Supporting Information

Contents:

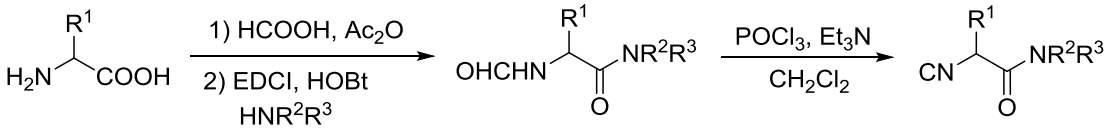
1. General remarks

^{1}H NMR spectra were recorded on commercial instruments (400 MHz). Chemical shifts were reported in ppm from tetramethylsilane with the solvent resonance as the internal standard ($CDCl_3$, δ = 7.26). Spectra are reported as follows: chemical shift (δ ppm), multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet), coupling constants (Hz), integration, and assignment. ^{13}C NMR spectra were collected on commercial instruments (100 MHz) with complete proton decoupling. Chemical shifts are reported in ppm from the tetramethylsilane with the solvent resonance as internal standard ($CDCl_3$, δ = 77.0). The enantiomeric excess was determined by HPLC analysis employing a chiral stationary phase column specified in the individual experiment, by comparing the samples with the appropriate racemic mixtures. Optical rotations were measured on a commercial polarimeter and reported as follows: $[\alpha]^T_D$ (c = g/100 mL, solvent). HR-ESIMS spectra were recorded using a commercial apparatus and methanol or acetonitrile was used to dissolve the sample. Unless otherwise indicated, reagents obtained from commercial sources were used without further purification. Solvents were dried and distilled prior to use according to the standard methods. The *N*,*N*′-dioxides were prepared according to the previous reports.[1] All racemic products were obtained by using $Mg(OTf)_2$ (10 mol%) in concert with racemic *N*,*N*′-dioxide ligand (L-PiPr_2, 10 mol%) as the catalyst. Starting materials of alkylidene malonates **1** were prepared according to reported procedure.[2]

2. Preparation of the compound α-isocyanoacetamides 2

The α-isocyanoacetamide substrates were synthesized by the procedure in the literature.[3]

2.1 Method A: Preparation of α-isocyanoacetamides **2a, 2b, 2d-2h**.

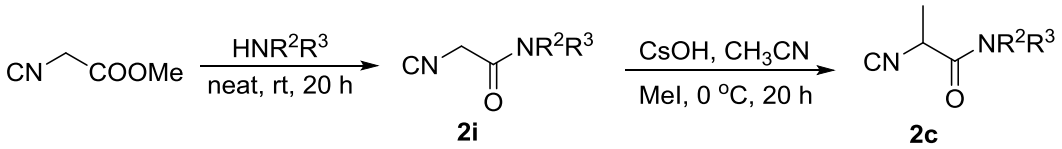


Acetic anhydride (17.0 mL, 180.2 mmol, 7.2 equiv) was added dropwise to a solution of amino acid (25.0 mmol, 1.0 equiv) in HCOOH (50.0 mL) at 0 ℃. After the addition was complete, the reaction mixture was stirred at r.t. for an additional 1 h. Ice-water (20.0 mL) was added and the mixture was concentrated at reduced pressure to give the analytically pure white crystalline *N*-formyl amino acid.

To a solution of *N*-formyl amino acid (19.0 mmol, 1.0 equiv) and HNR^2R^3 (22.9 mmol, 1.2 equiv) in CH_2Cl_2 (50.0 mL) were added Et_3N (3.2 mL, 23.2 mmol, 1.2 equiv), HOBt (3.11 g, 23.0 mmol, 1.2 equiv) and EDCI (4.41 g, 23.0 mmol, 1.2 equiv) successively and the reaction mixture was stirred for 24 h at r.t. The reaction mixture was diluted with sat. NH_4Cl and extracted with CH_2Cl_2. The organic layer was washed with brine, dried over anhyd Na_2SO_4 and concentrated. The residue was purified by flash column chromatography on silica gel (eluent: petroleum ether–EtOAc, 1:1 then EtOAc) to give the amide.

A stirred solution of amide (18.5 mmol, 1.0 equiv) and Et_3N (12.8 mL, 92.0 mmol, 5.0 equiv) in CH_2Cl_2 (90.0 mL) was cooled to –30 ℃. Phosphorus oxychloride (2.6 mL, 27.5 mmol, 1.5 equiv) was added dropwise and the reaction mixture was stirred for 3 h at –30 ℃. An aq sat solution of Na_2CO_3 was introduced dropwise so that the temperature of mixture was maintained at –30 ℃. The mixture was stirred for 0.5 h and raised to r.t. The aqueous layer was separated and extracted with CH_2Cl_2. The organic extracts were combined, washed with brine, dried over anhyd Na_2SO_4 and evaporated under reduced pressure. The residue was purified by flash column chromatography on silica gel to provide the isocyanide.

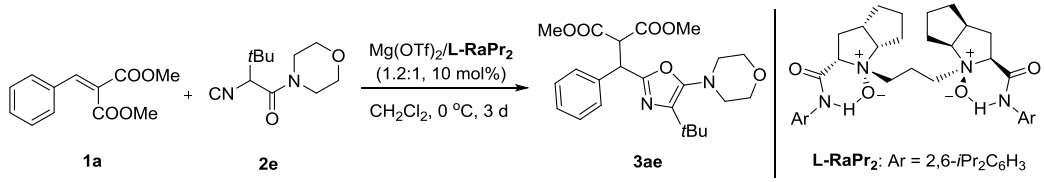
2.2 Method B: Preparation of α-isocyanoacetamide **2c** and **2i**



To methyl α-isocyanoacetate (4.4 mmol) was added morpholine (10.3 mmol, 2.3 equiv) and the reaction mixture was stirred at r.t. for 24 h. The crude material was purified by flash chromatography (SiO_2, EtOAc–petroleum ether = 2:1) to afford α-isocyanoacetamide **2i**.

To a dry test tube containing CsOH·H_2O (0.34 mmol, 1.7 equiv) were added, under argon atmosphere, a solution of isocyano acetamide **2i** (0.20 mmol) in MeCN (1.0 mL) and MeI (0.21 mmol) at 0 ℃. The resulting reaction mixture was stirred at 0 ℃. When the reaction was deemed complete, the volatile was removed under reduced pressure. Purification of the crude product by flash chromatography (silica gel) afforded the desired product α-isocyanoacetamide **2c**.

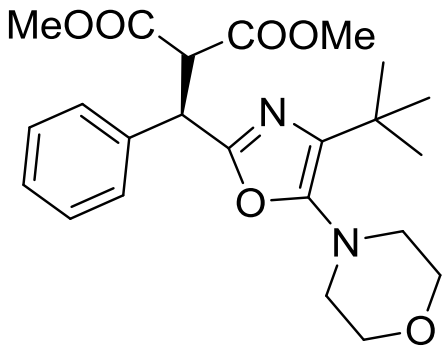
3. Typical experimental procedure for the catalytic asymmetric reaction



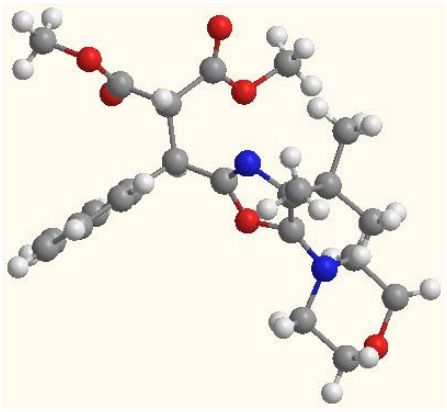
A dry reaction tube was charged with $Mg(OTf)_2$ (0.012 mmol), **L-RaPr$_2$** (0.01 mmol) and dimethyl 2-benzylidenemalonate **1a** (0.1 mmol). CH_2Cl_2 (1.0 mL) was added, and the mixture was stirred at 30 °C for 0.5 h. Subsequently, α-isocyanoacetamide **2e** (0.15 mmol, 1.5 equiv) was added at 0 °C in one portion. After being stirred at 0 °C for 3 days, the crude reaction mixture was purified by flash chromatography on silica gel (petroleum ether/ethyl acetate = 7/1) to afford the desired product **3ae** as a white solid.

4. X-ray crystallographic structure of the product 3ae and proposed mechanism

The configuration of **3ae** was determined to be *R* by single-crystal X-ray crystallographic analysis. Based on previous reports as well, a possible catalytic model has been proposed.

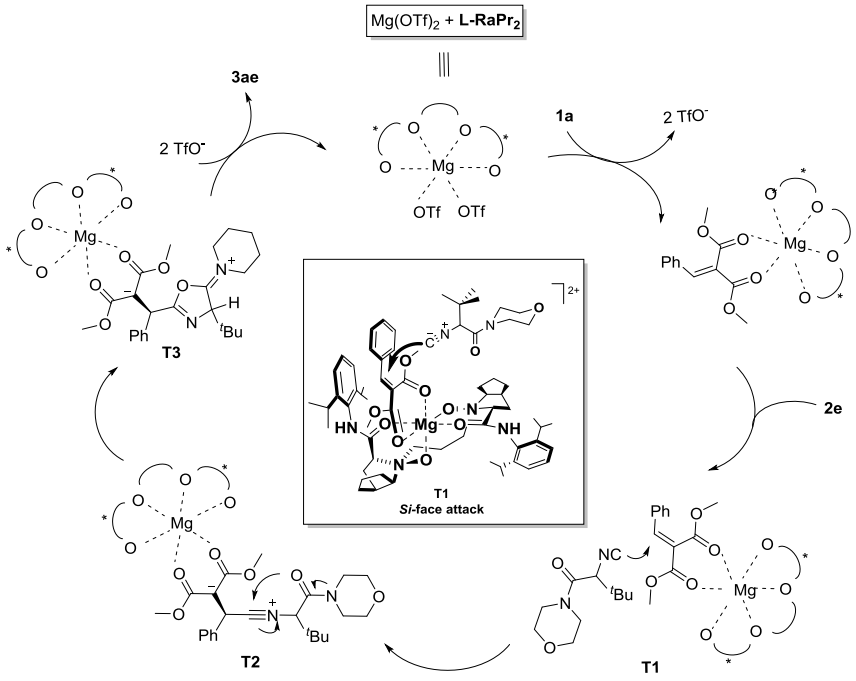


3ae

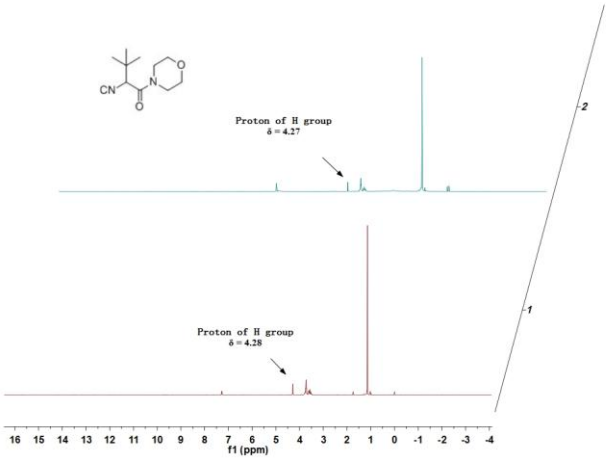


Single crystal of **3ae** [$C_{23}H_{30}N_2O_6$] was obtained from the mixed solvents of ethyl acetate and petroleum ether. CCDC 1416058 contains the supplementary crystallographic data which can be obtained free of charge from The Cambrige Crystallographic Data Centere via www.ccdc.cam.ac.uk/data_request/cif.

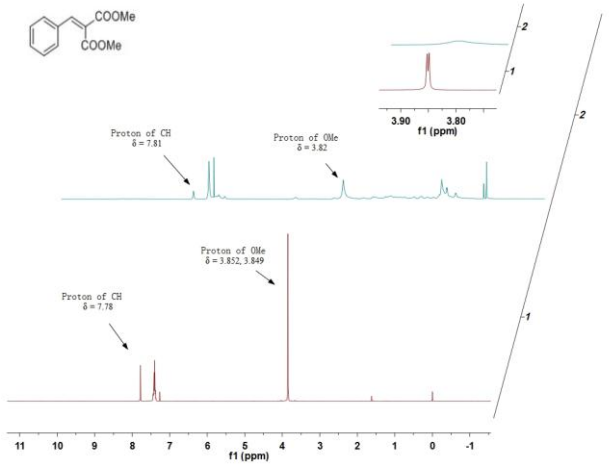
a) Proposed catalytic cycle



b) HRMS and ^{1}H NMR analysis of substrates and catalyst

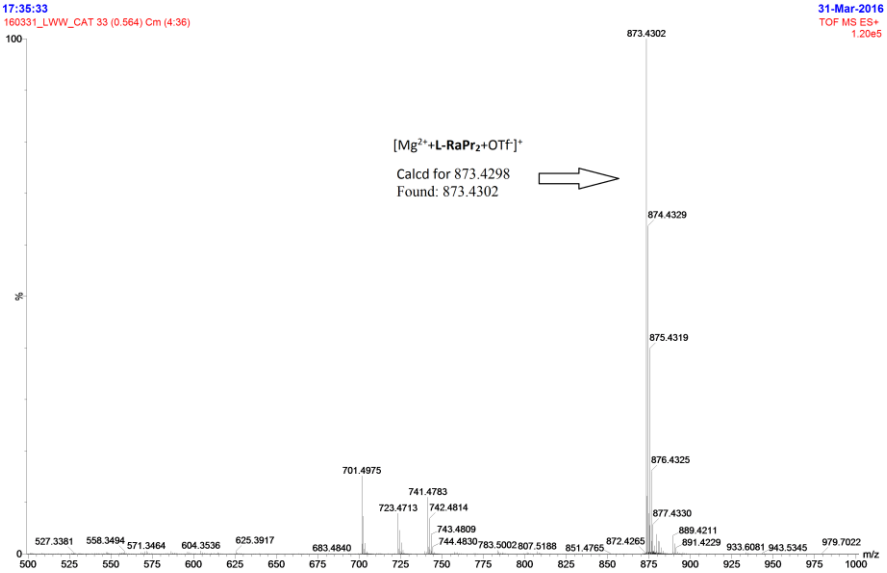


(1) **2e** in $CDCl_3$; (2) $Mg(OTf)_2$/**L-RaPr$_2$**/**2e** (1.2/1/1) in $CDCl_3$.

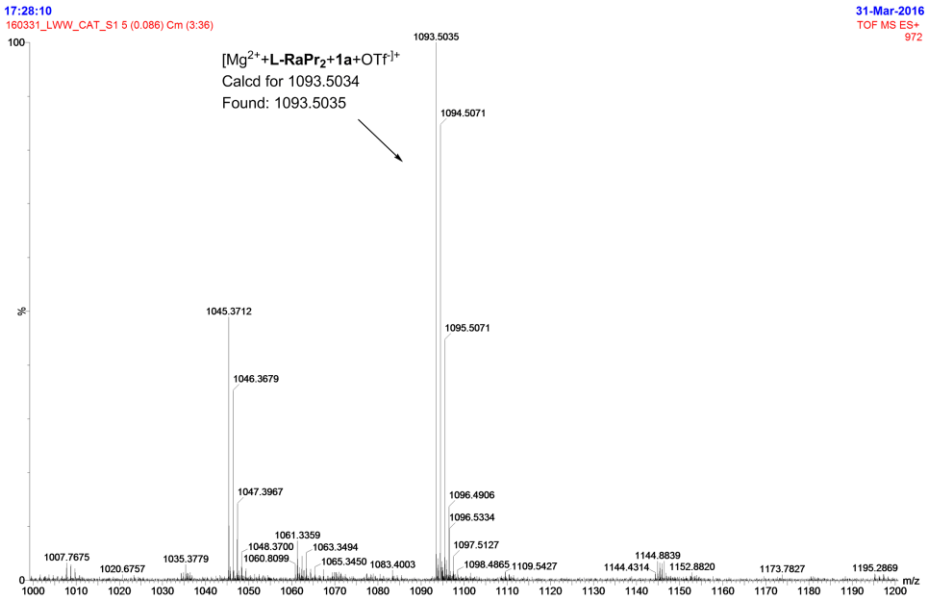


(1) **1a** in $CDCl_3$; (2) $Mg(OTf)_2$/**L-RaPr$_2$**/**1a** (1.2/1/1) in $CDCl_3$.

The mixture of **L-RaPr$_2$** and $Mg(OTf)_2$ (1:1)

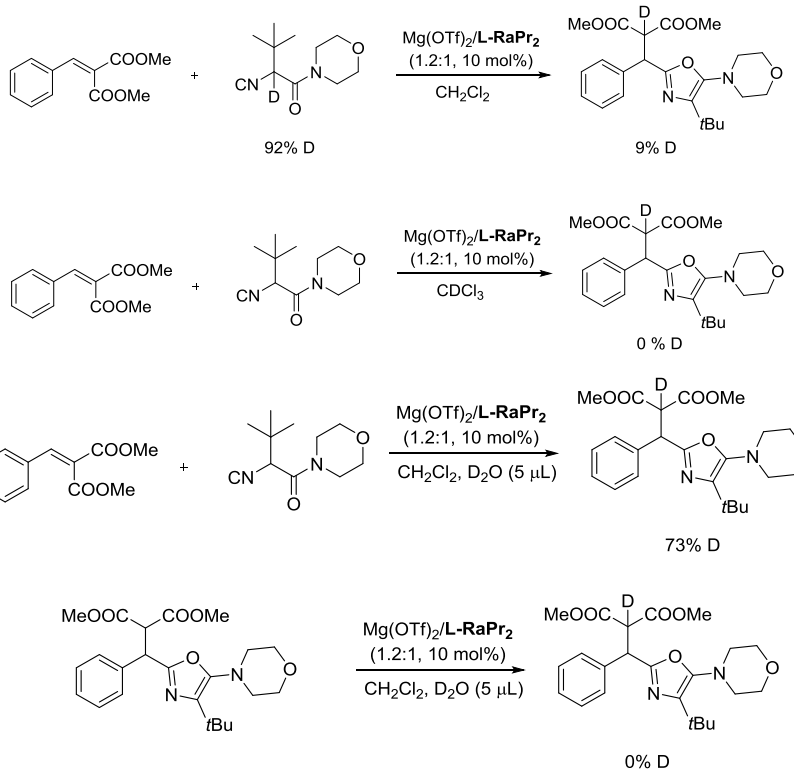


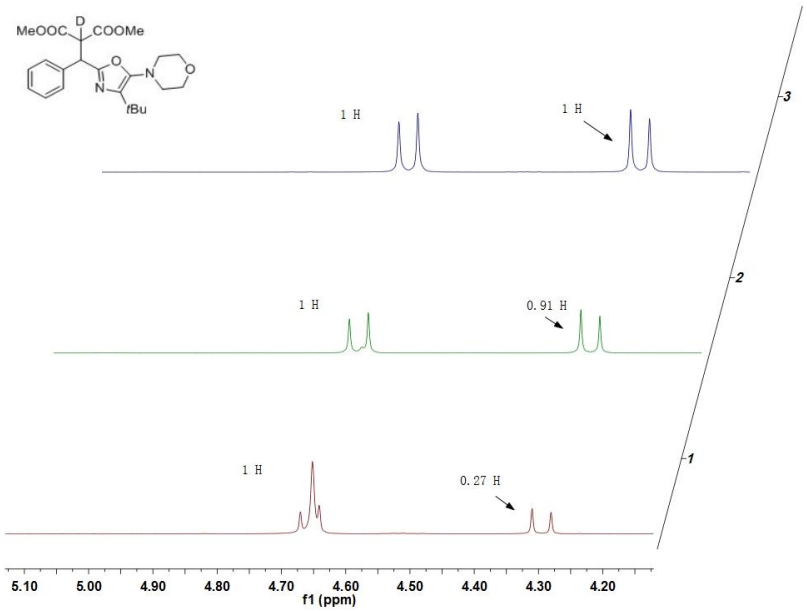
The mixture of **L-RaPr$_2$**, Mg(OTf)$_2$ and **1a** (1:1:1)



As show by the ^{1}H NMR spectra, the proton signal of **1a** was obviously affected by catalyst Mg(OTf)$_2$/**L-RaPr$_2$**. ESI-MS analysis confirmed the coordination of the substrate **1a** to the catalyst.

c) Deuterium labeling studies

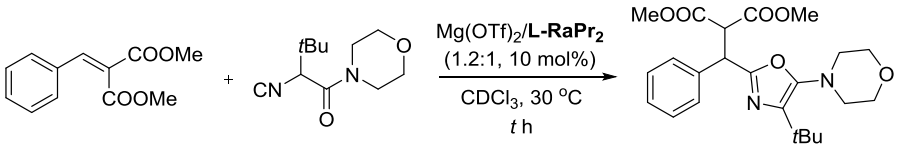


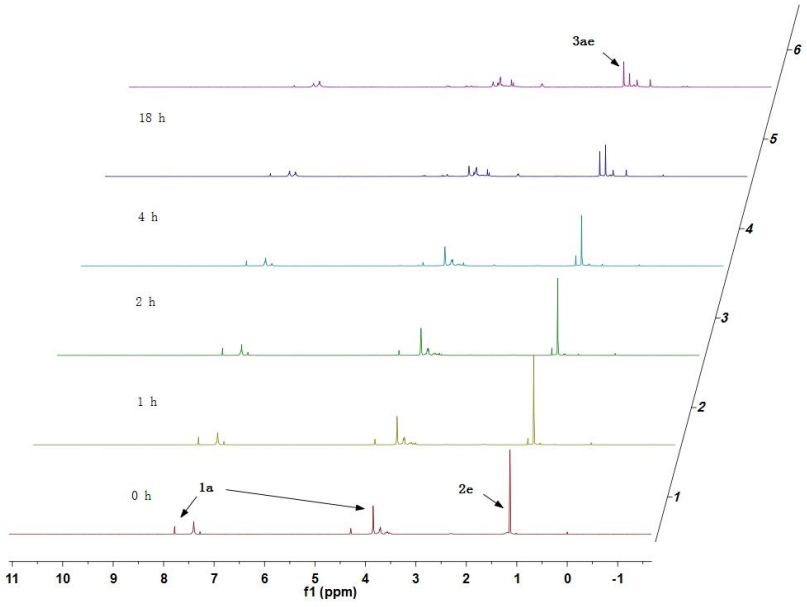


(1) 73% D; (2) 9% D; (3) 0% D.

As show by the deuterium labeling studies, the use of D-α-isocyanoacetamide led to surprisingly low deuterium labeling on the product (9%), the use of $CDCl_3$ resulted in no deuterium labeling on the product (0%), but a small amount of D_2O resulted in significant deuterium labeling on the product (73%). This interesting observation suggests that proton transfer is facilitated by a trace amount of water.

d) ^{1}H NMR monitoring reaction process

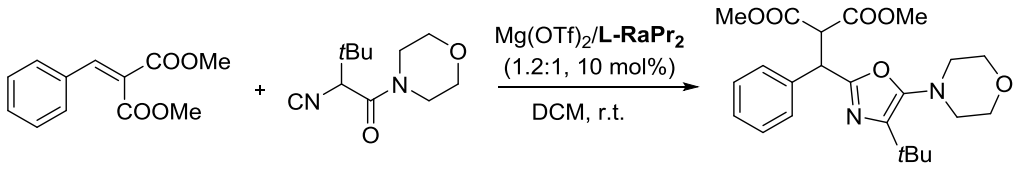


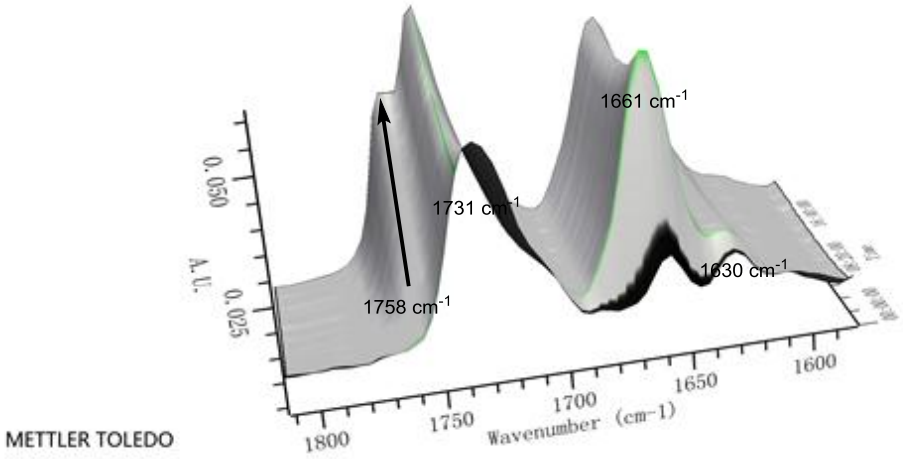


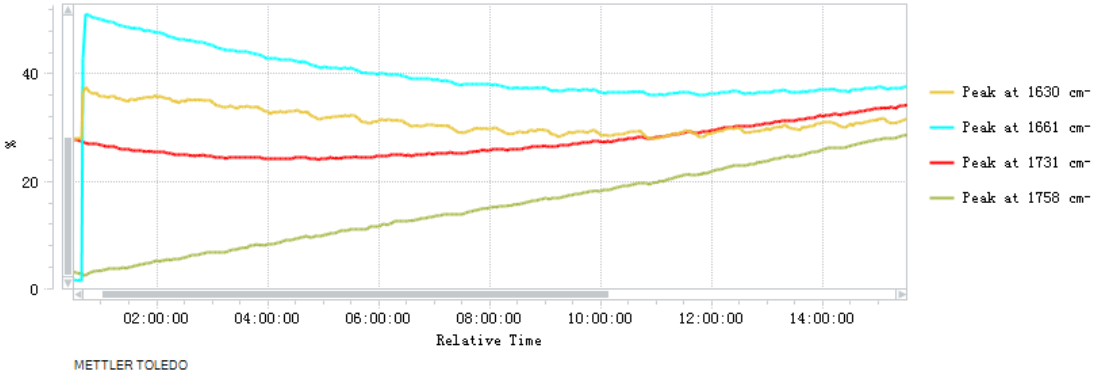
(1) 0 h. (2) 1 h. (3) 2 h. (4) 4 h. (5) 18 h. (6) 24 h.

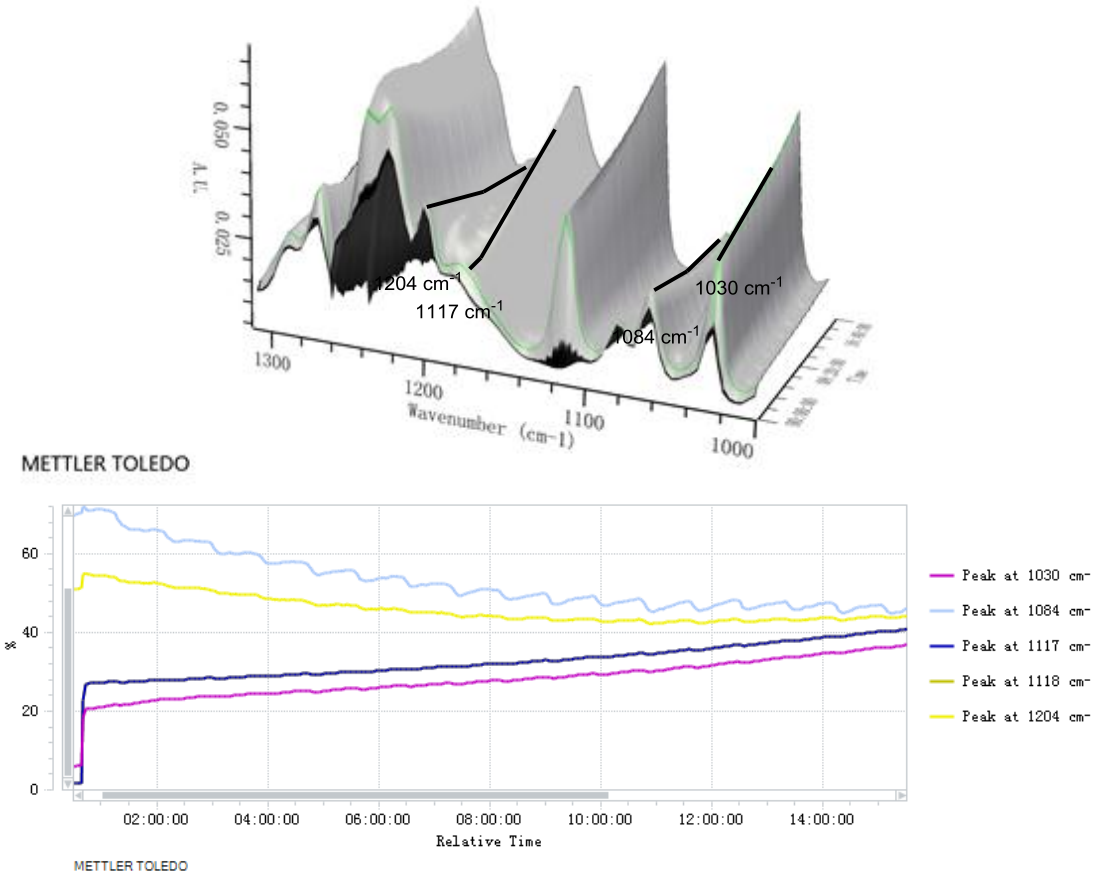
As show by ^{1}H NMR of the reaction mixture, no obvious intermediates were detected.

e) Operando IR experiments





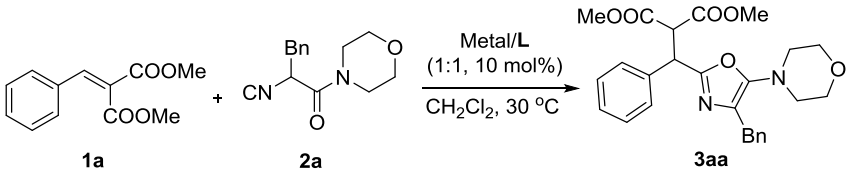


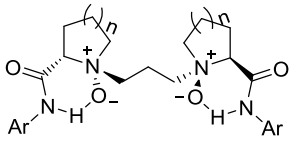


As the peak at 1661 cm^{-1} related to α-isocyanoacetamide **2e**, 1630, 1204, 1084 cm^{-1} related to methyl 2-benzylidenemalonate (**1a**), and 1758, 1117, 1030 cm^{-1} related to the product. As show by the operando IR experiments, the product was formed gradually with disappearance of the substrates, and no intermediates were detected, indicating that the reaction must proceed by a concerted pathway.

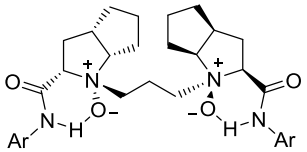
5. Optimization of the Reaction Conditions

5.1 Screening of the metal salts and ligands





L-PrPr$_2$: Ar = 2,6-*i*Pr$_2$C$_6$H$_3$, n = 1
L-PiPh: Ar = C$_6$H$_5$, n = 2
L-PiPr$_2$: Ar = 2,6-*i*Pr$_2$C$_6$H$_3$, n = 2

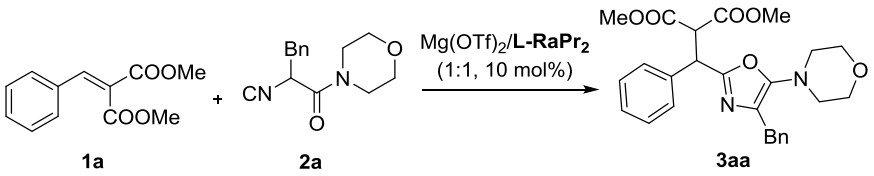


L-RaPh: Ar = C$_6$H$_5$
L-RaMe$_2$: Ar = 2,6-Me$_2$C$_6$H$_3$
L-RaEt$_2$: Ar = 2,6-Et$_2$C$_6$H$_3$
L-RaPr$_2$: Ar = 2,6-*i*Pr$_2$C$_6$H$_3$
L-RaPr$_3$: Ar = 2,4,6-*i*Pr$_3$C$_6$H$_2$

Entry[a]	Metal	Ligand	Yield [%][b]	ee [%][c]
1	$Zn(OTf)_2$	**L-PiPr$_2$**	N.R	-
2	$Cu(OTf)_2$	**L-PiPr$_2$**	N.R	-
3	$Ni(BF_4)_2$ $6H_2O$	**L-PiPr$_2$**	N.R	-
4	$Mg(OTf)_2$	**L-PiPr$_2$**	93	70
5	$Mg(OTf)_2$	**L-PiPh**	99	-6
6	$Mg(OTf)_2$	**L-PrPr$_2$**	72	76
7	$Mg(OTf)_2$	**L-RaPr$_2$**	99	82
8	$Mg(OTf)_2$	**L-RaPh**	99	0
9	$Mg(OTf)_2$	**L-RaMe$_2$**	99	2
10	$Mg(OTf)_2$	**L-RaEt$_2$**	94	58
11	$Mg(OTf)_2$	**L-RaPr$_3$**	99	50

[a] Unless specified otherwise, reactions were performed with Metal/**L** (1:1, 10 mol%), **1a** (0.1 mmol), **2** (0.15 mmol) in 1.0 mL CH_2Cl_2. [b] Isolated yield. [c] Enantiomeric excess determined by HPLC analysis on a chiral stationary phase.

5.2 Screening of the solvents and reaction temperature

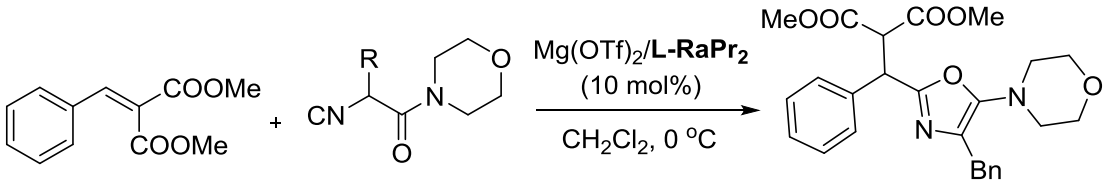


Entry[a]	solvent	*T* [°C]	T [h]	Yield [%][b]	ee [%][c]
1	CH_2Cl_2	30	24	99	82
2	THF	30	24	trace	-
3	Et_2O	30	24	87	72
4	Toluene	30	24	71	69
5	EtOAc	30	24	N.R	-
6	CH_3CN	30	24	22	80
7	$CHCl_3$	30	24	84	78
8	$ClCH_2CH_2Cl$	30	24	96	78
9	Cl_2CHCH_2Cl	30	24	88	77

10	$ClCH_2CHCl_2$	30	24	61	74
11	Cl_3CCH_3	30	24	86	76
12	CH_2Cl_2	0	48	63	86
13	CH_2Cl_2	-10	48	16	86

[a] Unless specified otherwise, reactions were performed with $Mg(OTf)_2$/**L-RaPr$_2$** (1:1, 10 mol%), **1a** (0.1 mmol), **2** (0.15 mmol) in 1.0 mL solvent. [b] Isolated yield. [c] Enantiomeric excess determined by HPLC analysis on a chiral stationary phase.

5.3 Other conditions

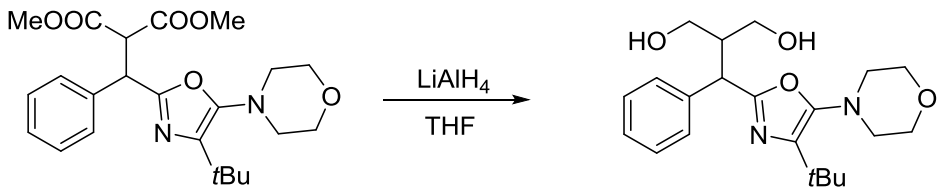


1a **2** **3**

Entry[a]	R	M/L	T [h]	Yield [%][b]	ee [%][c]
1	Bn(**2a**)	1:1	48	63	86
2	Ph(**2b**)	1:1	48	86	87
3	Ph(**2b**)	1:2	60	79	87
4	Ph(**2b**)	1:1.5	60	80	87
5	Ph(**2b**)	1:1.2	60	85	87
6	Ph(**2b**)	1.2:1	60	99	87
7	Ph(**2b**)	1.5:1	60	99	86
8	Ph(**2b**)	2:1	60	99	86
9	Me(**2c**)	1:1	72	61	86
10	*i*Pr(**2d**)	1:1	72	91	89
11	*t*Bu(**2e**)	1:1	72	75	92
12	*t*Bu(**2e**)	1:1.1	72	46	92
13	*t*Bu(**2e**)	1.1:1	72	83	92
14	*t*Bu(**2e**)	1.2:1	72	91	92
15	*t*Bu(**2e**)	1.3:1	72	91	92
16	*t*Bu(**2e**)	1.4:1	72	90	91
17	*t*Bu(**2e**)	1.5:1	72	95	91

[a] Unless specified otherwise, reactions were performed with $Mg(OTf)_2$/**L-RaPr$_2$** (10 mol%), **1a** (0.1 mmol), **2** (0.15 mmol) in 1.0 mL solvent. [b] Isolated yield. [c] Enantiomeric excess determined by HPLC analysis on a chiral stationary phase.

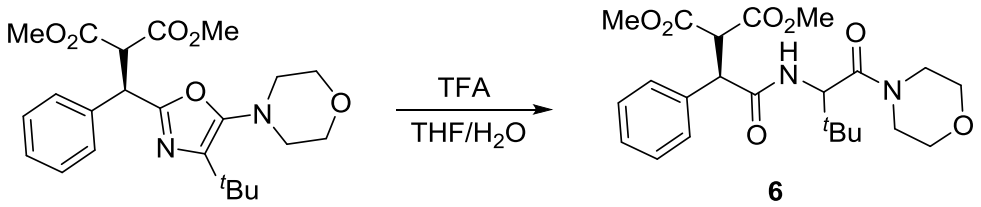
6. Synthetic transformation of the products



3ae
>99% *ee*

5
90% yield, 95% ee

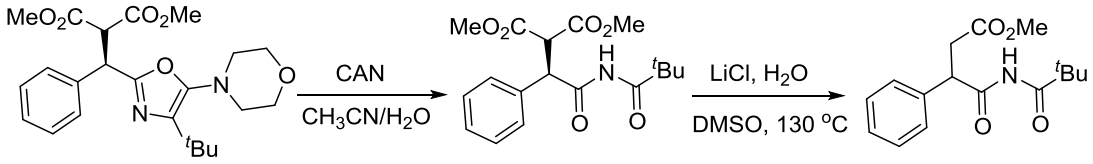
To a solution of adduct **3ae** (0.2 mmol, 1.0 equiv) in THF (2.0 mL) was added $LiAlH_4$ (38.0 mg, 5.0 equiv) at 0 ℃. The mixture was allowed to stir at room temperature for 2 h. Excess of $LiAlH_4$ was quenched with NH_4Cl (sat.). The mixture was extracted with EtOAc, and the organic layer was dried over anhydrous Na_2SO_4 and then was evaporated by rotary evaporator. The residue was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 1/1) to afford **5** (67.2 mg, 90% yield) as a yellow oil.



3ae
>99% *ee*

6
99% yield, 1.8:1 d.r.
99% *ee* (major)
97% *ee* (minor)

To the solution of 5-aminoxazole **3ae** (0.3 mmol, 1.0 equiv) in THF/H_2O (4:1, 0.05 M), TFA (50 equiv) was added and the reaction stirred at room temperature for 24 h. The reaction mixture was quenched with $KHCO_3$ (sat.) and extracted with EtOAc, dried with Na_2SO_4, filtered, and concentrated in vacuo. This crude mixture was then immediately purified by flash chromatography on silica gel (petroleum ether/ethyl acetate = 1/1) to afford the dipeptide **6**.



3ae
>99% *ee*

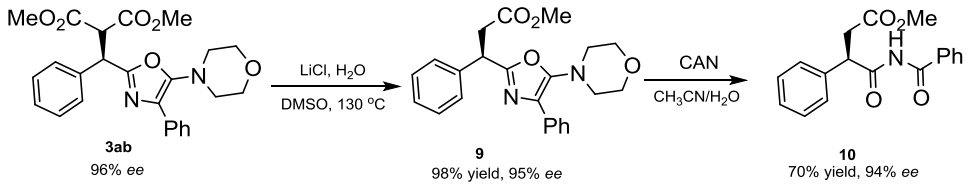
7
51% yield, 98% *ee*

8
47% yield, 0% *ee*

To the solution of 5-aminoxazole **3ae** (0.3 mmol, 1.0 equiv) in CH_3CN/H_2O (9:1, 0.05 M), ceric ammonium nitrate (4.0 equiv) was added. The reaction was allowed to stir until completion via TLC and then diluted with ethyl acetate and water. After extracting with ethyl acetate, the organic fractions were combined, washed with $NaHCO_3$ (sat.) and brine, dried with $MgSO_4$, filtered, and concentrated in vacuo. This crude mixture was then immediately purified by flash chromatography on silica gel (petroleum ether/ethyl acetate = 3/1) to afford the imide **7**.

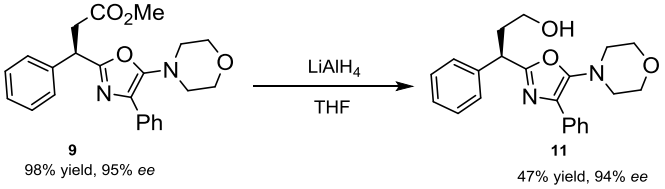
To the solution of imide **7** (0.4 mmol, 1.0 equiv) in DMSO (0.5 M) was added LiCl (2.1 equiv) and H_2O (1.1 equiv). The reaction was allowed to stir at 130 ^oC for 5h, and then quenched with EtOAc/H_2O, extracted with EtOAc, dried with Na_2SO_4, filtered, and concentrated in vacuo. This crude mixture was then immediately purified by flash chromatography on silica gel (petroleum

ether/ethyl acetate = 4/1) to afford the product **8**.



To the solution of 5-aminoxazole **3ab** (0.8 mmol, 1.0 equiv) in DMSO (0.5 M) was added LiCl (2.1 equiv) and H_2O (1.1 equiv). The reaction was allowed to stir at 130 °C for 5h, and then quenched with EtOAc/H_2O, extracted with EtOAc, dried with Na_2SO_4, filtered, and concentrated in vacuo. This crude mixture was then immediately purified by flash chromatography on silica gel (petroleum ether/ethyl acetate = 4/1) to afford the product **9**.

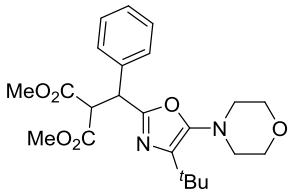
To the solution of **9** (0.3 mmol, 1.0 equiv) in CH_3CN/H_2O (9:1, 0.05 M), ceric ammonium nitrate (4.0 equiv) was added. The reaction was allowed to stir until completion via TLC and then diluted with ethyl acetate and water. After extracting with ethyl acetate, the organic fractions were combined, washed with $NaHCO_3$ (sat.) and brine, dried with $MgSO_4$, filtered, and concentrated in vacuo. This crude mixture was then immediately purified by flash chromatography on silica gel (petroleum ether/ethyl acetate = 3/1) to afford the imide **10**.



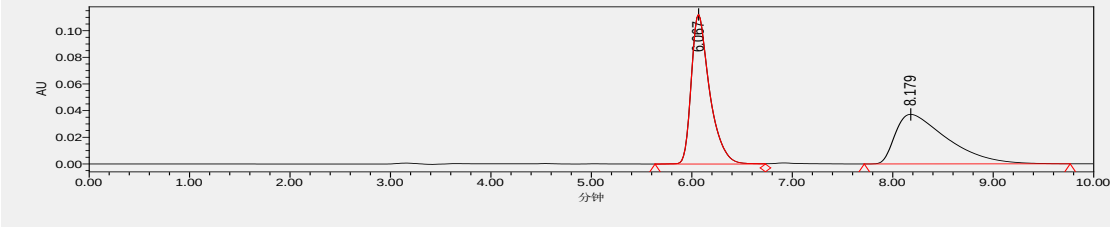
To a solution of adduct **9** (0.2 mmol, 1.0 equiv) in THF (2.0 mL) was added $LiAlH_4$ (38.0 mg, 5.0 equiv) at 0 ℃. The mixture was allowed to stir at room temperature for 2 h. Excess of $LiAlH_4$ was quenched with NH_4Cl (sat.). The mixture was extracted with EtOAc, and the organic layer was dried over anhydrous Na_2SO_4 and then was evaporated by rotary evaporator. The residue was purified by column chromatography on silica gel (petroleum ether/ethyl acetate = 2/1) to afford **11** as a yellow oil.

7. The analytical and spectral characterization data of the products

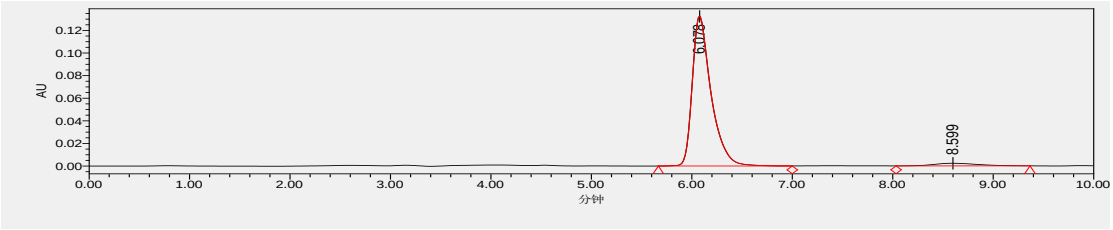
dimethyl 2-((4-tert-butyl-5-morpholinooxazol-2-yl)(phenyl)methyl)malonate 3ae



($C_{23}H_{30}N_2O_6$) white solid; 91% yield, 92% *ee*. $[\alpha]_D^{20}$ = –68.9 (*c* 1.36 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 80/20, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 6.08 min (major), 8.60 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.30 – 7.10 (m, 5H), 4.65 (d, *J* = 11.8 Hz, 1H), 4.29 (d, *J* = 11.8 Hz, 1H), 3.72 – 3.54 (m, 7H), 3.40 (s, 3H), 2.91 – 2.71 (m, 4H), 1.18 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.95, 166.51, 156.34, 148.83, 135.64, 134.85, 127.59, 127.38, 126.70, 65.91, 55.48, 51.67, 51.47, 50.90, 44.16, 30.38, 28.59. ESI-HRMS: calcd for $C_{23}H_{30}N_2NaO_6^+$ ([M+Na^+]) 453.1996, found 453.2006.

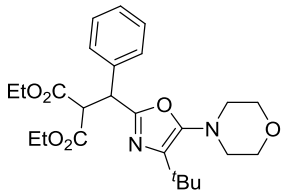


	Retention Time	Area	% Area	Height
1	6.067	1421746	51.57	112225
2	8.179	1335127	48.43	37211

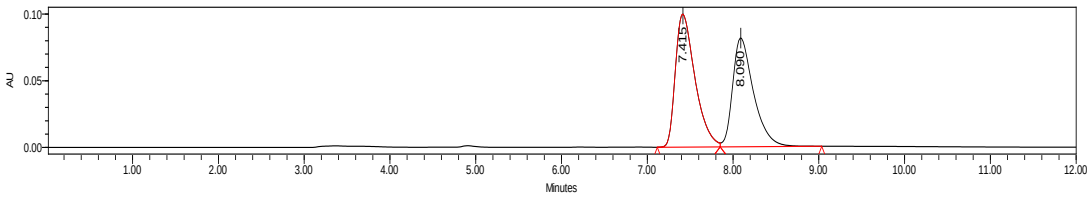


	Retention Time	Area	% Area	Height
1	6.078	1722821	96.09	132664
2	8.599	70025	3.91	2309

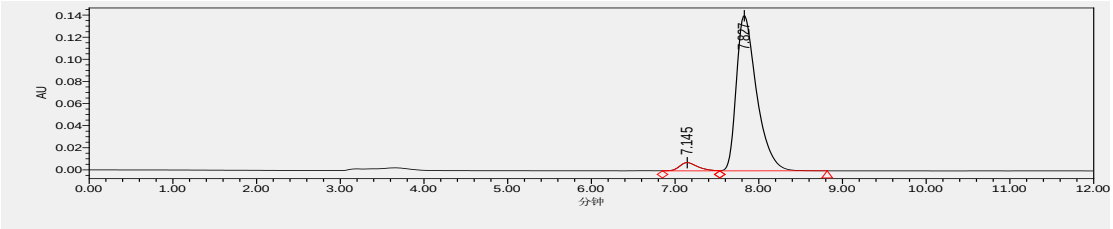
diethyl 2-((4-tert-butyl-5-morpholinooxazol-2-yl)(phenyl)methyl)malonate 3be



($C_{25}H_{34}N_2O_6$) colorless oil; 71% yield, 91% *ee*. $[\alpha]_D^{20}$ = –69.9 (*c* 0.61 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 90/10, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 7.15 min (minor), 7.83 min (major). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.30 (dd, *J* = 7.0, 4.9 Hz, 4H), 7.26 – 7.17 (m, 1H), 4.71 (d, *J* = 11.9 Hz, 1H), 4.36 (d, *J* = 11.9 Hz, 1H), 4.14 (q, *J* = 7.1 Hz, 2H), 3.93 (q, *J* = 7.1 Hz, 2H), 3.71 (dd, *J* = 5.4, 2.6 Hz, 4H), 2.96 – 2.80 (m, 4H), 1.25 (s, 9H), 1.20 (t, *J* = 7.1 Hz, 3H), 0.97 (t, *J* = 7.1 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.48, 167.23, 157.52, 149.74, 136.79, 135.92, 128.53, 127.63, 66.96, 61.57, 61.44, 56.75, 51.94, 45.14, 31.39, 29.63, 14.09, 13.71. ESI-HRMS: calcd for $C_{25}H_{34}N_2NaO_6^+$ ([M+Na$^+$]) 481.2309, found 481.2310.

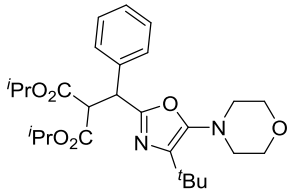


	Retention Time	Area	% Area	Height
1	7.415	1584312	53.43	99774
2	8.090	1380736	46.57	81710

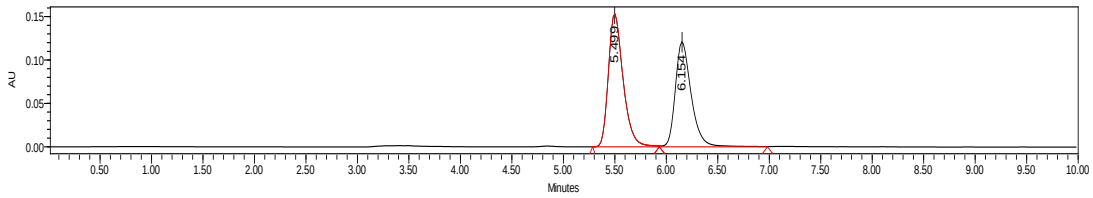


	Retention Time	Area	% Area	Height
1	7.145	111397	4.50	7441
2	7.827	2363512	95.50	140517

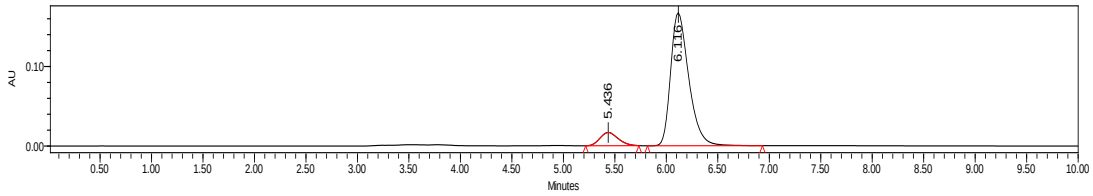
diisopropyl 2-((4-tert-butyl-5-morpholinooxazol-2-yl)(phenyl)methyl)malonate 3ce



($C_{27}H_{38}N_2O_6$) colorless oil; 41% yield, 82% *ee*. $[\alpha]_D^{20}$ = –66.8 (*c* 0.37 in CH_2Cl_2). HPLC DAICEL CHIRALCEL IE, n-hexane/2-propanol = 80/20, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 5.44 min (minor), 6.12 min (major). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.35 – 7.26 (m, 1H), 7.25 – 7.20 (m, 4H), 4.97 (dt, *J* = 12.5, 6.3 Hz, 1H), 4.77 (dt, *J* = 12.5, 6.3 Hz, 1H), 4.69 (d, *J* = 12.0 Hz, 1H), 4.33 (d, *J* = 12.0 Hz, 1H), 3.72 (dd, *J* = 5.6, 3.1 Hz, 4H), 2.94 – 2.82 (m, 4H), 1.25 (s, 9H), 1.22 (d, *J* = 6.3 Hz, 3H), 1.14 (d, *J* = 6.3 Hz, 3H), 1.07 (d, *J* = 6.3 Hz, 3H), 0.87 (d, *J* = 6.3 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.94, 166.78, 157.68, 149.63, 136.89, 135.95, 128.62, 128.48, 127.55, 69.01, 68.95, 66.97, 57.02, 51.96, 44.97, 31.39, 29.65, 21.65, 21.50, 21.37, 21.18. ESI-HRMS: calcd for $C_{27}H_{38}N_2NaO_6^+$ ([M+Na$^+$]) 509.2622, found 509.2627.

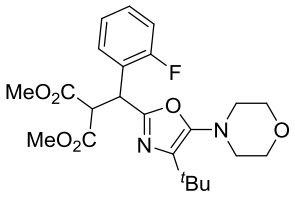


	Retention Time	Area	% Area	Height
1	5.499	1529522	54.13	153376
2	6.154	1296133	45.87	121119

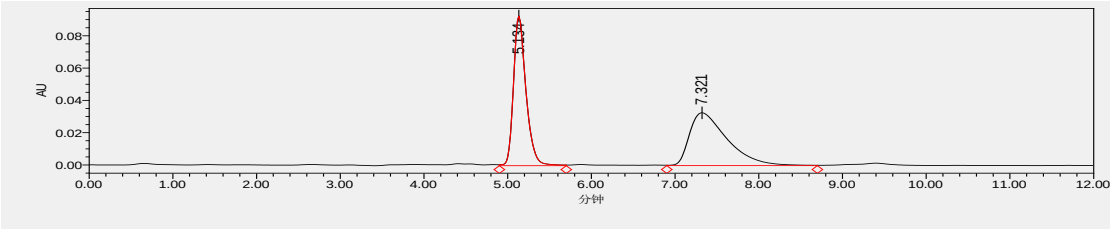


	Retention Time	Area	% Area	Height
1	5.436	203338	9.06	16689
2	6.116	2041746	90.94	167379

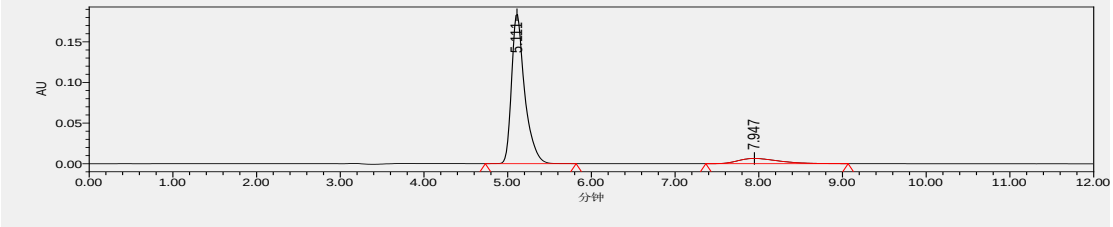
dimethyl 2-((4-(tert-butyl)-5-morpholinooxazol-2-yl)(2-fluorophenyl)methyl)malonate 3de



($C_{23}H_{29}FN_2O_6$) colorless oil; 66% yield, 80% *ee*. $[\alpha]_D^{20}$ = –47.6 (*c* 0.59 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 5.11 min (major), 7.95 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.24 – 7.14 (m, 2H), 7.08 – 6.89 (m, 2H), 4.99 (d, *J* = 11.6 Hz, 1H), 4.36 (d, *J* = 11.6 Hz, 1H), 3.72 – 3.56 (m, 7H), 3.44 (s, 3H), 2.87 – 2.73 (m, 4H), 1.17 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.90, 167.33, 160.59 (d, *J* = 247.0 Hz), 156.53, 149.88, 135.98, 130.13 (d, *J* = 3.5 Hz), 129.53 (d, *J* = 8.2 Hz), 124.27 (d, *J* = 3.5 Hz), 123.83 (d, *J* = 14.1 Hz), 115.71 (d, *J* = 22.1 Hz), 66.92, 55.11, 52.66 (d, *J* = 17.2 Hz), 51.87, 38.49, 38.47, 31.40, 29.58. ESI-HRMS: calcd for $C_{23}H_{29}FN_2NaO_6^+$ ([M+Na$^+$]) 471.1902, found 471.1906.

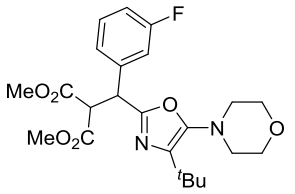


	Retention Time	Area	% Area	Height
1	5.134	958221	48.37	92577
2	7.321	1022875	51.63	32732

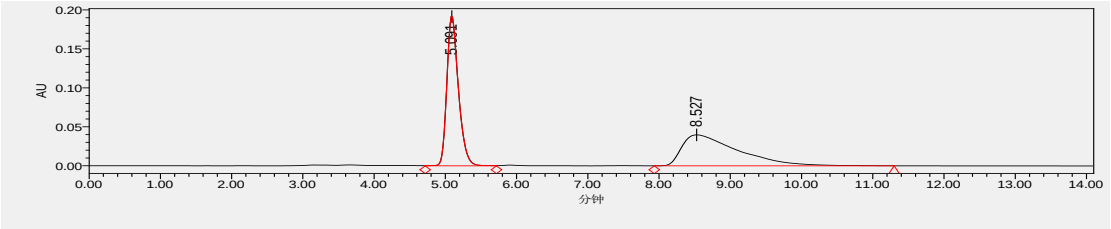


	Retention Time	Area	% Area	Height
1	5.111	2004271	90.24	183963
2	7.947	216875	9.76	6447

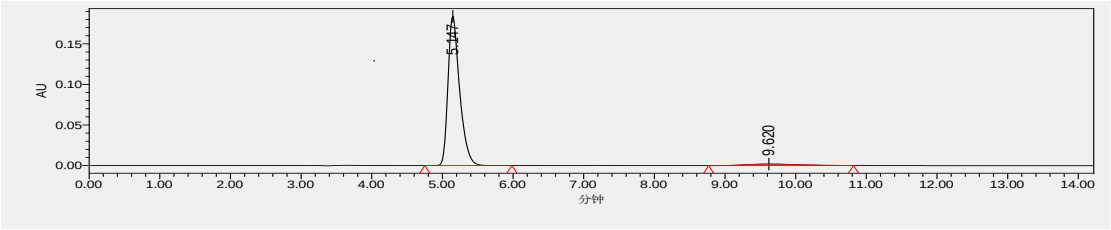
dimethyl 2-((4-tert-butyl-5-morpholinooxazol-2-yl)(3-fluorophenyl)methyl)malonate 3ee



($C_{23}H_{29}FN_2O_6$) white solid; 92% yield, 91% *ee*. $[\alpha]_D^{20}$ = –60.6 (*c* 0.79 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 5.15 min (major), 9.62 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.24 – 7.16 (m, 1H), 7.04 – 6.97 (m, 1H), 6.95 – 6.77 (m, 2H), 4.66 (d, *J* = 11.6 Hz, 1H), 4.27 (d, *J* = 11.6 Hz, 1H), 3.76 – 3.57 (m, 7H), 3.45 (s, 3H), 2.92 – 2.72 (m, 4H), 1.18 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.74, 167.34, 162.72 (d, *J* = 245.0 Hz), 156.80, 150.01, 139.11 (d, *J* = 7.2 Hz), 136.04, 130.12 (d, *J* = 8.2 Hz), 124.3 (d, *J* = 2.9 Hz), 115.37 (d, *J* = 22.1 Hz), 114.80 (d, *J* = 20.9 Hz), 66.92, 56.30, 52.70 (d, *J* = 15.9 Hz), 51.91, 44.78, 44.76, 31.42, 29.58. ESI-HRMS: calcd for $C_{23}H_{29}FN_2NaO_6^+$ ([M+Na$^+$]) 471.1902, found 471.1904.

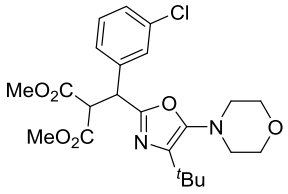


	Retention Time	Area	% Area	Height
1	5.091	2169282	50.02	192836
2	8.527	2167955	49.98	39759

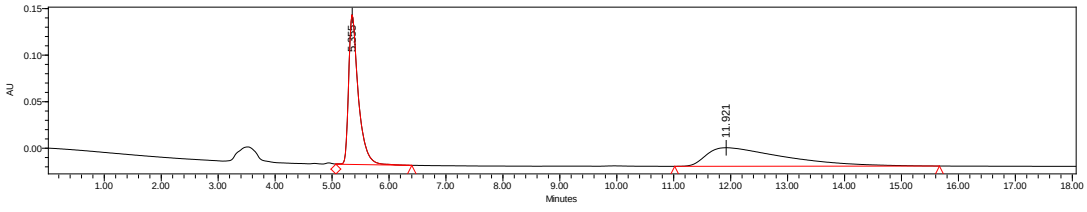


	Retention Time	Area	% Area	Height
1	5.147	2108909	95.61	184123
2	9.620	96910	4.39	1864

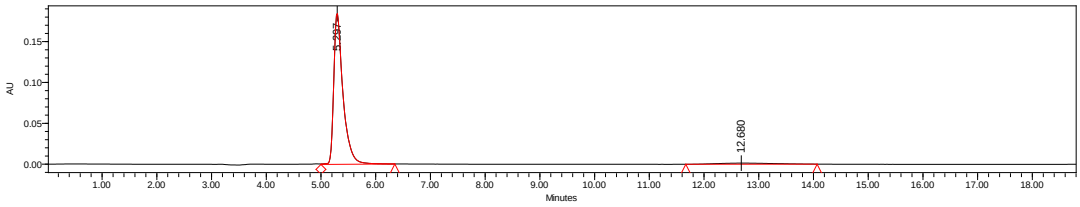
dimethyl 2-((4-tert-butyl-5-morpholinooxazol-2-yl)(3-chlorophenyl)methyl)malonate 3fe



($C_{23}H_{29}ClN_2O_6$) white solid; 77 % yield, 91% *ee*. $[\alpha]_D^{20}$ = –57.6 (*c* 0.88 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 5.30 min (major), 12.68 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.25 – 7.06 (m, 4H), 4.63 (d, *J* = 11.6 Hz, 1H), 4.26 (d, *J* = 11.6 Hz, 1H), 3.74 – 3.57 (m, 7H), 3.45 (s, 3H), 2.90 – 2.73 (m, 4H), 1.18 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.69, 167.29, 156.70, 150.03, 138.68, 136.07, 134.37, 129.87, 128.61, 128.01, 126.68, 66.92, 56.27, 52.77, 52.62, 51.91, 44.74, 31.42, 29.58. ESI-HRMS: calcd for $C_{23}H_{29}{}^{34.9689}ClN_2NaO_6^+$ ([M+Na$^+$]) 487.1606, found 487.1614, calcd for $C_{23}H_{29}{}^{36.9659}ClN_2NaO_6^+$ ([M+Na$^+$]) 489.1577, found 489.1602.

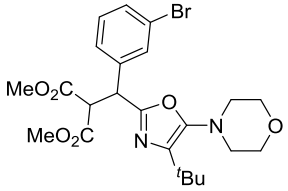


	Retention Time	Area	% Area	Height
1	5.355	1897848	50.10	161034
2	11.921	1890580	49.90	19888

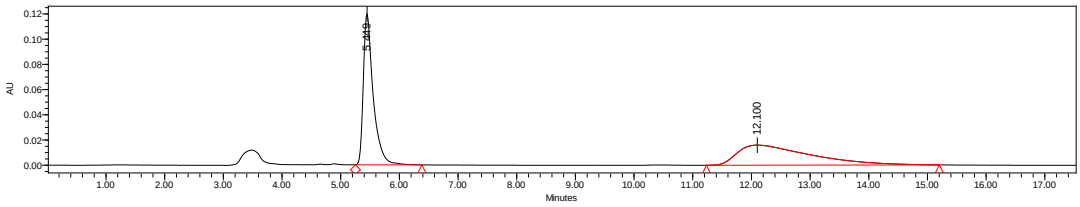


	Retention Time	Area	% Area	Height
1	5.297	2167310	95.72	184692
2	12.680	97008	4.28	1354

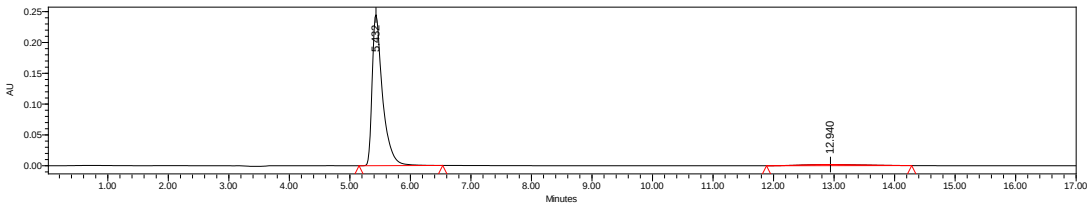
dimethyl 2-((3-bromophenyl)(4-tert-butyl-5-morpholinooxazol-2-yl)methyl)malonate 3ge



($C_{23}H_{29}BrN_2O_6$) white solid; 96% yield, 91% *ee*. $[\alpha]_D^{20}$ = –54.5 (*c* 0.95 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 5.43 min (major), 12.94 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.45 – 7.26 (m, 2H), 7.18 – 7.04 (m, 2H), 4.62 (d, *J* = 11.6 Hz, 1H), 4.26 (d, *J* = 11.6 Hz, 1H), 3.71 – 3.58 (m, 7H), 3.46 (s, 3H), 2.92 – 2.75 (m, 4H), 1.18 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.68, 167.28, 156.68, 150.04, 138.93, 136.07, 131.54, 130.94, 130.17, 127.13, 122.52, 66.92, 56.29, 52.79, 52.65, 51.91, 44.69, 31.42, 29.58. ESI-HRMS: calcd for $C_{23}H_{29}{}^{78.9183}BrN_2NaO_6^+$ ([M+Na$^+$]) 530.1101, found 530.1103, calcd for $C_{23}H_{29}{}^{80.9163}BrN_2NaO_6^+$ ([M+Na$^+$]) 533.1081, found 533.1090.

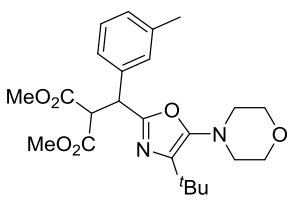


	Retention Time	Area	% Area	Height
1	5.449	1403348	50.55	119761
2	12.100	1372871	49.45	15943



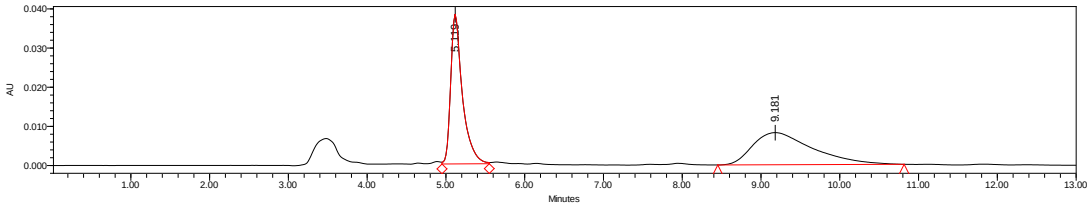
	Retention Time	Area	% Area	Height
1	5.432	2923839	95.53	244747
2	12.940	136949	4.47	1962

dimethyl 2-((4-tert-butyl-5-morpholinooxazol-2-yl)(m-tolyl)methyl)malonate 3he

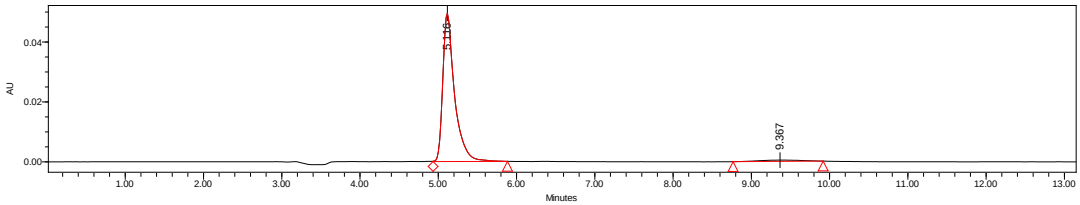


($C_{24}H_{32}N_2O_6$) white solid; 66% yield, 94% *ee*. $[\alpha]_D^{20}$ = –68.1 (*c* 0.54 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 254 nm,

retention time: 5.12 min (major), 9.37 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.22 – 7.00 (m, 4H), 4.68 (d, *J* = 11.8 Hz, 1H), 4.35 (d, *J* = 11.8 Hz, 1H), 3.79 – 3.63 (m, 7H), 3.49 (s, 3H), 2.96 – 2.79 (m, 4H), 2.32 (s, 3H), 1.25 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.02, 167.56, 157.43, 149.78, 138.19, 136.58, 135.87, 129.18, 128.46, 125.33, 66.96, 56.55, 52.67, 52.48, 51.94, 45.13, 31.40, 29.61, 21.42. ESI-HRMS: calcd for $C_{24}H_{32}N_2NaO_6^+$ ([M+Na$^+$]) 467.2153, found 467.2153.

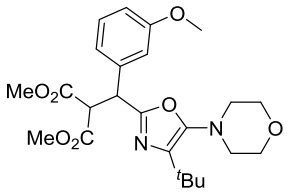


	Retention Time	Area	% Area	Height
1	5.119	394419	47.56	38184
2	9.181	434855	52.44	8212

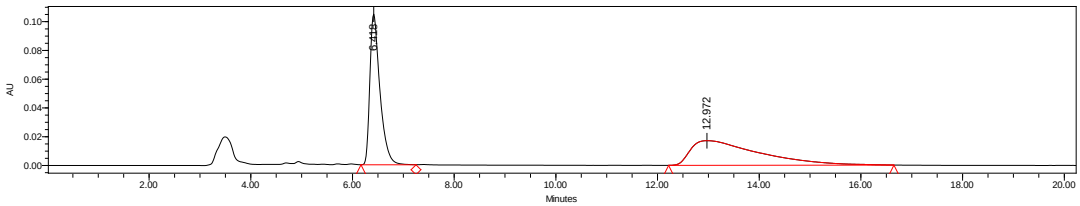


	Retention Time	Area	% Area	Height
1	5.116	514905	96.97	49460
2	9.367	16091	3.03	426

dimethyl 2-((4-tert-butyl-5-morpholinooxazol-2-yl)(3-methoxyphenyl)methyl)malonate 3ie

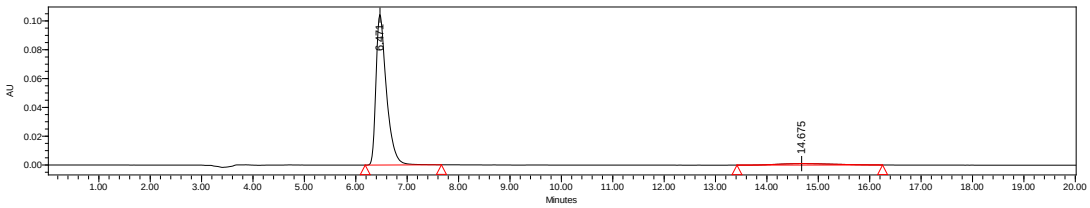


($C_{24}H_{32}N_2O_7$) colorless oil; 81% yield, 90% *ee*. $[\alpha]_D^{20}$ = –61.7 (*c* 0.61 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 6.47 min (major), 14.68 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.13 (t, *J* = 7.8 Hz, 1H), 6.74 (dt, *J* = 8.0, 4.8 Hz, 3H), 4.63 (d, *J* = 11.8 Hz, 1H), 4.29 (d, *J* = 11.8 Hz, 1H), 3.70 (s, 3H), 3.67 – 3.52 (m, 7H), 3.44 (s, 3H), 2.87 – 2.74 (m, 4H), 1.18 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.96, 167.50, 159.62, 157.28, 149.82, 138.13, 135.89, 129.57, 120.73, 113.86, 113.33, 66.95, 56.50, 55.15, 52.71, 52.57, 51.94, 45.11, 31.41, 29.60. ESI-HRMS: calcd for $C_{24}H_{32}N_2NaO_7^+$ ([M+Na$^+$]) 483.2102, found 483.2104.



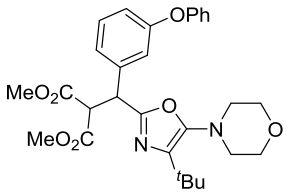
	Retention Time	Area	% Area	Height

1	6.418	1445120	47.41	104766
2	12.972	1603287	52.59	17129

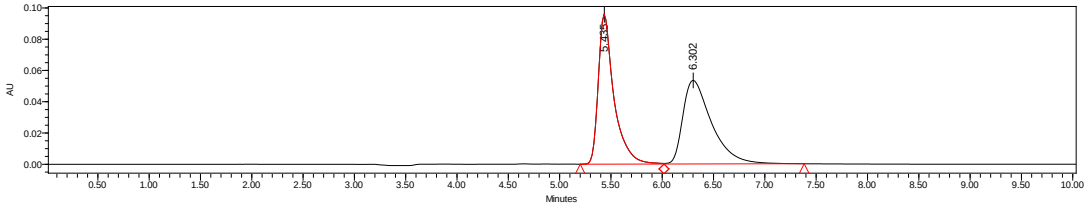


	Retention Time	Area	% Area	Height
1	6.471	1476464	95.10	104441
2	14.675	76019	4.90	1019

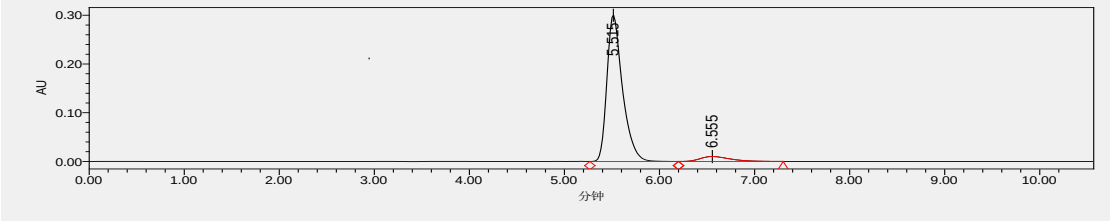
dimethyl 2-((4-tert-butyl-5-morpholinooxazol-2-yl)(3-phenoxyphenyl)methyl)malonate 3je



($C_{29}H_{34}N_2O_7$) colorless oil; 84% yield, 88% *ee*. $[\alpha]_D^{20}$ = −52.9 (*c* 1.21 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 80/20, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 5.52 min (major), 6.56 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.28 – 7.15 (m, 3H), 7.06 – 6.71 (m, 6H), 4.63 (d, *J* = 11.6 Hz, 1H), 4.24 (d, *J* = 11.6 Hz, 1H), 3.74 – 3.55 (m, 7H), 3.46 (s, 3H), 2.89 – 2.68 (m, 4H), 1.15 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.85, 167.39, 157.39, 157.01, 156.95, 149.90, 138.61, 135.96, 129.94, 129.76, 123.42, 123.33, 118.90, 118.66, 118.28, 66.94, 56.39, 52.74, 52.60, 51.91, 44.97, 31.39, 29.59. ESI-HRMS: calcd for $C_{29}H_{34}N_2NaO_7^+$ ([M+Na$^+$]) 545.2258, found 545.2266.

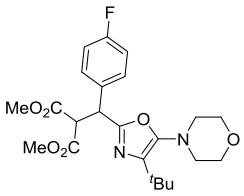


	Retention Time	Area	% Area	Height
1	5.435	1012736	49.36	95834
2	6.302	1038796	50.64	53501

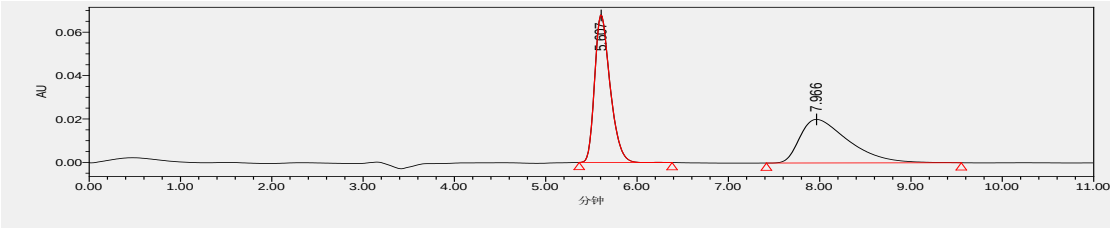


	Retention Time	Area	% Area	Height
1	5.515	3423774	93.98	300577
2	6.555	219357	6.02	10136

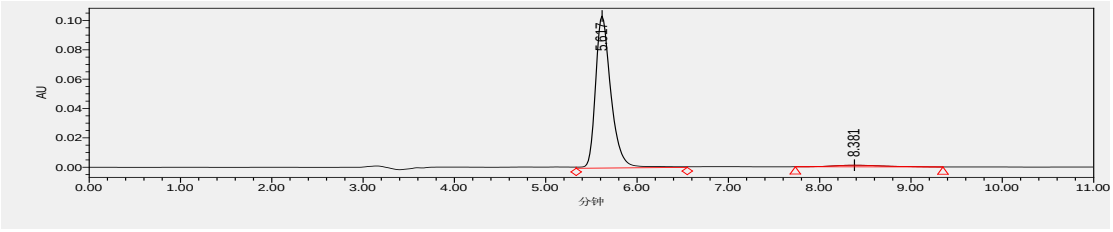
dimethyl 2-((4-tert-butyl-5-morpholinooxazol-2-yl)(4-fluorophenyl)methyl)malonate 3ke



($C_{23}H_{29}FN_2O_6$) white solid; 86% yield, 93% *ee*. $[\alpha]_D^{20}$ = –61.0 (*c* 1.61 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 80/20, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 5.62 min (major), 8.38 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.23 – 7.14 (m, 2H), 6.91 (t, *J* = 8.6 Hz, 2H), 4.64 (d, *J* = 11.8 Hz, 1H), 4.26 (d, *J* = 11.8 Hz, 1H), 3.64 (dd, *J* = 6.7, 4.0 Hz, 7H), 3.43 (s, 3H), 2.91 – 2.72 (m, 4H), 1.18 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.83, 167.47, 162.25 (d, *J* = 245.0 Hz), 157.18, 149.92, 135.98, 132.45 (d, *J* = 3.2 Hz), 130.08 (d, *J* = 8.1 Hz), 115.56 (d, *J* = 21.4 Hz), 66.92, 56.49, 52.75, 52.59, 51.91, 44.39, 31.41, 29.58. ESI-HRMS: calcd for $C_{23}H_{29}FN_2NaO_6^+$ ([M+Na$^+$]) 471.1902, found 471.1907.

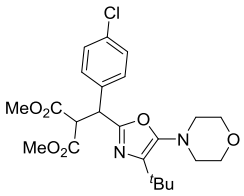


	Retention Time	Area	% Area	Height
1	5.607	800484	51.88	68206
2	7.966	742378	48.12	20102

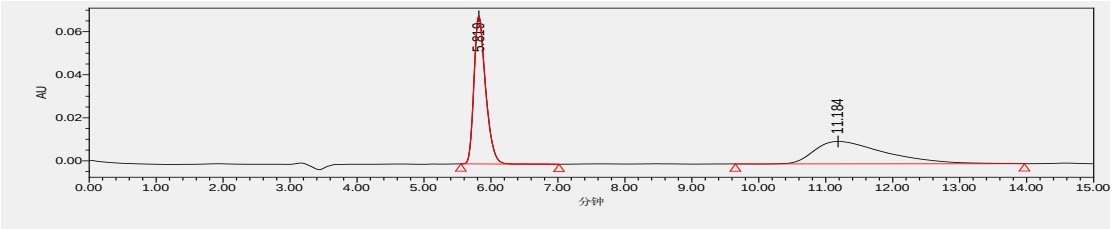


	Retention Time	Area	% Area	Height
1	5.617	1220150	96.45	103577
2	8.381	44878	3.55	1138

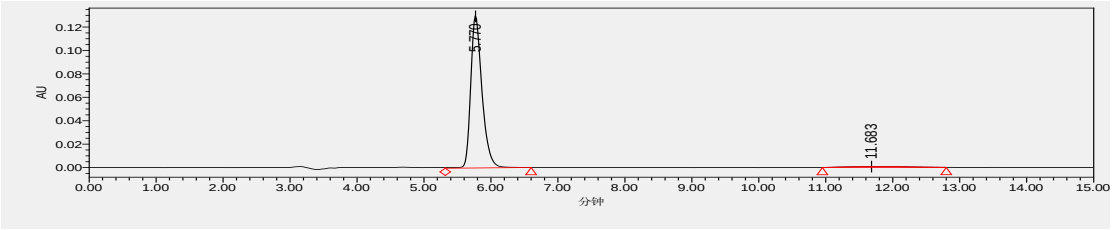
dimethyl 2-((4-tert-butyl-5-morpholinooxazol-2-yl)(4-chlorophenyl)methyl)malonate 3le



($C_{23}H_{29}ClN_2O_6$) white solid; 96% yield, 94% *ee*. $[\alpha]_D^{20}$ = –52.3 (*c* 1.63 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 80/20, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 5.77 min (major), 11.68 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.23 – 7.11 (m, 4H), 4.64 (d, *J* = 11.6 Hz, 1H), 4.26 (d, *J* = 11.6 Hz, 1H), 3.74 – 3.55 (m, 7H), 3.45 (s, 3H), 2.89 – 2.70 (m, 4H), 1.18 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.75, 167.37, 156.93, 149.98, 136.03, 135.21, 133.69, 129.81, 128.84, 66.92, 56.28, 52.79, 52.65, 51.91, 44.49, 31.41, 29.58. ESI-HRMS: calcd for $C_{23}H_{29}{}^{34.9689}ClN_2NaO_6^+$ ([M+Na$^+$]) 487.1606, found 487.1612, calcd for $C_{23}H_{29}{}^{36.9659}ClN_2NaO_6^+$ ([M+Na$^+$]) 489.1577, found 489.1602.

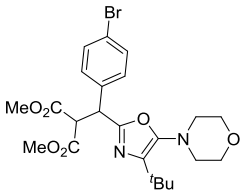


	Retention Time	Area	% Area	Height
1	5.819	828915	51.85	68793
2	11.184	769891	48.15	10411

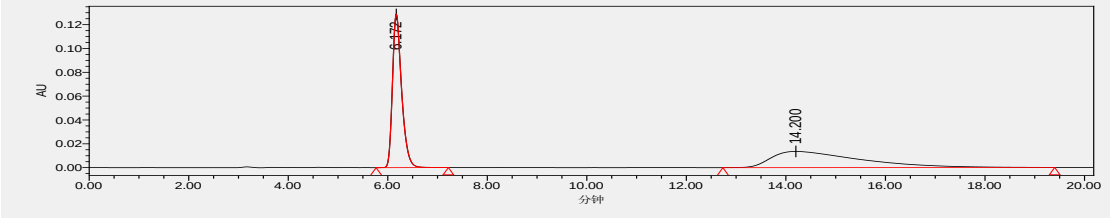


	Retention Time	Area	% Area	Height
1	5.770	1524866	96.94	130021
2	11.683	48179	3.06	717

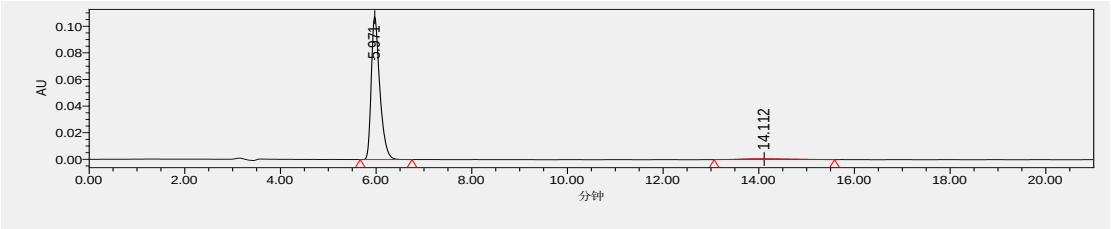
dimethyl 2-((4-bromophenyl)(4-tert-butyl-5-morpholinooxazol-2-yl)methyl)malonate 3me



($C_{23}H_{29}BrN_2O_6$) white solid; 93% yield, 94% *ee*. $[\alpha]_D^{20}$ = –46.9 (*c* 1.76 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 80/20, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 5.97 min (major), 14.11 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.43 (d, *J* = 8.4 Hz, 2H), 7.17 (d, *J* = 8.4 Hz, 2H), 4.70 (d, *J* = 11.6 Hz, 1H), 4.33 (d, *J* = 11.6 Hz, 1H), 3.78 – 3.56 (m, 7H), 3.52 (s, 3H), 2.94 – 2.80 (m, 4H), 1.25 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.74, 167.35, 156.86, 149.99, 136.02, 135.73, 131.80, 130.16, 121.88, 66.92, 56.21, 52.81, 52.68, 51.91, 44.54, 31.41, 29.58. ESI-HRMS: calcd for $C_{23}H_{29}{}^{78.9183}BrN_2NaO_6^+$ ([M+Na$^+$]) 530.1101, found 530.1102, calcd for $C_{23}H_{29}{}^{80.9163}BrN_2NaO_6^+$ ([M+Na$^+$]) 533.1081, found 533.1086.

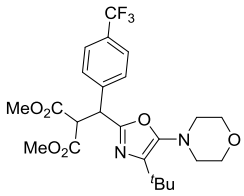


	Retention Time	Area	% Area	Height
1	6.172	1652794	49.61	129120
2	14.200	1678780	50.39	13579

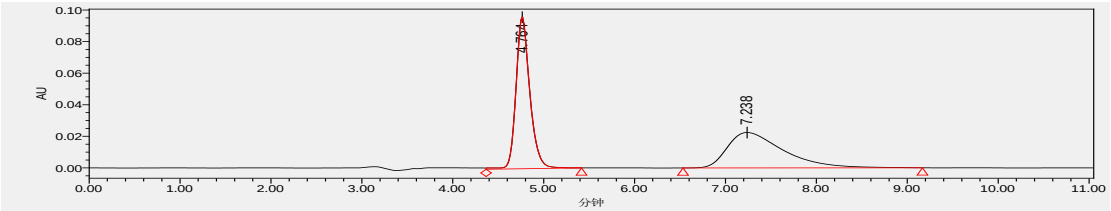


	Retention Time	Area	% Area	Height
1	5.971	1329639	97.16	107527
2	14.112	38805	2.84	511

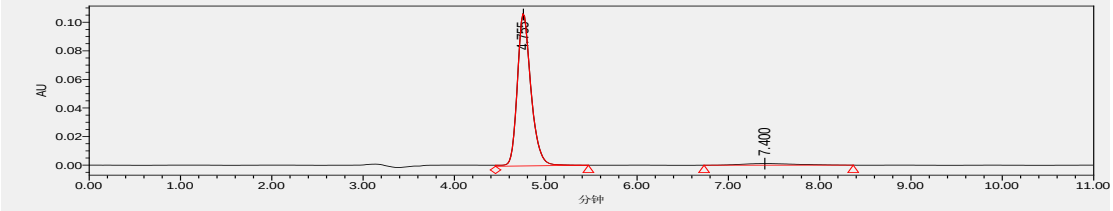
dimethyl 2-((4-tert-butyl-5-morpholinooxazol-2-yl)(4-(trifluoromethyl)phenyl)methyl)malonate 3ne



($C_{24}H_{29}F_3N_2O_6$) white solid; 86% yield, 92% *ee*. $[\alpha]_D^{20}$ = –53.2 (*c* 1.80 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 80/20, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 4.76 min (major), 7.40 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.49 (d, *J* = 8.2 Hz, 2H), 7.35 (d, *J* = 8.1 Hz, 2H), 4.73 (d, *J* = 11.7 Hz, 1H), 4.31 (d, *J* = 11.7 Hz, 1H), 3.75 – 3.55 (m, 7H), 3.44 (s, 3H), 2.91 – 2.69 (m, 4H), 1.18 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.63, 167.24, 156.57, 150.11, 140.72, 136.15, 130.01 (q, *J* = 32.3 Hz), 128.90, 125.50 (d, *J* = 3.8 Hz), 122.62, 66.90, 56.15, 52.85, 52.67, 51.90, 44.82, 31.43, 29.56. ESI-HRMS: calcd for $C_{24}H_{29}F_3N_2NaO_6^+$ ([M+Na$^+$]) 521.1870, found 521.1870.

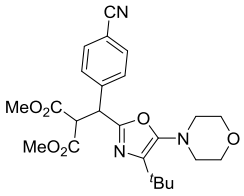


	Retention Time	Area	% Area	Height
1	4.764	1016723	51.44	96165
2	7.238	959801	48.56	22438



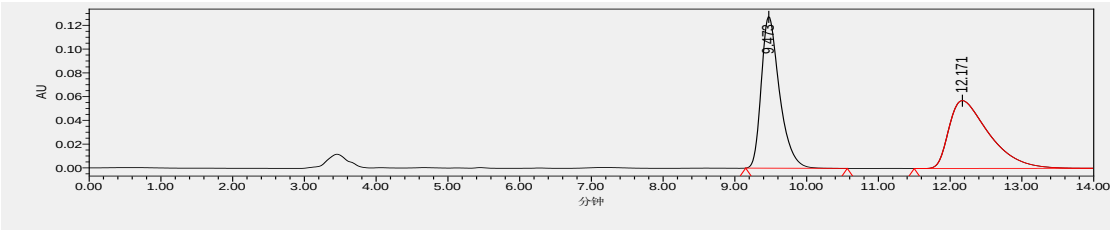
	Retention Time	Area	% Area	Height
1	4.755	1110748	95.89	106712
2	7.400	47573	4.11	1108

dimethyl 2-((4-tert-butyl-5-morpholinooxazol-2-yl)(4-cyanophenyl)methyl)malonate 3oe

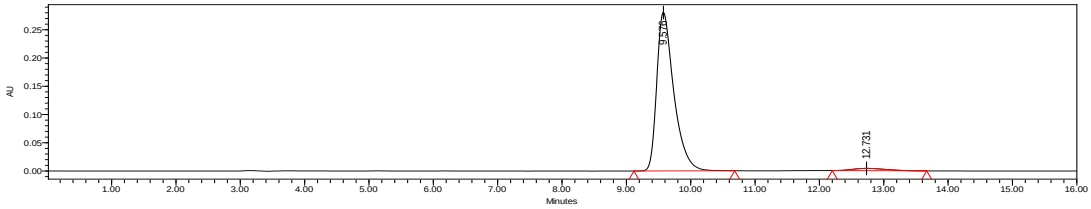


($C_{24}H_{29}N_3O_6$) white solid; 98% yield, 94% *ee*. $[\alpha]_D^{20}$ = –48.2 (*c* 1.72 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol =

80/20, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 9.58 min (major), 12.73 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.54 (d, *J* = 8.2 Hz, 2H), 7.35 (d, *J* = 8.2 Hz, 2H), 4.72 (d, *J* = 11.7 Hz, 1H), 4.29 (d, *J* = 11.7 Hz, 1H), 3.64 (s, 7H), 3.45 (s, 3H), 2.92 – 2.68 (m, 4H), 1.18 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.44, 167.12, 156.15, 150.24, 142.04, 136.28, 132.45, 129.35, 118.45, 111.87, 66.87, 55.94, 52.91, 52.75, 51.88, 44.96, 31.44, 29.55. ESI-HRMS: calcd for $C_{24}H_{29}N_3NaO_6^+$ ([M+Na$^+$]) 478.1949, found 478.1956.

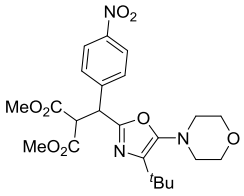


	Retention Time	Area	% Area	Height
1	9.473	2258384	50.40	127728
2	12.171	2222357	49.60	57142

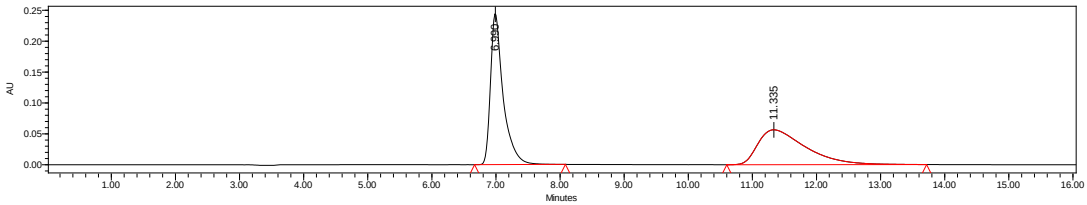


	Retention Time	Area	% Area	Height
1	9.576	5169436	97.23	281156
2	12.731	147491	2.77	4093

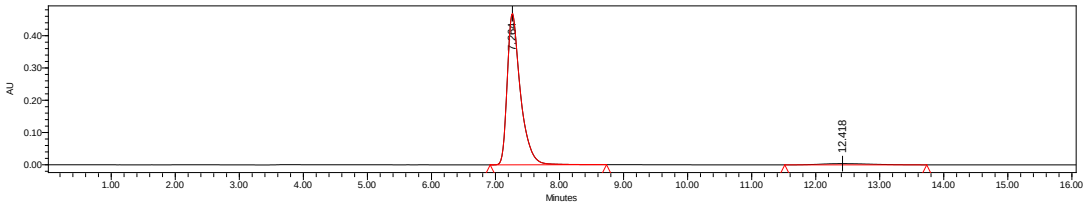
dimethyl 2-((4-tert-butyl-5-morpholinooxazol-2-yl)(4-nitrophenyl)methyl)malonate 3pe



($C_{23}H_{29}N_3O_8$) white solid; 91% yield, 94% *ee*. $[\alpha]_D^{20}$ = –51.5 (*c* 0.85 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 7.26 min (major), 12.42 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.10 (d, *J* = 8.7 Hz, 2H), 7.42 (d, *J* = 8.7 Hz, 2H), 4.79 (d, *J* = 11.6 Hz, 1H), 4.32 (d, *J* = 11.6 Hz, 1H), 3.74 – 3.57 (m, 7H), 3.46 (s, 3H), 2.92 – 2.67 (m, 4H), 1.18 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.41, 167.08, 156.04, 150.30, 147.53, 144.00, 136.35, 129.53, 123.87, 66.87, 55.94, 52.97, 52.82, 51.88, 44.71, 31.45, 29.55. ESI-HRMS: calcd for $C_{23}H_{29}N_3NaO_8^+$ ([M+Na$^+$]) 498.1847, found 498.1858.

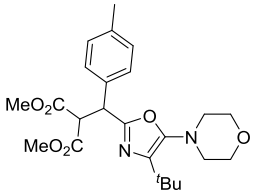


	Retention Time	Area	% Area	Height
1	6.990	3354989	53.51	244785
2	11.335	2915005	46.49	56427

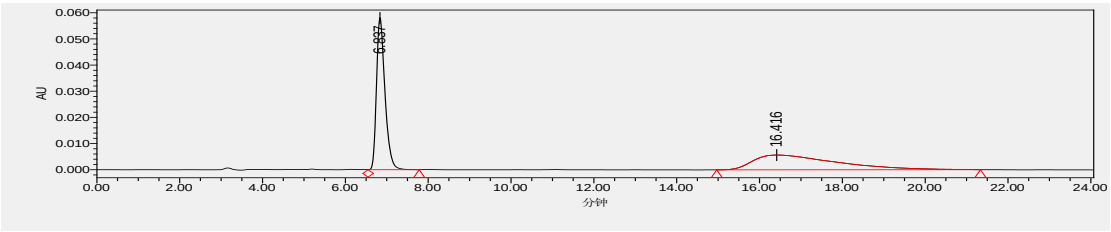


	Retention Time	Area	% Area	Height
1	7.264	6697373	96.99	468745
2	12.418	207792	3.01	3867

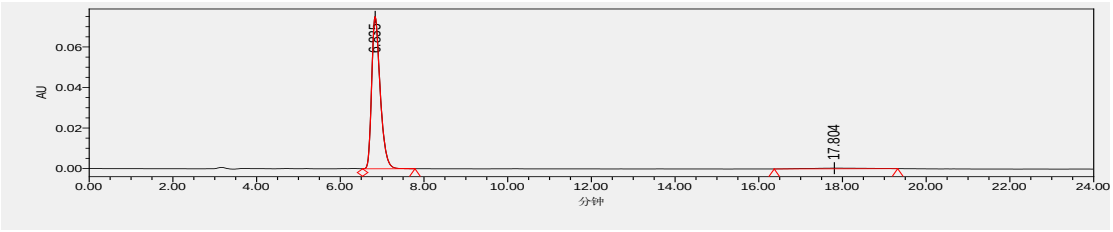
dimethyl 2-((4-tert-butyl-5-morpholinooxazol-2-yl)(p-tolyl)methyl)malonate 3qe



($C_{24}H_{32}N_2O_6$) colorless oil; 83% yield, 94% *ee*. $[\alpha]_D^{20}$ = –63.2 (*c* 1.29 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 80/20, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 6.84 min (major), 17.80 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.13 (dd, *J* = 28.0, 8.0 Hz, 4H), 4.69 (d, *J* = 11.8 Hz, 1H), 4.34 (d, *J* = 11.8 Hz, 1H), 3.80 – 3.63 (m, 7H), 3.50 (s, 3H), 2.96 – 2.80 (m, 4H), 2.30 (s, 3H), 1.25 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.04, 167.57, 157.53, 149.76, 137.35, 135.83, 133.63, 129.32, 128.23, 66.95, 56.58, 52.68, 52.52, 51.93, 44.82, 31.39, 29.61, 21.10. ESI-HRMS: calcd for $C_{24}H_{32}N_2NaO_6^+$ ([M+Na$^+$]) 467.2153, found 467.2154.

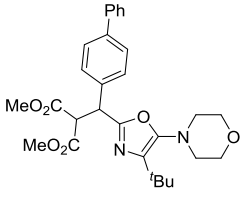


	Retention Time	Area	% Area	Height
1	6.837	825376	51.23	58131
2	16.416	785616	48.77	5647



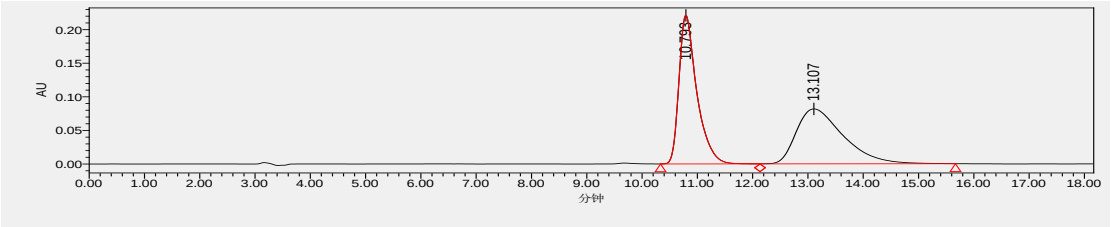
	Retention Time	Area	% Area	Height
1	6.835	1065583	97.15	75046
2	17.804	31293	2.85	323

dimethyl 2-(biphenyl-4-yl(4-tert-butyl-5-morpholinooxazol-2-yl)methyl)malonate 3re

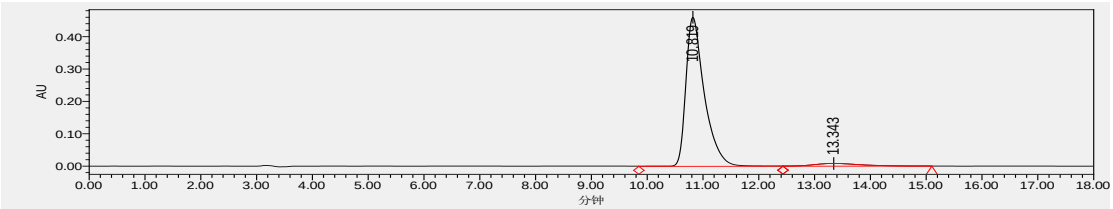


($C_{29}H_{34}N_2O_6$) white solid; 98% yield, 91% *ee*. $[\alpha]_D^{20}$ = –42.9 (*c* 3.14 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 90/10, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 10.82 min (major), 13.34 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.55 (dd, *J*

= 12.0, 7.9 Hz, 4H), 7.37 (qd, *J* = 15.1, 7.4 Hz, 5H), 4.79 (d, *J* = 11.8 Hz, 1H), 4.41 (d, *J* = 11.8 Hz, 1H), 3.71 (d, *J* = 5.9 Hz, 7H), 3.51 (s, 3H), 3.01 – 2.77 (m, 4H), 1.32 – 1.22 (m, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.97, 167.57, 157.32, 149.91, 140.49, 140.45, 135.96, 135.70, 128.85, 128.80, 127.42, 127.29, 126.99, 66.96, 56.50, 52.76, 52.61, 51.96, 44.87, 31.44, 29.65. ESI-HRMS: calcd for $C_{29}H_{35}N_2O_6^+$ ([M+H$^+$]) 507.2490, found 507.2488.

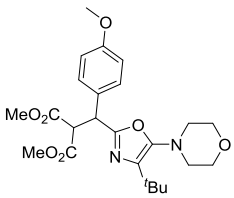


	Retention Time	Area	% Area	Height
1	10.793	4953554	50.70	221225
2	13.107	4816702	49.30	81698

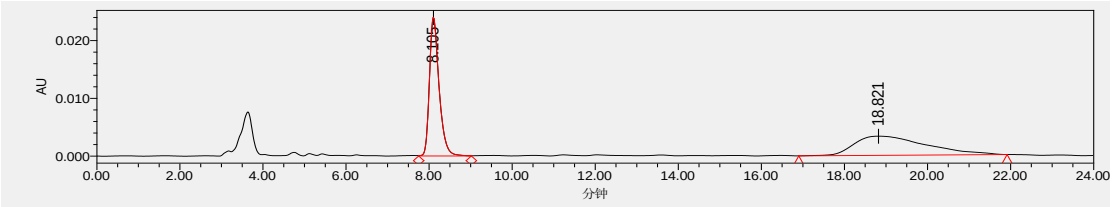


	Retention Time	Area	% Area	Height
1	10.819	10583335	95.50	460729
2	13.343	498390	4.50	8402

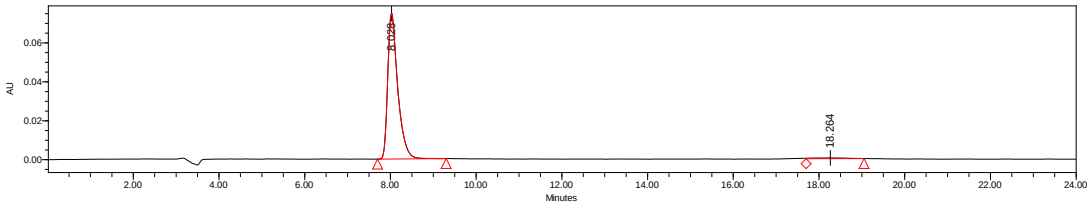
dimethyl 2-((4-tert-butyl-5-morpholinooxazol-2-yl)(4-methoxyphenyl)methyl)malonate 3se



($C_{24}H_{32}N_2O_7$) colorless oil; 87% yield, 96% *ee*. $[\alpha]_D^{20}$ = –64.7 (*c* 0.73 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 80/20, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 8.03 min (major), 18.26 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.23 – 7.11 (m, 2H), 6.89 – 6.65 (m, 2H), 4.68 (d, *J* = 11.6 Hz, 1H), 4.32 (d, *J* = 11.6 Hz, 1H), 3.77 (s, 3H), 3.76 – 3.62 (m, 7H), 3.51 (s, 3H), 3.03 – 2.70 (m, 4H), 1.25 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.03, 167.63, 159.02, 157.62, 149.75, 135.84, 129.48, 128.70, 113.97, 66.96, 56.66, 55.17, 52.67, 52.54, 51.93, 44.43, 31.39, 29.61. ESI-HRMS: calcd for $C_{24}H_{32}N_2NaO_7^+$ ([M+Na$^+$]) 483.2102, found 483.2106.

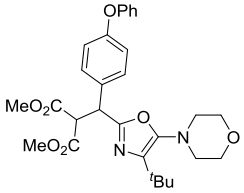


	Retention Time	Area	% Area	Height
1	8.105	386632	49.10	24013
2	18.821	400801	50.90	3322

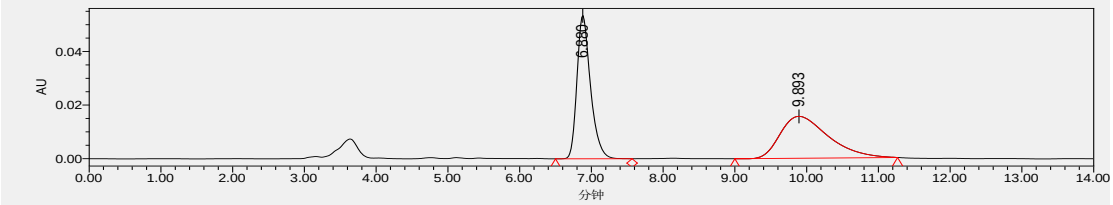


	Retention Time	Area	% Area	Height
1	8.028	1210793	98.14	74854
2	18.264	22939	1.86	410

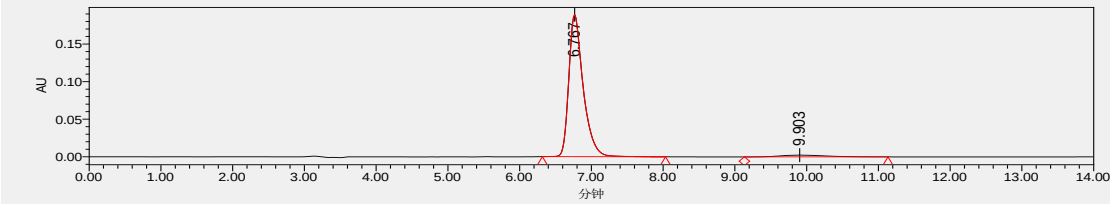
dimethyl 2-((4-tert-butyl-5-morpholinooxazol-2-yl)(4-phenoxyphenyl)methyl)malonate 3te



($C_{29}H_{34}N_2O_7$) colorless oil; 64% yield, 92% *ee*. $[\alpha]_D^{20}$ = –50.3 (*c* 0.66 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 80/20, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 6.77 min (major), 9.90 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.37 – 7.28 (m, 2H), 7.23 (d, *J* = 8.6 Hz, 2H), 7.17 – 7.06 (m, 1H), 7.04 – 6.87 (m, 4H), 4.72 (d, *J* = 11.6 Hz, 1H), 4.34 (d, *J* = 11.6 Hz, 1H), 3.79 – 3.64 (m, 7H), 3.53 (s, 3H), 2.98 – 2.79 (m, 4H), 1.25 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.93, 167.57, 157.37, 156.97, 156.73, 149.86, 135.93, 131.24, 129.78, 129.77, 123.57, 119.21, 118.56, 66.96, 56.64, 52.72, 52.58, 51.94, 44.50, 31.42, 29.61. ESI-HRMS: calcd for $C_{29}H_{35}N_2O_7^+$ ([M+H$^+$]) 523.2439, found 523.2440.

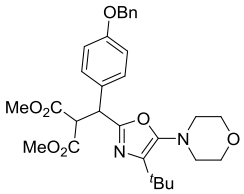


	Retention Time	Area	% Area	Height
1	6.880	703109	49.14	53438
2	9.893	727679	50.86	15630



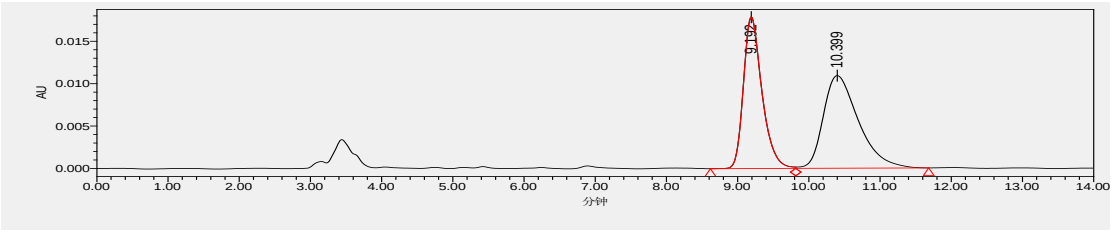
	Retention Time	Area	% Area	Height
1	6.767	2624169	96.21	188894
2	9.903	103425	3.79	2344

dimethyl 2-((4-(benzyloxy)phenyl)(4-tert-butyl-5-morpholinooxazol-2-yl)methyl)malonate 3ue

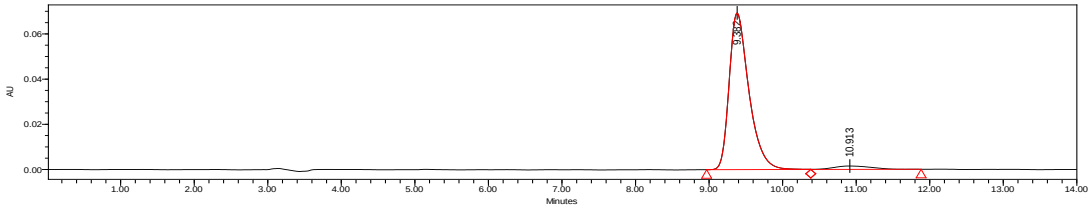


($C_{30}H_{36}N_2O_7$) colorless oil; 64% yield, 92% *ee*. $[\alpha]_D^{20}$ = –49.7 (*c* 0.66

in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 80/20, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 9.38 min (major), 10.91 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.53 – 7.28 (m, 5H), 7.24 – 7.11 (m, 2H), 6.99 – 6.78 (m, 2H), 5.02 (s, 2H), 4.68 (d, *J* = 11.6 Hz, 1H), 4.32 (d, *J* = 11.6 Hz, 1H), 3.82 – 3.59 (m, 7H), 3.49 (s, 3H), 2.99 – 2.73 (m, 4H), 1.25 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.02, 167.64, 158.28, 157.59, 149.77, 136.87, 135.86, 129.53, 128.99, 128.59, 128.02, 127.53, 114.91, 69.98, 66.97, 56.67, 52.67, 52.53, 51.94, 44.46, 31.41, 29.62. ESI-HRMS: calcd for $C_{30}H_{36}N_2NaO_7^+$ ([M+Na$^+$]) 559.2415, found 559.2421.

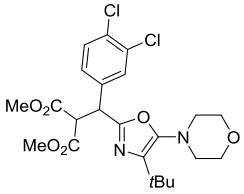


	Retention Time	Area	% Area	Height
1	9.192	323290	46.31	17913
2	10.399	374805	53.69	10934

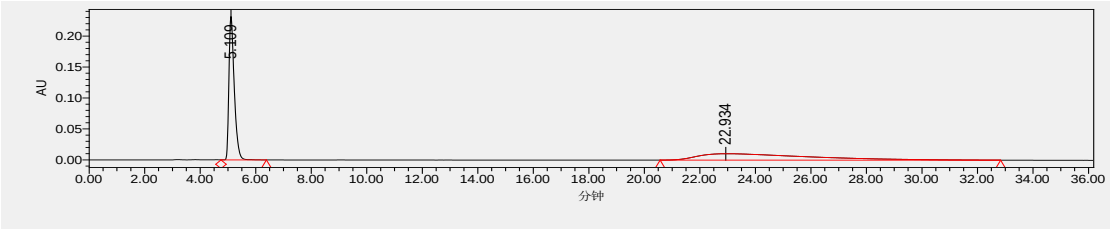


	Retention Time	Area	% Area	Height
1	9.382	1292128	96.05	69373
2	10.913	53139	3.95	1503

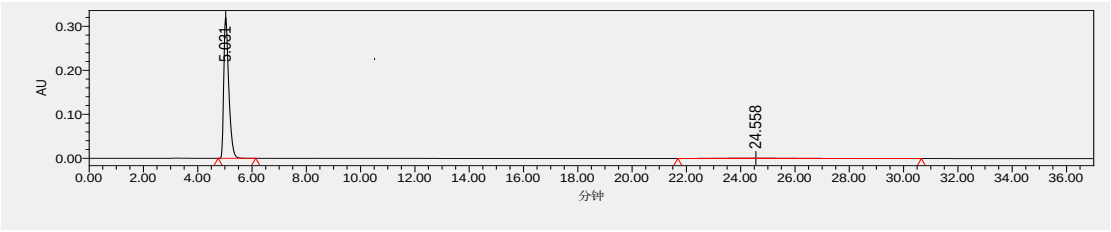
dimethyl 2-((4-(tert-butyl)-5-morpholinooxazol-2-yl)(3,4-dichlorophenyl)methyl)malonate 3ve



($C_{23}H_{28}Cl_2N_2O_6$) colorless oil; 90% yield, 92% *ee*. $[\alpha]_D^{20}$ = –42.1 (*c* 0.86 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 5.03 min (major), 24.56 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.32 (dd, *J* = 10.5, 5.2 Hz, 2H), 7.07 (dd, *J* = 8.3, 2.1 Hz, 1H), 4.62 (d, *J* = 11.7 Hz, 1H), 4.24 (d, *J* = 11.7 Hz, 1H), 3.71 – 3.58 (m, 7H), 3.49 (s, 3H), 2.87 – 2.75 (m, 4H), 1.18 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.50, 166.15, 155.38, 149.12, 135.91, 135.18, 131.65, 131.03, 129.59, 129.49, 126.85, 65.90, 55.11, 51.82, 51.75, 50.90, 43.16, 30.42, 28.55. ESI-HRMS: calcd for $C_{23}H_{28}{}^{34.9689}Cl_2N_2NaO_6^+$ ([M+Na$^+$]) 521.1217, found 521.1229, calcd for $C_{23}H_{28}{}^{34.9689}Cl^{36.9659}ClN_2NaO_6^+$ ([M+Na$^+$]) 523.1187, found 523.1215.

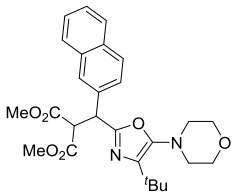


	Retention Time	Area	% Area	Height
1	5.109	3105170	51.98	232898
2	22.934	2868680	48.02	10306

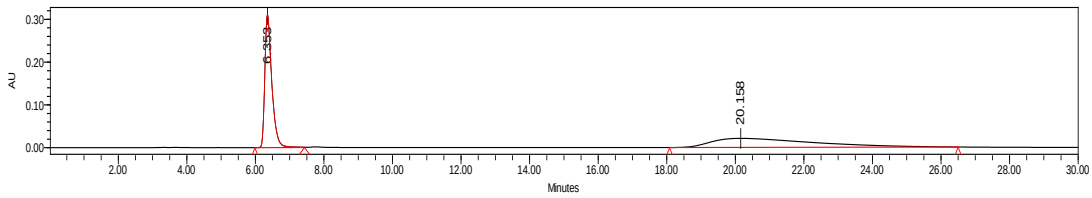


	Retention Time	Area	% Area	Height
1	5.031	4088713	95.97	319822
2	24.558	171791	4.03	767

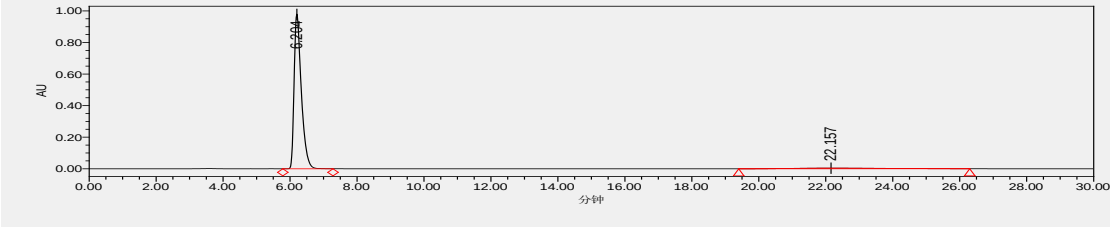
dimethyl 2-((4-tert-butyl-5-morpholinooxazol-2-yl)(naphthalen-2-yl)methyl)malonate 3we



($C_{27}H_{32}N_2O_6$) colorless oil; 81% yield, 90% *ee*. $[\alpha]_D^{20}$ = –51.1 (*c* 0.74 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 6.20 min (major), 22.16 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 (dd, *J* = 13.2, 8.4 Hz, 4H), 7.51 – 7.36 (m, 3H), 4.91 (d, *J* = 11.8 Hz, 1H), 4.50 (d, *J* = 11.8 Hz, 1H), 3.77 – 3.62 (m, 7H), 3.42 (s, 3H), 2.86 (t, *J* = 4.6 Hz, 4H), 1.27 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.01, 167.54, 157.38, 149.91, 135.98, 134.12, 133.30, 132.79, 128.40, 128.00, 127.73, 127.64, 126.22, 126.15, 125.91, 66.94, 56.38, 52.76, 52.57, 51.93, 45.31, 31.44, 29.63. ESI-HRMS: calcd for $C_{27}H_{32}N_2NaO_6^+$ ([M+Na$^+$]) 503.2153, found 503.2159.

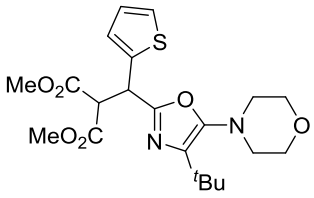


	Retention Time	Area	% Area	Height
1	6.353	4396656	50.12	311744
2	20.158	4375672	49.88	21136

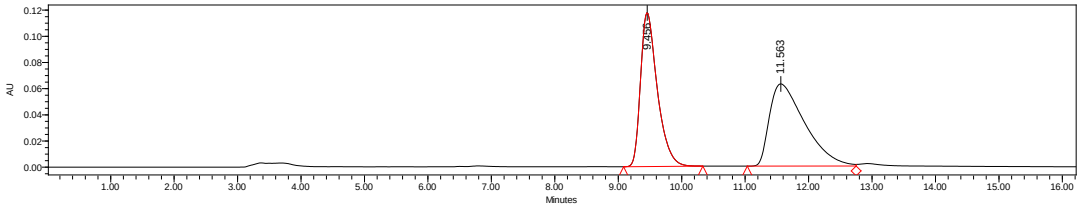


	Retention Time	Area	% Area	Height
1	6.204	14637108	95.07	981153
2	22.157	758692	4.93	3912

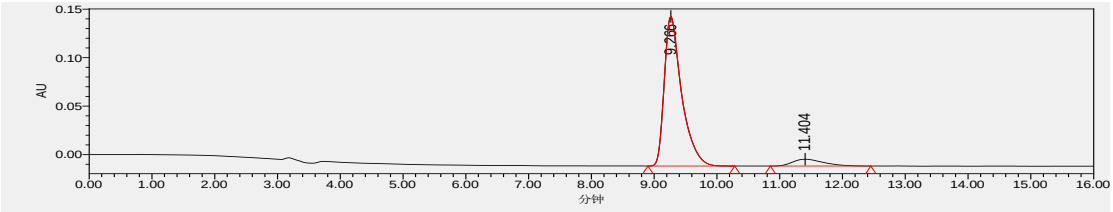
dimethyl 2-((4-(tert-butyl)-5-morpholinooxazol-2-yl)(thiophen-2-yl)methyl)malonate 3xe



($C_{21}H_{28}N_2O_6S$) white solid; 28% yield, 85% *ee*. $[\alpha]_D^{20}$ = –46.3 (*c* 0.24 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 90/10, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 9.27 min (major), 11.40 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.17 – 7.08 (m, 1H), 6.91 – 6.79 (m, 2H), 5.00 (d, *J* = 11.5 Hz, 1H), 4.27 (d, *J* = 11.5 Hz, 1H), 3.70 – 3.63 (m, 4H), 3.61 (s, 3H), 3.54 (s, 3H), 2.89 – 2.80 (m, 4H), 1.18 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.43, 166.29, 155.59, 148.92, 138.09, 135.10, 125.73, 125.61, 124.53, 65.92, 56.21, 51.73, 50.93, 39.43, 30.41, 28.57. ESI-HRMS: calcd for $C_{21}H_{28}N_2NaO_6S^+$ ([M+Na$^+$]) 459.1560, found 459.1567.

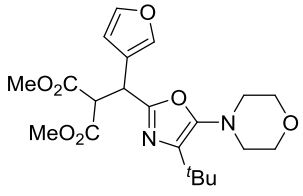


	Retention Time	Area	% Area	Height
1	9.456	2154165	46.98	117632
2	11.563	2430721	53.02	62797



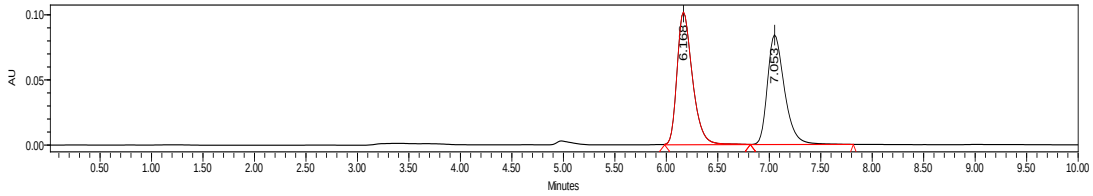
	Retention Time	Area	% Area	Height
1	9.266	2961315	92.51	154244
2	11.404	239916	7.49	7026

dimethyl 2-((4-tert-butyl-5-morpholinooxazol-2-yl)(furan-3-yl)methyl)malonate 3ye

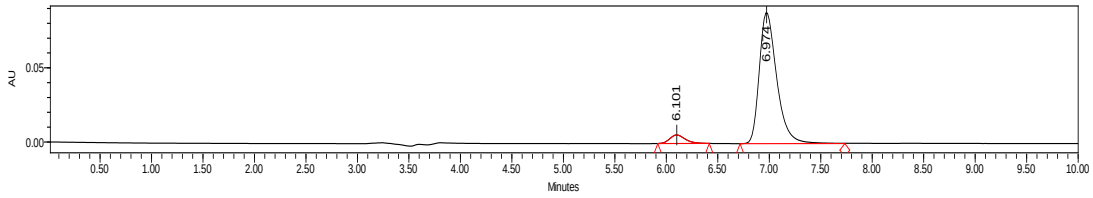


($C_{21}H_{28}N_2O_7$) yellow oil; 76% yield, 89% *ee*. $[\alpha]_D^{20}$ = –32.6 (*c* 0.82 in CH_2Cl_2). HPLC DAICEL CHIRALCEL IE, n-hexane/2-propanol = 80/20, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 6.10 min (minor), 6.97 min (major). ^{1}H NMR (400

MHz, $CDCl_3$) δ 7.33 (dd, *J* = 6.0, 4.4 Hz, 2H), 6.33 (d, *J* = 0.9 Hz, 1H), 4.73 (d, *J* = 11.2 Hz, 1H), 4.21 (d, *J* = 11.2 Hz, 1H), 3.79 – 3.71 (m, 4H), 3.66 (d, *J* = 12.9 Hz, 6H), 2.99 – 2.82 (m, 4H), 1.25 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.66, 167.62, 156.88, 149.76, 143.05, 140.55, 136.02, 121.07, 110.05, 66.96, 56.02, 52.72, 52.68, 51.95, 36.26, 31.40, 29.60. ESI-HRMS: calcd for $C_{21}H_{28}N_2NaO_7^+$ ([M+Na^+]) 443.1789, found 443.1792.

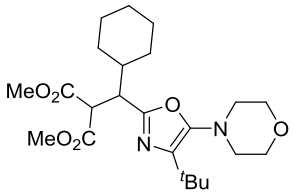


	Retention Time	Area	% Area	Height
1	6.168	1055615	52.19	101998
2	7.053	967161	47.81	84285

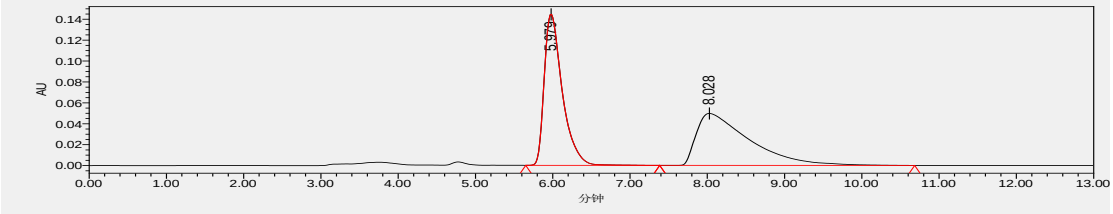


	Retention Time	Area	% Area	Height
1	6.101	59860	5.44	5771
2	6.974	1040520	94.56	88732

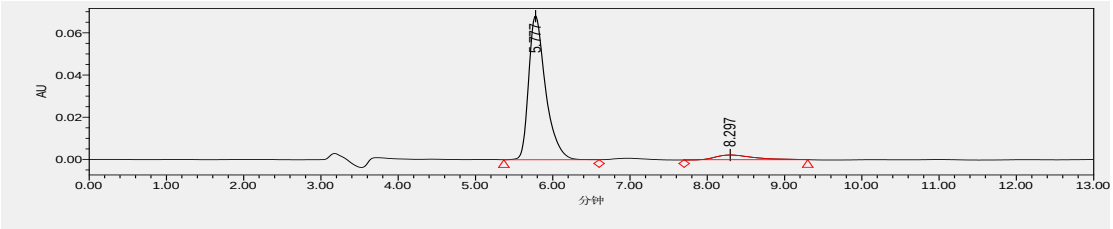
dimethyl 2-((4-(tert-butyl)-5-morpholinooxazol-2-yl)(cyclohexyl)methyl)malonate 3ze



($C_{23}H_{36}N_2O_6$) colorless oil; 81% yield, 86% *ee*. $[\alpha]_D^{20}$ = –13.8 (*c* 0.81 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 90/10, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 5.78 min (major), 8.30 min (minor). ^1H NMR (400 MHz, $CDCl_3$) δ 4.09 (d, *J* = 11.3 Hz, 1H), 3.83 – 3.71 (m, 7H), 3.60 (s, 3H), 3.49 (dd, *J* = 11.3, 4.1 Hz, 1H), 3.01 – 2.89 (m, 4H), 1.95 – 1.44 (m, 7H), 1.24 (s, 9H), 1.17 – 0.91 (m, 3H), 0.80 – 0.58 (m, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.64, 168.43, 157.68, 149.18, 135.54, 66.98, 52.73, 52.49, 52.01, 44.72, 39.65, 31.88, 31.31, 29.61, 28.26, 26.61, 26.32, 26.23. ESI-HRMS: calcd for $C_{23}H_{36}N_2NaO_6^+$ ([M+Na^+]) 459.2466, found 459.2466.

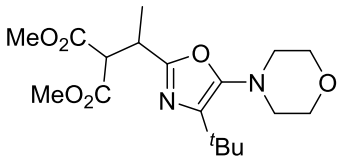


	Retention Time	Area	% Area	Height
1	5.979	2347979	49.78	144672
2	8.028	2368492	50.22	49684

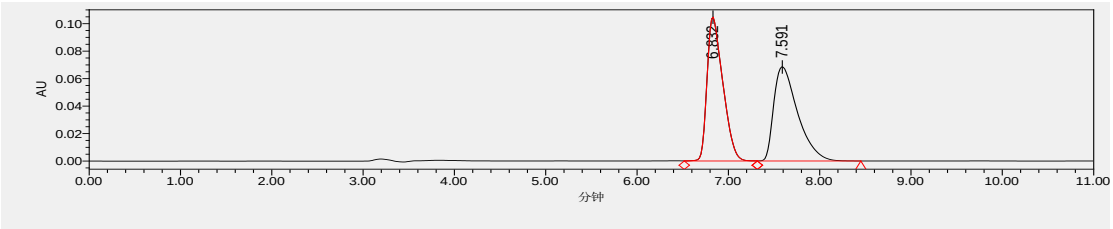


	Retention Time	Area	% Area	Height
1	5.777	1038477	93.14	68203
2	8.297	76541	6.86	2231

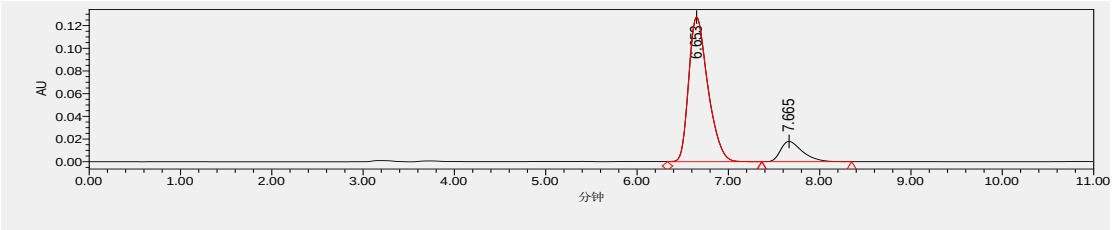
dimethyl 2-(1-(4-(tert-butyl)-5-morpholinooxazol-2-yl)ethyl)malonate 4ae



($C_{18}H_{28}N_2O_6$) colorless oil; 83% yield, 72% *ee*. $[\alpha]_D^{20}$ = –6.0 (*c* 1.30 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 90/10, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 6.65 min (major), 7.67 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 3.77 (d, *J* = 9.6 Hz, 1H), 3.73 – 3.65 (m, 7H), 3.61 (s, 3H), 3.59 – 3.50 (m, 1H), 2.93 – 2.82 (m, 4H), 1.27 (d, *J* = 7.0 Hz, 3H), 1.18 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.28, 168.25, 159.11, 149.42, 135.83, 66.99, 55.46, 52.58, 52.57, 52.53, 51.95, 34.09, 31.33, 29.61, 16.19. ESI-HRMS: calcd for $C_{18}H_{28}N_2NaO_6^+$ ([M+Na$^+$]) 391.1840, found 391.1841.

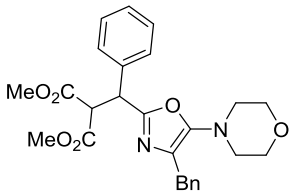


	Retention Time	Area	% Area	Height
1	6.832	1252643	50.10	104686
2	7.591	1247539	49.90	68615



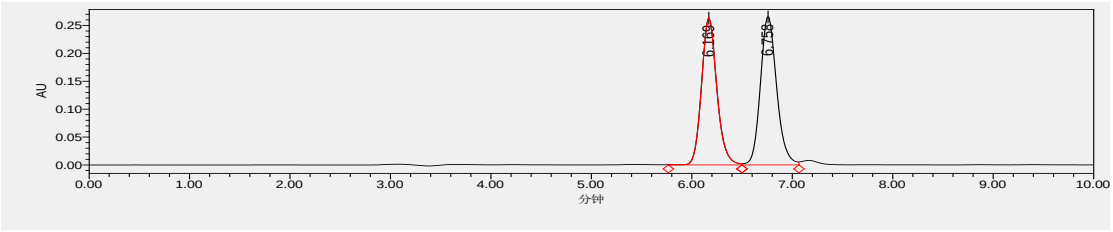
	Retention Time	Area	% Area	Height
1	6.653	1854717	86.26	127727
2	7.665	295467	13.74	17896

dimethyl 2-((4-benzyl-5-morpholinooxazol-2-yl)(phenyl)methyl)malonate 3aa

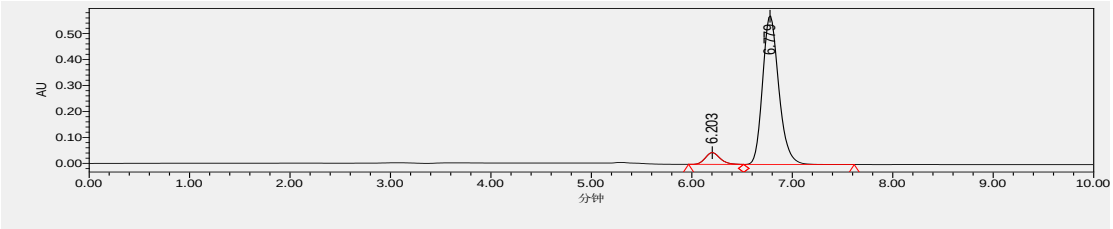


($C_{26}H_{28}N_2O_6$) yellow oil; 85% yield, 86% *ee*. $[\alpha]_D^{20}$ = –54.4 (*c* 1.00 in CH_2Cl_2). HPLC DAICEL CHIRALCEL IA, n-hexane/2-propanol = 85/15, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 6.20 min (minor), 6.78 min (major). ^{1}H NMR (400

MHz, $CDCl_3$) δ 7.24 – 7.15 (m, 7H), 7.14 – 7.04 (m, 3H), 4.67 (d, *J* = 11.9 Hz, 1H), 4.32 (d, *J* = 11.9 Hz, 1H), 3.71 (s, 2H), 3.59 (dd, *J* = 5.2, 4.2 Hz, 4H), 3.52 (s, 3H), 3.39 (s, 3H), 2.82 (dd, *J* = 5.2, 4.3 Hz, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.91, 167.48, 157.74, 152.20, 139.62, 136.37, 128.70, 128.40, 128.38, 128.29, 127.85, 126.06, 124.37, 66.83, 56.19, 52.73, 52.53, 50.99, 45.03, 31.58. ESI-HRMS: calcd for $C_{26}H_{28}N_2NaO_6^+$ ([M+Na^+]) 487.1840, found 487.1847.

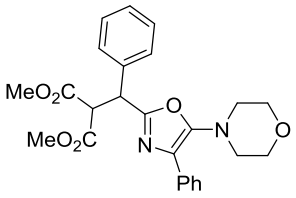


	Retention Time	Area	% Area	Height
1	6.169	2786715	48.20	263673
2	6.758	2995297	51.80	266757

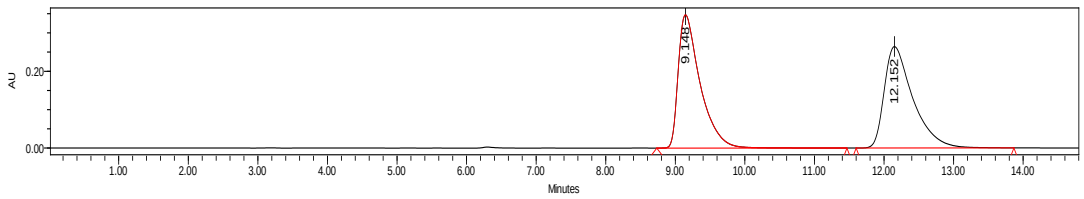


	Retention Time	Area	% Area	Height
1	6.203	463594	6.75	45526
2	6.779	6404518	93.25	572680

dimethyl 2-((5-morpholino-4-phenyloxazol-2-yl)(phenyl)methyl)malonate 3ab

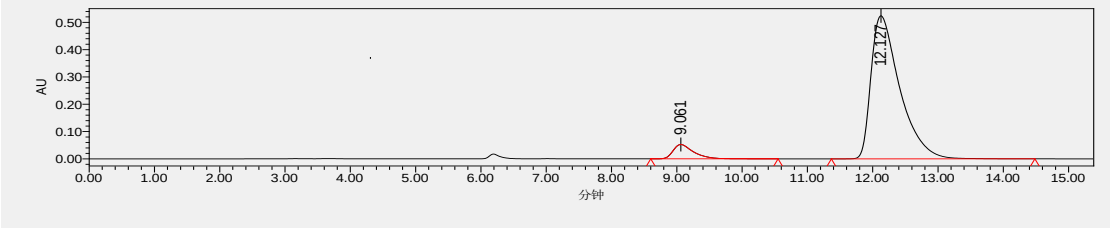


($C_{25}H_{26}N_2O_6$) white solid; 97% yield, 86% *ee*. $[\alpha]_D^{20}$ = –127.7 (*c* 0.83 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 80/20, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 9.06 min (minor), 12.13 min (major). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.84 (dd, *J* = 8.2, 1.0 Hz, 2H), 7.35 – 7.11 (m, 8H), 4.73 (d, *J* = 11.8 Hz, 1H), 4.41 (d, *J* = 11.8 Hz, 1H), 3.71 (t, *J* = 4.7 Hz, 4H), 3.65 (s, 3H), 3.40 (s, 3H), 3.02 – 2.89 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.00, 167.51, 157.62, 151.10, 136.27, 131.96, 128.72, 128.45, 128.39, 127.93, 126.88, 125.91, 123.94, 66.89, 56.28, 52.90, 52.89, 52.56, 50.34, 44.97. ESI-HRMS: calcd for $C_{25}H_{26}N_2NaO_6^+$ ([M+Na^+]) 473.1683, found 473.1688.



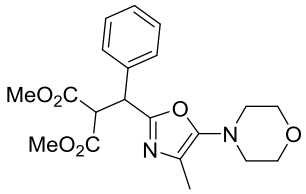
	Retention Time	Area	% Area	Height
1	9.148	7573212	50.08	347786

2	12.152	7549125	49.92	264411

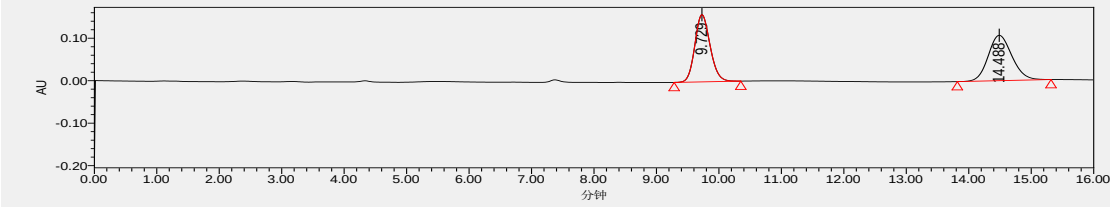


	Retention Time	Area	% Area	Height
1	9.061	1146884	6.68	52660
2	12.127	16023868	93.32	524207

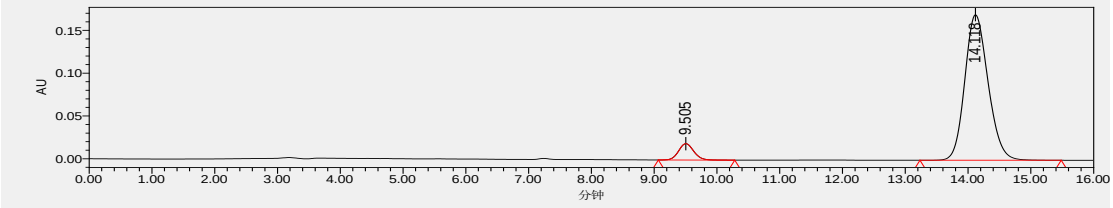
dimethyl 2-((4-methyl-5-morpholinooxazol-2-yl)(phenyl)methyl)malonate 3ac



($C_{20}H_{24}N_2O_6$) colorless oil; 60% yield, 86% *ee*. $[\alpha]_D^{20}$ = –73.9 (*c* 0.56 in CH_2Cl_2). HPLC DAICEL CHIRALCEL IC, n-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 9.51 min (minor), 14.12 min (major). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.34 – 7.21 (m, 5H), 4.72 (d, *J* = 11.9 Hz, 1H), 4.40 (d, *J* = 11.9 Hz, 1H), 3.79 – 3.64 (m, 7H), 3.46 (s, 3H), 3.00 – 2.89 (m, 4H), 2.05 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.94, 167.50, 157.13, 151.43, 136.46, 128.67, 128.34, 127.81, 121.23, 66.89, 55.95, 52.84, 52.52, 50.86, 44.93, 11.17. ESI-HRMS: calcd for $C_{20}H_{24}N_2NaO_6^+$ ([M+Na$^+$]) 411.1527, found 411.1529.

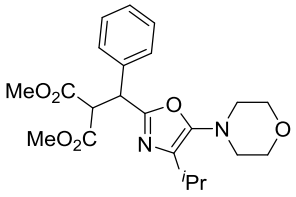


	Retention Time	Area	% Area	Height
1	9.729	2682783	48.79	158303
2	14.488	2815380	51.21	106855



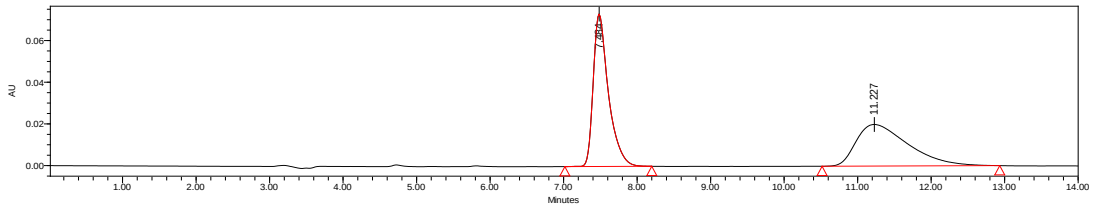
	Retention Time	Area	% Area	Height
1	9.505	321493	6.84	19168
2	14.118	4375924	93.16	170055

dimethyl 2-((4-isopropyl-5-morpholinooxazol-2-yl)(phenyl)methyl)malonate 3ad

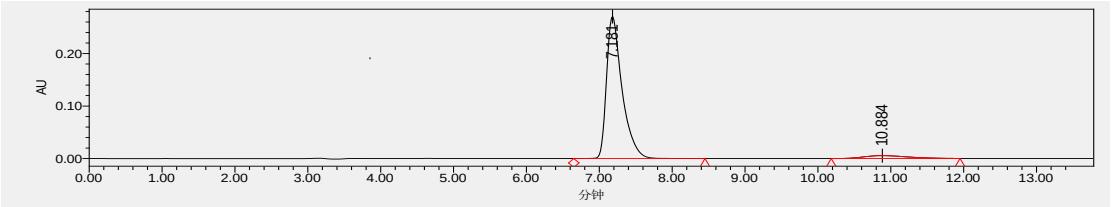


($C_{22}H_{28}N_2O_6$) yellow oil; 99% yield, 89% *ee*. $[\alpha]_D^{20}$ = –65.8 (*c* 0.88 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 80/20, flow rate = 1.0 mL/min, λ = 254 nm,

retention time: 7.18 min (major), 10.88 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.32 – 7.22 (m, 5H), 4.73 (d, *J* = 11.8 Hz, 1H), 4.38 (d, *J* = 11.8 Hz, 1H), 3.78 – 3.65 (m, 7H), 3.47 (s, 3H), 2.96 – 2.88 (m, 4H), 2.82 (dt, *J* = 13.8, 6.9 Hz, 1H), 1.17 (dd, *J* = 9.3, 6.9 Hz, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.94, 167.51, 157.50, 150.11, 136.64, 132.18, 128.62, 128.39, 127.73, 66.94, 56.37, 52.71, 52.49, 51.53, 45.19, 25.32, 22.00, 21.75. ESI-HRMS: calcd for $C_{22}H_{28}N_2NaO_6^+$ ([M+Na$^+$]) 439.1840, found 439.1840.

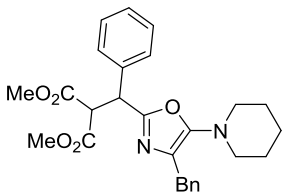


	Retention Time	Area	% Area	Height
1	7.484	1047484	51.73	73126
2	11.227	977237	48.27	19938

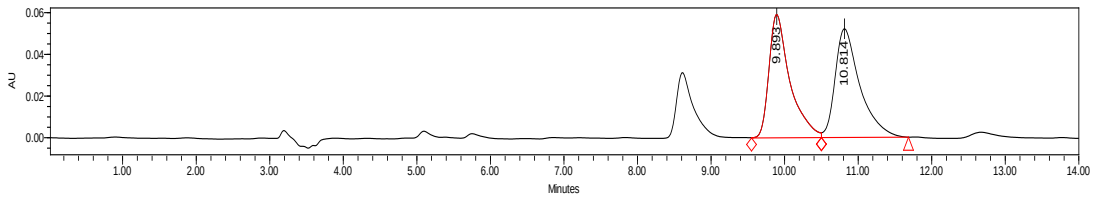


	Retention Time	Area	% Area	Height
1	7.181	4007767	94.52	270763
2	10.884	232570	5.48	5487

dimethyl 2-((4-benzyl-5-(piperidin-1-yl)oxazol-2-yl)(phenyl)methyl)malonate 3af

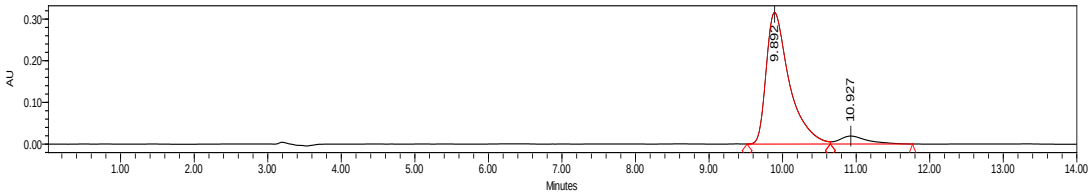


($C_{27}H_{30}N_2O_5$) yellow oil; 86% yield, 86% *ee*. $[\alpha]_D^{20}$ = –77.9 (*c* 0.89 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 90/10, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 9.89 min (major), 10.93 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.33 – 7.12 (m, 10H), 4.73 (d, *J* = 12.0 Hz, 1H), 4.39 (d, *J* = 12.0 Hz, 1H), 3.77 (d, *J* = 1.5 Hz, 2H), 3.57 (s, 3H), 3.45 (s, 3H), 2.95 – 2.79 (m, 4H), 1.64 – 1.33 (m, 6H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.90, 167.58, 157.07, 153.70, 140.01, 136.61, 128.64, 128.43, 128.40, 128.20, 127.73, 125.88, 123.10, 56.27, 52.68, 52.49, 52.06, 45.09, 31.61, 25.86, 23.84. ESI-HRMS: calcd for $C_{27}H_{30}N_2NaO_5^+$ ([M+Na$^+$]) 485.2047, found 485.2050.



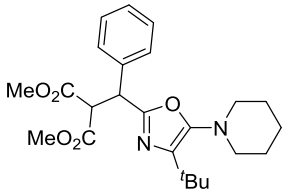
	Retention Time	Area	% Area	Height
1	9.893	1168417	48.97	59174

2	10.814	1217631	51.03	52190

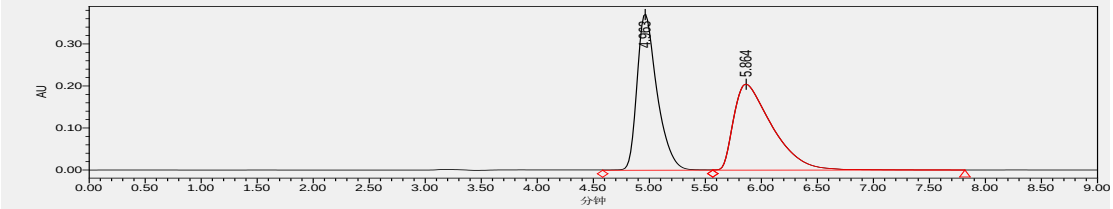


	Retention Time	Area	% Area	Height
1	9.892	6873579	93.13	316215
2	10.927	507218	6.87	19211

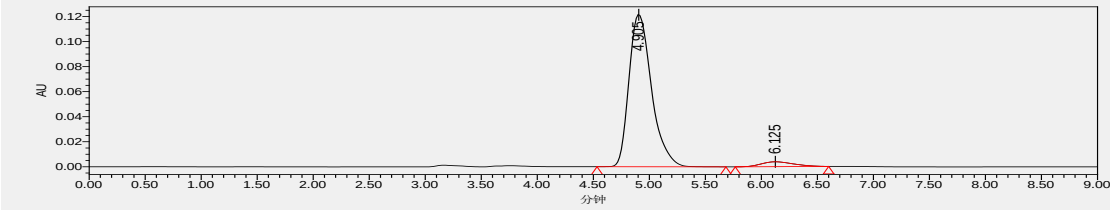
dimethyl 2-((4-(tert-butyl)-5-(piperidin-1-yl)oxazol-2-yl)(phenyl)methyl)malonate 3ag



($C_{24}H_{32}N_2O_5$) colorless oil; 91% yield, 91% *ee*. $[\alpha]_D^{20}$ = –58.4 (*c* 0.75 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 90/10, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 4.91 min (major), 6.13 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.23 – 7.14 (m, 5H), 4.64 (d, *J* = 11.8 Hz, 1H), 4.28 (d, *J* = 11.8 Hz, 1H), 3.61 (s, 3H), 3.40 (s, 3H), 2.73 (t, *J* = 5.3 Hz, 4H), 1.56 – 1.36 (m, 6H), 1.17 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 166.96, 166.64, 155.75, 150.45, 135.94, 133.79, 127.52, 127.42, 126.58, 55.64, 51.87, 51.65, 51.43, 44.28, 30.30, 28.56, 24.90, 22.84. ESI-HRMS: calcd for $C_{24}H_{32}N_2NaO_5^+$ ([M+Na$^+$]) 451.2203, found 451.2204.

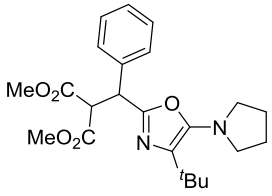


	Retention Time	Area	% Area	Height
1	4.963	4609347	48.56	371402
2	5.864	4883484	51.44	204594



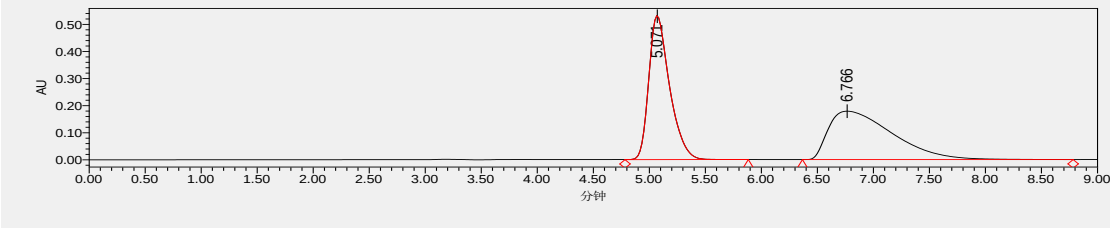
	Retention Time	Area	% Area	Height
1	4.905	1701597	95.64	121817
2	6.125	77639	4.36	3878

dimethyl 2-((4-(tert-butyl)-5-(pyrrolidin-1-yl)oxazol-2-yl)(phenyl)methyl)malonate 3ah

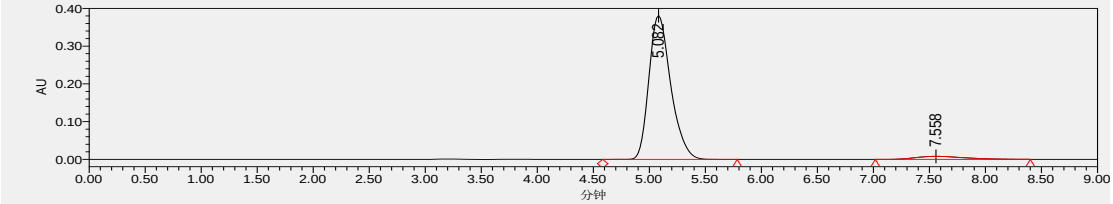


($C_{23}H_{30}N_2O_5$) yellow oil; 80% yield, 91% *ee*. $[\alpha]_D^{20}$ = –70.2 (*c* 0.75 in CH_2Cl_2). HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 90/10, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 5.08

min (major), 7.56 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.25 – 7.13 (m, 5H), 4.64 (d, *J* = 11.8 Hz, 1H), 4.30 (d, *J* = 11.8 Hz, 1H), 3.62 (s, 3H), 3.40 (s, 3H), 3.00 – 2.83 (m, 4H), 1.82 – 1.71 (m, 4H), 1.16 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.04, 167.67, 156.71, 148.51, 136.99, 136.46, 128.54, 128.45, 127.60, 56.61, 52.67, 52.44, 45.31, 31.31, 29.51, 25.37. ESI-HRMS: calcd for $C_{23}H_{30}N_2NaO_5^+$ ([M+Na$^+$]) 437.2047, found 437.2048.

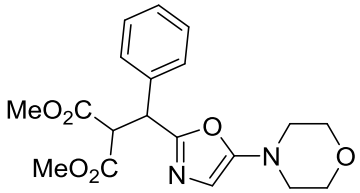


	Retention Time	Area	% Area	Height
1	5.071	6838875	49.10	531646
2	6.766	7090215	50.90	178577

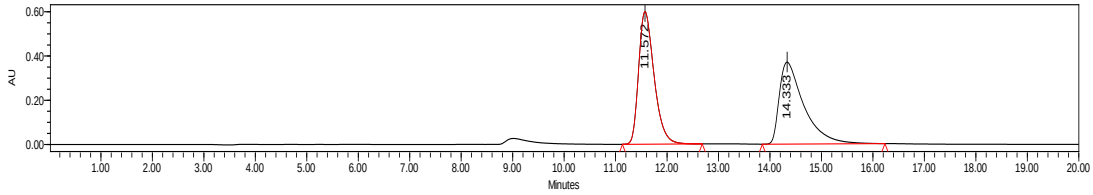


	Retention Time	Area	% Area	Height
1	5.082	5160759	95.47	380707
2	7.558	245092	4.53	7987

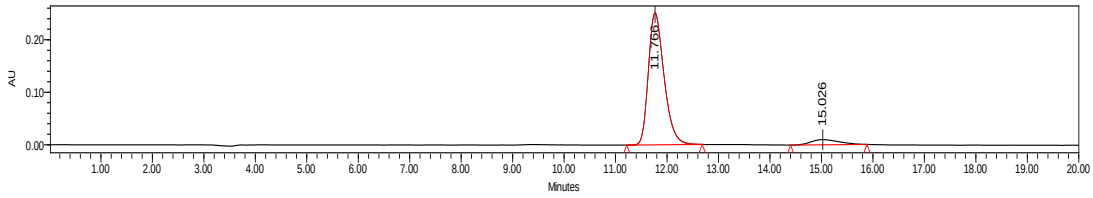
dimethyl 2-((5-morpholinooxazol-2-yl)(phenyl)methyl)malonate 3ai



($C_{19}H_{22}N_2O_6$) colorless oil; 62% yield, 87% *ee*. $[\alpha]_D^{20}$ = –46.3 (*c* 0.46 in CH_2Cl_2). HPLC DAICEL CHIRALCEL IE, n-hexane/2-propanol = 80/20, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 11.77 min (major), 15.03 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.34 – 7.22 (m, 5H), 5.95 (s, 1H), 4.75 (d, *J* = 11.9 Hz, 1H), 4.38 (d, *J* = 11.9 Hz, 1H), 3.80 – 3.68 (m, 7H), 3.46 (s, 3H), 3.08 – 2.91 (m, 4H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.95, 167.46, 157.33, 155.76, 136.40, 128.72, 128.32, 127.88, 102.86, 65.93, 56.06, 52.95, 52.55, 48.22, 44.61. ESI-HRMS: calcd for $C_{19}H_{22}N_2NaO_6^+$ ([M+Na$^+$]) 397.1370, found 397.1375.

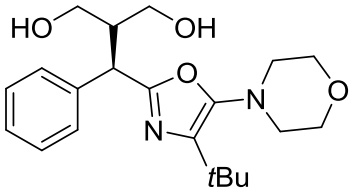


	Retention Time	Area	% Area	Height
1	11.572	12484962	50.46	600637
2	14.333	12257930	49.54	370393

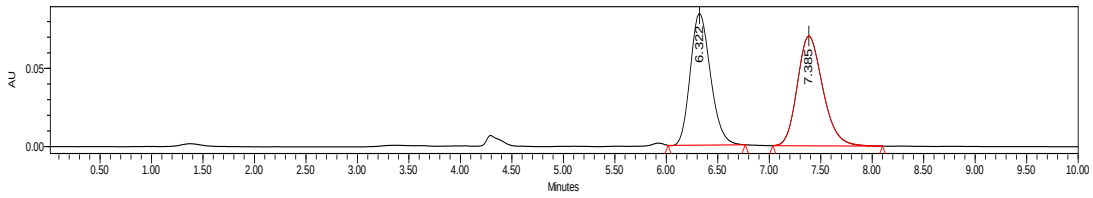


	Retention Time	Area	% Area	Height
1	11.766	5316102	93.44	251654
2	15.026	373190	6.56	9809

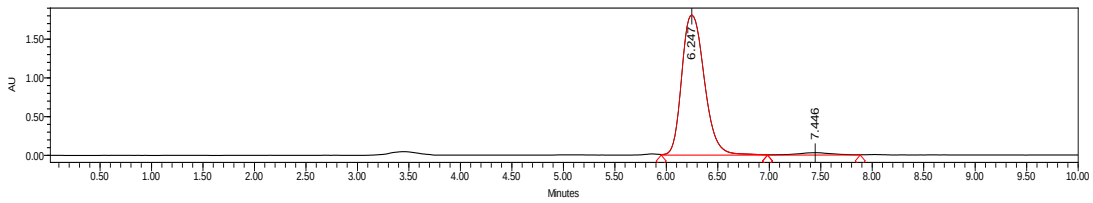
2-((4-(tert-butyl)-5-morpholinooxazol-2-yl)(phenyl)methyl)propane-1,3-diol 5



($C_{21}H_{30}N_2O_4$) yellow oil; 90% yield, 95% *ee*. HPLC DAICEL CHIRALCEL IC, n-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 210 nm, retention time: 6.25 min (major), 7.45 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.31 – 7.22 (m, 2H), 7.21 – 7.15 (m, 3H), 4.87 (s, 1H), 4.32 (d, *J* = 7.6 Hz, 1H), 3.85 (d, *J* = 12.1 Hz, 1H), 3.71 – 3.41 (m, 8H), 2.87 – 2.74 (m, 4H), 2.33 – 2.22 (m, 1H), 1.22 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 159.67, 150.02, 138.31, 135.29, 128.68, 128.46, 127.22, 66.91, 62.23, 61.48, 56.59, 51.89, 46.86, 45.08, 31.33, 29.66. ESI-HRMS: calcd for $C_{21}H_{30}N_2NaO_4^+$ ([M+Na$^+$]) 397.2098, found 397.2096.

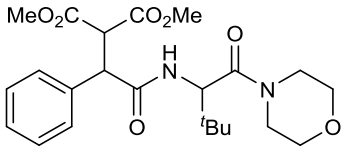


	Retention Time	Area	% Area	Height
1	6.322	1149075	48.82	84354
2	7.385	1204602	51.18	70266



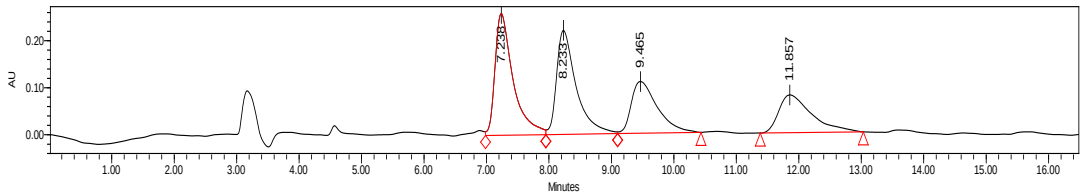
	Retention Time	Area	% Area	Height
1	6.247	27600153	97.43	1806916
2	7.446	727763	2.57	30209

dimethyl 2-(2-((3,3-dimethyl-1-morpholino-1-oxobutan-2-yl)amino)-2-oxo-1-phenylethyl)malonate 6

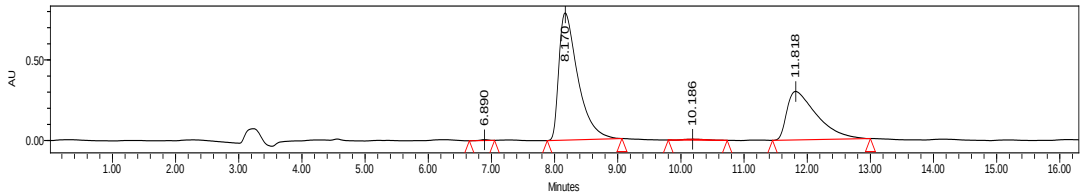


($C_{23}H_{32}N_2O_7$) white solid; 99% yield, 1.8:1 d.r., 99% *ee*. (major), 97% *ee*. (minor); HPLC DAICEL CHIRALCEL IB,

n-hexane/2-propanol = 90/10, flow rate = 1.0 mL/min, λ = 210 nm, retention time: t_1 = 6.89 min, t_2 = 8.17 min, t_3 = 10.19, t_4 = 11.82. ^{1}H NMR (400 MHz, THF) δ 7.62 (d, *J* = 9.7 Hz, 1H), 7.18 – 6.98 (m, 5H), 4.19 (dt, *J* = 25.2, 10.3 Hz, 3H), 3.54 (s, 3H), 3.50 – 3.41 (m, 4H), 3.22 (s, 5H), 3.14 – 2.98 (m, 2H), 0.89 (s, 9H). ^{13}C NMR (100 MHz, THF) δ 170.40, 168.94, 167.96, 167.59, 136.92, 128.31, 128.02, 127.28, 55.04, 53.89, 51.70, 51.25, 46.40, 41.85, 35.40, 26.04. ESI-HRMS: calcd for $C_{23}H_{32}N_2NaO_7^+$ ([M+Na$^+$]) 471.2102, found 471.2105.

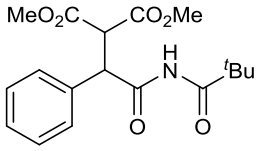


	Retention Time	Area	% Area	Height
1	7.238	5215360	32.21	259409
2	8.233	4832726	29.85	221306
3	9.465	3196685	19.74	110132
4	11.857	2947336	18.20	80410

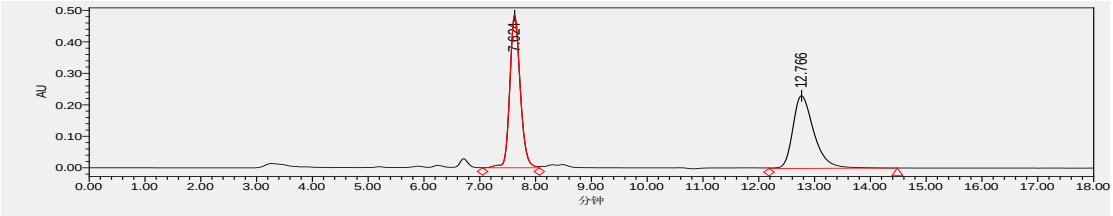


	Retention Time	Area	% Area	Height
1	6.890	43420	0.16	5132
2	8.170	16433517	62.13	789711
3	10.186	132198	0.50	5423
4	11.818	9840801	37.21	301073

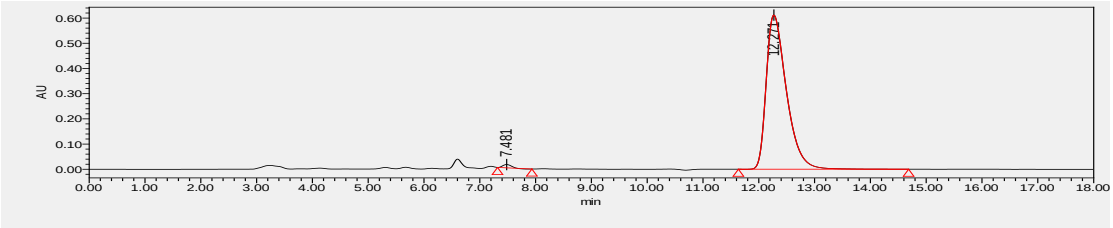
dimethyl 2-(2-oxo-1-phenyl-2-pivalamidoethyl)malonate 7



($C_{18}H_{23}NO_6$) colorless oil; 51% yield, 98% *ee*. HPLC DAICEL CHIRALCEL IE, n-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 210 nm, retention time: 7.48 min (minor), 12.27 min (major). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.32 (s, 1H), 7.39 – 7.21 (m, 5H), 5.41 (d, *J* = 11.7 Hz, 1H), 4.32 (d, *J* = 11.7 Hz, 1H), 3.74 (s, 3H), 3.45 (s, 3H), 1.15 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 176.29, 174.04, 168.47, 167.57, 133.86, 129.16, 128.65, 128.17, 55.59, 52.91, 52.46, 50.63, 40.18, 26.77. ESI-HRMS: calcd for $C_{18}H_{23}KNO_6^+$ ([M+K$^+$]) 388.1157, found 388.1163.

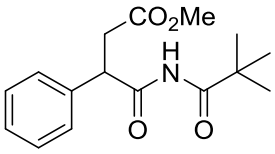


	Retention Time	Area	% Area	Height
1	7.624	6345924	51.11	485427
2	12.766	6069786	48.89	230987

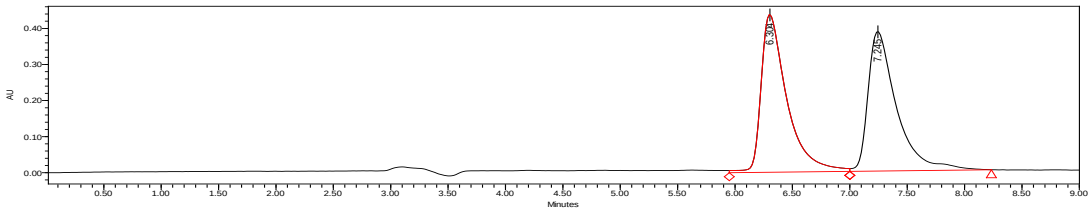


	Retention Time	Area	% Area	Height
1	7.481	154136	0.99	13318
2	12.271	15387661	99.01	612158

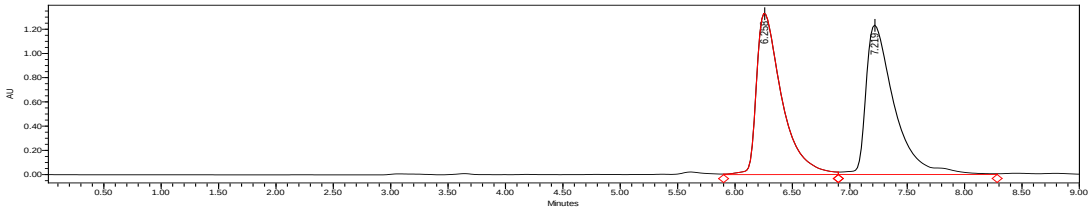
methyl (R)-4-oxo-3-phenyl-4-pivalamidobutanoate 8



($C_{16}H_{21}NO_4$) yellow solid; 47% yield, 0% *ee*. HPLC DAICEL CHIRALCEL IB, n-hexane/2-propanol = 80/20, flow rate = 1.0 mL/min, λ = 210 nm, retention time: 6.26 min (minor), 7.22 min (major). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.22 (s, 1H), 7.37 – 7.23 (m, 5H), 5.11 (dd, *J* = 10.4, 4.8 Hz, 1H), 3.66 (s, 3H), 3.29 (dd, *J* = 17.2, 10.4 Hz, 1H), 2.63 (dd, *J* = 17.2, 4.8 Hz, 1H), 1.13 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 176.29, 174.96, 172.20, 137.24, 128.83, 128.40, 127.67, 51.85, 47.43, 40.17, 38.09, 26.82. ESI-HRMS: calcd for $C_{16}H_{21}NNaO_4^+$ ([M+Na$^+$]) 314.1363, found 314.1373.

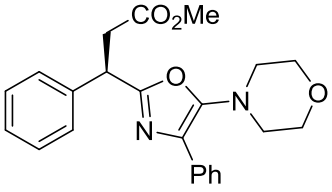


	Retention Time	Area	% Area	Height
1	6.304	6835053	50.63	438171
2	7.245	6664086	49.37	386695



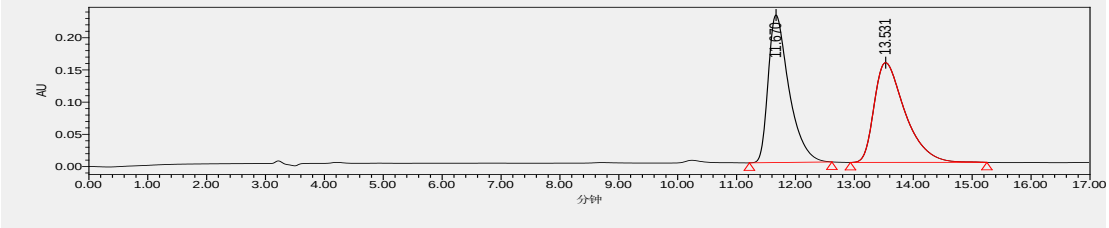
	Retention Time	Area	% Area	Height
1	6.258	20409465	49.02	1337155
2	7.219	21223222	50.98	1233388

methyl 3-(5-morpholino-4-phenyloxazol-2-yl)-3-phenylpropanoate 9

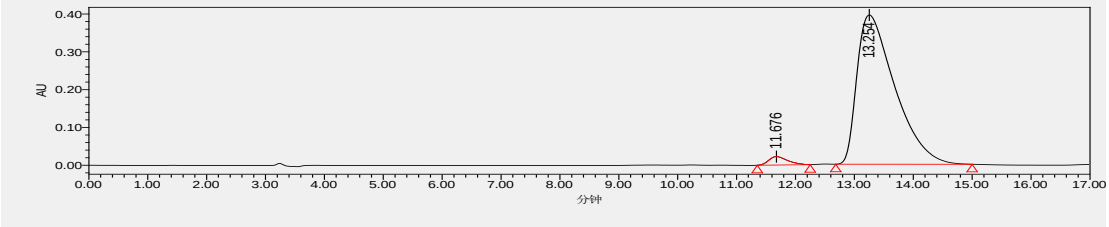


($C_{23}H_{24}N_2O_4$) yellow solid; 99% yield, 95% *ee*. HPLC DAICEL

CHIRALCEL ID, n-hexane/2-propanol = 95/5, flow rate = 1.0 mL/min, λ = 254 nm, retention time: 11.68 min (minor), 13.25 min (major). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.94 (d, *J* = 8.1 Hz, 2H), 7.37 (t, *J* = 7.7 Hz, 2H), 7.29 (dd, *J* = 9.3, 3.9 Hz, 4H), 7.26 – 7.17 (m, 2H), 4.60 (dd, *J* = 8.4, 7.0 Hz, 1H), 3.84 – 3.70 (m, 4H), 3.62 (s, 3H), 3.39 (dd, *J* = 16.4, 8.6 Hz, 1H), 3.08 – 2.98 (m, 4H), 2.94 (dd, *J* = 16.4, 6.8 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 170.67, 157.74, 149.95, 138.33, 130.95, 127.73, 127.33, 126.67, 126.35, 125.79, 124.87, 122.79, 65.81, 50.76, 49.27, 40.50, 37.99. ESI-HRMS: calcd for $C_{23}H_{24}N_2NaO_4^+$ ([M+Na$^+$]) 415.1628, found 415.1630.

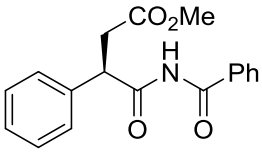


	Retention Time	Area	% Area	Height
1	11.670	5622924	49.96	229282
2	13.531	5633001	50.04	154889

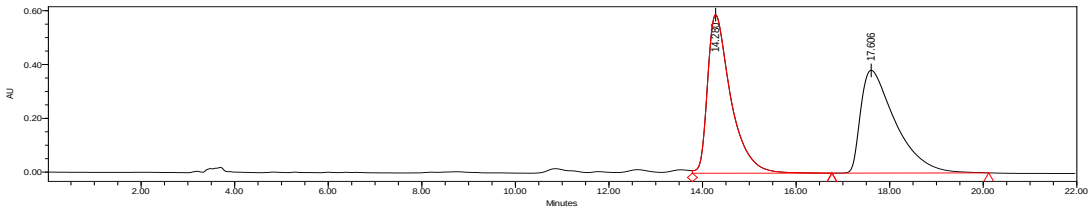


	Retention Time	Area	% Area	Height
1	11.676	496466	2.74	22378
2	13.254	17639663	97.26	394756

methyl (R)-4-benzamido-4-oxo-3-phenylbutanoate 10

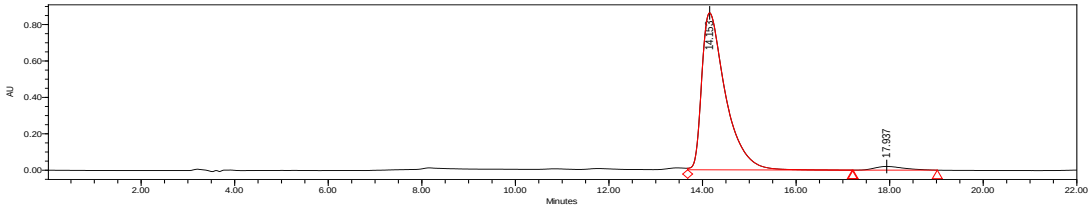


($C_{18}H_{17}NO_4$) yellow oil; 70% yield, 94% *ee*. HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 70/30, flow rate = 1.0 mL/min, λ = 210 nm, retention time: 14.15 min (major), 17.94 min (minor). ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.19 (s, 1H), 7.82 – 7.71 (m, 2H), 7.54 (t, *J* = 7.4 Hz, 1H), 7.41 (dd, *J* = 9.4, 7.6 Hz, 4H), 7.35 – 7.24 (m, 3H), 5.25 (dd, *J* = 10.6, 4.6 Hz, 1H), 3.64 (s, 3H), 3.34 (dd, *J* = 17.2, 10.7 Hz, 1H), 2.68 (dd, *J* = 17.2, 4.6 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 175.28, 172.39, 165.36, 137.04, 133.16, 132.72, 128.98, 128.79, 128.46, 127.88, 127.85, 51.97, 47.78, 38.25.



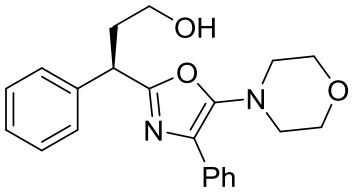
	Retention Time	Area	% Area	Height
1	14.280	20285487	50.74	589449

2	17.606	19690357	49.26	382358

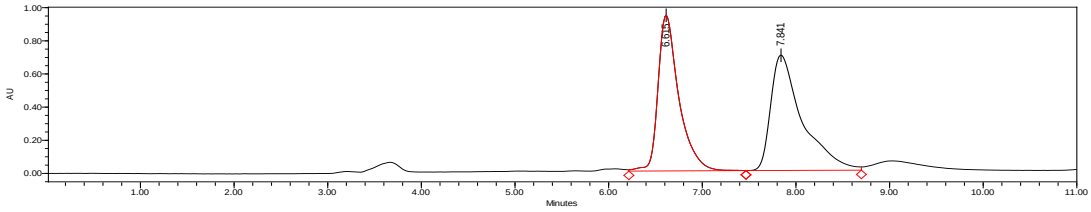


	Retention Time	Area	% Area	Height
1	14.153	30317546	97.15	862515
2	17.937	889831	2.85	20326

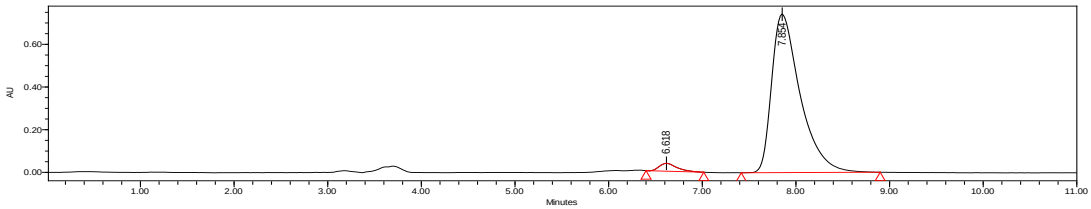
3-(5-morpholino-4-phenyloxazol-2-yl)-3-phenylpropan-1-ol 11



($C_{22}H_{24}N_2O_3$) yellow oil; 47% yiled, 94% *ee*. HPLC DAICEL CHIRALCEL ID, n-hexane/2-propanol = 80/20, flow rate = 1.0 mL/min, λ = 210 nm, retention time: 6.62 min (minor), 7.85 min (major). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.91 (d, *J* = 7.5 Hz, 2H), 7.42 – 7.21 (m, 8H), 4.31 (dd, *J* = 8.3, 6.2 Hz, 1H), 3.91 – 3.76 (m, 4H), 3.75 – 3.60 (m, 2H), 3.50 (s, 1H), 3.12 – 2.96 (m, 4H), 2.54 – 2.37 (m, 1H), 2.26 (ddd, *J* = 13.5, 11.2, 6.5 Hz, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 160.26, 151.04, 140.14, 131.64, 128.76, 128.51, 127.87, 127.16, 127.03, 125.93, 123.41, 66.90, 60.19, 50.32, 43.31, 37.37. ESI-HRMS: calcd for $C_{22}H_{24}N_2NaO_3^+$ ([M+Na$^+$]) 387.1679, found 387.1678.

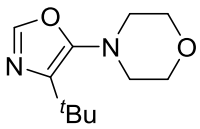


	Retention Time	Area	% Area	Height
1	6.615	14505404	47.15	940299
2	7.841	16259844	52.85	697479



	Retention Time	Peak Type	Area	% Area	Height
1	6.618	Unknown	485523	3.00	37321
2	7.854	Unknown	15683371	97.00	742908

4-(tert-butyl)-5-(piperidin-1-yl)oxazole 7



To a stirred solution of the isocyanoacetamide **2e** in DCM was added $Sc(OTf)_3$ (10 mol%). Upon reaction completion, water was

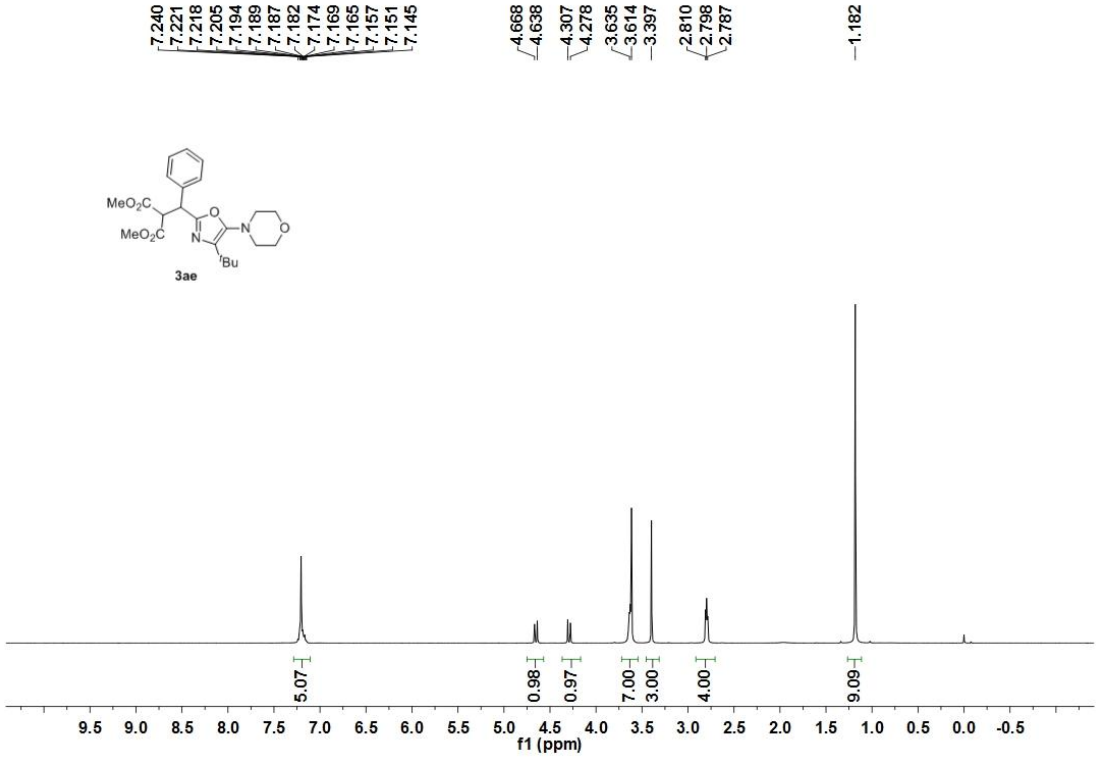
added and the mixture was extracted with DCM. The combined organic layers were dried upon Na_2SO_4, concentrated *in vacuo*. The crude material was then purified by Flash Chromatography (SiO_2, petroleum ether /AcOEt: 5/1) to give the desired oxazole **7** as a white powder.

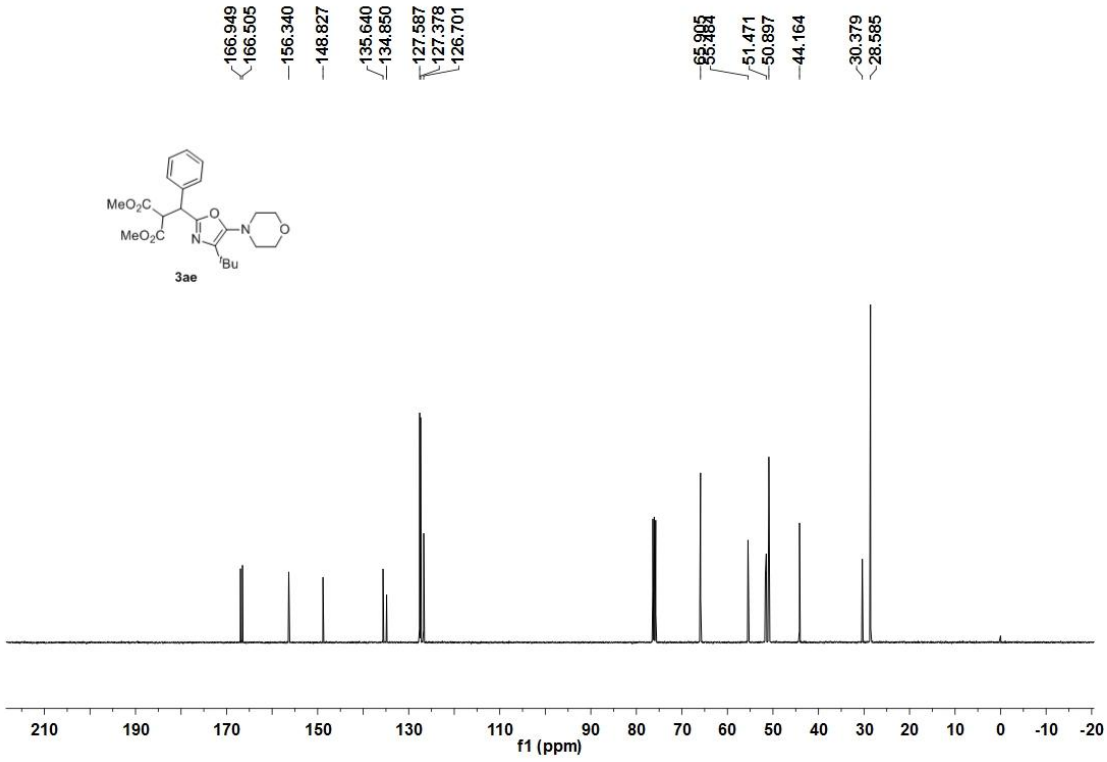
($C_{12}H_{18}N_2O$) ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.51 (s, 1H), 3.77 – 3.64 (m, 4H), 2.98 – 2.83 (m, 4H), 1.24 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 149.03, 145.49, 134.42, 65.97, 50.88, 30.30, 28.64. SI-HRMS: calcd for $C_{12}H_{18}N_2NaO_2^+$ ([M+Na^+]) 233.1260, found 233.1273.

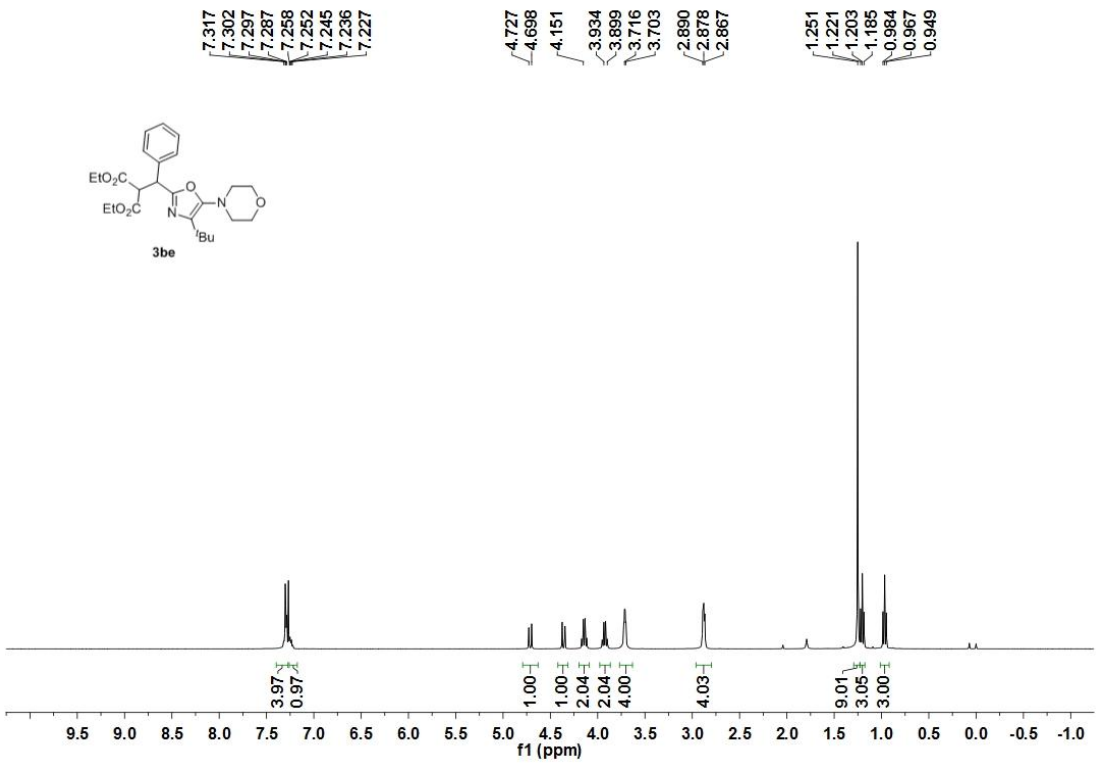
8. References

1. a) Y. H. Wen, X. Huang, J. L. Huang, Y. Xiong, B. Qin, X. M. Feng, *Synlett* **2005**, 2445; b) Z. P. Yu, X. H. Liu, Z. H. Dong, M. S. Xie, X. M. Feng, *Angew. Chem. Int. Ed.* **2008**, *47*, 1308; c) X. Zhou, D. J. Shang, Q. Zhang, L. L. Lin, X. H. Liu, X. M. Feng, *Org. Lett.* **2009**, *11*, 1401 and references therein.
2. O.Kaumanns, R. Lucius, H. Mayr, *Chem. Eur. J.* **2008**, *14*, 9675.
3. a) A. Fayol, C. Housseman, X. Sun, P. Janvier, H. Bienaymé, J. Zhu, *Synthesis* **2005**, 161; b) C. Housseman, J. Zhu, *Synlett* **2006**, 1777.

9. Copies of NMR spectra






7.317
7.302
7.297
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7.258
7.252
7.245
7.236
7.227
4.727
4.698
4.151
3.934
3.899
3.716
3.703
2.890
2.878
2.867
1.251
1.221
1.203
1.185
0.984
0.967
0.949
EtO2C
EtO2C
tBu
3be
3.97
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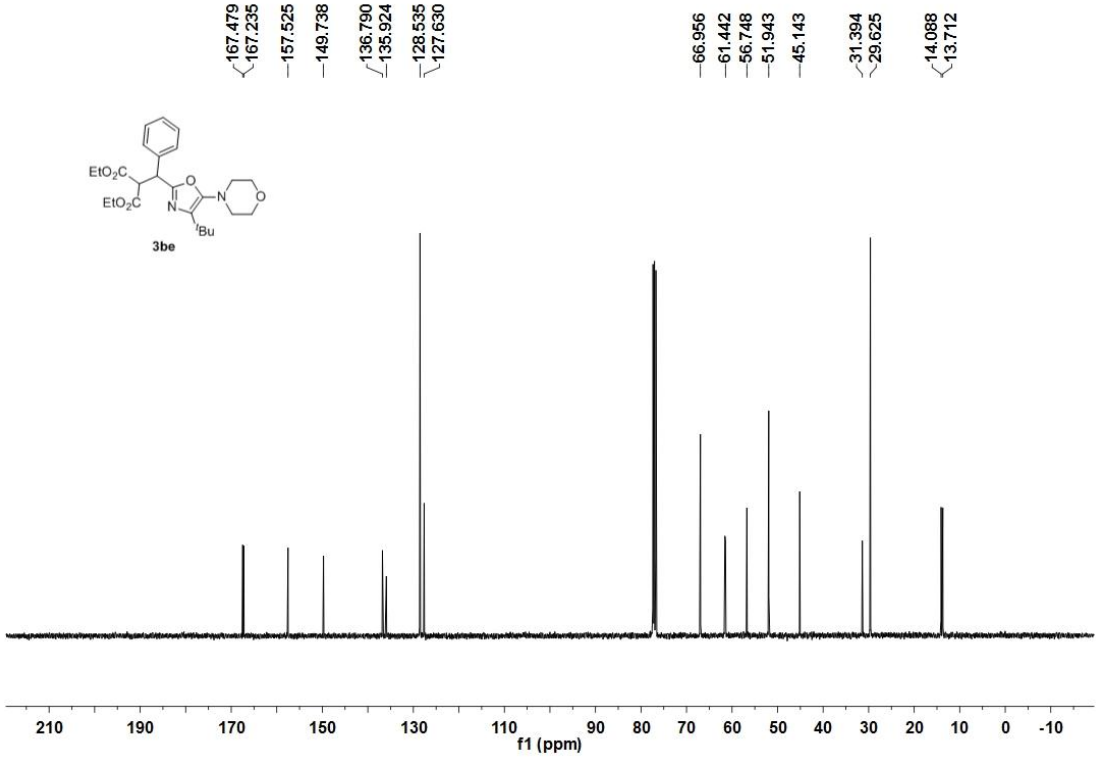
1.00
2.04
2.04
4.00
4.03
9.01
3.05
3.00
f1 (ppm)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127.630
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56.748
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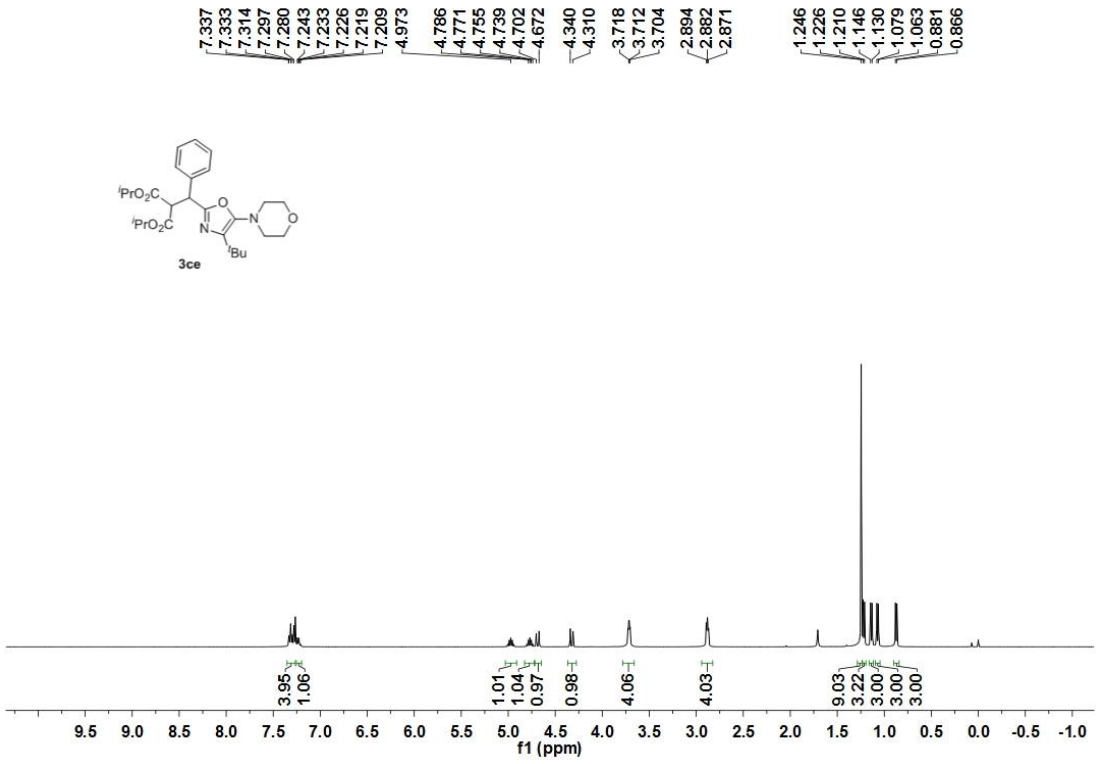
31.394
29.625
14.088
13.712
EtO2C
EtO2C
tBu
3be
f1 (ppm)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7.233
7.226
7.219
7.209
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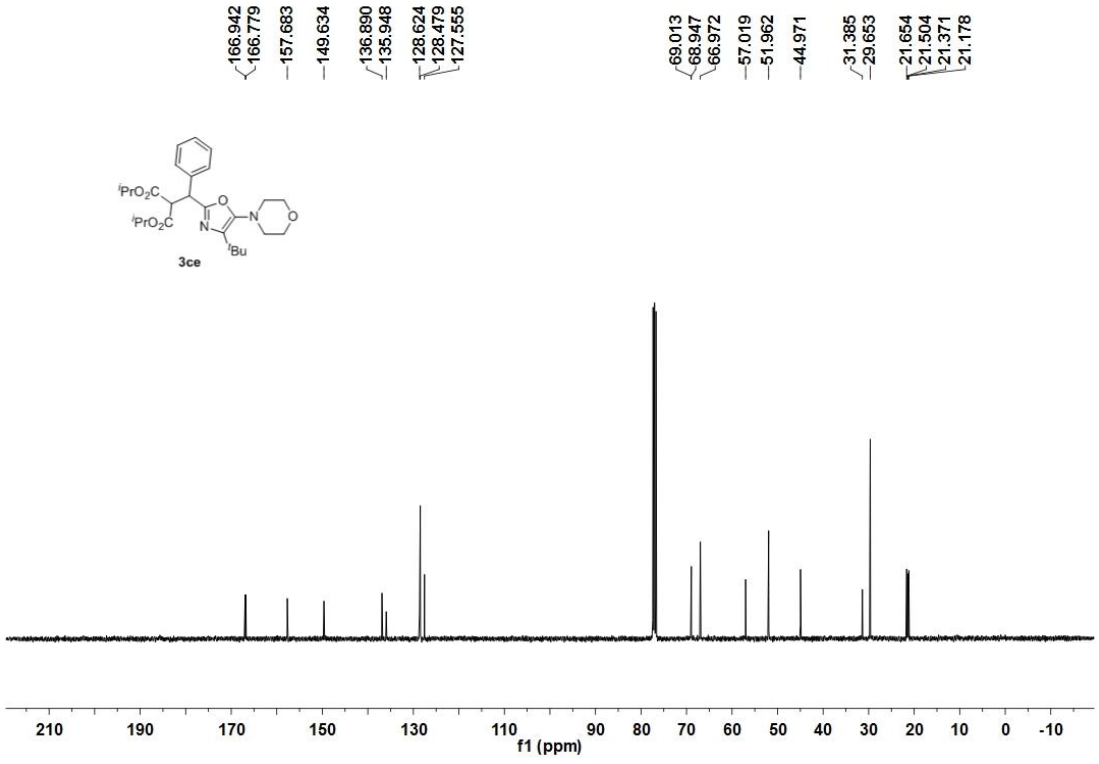
4.786
4.771
4.755
4.739
4.702
4.672
4.340
4.310
3.718
3.712
3.704
2.894
2.882
2.871
1.246
1.226
1.210
1.146
1.130
1.079
1.063
0.881
0.866
3ce
3.95
1.06
1.01
1.04
0.97
0.98
4.06
4.03
9.03
3.22
3.00
3.00
3.00
f1 (ppm)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127.555
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68.947
66.972
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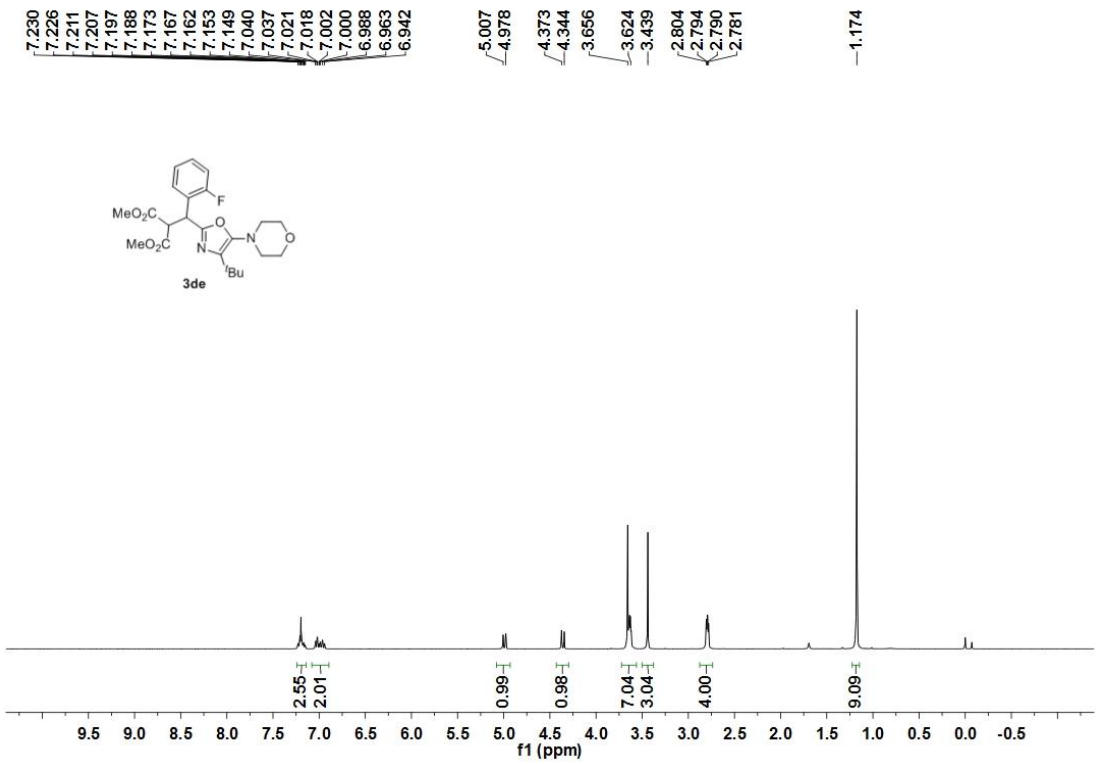
29.653
21.654
21.504
21.371
21.178
3ce
f1 (ppm)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7.211
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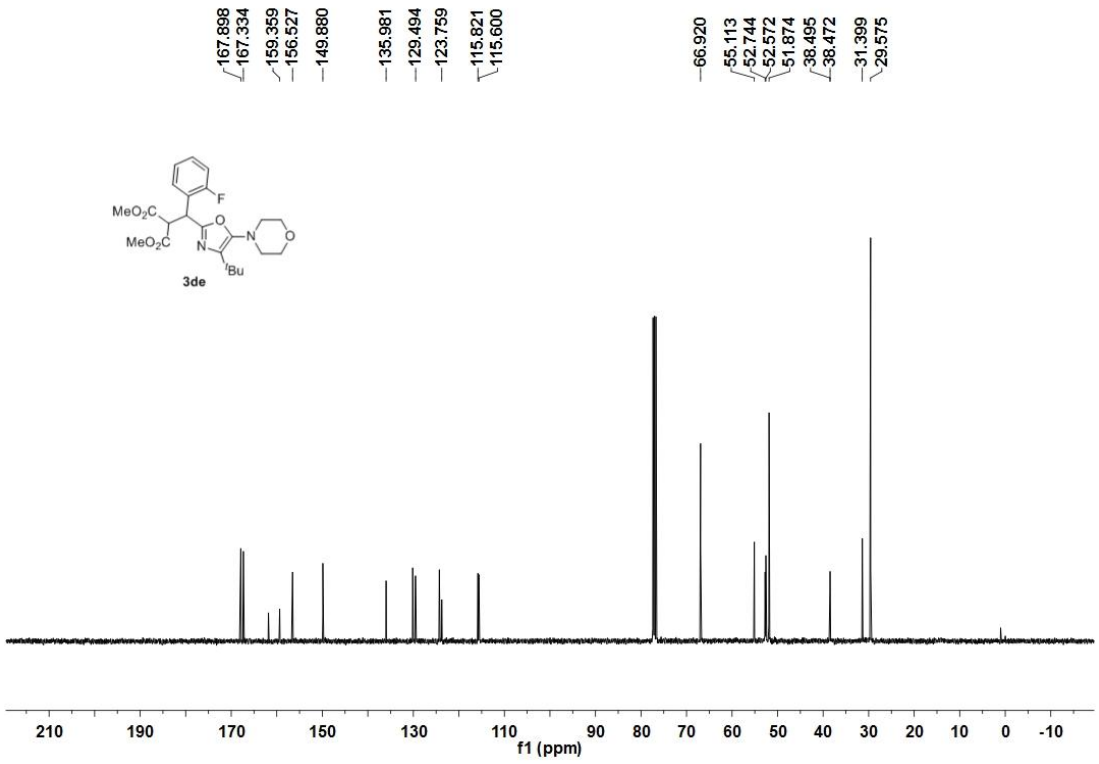
7.188
7.173
7.167
7.162
7.153
7.149
7.040
7.037
7.021
7.018
7.002
7.000
6.988
6.963
6.942
5.007
4.978
4.373
4.344
3.656
3.624
3.439
2.804
2.794
2.790
2.781
1.174
MeO2C
MeO2C
F
O
N
O
N
tBu
3de
2.55
2.01
0.99
0.98
7.04
3.04
4.00
9.09
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)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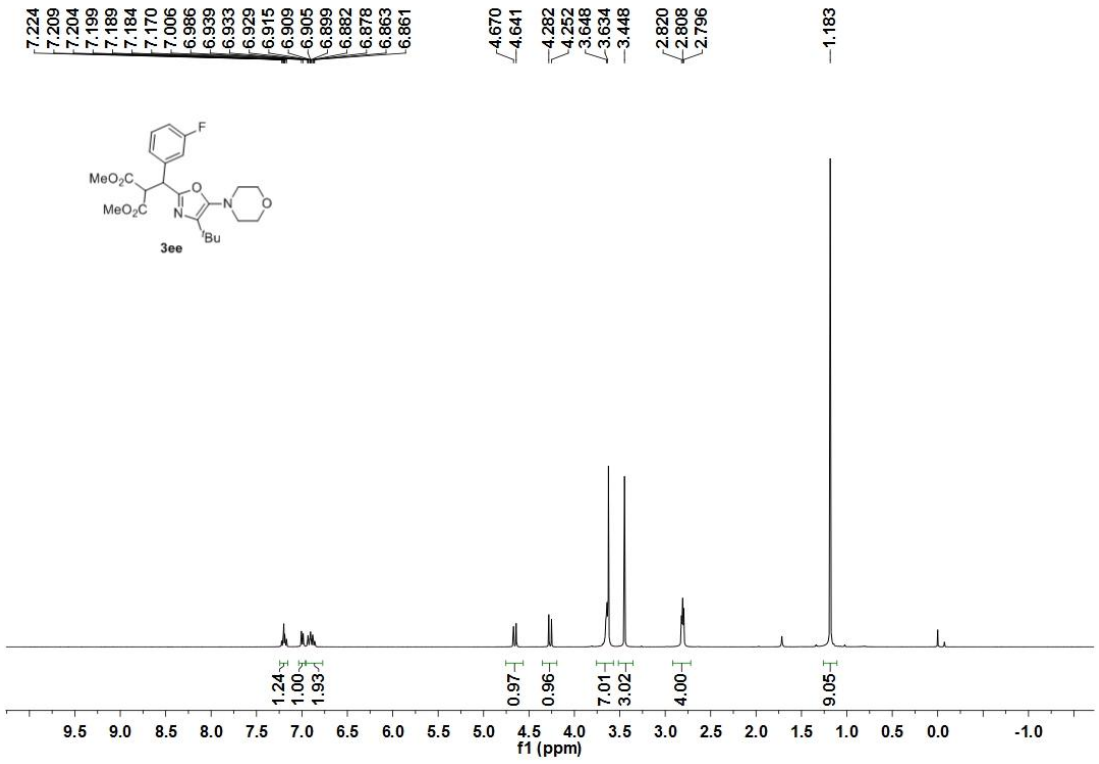
38.495
38.472
31.399
29.575
MeO2C
MeO2C
F
O
N
O
N
tBu
3de
210 190 170 150 130 110 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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7.184
7.170
7.006
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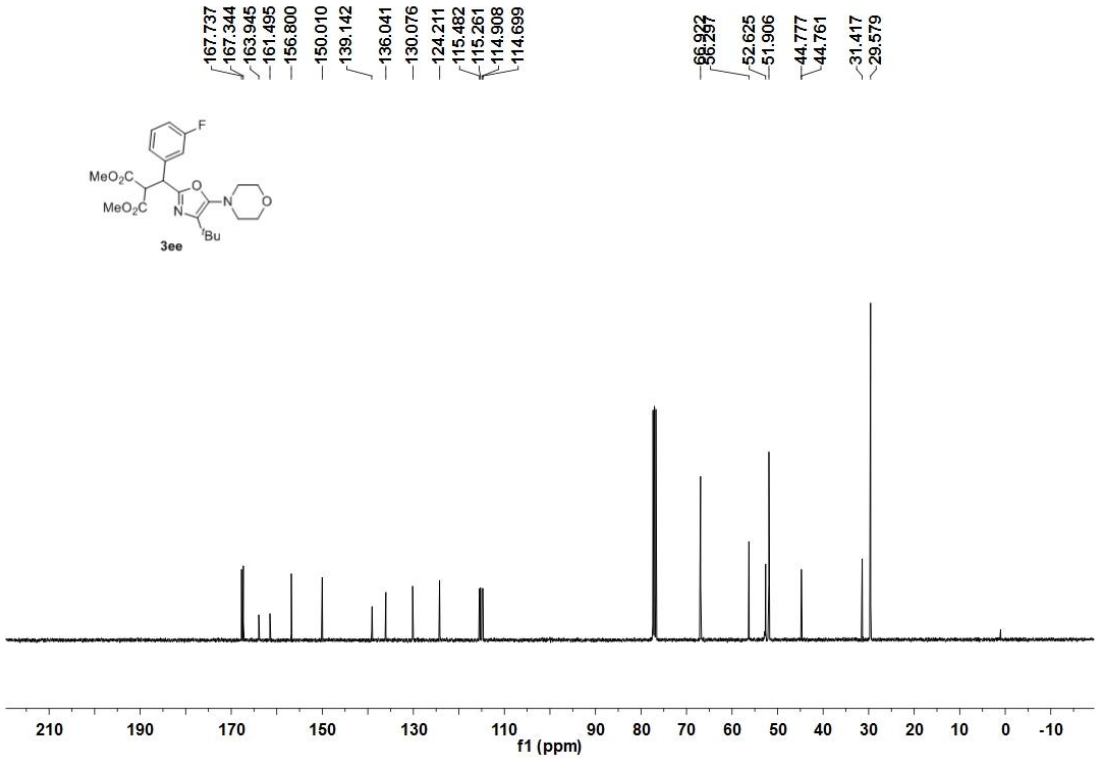
6.939
6.933
6.929
6.915
6.909
6.905
6.899
6.882
6.878
6.863
6.861
4.670
4.641
4.282
4.252
3.648
3.634
3.448
2.820
2.808
2.796
1.183
F
MeO2C
MeO2C
O
N
O
tBu
3ee
1.24
1.00
1.93
0.97
0.96
7.01
3.02
4.00
9.05
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -1.0
f1 (ppm)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136.041
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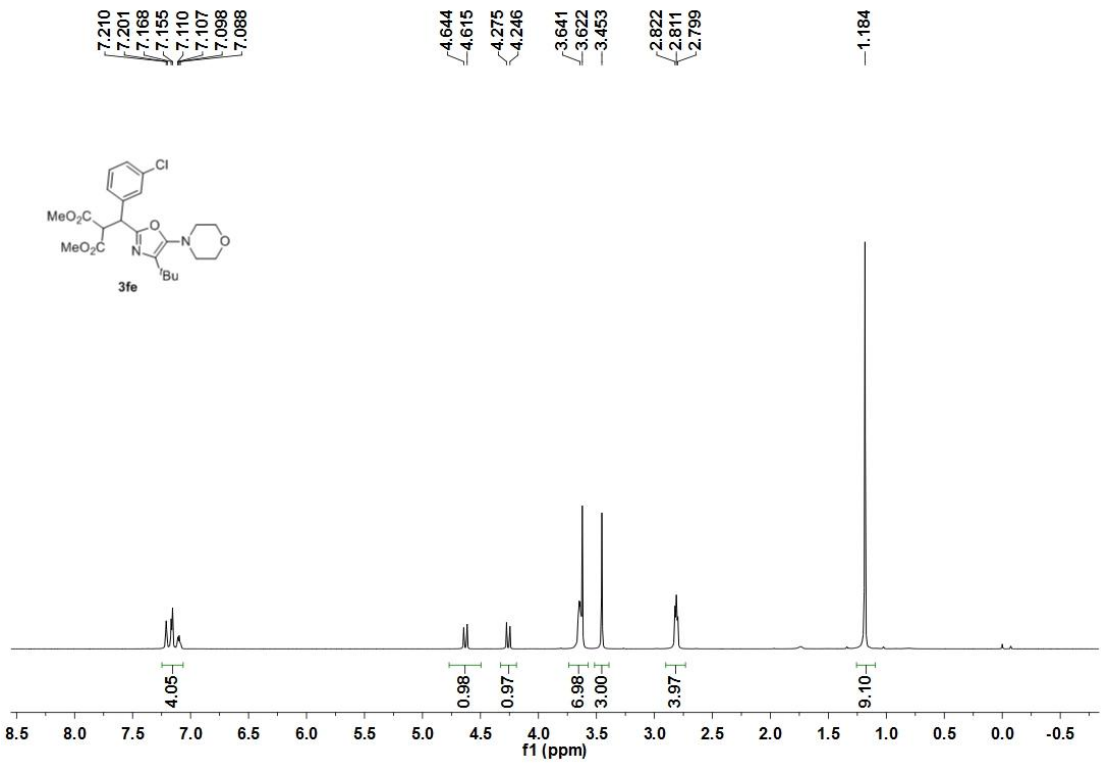
115.482
115.261
114.908
114.699
66.922
66.297
52.625
51.906
44.777
44.761
31.417
29.579
F
MeO2C
MeO2C
O
N
O
tBu
3ee
210 190 170 150 130 110 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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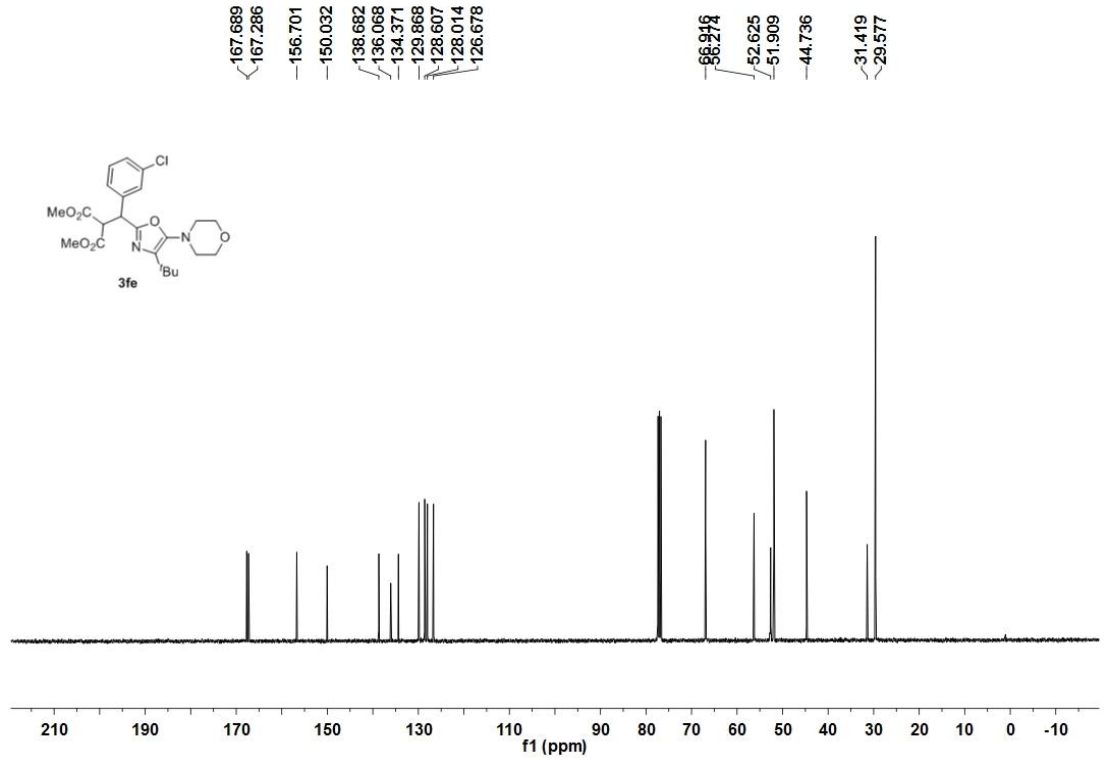
7.201
7.168
7.155
7.110
7.107
7.098
7.088
4.644
4.615
4.275
4.246
3.641
3.622
3.453
2.822
2.811
2.799
1.184
Cl
MeO2C
MeO2C
O
N
O
tBu
3fe
4.05
0.98
0.97
6.98
3.00
3.97
9.10
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)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129.868
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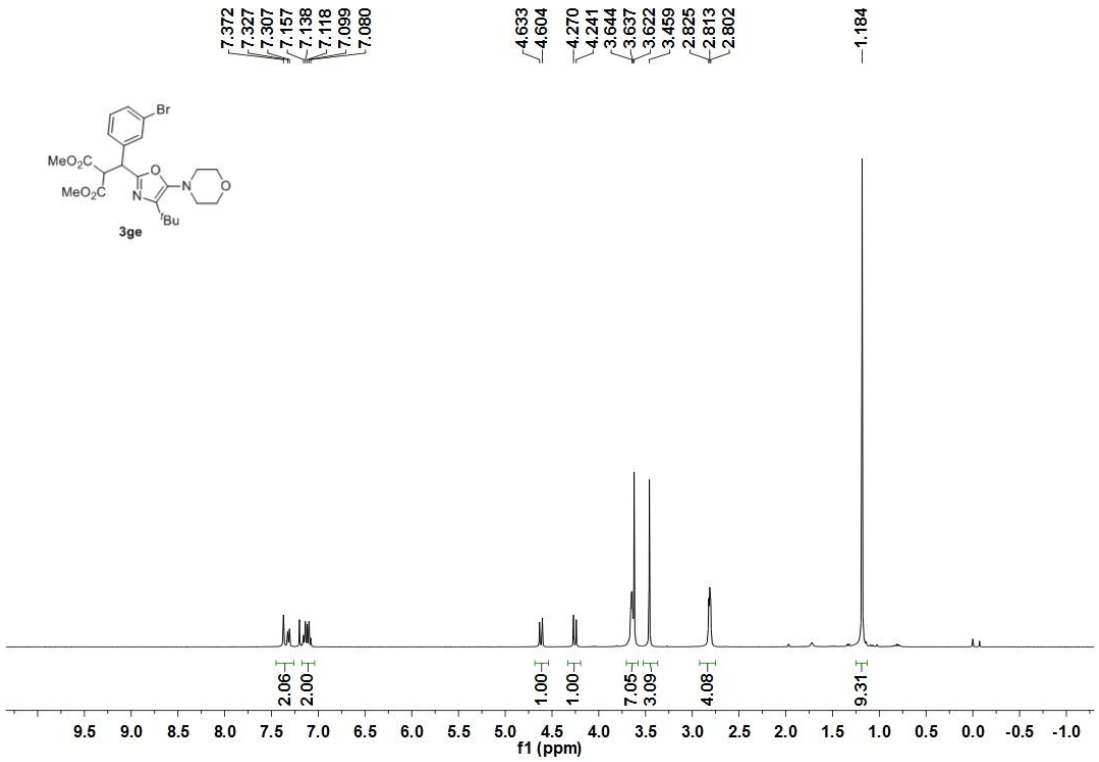
128.014
126.678
66.916
66.274
52.625
51.909
44.736
31.419
29.577
Cl
MeO2C
MeO2C
O
N
O
tBu
3fe
210 190 170 150 130 110 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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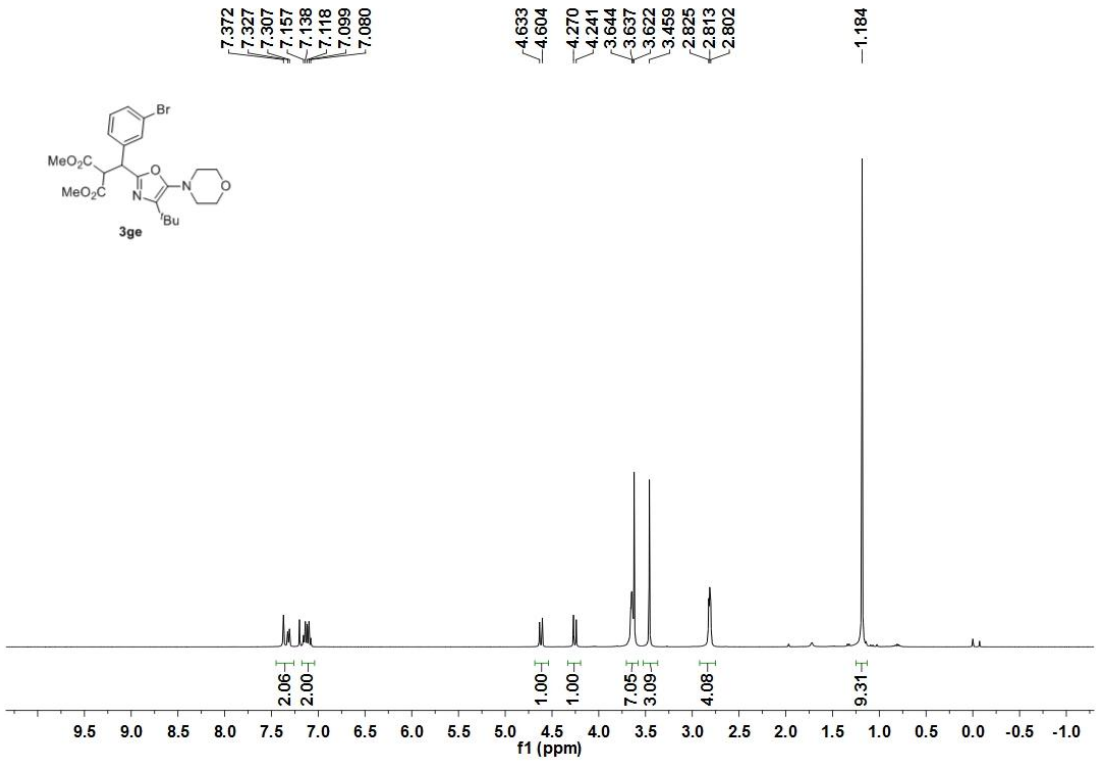
7.327
7.307
7.157
7.138
7.118
7.099
7.080
4.633
4.604
4.270
4.241
3.644
3.637
3.622
3.459
2.825
2.813
2.802
1.184
Br
MeO2C
MeO2C
O
N
O
tBu
3ge
2.06
2.00
1.00
1.00
7.05
3.09
4.08
9.31
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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7.327
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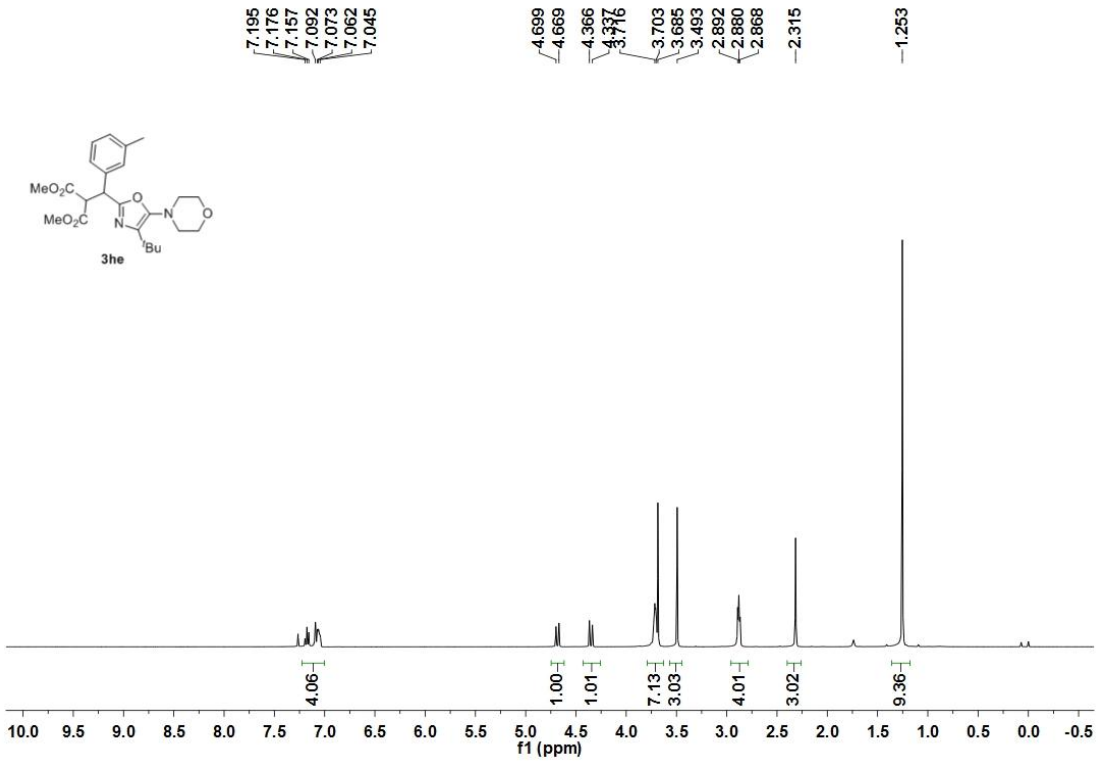
4.633
4.604
4.270
4.241
3.644
3.637
3.622
3.459
2.825
2.813
2.802
1.184
Br
MeO2C
MeO2C
O
N
O
tBu
3ge
2.06
2.00
1.00
1.00
7.05
3.09
4.08
9.31
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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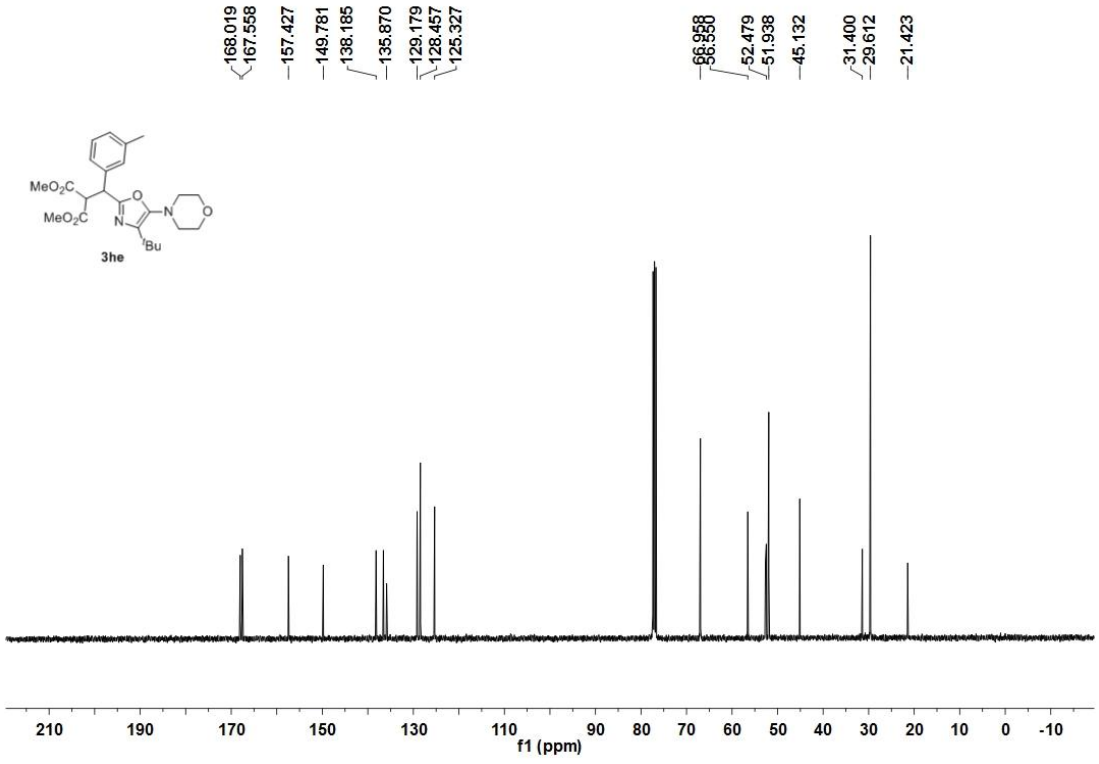
3.703
3.685
3.493
2.892
2.880
2.868
2.315
1.253
MeO2C
MeO2C
tBu
3he
4.06
1.00
1.01
7.13
3.03
4.01
3.02
9.36
f1 (ppm)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128.457
125.327
56.958
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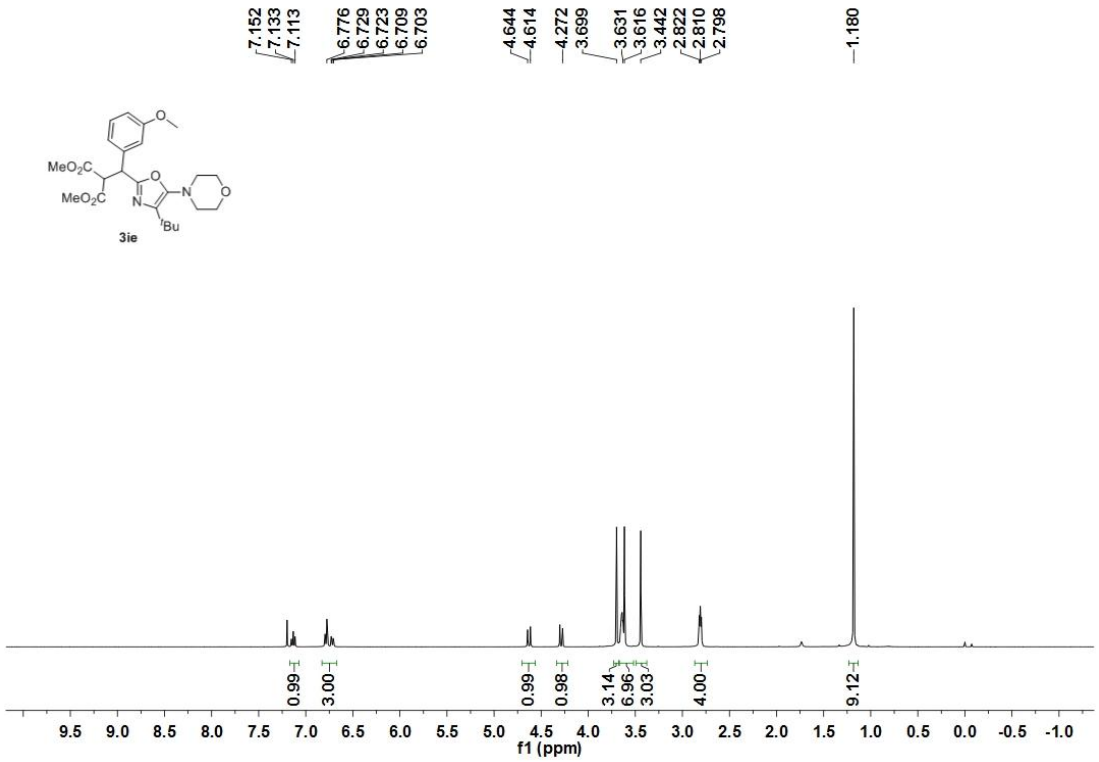
45.132
31.400
29.612
21.423
MeO2C
MeO2C
tBu
3he
f1 (ppm)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6.703
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4.272
3.699
3.631
3.616
3.442
2.822
2.810
2.798
1.180
MeO2C
MeO2C
tBu
3ie
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3.00
0.99
0.98
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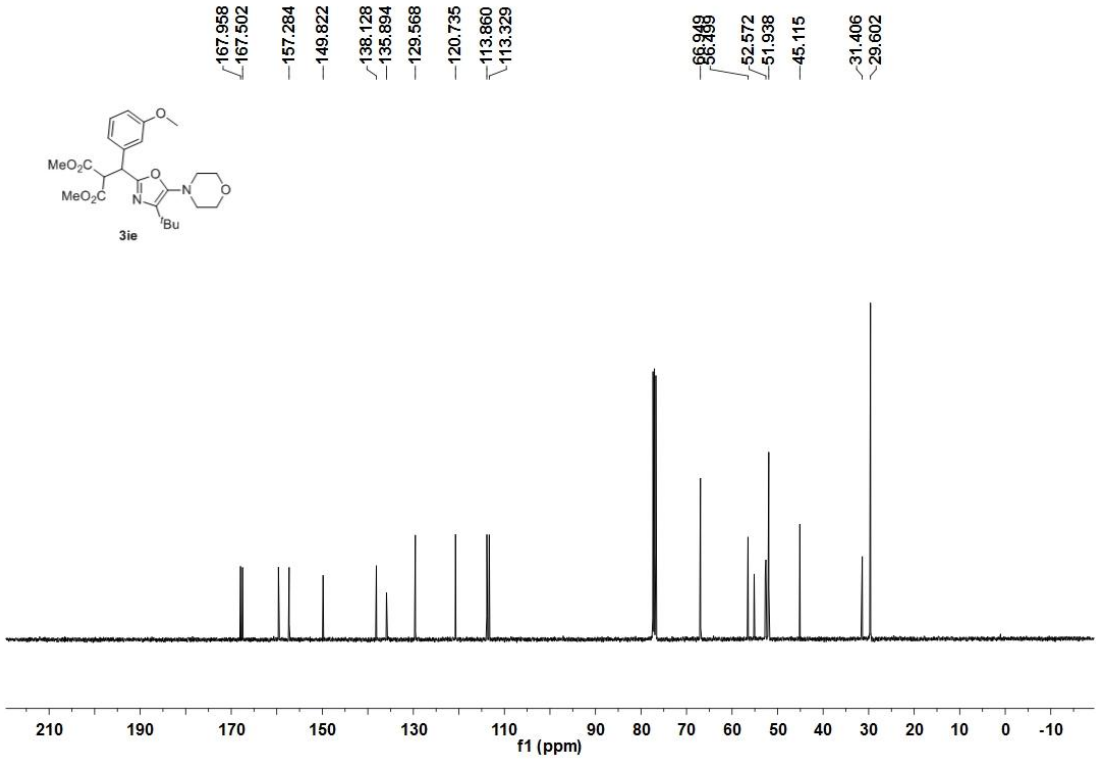
6.96
3.03
4.00
9.12
f1 (ppm)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31.406
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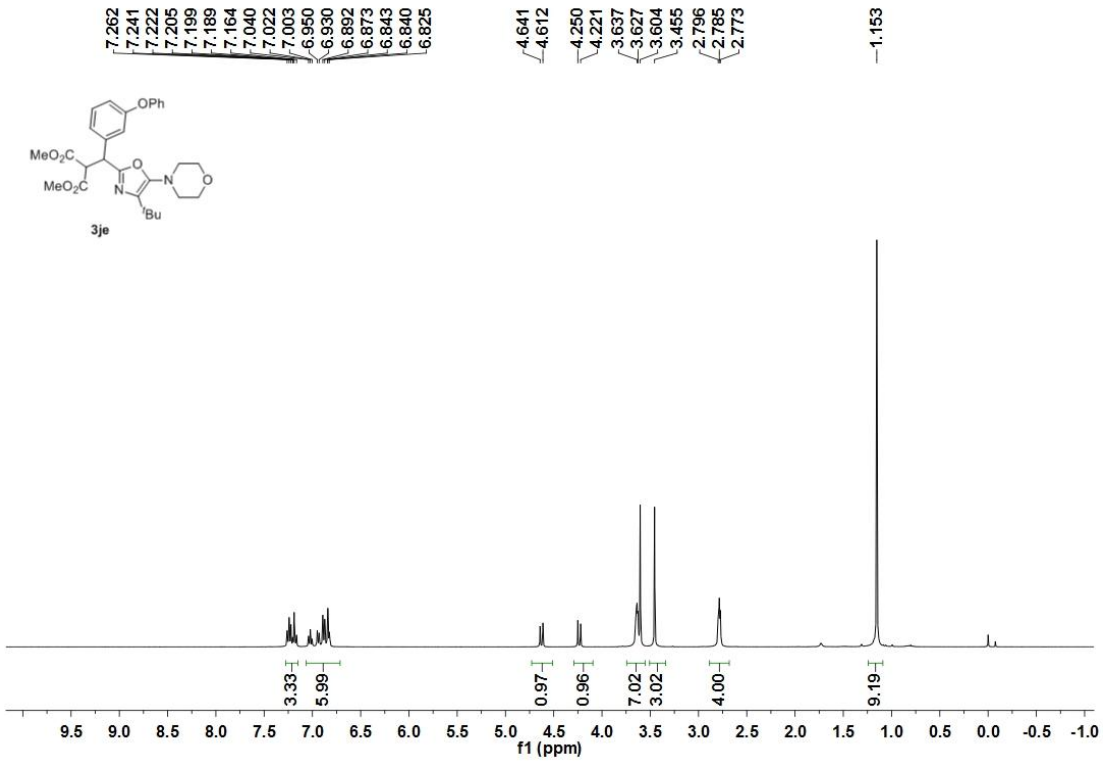
MeO2C
tBu
3ie
f1 (ppm)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7.003
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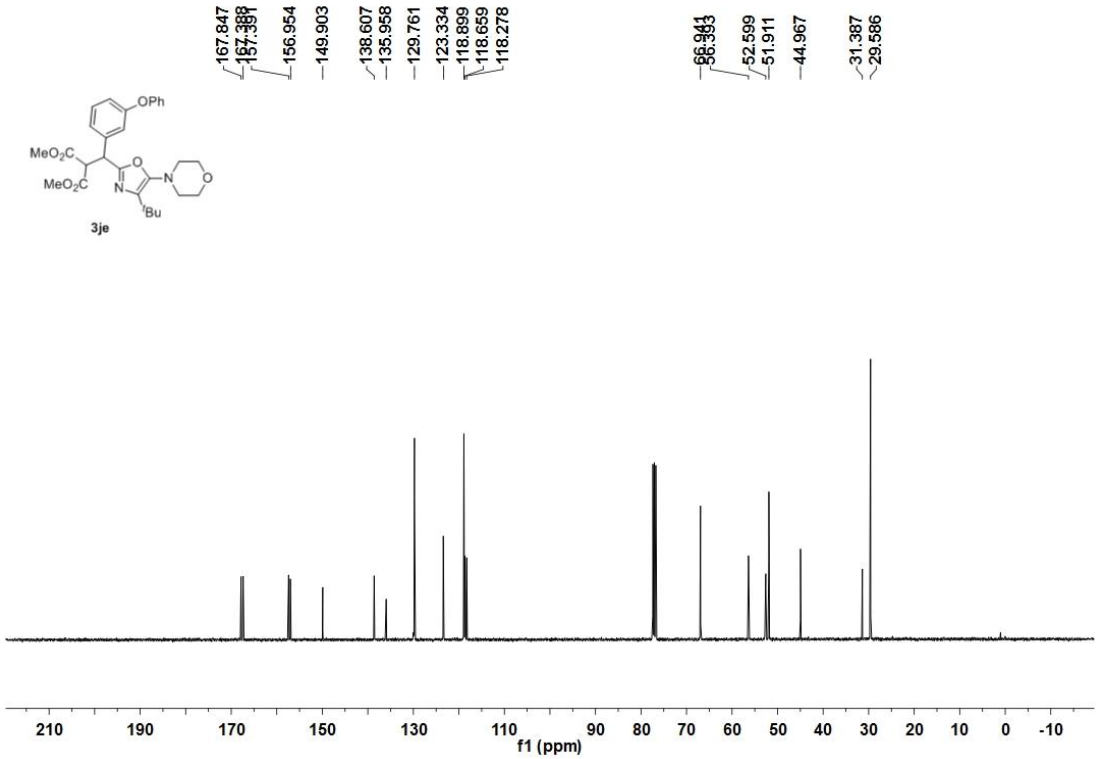
6.930
6.892
6.873
6.843
6.840
6.825
4.641
4.612
4.250
4.221
3.637
3.627
3.604
3.455
2.796
2.785
2.773
1.153
OPh
MeO2C
MeO2C
O
N
O
tBu
3je
3.33
5.99
0.97
0.96
7.02
3.02
4.00
9.19
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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66.941
66.393
52.599
51.911
44.967
31.387
29.586
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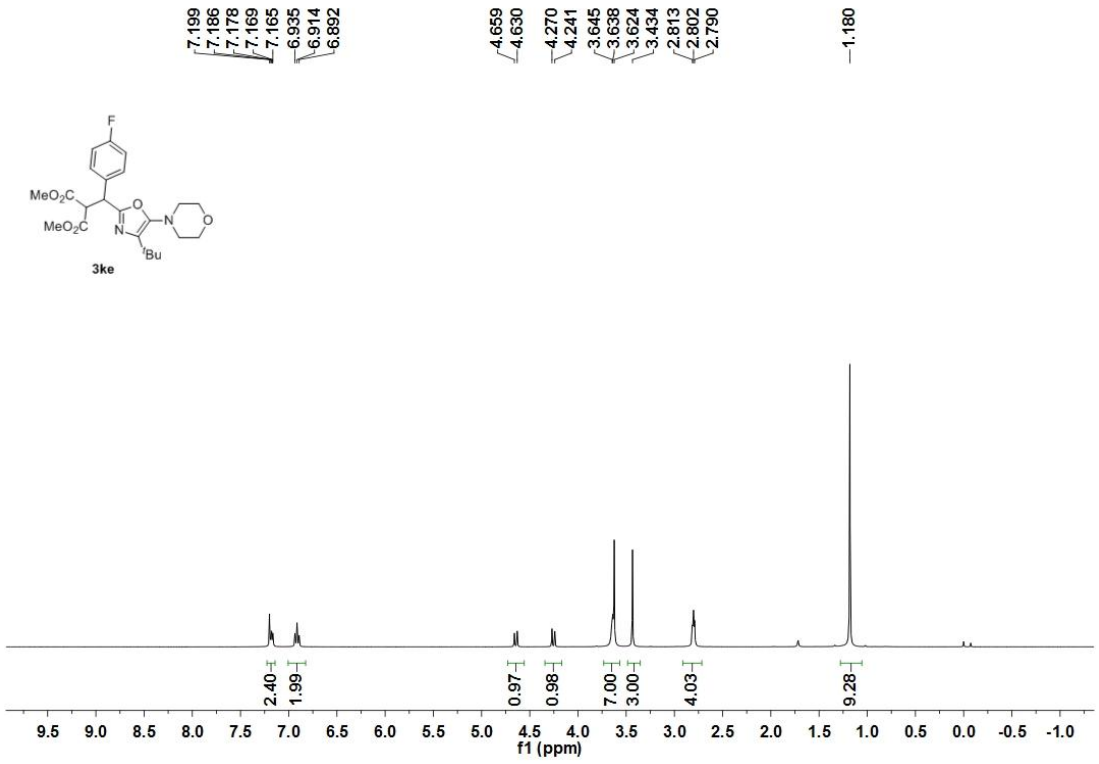
MeO2C
MeO2C
O
N
O
tBu
3je
210 190 170 150 130 110 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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6.892
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4.630
4.270
4.241
3.645
3.638
3.624
3.434
2.813
2.802
2.790
1.180
F
MeO2C
MeO2C
O
N
O
tBu
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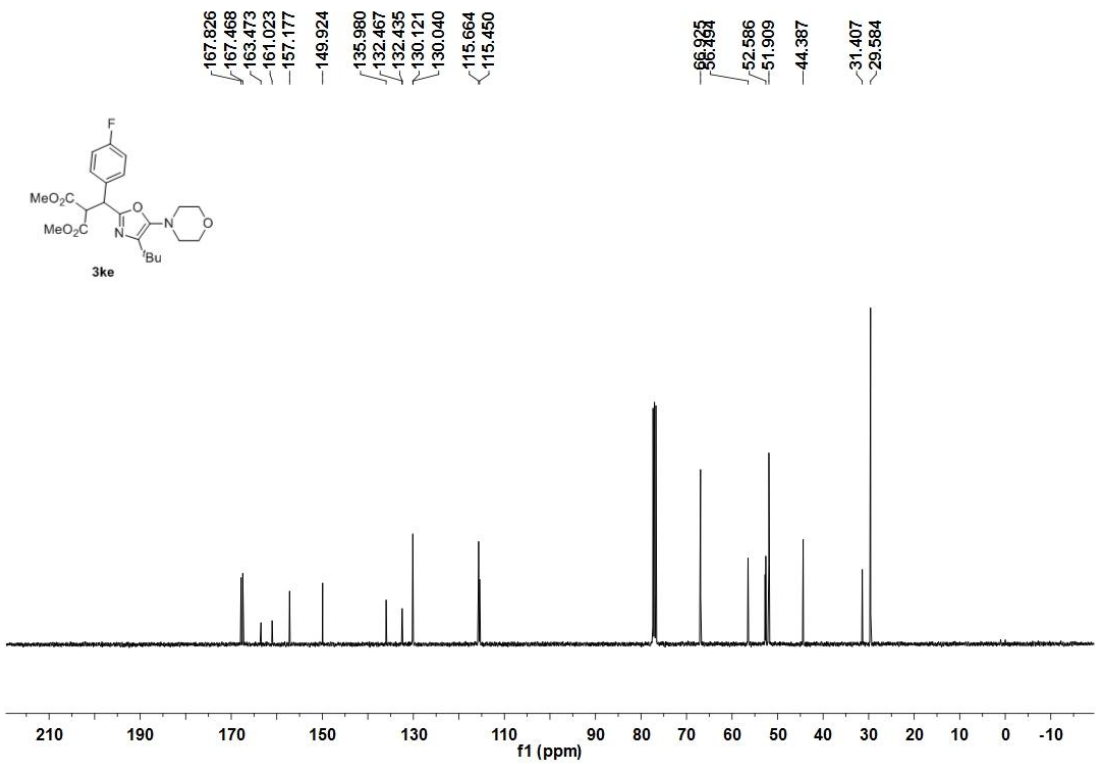
3.00
4.03
9.28
f1 (ppm)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115.664
115.450
66.925
66.494
52.586
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29.584
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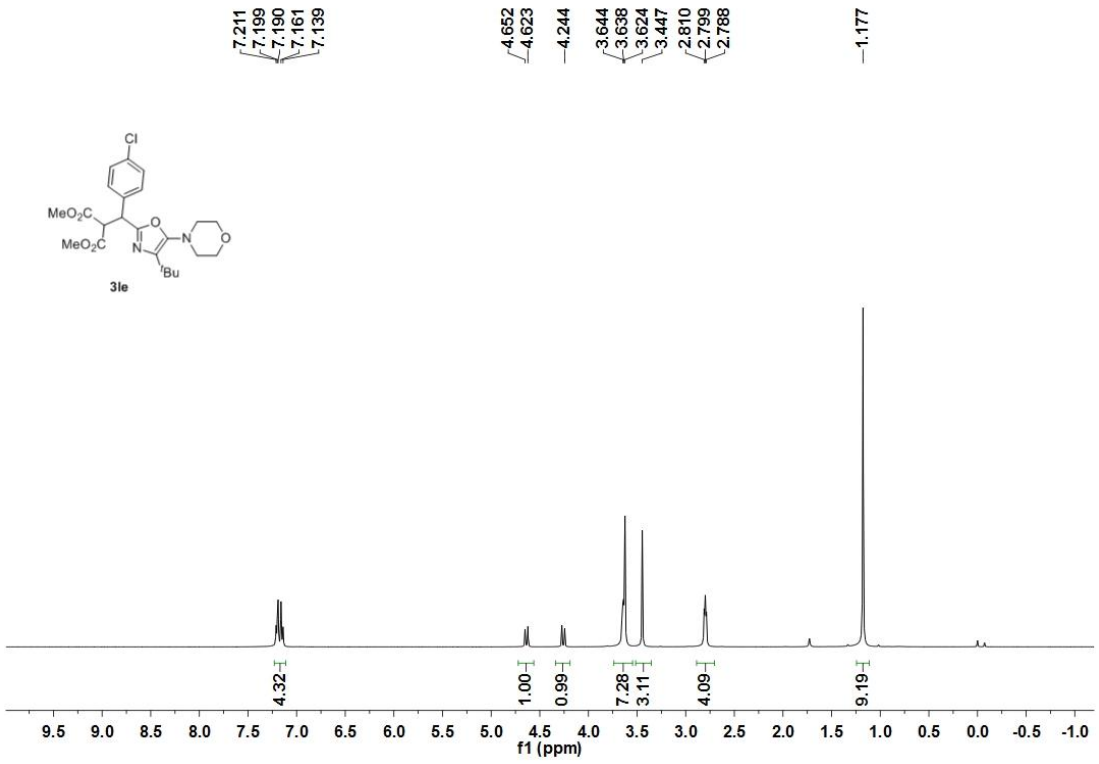
MeO2C
O
N
O
tBu
3ke
f1 (ppm)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4.244
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3.638
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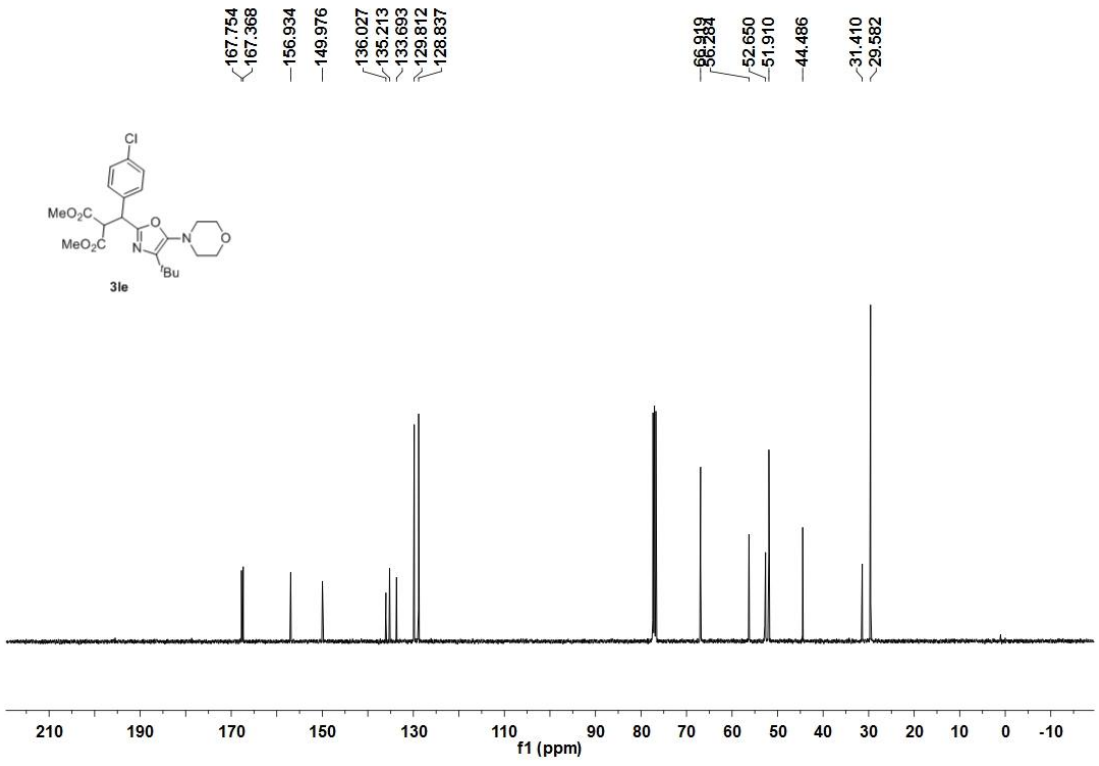
2.799
2.788
1.177
Cl
MeO2C
MeO2C
O
N
O
tBu
3le
4.32
1.00
0.99
7.28
3.11
4.09
9.19
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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52.650
51.910
44.486
31.410
29.582
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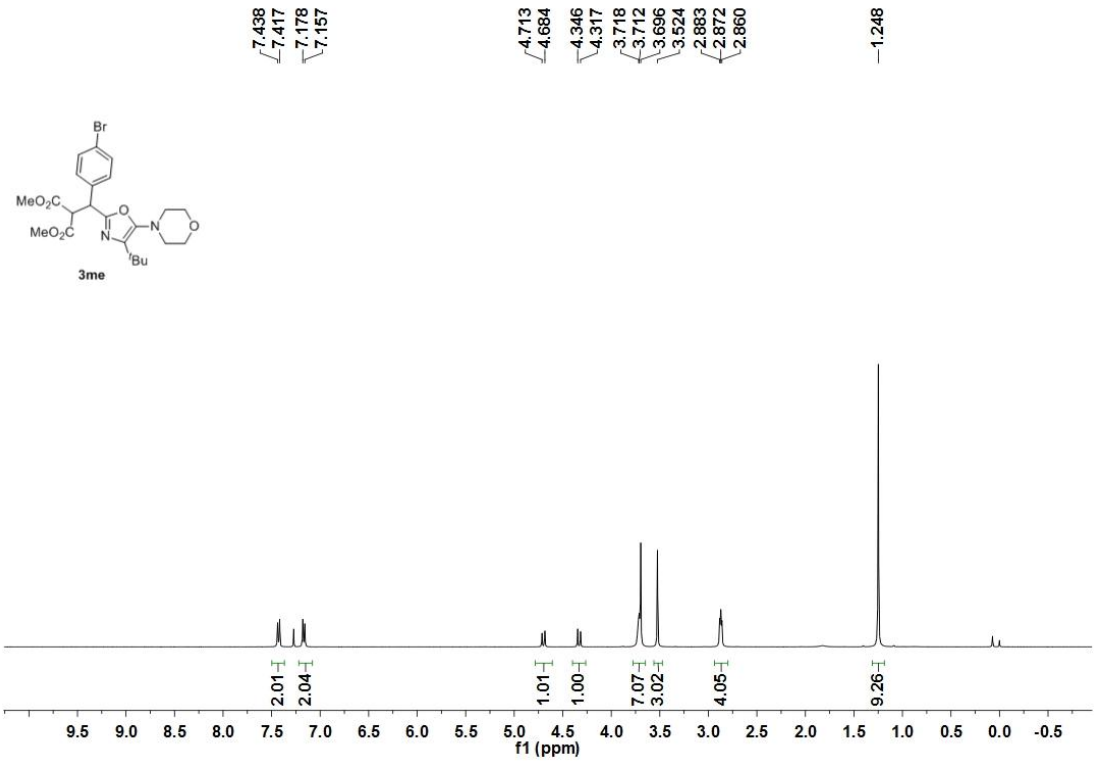
MeO2C
MeO2C
O
N
O
tBu
3le
210 190 170 150 130 110 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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4.317
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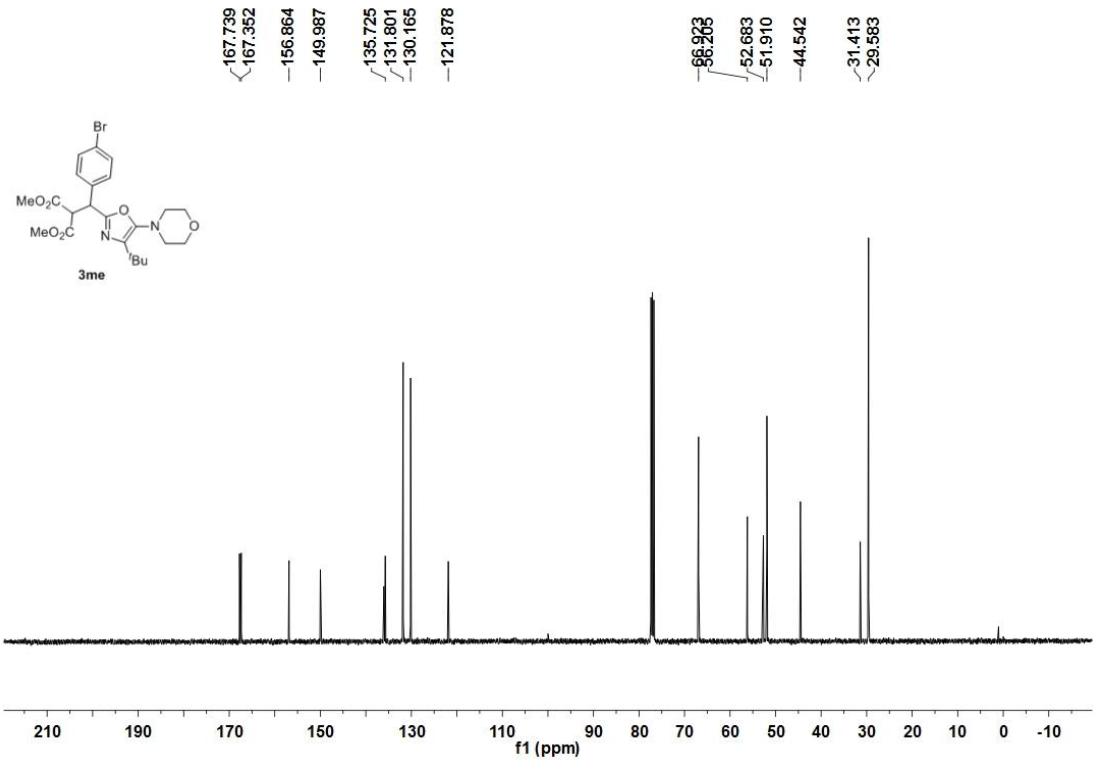
3.712
3.696
3.524
2.883
2.872
2.860
1.248
Br
MeO2C
MeO2C
O
N
O
N
tBu
3me
2.01
2.04
1.01
1.00
7.07
3.02
4.05
9.26
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)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121.878
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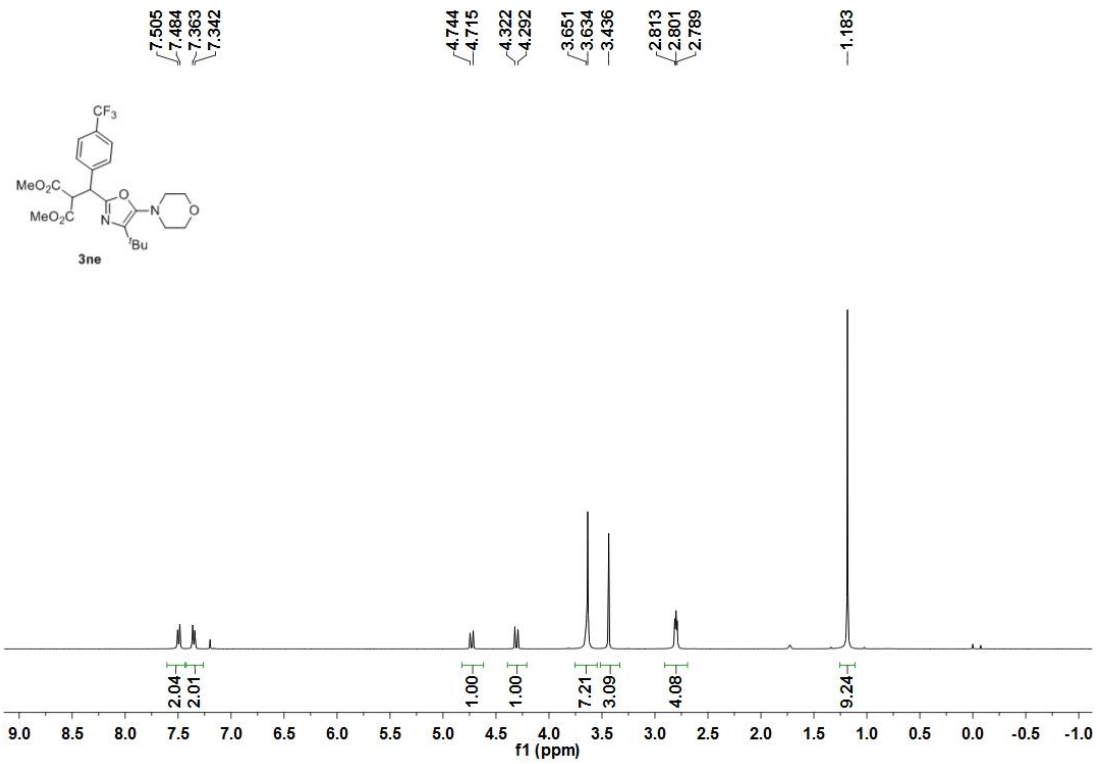
66.265
52.683
51.910
44.542
31.413
29.583
Br
MeO2C
MeO2C
O
N
O
N
tBu
3me
210 190 170 150 130 110 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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3.634
3.436
2.813
2.801
2.789
1.183
CF3
MeO2C
MeO2C
tBu
3ne
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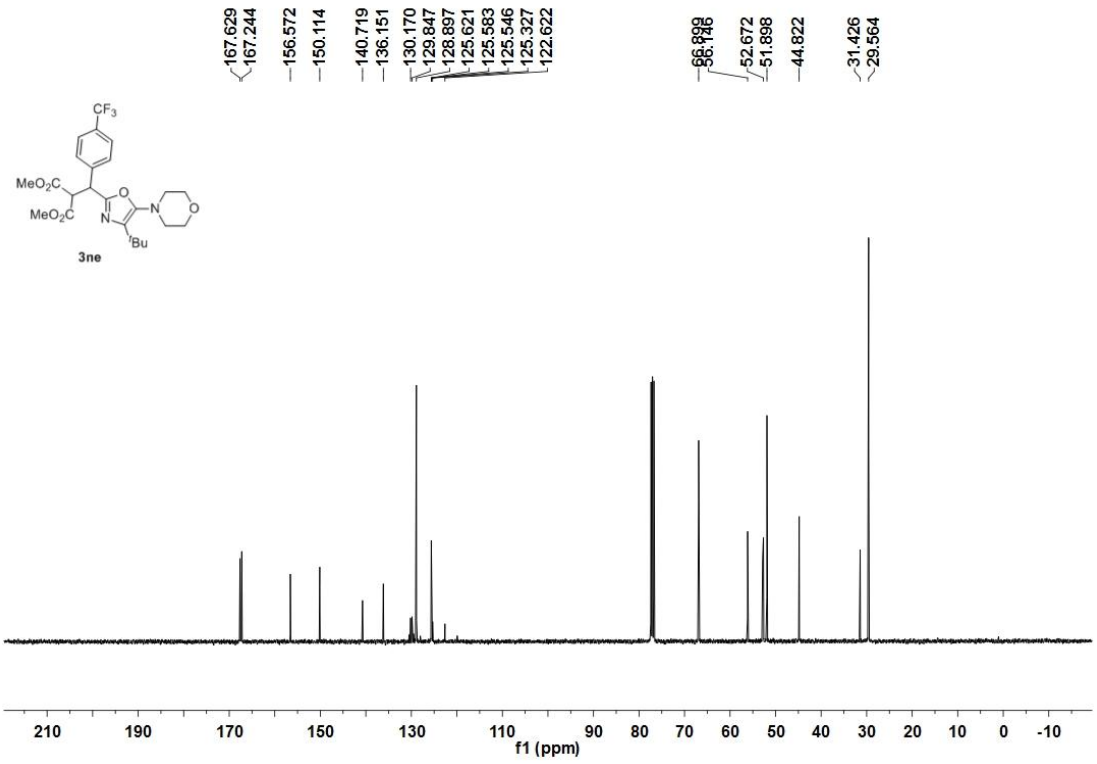
2.01
1.00
1.00
7.21
3.09
4.08
9.24
f1 (ppm)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125.327
122.622
66.899
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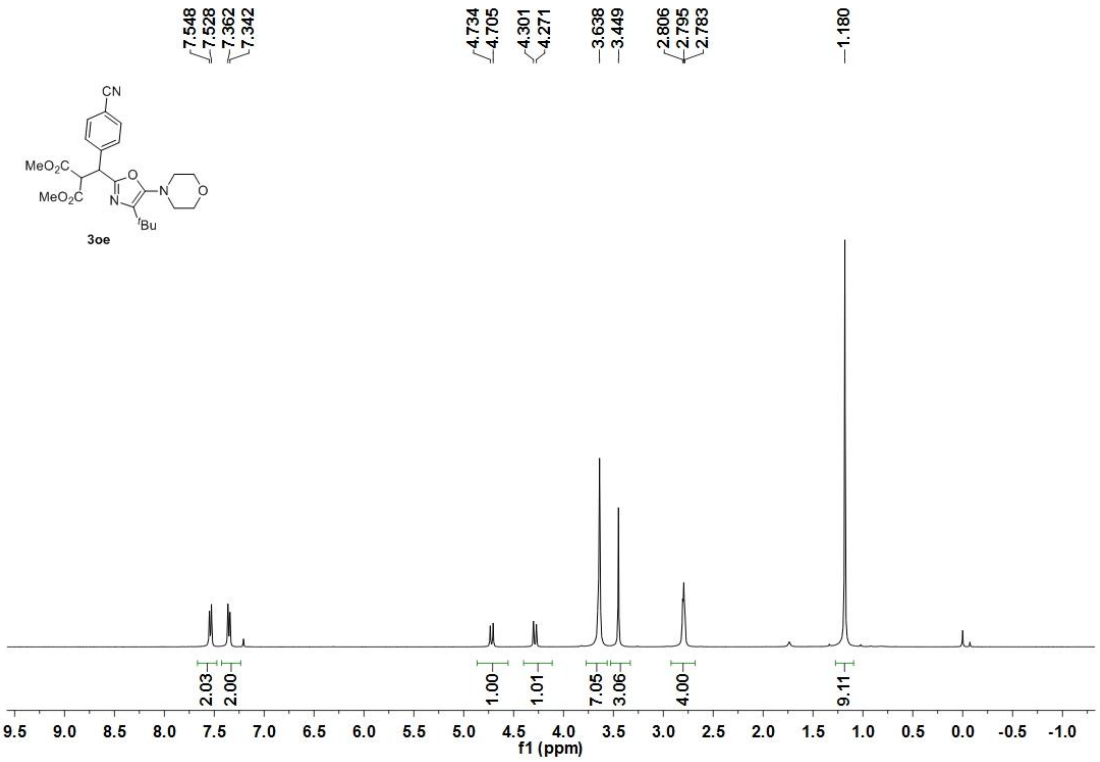
CF3
MeO2C
MeO2C
tBu
3ne
f1 (ppm)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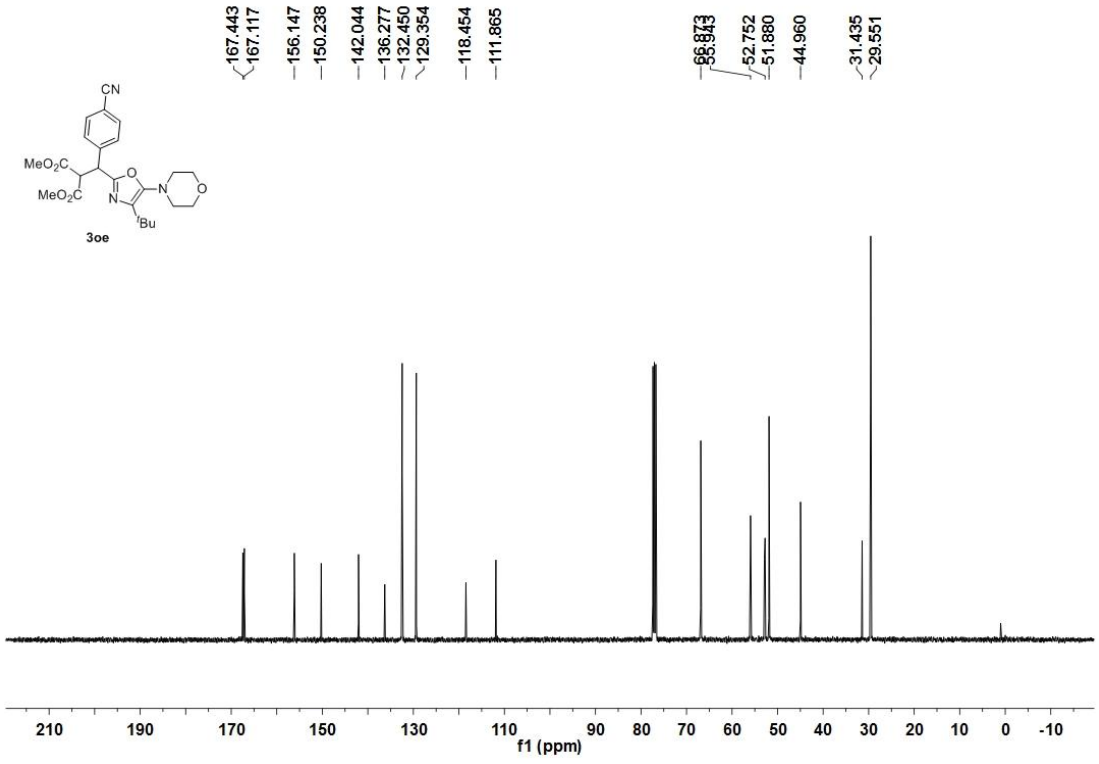
2.783
1.180
CN
MeO2C
MeO2C
O
N
O
N
tBu
3oe
2.03
2.00
1.00
1.01
7.05
3.06
4.00
9.11
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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136.277
132.450
129.354
118.454
111.865
66.873
65.943
52.752
51.880
44.960
31.435
29.551
CN
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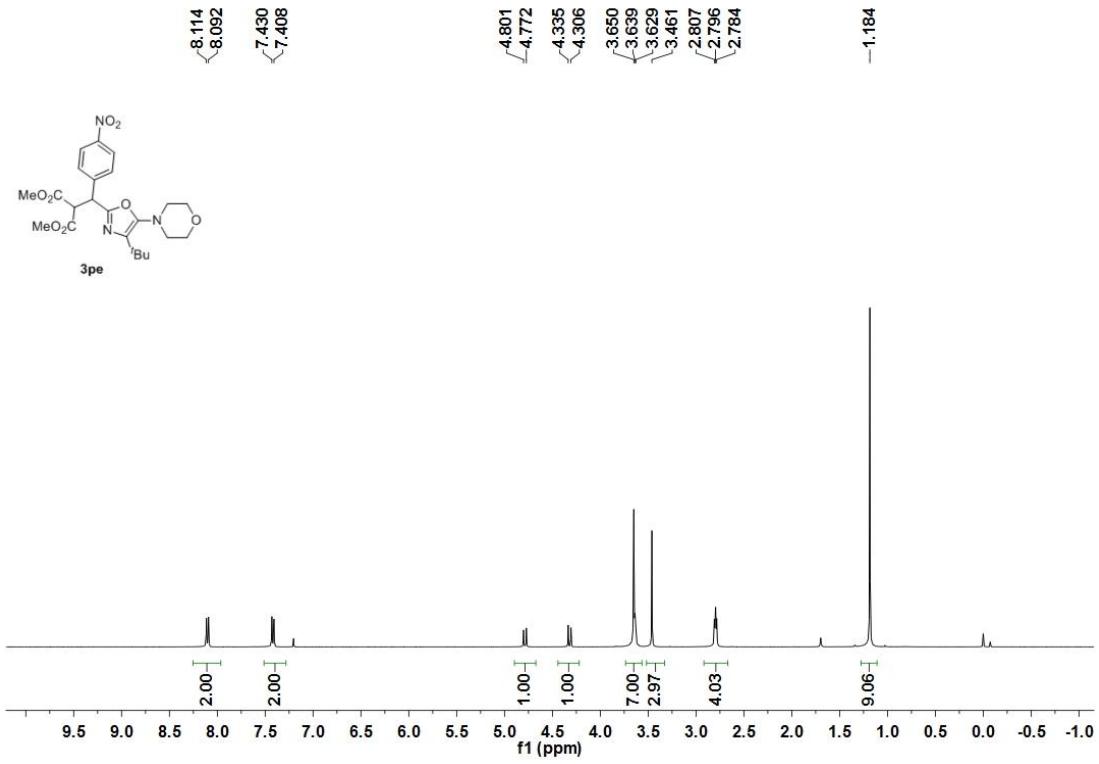
MeO2C
O
N
O
N
tBu
3oe
210 190 170 150 130 110 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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8.092
7.430
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4.772
4.335
4.306
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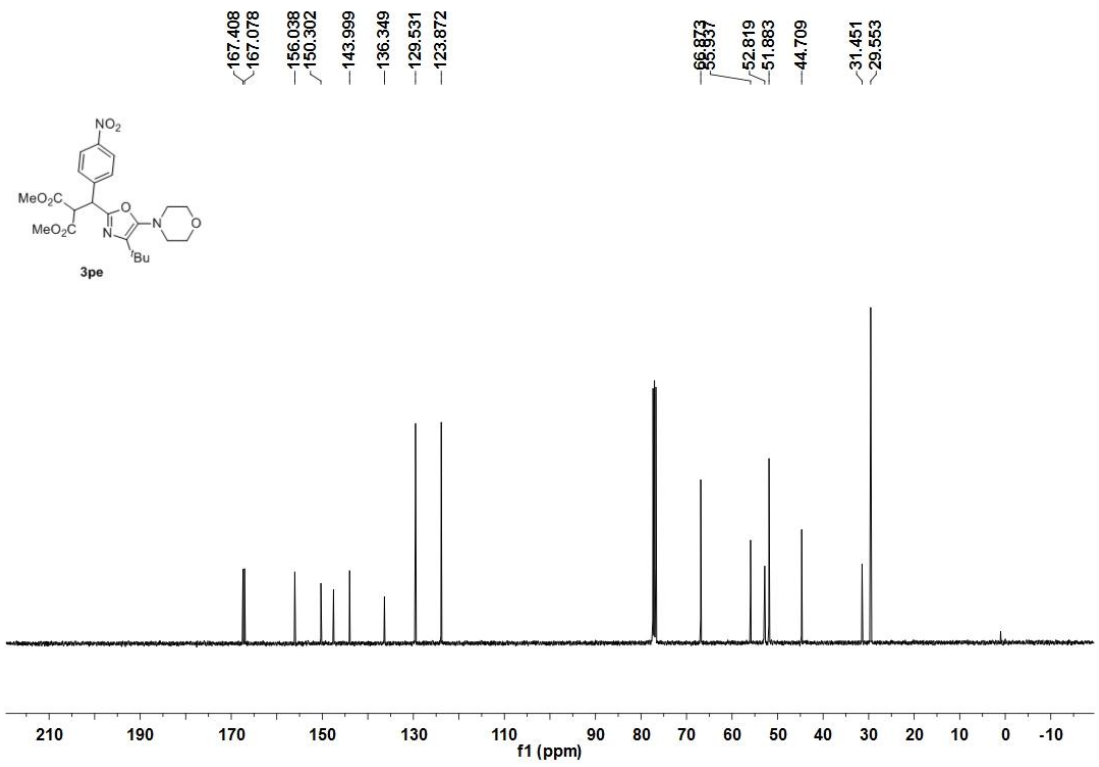
3.639
3.629
3.461
2.807
2.796
2.784
1.184
NO2
MeO2C
MeO2C
O
N
O
tBu
3pe
2.00
2.00
1.00
1.00
7.00
2.97
4.03
9.06
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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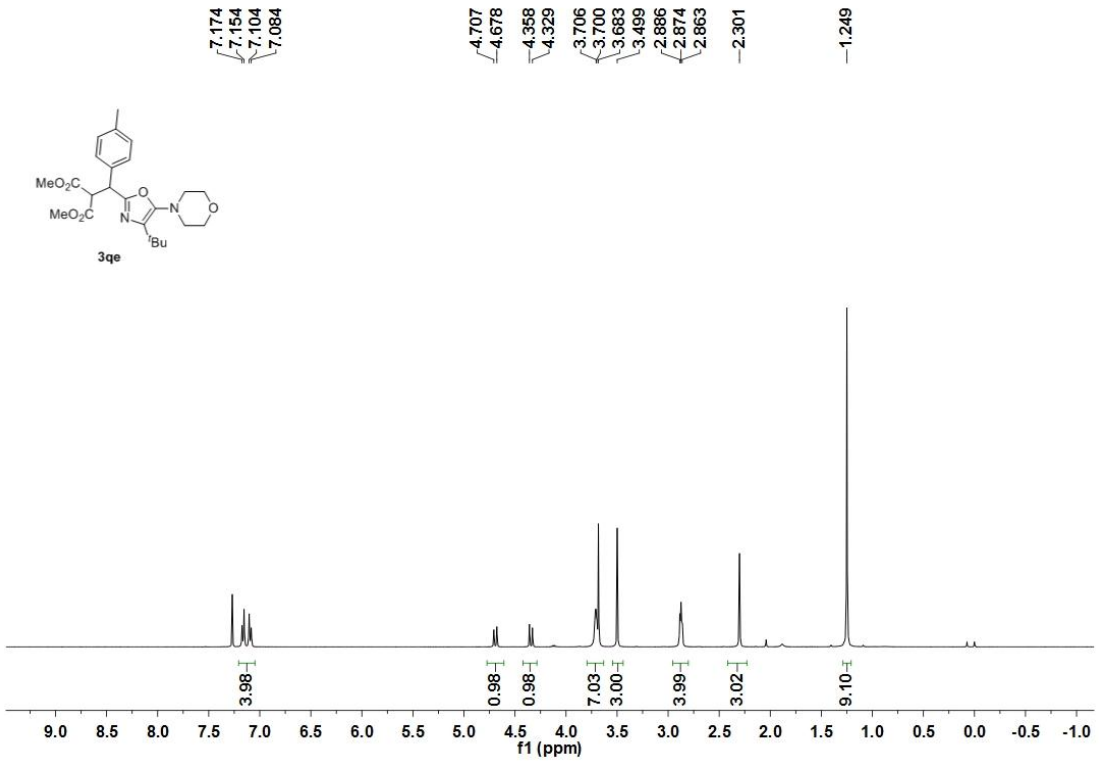
44.709
31.451
29.553
NO2
MeO2C
MeO2C
O
N
O
tBu
3pe
210 190 170 150 130 110 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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7.154
7.104
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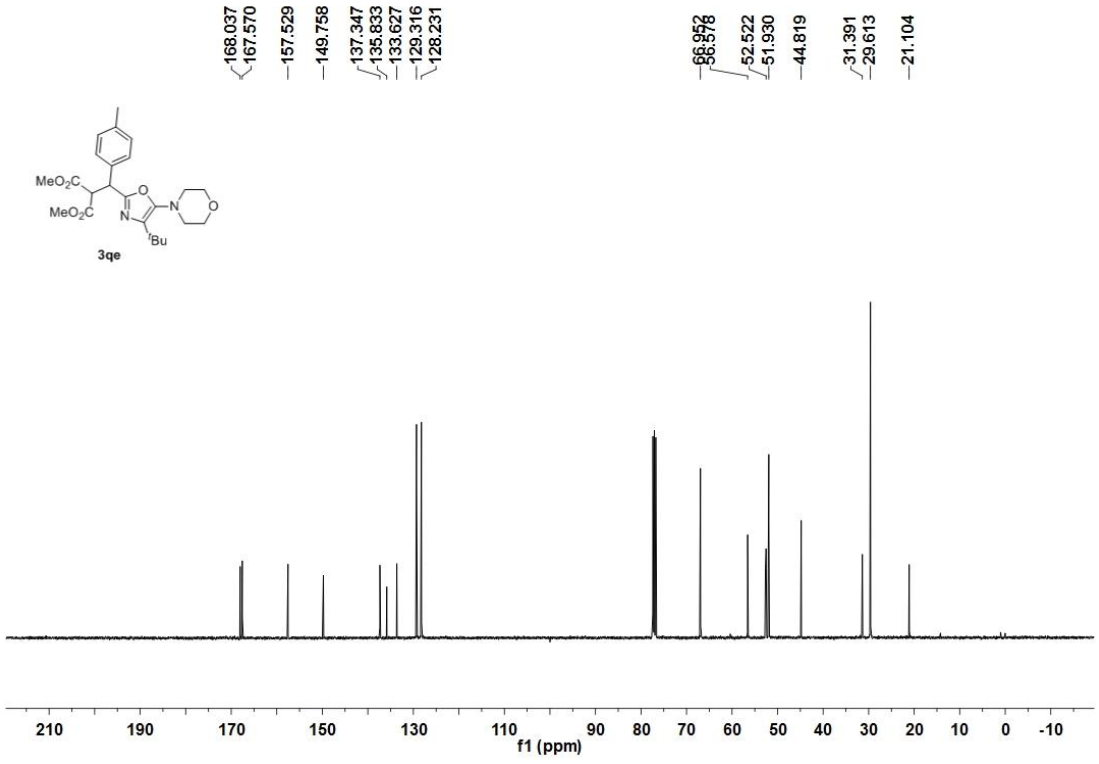
4.329
3.706
3.700
3.683
3.499
2.886
2.874
2.863
2.301
1.249
MeO2C
MeO2C
tBu
3qe
3.98
0.98
0.98
7.03
3.00
3.99
3.02
9.10
f1 (ppm)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66.578
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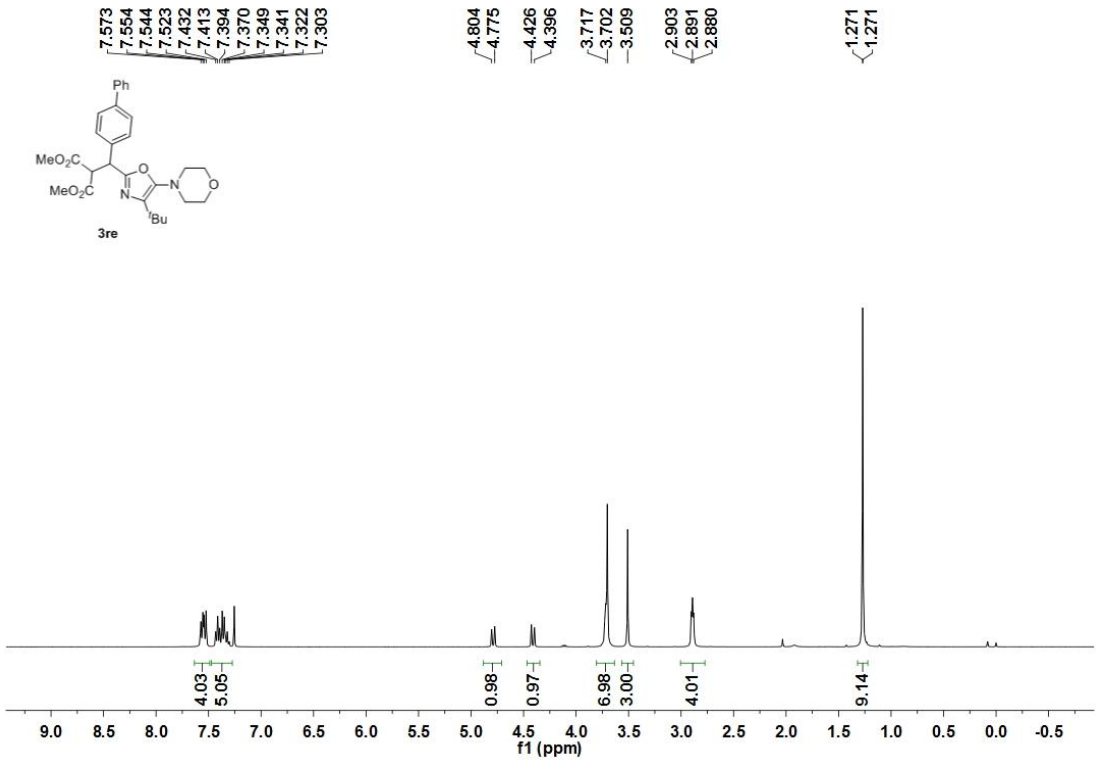
44.819
31.391
29.613
21.104
MeO2C
MeO2C
tBu
3qe
f1 (ppm)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7.544
7.523
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7.413
7.394
7.370
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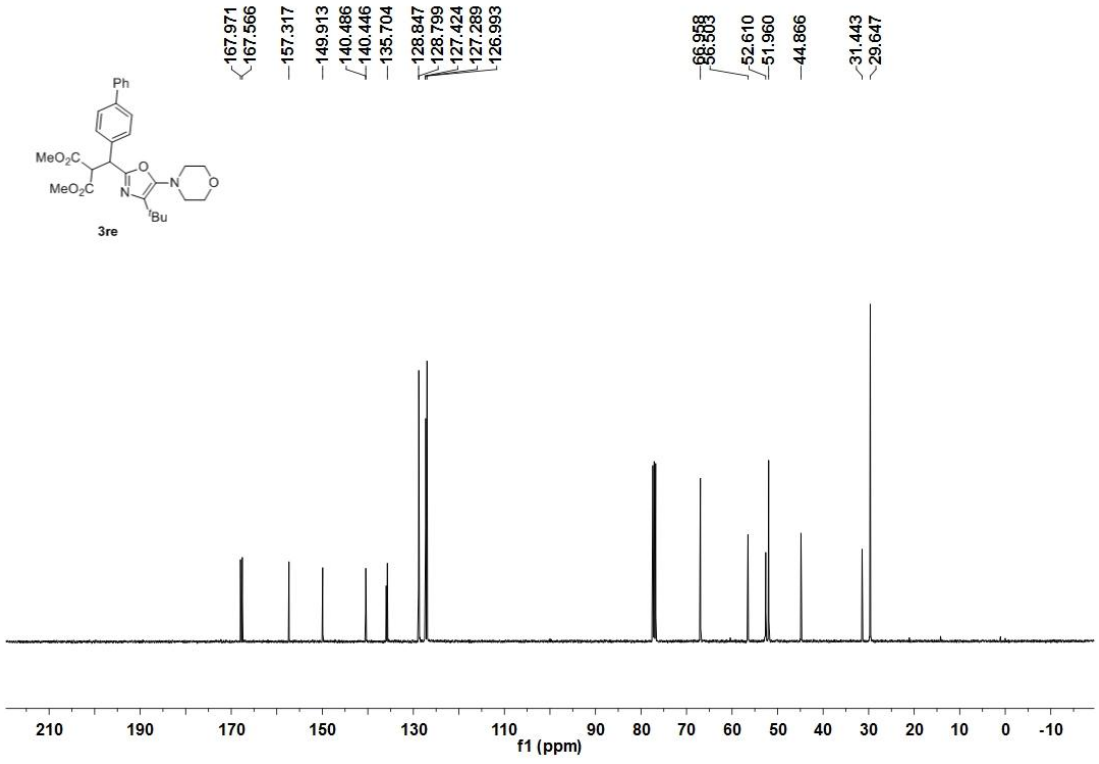
7.341
7.322
7.303
4.804
4.775
4.426
4.396
3.717
3.702
3.509
2.903
2.891
2.880
1.271
1.271
Ph
MeO2C
MeO2C
O
N
O
N
tBu
3re
4.03
5.05
0.98
0.97
6.98
3.00
4.01
9.14
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)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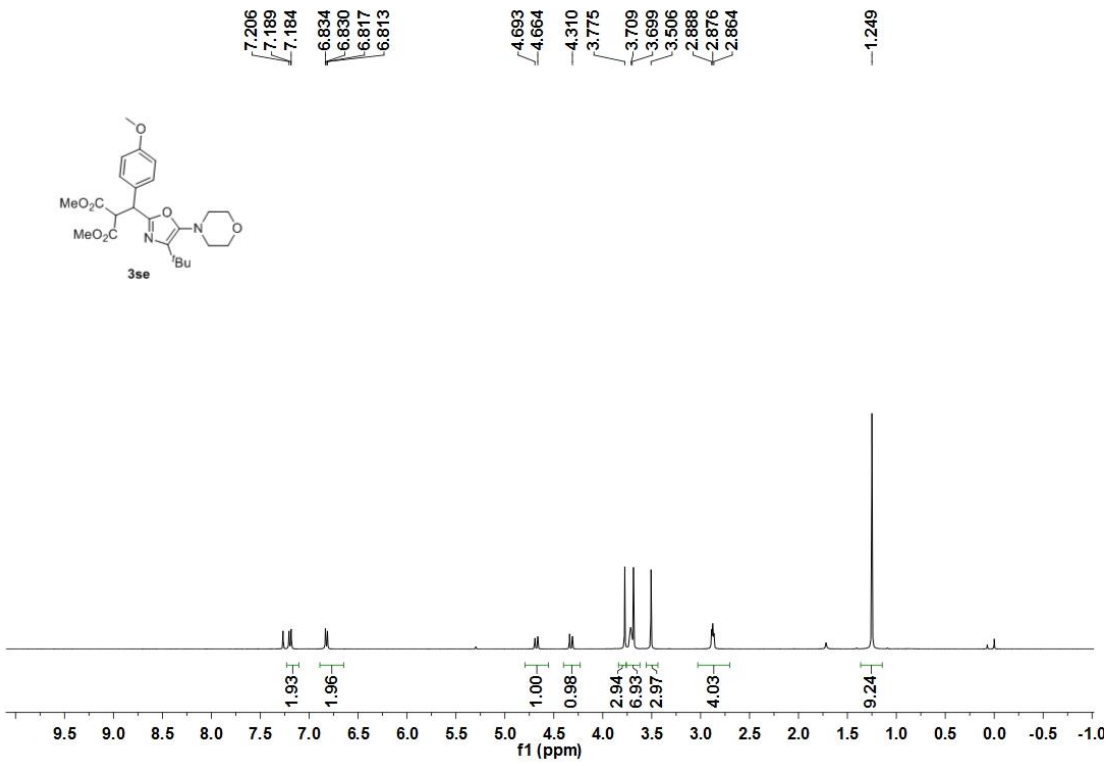
140.446
135.704
128.847
128.799
127.424
127.289
126.993
66.958
66.903
52.610
51.960
44.866
31.443
29.647
Ph
MeO2C
MeO2C
O
N
O
N
tBu
3re
210 190 170 150 130 110 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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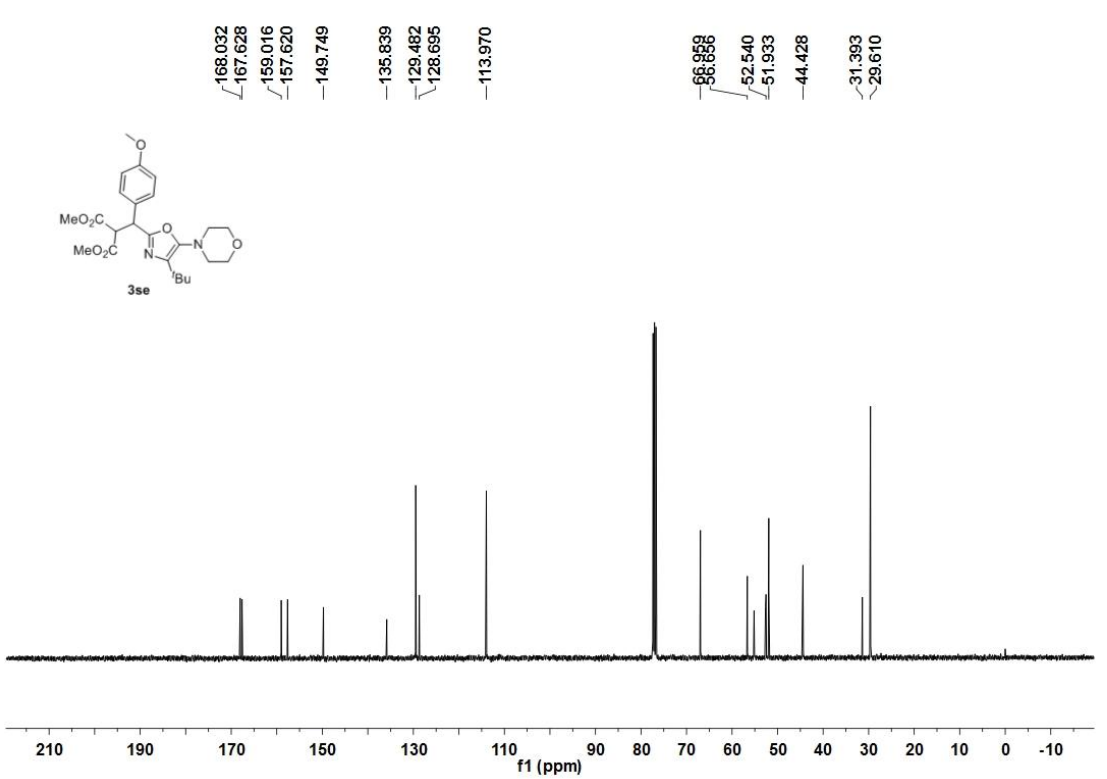
7.189
7.184
6.834
6.830
6.817
6.813
4.693
4.664
4.310
3.775
3.709
3.699
3.506
2.888
2.876
2.864
1.249
MeO2C
MeO2C
tBu
3se
1.93
1.96
1.00
0.98
2.94
6.93
2.97
4.03
9.24
f1 (ppm)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167.628
159.016
157.620
149.749
135.839
129.482
128.695
113.970
56.859
56.656
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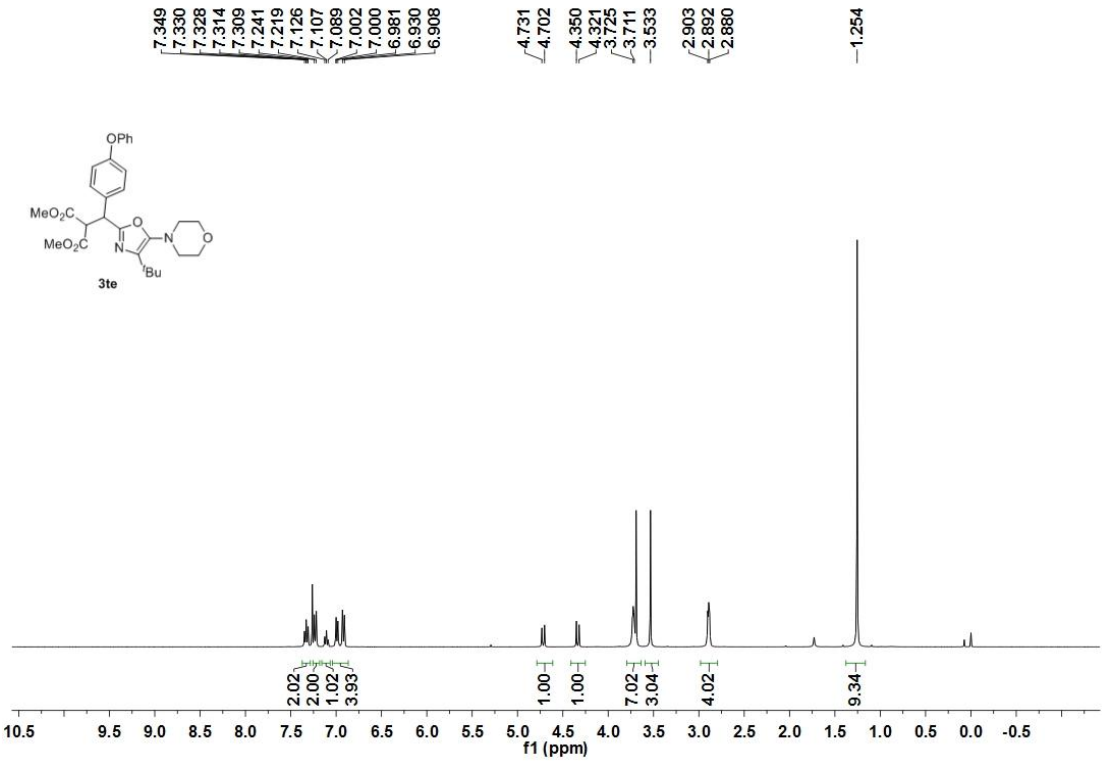
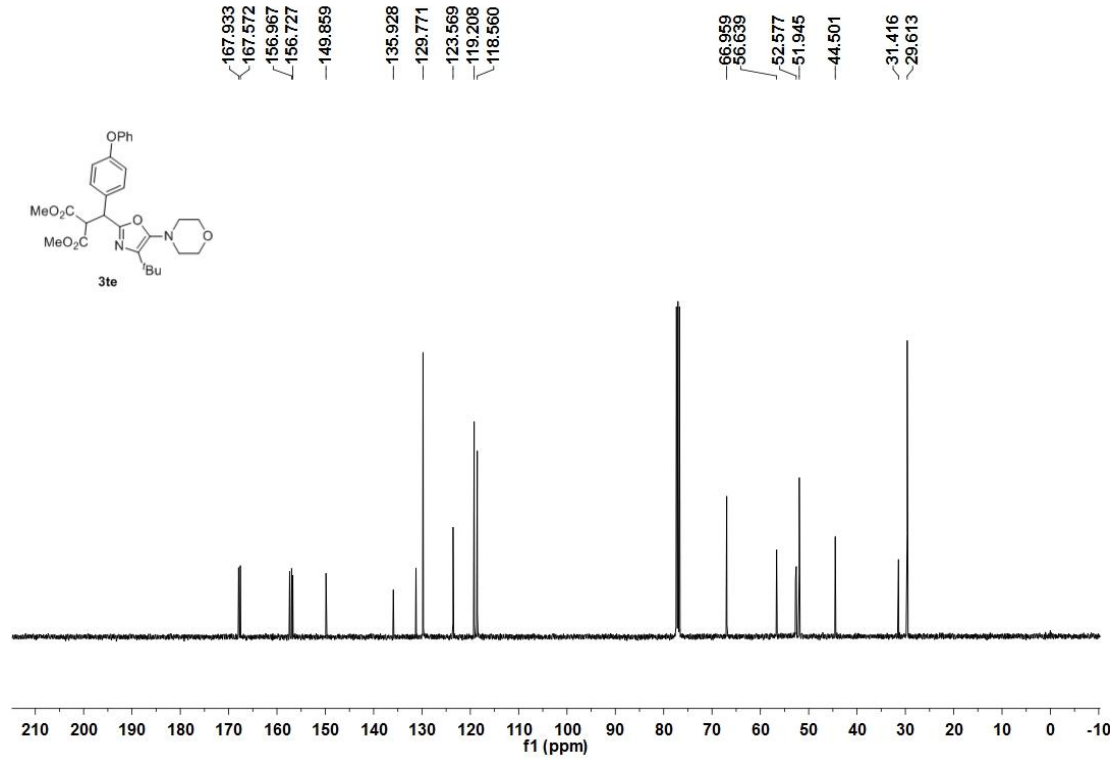
51.933
44.428
31.393
29.610
MeO2C
MeO2C
tBu
3se
f1 (ppm)


7.349
7.330
7.328
7.314
7.309
7.241
7.219
7.126
7.107
7.089
7.002
7.000
6.981
6.930
6.908
4.731
4.702
4.350
4.321
3.725
3.711
3.533
2.903
2.892
2.880
1.254
OPh
MeO2C
MeO2C
O
N
O
N
tBu
3te
2.02
2.00
1.02
3.93
1.00
1.00
7.02
3.04
4.02
9.34
10.5
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
-0.5
f1 (ppm)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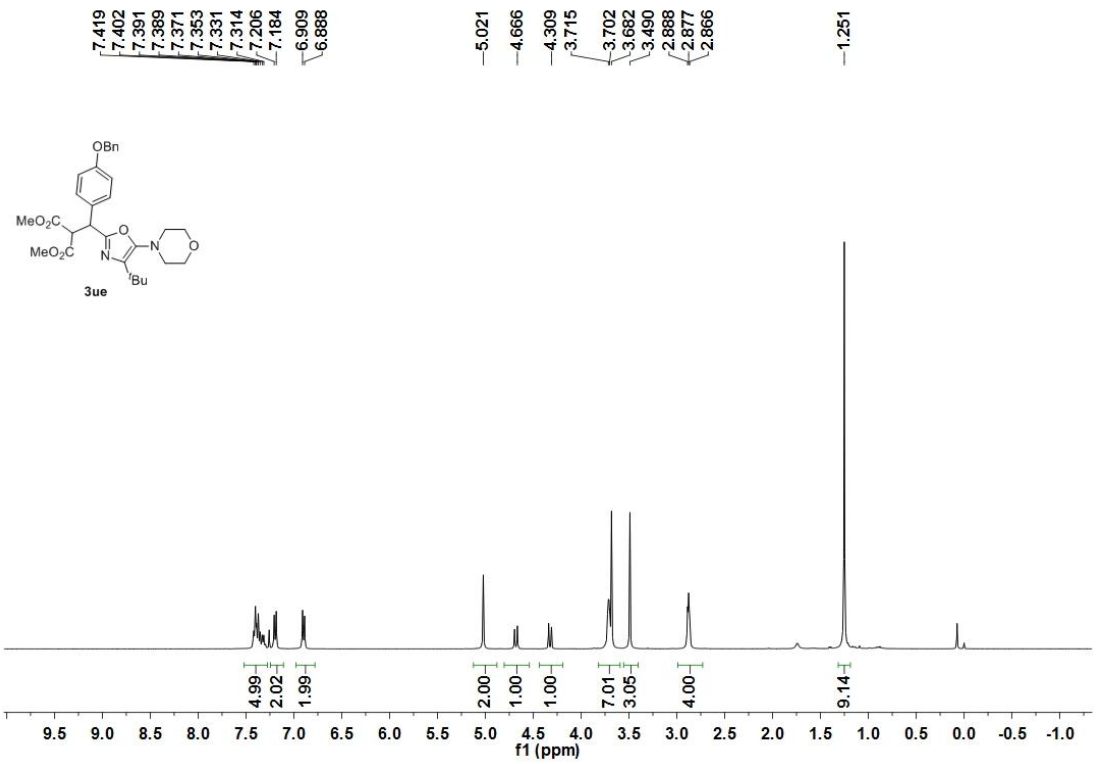
156.967
156.727
149.859
135.928
129.771
123.569
119.208
118.560
66.959
56.639
52.577
51.945
44.501
31.416
29.613
OPh
MeO2C
MeO2C
O
N
O
N
tBu
3te
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)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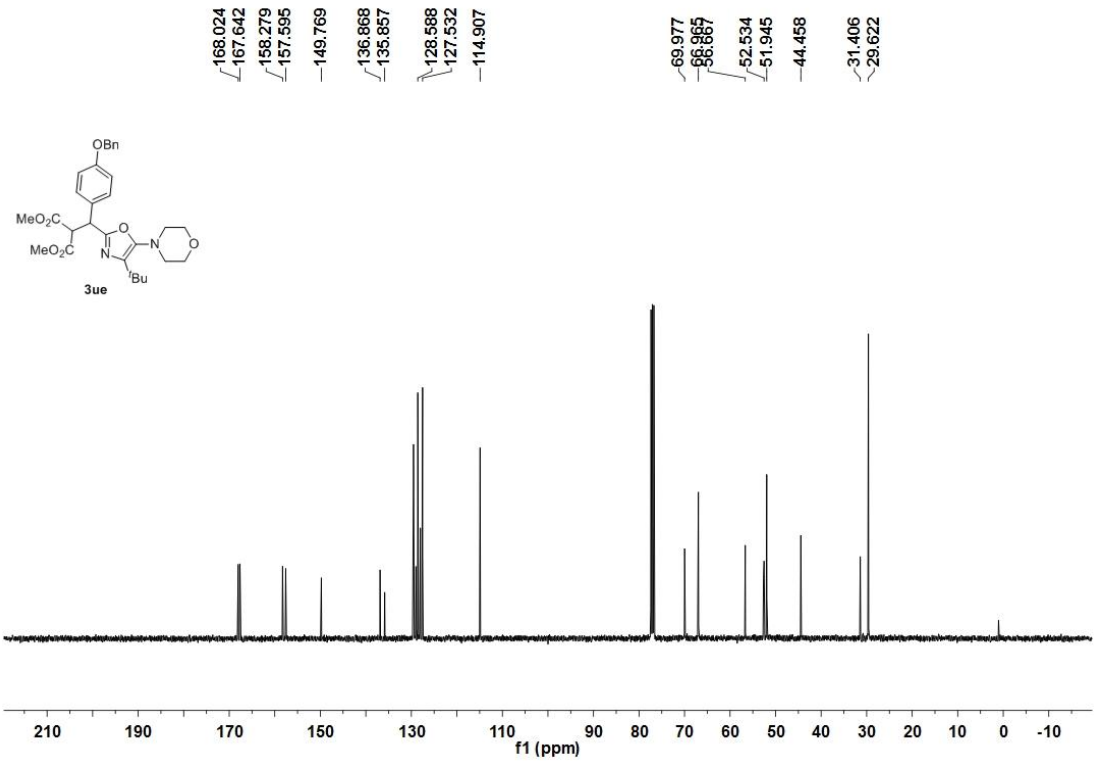
7.391
7.389
7.371
7.353
7.331
7.314
7.206
7.184
6.909
6.888
5.021
4.666
4.309
3.715
3.702
3.682
3.490
2.888
2.877
2.866
1.251
OBn
MeO2C
MeO2C
tBu
3ue
4.99
2.02
1.99
2.00
1.00
1.00
7.01
3.05
4.00
9.14
f1 (ppm)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167.642
158.279
157.595
149.769
136.868
135.857
128.588
127.532
114.907
69.977
56.867
52.534
51.945
44.458
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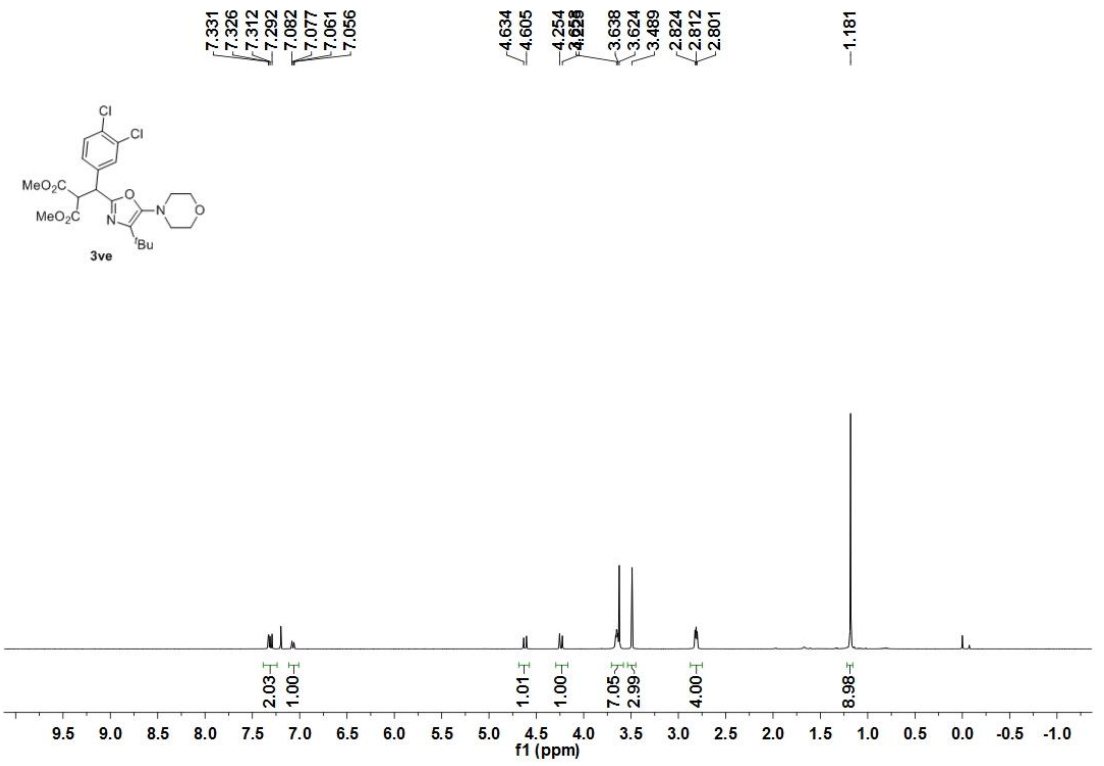
29.622
OBn
MeO2C
MeO2C
tBu
3ue
f1 (ppm)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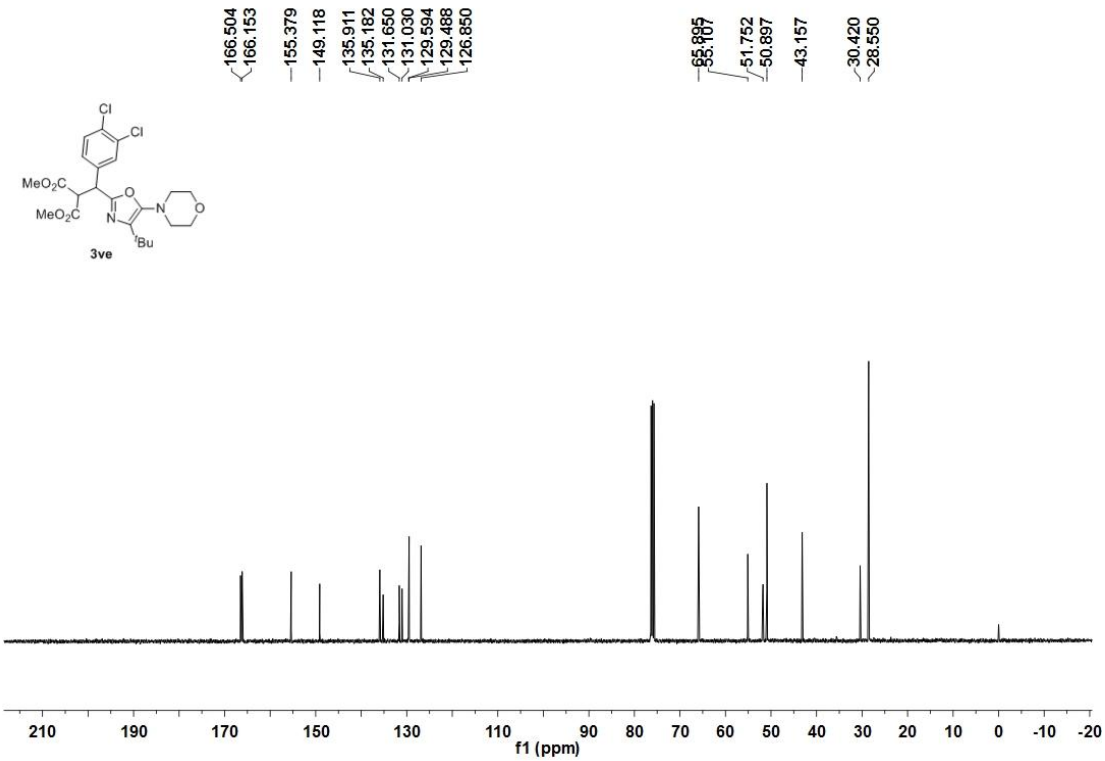
7.326
7.312
7.292
7.082
7.077
7.061
7.056
4.634
4.605
4.254
3.638
3.624
3.489
2.824
2.812
2.801
1.181
Cl
Cl
MeO2C
MeO2C
O
N
N
O
tBu
3ve
2.03
1.00
1.01
1.00
7.05
2.99
4.00
8.98
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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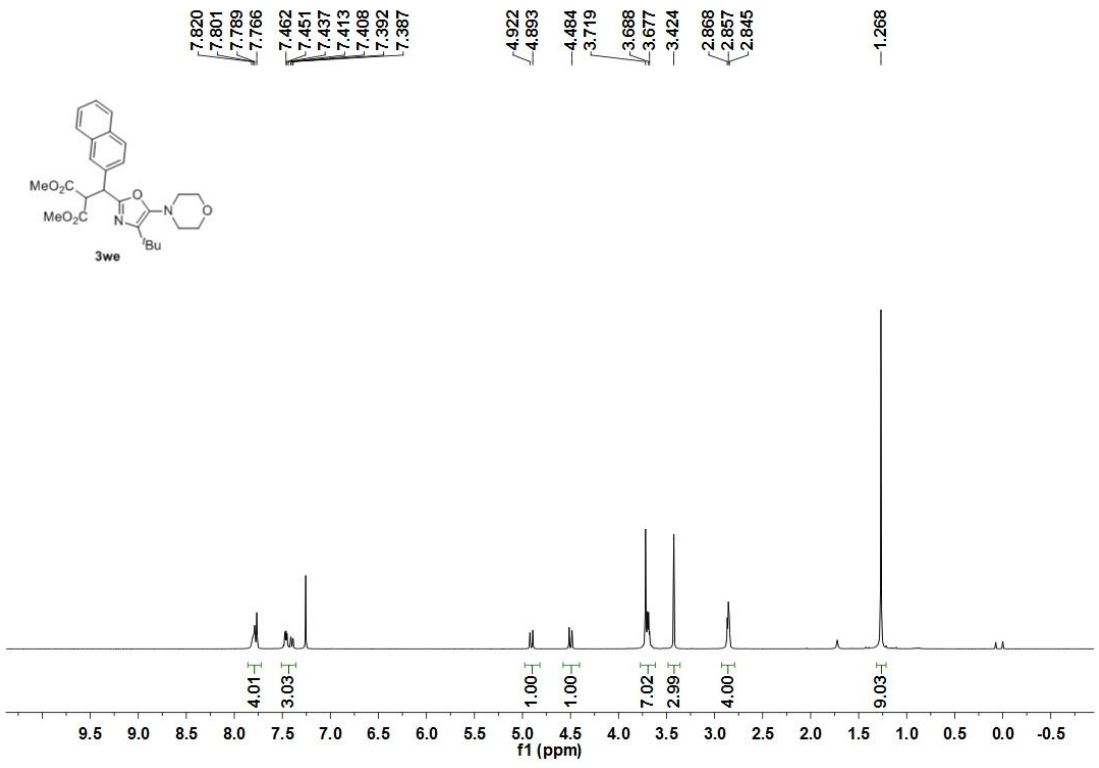
155.379
149.118
135.911
135.182
131.650
131.030
129.594
129.488
126.850
65.895
65.107
51.752
50.897
43.157
30.420
28.550
Cl
Cl
MeO2C
MeO2C
O
N
N
O
tBu
3ve
210 190 170 150 130 110 90 80 70 60 50 40 30 20 10 0 -10 -20
f1 (ppm)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7.413
7.408
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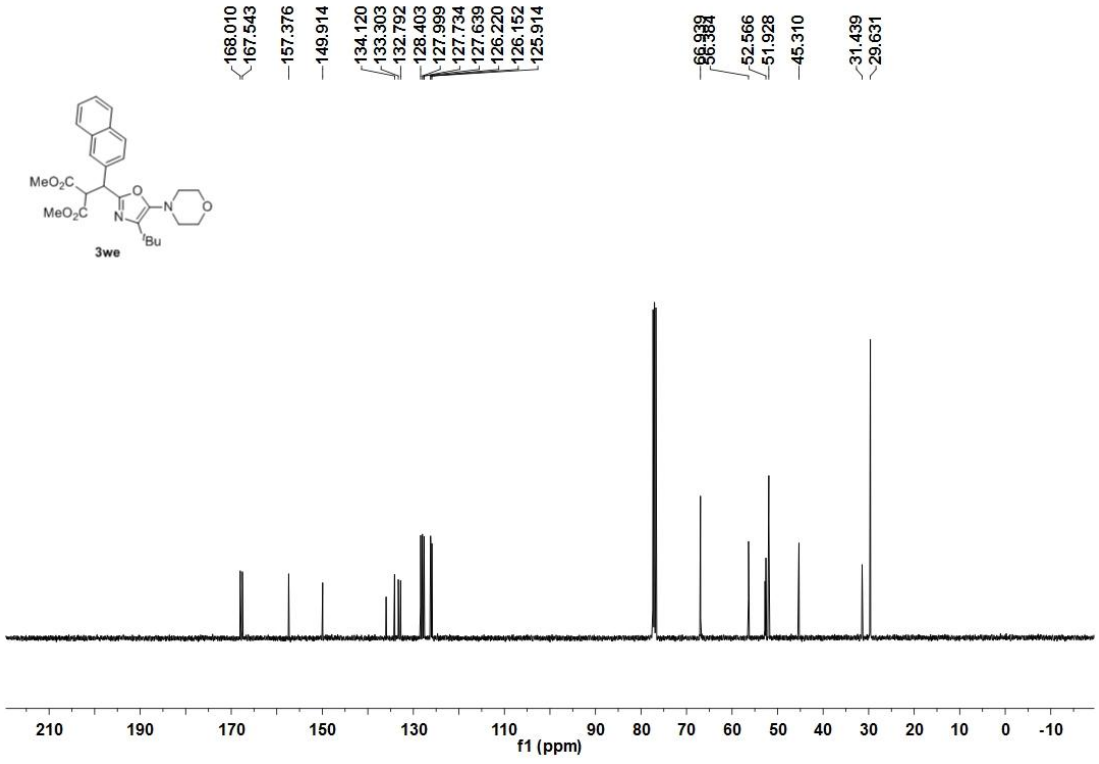
7.387
4.922
4.893
4.484
3.719
3.688
3.677
3.424
2.868
2.857
2.845
1.268
MeO2C
MeO2C
tBu
3we
4.01
3.03
1.00
1.00
7.02
2.99
4.00
9.03
f1 (ppm)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127.999
127.734
127.639
126.220
126.152
125.914
66.939
66.384
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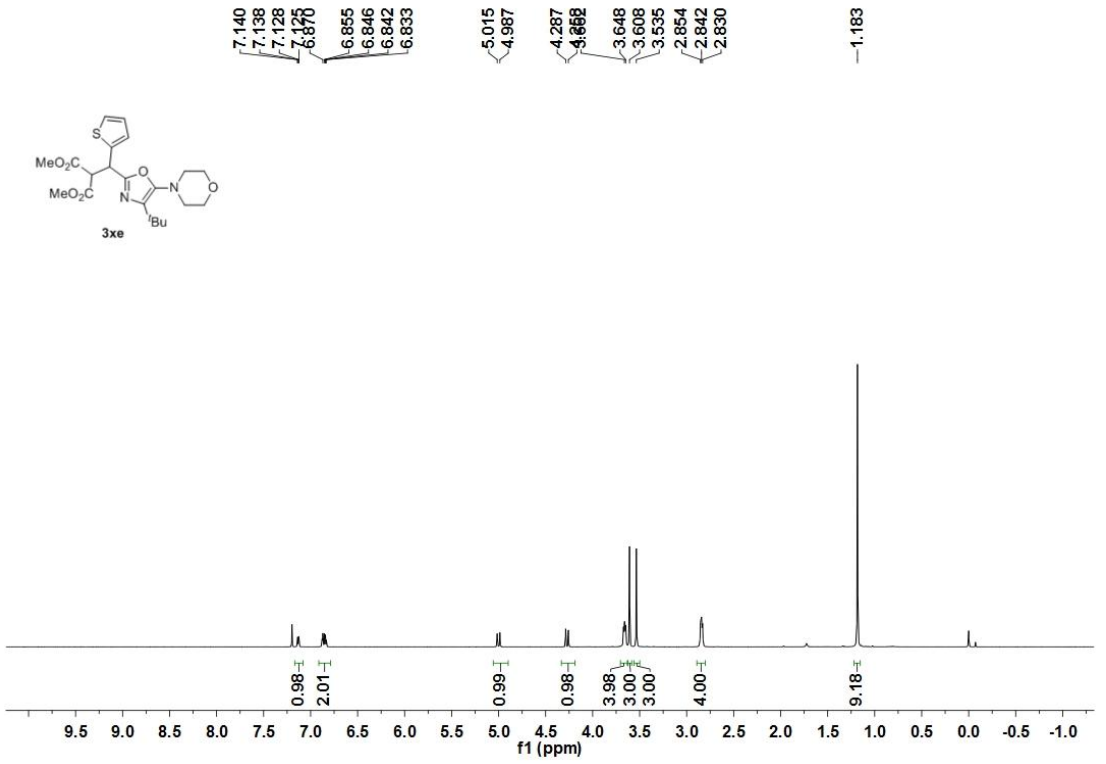
51.928
45.310
31.439
29.631
MeO2C
MeO2C
tBu
3we
f1 (ppm)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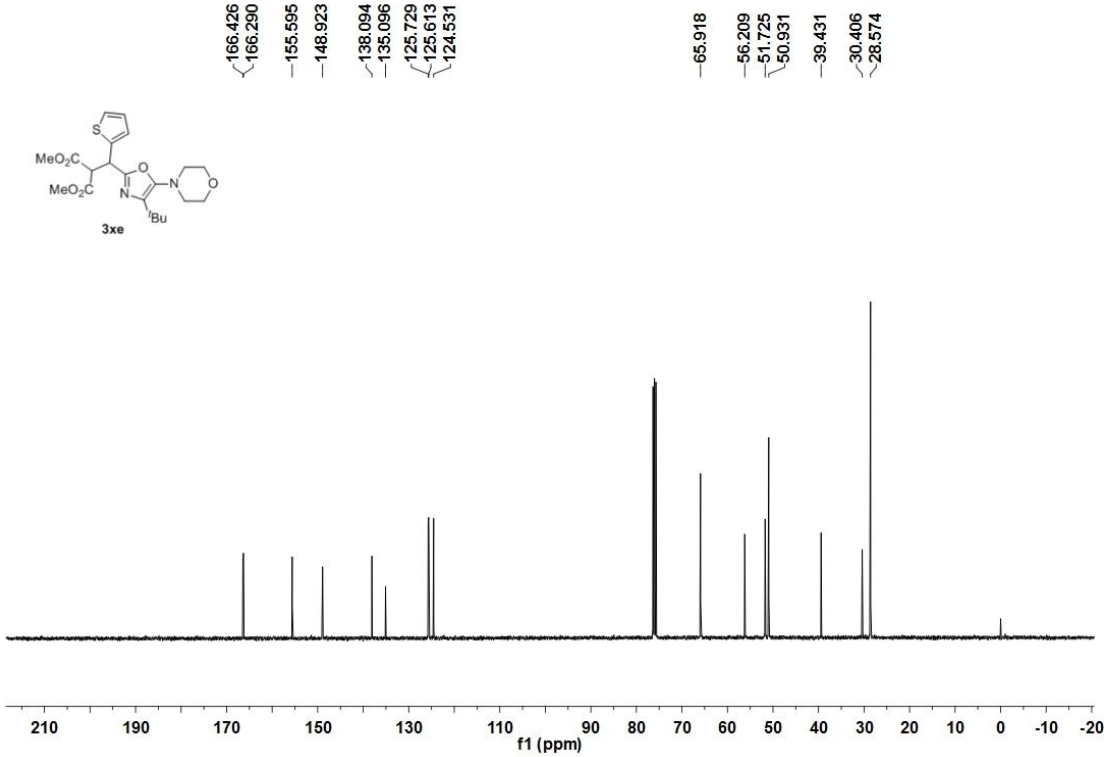
7.138
7.128
7.125
6.855
6.846
6.842
6.833
5.015
4.987
4.287
3.648
3.608
3.535
2.854
2.842
2.830
1.183
MeO2C
MeO2C
tBu
3xe
0.98
2.01
0.99
0.98
3.98
3.00
3.00
4.00
9.18
f1 (ppm)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166.290
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138.094
135.096
125.729
125.613
124.531
65.918
56.209
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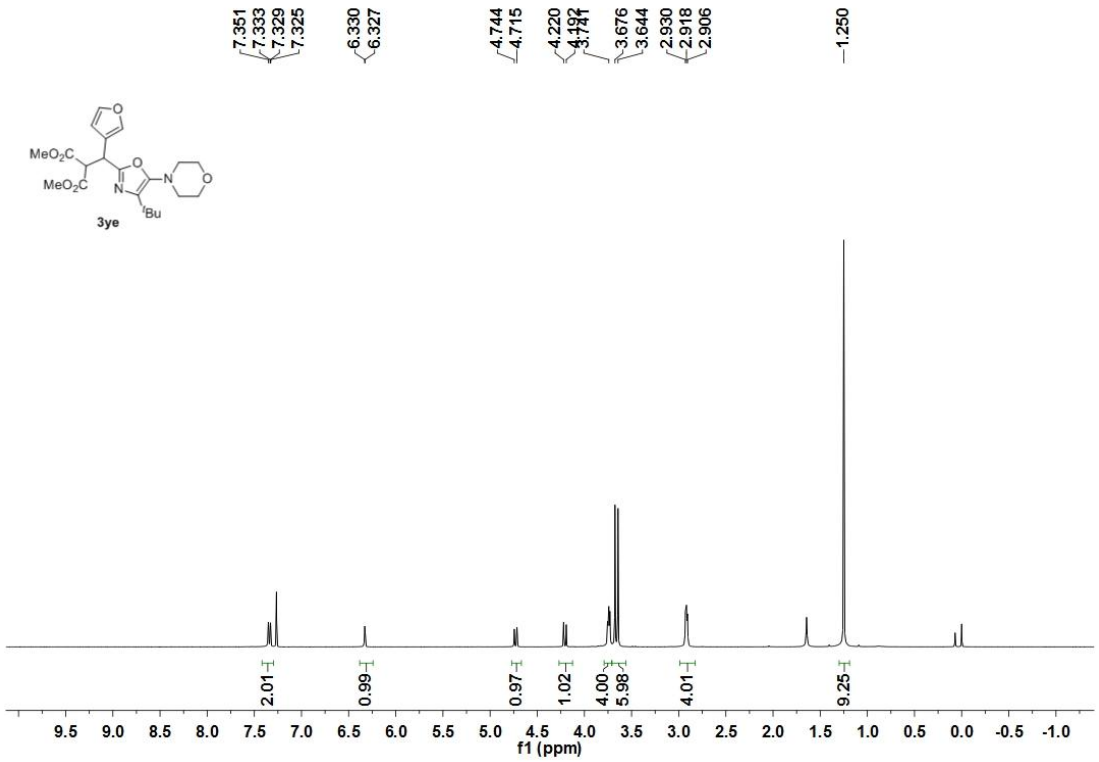
50.931
39.431
30.406
28.574
MeO2C
MeO2C
tBu
3xe
f1 (ppm)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7.329
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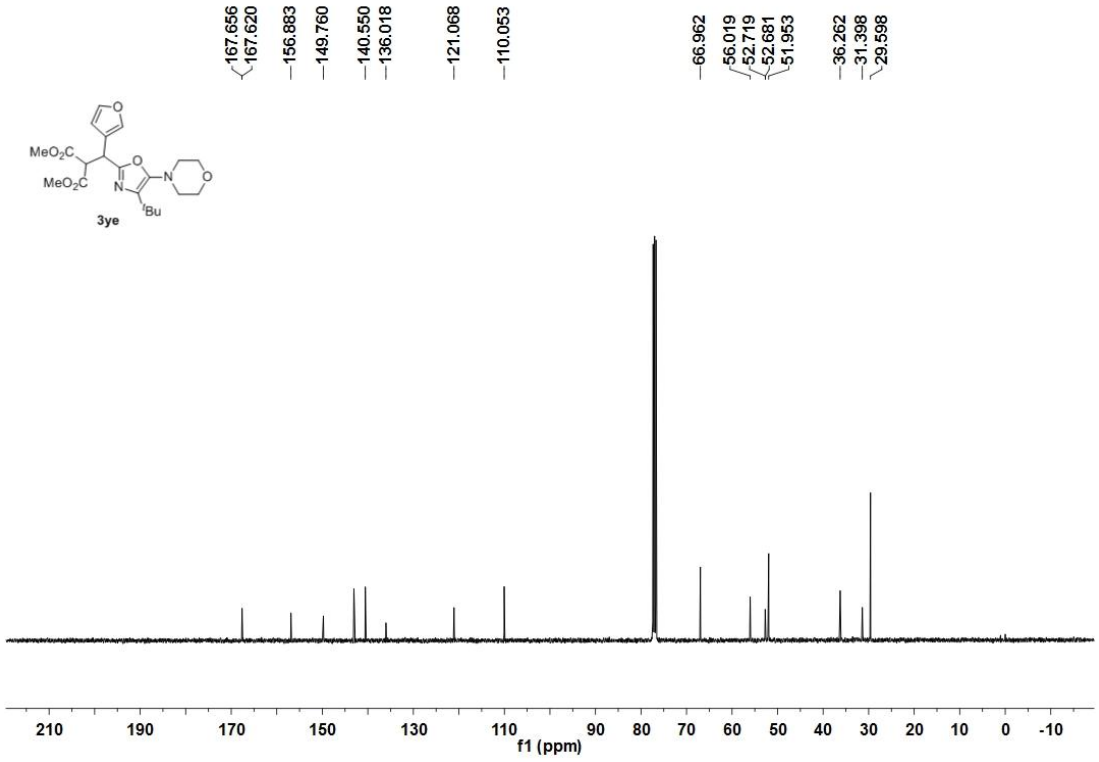
6.330
6.327
4.744
4.715
4.220
4.192
3.741
3.676
3.644
2.930
2.918
2.906
1.250
MeO2C
MeO2C
tBu
3ye
2.01
0.99
0.97
1.02
4.00
5.98
4.01
9.25
f1 (ppm)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136.018
121.068
110.053
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51.953
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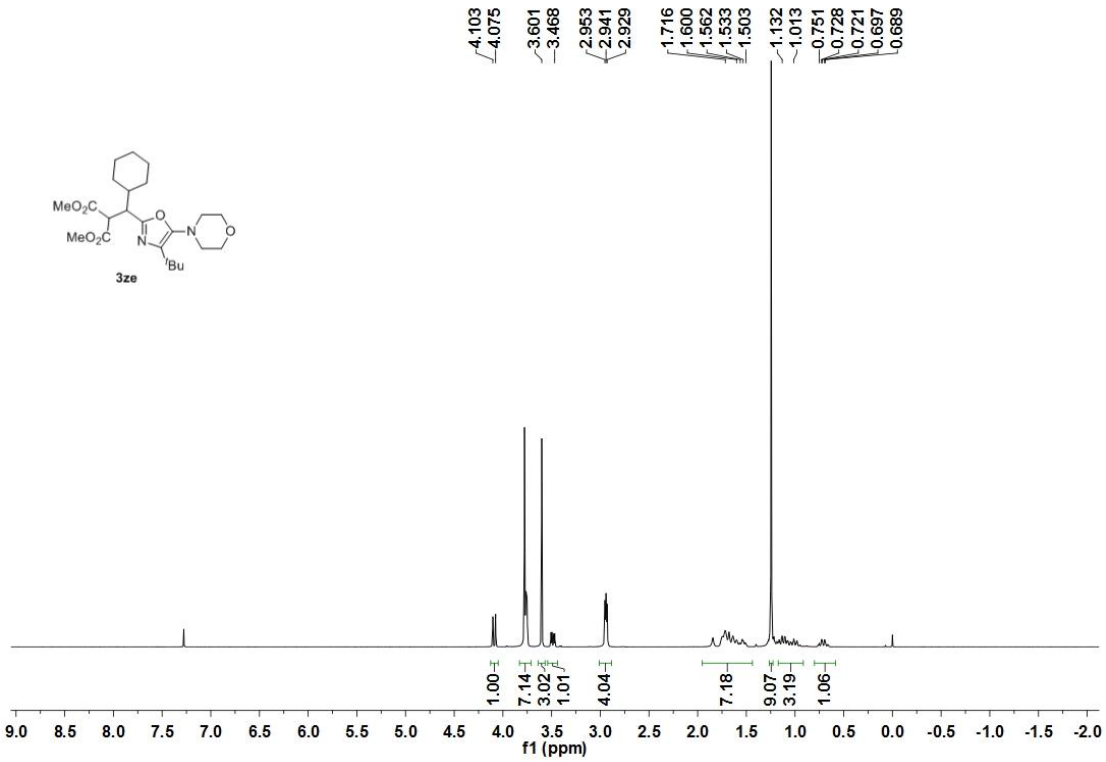
31.398
29.598
MeO2C
MeO2C
tBu
3ye
f1 (ppm)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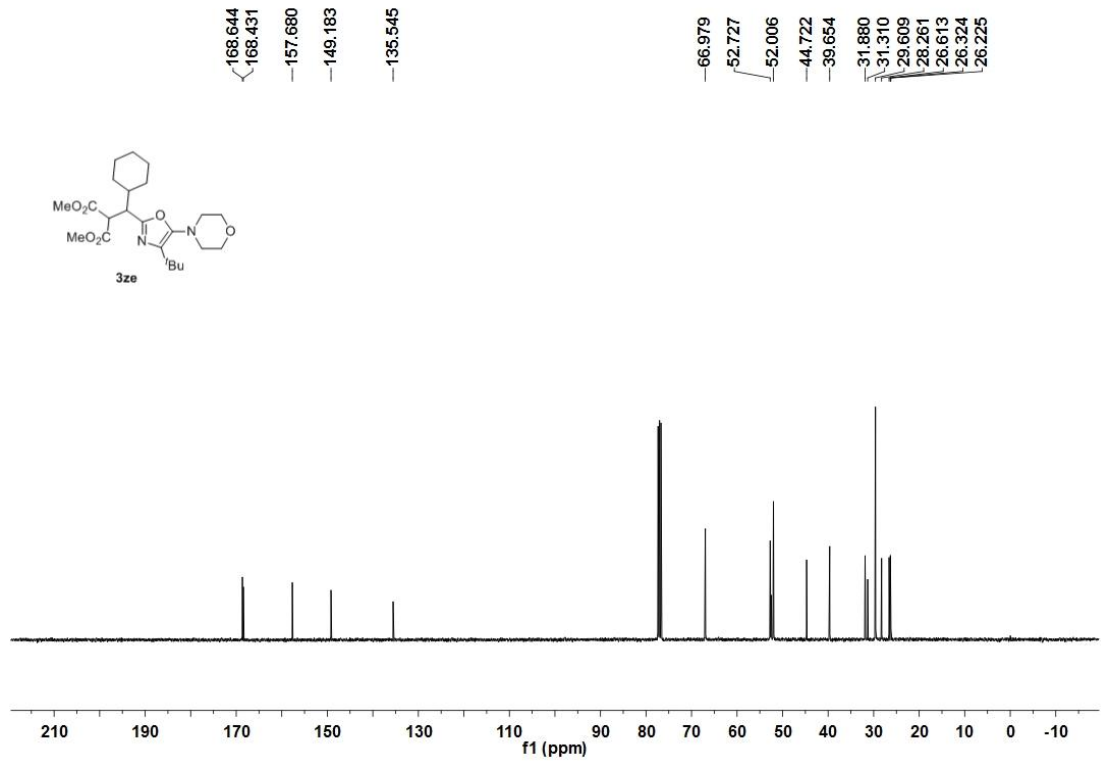
2.929
1.716
1.600
1.562
1.533
1.503
1.132
1.013
0.751
0.728
0.721
0.697
0.689
MeO2C
MeO2C
O
N
N
O
tBu
3ze
1.00
7.14
3.02
1.01
4.04
7.18
9.07
3.19
1.06
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0 -1.5 -2.0
f1 (ppm)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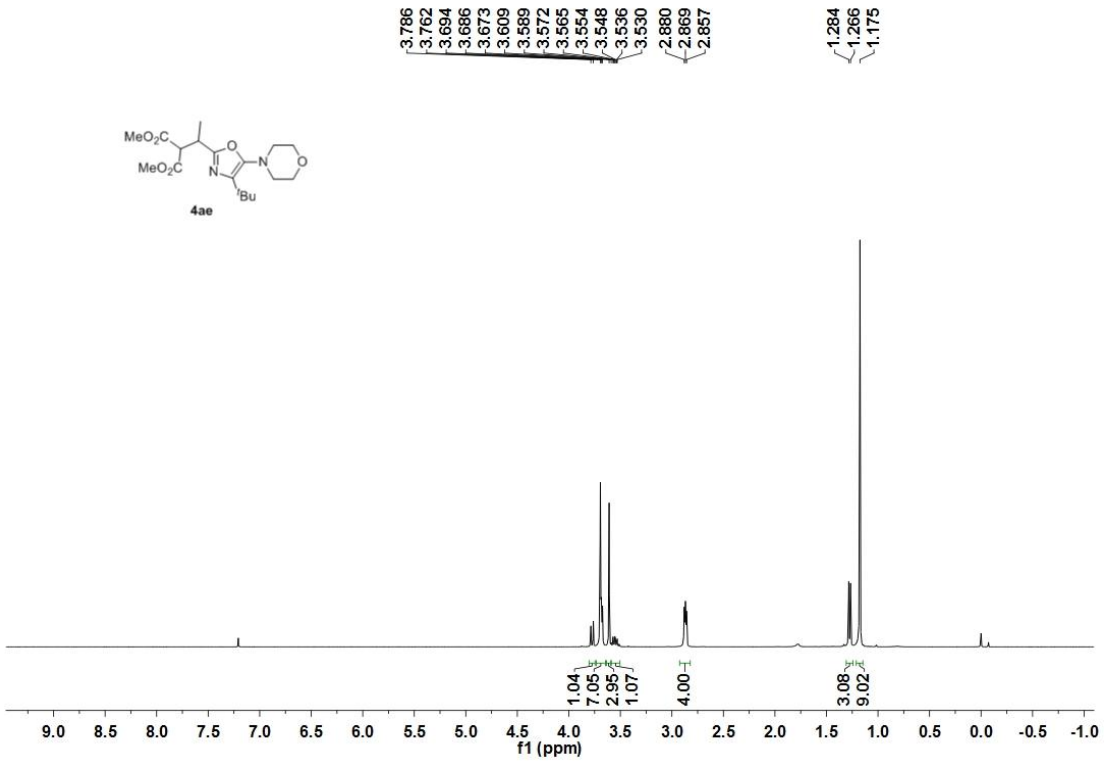
52.006
44.722
39.654
31.880
31.310
29.609
28.261
26.613
26.324
26.225
MeO2C
MeO2C
O
N
N
O
tBu
3ze
210 190 170 150 130 110 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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3.572
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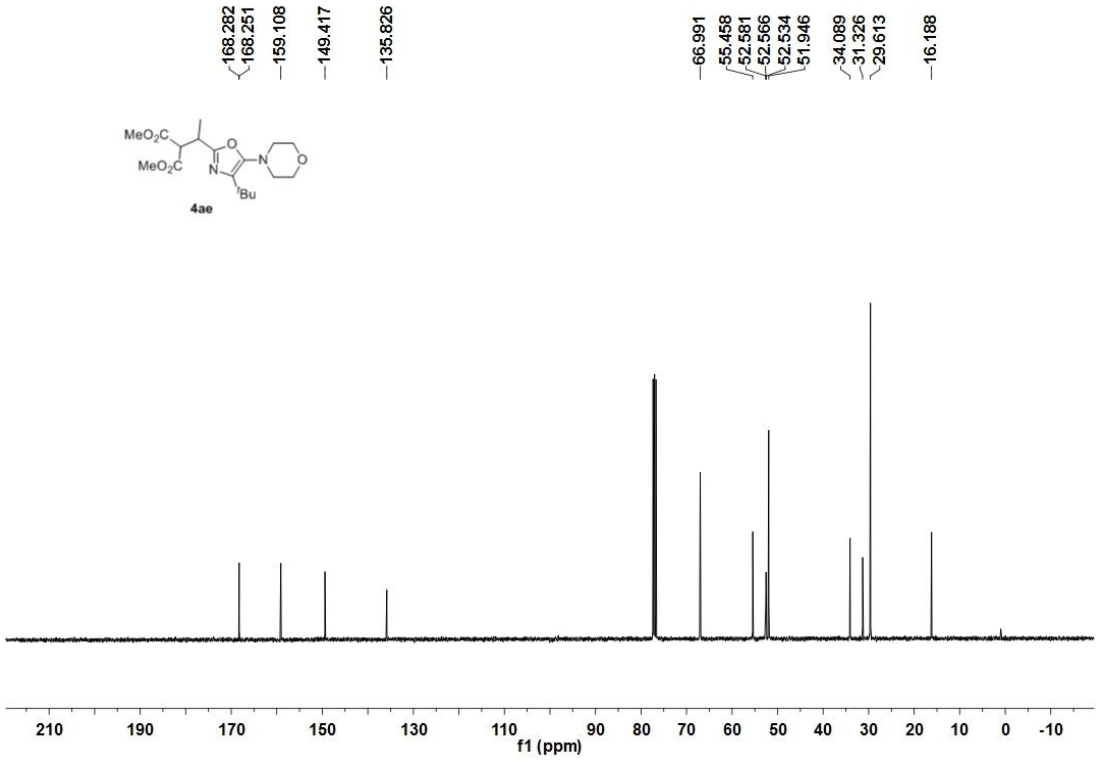
3.554
3.548
3.536
3.530
2.880
2.869
2.857
1.284
1.266
1.175
MeO2C
MeO2C
O
N
O
tBu
4ae
1.04
7.05
2.95
1.07
4.00
3.08
9.02
9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0
f1 (ppm)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159.108
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135.826
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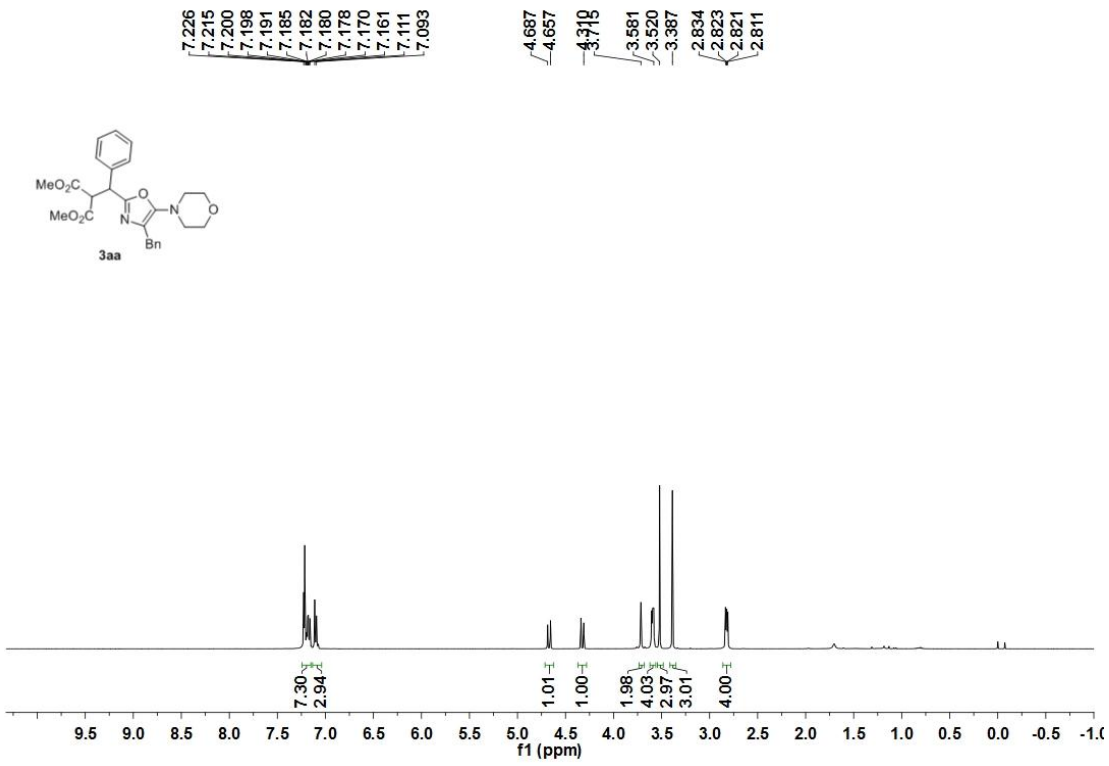
55.458
52.581
52.566
52.534
51.946
34.089
31.326
29.613
16.188
MeO2C
MeO2C
O
N
O
tBu
4ae
210 190 170 150 130 110 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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7.191
7.185
7.182
7.180
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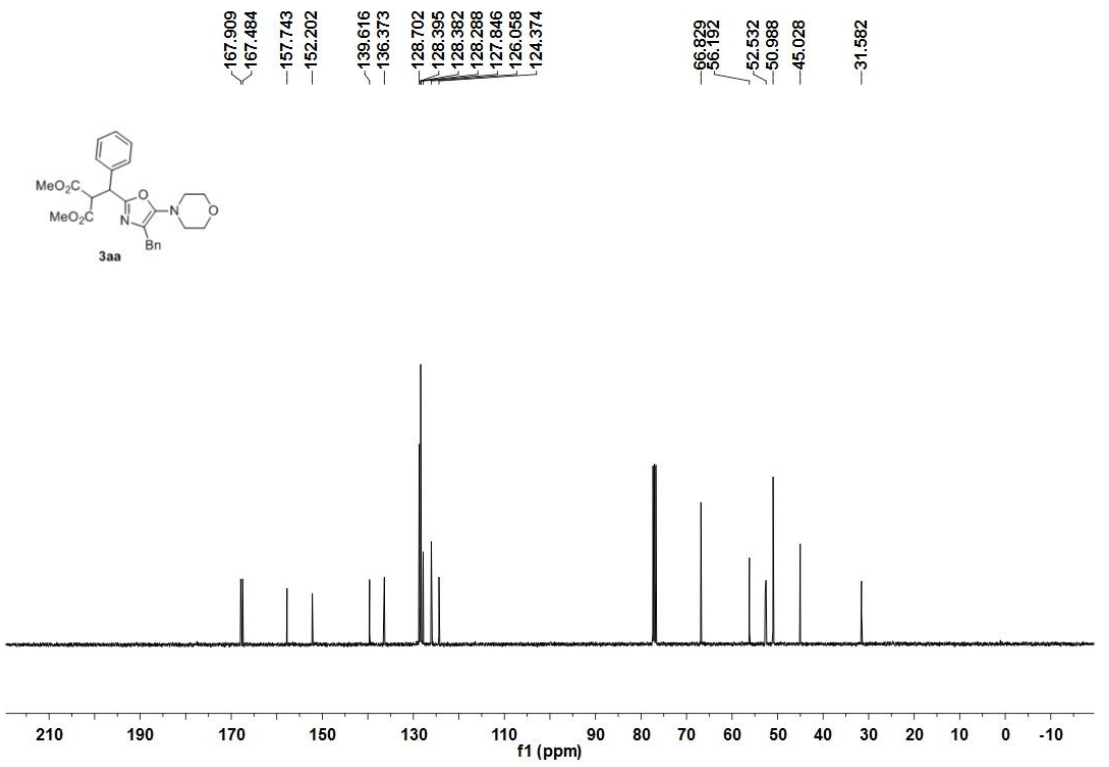
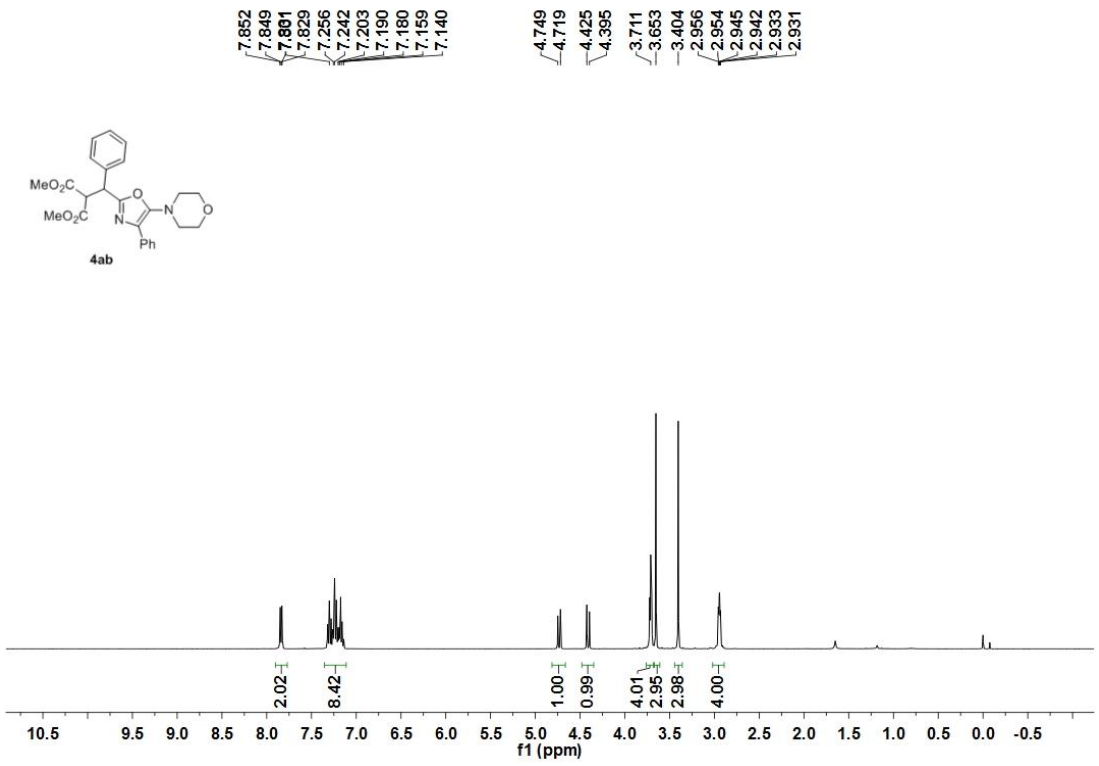
7.170
7.161
7.111
7.093
4.687
4.657
4.310
4.303
3.581
3.520
3.387
2.834
2.823
2.821
2.811
MeO2C
MeO2C
O
N
N
O
Bn
3aa
7.30
2.94
1.01
1.00
1.98
4.03
2.97
3.01
4.00
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)


167.909
167.484
157.743
152.202
139.616
136.373
128.702
128.395
128.382
128.288
127.846
126.058
124.374
66.829
56.192
52.532
50.988
45.028
31.582
MeO2C
MeO2C
O
N
N
O
Bn
3aa
210 190 170 150 130 110 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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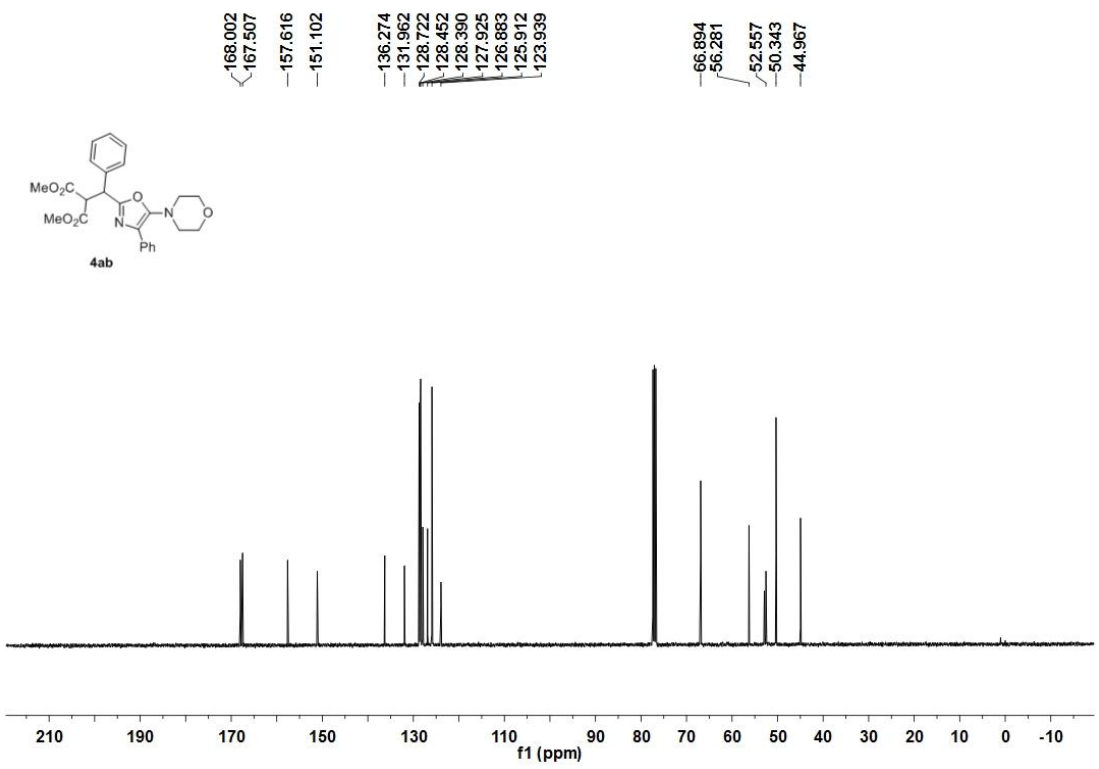
7.203
7.190
7.180
7.159
7.140
4.749
4.719
4.425
4.395
3.711
3.653
3.404
2.956
2.954
2.945
2.942
2.933
2.931
MeO2C
MeO2C
O
N
O
N
Ph
4ab
2.02
8.42
1.00
0.99
4.01
2.95
2.98
4.00
10.5
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)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167.507
157.616
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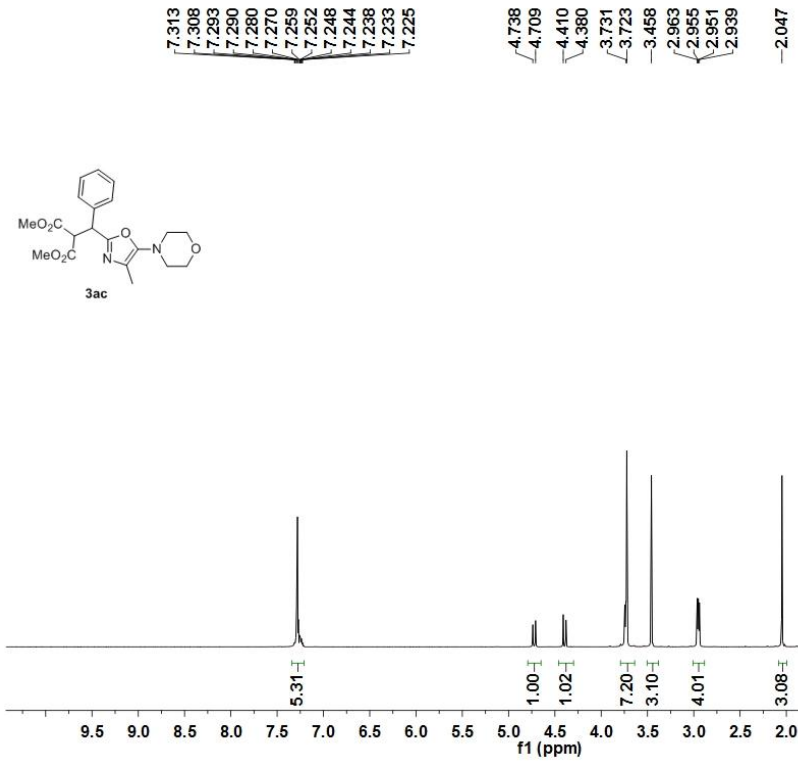
136.274
131.962
128.722
128.452
128.390
127.925
126.883
125.912
123.939
66.894
56.281
52.557
50.343
44.967
MeO2C
MeO2C
O
N
O
N
Ph
4ab
210
190
170
150
130
110
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)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7.259
7.252
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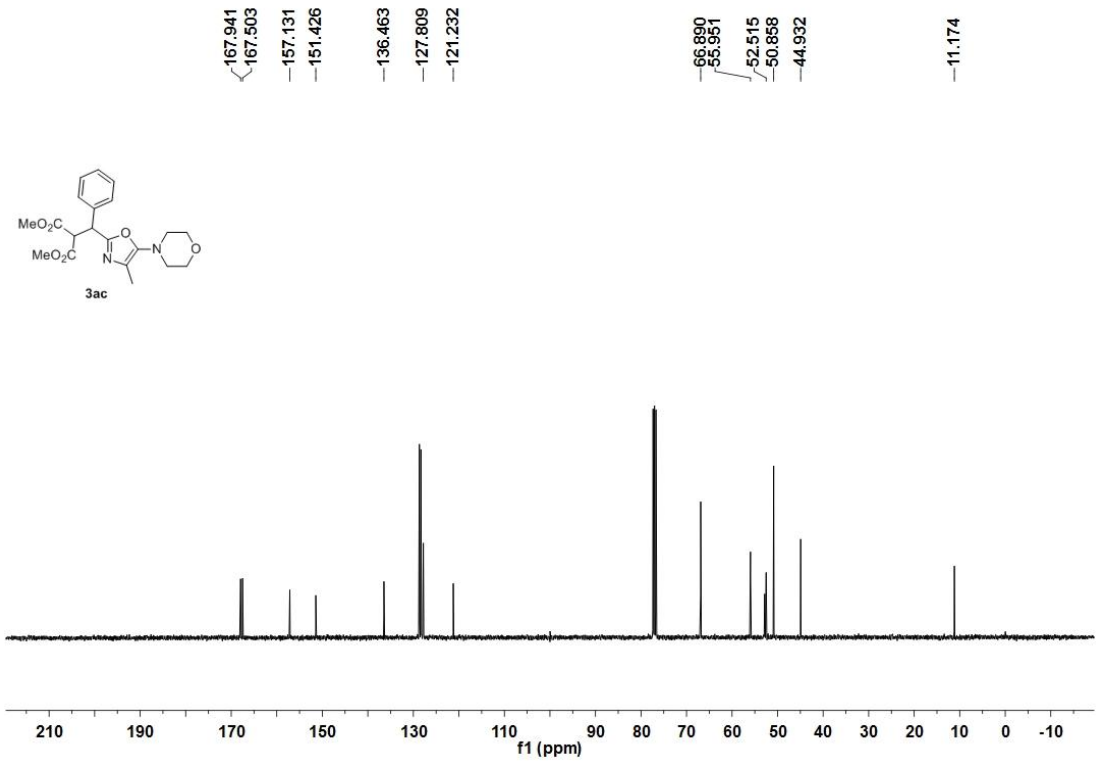
7.244
7.238
7.233
7.225
4.738
4.709
4.410
4.380
3.731
3.723
3.458
2.963
2.955
2.951
2.939
2.047
MeO2C
MeO2C
O
N
O
3ac
5.31
1.00
1.02
7.20
3.10
4.01
3.08
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5
f1 (ppm)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157.131
151.426
136.463
127.809
121.232
66.890
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52.515
50.858
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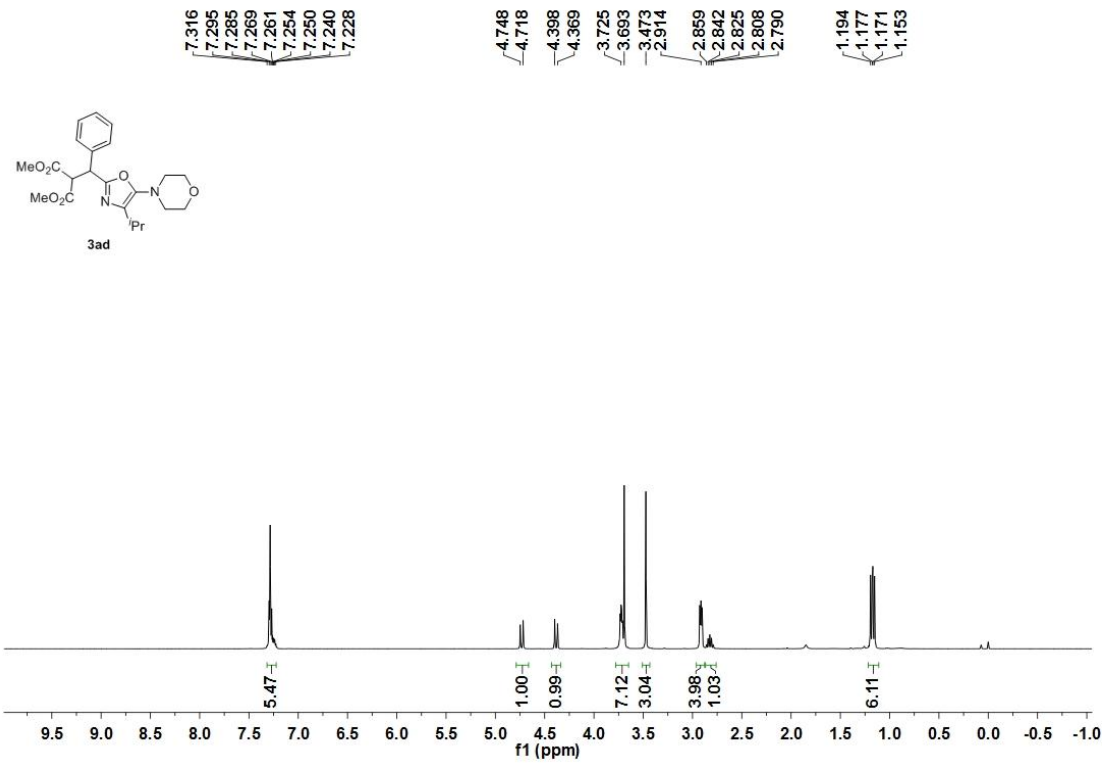
11.174
MeO2C
MeO2C
O
N
O
3ac
210 190 170 150 130 110 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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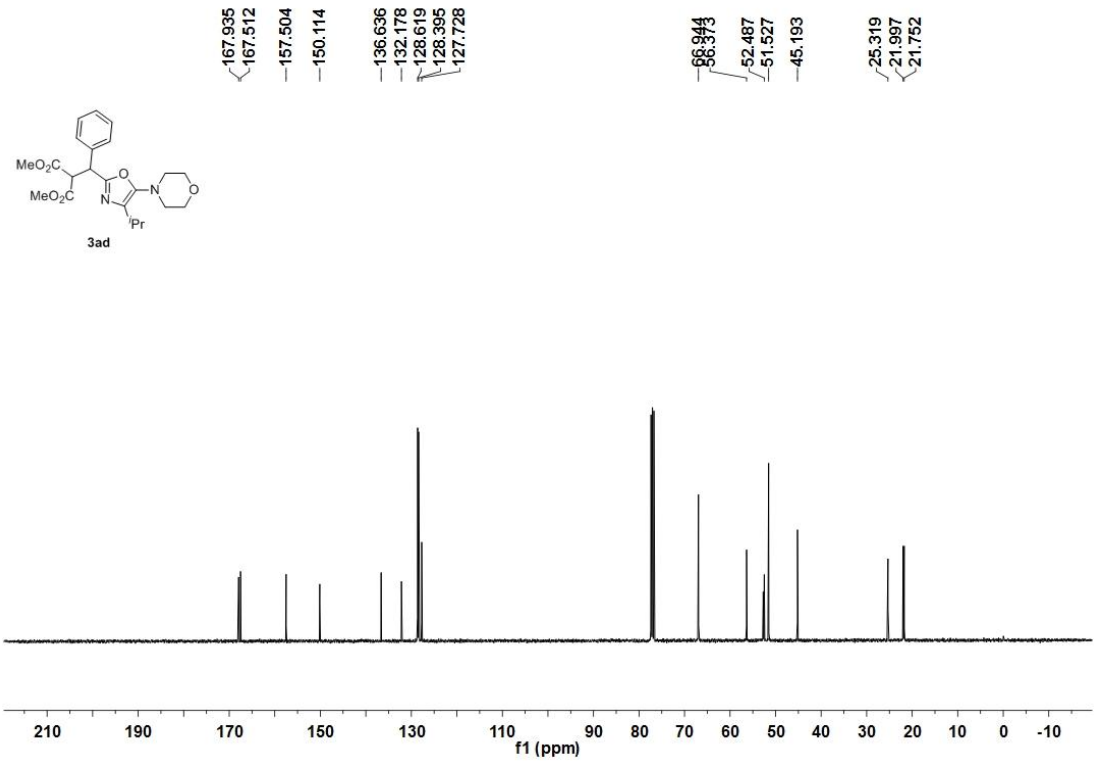
7.295
7.285
7.269
7.261
7.254
7.250
7.240
7.228
4.748
4.718
4.398
4.369
3.725
3.693
3.473
2.914
2.859
2.842
2.825
2.808
2.790
1.194
1.177
1.171
1.153
MeO2C
MeO2C
iPr
3ad
5.47
1.00
0.99
7.12
3.04
3.98
1.03
6.11
f1 (ppm)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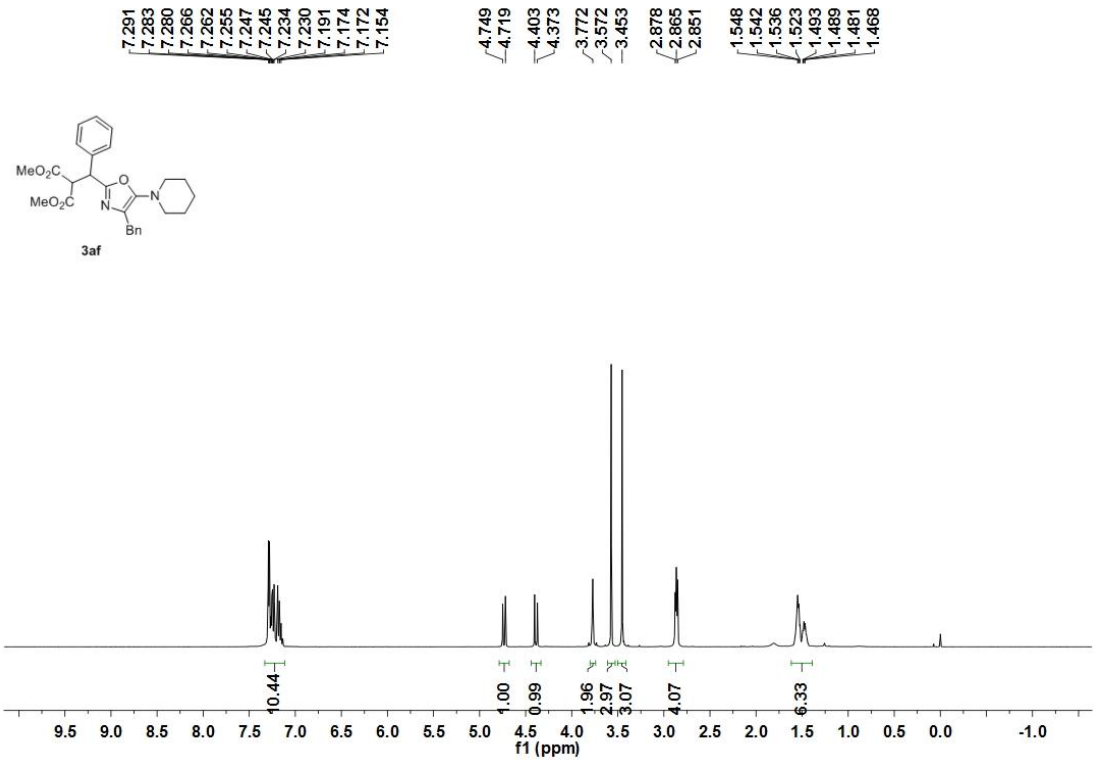
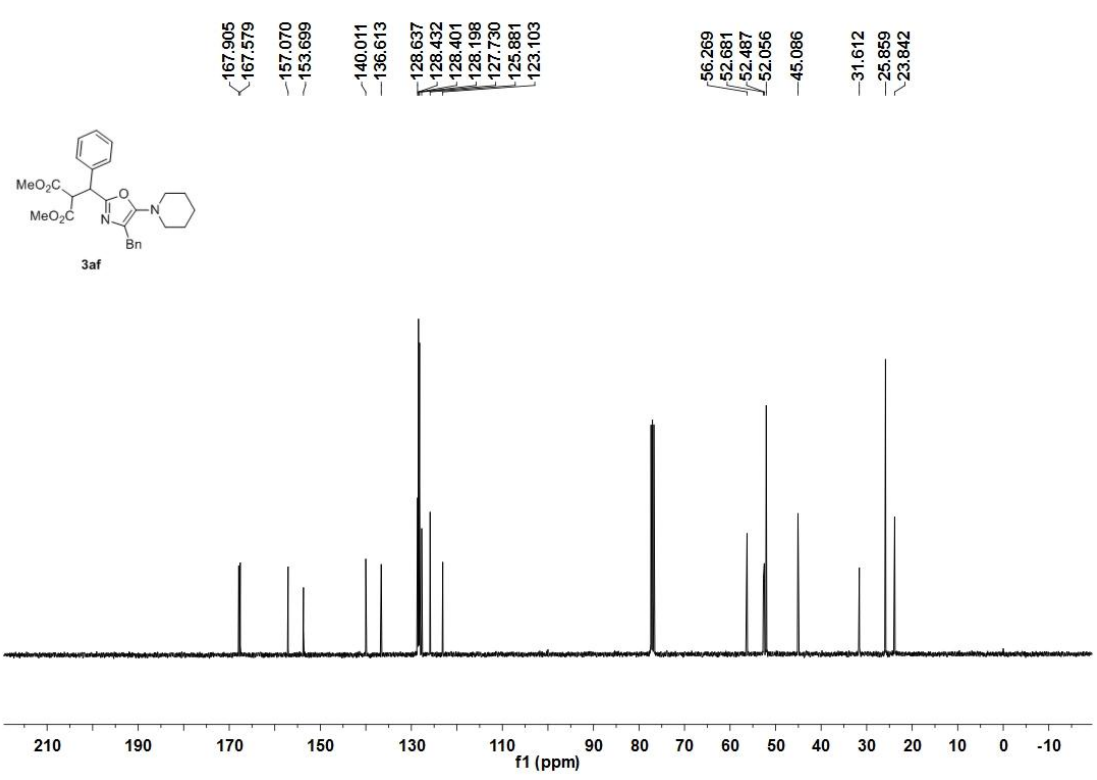
167.512
157.504
150.114
136.636
132.178
128.619
128.395
127.728
66.944
66.373
52.487
51.527
45.193
25.319
21.997
21.752
MeO2C
MeO2C
iPr
3ad
f1 (ppm)


7.291
7.283
7.280
7.266
7.262
7.255
7.247
7.245
7.234
7.230
7.191
7.174
7.172
7.154
4.749
4.719
4.403
4.373
3.772
3.572
3.453
2.878
2.865
2.851
1.548
1.542
1.536
1.523
1.493
1.489
1.481
1.468
MeO2C
MeO2C
O
N
N
Bn
3af
10.44
1.00
0.99
1.96
2.97
3.07
4.07
6.33
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 -1.0
f1 (ppm)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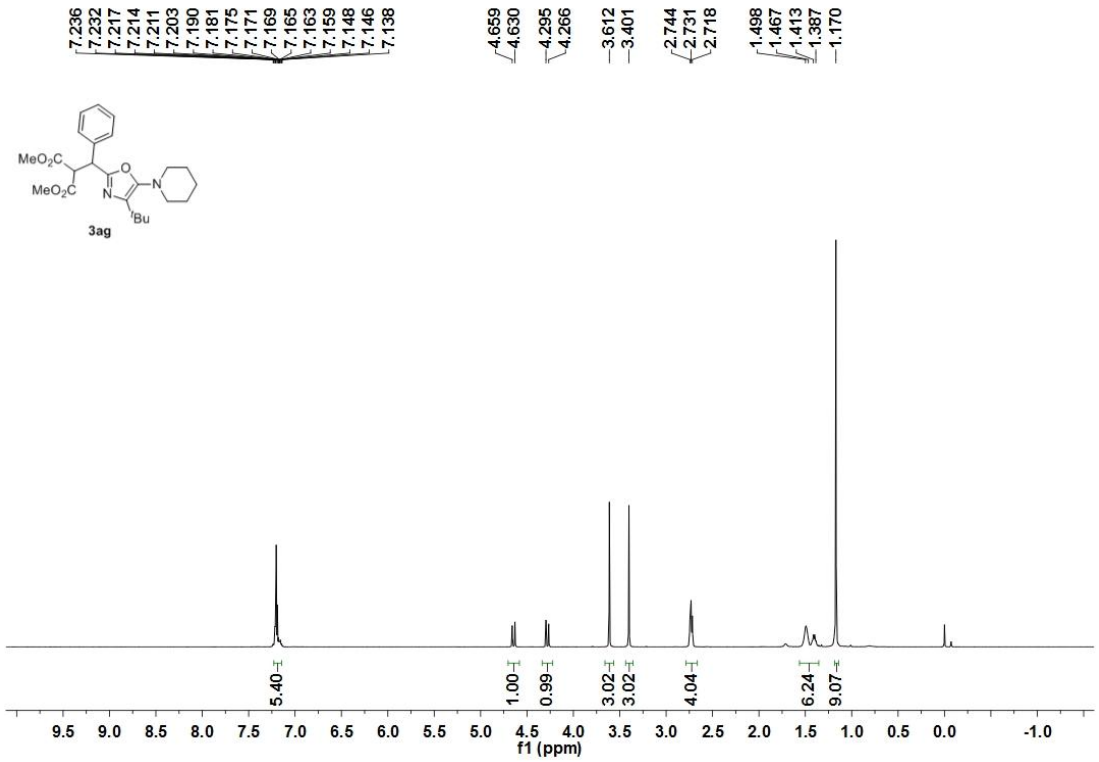
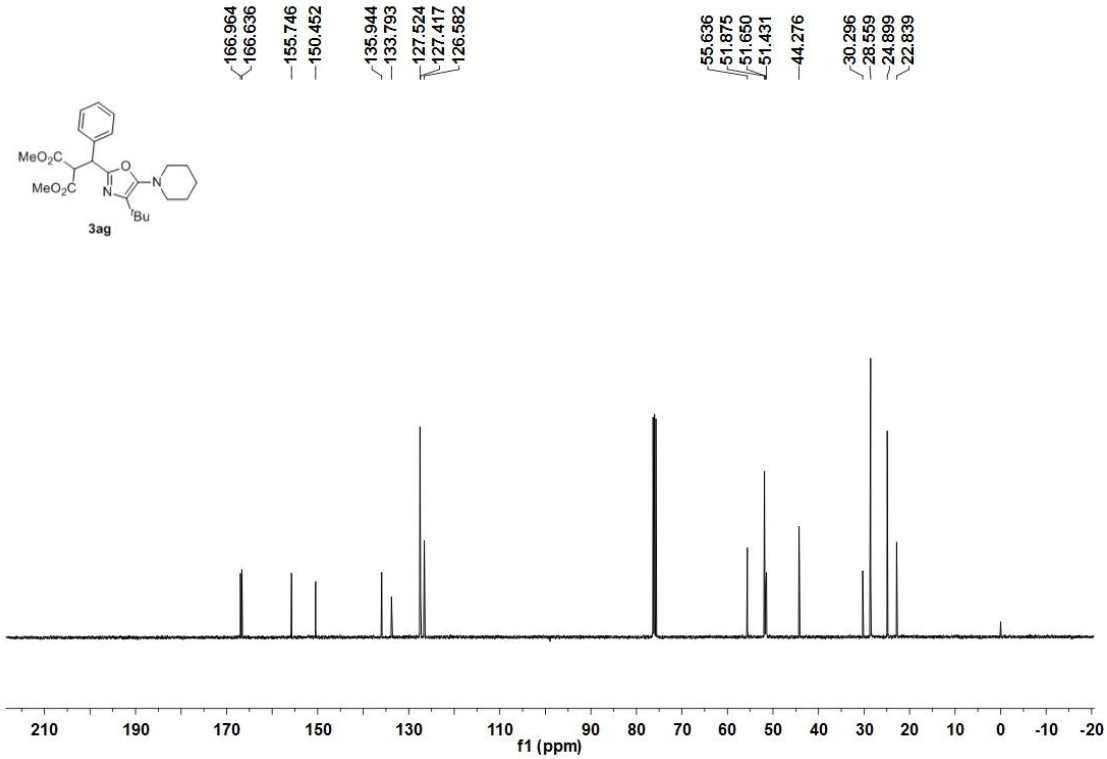
167.579
157.070
153.699
140.011
136.613
128.637
128.432
128.401
128.198
127.730
125.881
123.103
56.269
52.681
52.487
52.056
45.086
31.612
25.859
23.842
MeO2C
MeO2C
O
N
N
Bn
3af
210 190 170 150 130 110 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)


7.236
7.232
7.217
7.214
7.211
7.203
7.190
7.181
7.175
7.171
7.169
7.165
7.163
7.159
7.148
7.146
7.138
4.659
4.630
4.295
4.266
3.612
3.401
2.744
2.731
2.718
1.498
1.467
1.413
1.387
1.170
MeO2C
MeO2C
tBu
3ag
5.40
1.00
0.99
3.02
3.02
4.04
6.24
9.07
f1 (ppm)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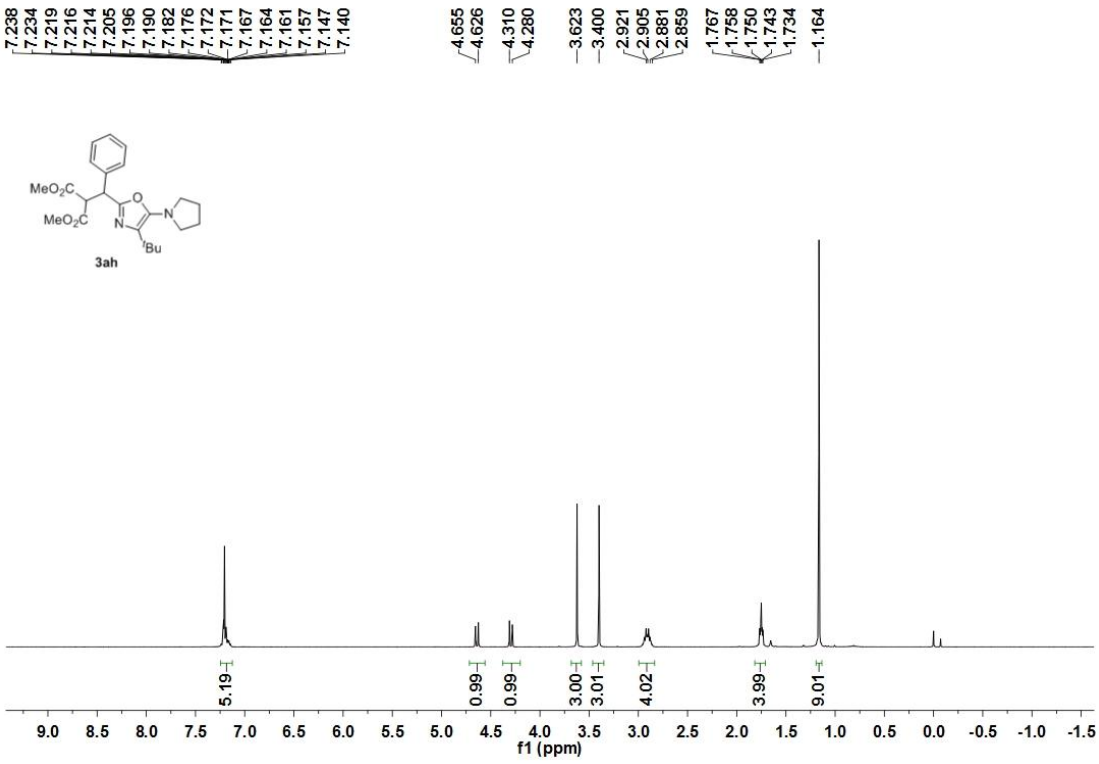
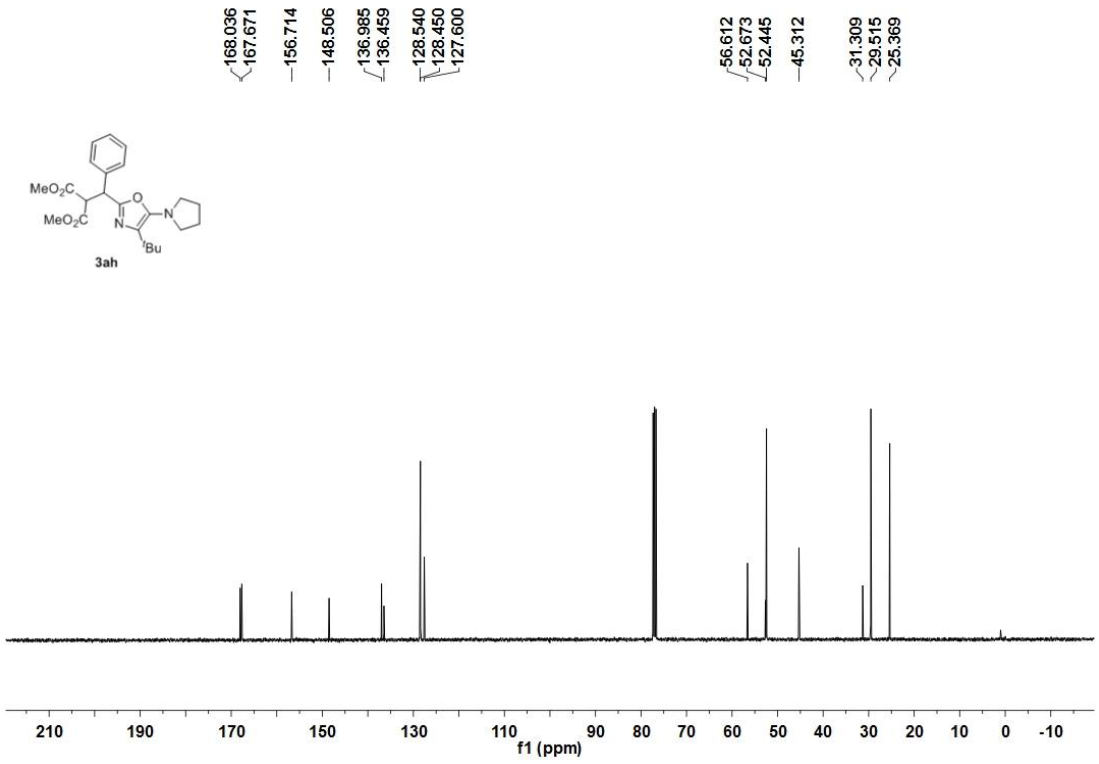
166.636
155.746
150.452
135.944
133.793
127.524
127.417
126.582
55.636
51.875
51.650
51.431
44.276
30.296
28.559
24.899
22.839
MeO2C
MeO2C
tBu
3ag
f1 (ppm)


7.238
7.234
7.219
7.216
7.214
7.205
7.196
7.190
7.182
7.176
7.172
7.171
7.167
7.164
7.161
7.157
7.147
7.140
4.655
4.626
4.310
4.280
3.623
3.400
2.921
2.905
2.881
2.859
1.767
1.758
1.750
1.743
1.734
1.164
MeO2C
MeO2C
O
N
N
tBu
3ah
5.19
0.99
0.99
3.00
3.01
4.02
3.99
9.01
f1 (ppm)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167.671
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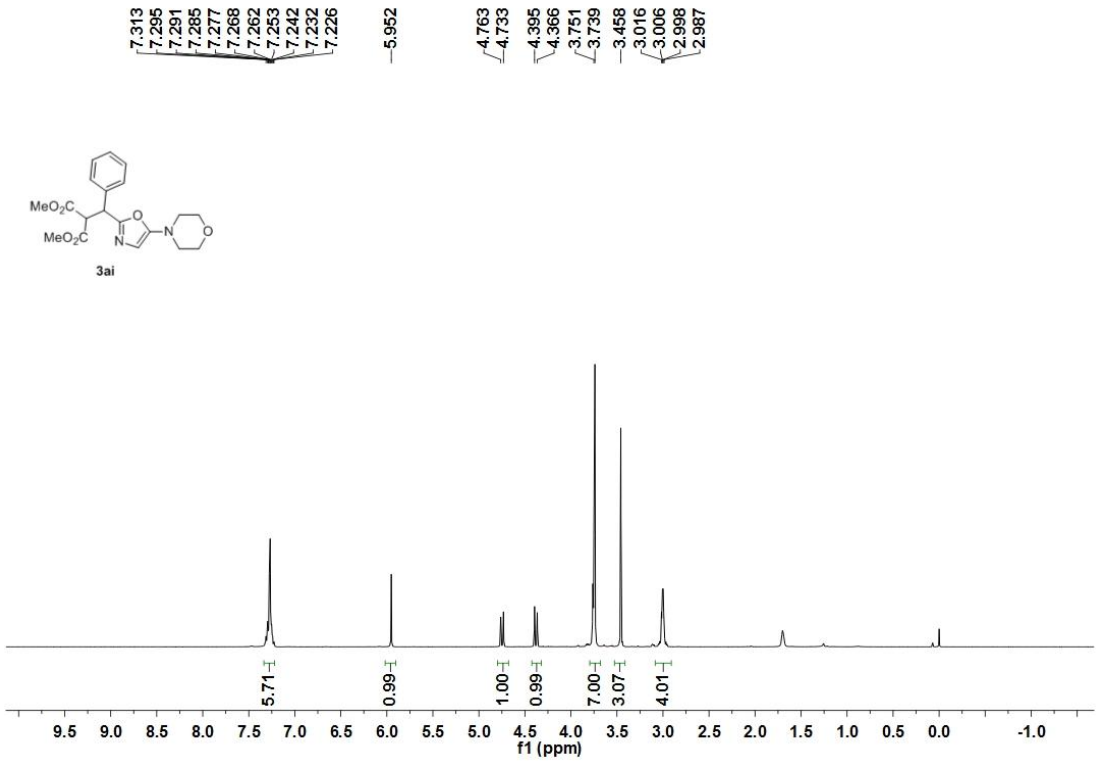
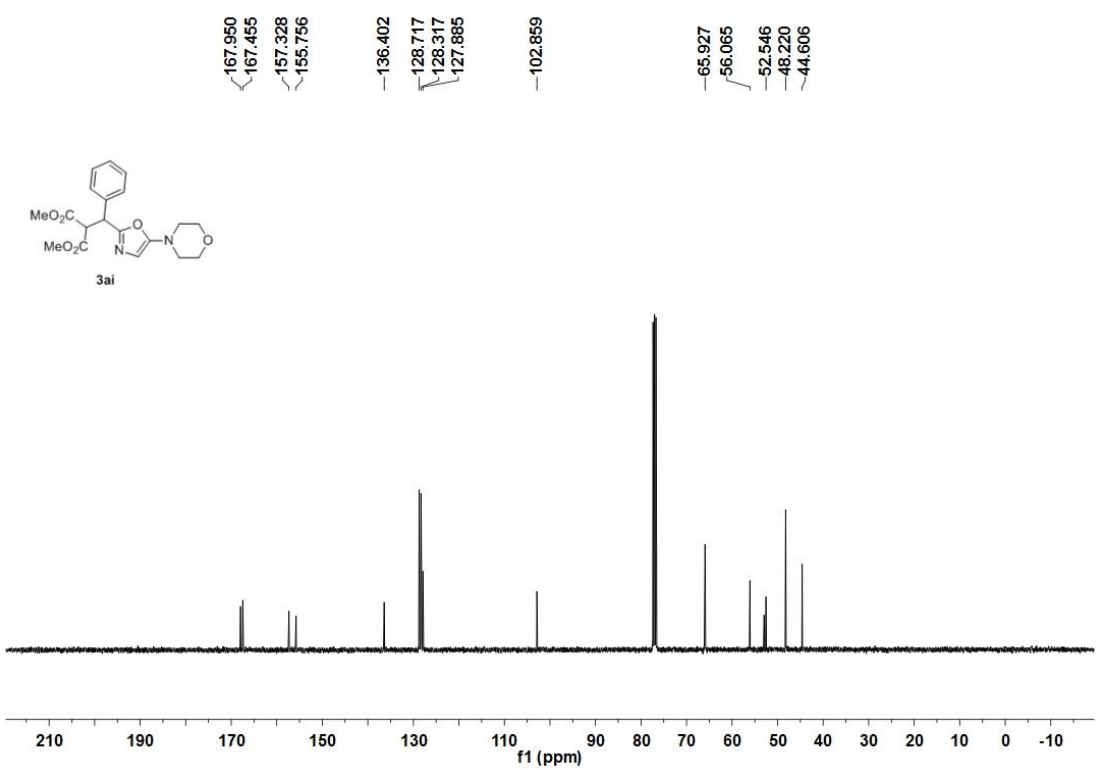
148.506
136.985
136.459
128.540
128.450
127.600
56.612
52.673
52.445
45.312
31.309
29.515
25.369
MeO2C
MeO2C
O
N
N
tBu
3ah
f1 (ppm)


7.313
7.295
7.291
7.285
7.277
7.268
7.262
7.253
7.242
7.232
7.226
5.952
4.763
4.733
4.395
4.366
3.751
3.739
3.458
3.016
3.006
2.998
2.987
MeO2C
MeO2C
3ai
5.71
0.99
1.00
0.99
7.00
3.07
4.01
f1 (ppm)


167.950
167.455
157.328
155.756
136.402
128.717
128.317
127.885
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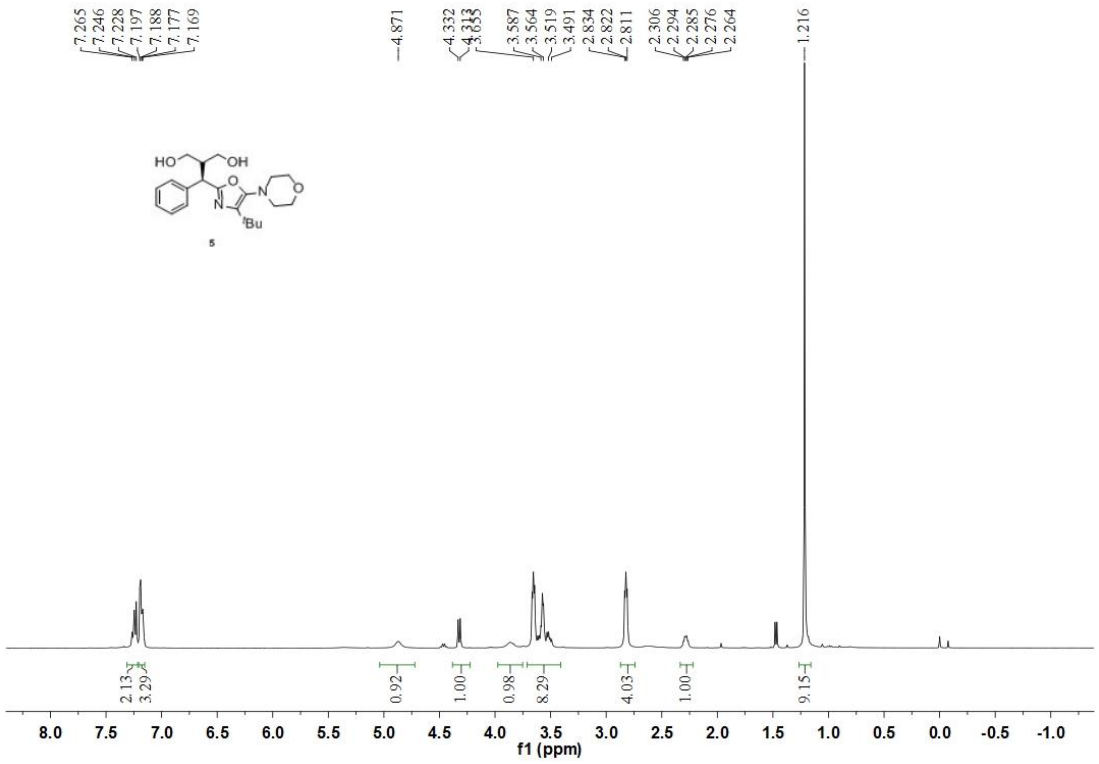
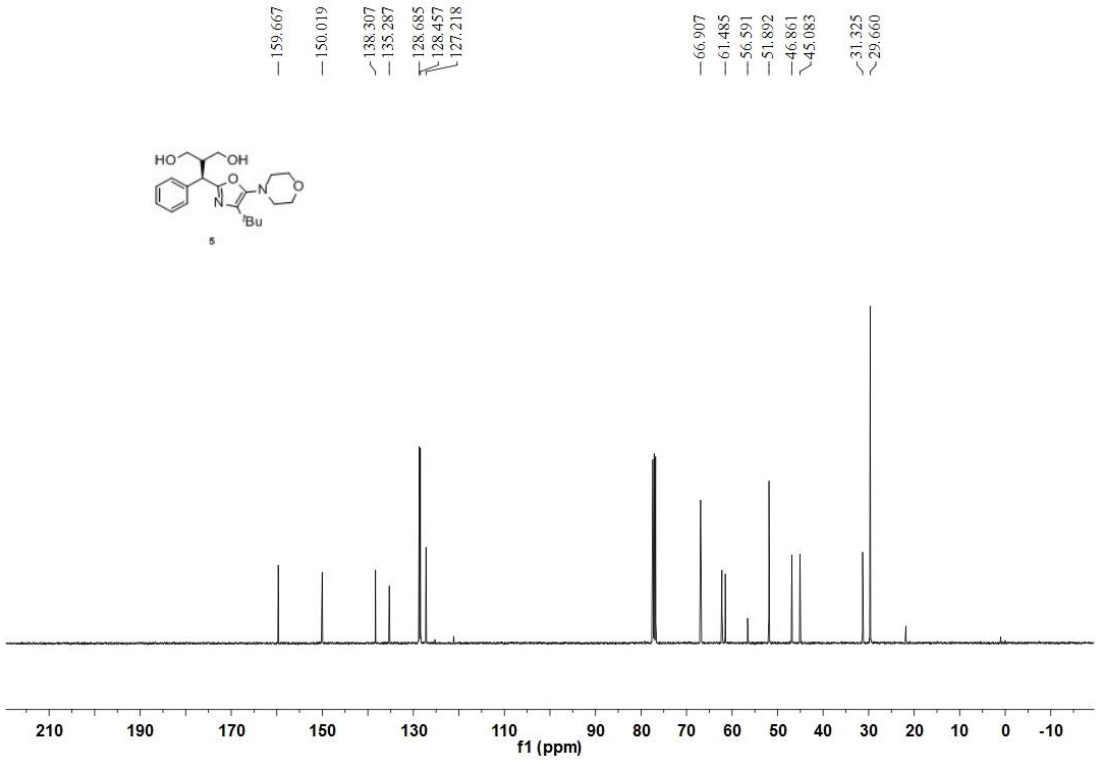
65.927
56.065
52.546
48.220
44.606
MeO2C
MeO2C
3ai
f1 (ppm)


7.265
7.246
7.228
7.197
7.188
7.177
7.169
4.871
4.332
4.313
3.653
3.587
3.564
3.519
3.491
2.834
2.822
2.811
2.306
2.294
2.285
2.276
2.264
1.216
HO
OH
N
O
tBu
5
2.13
3.29
0.92
1.00
0.98
8.29
4.03
1.00
9.15
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 -0.5 -1.0
f1 (ppm)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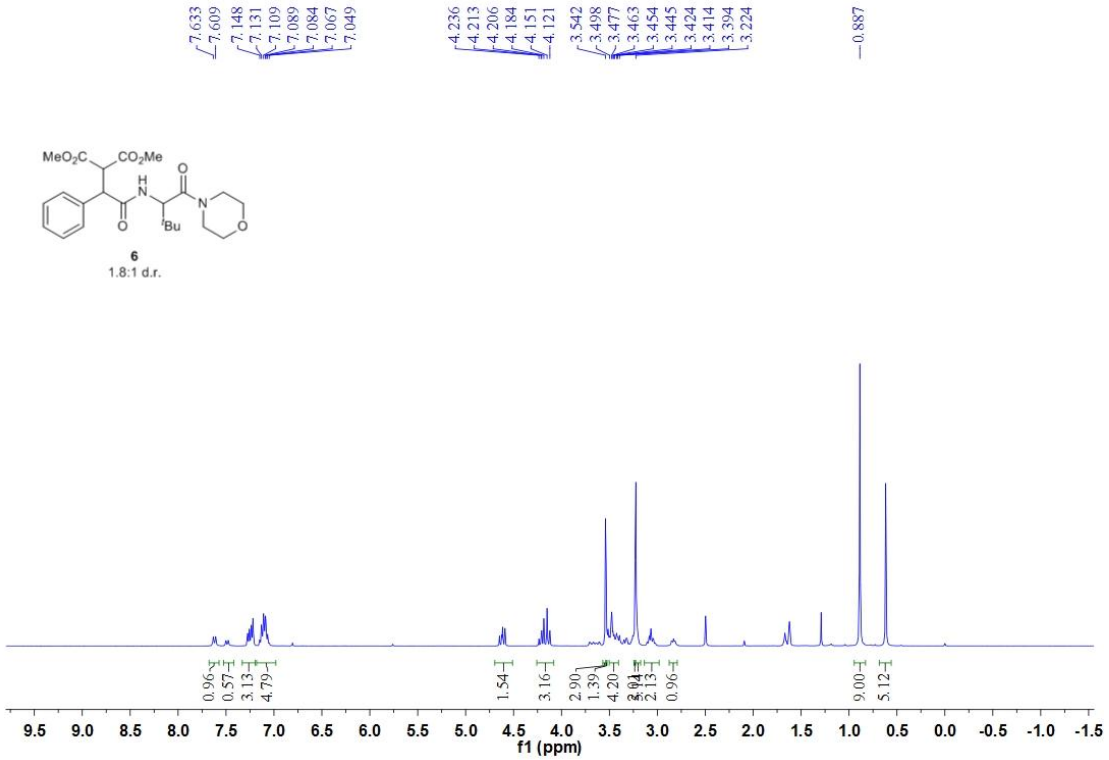
138.307
135.287
128.685
128.457
127.218
66.907
61.485
56.591
51.892
46.861
45.083
31.325
29.660
HO
OH
N
O
tBu
5
210 190 170 150 130 110 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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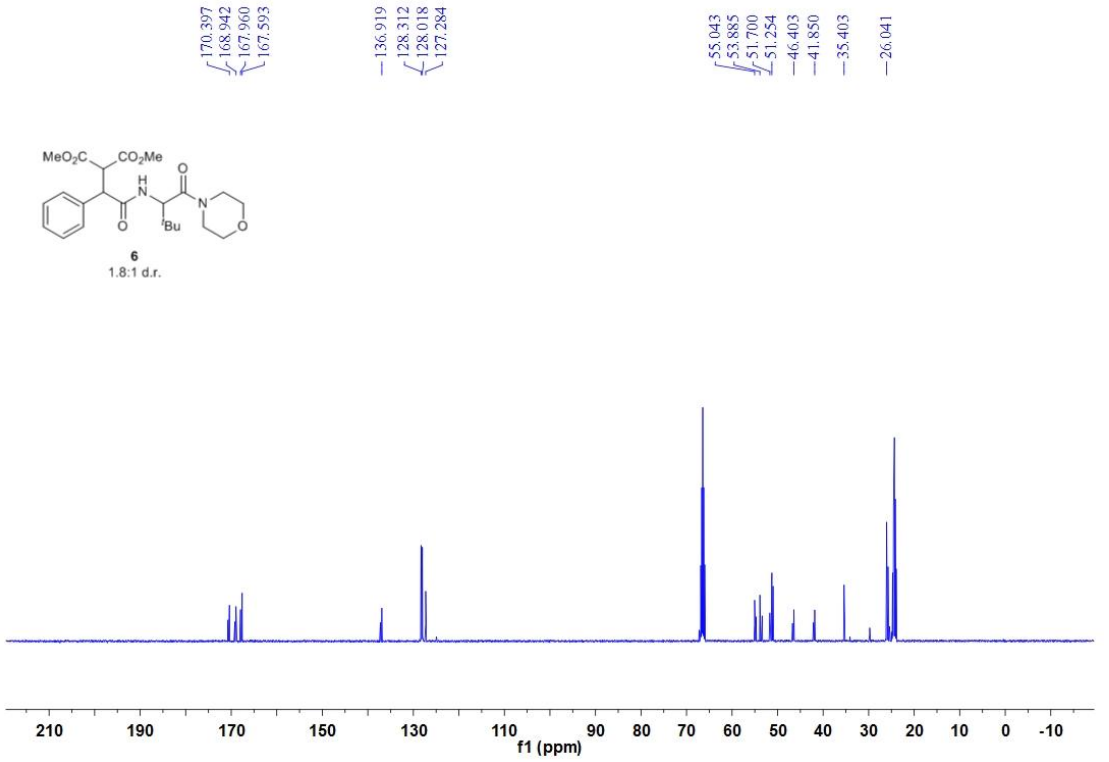
7.148
7.131
7.109
7.089
7.084
7.067
7.049
4.236
4.213
4.206
4.184
4.151
4.121
3.542
3.498
3.477
3.463
3.454
3.445
3.424
3.414
3.394
3.224
0.887
MeO2C
CO2Me
H
N
O
O
N
O
tBu
6
1.8:1 d.r.
0.96
0.57
3.13
4.79
1.54
3.16
2.90
1.39
4.20
2.04
2.13
0.96
9.00
5.12
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.0 -1.5
f1 (ppm)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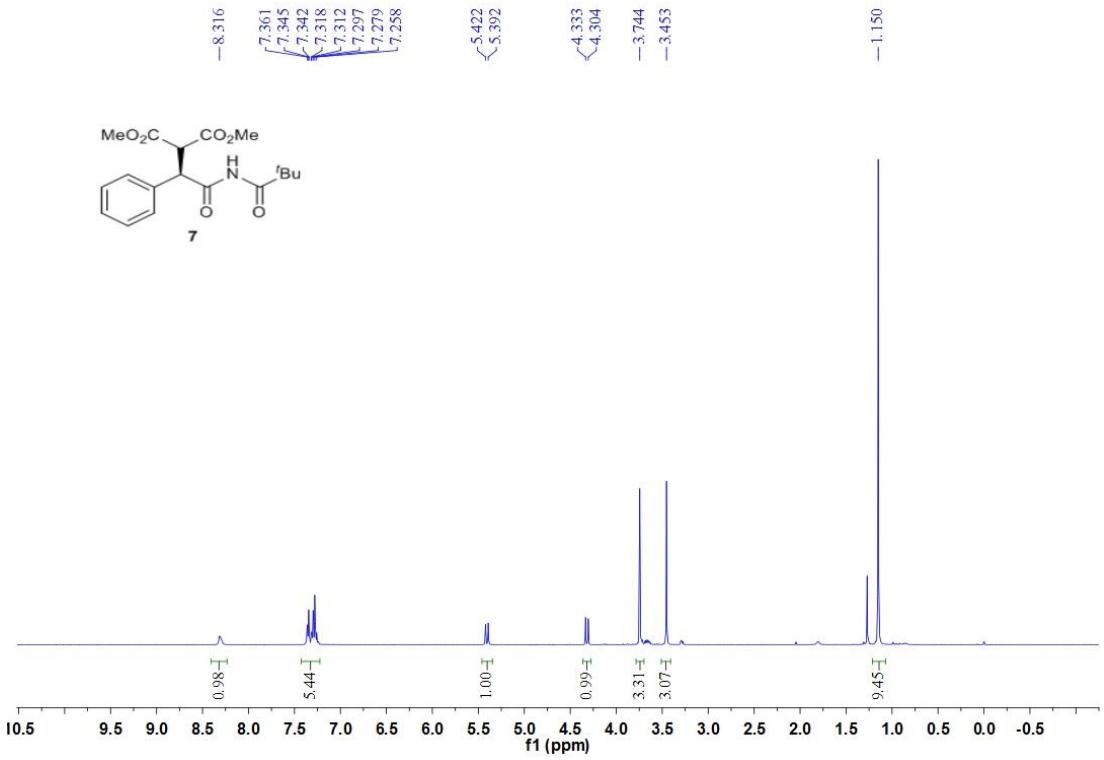
167.960
167.593
136.919
128.312
128.018
127.284
55.043
53.885
51.700
51.254
46.403
41.850
35.403
26.041
MeO2C
CO2Me
H
N
O
O
N
O
tBu
6
1.8:1 d.r.
210 190 170 150 130 110 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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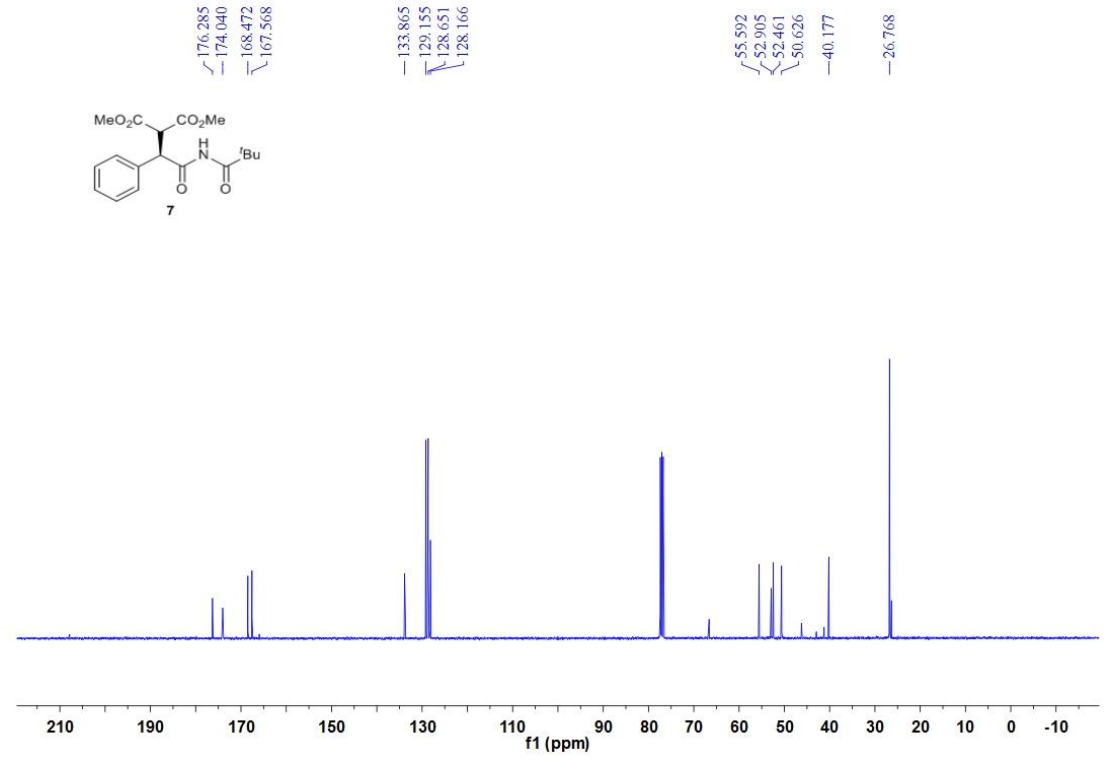
7.318
7.312
7.297
7.279
7.258
5.422
5.392
4.333
4.304
3.744
3.453
1.150
MeO2C
CO2Me
H
N
tBu
O
O
7
0.98
5.44
1.00
0.99
3.31
3.07
9.45
f1 (ppm)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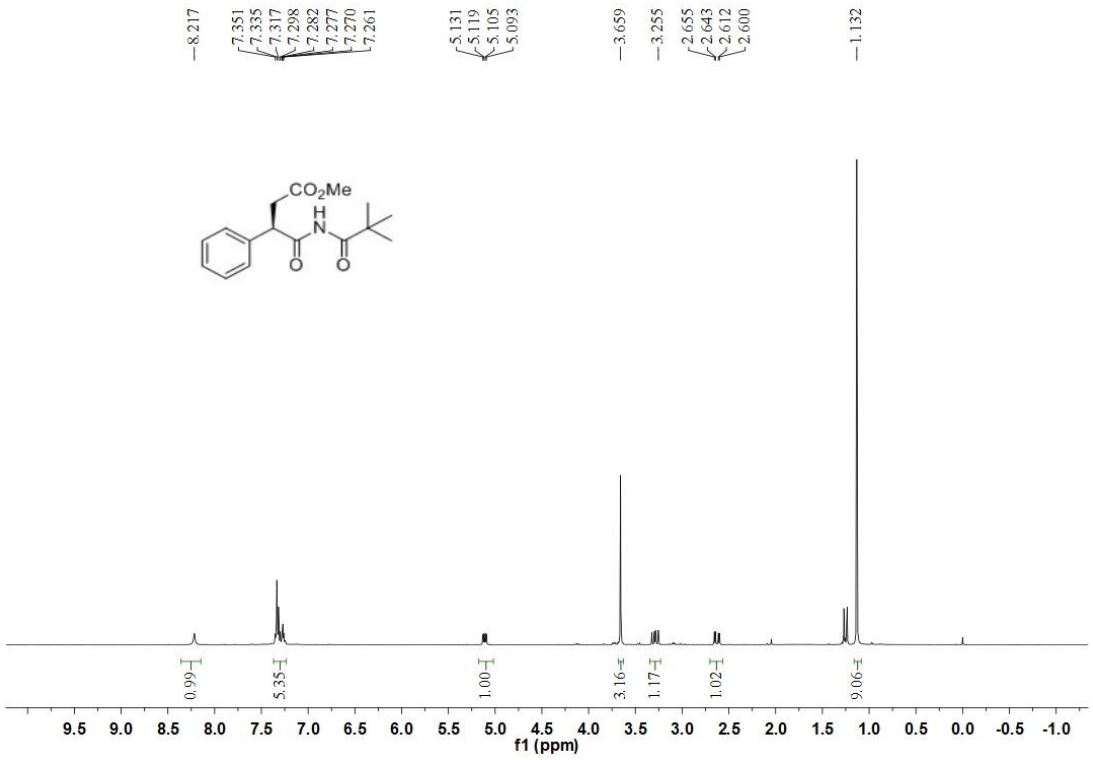
174.040
168.472
167.568
133.865
129.155
128.651
128.166
55.592
52.905
52.461
50.626
40.177
26.768
MeO2C
CO2Me
H
N
tBu
O
O
7
f1 (ppm)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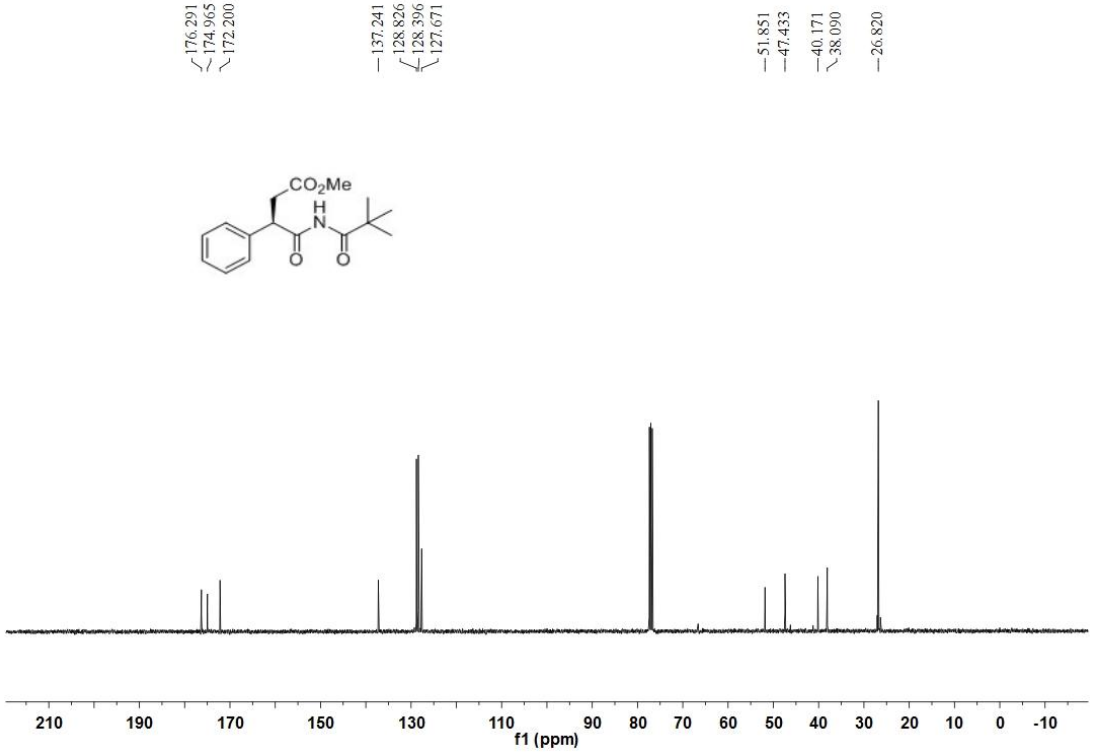
7.335
7.317
7.298
7.282
7.277
7.270
7.261
5.131
5.119
5.105
5.093
3.659
3.255
2.655
2.643
2.612
2.600
1.132
CO2Me
H
N
O
O
0.99
5.35
1.00
3.16
1.17
1.02
9.06
f1 (ppm)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174.965
172.200
137.241
128.826
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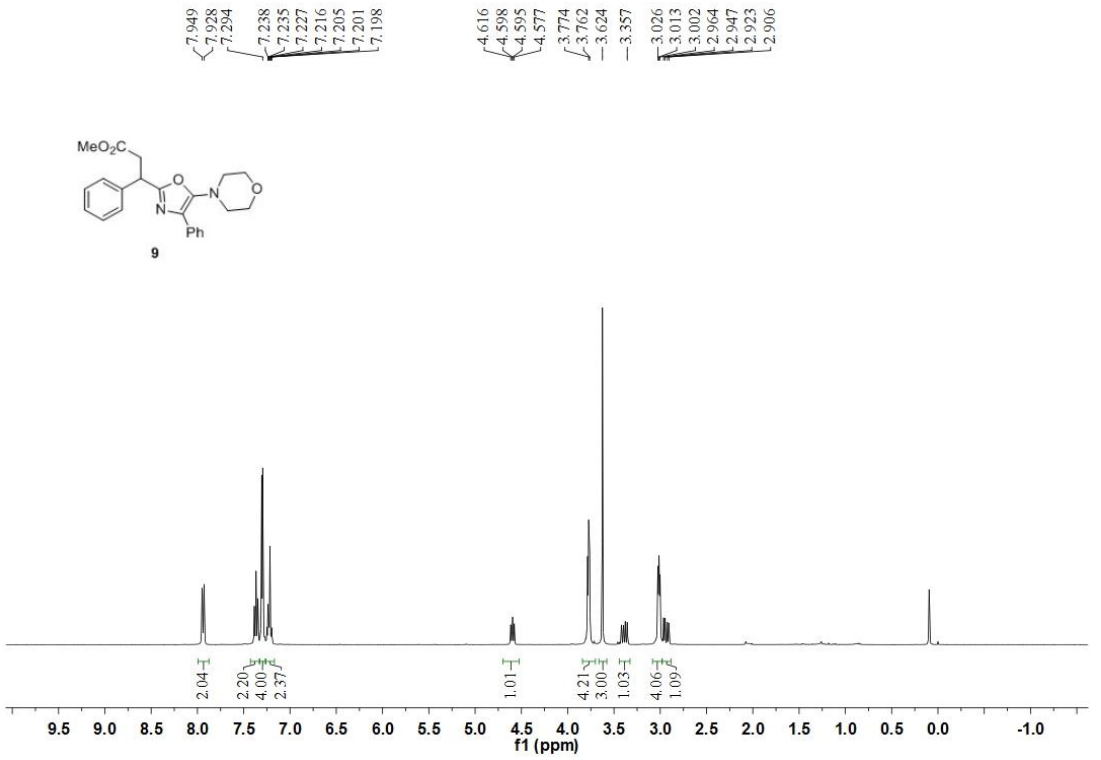
51.851
47.433
40.171
38.090
26.820
CO2Me
H
N
O
O
f1 (ppm)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7.238
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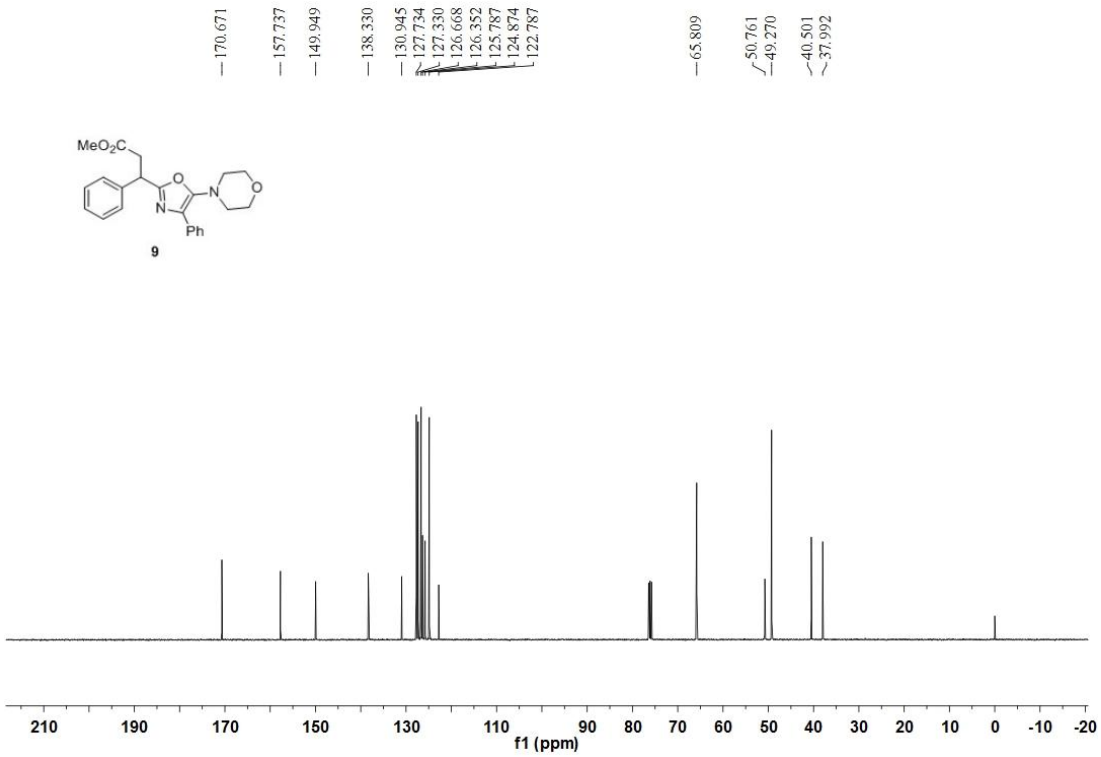
7.216
7.205
7.201
7.198
4.616
4.598
4.595
4.577
3.774
3.762
3.624
3.357
3.026
3.013
3.002
2.964
2.947
2.923
2.906
MeO2C
O
N
O
Ph
9
2.04
2.20
4.00
2.37
1.01
4.21
3.00
1.03
4.06
1.09
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -1.0
f1 (ppm)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149.949
138.330
130.945
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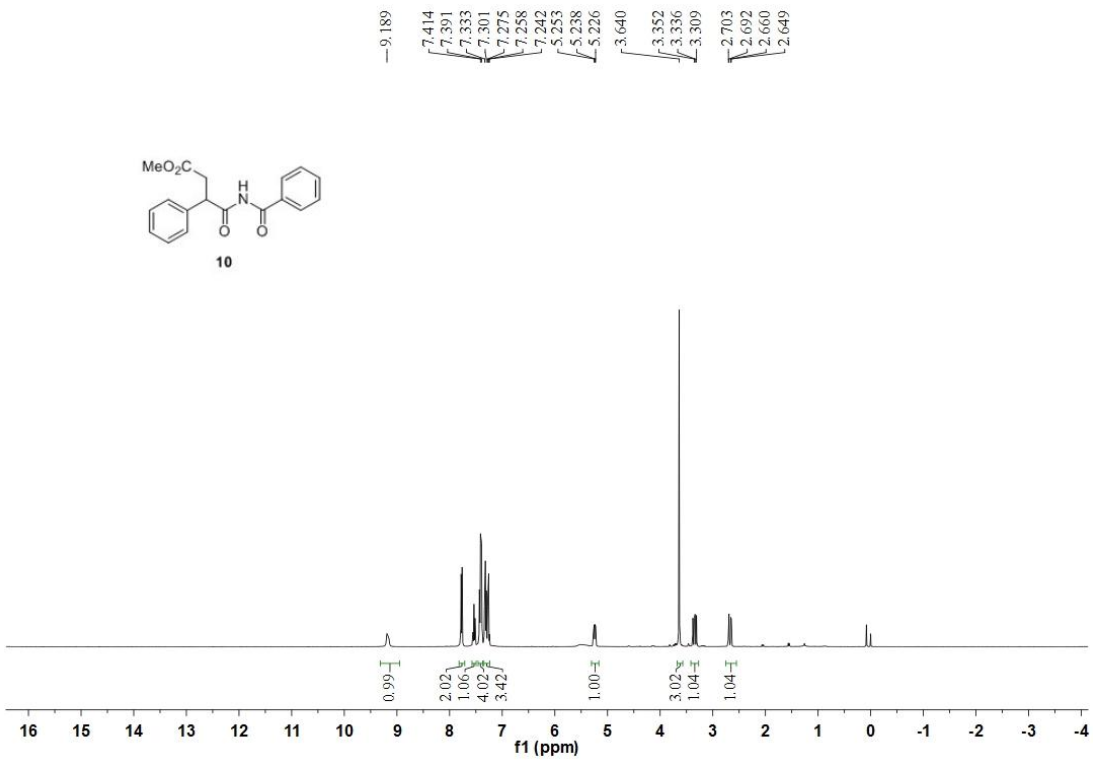
127.330
126.668
126.352
125.787
124.874
122.787
65.809
50.761
49.270
40.501
37.992
MeO2C
O
N
O
Ph
9
210 190 170 150 130 110 90 80 70 60 50 40 30 20 10 0 -10 -20
f1 (ppm)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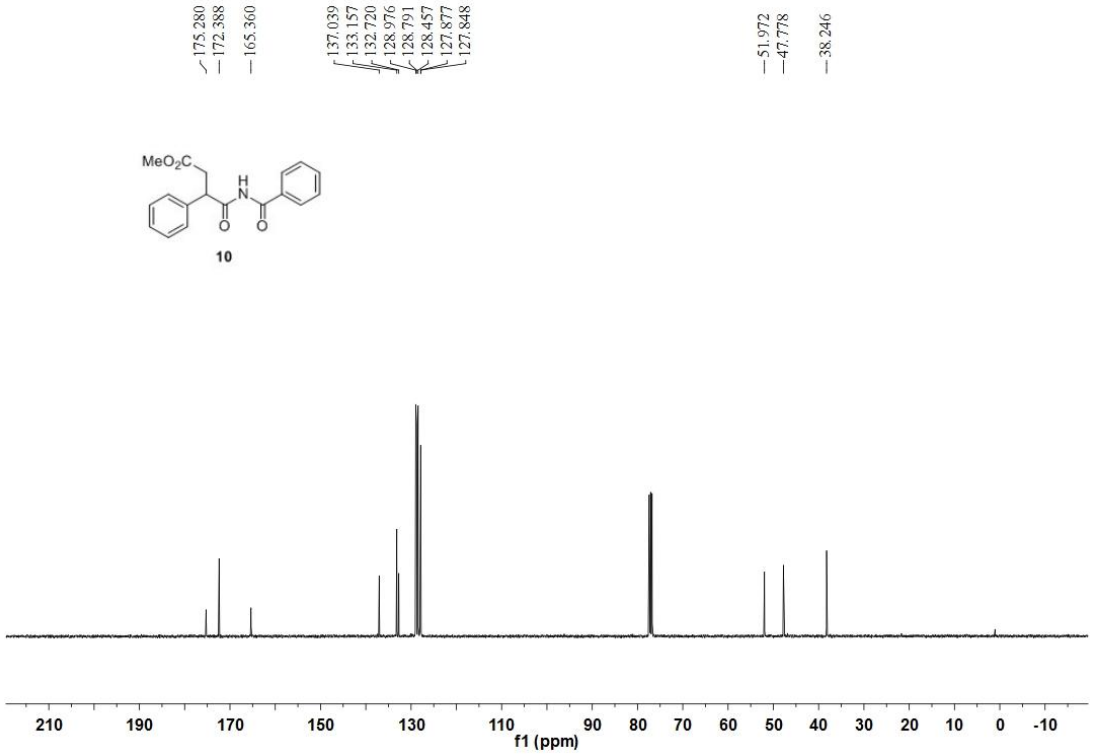
7.414
7.391
7.333
7.301
7.275
7.258
7.242
5.253
5.238
5.226
3.640
3.352
3.336
3.309
2.703
2.692
2.660
2.649
MeO2C
H
N
O
O
10
0.99
2.02
1.06
4.02
3.42
1.00
3.02
1.04
1.04
16 15 14 13 12 11 10 9 8 7 6 5 4 3 2 1 0 -1 -2 -3 -4
f1 (ppm)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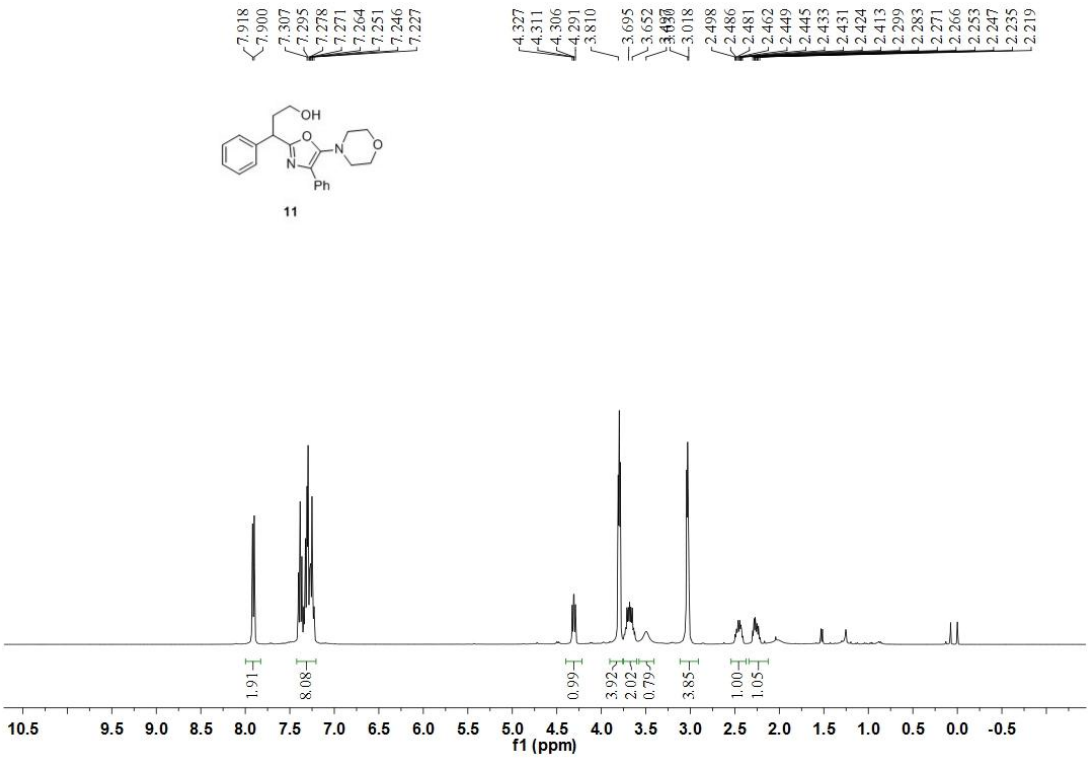
133.157
132.720
128.976
128.791
128.457
127.877
127.848
51.972
47.778
38.246
MeO2C
H
N
O
O
10
210 190 170 150 130 110 90 80 70 60 50 40 30 20 10 0 -10
f1 (ppm)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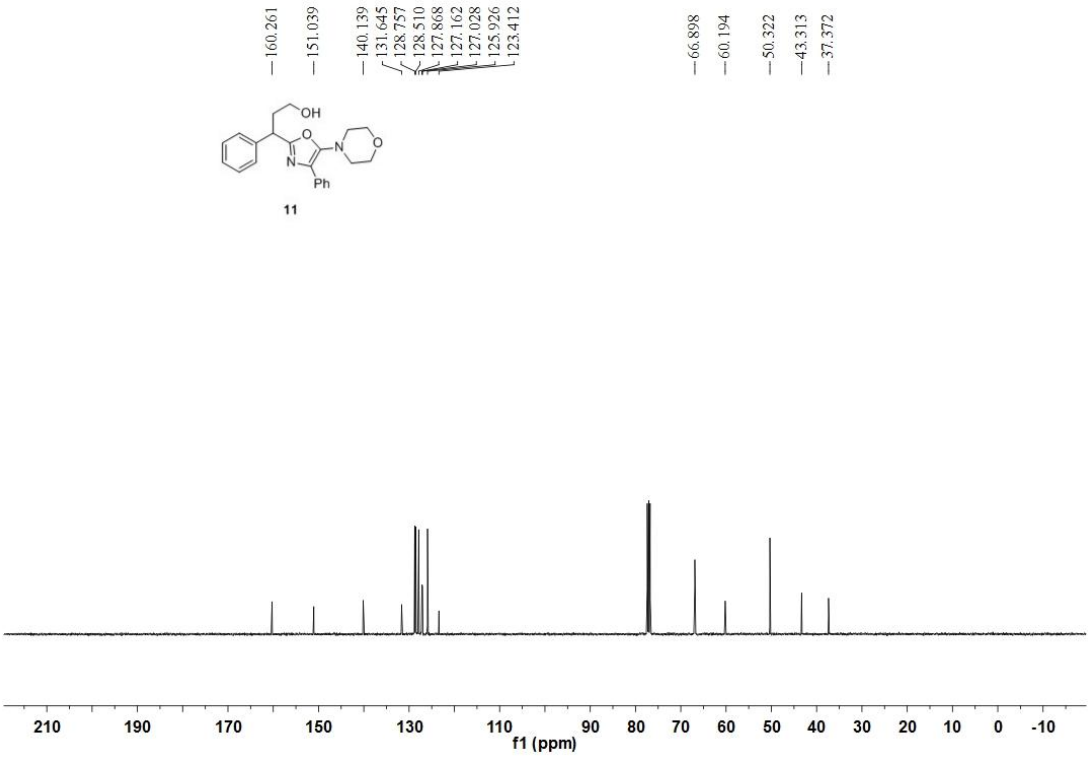
7.900
7.307
7.295
7.278
7.271
7.264
7.251
7.246
7.227
4.327
4.311
4.306
4.291
3.810
3.695
3.652
3.018
2.498
2.486
2.481
2.462
2.449
2.445
2.433
2.431
2.424
2.413
2.299
2.283
2.271
2.266
2.253
2.247
2.235
2.219
OH
O
N
O
Ph
11
1.91
8.08
0.99
3.92
2.02
0.79
3.85
1.00
1.05
10.5
9.5
9.0
8.5
8.0
7.5
7.0
6.5
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
-0.5
f1 (ppm)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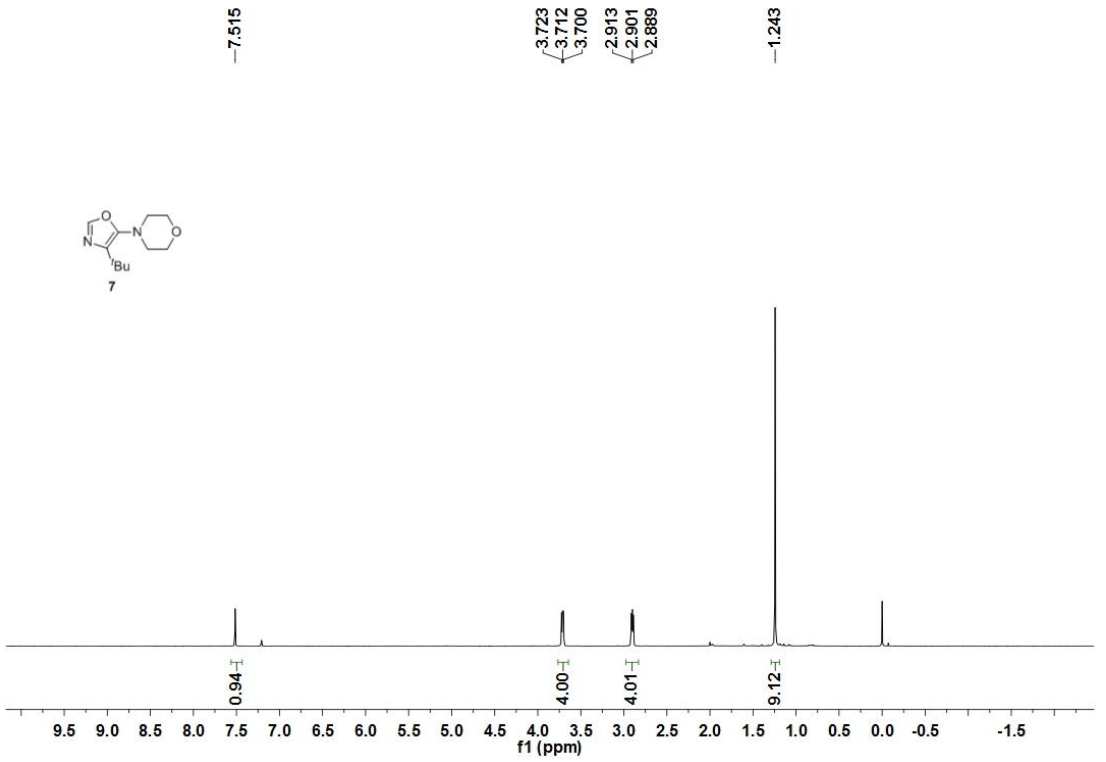
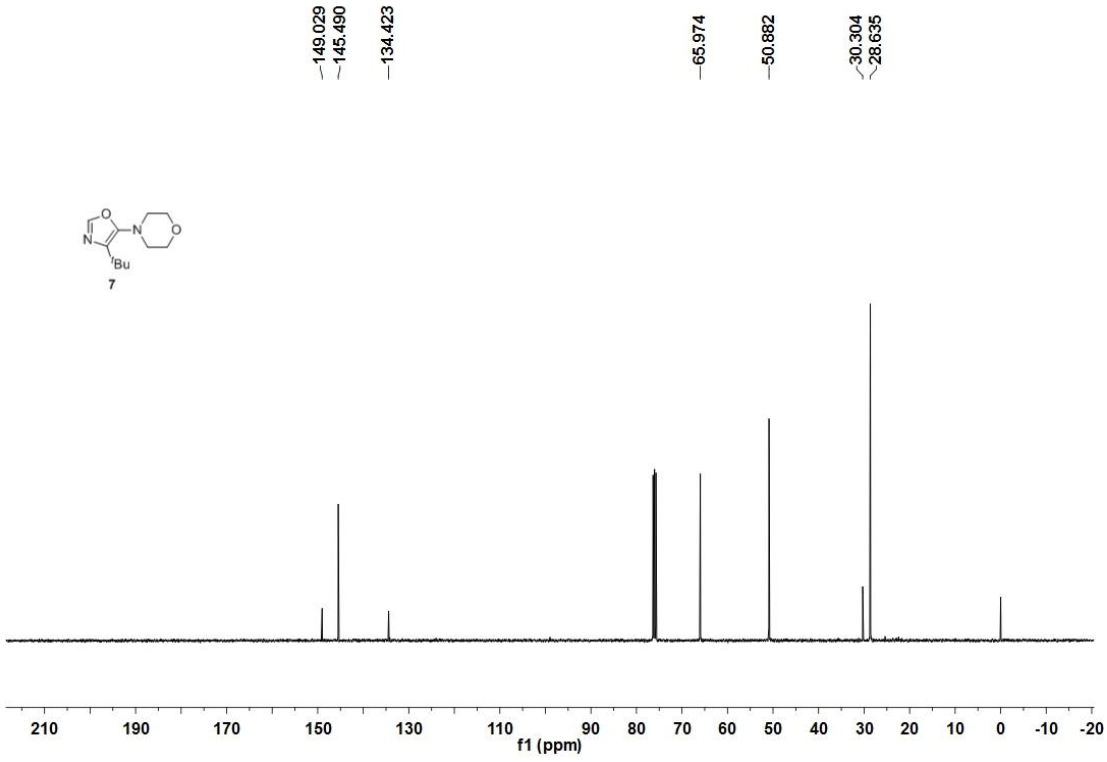
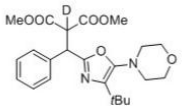
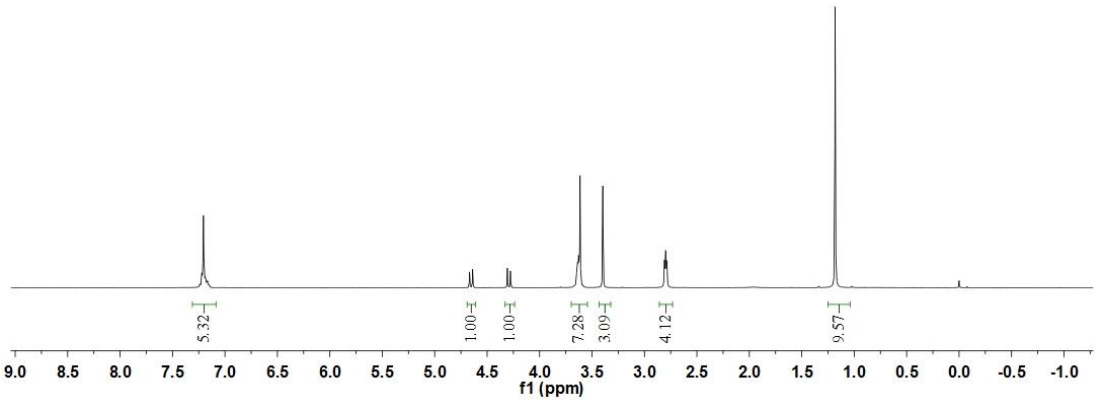
151.039
140.139
131.645
128.757
128.510
127.868
127.162
127.028
125.926
123.412
66.898
60.194
50.322
43.313
37.372
OH
O
N
O
Ph
11
210
190
170
150
130
110
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

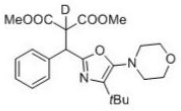

7.515
3.723
3.712
3.700
2.913
2.901
2.889
1.243
tBu
7
0.94
4.00
4.01
9.12
f1 (ppm)


149.029
145.490
134.423
65.974
50.882
30.304
28.635
tBu
7
f1 (ppm)

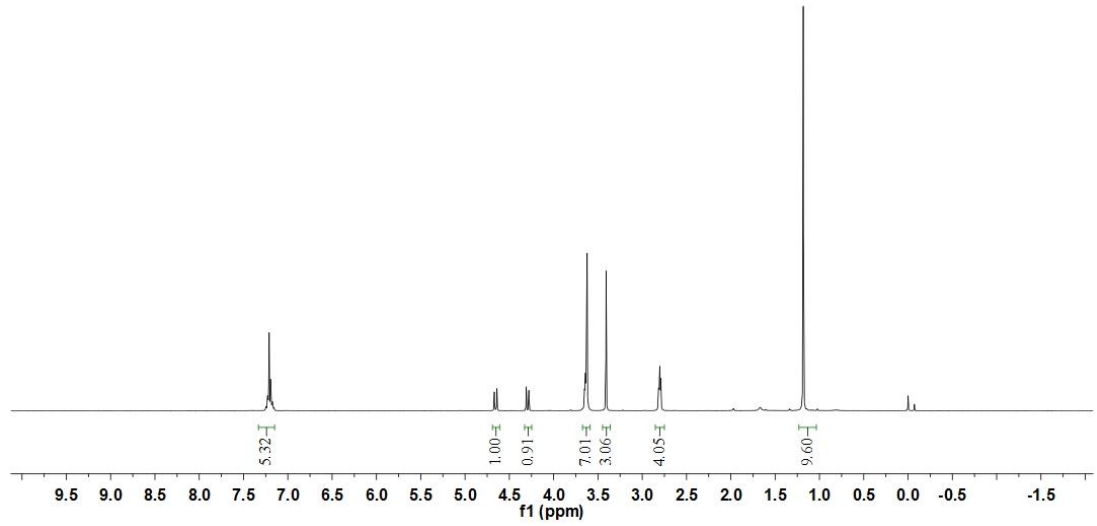

MeOOC
D
COOMe
O
N
O
N
tBu

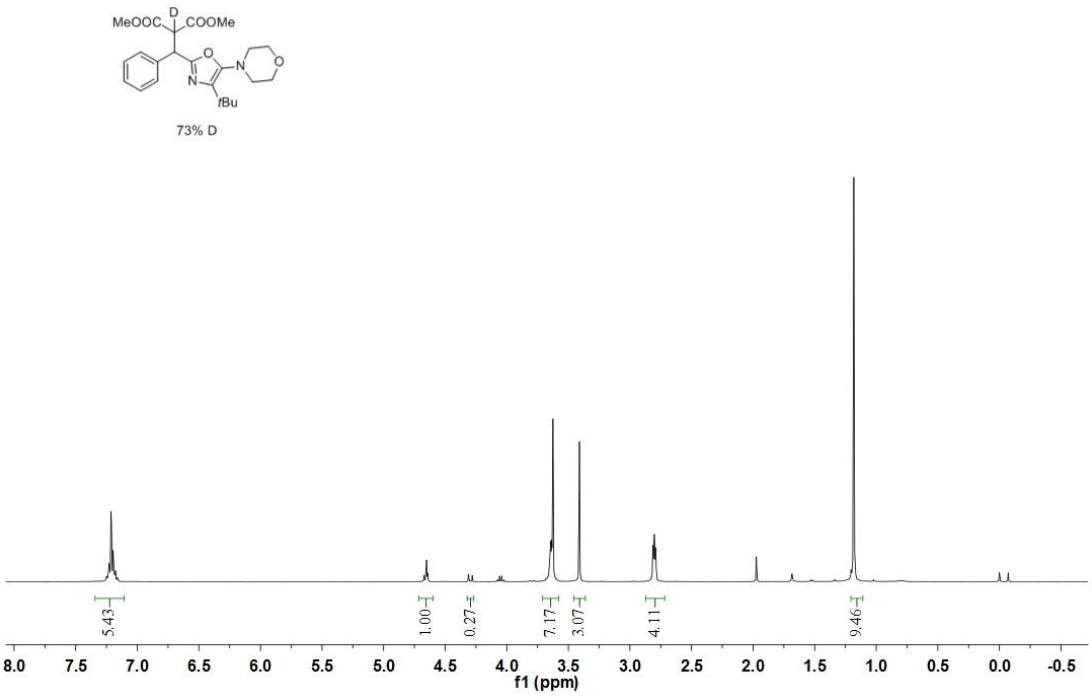
0% D


5.32
1.00
1.00
7.28
3.09
4.12
9.57
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 -0.5 -1.0
f1 (ppm)

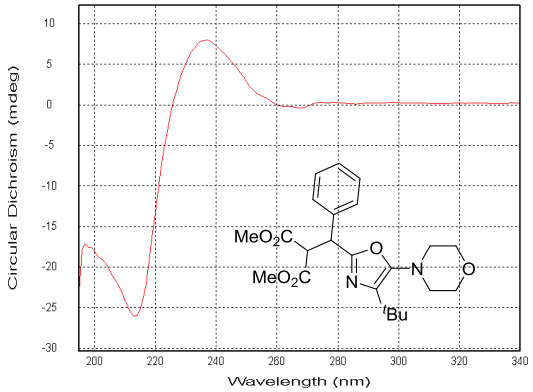

MeOOC
D
COOMe
O
N
O
N
tBu

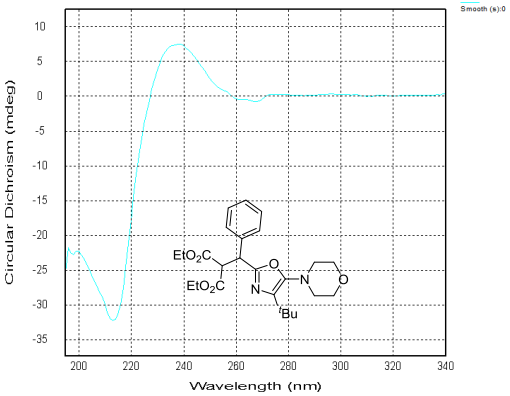
9% D

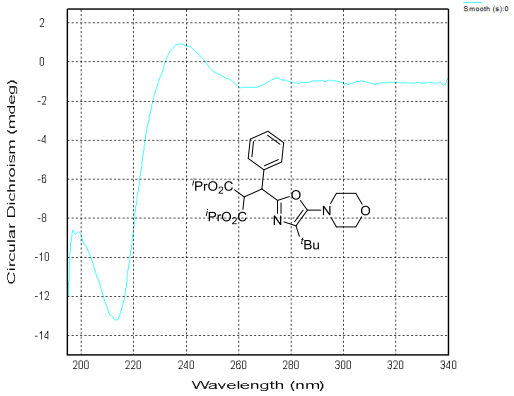

5.32
1.00
0.91
7.01
3.06
4.05
9.60
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 -1.5
f1 (ppm)

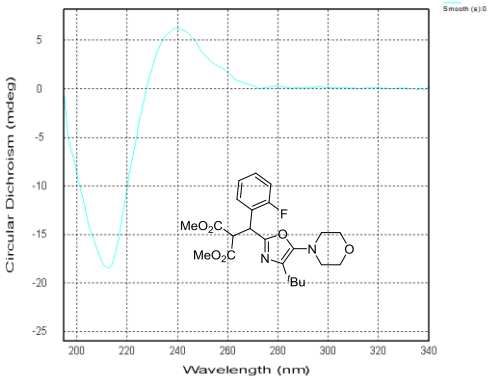

MeOOC
D
COOMe
O
N
N
O
tBu
73% D
5.43
1.00
0.27
7.17
3.07
4.11
9.46
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
-0.5
f1 (ppm)

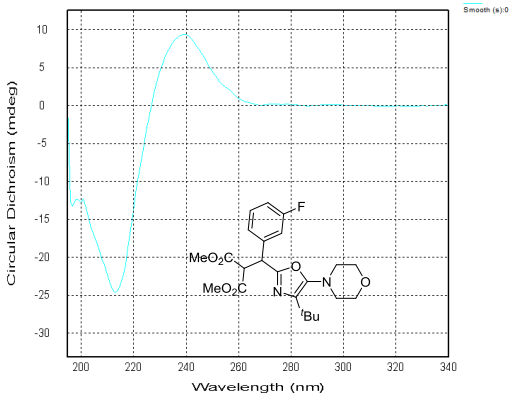
Copy of CD spectra

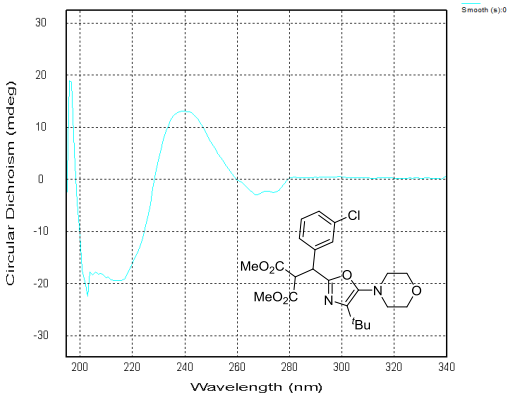


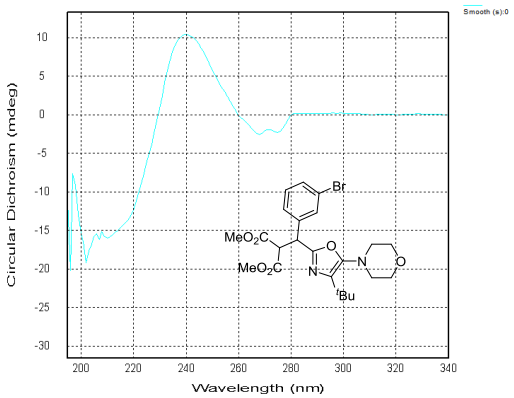


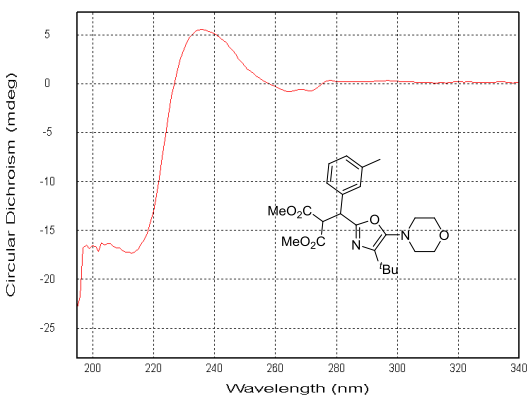


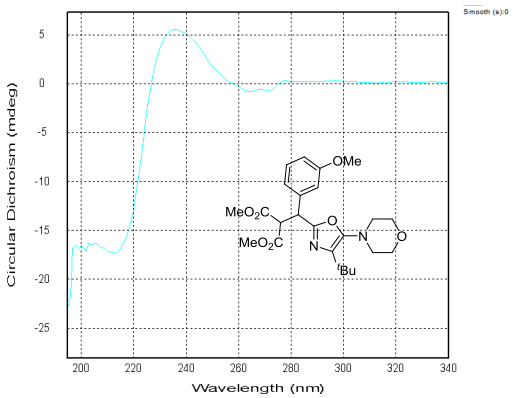


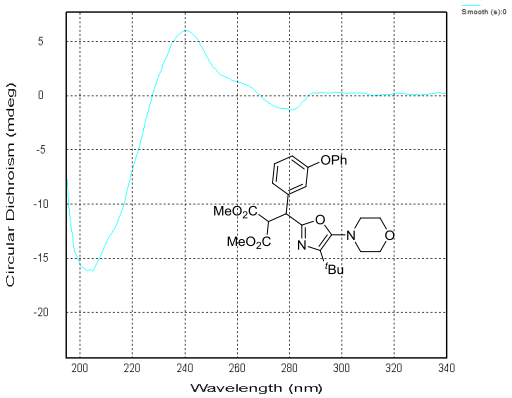


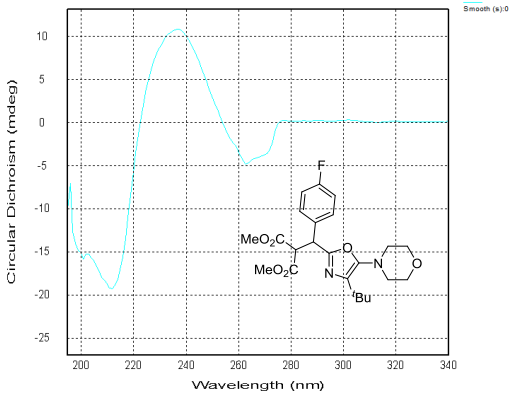







Smooth (s):0
Circular Dichroism (mdeg)
Wavelength (nm)
OMe
MeO2C
MeO2C
tBu


Smooth (s):0
Circular Dichroism (mdeg)
Wavelength (nm)
OPh
MeO2C
MeO2C
tBu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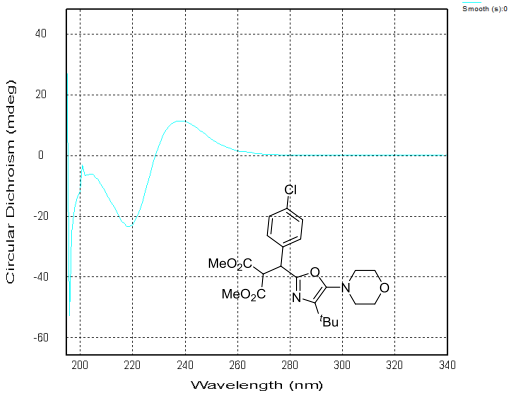
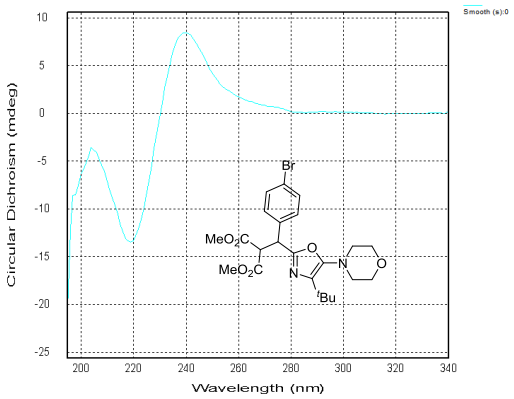
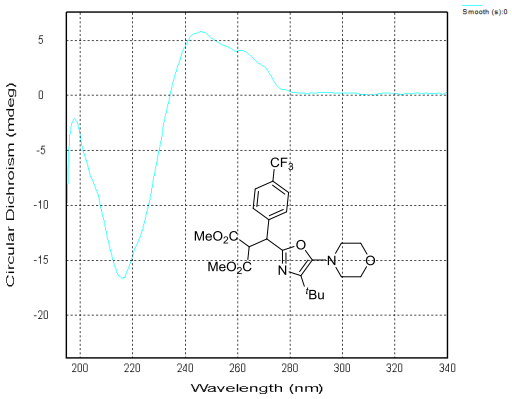
Circular Dichroism (mdeg)
Wavelength (nm)
F
MeO2C
MeO2C
tBu


Smooth (s):0
Circular Dichroism (mdeg)
Wavelength (nm)
Cl
MeO2C
MeO2C
tBu


Smooth (s):0
Circular Dichroism (mdeg)
Wavelength (nm)
Br
MeO2C
MeO2C
tBu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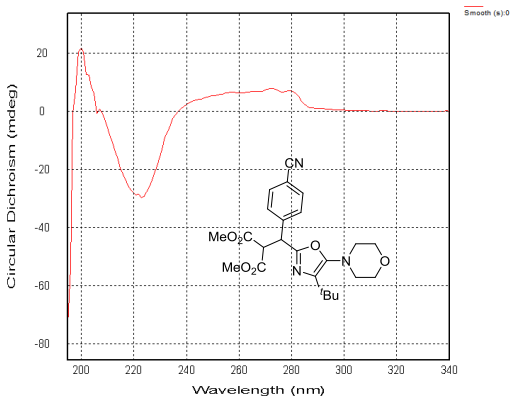
Circular Dichroism (mdeg)
Wavelength (nm)
CF3
MeO2C
MeO2C
tBu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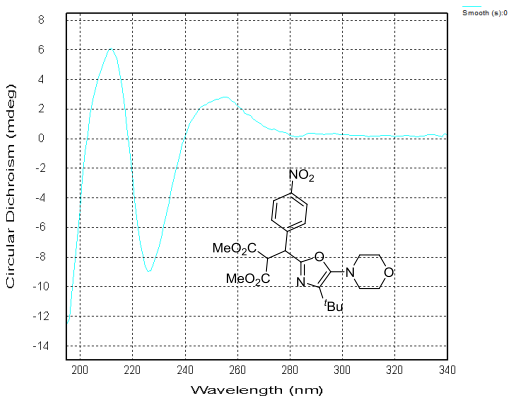
Circular Dichroism (mdeg)
Wavelength (nm)
CN
MeO2C
MeO2C
tBu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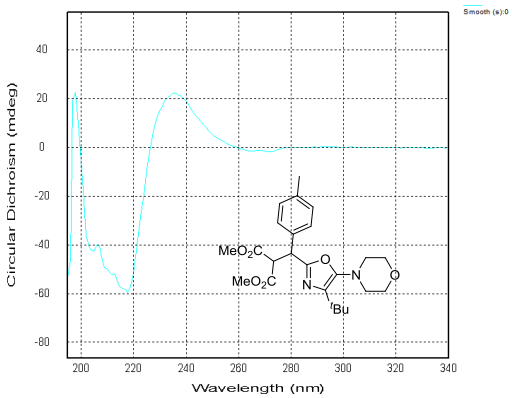
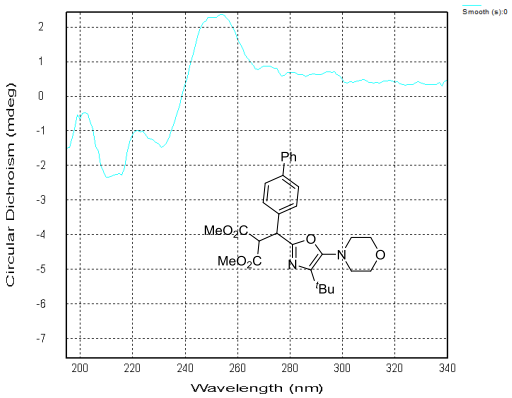
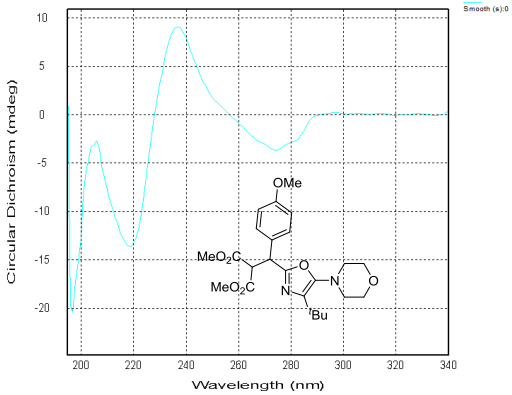
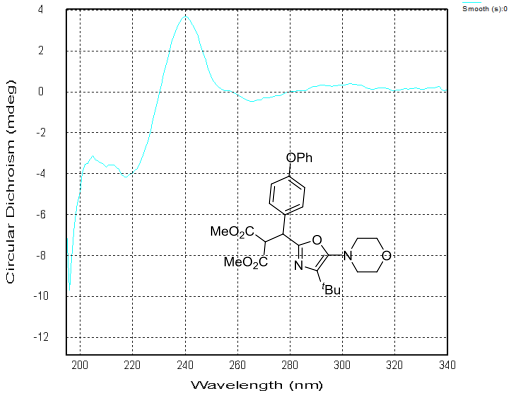
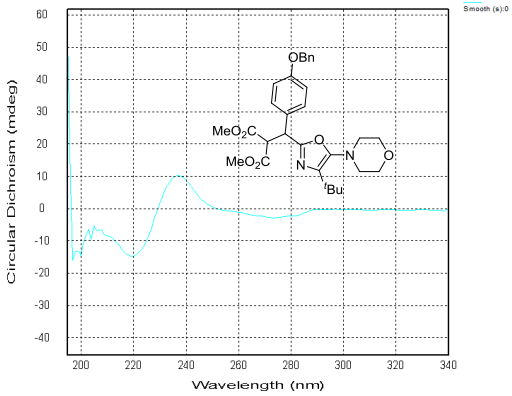
Circular Dichroism (mdeg)
Wavelength (nm)
NO2
MeO2C
MeO2C
tBu

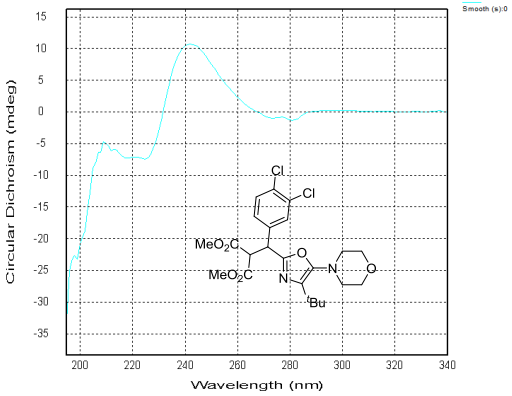

Circular Dichroism (mdeg)
Wavelength (nm)
Smooth (s):0
MeO2C
MeO2C
tBu

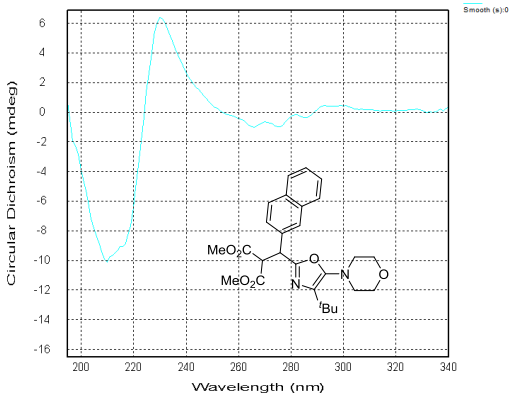

Circular Dichroism (mdeg)
Wavelength (nm)
Smooth (s):0
Ph
MeO2C
MeO2C
tBu

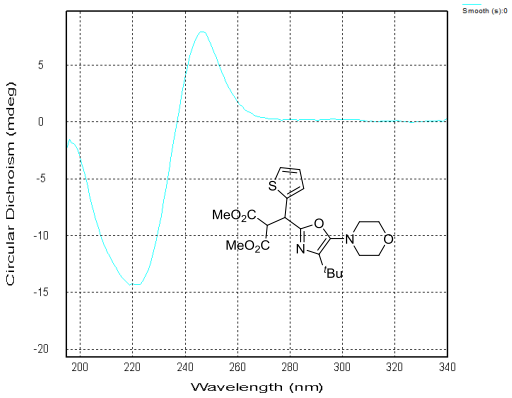

Circular Dichroism (mdeg)
Wavelength (nm)
Smooth (s):0
OMe
MeO2C
MeO2C
tBu

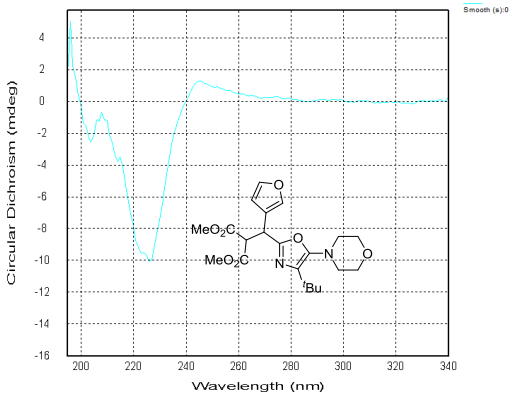

Circular Dichroism (mdeg)
Wavelength (nm)
Smooth (s):0
OPh
MeO2C
MeO2C
tBu

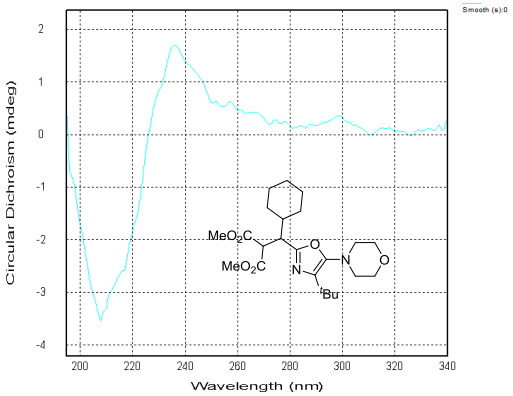

Circular Dichroism (mdeg)
Wavelength (nm)
Smooth (s):0
OBn
MeO2C
MeO2C
tBu

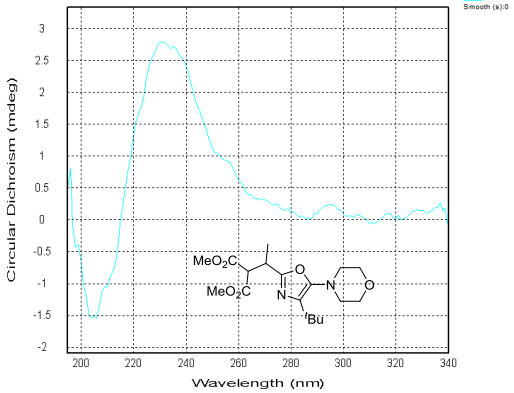

Circular Dichroism (mdeg)
Wavelength (nm)
Smooth (s):0
Cl
Cl
MeO2C
MeO2C
tBu

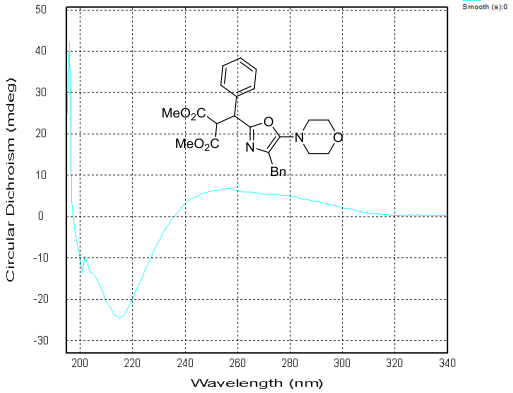

Circular Dichroism (mdeg)
Wavelength (nm)
Smooth (s):0
MeO2C
MeO2C
tBu

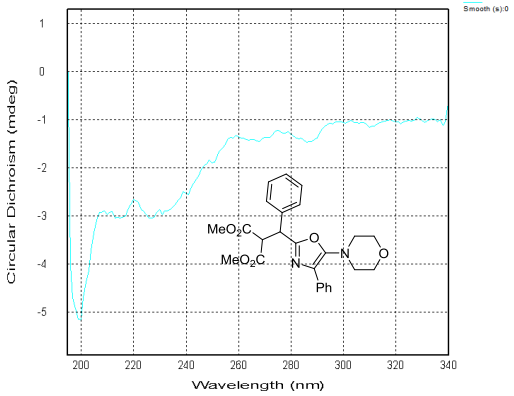

Circular Dichroism (mdeg)
Wavelength (nm)
Smooth (s):0
S
MeO2C
MeO2C
tBu

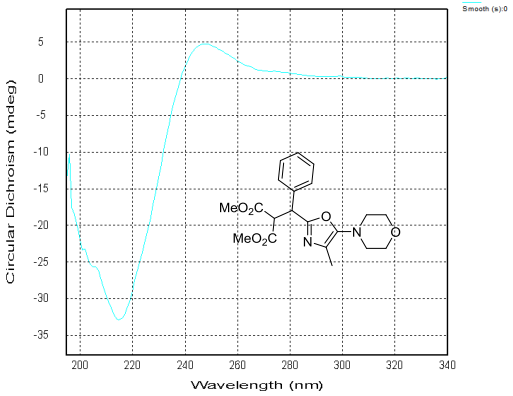

Circular Dichroism (mdeg)
Wavelength (nm)
MeO2C
MeO2C
tBu

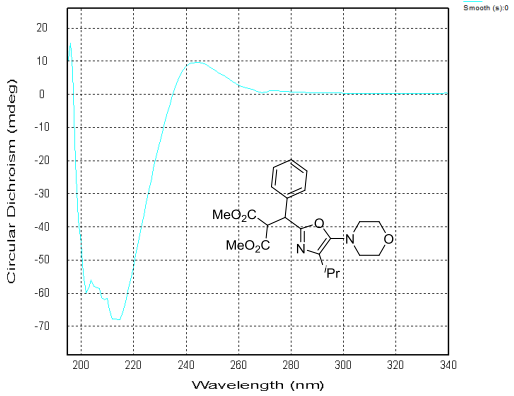

Circular Dichroism (mdeg)
Wavelength (nm)
MeO2C
MeO2C
tBu

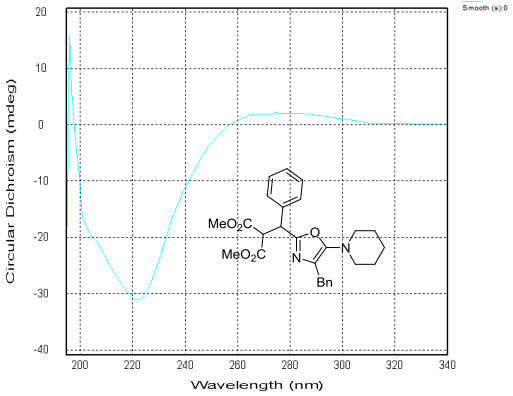

Circular Dichroism (mdeg)
Wavelength (nm)
MeO2C
MeO2C
tBu

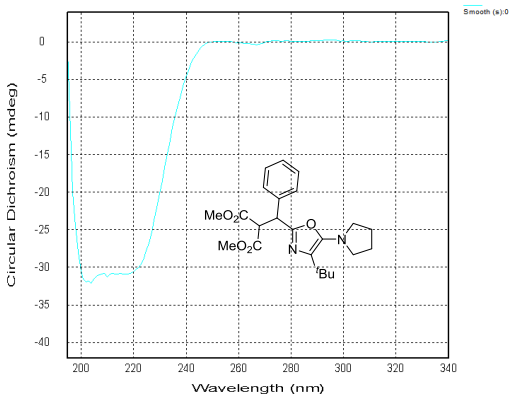

Circular Dichroism (mdeg)
Wavelength (nm)
MeO2C
MeO2C
Bn

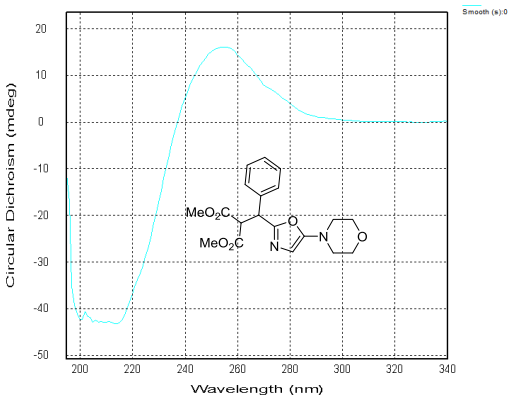

Circular Dichroism (mdeg)
Wavelength (nm)
MeO2C
MeO2C
Ph


Circular Dichroism (mdeg)
Wavelength (nm)
MeO2C
MeO2C


Circular Dichroism (mdeg)
Wavelength (nm)
MeO2C
MeO2C
iPr


Circular Dichroism (mdeg)
Wavelength (nm)
MeO2C
MeO2C
Bn


Smooth (s):0
Circular Dichroism (mdeg)
0
-5
-10
-15
-20
-25
-30
-35
-40
200
220
240
260
280
300
320
340
Wavelength (nm)
MeO2C
MeO2C
O
N
N
tBu


Smooth (s):0
Circular Dichroism (mdeg)
20
10
0
-10
-20
-30
-40
-50
200
220
240
260
280
300
320
340
Wavelength (nm)
MeO2C
MeO2C
O
N
N
O