

(Supporting Information)

**Breaking Aziridine to Construct Morpholine with  
Gold(I)-Catalyzed Tandem Ring-Opening and  
Cycloisomerization Reaction**

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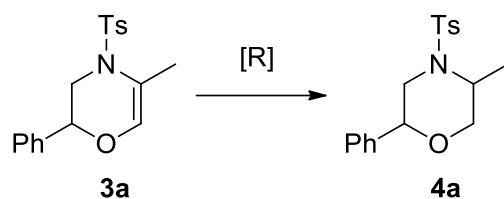
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## 1. Optimization of the Hydrogenation Reaction Conditions <sup>a</sup>

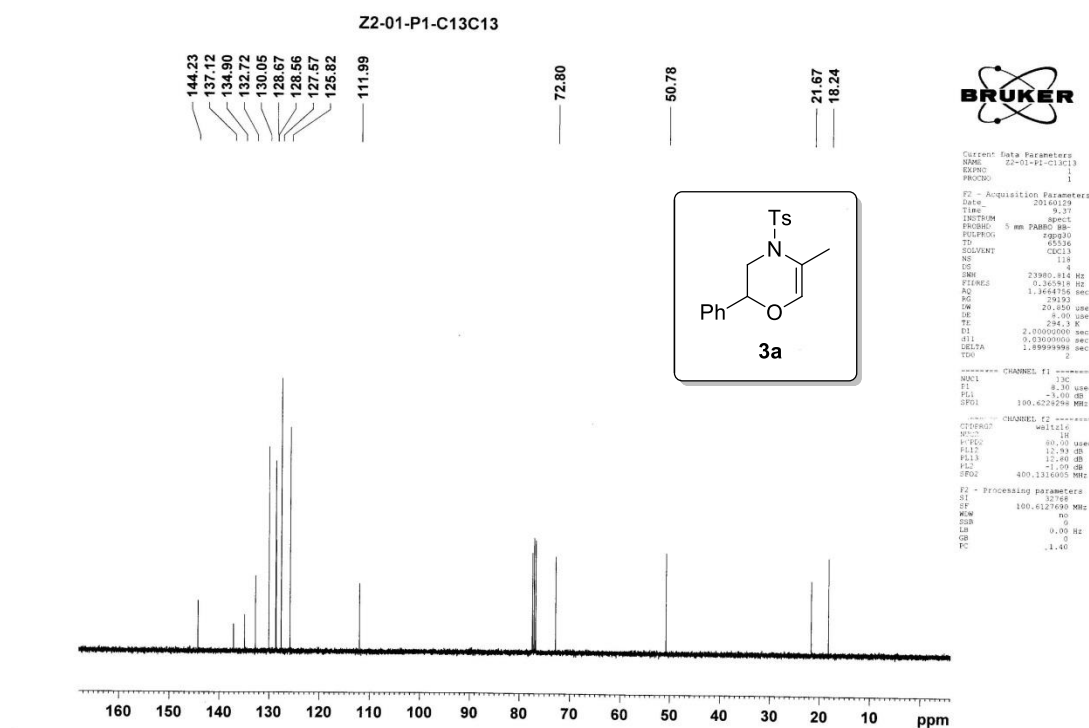
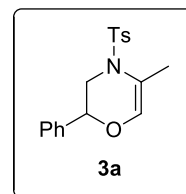
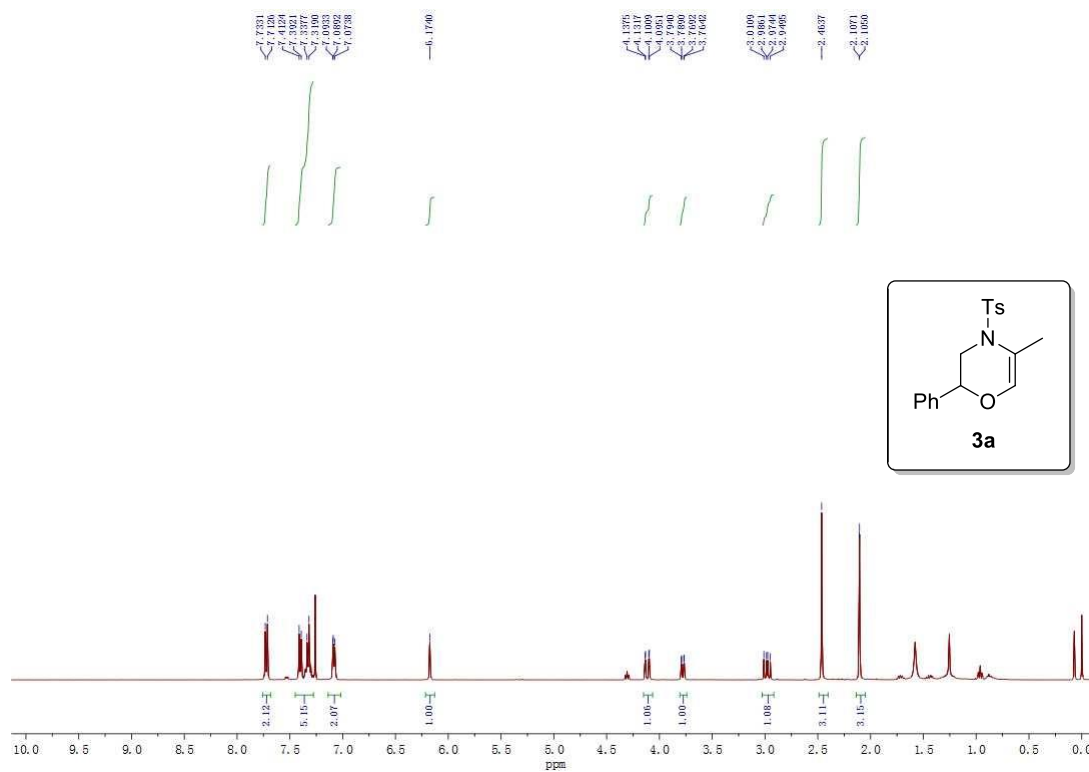


No.	<b>3a</b> /mmol	[R]	Solvent	T/°C	t/h	Yield % <sup>bf</sup>	d.r. <sup>c</sup>
1	0.2	H <sub>2</sub> , Pd/C	EA	25	48	-	-
2	0.2	H <sub>2</sub> , Pd/C	EtOH	25	48	-	-
3	0.2	H <sub>2</sub> , Pd/C	EtOH	45	18	86	3:1
4 <sup>d</sup>	0.2	Hantzsch ester + 0.2 eq TsOH	PhMe	25	18	-	-
5 <sup>d</sup>	0.2	Hantzsch ester + 0.2 eq TsOH	PhMe	40	18	-	-
6 <sup>d</sup>	0.2	Hantzsch ester + 0.2 eq TsOH	PhMe	60	18	-	-
7 <sup>d</sup>	0.2	Hantzsch ester + 1.2 eq TsOH	THF	40	18	-	-
8 <sup>d</sup>	0.2	Hantzsch ester + 1.2 eq TsOH	PhMe	100	18	-	-
9 <sup>d</sup>	0.2	Hantzsch ester + 1.2 eq AcOH	PhMe	100	18	-	-
10 <sup>d</sup>	0.2	Hantzsch ester	AcOH	40	18	-	-
11 <sup>d</sup>	0.2	Hantzsch ester + 200 mg SiO <sub>2</sub>	PhMe	100	18	-	-
12 <sup>d</sup>	0.2	Hantzsch ester + 1 eq Pd/C	EtOH	80	18	-	-
13 <sup>d</sup>	0.2	NaBHET <sub>3</sub> + 0.2 eq TsOH	PhMe	25	18	-	-
14 <sup>d</sup>	0.2	NaBH <sub>4</sub> + 1 eq AcOH	MeOH	25	18	-	-
15 <sup>d</sup>	0.2	NaBHET <sub>3</sub>	PhMe	25	18	-	-
16	0.2	H <sub>2</sub> , Pd/C	EA	45	18	-	-
17 <sup>e</sup>	0.2	H <sub>2</sub> , Pd/C	THF	45	18	43	12:1
18	0.2	H <sub>2</sub> , Pd/C	THF	45	18	-	-
19	0.2	H <sub>2</sub> , Pd/C	THF	60	18	-	-
20	0.2	H <sub>2</sub> , Pd/C	THF + 0.4 mmol H <sub>2</sub> O	45	18	-	-

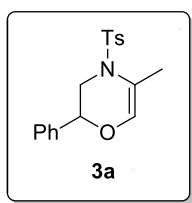
21	0.2	H <sub>2</sub> , Pd/C	THF + 4 mmol H <sub>2</sub> O	45	18	64	5:1
22	0.2	H <sub>2</sub> , Pd/C	THF + 0.4 mmol t-BuOH	45	18	-	-
23	0.2	H <sub>2</sub> , Pd/C	THF + 1 mmol t-BuOH	45	18	-	-
24	0.2	H <sub>2</sub> , Pd/C	THF + 1 mmol i-PrOH	45	18	-	-
25	0.2	H <sub>2</sub> , Pd/C	THF + 1 mmol EtOH	45	18	-	-
26	0.2	H <sub>2</sub> , Pd/C	THF + 0.2 mmol AcOH	45	18	-	-
<b>27</b>	<b>0.2</b>	<b>H<sub>2</sub>, Pd/C</b>	<b>t-BuOH</b>	<b>45</b>	<b>18</b>	<b>95</b>	<b>16:1</b>
28	0.2	H <sub>2</sub> , Pd/C	THF : t-BuOH = 3:1	45	18	65	>95:5
29	0.2	H <sub>2</sub> , Pd/C	THF : EtOH = 10:1	45	18	92	10:1

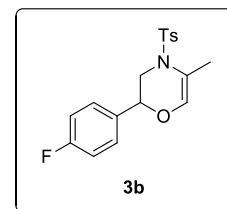
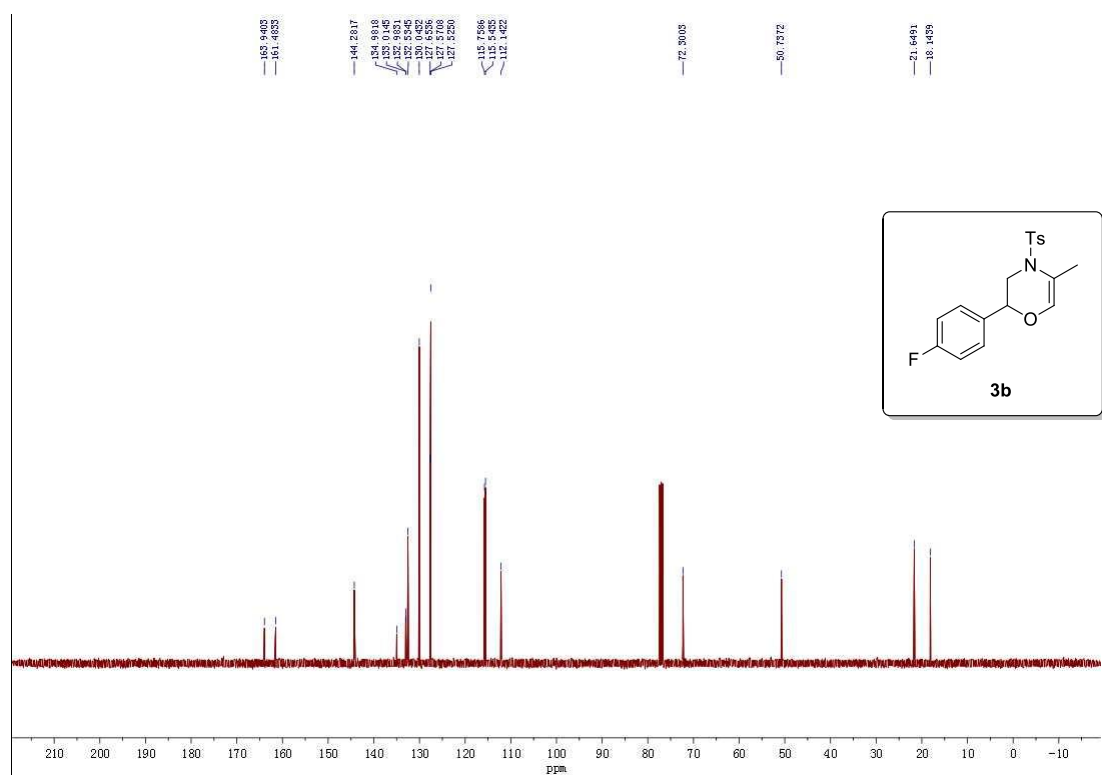
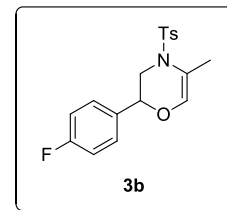
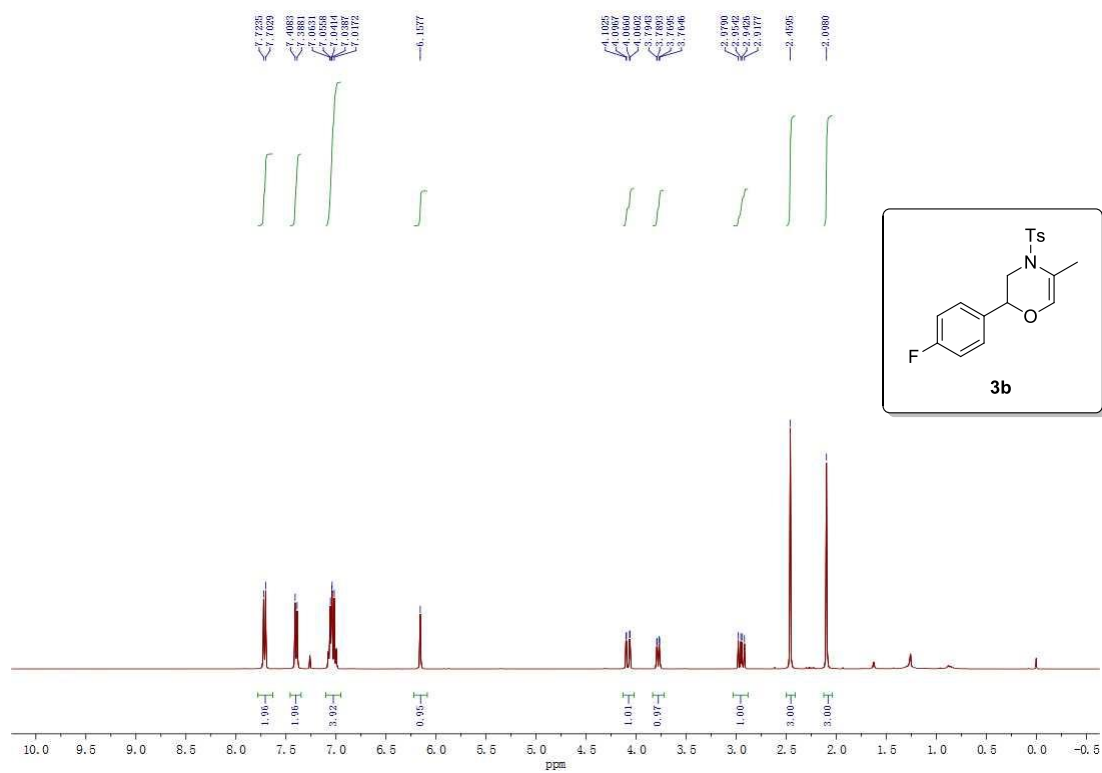
<sup>a</sup> When using H<sub>2</sub> as reductant, the condition is: **3a** (0.2 mmol), H<sub>2</sub> (1 atm), Pd/C (0.2 mmol), solvent (3 ml); When using other reductant, the condition is: A (0.2 mmol), [R] (0.4 mmol), solvent (3 ml). <sup>b</sup> Isolated yield. <sup>c</sup> diastereomer ratio determined by <sup>1</sup>H NMR. <sup>d</sup> Under N<sub>2</sub> atmosphere. <sup>e</sup> Exposed in air unwittingly. <sup>f</sup> '-' indicates no reaction happened.

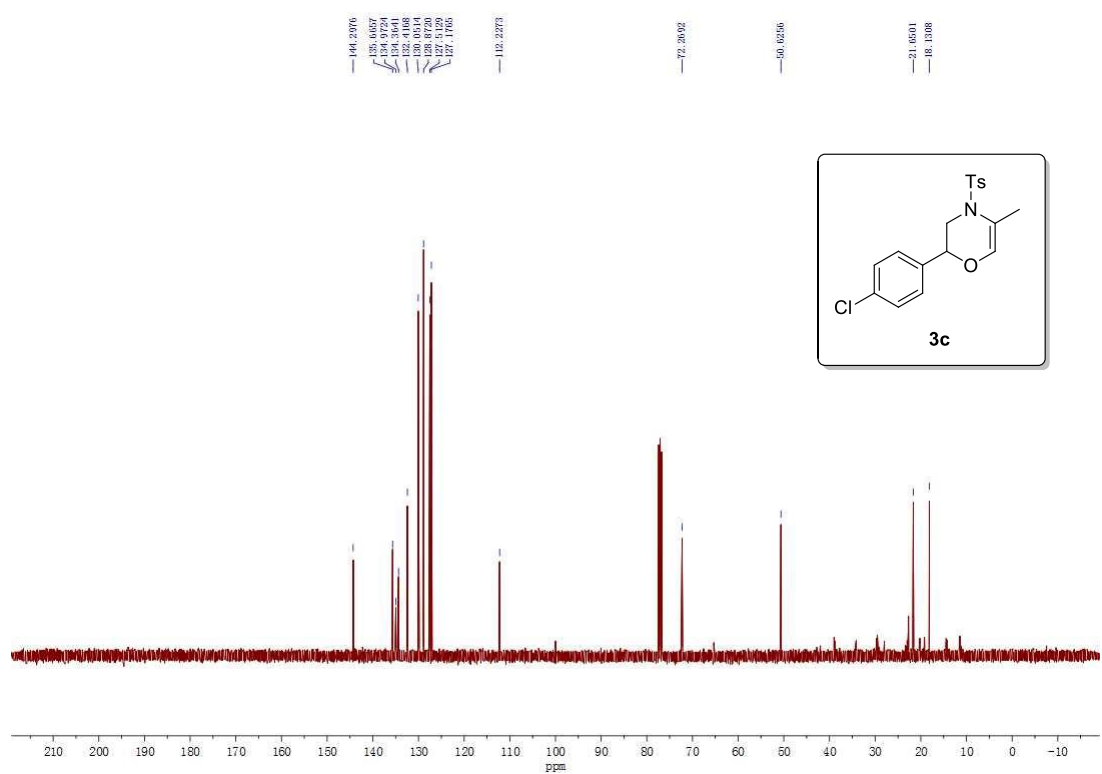
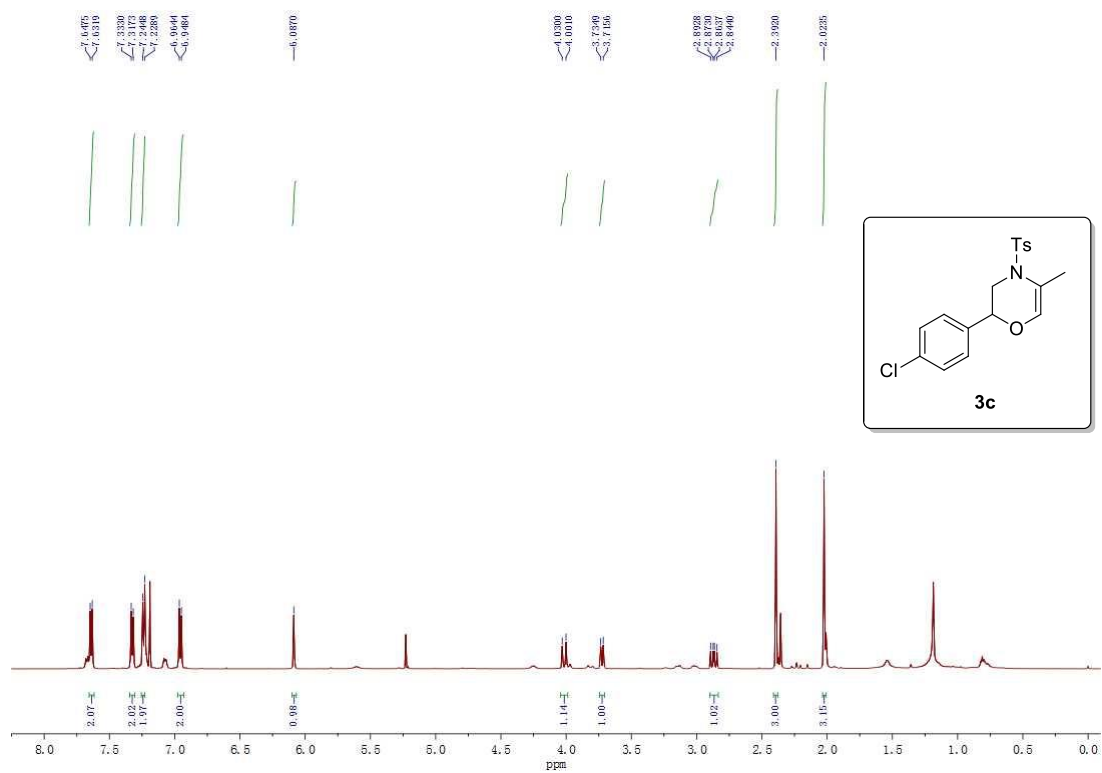
## 2. <sup>1</sup>H NMR and <sup>13</sup>C NMR Spectra of Products

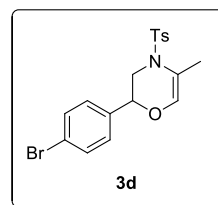
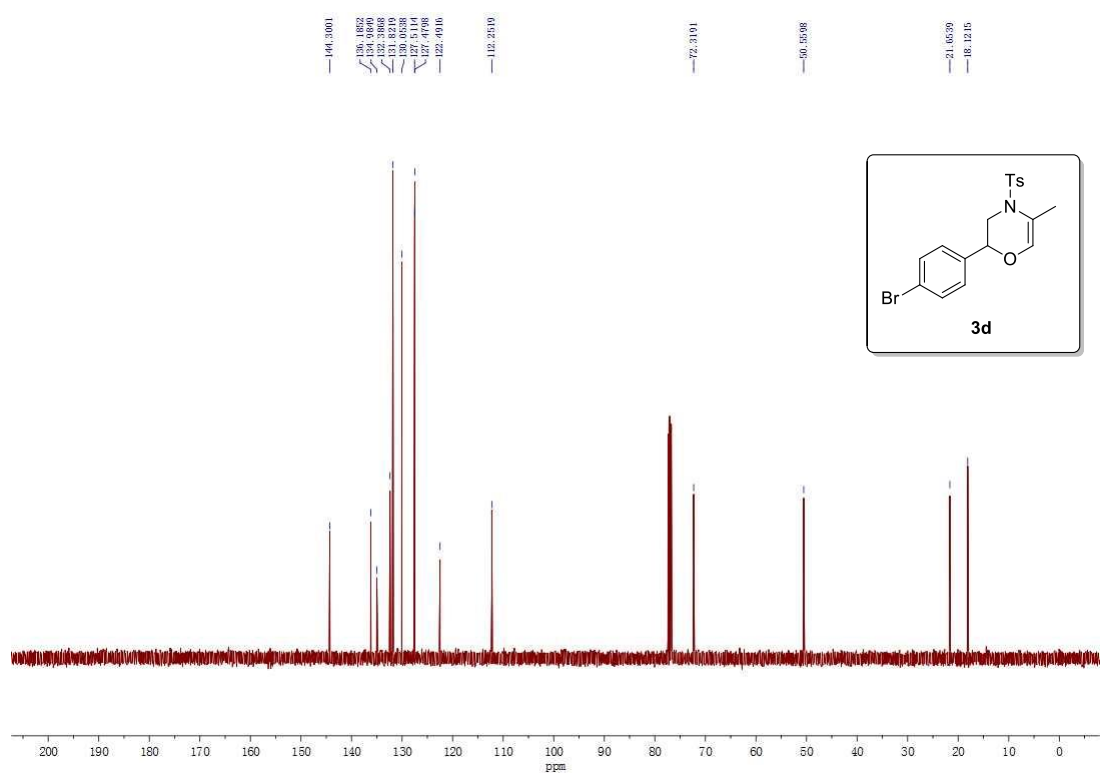
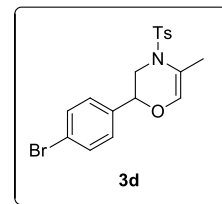
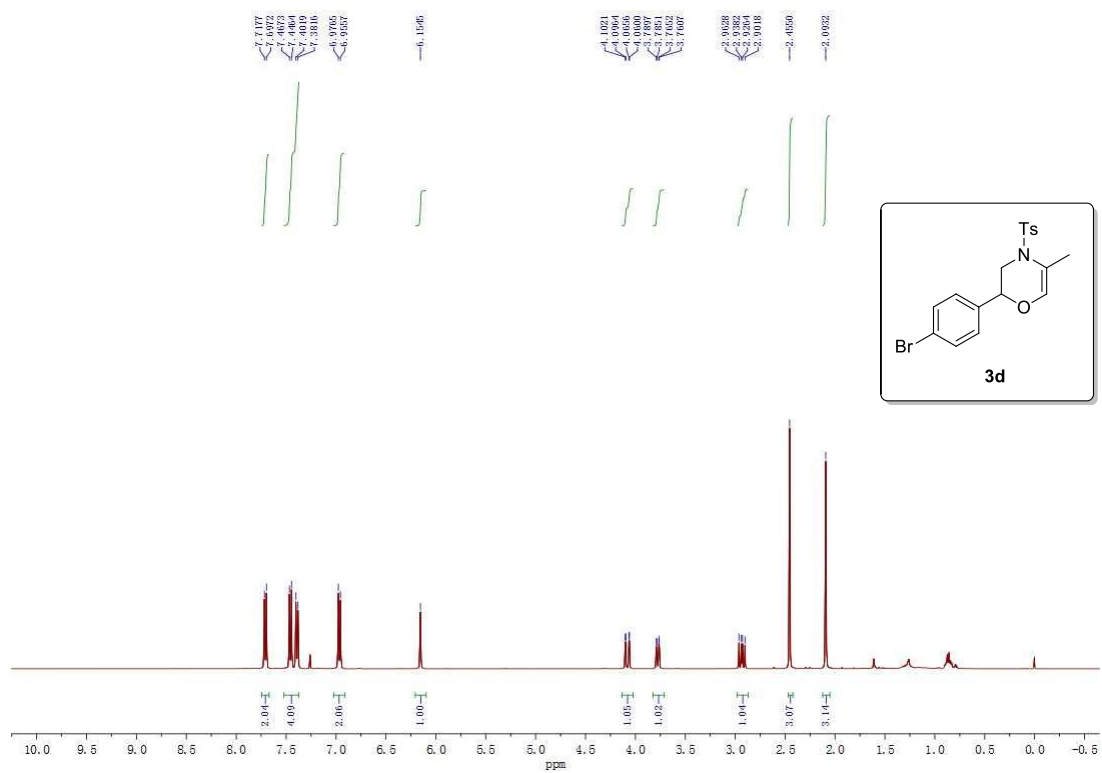


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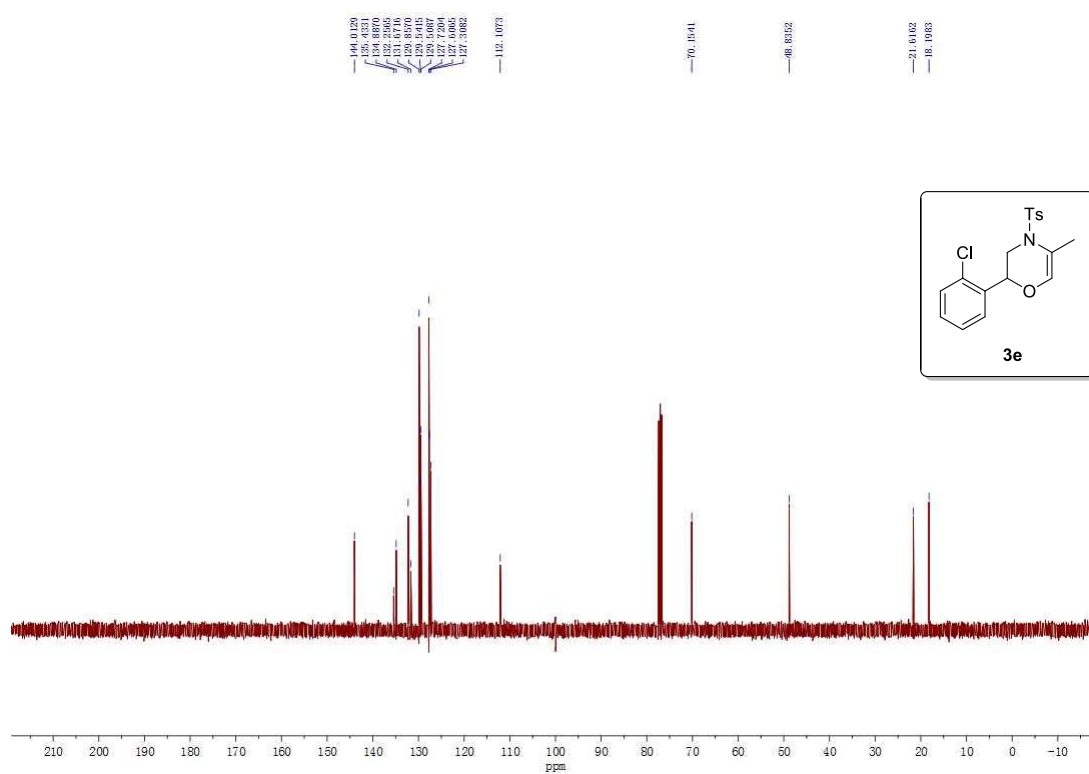
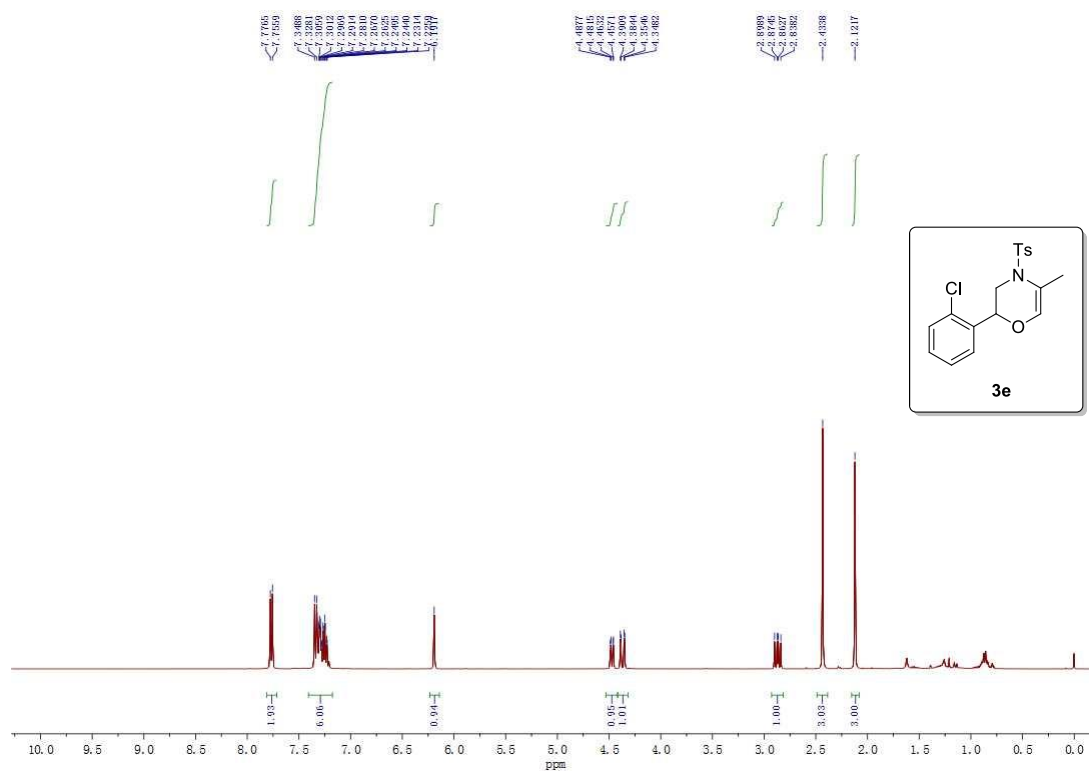


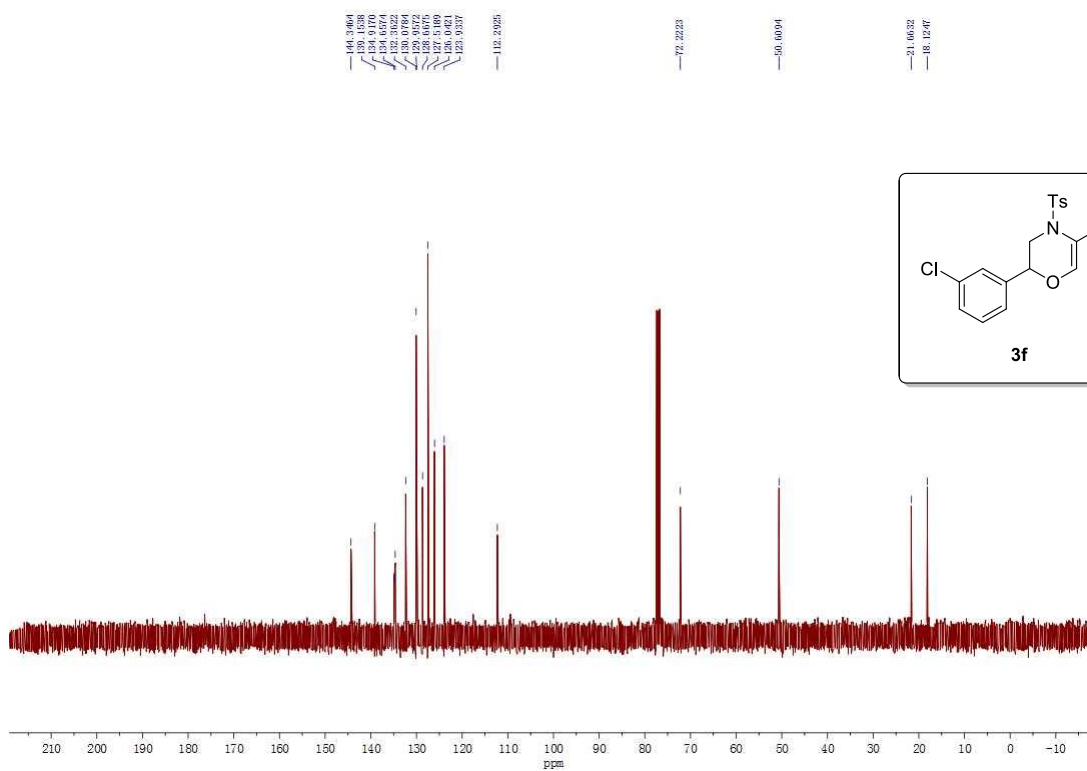
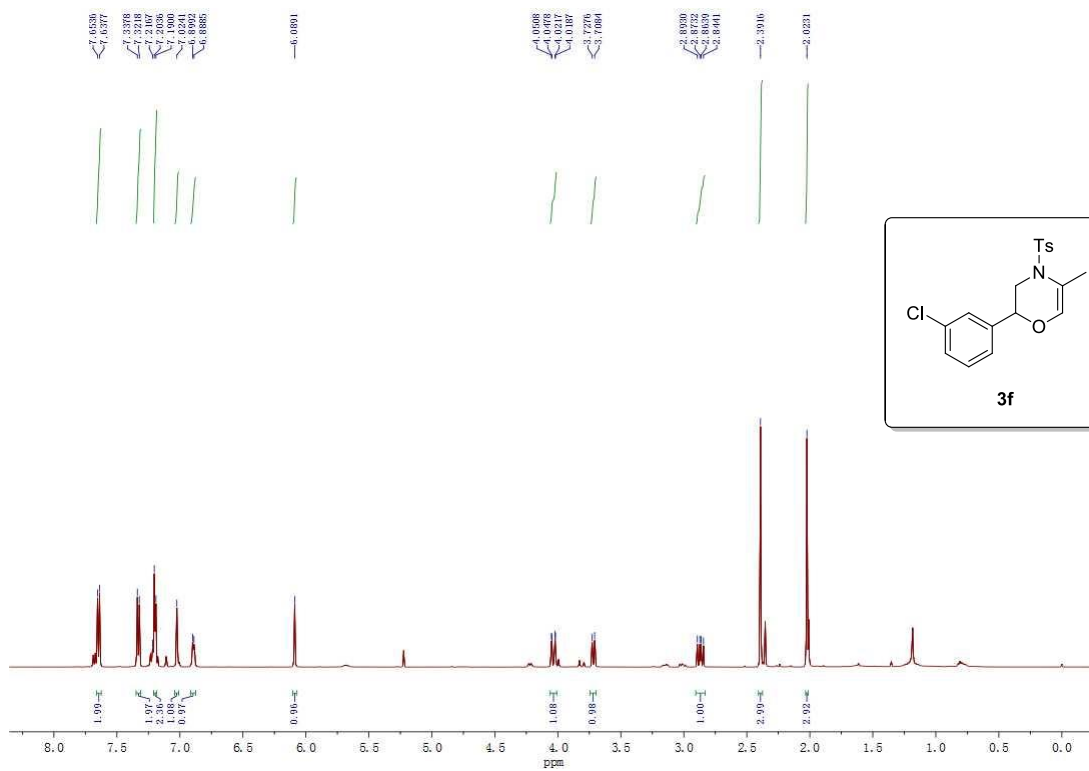


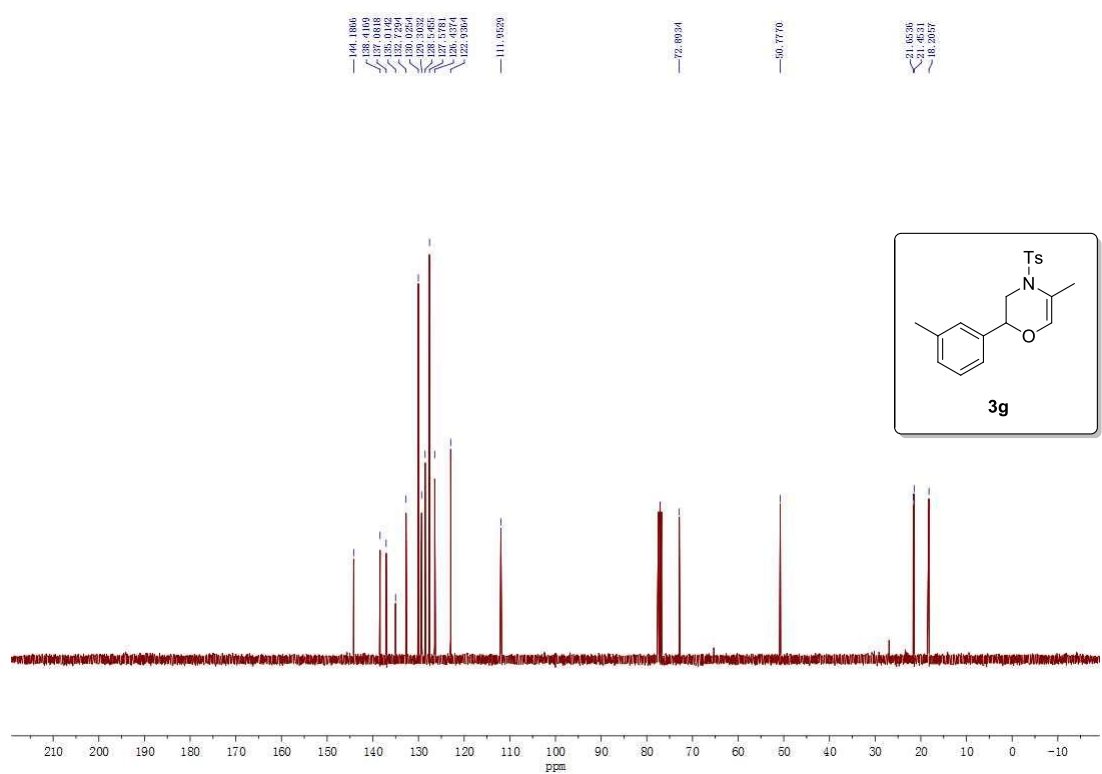
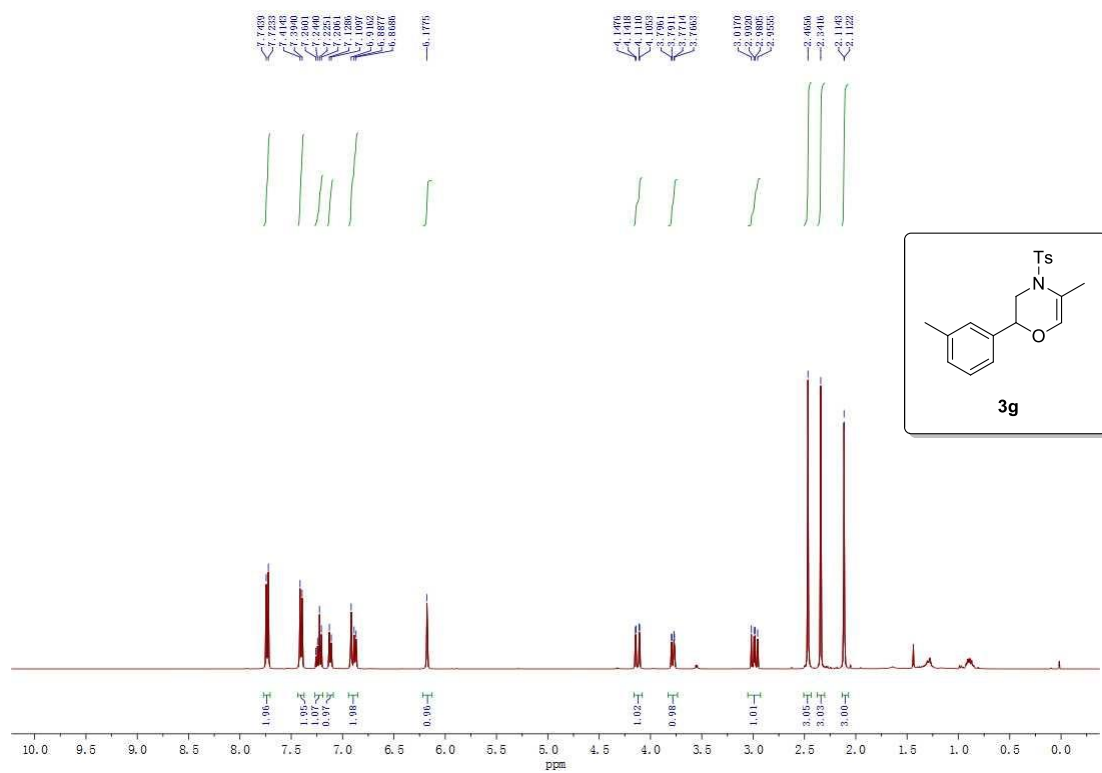




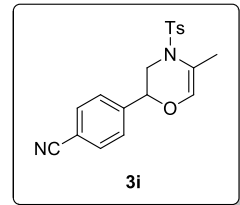
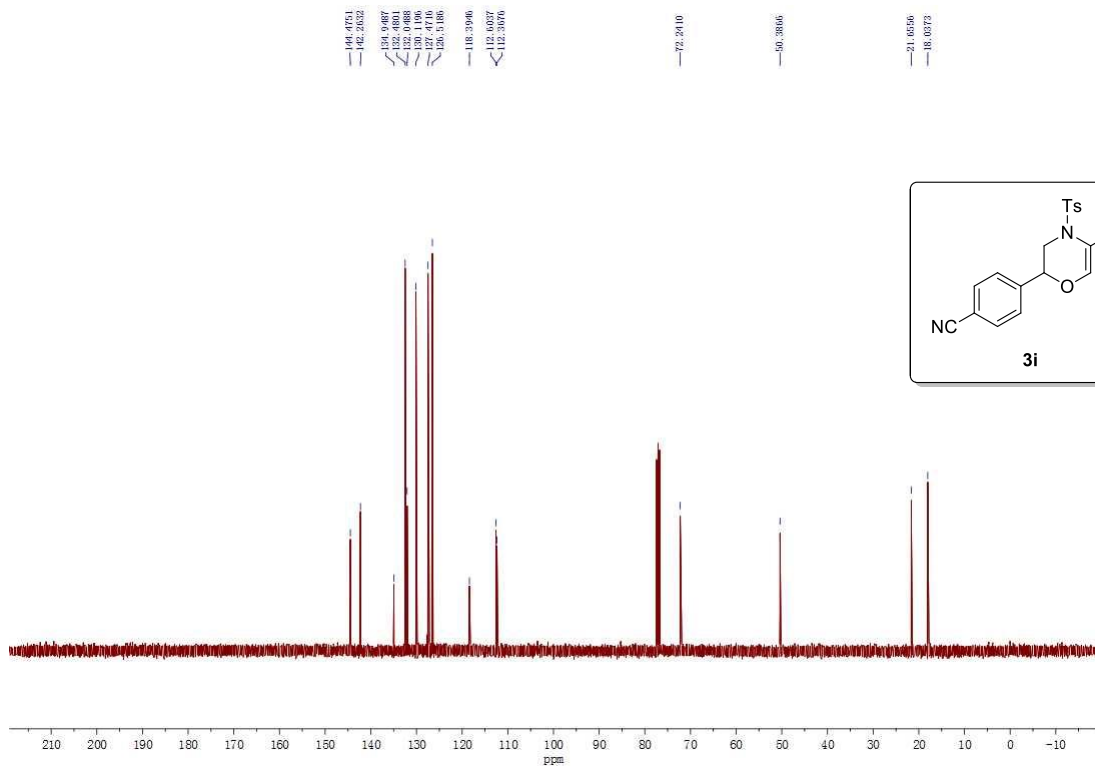
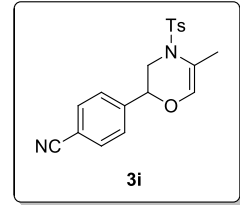
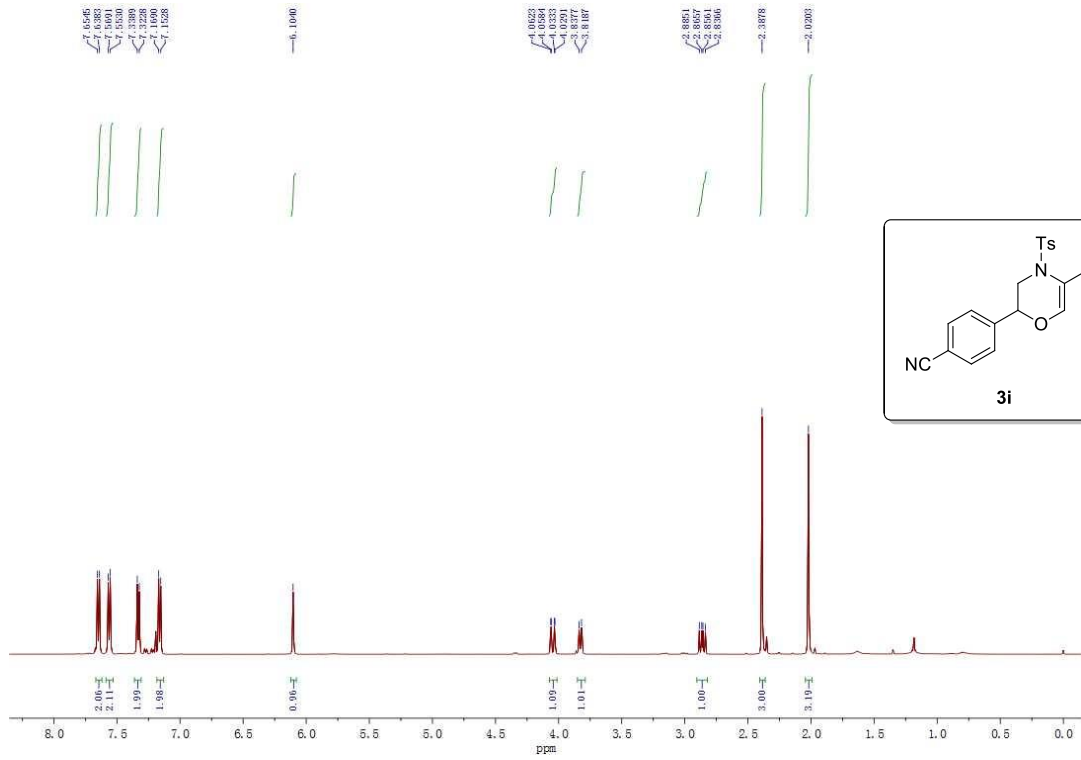


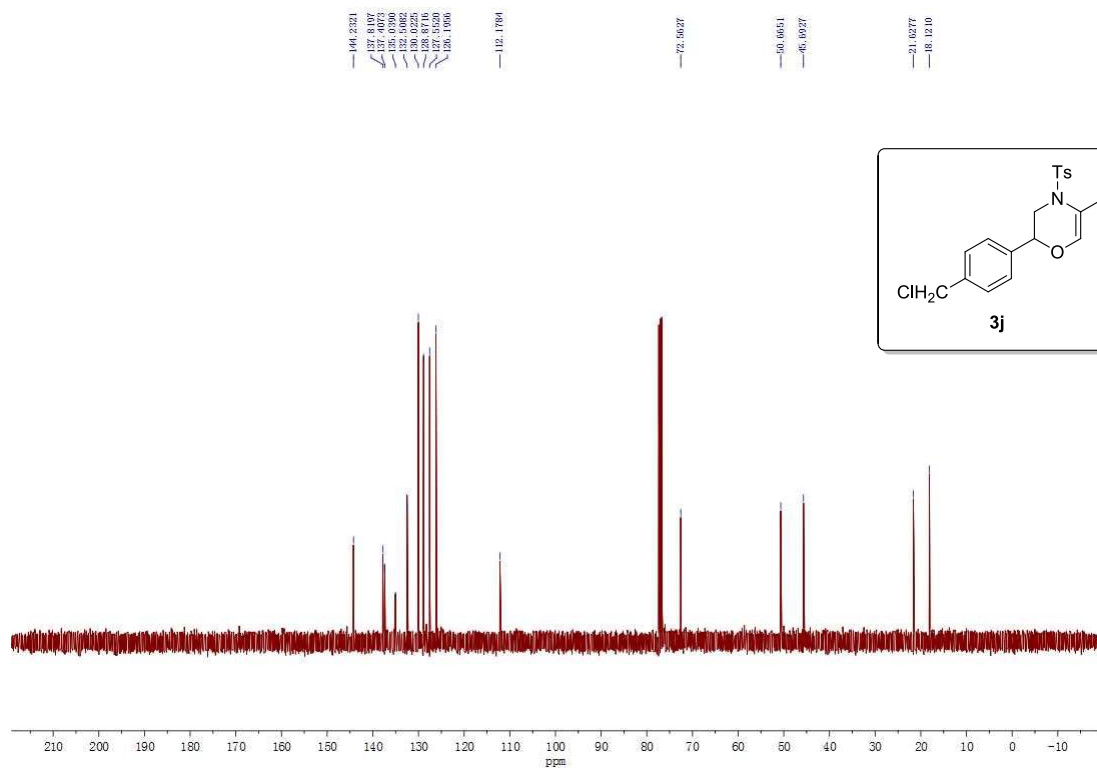
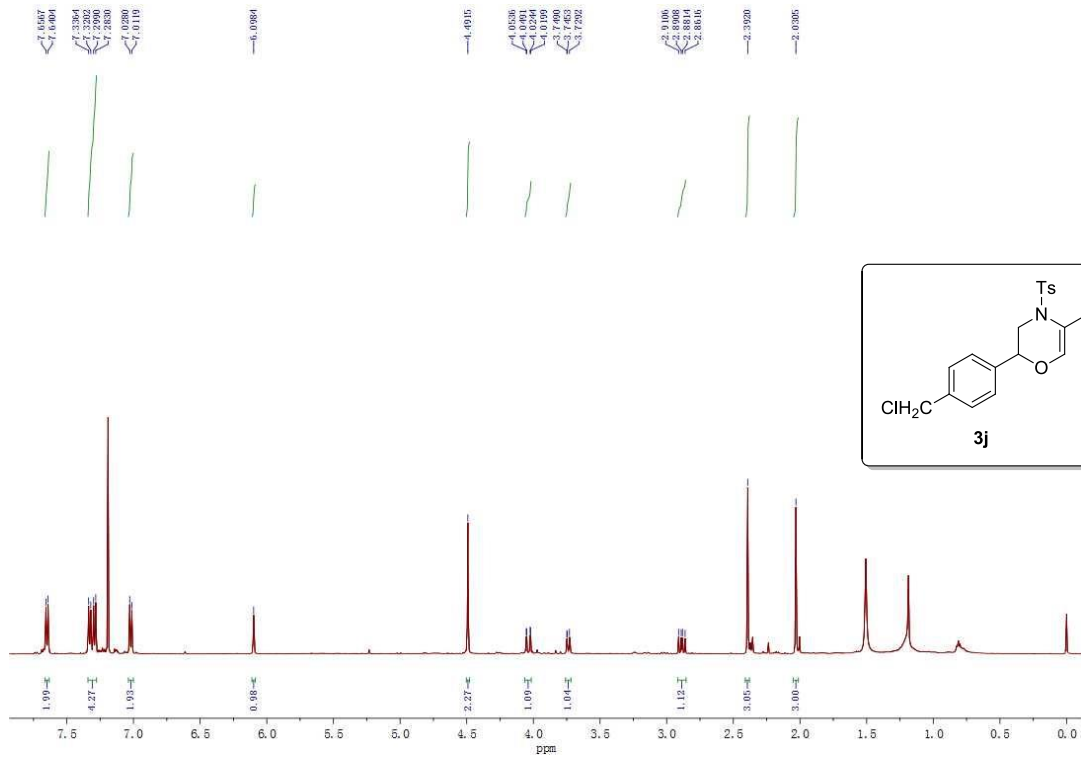


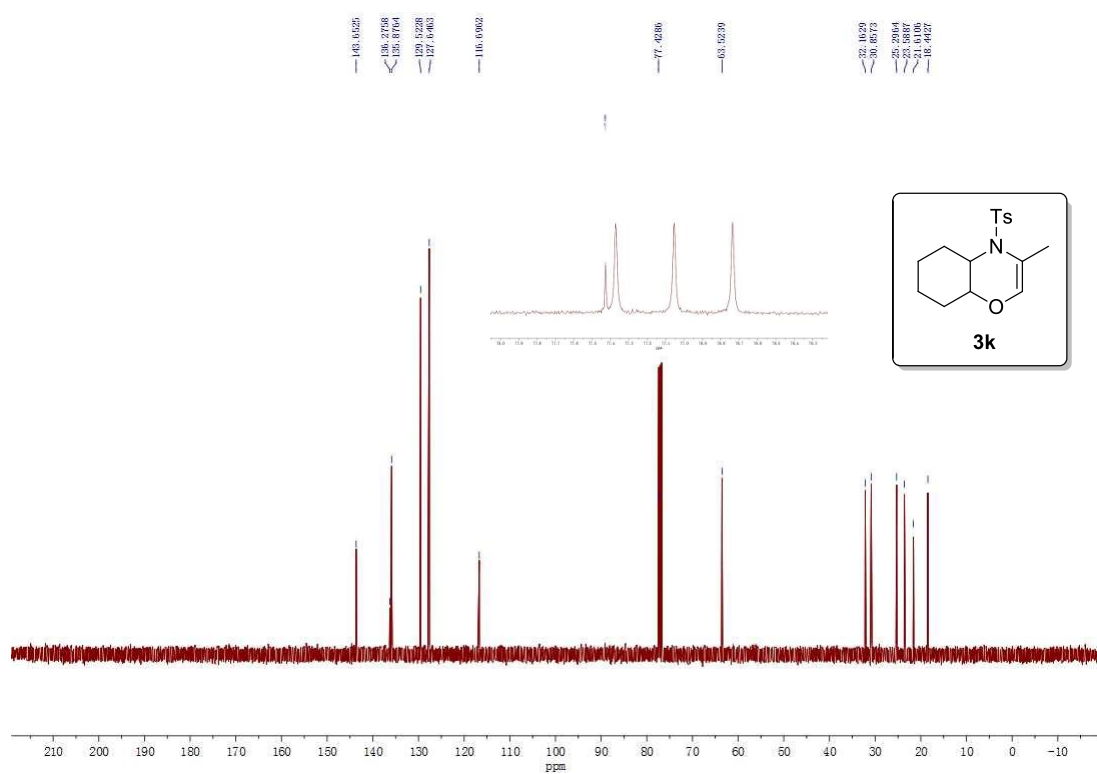
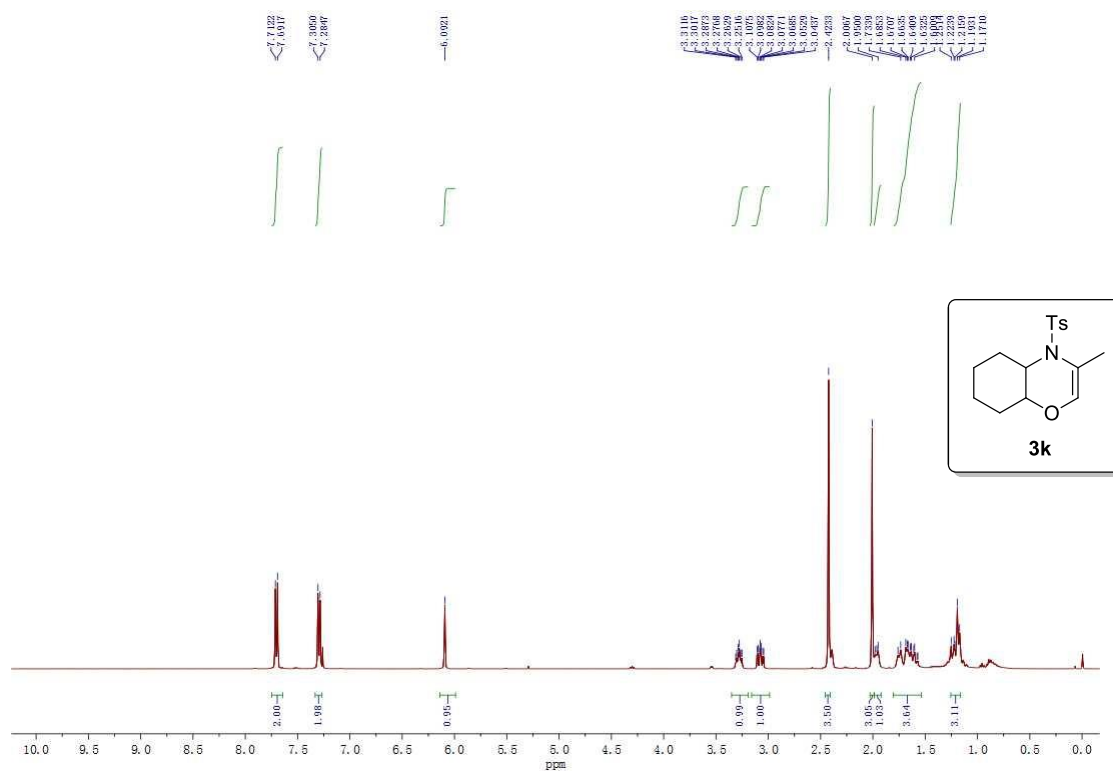






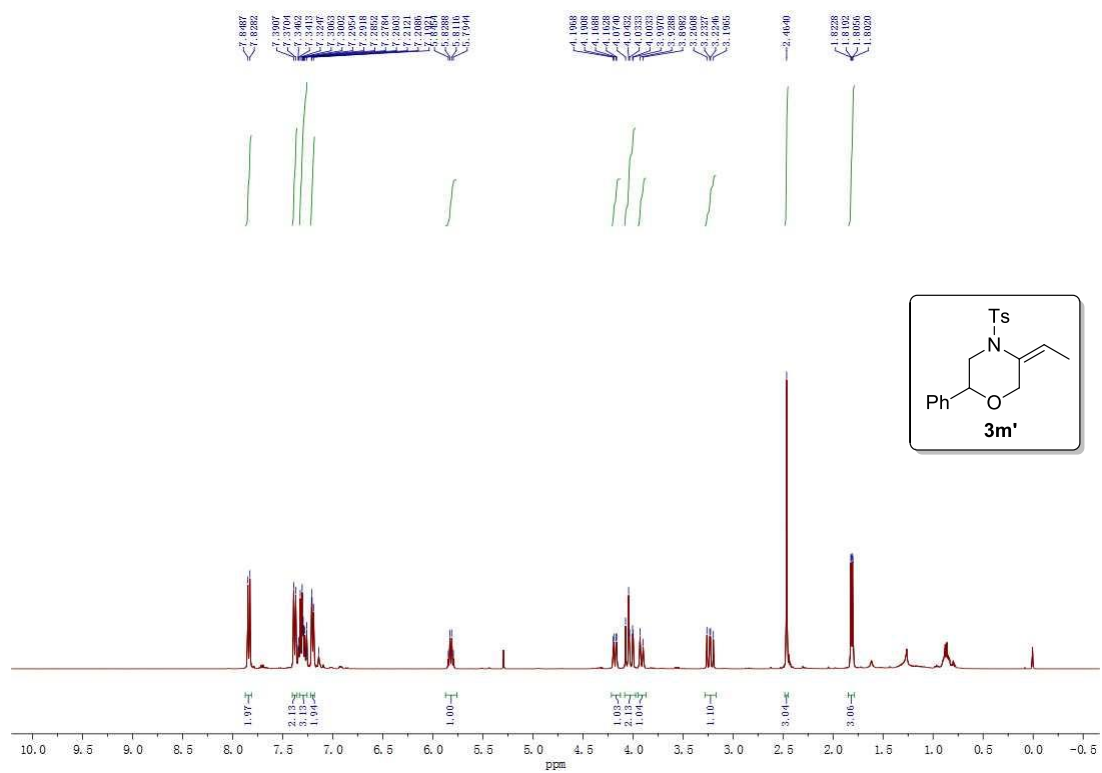


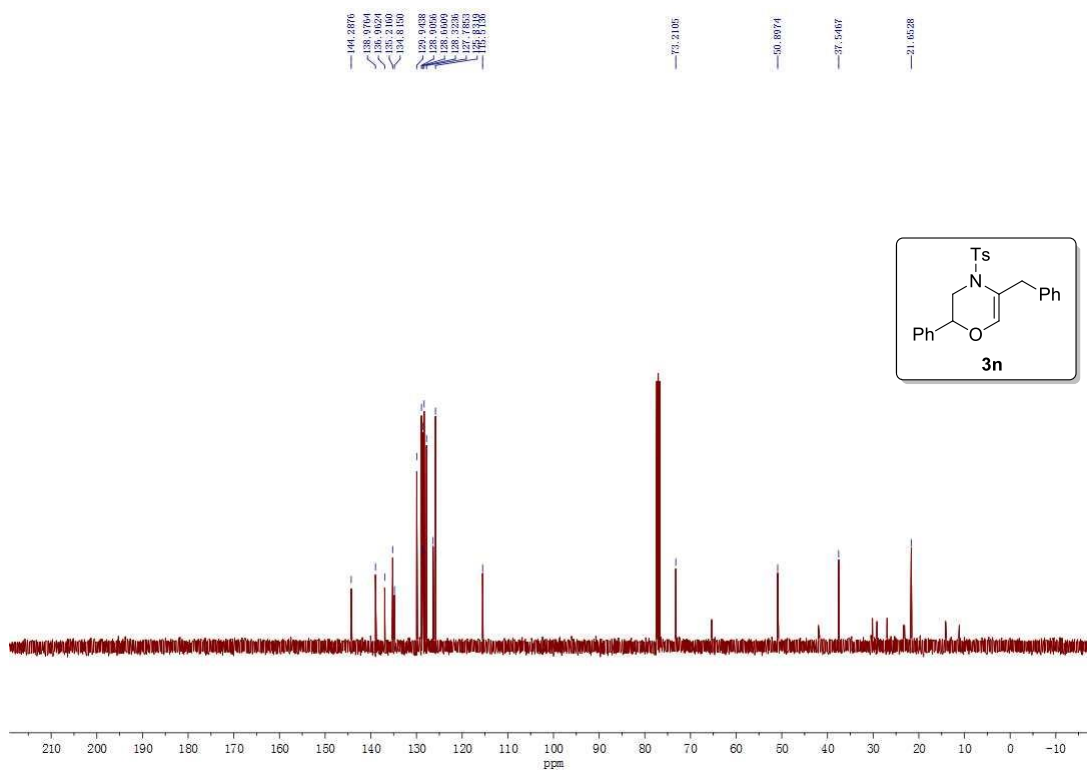
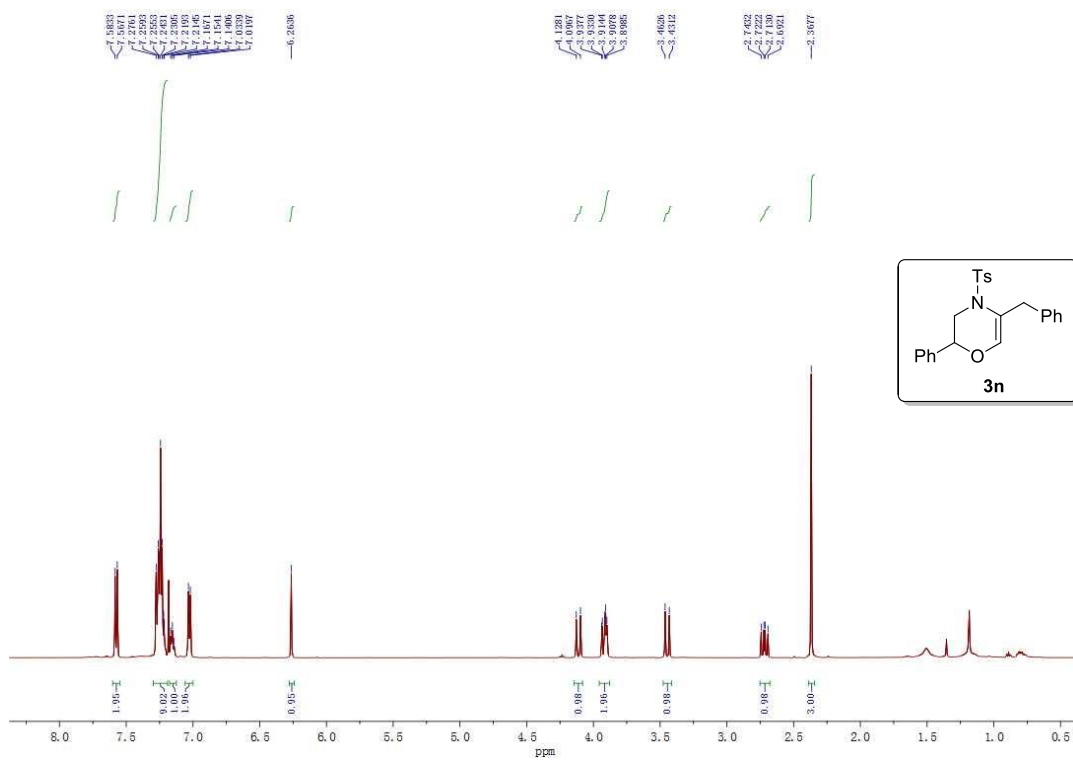


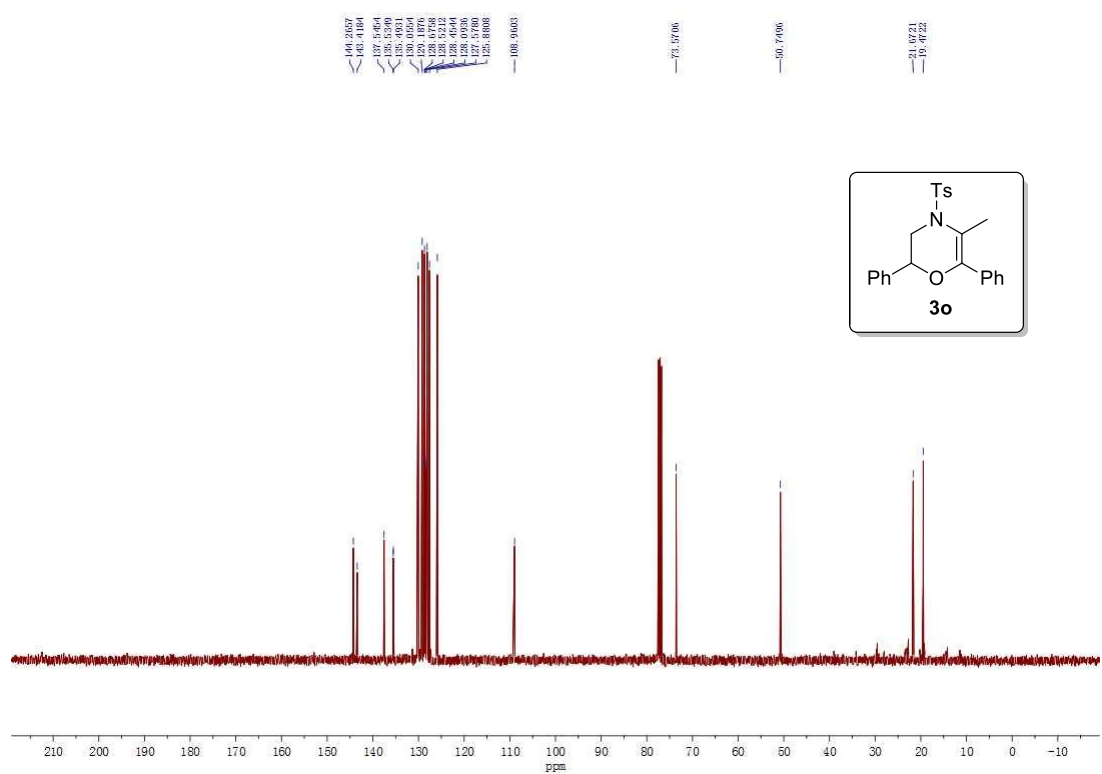
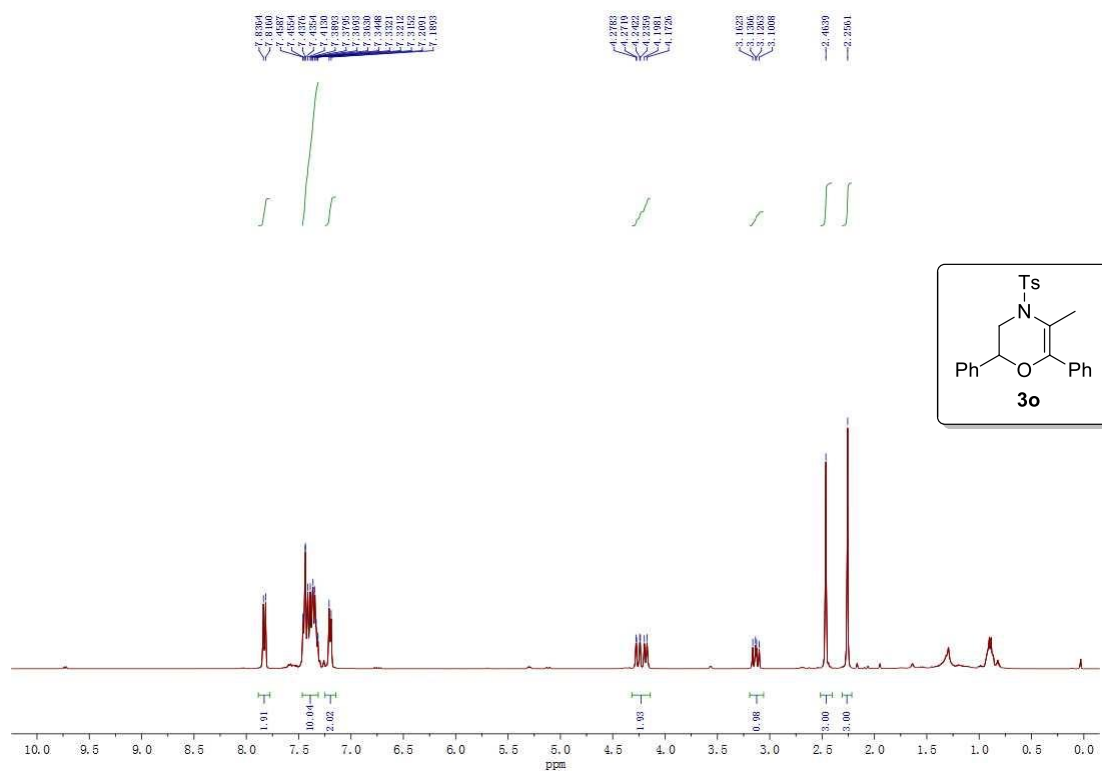


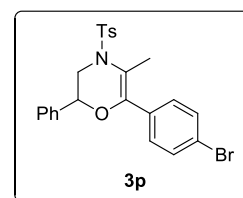
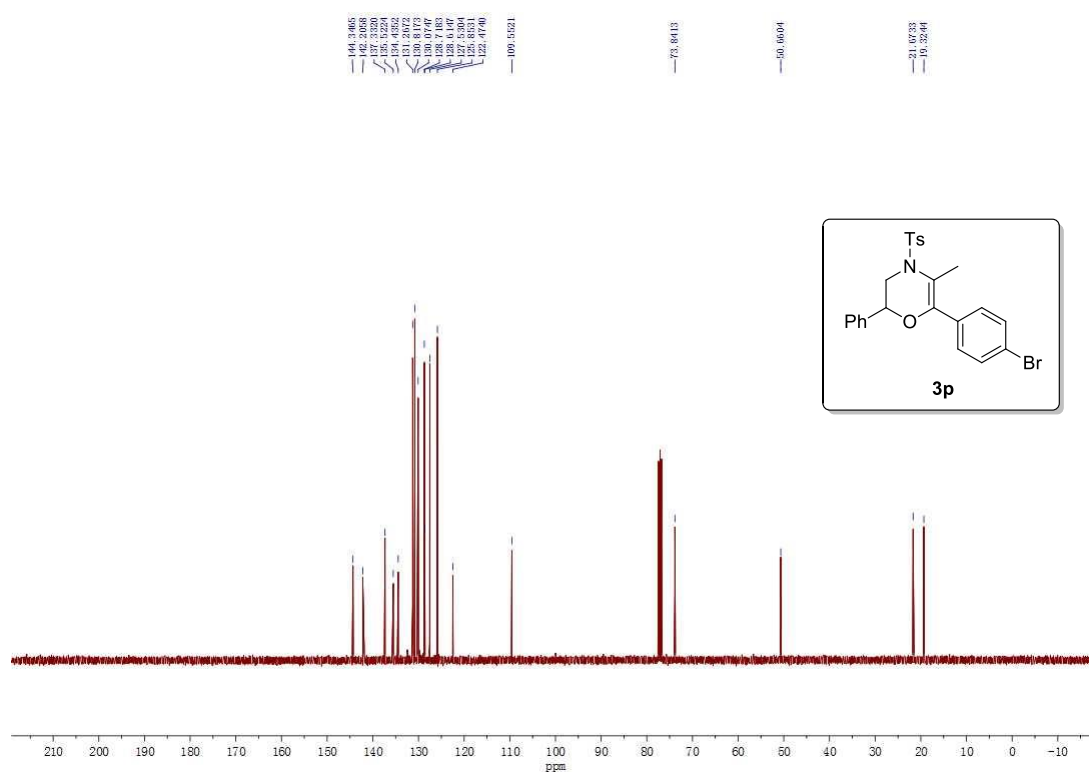
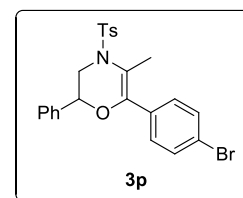
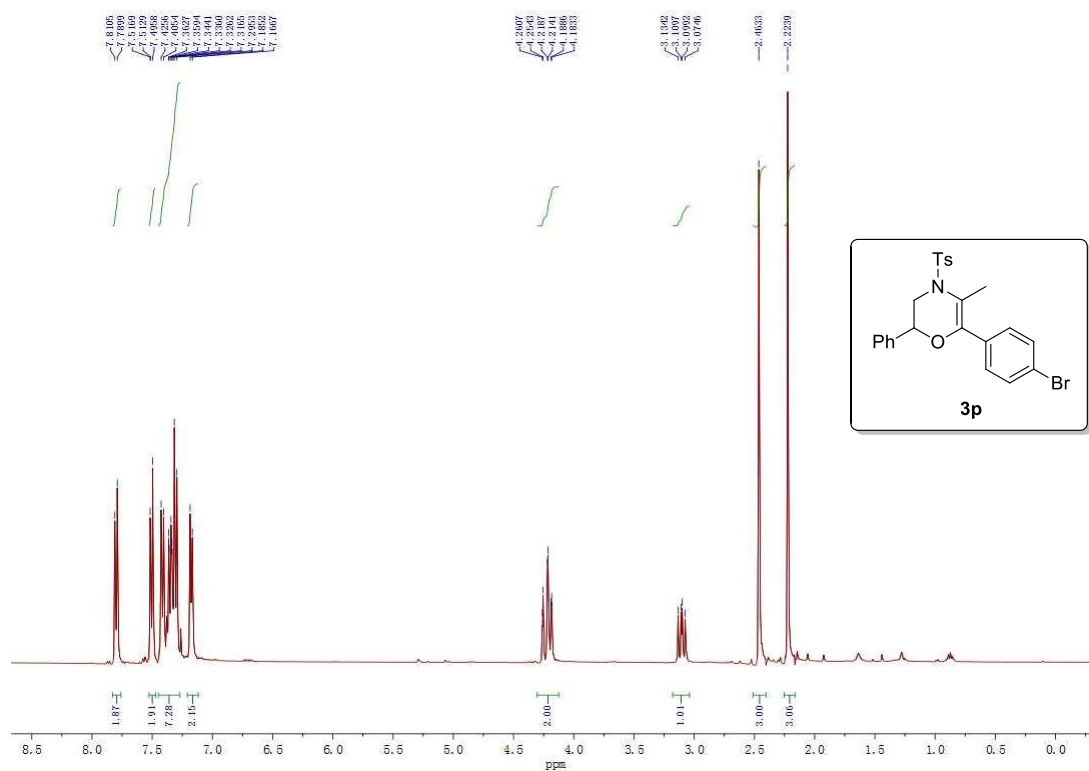


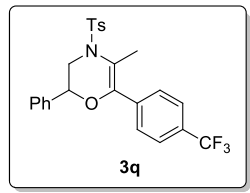
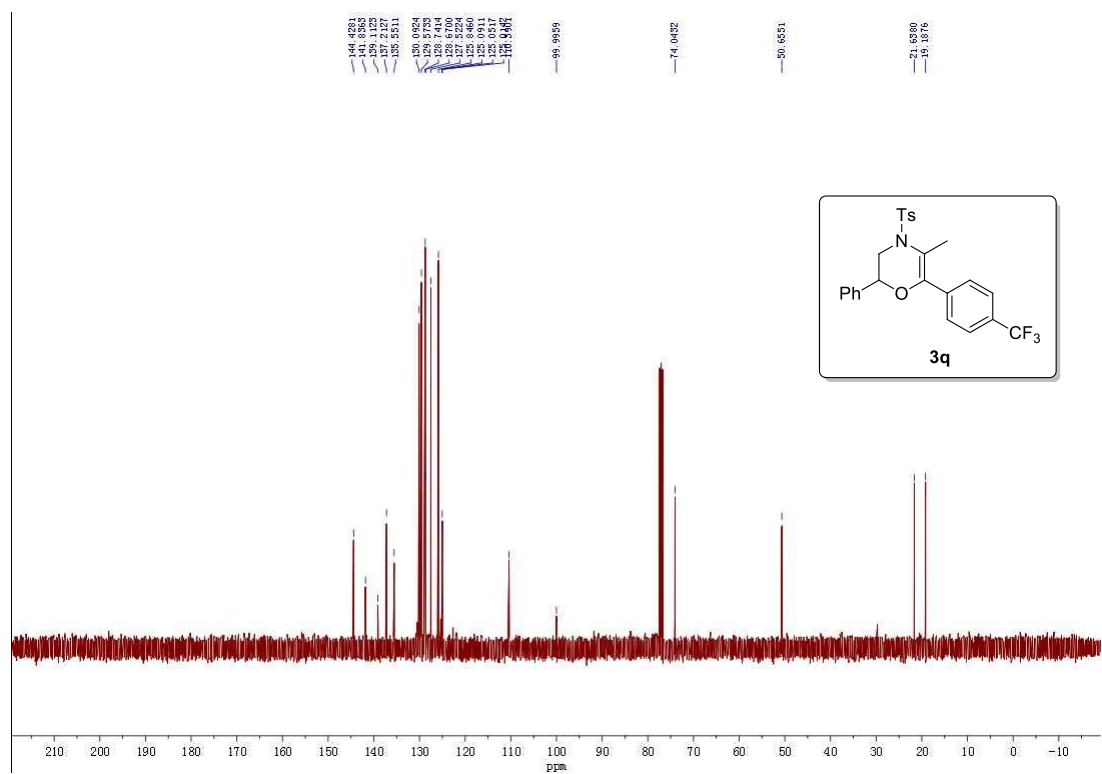
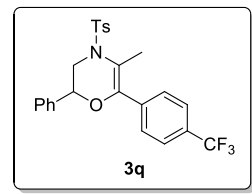
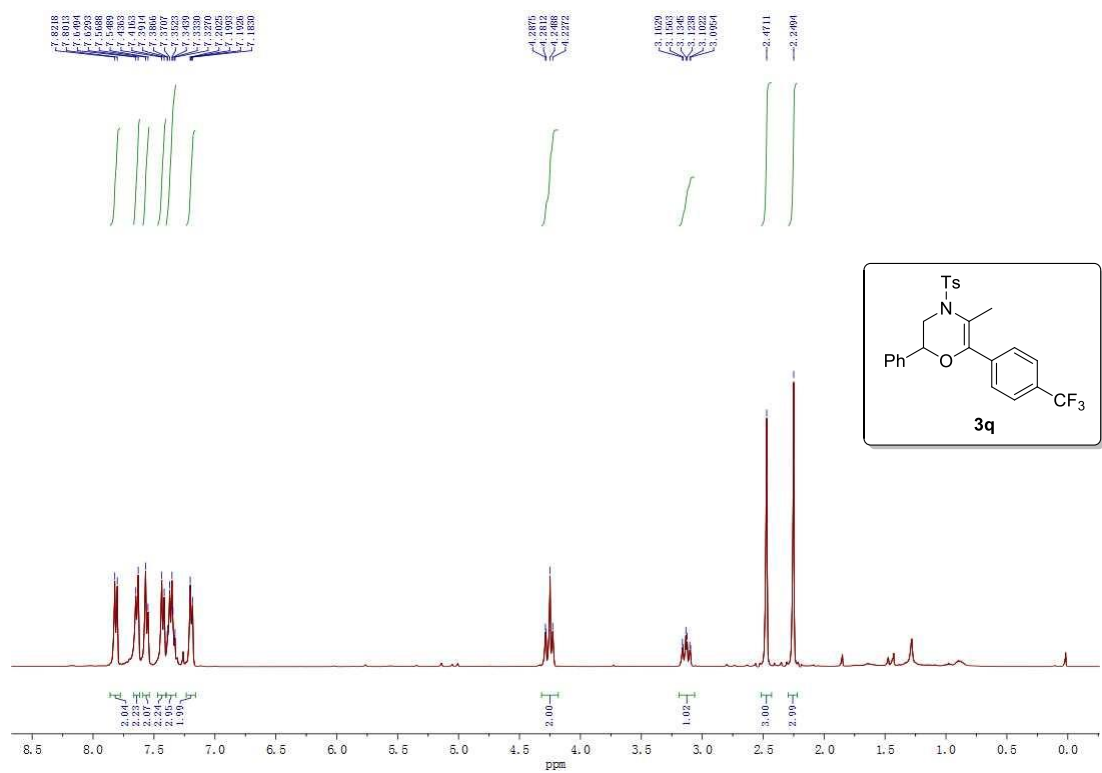


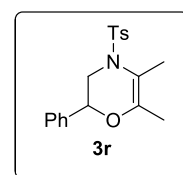
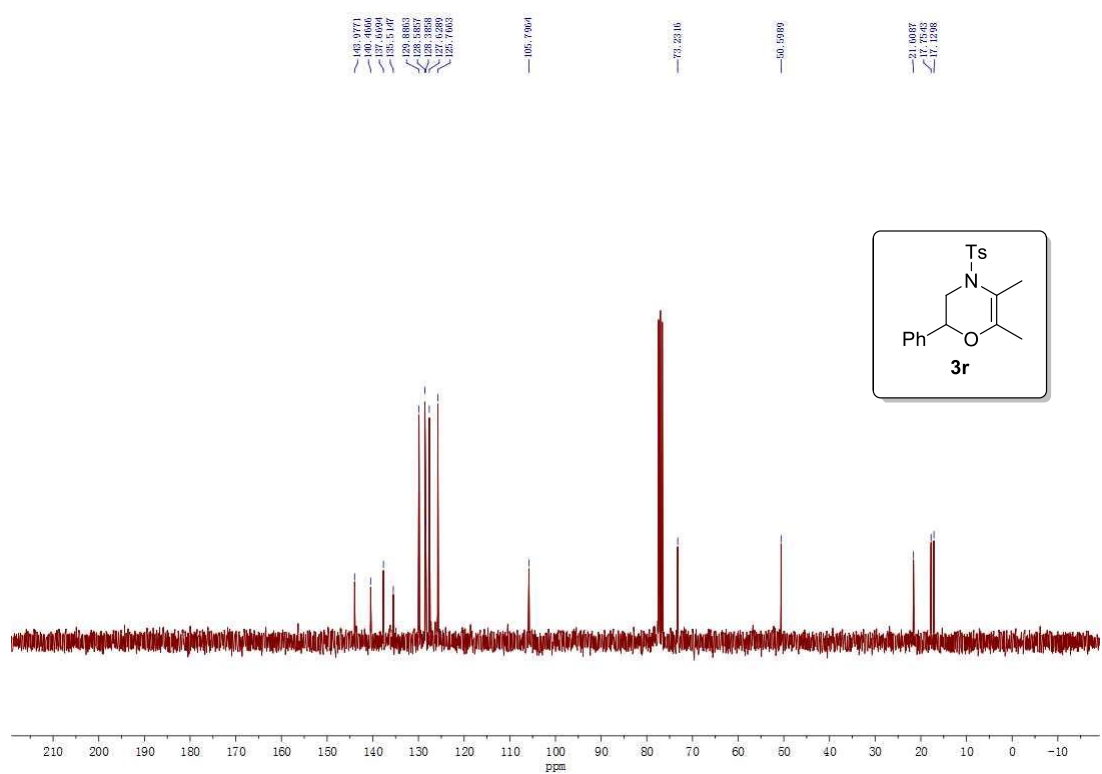
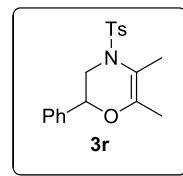
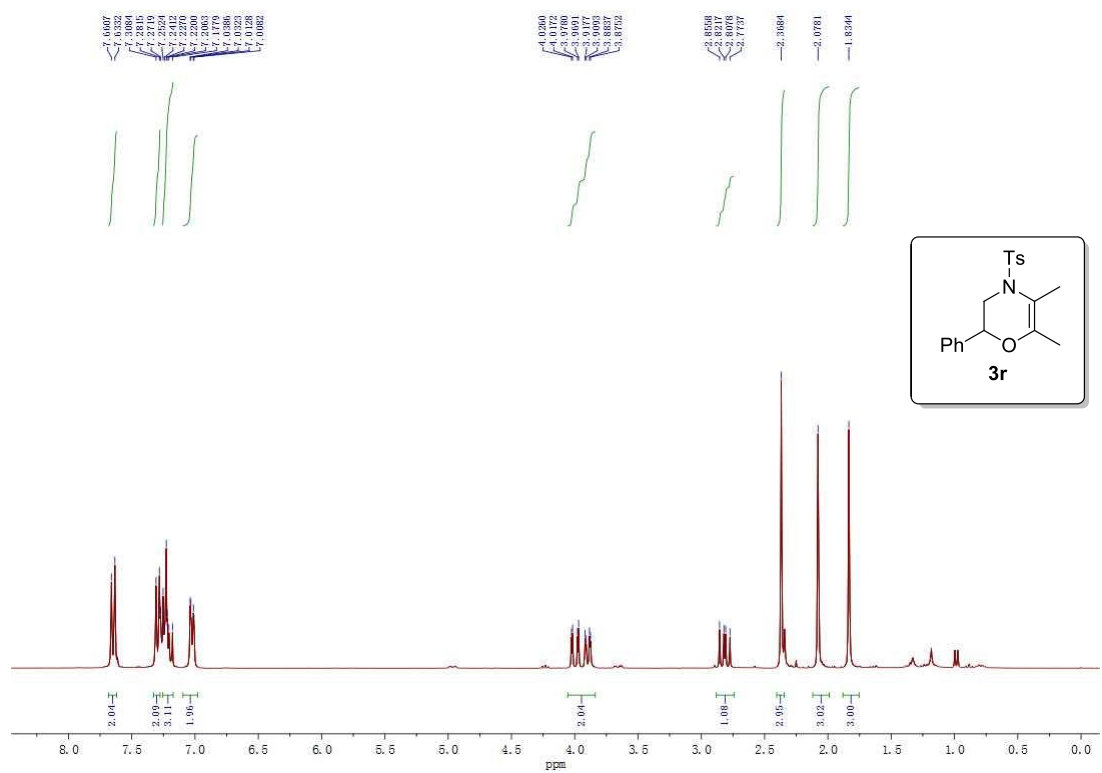


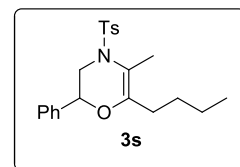
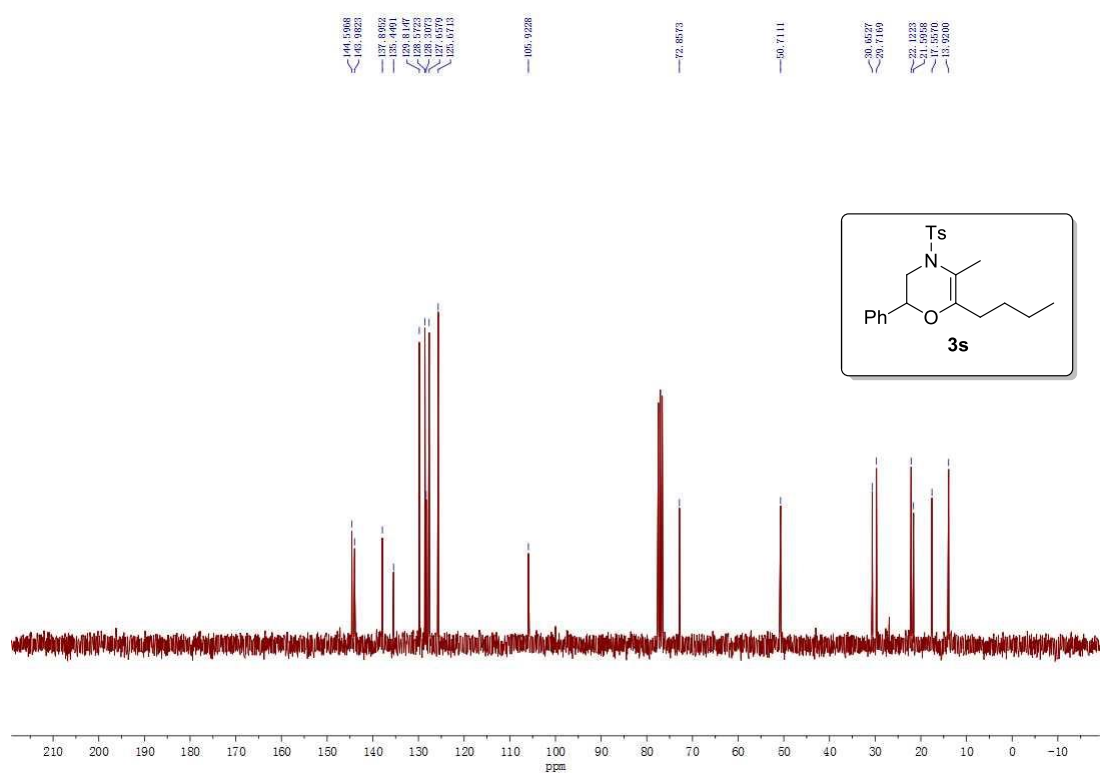
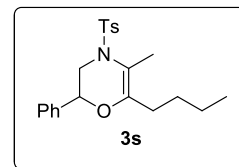
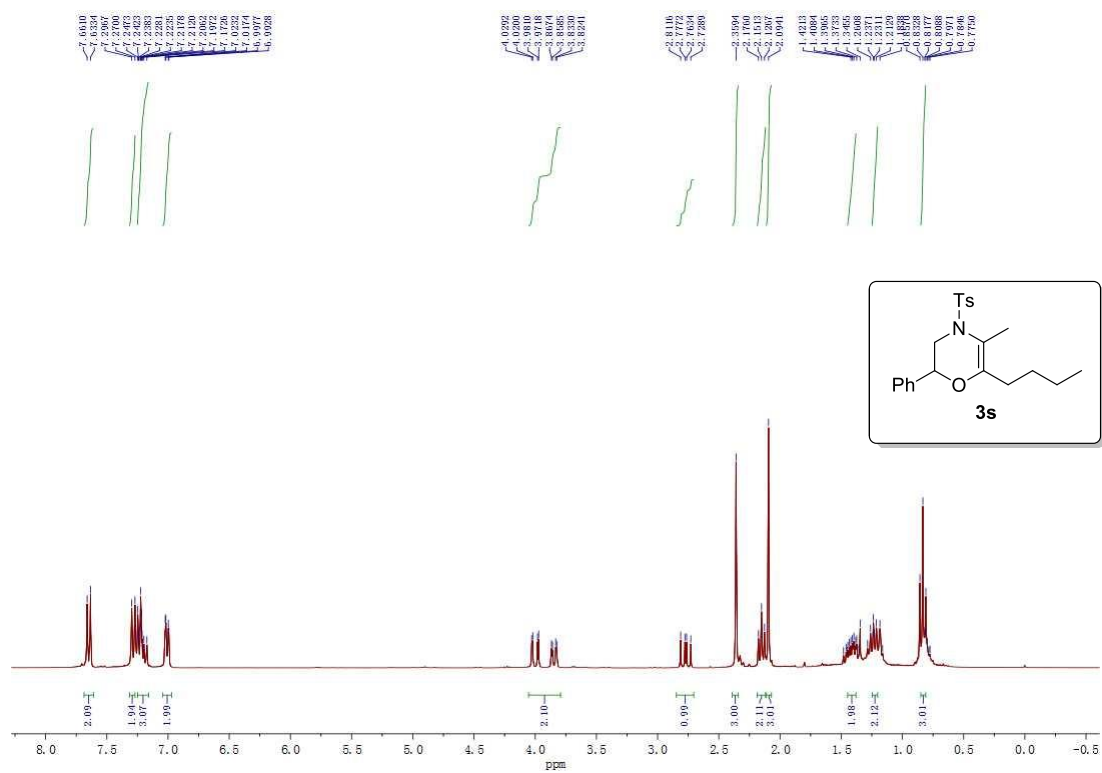


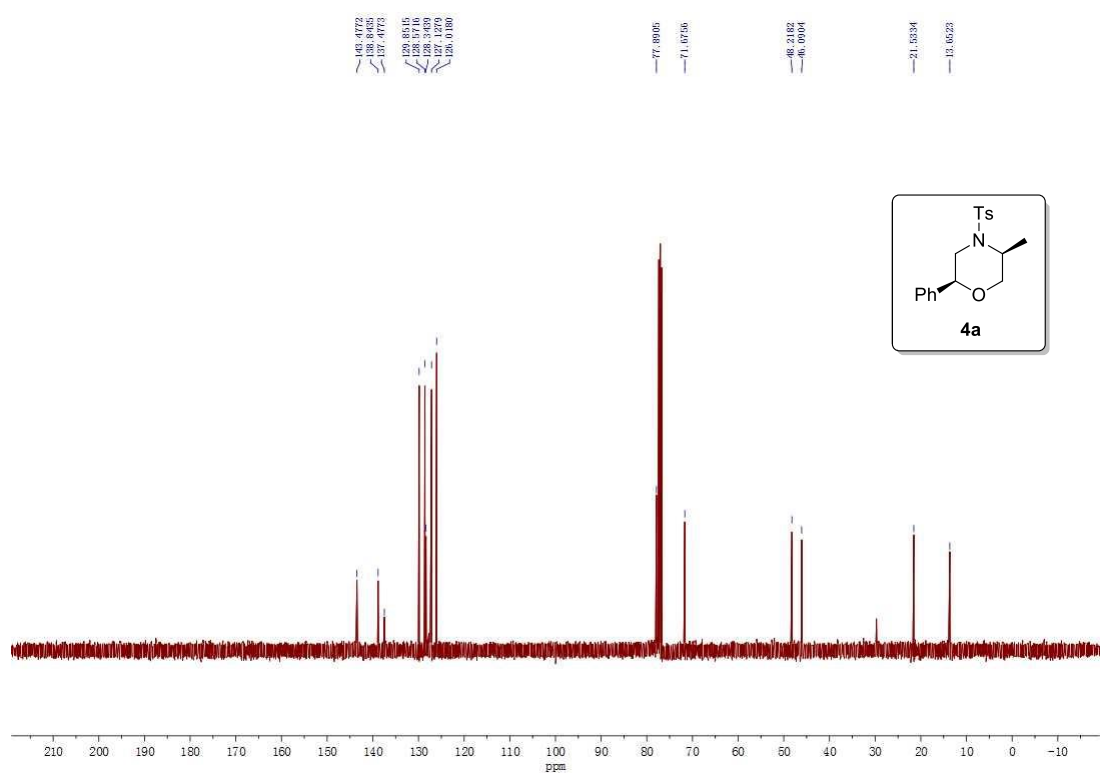
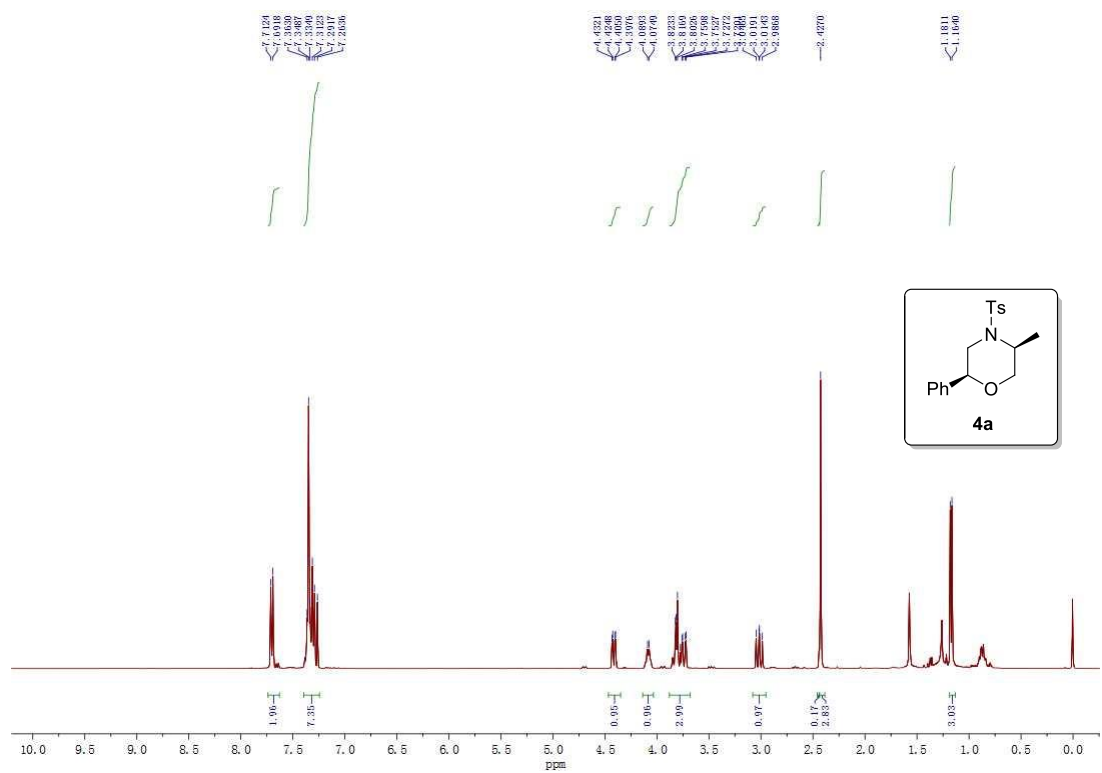




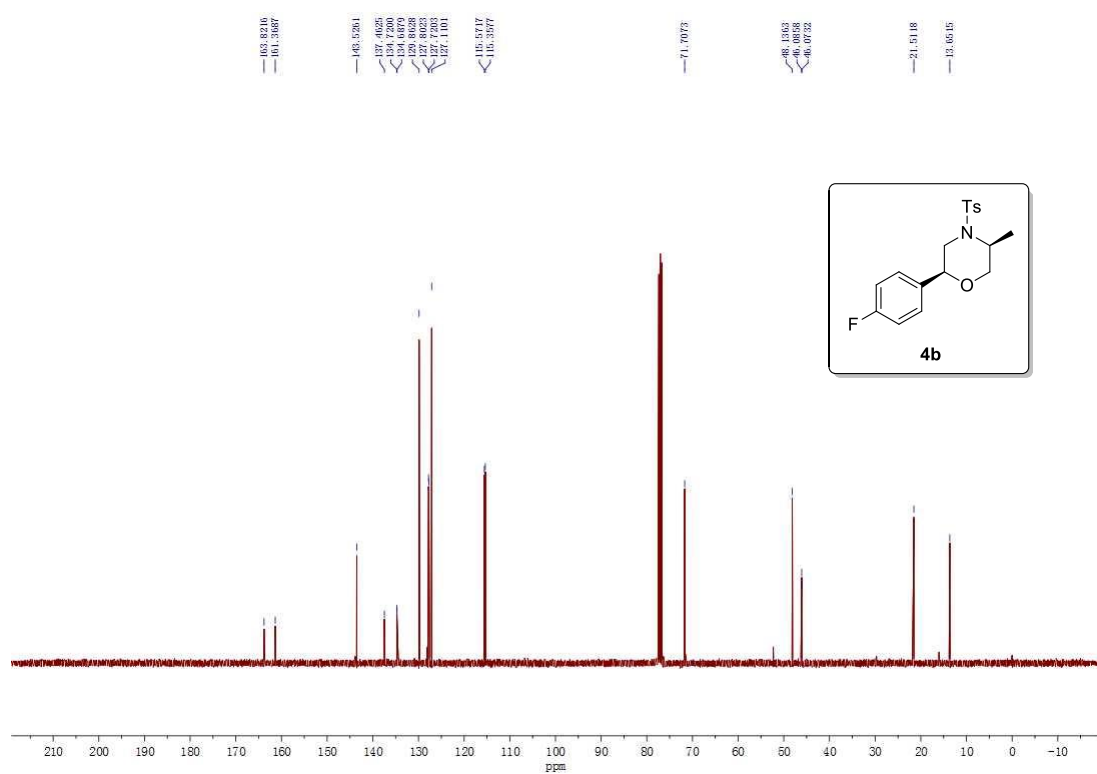
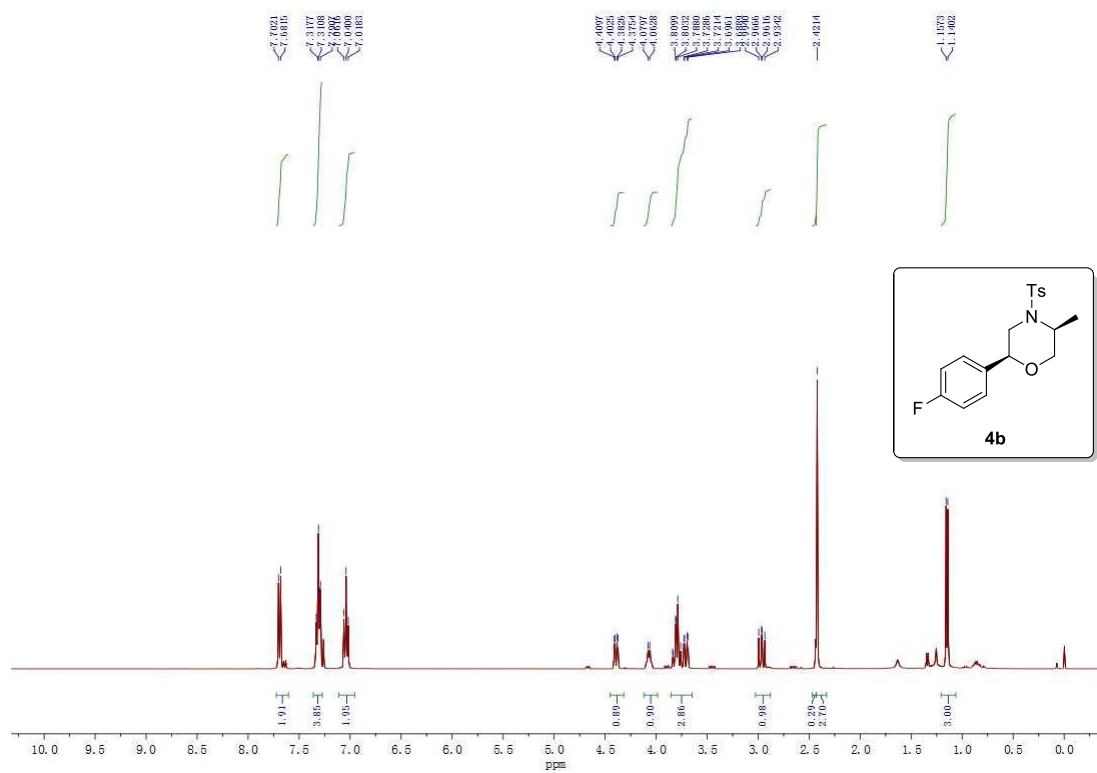


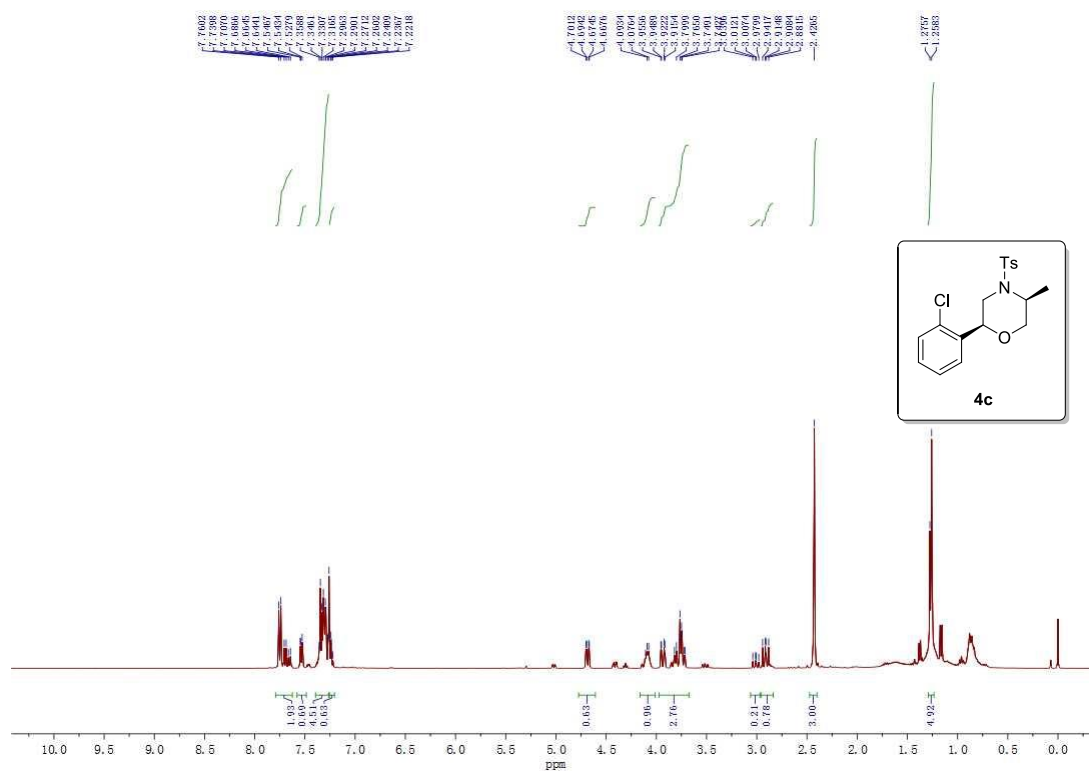


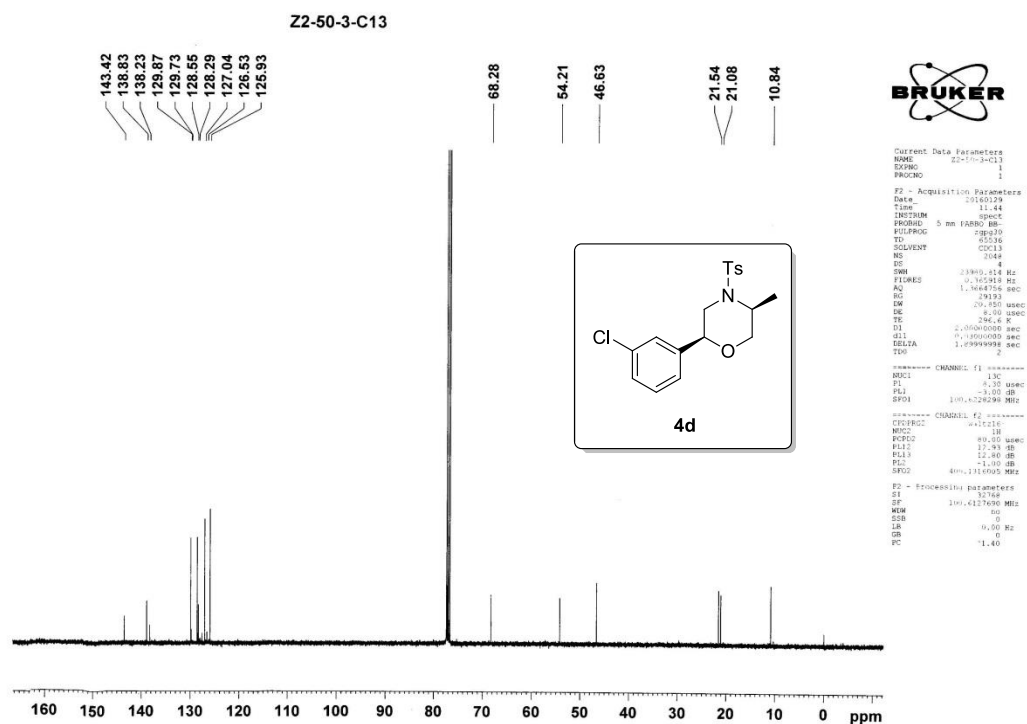
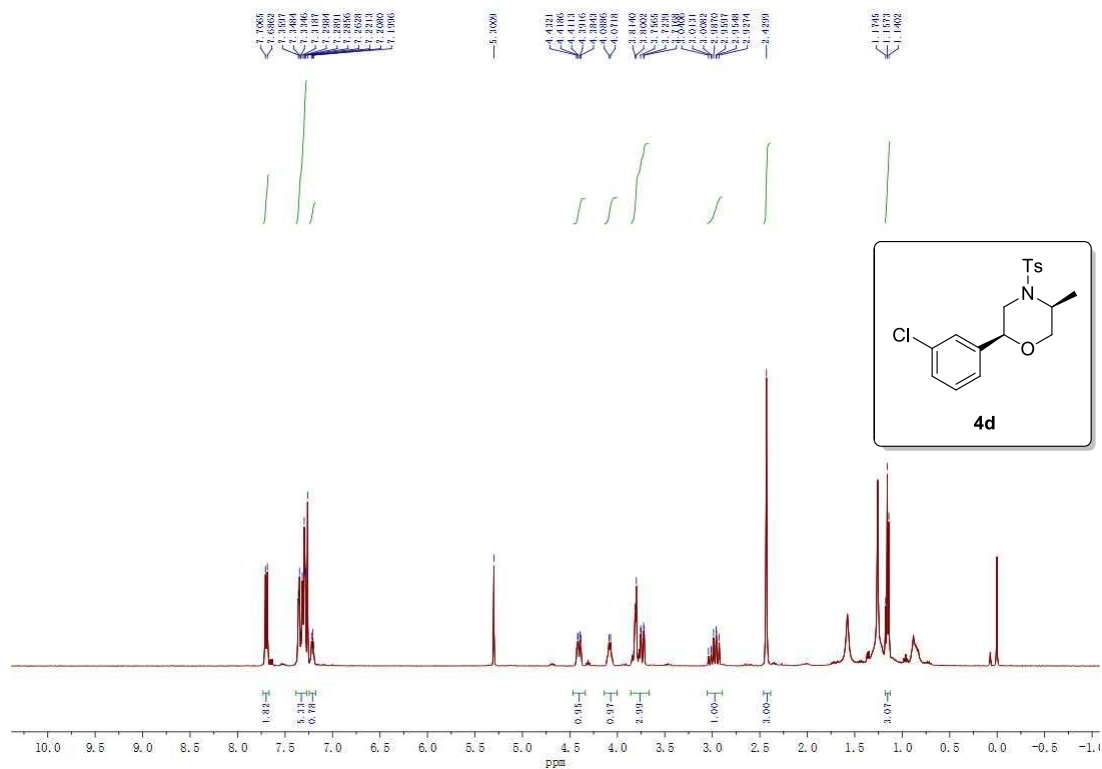


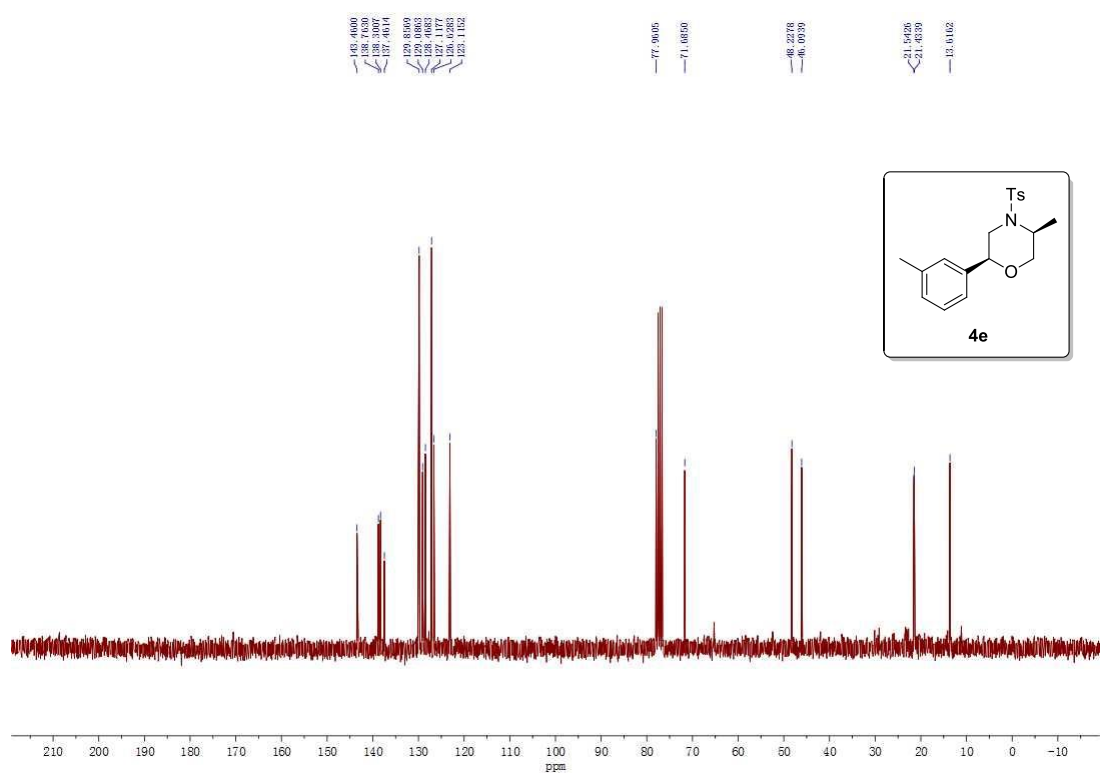
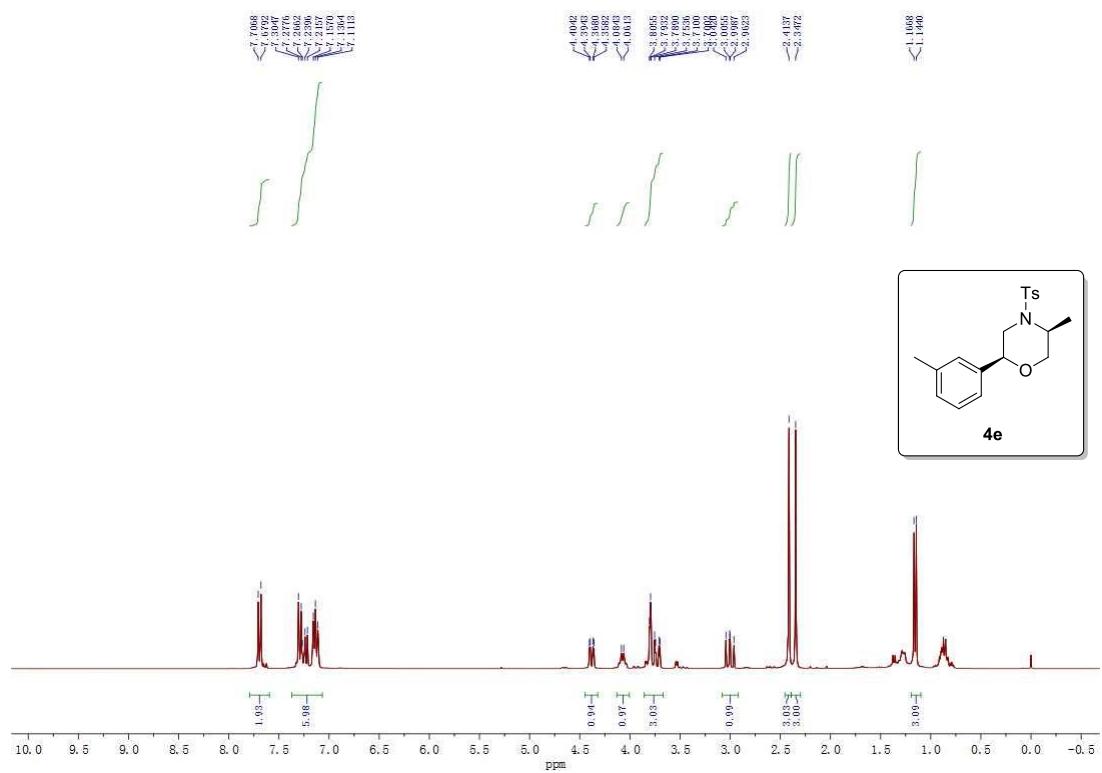


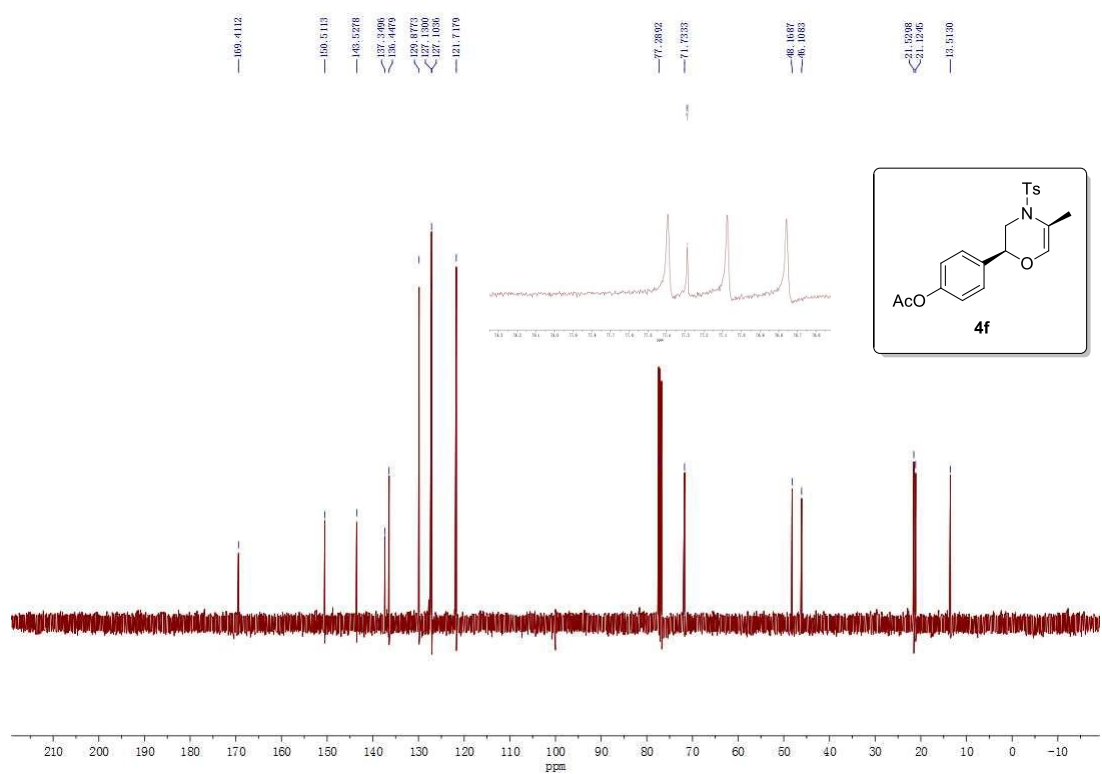
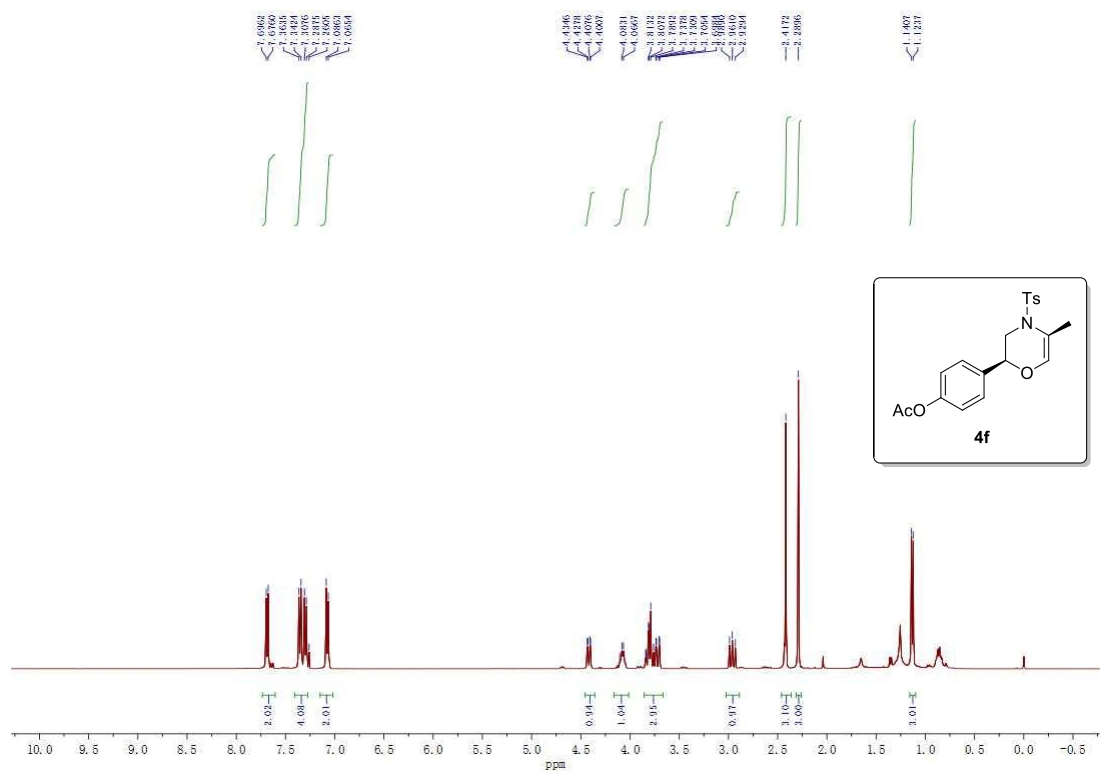


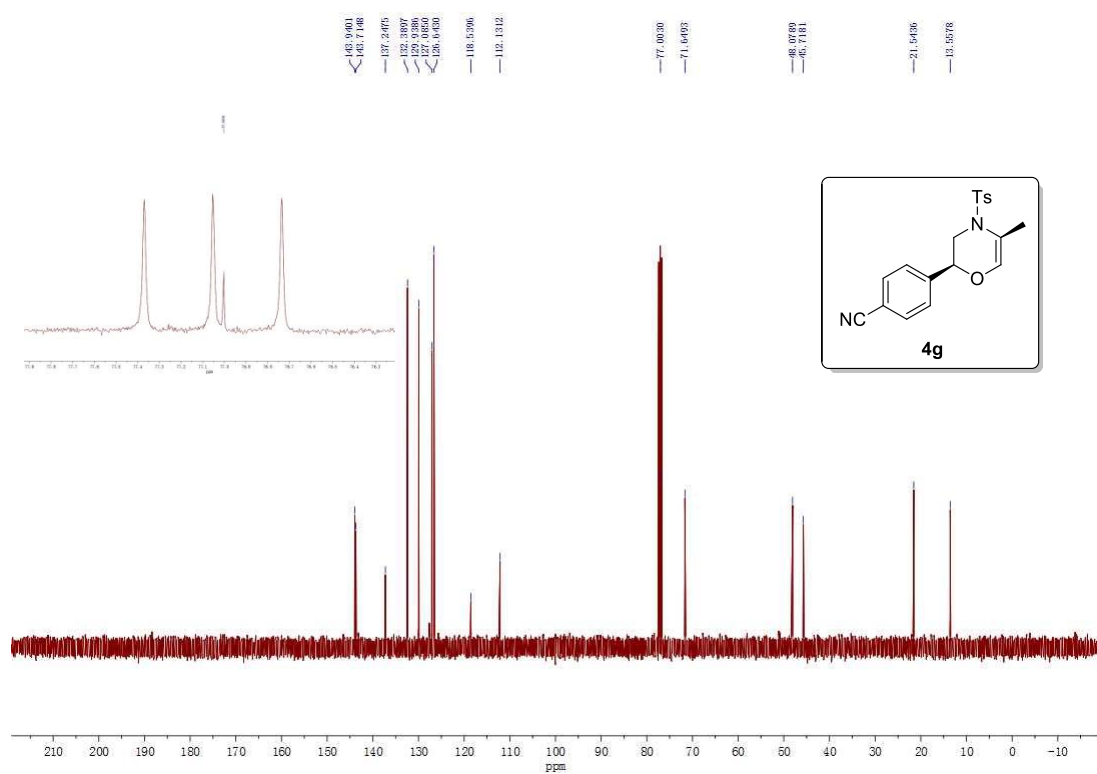
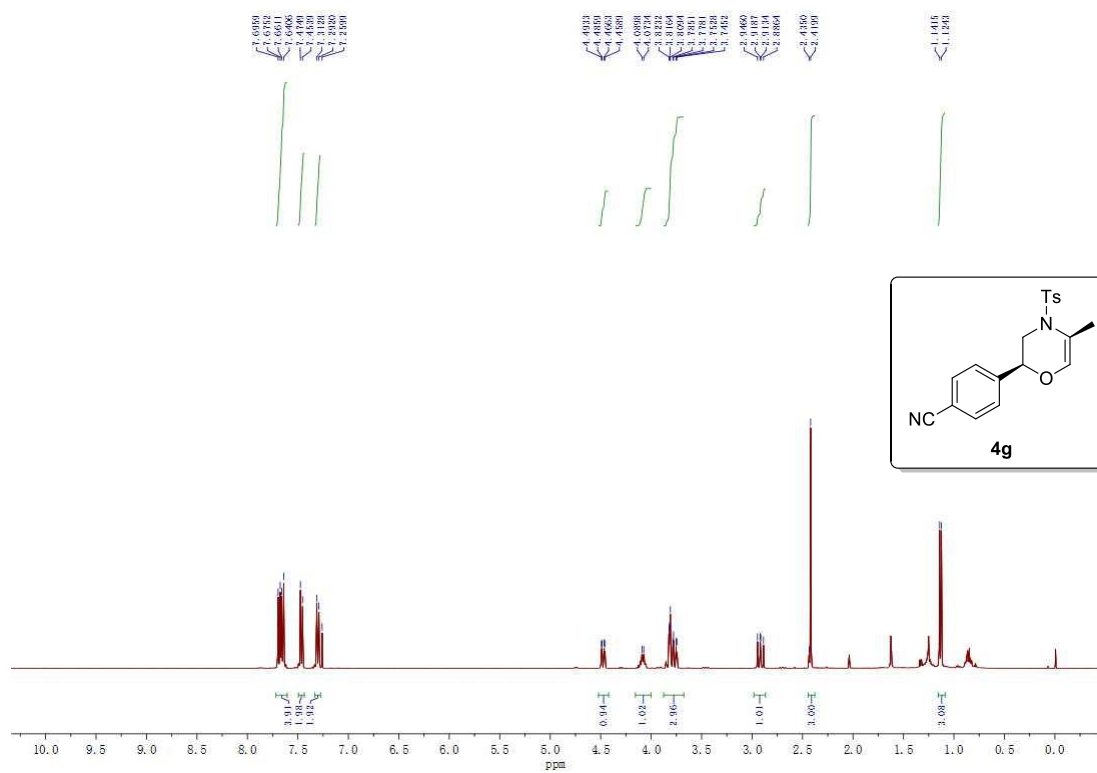


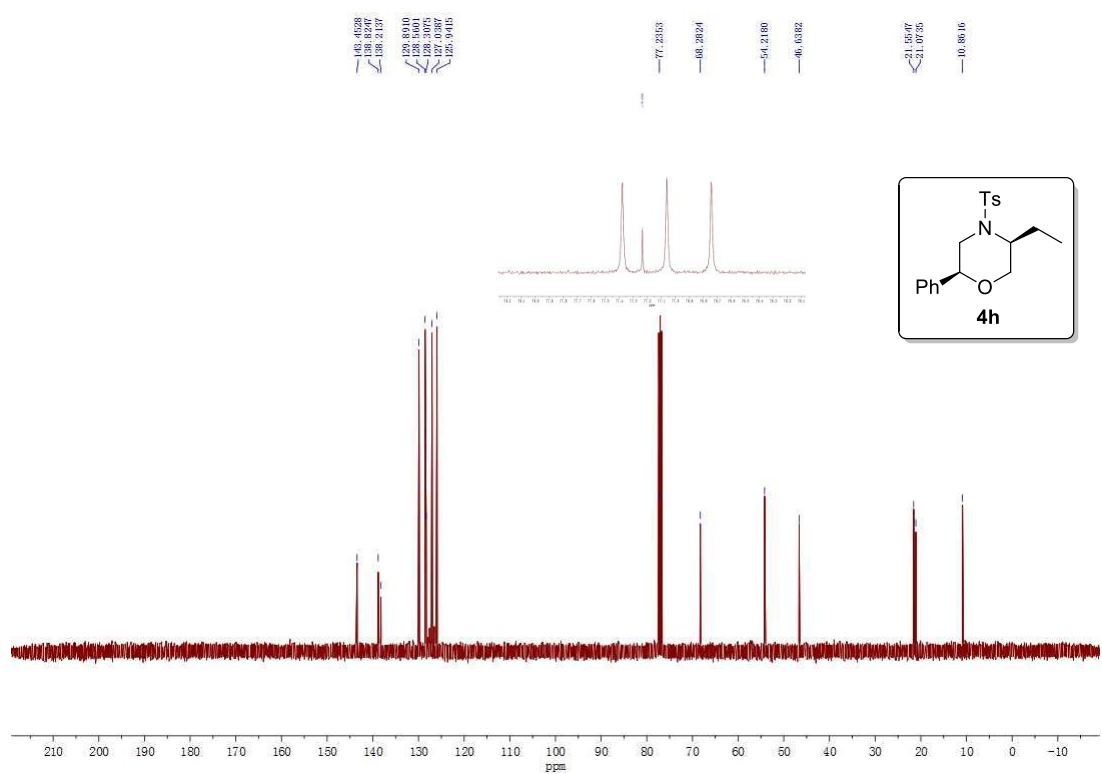
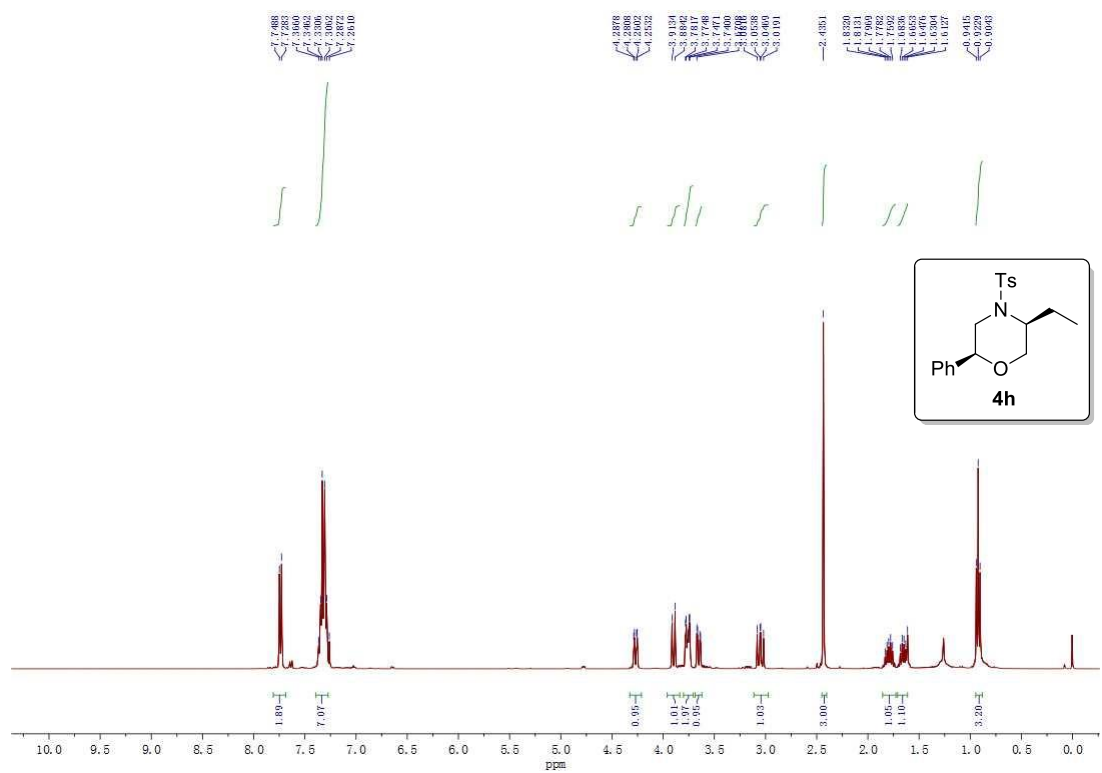


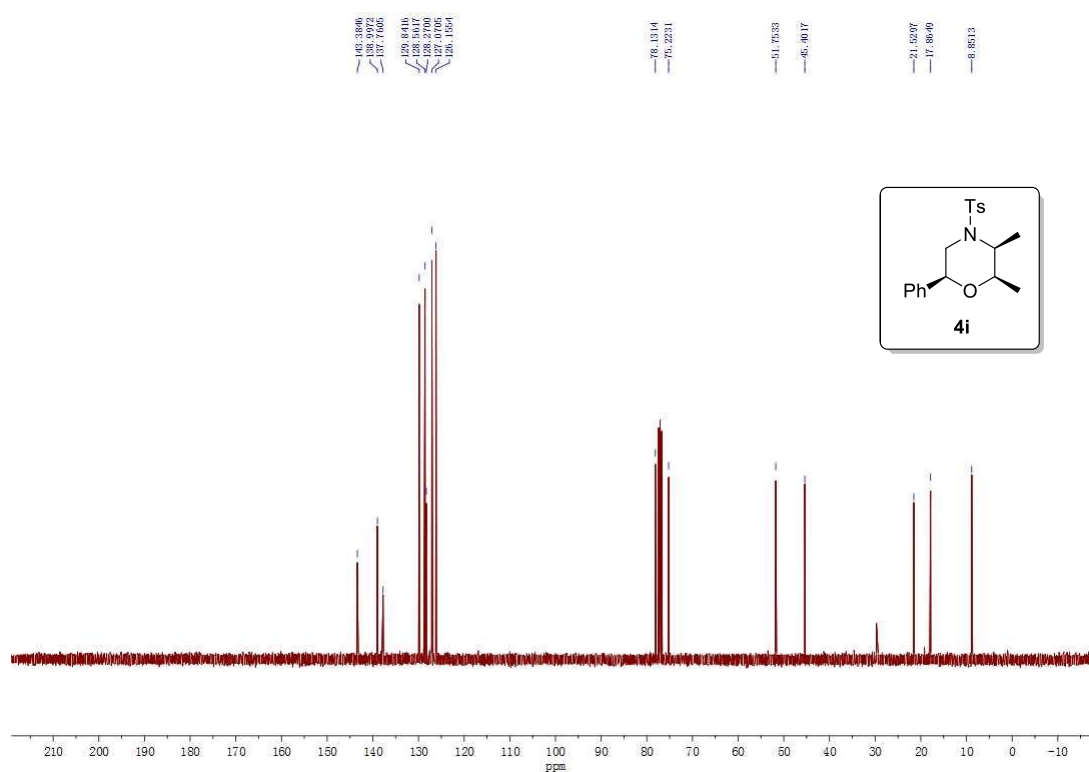
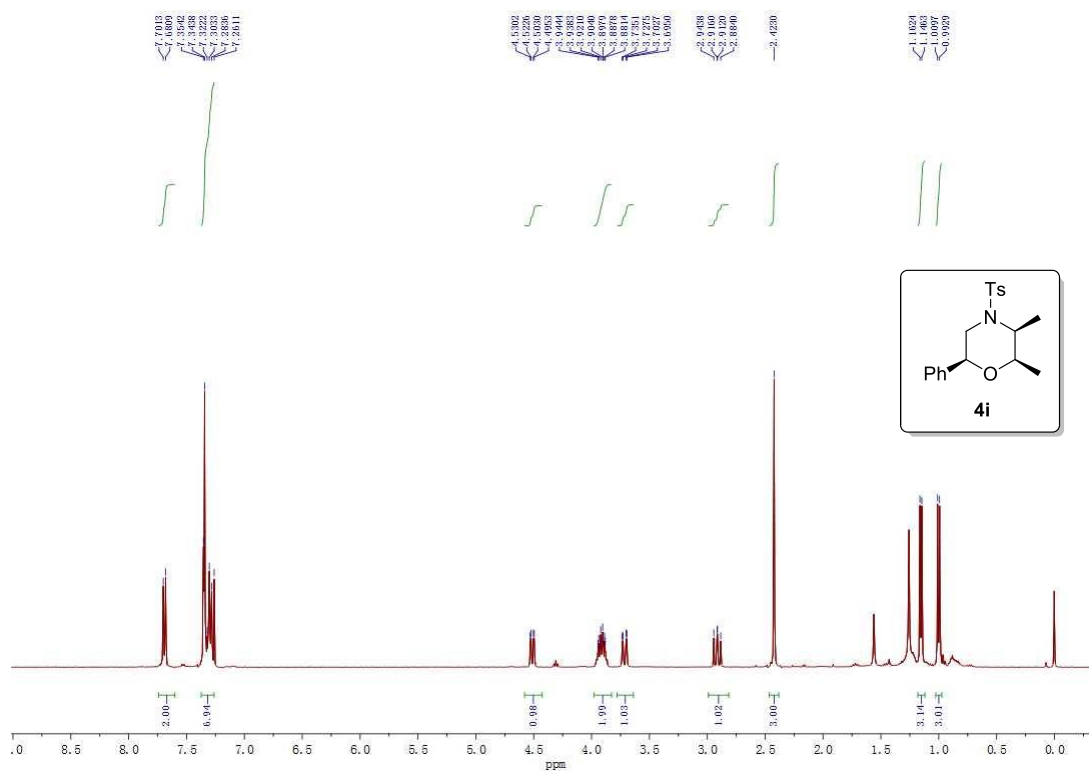




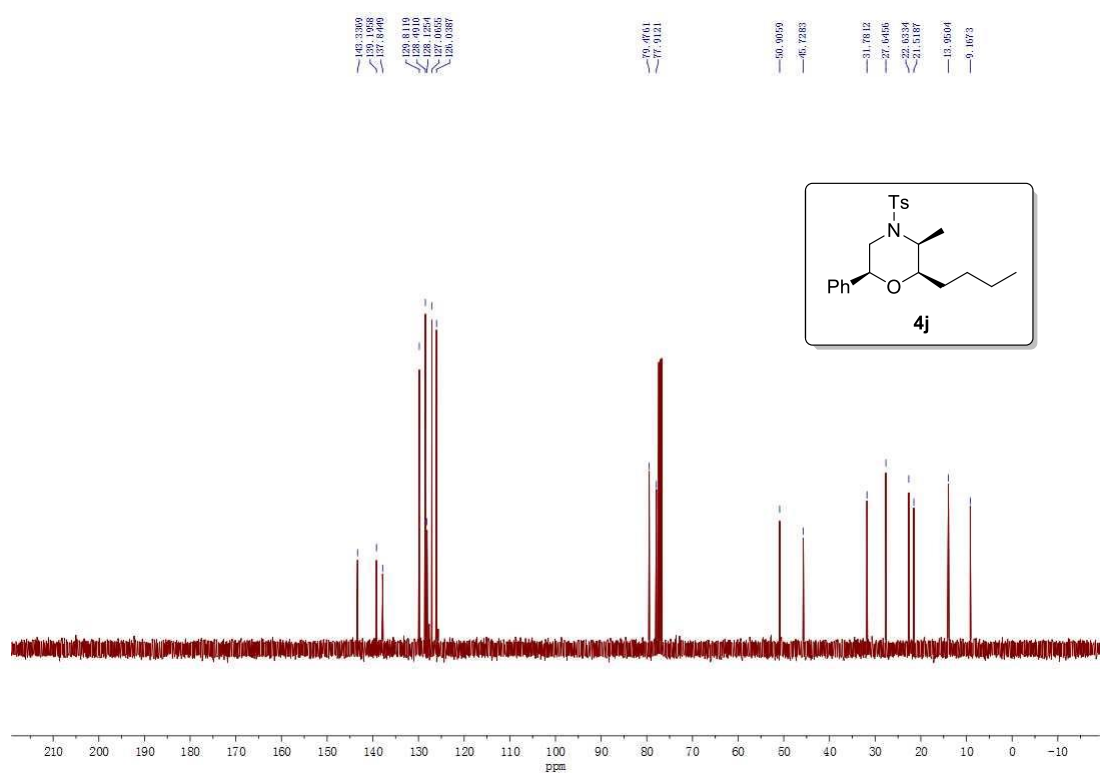
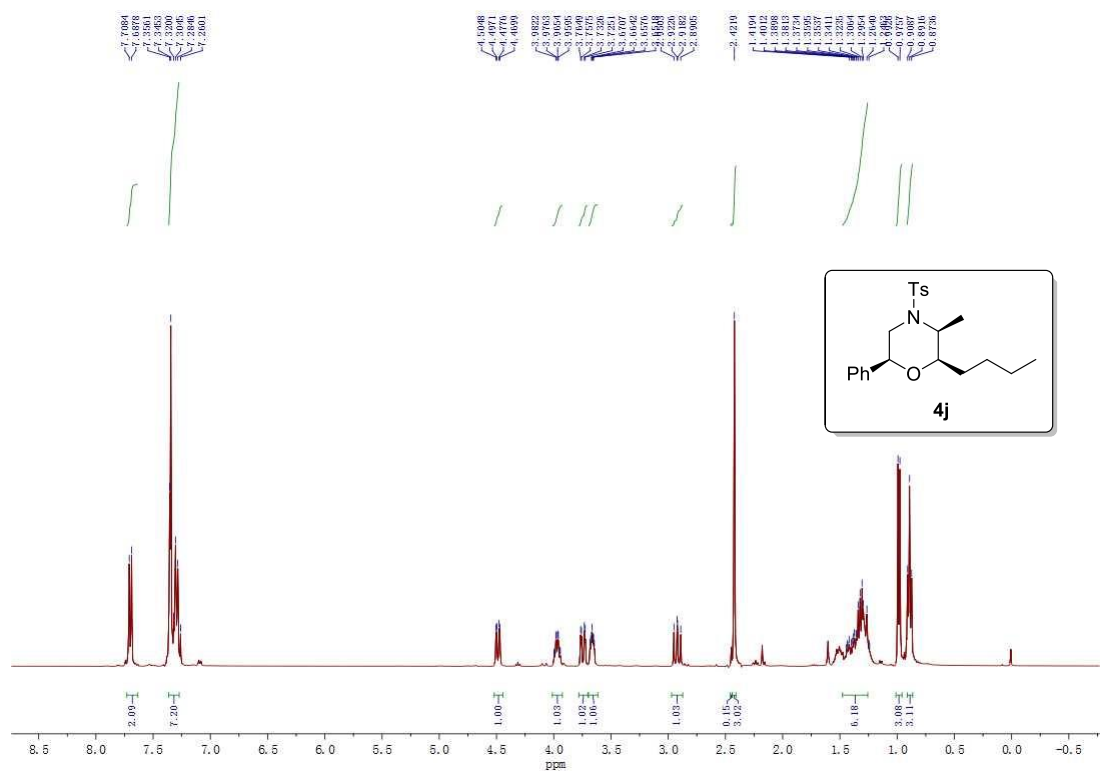






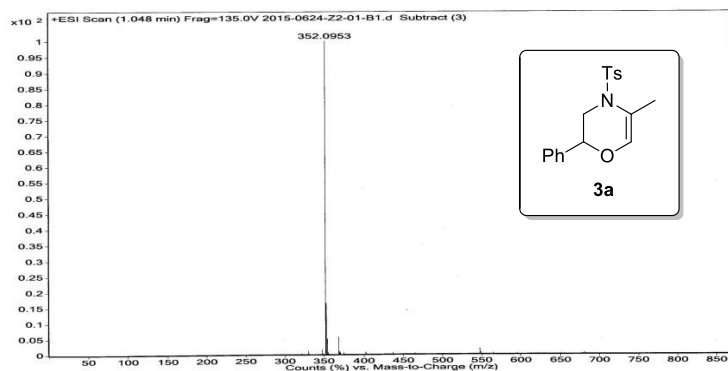




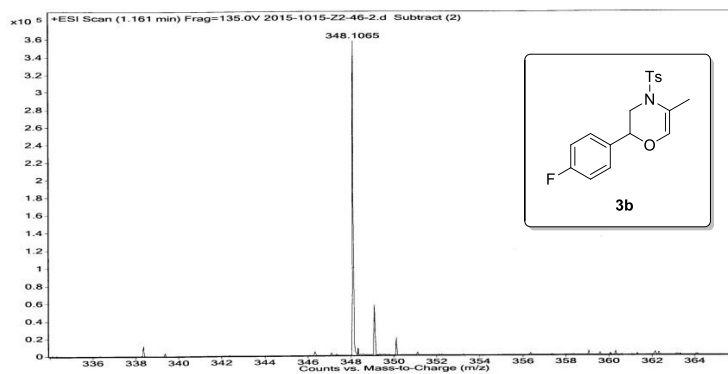


### 3. HRMS Spectra of Products

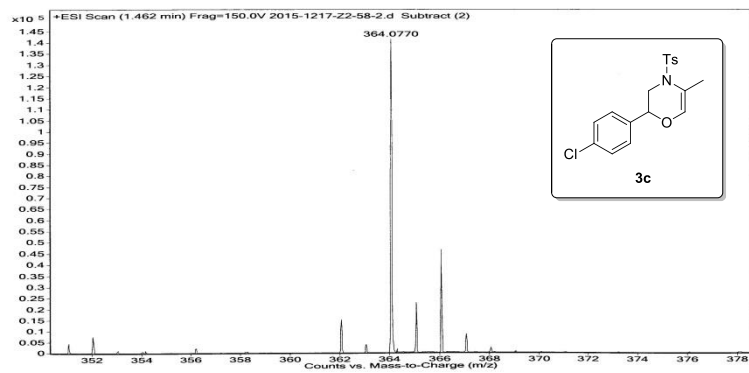
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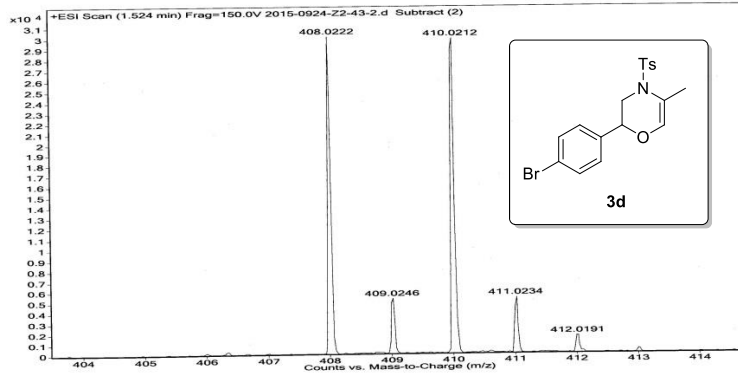
Sample Name	2015-1015-22-46-2	Position	P1-C7	Instrument Name	Instrument 1	User Name
Inj Vol	-1	InjPosition		SampleType	Sample	IRM Calibration Status
Data Filename	2015-1015-22-46-2.d	ACQ Method	0103.m	Comment		Acquired Time



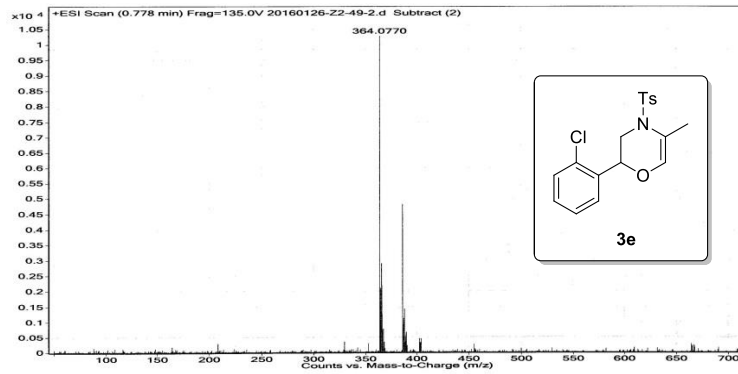
Sample Name	2015-1217-22-58-2	Position	P1-E9	Instrument Name	Instrument 1	User Name
Inj Vol	-1	InjPosition		SampleType	Sample	IRM Calibration Status
Data Filename	2015-1217-22-58-2.d	ACQ Method	0103.m	Comment		Acquired Time



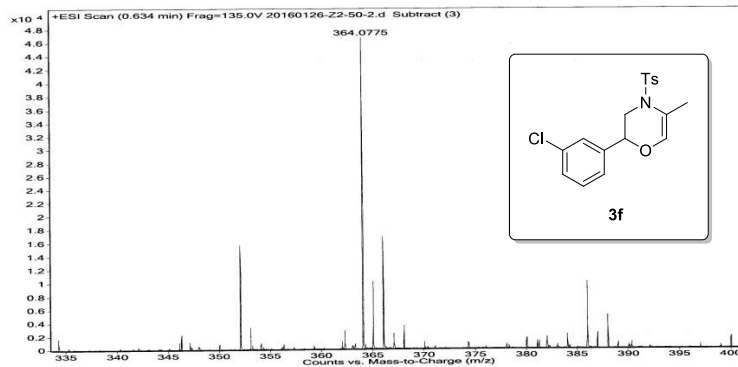
Sample Name	2015-0924-22-43-2	Position	P1-D9	Instrument Name	Instrument 1	User Name	
Inj Vol	-1	InjPosition		SampleType	Sample	IRM Calibration Status	Success
Data Filename	2015-0924-22-43-2.d	ACQ Method	0103.m	Comment		Acquired Time	9/24/2015 3:53:30 PM



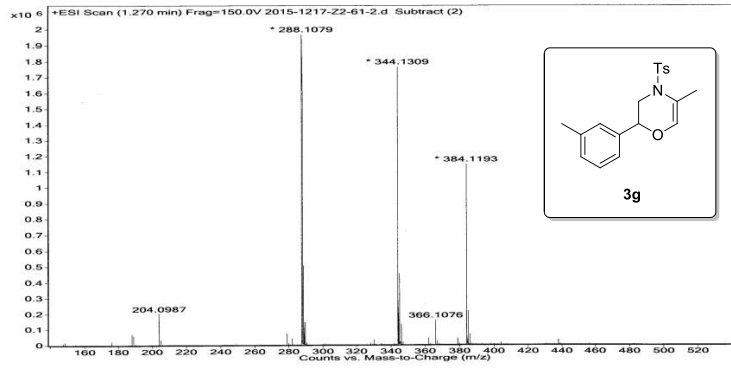
Sample Name	20160126-22-49-2	Position	P1-F9	Instrument Name	Instrument 1	User Name	
Inj Vol	-1	InjPosition		SampleType	Sample	IRM Calibration Status	Success
Data Filename	20160126-22-49-2.d	ACQ Method	0103.m	Comment		Acquired Time	1/26/2016 3:31:38 PM



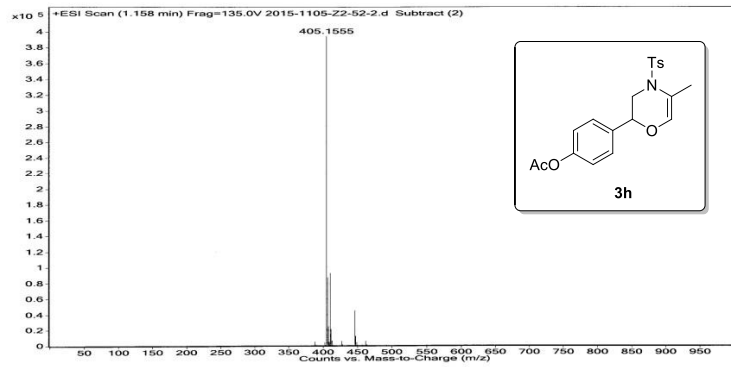
Sample Name	Unavailable	Position	Unavailable	Instrument Name	Unavailable	User Name	Unavailable
Inj Vol	Unavailable	InjPosition	Unavailable	SampleType	Unavailable	IRM Calibration Status	Success
Data Filename	20160126-22-50-2.d	ACQ Method	Unavailable	Comment	Sample information is unavailable	Acquired Time	Unavailable



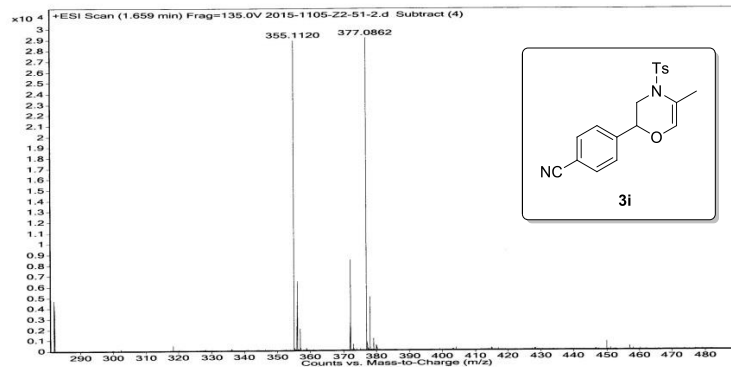
**Sample Name** 2015-1217-22-61-2    **Position** P1-C9    **Instrument Name** Instrument 1    **User Name**  
**Inj Vol** -1    **InjPosition**    **SampleType** Sample    **IRM Calibration Status** Success  
**Data Filename** 2015-1217-22-61-2.d    **ACQ Method** 0103.m    **Comment**    **Acquired Time** 12/17/2015 3:02:22 PM



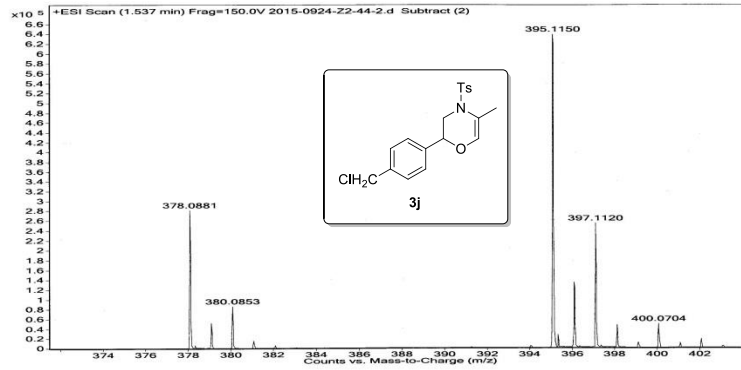
**Sample Name** 2015-1105-22-52-2    **Position** P1-A7    **Instrument Name** Instrument 1    **User Name**  
**Inj Vol** -1    **InjPosition**    **SampleType** Sample    **IRM Calibration Status** Success  
**Data Filename** 2015-1105-22-52-2.d    **ACQ Method** 0103.m    **Comment**    **Acquired Time** 11/5/2015 3:36:13 PM



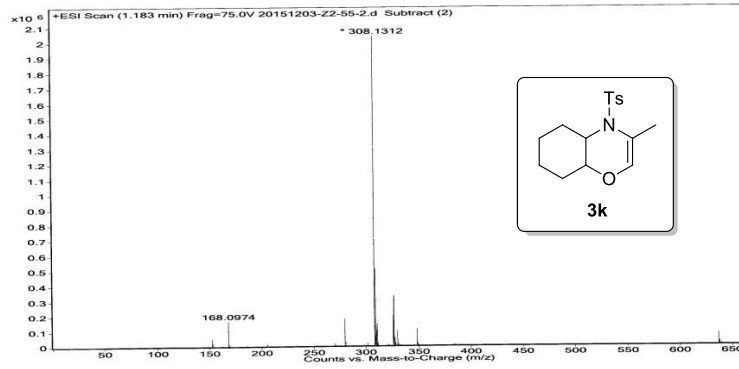
**Sample Name** 2015-1105-22-51-2    **Position** P1-C7    **Instrument Name** Instrument 1    **User Name**  
**Inj Vol** -1    **InjPosition**    **SampleType** Sample    **IRM Calibration Status** Success  
**Data Filename** 2015-1105-22-51-2.d    **ACQ Method** 0103.m    **Comment**    **Acquired Time** 11/5/2015 3:30:10 PM



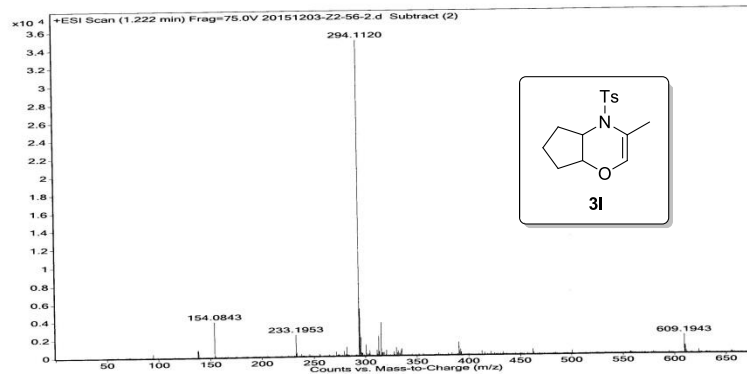
Sample Name	2015-0924-22-44-2	Position	P1-C9	Instrument Name	Instrument 1	User Name
Inj Vol	-1	InjPosition		SampleType	Sample	IRM Calibration Status
Data Filename	2015-0924-22-44-2.d	ACQ Method	0103.m	Comment		Success
						9/24/2015 3:55:50 PM



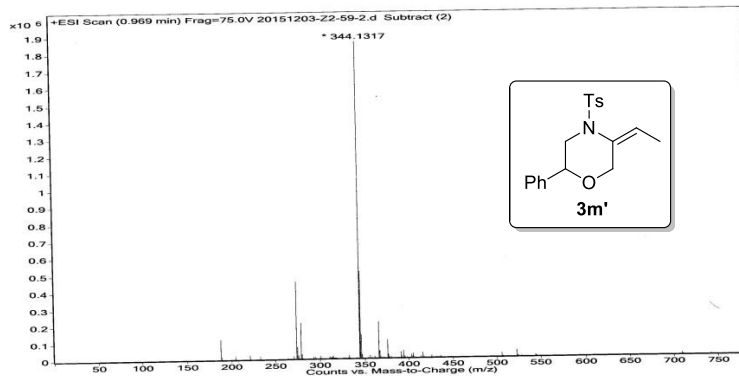
Sample Name	20151203-22-55-2	Position	P1-F7	Instrument Name	Instrument 1	User Name
Inj Vol	-1	InjPosition		SampleType	Sample	IRM Calibration Status
Data Filename	20151203-22-55-2.d	ACQ Method	0103.m	Comment		Success
						12/3/2015 3:58:49 PM



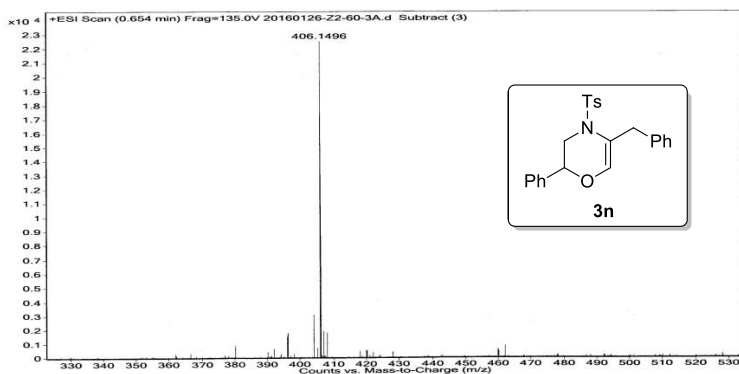
Sample Name	20151203-22-56-2	Position	P1-E7	Instrument Name	Instrument 1	User Name
Inj Vol	-1	InjPosition		SampleType	Sample	IRM Calibration Status
Data Filename	20151203-22-56-2.d	ACQ Method	0103.m	Comment		Success
						12/3/2015 4:01:28 PM



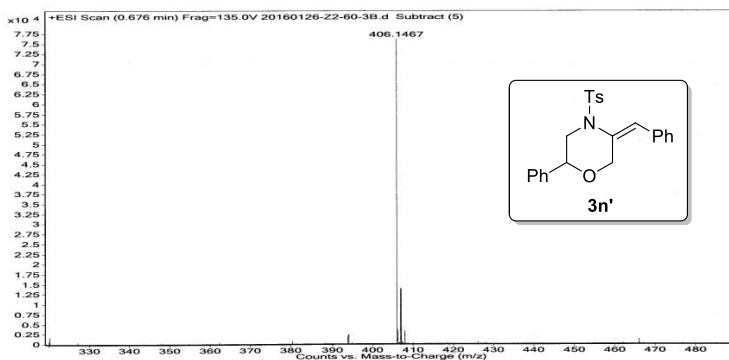
Sample Name	20151203-22-59-2	Position	P1-87	Instrument Name	Instrument 1	User Name	
Inj Vol	-1	InjPosition		SampleType	Sample	IRM Calibration Status	Success
Data Filename	20151203-22-59-2.d	ACQ Method	0103.m	Comment		Acquired Time	12/3/2015 3:47:36 PM



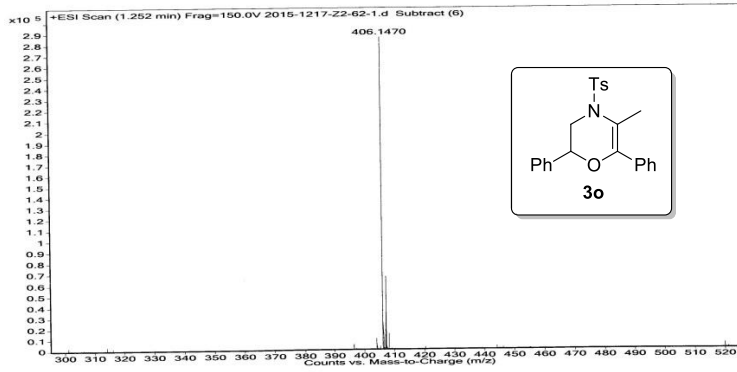
Sample Name	20160126-22-60-3A	Position	P1-E9	Instrument Name	Instrument 1	User Name	
Inj Vol	-1	InjPosition		SampleType	Sample	IRM Calibration Status	Success
Data Filename	20160126-22-60-3A.d	ACQ Method	0103.m	Comment		Acquired Time	1/26/2016 3:34:02 PM



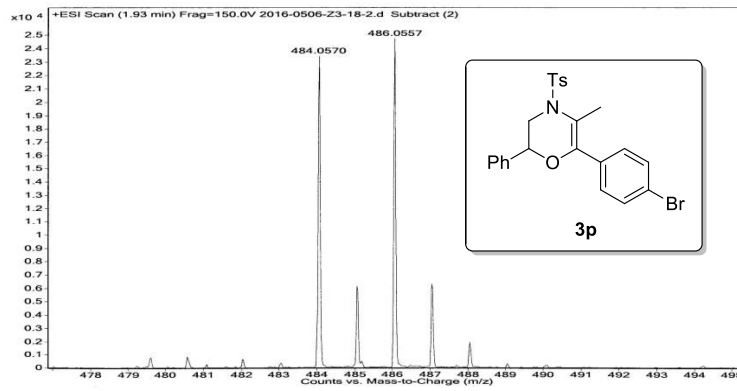
Sample Name	Unavailable	Position	Unavailable	Instrument Name	Unavailable	User Name	Unavailable
Inj Vol	Unavailable	InjPosition	Unavailable	SampleType	Unavailable	IRM Calibration Status	Success
Data Filename	20160126-22-60-3B.d	ACQ Method		Comment	Sample information is unavailable	Acquired Time	Unavailable



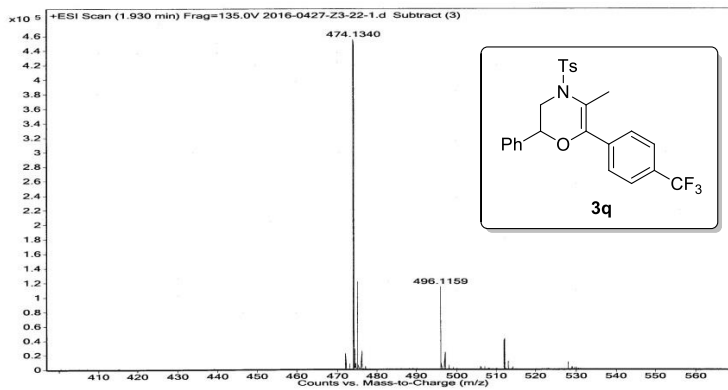
Sample Name	2015-1217-22-62-1	Position	P1-B9	Instrument Name	Instrument 1	User Name	
Inj Vol	-1	InjPosition		SampleType	Sample	IRM Calibration Status	Success
Data Filename	2015-1217-22-62-1.d	ACQ Method	0103.m	Comment		Acquired Time	12/17/2015 3:05:11 PM



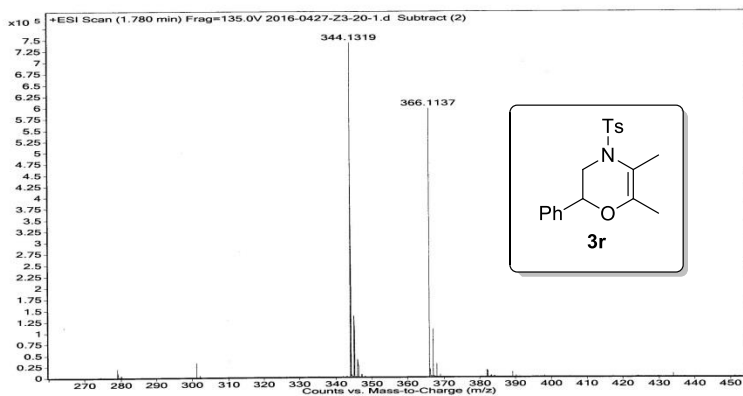
Sample Name	2016-0506-23-18-2	Position	P1-F9	Instrument Name	Instrument 1	User Name	
Inj Vol	-1	InjPosition		SampleType	Sample	IRM Calibration Status	Success
Data Filename	2016-0506-23-18-2.d	ACQ Method	0103.m	Comment		Acquired Time	5/6/2016 10:40:56 AM



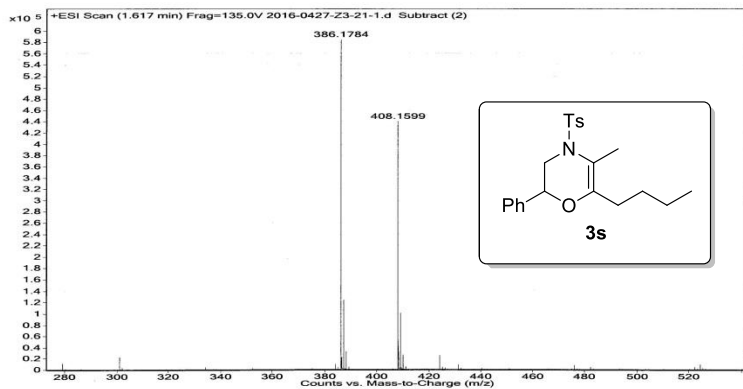
Sample Name	2016-0427-23-22-1	Position	P1-C9	Instrument Name	Instrument 1	User Name	
Inj Vol	-1	InjPosition		SampleType	Sample	IRM Calibration Status	Success
Data Filename	2016-0427-23-22-1.d	ACQ Method	0103.m	Comment		Acquired Time	4/27/2016 2:59:19 PM



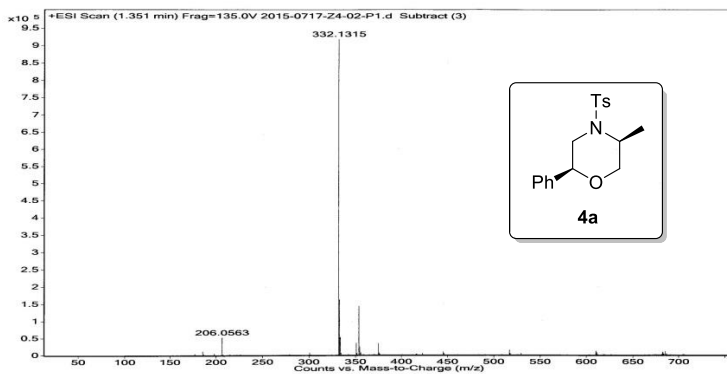
Sample Name	2016-0427-Z3-20-1	Position	P1-E9	Instrument Name	Instrument 1	User Name
Inj Vol	-1	InjPosition		SampleType	Sample	IRM Calibration Status
Data Filename	2016-0427-Z3-20-1.d	ACQ Method	0103.m	Comment		Success
						4/27/2016 2:53:28 PM



Sample Name	2016-0427-Z3-21-1	Position	P1-D9	Instrument Name	Instrument 1	User Name
Inj Vol	-1	InjPosition		SampleType	Sample	IRM Calibration Status
Data Filename	2016-0427-Z3-21-1.d	ACQ Method	0103.m	Comment		Success
						4/27/2016 2:56:19 PM

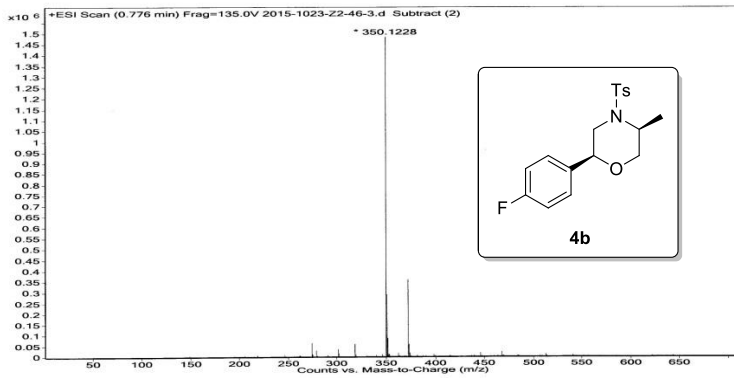


Sample Name	2015-0717-Z4-02-P1	Position	P1-C9	Instrument Name	Instrument 1	User Name
Inj Vol	-1	InjPosition		SampleType	Sample	IRM Calibration Status
Data Filename	2015-0717-Z4-02-P1.d	ACQ Method	0103.m	Comment		Success
						7/17/2015 4:14:08 PM

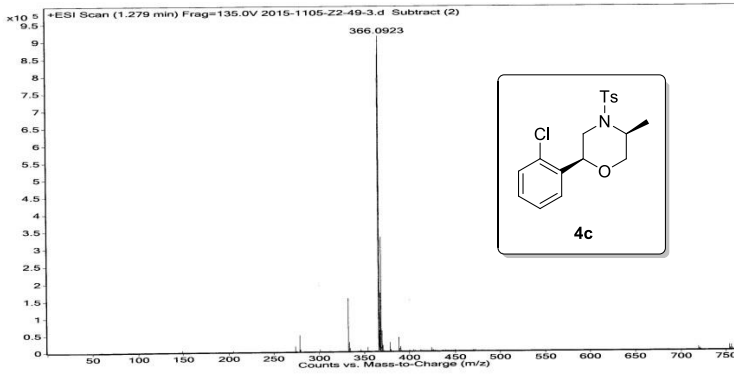




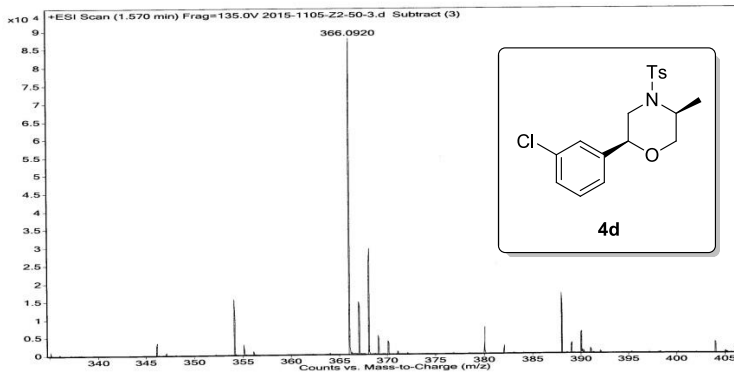
Sample Name	2015-1023-Z2-46-3	Position	P1-E8	Instrument Name	Instrument 1	User Name
Inj Vol	-1	InjPosition		SampleType	Sample	IRM Calibration Status
Data Filename	2015-1023-Z2-46-3.d	ACQ Method	0103.m	Comment		Acquired Time



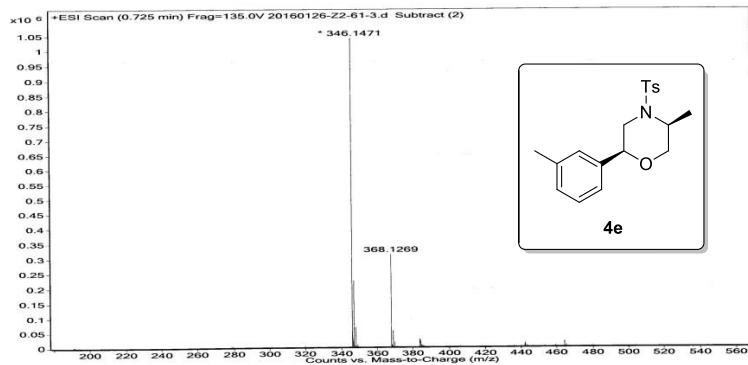
Sample Name	2015-1105-Z2-49-3	Position	P1-F7	Instrument Name	Instrument 1	User Name
Inj Vol	-1	InjPosition		SampleType	Sample	IRM Calibration Status
Data Filename	2015-1105-Z2-49-3.d	ACQ Method	0103.m	Comment		Acquired Time



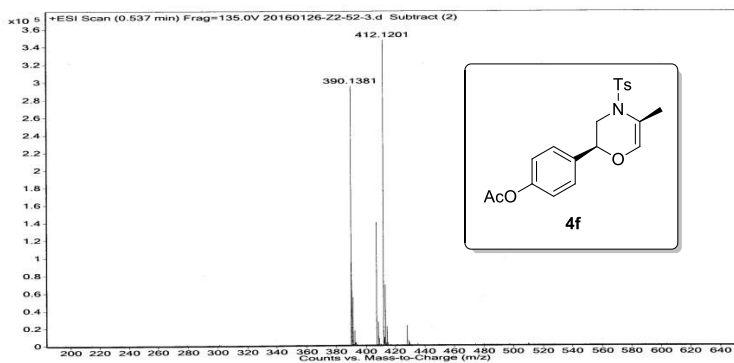
Sample Name	2015-1105-Z2-50-3	Position	P1-D7	Instrument Name	Instrument 1	User Name
Inj Vol	-1	InjPosition		SampleType	Sample	IRM Calibration Status
Data Filename	2015-1105-Z2-50-3.d	ACQ Method	0103.m	Comment		Acquired Time



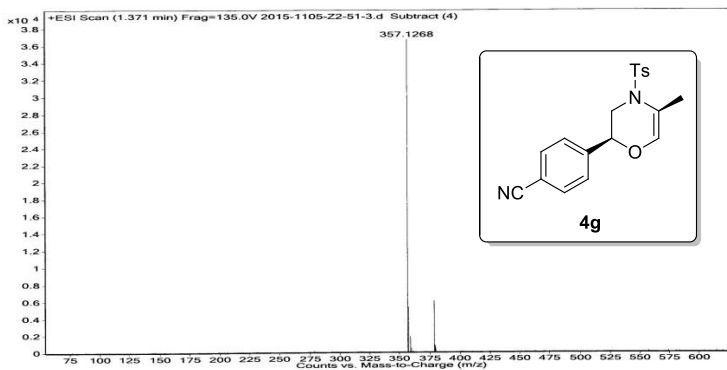
Sample Name	Unavailable	Position	Unavailable	Instrument Name	Unavailable	User Name	Unavailable
Inj Vol	Unavailable	InjPosition	Unavailable	SampleType	Unavailable	IRM Calibration Status	Success
Data Filename	20160126-22-61-3.d	ACQ Method	Unavailable	Comment	Sample information is unavailable	Acquired Time	Unavailable



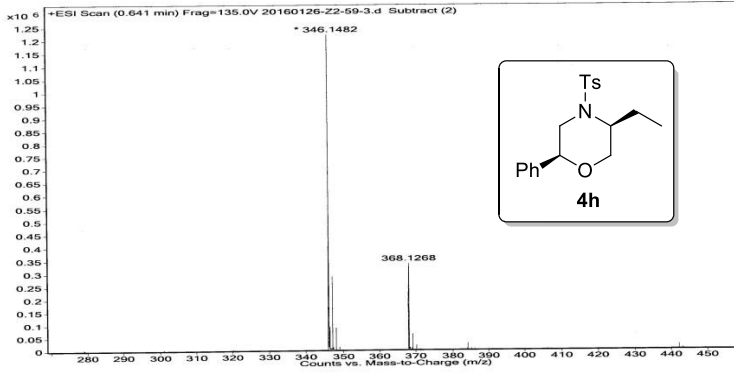
Sample Name	Unavailable	Position	Unavailable	Instrument Name	Unavailable	User Name	Unavailable
Inj Vol	Unavailable	InjPosition	Unavailable	SampleType	Unavailable	IRM Calibration Status	Success
Data Filename	20160126-22-52-3.d	ACQ Method	Unavailable	Comment	Sample information is unavailable	Acquired Time	Unavailable



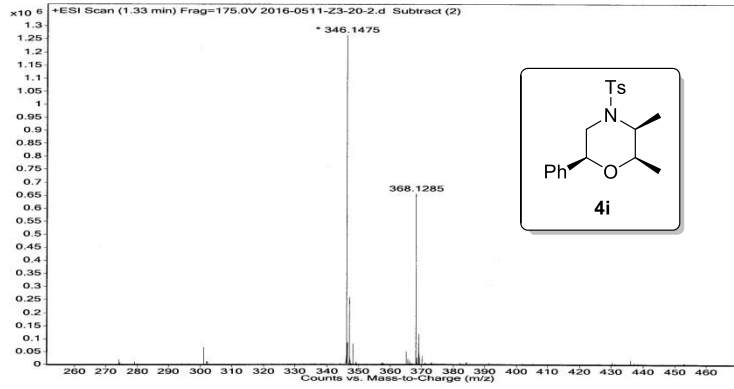
Sample Name	2015-1105-22-51-3	Position	P1-B7	Instrument Name	Instrument 1	User Name	
Inj Vol	-1	InjPosition		SampleType	Sample	IRM Calibration Status	Success
Data Filename	2015-1105-22-51-3.d	ACQ Method	0103.m	Comment		Acquired Time	11/5/2015 3:33:12 PM



Sample Name	20160126-22-61-3	Position	P1-E8	Instrument Name	Instrument 1	User Name
Inj Vol	-1	InjPosition		SampleType	Sample	IRM Calibration Status
Data Filename	20160126-22-59-3.d	ACQ Method	0103.m	Comment		Success
						Acquired Time
						1/26/2016 3:53:55 PM



Sample Name	2016-0511-23-20-2	Position	P1-F9	Instrument Name	Instrument 1	User Name
Inj Vol	-1	InjPosition		SampleType	Sample	IRM Calibration Status
Data Filename	2016-0511-23-20-2.d	ACQ Method	0103.m	Comment		Success
						Acquired Time
						5/11/2016 3:10:28 PM



Sample Name	2016-0511-23-21-2	Position	P1-E9	Instrument Name	Instrument 1	User Name
Inj Vol	-1	InjPosition		SampleType	Sample	IRM Calibration Status
Data Filename	2016-0511-23-21-2.d	ACQ Method	0103.m	Comment		Success
						Acquired Time
						5/11/2016 3:13:46 PM

