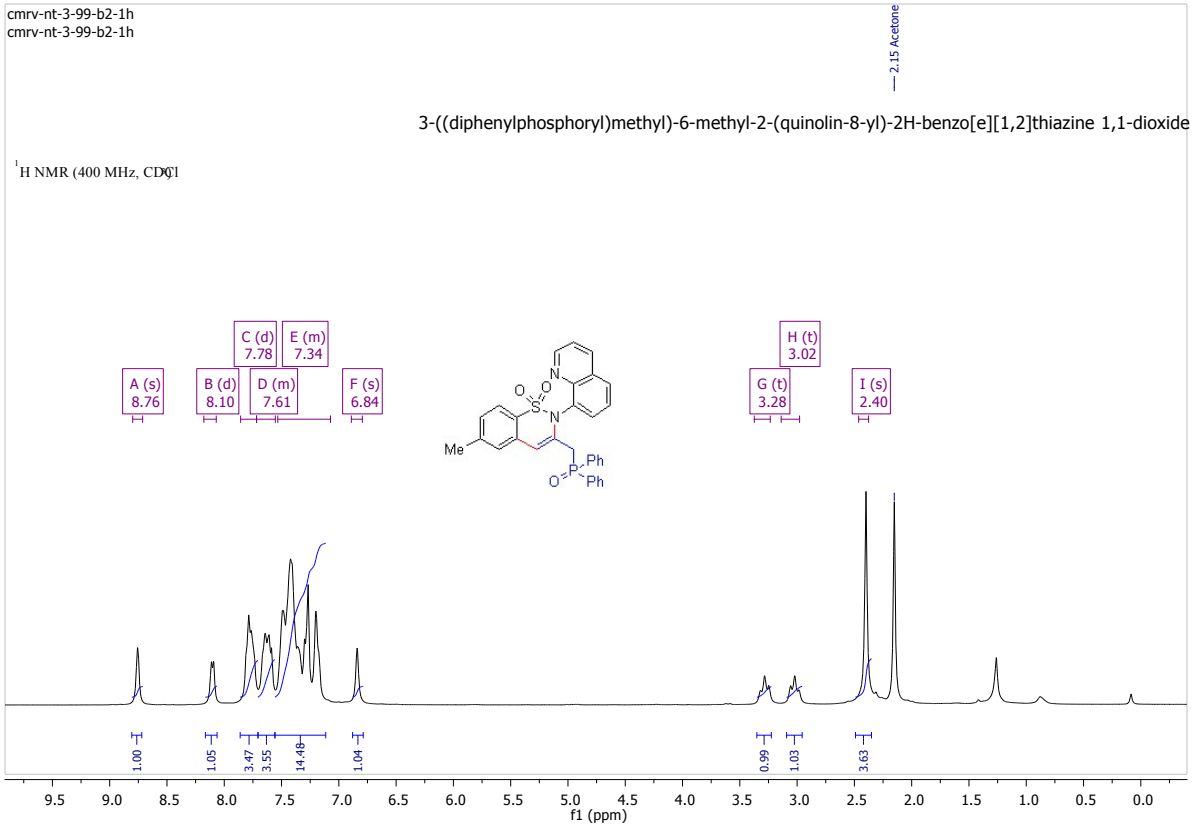
3). For aromatic allenes : Huang, C. W.; Sundaram, S, M.; Chang, H.-M.; Cheng, C.-H. *Tetrahedron,* **2003**, 59, 3635.

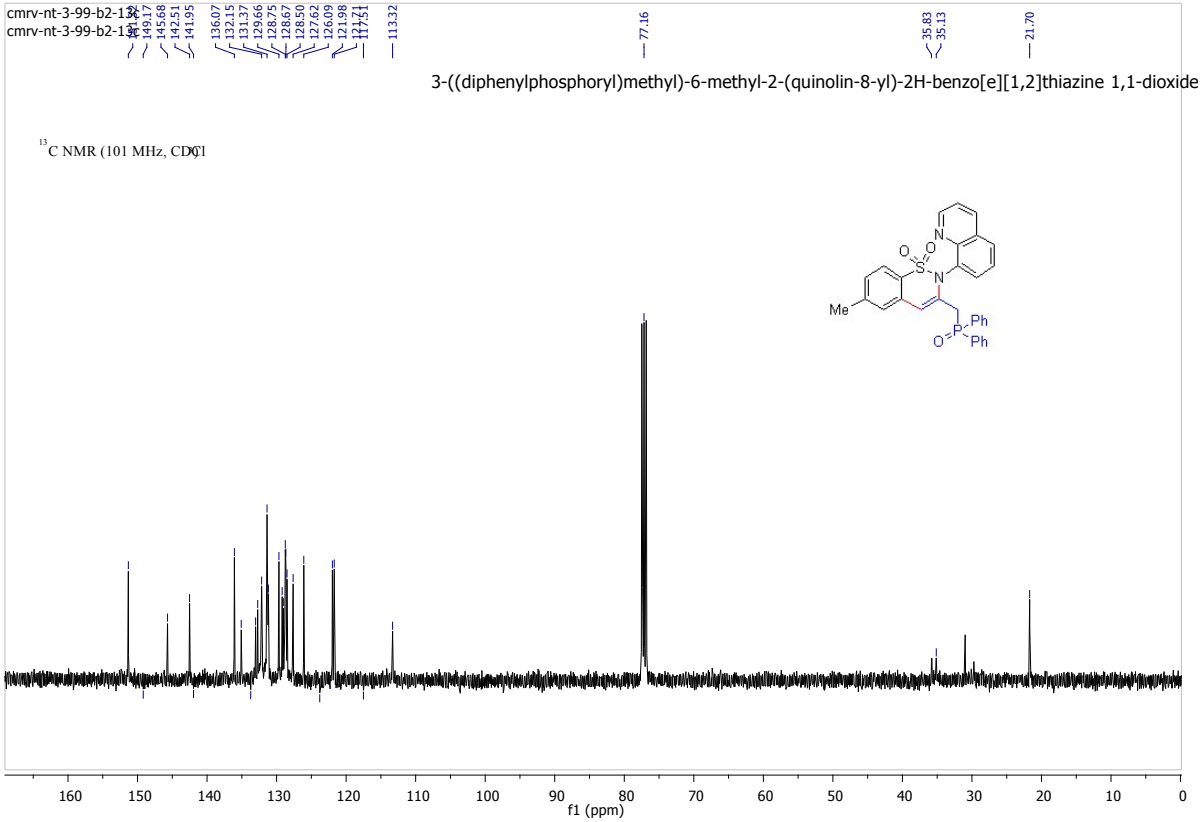
4) Zhang, Xiao-Nan.; Shi, M. *ACS Catal*., **2013**, 3, 507–512.

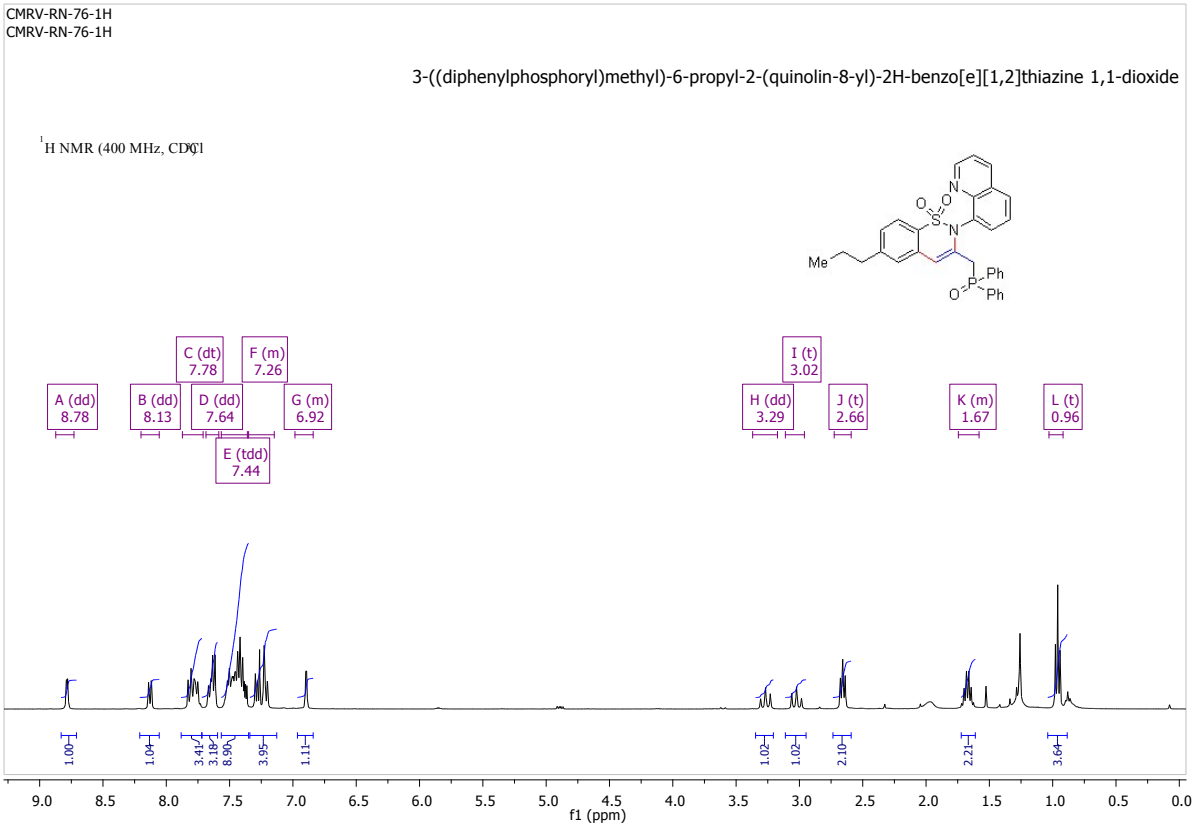
Spectra’s :

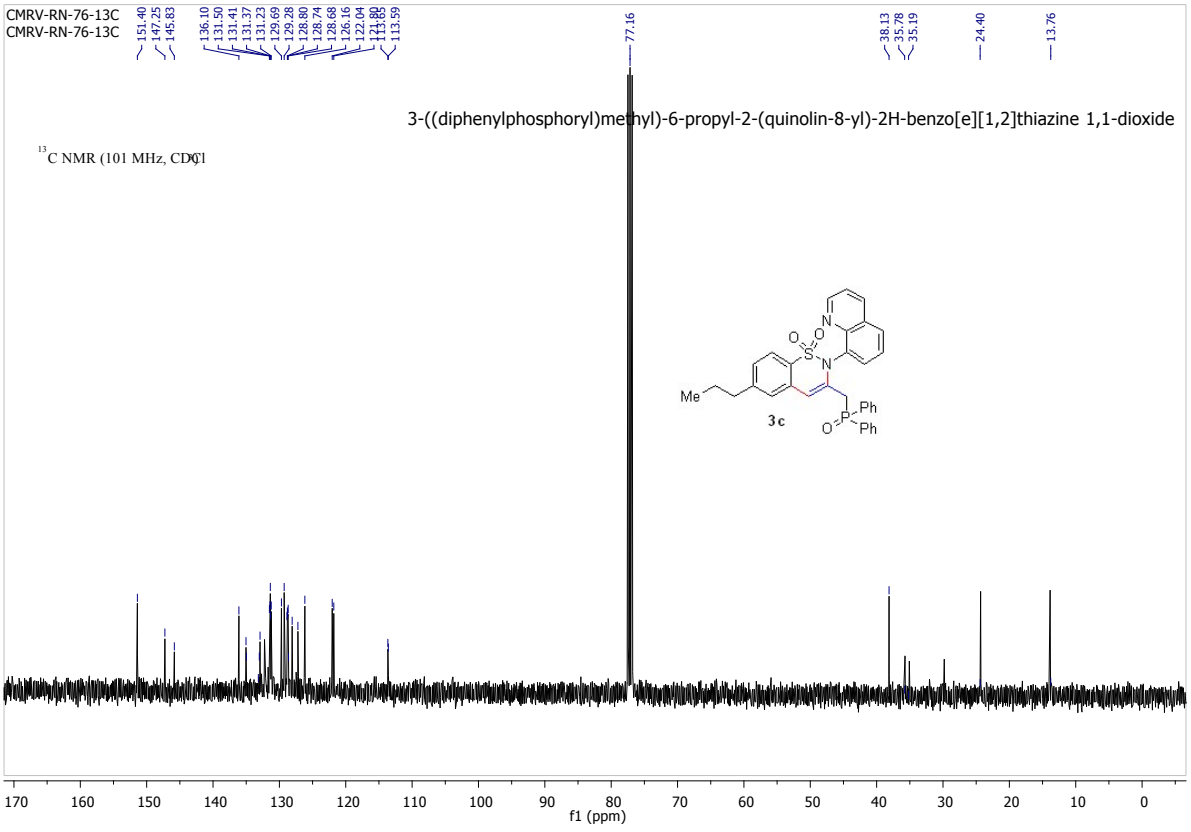

cmrv-rn-12-2-1h
cmrv-rn-12-2-1h
3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
1H NMR (500 MHz, CDCl3)
K (d) 7.50
I (dd) 7.65
F (dd) 7.82
L (m) 7.40
D (dd) 8.74
E (dd) 8.13
H (d) 7.71
M (dd) 7.31
C (d) 6.91
G (dd) 7.77
J (t) 7.56
B (t) 3.03
A (t) 3.27
f1 (ppm)

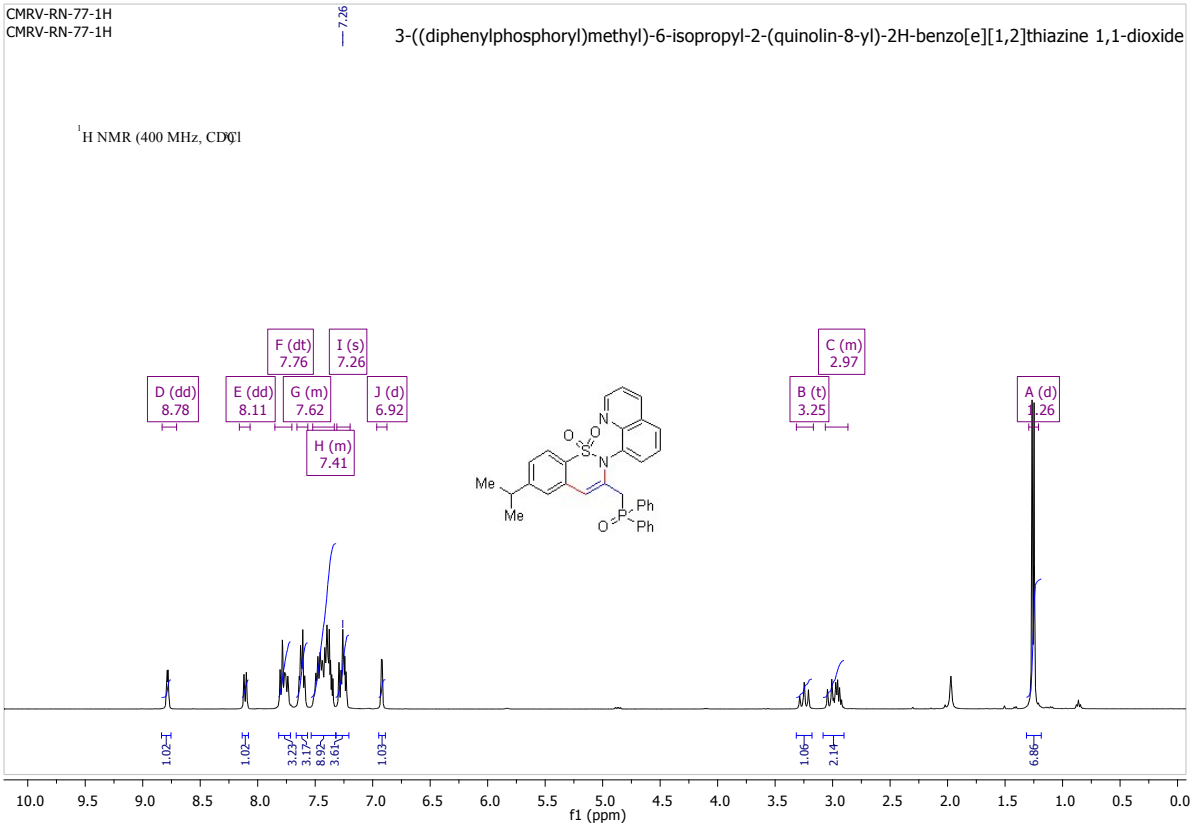

cmrv-rn-12-2-13c
cmrv-rn-12-2-13c
3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
13C NMR (126 MHz, CDCl3)
f1 (ppm)

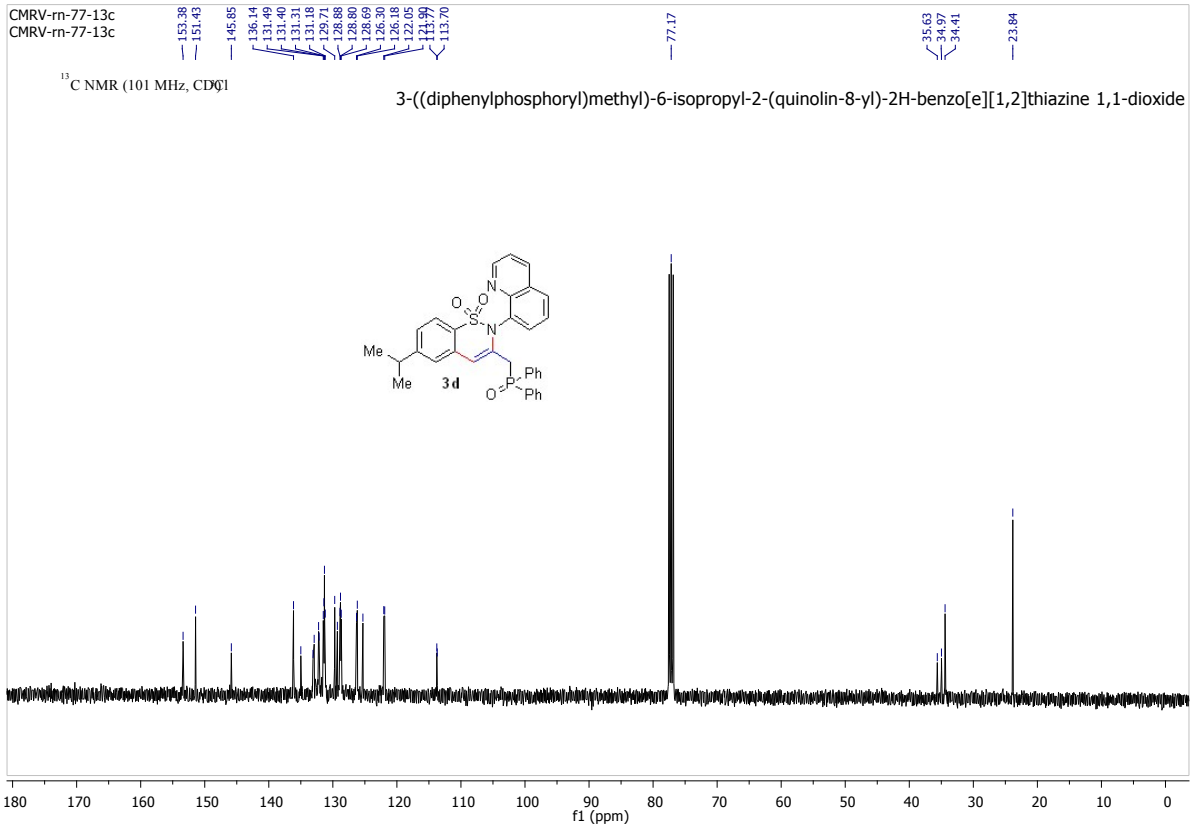

cmrv-nt-3-99-b2-1h
cmrv-nt-3-99-b2-1h
2.15 Acetone
3-((diphenylphosphoryl)methyl)-6-methyl-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
1H NMR (400 MHz, CDCl3)
A (s) 8.76
B (d) 8.10
C (d) 7.78
D (m) 7.61
E (m) 7.34
F (s) 6.84
G (t) 3.28
H (t) 3.02
I (s) 2.40
Me
Ph
Ph
1.00
1.05
3.47
3.55
14.48
1.04
0.99
1.03
3.63
f1 (ppm)

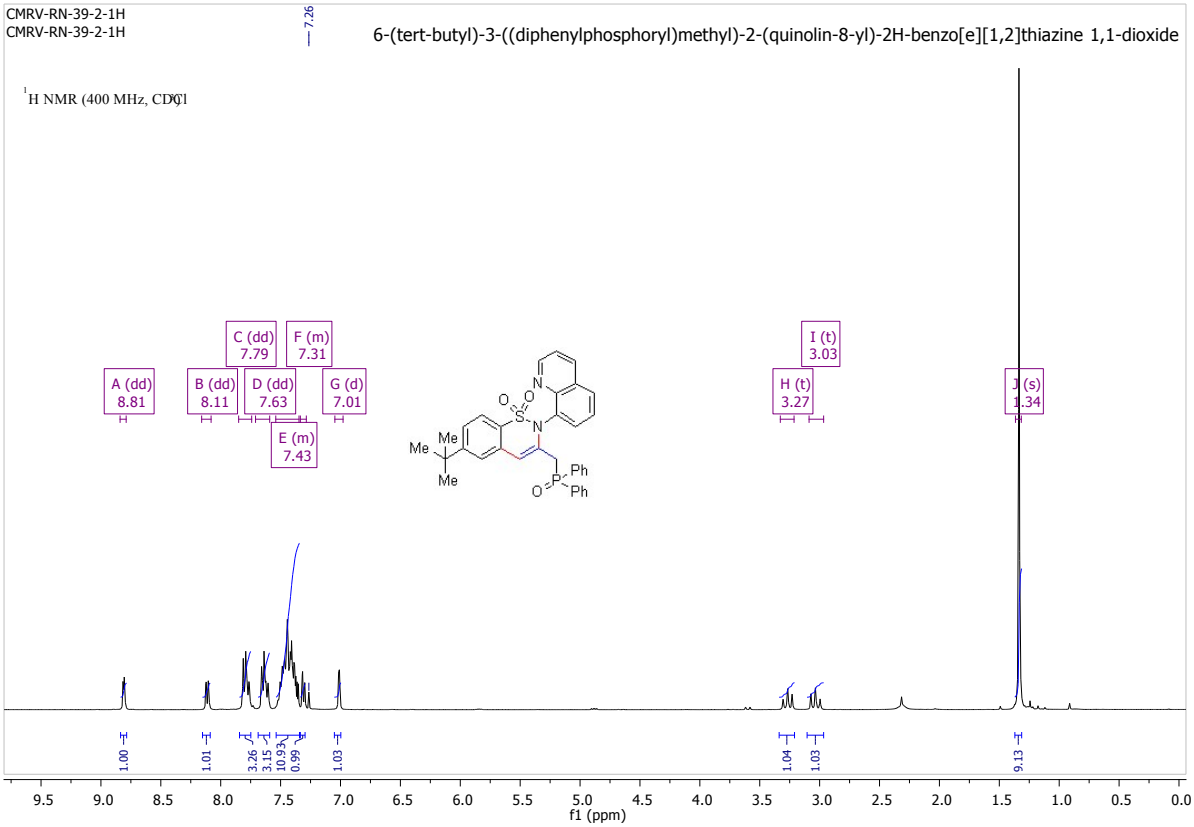

cmrv-nt-3-99-b2-13c
cmrv-nt-3-99-b2-13c
149.17
145.68
142.51
141.95
136.07
132.15
131.37
129.66
128.75
128.67
128.50
127.62
126.09
121.98
117.51
113.32
77.16
35.83
35.13
21.70
3-((diphenylphosphoryl)methyl)-6-methyl-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
13C NMR (101 MHz, CDCl3)
Me
Ph
Ph
f1 (ppm)

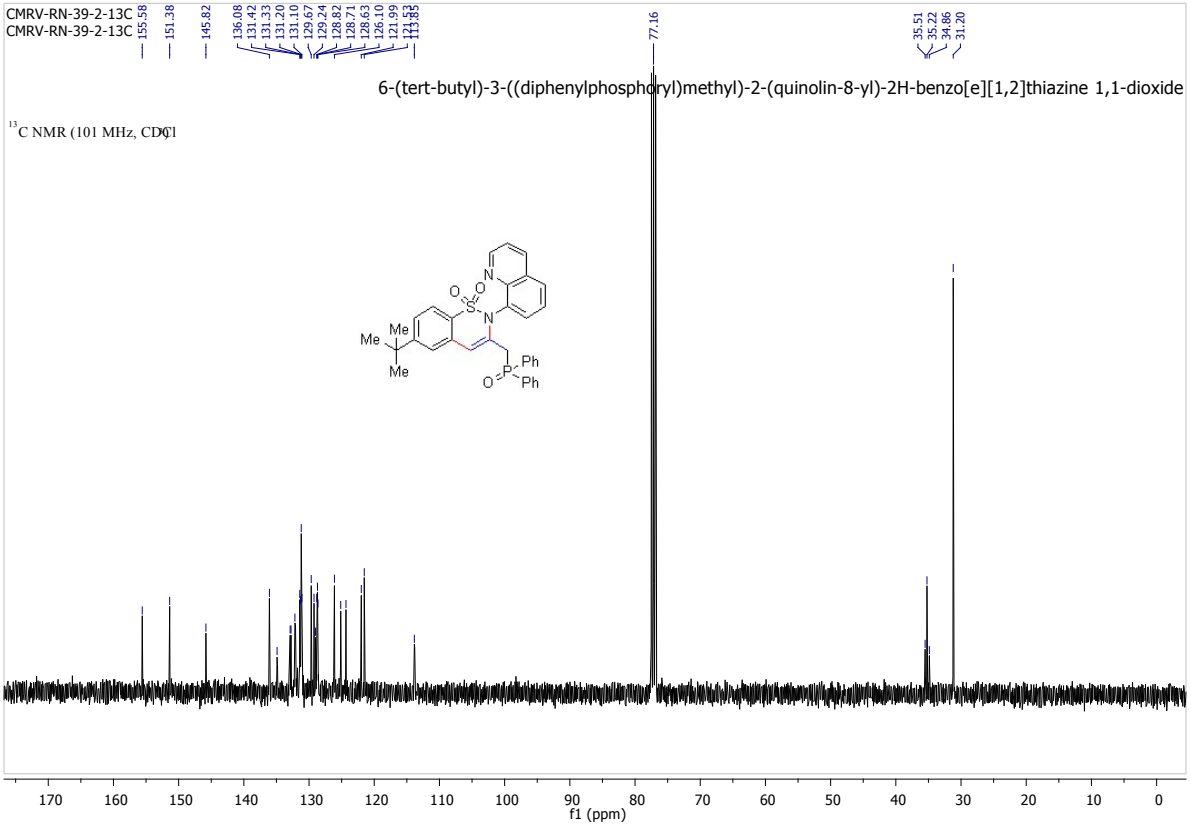

CMRV-RN-76-1H
CMRV-RN-76-1H
3-((diphenylphosphoryl)methyl)-6-propyl-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
1H NMR (400 MHz, CDCl3)
A (dd) 8.78
B (dd) 8.13
C (dt) 7.78
D (dd) 7.64
E (tdd) 7.44
F (m) 7.26
G (m) 6.92
H (dd) 3.29
I (t) 3.02
J (t) 2.66
K (m) 1.67
L (t) 0.96
1.00
1.04
3.41
3.18
8.90
3.95
1.11
1.02
1.02
2.10
2.21
3.64
f1 (ppm)

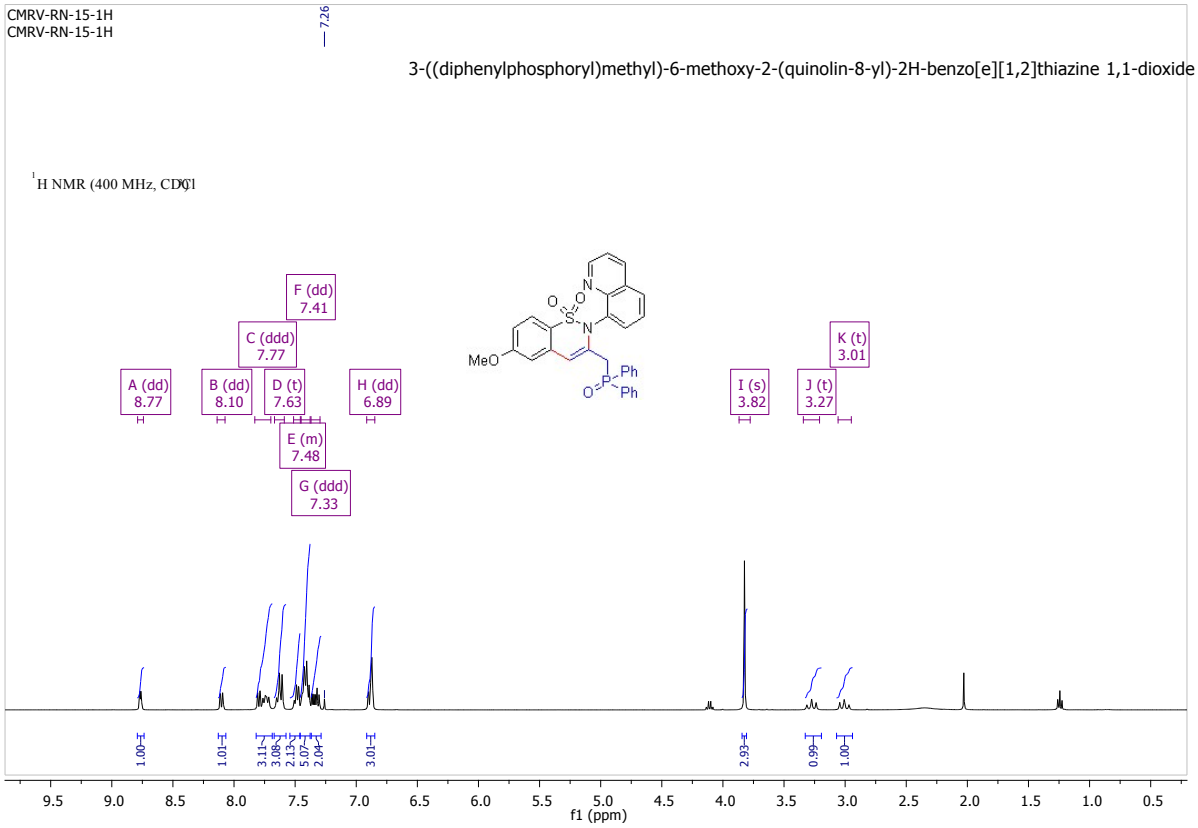

CMRV-RN-76-13C
CMRV-RN-76-13C
151.40
147.25
145.83
136.10
131.50
131.41
131.37
131.23
129.69
129.28
128.80
128.74
128.68
126.16
122.04
121.80
113.88
113.59
77.16
38.13
35.78
35.19
24.40
13.76
3-((diphenylphosphoryl)methyl)-6-propyl-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
13C NMR (101 MHz, CDCl3)
3c
f1 (ppm)

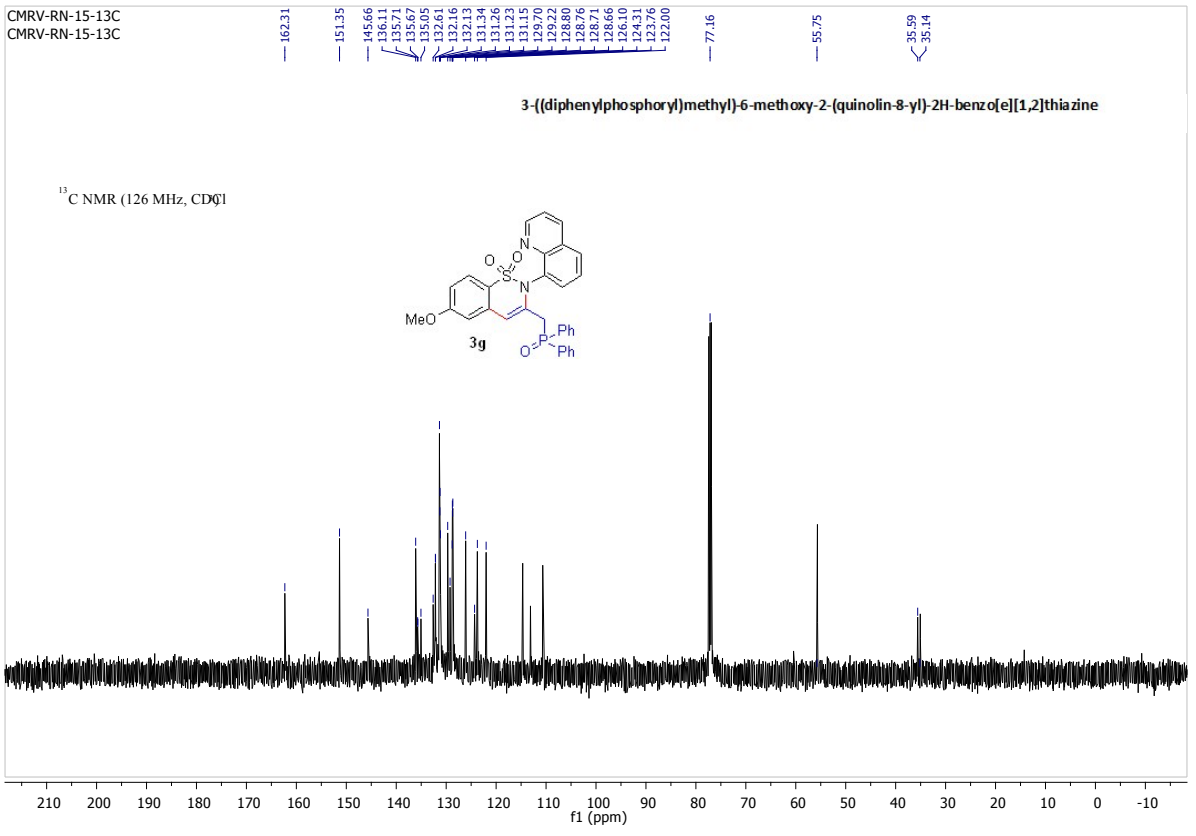

CMRV-RN-77-1H
CMRV-RN-77-1H
7.26
3-((diphenylphosphoryl)methyl)-6-isopropyl-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
1H NMR (400 MHz, CDCl3)
D (dd) 8.78
E (dd) 8.11
F (dt) 7.76
G (m) 7.62
H (m) 7.41
I (s) 7.26
J (d) 6.92
B (t) 3.25
C (m) 2.97
A (d) 1.26
1.02
1.02
3.29
3.17
8.92
3.61
1.03
1.06
2.14
6.86
f1 (ppm)

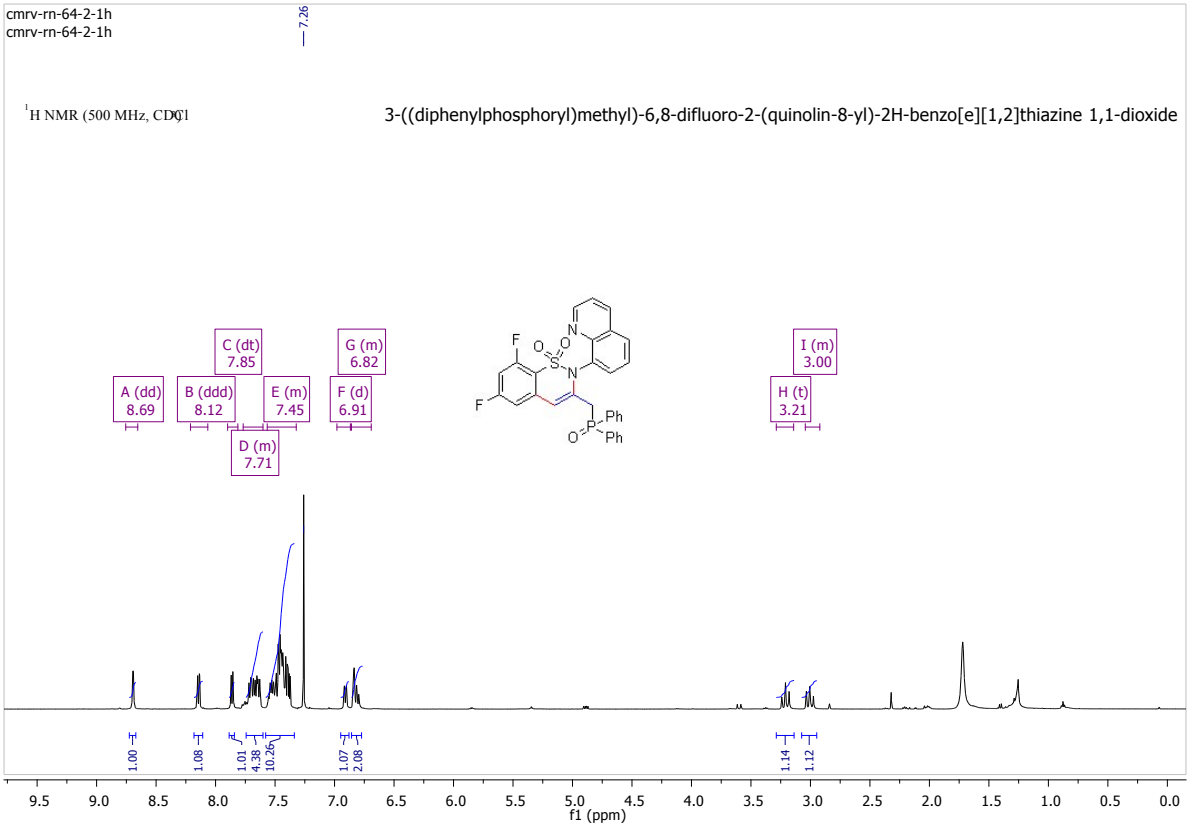

CMRV-rn-77-13c
CMRV-rn-77-13c
153.38
151.43
145.85
136.14
131.49
131.40
131.31
131.18
129.71
128.88
128.80
128.69
126.30
126.18
122.05
113.70
77.17
35.63
34.97
34.41
23.84
13C NMR (101 MHz, CDCl3)
3-((diphenylphosphoryl)methyl)-6-isopropyl-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
3d
f1 (ppm)

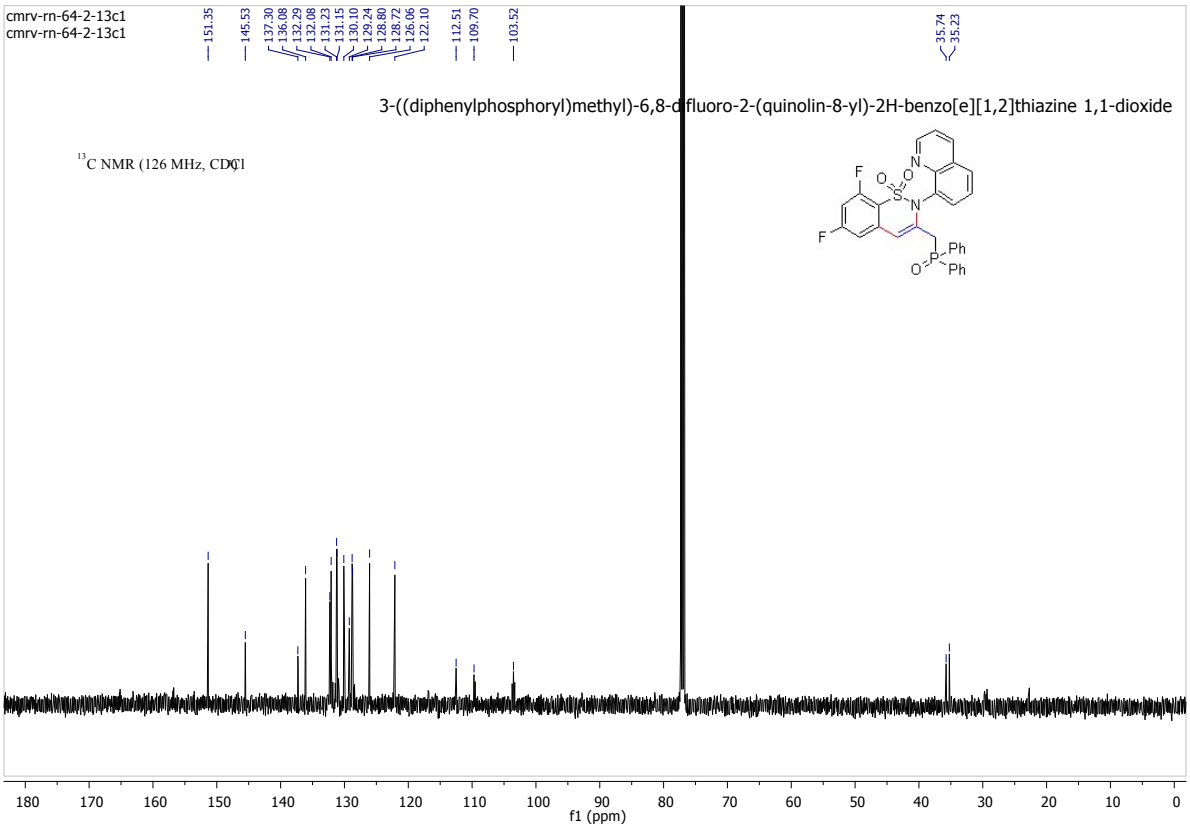

CMRV-RN-39-2-1H
CMRV-RN-39-2-1H
7.26
6-(tert-butyl)-3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
1H NMR (400 MHz, CDCl3)
A (dd) 8.81
B (dd) 8.11
C (dd) 7.79
D (dd) 7.63
E (m) 7.43
F (m) 7.31
G (d) 7.01
H (t) 3.27
I (t) 3.03
J (s) 1.34
1.00
1.01
3.26
3.15
10.93
0.99
1.03
1.04
1.03
9.13
f1 (ppm)

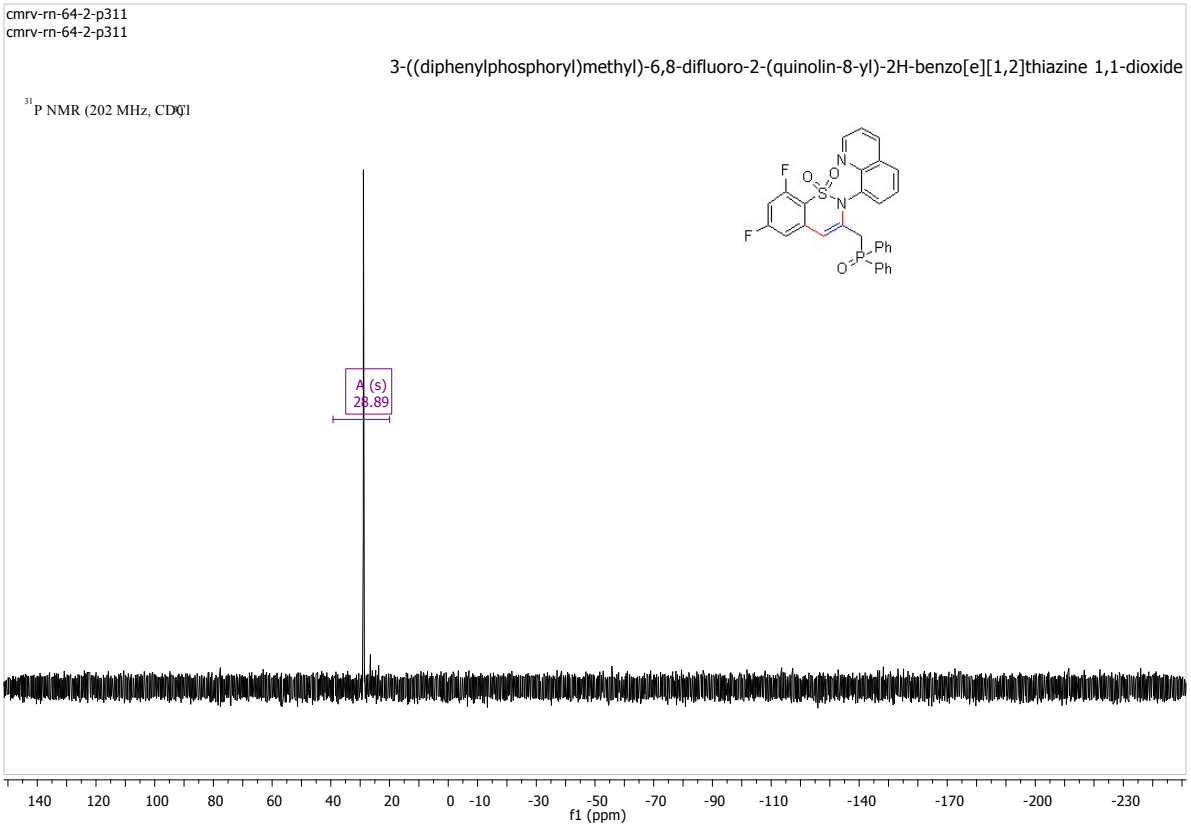

CMRV-RN-39-2-13C
CMRV-RN-39-2-13C
155.58
151.38
145.82
136.08
131.42
131.33
131.20
131.10
129.67
129.24
128.82
128.71
128.63
126.10
121.99
77.16
35.51
35.22
34.86
31.20
6-(tert-butyl)-3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
13C NMR (101 MHz, CDCl3)
f1 (ppm)

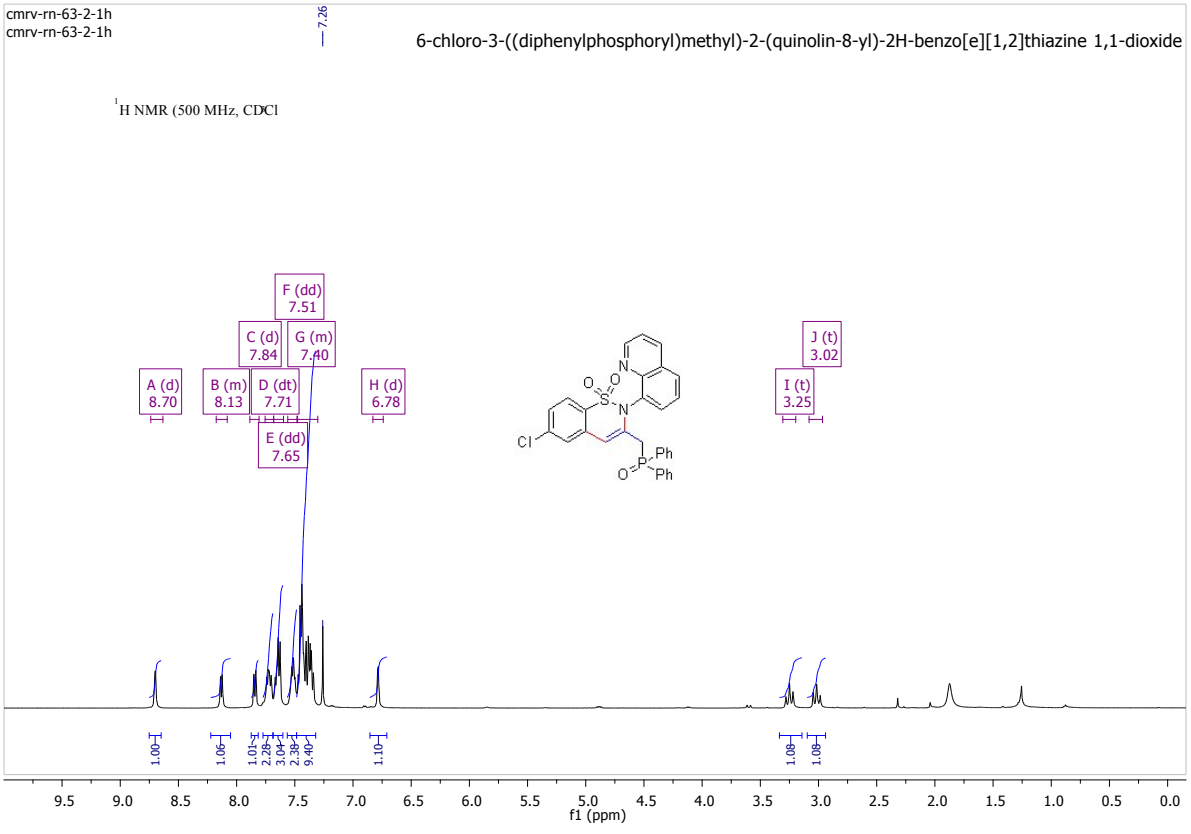

CMRV-RN-15-1H
CMRV-RN-15-1H
7.26
3-((diphenylphosphoryl)methyl)-6-methoxy-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
1H NMR (400 MHz, CDCl3)
A (dd) 8.77
B (dd) 8.10
C (ddd) 7.77
D (t) 7.63
E (m) 7.48
F (dd) 7.41
G (ddd) 7.33
H (dd) 6.89
I (s) 3.82
J (t) 3.27
K (t) 3.01
MeO
Ph
Ph
1.00
1.01
3.11
3.08
2.13
5.07
2.04
3.01
2.93
0.99
1.00
f1 (ppm)

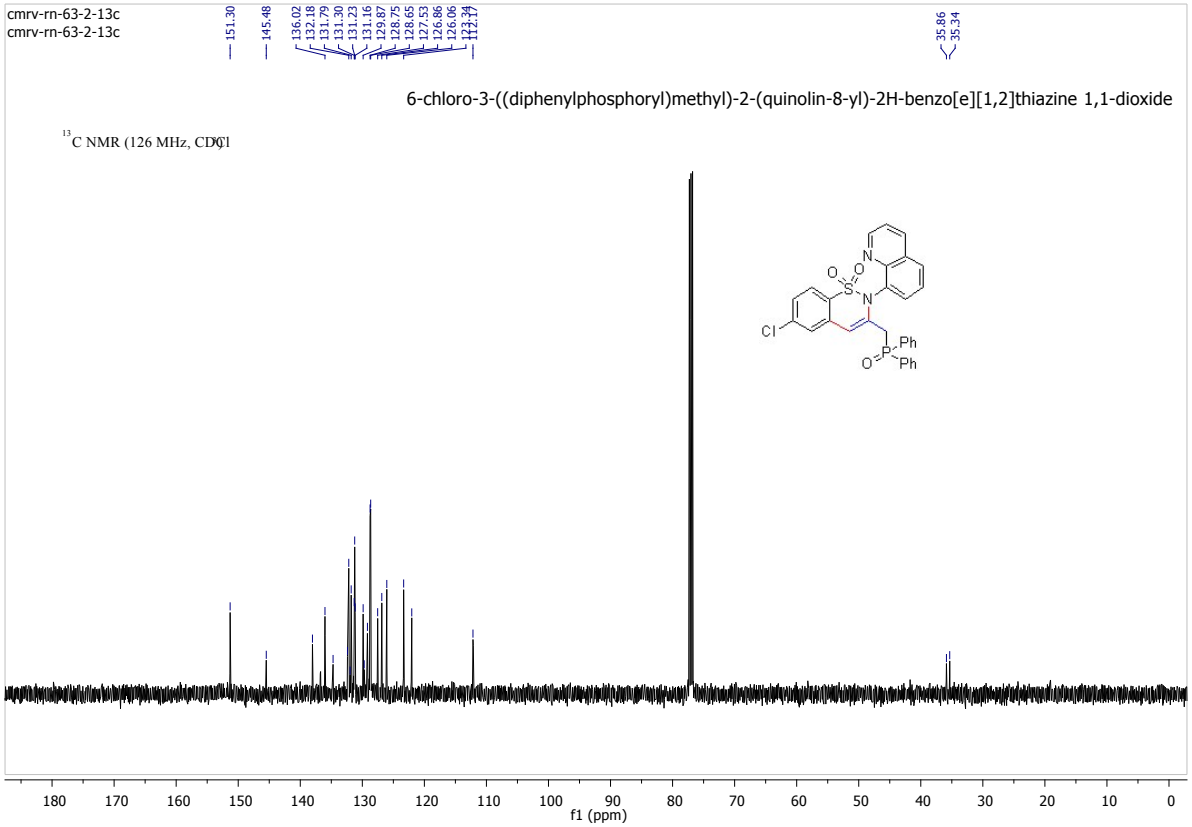

CMRV-RN-15-13C
CMRV-RN-15-13C
162.31
151.35
145.66
136.11
135.71
135.67
135.05
132.61
132.16
132.13
131.34
131.26
131.23
131.15
129.70
129.22
128.80
128.76
128.71
128.66
126.10
124.31
123.76
122.00
77.16
55.75
35.59
35.14
3-((diphenylphosphoryl)methyl)-6-methoxy-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine
13C NMR (126 MHz, CDCl3)
MeO
Ph
Ph
3g
f1 (ppm)

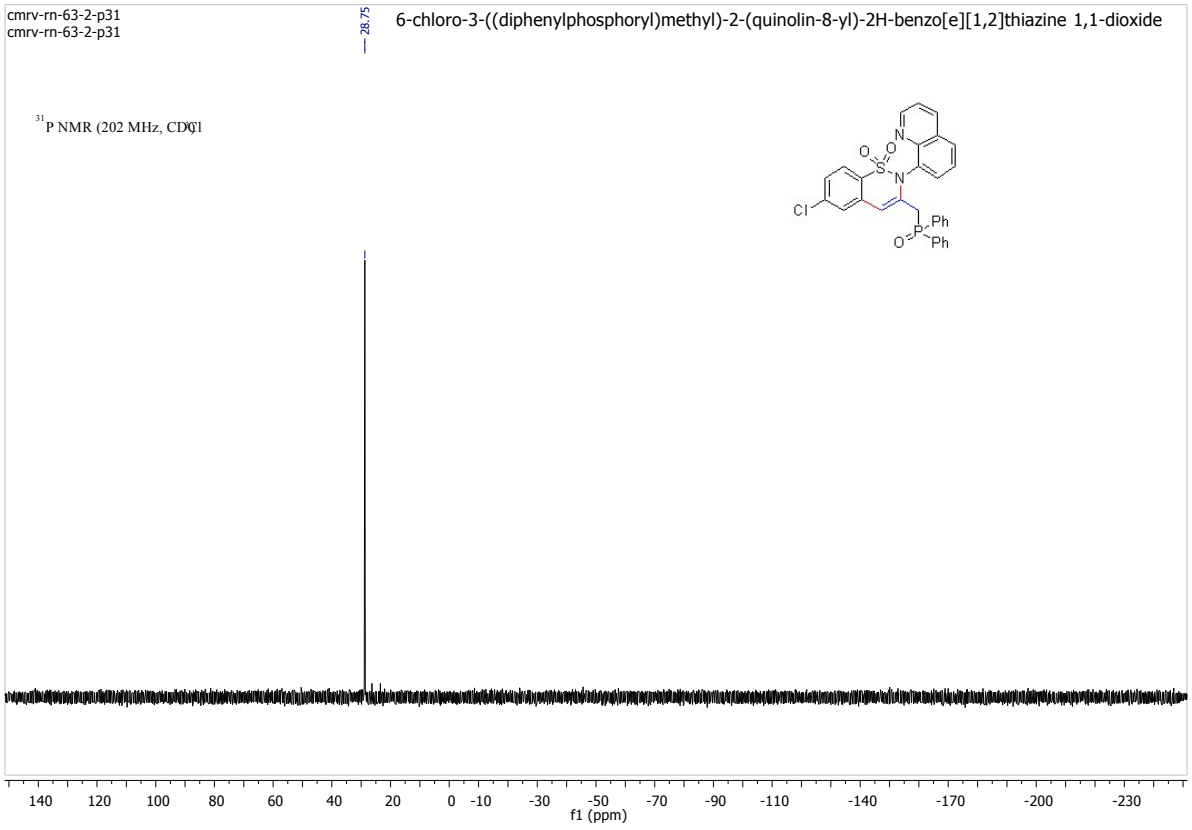

cmrv-rn-64-2-1h
cmrv-rn-64-2-1h
7.26
1H NMR (500 MHz, CDCl3)
3-((diphenylphosphoryl)methyl)-6,8-difluoro-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
A (dd) 8.69
B (ddd) 8.12
C (dt) 7.85
D (m) 7.71
E (m) 7.45
F (d) 6.91
G (m) 6.82
H (t) 3.21
I (m) 3.00
1.00
1.08
1.01
4.38
10.26
1.07
2.08
1.14
1.12
f1 (ppm)

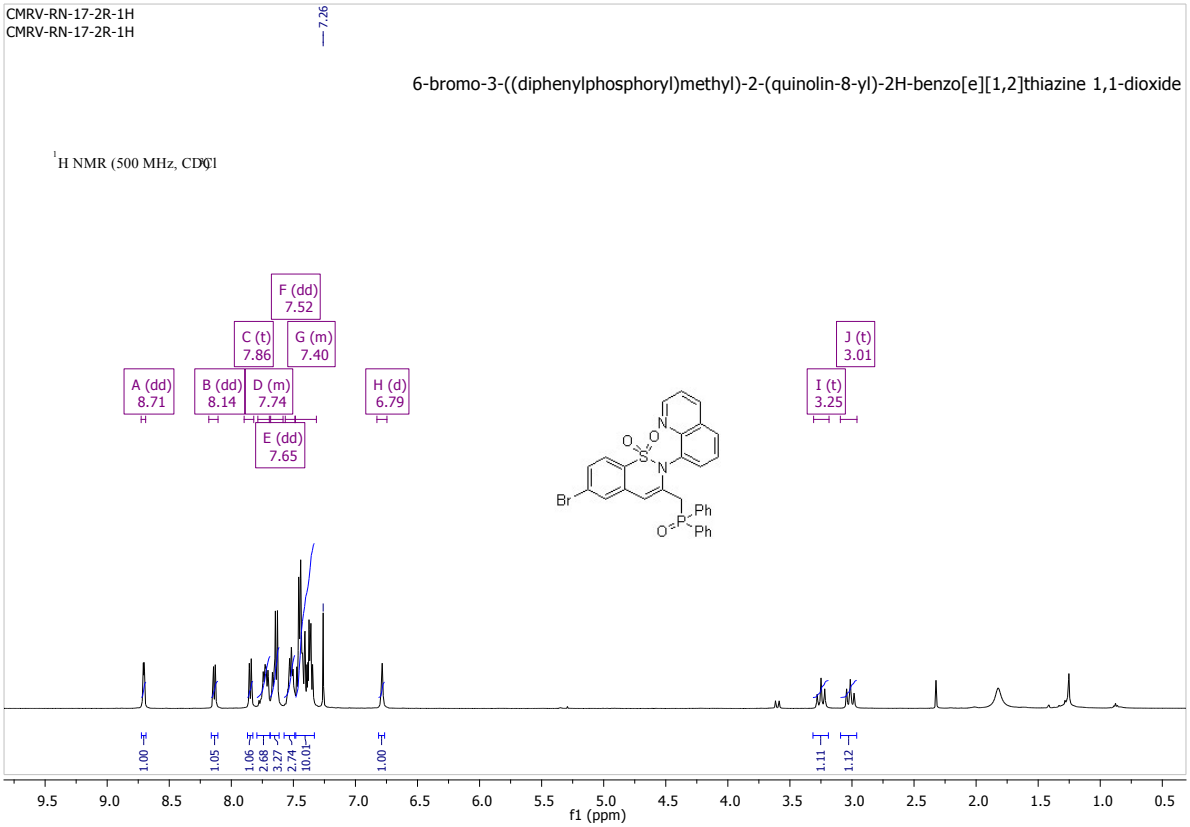

cmrv-rn-64-2-13c1
cmrv-rn-64-2-13c1
151.35
145.53
137.30
136.08
132.29
132.08
131.23
131.15
130.10
129.24
128.80
128.72
126.06
122.10
112.51
109.70
103.52
35.74
35.23
13C NMR (126 MHz, CDCl3)
3-((diphenylphosphoryl)methyl)-6,8-difluoro-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
f1 (ppm)

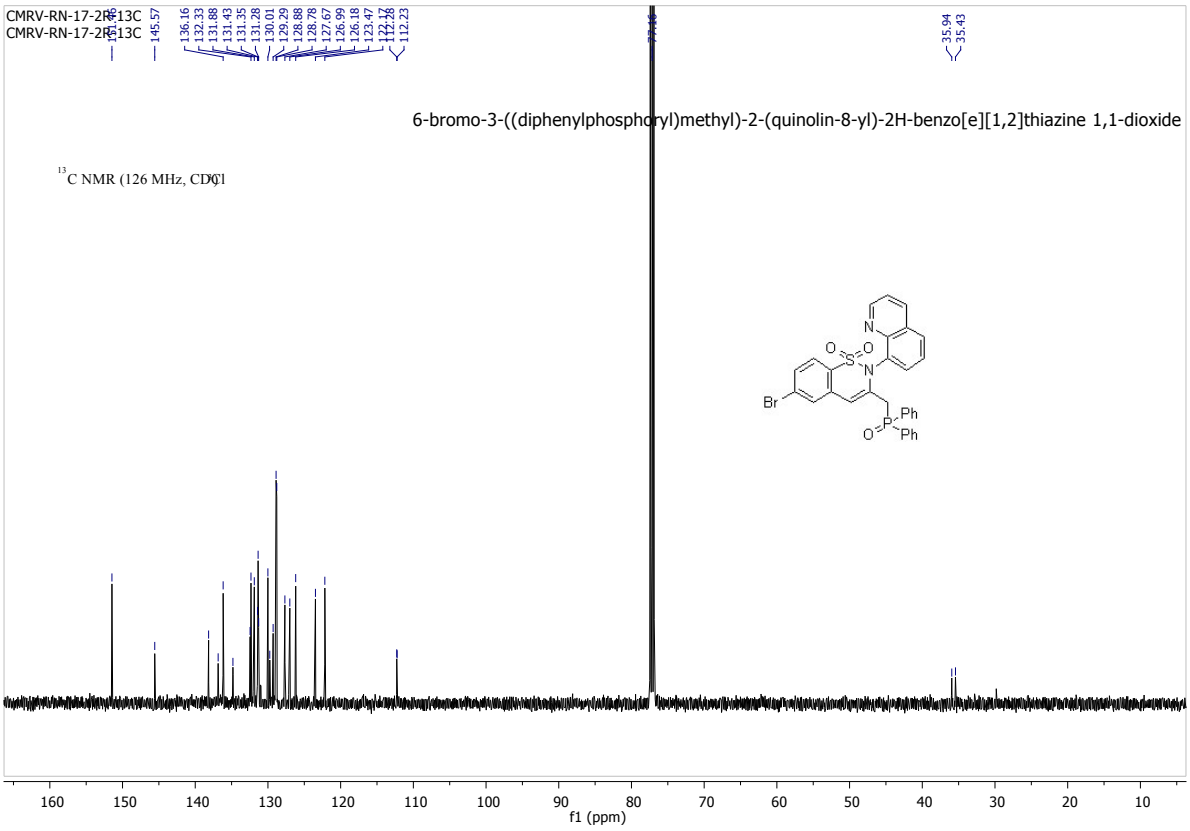

cmrv-rn-64-2-p311
cmrv-rn-64-2-p311
3-((diphenylphosphoryl)methyl)-6,8-difluoro-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
31P NMR (202 MHz, CDCl
A (s)
28.89
f1 (ppm)

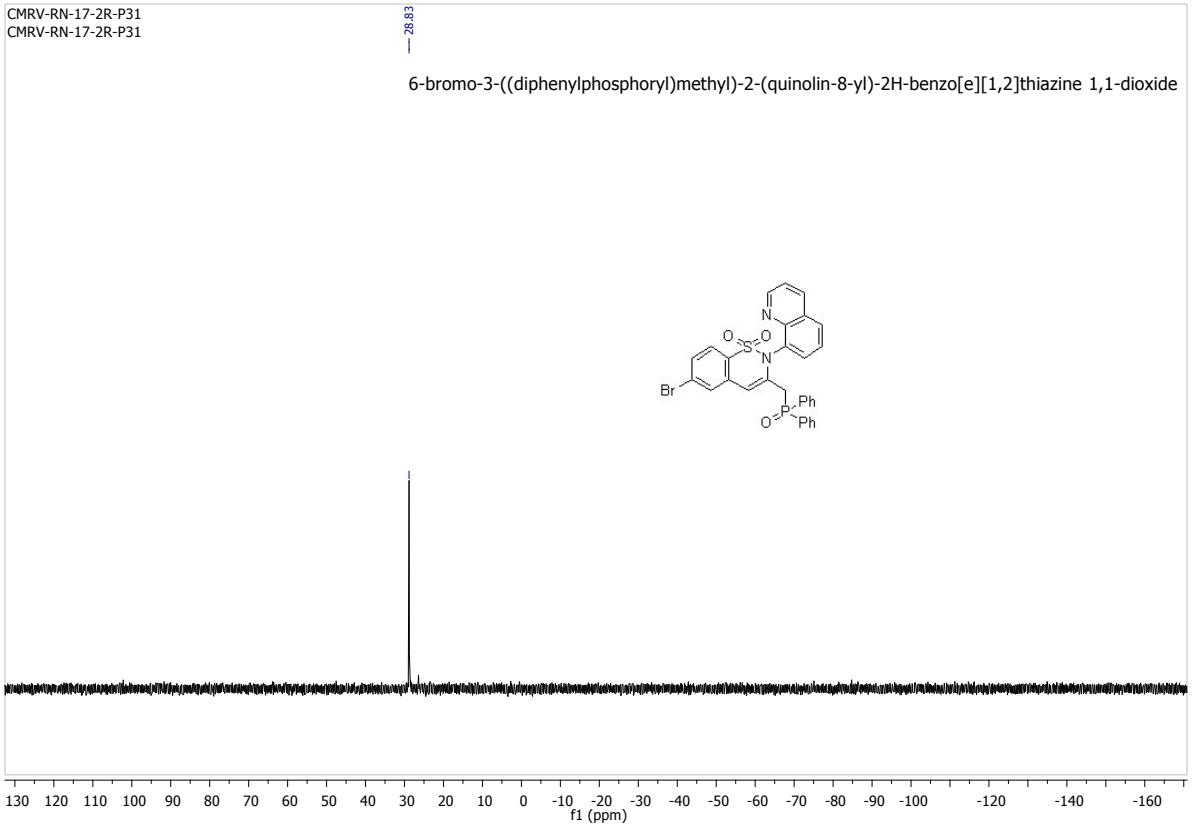

cmrv-rn-63-2-1h
cmrv-rn-63-2-1h
7.26
6-chloro-3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
1H NMR (500 MHz, CDCl
A (d) 8.70
B (m) 8.13
C (d) 7.84
D (dt) 7.71
E (dd) 7.65
F (dd) 7.51
G (m) 7.40
H (d) 6.78
I (t) 3.25
J (t) 3.02
f1 (ppm)

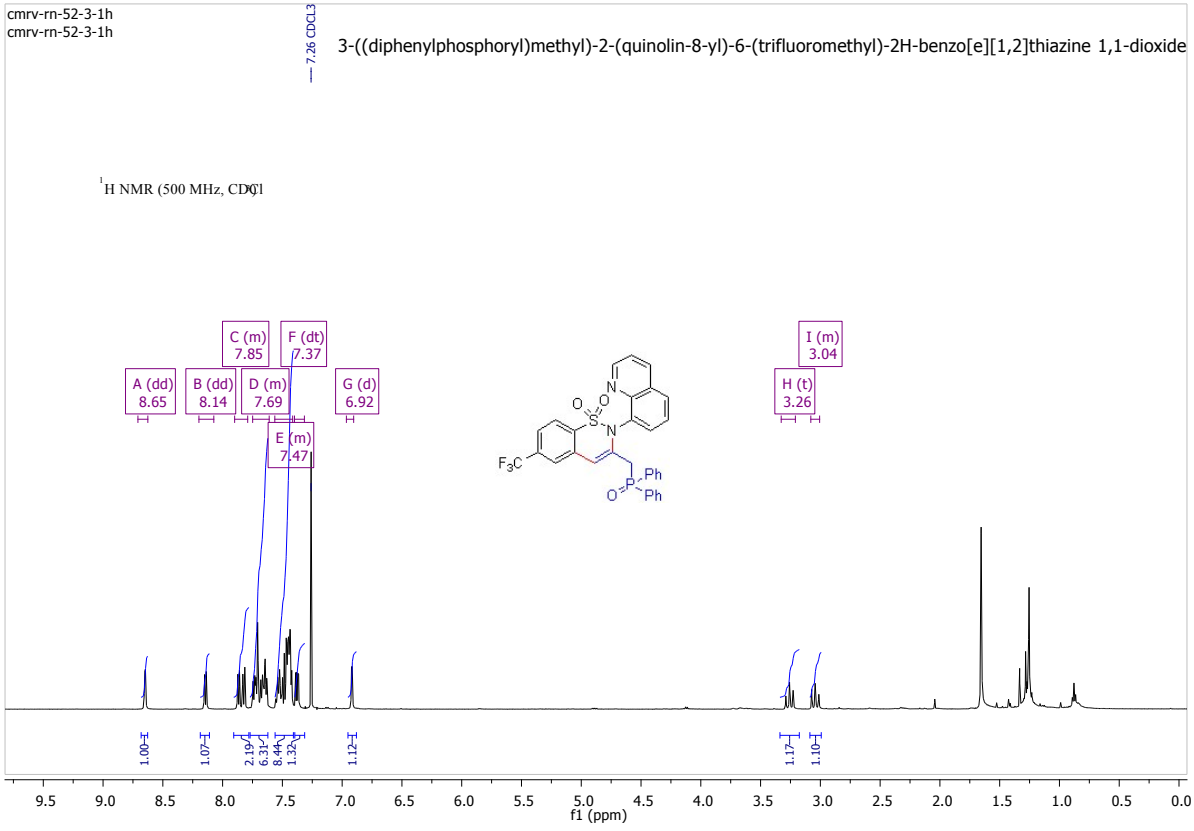

cmrv-rn-63-2-13c
cmrv-rn-63-2-13c
151.30
145.48
136.02
132.18
131.79
131.30
131.23
131.16
129.87
128.75
128.65
127.53
126.86
126.06
123.34
112.17
35.86
35.34
6-chloro-3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
13C NMR (126 MHz, CDCl3)
f1 (ppm)

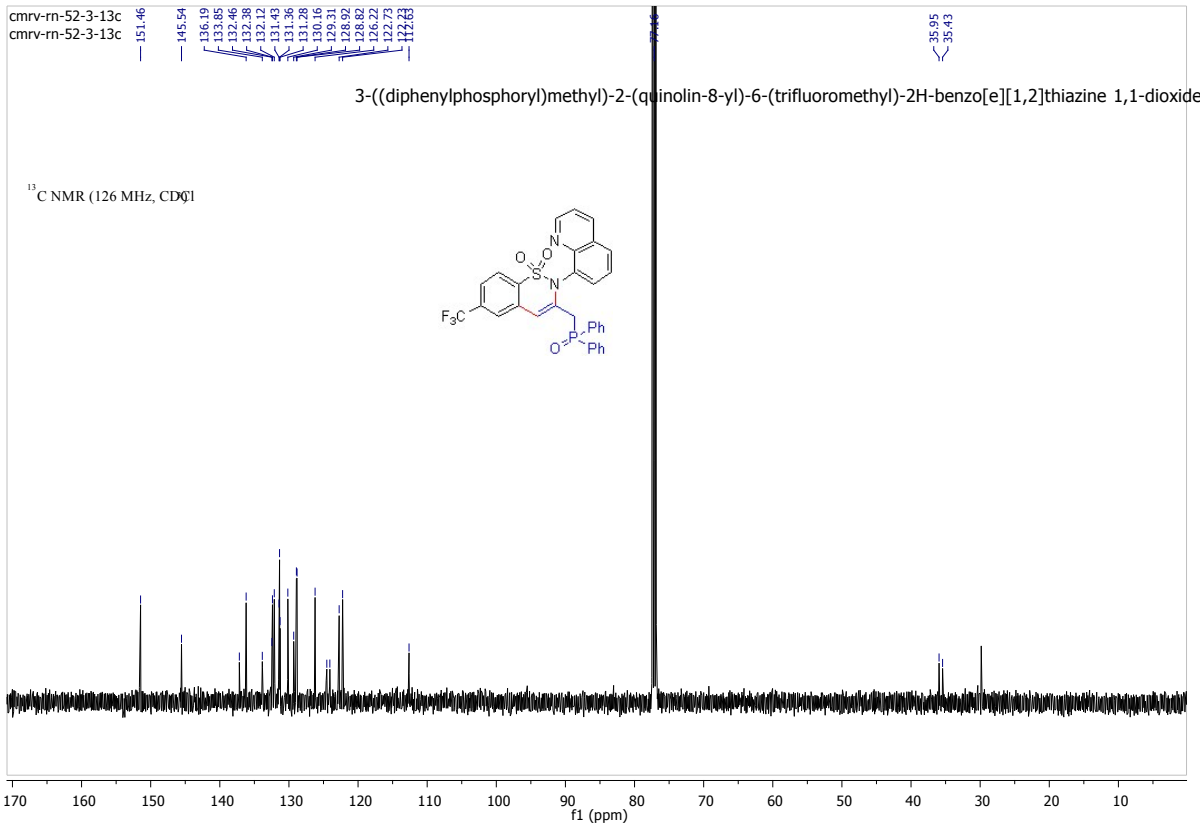

cmrv-rn-63-2-p31
cmrv-rn-63-2-p31
28.75
6-chloro-3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
31P NMR (202 MHz, CDCl3)
f1 (ppm)

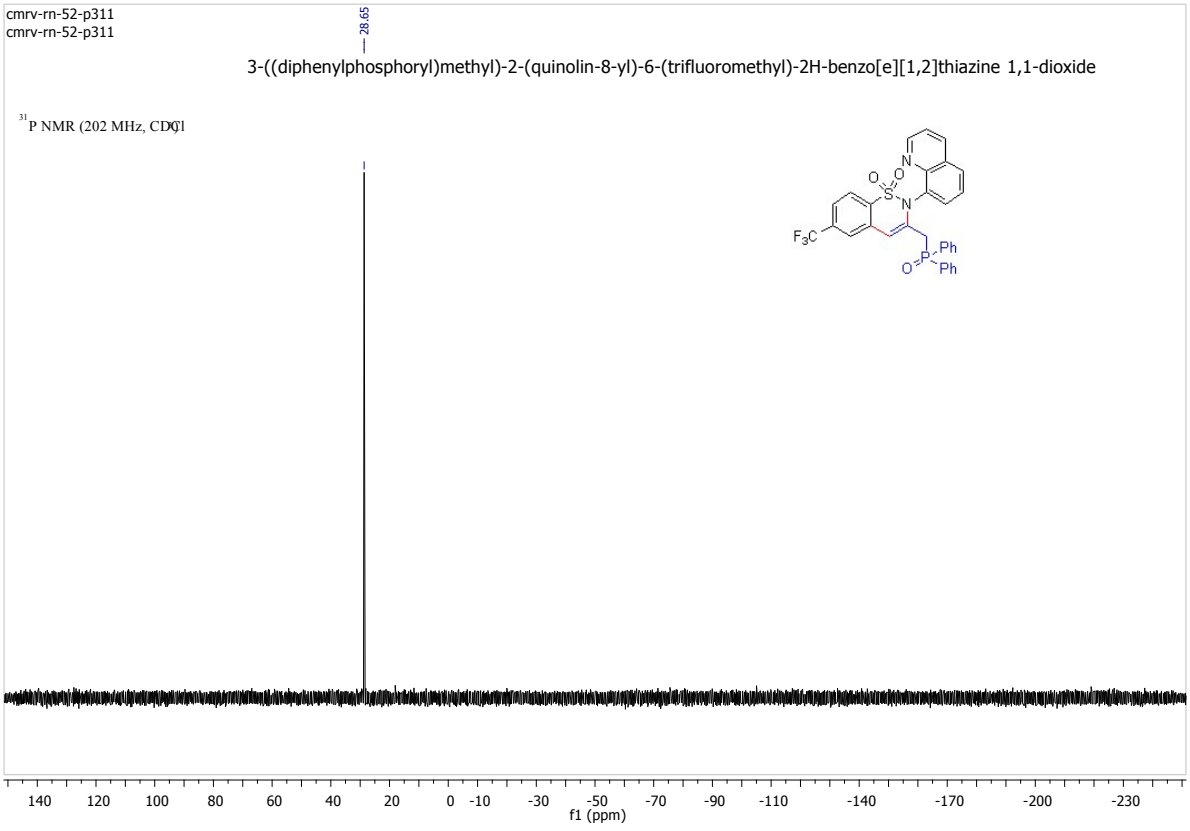

CMRV-RN-17-2R-1H
CMRV-RN-17-2R-1H
7.26
6-bromo-3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
1H NMR (500 MHz, CDCl3)
F (dd) 7.52
C (t) 7.86
G (m) 7.40
A (dd) 8.71
B (dd) 8.14
D (m) 7.74
H (d) 6.79
E (dd) 7.65
J (t) 3.01
I (t) 3.25
1.00
1.05
1.06
2.68
3.27
2.74
10.01
1.00
1.11
1.12
f1 (ppm)

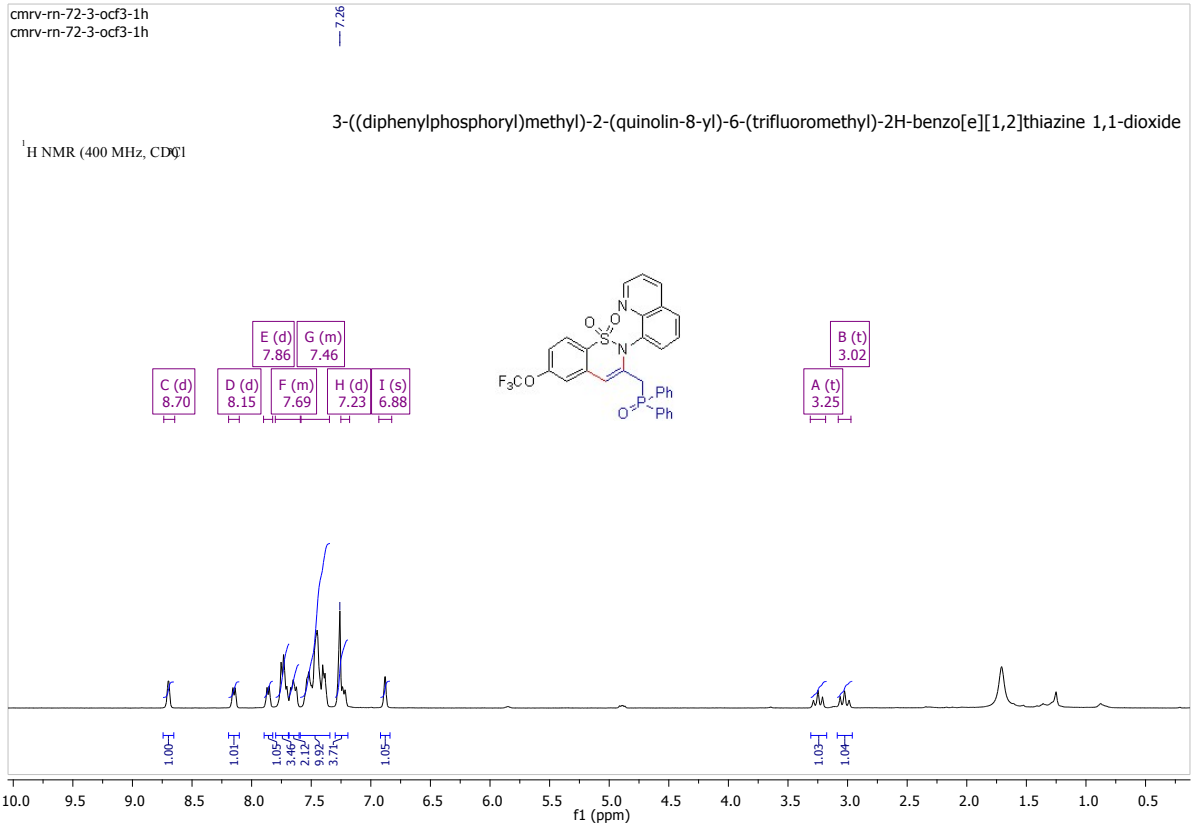

CMRV-RN-17-2R-13C
CMRV-RN-17-2R-13C
145.57
136.16
132.33
131.88
131.43
131.35
131.28
130.01
129.29
128.88
128.78
127.67
126.99
126.18
123.47
112.23
35.94
35.43
6-bromo-3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
13C NMR (126 MHz, CDCl3)
f1 (ppm)

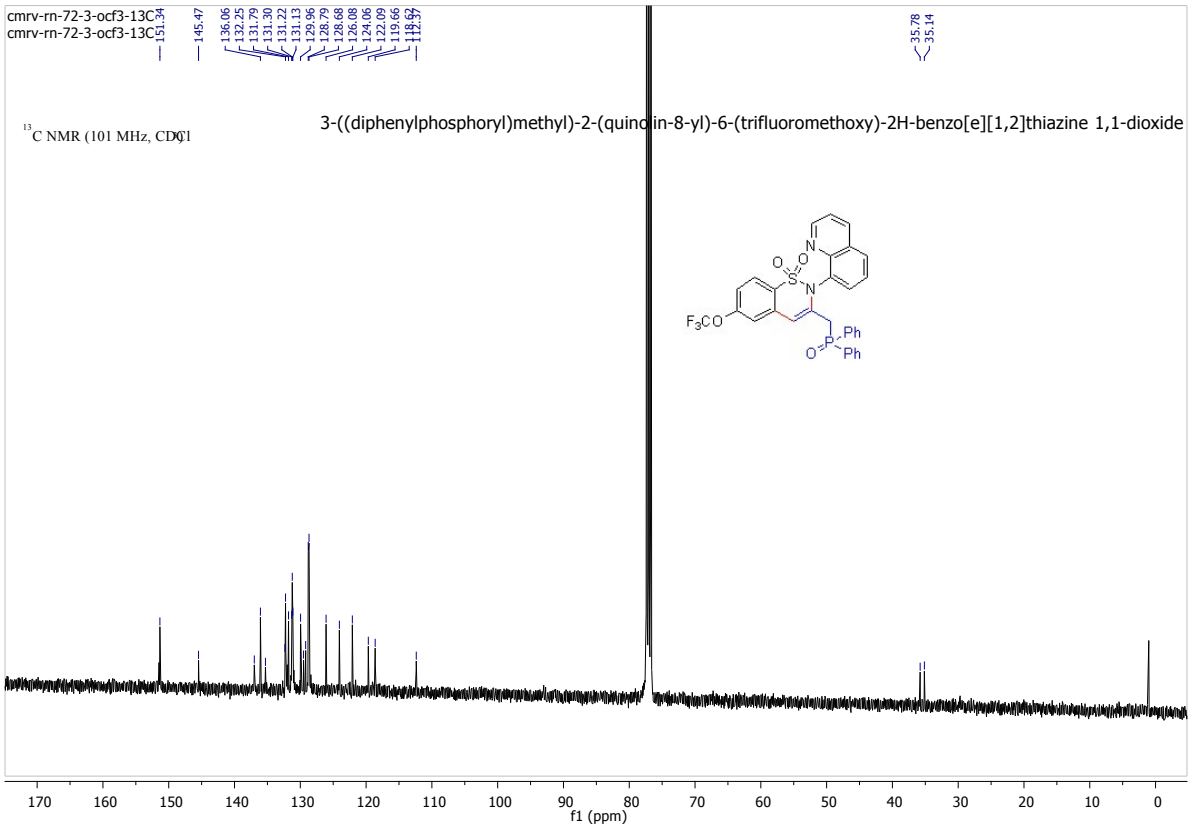

CMRV-RN-17-2R-P31
CMRV-RN-17-2R-P31
28.83
6-bromo-3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
Br
Ph
Ph
130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -120 -140 -160
f1 (ppm)

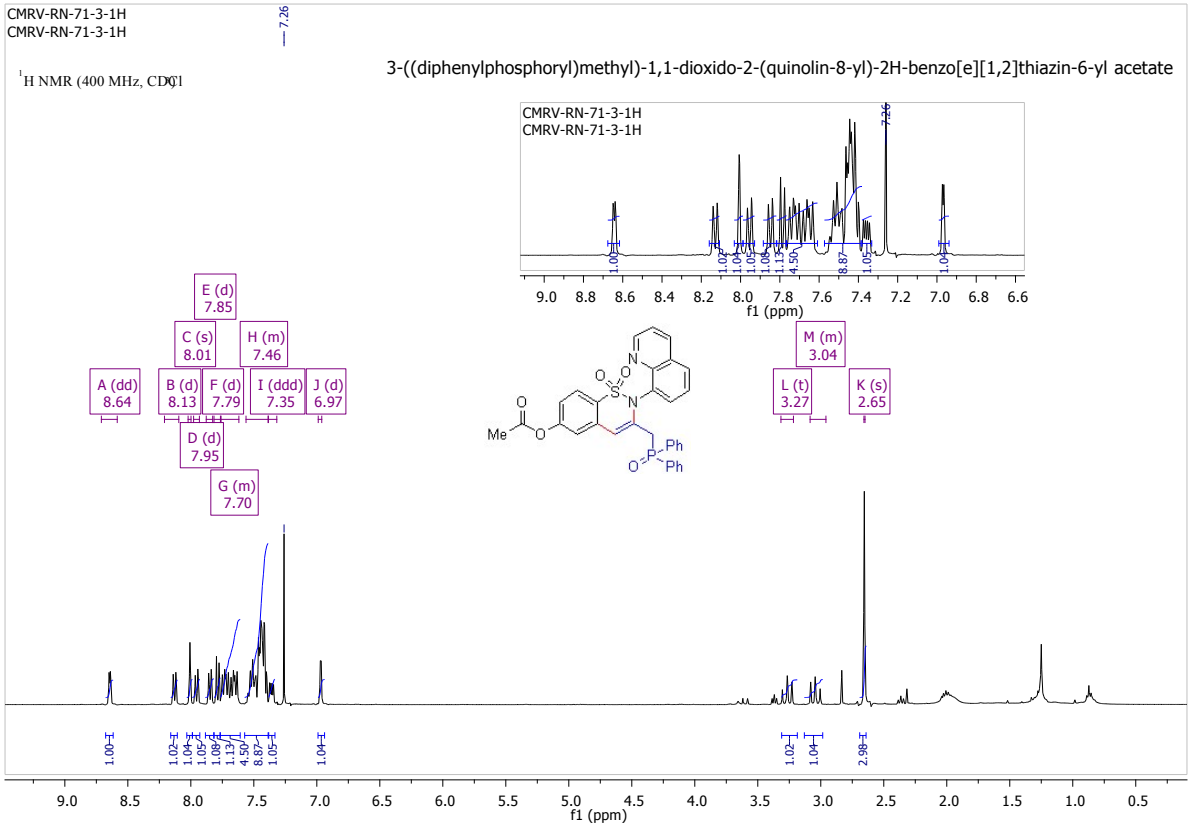

cmrv-rn-52-3-1h
cmrv-rn-52-3-1h
7.26 CDCL3
3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-6-(trifluoromethyl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
1H NMR (500 MHz, CDCl
A (dd) 8.65
B (dd) 8.14
C (m) 7.85
D (m) 7.69
E (m) 7.47
F (dt) 7.37
G (d) 6.92
H (t) 3.26
I (m) 3.04
F3C
Ph
Ph
1.00
1.07
2.19
6.31
8.44
1.32
1.12
1.17
1.10
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

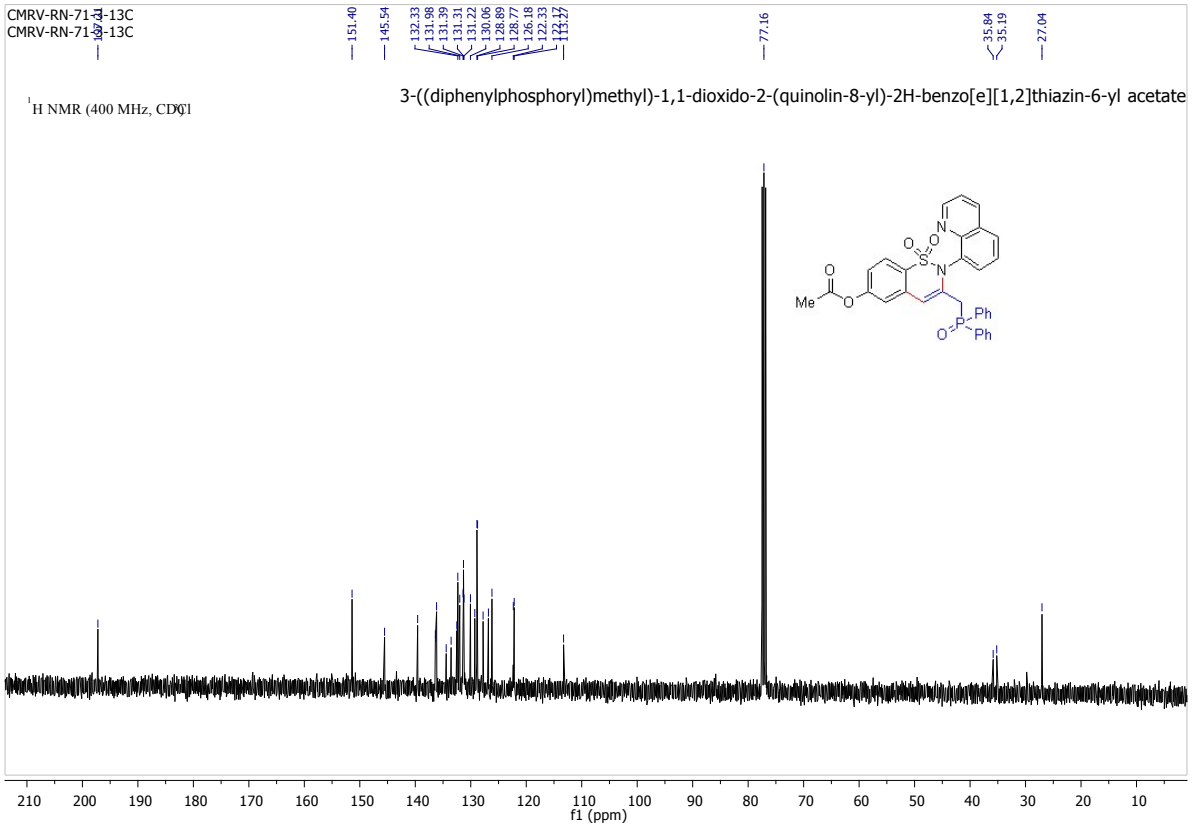

cmrv-rn-52-3-13c
cmrv-rn-52-3-13c
151.46
145.54
136.19
133.85
132.46
132.38
132.12
131.43
131.36
131.28
130.16
129.31
128.92
128.82
126.22
122.73
112.63
77.16
35.95
35.43
3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-6-(trifluoromethyl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
13C NMR (126 MHz, CDCl3)
f1 (ppm)

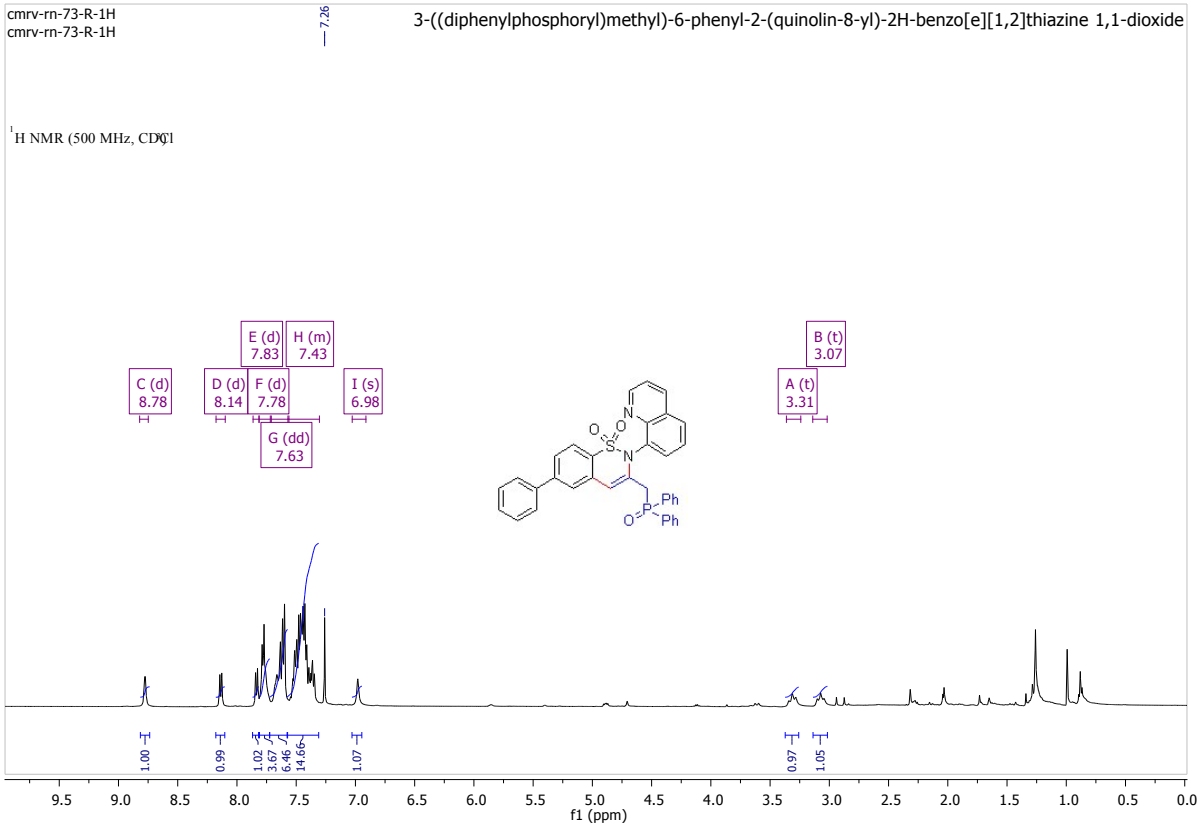

cmrv-rn-52-p311
cmrv-rn-52-p311
28.65
3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-6-(trifluoromethyl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
31P NMR (202 MHz, CDCl3)
f1 (ppm)

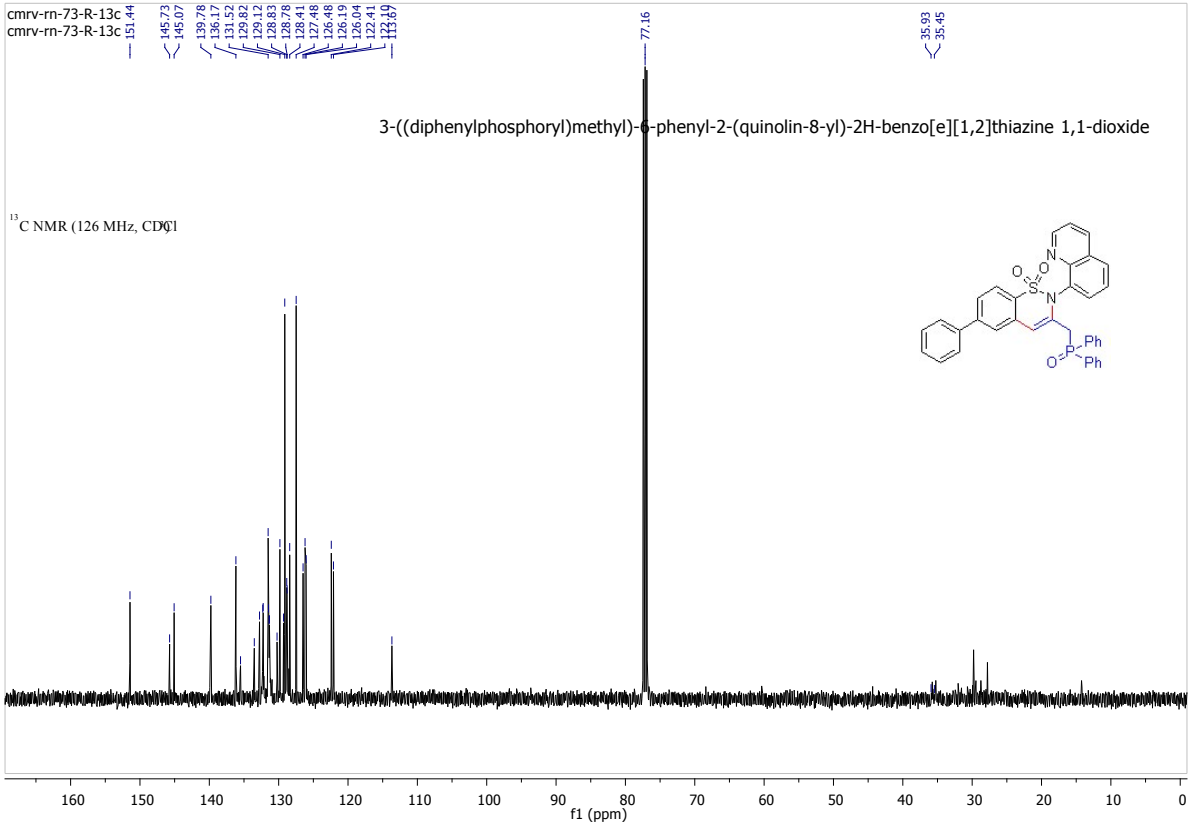

cmrv-rn-72-3-ocf3-1h
cmrv-rn-72-3-ocf3-1h
7.26
3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-6-(trifluoromethyl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
1H NMR (400 MHz, CDCl3)
C (d) 8.70
D (d) 8.15
E (d) 7.86
G (m) 7.46
F (m) 7.69
H (d) 7.23
I (s) 6.88
B (t) 3.02
A (t) 3.25
1.00
1.01
1.05
3.46
2.12
9.92
3.71
1.05
1.03
1.04
f1 (ppm)

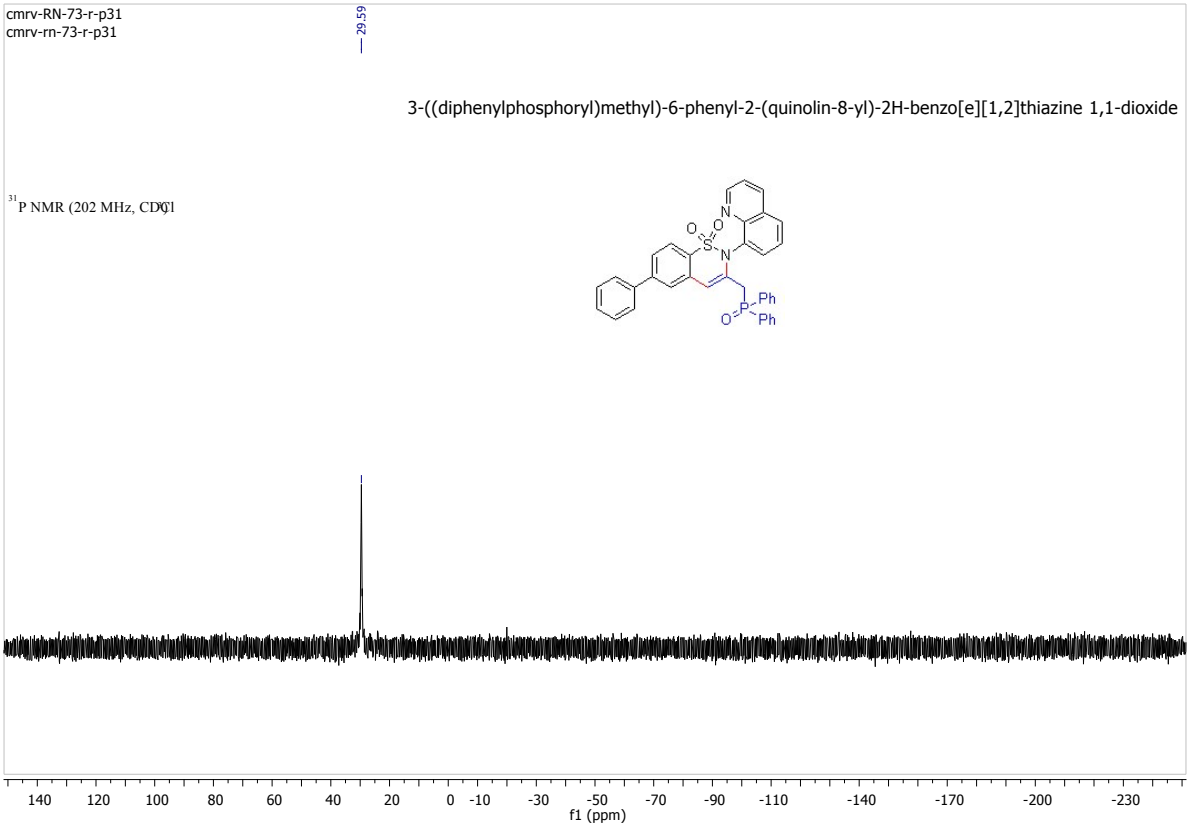

cmrv-rn-72-3-ocf3-13C
cmrv-rn-72-3-ocf3-13C
151.34
145.47
136.06
132.25
131.79
131.30
131.22
131.13
129.96
128.79
128.68
126.08
124.06
122.09
119.66
35.78
35.14
3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-6-(trifluoromethoxy)-2H-benzo[e][1,2]thiazine 1,1-dioxide
13C NMR (101 MHz, CDCl3)
f1 (ppm)

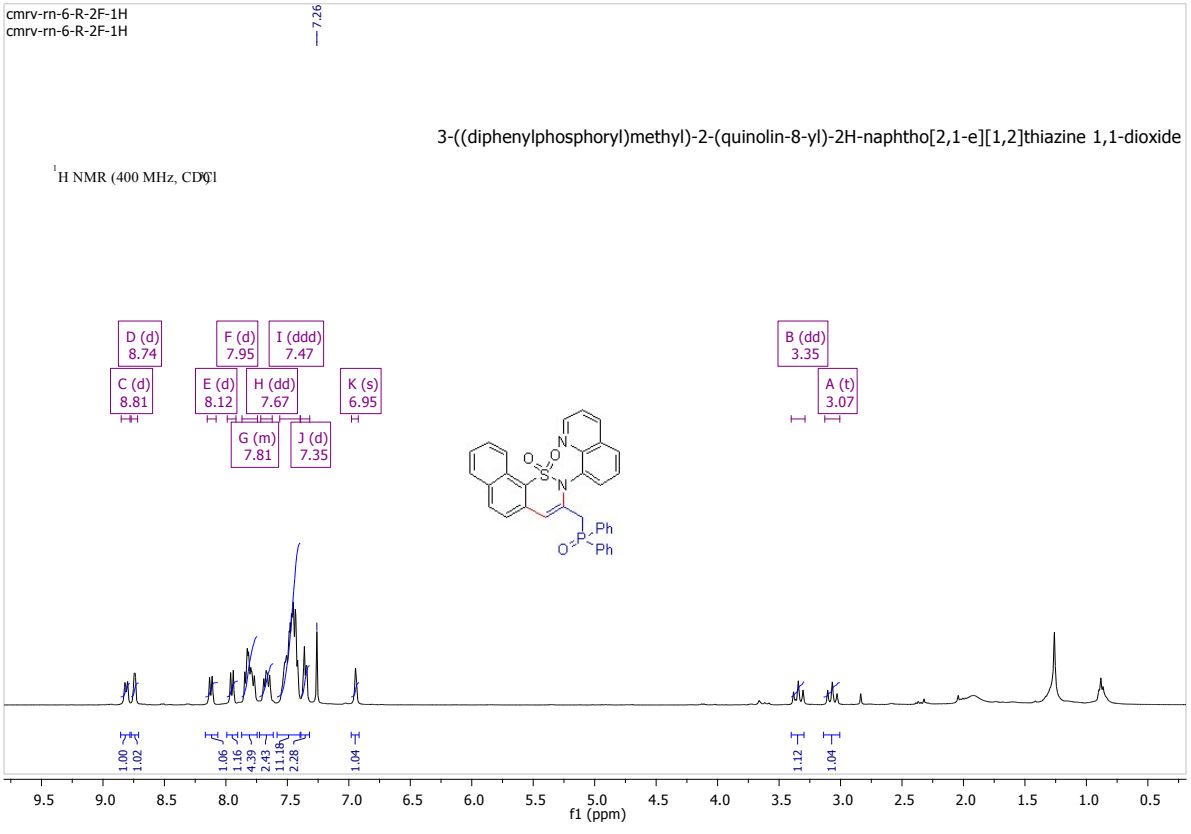

CMRV-RN-71-3-1H
CMRV-RN-71-3-1H
7.26
^{1}H NMR (400 MHz, CDCl)
3-((diphenylphosphoryl)methyl)-1,1-dioxido-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazin-6-yl acetate
E (d) 7.85
C (s) 8.01
H (m) 7.46
A (dd) 8.64
B (d) 8.13
F (d) 7.79
I (ddd) 7.35
J (d) 6.97
D (d) 7.95
G (m) 7.70
M (m) 3.04
L (t) 3.27
K (s) 2.65
Me
Ph
Ph
f1 (ppm)

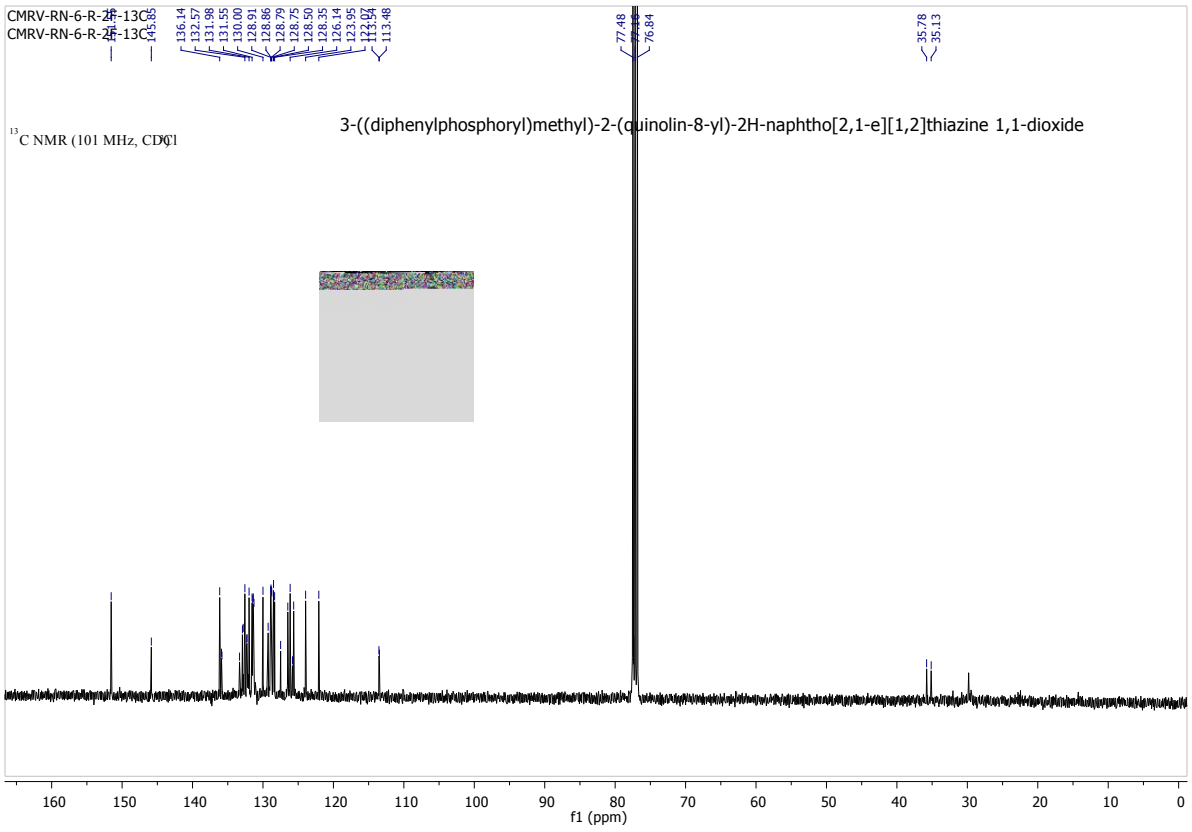

CMRV-RN-71-3-13C
CMRV-RN-71-3-13C
151.40
145.54
132.33
131.98
131.39
131.31
131.22
130.06
128.89
128.77
126.18
122.33
77.16
35.84
35.19
27.04
^{1}H NMR (400 MHz, CDCl)
3-((diphenylphosphoryl)methyl)-1,1-dioxido-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazin-6-yl acetate
Me
Ph
Ph
f1 (ppm)

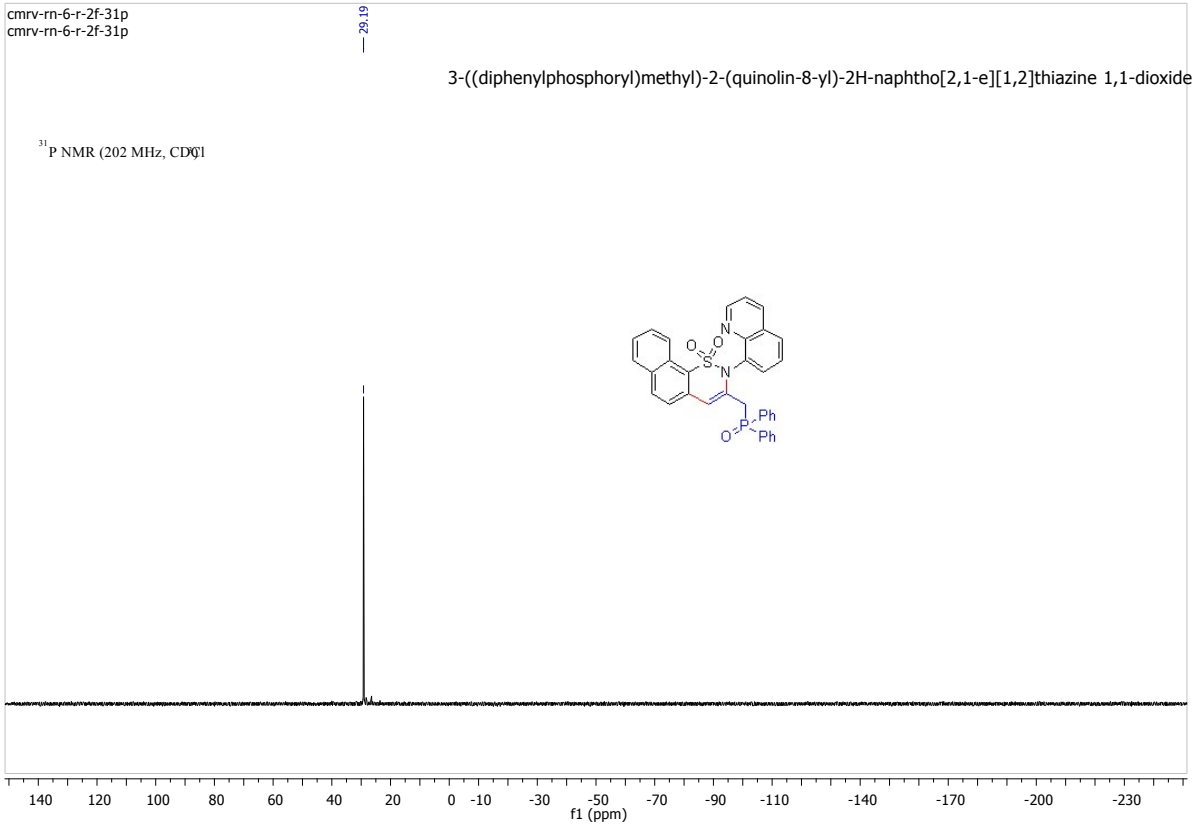

cmrv-rn-73-R-1H
cmrv-rn-73-R-1H
7.26
3-((diphenylphosphoryl)methyl)-6-phenyl-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
1H NMR (500 MHz, CDCl3)
E (d) 7.83
H (m) 7.43
B (t) 3.07
C (d) 8.78
D (d) 8.14
F (d) 7.78
I (s) 6.98
A (t) 3.31
G (dd) 7.63
Ph
Ph
1.00
0.99
1.02
3.67
6.46
14.66
1.07
0.97
1.05
f1 (ppm)

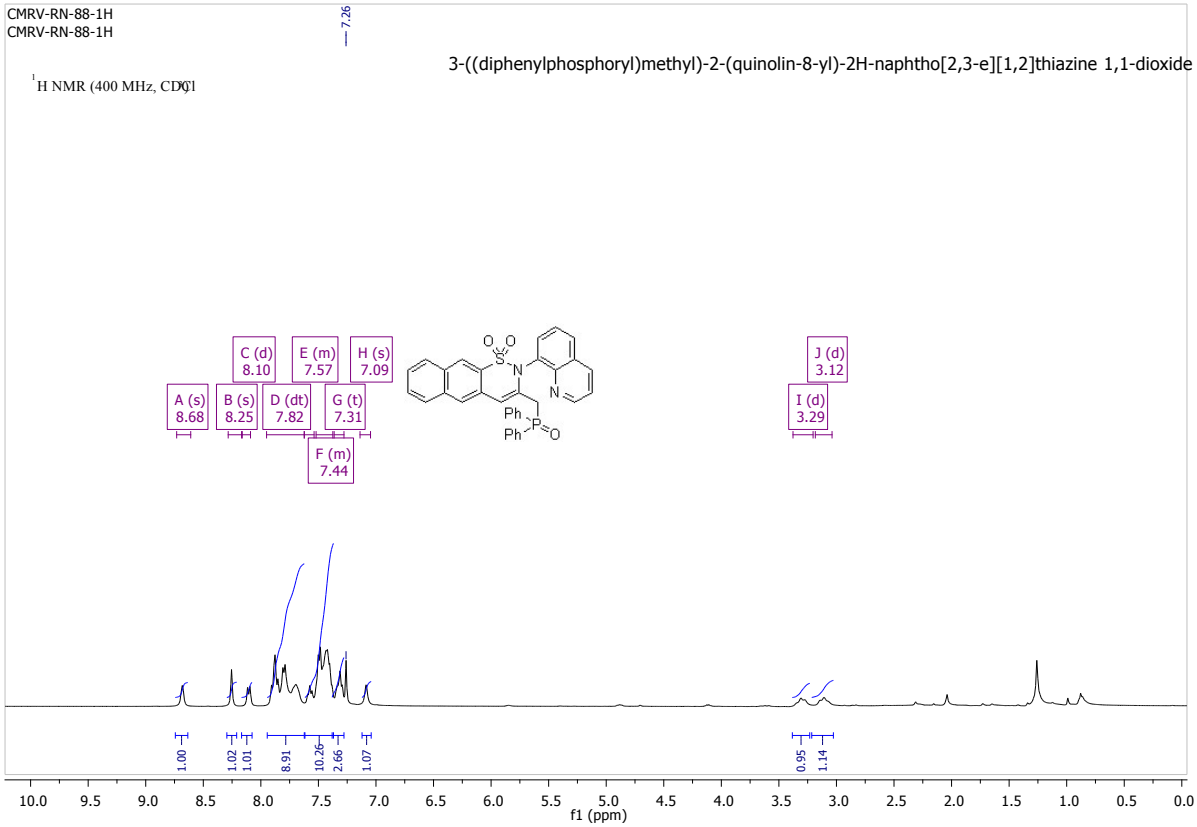

cmrv-rn-73-R-13c
cmrv-rn-73-R-13c
151.44
145.73
145.07
139.78
136.17
131.52
129.82
129.12
128.83
128.78
128.41
127.48
126.48
126.19
126.04
122.41
122.19
113.87
77.16
35.93
35.45
3-((diphenylphosphoryl)methyl)-6-phenyl-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
13C NMR (126 MHz, CDCl3)
Ph
Ph
f1 (ppm)

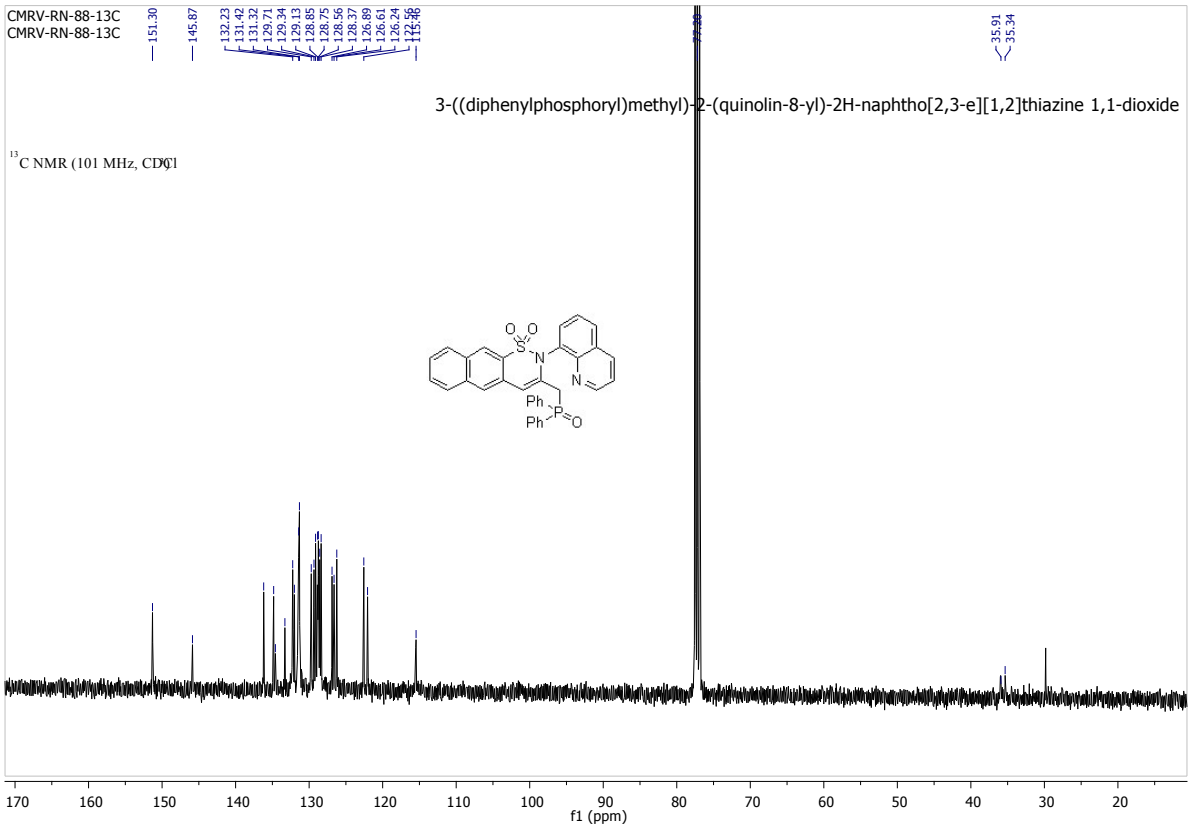

cmrv-RN-73-r-p31
cmrv-rn-73-r-p31
29.59
3-((diphenylphosphoryl)methyl)-6-phenyl-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
31P NMR (202 MHz, CDCl3)
f1 (ppm)

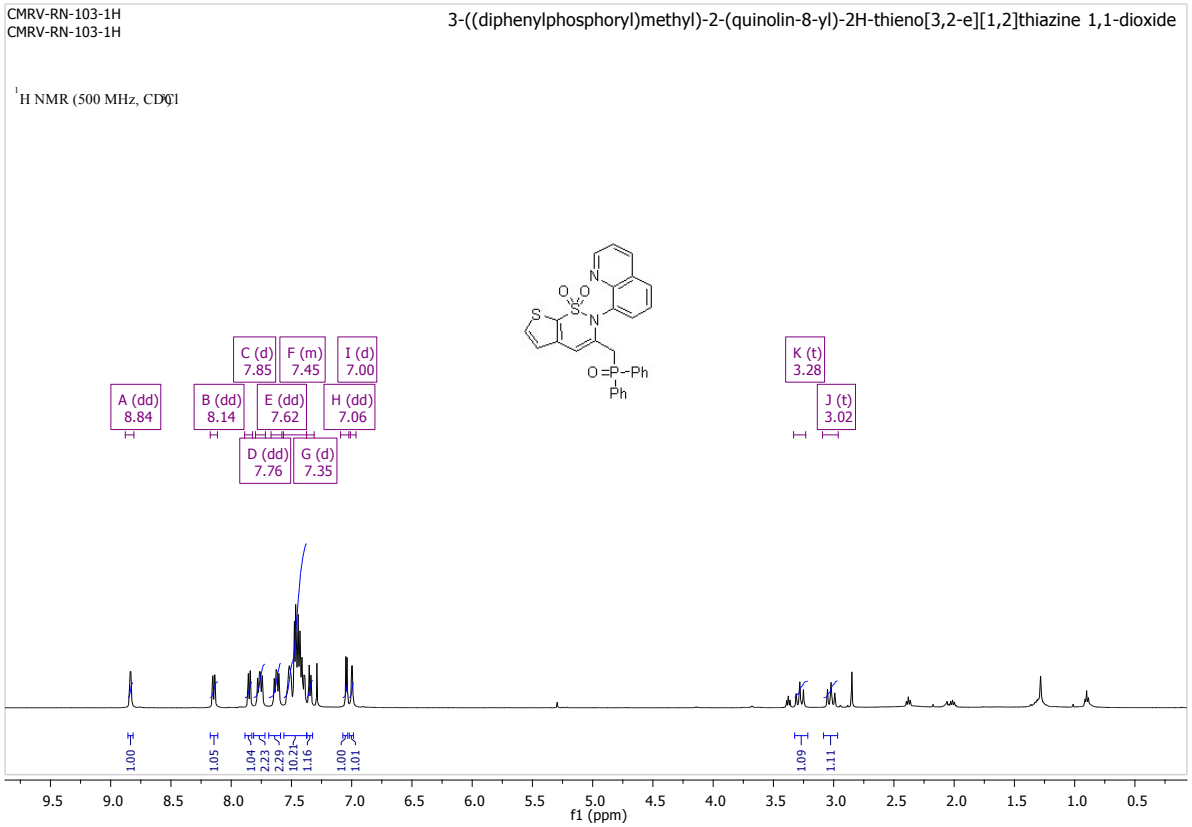

cmrv-rn-6-R-2F-1H
cmrv-rn-6-R-2F-1H
7.26
3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-2H-naphtho[2,1-e][1,2]thiazine 1,1-dioxide
1H NMR (400 MHz, CDCl3)
D (d) 8.74
C (d) 8.81
F (d) 7.95
E (d) 8.12
I (ddd) 7.47
H (dd) 7.67
G (m) 7.81
J (d) 7.35
K (s) 6.95
B (dd) 3.35
A (t) 3.07
f1 (ppm)

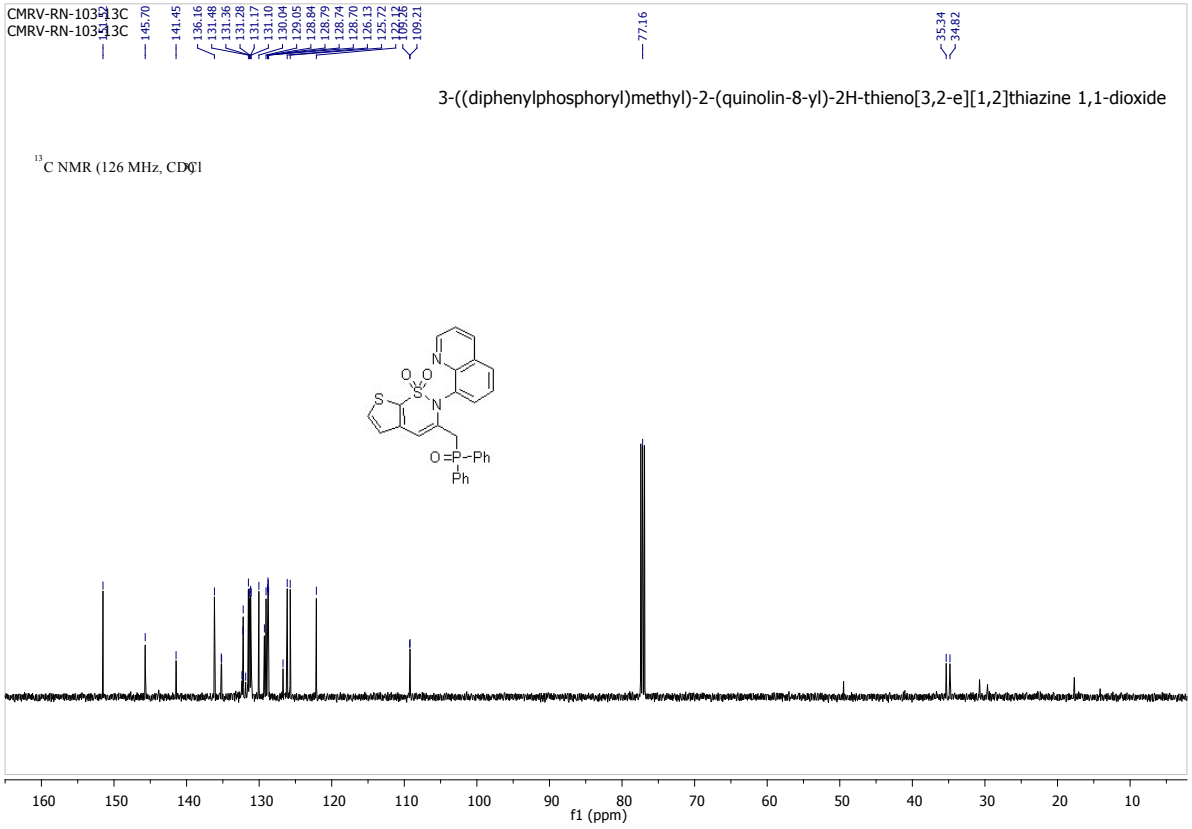

CMRV-RN-6-R-2f-13C
CMRV-RN-6-R-2f-13C
136.14
132.57
131.98
131.55
130.00
128.91
128.86
128.79
128.75
128.50
128.35
126.14
123.95
113.48
77.48
76.84
35.78
35.13
3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-2H-naphtho[2,1-e][1,2]thiazine 1,1-dioxide
13C NMR (101 MHz, CDCl3)
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)

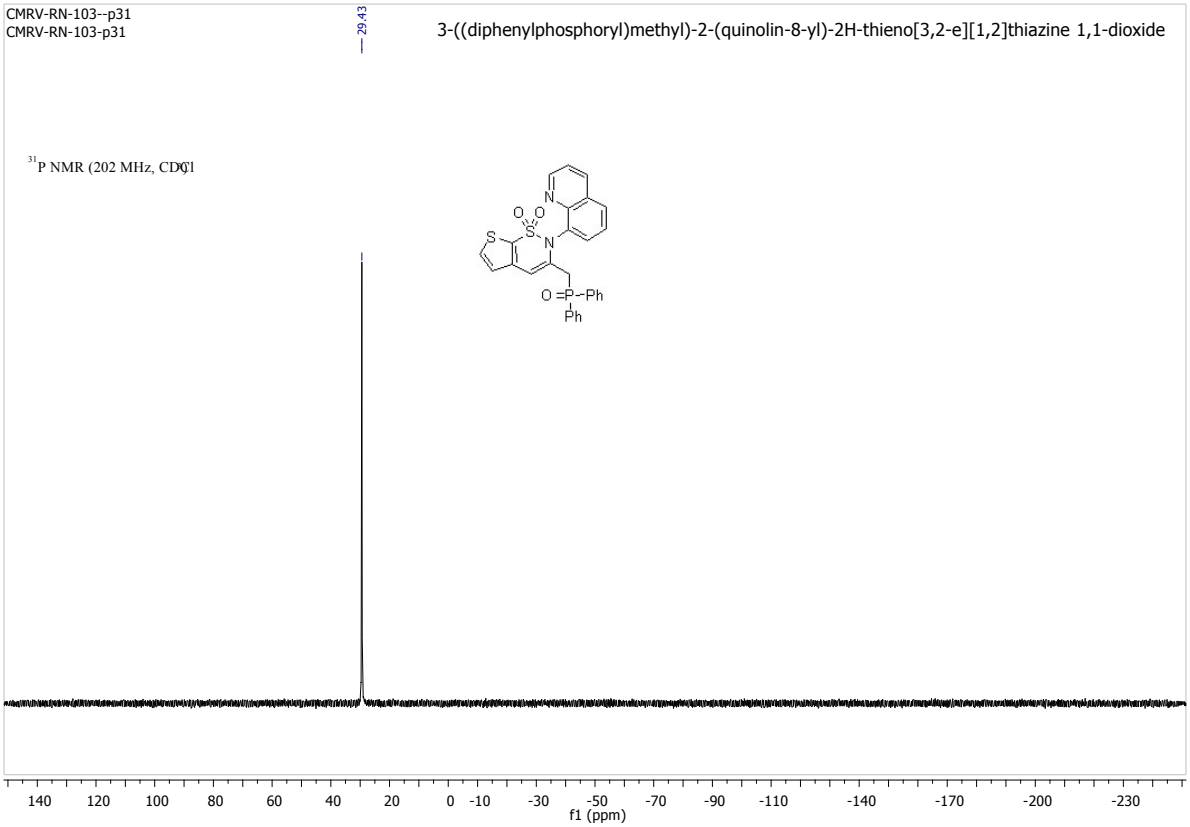

cmrv-rn-6-r-2f-31p
cmrv-rn-6-r-2f-31p
29.19
3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-2H-naphtho[2,1-e][1,2]thiazine 1,1-dioxide
31P NMR (202 MHz, CDCl3)
N
O
O
S
N
O
P
Ph
Ph
140
120
100
80
60
40
20
0
-10
-30
-50
-70
-90
-110
-140
-170
-200
-230
f1 (ppm)

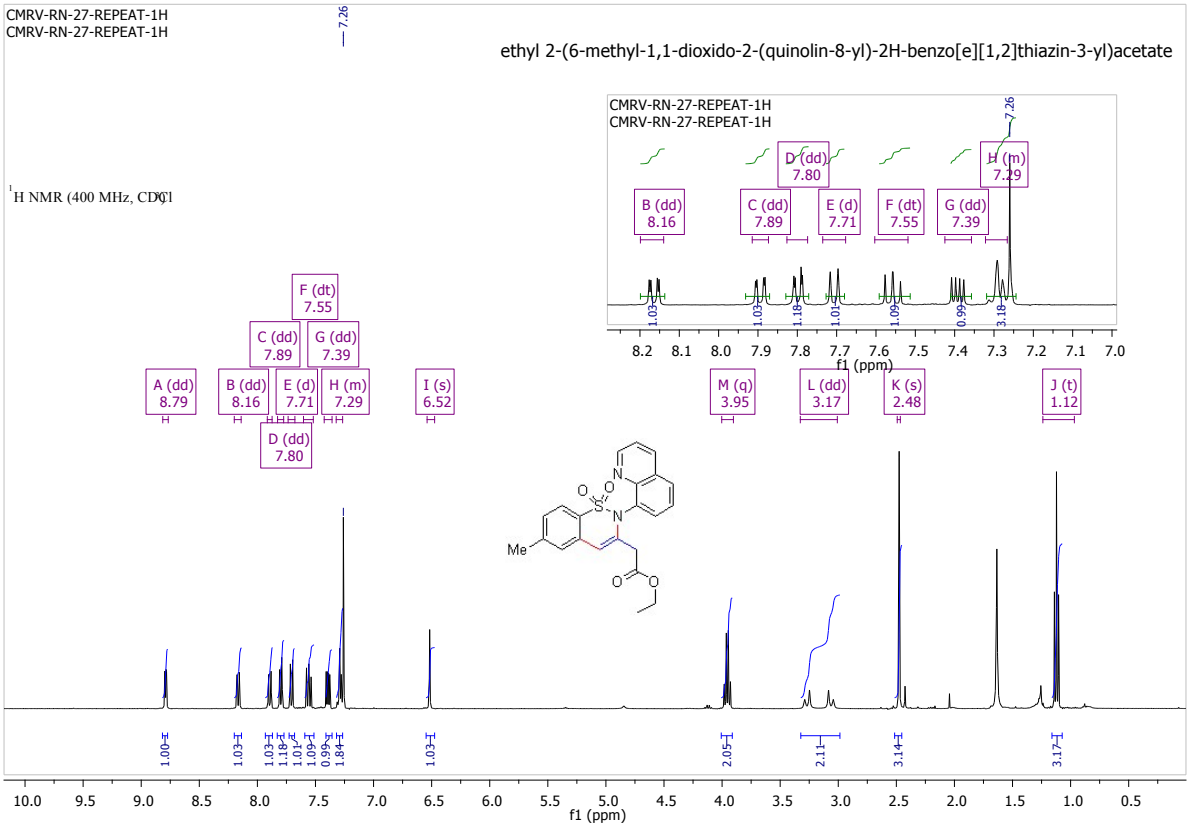

CMRV-RN-88-1H
CMRV-RN-88-1H
7.26
3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-2H-naphtho[2,3-e][1,2]thiazine 1,1-dioxide
1H NMR (400 MHz, CDCl3)
A (s) 8.68
B (s) 8.25
C (d) 8.10
D (dt) 7.82
E (m) 7.57
F (m) 7.44
G (t) 7.31
H (s) 7.09
I (d) 3.29
J (d) 3.12
1.00
1.02
1.01
8.91
10.26
2.66
1.07
0.95
1.14
f1 (ppm)

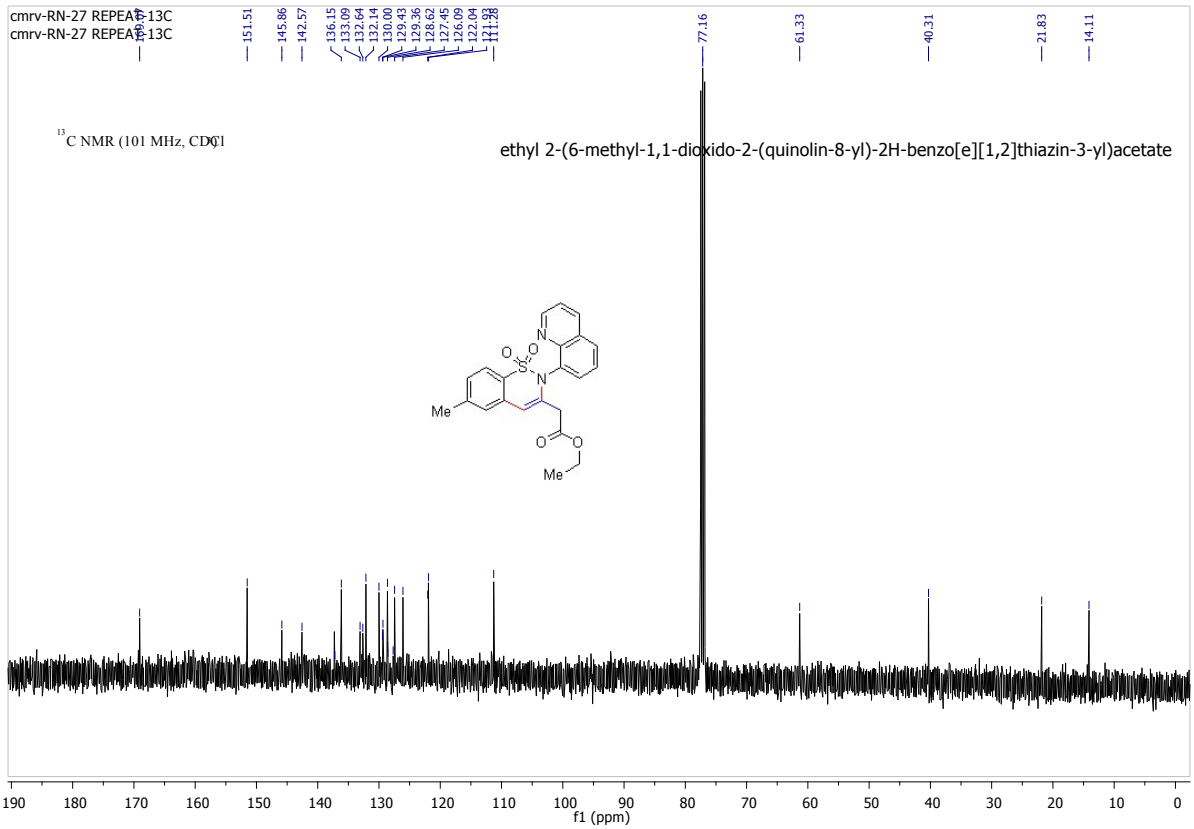

CMRV-RN-88-13C
CMRV-RN-88-13C
151.30
145.87
132.23
131.42
131.32
129.71
129.34
129.13
128.85
128.75
128.56
128.37
126.89
126.61
126.24
77.00
35.91
35.34
3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-2H-naphtho[2,3-e][1,2]thiazine 1,1-dioxide
13C NMR (101 MHz, CDCl3)
f1 (ppm)

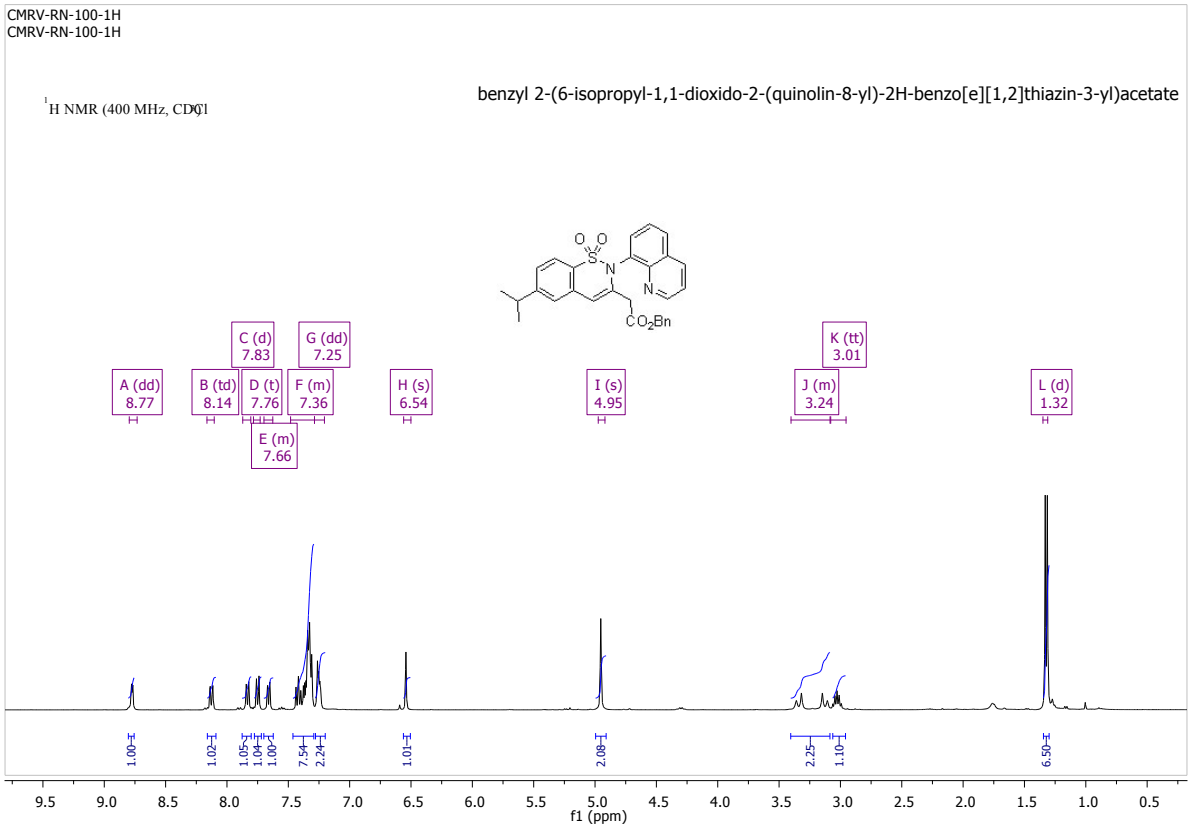

CMRV-RN-103-1H
CMRV-RN-103-1H
3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-2H-thieno[3,2-e][1,2]thiazine 1,1-dioxide
1H NMR (500 MHz, CDCl3)
A (dd) 8.84
B (dd) 8.14
C (d) 7.85
D (dd) 7.76
E (dd) 7.62
F (m) 7.45
G (d) 7.35
H (dd) 7.06
I (d) 7.00
J (t) 3.02
K (t) 3.28
1.00
1.05
1.04
2.23
2.29
10.21
1.16
1.00
1.01
1.09
1.11
f1 (ppm)

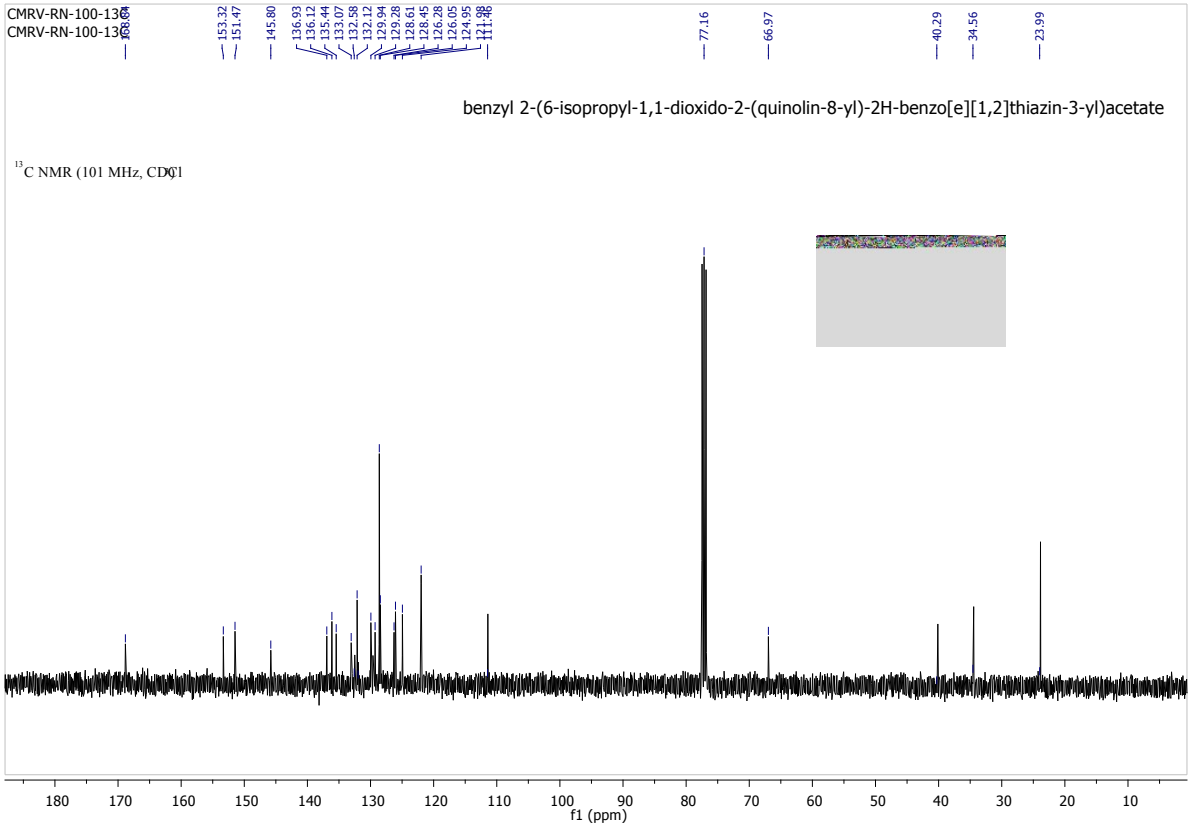

CMRV-RN-103-13C
CMRV-RN-103-13C
145.70
141.45
136.16
131.48
131.36
131.28
131.17
131.10
130.04
129.05
128.84
128.79
128.74
128.70
126.13
125.72
109.21
77.16
35.34
34.82
3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-2H-thieno[3,2-e][1,2]thiazine 1,1-dioxide
13C NMR (126 MHz, CDCl3)
f1 (ppm)

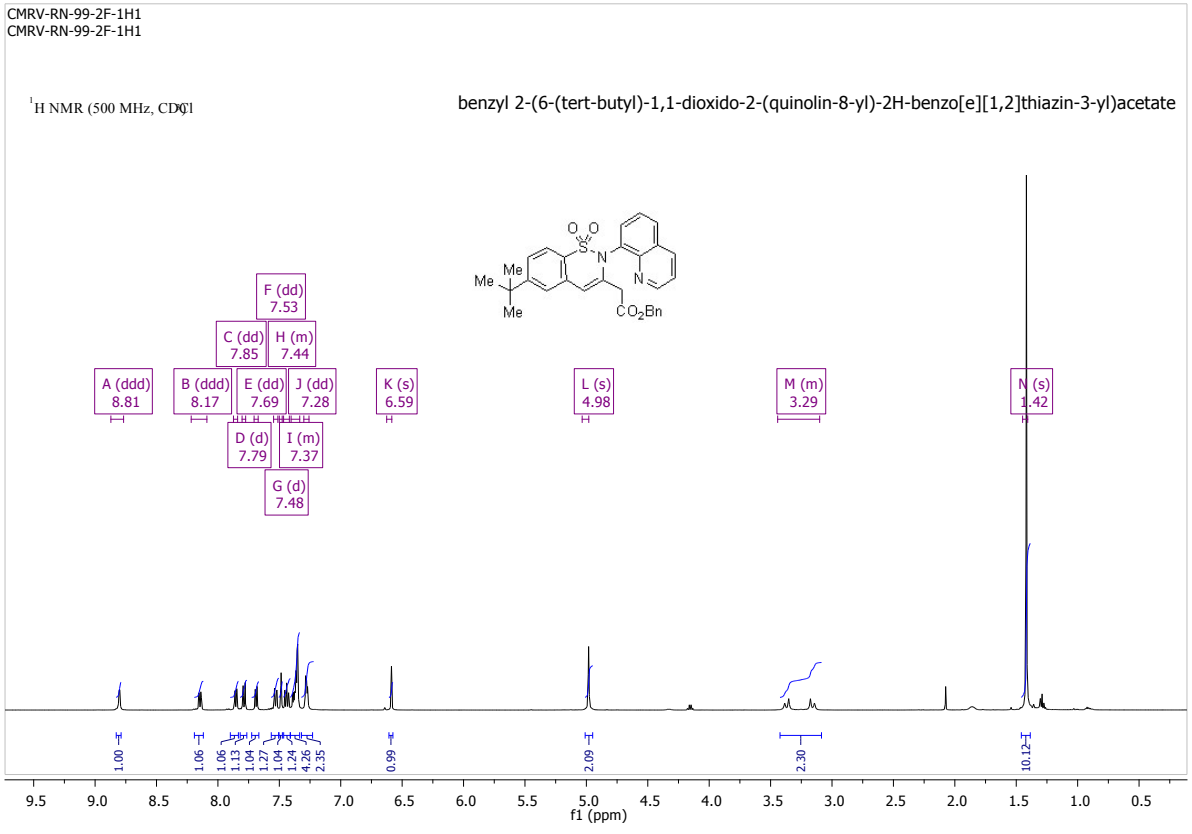

CMRV-RN-103--p31
CMRV-RN-103-p31
29.43
3-((diphenylphosphoryl)methyl)-2-(quinolin-8-yl)-2H-thieno[3,2-e][1,2]thiazine 1,1-dioxide
31P NMR (202 MHz, CDCl
f1 (ppm)

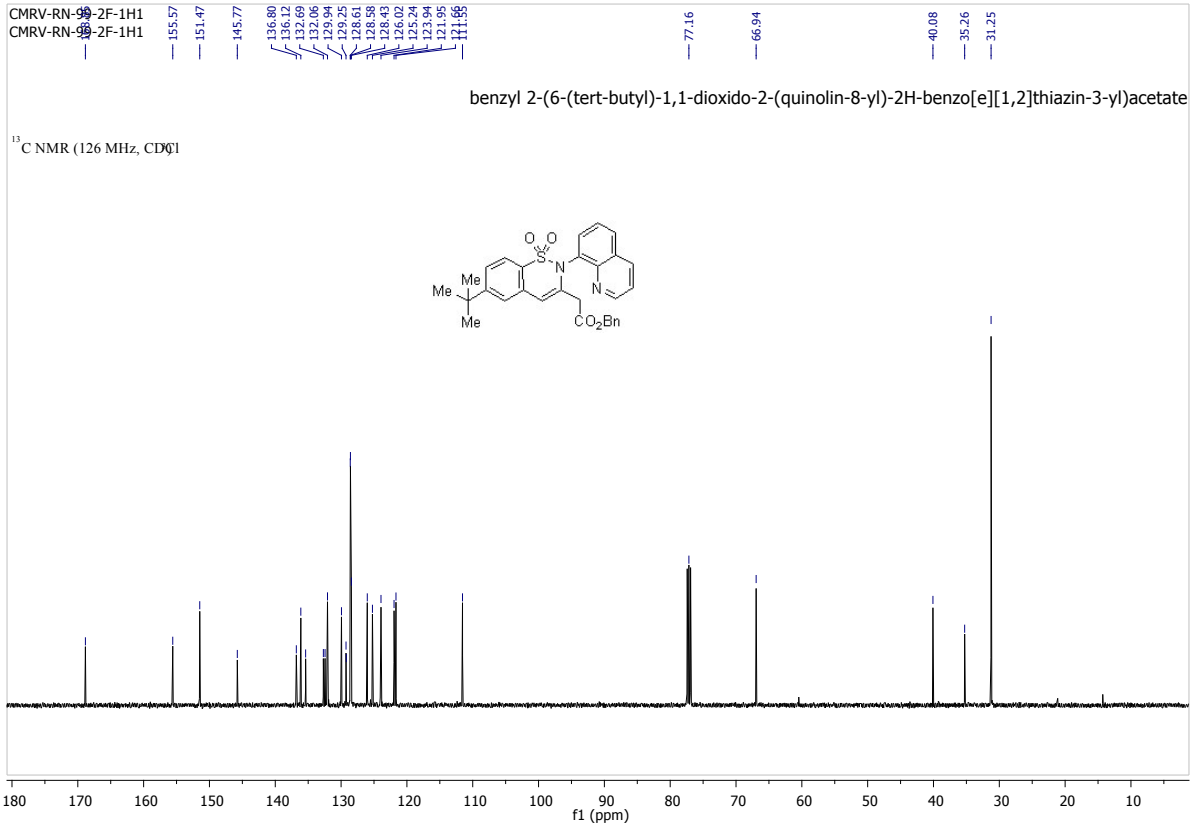

CMRV-RN-27-REPEAT-1H
CMRV-RN-27-REPEAT-1H
7.26
ethyl 2-(6-methyl-1,1-dioxido-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazin-3-yl)acetate
1H NMR (400 MHz, CDCl
A (dd) 8.79
B (dd) 8.16
C (dd) 7.89
D (dd) 7.80
E (d) 7.71
F (dt) 7.55
G (dd) 7.39
H (m) 7.29
I (s) 6.52
M (q) 3.95
L (dd) 3.17
K (s) 2.48
J (t) 1.12
Me
f1 (ppm)

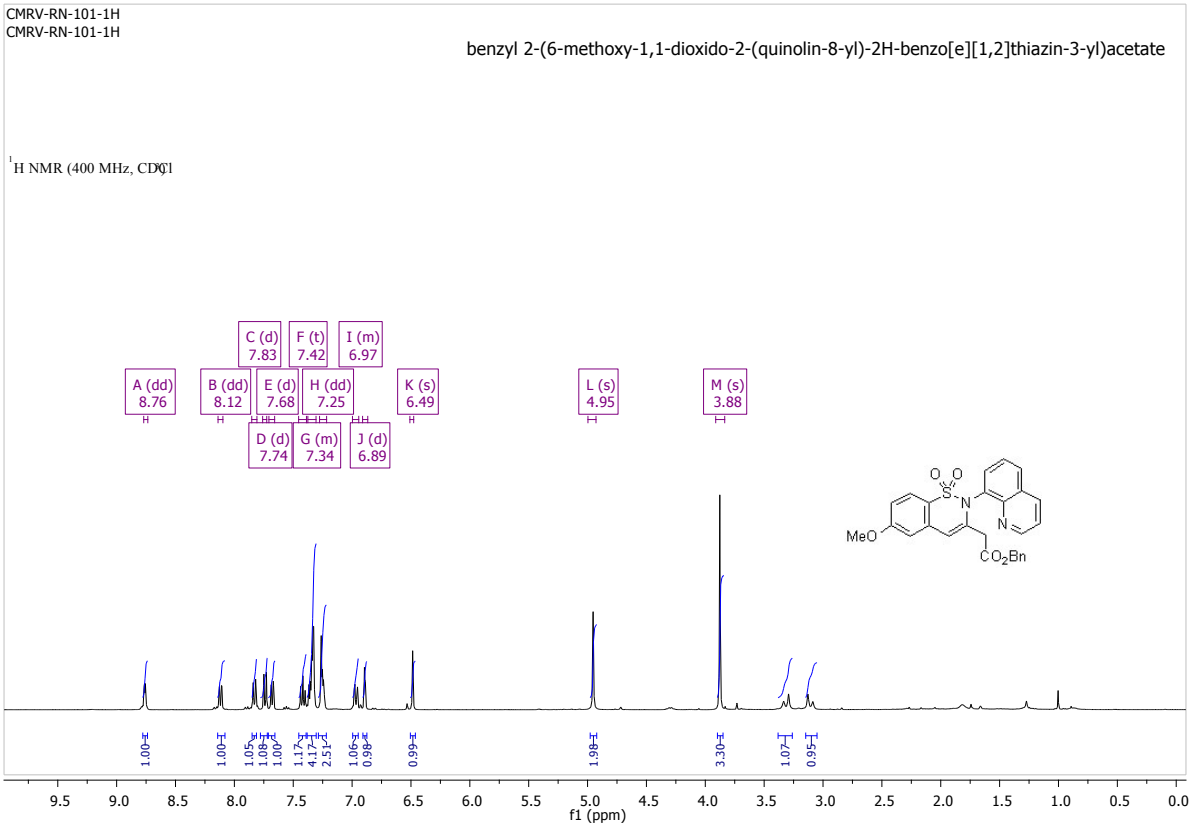

cmrv-RN-27 REPEAT-13C
cmrv-RN-27 REPEAT-13C
151.51
145.86
142.57
136.15
133.09
132.64
132.14
130.00
129.43
129.36
128.62
127.45
126.09
122.04
77.16
61.33
40.31
21.83
14.11
13C NMR (101 MHz, CDCl3)
ethyl 2-(6-methyl-1,1-dioxido-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazin-3-yl)acetate
Me
Me
f1 (ppm)

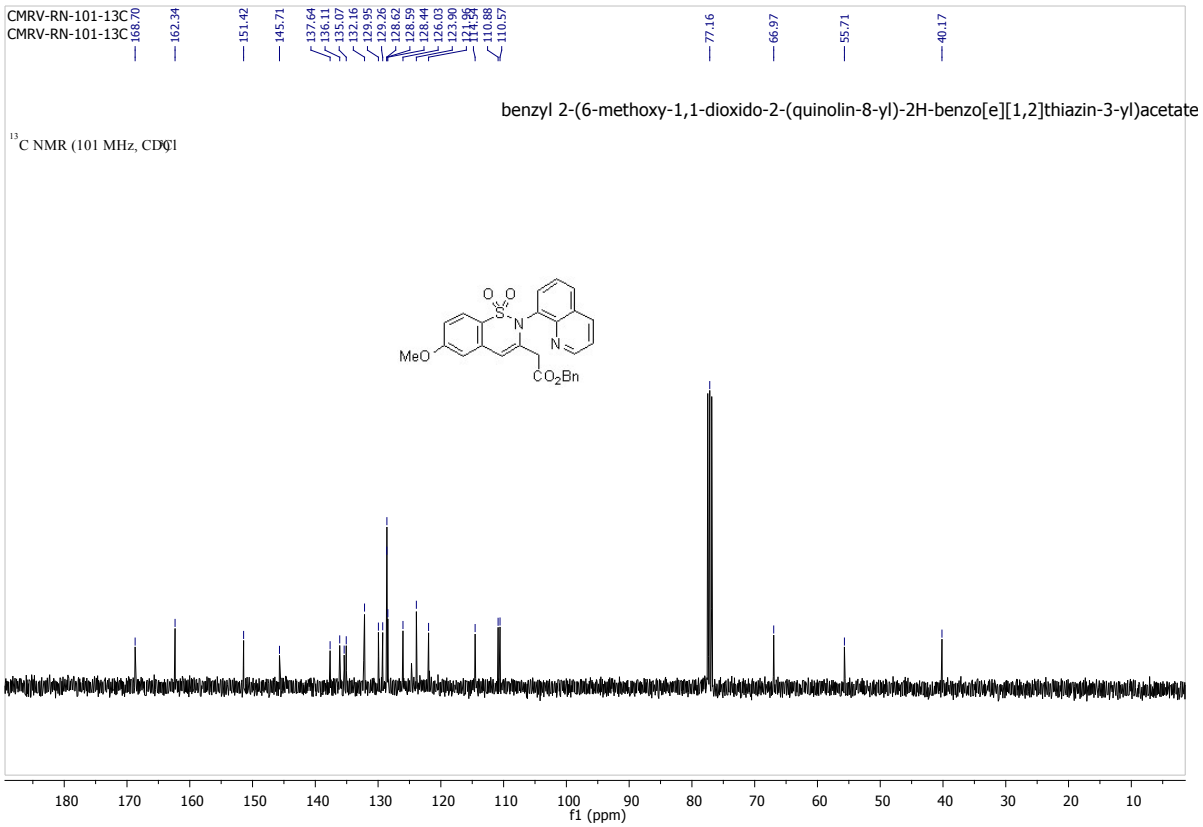

CMRV-RN-100-1H
CMRV-RN-100-1H
1H NMR (400 MHz, CDCl3)
benzyl 2-(6-isopropyl-1,1-dioxido-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazin-3-yl)acetate
CO2Bn
A (dd) 8.77
B (td) 8.14
C (d) 7.83
D (t) 7.76
E (m) 7.66
F (m) 7.36
G (dd) 7.25
H (s) 6.54
I (s) 4.95
J (m) 3.24
K (tt) 3.01
L (d) 1.32
1.00
1.02
1.05
1.04
1.00
7.54
2.24
1.01
2.08
2.25
1.10
6.50
f1 (ppm)

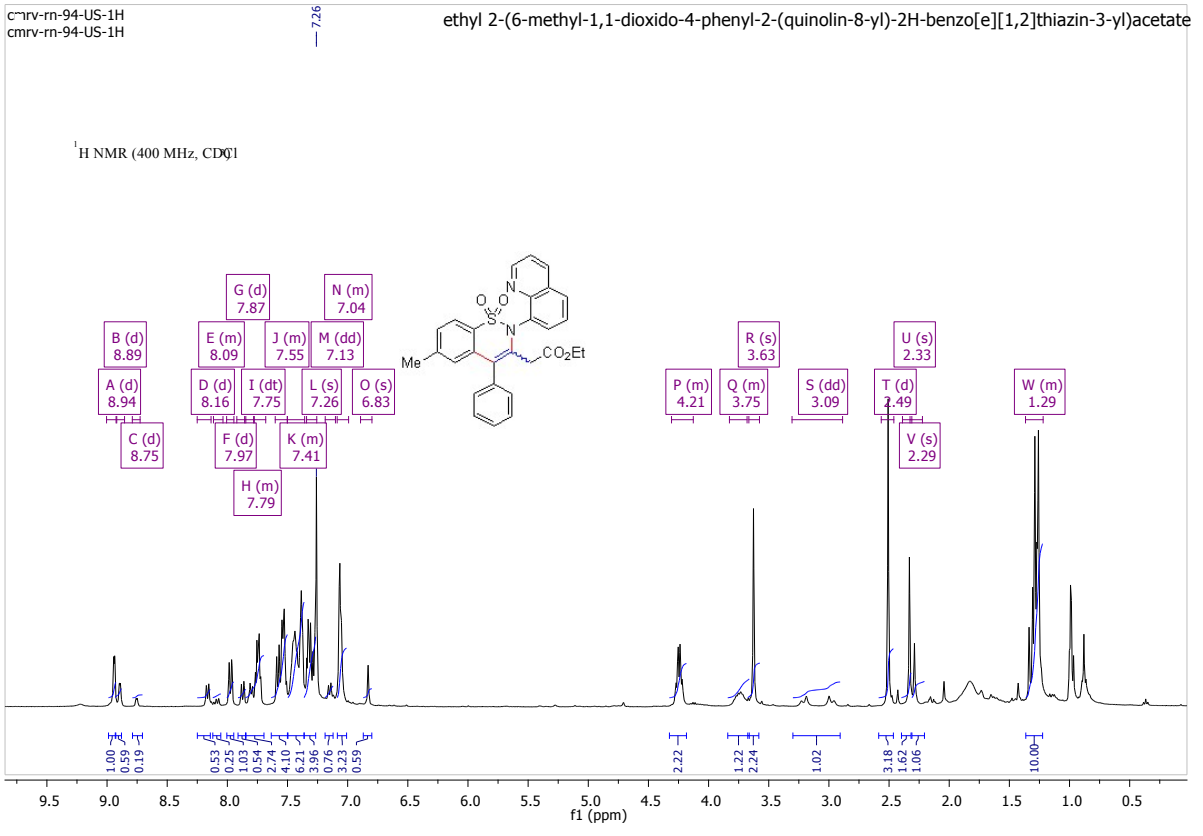

CMRV-RN-100-13C1
CMRV-RN-100-13C1
153.32
151.47
145.80
136.93
136.12
135.44
133.07
132.58
132.12
129.94
129.28
128.61
128.45
126.28
126.05
124.95
77.16
66.97
40.29
34.56
23.99
benzyl 2-(6-isopropyl-1,1-dioxido-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazin-3-yl)acetate
13C NMR (101 MHz, CDCl3)
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10
f1 (ppm)

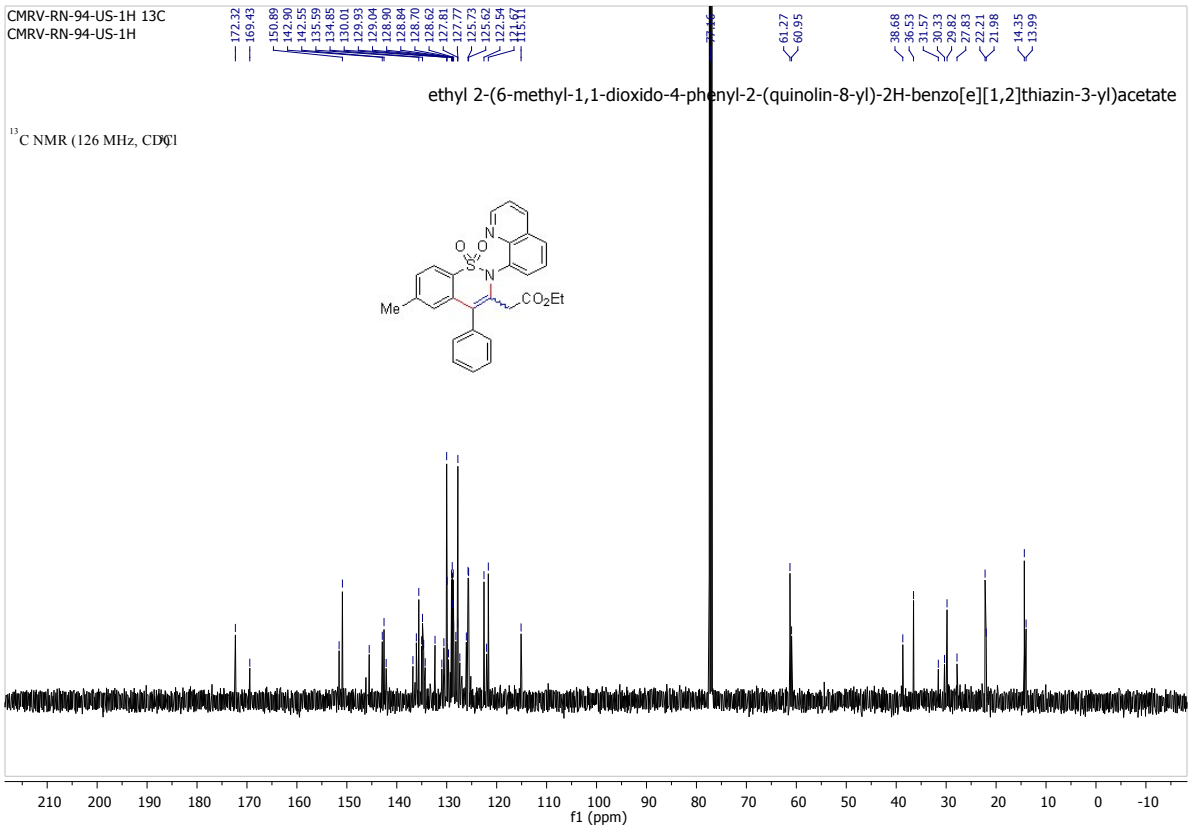

CMRV-RN-99-2F-1H1
CMRV-RN-99-2F-1H1
1H NMR (500 MHz, CDCl3)
benzyl 2-(6-(tert-butyl)-1,1-dioxido-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazin-3-yl)acetate
A (ddd) 8.81
B (ddd) 8.17
C (dd) 7.85
D (d) 7.79
E (dd) 7.69
F (dd) 7.53
G (d) 7.48
H (m) 7.44
I (m) 7.37
J (dd) 7.28
K (s) 6.59
L (s) 4.98
M (m) 3.29
N (s) 1.42
1.00
1.06
1.06
1.13
1.04
1.27
1.04
1.24
4.26
2.35
0.99
2.09
2.30
10.12
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5
f1 (ppm)

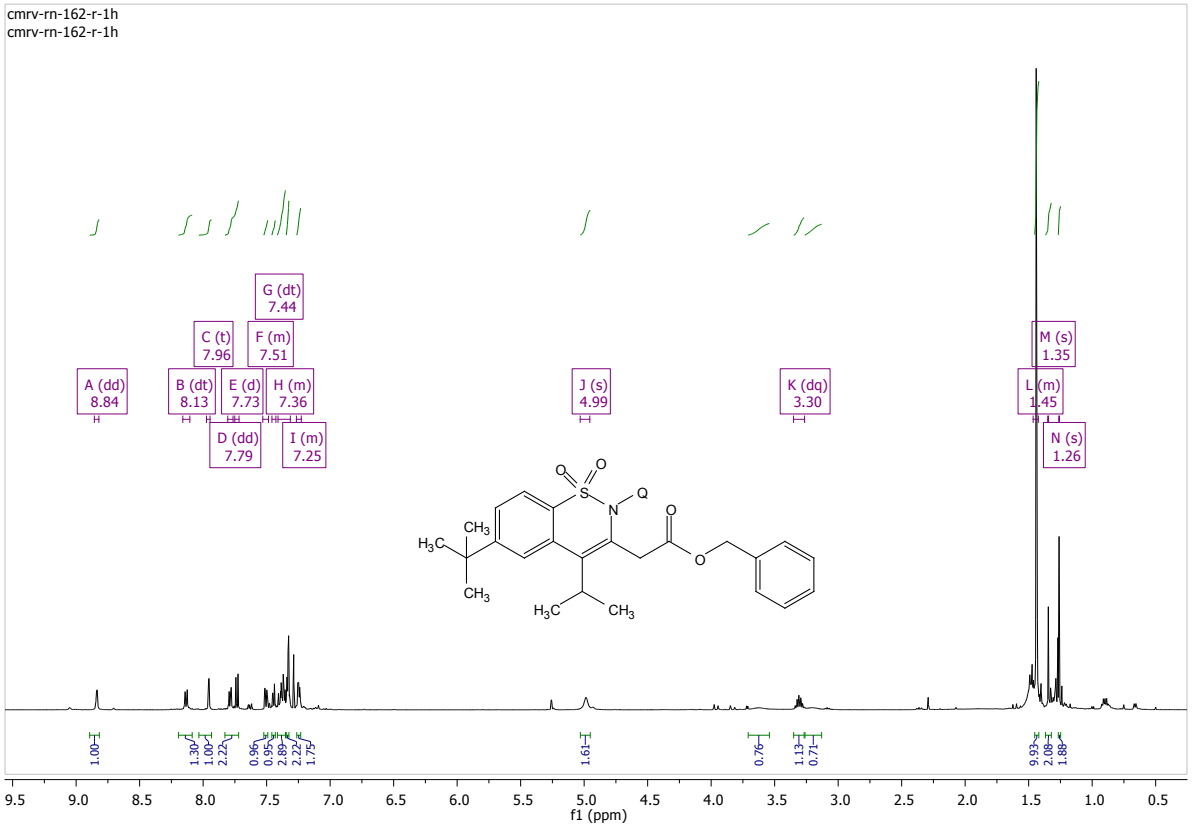

CMRV-RN-99-2F-1H1
CMRV-RN-99-2F-1H1
155.57
151.47
145.77
136.80
136.12
132.69
132.06
129.94
129.25
128.61
128.58
128.43
126.02
125.24
123.94
121.95
77.16
66.94
40.08
35.26
31.25
benzyl 2-(6-(tert-butyl)-1,1-dioxido-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazin-3-yl)acetate
13C NMR (126 MHz, CDCl3)
Me
Me
Me
CO2Bn
f1 (ppm)

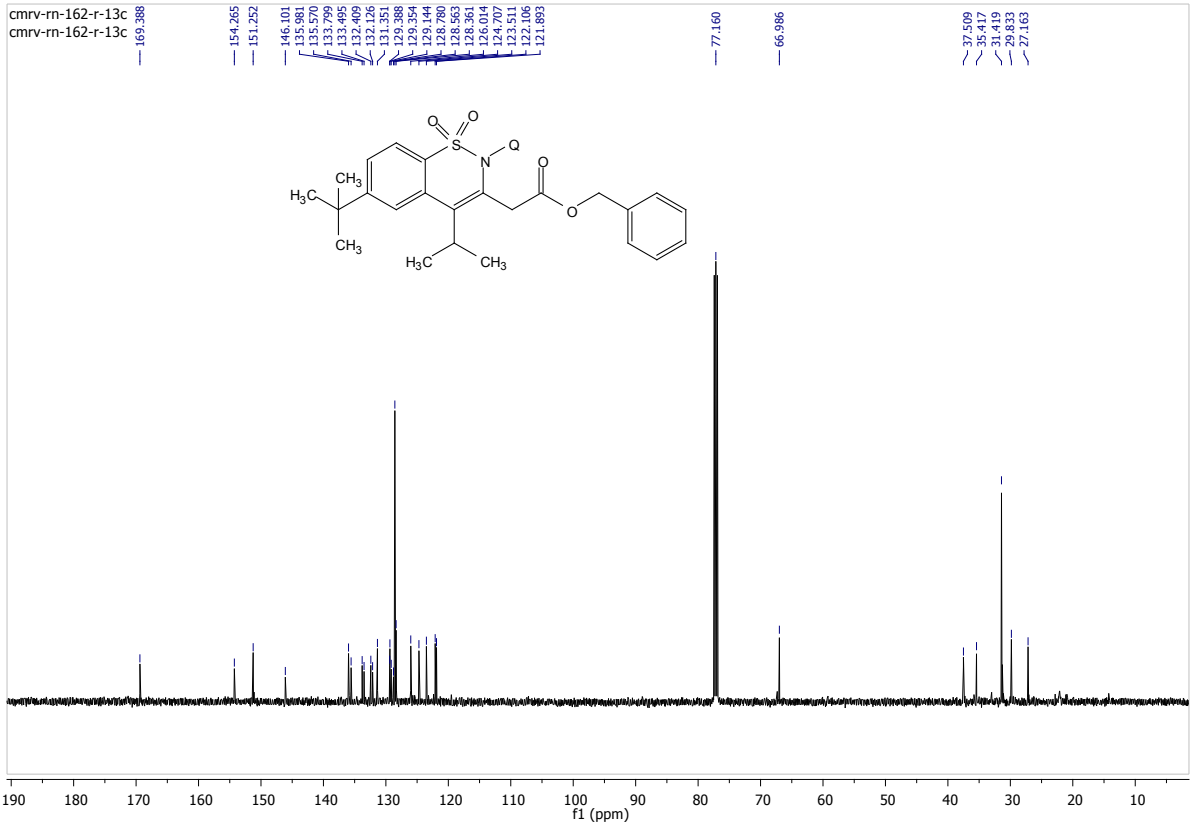

CMRV-RN-101-1H
CMRV-RN-101-1H
benzyl 2-(6-methoxy-1,1-dioxido-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazin-3-yl)acetate
1H NMR (400 MHz, CDCl3)
A (dd) 8.76
B (dd) 8.12
C (d) 7.83
D (d) 7.74
E (d) 7.68
F (t) 7.42
G (m) 7.34
H (dd) 7.25
I (m) 6.97
J (d) 6.89
K (s) 6.49
L (s) 4.95
M (s) 3.88
MeO
CO2Bn
1.00
1.00
1.05
1.08
1.00
1.17
4.17
2.51
1.06
0.98
0.99
1.98
3.30
1.07
0.95
f1 (ppm)

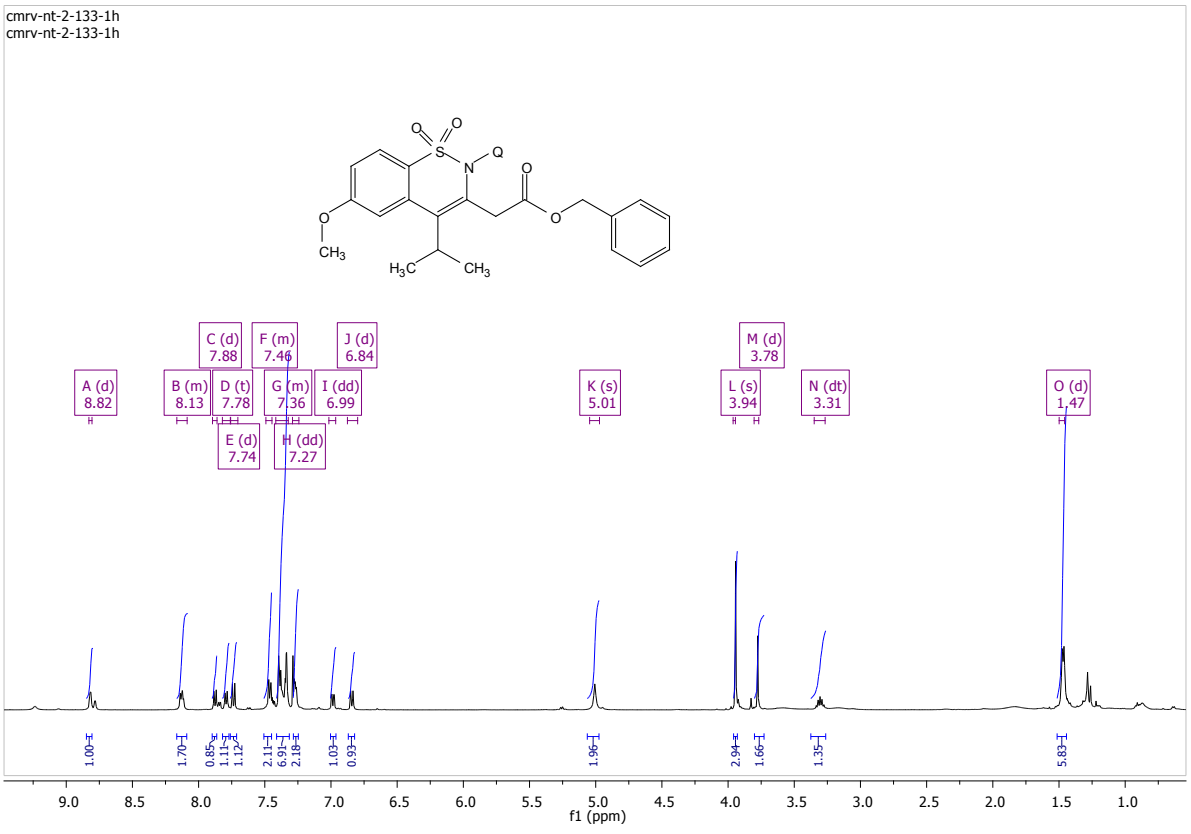

CMRV-RN-101-13C
CMRV-RN-101-13C
168.70
162.34
151.42
145.71
137.64
136.11
135.07
132.16
129.95
129.26
128.62
128.59
128.44
126.03
123.90
110.88
110.57
77.16
66.97
55.71
40.17
benzyl 2-(6-methoxy-1,1-dioxido-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazin-3-yl)acetate
13C NMR (101 MHz, CDCl3)
MeO
CO2Bn
f1 (ppm)

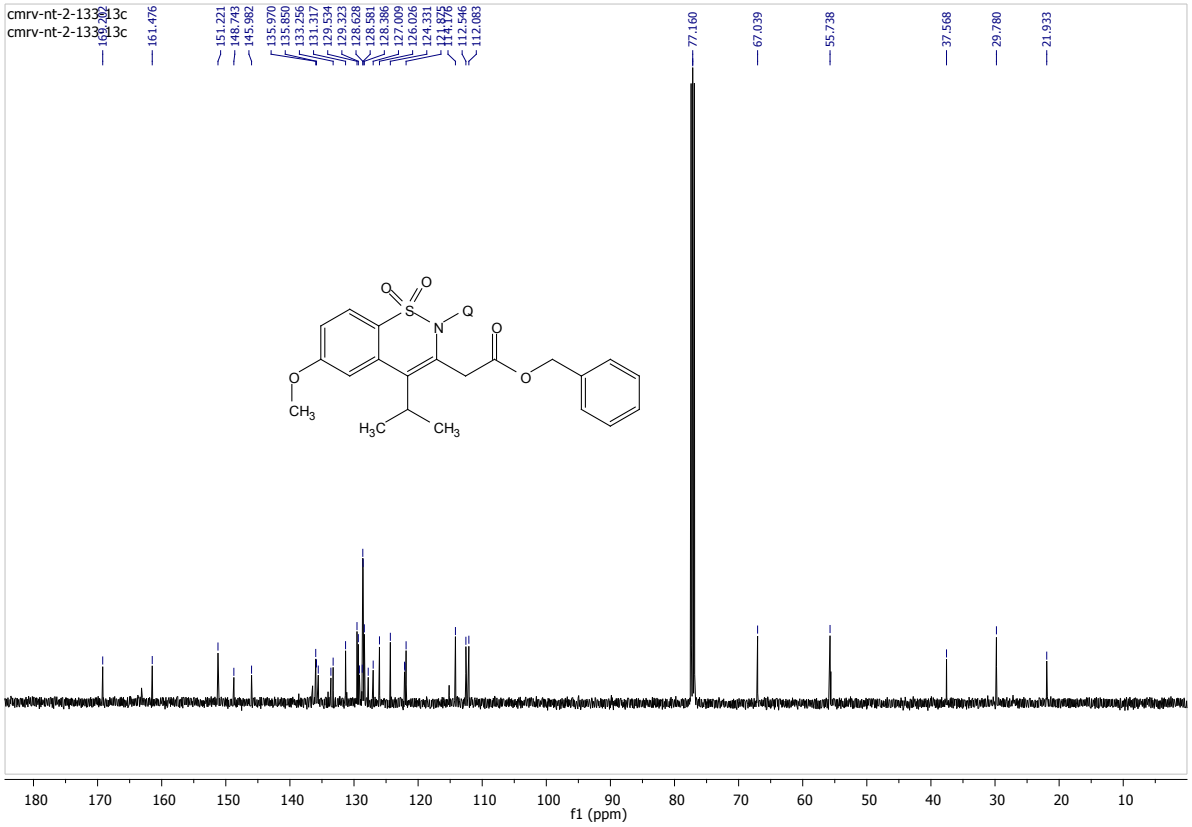

cmrv-rn-94-US-1H
cmrv-rn-94-US-1H
7.26
ethyl 2-(6-methyl-1,1-dioxido-4-phenyl-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazin-3-yl)acetate
1H NMR (400 MHz, CDCl3)
A (d) 8.94
B (d) 8.89
C (d) 8.75
D (d) 8.16
E (m) 8.09
F (d) 7.97
G (d) 7.87
H (m) 7.79
I (dt) 7.75
J (m) 7.55
K (m) 7.41
L (s) 7.26
M (dd) 7.13
N (m) 7.04
O (s) 6.83
P (m) 4.21
Q (m) 3.75
R (s) 3.63
S (dd) 3.09
T (d) 2.49
U (s) 2.33
V (s) 2.29
W (m) 1.29
Me
CO2Et
f1 (ppm)

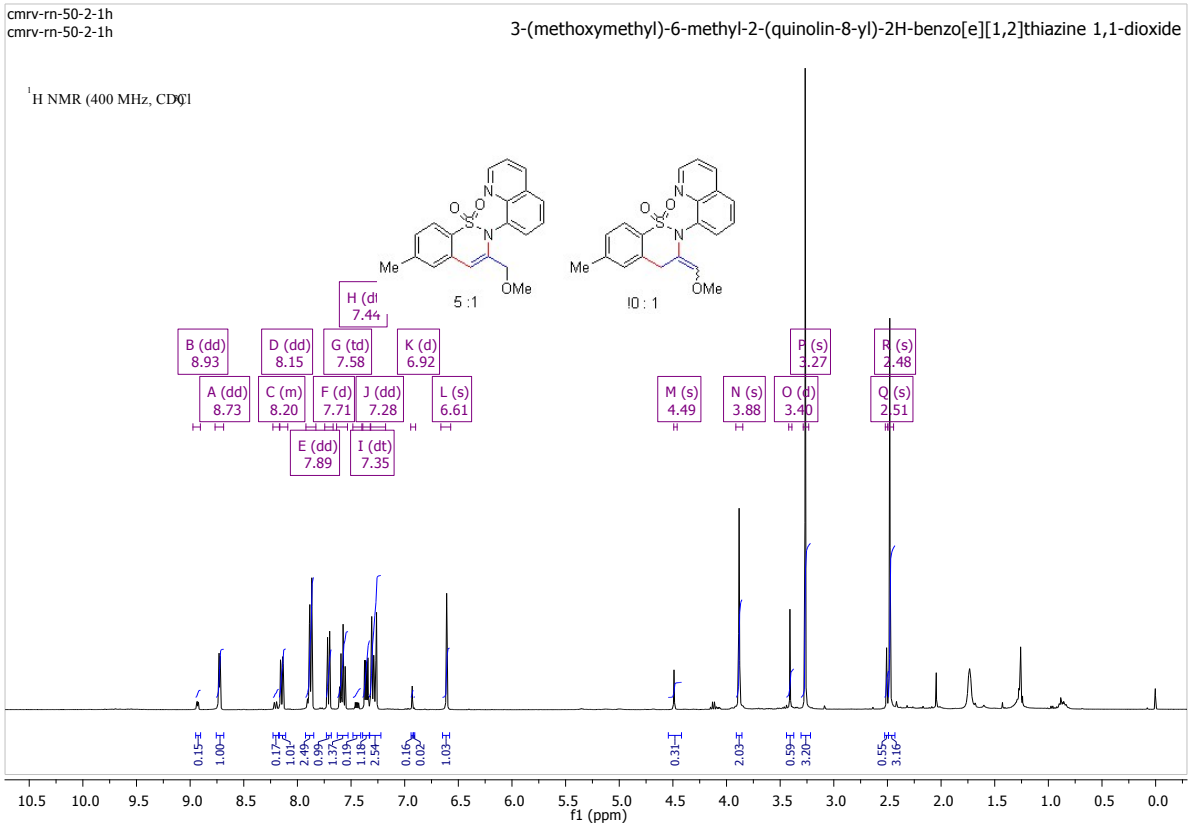

CMRV-RN-94-US-1H 13C
CMRV-RN-94-US-1H
172.32
169.43
150.89
142.90
142.55
135.59
134.85
130.01
129.93
129.04
128.90
128.84
128.70
128.62
127.81
127.77
125.73
125.62
122.54
121.67
115.11
77.16
61.27
60.95
38.68
36.53
31.57
30.33
29.82
27.83
22.21
21.98
14.35
13.99
ethyl 2-(6-methyl-1,1-dioxido-4-phenyl-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazin-3-yl)acetate
13C NMR (126 MHz, CDCl3)
Me
CO2Et
f1 (ppm)

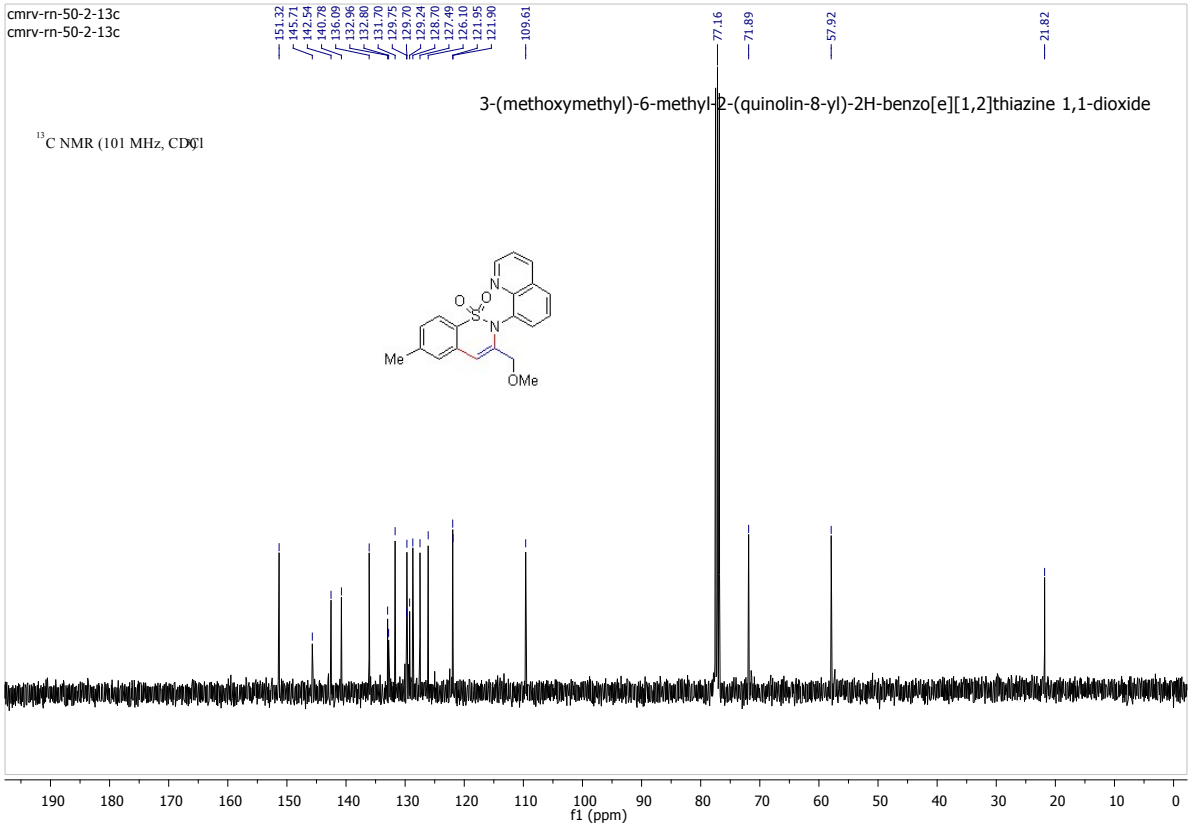

cmrv-rn-162-r-1h
cmrv-rn-162-r-1h
A (dd) 8.84
B (dt) 8.13
C (t) 7.96
D (dd) 7.79
E (d) 7.73
F (m) 7.51
G (dt) 7.44
H (m) 7.36
I (m) 7.25
J (s) 4.99
K (dq) 3.30
L (m) 1.45
M (s) 1.35
N (s) 1.26
f1 (ppm)

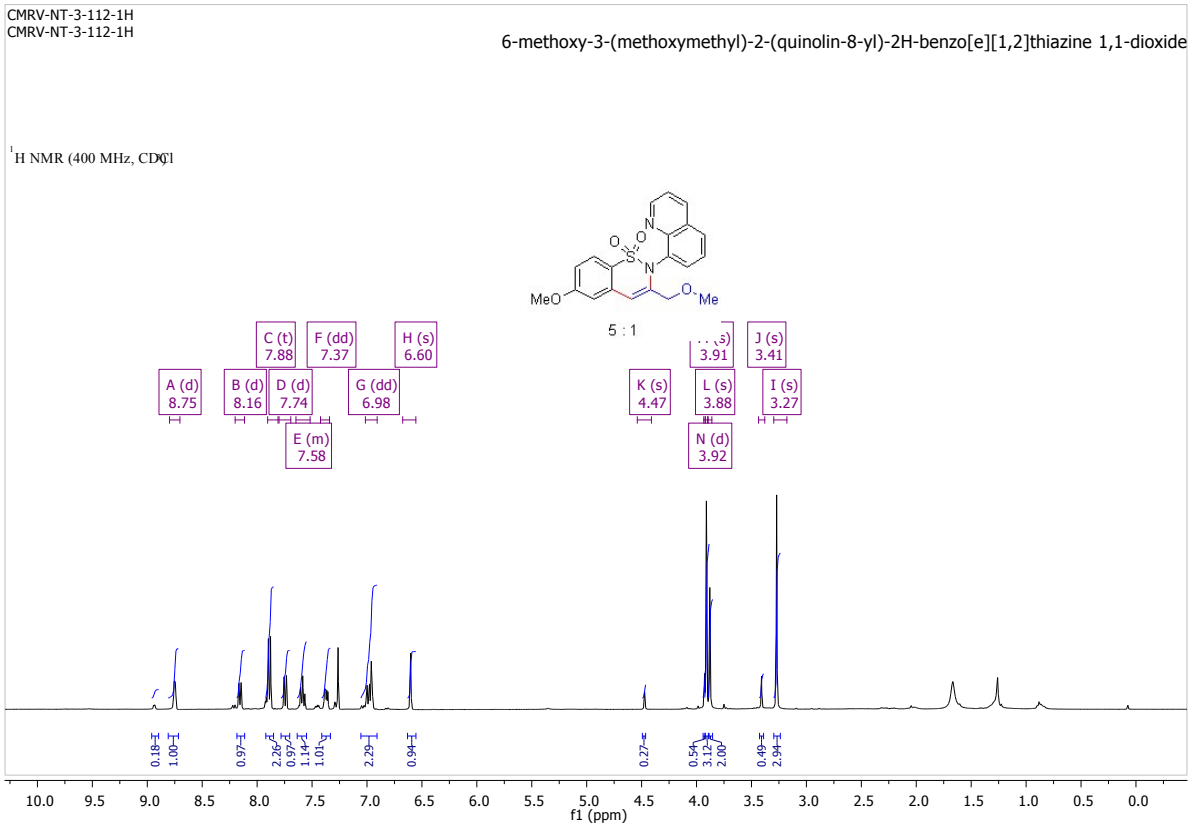

cmrv-rn-162-r-13c
cmrv-rn-162-r-13c
169.388
154.265
151.252
146.101
135.981
135.570
133.799
133.495
132.409
132.126
131.351
129.388
129.354
129.144
128.780
128.563
128.361
126.014
124.707
123.511
122.106
121.893
77.160
66.986
37.509
35.417
31.419
29.833
27.163
f1 (ppm)

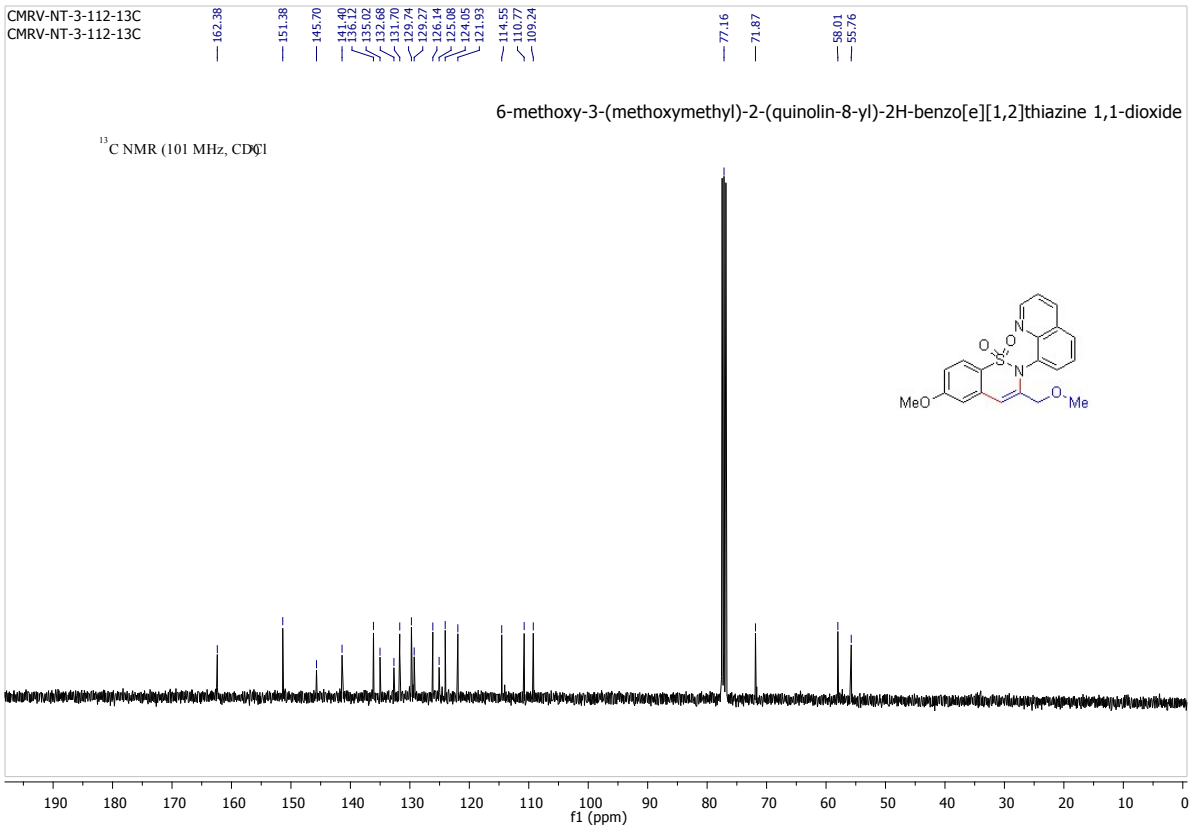

cmrv-nt-2-133-1h
cmrv-nt-2-133-1h
A (d) 8.82
B (m) 8.13
C (d) 7.88
D (t) 7.78
E (d) 7.74
F (m) 7.46
G (m) 7.36
H (dd) 7.27
I (dd) 6.99
J (d) 6.84
K (s) 5.01
L (s) 3.94
M (d) 3.78
N (dt) 3.31
O (d) 1.47
f1 (ppm)

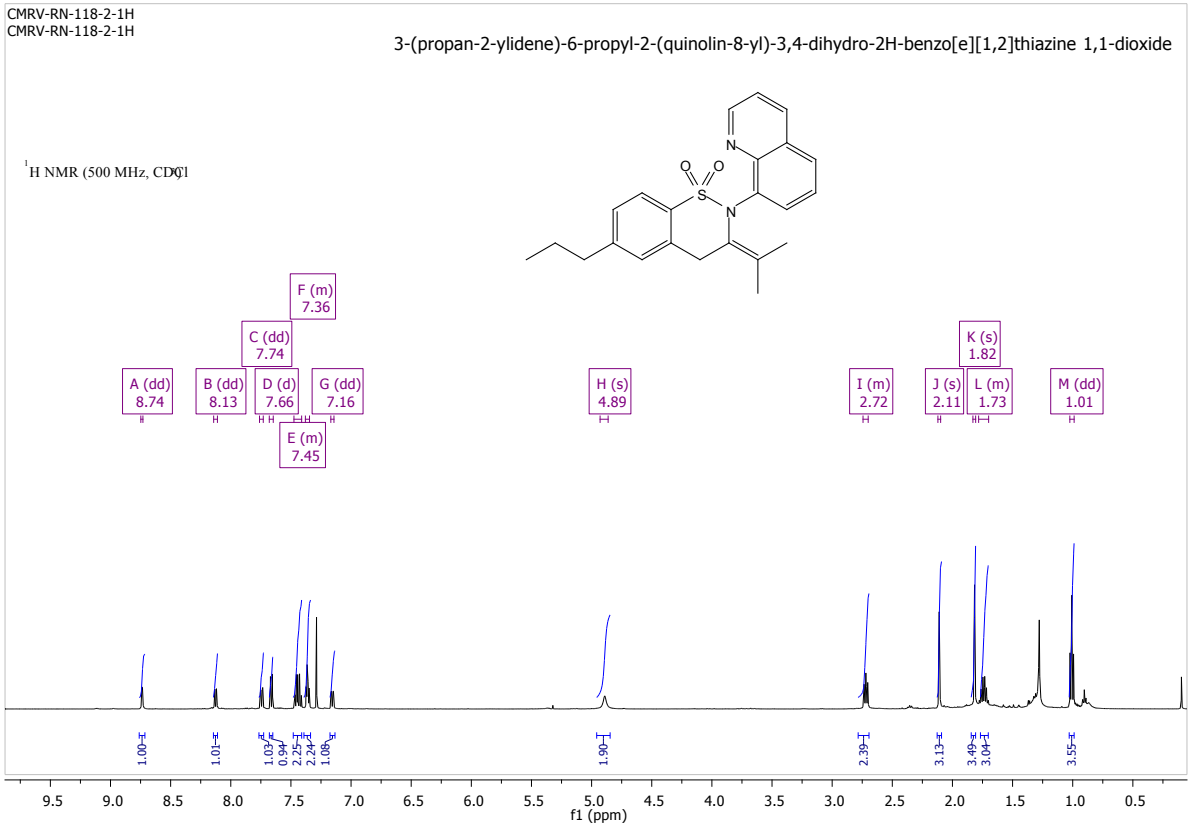

cmrv-nt-2-133c13c
cmrv-nt-2-133c13c
161.476
151.221
148.743
145.982
135.970
135.850
133.256
131.317
129.534
129.323
128.628
128.581
128.386
127.009
126.026
124.331
114.178
112.546
112.083
77.160
67.039
55.738
37.568
29.780
21.933
f1 (ppm)

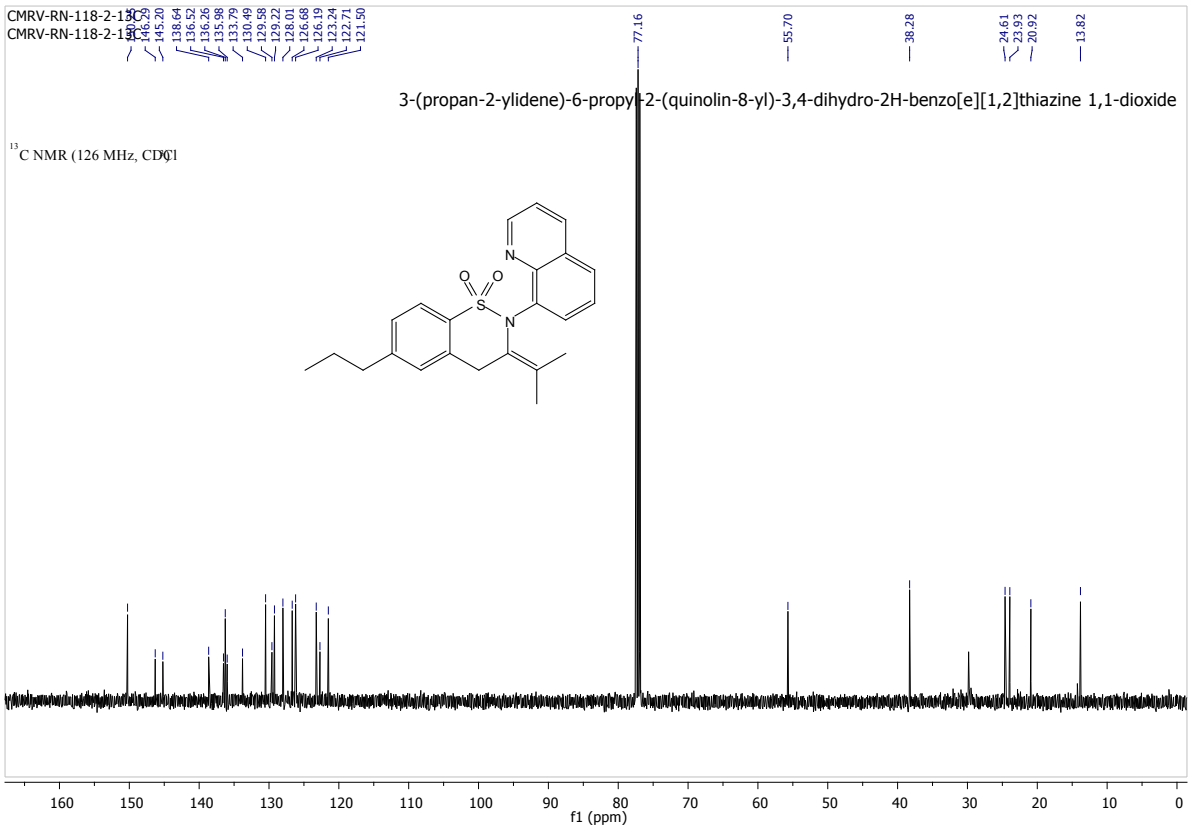

cmrv-rn-50-2-1h
cmrv-rn-50-2-1h
3-(methoxymethyl)-6-methyl-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
1H NMR (400 MHz, CDCl3)
5 :1
10 : 1
B (dd) 8.93
D (dd) 8.15
G (td) 7.58
K (d) 6.92
A (dd) 8.73
C (m) 8.20
F (d) 7.71
J (dd) 7.28
L (s) 6.61
E (dd) 7.89
I (dt) 7.35
M (s) 4.49
N (s) 3.88
O (d) 3.40
P (s) 3.27
Q (s) 2.51
R (s) 2.48
f1 (ppm)

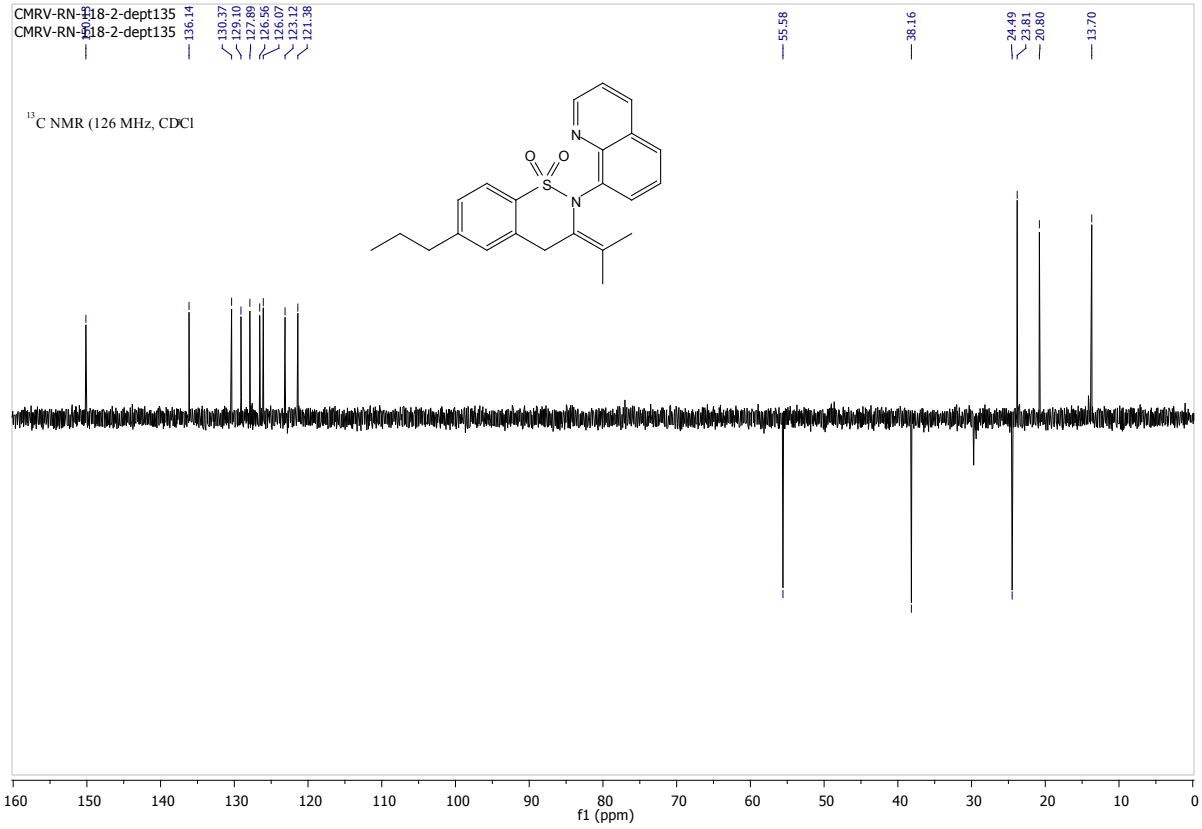

cmrv-rn-50-2-13c
cmrv-rn-50-2-13c
151.32
145.71
142.54
140.78
136.09
132.96
132.80
131.70
129.75
129.70
129.24
128.70
127.49
126.10
121.95
121.90
109.61
77.16
71.89
57.92
21.82
3-(methoxymethyl)-6-methyl-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
13C NMR (101 MHz, CDCl3)
Me
OMe
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

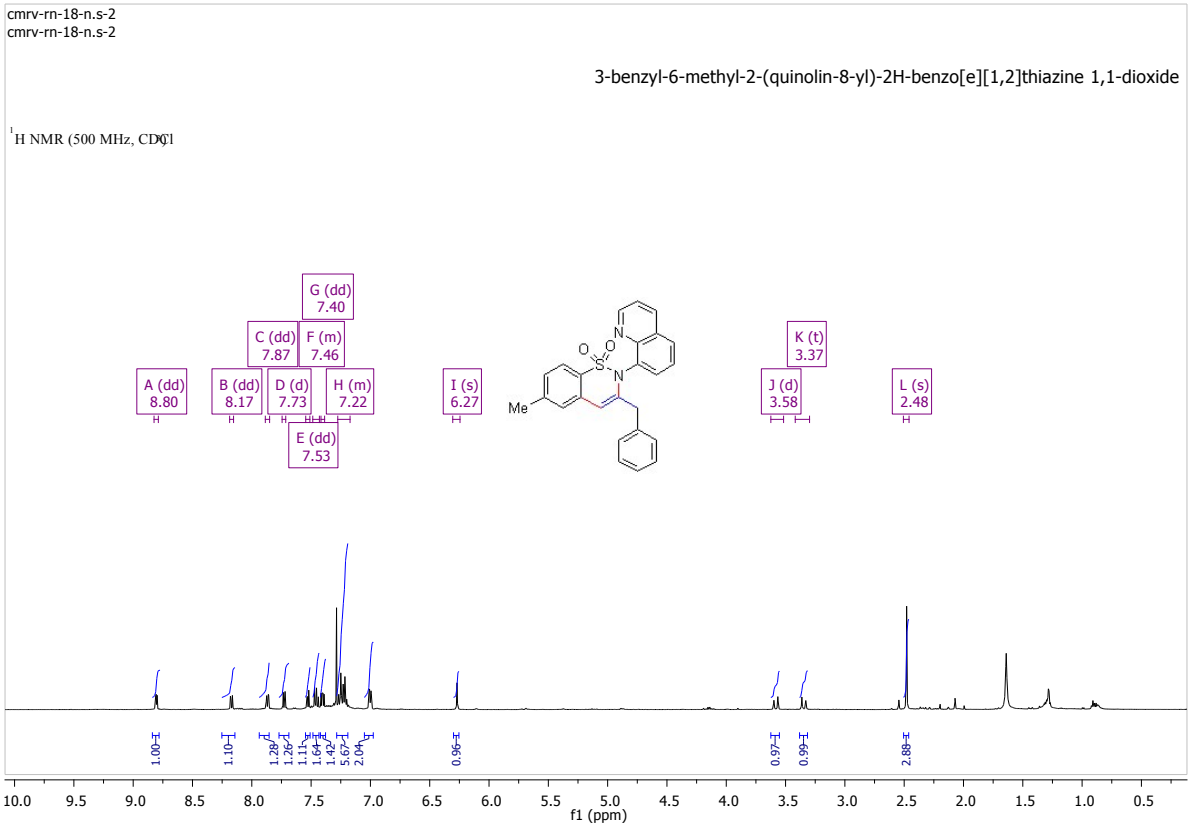

CMRV-NT-3-112-1H
CMRV-NT-3-112-1H
6-methoxy-3-(methoxymethyl)-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
1H NMR (400 MHz, CDCl3)
MeO
Me
5 : 1
A (d) 8.75
B (d) 8.16
C (t) 7.88
D (d) 7.74
E (m) 7.58
F (dd) 7.37
G (dd) 6.98
H (s) 6.60
K (s) 4.47
L (s) 3.88
N (d) 3.92
J (s) 3.41
I (s) 3.27
0.18 1.00 0.97 2.26 0.92 1.14 1.01 2.29 0.94 0.27 0.54 3.12 2.00 0.49 2.94
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

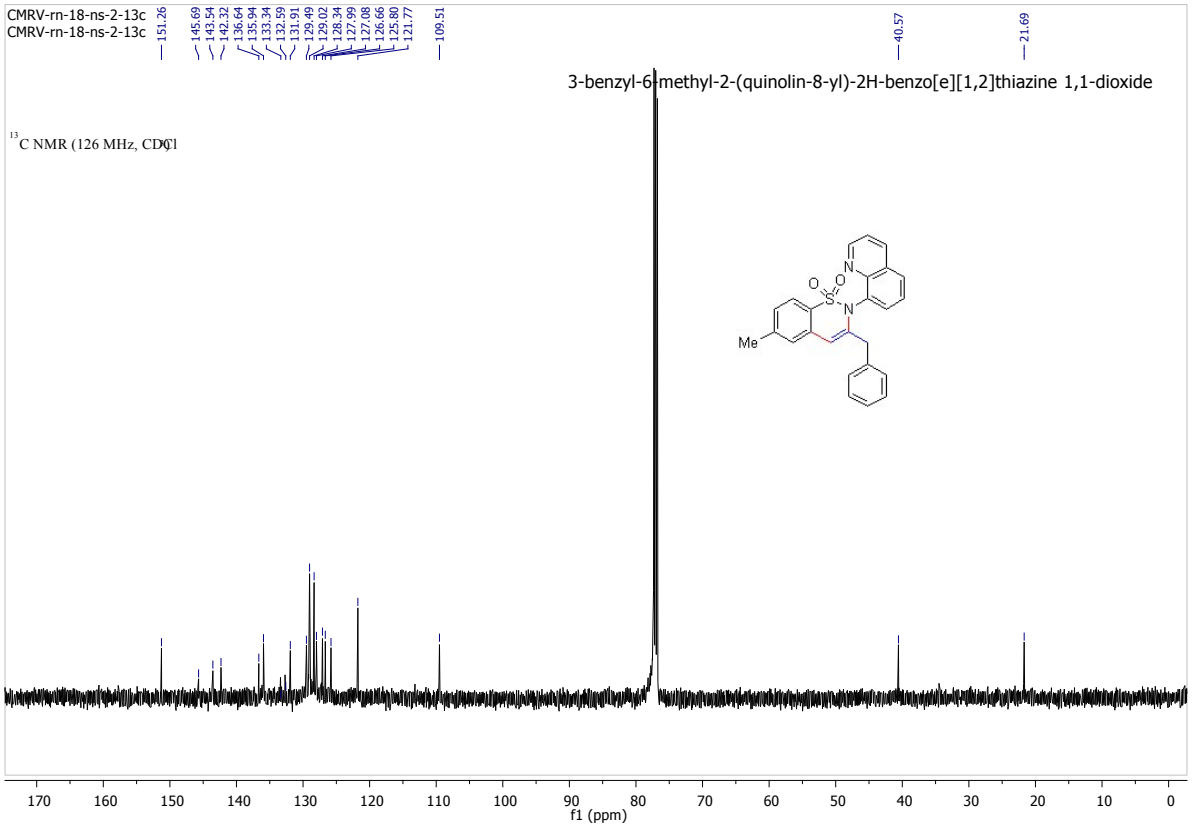

CMRV-NT-3-112-13C
CMRV-NT-3-112-13C
162.38
151.38
145.70
141.40
136.12
135.02
132.68
131.70
129.74
129.27
126.14
125.08
124.05
121.93
114.55
110.77
109.24
77.16
71.87
58.01
55.76
6-methoxy-3-(methoxymethyl)-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
13C NMR (101 MHz, CDCl3)
MeO
Me
f1 (ppm)


CMRV-RN-118-2-1H
CMRV-RN-118-2-1H
3-(propan-2-ylidene)-6-propyl-2-(quinolin-8-yl)-3,4-dihydro-2H-benzo[e][1,2]thiazine 1,1-dioxide
1H NMR (500 MHz, CDCl3)
A (dd) 8.74
B (dd) 8.13
C (dd) 7.74
D (d) 7.66
E (m) 7.45
F (m) 7.36
G (dd) 7.16
H (s) 4.89
I (m) 2.72
J (s) 2.11
K (s) 1.82
L (m) 1.73
M (dd) 1.01
f1 (ppm)


CMRV-RN-118-2-13C
CMRV-RN-118-2-13C
146.29
145.20
138.64
136.52
136.26
135.98
133.79
130.49
129.58
129.22
128.01
126.68
126.19
123.24
122.71
121.50
77.16
55.70
38.28
24.61
23.93
20.92
13.82
3-(propan-2-ylidene)-6-propyl-2-(quinolin-8-yl)-3,4-dihydro-2H-benzo[e][1,2]thiazine 1,1-dioxide
13C NMR (126 MHz, CDCl3)
f1 (ppm)


CMRV-RN-118-2-dept135
CMRV-RN-118-2-dept135
136.14
130.37
129.10
127.89
126.56
126.07
123.12
121.38
55.58
38.16
24.49
23.81
20.80
13.70
13C NMR (126 MHz, CDCl3)
f1 (ppm)


cmrv-rn-18-n.s-2
cmrv-rn-18-n.s-2
3-benzyl-6-methyl-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
1H NMR (500 MHz, CDCl3)
A (dd) 8.80
B (dd) 8.17
C (dd) 7.87
D (d) 7.73
E (dd) 7.53
F (m) 7.46
G (dd) 7.40
H (m) 7.22
I (s) 6.27
J (d) 3.58
K (t) 3.37
L (s) 2.48
Me
1.00
1.10
1.28
1.26
1.11
1.64
1.42
5.67
2.04
0.96
0.97
0.99
2.88
f1 (ppm)


CMRV-rn-18-ns-2-13c
CMRV-rn-18-ns-2-13c
151.26
145.69
143.54
142.32
136.64
135.94
133.34
132.59
131.91
129.49
129.02
128.34
127.99
127.08
126.66
125.80
121.77
109.51
40.57
21.69
3-benzyl-6-methyl-2-(quinolin-8-yl)-2H-benzo[e][1,2]thiazine 1,1-dioxide
13C NMR (126 MHz, CDCl3)
Me
f1 (ppm)