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Efficient Synthesis of Benzosultams Containing Continuous Quaternary Carbons via Trapping Active Ylides with Cyclic N-Sulfonyl Ketimines

Zhengli Luo, Xuwen Chen, Jian Xue, Yaqi Deng, Suzhen Dong*, Shunying Liu*Shanghai Engineering Research Center of Molecular Therapeutics and New Drug Development, School of Chemistry and Chemical Engineering, East China Normal University, 3663 North Zhongshan Road, Shanghai 200062, China

syliu@sist.ecnu.edu.cn.

Shunying Liu ORCID: 0000-0002-3894-757X

Suzhen Dong ORCID: 0000-0002-6716-6115

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1. General information

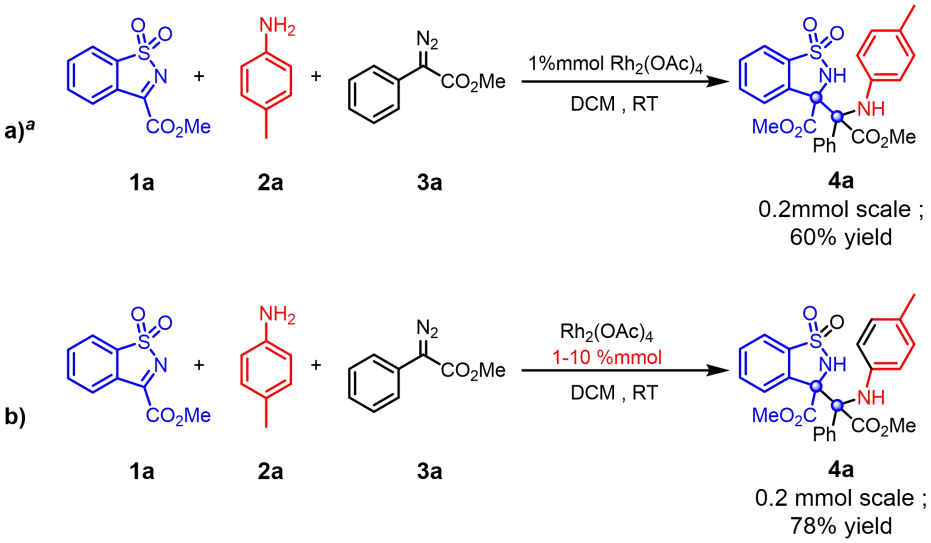
All ^{1}H NMR, ^{13}C NMR and ^{19}F NMR spectra were recorded using a Brucker 400 MHz spectrometer in $CDCl_3$ or $CD2Cl_2$. Tetramethylsilane (TMS) served as an internal standard (δ = 0) for ^{1}H NMR, and $CDCl_3$ or $CD2Cl_2$ was used as internal standard (δ = 77.0 or 53.0) for ^{13}C NMR. Chemical shifts are reported in parts per million as follows: chemical shift, multiplicity (s =singlet, d = doublet, t = triplet, q = quartet, m = multiplet, br = broad). Highresolution mass spectrometry (HRMS) were recorded on IonSpec FT-ICR mass spectrometer.

Sulfimide[1] and diazo compounds[2] were prepared according to the literature methods. The benzyl alcohols were purchased from Sahn Chemical Technology Ltd and used without further purification. All reactions and manipulations were carried out under air atmosphere in a flame-dried or oven-dried flask containing magnetic stir bar. Dichloromethane (DCM), 1,2-dichloroethane (DCE), $CHCl_3$, THF and toluene was distilled over calcium hydride. Solvents for the column chromatography were distilled before use.

The optical density at 450 nm of each well was read using a microplate reader (Molecular Devices Corporation, USA) to calculate the percent of cell viability. The inhibition rates were calculated using GraphPad Prism 8.0 software. The four tested cell lines were purchased from the Type Culture Collection of the Chinese Academy of Sciences. The media, fetal bovine serum (BI) and penicillin-streptomycin were purchased from Basal Media Technologies Co, Ltd and the cell counting kit-8 (CCK8) was purchased from Yeasen Biotech Co, Ltd.

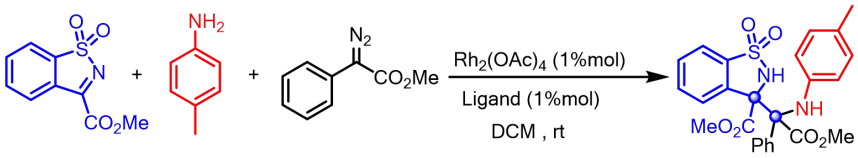
2. Optimization of reaction conditions

Scheme S1 Optimization of reaction conditions

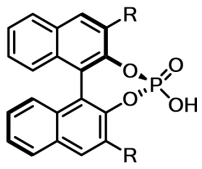


[a] The amount ratio of **1a** : **2a** : **3a** = **1** : **1** : **1**

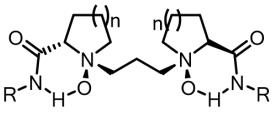
Scheme S2 Screening of chiral ligand [a]



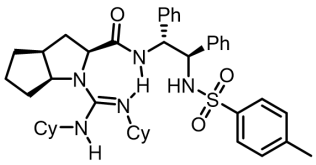
1a 2a 3a 4a



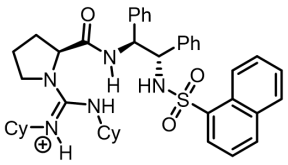
(*R*)-L_1: R = 2,4,6-(iPr)$_3$C$_6$H$_2$
(*R*)-L_2: R = C$_6$H$_5$
(*R*)-L_3: R = SiPh$_3$
(*R*)-L_4: R = Phenanthrene



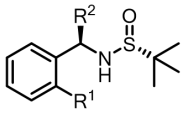
L_3-PiPr$_2$-Ad: R = 2,4-^{i}Pr$_2$-Ad, n = 2
L_3-PiPr$_3$: R = 2,4,6-^{i}Pr$_3$C$_6$H$_3$, n = 2
L_3-PiEt$_2$Me: R = 2,6-Et$_2$-4-MeC$_6$H$_2$, n = 2
L_3-PrPr$_3$: R = 2,4,6-^{i}Pr$_3$C$_6$H$_3$, n =1



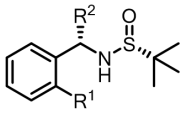
G-1



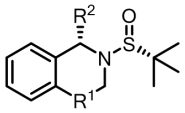
G-2



L_{11}: R^1 = PAd$_2$, R^2= Ph
L_{12}: R^1 = PPh$_2$, R^2= Ph
L_{13}: R^1 = PPh$_2$, R^2= Ph$_2$PCH$_2$
L_{14}: R^1 = H, R^2= Ph$_2$PCH$_2$
L_{15}: R^1 = PCy$_2$, R^2= Ph



L_{16}: R^1 = PAd$_2$, R^2= Ph
L_{17}: R^1 = PPh$_2$, R^2= Ph
L_{18}: R^1 = PCy2, R^2= Ph



L_{19}: R^1 = PAd$_2$, R^2= Ph
L_{20}: R^1 = PCy$_2$, R^2= Ph

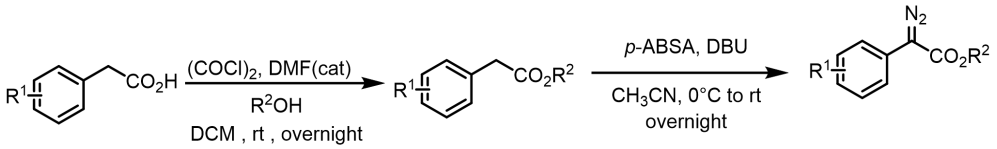
Entry	Ligand	Solvent	Yield (%)[b]	dr [c] (syn/anti)	ee [d] (%)
1	*(R)*-**L1**	DCM	78	1:1	0
2	*(R)*-**L2**	DCM	76	1:1	0
3	*(R)*-**L3**	DCM	76	1:1	0
4	*(R)*-**L4**	DCM	74	1:1	0
5	**L_3-PrPr$_3$**	DCM	78	1:1	<3%

6	**L_3-$PiPr_2$-Ad**	DCM	74	1:1	<3%
7	**L_3-$PiEt_2Me$**	DCM	76	1:1	<3%
8	**L_3-PiPr3**	DCM	78	1:1	<3%
9	**G-1**	DCM	trace	1:1	<3%
10	**G-2**	DCM	72	1:1	<3%
11	**L11**	DCM	75	1:1	<3%
12	**L12**	DCM	54	1:1	0
13	**L13**	DCM	62	1:1	0
14	**L14**	DCM	74	1:1	0
15	**L15**	DCM	78	1:1	<3%
16	**L16**	DCM	65	1:1	<3%
17	**L17**	DCM	58	1:1	<3%
18	**L18**	DCM	63	1:1	<3%
19	**L19**	DCM	67	1:1	<3%
20	**L20**	DCM	70	1:1	0

[a] Conditions: Unless otherwise noted, all reactions were conducted on a 0.2 mmol scale of **1**, **1a** : **2a** : **3a** = 2: 3: 3. [b] Combined yield of syn- and anti-isomers after isolation. [c] Ratio of *syn*/*anti* diastereoisomers determined by crude ^{1}H NMR. [d] The ee value for **4a** determined by HPLC analysis using a chiral stationary phase.

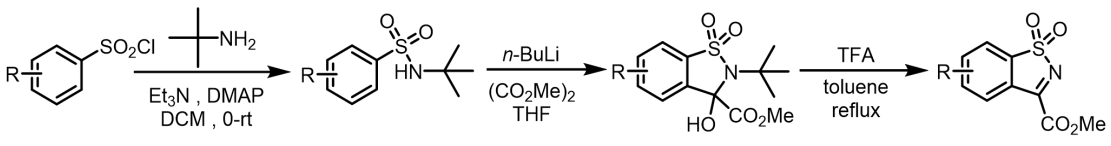
3. General procedure

3.1 General procedure for the preparation of phenyl diazoester.[1]



Under nitrogen, at room temperature, a round bottomed flask is charged with the phenylacetic acid (1 equiv.), dry DCM (0.4 M), oxalyl chloride (1.5 equiv.). Then, DMF (1 or 2 drops) is added. The reaction is allowed to stir at room temperature for 2h. Then, the reaction temperature is cooled to 0ºC and an excess of alcohol (x mL) is added. The reaction is allowed to warm up to room temperature and to stir at this temperature overnight. Then, the reaction is quenched with a saturated aqueous solution of Na_2CO_3, extracted with AcOEt (3 × 30 mL), dried with $MgSO_4$ and concentrated under reduced pressure. The solvent wasremoved and the crude product was obtained used directly in the next step. To a mixture of the crude product obtained above (20 mmol) and tosyl azide (*p*-ABSA) (30 mmol) in anhydrous CH_3CN (20 mL), 1,8-diazabicyclo [5.4.0] undec-7-ene (DBU) (30 mmol) was added slowly. The reaction mixture was stirred at room temperature for overnight. Upon complete consumption of the starting materials, the reaction mixture was quenched with saturated aqueous solution of NH_4Cl (5 mL), extracted with CH_2Cl_2 (3 × 30 mL), washed by brine. The combined extracts were dried with Na_2SO_4 and concentrated. The residue was purified by flash chromatography (PE/EA, 50 :1) to afford the phenyl diazoester.

3.2 General procedure for the preparation of cyclic N-sulfonyl ketimines.[2]



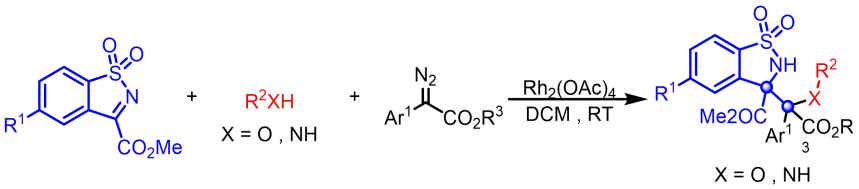
Arylsulfonyl chloride (20 mmol) was added dropwise to a solution of *tert*-butylamine (30mmol) and triethylamine (40mmol) in dichloromethane at 0ºC. The mixture was stirred at room temperature overnight. Washed with saturated sodium carbonate and brine, the organic layer

was separated and the aqueous layer was extracted with dichloromethane (3 × 30 mL). The combined organic extracts were dried over anhydrous sodium sulfate. The solvent was evaporated in vacuo to obtain arylsulfonamide in solid form without further purification.

Butyllithium (30.75 mmol) was added dropwise to the anhydrous tetrahydrofuran (100ml) solution of arylsulfonamide (15 mmol) within 20 minutes at 0ºC under a dry nitrogen atmosphere. Stirring at 0ºCfor another 25 minutes, the precipitate was formed. The suspension was further cooled to -78ºC, and diethyl oxalate (45 mmol) was slowly added dropwise within 20 minutes. Stir was continued for 5 minutes, the suspension was moved to room temperature and stirred for 2 hours. After the reaction is complete, the reaction was quenched with 10% HCI (60 mL), extracted with ethyl acetate (3 × 30 mL), and the organic phase was concentrated in vacuo to give the crude product, which was directly used in the next step.

To the crude product obtained above, toluene (30 mL) was added and the suspension was stirred at room temperature. After 5 min dissolution occurred, trifluoroacetic acid (5 equiv) was added. After 5 h the solution was concentrated and washed with saturated sodium carbonate and extracted with ethyl acetate (3 × 30 mL) to remove traces of trifluoroacetic acid. Purification by flash column chromatography gave the cyclic *N*-sulfonyl ketimines.

3.3 General procedure for the preparation of benzosultam (4 or 6)



1 **2** **3** **4 or 6**

To a tube charged with 1 mol% $Rh_2(OAc)_4$, cyclic N-sulfonyl ketimine **1** (0.2mmol) and aniline **2** (0.3 mmol) in DCM (1 mL) was added directly to the tube. Diazo compound **3** (0.3 mol) in DCM (1 mL) was introduced by syringe pump over 1 h at room temperature, and the reaction solution was stirred for another 1 hour. After the reaction was completed (monitored by TLC), the crude product was purified by flash chromatography on silica gel (EtOAc / petroleum ether = 1:6 ~ 1:3) to give the pure product.

3.4 General Procedure for Cell Viability Assay.

The in vitro inhibitory effect on cell proliferation was measured using CCK-8 assay. Briefly, different kinds of cells were seeded in a 96-well plate at 2500 cells per well. After 24 h culture, 100 mL medium containing the tested compound at a certain concentration was added into each well. Seventy-two hours later, the old medium was replaced with fresh medium containing 10% CCK-8 and the cells were incubated (37ºC, 5% CO_2) for another 2-4 h. The optical density at 450 nm of each well was read using a microplate reader to calculate the percent of cell viability.

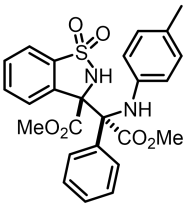
4. References

(1) S. Thurow, A. A. G. Fernandes, Y. Quevedo-Acosta, M. F. de Oliveira, M. G. de Oliveira, I. D. Jurberg, Preparation of Organic Nitrates from Aryldiazoacetates and $Fe(NO_3)_3 \cdot 9H_2O$, *Org. Lett*., 2019, **21**, 6909−6913.

(2) H. Wang, T. Jiang, M. H. Xu, Simple branched sulfur-olefins as chiral ligands for Rh-catalyzed asymmetric arylation of cyclic ketimines: highly enantioselective construction of tetrasubstituted carbon stereocenters, *J. Am. Chem. Soc*., 2013, **135**, 971−974.

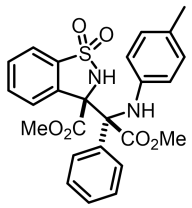
5. Characterization data for the products 4 and 6

Methyl 3-(2-methoxy-2-oxo-1-phenyl-1-(p-tolylamino)ethyl)-2,3-dihydrobenzo[d]isothia-zole-3-carboxylate 1,1-dioxide **(4a)**. White solid, *syn*/*anti* isomer (1:1) ratio.



***anti*-4a**

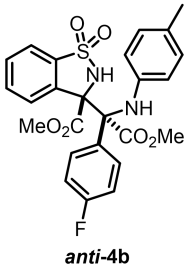
a***anti*-4a** (39% yield): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ δ 7.78 (d, *J*= 7.79 Hz, 1H), 7.57 (t, *J*= 7.58 Hz, 1H), 7.43 – 7.38 (m, 3H), 7.36 – 7.28 (m, 3H), 6.79 – 6.73 (m, 3H), 6.34 (d, *J*= 8.13 Hz, 1H), 6.26 (d, *J*= 8.18 Hz, 2H), 5.56 (brs, 1H), 3.73 (s, 3H), 3.70 (s, 3H), 2.13 (s, 3H); **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 168.2, 142.1, 136.0, 132.4, 132.3, 131.8, 131.0, 130.9, 129.1, 129.0, 128.9, 128.3, 127.5, 120.9, 116.7, 74.4, 73.3, 53.9, 53.0, 20.4. **HRMS(ESI)** Calcd. for $C_{25}H_{24}N_2O_6S$ $(M+Na)^+$ 503.1247, found 503.1243.



***syn*-4a**

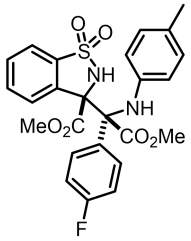
***syn*-4a** (39% yield): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.23 (d, *J*= 8.04 Hz, 1H), 7.63 (t, *J*= 7.65 Hz, 1H), 7.51 (t, *J*= 7.52 Hz, 1H), 7.48 – 7.42 (m, 1H), 7.19 – 7.13 (m, 2H), 7.13 – 6.95 (m, 4H), 6.82 (d, *J*= 8.02 Hz, 2H), 6.41 (d, *J*= 7.99 Hz, 2H), 5.88 (brs, 1H), 3.92 (s, 3H), 3.70 (s, 3H), 2.17 (s, 3H); **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 172.7, 171.7, 141.7, 132.7, 132.6, 131.0, 130.9, 128.8, 128.6, 128.5, 127.3, 126.8, 121.2, 117.5, 76.8, 71.7, 54.6, 52.8, 20.4. **HRMS(ESI)** Calcd. for $C_{25}H_{24}N_2O_6S$ $(M+Na)^+$ 503.1247, found 503.1243.

Methyl 3-(1-(4-fluorophenyl)-2-methoxy-2-oxo-1-(p-tolylamino)ethyl)-2,3-dihydro-benzo[d]isothiazole-3-carboxylate 1,1-dioxide **(4b)**. White solid, *syn*/*anti* isomer (1:1) ratio.



***anti*-4b**

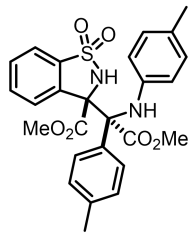
***anti*-4b** (37% yield): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.79 (d, *J*= 7.79 Hz, 1H), 7.59 (t, *J*= 7.57 Hz, 1H), 7.44 – 7.36 (m, 3H), 6.99 (t, *J*= 8.46 Hz, 2H), 6.77 (d, *J*= 8.11 Hz, 2H), 6.66 (brs, 1H), 6.45 (d, *J*= 8.11 Hz, 1H), 6.23 (d, *J*= 8.24 Hz, 2H), 5.58 (brs, 1H), 3.74 (s, 3H), 3.69 (s, 3H), 2.14 (s, 3H);**^{13}C NMR** (101 MHz, Chloroform-*d*) δ 171.8, 168.1, 163.1 (d, *J*= 249.50 Hz), 141.8, 136.1, 133.0 (d, *J*= 8.13 Hz), 132.3, 131.9, 131.0, 128.9, 128.7, 128.5, 128.1 (d, *J*= 3.34 Hz), 121.1, 116.7, 114.4 (d, *J*= 21.27 Hz), 74.3, 72.9, 54.0, 53.1, 20.4. **^{19}F NMR** (376 MHz, Chloroform-*d*) δ -113.0. **HRMS(ESI)** Calcd. for $C_{25}H_{23}FN_2O_6S$ $(M+Na)^+$ 521.1153, found 521.1151.



***syn*-4b**

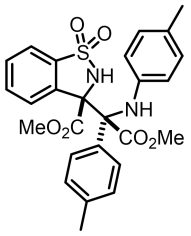
***syn*-4b** (37% yield): **^{1}H NMR** (400 MHz, Chloroform-d) δ 8.20 (d, *J*= 8.05 Hz, 1H), 7.62 (t, *J*= 7.49 Hz, 1H), 7.54 – 7.45 (m, 2H), 7.23 – 6.98 (m, 3H), 6.83 (d, *J*= 8.03 Hz, 2H), 6.80 – 6.61 (m, 2H), 6.40 (d, *J*= 8.13 Hz, 2H), 5.87 (brs, 1H), 3.92 (s, 3H), 3.69 (s, 3H), 2.18 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-d) δ 172.1, 171.4, 162.8 (d, J= 248.55 Hz), 141.4, 135.5, 132.7 (d, *J*= 27.85 Hz), 131.1, 128.9, 128.8, 127.1, 126.7 (d, *J*= 3.29 Hz), 121.3, 117.4, 113.8 (d, *J*= 21.42 Hz), 76.2, 71.6, 54.6, 52.8, 20.4. **^{19}F NMR** (376 MHz, Chloroform-d) δ -112.9. **HRMS (ESI)** Calcd. for $C_{25}H_{23}FN_2O_6S$ $(M+Na)^+$ 521.1153, found 521.1151.

Methyl 3-(2-methoxy-2-oxo-1-(p-tolyl)-1-(p-tolylamino)ethyl)-2,3-dihydrobenzo[d]isothiazole-3-carboxylate 1,1-dioxide **(4c)**. White solid, *syn*/*anti* isomer (1:1) ratio.



***anti*-4c**

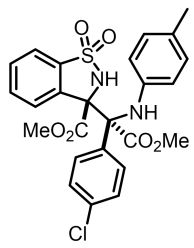
***anti*-4c (**43% yield): **^{1}H NMR** (400 MHz, Chloroform-d) δ 7.77 (d, *J*= 7.78 Hz, 1H), 7.56 (t, *J*= 7.54 Hz, 1H), 7.35 (t, *J*= 7.77 Hz, 1H), 7.27 (d, *J*= 6.72 Hz, 3H), 7.10 (d, *J*= 8.04 Hz, 2H), 6.77 (d, 2H), 6.74 (brs, 1H), 6.38 (d, *J*= 8.05 Hz, 1H), 6.26 (d, *J*= 8.21 Hz, 2H), 5.51 (brs, 1H), 3.73 (s, 3H), 3.69 (s, 3H), 2.39 (s, 3H), 2.13 (s, 3H). ; **^{13}C NMR** (101 MHz, Chloroform-d) δ 172.1, 168.2, 142.2, 139.0, 136.0, 132.5, 131.7, 130.9, 129.2, 129.1, 128.8, 128.2, 120.8, 119.6, 116.7, 74.5, 73.1, 53.8, 53.0, 21.2, 20.4. **HRMS(ESI)** Calcd. for $C_{26}H_{26}N_2O_6S$ $(M+Na)^+$ 517.1404, found 517.1390.



***syn*-4c**

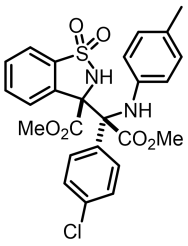
***syn*-4c (**44% yield): **^{1}H NMR** (400 MHz, Chloroform-*d*) *δ* 8.20 (d, *J*= 8.03 Hz, 1H), 7.61 (t, *J*= 7.56 Hz, 1H), 7.53 – 7.44 (m, 2H), 7.09 (brs, 1H), 6.92 (brs, 2H), 6.87 – 6.78 (m, 4H), 6.40 (d, *J*= 8.43 Hz, 2H), 5.80 (brs, 1H), 3.91 (s, 3H), 3.68 (s, 3H), 2.21 (s, 3H), 2.17 (s, 3H); **^{13}C NMR** (101 MHz, Chloroform-*d*) *δ* 172.9, 171.7, 141.8, 138.4, 135.5, 132.7, 132.6, 130.9, 128.8, 128.3, 127.9, 127.6, 127.3, 121.2, 117.5, 76.6, 71.8, 54.5, 52.7, 21.2, 20.4. **HRMS(ESI)** Calcd. for $C_{26}H_{26}N_2O_6S$ $(M+Na)^+$ 517.1404, found 517.1390.

Methyl 3-(1-(4-chlorophenyl)-2-methoxy-2-oxo-1-(p-tolylamino)ethyl)-2,3-dihydrobenzo[d]isothiazole-3-carboxylate 1,1-dioxide **(4d)**. White solid, *syn*/*anti* isomer (1:1) ratio.



***anti*-4d**

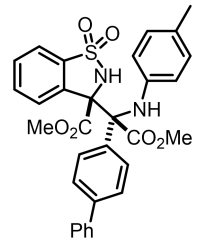
***anti*-4d (**35% yield):**^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.80 (d, *J*= 7.76 Hz, 1H), 7.60 (t, *J*= 7.53 Hz, 1H), 7.41 – 7.35 (m, 3H), 7.28 (d, *J*= 10.20 Hz, 2H), 6.77 (d, *J*= 8.28 Hz, 2H), 6.67 (brs, 1H), 6.48 (d, *J*= 8.10 Hz, 1H), 6.22 (d, *J*= 8.40 Hz, 2H), 5.57 (brs, 1H), 3.74 (s, 3H), 3.69 (s, 3H), 2.14 (s, 3H); **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 171.6, 168.0, 141.7, 136.1, 135.2, 132.6, 132.2, 131.9, 131.1, 131.0, 129.0, 128.7, 128.5, 127.6, 121.1, 116.7, 74.3, 73.0, 54.0, 53.1, 20.4. **HRMS(ESI)** Calcd. for $C_{25}H_{23}ClN_2O_6S$ $(M+Na)^+$ 537.0858, found 537.0869.



***syn*-4d**

***syn*-4d (**36% yield): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.19 (d, *J*= 8.02 Hz, 1H), 7.62 (t, *J*= 8.18 Hz, 1H), 7.52 (t, *J*= 7.40 Hz, 1H), 7.48 (d, *J*= 6.96 Hz, 1H), 7.16 (brs, 1H), 7.14 – 6.93 (m, 4H), 6.83 (d, *J*= 8.32 Hz, 2H), 6.39 (d, *J*= 8.44 Hz, 2H), 5.81 (brs, 1H), 3.93 (s, 3H), 3.68 (s, 3H), 2.18 (s, 3H); **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 172.0, 171.3, 141.3, 135.5, 134.7, 132.8, 132.4, 131.2, 129.5, 129.0, 128.8, 127.1, 127.0, 121.4, 117.4, 71.5, 54.7, 52.9, 20.4. **HRMS(ESI)** Calcd. for $C_{25}H_{23}ClN_2O_6S$ $(M+Na)^+$ 537.0858, found 537.0869.

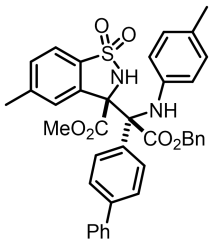
Methyl 3-(1-([1,1'-biphenyl]-4-yl)-2-methoxy-2-oxo-1-(p-tolylamino)ethyl)-2,3-dihydrobenzo[d]isothiazole-3-carboxylate 1,1-dioxide **(4e)**. White solid, *syn*/*anti* isomer (5:1) ratio.



***syn*-4e**

***syn*-4e (**68% yield): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.22 (d, *J*= 8.05 Hz, 1H), 7.62 (t, *J*= 8.06 Hz, 1H), 7.52 – 7.46 (m, 3H), 7.43 (d, *J*= 7.60 Hz, 1H), 7.38 – 7.34 (m, 2H), 7.31 – 7.25 (m, 3H), 7.15 (s, 2H), 6.83 (d, *J*= 8.32 Hz, 2H), 6.46 (d, *J*= 8.43 Hz, 2H), 5.84 (brs, 1H), 3.92 (s, 3H), 3.71 (s, 3H), 2.17 (s, 3H); **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 172.5, 171.6, 141.7, 141.0, 140.3, 135.6, 132.7, 132.6, 131.0, 130.0, 128.9, 128.6, 128.5, 127.4, 127.3, 127.1, 125.3, 121.3, 117.5, 76.6, 71.8, 54.6, 52.8, 20.4. **HRMS(ESI)** Calcd. for $C_{31}H_{28}N_2O_6S$ $(M+Na)^+$ 579.1560, found 579.1560.

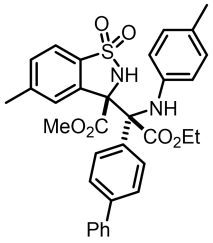
Methyl 3-(1-([1,1'-biphenyl]-4-yl)-2-(benzyloxy)-2-oxo-1-(p-tolylamino)ethyl)-5-methyl-2,3-dihydrobenzo[d] isothiazole-3-carboxylate 1,1-dioxide **(4f)**. White solid, *syn*/*anti* isomer (5:1) ratio.



***syn*-4f**

***syn*-4f (**80% yield): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.68 – 7.59 (m, 3H), 7.56 – 7.43 (m, 6H), 7.39 (d, *J*= 7.66 Hz, 1H), 7.32 – 7.22 (m, 4H), 7.08 (d, *J*= 5.61 Hz, 2H), 6.73 (d, *J*= 8.00 Hz, 2H), 6.61 (s, 1H), 6.32 (d, *J*= 5.87 Hz, 2H), 6.05 (s, 1H), 5.59 (brs, 1H), 5.17 (d, *J*= 12.23 Hz, 1H), 5.10 (d, *J*= 12.45 Hz, 1H), 3.64 (s, 3H), 2.16 (s, 3H), 2.14 (s, 3H); **13C NMR** (101 MHz, Chloroform-d) δ 168.0, 142.7, 142.2, 141.6, 140.2, 134.6, 133.2, 131.7, 131.7, 129.3, 129.0, 128.9, 128.5, 128.4, 128.3, 127.8, 127.1, 125.7, 120.6, 116.8, 74.2, 73.2, 68.2, 53.8, 21.8, 20.4. **HRMS(ESI)** Calcd. for $C_{38}H_{34}N_2O_6S$ $(M+Na)^+$ 669.2030, found 669.2034.

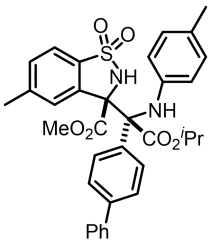
Methyl 3-(1-([1,1'-biphenyl]-4-yl)-2-ethoxy-2-oxo-1-(p-tolylamino)ethyl)-5-methyl-2,3-dihydrobenzo[d] isothiazole-3-carboxylate 1,1-dioxide **(4g)**. White solid, *syn*/*anti* isomer (5:1) ratio.



***syn*-4g**

***syn*-4g (**76% yield): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.67 (dd, *J*= 7.93, 2.85 Hz, 3H), 7.57 (d, *J*= 8.20 Hz, 2H), 7.52 – 7.45 (m, 4H), 7.40 (d, J= 7.33 Hz, 1H), 7.37 (d, *J*= 7.72 Hz, 1H), 6.79 (d, *J*= 3.35 Hz, 2H), 6.77 (brs, 1H), 6.35 (d, *J*= 8.09 Hz, 2H), 6.00 (s, 1H), 5.57 (brs, 1H), 4.23 (q, *J*= 10.97, 7.13 Hz, 2H), 3.78 (s, 3H), 2.18 (s, 3H), 2.14 (s, 3H), 1.12 (t, *J*= 7.11 Hz, 3H).; **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 171.3, 168.2, 142.6, 142.2, 141.6, 140.2, 133.2, 132.6, 131.8, 131.7, 129.4, 128.9, 128.8, 128.2, 127.8, 127.1, 125.7, 120.6, 116.9, 74.3, 73.0, 62.5, 53.8, 21.8, 20.4, 13.8. **HRMS(ESI)** Calcd. for $C_{33}H_{32}N_2O_6S$ $(M+Na)^+$ 607.1873, found 607.1900.

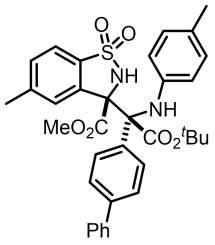
Methyl 3-(1-([1,1'-biphenyl]-4-yl)-2-isopropoxy-2-oxo-1-(p-tolylamino)ethyl)-5-methyl-2,3-dihydrobenzo[d] isothiazole-3-carboxylate 1,1-dioxide **(4h)**. White solid, *syn*/*anti* isomer (5:1) ratio.



***syn*-4h**

***syn*-4h (**70% yield): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.69 – 7.65 (m, 3H), 7.56 (d, *J*= 8.25 Hz, 2H), 7.52 – 7.45 (m, 4H), 7.40 (d, *J*= 7.32 Hz, 1H), 7.36 (d, *J*= 8.31 Hz, 1H), 6.79 (brs, 1H), 6.76 (d, *J*= 8.19 Hz, 2H), 6.34 (d, *J*= 8.43 Hz, 2H), 5.92 (s, 1H), 5.53 (brs, 1H), 5.11 – 5.03 (m, 1H), 3.77 (s, 3H), 2.16 (s, 3H), 2.13 (s, 3H), 1.16 (d, *J*= 6.28 Hz, 3H), 1.07 (d, *J*= 6.25 Hz, 3H); **^{13}C NMR** (101 MHz, Chloroform-d) δ 170.5, 168.2, 142.6, 142.2, 141.5, 140.2, 133.1, 132.6, 132.0, 131.8, 131.7, 129.5, 128.9, 128.7, 128.3, 127.7, 127.1, 125.7, 120.5, 117.0, 74.2, 72.8, 70.8, 53.8, 21.8, 21.6, 21.3, 20.4. **HRMS(ESI)** Calcd. for $C_{34}H_{34}N_2O_6S$ $(M+Na)^+$ 621.2030, found 621.2038.

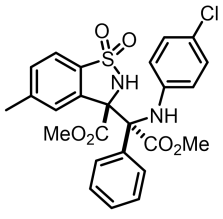
Methyl 3-(1-([1,1'-biphenyl]-4-yl)-2-(tert-butoxy)-2-oxo-1-(p-tolylamino)ethyl)-5-methyl-2,3-dihydrobenzo[d] isothiazole-3-carboxylate 1,1-dioxide **(4i)**. White solid, *syn*/*anti* isomer (6:1) ratio.



***syn*-4i**

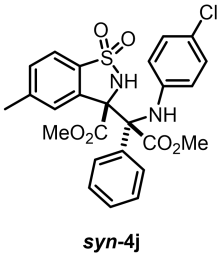
***syn*-4i (**83% yield): **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.98 (brs, 1H), 7.52 (d, *J*= 7.61 Hz, 2H), 7.40 – 7.33 (m, 3H), 7.31 – 7.27 (m, 3H), 7.26 (d, *J*= 2.22 Hz, 2H), 7.25 – 7.20 (m, 2H), 6.83 (d, *J*= 8.00 Hz, 2H), 6.47 (d, *J*= 8.04 Hz, 2H), 5.73 (brs, 1H), 3.92 (s, 3H), 2.47 (s, 3H), 2.18 (s, 3H), 1.27 (s, 9H); **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 168.1, 142.5, 142.5, 141.5, 140.3, 133.0, 132.2, 131.9, 131.6, 129.7, 128.9, 128.7, 128.3, 127.7, 127.1, 125.6, 120.5, 117.1, 84.3, 74.2, 73.4, 53.6, 27.7, 21.8, 20.4. **HRMS(ESI)** Calcd. for $C_{35}H_{36}N_2O_6S$ $(M+Na)^+$ 635.2186, found 635.2181.

Methyl 3-(1-((4-chlorophenyl)amino)-2-methoxy-2-oxo-1-phenylethyl)-5-methyl-2,3-dihydrobenzo[d] isothiazole-3-carboxylate 1,1-dioxide **(4j)**. White solid, *syn*/*anti* isomer (1:1) ratio.



***anti*-4j**

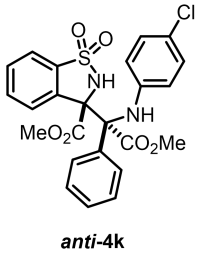
***anti*-4j (3**9% yield): **^{1}H NMR** (501 MHz, Chloroform-*d*) δ 7.67 (d, *J*= 7.96 Hz, 1H), 7.45 – 7.42 (m, 1H), 7.37 (d, *J*= 7.96 Hz, 1H), 7.34 – 7.30 (m, 4H), 6.87 (d, *J*= 8.98 Hz, 2H), 6.69 (brs, 1H), 6.25 (d, *J*= 8.98 Hz, 2H), 5.90 (s, 1H), 5.69 (brs, 1H), 3.75 (s, 3H), 3.73 (s, 3H), 2.18 (s, 3H); **^{13}C NMR** (126 MHz, Chloroform-*d*) δ 171.9, 168.0, 143.2, 142.8, 133.4, 132.3, 131.9, 131.8, 131.1, 129.4, 129.3, 128.1, 127.3, 123.7, 120.6, 118.0, 74.4, 73.6, 53.9, 53.1, 21.7. **HRMS(ESI)** Calcd. for $C_{25}H_{23}ClN_2O_6S$ $(M+Na)^+$ 537.0858, found 537.0823.



***syn*-4j**

***syn*-4j** (40% yield): **^{1}H NMR** (501 MHz, Chloroform-*d*) δ 7.71 (d, *J*= 7.97 Hz, 1H), 7.50 – 7.46 (m, 1H), 7.41 (d, *J*= 7.96 Hz, 1H), 7.39 – 7.33 (m, 4H), 6.92 (d, *J*= 8.93 Hz, 2H), 6.73 (brs, 1H), 6.29 (d, *J*= 8.94 Hz, 2H), 5.95 (s, 1H), 5.73 (brs, 1H), 3.80 (s, 3H), 3.78 (s, 3H), 2.22 (s, 3H); **^{13}C NMR** (126 MHz, Chloroform-*d*) δ 171.9, 168.0, 143.2, 142.8, 133.4, 132.3, 131.9, 131.8, 131.1, 129.4, 129.3, 128.1, 127.3, 123.7, 120.6, 118.0, 74.4, 73.6, 53.9, 53.1, 21.7. **HRMS(ESI)** Calcd. for $C_{25}H_{23}ClN_2O_6S$ $(M+Na)^+$ 537.0858, found 537.0823.

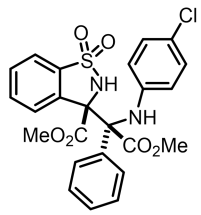
Methyl 3-(1-((4-chlorophenyl)amino)-2-methoxy-2-oxo-1-phenylethyl)-2,3-dihydrobenzo[d]isothiazole-3-carboxylate 1,1-dioxide **(4k)**. White solid, *syn*/*anti* isomer (1:1) ratio.



***anti*-4k**

***anti*-4k** (36% yield)**: ^{1}H NMR** (400 MHz, Chloroform-d) δ 7.79 (d, *J*= 7.82 Hz, 1H), 7.58 (t, *J*= 7.54 Hz, 1H), 7.42 (t, *J*= 6.57 Hz, 1H), 7.35 – 7.28 (m, 5H), 6.88 (d, *J*= 8.37 Hz, 2H), 6.71 (brs, 1H), 6.33 (d, *J*= 8.17 Hz, 1H), 6.24 (d, *J*= 8.41 Hz, 2H), 5.72 (brs, 1H), 3.74 (s, 3H)；3.73 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-d) δ 171.8, 168.0, 143.2, 136.1, 132.3,

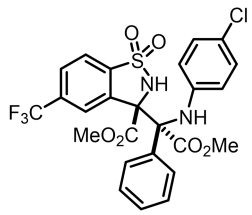
131.8, 131.7, 131.1, 130.9, 129.3, 129.0, 128.1, 127.6, 123.8, 121.0, 118.0, 74.4, 73.6, 53.9, 53.2. **HRMS(ESI)** Calcd. for $C_{24}H_{21}ClN_2O_6S$ $(M+Na)^+$ 523.0701, found 523.0701.



***syn*-4k**

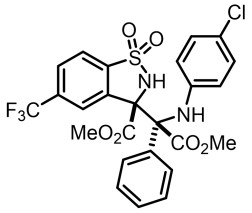
***syn*-4k** (37% yield)**: ^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.16 (d, *J*= 8.04 Hz, 1H), 7.65 (t, *J*= 7.73 Hz, 1H), 7.52 (t, *J*= 7.48 Hz, 1H), 7.46 (d, *J*= 7.76 Hz, 1H), 7.34 – 7.31 (m, 1H), 7.19 (t, *J*= 7.04 Hz, 1H), 7.12 – 6.96 (m, 3H), 6.94 (d, 2H), 6.41 (d, *J*= 8.88 Hz, 2H), 5.88 (brs, 1H), 3.91 (s, 3H), 3.72 (s, 3H); **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 172.4, 171.9, 142.8, 135.6, 132.8, 132.3, 131.1, 130.4, 128.8, 128.1, 127.0, 124.0, 121.3, 118.6, 76.6, 71.5, 54.6, 52.9. **HRMS(ESI)** Calcd. for $C_{24}H_{21}ClN_2O_6S$ $(M+Na)^+$ 523.0701, found 523.0701.

Methyl-3-(1-((4-chlorophenyl)amino)-2-methoxy-2-oxo-1-phenylethyl)-5-(trifluoromethyl)-2,3-dihydrobenzo[d] isothiazole-3-carboxylate 1,1-dioxide **(4l)**. White solid, *syn*/*anti* isomer (1:1) ratio.



***anti*-4l**

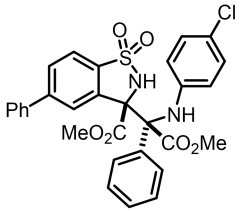
***anti*-4l** (24% yield)**: ^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.91 (d, *J*= 8.18 Hz, 1H), 7.83 (d, *J*= 8.12 Hz, 1H), 7.46 (d, *J*= 7.22 Hz, 1H), 7.33 (t, *J*= 7.52 Hz, 2H), 7.28 (d, 1H), 6.91 – 6.88 (m, 3H), 6.34 (s, 1H), 6.26 (d, *J*= 8.91 Hz, 2H), 5.63 (brs, 1H), 3.79 (s, 3H), 3.77 (s, 3H); **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 171.8, 167.3, 142.9, 139.0, 133.7(q, *J*= 34.5 Hz), 133.2, 131.0, 130.6, 129.7, 129.0, 128.8, 128.2, 127.9, 126.6 (q, *J*= 4.07 Hz), 125.2(q, *J*= 259.3 Hz), 124.3, 121.7, 118.2, 74.4, 73.4, 54.2, 53.3. **^{19}F NMR** (376 MHz, Chloroform-*d*) δ -63.2. ^{19}F NMR (376 MHz, Chloroform-*d*) δ -63.2. **HRMS(ESI)** Calcd. for $C_{25}H_{20}ClF_3N_2O_6S$ $(M+Na)^+$ 591.0575, found 591.0561.



***syn*-4l**

***syn*-4l** (27% yield)**: ^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.39 (s, 1H), 7.79 (d, *J* = 8.13 Hz, 1H), 7.59 (d, *J* = 8.13 Hz, 1H), 7.23 – 7.14 (m, 2H), 7.06 (s, 2H), 6.96 (d, *J* = 8.50 Hz, 3H), 6.43 (d, *J* = 8.43 Hz, 2H), 5.99 (brs, 1H), 5.30 (s, 1H), 3.94 (s, 3H), 3.73 (s, 3H); **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 172.3, 171.1, 142.4, 138.7, 134.8 (q, J = 33.25 Hz), 133.4, 130.0, 129.1, 128.2, 127.3, 125.94 (q, J = 269.05 Hz), 124.6, 124.4 (q, J = 4.56 Hz), 122.2, 121.6, 119.0, 76.6, 71.5, 54.9, 53.1. **^{19}F NMR** (376 MHz, Chloroform-*d*) δ -62.7. **HRMS(ESI)** Calcd. for $C_{25}H_{20}ClF_3N_2O_6S$ $(M+Na)^+$ 591.0575, found 591.0561.

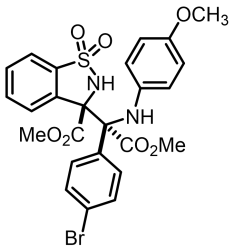
Methyl-3-(1-((4-chlorophenyl)amino)-2-methoxy-2-oxo-1-phenylethyl)-5-phenyl-2,3-dihydrobenzo[d] isothiazole-3-carboxylate 1,1-dioxide **(4m)**. White solid, *syn*/*anti* isomer (5:1) ratio.



***syn*-4m**

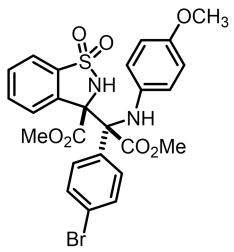
***syn*-4m (**76% yield)**:** ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.31 (brs, 1H), 7.71 (d, *J*= 8.00 Hz, 1H), 7.59 (d, *J*= 7.18 Hz, 2H), 7.54 – 7.46 (m, 4H), 7.38 (s, 1H), 7.23 – 7.18 (m, 1H), 7.17 – 6.99 (m, 4H), 6.96 (d, *J*= 8.70 Hz, 2H), 6.44 (d, *J*= 8.72 Hz, 2H), 5.88 (brs, 1H), 3.93 (s, 3H), 3.73 (s, 3H).; **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 172.4, 171.8, 146.2, 142.8, 139.0, 134.1, 133.1, 130.4, 130.2, 129.3, 128.9, 128.9, 128.2, 127.5, 125.4, 124.1, 121.6, 118.7, 76.7, 71.5, 54.7, 52.9. **HRMS(ESI)** Calcd. for $C_{30}H_{25}ClN_2NaO_6S$ $(M+Na)^+$ 599.1014, found 599.0997.

Methyl 3-(1-(4-bromophenyl)-2-methoxy-1-((4-methoxyphenyl)amino)-2-oxoethyl)-2,3-dihydrobenzo[d] isothiazole-3-carboxylate 1,1-dioxide **(4n)**. White solid, *syn*/*anti* isomer (1:1) ratio.



***anti*-4n**

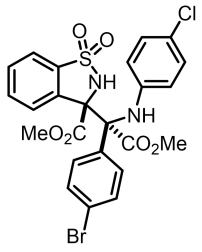
***anti*-4n** (44% yield)**: ^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.80 (d, *J*= 7.79 Hz, 1H), 7.60 (t, *J*= 7.58 Hz, 1H), 7.46 – 7.38 (m, 3H), 7.30 (d, *J*= 8.33 Hz, 2H), 6.68 (brs, 1H), 6.54 (d, *J*= 8.85 Hz, 2H), 6.47 (d, *J*= 8.10 Hz, 1H), 6.27 (d, *J*= 8.86 Hz, 2H), 5.45 (brs, 1H), 3.75 (s, 3H), 3.69 (s, 3H), 3.65 (s, 3H).; **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 171.6, 168.0, 153.1, 137.8, 136.1, 132.9, 132.3, 131.9, 131.6, 131.1, 130.6, 128.6, 123.6, 121.1, 118.1, 113.8, 74.3, 73.3, 55.4, 54.0, 53.1. **HRMS(ESI)** Calcd. for $C_{25}H_{23}BrN_2O_7S$ $(M+Na)^+$ 597.0302, found 597.0337.



***syn*-4n**

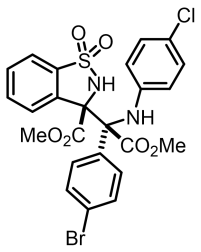
***syn*-4n** (46% yield)**: ^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.20 (d, *J*= 8.00 Hz, 1H), 7.63 (t, *J*= 7.09 Hz, 1H), 7.53 (d, *J*= 7.66 Hz, 1H), 7.48 (t, *J*= 7.44 Hz, 1H), 7.16 (s, 2H), 7.10 – 7.01 (m, 3H), 6.61 (d, *J*= 8.95 Hz, 2H), 6.45 (d, *J*= 8.95 Hz, 2H), 5.85 (brs, 1H), 3.93 (s, 3H), 3.68 (s, 3H), 3.65 (s, 3H).; **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 171.9, 171.3, 153.4, 137.5, 135.4, 132.8, 132.4, 131.2, 130.3, 127.2, 123.1, 121.4, 118.9, 113.9, 76.8, 71.4, 55.5, 54.7, 52.8.**HRMS(ESI)** Calcd. for $C_{25}H_{23}BrN_2O_7S$ $(M+H)^+$ 597.0302, found 597.0337.

Methyl 3-(1-(4-bromophenyl)-1-((4-chlorophenyl)amino)-2-methoxy-2-oxoethyl)-2,3-dihydrobenzo[d] isothiazole-3-carboxylate 1,1-dioxide **(4o)**. White solid, *syn*/*anti* isomer (1:1) ratio.



***anti*-4o**

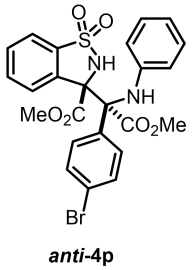
***anti*-4o** (38% yield)**: ^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.81 (d, *J*= 7.77 Hz, 1H), 7.62 (t, *J*= 7.56 Hz, 1H), 7.47 – 7.38 (m, 3H), 7.23 (d, *J*= 7.99 Hz, 2H), 6.90 (d, *J*= 8.71 Hz, 2H), 6.63 (brs, 1H), 6.45 (d, *J*= 8.07 Hz, 1H), 6.21 (d, *J*= 8.72 Hz, 2H), 5.72 (brs, 1H), 3.76 (s, 3H), 3.72 (s, 3H); **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 171.4, 167.8, 142.9, 136.2, 132.7, 132.0, 131.3, 130.9, 130.7, 128.7, 128.2, 124.1, 123.8, 121.2, 118.0, 74.2, 73.3, 54.1, 53.3. **HRMS(ESI)** Calcd. For $C_{24}H_{20}BrClN_2O_6S$ $(M+Na)^+$ 600.9806, found 600.9803.



***syn*-4o**

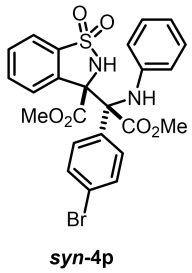
***syn*-4o** (38% yield)**:** ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.13 (d, *J*= 8.03 Hz, 1H), 7.95 (d, *J*= 7.49 Hz, 1H), 7.65 (t, *J*= 7.54 Hz, 1H), 7.58 (t, 1H), 7.54 (d, *J*= 6.31 Hz, 2H), 7.50 (d, *J*= 7.89 Hz, 1H), 7.36 (s, 1H), 7.16 (brs, 1H), 6.97 (d, *J*= 8.74 Hz, 2H), 6.41 (d, *J*= 8.76 Hz, 2H), 5.85 (brs, 1H), 3.92 (s, 3H), 3.71 (s, 3H).; **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 171.6, 171.4, 142.4, 135.5, 133.0, 132.8, 132.1, 131.3, 129.5, 129.2, 128.3, 126.8, 126.4, 124.4, 123.4, 121.6, 118.5, 76.2, 71.2, 54.8, 53.0. **HRMS(ESI)** Calcd. For $C_{24}H_{20}BrClN_2O_6S$ $(M+Na)^+$ 600.9806, found 600.9803.

Methyl 3-(1-(4-bromophenyl)-2-methoxy-2-oxo-1-(phenylamino)ethyl)-2,3-dihydrobenzo[d]isothiazole-3-carboxylate 1,1-dioxide **(4p)**. White solid, *syn*/*anti* isomer (1:1) ratio.



***anti*-4p**

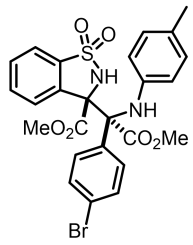
***anti*-4p** (36% yield)**: ^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.80 (d, *J*= 7.74 Hz, 1H), 7.60 (t, *J*= 7.56 Hz, 1H), 7.46 – 7.37 (m, 3H), 7.30 (d, *J*= 8.32 Hz, 2H), 6.96 (t, *J*= 7.70 Hz, 2H), 6.71 – 6.64 (m, 2H), 6.48 (d, *J*= 8.12 Hz, 1H), 6.31 (d, *J*= 8.06 Hz, 2H), 5.68 (brs, 1H), 3.75 (s, 3H), 3.69 (s, 3H).; **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 171.5, 167.9, 144.2, 136.1, 132.8, 132.2, 132.0, 131.4, 131.2, 130.6, 128.7, 128.4, 123.6, 121.2, 119.3, 116.7, 74.2, 73.0, 54.1, 53.2. **HRMS(ESI)** Calcd. for $C_{24}H_{21}BrN_2O_6S$ $(M+Na)^+$ 567.0196, found 567.0202.



***syn*-4p**

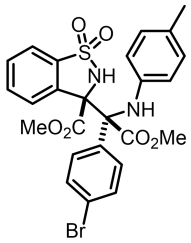
***syn*-4p** (36% yield)**: ^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.18 (d, *J*= 8.01 Hz, 1H), 7.95 (d, *J*= 7.58 Hz, 1H), 7.64 – 7.60 (m, 1H), 7.55 – 7.48 (m, 3H), 7.30 (s, 1H), 7.16 (s, 1H), 7.06 – 6.98 (m, 3H), 6.74 (t, *J*= 7.31 Hz, 1H), 6.48 (d, *J*= 8.01 Hz, 2H), 5.85 (brs, 1H), 3.93 (s, 3H), 3.69 (s, 3H).; **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 171.8, 171.3, 143.8, 141.9, 135.4, 132.9, 132.8, 131.2, 129.2, 128.4, 127.0, 126.4, 123.2, 121.5, 119.6, 117.4, 71.3, 54.7, 52.9. **HRMS(ESI)** Calcd. for $C_{24}H_{21}BrN_2O_6S$ $(M+Na)^+$ 567.0196, found 567.0202.

Methyl 3-(1-(4-bromophenyl)-2-methoxy-2-oxo-1-(p-tolylamino)ethyl)-2,3-dihydrobenzo[d]isothiazole-4-carboxylate 1,1-dioxide **(4q)**. White solid, *syn*/*anti* isomer (1:1) ratio.



***anti*-4q**

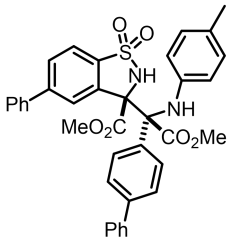
***anti*-4q** (43% yield)**: ^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.80 (d, *J*= 7.74 Hz, 1H), 7.60 (t, *J*= 7.57 Hz, 1H), 7.45 – 7.38 (m, 3H), 7.30 (d, *J*= 8.32 Hz, 2H), 6.77 (d, *J*= 7.99 Hz, 2H), 6.69 (brs, 1H), 6.47 (d, *J*= 8.08 Hz, 1H), 6.22 (d, *J*= 7.99 Hz, 2H), 5.57 (brs, 1H), 3.75 (s, 3H), 3.69 (s, 3H), 2.14 (s, 3H); **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 171.6, 168.0, 141.7, 136.0, 132.9, 132.2, 132.0, 131.6, 131.1, 130.6, 129.0, 128.7, 128.5, 123.6, 121.2, 116.7, 74.2, 73.0, 54.1, 53.2, 20.4. **HRMS(ESI)** Calcd. for $C_{25}H_{23}BrN_2O_6S$ $(M+Na)^+$ 581.0352, found 581.0336.



***syn*-4q**

***syn*-4q** (44% yield)**: ^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.18 (d, *J*= 8.00 Hz, 1H), 7.62 (t, *J*= 7.45 Hz, 1H), 7.55 – 7.47 (m, 2H), 7.24 – 7.10 (m, 3H), 7.02 (brs, 2H), 6.83 (d, *J*= 8.13 Hz, 2H), 6.39 (d, *J*= 8.44 Hz, 2H), 5.82 (brs, 1H), 3.92 (s, 3H), 3.68 (s, 3H), 2.18 (s, 3H); **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 171.9, 171.3, 141.3, 135.4, 132.9, 132.4, 131.2, 130.1, 130.0, 129.0, 128.9, 127.1, 123.1, 121.4, 117.4, 76.3, 71.4, 54.7, 52.9, 20.4. **HRMS(ESI)** Calcd. for $C_{25}H_{23}BrN_2O_6S$ $(M+Na)^+$ 581.0352, found 581.0336.

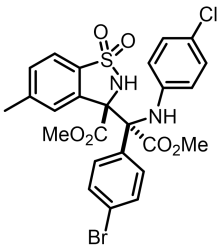
Methyl3-(1-([1,1'-biphenyl]-4-yl)-2-methoxy-2-oxo-1-(p-tolylamino)ethyl)-5-phenyl-2,3-dihydrobenzo[d] isothiazole-3-carboxylate 1,1-dioxide **(4r).** White solid, *syn*/*anti* isomer (5:1) ratio.



***syn*-4r**

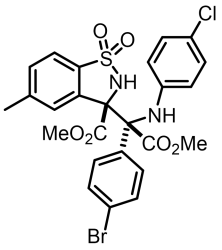
***syn*-4r** (87% yield)**: ^{1}H NMR** (400 MHz, Chloroform-d) δ 8.36 (brs, 1H), 7.67 (d, *J*= 8.05 Hz, 1H), 7.59 (d, *J*= 7.18 Hz, 2H), 7.53 – 7.45 (m, 6H), 7.36 (t, *J*= 7.50 Hz, 2H), 7.33 – 7.22 (m, 5H), 7.19 (s, 1H), 6.85 (d, *J*= 8.18 Hz, 2H), 6.50 (d, *J*= 8.29 Hz, 2H), 5.88 (brs, 1H), 3.94 (s, 3H), 3.72 (s, 3H), 2.18 (s, 3H).; ^{13}C NMR (101 MHz, Chloroform-d) δ 172.4, 171.5, 146.1, 141.8, 141.0, 140.3, 139.1, 134.1, 130.0, 129.3, 129.0, 128.9, 128.7, 127.5, 127.4, 127.1, 125.6, 125.4, 121.5, 117.6, 76.6, 71.8, 54.6, 52.8, 20.4. **HRMS(ESI)** Calcd. for $C_{37}H_{32}N_2O_6S$ $(M+Na)^+$ 655.1873, found 655.1869.

Methyl 3-(1-(4-bromophenyl)-1-((2-chlorophenyl)amino)-2-methoxy-2-oxoethyl)-5-methyl-2,3-dihydrobenzo 5-[d]isothiazole-3-carboxylate 1,1-dioxide **(4s)**. White solid, 73% yield, *syn*/*anti* isomer (1:1) ratio.



***anti*-4s:** **^{1}H NMR** (400 MHz, Methylene Chloride-d_2) δ 7.71 (d, J= 7.92 Hz, 1H), 7.50 (d, J= 8.31 Hz, 2H), 7.46 (d, J= 7.89 Hz, 1H), 7.28 (d, J= 7.87 Hz, 2H), 6.94 (d, J= 8.06 Hz, 2H), 6.61 (brs, 1H), 6.27 (d, J= 8.05 Hz, 2H), 6.17 (s, 1H), 5.77 (brs, 1H), 3.79 (s, 3H), 3.74 (s, 3H), 2.28 (s, 3H); **^{13}C NMR** (101 MHz, Methylene Chloride-d_2) δ 171.0, 167.9, 143.1, 133.4, 132.9, 132.2, 132.1, 131.3, 130.4, 129.0, 128.1, 123.7, 123.6, 120.6, 117.9, 74.2, 73.2, 54.0, 53.1, 53.1, 21.5. **HRMS(ESI)** Calcd. for $C_{25}H_{22}BrClN_2O_6S$ $(M+Na)^+$ 614.9963, found 614.9927.

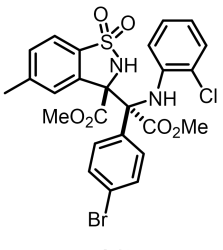
***anti*-4s**



***syn*-4s:** **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.86 (s, 1H), 7.39 – 7.31 (m, 3H), 7.18 (s, 2H), 7.03 – 6.93 (m, 3H), 6.42 (d, J= 8.18 Hz, 2H), 5.83 (brs, 1H), 3.92 (s, 3H), 3.69 (s, 3H), 2.49 (s, 3H); **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 171.5, 171.5, 144.1, 142.5, 132.9, 132.4, 132.2, 129.7, 128.3, 126.9, 124.4, 123.3, 121.3, 118.6, 76.2, 71.0, 54.7, 53.0, 22.1. **HRMS(ESI)** Calcd. for $C_{25}H_{22}BrClN_2O_6S$ $(M+Na)^+$ 614.9963, found 614.9927.

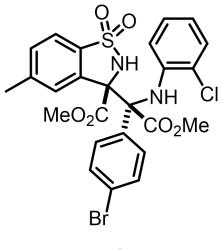
***syn*-4s**

Methyl 3-(1-(4-bromophenyl)-1-((4-chlorophenyl)amino)-2-methoxy-2-oxoethyl)-5-methyl-2,3-dihydrobenzo[d] isothiazole-3-carboxylate 1,1-dioxide **(4t)**. White solid, 78% yield, *syn*/*anti* isomer (1:1) ratio.



***anti*-4t:** **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.73 (s, 1H), 7.67 (d, J= 7.94 Hz, 1H), 7.58 (d, J= 8.24 Hz, 2H), 7.50 – 7.43 (m, 3H), 7.30 (d, J= 7.83 Hz, 1H), 6.81 (t, J= 7.73 Hz, 1H), 6.65 (t, J= 7.54 Hz, 1H), 6.49 (brs, 1H), 6.02 (d, J= 8.17 Hz, 1H), 5.95 (brs, 1H), 3.66 (s, 3H), 3.46 (s, 3H), 2.51 (s, 3H).; **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 168.6, 167.8, 144.0, 140.2, 133.6, 133.2, 132.4, 132.2, 132.0, 131.0, 129.4, 128.4, 127.3, 123.7, 121.2, 121.1, 119.5, 115.1, 73.4, 72.7, 54.5, 53.3, 22.1. **HRMS(ESI)** Calcd. for $C_{25}H_{22}BrClN_2O_6S$ $(M+Na)^+$ 614.9963, found 614.9978.

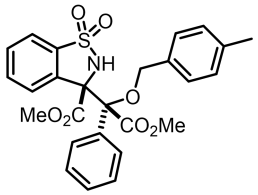
***anti*-4t**



***syn*-4t:** **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.20 (brs, 1H), 7.79 (s, 1H), 7.38 (d, J= 7.99 Hz, 1H), 7.35 – 7.30 (m, 2H), 7.26 (s, 2H), 6.75 (t, J= 7.74 Hz, 1H), 6.65 (t, J= 7.56 Hz, 1H), 6.07 (d, J= 8.25 Hz, 1H), 5.81 (brs, 1H), 3.96 (s, 3H), 3.76 (s, 3H), 2.50 (s, 3H); **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 171.6, 171.1, 144.0, 140.1, 133.1, 132.3, 132.1, 129.3, 129.1, 127.6, 126.4, 123.3, 121.7, 121.2, 119.2, 117.5, 75.5, 71.6, 54.8, 53.2, 22.1. **HRMS(ESI)** Calcd. for $C_{25}H_{22}BrClN_2O_6S$ $(M+Na)^+$ 614.9963, found 614.9978.

***syn*-4t**

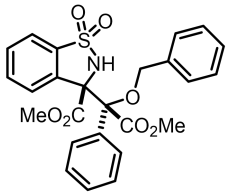
Methyl 3-(2-methoxy-1-((4-methylbenzyl)oxy)-2-oxo-1-phenylethyl)-2,3-dihydrobenzo[d]isothiazole-3-carboxylate 1,1-dioxide **(6a)**. White solid, *syn*/*anti* isomer (10:1) ratio.



***syn*-6a**

***syn*-6a** (50% yield)**: ^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.10 (t, *J* = 4.90, 3.26 Hz, 1H), 7.74 (t, *J* = 4.72, 3.30 Hz, 1H), 7.66 – 7.61 (m, 2H), 7.61 – 7.57 (m, 2H), 7.43 – 7.37 (m, 3H), 7.28 (d, *J*= 7.72 Hz, 2H), 7.21 (d, *J*= 7.72 Hz, 2H), 5.81 (brs, 1H), 4.57 (d, *J*= 11.41 Hz, 1H), 4.34 (d, *J*= 11.42 Hz, 1H), 3.54 (s, 6H), 2.39 (s, 3H).; **^{13}C NMR** (101 MHz, Chloroform-d) δ 168.0, 167.8, 137.5, 135.8, 134.4, 134.2, 133.6, 132.4, 130.3, 129.7, 129.3, 129.2, 128.8, 128.0, 127.1, 120.6, 89.1, 73.6, 68.7, 53.9, 52.6, 21.2. **HRMS(ESI)** Calcd. for $C_{26}H_{25}NO_7S$ $(M+Na)^+$ 518.1244, found 518.1244.

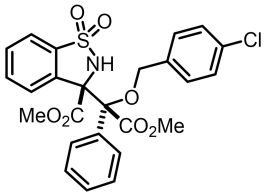
Methyl 3-(1-(benzyloxy)-2-methoxy-2-oxo-1-phenylethyl)-2,3-dihydrobenzo[d]isothiazole-3-carboxylate1,1-dioxide **(6b)**. White solid, *syn*/*anti* isomer (9:1) ratio.



***syn*-6b**

***syn*-6b** (51.5% yield)**: ^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.10 (t, *J* = 4.48, 3.82 Hz, 1H), 7.74 (t, *J*= 4.08 Hz, 1H), 7.64 – 7.58 (m, 4H), 7.43 – 7.38 (m, 7H), 5.82 (brs, 1H), 4.63 (d, *J*= 11.71 Hz, 1H), 4.39 (d, *J*= 11.70 Hz, 1H), 3.55 (s, 3H), 3.53 (s, 3H); **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 168.0, 167.8, 137.3, 135.8, 134.3, 133.5, 132.4, 130.4, 129.7, 129.3, 128.8, 128.5, 128.1, 127.8, 127.0, 120.7, 89.2, 73.6, 68.7, 53.9, 52.6. **HRMS(ESI)** Calcd. for $C_{25}H_{23}NO_7S$ $(M+Na)^+$ 504.1087, found 504.1070.

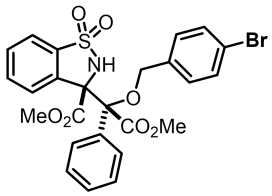
Methyl 3-(1-((4-chlorobenzyl)oxy)-2-methoxy-2-oxo-1-phenylethyl)-2,3-dihydrobenzo[d]isothiazole-3-carboxylate 1,1-dioxide **(6c)**. White solid, *syn*/*anti* isomer (10:1) ratio.



***syn*-6c**

***syn*-6c** (59.7% yield)**: ^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.96 (d, *J*= 7.41 Hz, 1H), 7.75 (d, *J*= 7.39 Hz, 1H), 7.64 – 7.57 (m, 2H), 7.57 – 7.52 (m, 2H), 7.44 – 7.39 (m, 3H), 7.37 (d, *J*= 8.25 Hz, 2H), 7.31 (d, *J*= 8.22 Hz, 2H), 5.84 (brs, 1H), 4.56 (d, *J*= 11.96 Hz, 1H), 4.39 (d, *J*= 11.95 Hz, 1H), 3.56 (s, 6H); **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 168.1, 167.7, 136.0, 135.8, 134.2, 133.5, 133.3, 132.4, 130.5, 129.4, 129.4, 128.8, 128.7, 128.4, 128.1, 120.8, 89.3, 73.6, 68.1, 54.0, 52.7. **HRMS(ESI)** Calcd. for $C_{25}H_{22}ClNO_7S$ $(M+Na)^+$ 538.0698, found 538.0725.

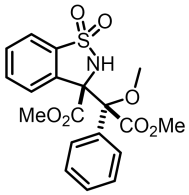
Methyl 3-(1-((4-bromobenzyl)oxy)-2-methoxy-2-oxo-1-phenylethyl)-2,3-dihydrobenzo[d]isothiazole-3-carboxylate 1,1-dioxide **(6d)**. White solid, *syn*/*anti* isomer (10:1) ratio.



***syn*-6d**

***syn*-6d** (62% yield)**: ^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.95 (d, *J*= 7.43 Hz, 1H), 7.75 (d, *J*= 7.37 Hz, 1H), 7.64 – 7.57 (m, 2H), 7.57 – 7.53 (m, 2H), 7.52 (d, *J*= 8.20 Hz, 2H), 7.43 – 7.37 (m, 3H), 7.25 (d, *J*= 8.82 Hz, 2H), 5.84 (brs, 1H), 4.54 (d, *J*= 12.00 Hz, 1H), 4.38 (d, *J*= 12.01 Hz, 1H), 3.56 (s, 6H); **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 168.1, 167.6, 136.3, 136.0, 134.2, 133.3, 132.3, 131.7, 130.5, 129.4, 129.4, 128.8, 128.7, 128.1, 120.8, 89.3, 73.6, 68.1, 53.9, 52.7. **HRMS(ESI)** Calcd. for $C_{25}H_{22}BrNO_7S$ $(M+Na)^+$ 582.0193, found 582.0174.

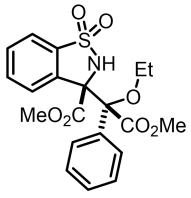
Methyl 3-(1,2-dimethoxy-2-oxo-1-phenylethyl)-2,3-dihydrobenzo[d]isothiazole-3-carboxylate 1,1-dioxide **(6e).** White solid, *syn*/*anti* isomer (8:1) ratio.



***syn*-6e**

***syn*-6e** (62.5% yield)**: ^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.97 (d, *J*= 7.37 Hz, 1H), 7.73 (d, *J*= 6.97 Hz, 1H), 7.65 – 7.55 (m, 4H), 7.45 – 7.37 (m, 3H), 5.86 (brs, 1H), 3.59 (s, 3H), 3.55 (s, 3H), 3.34 (s, 3H); **^{13}CNMR** (101 MHz, Chloroform-*d*) δ 168.0, 167.8, 135.8, 134.3, 133.4, 132.4, 130.3, 129.4, 129.2, 128.9, 127.9, 120.6, 89.3, 73.6, 54.9, 53.9, 52.6. **HRMS(ESI)** Calcd. for $C_{19}H_{19}NO_7S_3$ $(M+Na)^+$ 428.0774, found 428.0751.

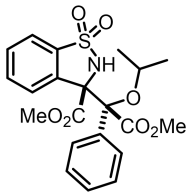
Methyl 3-(1-ethoxy-2-methoxy-2-oxo-1-phenylethyl)-2,3-dihydrobenzo[d]isothiazole-3-carboxylate 1,1-dioxide **(6f).** White solid, *syn*/*anti* isomer (9:1) ratio.



***syn*-6f**

***syn*-6f** (74.3% yield)**: ^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.05 (d, *J*= 7.73 Hz, 1H), 7.73 (d, *J*= 7.19 Hz, 1H), 7.65 – 7.58 (m, 2H), 7.59 – 7.54 (m, 2H), 7.43 – 7.37 (m, 3H), 5.83 (brs, 1H), 3.56(s, 3H), 3.55 (q, *J*= 9.51 Hz, 1H), 3.54(s, 3H), 3.28 (q, *J*= 7.96, 7.51 Hz, 1H), 1.34 (t, *J*= 6.90 Hz, 3H).; **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 168.2, 167.9, 135.8, 134.5, 134.0, 132.2, 130.2, 129.5, 129.1, 128.7, 127.9, 120.6, 88.8, 73.6, 62.5, 53.8, 52.5, 15.1. **HRMS(ESI)** Calcd. for $C_{20}H_{21}NO_7S$ $(M+Na)^+$ 442.0931, found 442.0936.

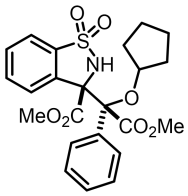
Methyl 3-(1-isopropoxy-2-methoxy-2-oxo-1-phenylethyl)-2,3-dihydrobenzo[d]isothiazole-3-carboxylate 1,1-dioxide **(6g)**. White solid, *syn*/*anti* isomer (9:1) ratio.



***syn*-6g**

***syn*-6g** (74% yield)**:** ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.16 (d, *J*= 7.74 Hz, 1H), 7.72 (d, *J*= 7.31 Hz, 1H), 7.64 – 7.56 (m, 4H), 7.41 – 7.35 (m, 3H), 5.65 (brs, 1H), 3.94 – 3.85 (m, 1H), 3.54 (s, 3H), 3.53 (s, 3H), 1.31 (d, *J*= 6.09 Hz, 3H), 1.06 (d, *J*= 5.92 Hz, 3H).; **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 168.8, 168.0, 135.8, 135.1, 132.2, 130.1, 129.5, 129.1, 128.9, 127.6, 120.5, 88.8, 73.8, 70.5, 53.8, 52.3, 24.5, 22.5. **HRMS(ESI)** Calcd. for $C_{21}H_{23}NO_7S_3$ $(M+Na)^+$ 456.1087, found 456.1054.

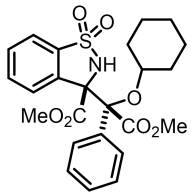
*Methyl 3-(1-(cyclopentyloxy)-2-methoxy-2-oxo-1-phenylethyl)-2,3-dihydrobenzo[d]isothiazole-3-carboxylate 1,1-dioxide **(6h)**.* White solid, *syn*/*anti* isomer (10:1) ratio.



***syn*-6h**

***syn*-6h** (81.7% yield)**: ^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.09 (d, *J*= 7.50 Hz, 1H), 7.73 (d, *J*= 7.05 Hz, 1H), 7.64 – 7.56 (m, 2H), 7.55 – 7.48 (m, 2H), 7.41 – 7.35 (m, 3H), 5.68 (brs, 1H), 4.15 – 4.07 (m, 1H), 3.53 (s, 6H), 2.08 – 1.95 (m, 1H), 1.96 – 1.86 (m, 1H), 1.87 – 1.76 (m, 1H), 1.75 – 1.66 (m, 1H), 1.54 – 1.39 (m, 4H).; **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 169.0, 167.9, 135.8, 135.4, 135.1, 132.1, 130.1, 129.3, 129.0, 129.0, 127.8, 120.6, 89.1, 79.2, 73.5, 53.8, 52.4, 34.6, 32.2, 24.2, 23.7. **HRMS(ESI)** Calcd. for $C_{23}H_{25}NO_7S$ $(M+Na)^+$ 482.1244 , found 482.1222.

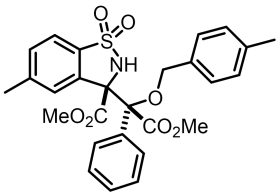
Methyl 3-(1-(cyclohexyloxy)-2-methoxy-2-oxo-1-phenylethyl)-2,3-dihydrobenzo[d]isothiazole-3-carboxylate 1,1-dioxide **(6i)**. White solid, *syn*/*anti* isomer (10:1) ratio.



***syn*-6i**

***syn*-6i** (78% yield)**: ^{1}H NMR** (400 MHz, Chloroform-*d*) δ 8.20 (d, *J*= 7.79 Hz, 1H), 7.72 (d, *J*= 7.48 Hz, 1H), 7.65 – 7.56 (m, 4H), 7.40 – 7.35 (m, 3H), 5.64 (brs, 1H), 3.62 – 3.49 (m, 1H), 3.54(s, 3H), 3.51(s, 3H), 1.94 (d, *J*= 12.17 Hz, 1H), 1.83 – 1.72 (m, 1H), 1.65 – 1.58 (m, 3H), 1.53 – 1.43 (m, 1H), 1.38 – 1.27 (m, 1H), 1.24 (d, *J*= 10.63 Hz, 3H), 1.18 – 1.07 (m, 1H).; **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 168.9, 168.0, 135.8, 135.8, 135.1, 132.1, 130.1, 129.6, 129.1, 129.0, 127.6, 120.5, 88.8, 76.2, 73.9, 53.8, 52.2, 34.4, 32.4, 25.4, 24.4, 24.1. **HRMS(ESI)** Calcd. for $C_{24}H_{27}NO_7S$ $(M+Na)^+$ 496.1400, found 496.1401.

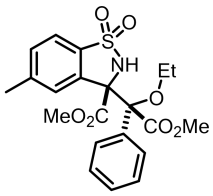
Methyl 3-(2-methoxy-1-((4-methylbenzyl)oxy)-2-oxo-1-phenylethyl)-2,3-dihydrobenzo[d]isothiazole-3-carboxylate 1,1-dioxide **(6j)**. White solid, *syn*/*anti* isomer (10:1) ratio.



***syn*-6j**

***syn*-6j** (51.7% yield)**: ^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.83 (s, 1H), 7.66 – 7.58 (m, 3H), 7.44 – 7.37 (m, 4H), 7.27 (d, *J*= 7.87 Hz, 2H), 7.21 (d, *J*= 7.79 Hz, 2H), 5.81 (brs, 1H), 4.58 (d, *J*= 11.23 Hz, 1H), 4.29 (d, *J*= 11.19 Hz, 1H), 3.55 (s, 3H), 3.51 (s, 3H), 2.43 (s, 3H), 2.40 (s, 3H).; **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 167.9, 143.1, 137.6, 134.5, 134.2, 133.7, 133.2, 131.3, 130.1, 129.2, 129.2, 128.9, 128.0, 127.4, 120.3, 89.1, 73.5, 68.8, 53.9, 52.6, 22.0, 21.3. **HRMS(ESI)** Calcd. for $C_{26}H_{25}NO_7S$ $(M+Na)^+$ 532.1400, found 532.1392.

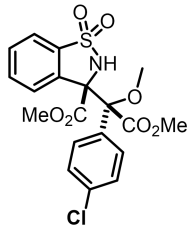
Methyl 3-(1-ethoxy-2-methoxy-2-oxo-1-phenylethyl)-2,3-dihydrobenzo[d]isothiazole-3-carboxylate1,1-dioxide **(6k)**. White solid, *syn*/*anti* isomer (10:1) ratio.



***syn*-6k**

***syn*-6k** (63.8% yield)**: ^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.70 (s, 1H), 7.60 (d, *J*= 7.96 Hz, 1H), 7.56 – 7.50 (m, 2H), 7.44 – 7.36 (m, 4H), 5.85 (brs, 1H), 3.59(s, 3H), 3.56 (q, *J*= 22.63 Hz, 1H), 3.53(s, 3H), 3.28 (q, *J*= 7.38 Hz, 1H), 2.47 (s, 3H), 1.33 (t, *J*= 6.91 Hz, 3H); **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 168.3, 168.0, 143.0, 134.6, 134.1, 133.2, 131.2, 129.7, 129.0, 128.8, 127.8, 120.3, 88.7, 73.5, 62.5, 53.8, 52.6, 22.1, 15.1. **HRMS(ESI)** Calcd. For $C_{20}H_{21}NO_7S$ $(M+Na)^+$ 456.1087, found 456.1081.

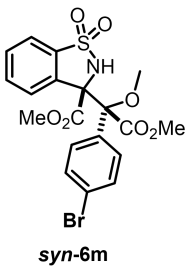
Methyl 3-(1-(4-chlorophenyl)-1,2-dimethoxy-2-oxoethyl)-2,3-dihydrobenzo[d]isothiazole-3-carboxylate 1,1-dioxide **(6l)**. White solid, *syn*/*anti* isomer (10:1) ratio.



***syn*-6l**

***syn*-6l (**63% yield)**: ^{1}H NMR** (400 MHz, Chloroform-*d*) δ 7.97 (d, *J*= 7.37 Hz, 1H), 7.73 (d, *J*= 7.00 Hz, 1H), 7.67 – 7.58 (m, 2H), 7.53 (d, *J*= 8.26 Hz, 2H), 7.38 (d, *J*= 8.31 Hz, 2H), 5.85 (brs, 1H), 3.59 (s, 6H), 3.31 (s, 3H); **^{13}C NMR** (101 MHz, Chloroform-*d*) δ 167.8, 167.7, 135.8, 135.4, 134.1, 132.5, 132.0, 130.5, 129.3, 128.1, 120.7, 89.0, 73.5, 54.9, 54.0, 52.8. **HRMS(ESI)** Calcd. for $C_{19}H_{18}ClNO_7S$ $(M+Na)^+$ 462.0385, found 462.0364.

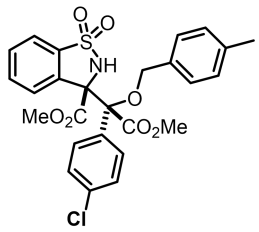
Methyl 3-(1-(4-bromophenyl)-1,2-dimethoxy-2-oxoethyl)-2,3-dihydrobenzo[d]isothiazole-3-carboxylate 1,1-dioxide **(6m)**. White solid, *syn*/*anti* isomer (10:1) ratio.



***syn*-6m**

***syn*-6m** (58% yield)**:** ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.97 (d, *J*= 7.38 Hz, 1H), 7.73 (d, *J*= 6.70 Hz, 1H), 7.65 – 7.59 (m, 2H), 7.54 (d, *J*= 8.31 Hz, 2H), 7.46 (d, 2H), 5.85 (brs, 1H), 3.59 (s, 3H), 3.58 (s, 3H), 3.31 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 167.8, 167.6, 135.8, 134.0, 132.6, 132.5, 131.1, 130.8, 130.5, 129.3, 123.7, 120.7, 89.1, 73.4, 54.9, 54.1, 52.8. **HRMS(ESI)** Calcd. for $C_{19}H_{18}BrNO_7S$ $(M+Na)^+$ 505.9880, found 505.9860.

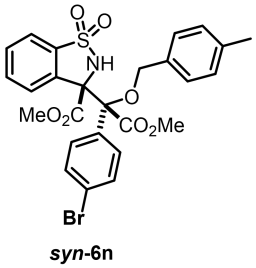
Methyl 3-(1-(4-chlorophenyl)-2-methoxy-1-((4-methylbenzyl)oxy)-2-oxoethyl)-2,3-dihydrobenzo[d]isothiazole-3-carboxylate 1,1-dioxide **(6n)**. White solid, *syn*/*anti* isomer (10:1) ratio.



***syn*-6n**

***syn*-6n** (63% yield)**: ^{1}H NMR** (400 MHz, Chloroform-*d*) *δ* 8.09 (t, *J*= 3.31 Hz, 1H), 7.74 (t, *J*= 3.95 Hz, 1H), 7.64 – 7.55 (m, 4H), 7.37 (d, *J*= 8.34 Hz, 2H), 7.25 (d, *J*= 5.97 Hz, 2H), 7.22 (d, *J*= 7.96 Hz, 2H), 5.82 (s, 1H), 4.49 (d, *J*= 11.32 Hz, 1H), 4.33 (d, *J*= 11.33 Hz, 1H), 3.57 (s, 3H), 3.53 (s, 3H), 2.40 (s, 3H); **^{13}C NMR** (101 MHz, Chloroform-*d*) *δ* 167.8, 167.7, 137.7, 135.8, 135.5, 134.1, 133.9, 132.5, 132.2, 130.5, 130.4, 129.6, 129.3, 128.2, 127.1, 120.7, 88.8, 73.4, 68.8, 54.1, 52.8, 21.3. **HRMS(ESI)** Calcd. for $C_{26}H_{24}ClNO_7S$ $(M+H)^+$ 552.0854, found 552.0851.

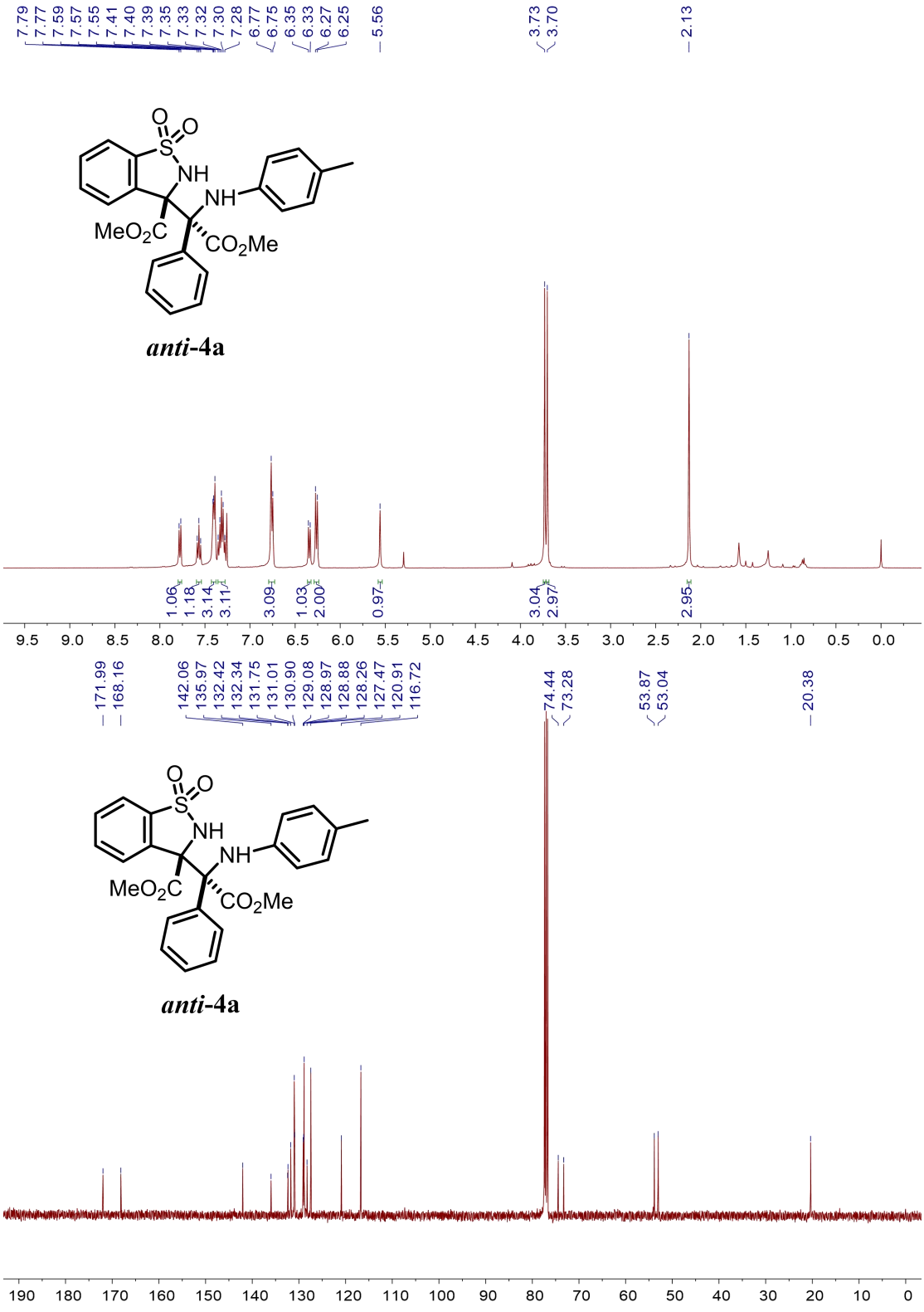
Methyl 3-(1-(4-bromophenyl)-2-methoxy-1-((4-methylbenzyl)oxy)-2-oxoethyl)-2,3-dihydrobenzo[d]isothiazole-3-carboxylate 1,1-dioxide **(6o)**. White solid, *syn*/*anti* isomer (10:1) ratio.

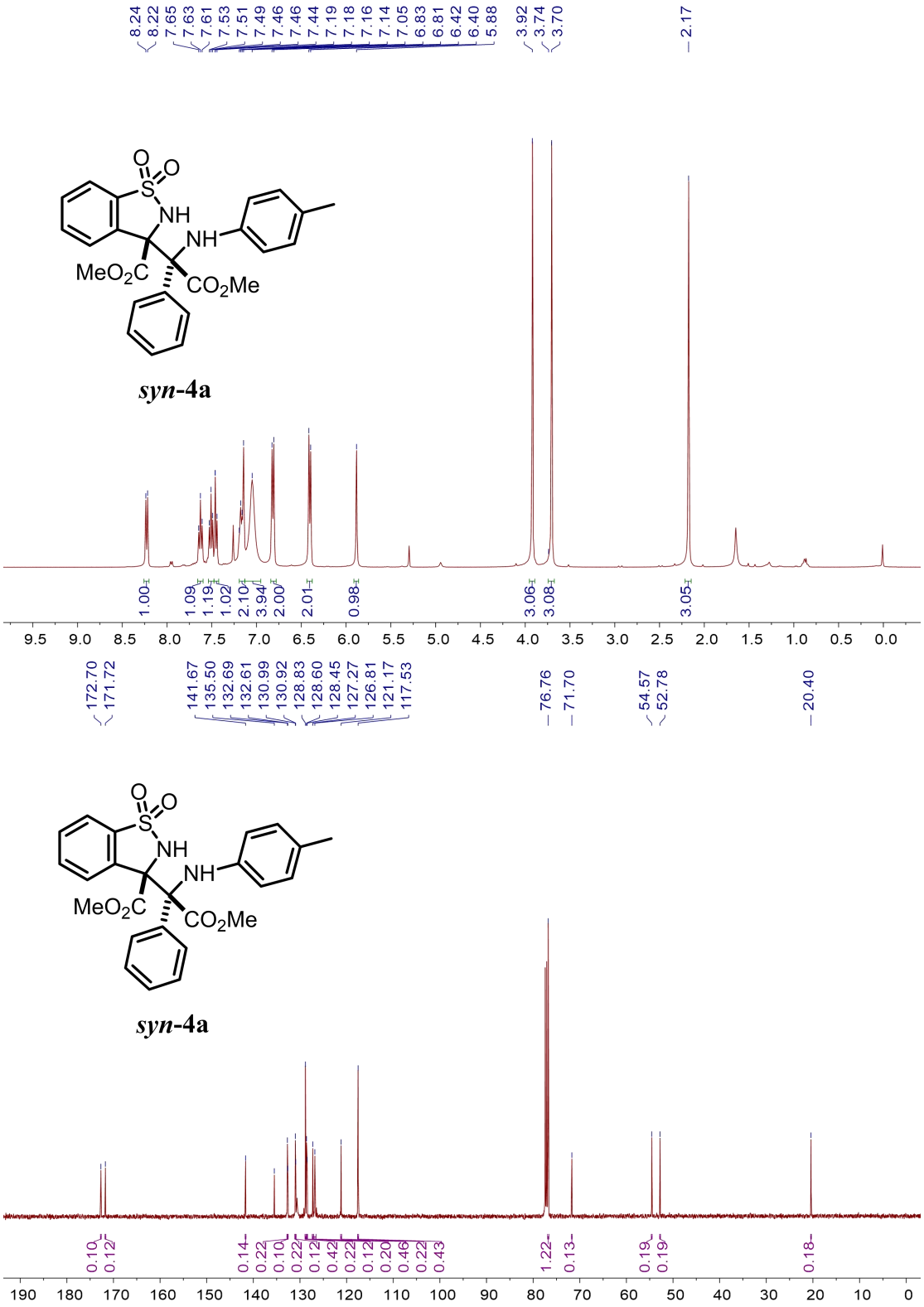


***syn*-6n**

***syn*-6o** (58% yield)**: ^{1}H NMR** (400 MHz, Chloroform-*d*) *δ* 8.08 (t, J = 5.20 Hz, 1H), 7.74 (d, J = 4.33 Hz, 1H), 7.64 – 7.58 (m, 2H), 7.56 – 7.48 (m, 4H), 7.25 (d, *J*= 7.52 Hz, 2H), 7.21 (d, *J*= 7.94 Hz, 2H), 5.82 (s, 1H), 4.48 (d, *J*= 11.36 Hz, 1H), 4.33 (d, *J*= 11.35 Hz, 1H), 3.57 (s, 3H), 3.53 (s, 3H), 2.39 (s, 3H)； **^{13}C NMR** (101 MHz, Chloroform-*d*) *δ* 167.7, 167.6, 137.7, 135.8, 134.1, 133.8, 132.8, 132.5, 131.2, 130.7, 130.5, 129.6, 129.3, 127.1, 123.8, 120.7, 88.9, 73.4, 68.8, 54.1, 52.8, 21.3. **HRMS(ESI)** Calcd. for $C_{26}H_{24}BrNO_7S$ $(M+H)^+$ 596.0349, found596.0353.

6. ^{1}H and ^{13}C NMR spectra for products 4 and 6

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6.81
6.42
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3.70
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O
S
NH
NH
MeO2C
CO2Me
syn-4a
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1.19
1.02
2.10
3.94
2.00
2.01
0.98
3.06
3.08
3.05
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CO2Me
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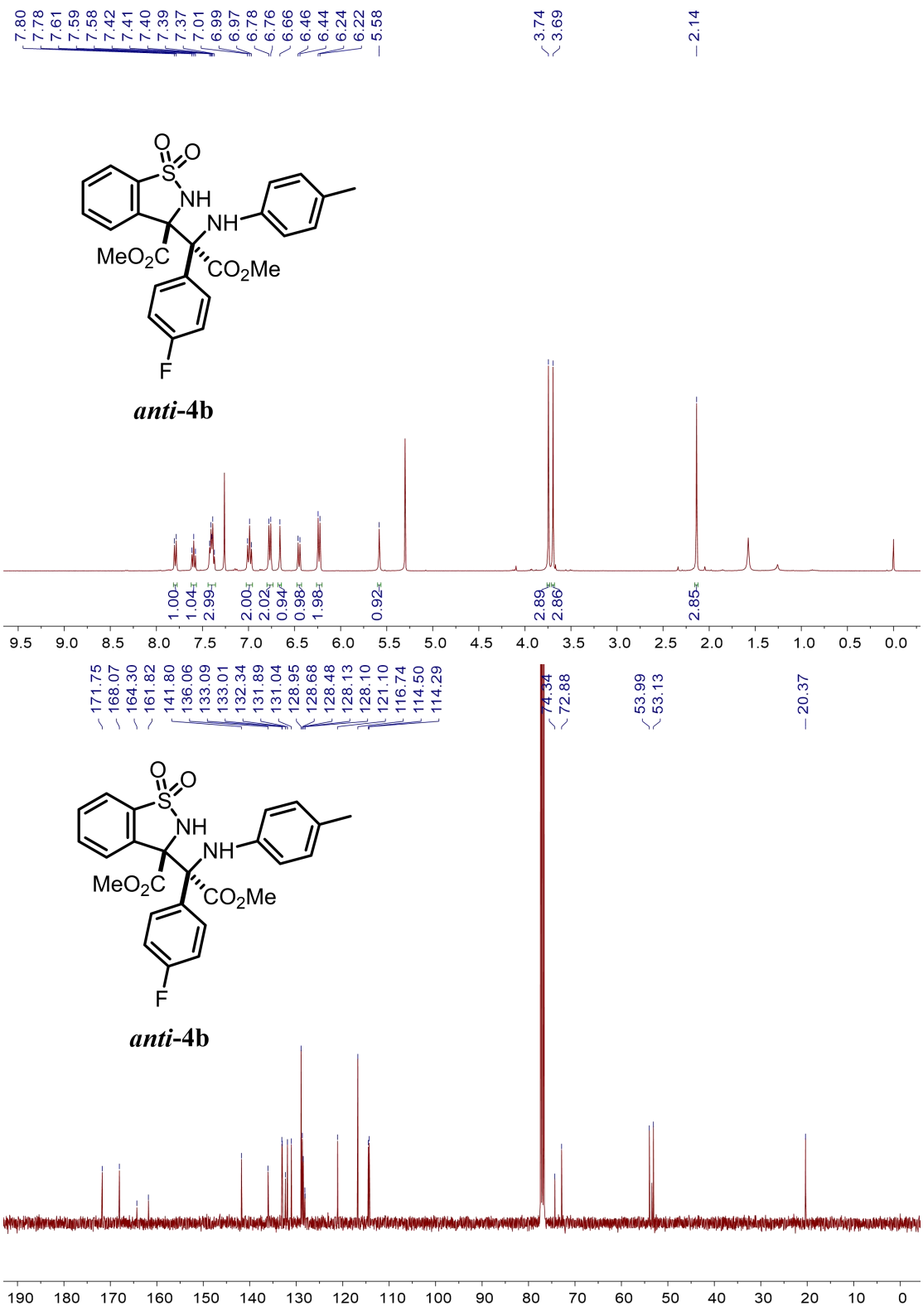
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S
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CO2Me
F
anti-4b
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1.04
2.99
2.00
2.02
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0.98
1.98
0.92
2.89
2.86
2.85
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168.07
164.30
161.82
141.80
136.06
133.09
133.01
132.34
131.89
131.04
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128.10
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CO2Me
F
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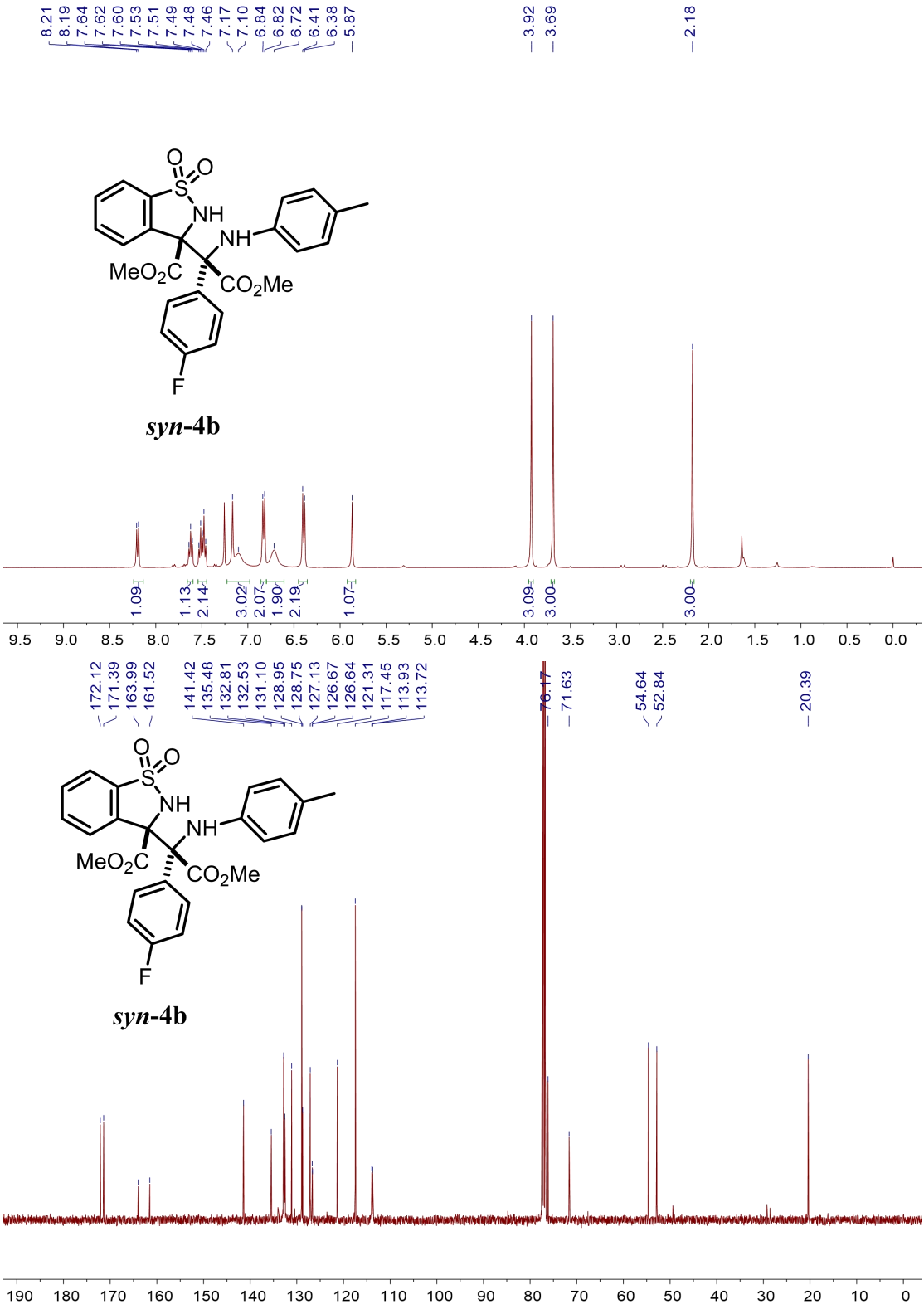
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NH
NH
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CO2Me
F
syn-4b
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NH
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CO2Me
F
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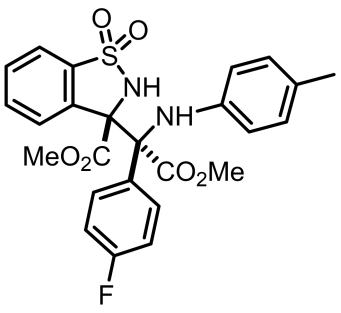

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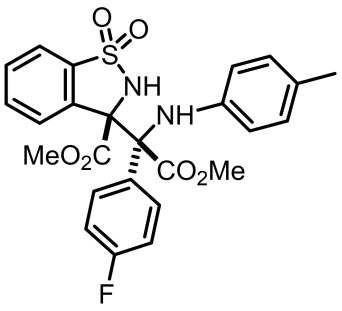

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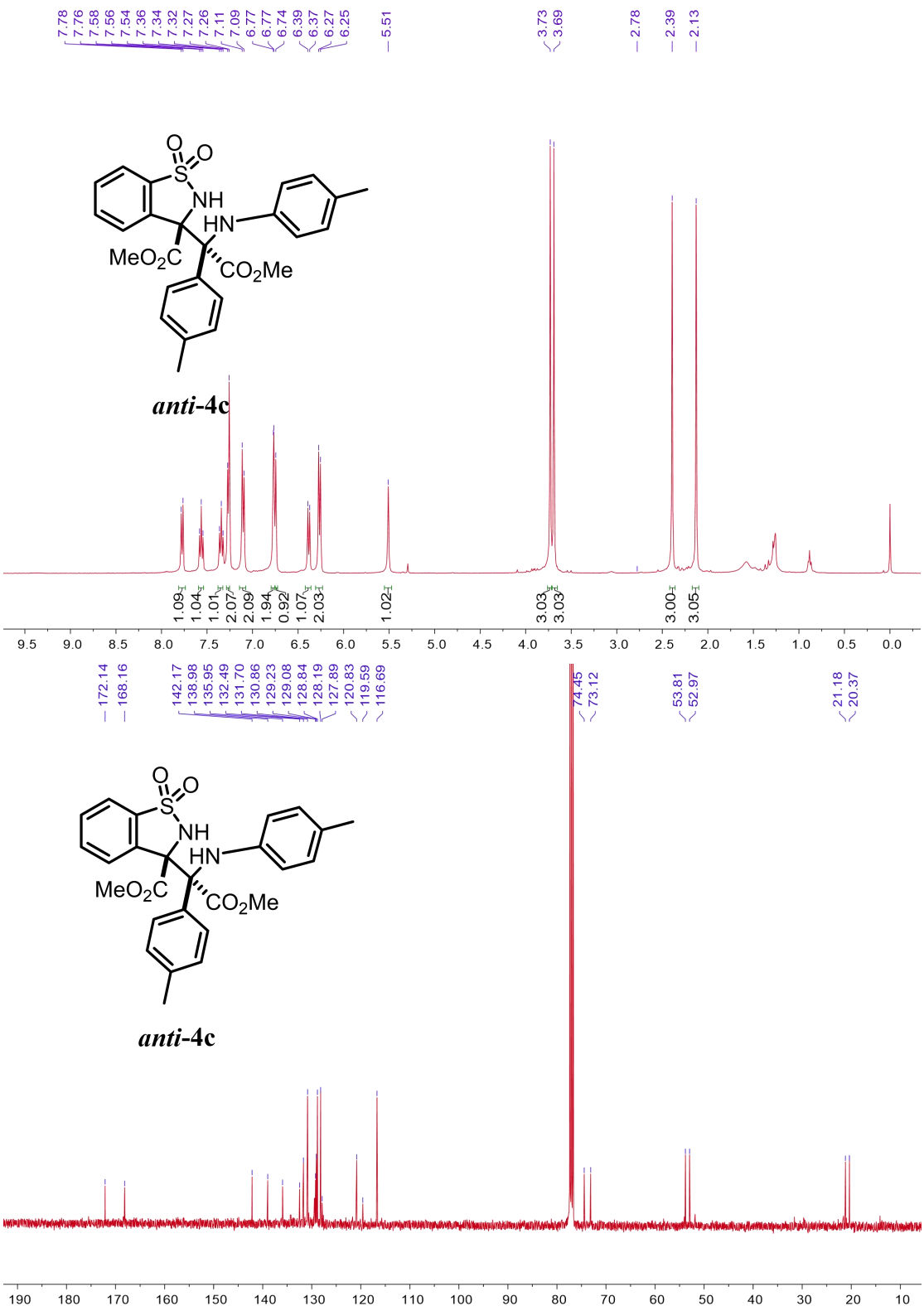
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O
O
S
NH
HN
MeO2C
CO2Me
anti-4c
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1.01
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0.92
1.07
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1.02
3.03
3.03
3.00
3.05
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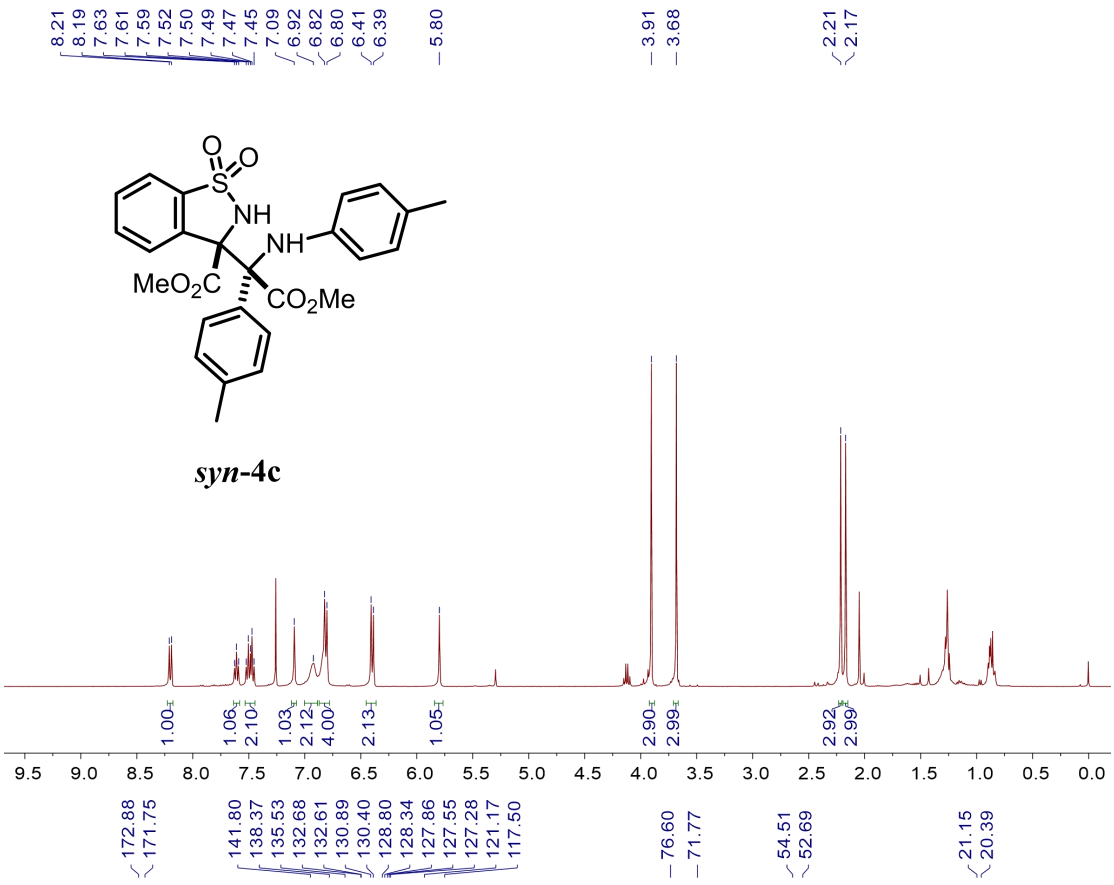
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6.39
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3.68
2.21
2.17
O
O
S
NH
NH
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CO2Me
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1.06
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1.03
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2.99
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

***syn*-4c**

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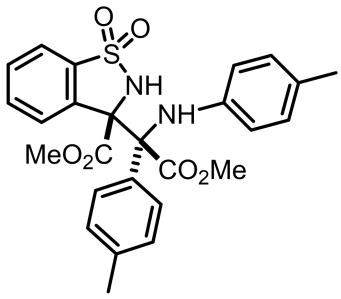
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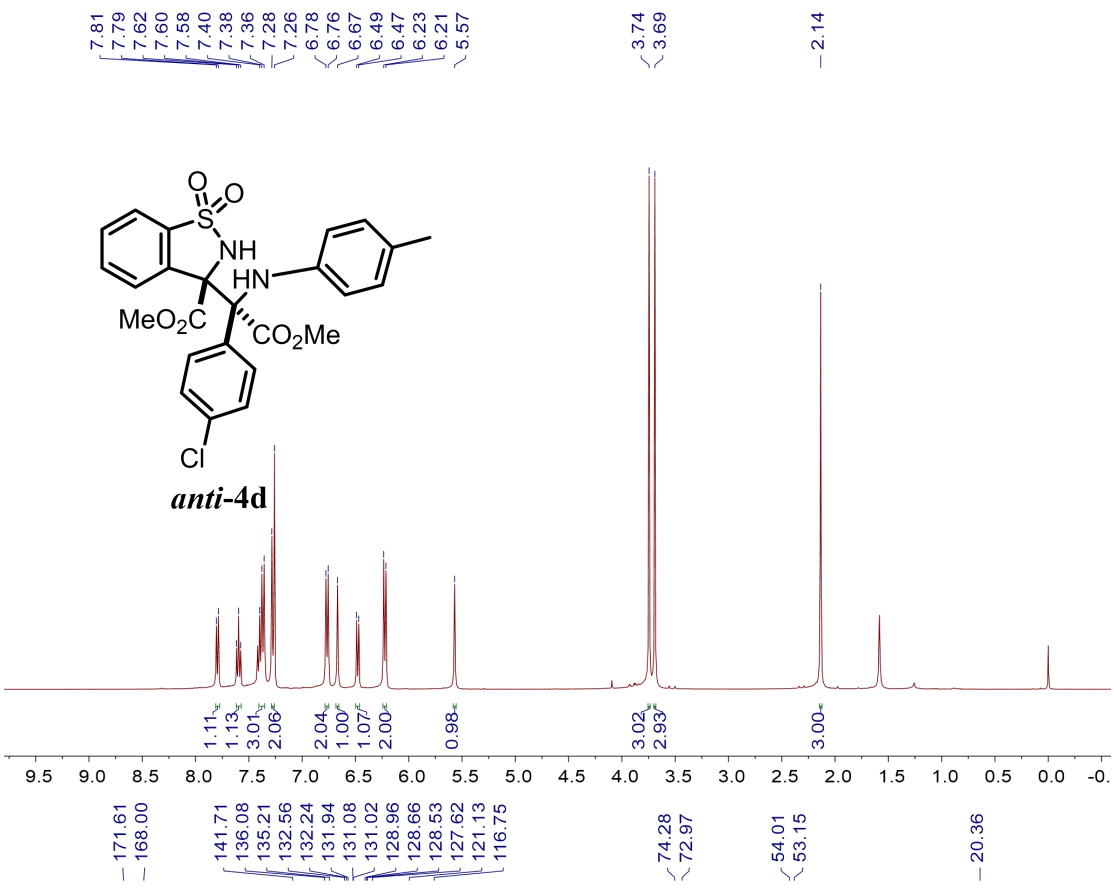
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O
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NH
HN
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CO2Me
Cl
anti-4d
1.11
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1.00
1.07
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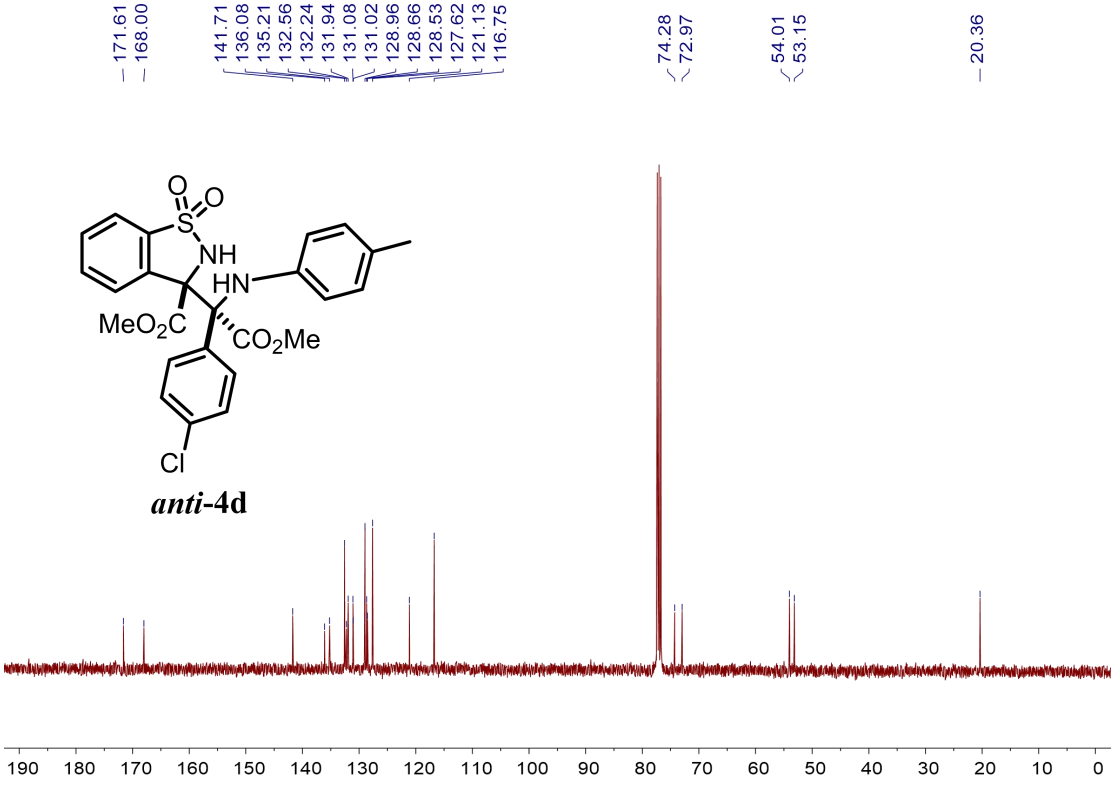
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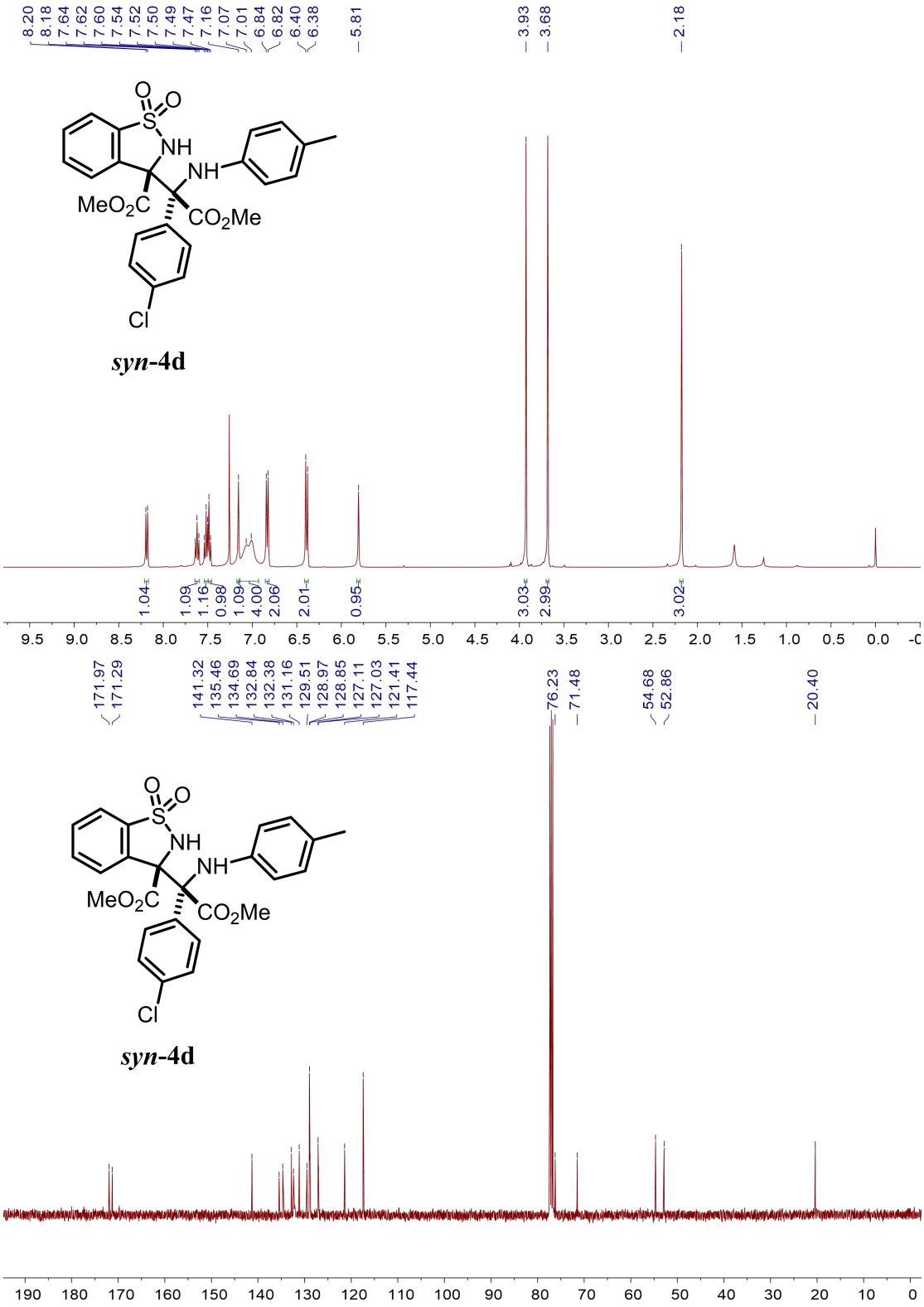
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Cl
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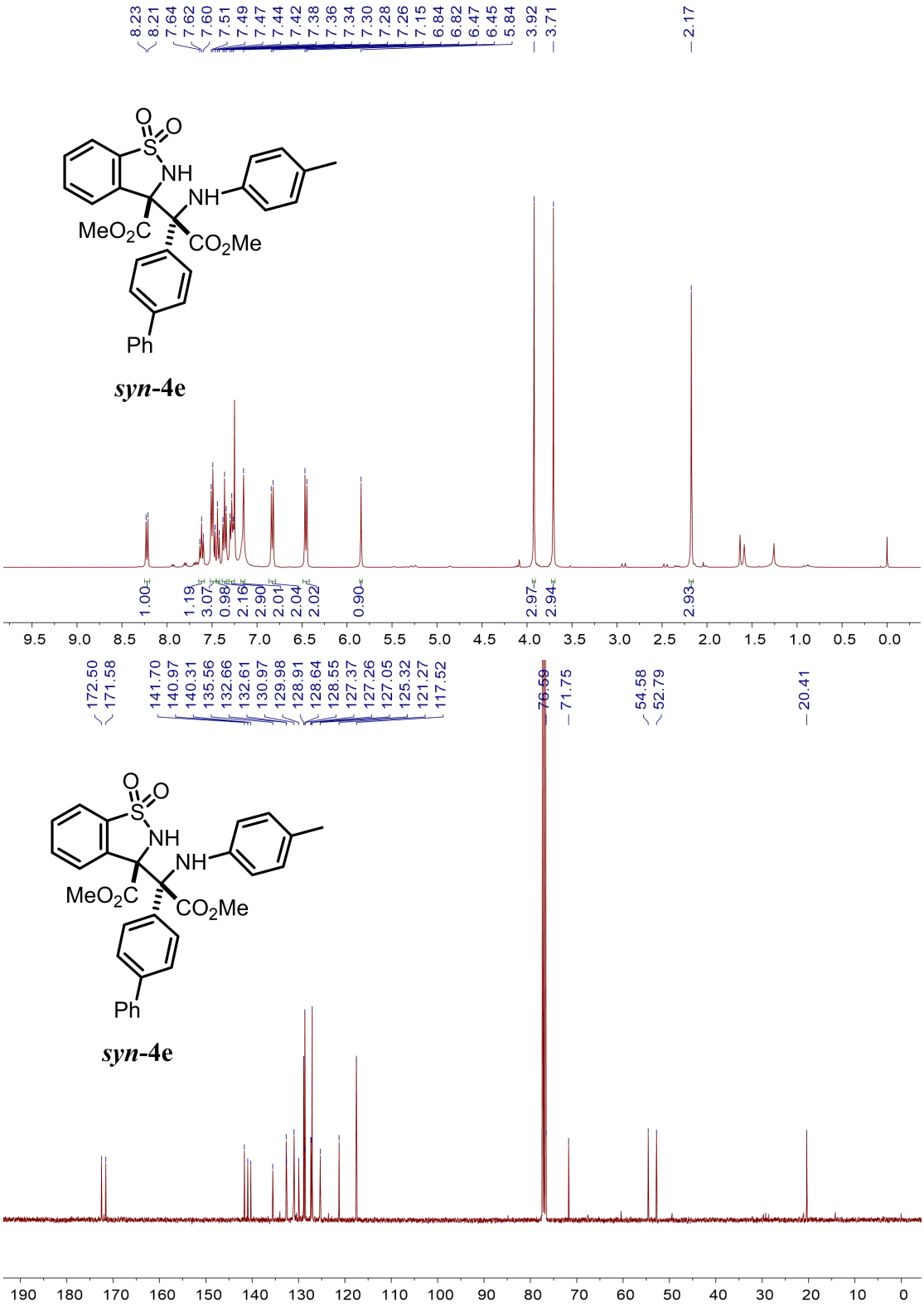
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Ph
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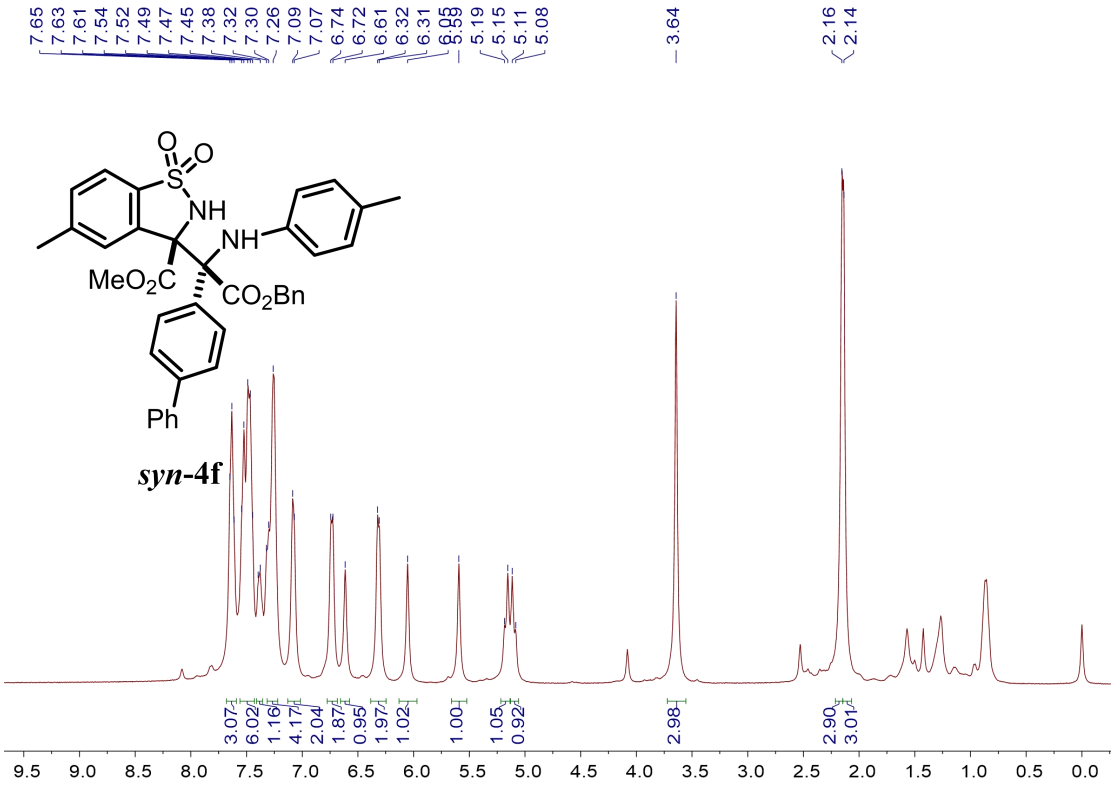
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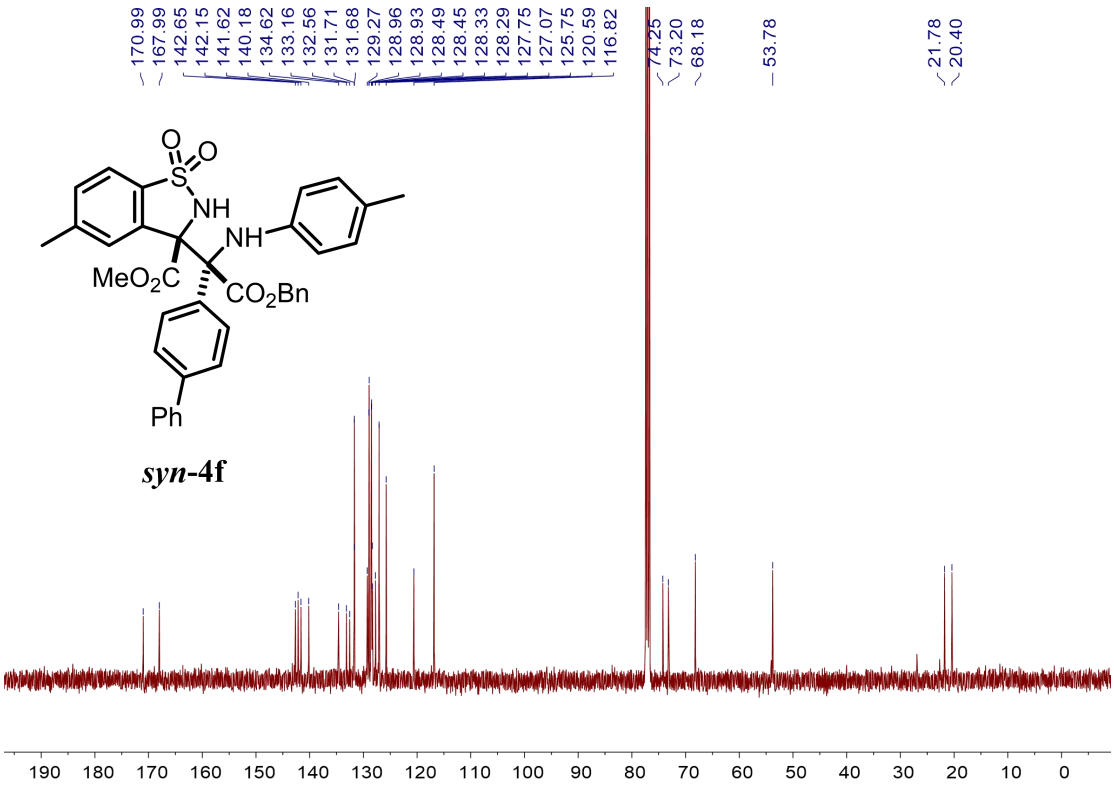
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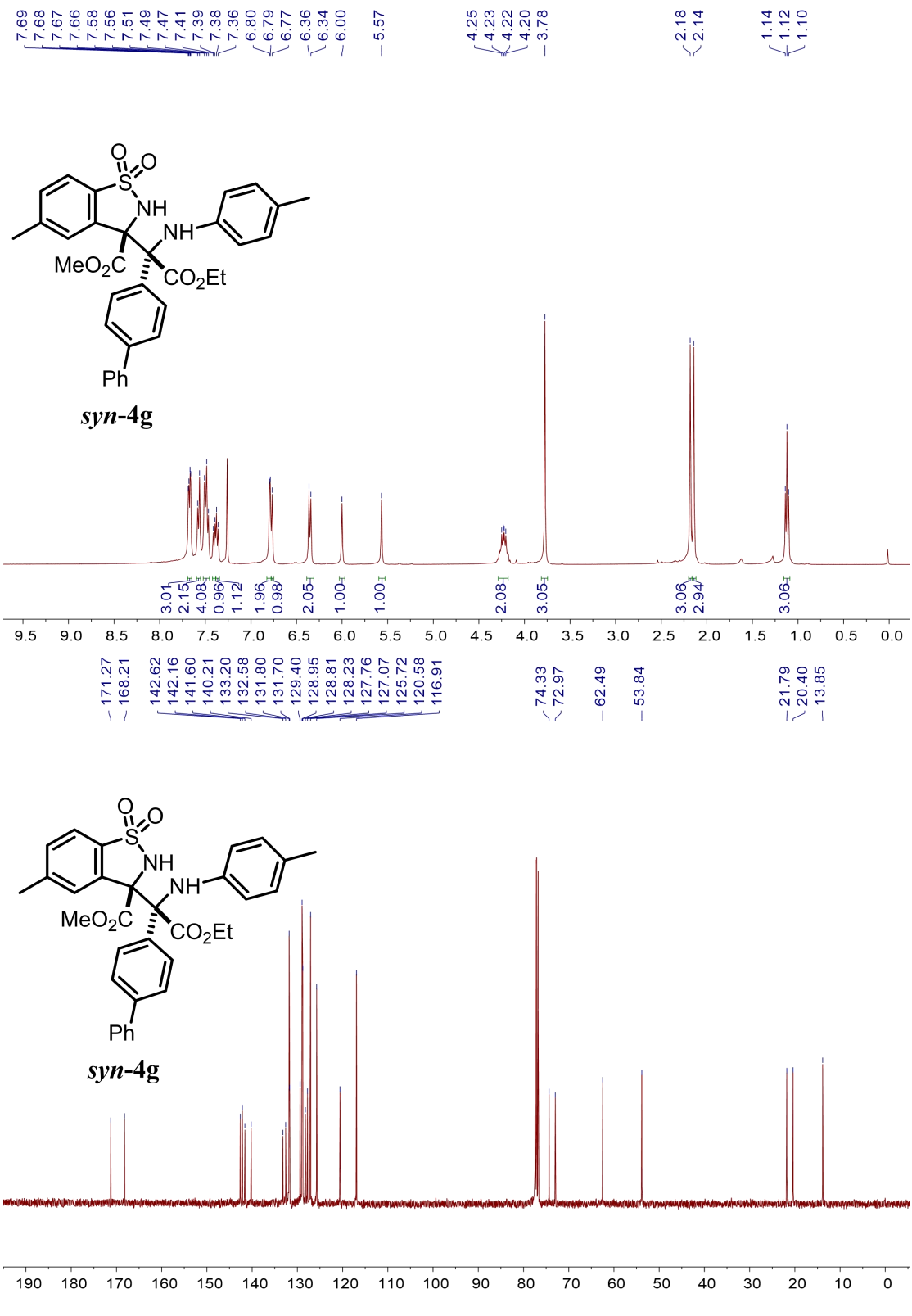
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Ph
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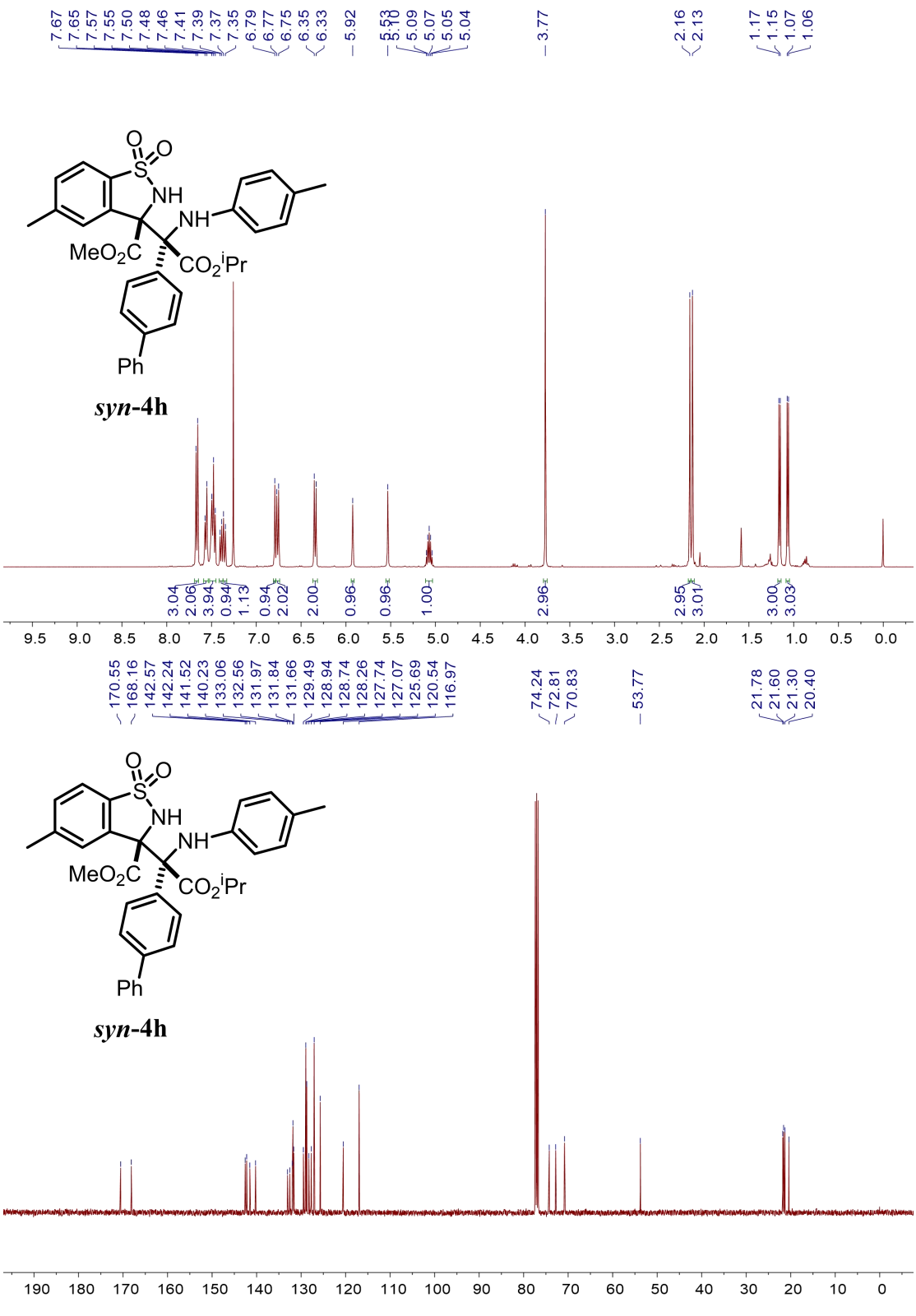
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CO2iPr
Ph
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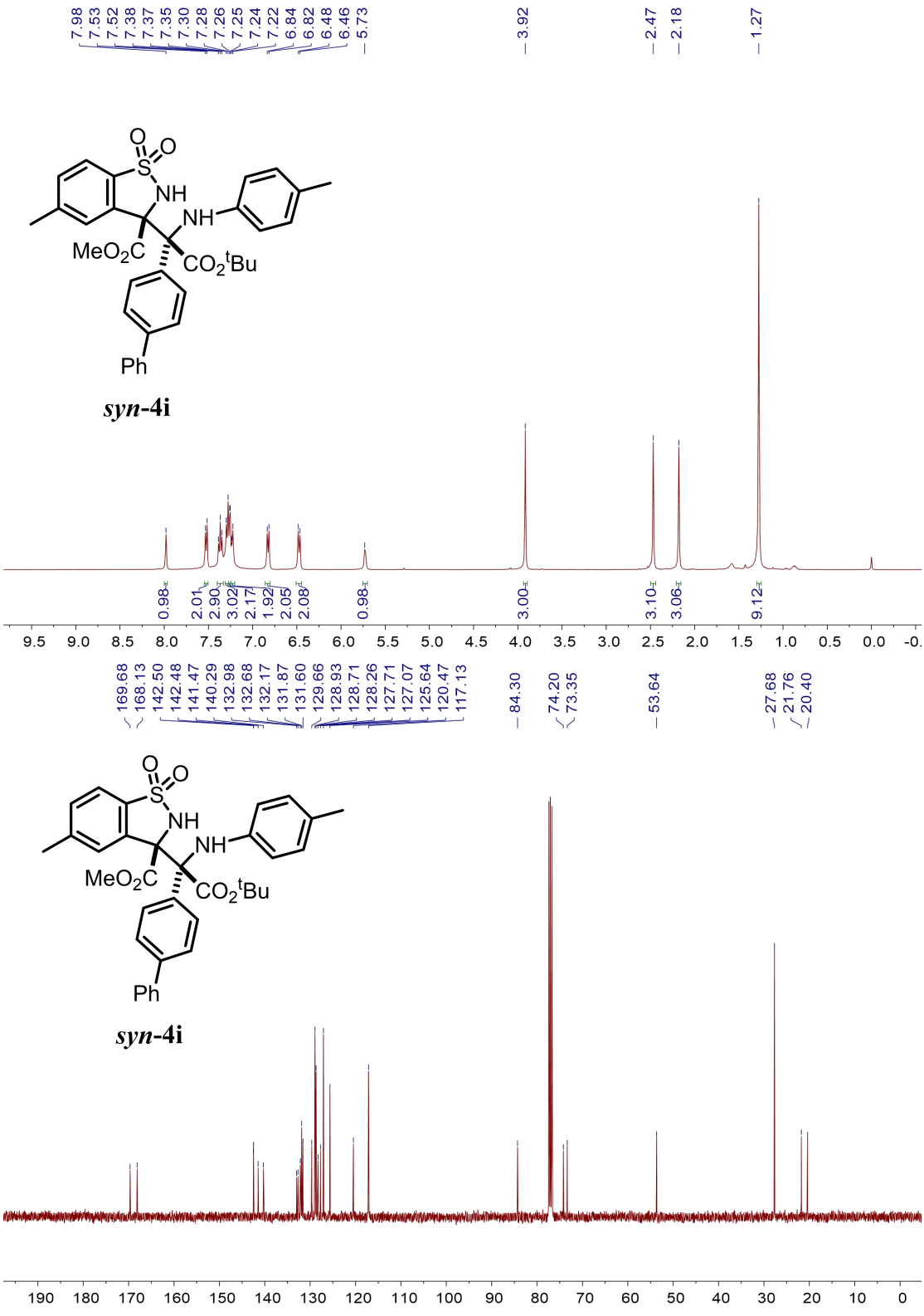
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6.46
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2.18
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S
NH
NH
MeO2C
CO2tBu
Ph
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3.02
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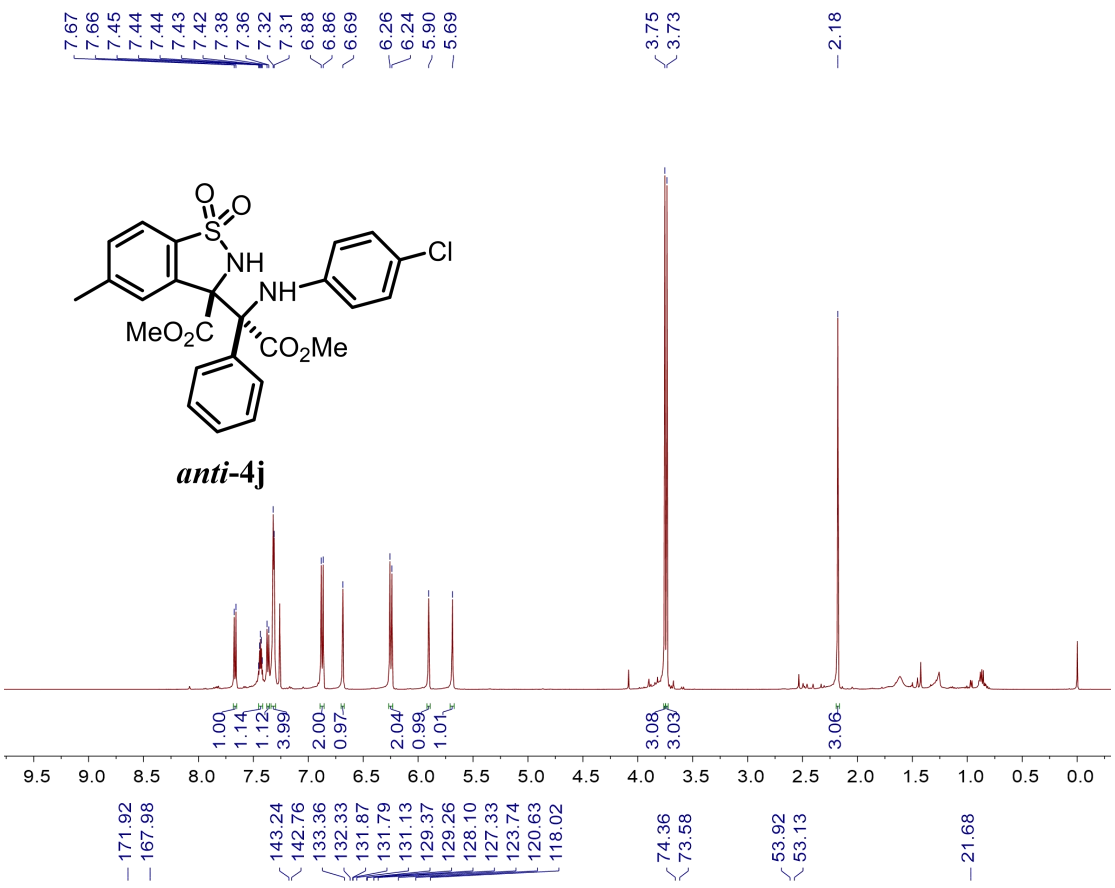
***syn*-4i**

***syn*-4i**



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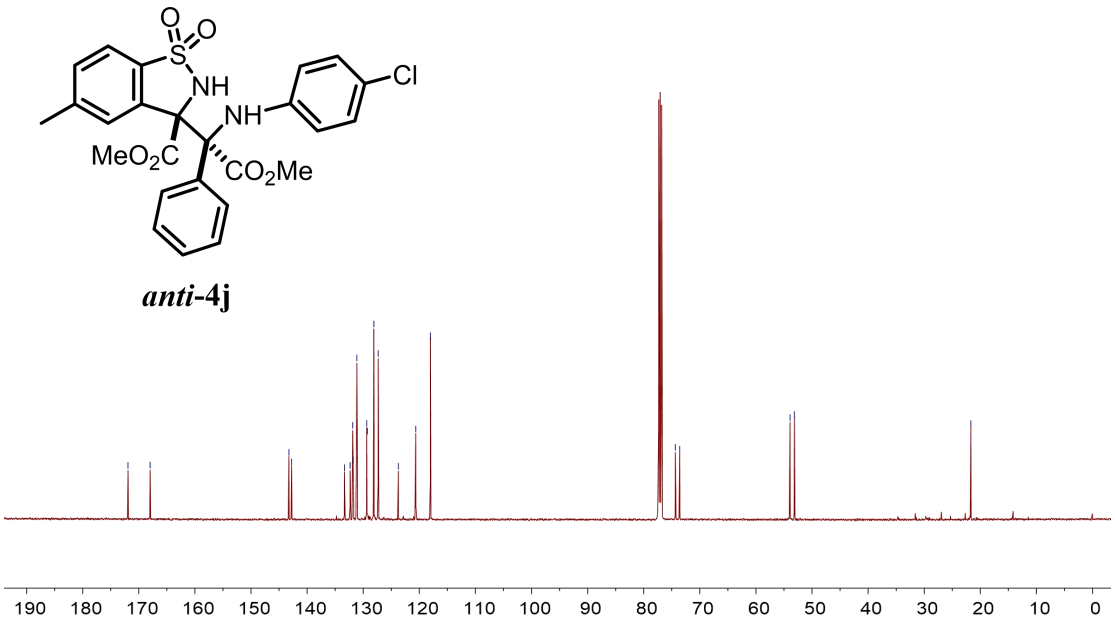

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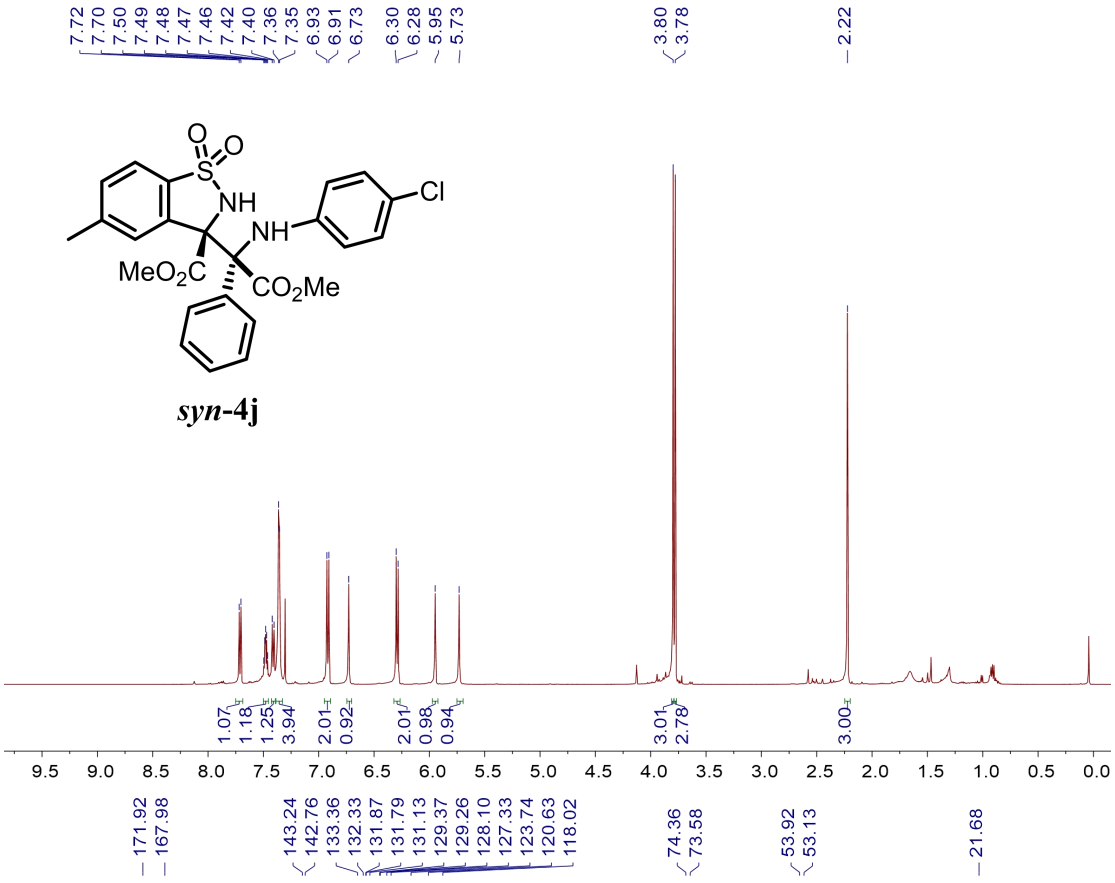
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2.78
3.00
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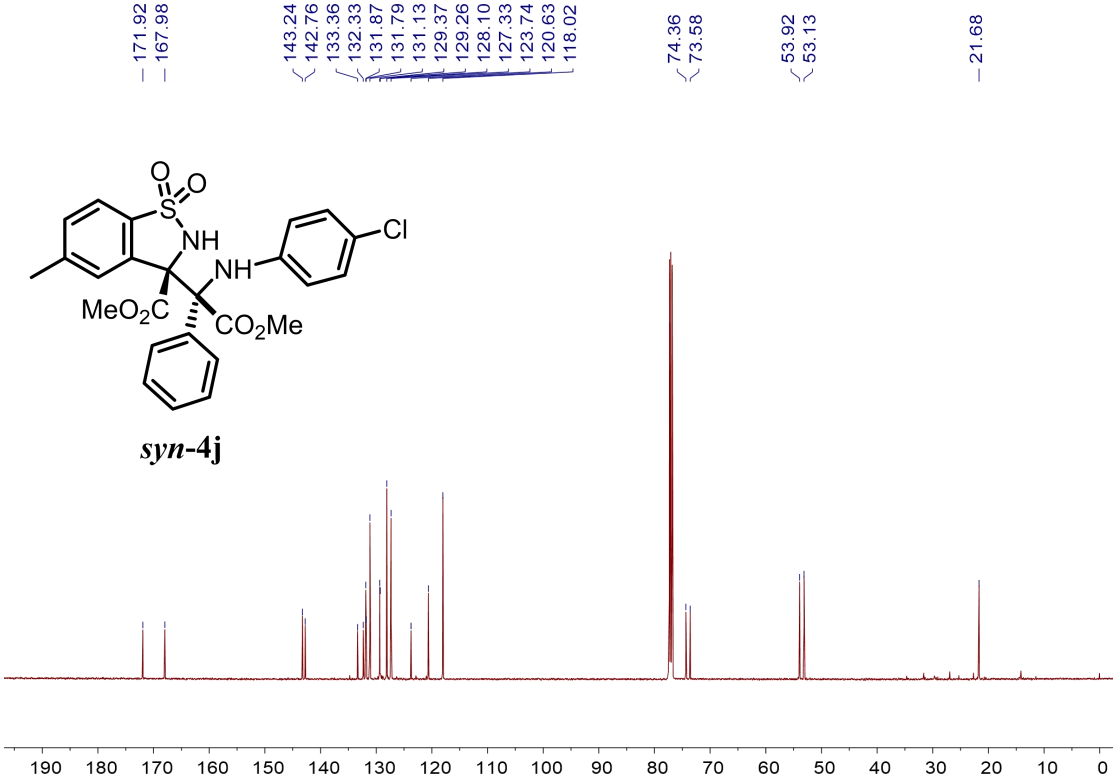
***syn*-4j**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Cl
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CO2Me
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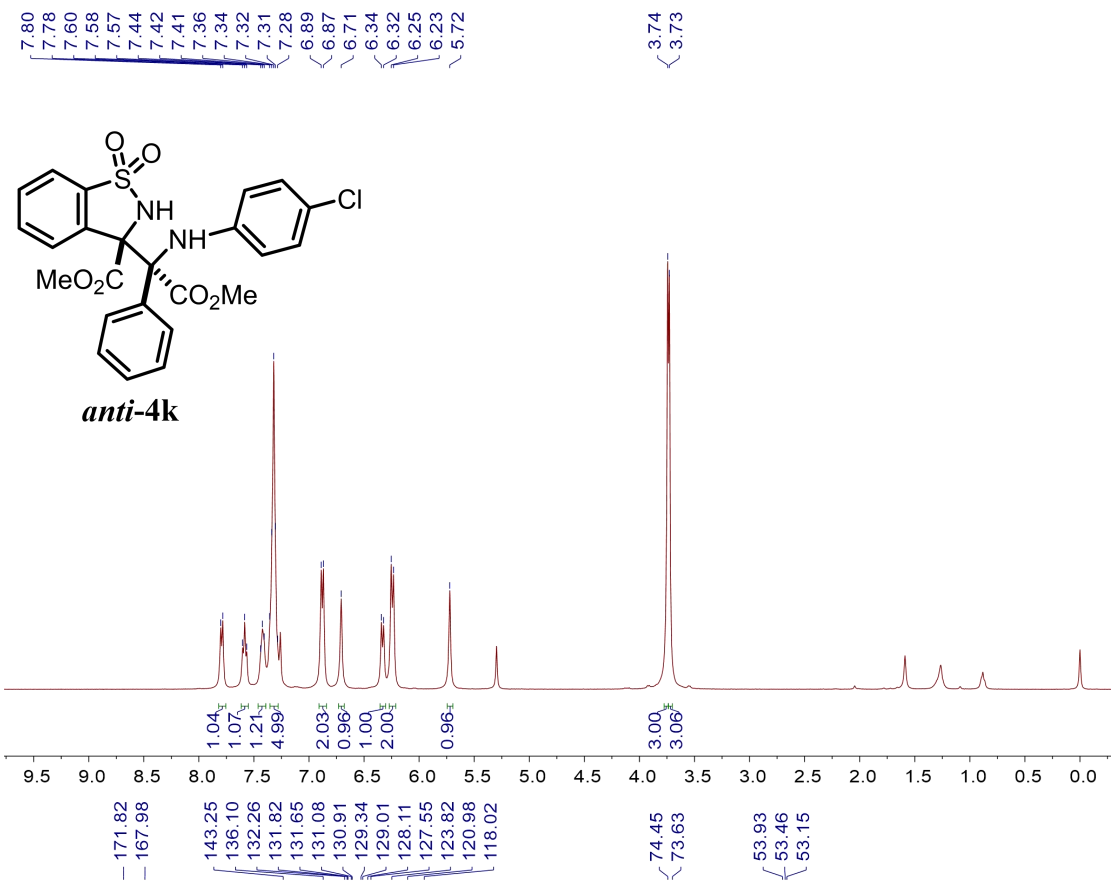
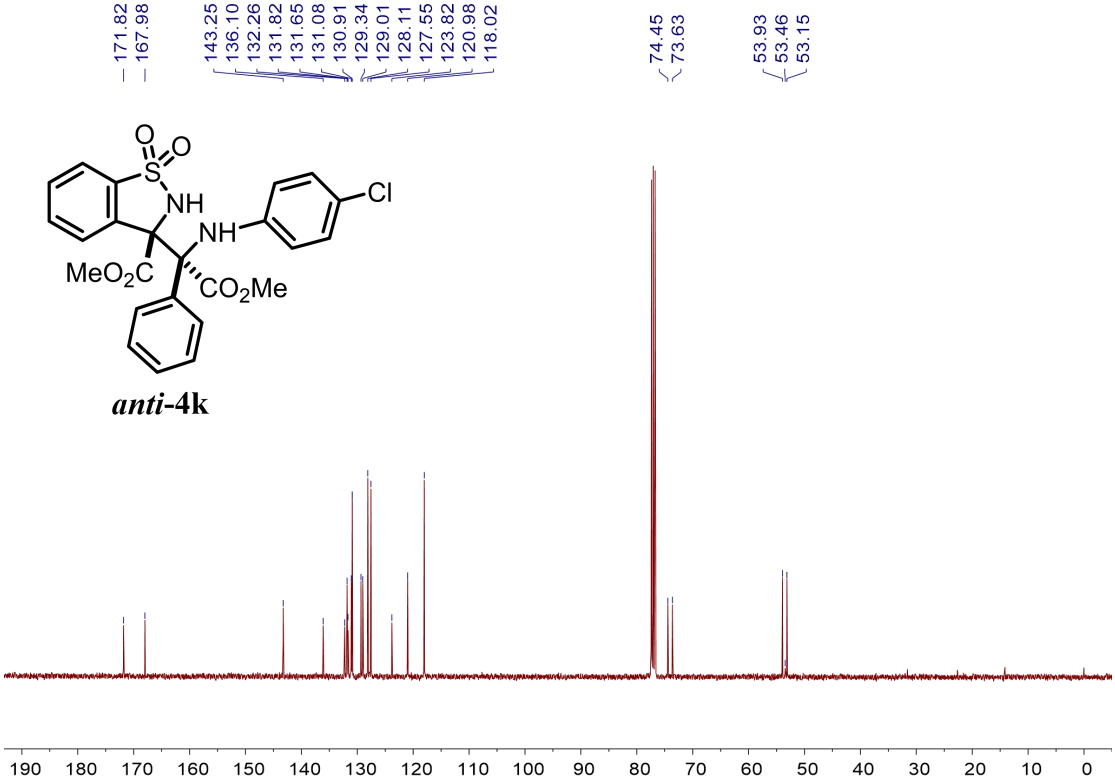
***syn*-4j**



anti-4k



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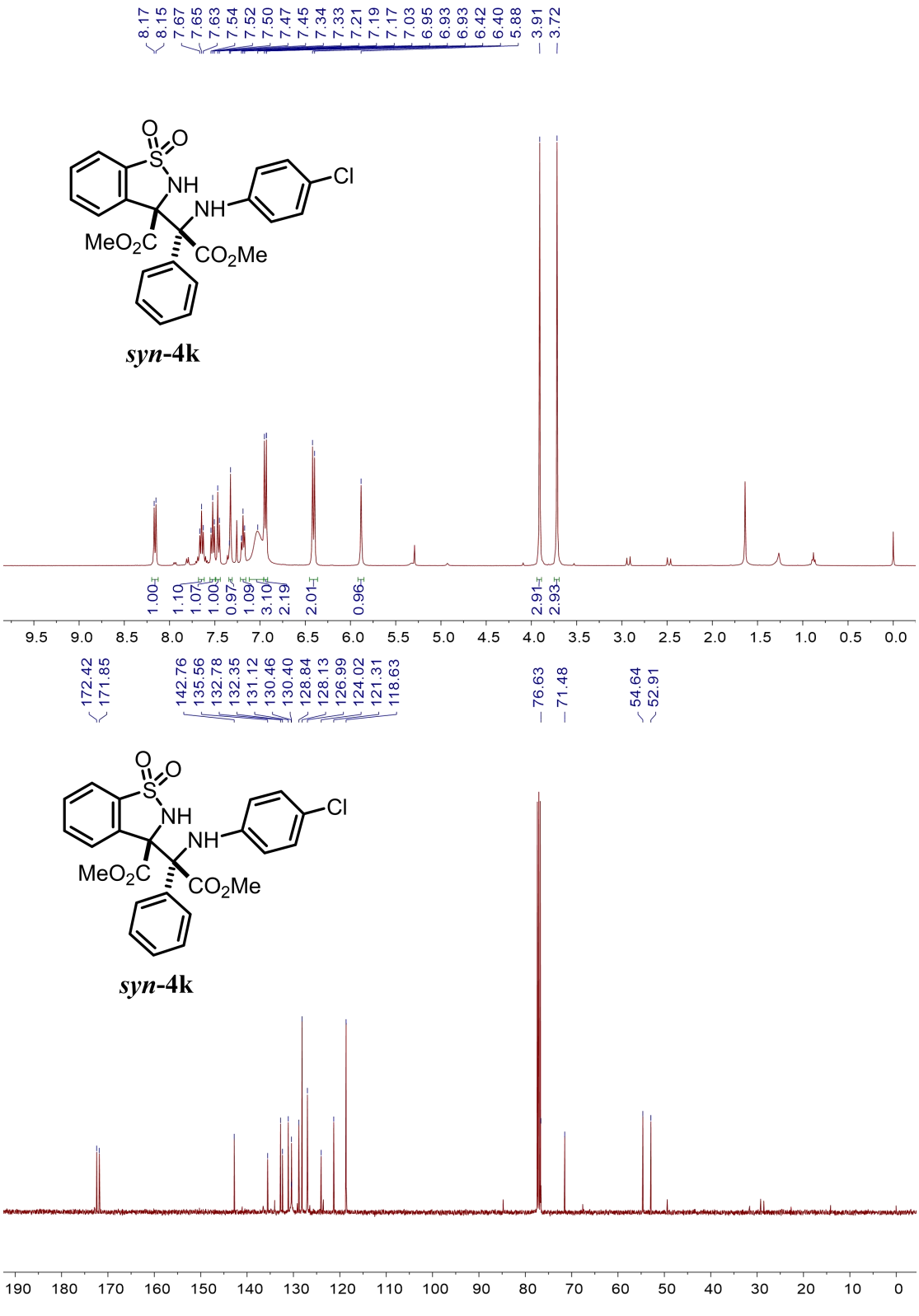
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NH
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CO2Me
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121.31
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76.63
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52.91
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170
160
150
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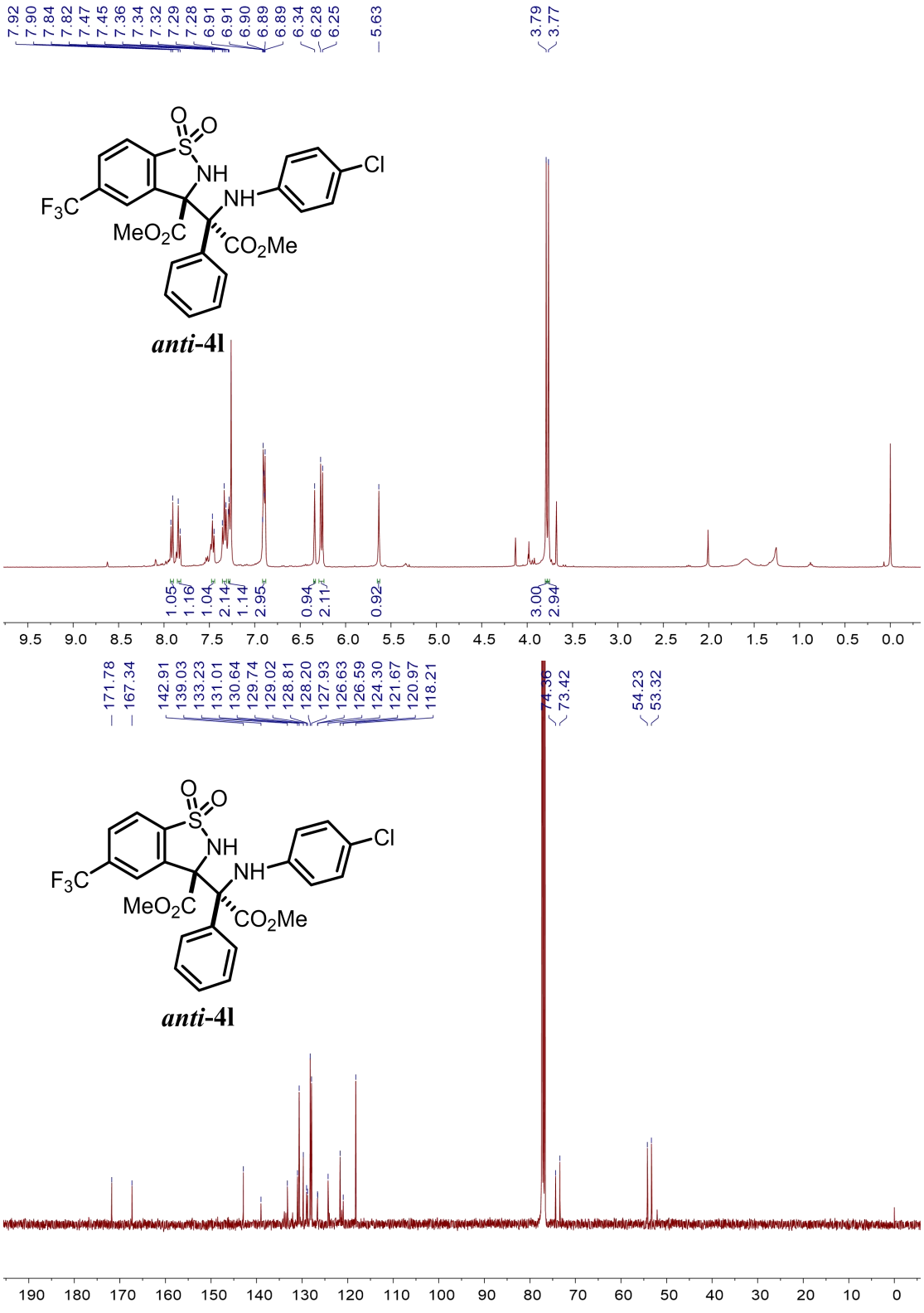
***syn*-4k**

***syn*-4k**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NH
NH
Cl
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MeO2C
CO2Me
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1.04
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2.94
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171.78
167.34
142.91
139.03
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53.32
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anti-4l
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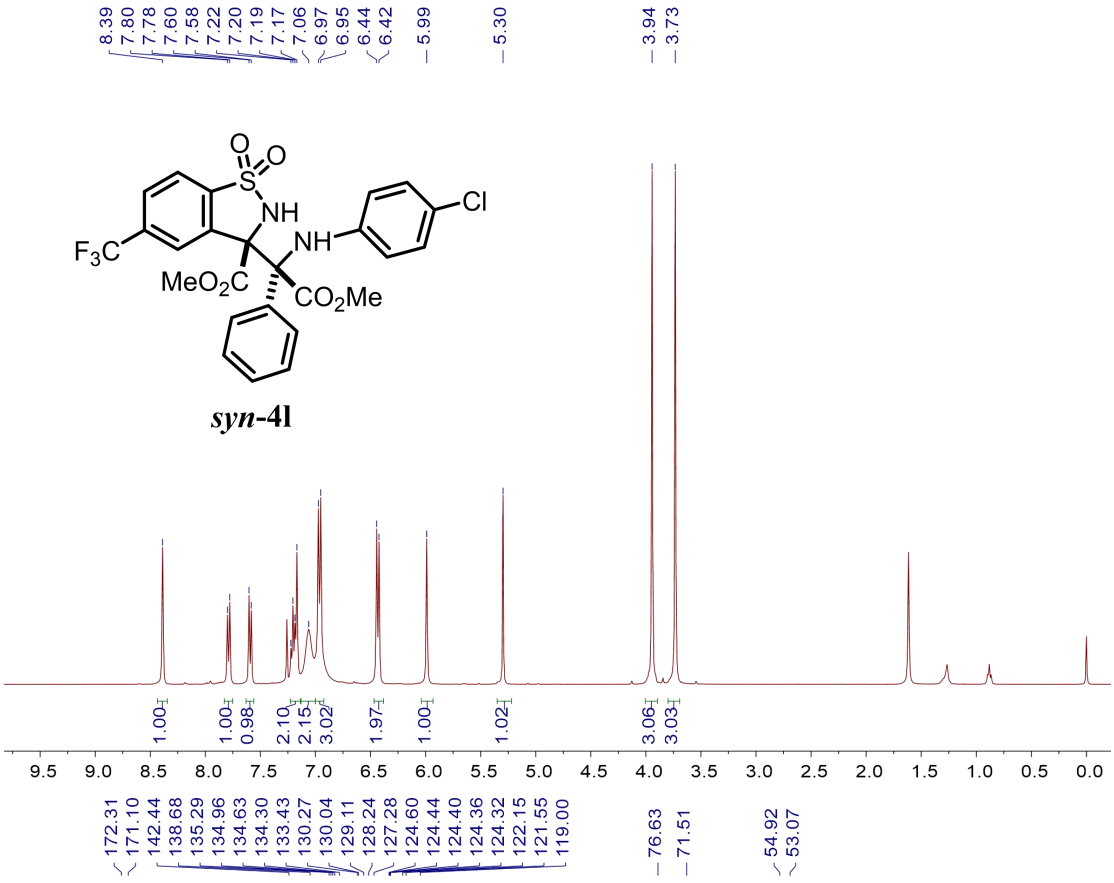
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3.94
3.73
O
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S
NH
NH
Cl
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MeO2C
CO2Me
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1.00
0.98
2.10
2.15
3.02
1.97
1.00
1.02
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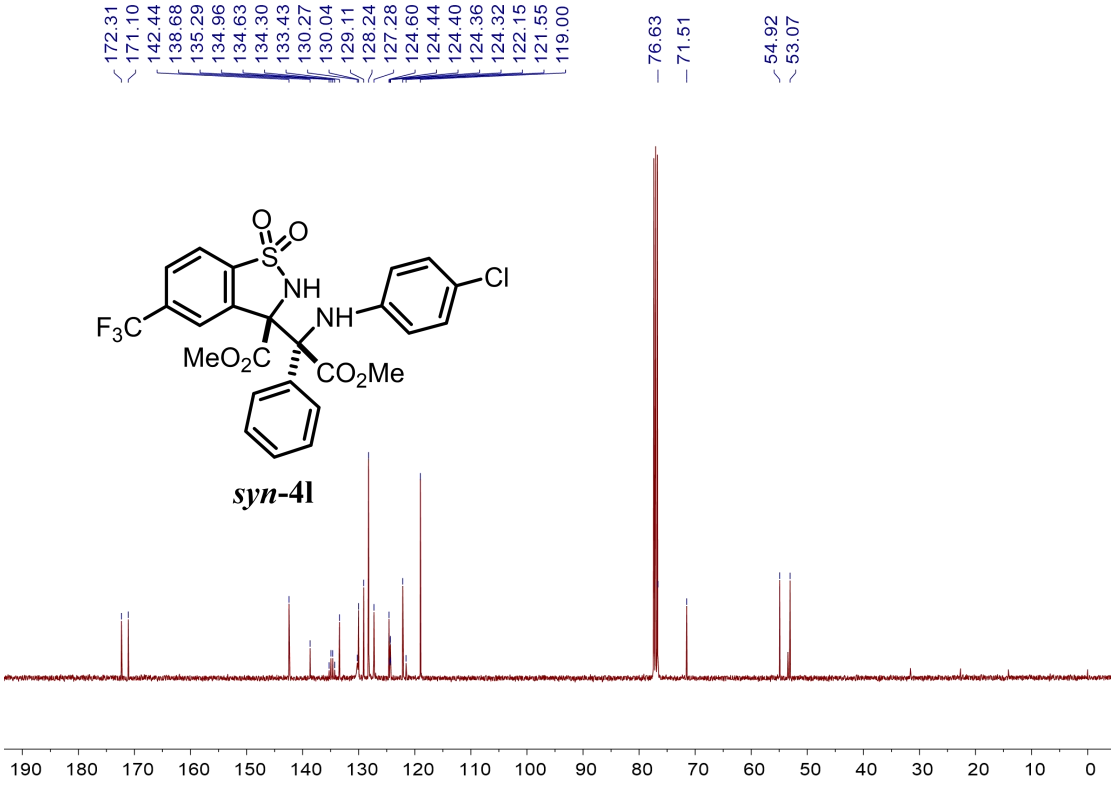
***syn*-4l**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124.44
124.40
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124.32
122.15
121.55
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76.63
71.51
54.92
53.07
O
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NH
Cl
F3C
MeO2C
CO2Me
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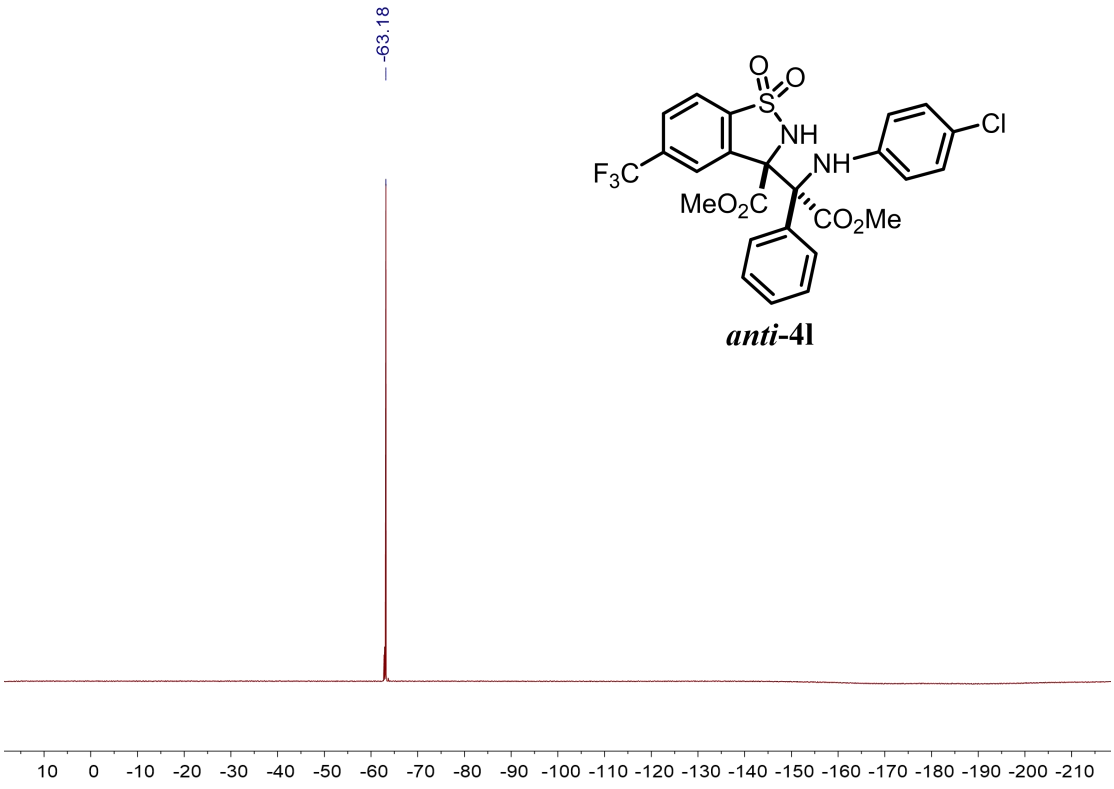
***syn*-4l**



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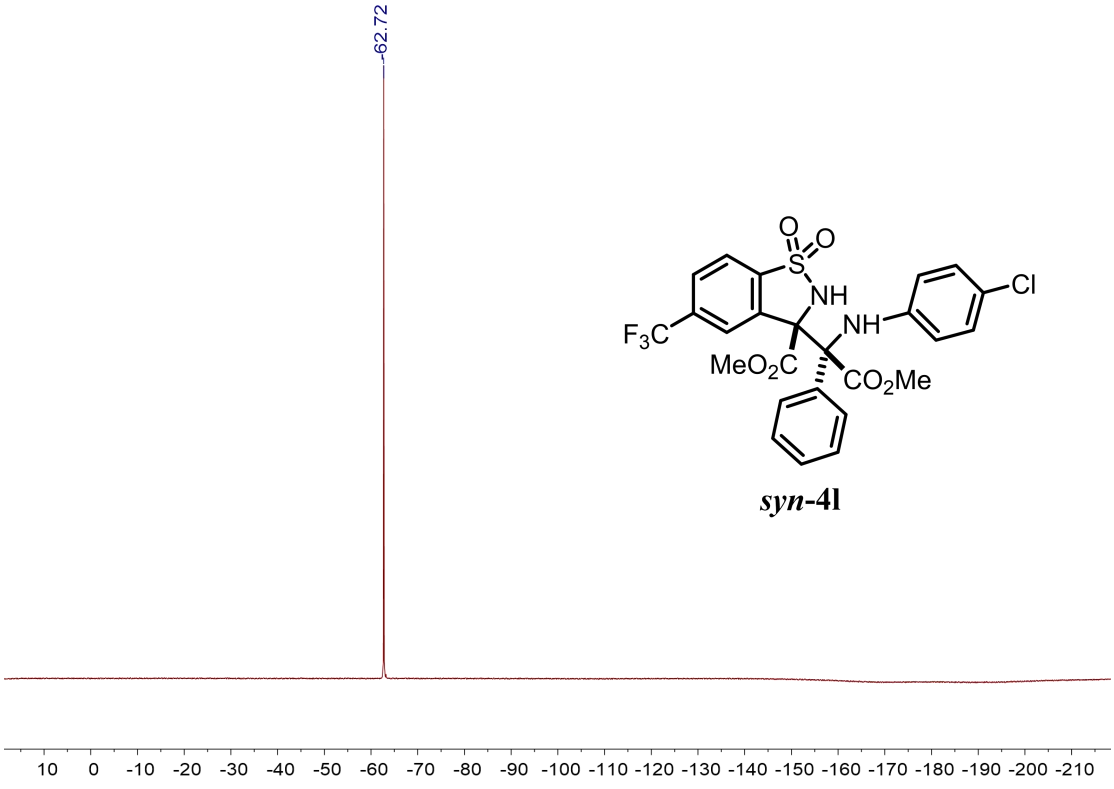

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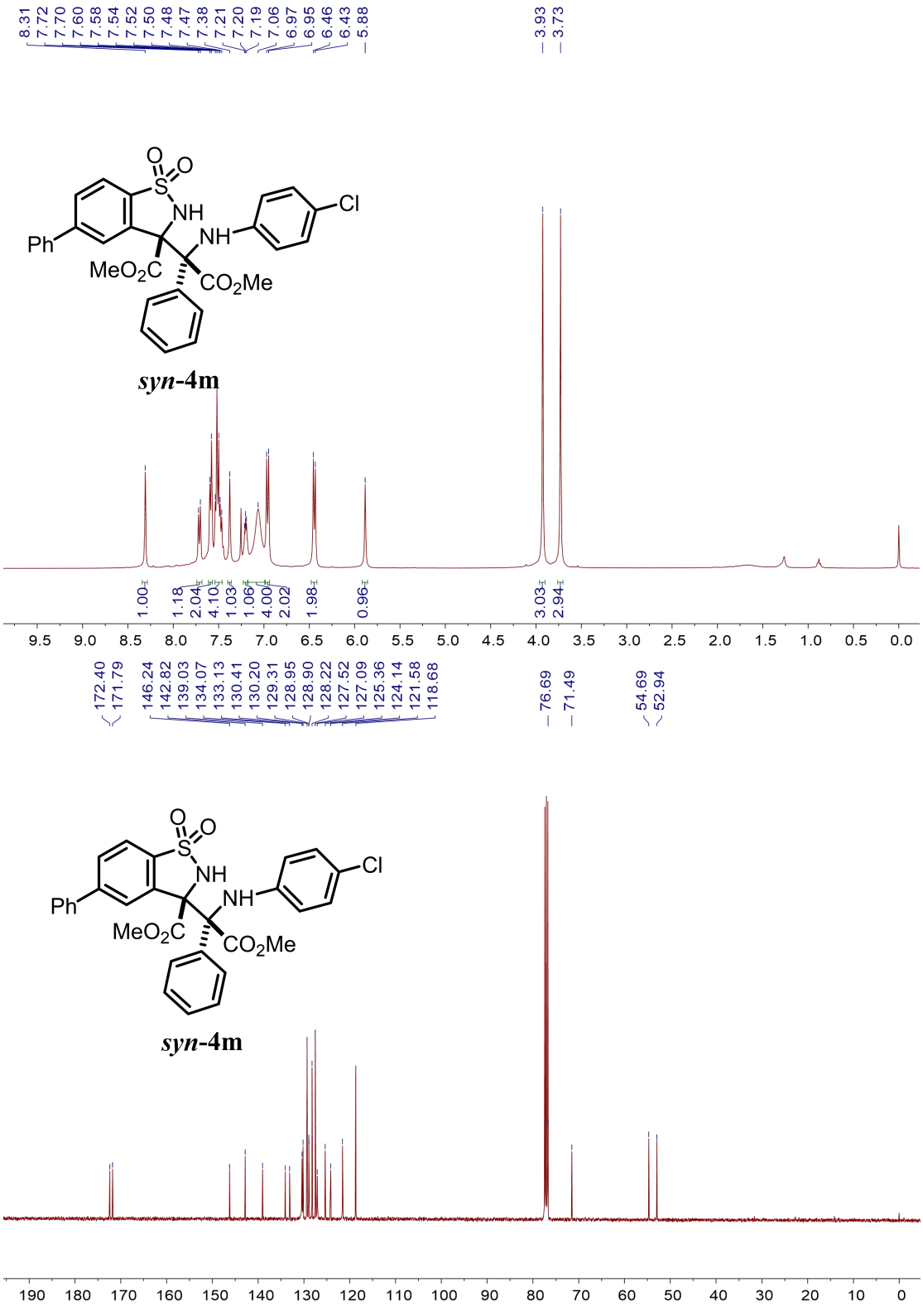
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3.73
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NH
NH
Cl
Ph
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CO2Me
syn-4m
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4.10
1.03
1.06
4.00
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3.03
2.94
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Ph
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CO2Me
syn-4m
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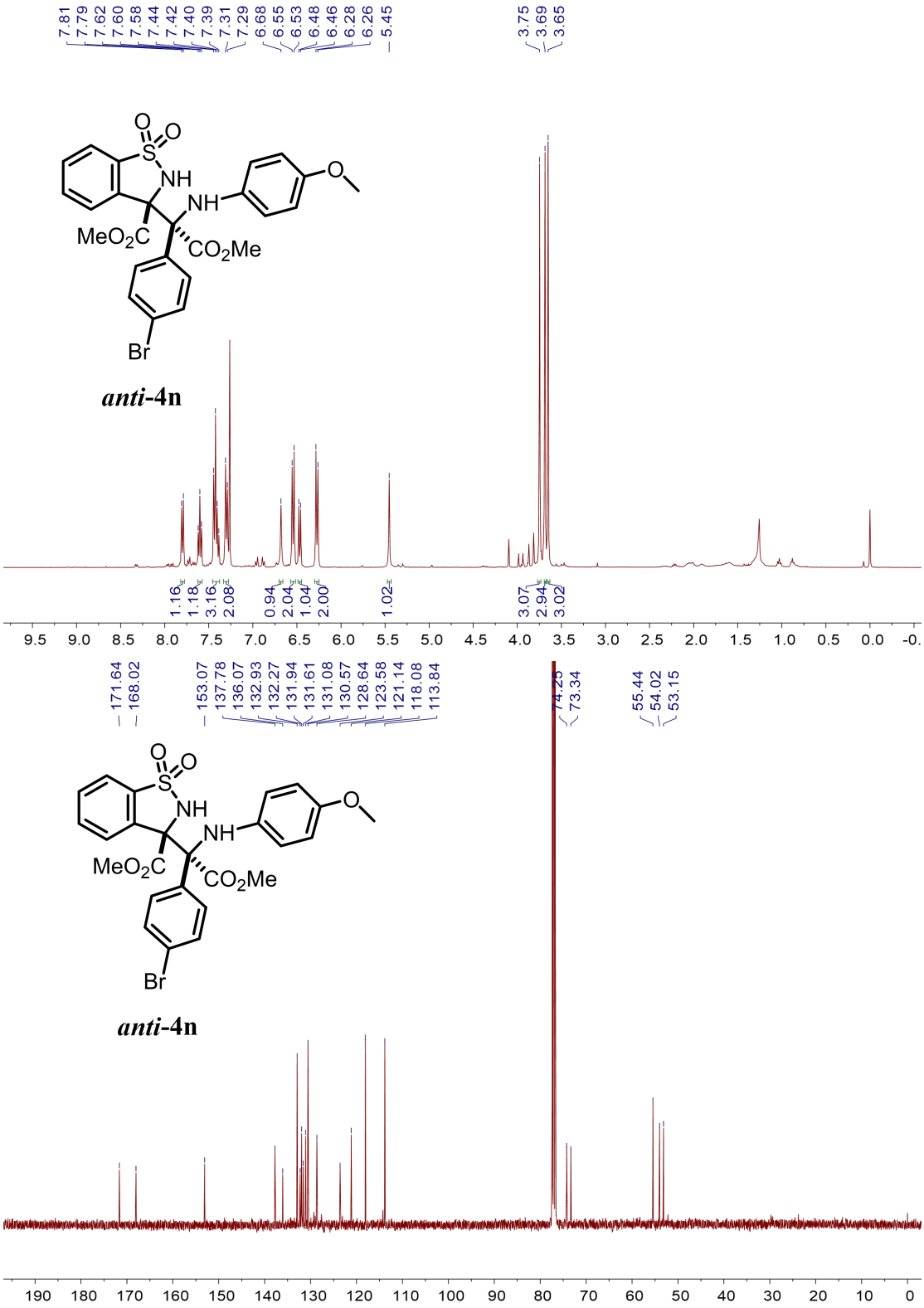
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NH
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CO2Me
Br
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1.18
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2.04
1.04
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3.07
2.94
3.02
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118.08
113.84
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55.44
54.02
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CO2Me
Br
anti-4n
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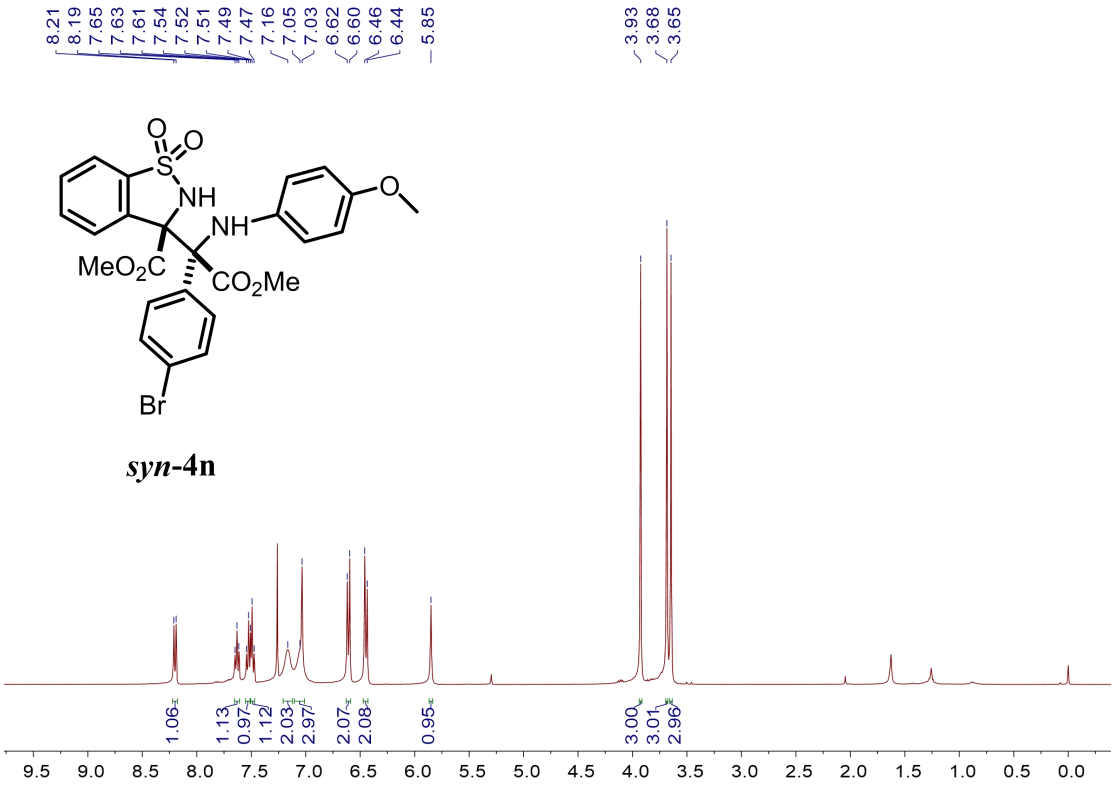
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3.65
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NH
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CO2Me
Br
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1.12
2.03
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3.01
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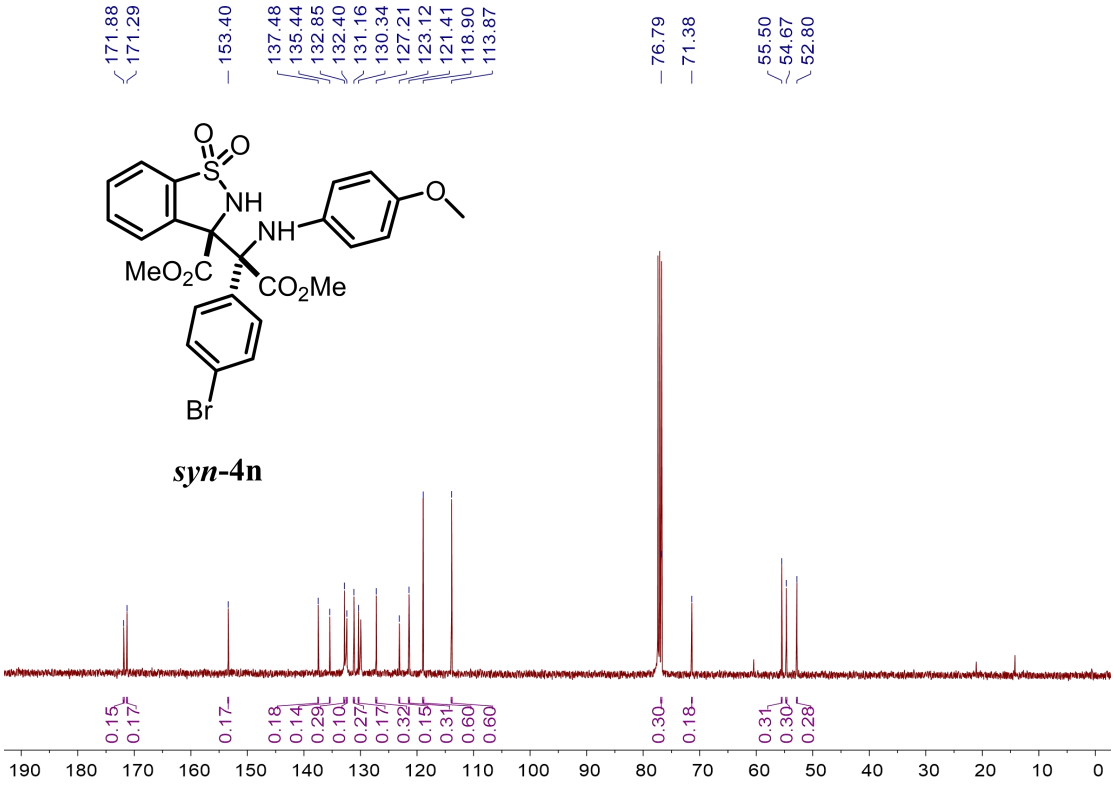
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0

***syn*-4n**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NH
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CO2Me
Br
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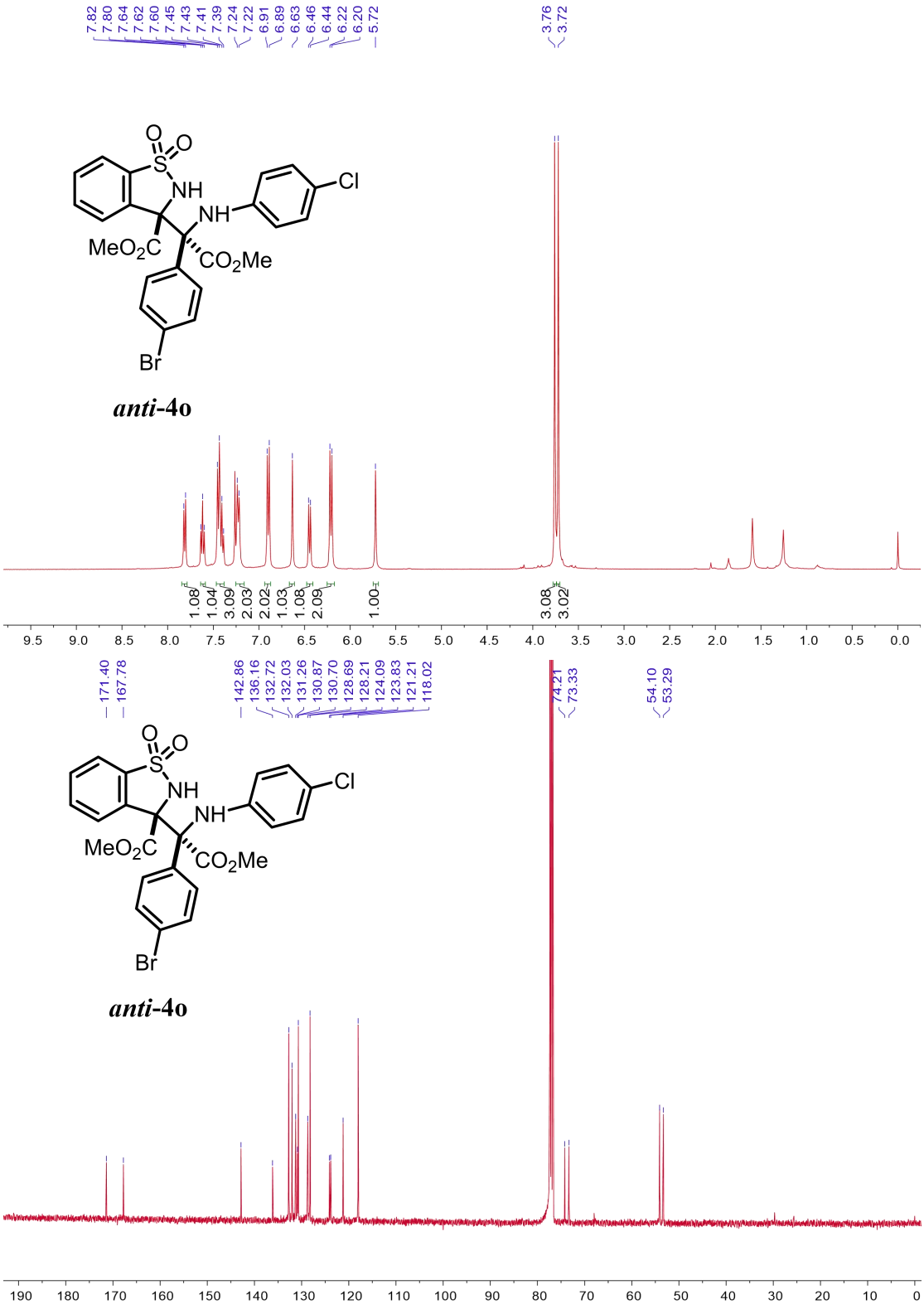
***syn*-4n**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Cl
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CO2Me
Br
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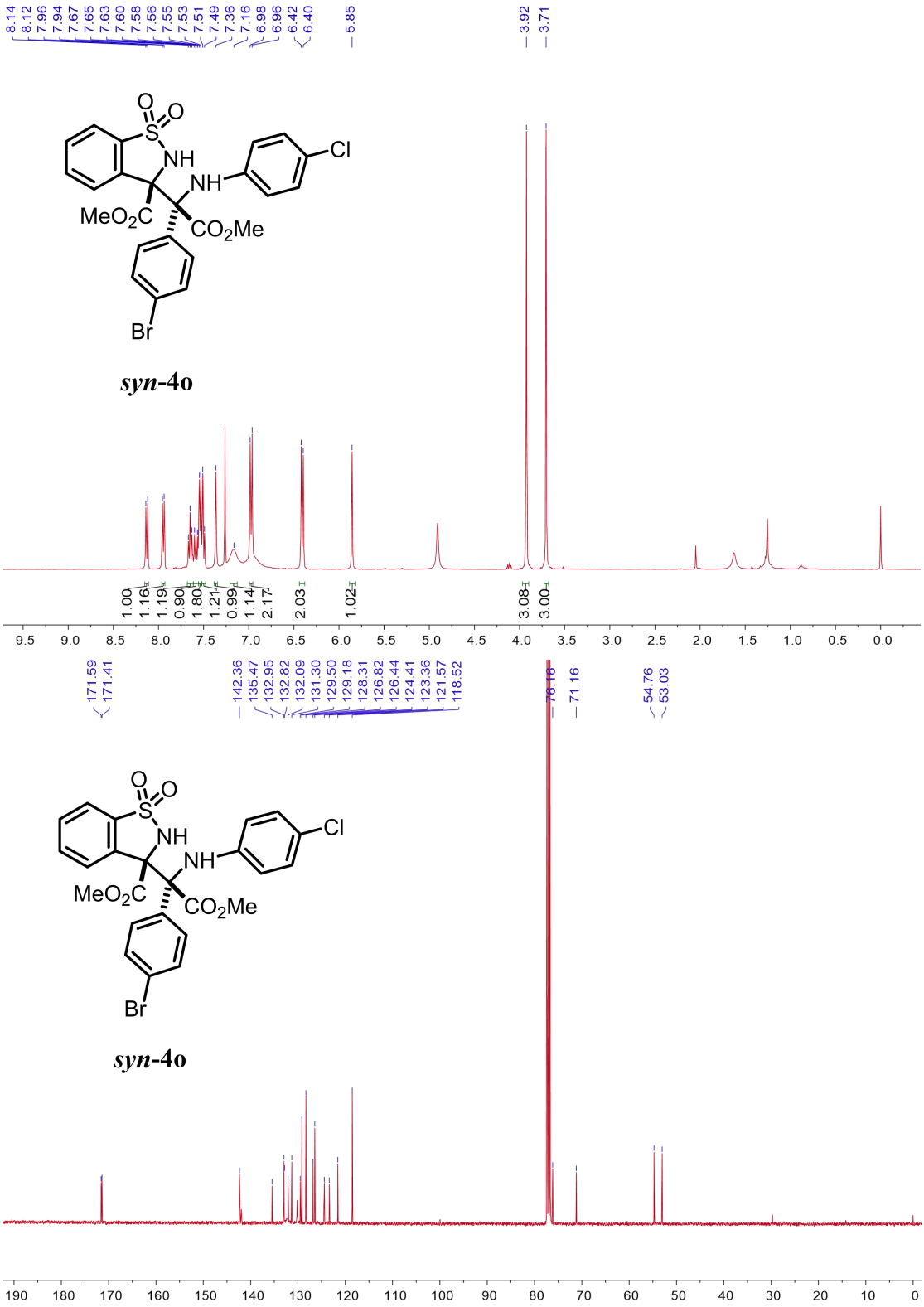
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Br
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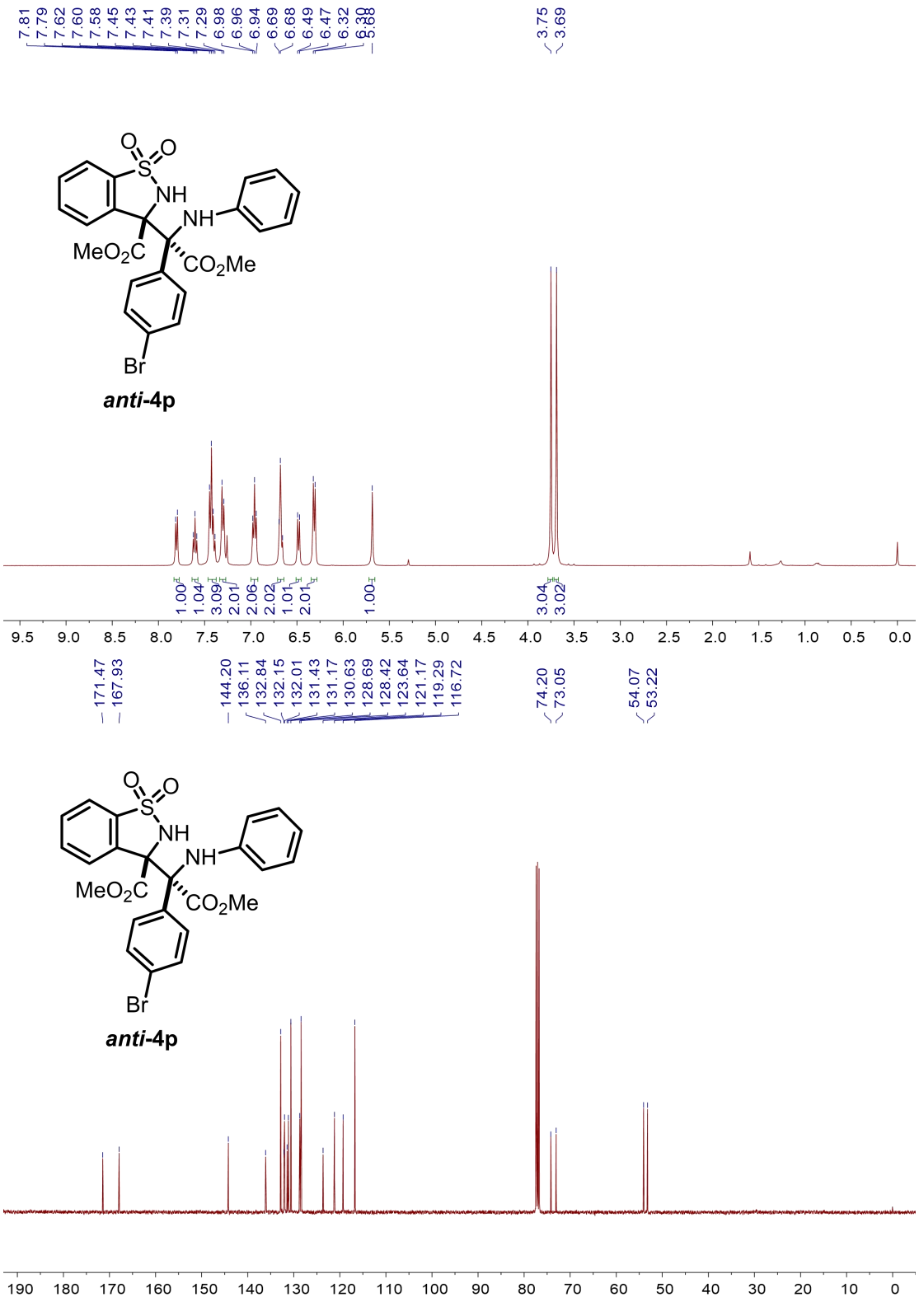
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CO2Me
Br
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Br
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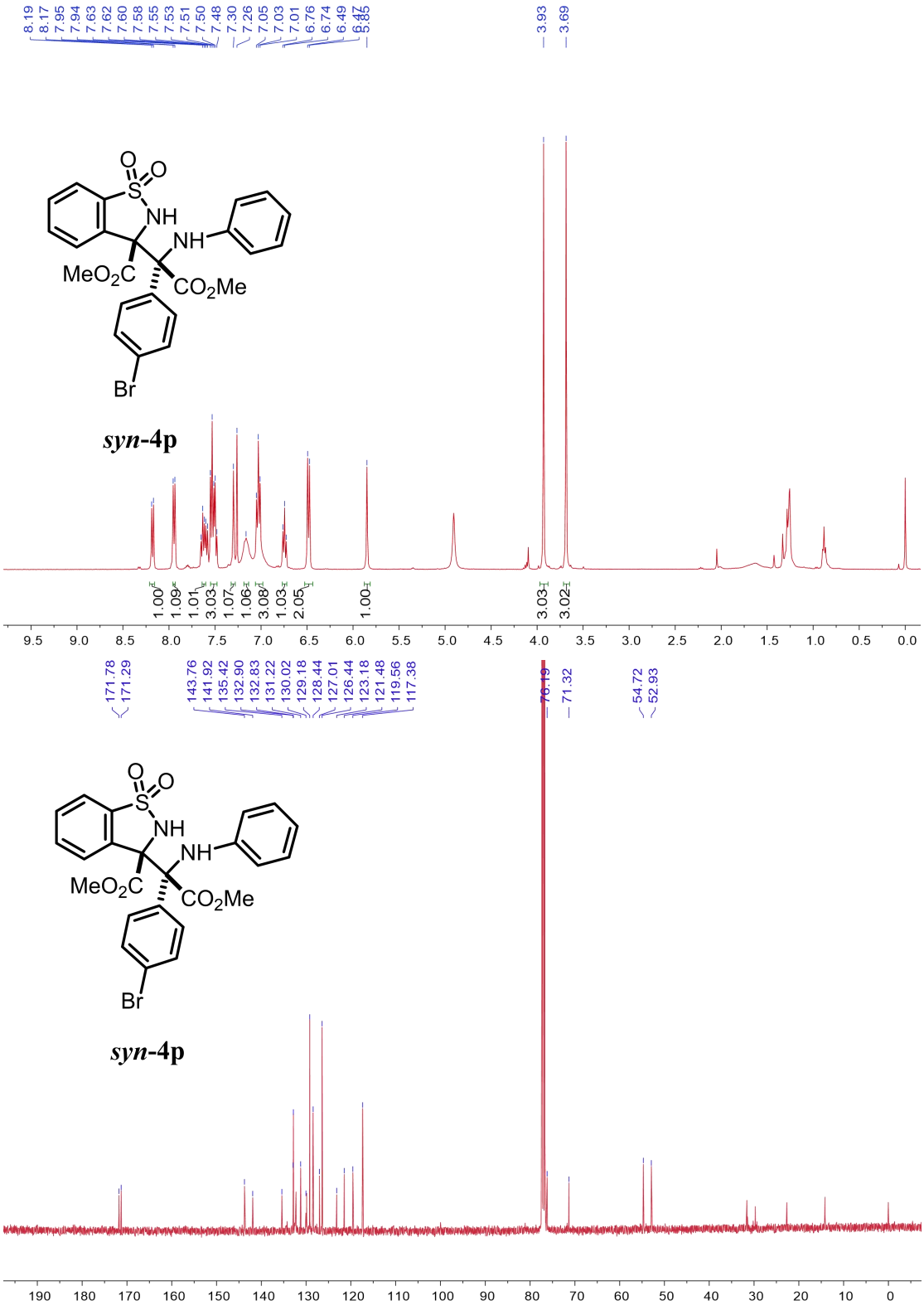
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7.26
7.05
7.03
7.01
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S
NH
NH
MeO2C
CO2Me
Br
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CO2Me
Br
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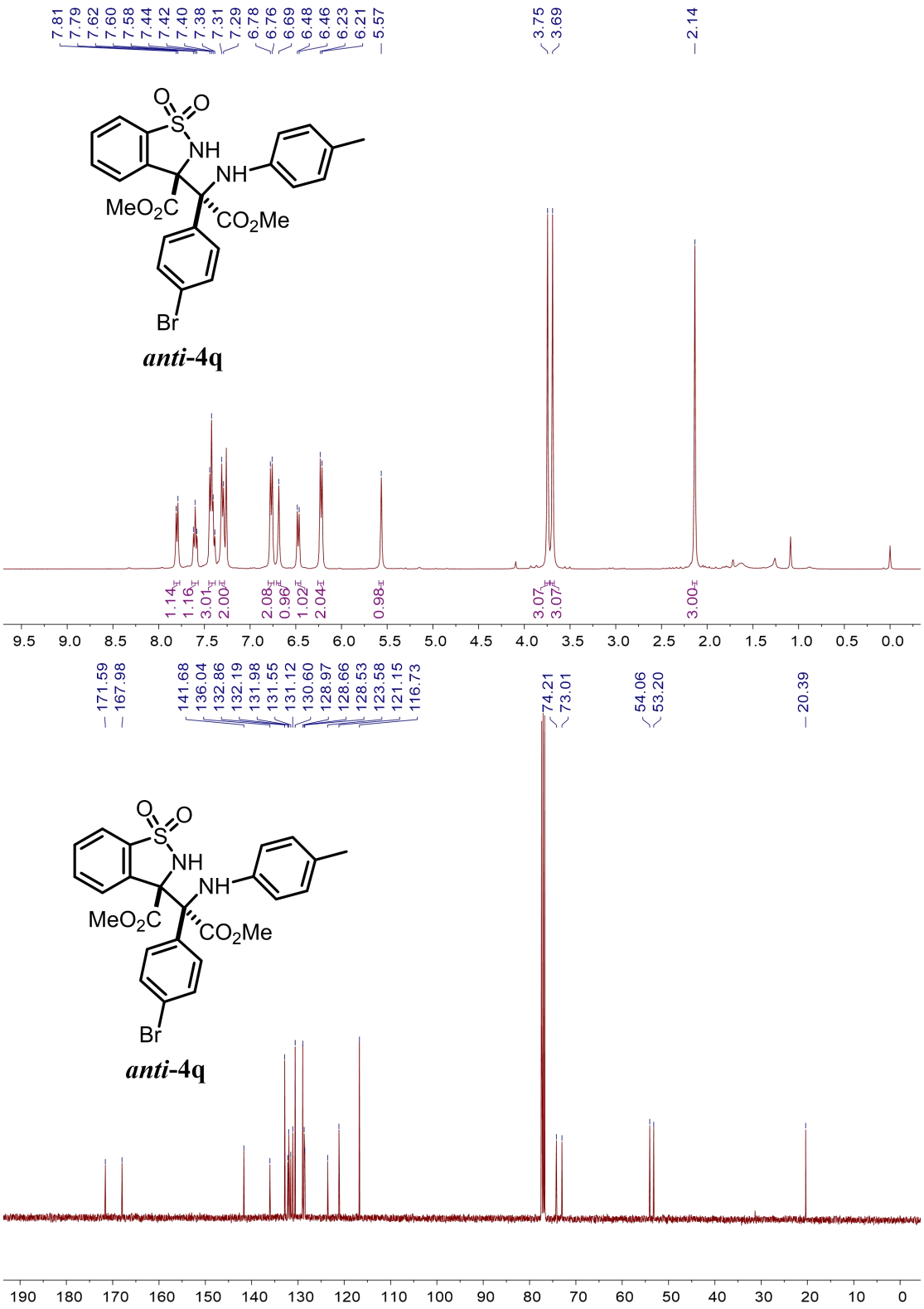
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CO2Me
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1.02
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3.07
3.07
3.00
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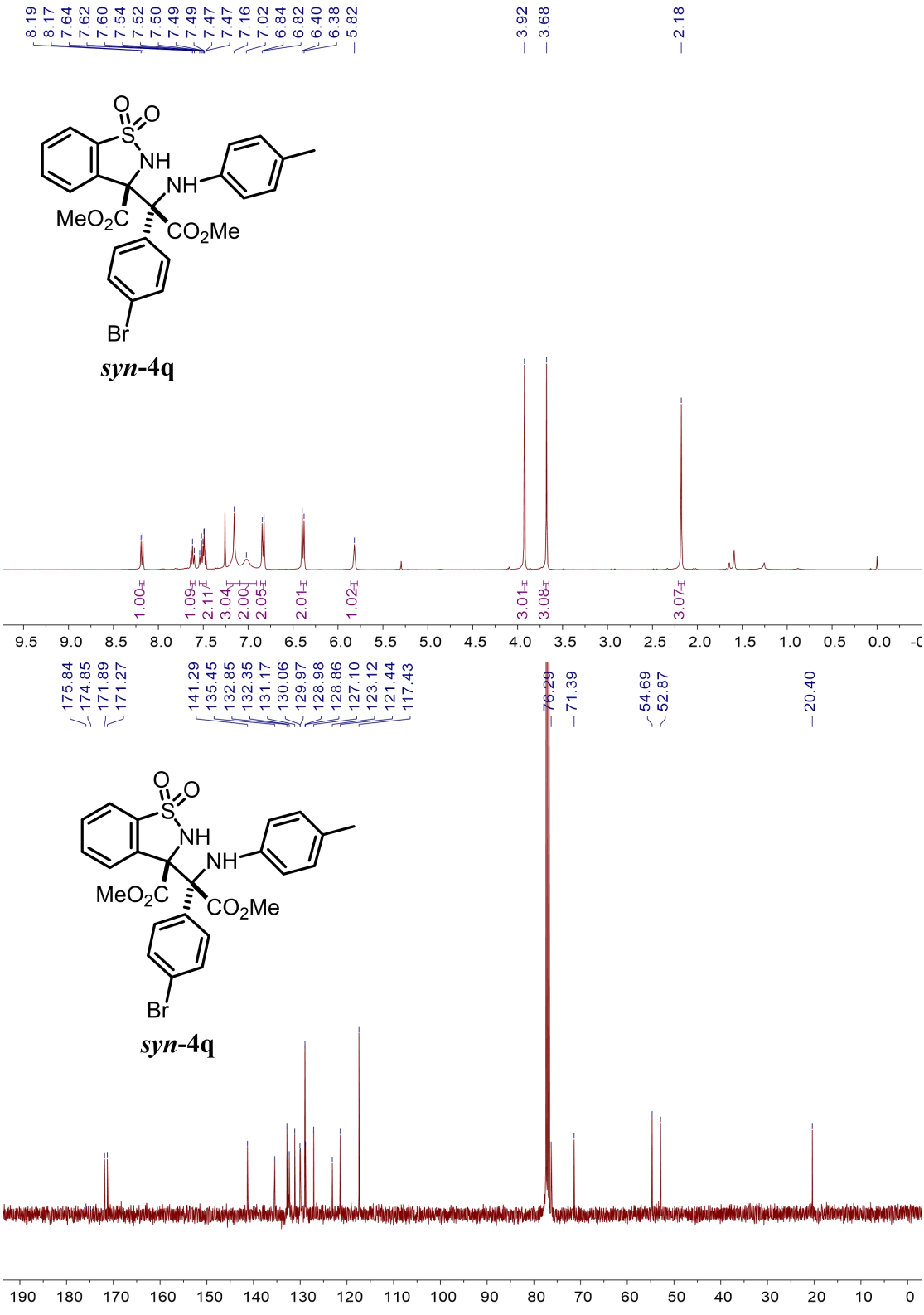
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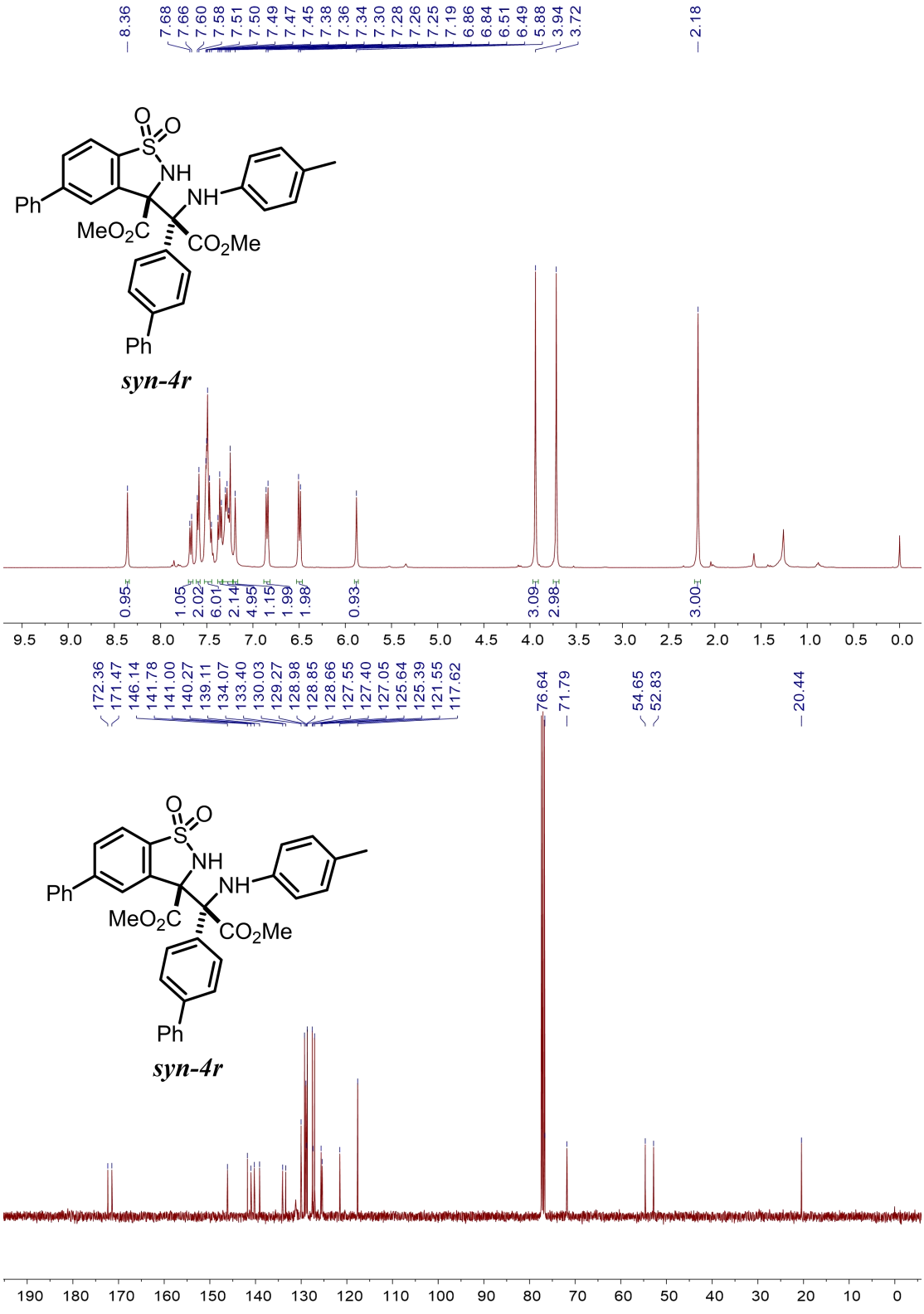
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20.44
O
O
S
NH
NH
Ph
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CO2Me
Ph
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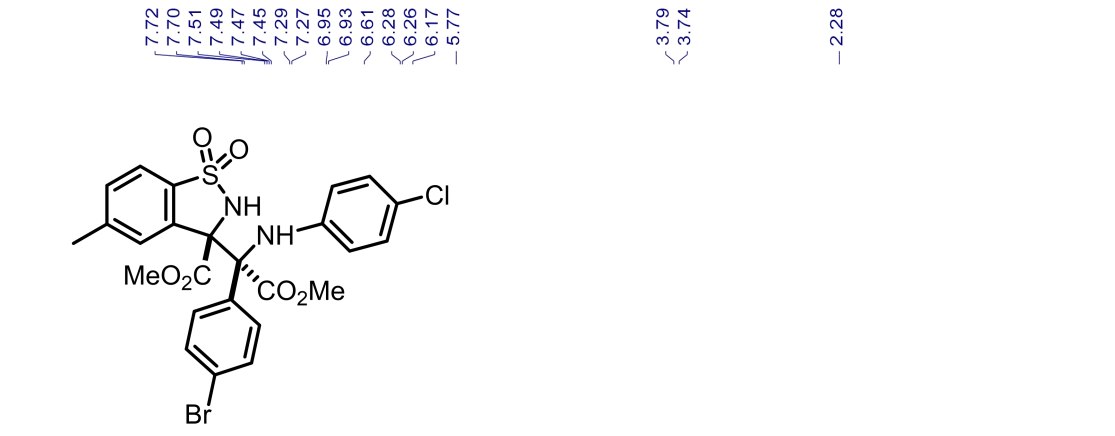

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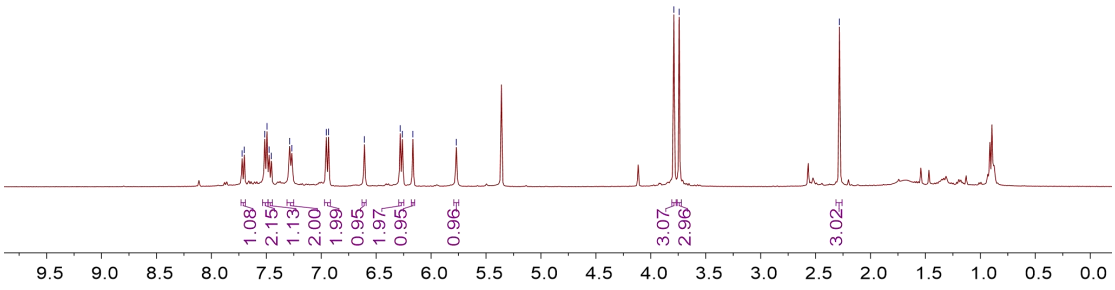

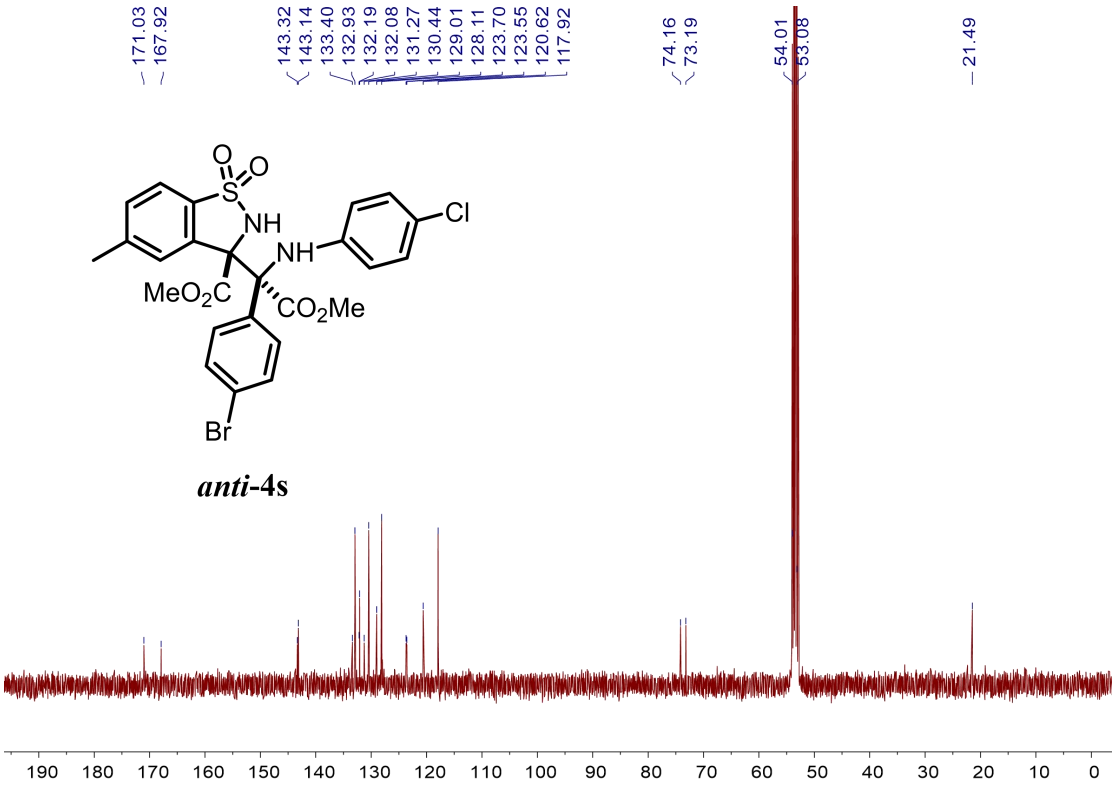

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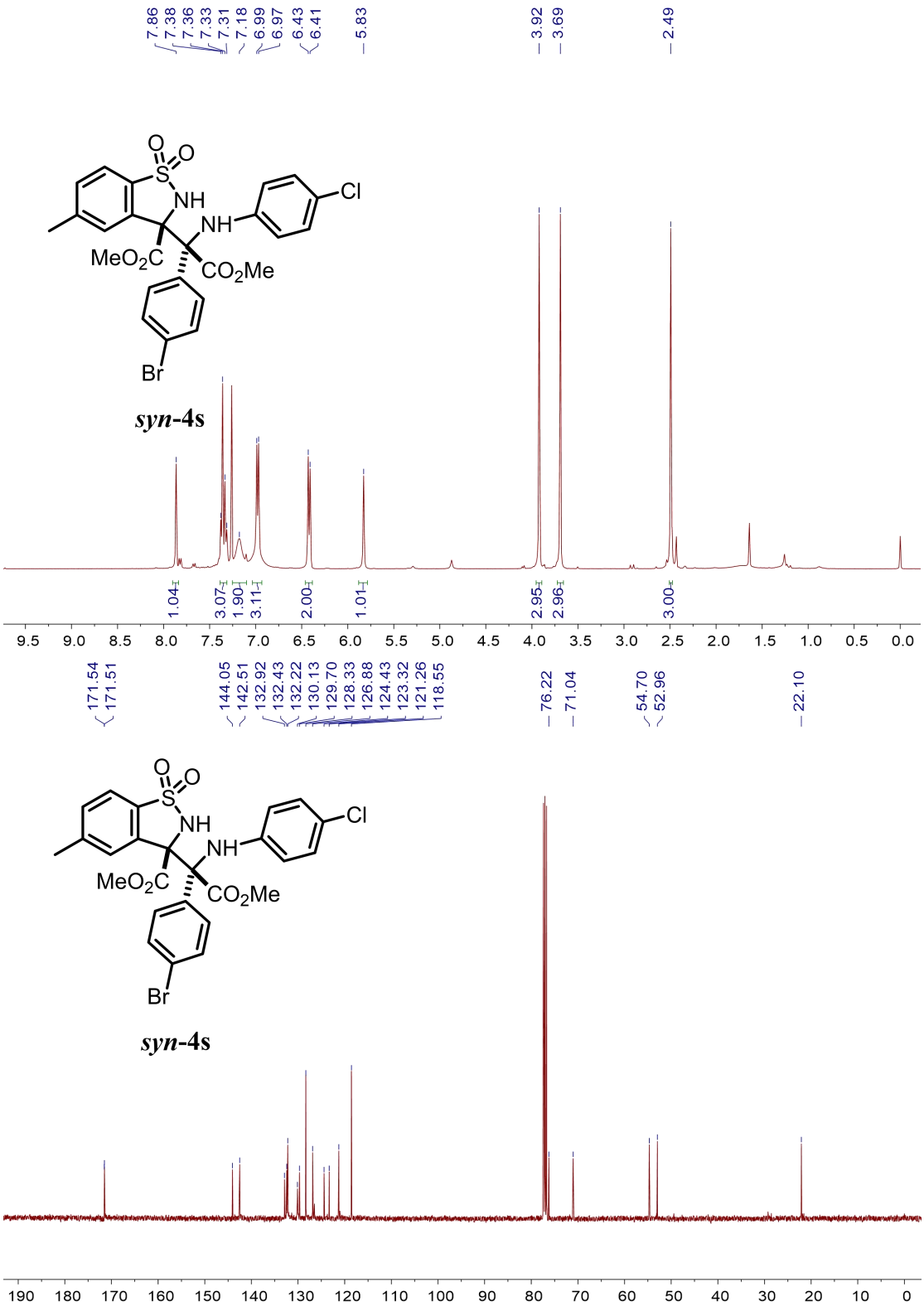
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3.69
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S
NH
NH
Cl
MeO2C
CO2Me
Br
syn-4s
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3.07
1.90
3.11
2.00
1.01
2.95
2.96
3.00
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171.51
144.05
142.51
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Br
syn-4s
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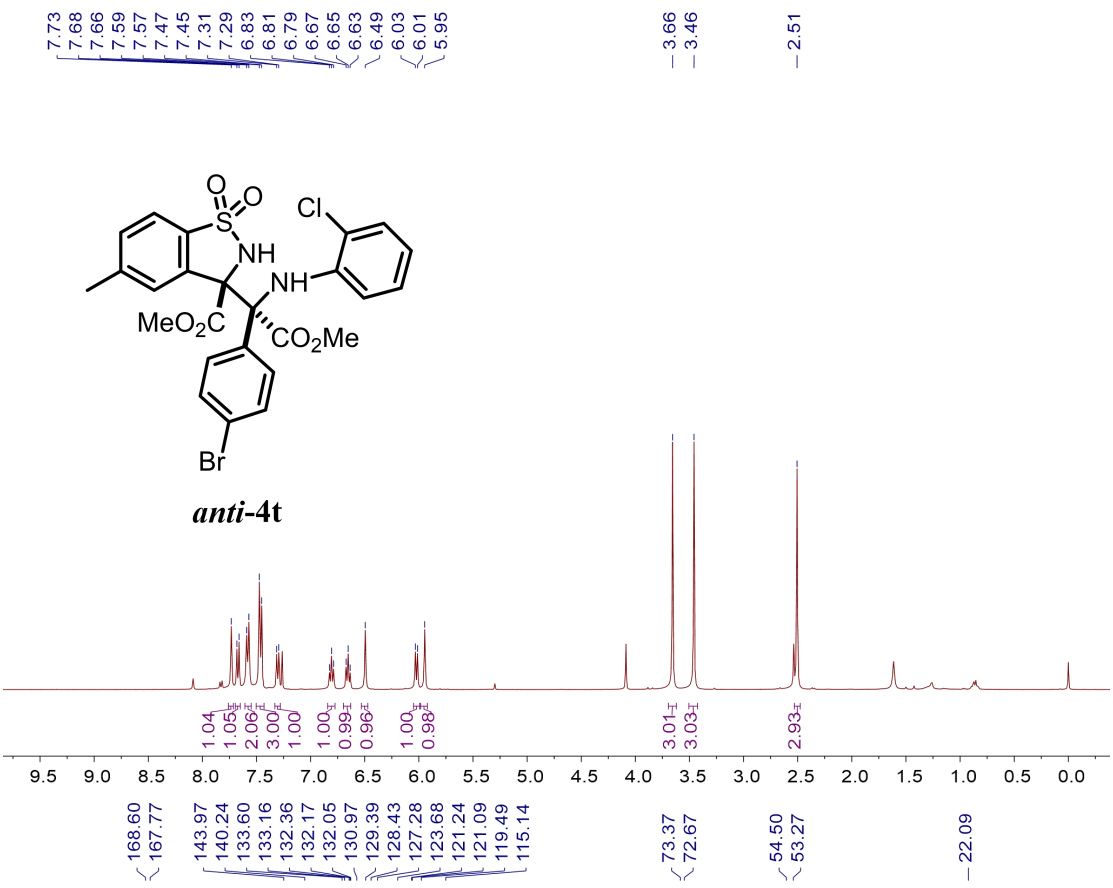

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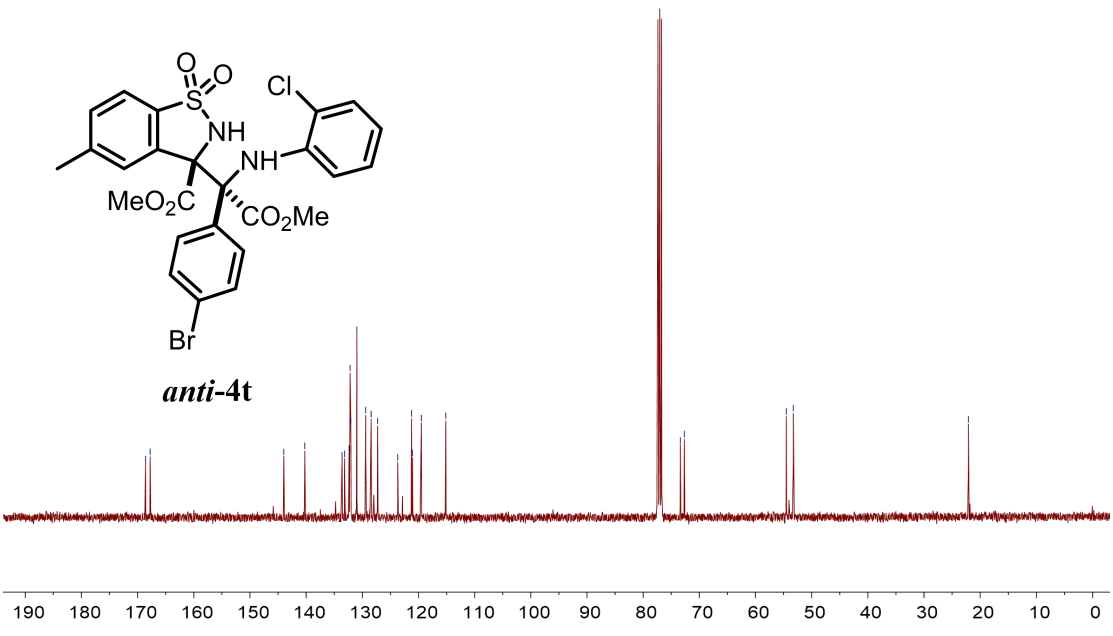

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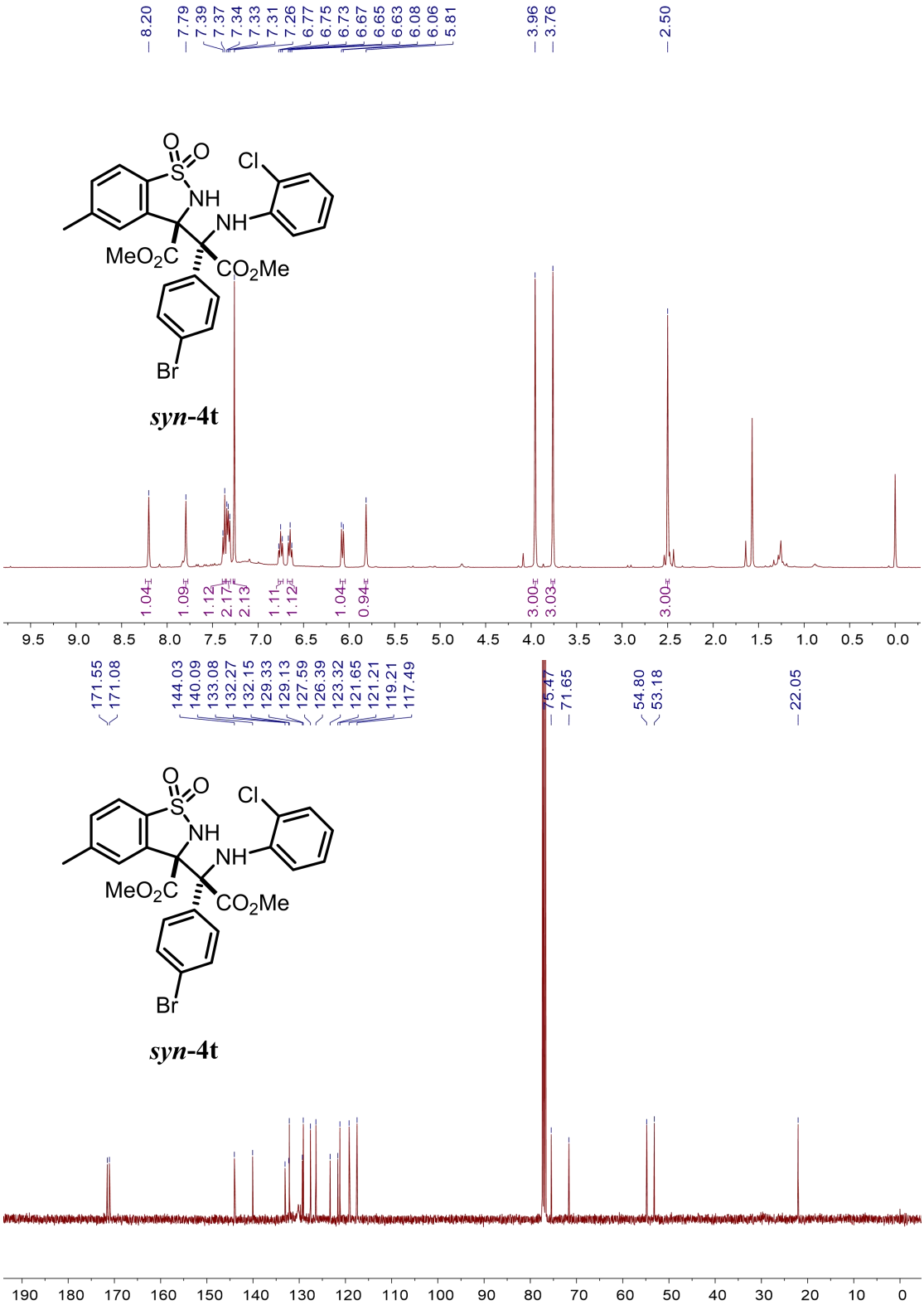
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6.08
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3.76
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S
NH
NH
Cl
MeO2C
CO2Me
Br
syn-4t
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1.09
1.12
2.17
2.13
1.11
1.12
1.04
0.94
3.00
3.03
3.00
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
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171.08
144.03
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133.08
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CO2Me
Br
syn-4t
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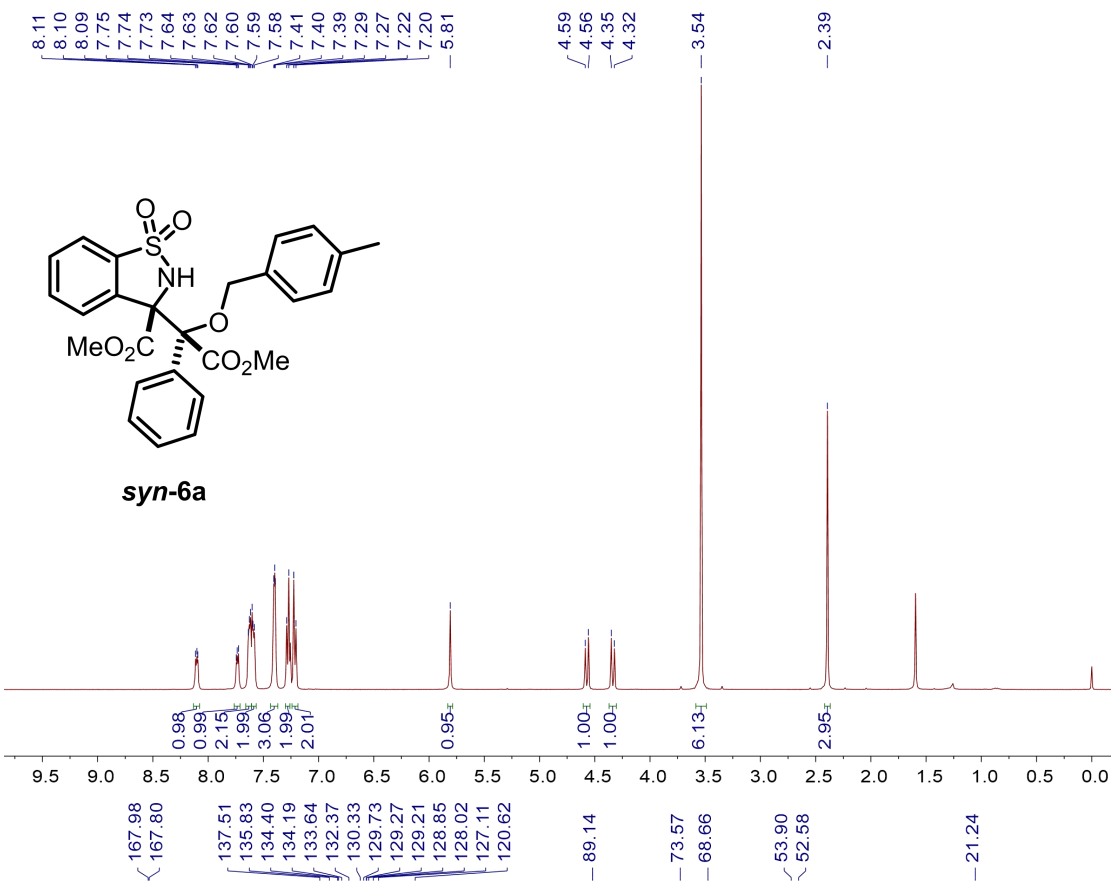
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CO2Me
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1.00
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6.5
6.0
5.5
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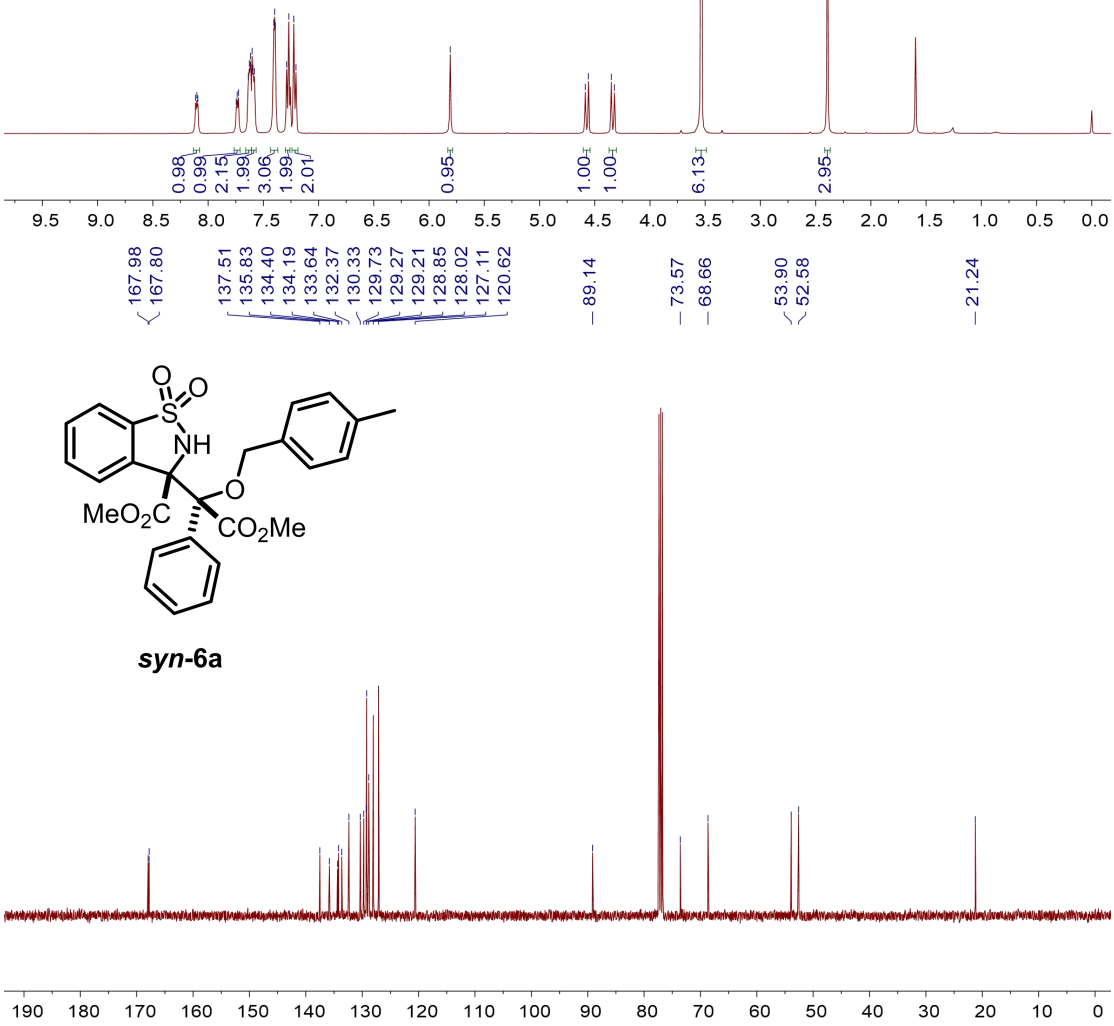
***syn*-6a**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127.11
120.62
89.14
73.57
68.66
53.90
52.58
21.24
O
O
S
NH
O
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CO2Me
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180
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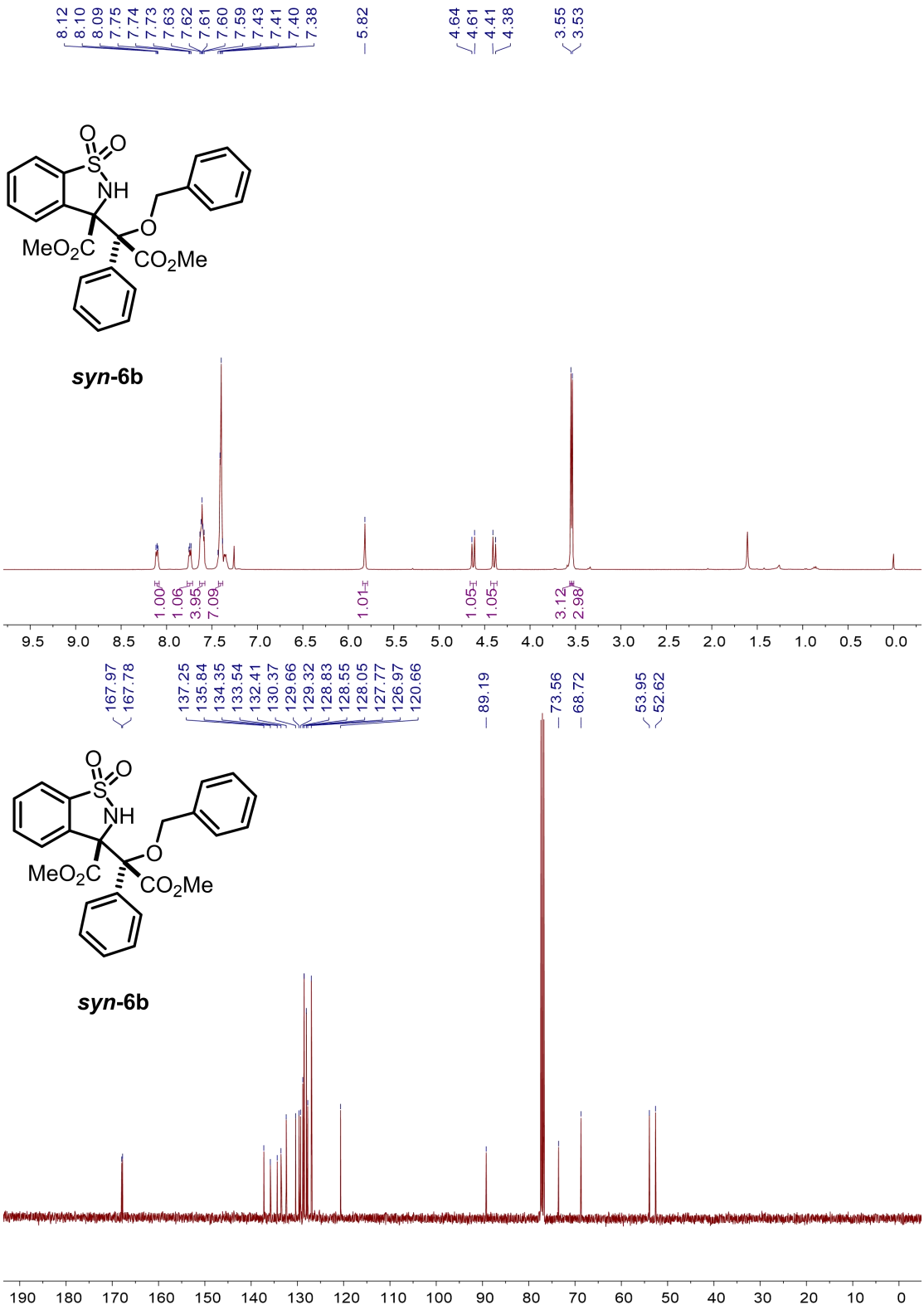
***syn*-6a**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NH
O
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CO2Me
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1.06
3.95
7.09
1.01
1.05
1.05
3.12
2.98
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129.66
129.32
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126.97
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89.19
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CO2Me
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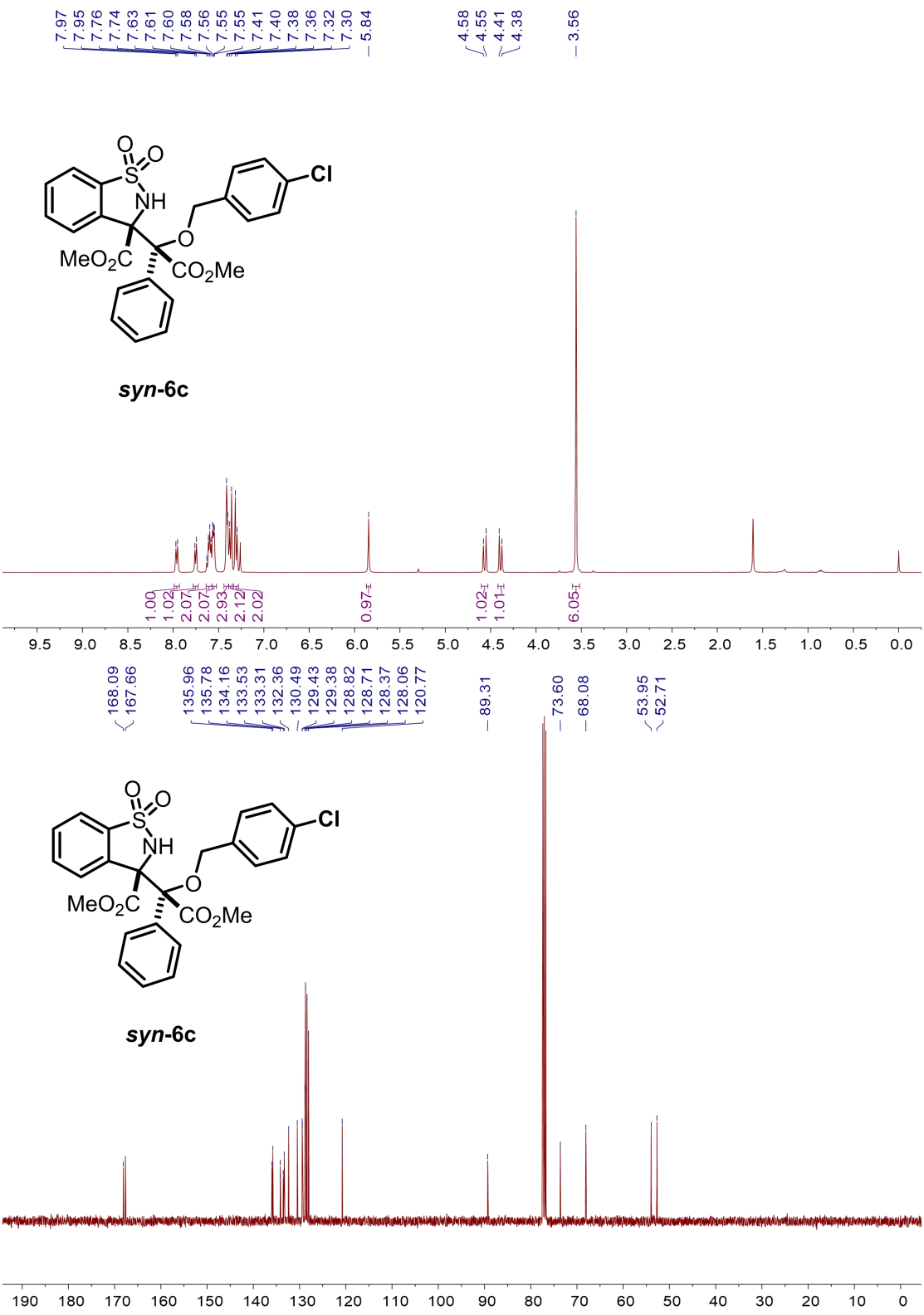
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7.38
7.36
7.32
7.30
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4.55
4.41
4.38
3.56
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NH
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CO2Me
syn-6c
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6.05
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syn-6c
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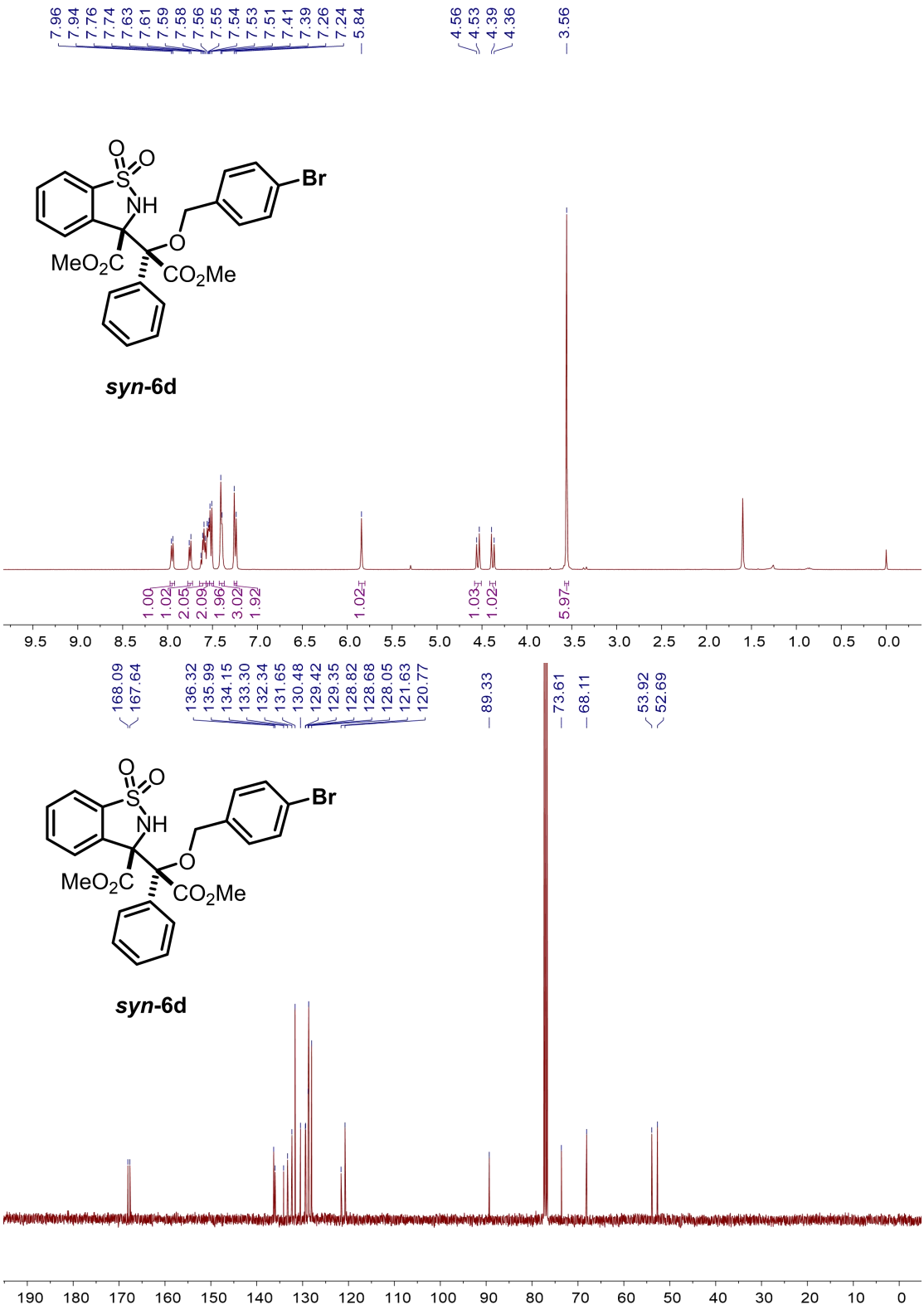
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7.39
7.26
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4.39
4.36
3.56
Br
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MeO2C
CO2Me
syn-6d
1.00
1.02
2.05
2.09
1.96
3.02
1.92
1.02
1.03
1.02
5.97
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
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CO2Me
syn-6d
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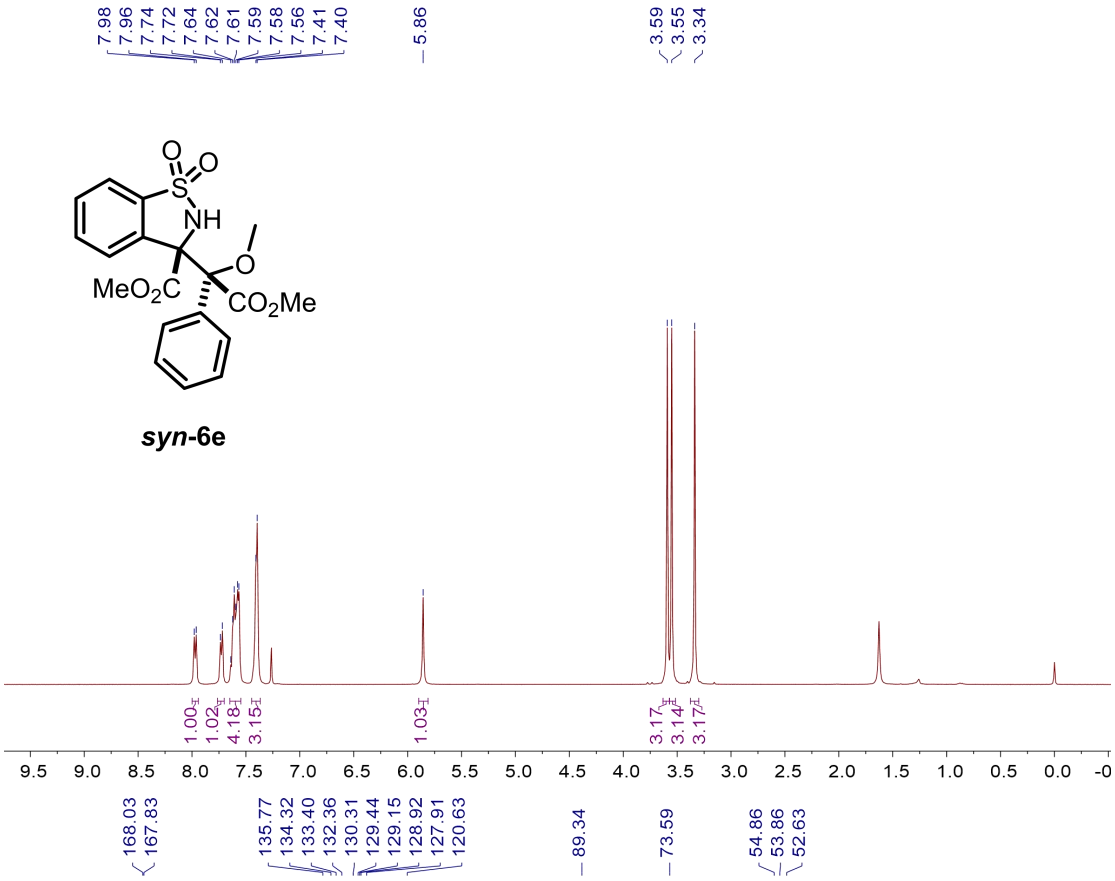
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3.55
3.34
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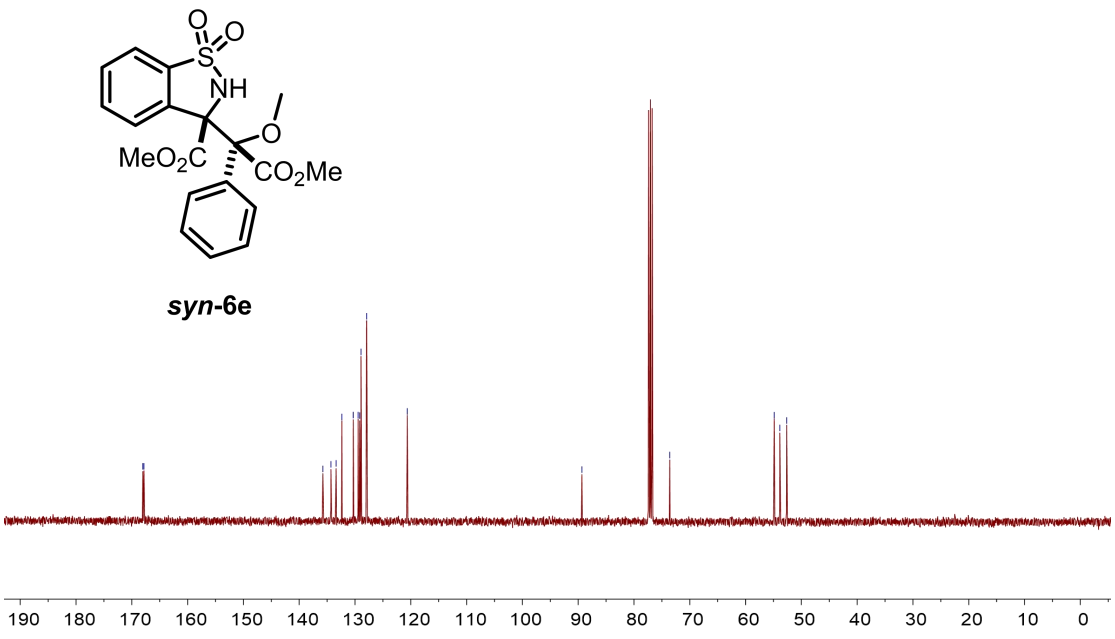
O
S
NH
O
MeO2C
CO2Me
1.00
1.02
4.18
3.15
1.03
3.17
3.14
3.17
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0

***syn*-6e**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73.59
54.86
53.86
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CO2Me
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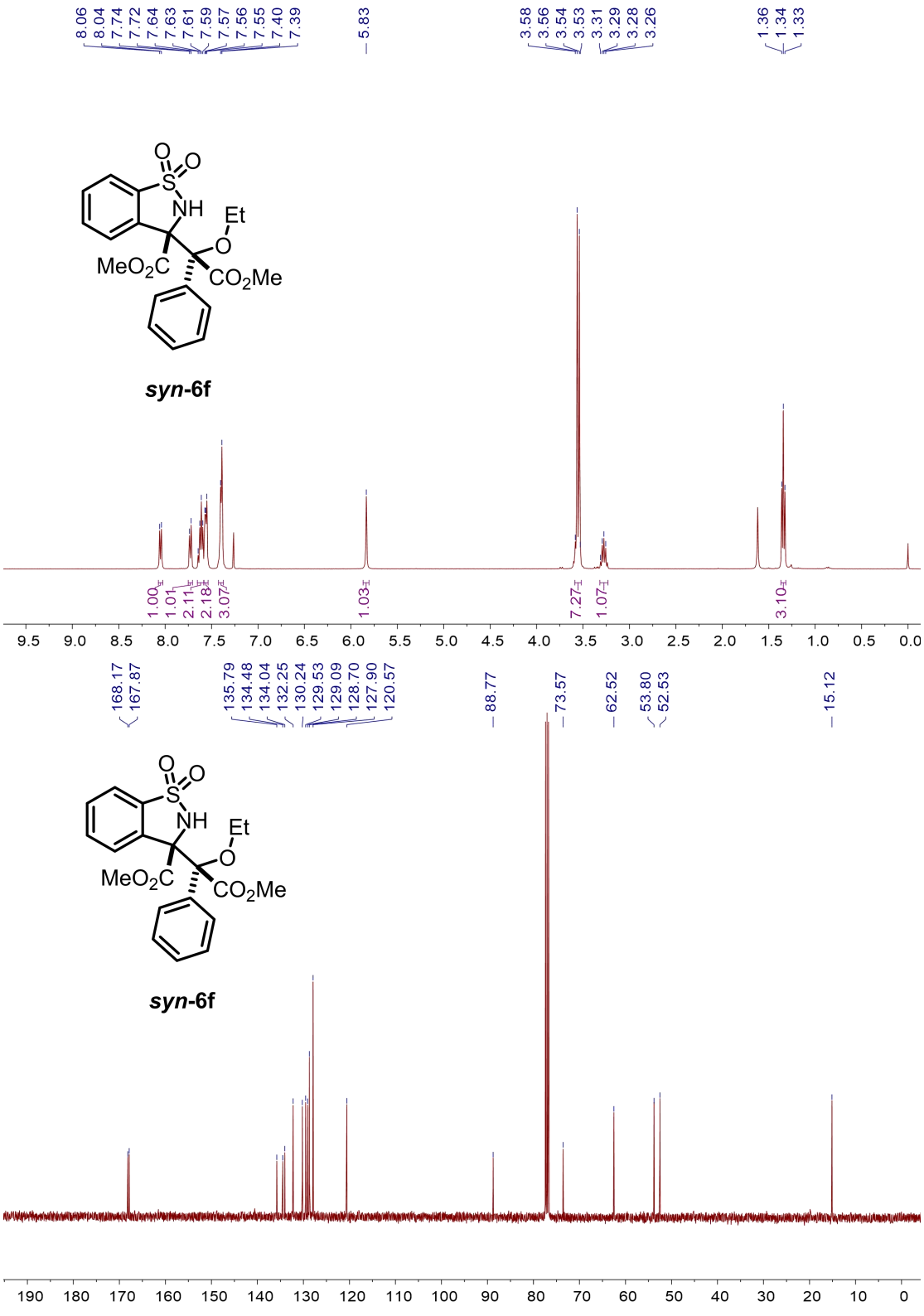
***syn*-6e**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1.34
1.33
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NH
Et
O
MeO2C
CO2Me
syn-6f
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1.01
2.11
2.18
3.07
1.03
7.27
1.07
3.10
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CO2Me
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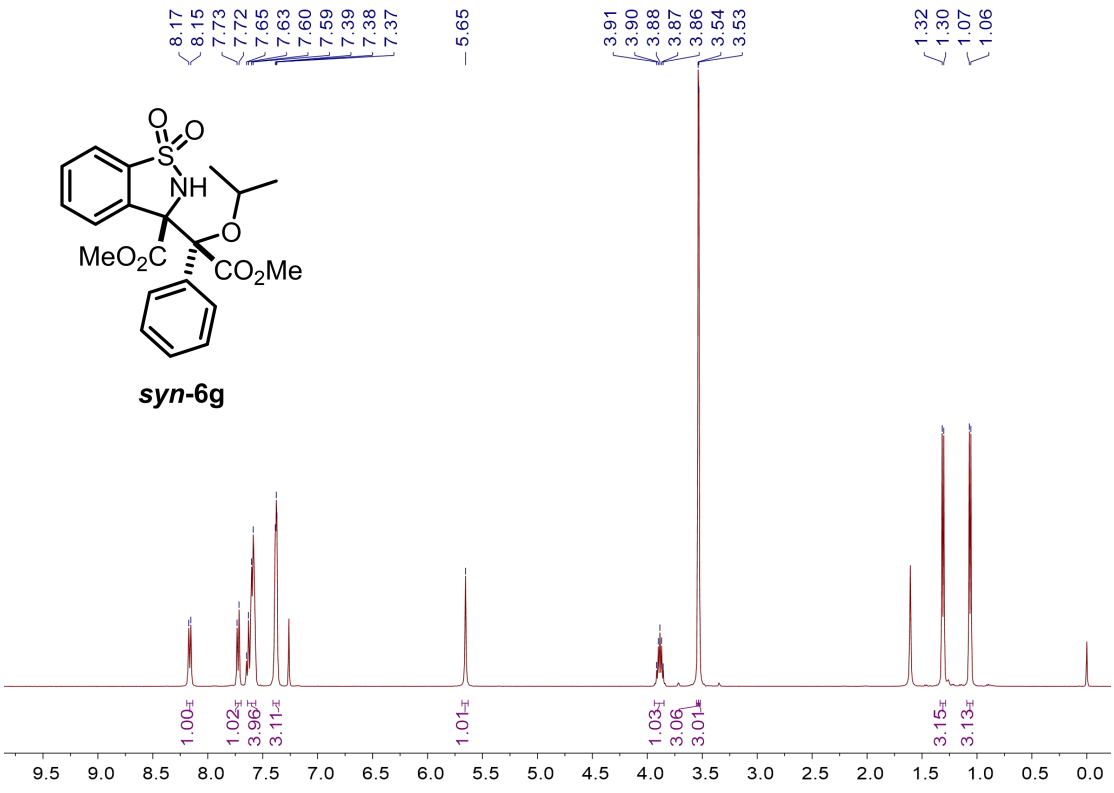
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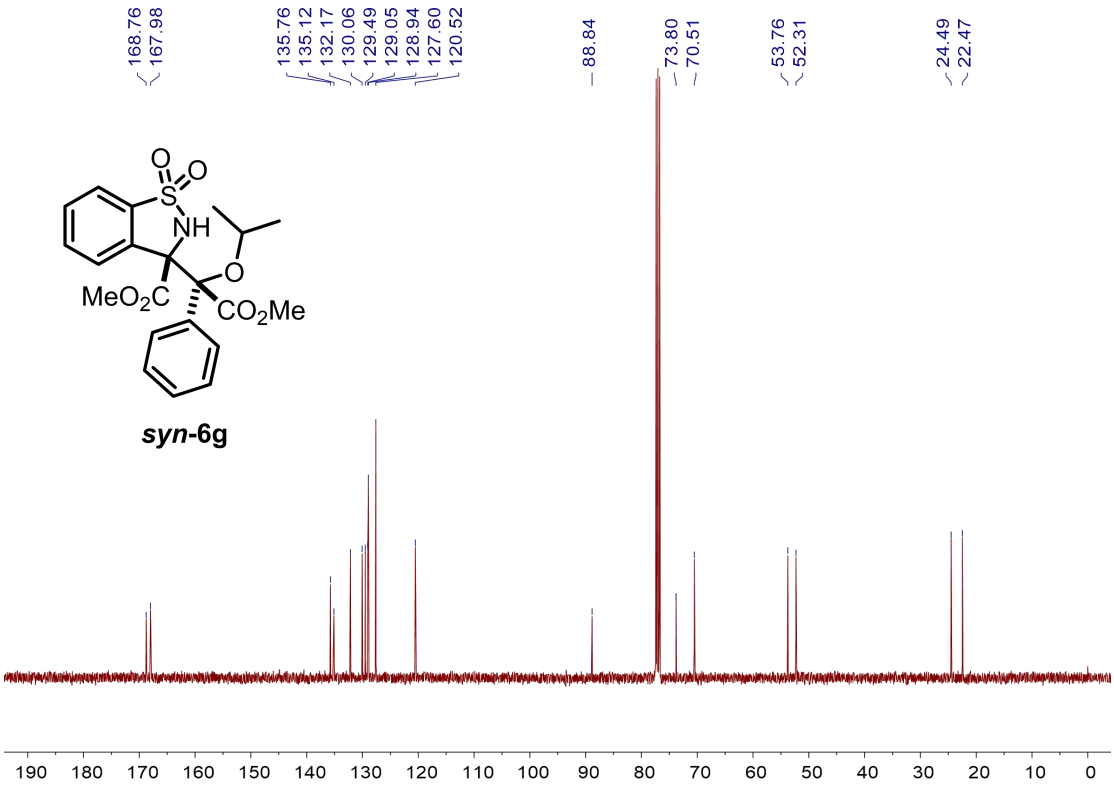
***syn*-6g**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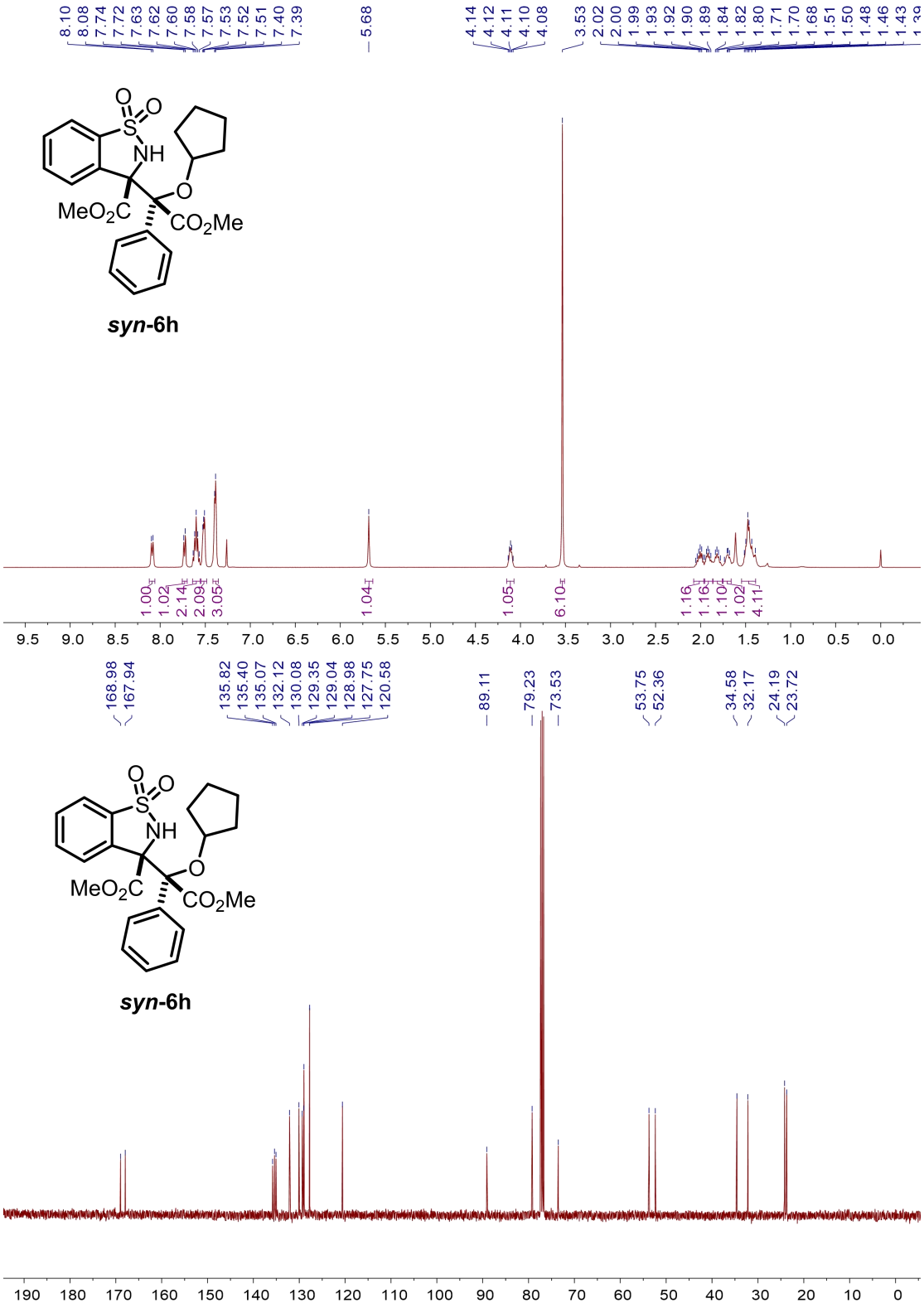
***syn*-6g**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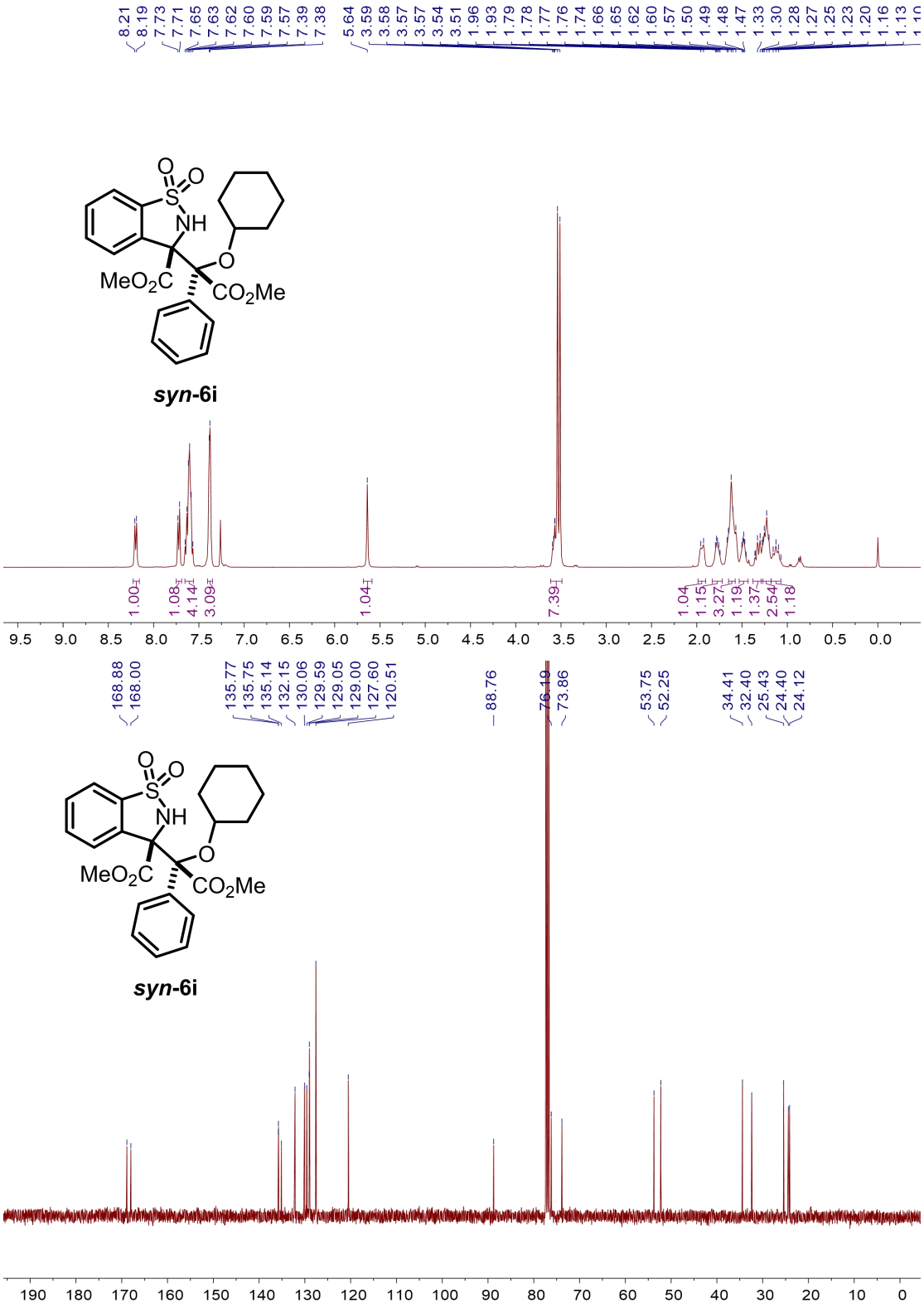
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1.04
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CO2Me
syn-6i
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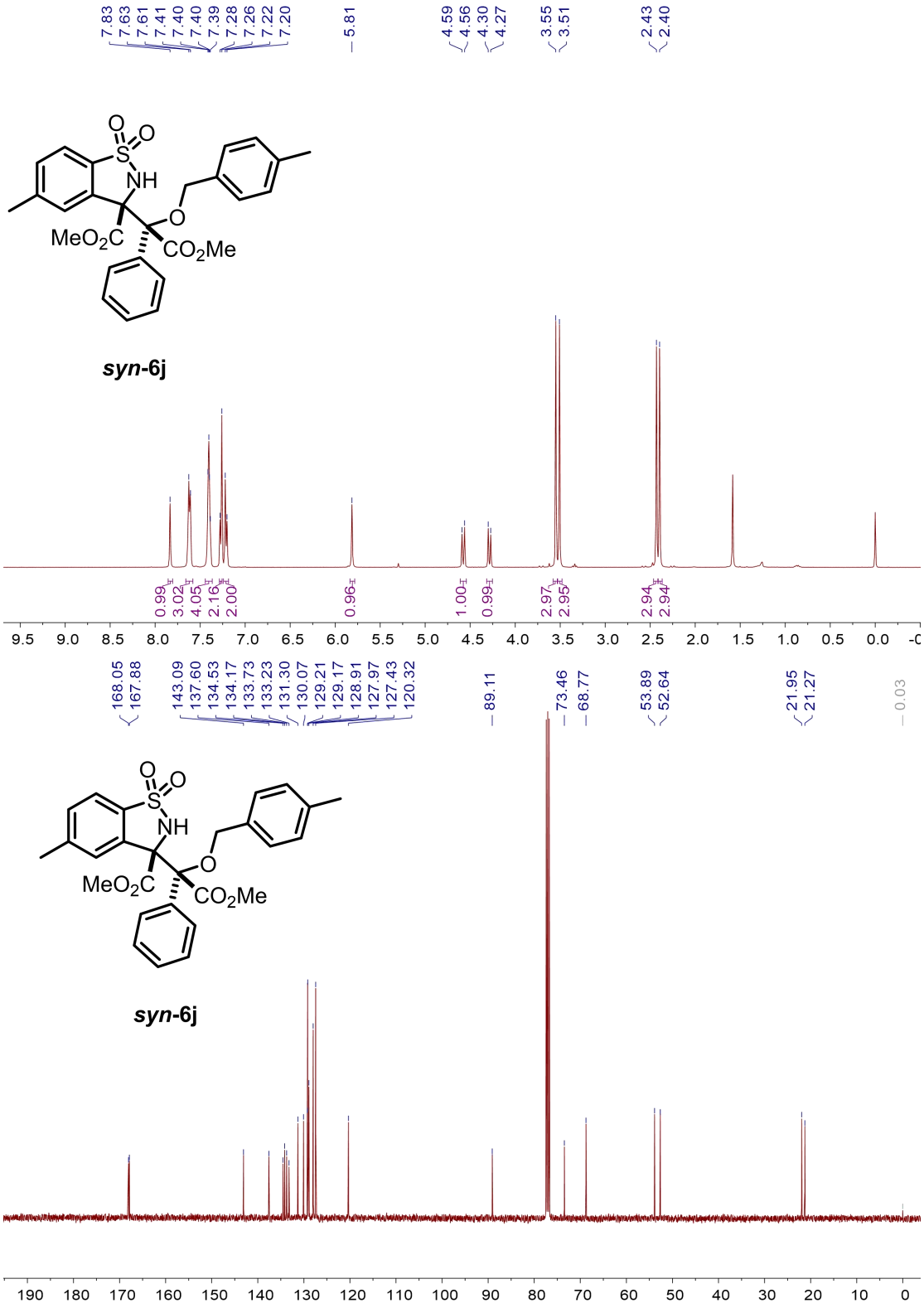
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CO2Me
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3.02
4.05
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1.00
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2.94
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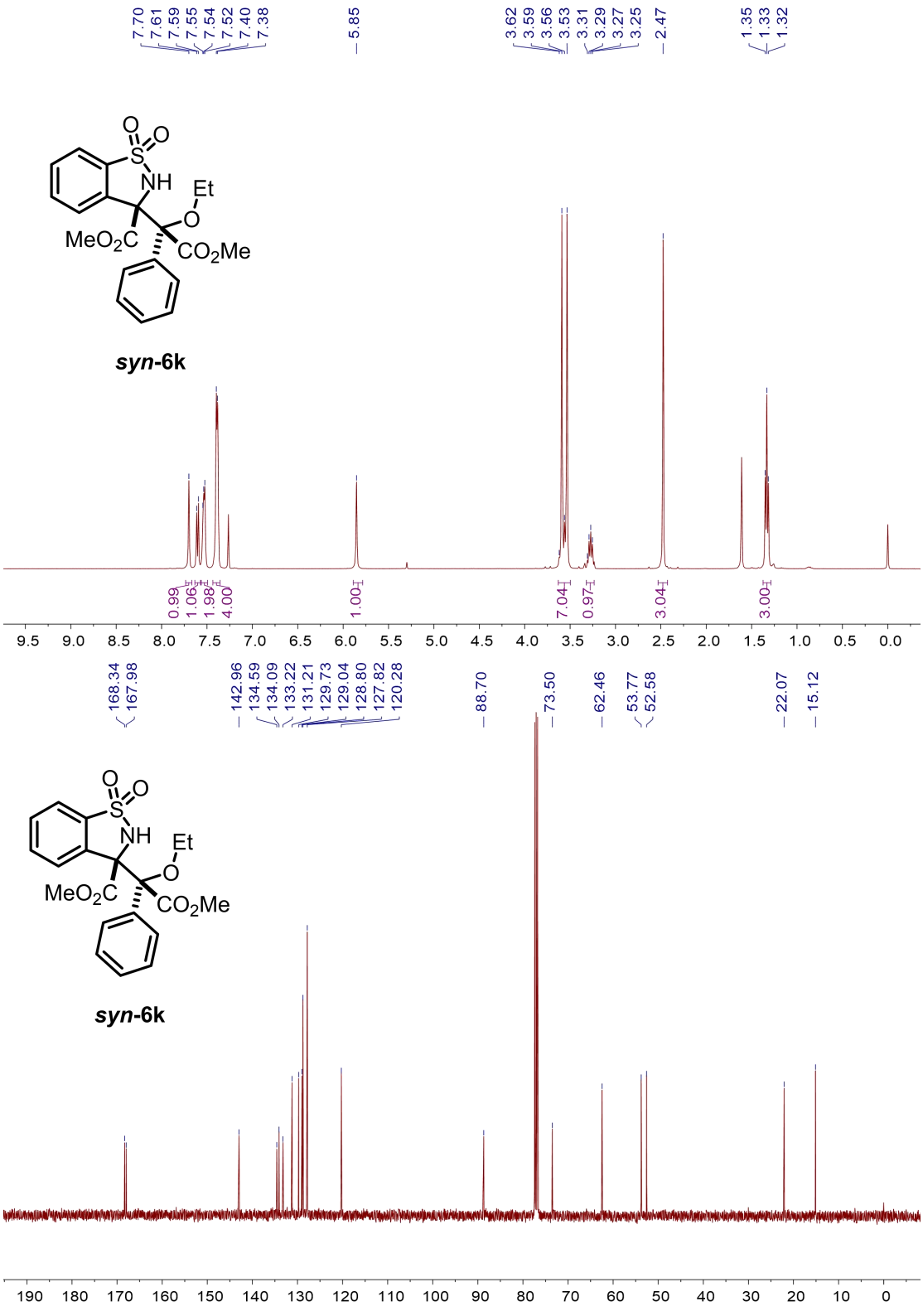
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5.85
3.62
3.59
3.56
3.53
3.31
3.29
3.27
3.25
2.47
1.35
1.33
1.32
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O
S
NH
Et
O
MeO2C
CO2Me
syn-6k
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1.06
1.98
4.00
1.00
7.04
0.97
3.04
3.00
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167.98
142.96
134.59
134.09
133.22
131.21
129.73
129.04
128.80
127.82
120.28
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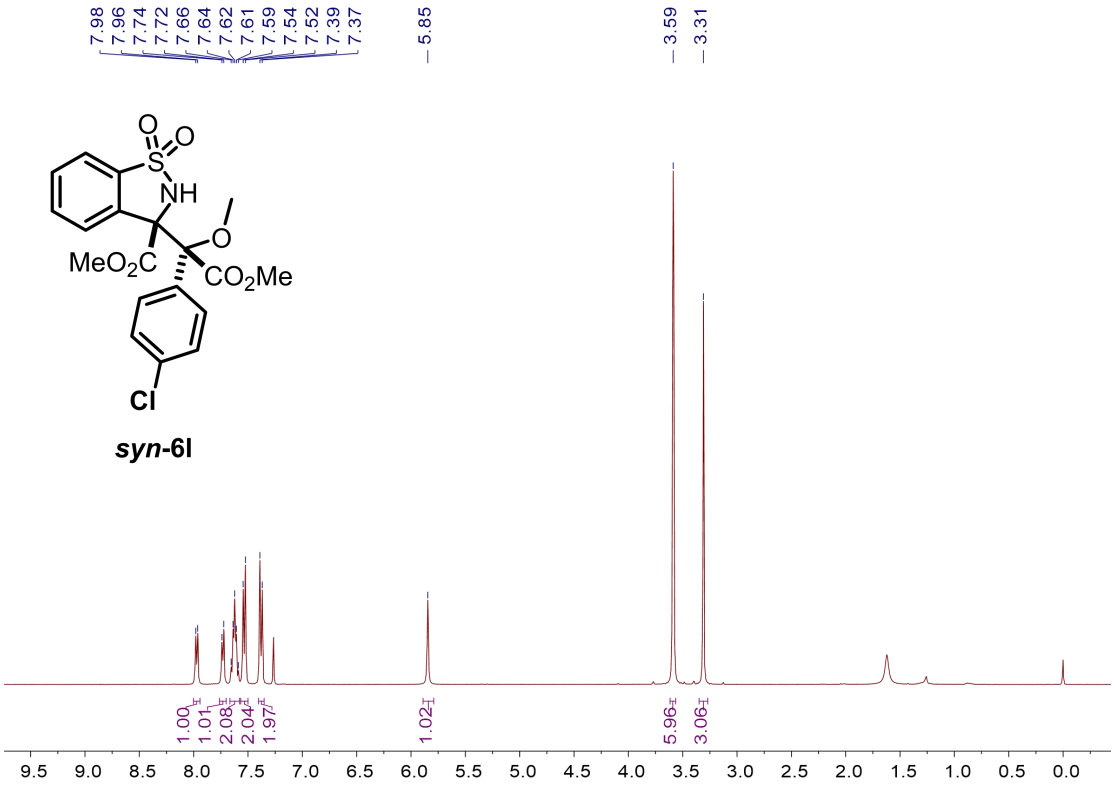
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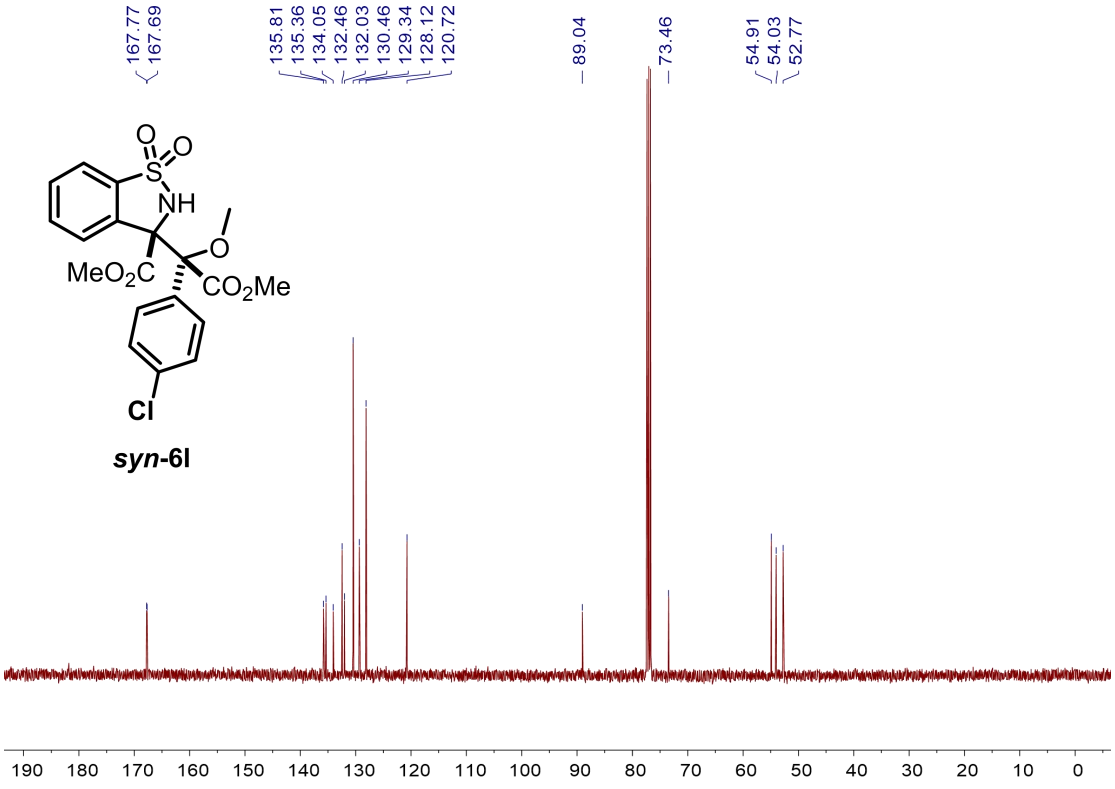
3.59
3.31
O
O
S
NH
O
MeO2C
CO2Me
Cl
1.00
1.01
2.08
2.04
1.97
1.02
5.96
3.06
9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0

***syn*-6l**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54.03
52.77
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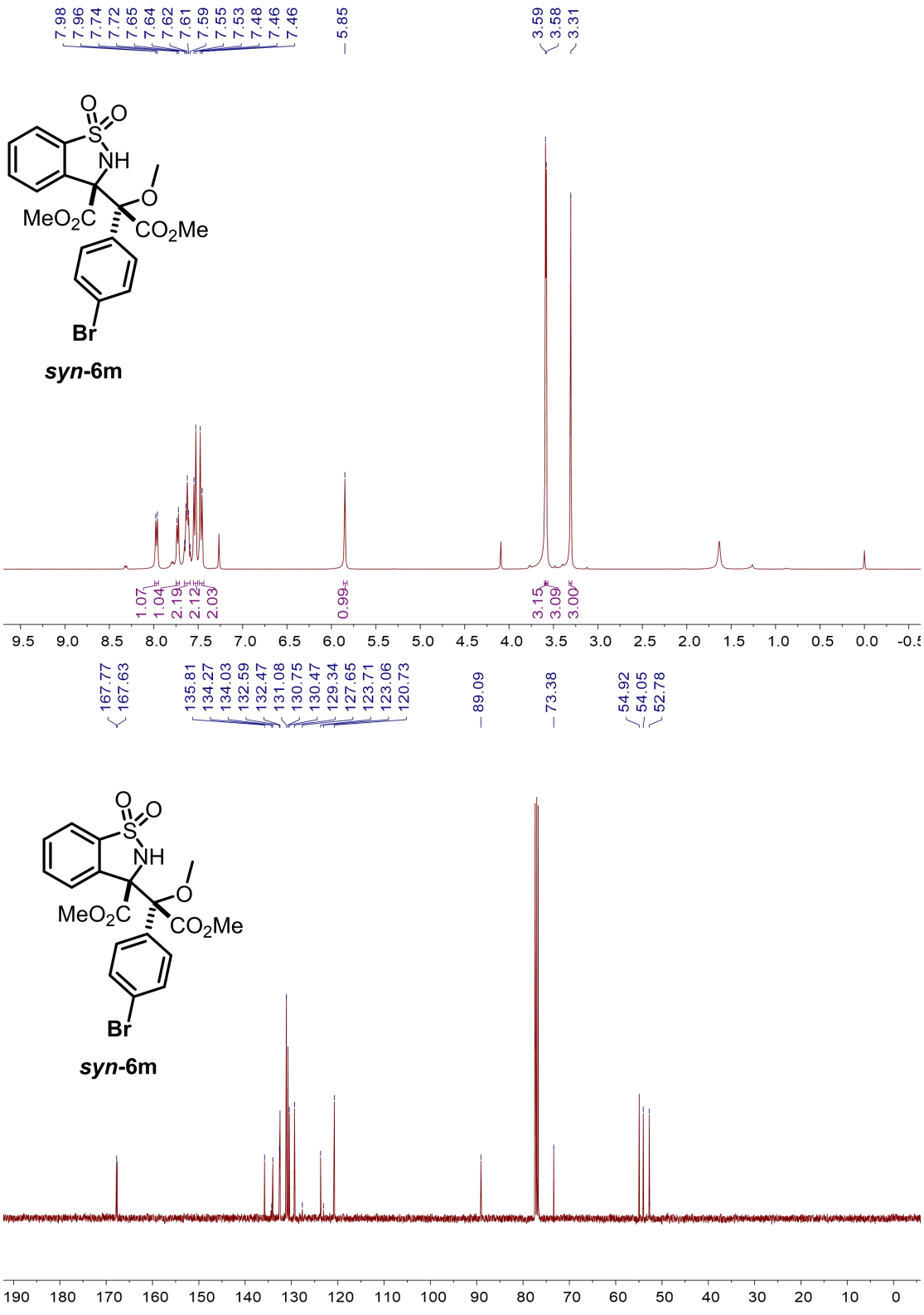
CO2Me
Cl
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0

***syn*-6l**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7.46
5.85
3.59
3.58
3.31
O
O
S
NH
O
MeO2C
CO2Me
Br
syn-6m
1.07
1.04
2.19
2.12
2.03
0.99
3.15
3.09
3.00
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
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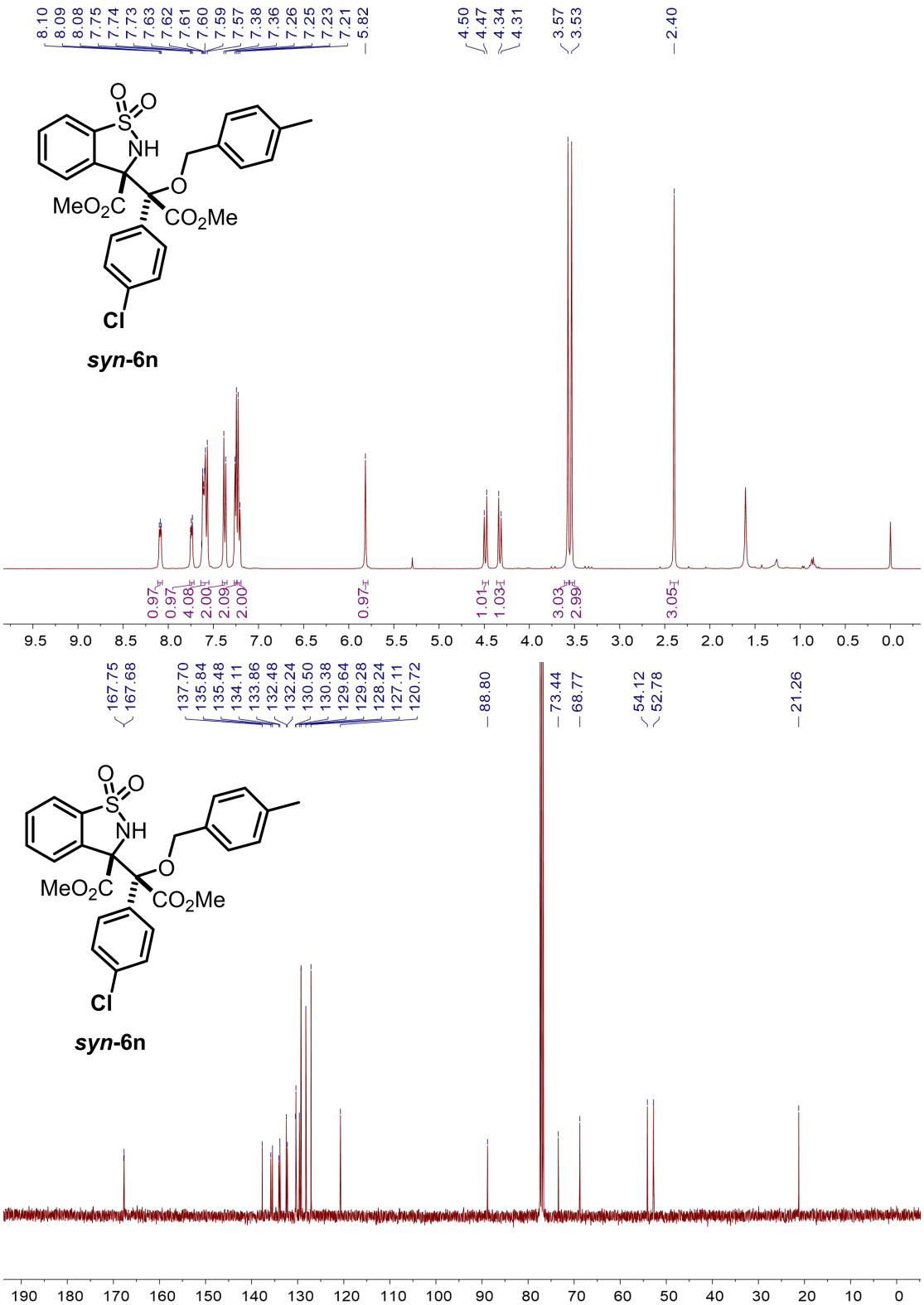
syn-6m
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0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7.36
7.26
7.25
7.23
7.21
5.82
4.50
4.47
4.34
4.31
3.57
3.53
2.40
O
O
S
NH
O
MeO2C
CO2Me
Cl
syn-6n
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0.97
4.08
2.00
2.09
2.00
0.97
1.01
1.03
3.03
2.99
3.05
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
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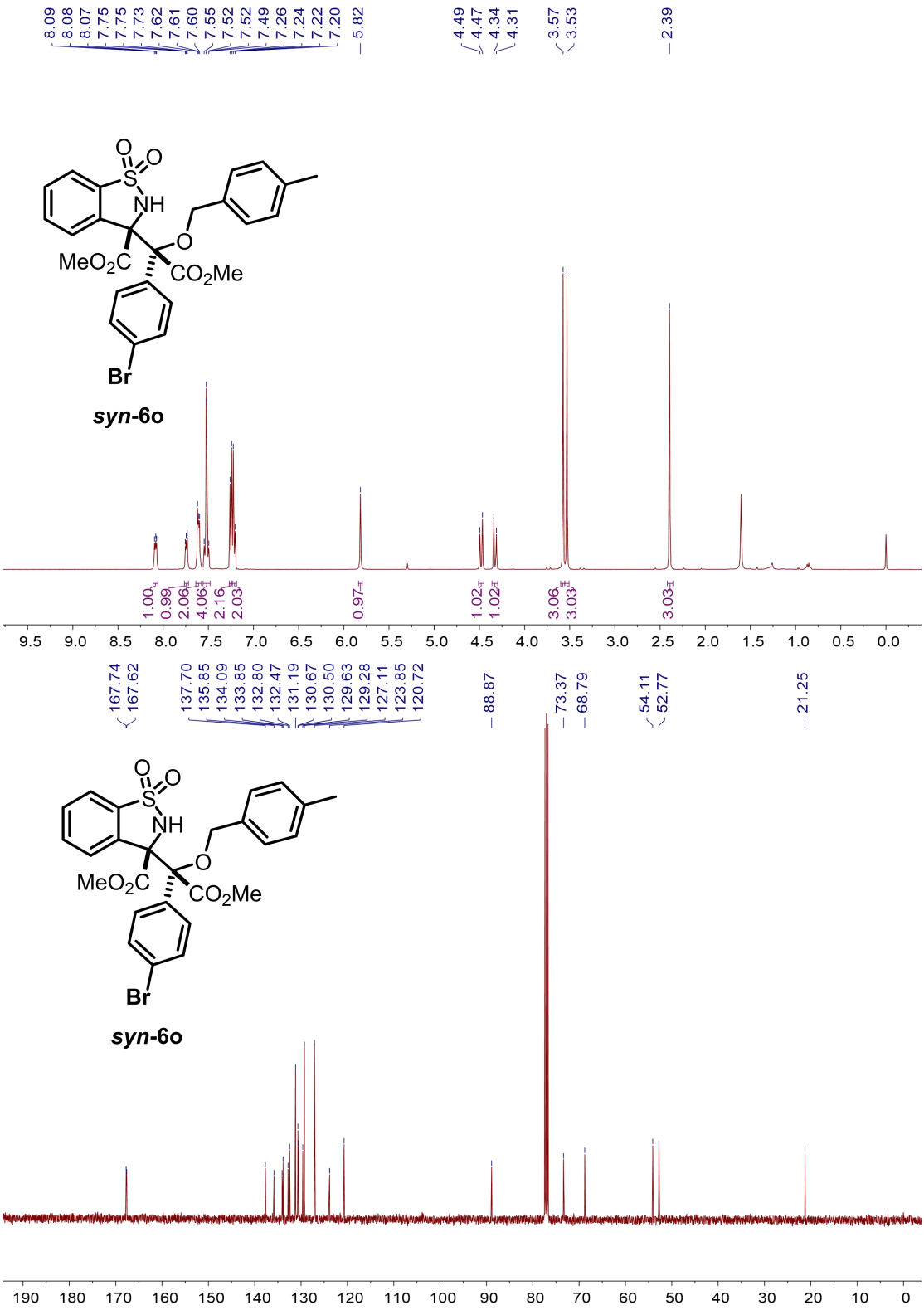
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7.22
7.20
5.82
4.49
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4.34
4.31
3.57
3.53
2.39
O
O
S
NH
O
MeO2C
CO2Me
Br
syn-6o
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0.99
2.06
4.06
2.16
2.03
0.97
1.02
1.02
3.06
3.03
3.03
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54.11
52.77
21.25
O
O
S
NH
O
MeO2C
CO2Me
Br
syn-6o
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0