Supporting Information

Cobalt(III)-Catalyzed Cross-Coupling of Enamides with Allyl Acetates/Maleimides

Wenlong Yu,† Wei Zhang,† Yue Liu,† Zhanxiang Liu,† Yuhong Zhang†,‡,*

†Department of Chemistry, Zhejiang University, Hangzhou 310027, China

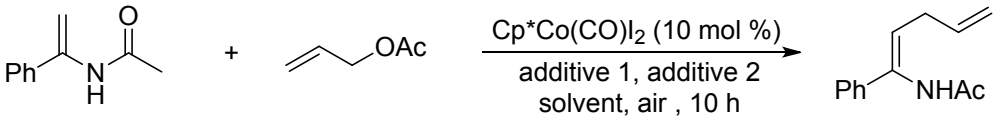
‡State Key Laboratory of Applied Organic Chemistry, Lanzhou University, Lanzhou 730000, China

E-mail: *yhzhang@zju.edu.cn*

General General All reactions were performed under air in a flame-dried reaction flask. N-acyl enamides were synthesized according to published procedures.[1] vinylcyclopropane was prepared according to the previous work.[2] Methyl 2-acetamidoacrylate, N-vinylacetamide, maleimides, and 2-vinyloxirane were purchased from Tokyo Chemical Industry Co., Ltd. The other materials and solvents were purchased from common commercial sources and used without additional purification, if there is no special version. 1H NMR spectra were recorded at 400 MHz using TMS as internal standard. 13C NMR spectra were recorded at 100 MHz using TMS as internal standard. The multiplicities are reported as follows: singlet (s), doublet (d), doublet of doublets (dd), multiplet (m), and broad resonances (br). Mass spectroscopy data of the products were collected on an HRMS-TOF instrument.

NOTE: The corresponding alkylation products were sensitive to the acid in the $CDCl_3$. The $CDCl_3$ should be purified with the dry K_2CO_3.

The Optimization of the reaction conditions[a]



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

entry	additive 1 (20 mol %)	additive 2 (20 mol %)	solvent (1 mL)	temp (^{o}C)	Yield (%)[b]
1	AgOAc	-	CF_3CH_2OH	90	40
2	AgOAc	-	MeOH	90	NR
3	AgOAc	-	*t*-AmOH	90	NR
4	AgOAc	-	PhMe	90	NR
5	AgOAc	-	PhCl	90	NR
6	AgOAc	-	PhF	90	NR
7	AgOAc	-	Dioxane	90	NR
8	AgOAc	-	CH_3NO_2	90	NR
9	AgOAc	-	DCE	90	NR
10	AgOAc	-	DCM	90	NR
11	AgOAc	-	NMP	90	NR
12	AgOAc	-	DMF	90	NR
13	AgOAc	-	DMSO	90	NR
14	AgOAc	-	CH_3CN	90	NR

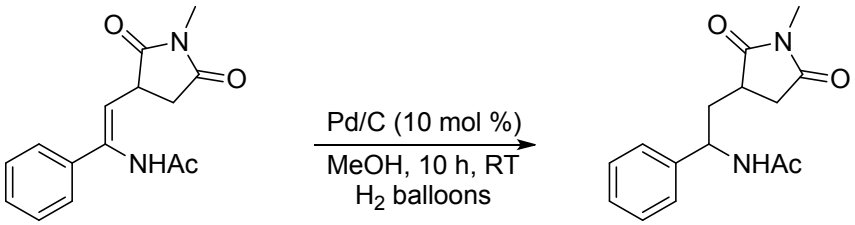
15	$Cu(OAc)_2$	-	CF_3CH_2OH	90	38
16	$Zn(OAc)_2$	-	CF_3CH_2OH	90	15
17	LiOAc	-	CF_3CH_2OH	90	30
18	NaOAc	-	CF_3CH_2OH	90	32
19	KOAc	-	CF_3CH_2OH	90	37
20	CsOAc	-	CF_3CH_2OH	90	38
21	*N*-Ac-L-Phe	-	CF_3CH_2OH	90	NR
22	*N*-Ac-L-Ile	-	CF_3CH_2OH	90	NR
23	*N*-Ac-L-Val	-	CF_3CH_2OH	90	NR
24	*N*-Ac-L-Ala	-	CF_3CH_2OH	90	NR
25	*N*-Ac-L-Leu	-	CF_3CH_2OH	90	NR
26	*N*-Ac-L-Gly	-	CF_3CH_2OH	90	NR
27	AgOAc	Li_2CO_3	CF_3CH_2OH	90	30
28	AgOAc	Na_2CO_3	CF_3CH_2OH	90	28
29	AgOAc	K_2CO_3	CF_3CH_2OH	90	NR
30	AgOAc	Cs_2CO_3	CF_3CH_2OH	90	NR
31	AgOAc	$NaHCO_3$	CF_3CH_2OH	90	36
32	AgOAc	-	CF_3CH_2OH	80	37
33	AgOAc	-	CF_3CH_2OH	100	34
33[c]	AgOAc	-	CF_3CH_2OH	90	63
34[d]	**AgOAc**	**-**	**CF_3CH_2OH**	**90**	**73**
35[e]	AgOAc	-	CF_3CH_2OH	90	NR
36[d,f]	AgOAc	-	CF_3CH_2OH	90	60
37	-	-	CF_3CH_2OH	90	trace

[a]Reaction conditions: substrate **1a** (0.1 mmol), allyl acetate **2a** (0.15 mmol), Cp*Co(CO)I_2 (10 mol %), additive 1 (20 mol%), additive 2 (20 mol%), solvent (1 mL), 90 °C, air, 10 h. [b]Isolated yields. [c]allyl acetate **2a** (0.3 mmol). [d]allyl acetate **2a** (0.45 mmol). [e]Cp*Co(CO)I_2 was not used. [f][Cp*$RhCl_2$]$_2$ (5 mol %)/AgOAc (10 mol %) as the catalyst.

Typical Procedure for the Product

The enamides **1a** (0.1 mmol) and allyl acetate **2a** (0.45 mmol) were dissolved in 1mL CF_3CH_2OH in a sealed tube. 5 mg (10 mol%) Cp*Co(CO)I_2 and 4 mg (20 mol%) AgOAc were added. The tube was stirred at 90 °C for 10 h under air. The solvent was removed in vacuum and the product was isolated through column chromatography to afford the corresponding products.

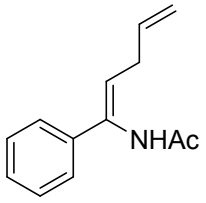
Typical Procedure for the hydrogenation of 5aa



The enamides **5aa** (0.2 mmol) was dissolved in 1mL MeOH in a Schlenk tube. 20 mg Pd/C was added. The tube was stirred at RT for 10 h under H_2 balloons. The solvent was removed in vacuum and the product was isolated through column chromatography to afford the corresponding hydrogenation products.

The solvent effect in the NMR spectrums

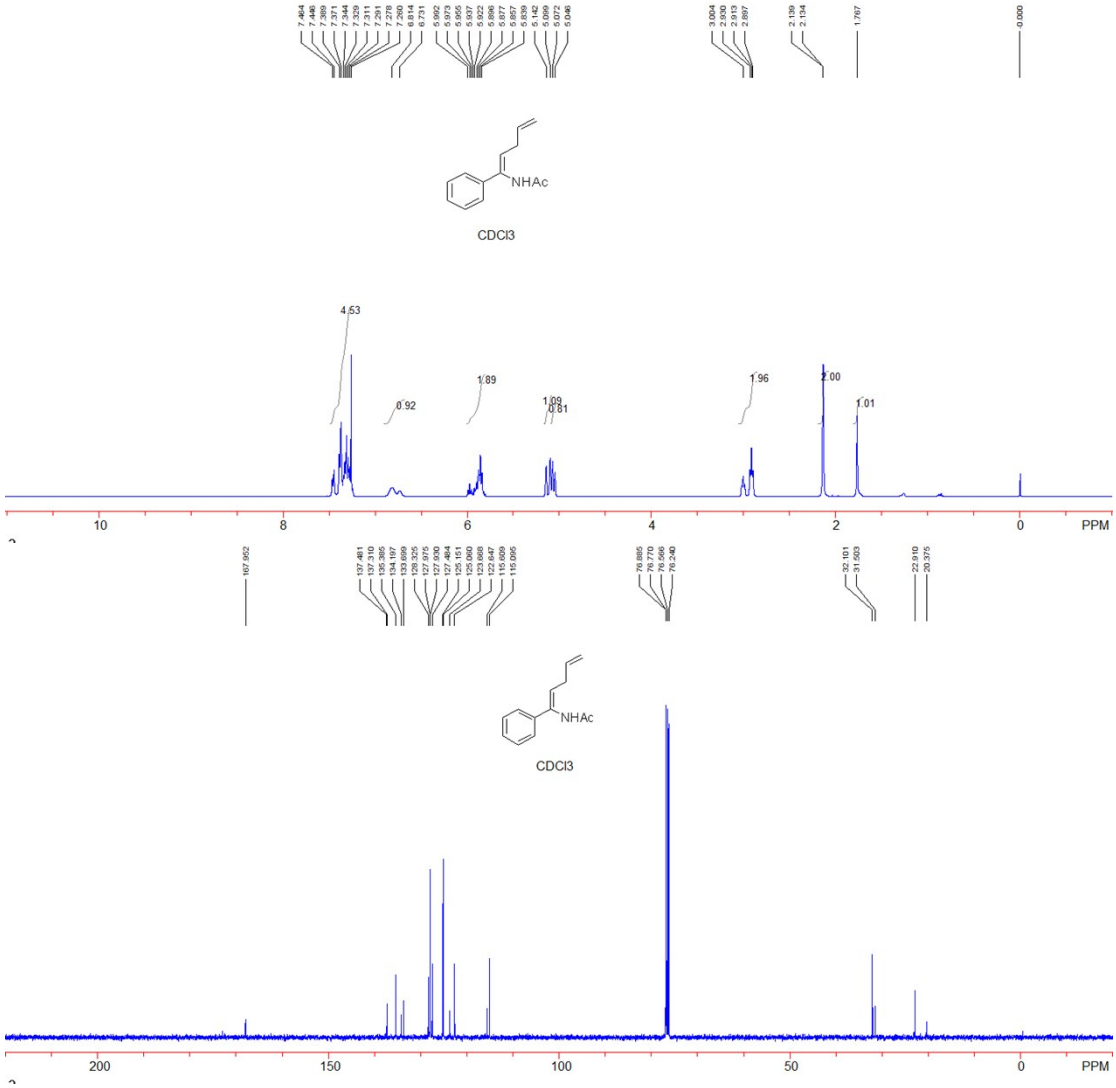
Note: The ^{1}H-NMR and ^{13}C-NMR spectrums of the allylation product were strong influenced by the solvent effect. We showed the NMR spectrums of 3aa in $CDCl_3$, DMSO-d_6 and Acetone-d_6. After the ^{1}H-NMR spectrums of 3aa in $CDCl_3$ was recorded, the $CDCl_3$ was removed in vacuum and the 3aa was dissolved in the Acetone-d_6 once again. This samlpe gave the ^{1}H-NMR spectrums of 3aa in Acetone-d_6.

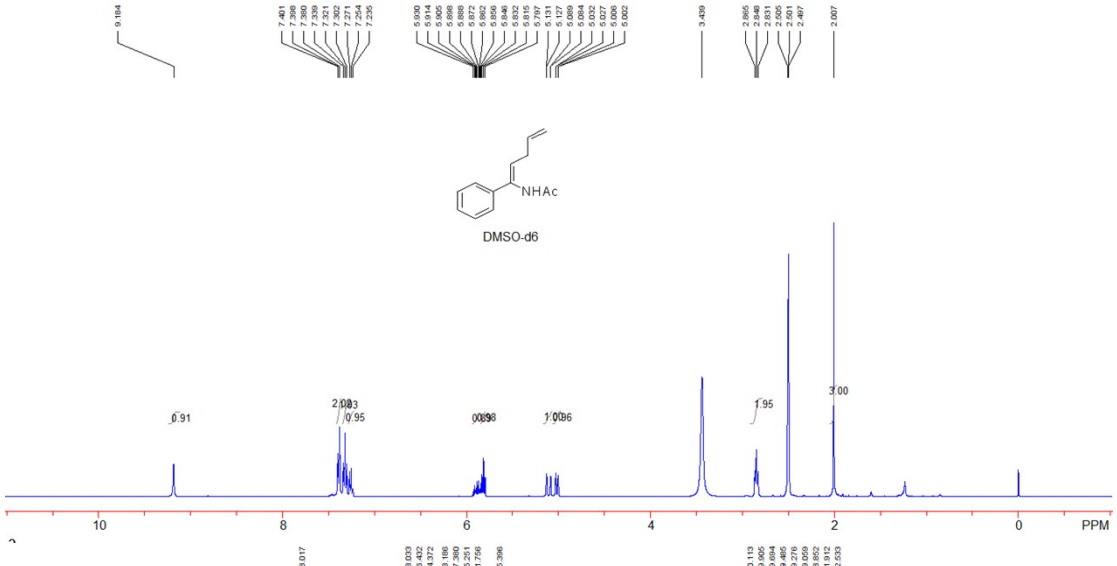


(Z)-N-(1-phenylpenta-1,4-dien-1-yl)acetamide

^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.28-7.46 (m, 5H), 6.81, 6.73 (*NH*, 1H), 5.84-5.99 (m, 2H), 5.12 (d, *J* = 16Hz, 1H), 5.06 (d, *J* = 8Hz, 1H), 2.90-3.00 (m, 2H), 2.13 (s, 2H), 1.77 (s, 1H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 168.0, 137.5, 137.3, 135.4, 134.2, 133.7, 128.3, 128.0, 127.9, 127.5, 125.2, 125.1, 123.7, 122.6, 115.6, 115.1, 32.1, 31.5, 22.9, 20.4. ^{1}H NMR (DMSO-d_6, 400 MHz) δ 9.18 (s, 1H), 7.39 (d, *J* = 8Hz, 2H), 7.32 (t, *J* = 8Hz, 2H), 7.25 (t, *J* = 8Hz, 1H), 5.85-5.93 (m, 1H), 5.82 (t, *J* = 8Hz, 1H), 5.11 (dd, J = 1.6Hz, *J* = 16Hz, 1H), 5.02 (dd, *J* = 2Hz, 12Hz, 1H), 2.85 (t, *J* = 8Hz, 2H), 2.01 (s, 3H). ^{13}C NMR (DMSO-d_6, 100 MHz) δ 168.0, 138.0, 136.4, 134.4, 128.2, 127.4, 125.3, 121.8, 115.4, 31.9, 22.5. ^{1}H NMR (acetone-d_6, 400 MHz) δ 8.39 (*NH*, s, 1H),

7.44 (d, J = 8Hz, 2H), 7.30 (t, J = 8Hz, 2H), 7.24 (t, J = 8Hz, 1H), 5.87-5.97 (m, 1H), 5.80 (t, J = 8Hz, 1H), 5.10 (d, J = 20Hz, 1H), 4.99 (d, J = 12Hz, 1H), 2.92 (t, J = 8Hz, 2H), 2.09 (s, 3H). HRMS (EI-TOF) calcd for $C_{13}H_{15}NO$ (M^+): 201.1154, found: 201.1154.

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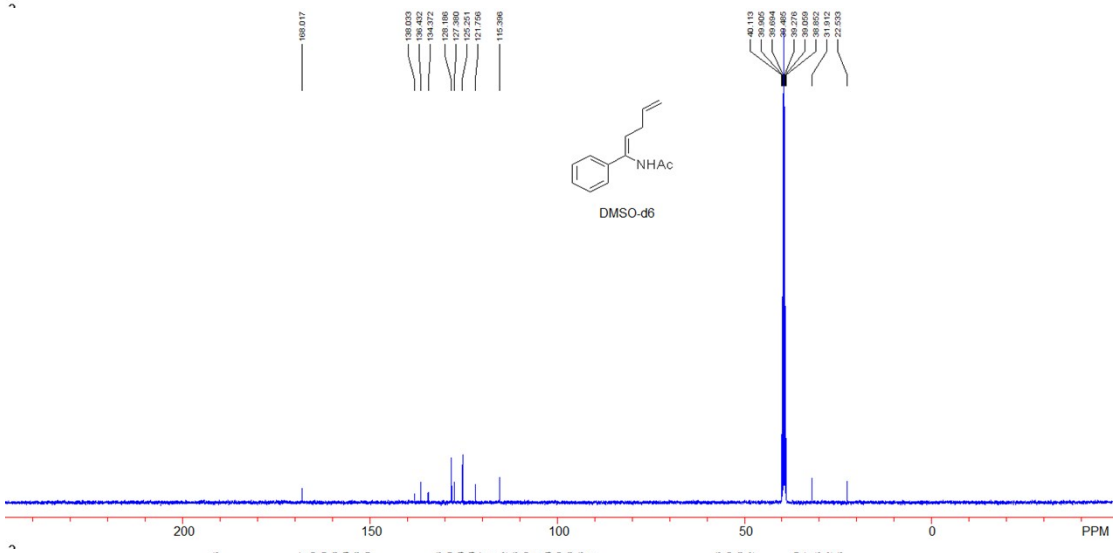
1.95
3.00
10
8
6
4
2
0
PPM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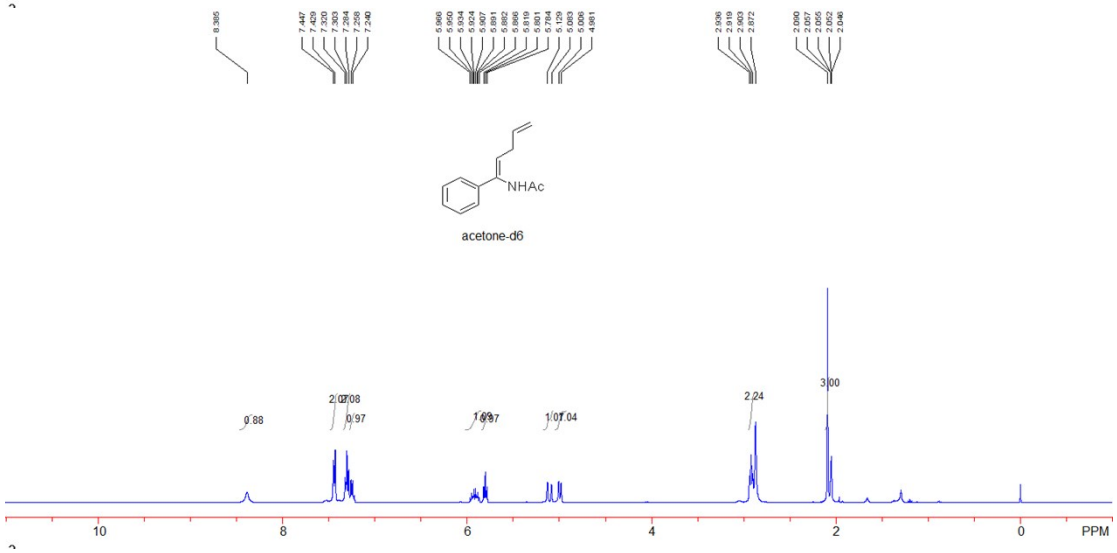
DMSO-d6
200
150
100
50
0
PPM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acetone-d6
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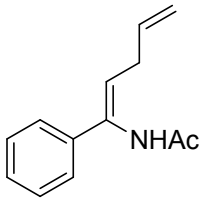
1.97
1.04
2.24
3.00
10
8
6
4
2
0
PPM

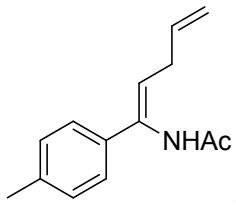
Characterization of products



3aa

(Z)-N-(1-phenylpenta-1,4-dien-1-yl)acetamide

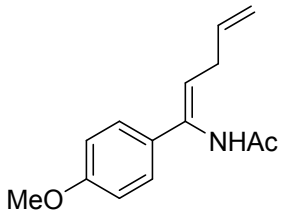
Yield: 73 %; white solid; ^{1}H NMR (DMSO-d_6, 400 MHz) δ 9.18 (s, 1H), 7.39 (d, J = 8Hz, 2H), 7.32 (t, J = 8Hz, 2H), 7.25 (t, J = 8Hz, 1H), 5.85-5.93 (m, 1H), 5.82 (t, J = 8Hz, 1H), 5.11 (dd, J = 1.6Hz, J = 16Hz, 1H), 5.02 (dd, J = 2Hz, 12Hz, 1H), 2.85 (t, J = 8Hz, 2H), 2.01 (s, 3H). ^{13}C NMR (DMSO-d_6, 100 MHz) δ 168.0, 138.0, 136.4, 134.4, 128.2, 127.4, 125.3, 121.8, 115.4, 31.9, 22.5.



3ba

(Z)-N-(1-(p-tolyl)penta-1,4-dien-1-yl)acetamide

Yield: 74 %; white solid; ^{1}H NMR (acetone-d_6, 400 MHz) δ 8.36 (*NH*, br, 1H), 7.32 (d, J = 8Hz, 2H), 7.11 (d, J = 8Hz, 2H), 5.85-5.95 (m, 1H), 5.75 (t, J = 8Hz, 1H), 5.09 (dd, J = 1.2Hz, 12Hz, 1H), 4.98 (d, J = 8Hz, 1H), 2.88 (br, 2H), 2.29 (s, 3H), 2.07 (s, 3H). ^{13}C NMR (acetone-d_6, 100 MHz) δ 168.6, 137.9, 137.6, 136.9, 135.6, 129.6, 126.4, 122.1, 115.4, 33.4, 23.1, 21.1. HRMS (EI-TOF) calcd for $C_{14}H_{17}NO$ (M^{+}): 215.1310, found: 215.1311.

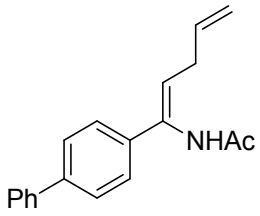


3ca

(Z)-N-(1-(4-methoxyphenyl)penta-1,4-dien-1-yl)acetamide

Yield: 86 %; white solid; ^{1}H NMR (acetone-d_6, 400 MHz) δ 8.29 (*NH*, br,1H), 7.36 (d, J = 8Hz, 2H), 6.86 (d, J = 8Hz, 1H), 5.86-5.96 (m, 1H), 5.68 (t, J = 8Hz, 1H), 5.09 (dd, J = 1.6Hz, 16Hz, 1H), 4.97 (dd, J = 1.6Hz, 8Hz, 1H), 3.78 (s, 3H), 2.89 (t, J =

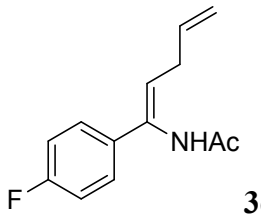
8Hz, 2H), 2.08 (s, 3H). ^{13}C NMR (acetone-d_6, 100 MHz) δ 167.6, 159.4, 136.9, 134.4, 131.3, 126.8, 120.2, 114.4, 113.5, 54.7, 32.5, 22.2. HRMS (EI-TOF) calcd for $C_{14}H_{17}NO_2$ (M^+): 231.1259, found: 231.1256.



3da

(Z)-N-(1-([1,1'-biphenyl]-4-yl)penta-1,4-dien-1-yl)acetamide

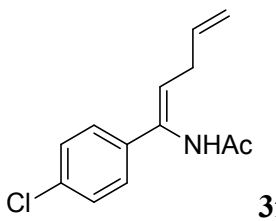
Yield: 65 %; white solid; ^{1}H NMR (DMSO-d_6, 400 MHz) δ 9.23 (*NH*, br,1H), 7.62-7.67 (m, 4H), 7.48 (d, J = 4Hz, 4H), 7.37 (d, J = 8Hz, 1H), 5.89 (br, 2H), 5.13 (d, J = 16Hz, 1H), 5.03 (d, J = 8Hz, 1H), 2.89 (br, 2H), 2.04 (s, 3H). ^{13}C NMR (DMSO -d_6, 100 MHz) δ 168.1, 139.6, 139.0, 137.2, 136.4, 134.2, 128.9, 127.4, 126.5, 125.8, 121.8, 115.4, 32.1, 22.7. HRMS (EI-TOF) calcd for $C_{19}H_{19}NO$ (M^+): 277.1467, found: 277.1469.



3ea

(Z)-N-(1-(4-fluorophenyl)penta-1,4-dien-1-yl)acetamide

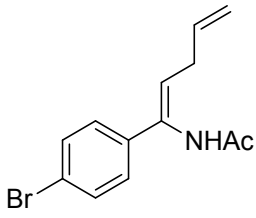
Yield: 90 %; white solid; ^{1}H NMR (acetone-d_6, 400 MHz) δ 8.45 (*NH*, br,1H), 7.45-7.48 (m, 2H), 7.06 (t, J = 8Hz, 2H), 5.85-5.95 (m, 1H), 5.76 (t, J = 8Hz, 1H), 5.10 (dd, J = 1.6Hz, 16Hz, 1H), 4.99 (dd, J = 1.6Hz, 8Hz, 1H), 2.91 (t, J = 8Hz, 2H), 2.08 (s, 3H). ^{13}C NMR (acetone-d_6, 100 MHz) δ 167.9, 163.5, 161.1 ($J_{C\text{-}F}$ =242.8Hz), 136.5, 135.2, 135.2 ($J_{C\text{-}F}$ =2.8Hz), 134.0, 127.5, 127.4 ($J_{C\text{-}F}$ =7.9Hz), 122.0, 114.9, 114.7, 114.6 ($J_{C\text{-}F}$ =4.4Hz), 32.3, 32.2. HRMS (EI-TOF) calcd for $C_{13}H_{14}FNO$ (M^+): 219.1059, found: 219.1057.



3fa

(Z)-N-(1-(4-chlorophenyl)penta-1,4-dien-1-yl)acetamide

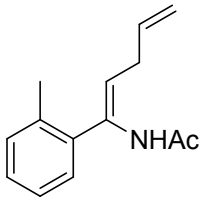
Yield: 85 %; white solid; ^{1}H NMR (acetone-d_6, 400 MHz) δ 8.48 (*NH*, br,1H), 7.44 (d, *J* = 8Hz, 2H), 7.31 (d, *J* = 8Hz, 1H), 5.85-5.95 (m, 1H), 5.82 (t, *J* = 8Hz, 1H), 5.11 (dd, *J* = 1.6Hz, 16Hz, 1H), 4.99 (dd, *J* = 1.6Hz, 8Hz, 1H), 2.93 (t, *J* = 8Hz, 2H), 2.08 (s, 3H). ^{13}C NMR (acetone-d_6, 100 MHz) δ 167.9, 137.7, 136.3, 134.1, 132.5, 128.1, 127.2, 122.8, 114.8, 32.3, 22.2. HRMS (EI-TOF) calcd for $C_{13}H_{14}ClNO$ (M^+): 235.0764, found: 235.0768.



3ga

(Z)-N-(1-(4-bromophenyl)penta-1,4-dien-1-yl)acetamide

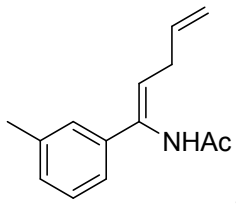
Yield: 93 %; white solid; ^{1}H NMR (acetone-d_6, 400 MHz) δ 8.48 (*NH*, br,1H), 7.47 (d, *J* = 8Hz, 2H), 7.38 (d, *J* = 8Hz, 1H), 5.85-5.95 (m, 1H), 5.83 (t, *J* = 8Hz, 1H), 5.10 (dd, *J* = 1.6Hz, 16Hz, 1H), 4.99 (dd, *J* = 1.6Hz, 8Hz, 1H), 2.92 (t, *J* = 8Hz, 2H), 2.08 (s, 3H). ^{13}C NMR (acetone-d_6, 100 MHz) δ 167.9, 138.1, 136.2, 134.1, 131.1, 127.5, 122.9, 120.7, 114.8, 32.3, 22.2. HRMS (EI-TOF) calcd for $C_{13}H_{14}BrNO$ (M^+): 279.0259, found: 279.0264.



3ha

(Z)-N-(1-(o-tolyl)penta-1,4-dien-1-yl)acetamide

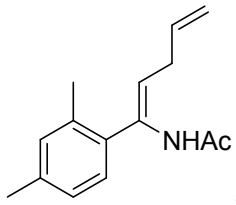
Yield: 60 %; white solid; ^{1}H NMR (acetone-d_6, 400 MHz) δ 8.29 (*NH*, br,1H), 7.10-7.20 (m, 4H), 5.89-5.99 (m, 1H), 5.19 (t, *J* = 8Hz, 1H), 5.11 (dd, J = 1.6Hz, 16Hz, 1H), 4.99 (dd, *J* = 1.6Hz, 8Hz, 1H), 2.91 (t, *J* = 8Hz, 2H), 2.28 (s, 3H), 2.00 (s, 3H). ^{13}C NMR (acetone-d_6, 100 MHz) δ 167.7, 140.5, 137.8, 136.8, 136.0, 130.9, 130.3, 128.3, 126.3, 123.3, 115.3, 33.2, 23.1, 20.2. HRMS (EI-TOF) calcd for $C_{14}H_{17}NO$ (M^+): 215.1310, found: 215.1312.



3ia

(Z)-N-(1-(m-tolyl)penta-1,4-dien-1-yl)acetamide

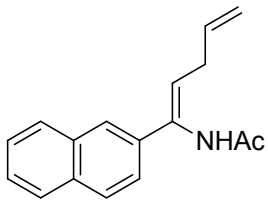
Yield: 70 %; white solid; ^{1}H NMR (acetone-d_6, 400 MHz) δ 8.33 (*NH*, br,1H), 7.26 (s, 1H), 7.16-7.23 (m, 2H), 7.06 (d, *J* = 8Hz, 1H), 5.86-5.96 (m, 1H), 5.78 (t, *J* = 8Hz, 1H), 5.10 (dd, *J* = 2Hz, 16Hz, 1H), 4.98 (dd, *J* = 1.6Hz, 8Hz, 1H), 2.91 (t, *J* = 8Hz, 2H), 2.31 (s, 3H), 2.08 (s, 3H). ^{13}C NMR (acetone-d_6, 100 MHz) δ 167.6, 138.8, 137.5, 136.7, 134.9, 128.1, 128.0, 126.2, 122.8, 121.9, 114.6, 32.5, 22.2, 20.6. HRMS (EI-TOF) calcd for $C_{14}H_{17}NO$ (M^+): 215.1310, found: 215.1314.



3ja

(Z)-N-(1-(2,4-dimethylphenyl)penta-1,4-dien-1-yl)acetamide

Yield: 52 %; white solid; ^{1}H NMR (DMSO-d_6, 400 MHz) δ 9.11 (*NH*, br, 1H), 7.04 (d, *J* = 8Hz, 1H), 6.93 (d, *J* = 4Hz, 2H), 5.84-5.94 (m, 1H), 5.07-5.12 (m, 2H), 5.00 (dd, *J* = 1.6Hz, 12Hz, 1H), 2.81 (t, *J* = 8Hz, 1H),2.24 (s, 3H), 2.19 (s, 3H), 1.91 (s, 3H). ^{13}C NMR (DMSO-d_6, 100 MHz) δ 167.0, 136.8, 136.4, 136.4, 135.1, 134.8, 130.7, 129.1, 126.0, 121.7, 115.1, 32.0, 22.7, 20.6, 19.7. HRMS (EI-TOF) calcd for $C_{15}H_{19}NO$ (M^+): 229.1467, found: 229.1470.

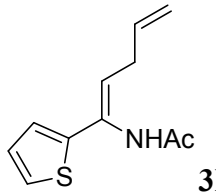


3ka

(Z)-N-(1-(naphthalen-2-yl)penta-1,4-dien-1-yl)acetamide

Yield: 87 %; white solid; ^{1}H NMR (acetone-d_6, 400 MHz) δ 8.52 (*NH*, br,1H), 7.81-7.92 (m, 4H), 7.63 (dd, *J* = 4Hz, 8Hz, 1H), 7.44-7.49 (m, 2H), 5.90-6.00 (m, 2H), 5.14 (dd, *J* = 1.6Hz, 16Hz, 1H), 5.01 (dd, *J* = 1.2Hz, 8Hz, 1H), 2.98 (t, *J* = 8Hz, 2H), 2.14 (s, 3H). ^{13}C NMR (acetone-d_6, 100 MHz) δ 167.9, 136.6, 136.2, 134.8, 133.5,

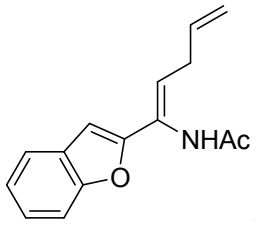
133.0, 128.1, 127.7, 127.5, 126.1, 125.8, 124.3, 124.0, 122.9, 114.7, 32.6, 22.3. HRMS (EI-TOF) calcd for $C_{17}H_{17}NO$ (M^+): 251.1310, found: 251.1307.



3la

(Z)-N-(1-(thiophen-2-yl)penta-1,4-dien-1-yl)acetamide

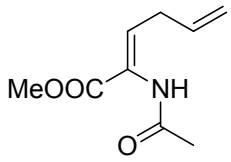
Yield: 50 %; white solid; ^{1}H NMR (acetone-d_6, 400 MHz) δ 8.46 (*NH*, br,1H), 7.28 (d, *J* = 4Hz, 1H), 7.08 (d, *J* = 4Hz, 1H), 6.96 (t, *J* = 4Hz, 1H), 5.83-5.93 (m, 2H), 5.09 (d, *J* = 12Hz, 1H), 4.99 (d, *J* = 8Hz, 1H), 2.86 (br, 2H), 2.08 (s, 3H). ^{13}C NMR (acetone-d_6, 100 MHz) δ 167.6, 143.4, 136.3, 129.4, 127.3, 124.3, 123.4, 121.9, 114.8, 32.3, 22.1. HRMS (EI-TOF) calcd for $C_{11}H_{13}NOS$ (M^+): 207.0718, found: 207.0713.



3ma

(Z)-N-(1-(benzofuran-2-yl)penta-1,4-dien-1-yl)acetamide

Yield: 47 %; white solid; ^{1}H NMR (acetone-d_6, 400 MHz) δ 8.51 (*NH*, br,1H), 7.55 (d, *J* = 8Hz, 1H), 7.47 (d, *J* = 8Hz, 1H), 7.28 (t, *J* = 8Hz, 1H), 7.20 (t, *J* = 8Hz, 1H), 6.77 (s, 1H), 6.28 (t, *J* = 8Hz, 1H), 5.86-5.98 (m, 1H), 5.13 (dd, *J* = 1.6Hz, 12Hz, 1H), 5.03 (dd, *J* = 1.6Hz, 8Hz, 1H), 2.97 (t, *J* = 8Hz, 2H), 2.13 (s, 3H). ^{13}C NMR (acetone-d_6, 100 MHz) δ 168.1, 154.8, 154.3, 135.9, 128.9, 126.0, 124.7, 124.5, 122.9, 121.0, 115.2, 110.7, 102.5, 31.9, 22.2. HRMS (EI-TOF) calcd for $C_{15}H_{15}NO_2$ (M^+): 241.1103, found: 241.1107.

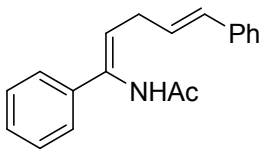


3na

(Z)-methyl 2-acetamidohexa-2,5-dienoate

Yield: 80 %; transparent oil; ^{1}H NMR (acetone-d_6, 400 MHz) δ 8.45 (br, *NH*, 1H), 6.46 (t, *J* = 8Hz, 1H), 5.81-5.91 (m, 1H), 5.09 (d, *J* = 16Hz, 1H), 5.02 (d, *J* = 12Hz, 1H), 3.70 (s, 3H), 2.91 (t, *J* = 8Hz, 2H), 2.02 (s, 3H). ^{13}C NMR (acetone-d_6, 100 MHz)

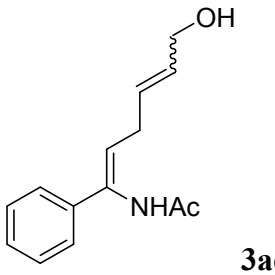
δ 169.1, 165.6, 135.7, 134.2, 128.4, 116.6, 52.3, 32.9, 22.7. HRMS (EI-TOF) calcd for $C_9H_{13}NO_3$ (M^+): 183.0895, found: 183.0894.



3ab

N-((1Z,4E)-1,5-diphenylpenta-1,4-dien-1-yl)acetamide

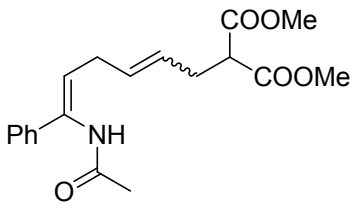
Yield: 40 %; white solid; ^{1}H NMR (acetone-d_6, 400 MHz) δ 8.44 (br, *NH*, 1H), 7.47 (d, *J* = 8Hz, 2H), 7.41 (d, *J* = 8Hz, 2H), 7.25-7.32 (m, 5H), 7.20 (t, *J* = 8Hz, 1H), 6.51 (d, *J* = 15.6Hz, 1H), 6.35-6.42 (m, 1H), 5.90 (t, *J* = 8Hz, 1H), 3.09 (t, *J* = 8Hz, 2H), 2.12 (s, 3H). ^{13}C NMR (acetone-d_6, 100 MHz) δ 168.6, 139.7, 138.7, 135.9, 131.4, 129.4, 129.1, 129.0, 128.3, 127.8, 126.9, 126.5, 123.1, 32.6, 23.2. HRMS (EI-TOF) calcd for $C_{19}H_{19}NO$ (M^+): 277.1467, found: 277.1467.



3ac

N-((1Z)-6-hydroxy-1-phenylhexa-1,4-dien-1-yl)acetamide

Yield: 80 %; orange oil; ^{1}H NMR (acetone-d_6, 400 MHz) δ 8.53, 8.46 (*NH*, br, 0.6H), 7.42 (t, *J* = 8Hz, 2H), 7.29 (t, *J* = 8Hz, 2H), 7.23 (t, *J* = 8Hz, 1H), 5.51-5.82 (m, 3H), 4.15 (d, *J* = 8Hz, 1.3H), 4.01 (d, *J* = 4Hz, 0.7H), 3.05 (*OH*, br, 1H), 2.95 (t, *J* = 8Hz, 1.3H), 2.89 (t, *J* = 8Hz, 0.7H), 2.10, 2.09 (d, 3H). ^{13}C NMR (acetone-d_6, 100 MHz) δ 169.0, 168.8, 139.7, 139.6, 135.4, 135.2, 132.1, 131.4, 129.8, 129.2, 129.2, 129.1, 129.1, 129.0, 128.3, 126.4, 123.7, 123.6, 63.1, 58.1, 31.8, 27.5, 23.1. MS(EI): m/z (%) 232 ($M+H^+$, 6.0), 213 (32.0), 171 (72.0), 154 (99.0), 119 (47.0), 104 (58.0), 43 (100.0)

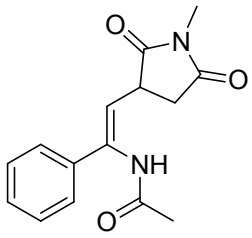


3ad

dimethyl 2-((5Z)-6-acetamido-6-phenylhexa-2,5-dien-1-yl)malonate

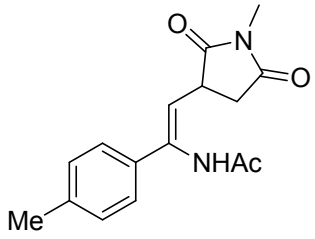
Yield: 43 %; white solid; ^{1}H NMR (acetone-d_6, 400 MHz) δ 8.41, 8.35 (br, *NH*, 1H),

7.42 (d, *J* = 8Hz, 2H), 7.30 (t, *J* = 8Hz, 2H), 7.23 (t, *J* = 8Hz, 1H), 5.73 (t, *J* = 8Hz, 1H), 5.47-5.66 (m, 2H), 3.69, 3.68 (s, 6H), 3.50 (t, *J* = 8Hz, 1H), 2.95 (t, *J* = 8Hz, 0.78H), 2.84 (t, *J* = 8Hz, 1.74H), 2.68 (t, *J* = 8Hz, 0.51H), 2.55 (t, *J* = 8Hz, 1.74H), 2.11, 2.08 (s, 3H). ^{13}C NMR (acetone-d_6, 100 MHz) δ 170.0, 169.9, 168.6, 139.7, 135.6, 131.9, 131.1, 129.0, 128.3, 127.5, 126.5, 126.4, 123.7, 123.4, 52.7, 52.6, 52.4, 52.2, 32.6, 32.1, 27.5, 27.3, 23.1. MS(EI): m/z (%) 346 ($M+H^+$, 18.0), 213 (45.0), 170 (100.0), 160 (80.0), 105 (99.0), 43 (64.0). HRMS (EI-TOF) calcd for $C_{19}H_{23}NO_5$ (M^+): 345.1576, found: 345.1586.



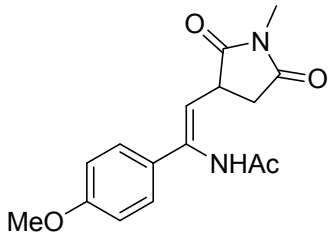
5aa

Yield: 78%; white solid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.41 (*NH*, s, 1H), 7.31-7.37 (m, 5H), 5.43 (d, *J* = 8Hz, 1H), 3.86-3.91 (m, 1H), 3.08 (dd, *J* = 8Hz, 20Hz, 1H), 3.00 (s, 3H), 2.64 (dd, *J* = 4Hz, 20 Hz, 1H), 2.14 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 179.3, 176.2, 168.9, 140.0, 136.6, 128.8, 128.5, 126.1, 117.7, 39.9, 35.5, 25.2, 23.6. HRMS (EI-TOF) calcd for $C_{15}H_{16}N_2O_3$ (M^+): 272.1161, found: 272.1160.



5ba

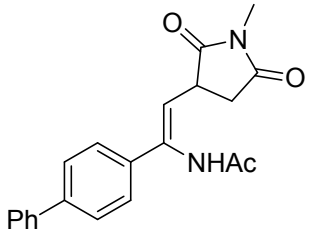
Yield: 74 %; white solid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.31 (*NH*, s,1H), 7.28 (d, *J* = 8Hz, 2H), 7.13 (d, *J* = 8Hz, 2H), 5.38 (d, *J* = 8Hz, 1H), 3.87-3.92 (m, 1H), 3.09 (dd, *J* = 8 Hz, 20Hz, 1H), 3.01 (s, 3H), 2.64 (dd, *J* = 4 Hz, 20Hz, 1H), 2.34 (s, 3H), 2.17 (s, 3Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 179.5, 176.2, 168.7, 140.1, 138.8, 133.7, 129.2, 126.0, 116.7, 39.9, 35.6, 25.2, 23.7, 21.2. HRMS (EI-TOF) calcd for $C_{16}H_{18}N_2O_3$ (M^+): 286.1317, found: 286.1316.



5ca

(Z)-N-(1-(4-methoxyphenyl)-2-(1-methyl-2,5-dioxopyrrolidin-3-yl)vinyl)acetamide

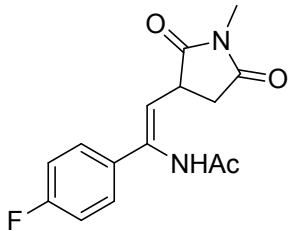
Yield: 42 %; white solid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.34 (*NH*, br,1H), 7.31 (d, *J* = 8Hz, 2H), 6.84 (d, *J* = 8Hz, 2H), 5.34 (d, *J* = 4Hz, 1H), 3.85-3.88 (m, 1H), 3.79 (s, 3H), 3.07 (dd, *J* = 8Hz, 16Hz, 1H), 3.00 (s, 3H), 2.63 (dd, *J* = 4Hz, 20Hz, 1H), 2.15 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 179.5, 176.3, 168.9, 160.1, 139.5, 129.1, 127.4, 116.0, 113.9, 55.3, 39.9, 35.5, 25.1, 23.6. HRMS (EI-TOF) calcd for $C_{16}H_{18}N_2O_4$ (M^+): 302.1267, found: 302.1270.



5da

(Z)-N-(1-([1,1'-biphenyl]-4-yl)-2-(1-methyl-2,5-dioxopyrrolidin-3-yl)vinyl)acetamide

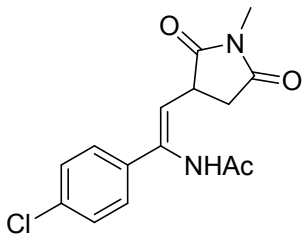
Yield: 78 %; white solid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.47 (*NH*, br,1H), 7.56 (t, *J* = 8Hz, 4Hz), 7.41-7.48 (m, 4Hz), 7.34 (t, *J* = 8Hz, 1H), 6.46 (d, *J* = 8Hz, 1H), 3.90-3.96 (m, 1H), 3.11 (dd, *J* = 8Hz, 20Hz, 1H), 3.02 (s, 3H), 2.68 (dd, *J* = 4Hz, 16Hz, 1H), 2.19 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 179.4, 176.1, 168.9, 141.6, 140.4, 140.0, 135.4, 128.8, 127.5, 127.2, 127.1, 126.5, 117.5, 39.9, 35.6, 25.2, 23.7. HRMS (EI-TOF) calcd for $C_{21}H_{20}N_2O_3$ (M^+): 348.1474, found: 348.1469.



5ea

Yield: 76 %; white solid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.55 (*NH*, s,1H), 7.35-7.38 (m, 2H), 7.02 (t, *J* = 8Hz, 2H), 5.32 (d, *J* = 8Hz, 1H), 3.88-3.93 (m, 1H), 3.10 (dd, *J* = 8 Hz, 20Hz, 1H), 3.02 (s, 3H), 2.66 (dd, *J* = 4 Hz, 20Hz, 1H), 2.17 (s, 3Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 179.5, 176.0, 168.8, 163.0 ($J_{C\text{-}F}$ = 246Hz), 139.7, 132.7 ($J_{C\text{-}F}$ =

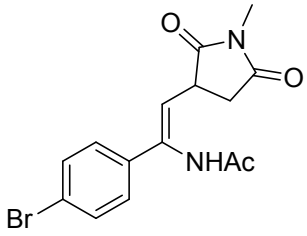
4Hz), 127.9 ($J_{C\text{-}F}$ = 9Hz), 117.2, 115.48 ($J_{C\text{-}F}$ = 21Hz), 39.7, 35.6, 25.2, 23.7. HRMS (EI-TOF) calcd for $C_{15}H_{15}FN_2O_3$ (M^+): 290.1067, found: 290.1068.



5fa

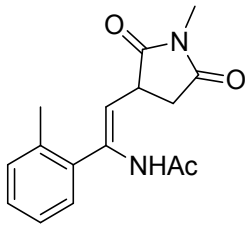
(Z)-N-(1-(4-chlorophenyl)-2-(1-methyl-2,5-dioxopyrrolidin-3-yl)vinyl)acetamide

Yield: 82 %; white solid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.60 (*NH*, s,1H), 7.30 (s, 4H), 5.35 (d, *J* = 8Hz, 1H), 3.90-3.91 (m, 1H), 3.10 (dd, *J* = 8 Hz, 20Hz, 1H), 3.02 (s, 3H), 2.50 (dd, *J* = 4 Hz, 20Hz, 1H), 2.17 (s, 3Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 179.4, 175.9, 168.8, 139.7, 135.1, 134.6, 128.7, 127.4, 117.7, 39.7, 35.6, 25.2, 23.6. HRMS (EI-TOF) calcd for $C_{15}H_{15}ClN_2O_3$ (M^+): 306.0771, found: 306.0761.



5ga

Yield: 80 %; white solid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.61 (*NH*, s,1H), 7.45 (d, *J* = 8Hz, 2H), 7.26 (d, *J* = 8Hz, 2H), 5.36 (d, *J* = 8Hz, 1H), 3.88-3.93 (m, 1H), 3.11 (dd, *J* = 8 Hz, 20Hz, 1H), 3.02 (s, 3H), 2.67 (dd, *J* = 8 Hz, 20Hz, 1H), 2.17 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 179.4, 175.9, 168.9, 139.8, 135.5, 131.6, 127.7, 122.8, 117.7, 39.7, 35.5, 25.2, 23.6. HRMS (EI-TOF) calcd for $C_{15}H_{15}BrN_2O_3$ (M^+): 350.0266, found: 350.0258.

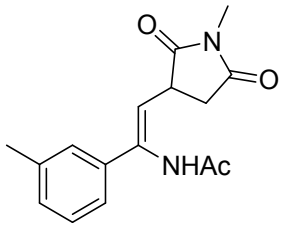


5ha

(Z)-N-(2-(1-methyl-2,5-dioxopyrrolidin-3-yl)-1-(o-tolyl)vinyl)acetamide

Yield: 70 %; white solid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.38 (*NH*, br,1H), 7.19-7.24 (m, 2H), 7.14 (t, *J* = 8Hz, 2H), 5.02 (d, *J* = 8Hz, 1H), 3.87-3.92 (m, 1H), 3.10 (dd, *J* = 8Hz, 16Hz, 1H), 3.00 (s, 3H), 2.59 (dd, *J* = 4Hz, 20Hz, 1H), 2.29 (s, 3H), 2.03 (s, 3H).

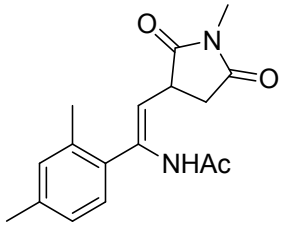
^{13}C NMR ($CDCl_3$, 100 MHz) δ 179.6, 176.4, 168.1, 139.9, 137.3, 135.8, 130.3, 129.4, 128.4, 125.7, 118.2, 39.8, 35.4, 25.1, 23.4, 20.0. HRMS (EI-TOF) calcd for $C_{16}H_{18}N_2O_3$ (M^+): 286.1317, found: 286.1320.



5ia

(Z)-N-(2-(1-methyl-2,5-dioxopyrrolidin-3-yl)-1-(m-tolyl)vinyl)acetamide

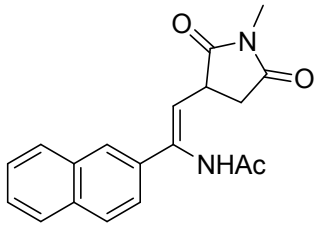
Yield: 91 %; white solid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.31 (*NH*, br,1H), 7.16-7.23 (m, 3H), 7.12 (d, *J* = 8Hz, 1H), 5.42 (d, *J* = 8Hz, 1H), 3.85-3.96 (m, 1H), 3.08 (dd, *J* = 8Hz, 16Hz, 1H), 3.00 (s, 3H), 2.64 (dd, *J* = 4Hz, 20Hz, 1H), 2.34 (s, 3H), 2.15 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 179.4, 176.2, 168.8, 140.0, 138.1, 136.6, 129.6, 128.4, 126.7, 123.2, 117.6, 40.0, 35.5, 25.2, 23.6, 21.5. HRMS (EI-TOF) calcd for $C_{16}H_{18}N_2O_3$ (M^+): 286.1317, found: 286.1317.



5ja

(Z)-N-(1-(2,4-dimethylphenyl)-2-(1-methyl-2,5-dioxopyrrolidin-3-yl)vinyl)acetamide

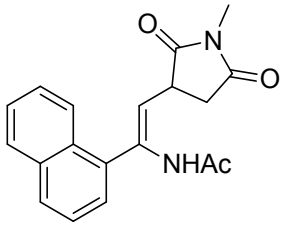
Yield: 85 %; white solid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.31 (*NH*, br,1H), 7.12 (d, *J* = 8Hz, 1H), 6.95 (s, 2H), 5.00 (d, *J* = 4Hz, 1H), 3.89 (br, 1H), 3.09 (dd, *J* = 8Hz, 16Hz, 1H), 3.00 (s, 3H), 2.59 (dd, *J* = 4Hz, 20Hz, 1H), 2.29 (s, 3H), 2.26 (s, 3H), 2.04 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 179.6, 176.4, 168.0, 139.9, 138.3, 135.6, 134.4, 131.2, 129.4, 126.4, 117.9, 39.8, 35.4, 25.1, 23.5, 21.1, 20.0. HRMS (EI-TOF) calcd for $C_{17}H_{20}N_2O_3$ (M^+): 300.1474, found: 300.1474.



5ka

(Z)-N-(2-(1-methyl-2,5-dioxopyrrolidin-3-yl)-1-(naphthalen-2-yl)vinyl)acetamide

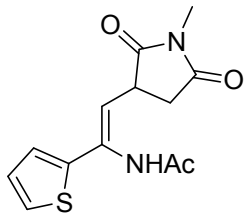
Yield: 84 %; white solid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.49 (*NH*, s,1H), 7.76-7.82 (m, 4H), 7.47-7.49 (m, 3H), 5.54 (d, *J* = 8Hz, 1H), 3.91 (br, 1H), 3.08 (dd, *J* = 8 Hz, 20Hz, 1H), 3.01 (s, 3H), 2.65 (d, *J* = 20Hz, 1H), 2.17 (s, 3Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 179.4, 176.2, 168.9, 140.0, 134.0, 133.4, 133.2, 128.3, 128.2, 127.7, 126.4, 125.3, 123.9, 118.2, 40.0, 35.5, 25.2, 23.6. HRMS (EI-TOF) calcd for $C_{19}H_{18}N_2O_3$ (M^+): 322.1317, found: 322.1314.



5la

(Z)-N-(2-(1-methyl-2,5-dioxopyrrolidin-3-yl)-1-(naphthalen-1-yl)vinyl)acetamide

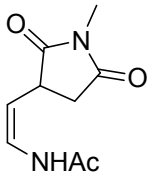
Yield: 85 %; white solid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.29 (*NH*, br,1H), 8.02 (d, *J* = 8Hz, 1H), 7.82 (t, *J* = 8Hz, 2H), 7.42-7.48 (m, 4H), 5.25 (d, *J* = 4Hz, 1H), 4.00 (br, 1H), 3.16 (dd, *J* = 8 Hz, 16Hz, 1H), 3.01 (s, 3H), 2.65 (d, *J* = 20Hz, 1H), 2.00 (s, 3Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 179.0, 175.8, 167.6, 138.2, 135.0, 133.0, 130.7, 128.6, 128.0, 126.7, 126.1, 125.5, 124.6, 124.2, 118.8, 39.6, 34.9, 24.7, 23.2. HRMS (EI-TOF) calcd for $C_{19}H_{18}N_2O_3$ (M^+): 322.1317, found: 322.1322.



5ma

(Z)-N-(2-(1-methyl-2,5-dioxopyrrolidin-3-yl)-1-(thiophen-2-yl)vinyl)acetamide

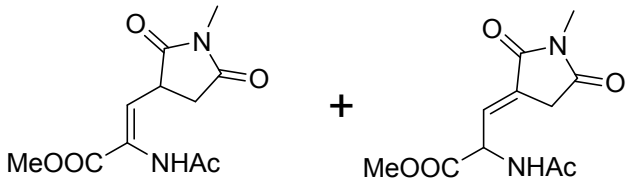
Yield: 62 %; white solid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.09 (*NH*, br,1H), 7.22(d, *J* = 4Hz, 1Hz), 7.08 (d, *J* = 4Hz, 1Hz), 6.96 (t, *J* = 4Hz, 1H), 5.63 (d, *J* = 8Hz, 1H), 3.82-3.87 (m, 1H), 3.07 (dd, *J* = 8Hz, 16Hz, 1H), 3.01 (s, 3H), 2.65 (dd, *J* = 4Hz, 16Hz, 1H), 2.16 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 178.9, 176.1, 168.9, 140.8, 133.6, 127.6, 125.8, 125.0, 117.6, 39.9, 35.2, 25.2, 23.5. HRMS (EI-TOF) calcd for $C_{13}H_{14}N_2O_3S$ (M^+): 278.0725, found: 278.0723.



5na

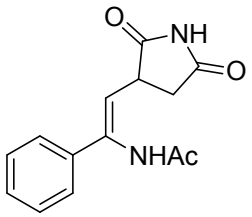
(Z)-N-(2-(1-methyl-2,5-dioxopyrrolidin-3-yl)vinyl)acetamide

Yield: 18 %; white solid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 9.11 (*NH*, br,1H), 6.93 (m, 1H), 4.46 (dd, *J* = 4Hz, 8Hz, 1H), 3.68-3.73 (m, 1H), 3.09 (dd, *J* = 8Hz, 20Hz, 1H), 3.02 (s, 1H), 2.58 (dd, *J* = 4 Hz, 16Hz, 1H), 2.13 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 179.6, 175.7, 168.2, 127.1, 105.2, 38.2, 36.5, 25.2, 23.4. HRMS (EI-TOF) calcd for $C_9H_{12}N_2O_3$ (M^+): 196.0848, found: 196.0850.



5oa/5oa' = 1.4/1

Yield: 55 %; white solid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.84 (s, *NH*, 0.49), 6.84 (*NH*, d, *J* = 8Hz, 1H), 6.50 (d, *J* = 8Hz, 0.39H), 6.46 (d, *J* = 8Hz, 0.46H), 5.18 (t, *J* = 8Hz, 0.38H), 3.95 (q, *J* = 8Hz, 0.54H), 3.80 (m, 3H), 3.53 (dd, *J* = 20 Hz, 92Hz, 0.8H), 3.11 (d, *J* = 0.32Hz, 3H), 3.07 (s, 1.55H), 3.01 (s, 1.72H), 2.94 (d, *J* = 8Hz, 0.32H), 2.65 (dd, *J* = 4Hz, 20Hz, 0.53H), 2.16 (s, 1.52H), 2.05 (s, 1.30H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 177.5, 175.8, 173.6, 169.8, 169.5, 168.9, 164.3, 130.6, 129.7, 129.6, 128.0, 53.4, 52.9, 52.0, 40.5, 34.5, 32.1, 25.2, 25.2, 24.9, 23.5, 22.9. HRMS (EI-TOF) calcd for $C_{11}H_{14}N_2O_5$ (M^+): 254.0903, found: 254.0912.

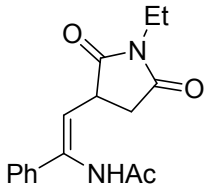


5ab

(Z)-N-(2-(2,5-dioxopyrrolidin-3-yl)-1-phenylvinyl)acetamide

Yield: 78 %; white solid; ^{1}H NMR (DMSO-d_6, 400 MHz) δ 11.21 (*NH*, s,1H), 9.30 (*NH*, s,1H), 7.29-7.40 (m, 5H), 5.90 (d, *J* = 8Hz, 1H), 3.79-3.85 (m, 1H), 2.88 (dd, *J* = 8 Hz, 20Hz, 1H), 2.50 (dd, *J* = 8 Hz, 20Hz, 1H) (this signal was overlapped by DMSO-d_6), 2.02 (s, 3Hz). ^{13}C NMR (DMSO-d_6, 100 MHz) δ 179.8, 178.0, 168.4,

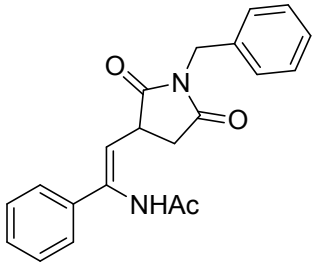
137.6, 137.0, 128.2, 127.9, 125.5, 120.4, 41.1, 36.2, 22.8. HRMS (EI-TOF) calcd for $C_{14}H_{14}N_2O_3$ (M^+): 258.1004, found: 258.1005.



5ac

(Z)-N-(2-(1-ethyl-2,5-dioxopyrrolidin-3-yl)-1-phenylvinyl)acetamide

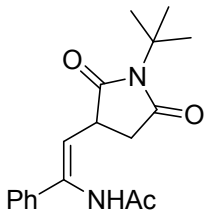
Yield: 84 %; white solid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.45 (*NH*, br,1H), 7.32-7.41 (m, 5H), 5.41 (d, *J* = 8Hz, 1H), 3.86-3.91 (m, 1H), 3.57 (q, *J* = 8Hz, 2H), 3.08 (dd, *J* = 8Hz, 16Hz, 1H), 2.64 (dd, *J* = 4Hz, 16Hz, 1H), 2.17 (s, 3H), 1.18 (t, *J* = 8Hz, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 179.2, 175.9, 168.8, 140.3, 136.6, 128.8, 128.5, 126.1, 117.7, 39.8, 35.6, 34.2, 23.7, 13.0. HRMS (EI-TOF) calcd for $C_{16}H_{18}N_2O_3$ (M^+): 286.1317, found: 286.1320.



5ad

(Z)-N-(2-(1-benzyl-2,5-dioxopyrrolidin-3-yl)-1-phenylvinyl)acetamide

Yield: 90 %; white solid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.35 (*NH*, s,1H), 7.28-7.38 (m, 10H), 5.40 (d, *J* = 8Hz, 1H), 4.65 (q, *J* = 12Hz, 2H), 3.86-3.91 (m, 1H), 3.09 (dd, *J* = 8 Hz, 20Hz, 1H), 2.64 (dd, *J* = 4 Hz, 20Hz, 1H), 2.13 (s, 3Hz). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 179.0, 175.7, 168.8, 140.2, 136.6, 135.4, 129.0, 128.9, 128.8, 128.5, 128.2, 126.1, 117.6, 42.8, 39.8, 35.5, 23.6. HRMS (EI-TOF) calcd for $C_{21}H_{20}N_2O_3$ (M^+): 348.1474, found: 348.1468.

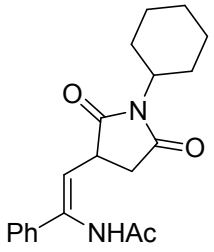


5ae

(Z)-N-(2-(1-(tert-butyl)-2,5-dioxopyrrolidin-3-yl)-1-phenylvinyl)acetamide

Yield: 80 %; white solid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.57 (*NH*, br,1H), 7.31-7.46 (m, 5H), 5.36 (d, *J* = 8Hz, 1H), 3.75-3.76 (m, 1H), 2.94 (dd, *J* = 8Hz, 20Hz, 1H), 2.52

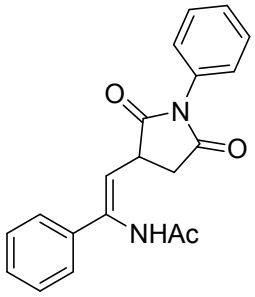
(dd, *J* = 4Hz, 16Hz, 1H), 2.15 (s, 3H), 1.58 (s, 9H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 180.4, 177.1, 168.8, 140.3, 136.6, 129.0, 128.6, 128.4, 126.3, 126.0, 118.2, 59.0, 39.8, 36.0, 28.4, 23.7. HRMS (EI-TOF) calcd for $C_{18}H_{22}N_2O_3$ (M^+): 314.1630, found: 314.1631.



5af

(Z)-N-(2-(1-cyclohexyl-2,5-dioxopyrrolidin-3-yl)-1-phenylvinyl)acetamide

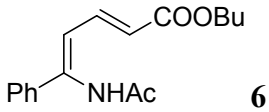
Yield: 78 %; white solid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.57 (*NH*, br,1H), 7.31-7.40 (m, 5H), 5.36 (d, *J* = 8Hz, 1H), 3.98 (tt, J = 4Hz, 12Hz, 1H), 3.82-3.83 (m, 1H), 3.02 (dd, *J* = 8Hz, 16Hz, 1H), 2.58 (dd, *J* = 4Hz, 16Hz, 1H), 2.16 (s, 3H), 2.09-2.12 (m, 1H), 1.83 (d, *J* = 8Hz, 2H), 1.66 (d, *J* = 8Hz, 2H), 1.58-1.60 (m, 3H), 1.22-1.32 (m, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 179.6, 176.1, 168.8, 140.5, 136.6, 128.7, 128.5, 126.1, 117.8, 52.2, 39.4, 35.5, 28.8, 28.8, 25.8, 25.0, 23.7. HRMS (EI-TOF) calcd for $C_{20}H_{24}N_2O_3$ (M^+): 340.1787, found: 340.1787.



5ag

(Z)-N-(2-(2,5-dioxo-1-phenylpyrrolidin-3-yl)-1-phenylvinyl)acetamide

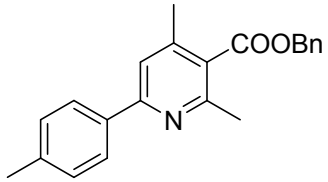
Yield: 50 %; white solid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 8.39 (*NH*, br,1H), 7.48(t, *J* = 8Hz, 2Hz), 7.33-7.43 (m, 6Hz), 7.28 (d, *J* = 8Hz, 2H), 6.52 (d, *J* = 8Hz, 1H), 4.05-4.11 (m, 1H), 3.26 (dd, *J* = 8Hz, 20Hz, 1H), 2.82 (dd, *J* = 4Hz, 16Hz, 1H), 2.14 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 178.4, 175.0, 168.9, 140.5, 136.6, 131.6, 129.3, 128.9, 128.9, 128.5, 126.4, 126.1, 117.5, 40.0, 35.7, 23.6. HRMS (EI-TOF) calcd for $C_{20}H_{18}N_2O_3$ (M^+): 334.1317, found: 334.1320.



6

(2E,4Z)-butyl 5-acetamido-5-phenylpenta-2,4-dienoate

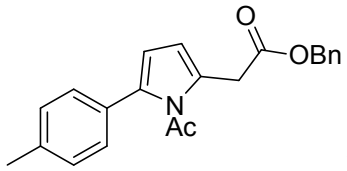
Yield: 25 %; white solid; ^{1}H NMR (DMSO-d_6, 400 MHz) δ 9.81 (*NH*, s, 1H), 7.37-7.48 (m, 6H), 6.59 (d, *J* = 8Hz, 1H), 6.11 (d, *J* = 15.2Hz, 1H), 4.11 (t, *J* = 8Hz, 2H), 2.09 (s, 3H), 1.60 (t, *J* = 8Hz, 2H), 1.36 (q, *J* = 8Hz, 2H), 0.91 (t, *J* = 8Hz, 3H). ^{13}C NMR (DMSO-d_6, 100 MHz) δ 168.7, 166.4, 141.8, 140.3, 136.9, 129.0, 128.4, 126.2, 120.6, 118.9, 63.5, 30.3, 22.9, 18.7, 13.6. HRMS (EI-TOF) calcd for $C_{17}H_{21}NO_3$ (M^+): 287.1521, found: 287.1524.



7

benzyl 2,4-dimethyl-6-(p-tolyl)nicotinate

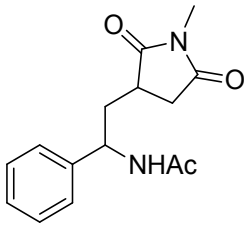
Yield: 35 %; white solid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.87 (d, *J* = 8Hz, 2H), 7.36-7.47 (m, 6H), 7.24 (d, *J* = 1.6Hz, 1H), 5.39 (s, 2H), 2.58 (s, 3H), 2.39 (s, 3H), 2.34 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 168.9, 157.3, 155.4, 145.7, 139.3, 136.1, 135.4, 129.5, 128.8, 128.7, 128.6, 127.1, 127.0, 119.2, 67.3, 23.5, 21.3, 19.9. HRMS (EI-TOF) calcd for $C_{22}H_{21}NO_2$ (M^+): 331.1572, found: 331.1574.



7'

benzyl 2-(1-acetyl-5-(p-tolyl)-1H-pyrrol-2-yl)acetate

Yield: 10 %; white solid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.31-7.36 (m, 5H), 7.18-7.23 (m, 4H), 6.16 (d, *J* = 3.2Hz, 1H), 6.11 (d, *J* = 3.6Hz, 1H), 5.15 (s, 2H), 3.98 (s, 3H), 2.38 (s, 3H), 1.97 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 173.7, 171.0, 137.7, 135.9, 135.2, 131.4, 129.4, 128.7, 128.5, 128.4, 128.3, 128.2, 112.9, 66.7, 34.9, 27.9, 21.3. HRMS (EI-TOF) calcd for $C_{22}H_{21}NO_3$ (M^+): 347.1521, found: 347.1521.



8

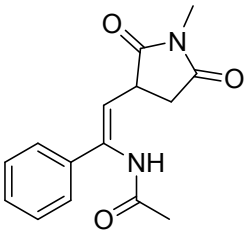
N-(2-(1-methyl-2,5-dioxopyrrolidin-3-yl)-1-phenylethyl)acetamide

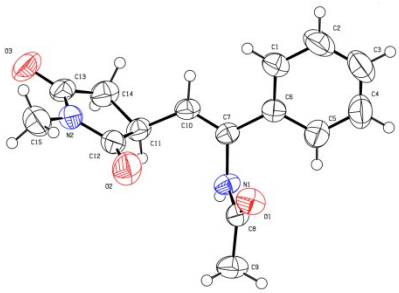
Yield: 80 %; white solid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 7.29-7.37 (m, 5H), 6.17 (*NH*, d, *J* = 8Hz, 1H), 5.09-5.15 (m, 1H), 2.86-3.02 (m, 5H), 2.40-2.52 (m, 2H), 1.98-2.01 (d, 3H), 1.80-1.87 (m, 1H). ^{13}C NMR ($CDCl_3$, 100 MHz) δ 180.2, 176.5, 170.0, 141.4, 128.9, 127.9, 126.5, 51.4, 38.1, 37.9, 35.1, 24.9, 23.3. HRMS (EI-TOF) calcd for $C_{15}H_{18}N_2O_3$ (M^+): 274.1317, found: 274.1317.

References:

1. (a) Reeves, J. T.; Tan, Z.; Han, Z.; Li, G.; Zhang, Y.; Xu, Y.; Reeves, D. C.; Gonnella, D. C.; Ma, S. L.; Lee, H. W.; Lu, B. Z.; Senanayake, C. H. *Angew. Chem. Int. Ed*. **2012**, *51*, 1400. (b) Zhao, M.-N.; Ren, Z.-H.; Wang, Y.-Y.; Guan, Z.-H. *Chem. Commun*. **2012**, *48*, 8105.
2. Parsons, A. T.; Campbell, M. J.; Johnson, J. S. *Org. Lett*. **2008**, *10*, 2541.

X-Ray Crystallographic Data





5aa (CCDC 1486236)

Datablock: 160616_ywl8_032_1

Bond precision: C-C = 0.0038 A Wavelength=0.71073

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alpha=90 beta=96.596(8) gamma=90
Temperature: 293 K

	Calculated	Reported
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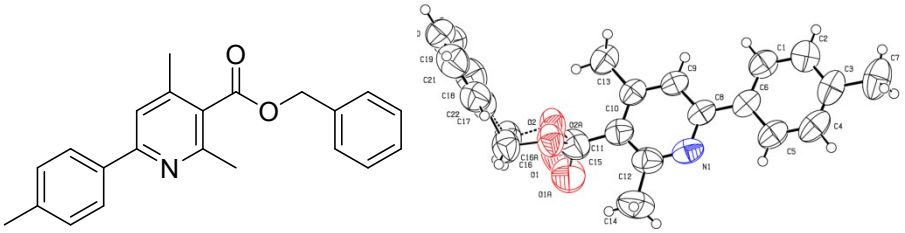
Hall group	-P 2yn	-P 2yn
Moiety formula	C15 H16 N2 O3	C15 H16 N2 O3
Sum formula	C15 H16 N2 O3	C15 H16 N2 O3
Mr	272.30	272.30
Dx,g cm-3	1.304	1.304
Z	4	4
Mu (mm-1)	0.092	0.092
F000	576.0	576.0
F000'	576.27	
h,k,lmax	11,5,37	11,5,37
Nref	2537	2530
Tmin,Tmax	0.970,0.976	0.948,1.000
Tmin'	0.956	

Correction method= # Reported T Limits: Tmin=0.948 Tmax=1.000
AbsCorr = MULTI-SCAN

Data completeness= 0.997 Theta(max)= 25.350

R(reflections)= 0.0562(1739) wR2(reflections)= 0.1436(2530)

S = 1.043 Npar= 183



7 (CCDC 1492223)

Datablock: 160314_ywl7_073_4_1

Bond precision: C-C = 0.0050 A Wavelength=0.71073

Cell: a=7.3480(9) b=22.781(3) c=11.2360(15)
alpha=90 beta=101.092(13) gamma=90
Temperature: 293 K

	Calculated	Reported
Volume	1845.7(4)	1845.7(4)
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Hall group	-P 2yn	-P 2yn
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Sum formula	C22 H21 N O2	C22 H21 N O2
Mr	331.40	331.40
Dx,g cm-3	1.193	1.193
Z	4	4
Mu (mm-1)	0.076	0.076
F000	704.0	704.0
F000'	704.30	
h,k,lmax	8,27,13	8,27,13
Nref	3386	3366
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Tmin'	0.964	

Correction method= # Reported T Limits: Tmin=0.992 Tmax=1.000
AbsCorr = MULTI-SCAN

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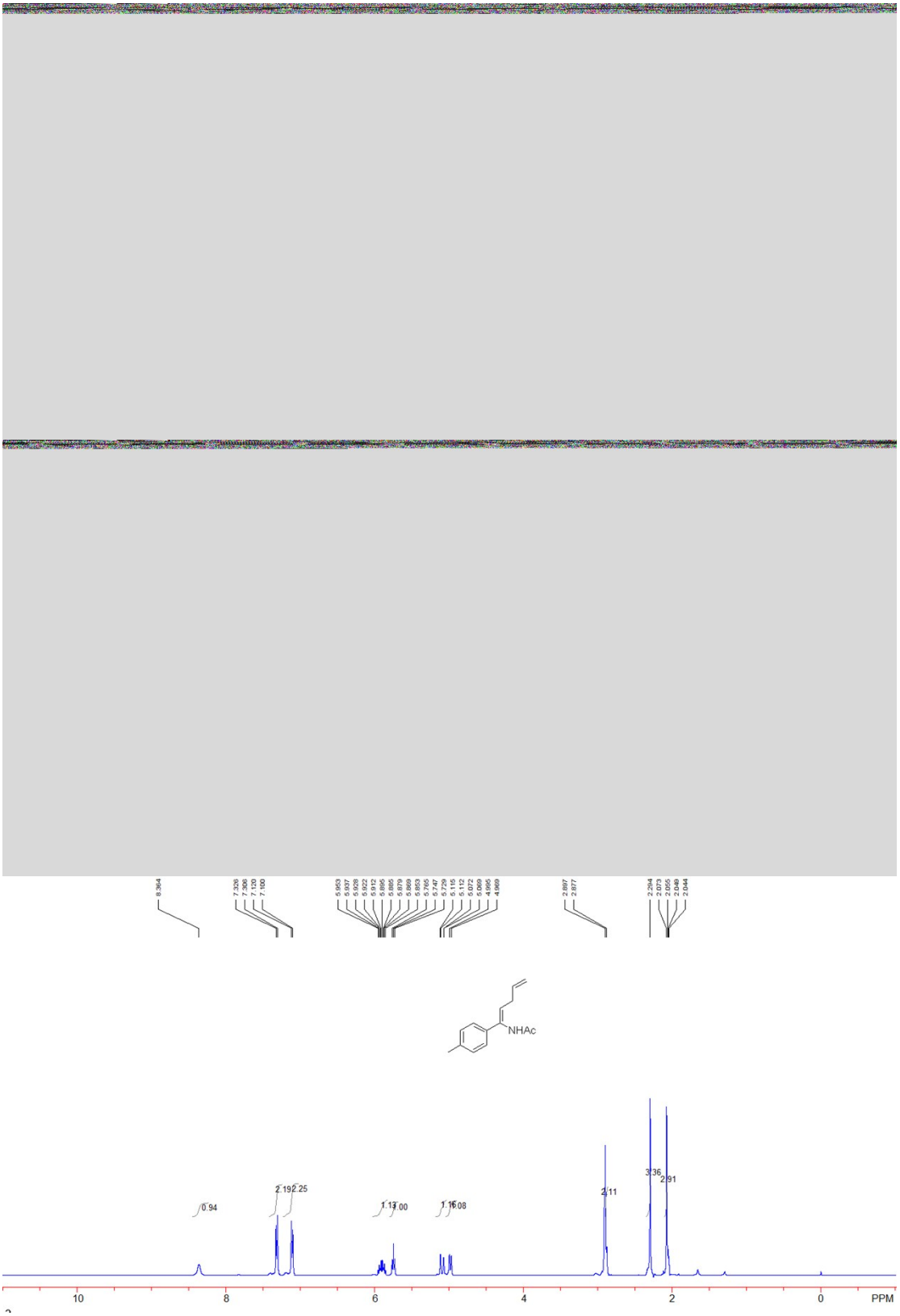
S = 1.024 Npar= 257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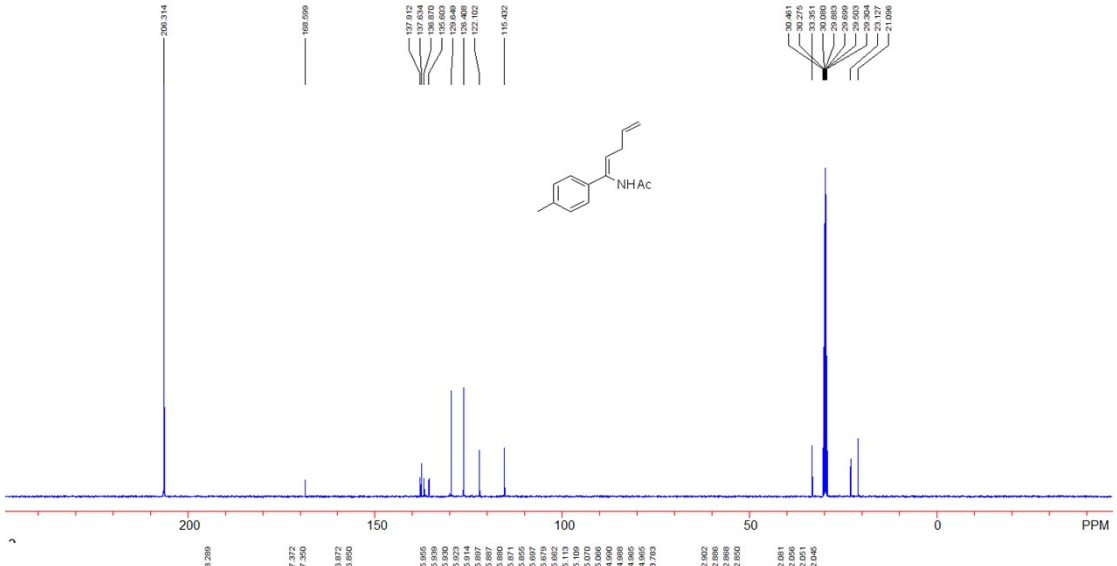
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29.883
29.699
29.503
29.304
23.127
21.096
NHAc
200
150
100
50
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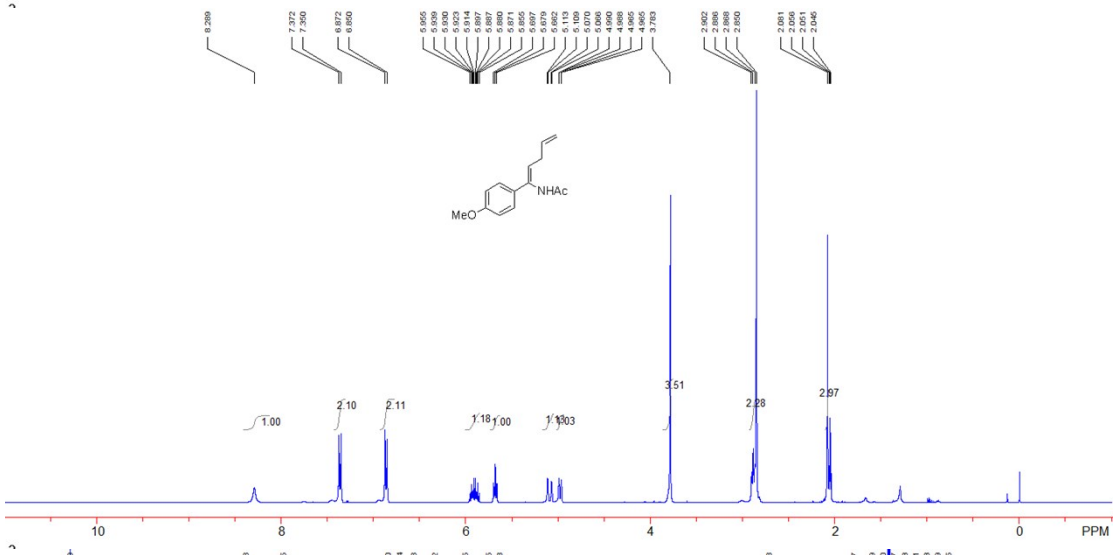
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5.050
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2.056
2.051
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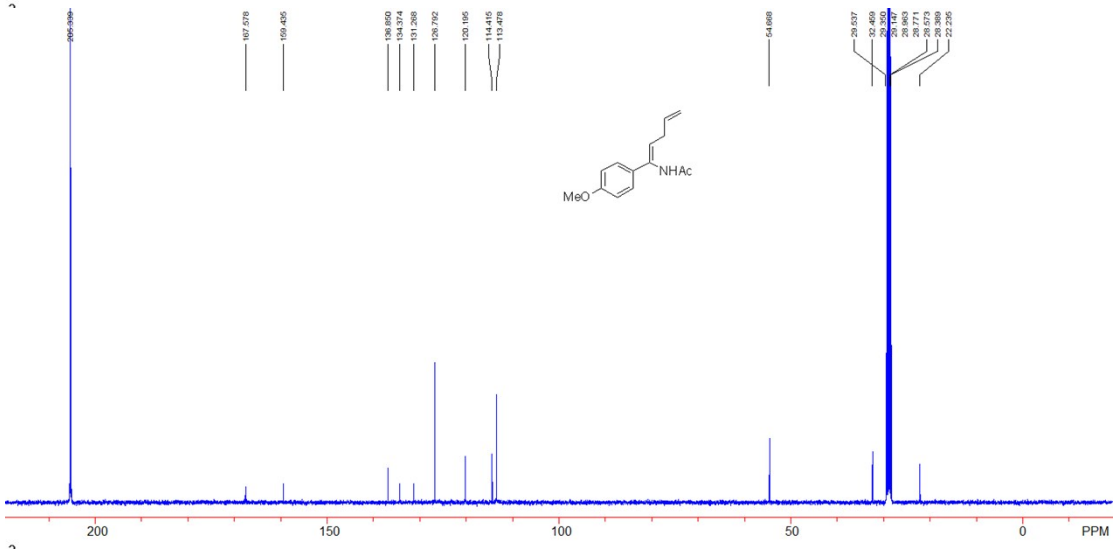
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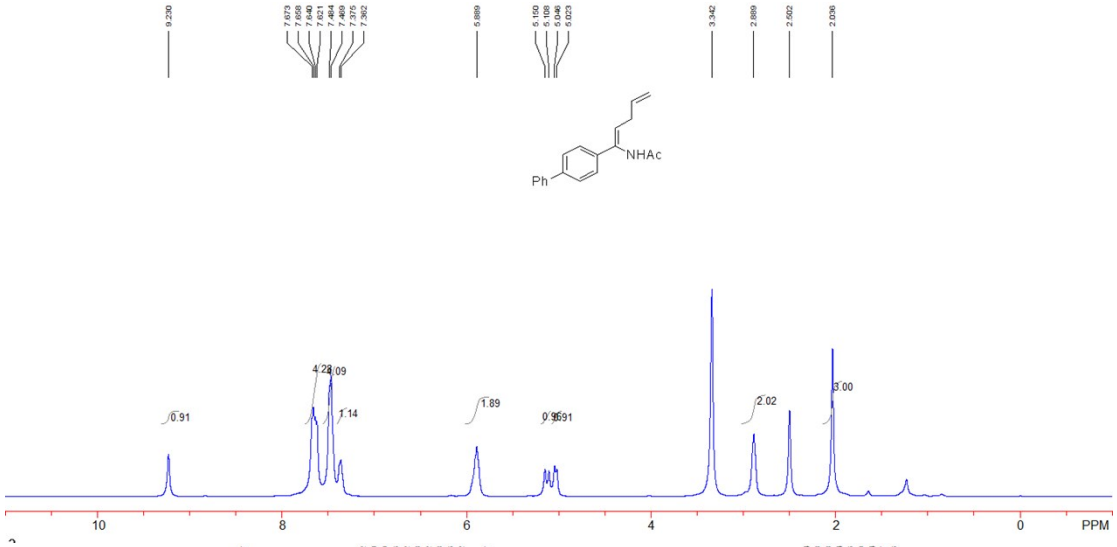
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2.502
2.036
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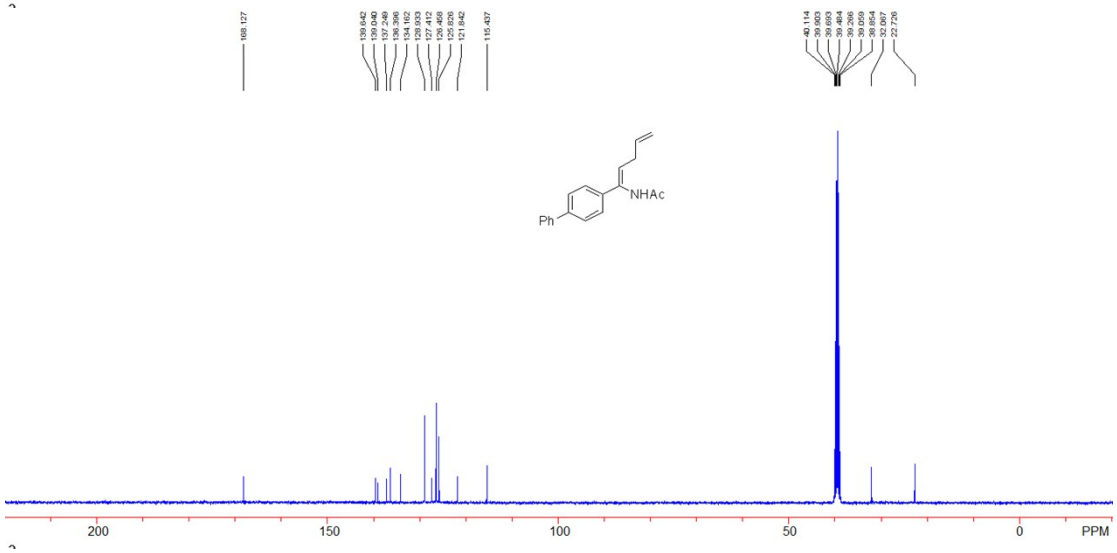
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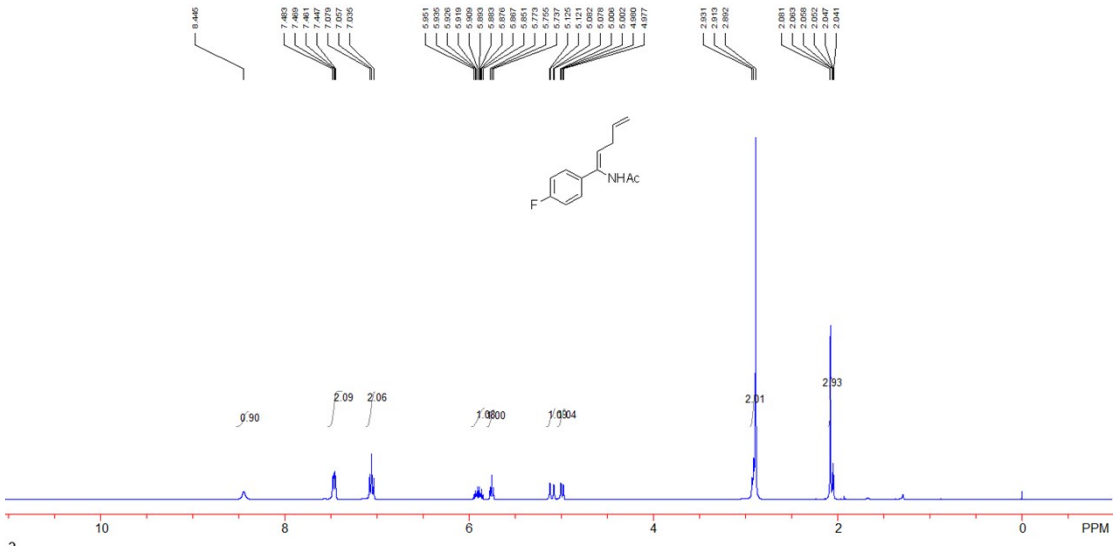
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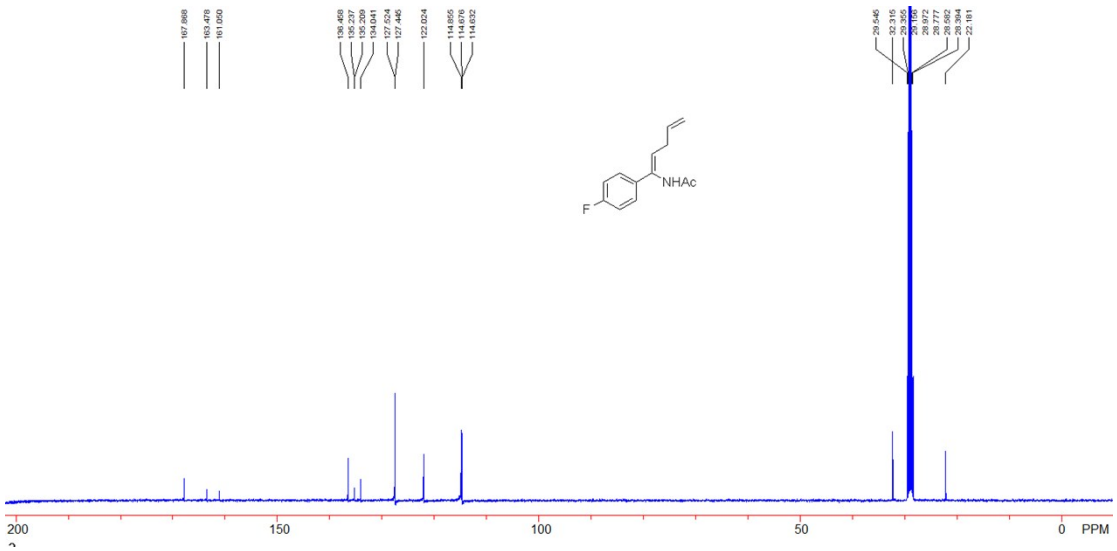
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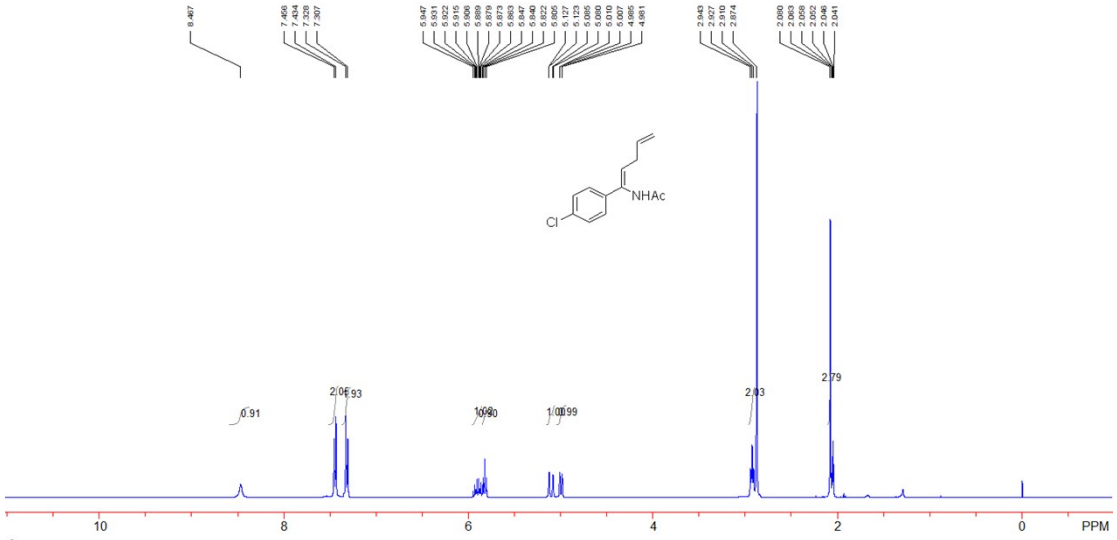
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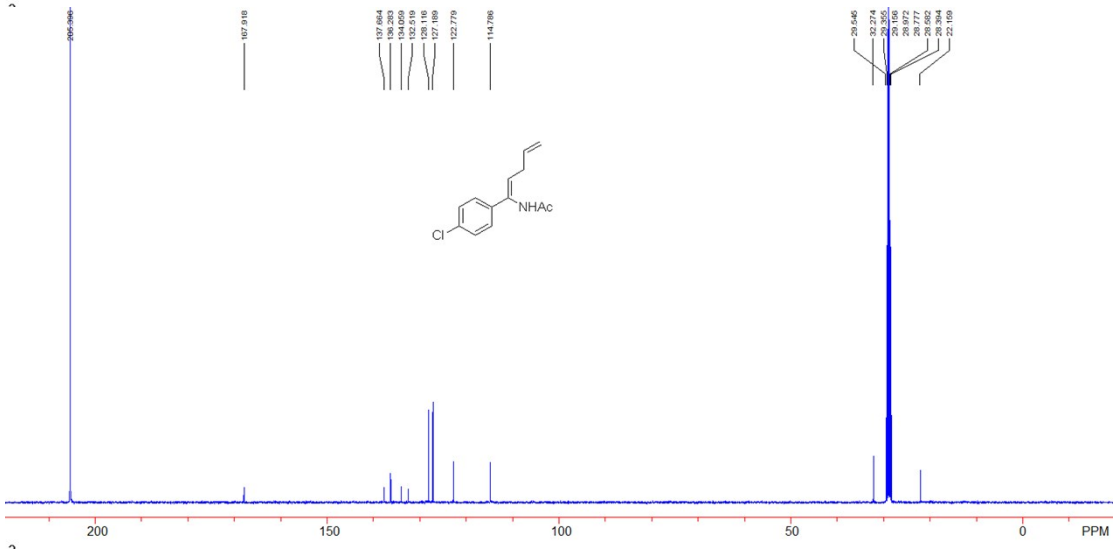
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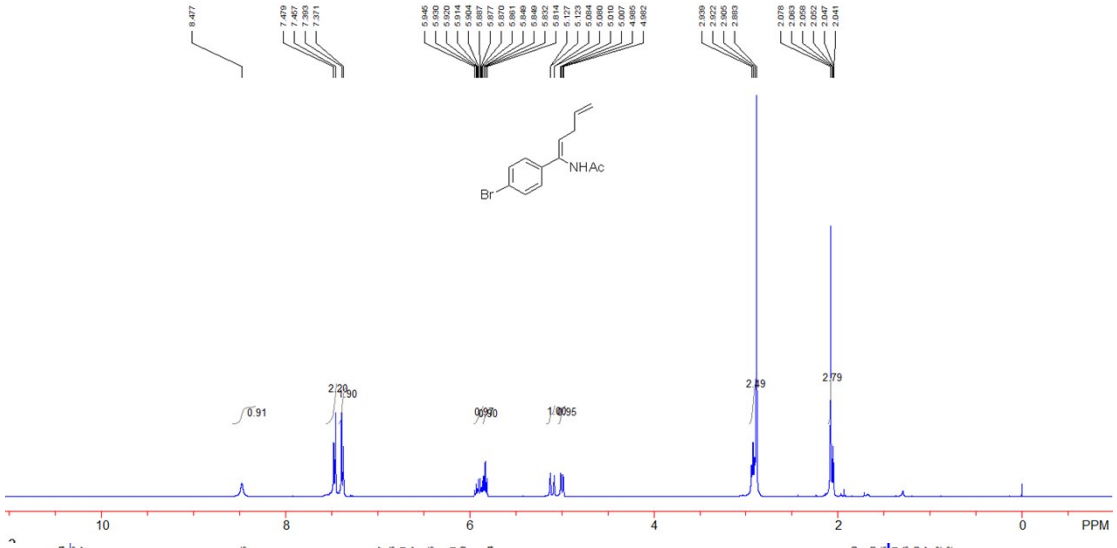
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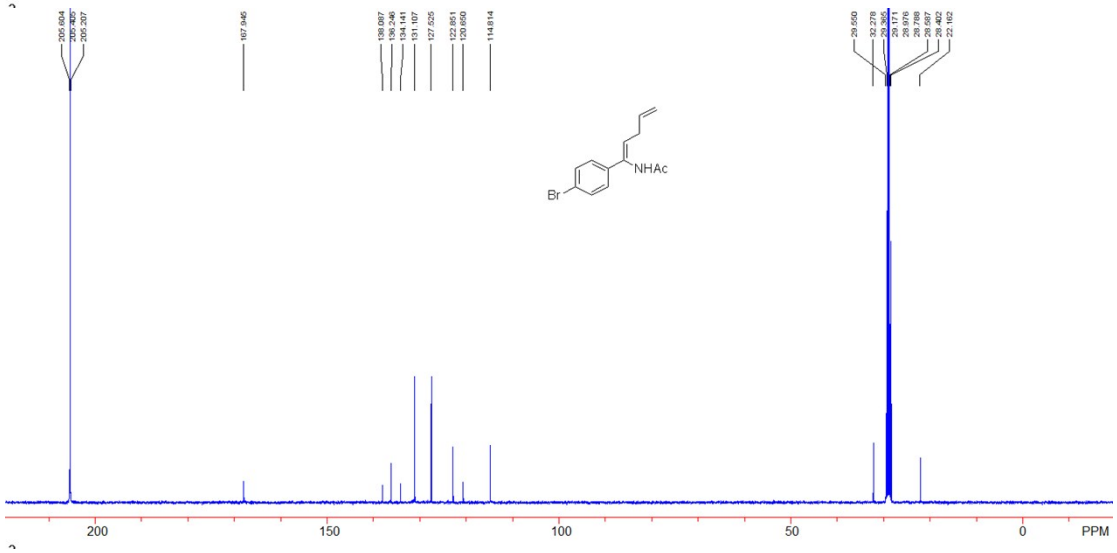
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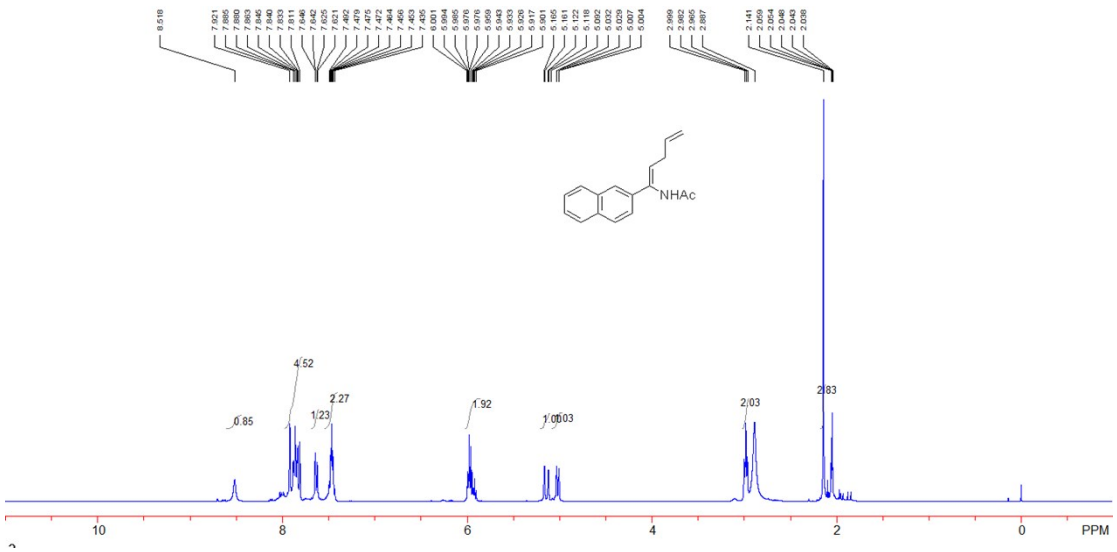
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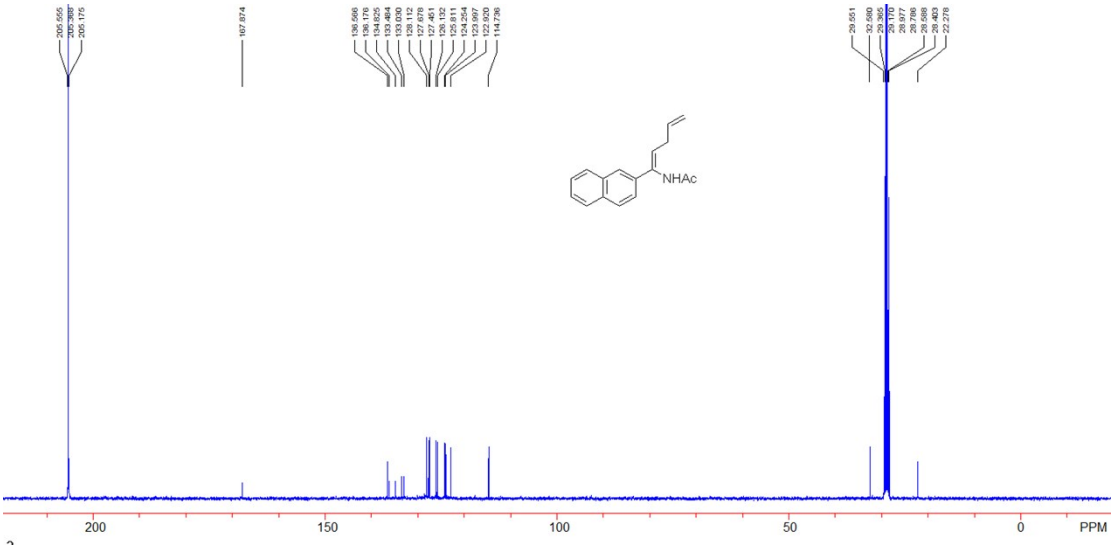
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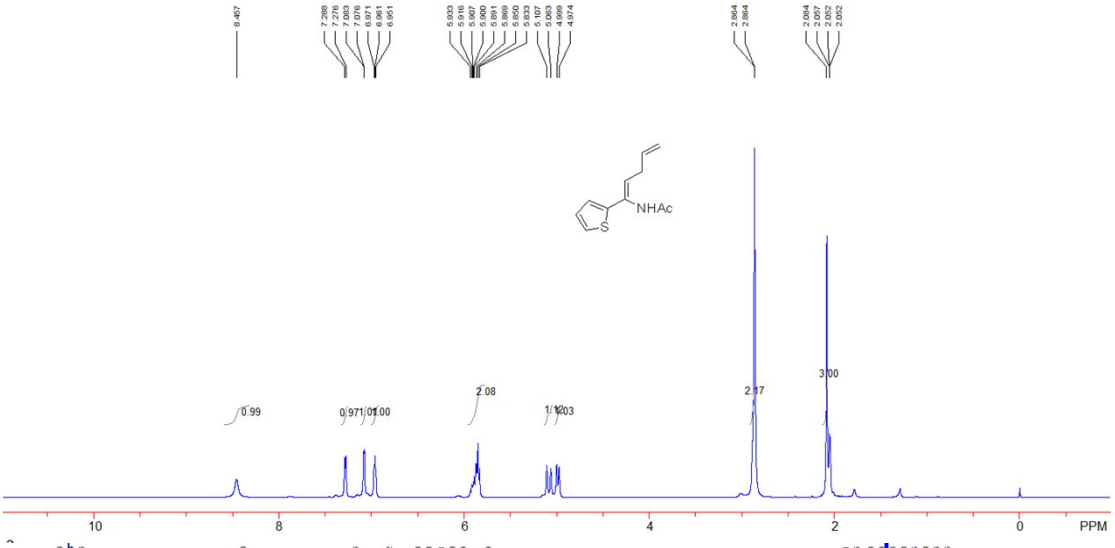
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5.889
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5.107
5.063
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4.914
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2.864
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2.052
2.052
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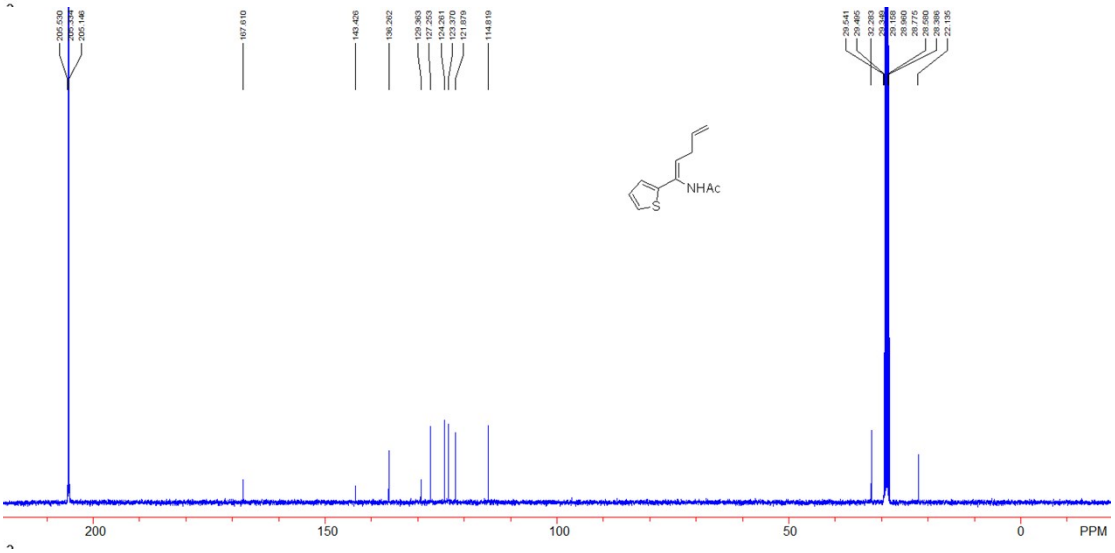
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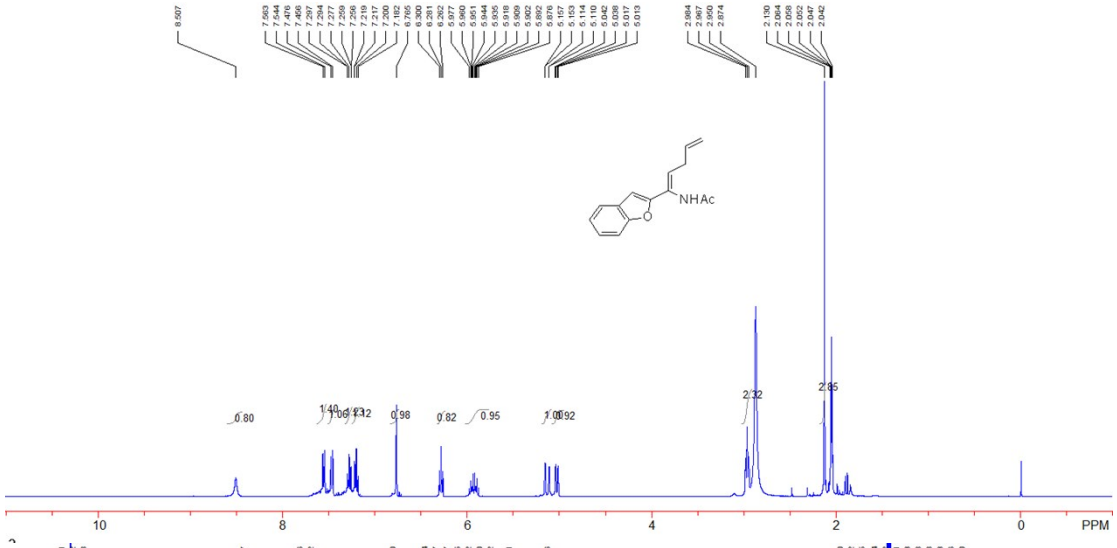
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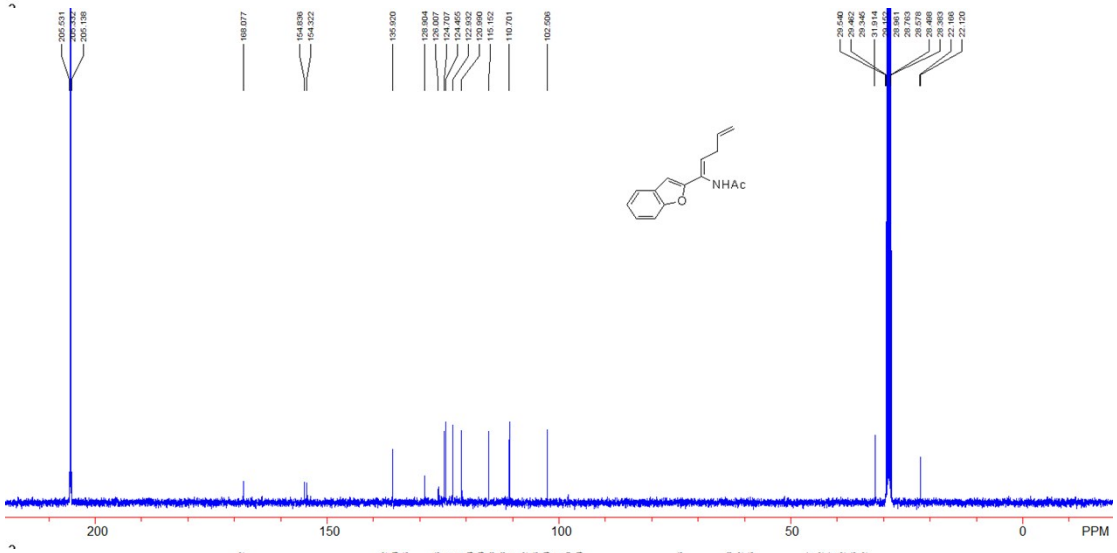
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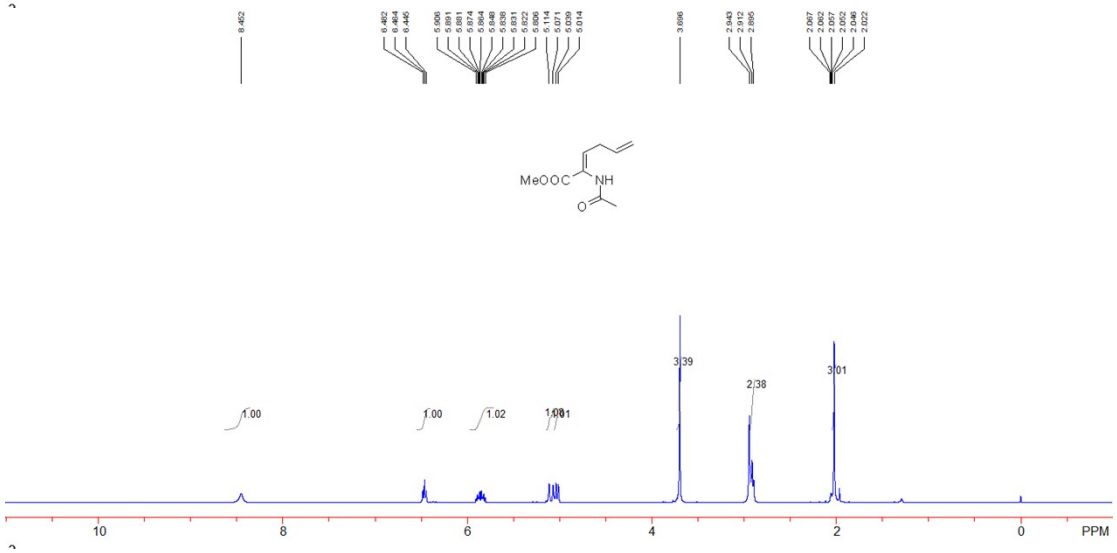
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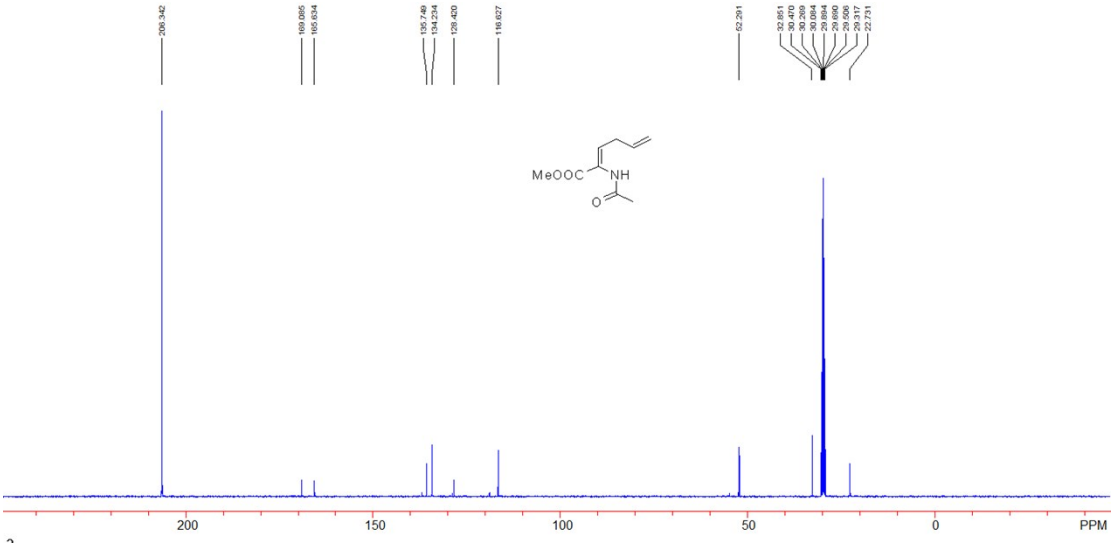
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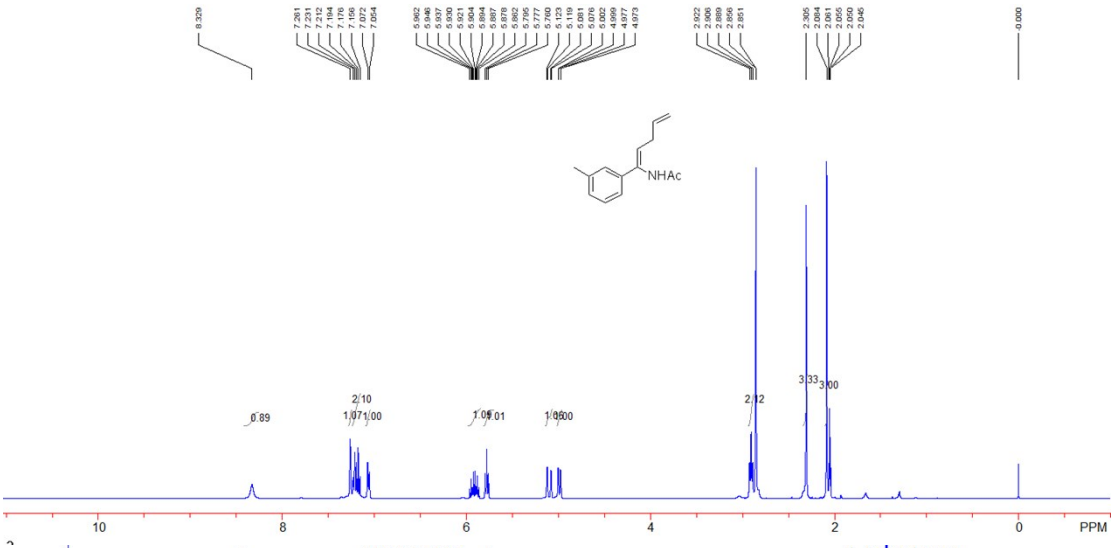
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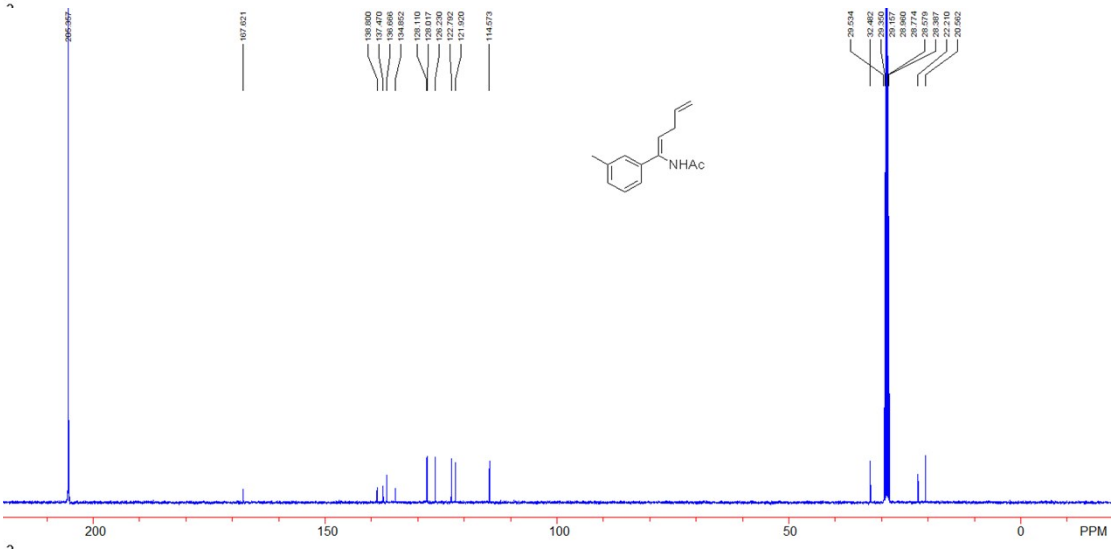
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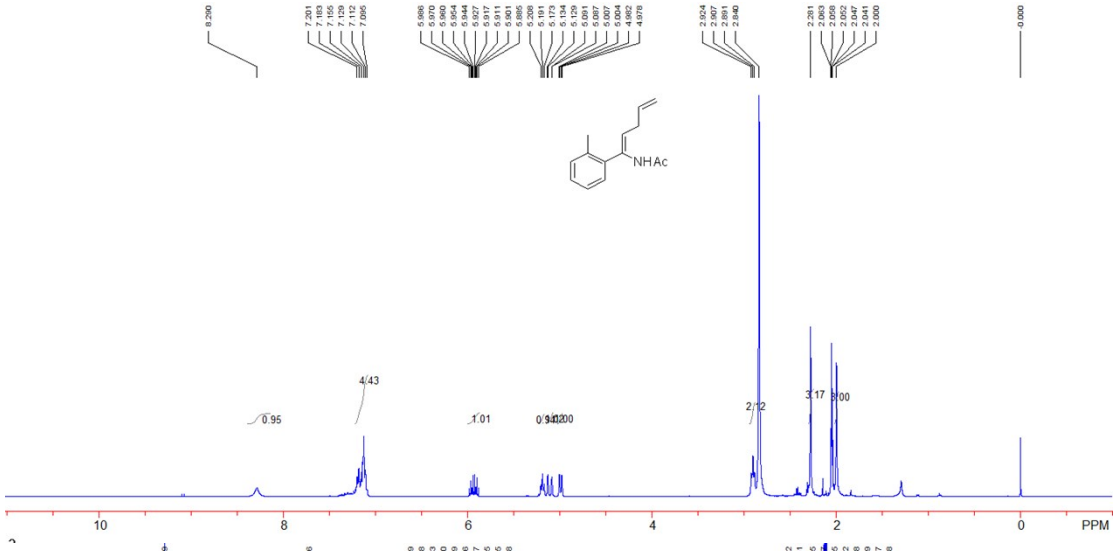
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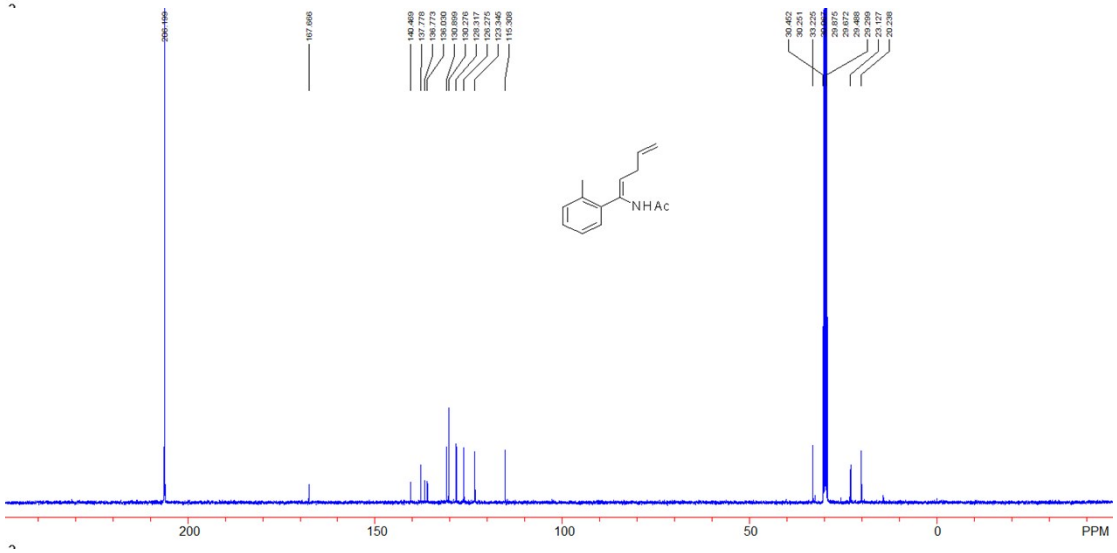
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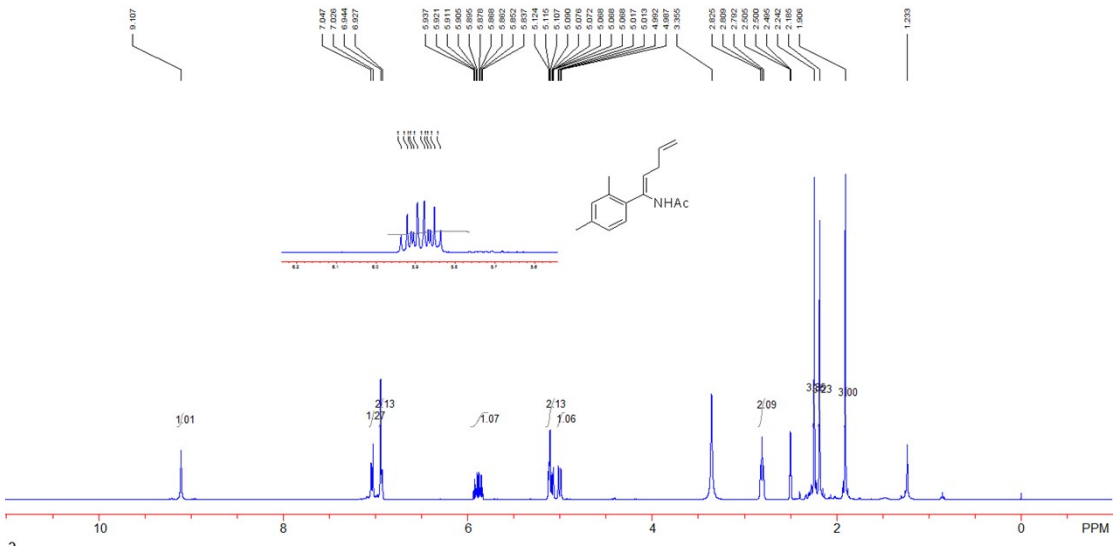
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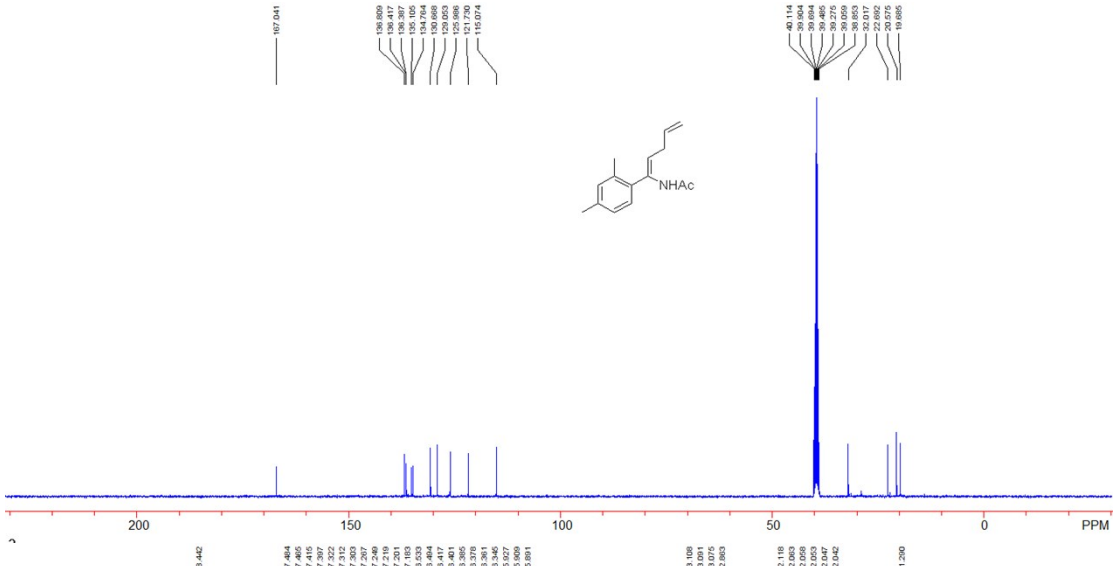
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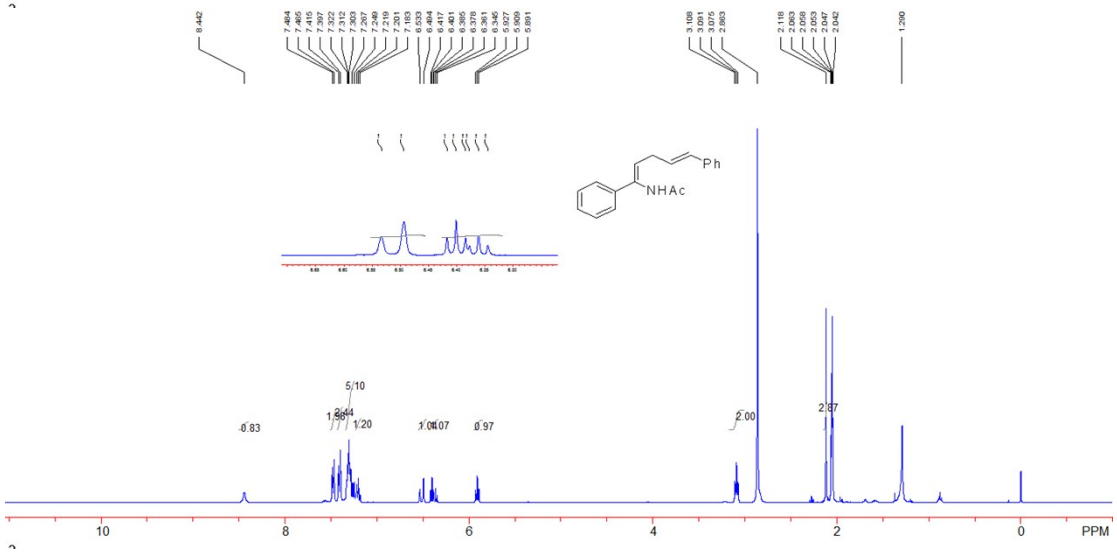
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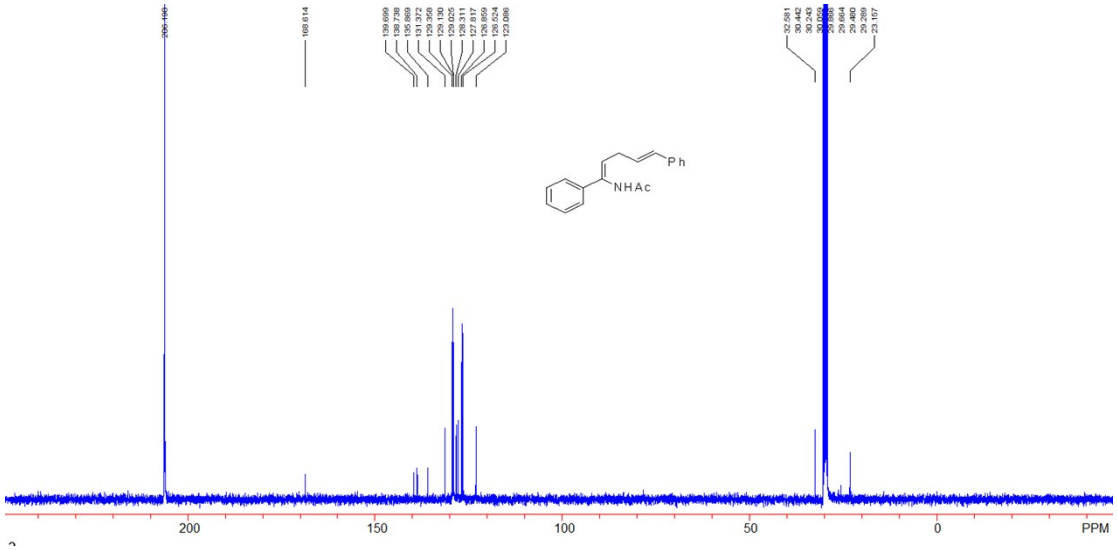
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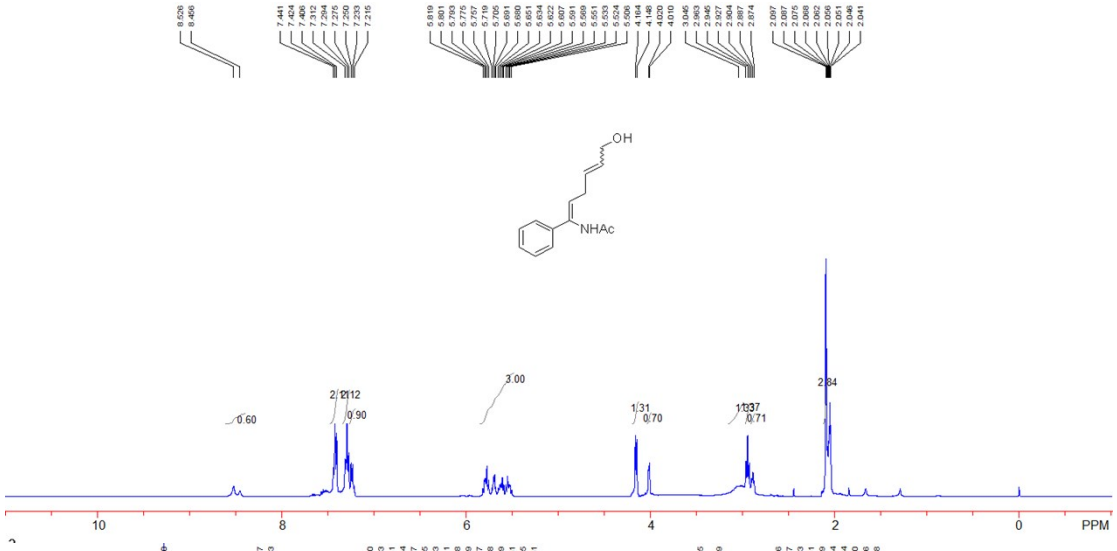
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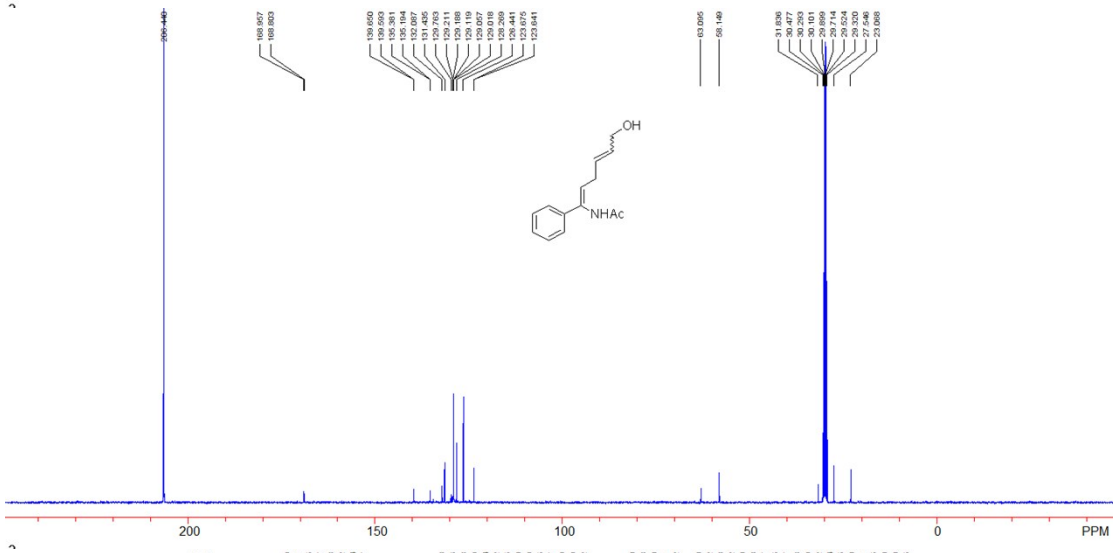
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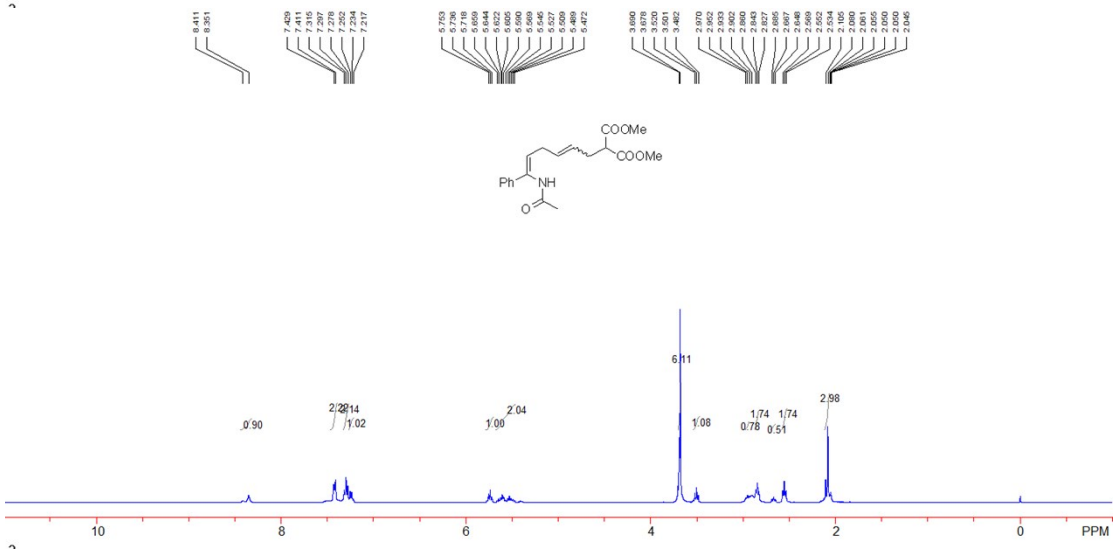
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COOMe
Ph
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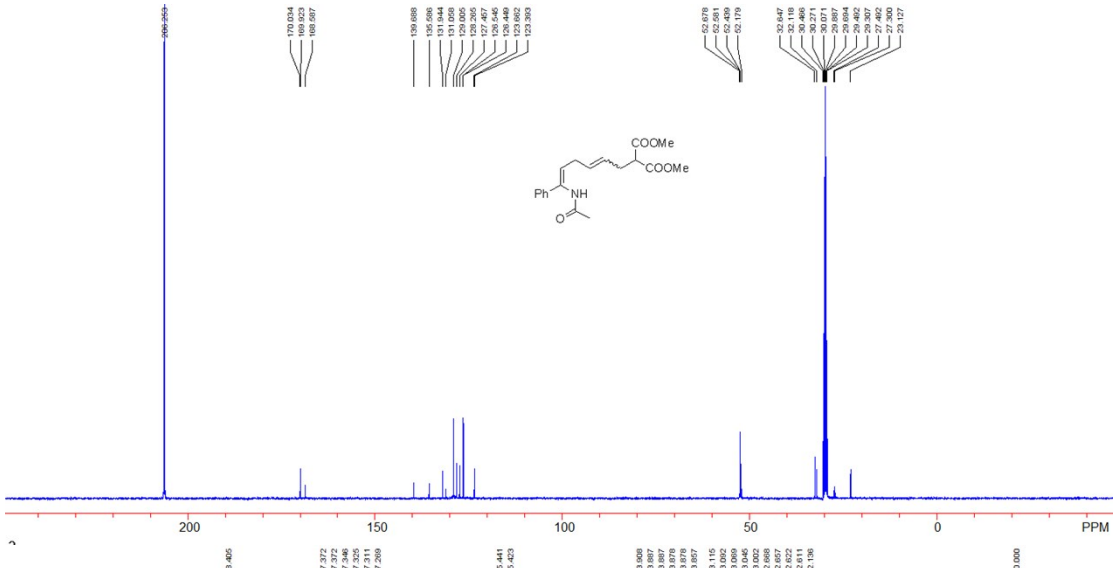
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COOMe
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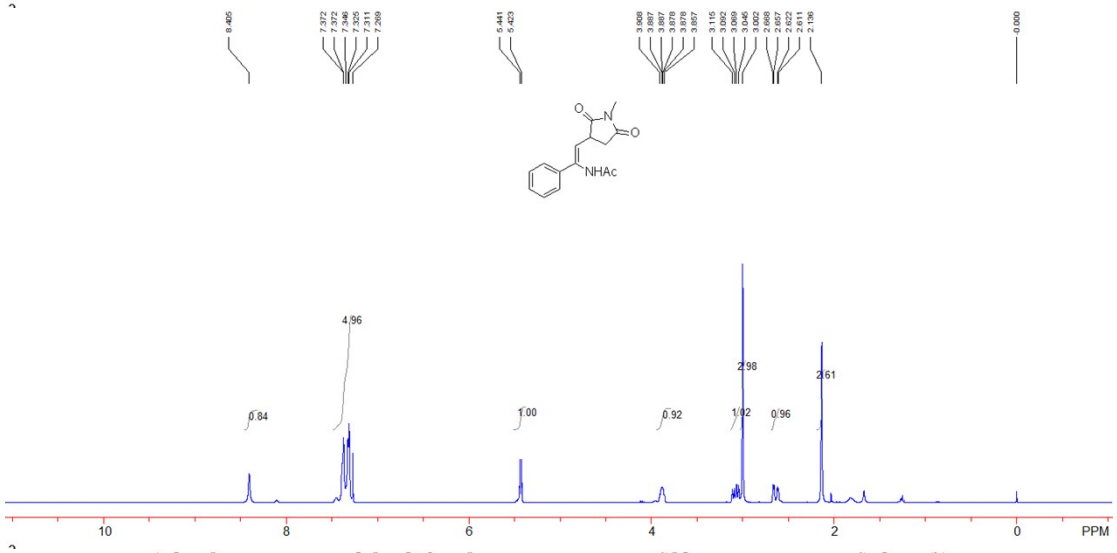
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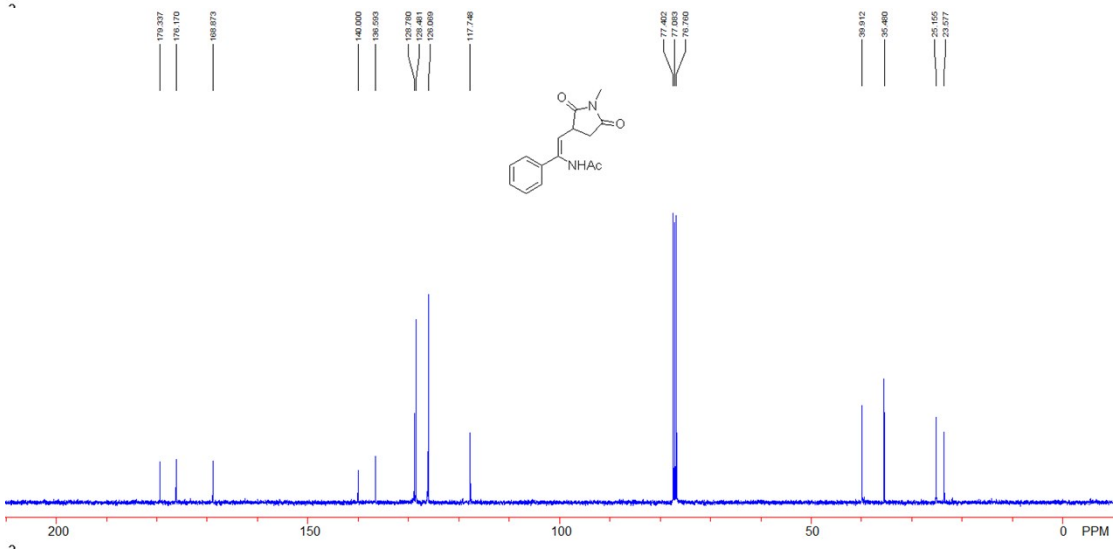
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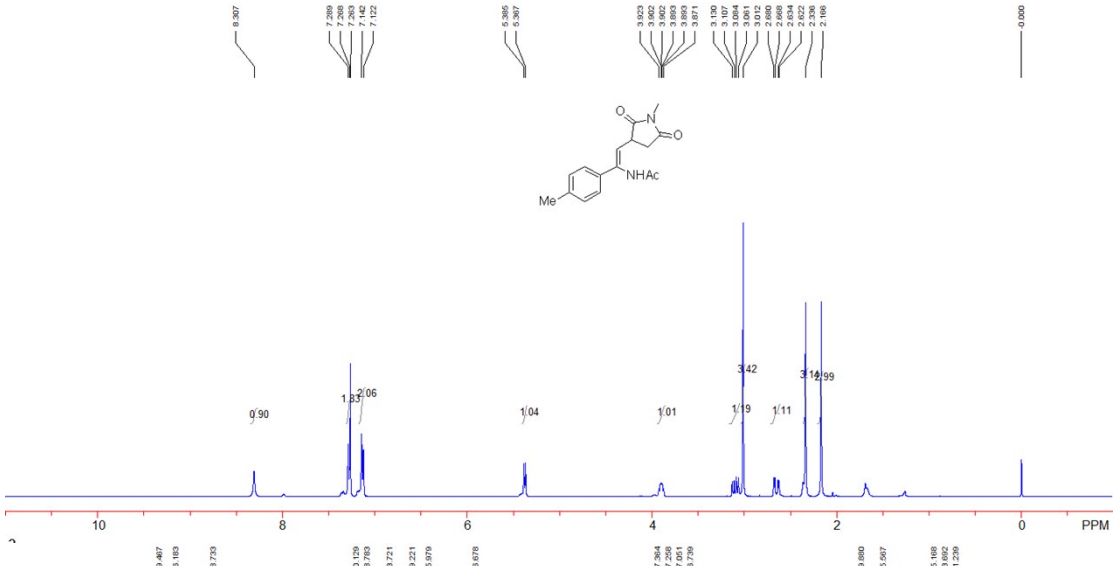
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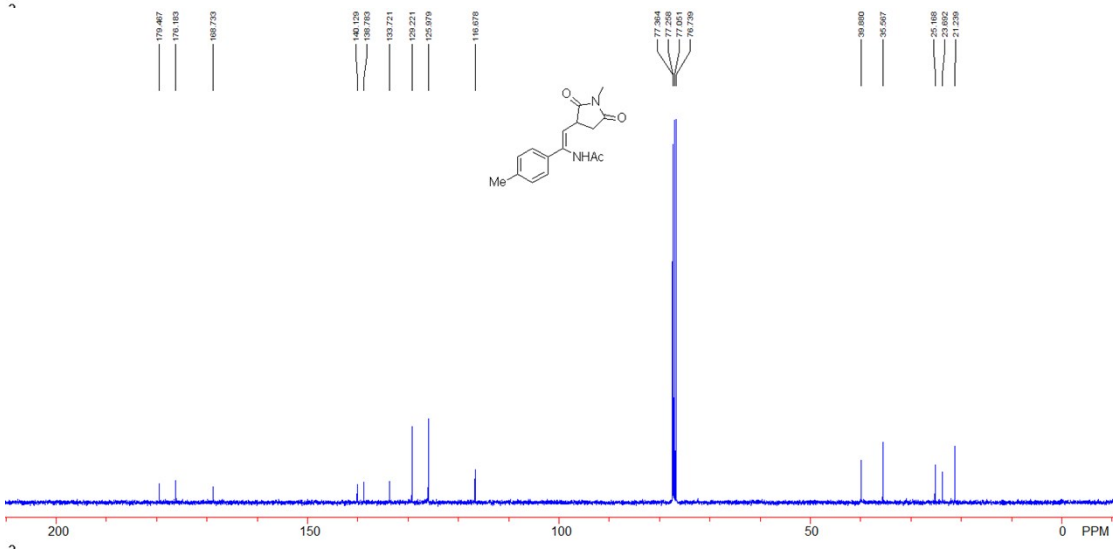
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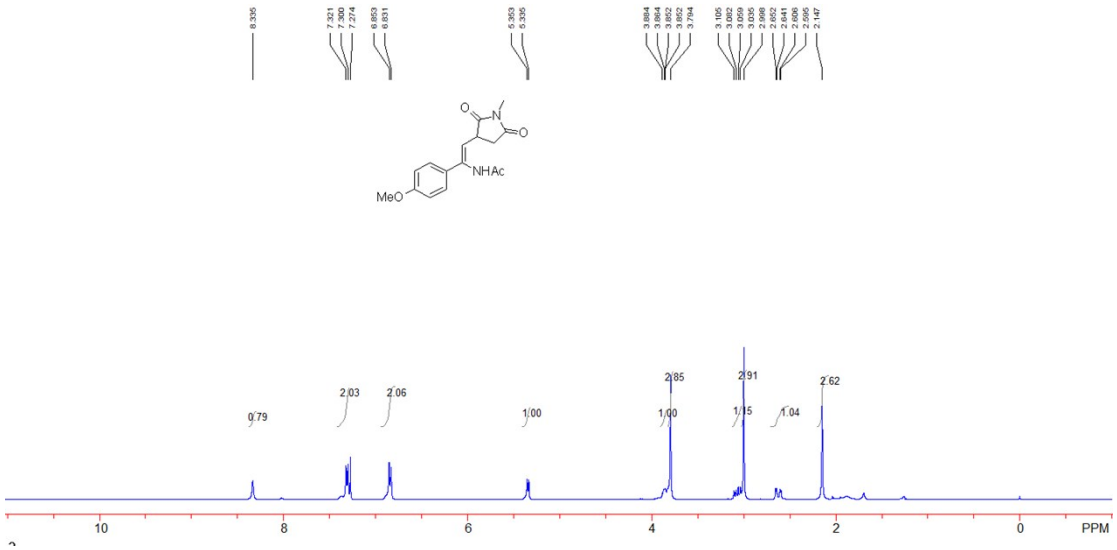
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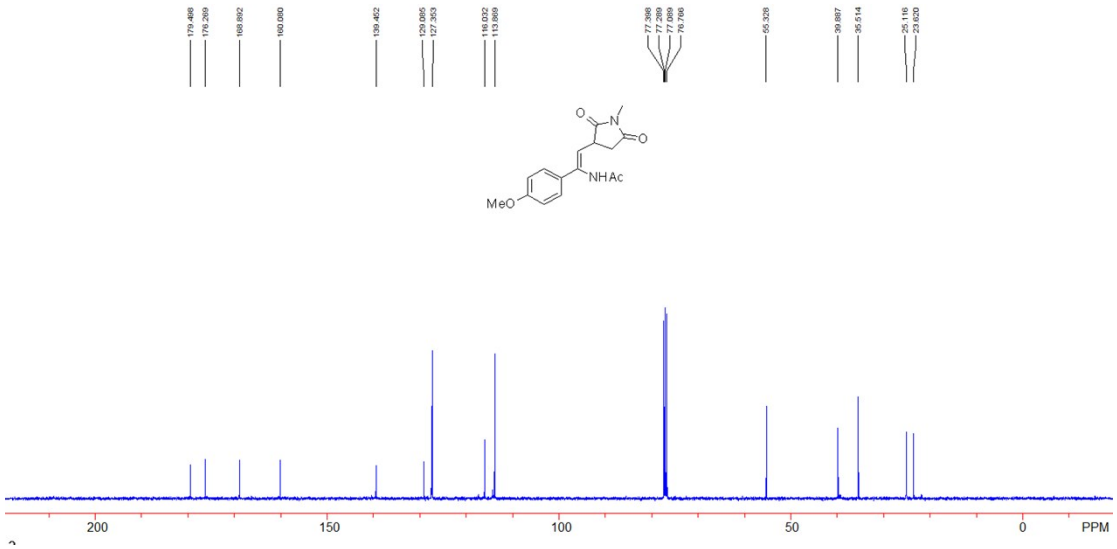
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35.514
25.116
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NHAc
MeO
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150
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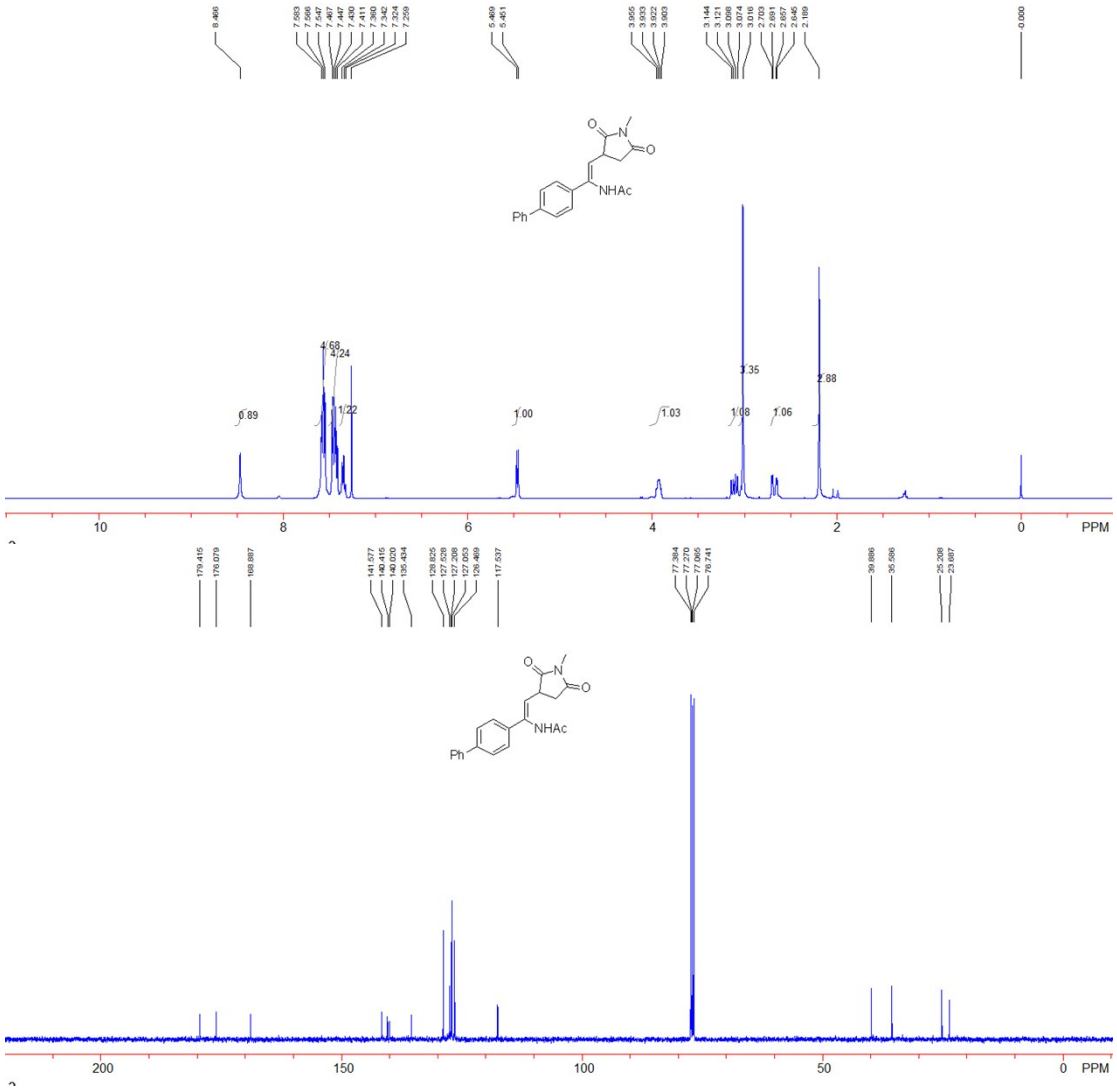
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Ph
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1.08
1.06
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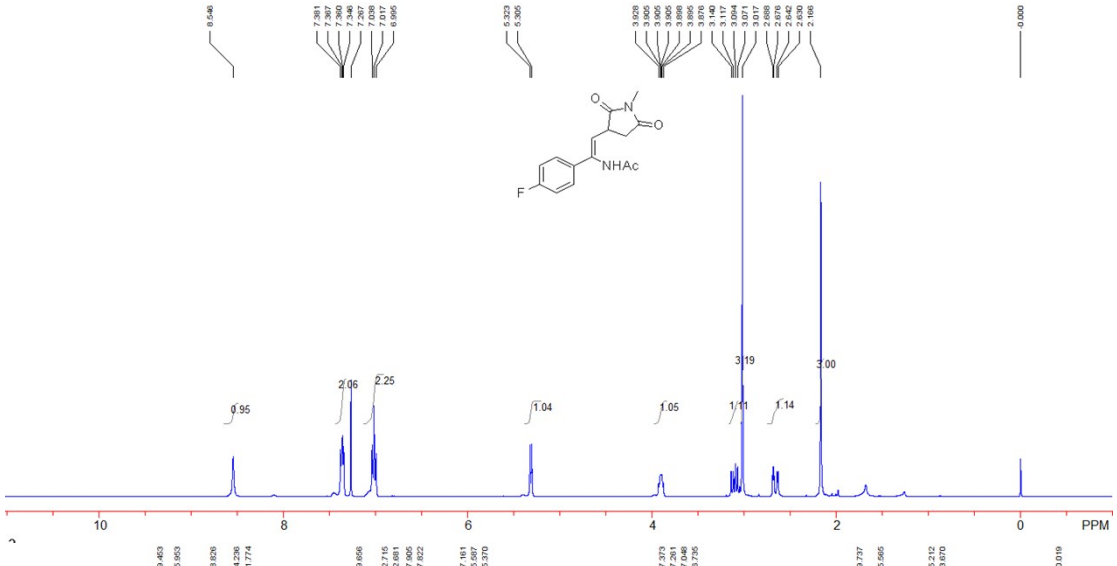
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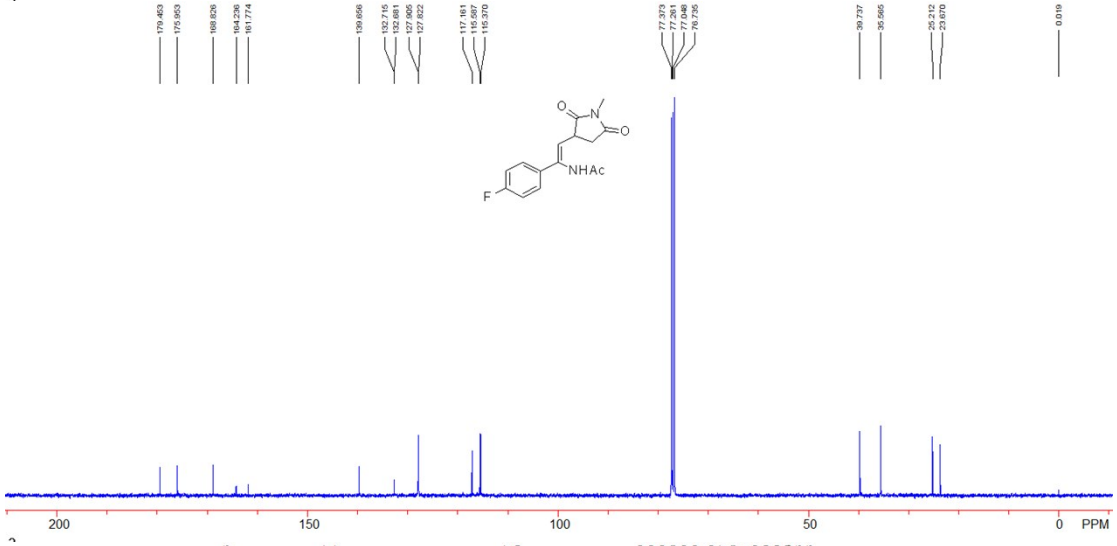
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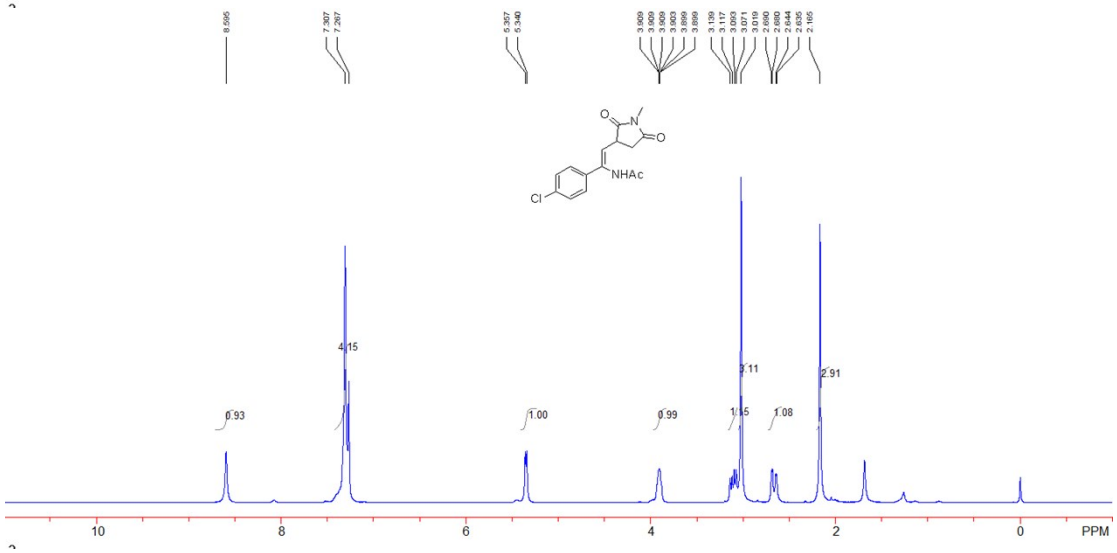
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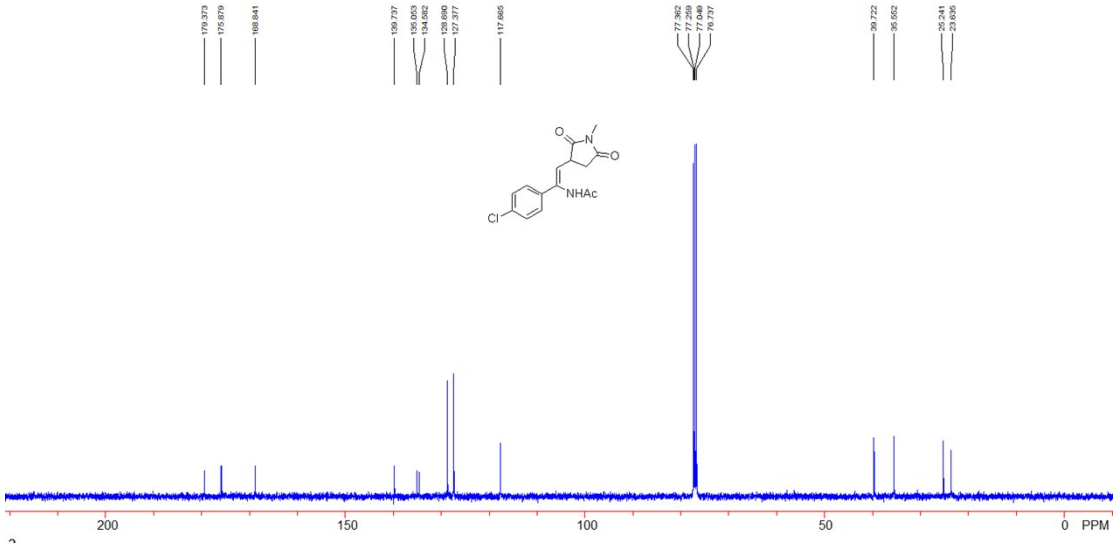
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Cl
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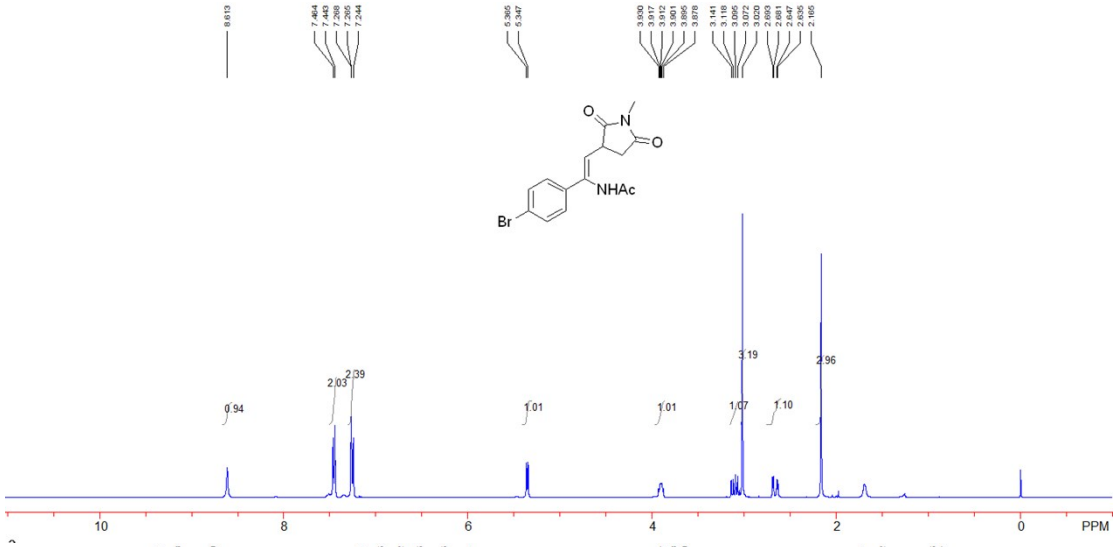
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3.895
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3.141
3.119
3.095
3.072
3.020
3.003
2.681
2.647
2.635
2.165
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O
NHAc
Br
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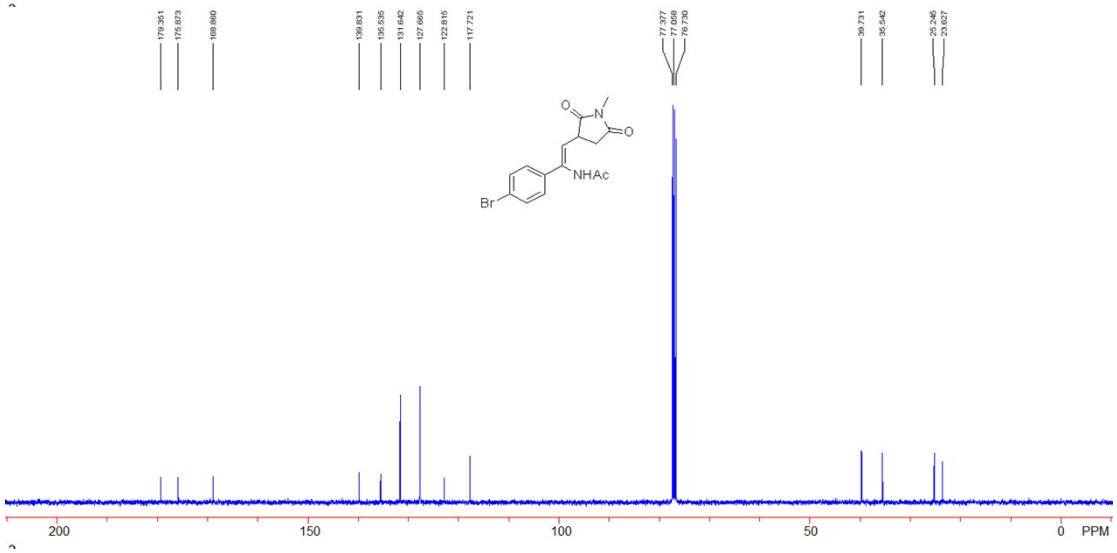
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Br
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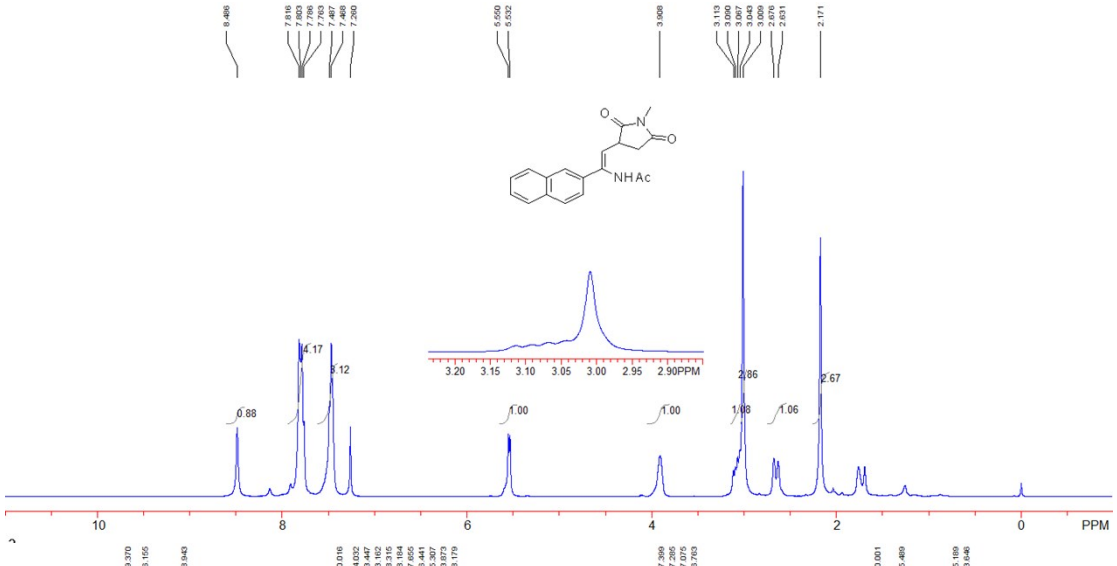
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7.260
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5.532
3.908
3.113
3.090
3.067
3.043
3.009
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2.651
2.171
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3.12
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1.00
1.08
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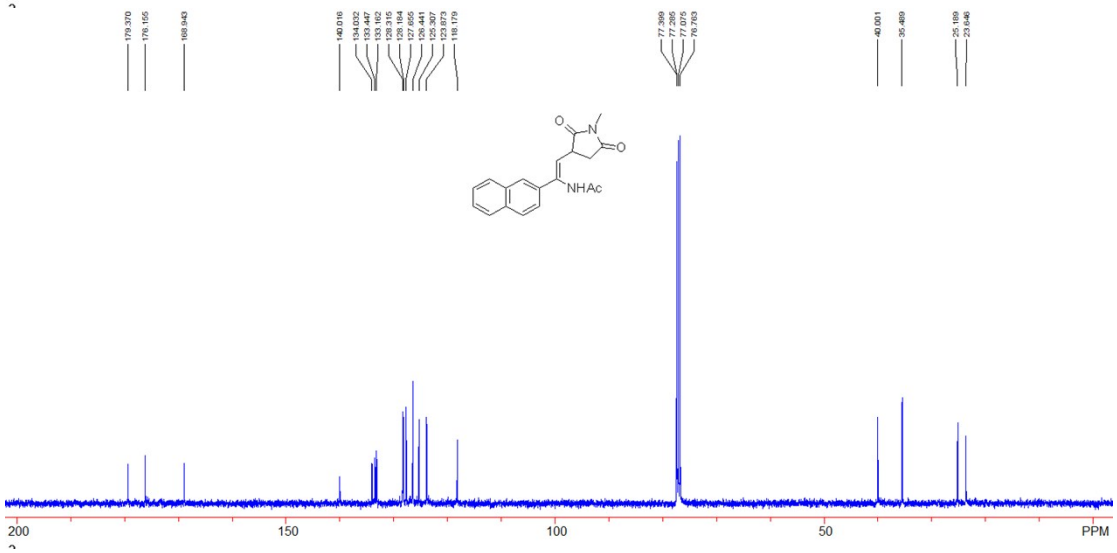
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118.179
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100
50
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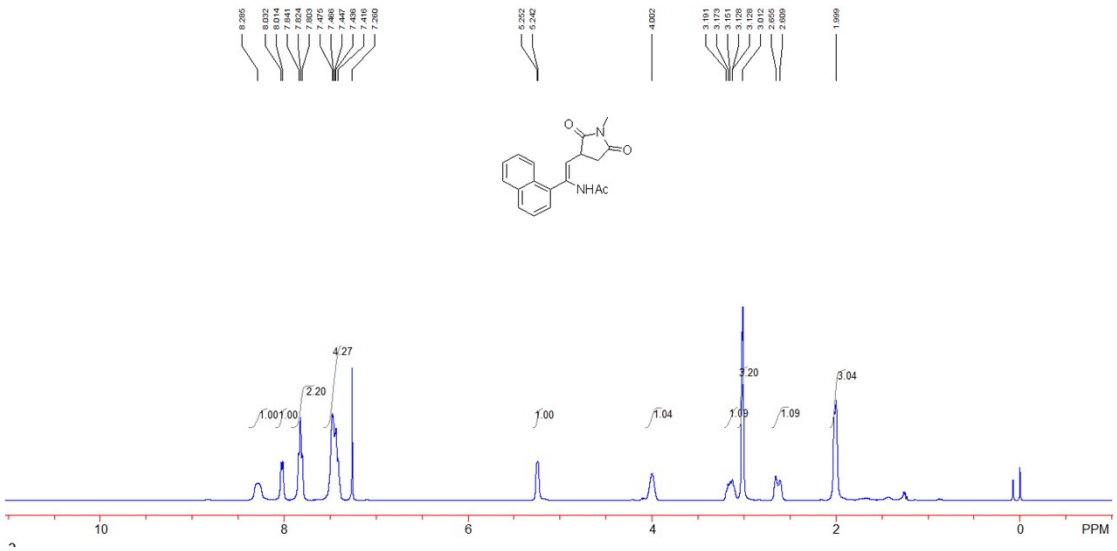
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5.242
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3.173
3.151
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3.128
3.012
2.655
2.609
1.999
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2.20
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1.00
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1.09
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3.04
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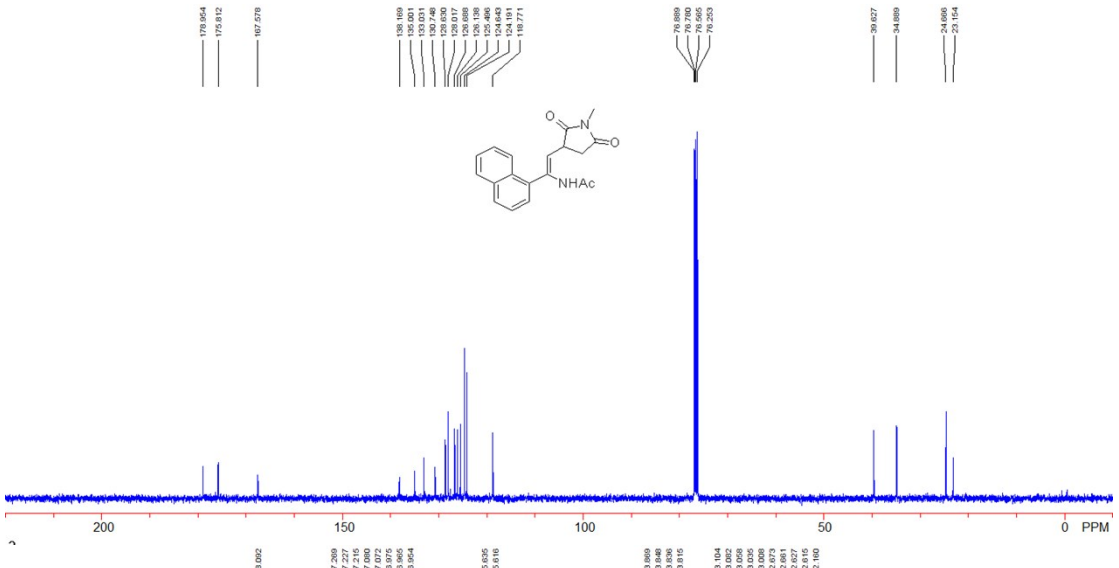
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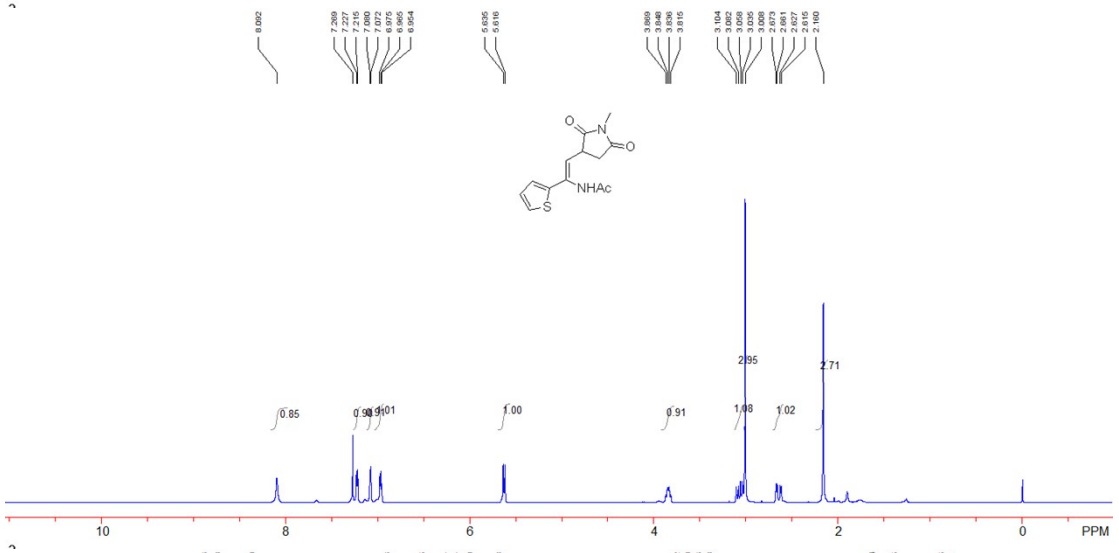
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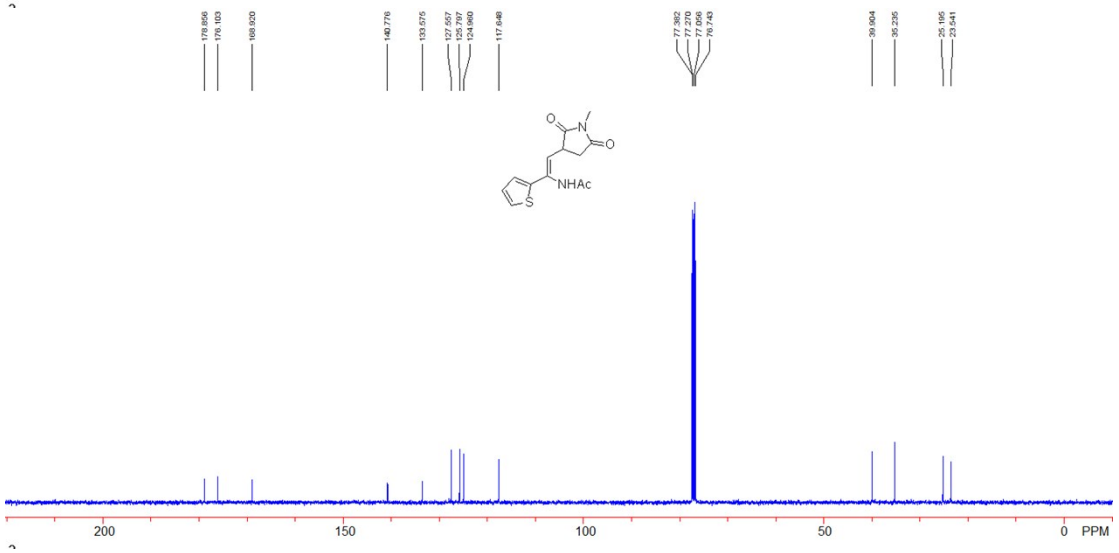
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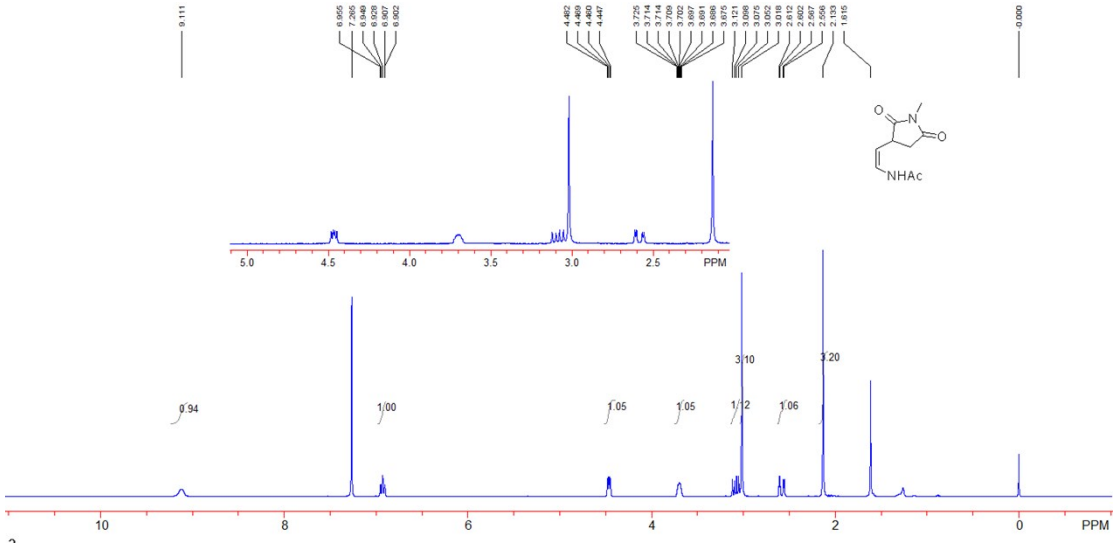
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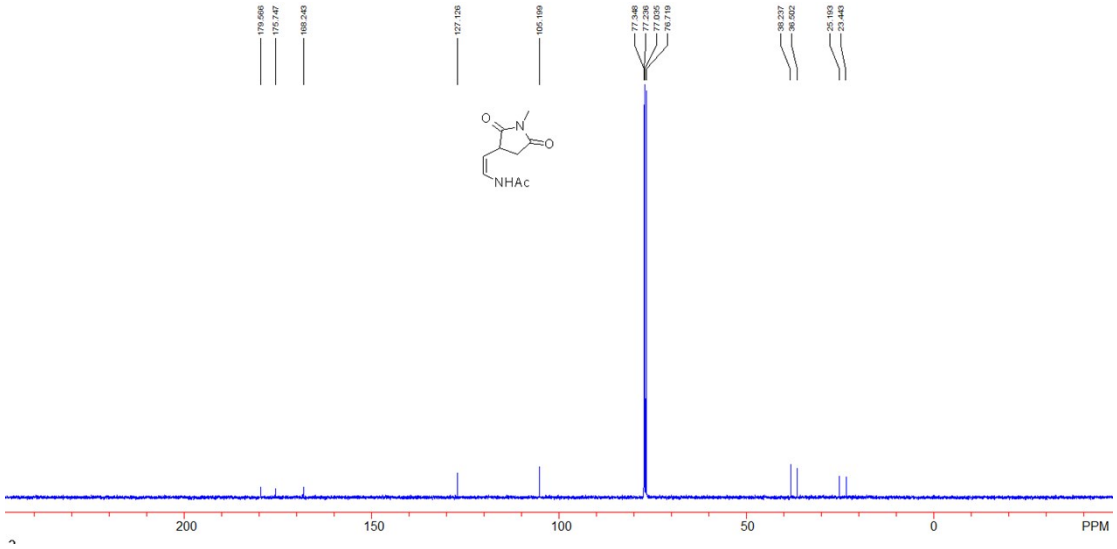
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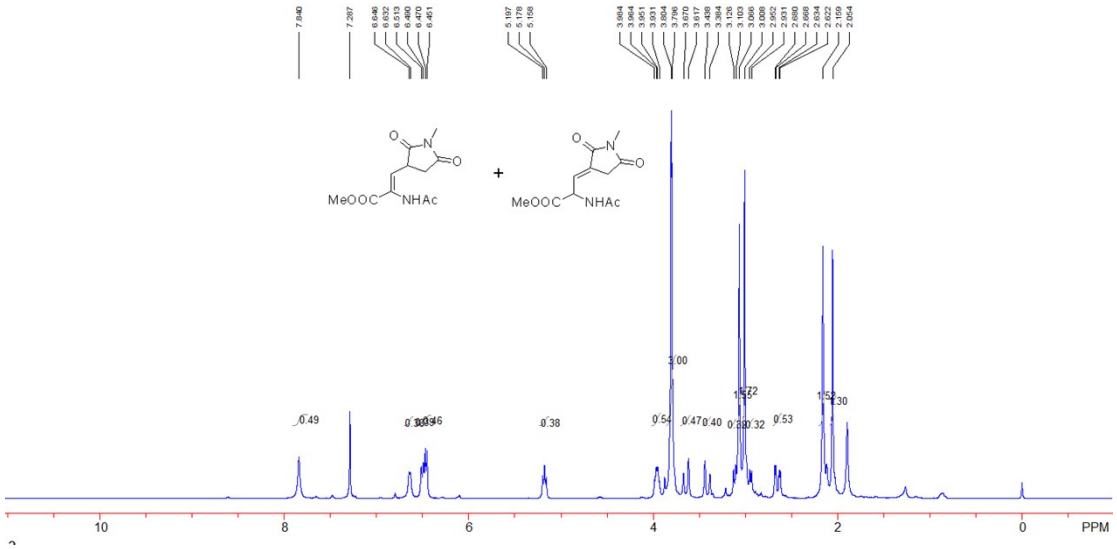
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+
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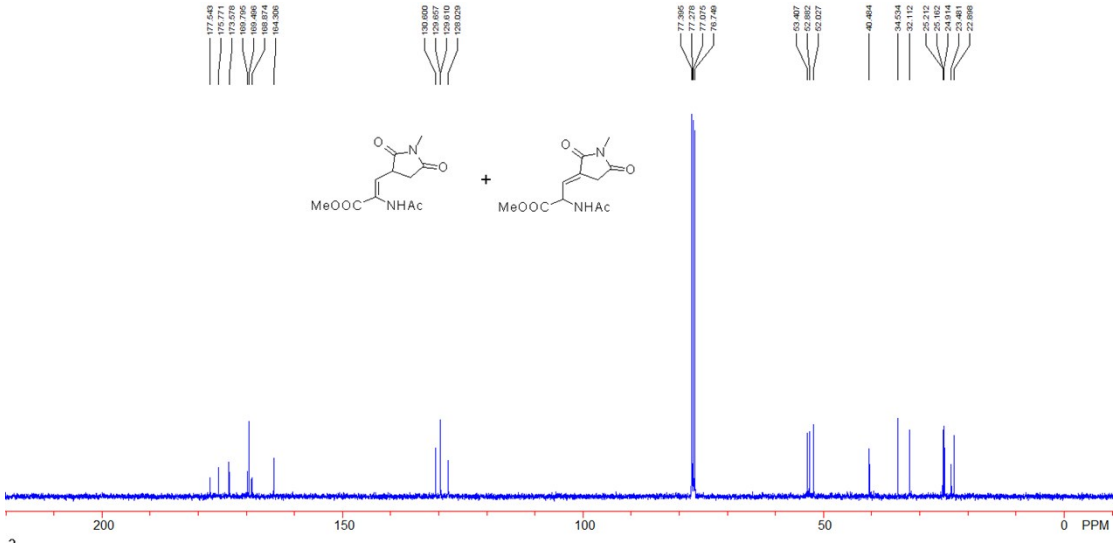
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+
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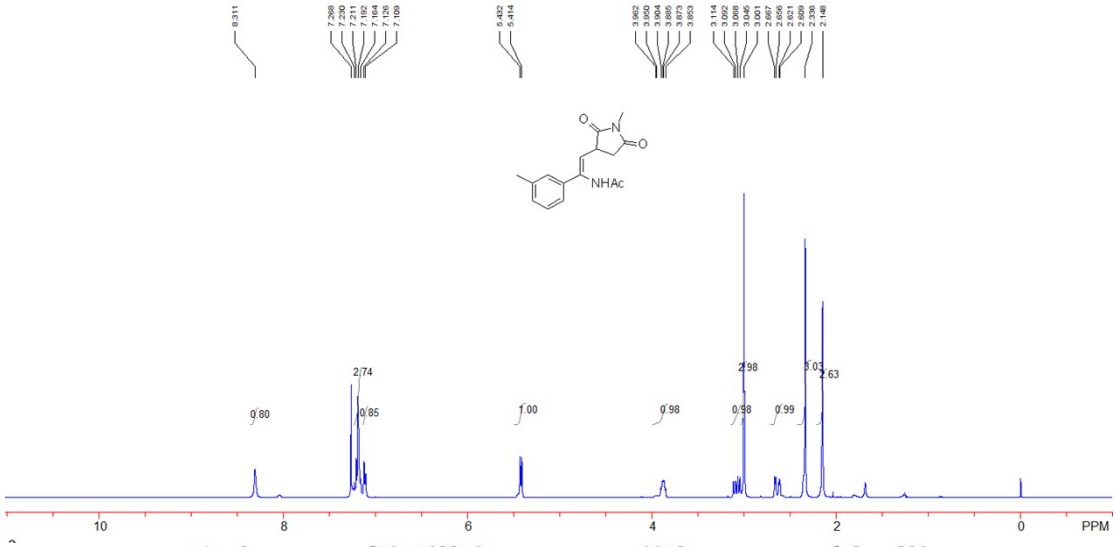
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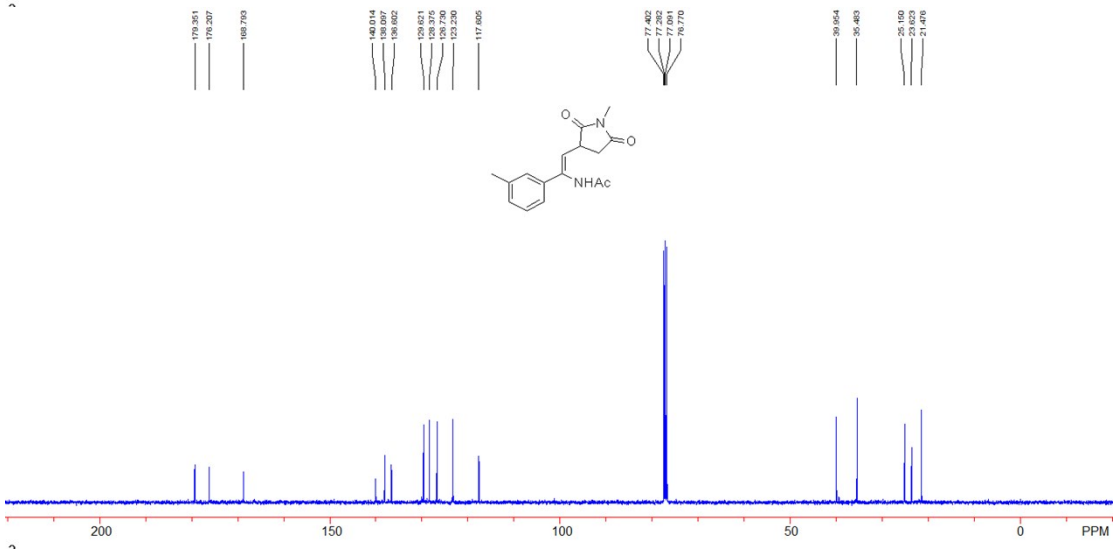
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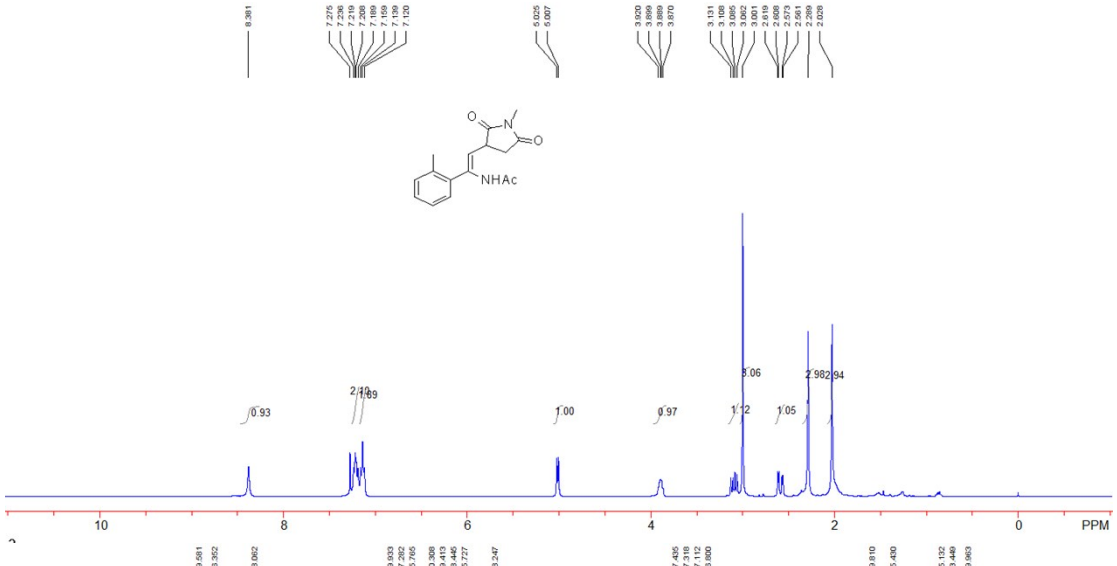
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3.108
3.085
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2.573
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2.550
2.529
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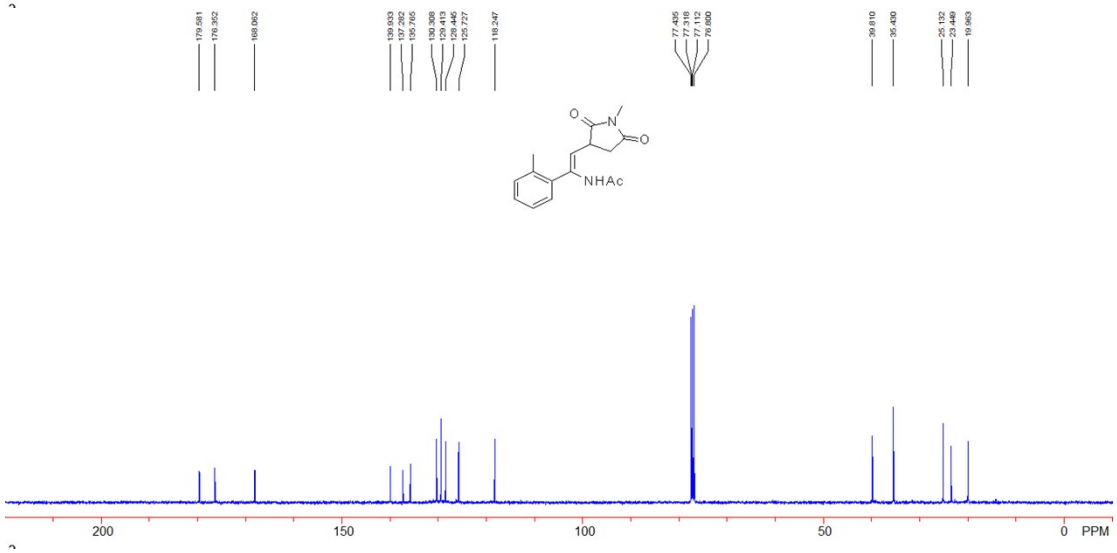
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100
50
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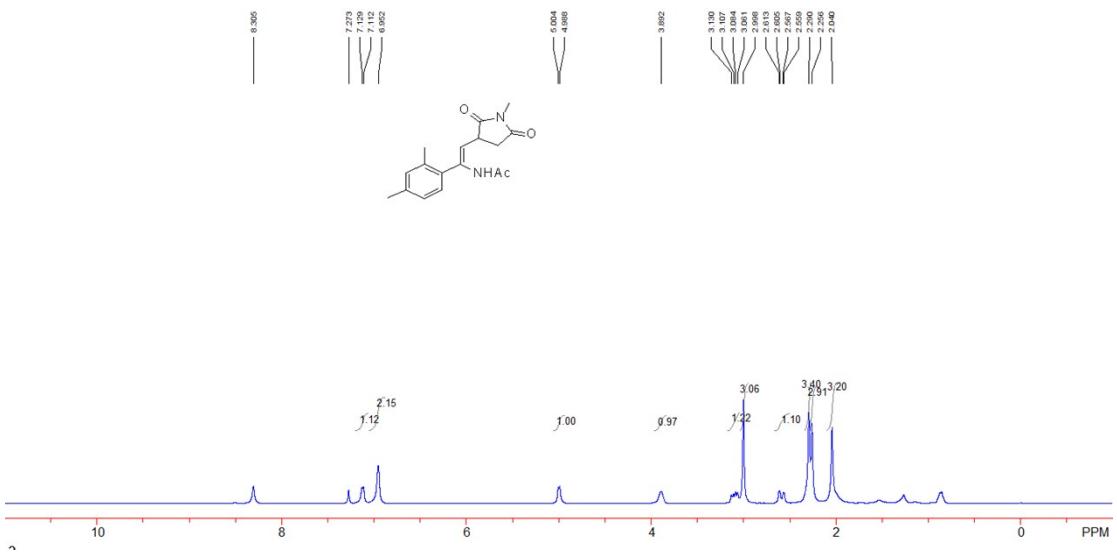
PPM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3.038
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2.590
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2.040
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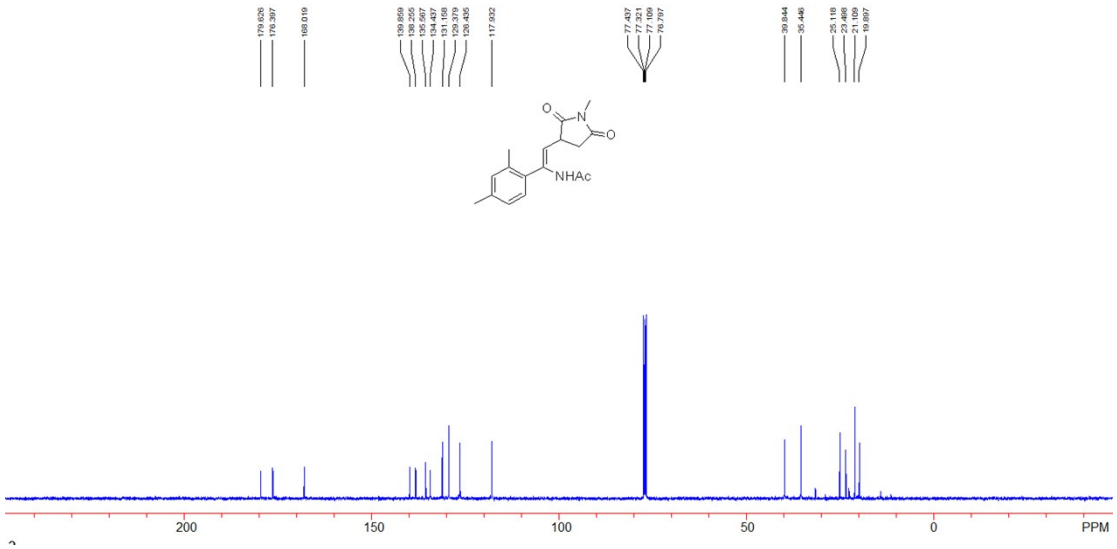
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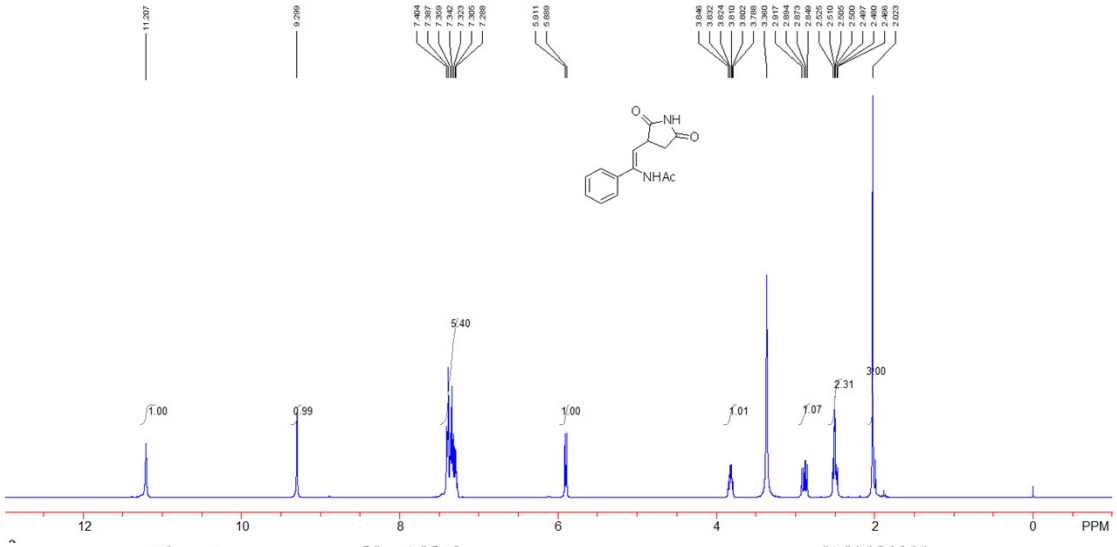
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2.500
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2.480
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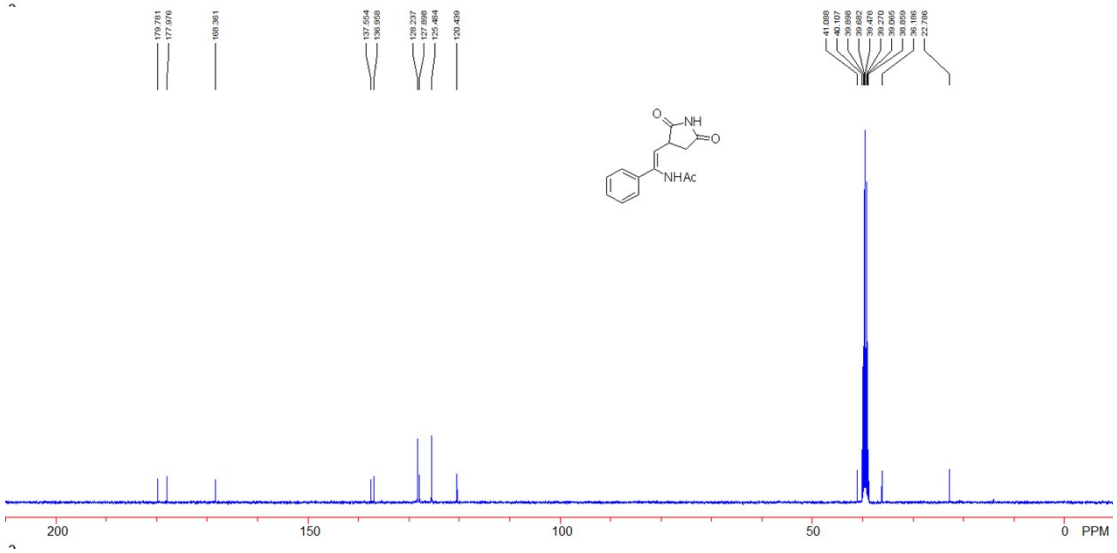
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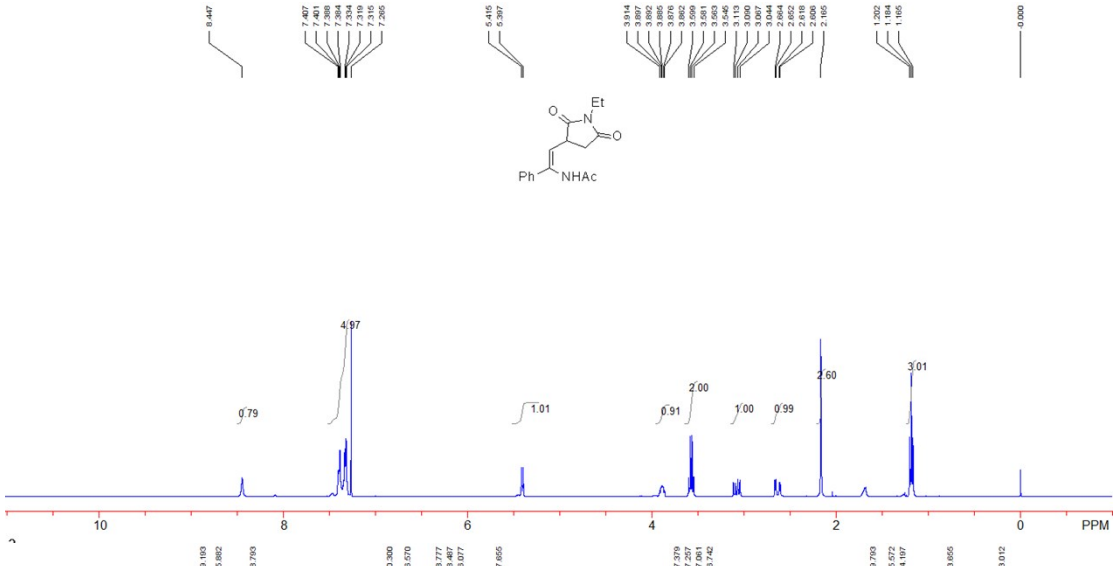
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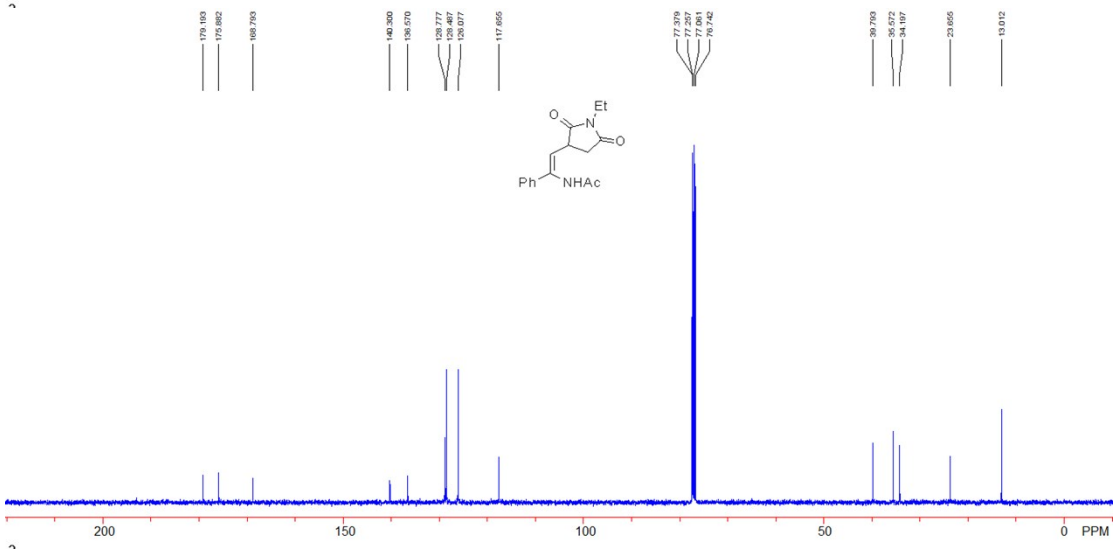
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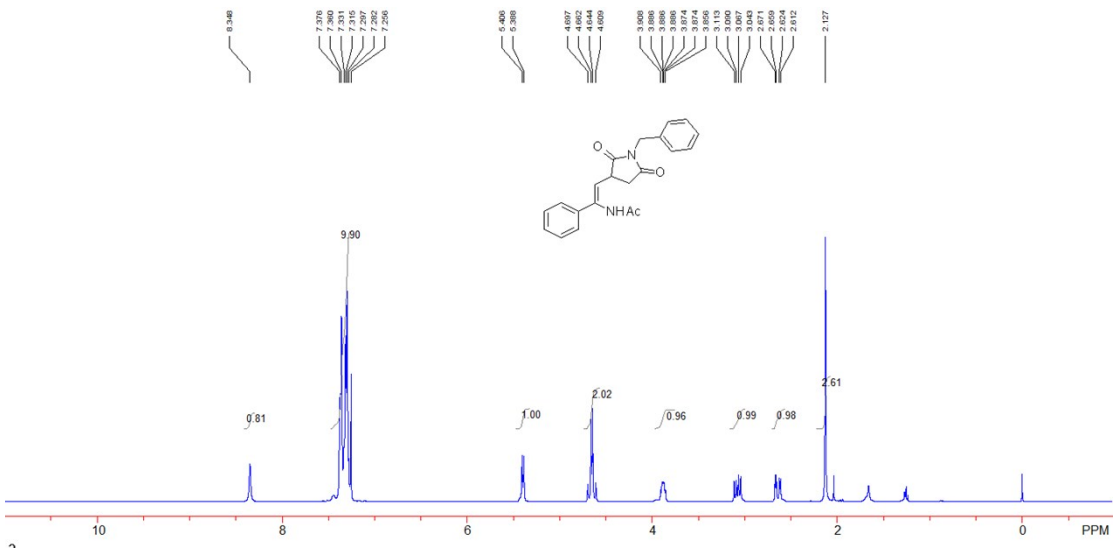
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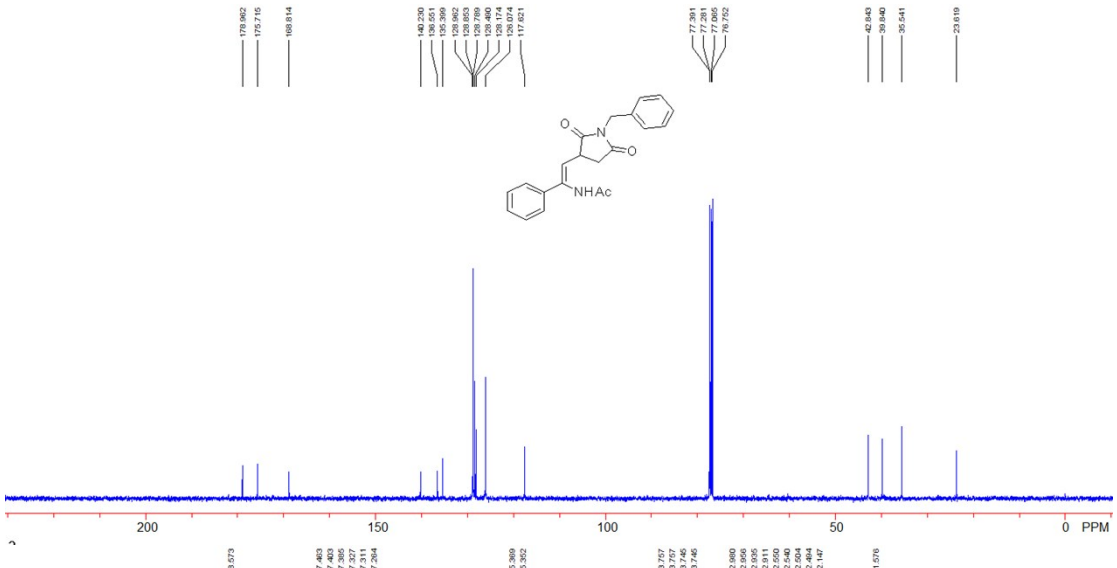
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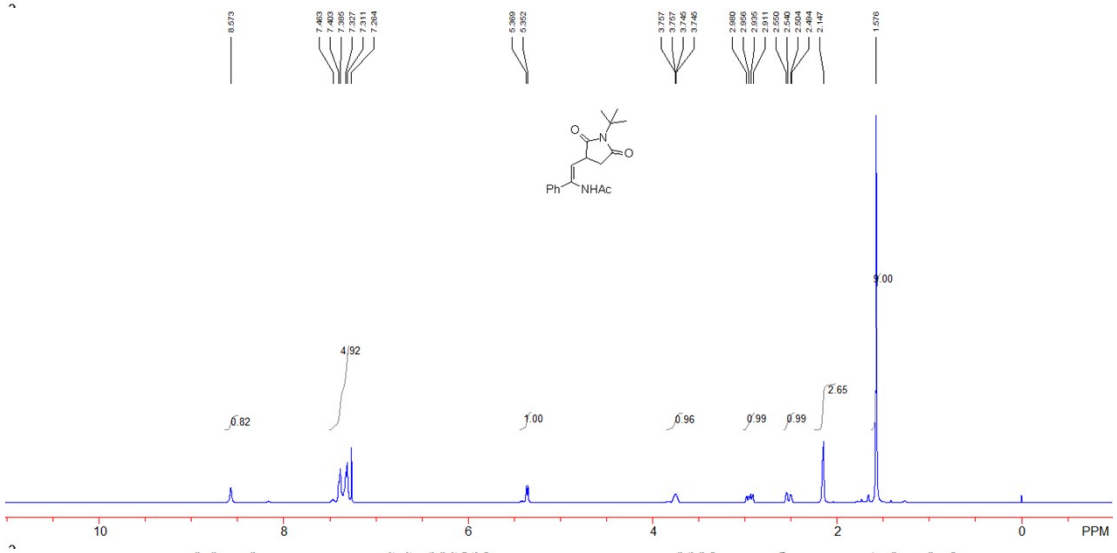
50
0 PPM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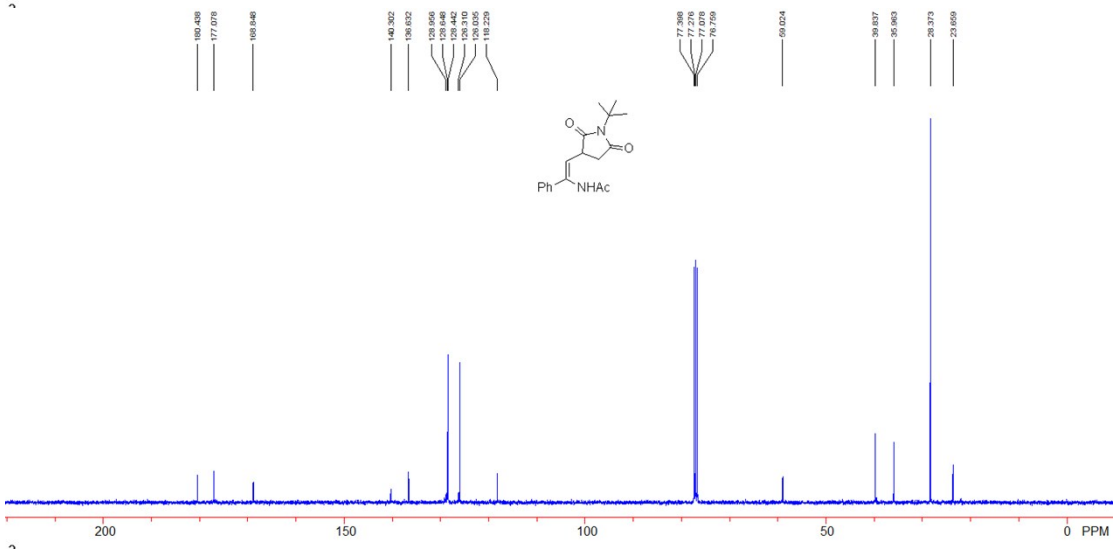
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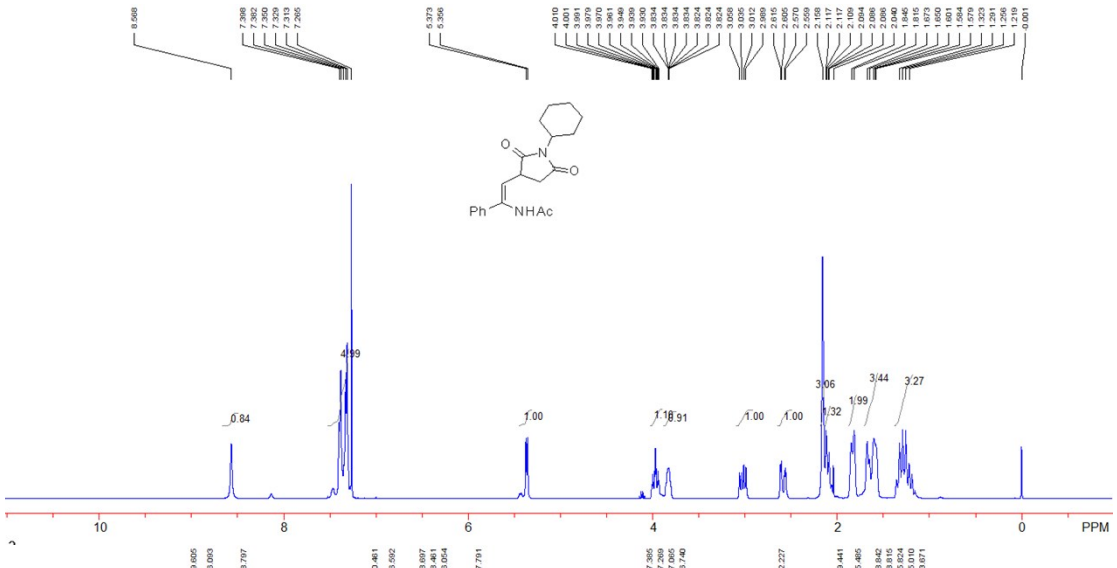
50
0 PPM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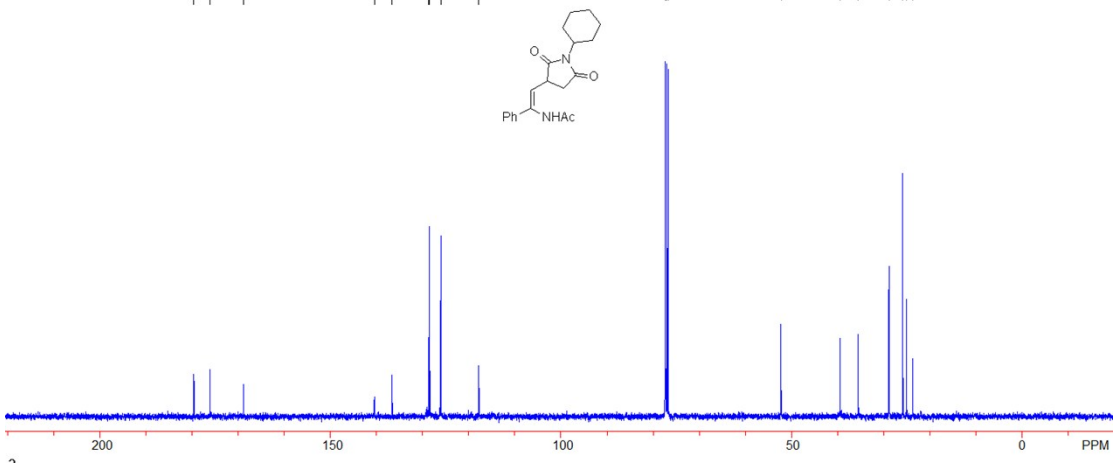
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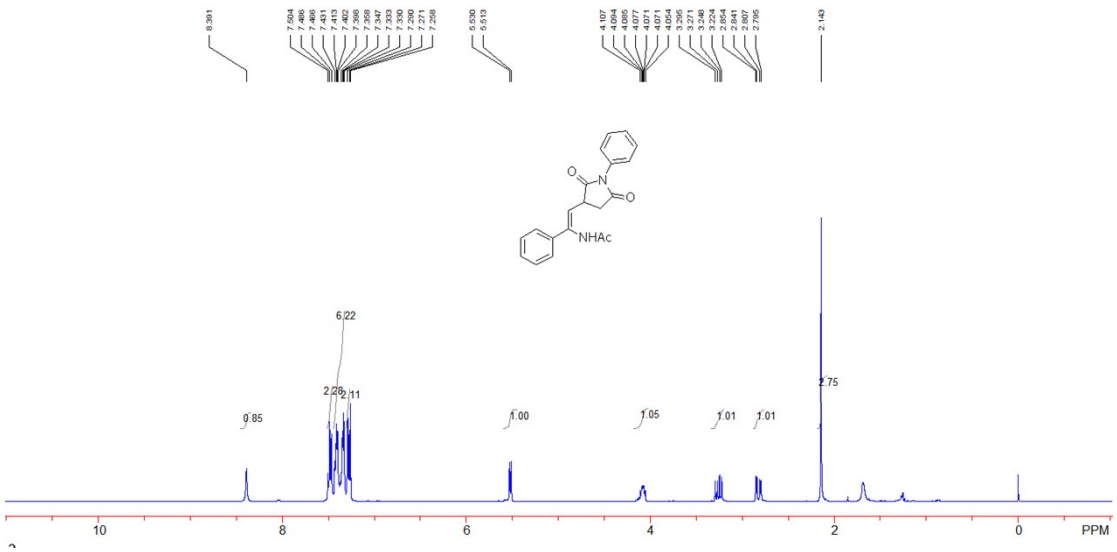
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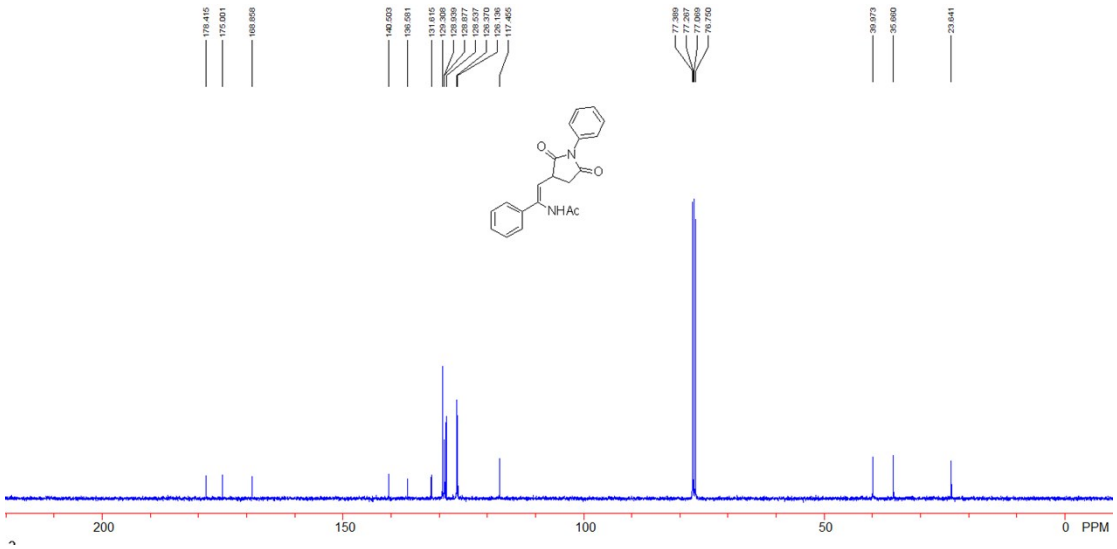
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39.973
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23.641
NHAc
200
150
100
50
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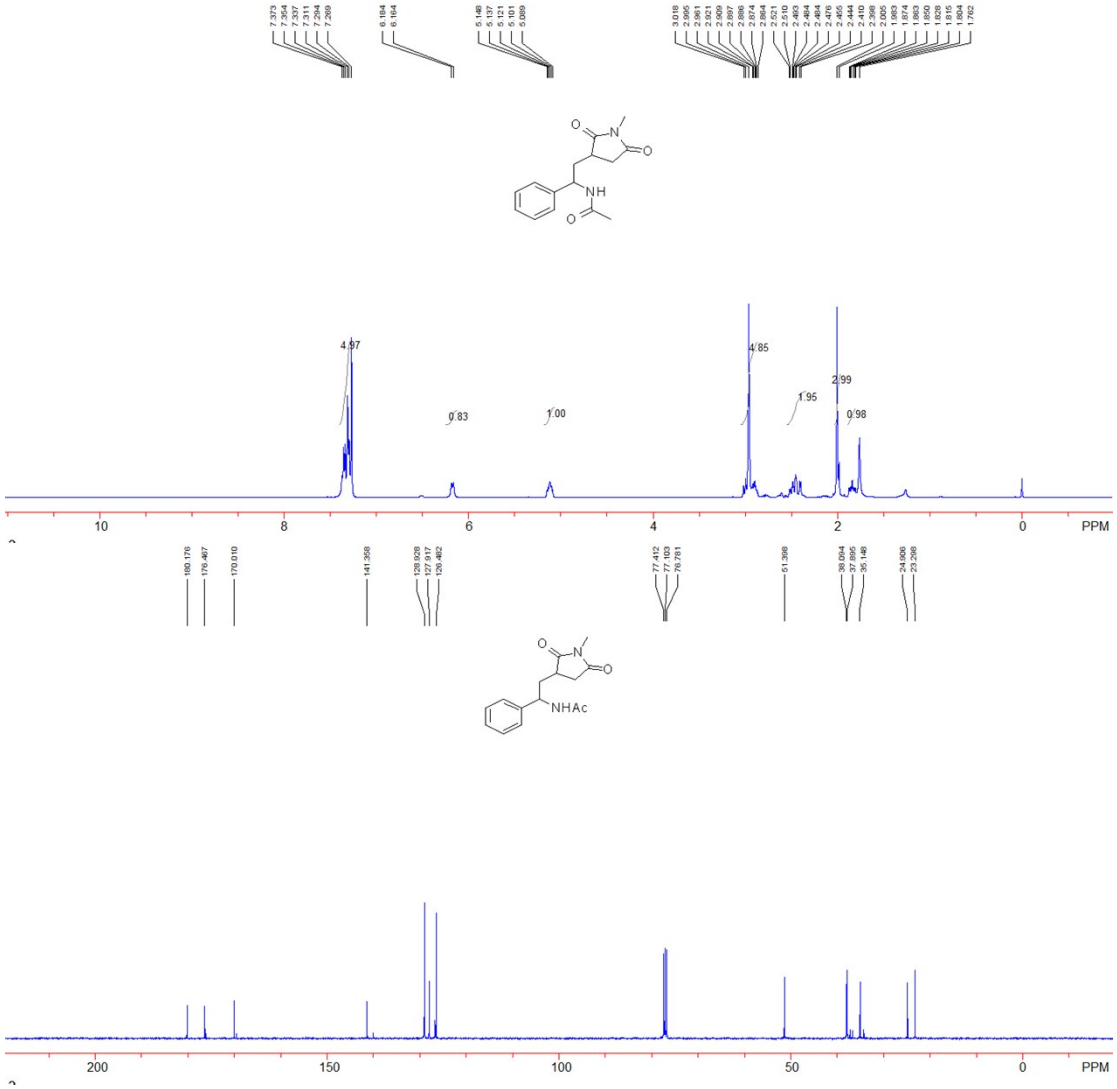
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2.510
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2.456
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1.850
1.835
1.815
1.804
1.762
NH
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0.83
1.00
4.85
1.95
2.99
0.98
10
8
6
4
2
0
PPM
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170.010
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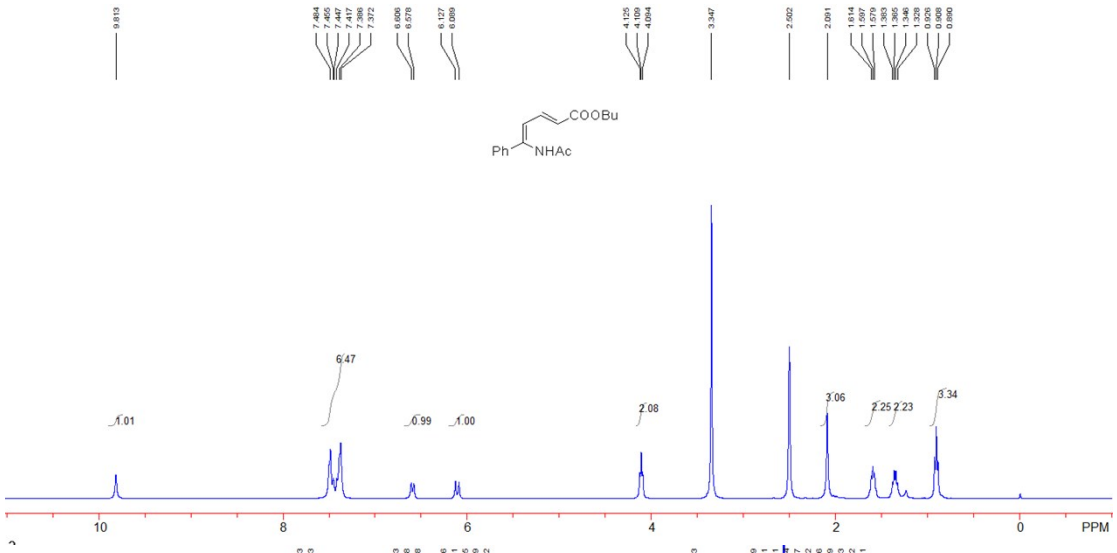
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2.091
1.614
1.597
1.579
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1.326
0.926
0.908
0.890
COOBu
Ph
NHAc
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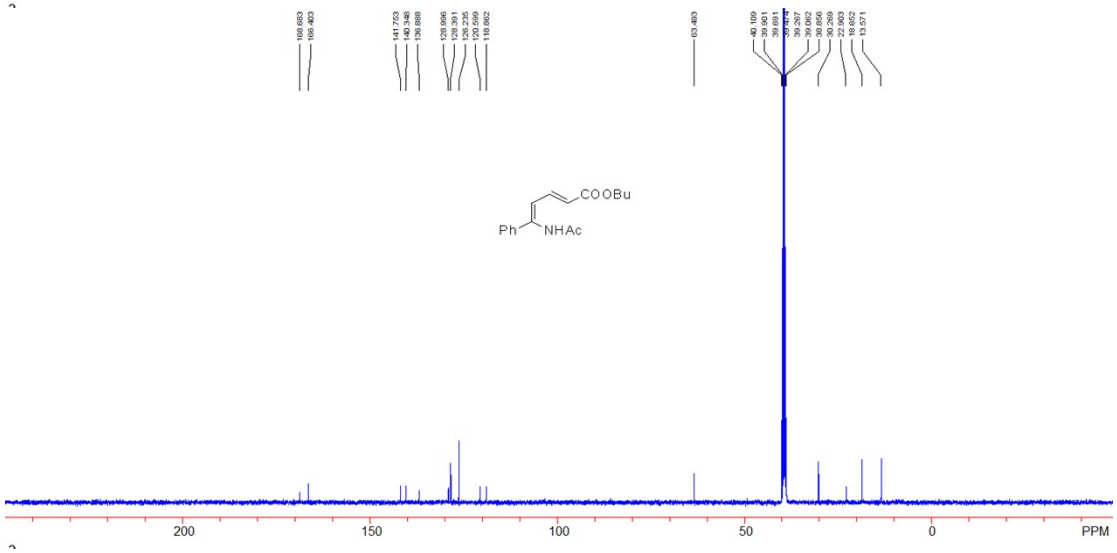
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Ph
NHAc
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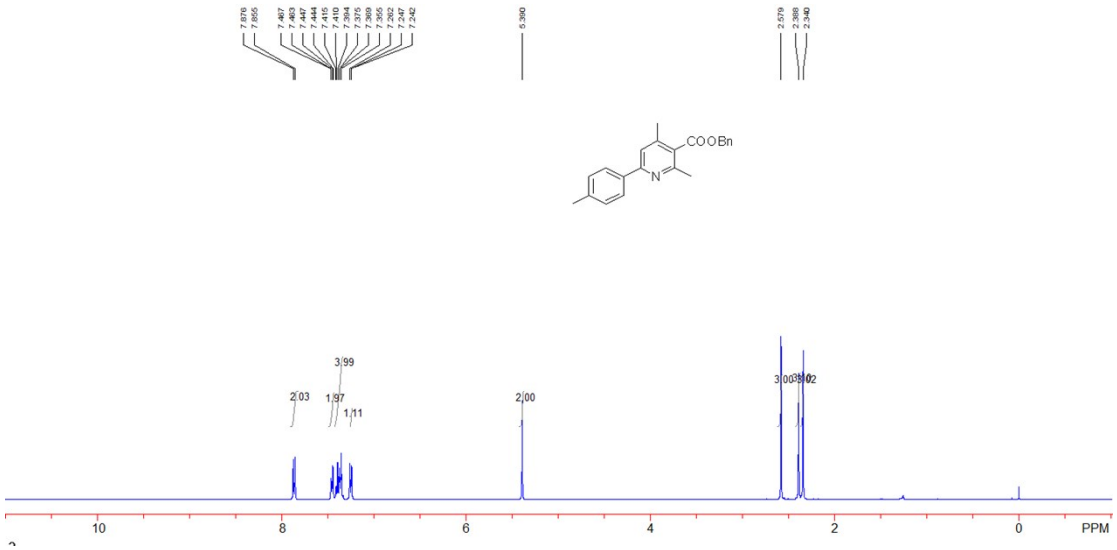
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7.247
7.242
5.390
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2.388
2.340
COOBn
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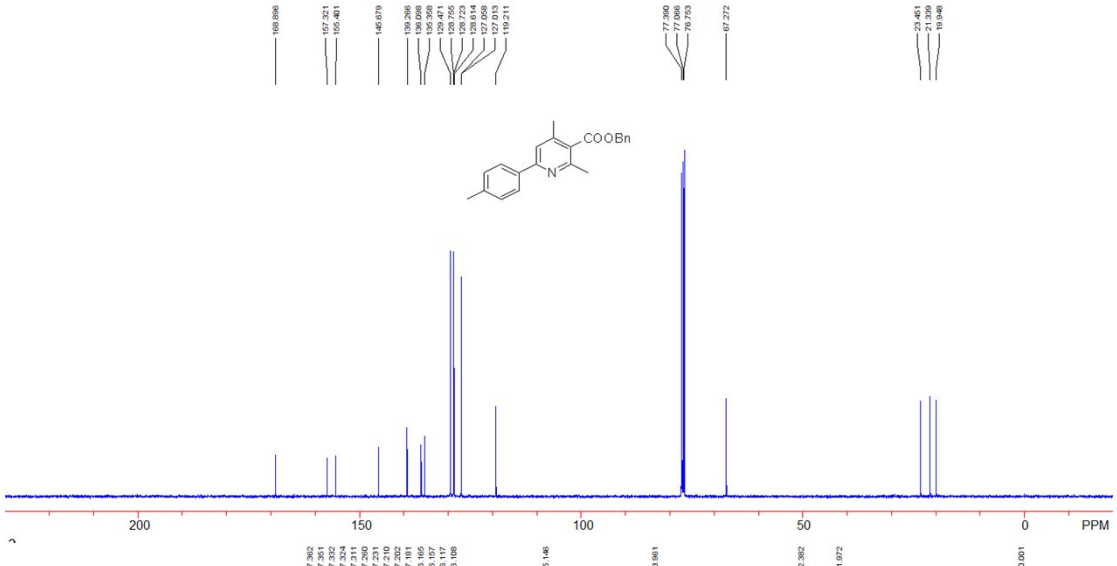
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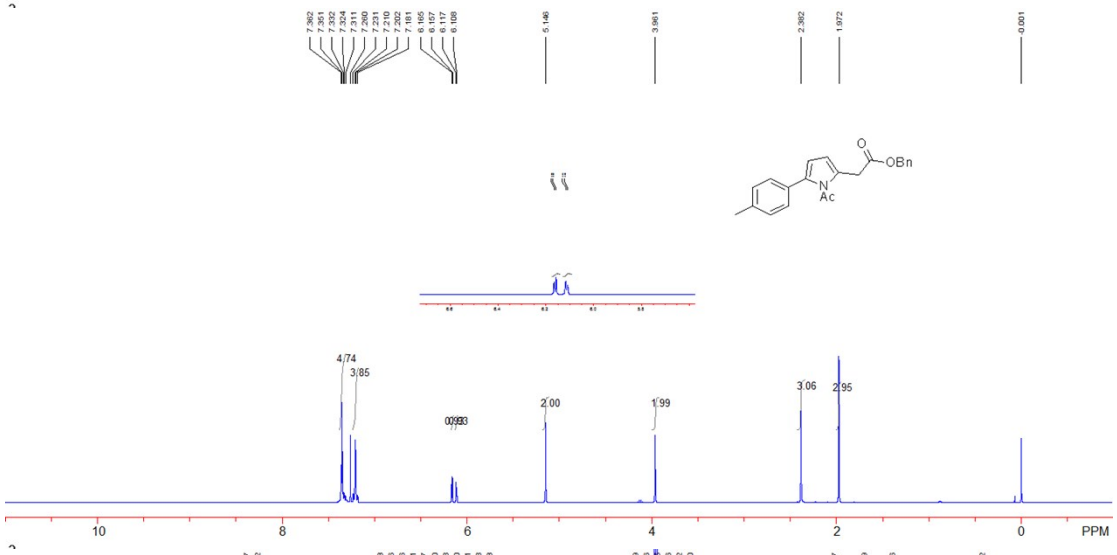
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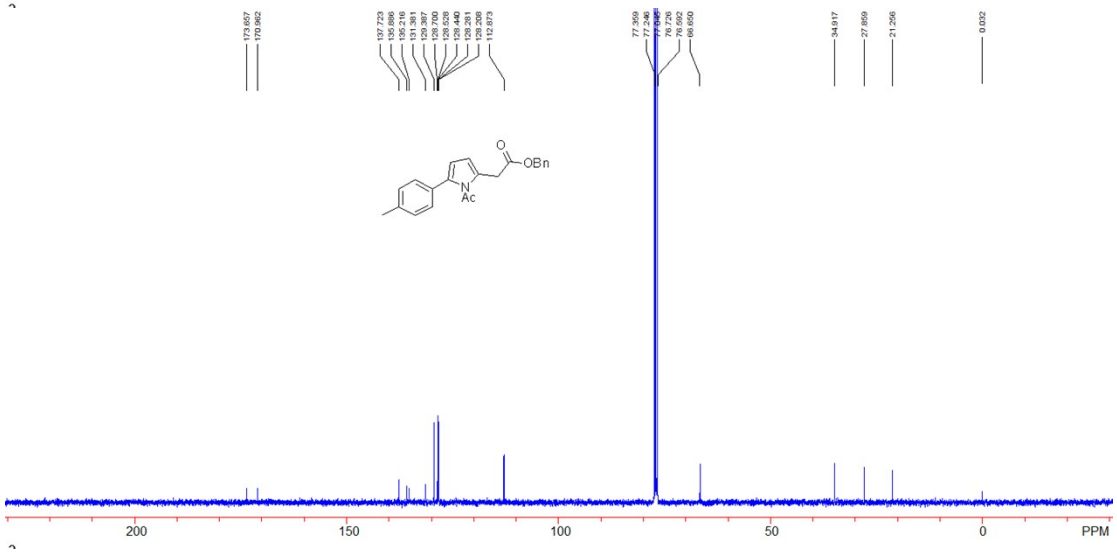
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