Supporting Information

Electrochemical oxidative cascade cyclization of olefinic amides and alcohols to synthesis of alkoxylated 4*H*-3,1-benzoxazines and indolines

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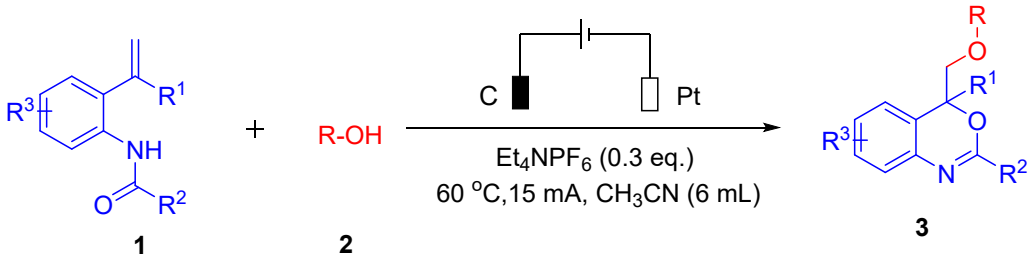
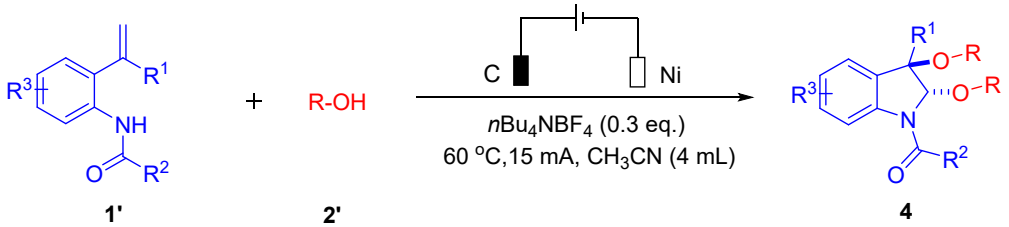
1. General information

Without special instructions, all reagents and solvents were commercially available and were not further purified. Column chromatography was carried out using silica gel (300-400 mesh). NMR spectroscopy was performed on Bruker AV-400 instruments. Chemical shifts for ^{1}H NMR spectra are reported as δ in units of parts per million (ppm) downfield from TMS (δ 0.00) and relative to the signal of chloroform-*d* (δ 7.26, singlet). The abbreviations used to explain the multiplicities were as follows: s, singlet; d, doublet; t, triplet; m, multiplet; brs, broad singlet and J, coupling constant in Hz. ^{13}C NMR spectra are reported as δ in units of parts per million (ppm) downfield from TMS (δ 0.00) and relative to the signal of chloroform-*d* (δ 77.00, triplet). HRMS spectra were recorded with Micromass QTOF2 Quadrupole/Time-of-Flight Tandem mass spectrometer using electron spray ionization. Cyclic voltammograms were recorded on a CHI 660E potentiostat.

2. Procedures for the electrolysis



The olefinic amide **1** (0.3 mmol, 1.0 equiv.), alcohol **2** (0.9 mmol, 3.0 equiv.), Et_4NPF_6 (30% mmol, 0.3 equiv) were placed in a 10 mL three-necked round-bottomed flask. The flask was equipped a graphite rod (*Φ* 6 mm) anode and a platinum plate (1 cm x 1 cm) cathode and CH_3CN (6 mL) was added. The reaction mixture was stirred and electrolyzed at a constant current of 15 mA for 1.5 h and stopped until complete consumption of olefinic amide. When the reaction was finished, the reaction mixture was washed with water and extracted with ethyl acetate (3×5 mL). The organic layers were combined, dried over Na_2SO_4, and concentrated. The pure product was obtained by flash column chromatography on silica gel to afford the **3**.



The olefinic amide **1'** (0.3 mmol, 1.0 equiv.), alcohol **2'** (2 mL), nBu$_4$NBF$_4$ (20% mmol, 0.3 equiv) were placed in a 10 mL three-necked round-bottomed flask. The flask was equipped a graphite rod (*Φ* 6 mm) anode and a platinum plate (1 cm x 1 cm) cathode and CH_3CN (4 mL) was added. The reaction mixture was stirred and electrolyzed at a constant

current of 15 mA for 2 h and stopped until complete consumption of olefinic amide. When the reaction was finished, the reaction mixture was washed with water and extracted with ethyl acetate (3×5 mL). The organic layers were combined, dried over Na_2SO_4, and concentrated. The pure product was obtained by flash column chromatography on silica gel to afford the **4**.

3. Calculation of green chemical index of the reactions

We also discussed the green chemical indexes of these two reactions.We have analyzed 6 general parameters which influence the quality of reaction conditions, and calculated the ecoscale value for the reaction separately according to the formula Ecoscale = 100 - sum of individual penalties. For the reaction of synthesizing alkoxylated 4*H*-3,1-benzoxazines, the sum of all penalty points is 36.5 (Table S1), which gives total score of 63.5.5 on the EcoScale (an acceptable synthesis). For the reaction of synthesizing indolines, the sum of all penalty points is 48 (Table S2), which gives total score of 52 on the EcoScale (an acceptable synthesis).

Table S1: The penalty points for the synthesis of alkoxylated 4*H*-3,1-benzoxazines

# 1–6 from Table S1	Penalty
1. Yield: 69 %	15.5
2. **1a** (0.071g, 0.3 mmol)	0
Et_4NPF_6 (0.025g, 0.3 equiv.)	0
3. CH_3CN (F)	5
4. Common glassware, stirring	0
5. 60 °C, 1.5 h	3
6. Liquid-liquid extraction	3
Classical chromatography	10
Penalty points total:	36.5

Table S2: The penalty points for the synthesis of indolines

# 1–6 from Table S2	Penalty
1. Yield: 66 %	17
2. **1a** (0.071g, 0.3 mmol)	0
nBu$_4$NBF$_4$ (0.030g, 0.3 equiv.)	0
3. CH_3CN (F)	5
CH_3OH (T, F)	10
4. Common glassware, stirring	0
5. 60 °C, 2 h	3
6. Liquid-liquid extraction	3
Classical chromatography	10
Penalty points total:	48

4. Crystal Structure of Compound 4o

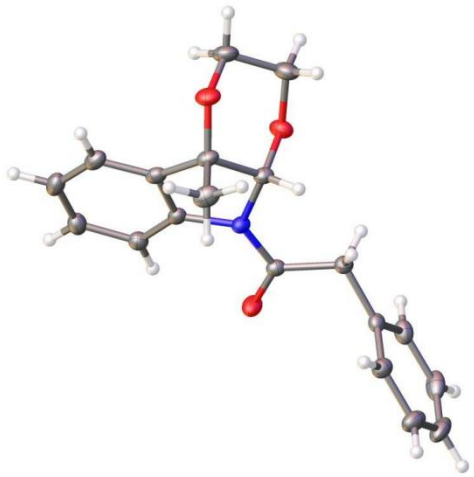


Figure S1 X-ray Structure of **4o**
CCDC: 2211955

Table S3 Crystal data and structure refinement for 4o.

Identification code	40
Empirical formula	$C_{19}H_{19}NO_3$
Formula weight	309.35
Temperature/K	169.99(10)
Crystal system	orthorhombic
Space group	Pbca
a/Å	18.0732(3)
b/Å	8.28431(18)
c/Å	20.8875(4)
α/°	90
β/°	90
γ/°	90
Volume/Å^3	3127.35(10)
Z	8
ρ_{calc}g/cm^3	1.314
μ/mm^{-1}	0.718
F(000)	1312.0
Crystal size/mm^3	0.16 × 0.13 × 0.12
Radiation	Cu Kα (λ = 1.54184)
2Θ range for data collection/°	8.466 to 147.498
Index ranges	-22 ≤ h ≤ 22, -9 ≤ k ≤ 9, -25 ≤ l ≤ 21
Reflections collected	13555
Independent reflections	3076 [R_{int} = 0.0297, R_{sigma} = 0.0210]
Data/restraints/parameters	3076/0/209

Goodness-of-fit on F^2	1.050
Final R indexes [I>=2σ (I)]	R_1 = 0.0400, wR_2 = 0.1012
Final R indexes [all data]	R_1 = 0.0425, wR_2 = 0.1034
Largest diff. peak/hole / e Å^{-3}	0.17/-0.23

Crystal structure determination of 4o

Crystal Data for $C_{19}H_{19}NO_3$ (*M* =309.35 g/mol): orthorhombic, space group Pbca (no. 61), *a* = 18.0732(3) Å, *b* = 8.28431(18) Å, *c* = 20.8875(4) Å, *V* = 3127.35(10) Å^3, *Z* = 8, *T* = 169.99(10) K, μ(Cu Kα) = 0.718 mm^{-1}, *Dcalc* = 1.314 g/cm^3, 13555 reflections measured (8.466° ≤ 2Θ ≤ 147.498°), 3076 unique (R_{int} = 0.0297, R_{sigma} = 0.0210) which were used in all calculations. The final R_1 was 0.0400 (I > 2σ(I)) and wR_2 was 0.1034 (all data).

Refinement model description

Table S4 Fractional Atomic Coordinates (×10^4) and Equivalent Isotropic Displacement Parameters (Å^2×10^3) for 4o. U_{eq} is defined as 1/3 of the trace of the orthogonalised U_{IJ} tensor.

Atom	*x*	*y*	*z*	U(eq)
O1	6914.6(5)	8459.3(11)	7467.3(4)	32.2(2)
O2	5569.1(5)	4414.0(11)	7361.7(4)	30.5(2)
O3	5733.5(5)	2699.3(12)	6195.7(5)	32.0(2)
N1	6468.7(5)	6168.7(12)	7031.2(5)	22.3(2)
C1	6013.9(6)	5603.5(16)	6030.0(6)	25.0(3)
C2	5743.9(7)	5939.7(18)	5426.6(6)	30.9(3)
C3	5623.8(7)	7539(2)	5255.3(6)	34.6(3)
C4	5784.8(7)	8772.8(18)	5682.9(6)	31.7(3)
C5	6075.8(6)	8451.7(16)	6288.9(6)	26.7(3)
C6	6187.9(6)	6849.6(15)	6452.1(6)	22.8(3)
C7	6224.4(6)	4004.7(16)	6327.2(6)	25.5(3)
C8	6978.4(7)	3448.2(19)	6088.7(7)	35.1(3)
C9	6267.1(6)	4467.3(15)	7045.9(6)	23.5(3)
C10	5046.0(7)	2781.5(19)	6532.8(7)	37.1(3)
C11	5186.4(8)	2929.9(18)	7238.5(7)	37.8(3)
C12	6793.7(6)	7015.4(14)	7521.5(6)	21.3(3)
C13	6979.7(6)	6071.1(15)	8121.1(6)	24.7(3)
C14	7538.2(7)	6894.6(15)	8544.9(6)	23.3(3)
C15	7327.0(8)	7862.2(16)	9055.6(6)	31.3(3)
C16	7861.0(10)	8560.8(18)	9450.1(7)	42.3(4)
C17	8601.7(9)	8299(2)	9334.9(8)	45.0(4)
C18	8819.7(8)	7346.2(19)	8828.2(8)	39.1(3)
C19	8290.1(7)	6643.4(16)	8436.5(6)	28.7(3)

Table S5 Anisotropic Displacement Parameters (Å^2×10^3) for 4o. The Anisotropic displacement factor exponent takes the form: -2π^2[h^{2}a*^{2}U$_{11}$+2hka*b*U$_{12}$+…].

Atom	U_{11}	U_{22}	U_{33}	U_{23}	U_{13}	U_{12}
O1	44.0(5)	21.1(5)	31.6(5)	-0.2(4)	-11.9(4)	-5.1(4)
O2	29.3(5)	29.5(5)	32.7(5)	-3.5(4)	6.3(4)	-7.3(4)
O3	30.1(5)	28.3(5)	37.4(5)	-9.9(4)	-1.5(4)	-7.5(4)
N1	22.5(4)	19.6(5)	24.8(5)	-0.8(4)	-3.7(4)	-1.4(4)
C1	17.4(5)	31.0(7)	26.5(6)	-3.9(5)	1.0(4)	-3.0(5)
C2	24.2(6)	42.5(8)	26.0(6)	-5.5(6)	-2.4(5)	-2.7(5)
C3	30.0(6)	48.9(9)	24.9(6)	4.0(6)	-3.1(5)	0.2(6)
C4	30.6(6)	34.9(8)	29.7(7)	7.6(6)	0.1(5)	0.7(5)
C5	24.6(6)	27.9(7)	27.7(6)	1.0(5)	0.0(5)	-1.8(5)
C6	17.5(5)	28.0(6)	23.0(6)	-0.3(5)	-0.7(4)	-2.0(4)
C7	21.2(5)	26.7(7)	28.6(6)	-7.5(5)	-1.9(4)	-2.9(5)
C8	27.0(6)	38.4(8)	40.0(7)	-11.7(6)	1.7(5)	3.6(5)
C9	21.6(5)	20.9(6)	27.9(6)	-3.1(5)	-1.5(4)	-2.2(4)
C10	26.3(6)	41.4(8)	43.5(8)	-4.0(6)	-1.0(5)	-13.0(6)
C11	35.6(7)	36.2(8)	41.5(8)	-1.3(6)	4.0(6)	-15.7(6)
C12	19.4(5)	19.8(6)	24.5(6)	-2.1(4)	-0.7(4)	0.2(4)
C13	25.3(5)	23.0(6)	26.0(6)	0.9(5)	-2.7(4)	-2.1(5)
C14	29.0(6)	18.9(6)	22.0(5)	2.3(5)	-2.9(5)	-0.5(4)
C15	43.9(8)	25.9(7)	24.2(6)	0.6(5)	2.3(5)	4.0(5)
C16	76.9(11)	28.3(8)	21.8(6)	-4.1(5)	-7.2(7)	0.8(7)
C17	59.1(10)	36.6(8)	39.2(8)	0.4(7)	-26.4(7)	-7.5(7)
C18	34.5(7)	35.5(8)	47.3(8)	2.8(6)	-15.6(6)	-2.3(6)
C19	29.3(6)	25.7(7)	31.1(6)	-0.7(5)	-4.5(5)	0.7(5)

Atom	Atom	Length/Å	Atom	Atom	Length/Å
O1	C12	1.2212(16)	C4	C5	1.3962(18)
O2	C9	1.4242(14)	C5	C6	1.3852(18)
O2	C11	1.4339(16)	C7	C8	1.5224(16)
O3	C7	1.4254(15)	C7	C9	1.5513(16)
O3	C10	1.4299(16)	C10	C11	1.501(2)
N1	C6	1.4280(15)	C12	C13	1.5144(16)
N1	C9	1.4562(15)	C13	C14	1.5061(16)
N1	C12	1.3733(15)	C14	C15	1.3879(18)
C1	C2	1.3801(18)	C14	C19	1.3933(17)
C1	C6	1.3934(17)	C15	C16	1.395(2)
C1	C7	1.5114(18)	C16	C17	1.377(2)

C2	C3	1.390(2)	C17	C18	1.378(2)
C3	C4	1.388(2)	C18	C19	1.3872(18)

Atom	Atom	Atom	Angle/°	Atom	Atom	Atom	Angle/°
C9	O2	C11	111.76(10)	C1	C7	C9	101.15(10)
C7	O3	C10	114.19(10)	C8	C7	C9	110.30(10)
C6	N1	C9	108.13(9)	O2	C9	N1	105.16(9)
C12	N1	C6	125.60(10)	O2	C9	C7	113.36(9)
C12	N1	C9	125.85(10)	N1	C9	C7	103.37(10)
C2	C1	C6	120.54(13)	O3	C10	C11	109.92(11)
C2	C1	C7	129.86(12)	O2	C11	C10	109.14(12)
C6	C1	C7	109.43(10)	O1	C12	N1	120.51(11)
C1	C2	C3	118.86(13)	O1	C12	C13	122.88(11)
C4	C3	C2	120.24(12)	N1	C12	C13	116.61(10)
C3	C4	C5	121.47(13)	C14	C13	C12	113.63(10)
C6	C5	C4	117.43(12)	C15	C14	C13	121.94(11)
C1	C6	N1	108.88(11)	C15	C14	C19	118.66(12)
C5	C6	N1	129.71(11)	C19	C14	C13	119.38(11)
C5	C6	C1	121.41(11)	C14	C15	C16	120.22(13)
O3	C7	C1	115.41(10)	C17	C16	C15	120.26(14)
O3	C7	C8	105.32(10)	C16	C17	C18	120.16(13)
O3	C7	C9	113.88(10)	C17	C18	C19	119.74(14)
C1	C7	C8	110.87(11)	C18	C19	C14	120.95(13)

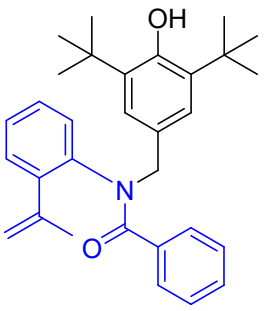
A	B	C	D	Angle/°	A	B	C	D	Angle/°
O1	C12	C13	C14	-19.15(17)	C7	C1	C6	C5	177.67(10)
O3	C7	C9	O2	-39.68(14)	C8	C7	C9	O2	-157.84(11)
O3	C7	C9	N1	-152.97(10)	C8	C7	C9	N1	88.87(12)
O3	C10	C11	O2	63.11(16)	C9	O2	C11	C10	-61.09(15)
N1	C12	C13	C14	161.52(10)	C9	N1	C6	C1	-16.79(12)
C1	C2	C3	C4	0.99(19)	C9	N1	C6	C5	162.49(12)
C1	C7	C9	O2	84.76(11)	C9	N1	C12	O1	-177.21(11)
C1	C7	C9	N1	-28.53(11)	C9	N1	C12	C13	2.14(16)
C2	C1	C6	N1	-178.70(10)	C10	O3	C7	C1	-73.50(14)
C2	C1	C6	C5	1.95(17)	C10	O3	C7	C8	163.87(11)
C2	C1	C7	O3	-41.66(18)	C10	O3	C7	C9	42.90(15)
C2	C1	C7	C8	77.97(15)	C11	O2	C9	N1	161.47(10)

C2	C1	C7	C9	-165.06(12)	C11	O2	C9	C7	49.27(14)
C2	C3	C4	C5	0.6(2)	C12	N1	C6	C1	170.30(10)
C3	C4	C5	C6	-0.96(19)	C12	N1	C6	C5	-10.43(19)
C4	C5	C6	N1	-179.51(11)	C12	N1	C9	O2	82.37(13)
C4	C5	C6	C1	-0.31(17)	C12	N1	C9	C7	-158.52(10)
C6	N1	C9	O2	-90.52(11)	C12	C13	C14	C15	94.74(14)
C6	N1	C9	C7	28.59(11)	C12	C13	C14	C19	-87.31(14)
C6	N1	C12	O1	-5.52(18)	C13	C14	C15	C16	177.85(12)
C6	N1	C12	C13	173.82(10)	C13	C14	C19	C18	-178.20(12)
C6	C1	C2	C3	-2.25(18)	C14	C15	C16	C17	0.2(2)
C6	C1	C7	O3	143.15(10)	C15	C14	C19	C18	-0.2(2)
C6	C1	C7	C8	-97.23(12)	C15	C16	C17	C18	0.1(2)
C6	C1	C7	C9	19.75(12)	C16	C17	C18	C19	-0.4(2)
C7	O3	C10	C11	-54.65(16)	C17	C18	C19	C14	0.4(2)
C7	C1	C2	C3	-176.99(12)	C19	C14	C15	C16	-0.12(19)
C7	C1	C6	N1	-2.98(13)					

Table S6 Hydrogen Atom Coordinates (Å×10^4) and Isotropic Displacement Parameters (Å^2×10^3) for 4o.

Atom	*x*	*y*	*z*	U(eq)
H2	5641.85	5092.12	5133.21	37
H3	5430.76	7789.73	4844.11	42
H4	5694.96	9859.74	5560.57	38
H5	6192.48	9299.3	6578.13	32
H8A	7118.97	2450.83	6309.75	53
H8B	7347.25	4286.65	6176.16	53
H8C	6954.2	3248.74	5626.71	53
H9	6646.22	3809.04	7276.99	28
H10A	4752.51	1796.23	6446.61	44
H10B	4758.62	3725.07	6381.94	44
H11A	4710.87	2916.09	7473.68	45
H11B	5488.59	2006.98	7387.89	45
H13A	6519.87	5893.1	8368.89	30
H13B	7174.76	5000.18	7995.61	30
H15	6816.94	8049.3	9136.77	38
H16	7713.17	9219.75	9799.7	51
H17	8963.09	8776.69	9605.43	54
H18	9330.74	7171.29	8747.33	47
H19	8442.33	5981.18	8089.3	34

5. The HRMS spectra of compounds 5, 7 and H.



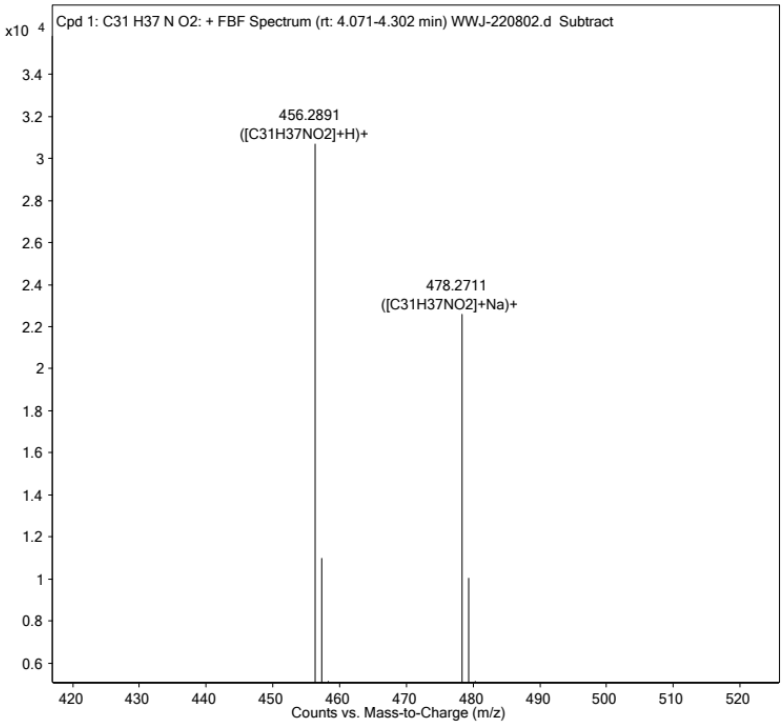
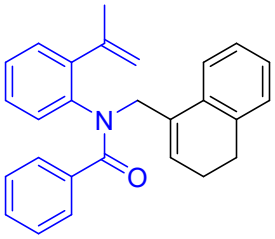


Figure S2 HRMS of compound **5**: calcd for $C_{31}H_{38}NO_2[M+H]^+$ 456.2903, found 456.2891(m/z) (ESI).



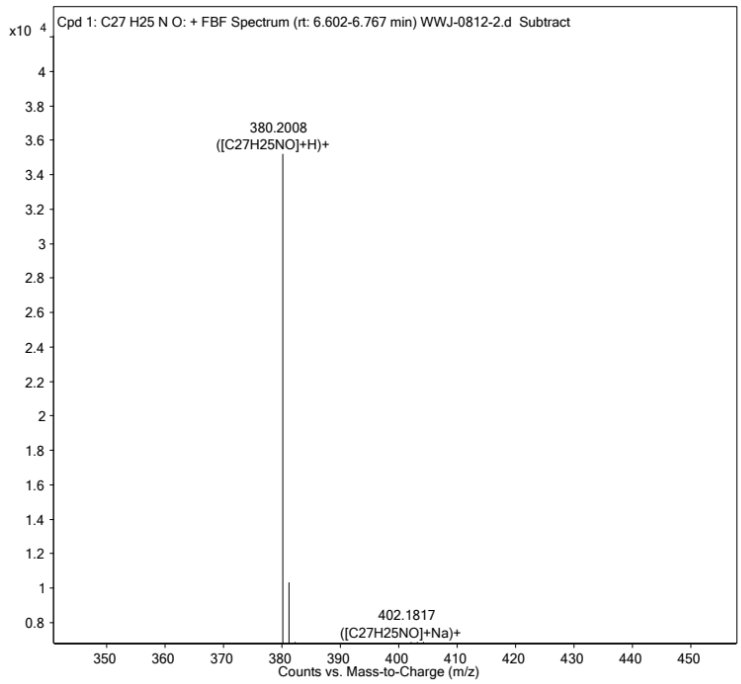
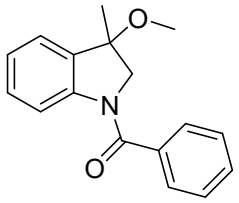


Figure S3 HRMS of compound **7**: calcd for $C_{27}H_{26}NO$ $[M+H]^+$ 380.2014, found 380.2008 (m/z) (ESI).



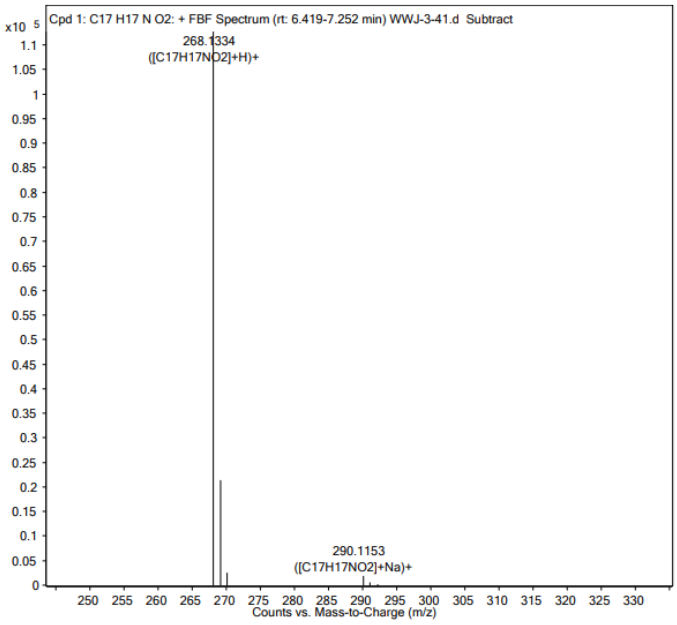


Figure S4 HRMS of intermediate **H**: calcd for $C_{17}H_{18}NO_2[M+H]^+$ 268.1338, found 268.1334(m/z) (ESI).

6. Procedure for cyclic voltammetry (CV)

Cyclic voltammetry was performed in a three electrodes cell connected to a schlenk line under nitrogen at room temperature. The working electrode was a steady glassy carbon disk electrode, the counter electrode a platinum wire. The reference was a Ag/AgCl electrode submerged in saturated aqueous KCl solution, and separated from reaction by a salt bridge. The scan rate is 0.1 V/s, ranging from 0 V to 2.0 V. The cyclic voltagrams was given in Figure S5. The CV of **1a** displays two oxidation peaks at 1.65 V and 2.35 V in CH_3CN (curve a), which correspond to the oxidation of olefin double bond to olefin double bond cation radical and nitrogen anion to nitrogen radical respectively. Similarly, The CV of **1a** displays two oxidation peaks at 1.62 V and 1.85 V in CH_3CN/CH_3OH (curve b), which correspond to the oxidation of olefin double bond to olefin double bond cation radical and nitrogen anion to nitrogen radical respectively. The results show that the peak of nitrogen anion to nitrogen radical moves forward obviously after methanol is added, indicating that add methanol will tend to produce nitrogen radical, which leads to the formation of five-membered ring compounds.

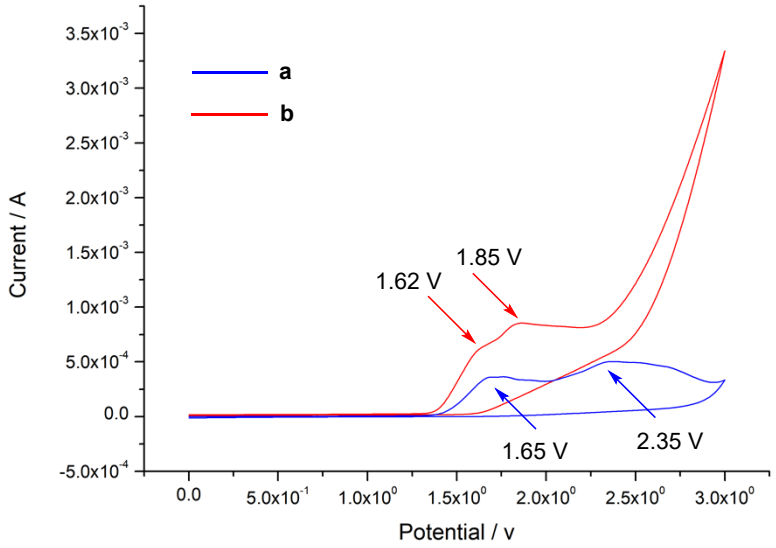


Figure S5. Cyclic voltammograms of 0.1 M $LiClO_4$ at room temperature, Pt disk and Ag/AgCl as counter and reference electrode at 100 mV/s scan rate: (a) **1a** (10 mmoL) + CH_3CN (9 mL); (b) **1a** (10 mmoL) + CH_3CN (6 mL) + CH_3OH (3 mL).

In order to further study the influence of the amount of methanol on the reaction, we carried out more cyclic voltammetry experiments. When the amount of methanol gradually increased from 3 equv to 3 mL, the peak of nitrogen anion to nitrogen radical gradually moved forward, and the current gradually increased, as shown in Figure S6, indicating that the increase of the amount of methanol can promote the reaction; However, when the amount of methanol increases from 3 mL to 9 mL, the peak of nitrogen anion to nitrogen radical does

not change, and the current gradually decreases, as shown in Figure S7, indicating that when the volume ratio of CH_3CN/CH_3OH is 2:1, it is the optimal solvent ratio to generate five membered ring products.

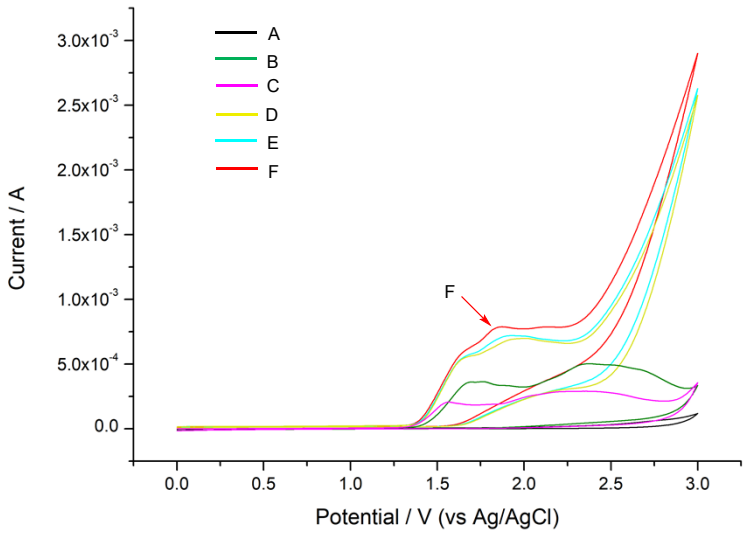


Figure S6. Cyclic voltammograms of 0.1 M $LiClO_4$ at room temperature, Pt disk and Ag/AgCl as counter and reference electrode at 100 mV/s scan rate: (a) CH_3CN (9 mL); (b) **1a** (10 mmol) + CH_3CN (9 mL); (c) **1a** (10 mmol) + CH_3CN (9 mL) + CH_3OH (10 mmol) ; (d) **1a** (10 mmol) + CH_3CN (8 mL) + CH_3OH (1 mL); (e) **1a** (10 mmol) + CH_3CN (7 mL) + CH_3OH (2 mL); (f) **1a** (10 mmol) + CH_3CN (6 mL) + CH_3OH (3 mL) .

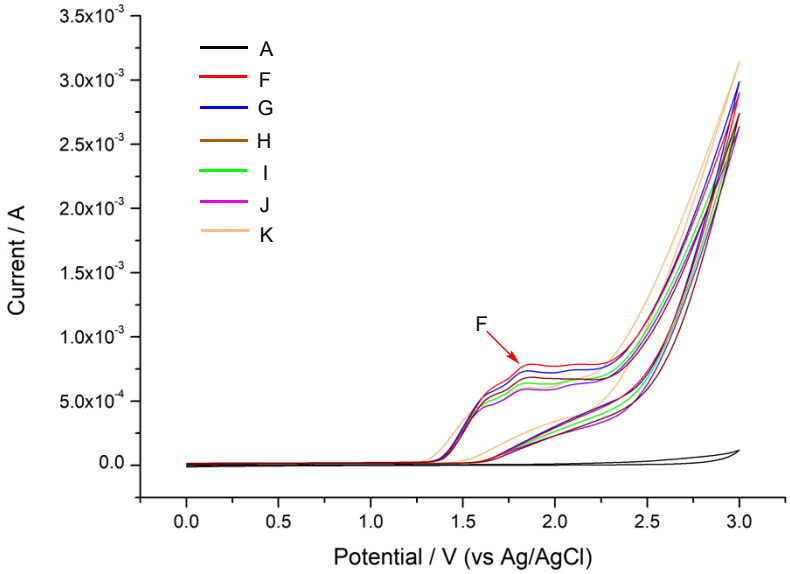


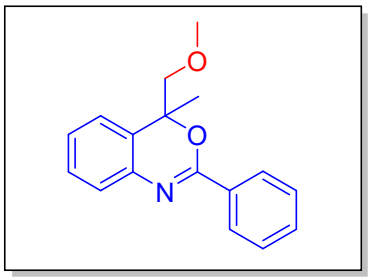
Figure S7. Cyclic voltammograms of 0.1 M $LiClO_4$ at room temperature, Pt disk and Ag/AgCl as counter and reference electrode at 100 mV/s scan rate: (a) CH_3CN (9 mL); (f) **1a** (10 mmol) + CH_3CN (6 mL) + CH_3OH (3 mL); (g) **1a** (10 mmol) + CH_3CN (5 mL) + CH_3OH

(4 mL) ; (h) **1a** (10 mmol) + CH_3CN (4 mL) + CH_3OH (5 mL); (i) **1a** (10 mmol) + CH_3CN (3 mL) + CH_3OH (6 mL); (j) **1a** (10 mmol) + CH_3CN (2 mL) + CH_3OH (7 mL); (k) **1a** (10 mmol) + CH_3OH (9 mL).

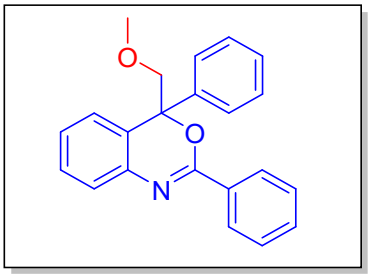
7. Study on anti-tumor activity

MGC-803 (Human gastric cancer cells), MIA PaCa-2 (Human pancreatic cancer cells), MDA-MB-231(Human breast cancer cells) and HeLa (Human cervical cancer cells) used in the experiment were from the Shanghai Cell Bank of the Chinese Academy of Sciences. The 180 μL cell suspensions (4500-5000 cells/mL) was seeded in 96-well plates and incubated for 24 h. All compounds and 5-FU were dissolved in the Phosphate Buffered Saline (PBS) with 1% DMSO to give various concentrations (2.5, 5, 10, 20, and 40 μM, respectively) to 96-well plates and control wells contained supplemented media with 1% DMSO. Continue incubating for 48 h at 37 ^oC in 5% CO_2 atmosphere and then the MTT (Solarbio) solution (10 μL, 5 mg/mL) was added into each well and the cultures were incubated further for 4~6 h. After removal of the supernatant, DMSO (100 μL) was added to dissolve the formazan crystals. The absorbance was read by enzyme labeling instrument with 570/630 nm double wavelength measurement. The cytotoxicity was estimated based on the percentage cell survival in a dose dependent manner relative to the negative control. The final IC_{50} (a drug concentration killing 50% cells) values were calculated by the Bliss method. All the tests were repeated in at least three independent experiments. The fetal bovine serum (Gemini) used in the experiment was produced in Uruguay, South America, and the culture medium (Gibco) was produced in China.

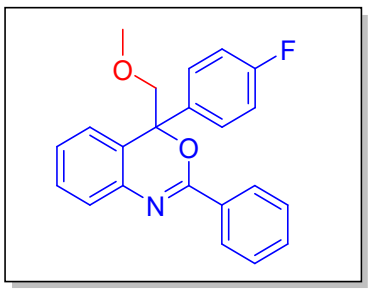
8. Characterization data for all products



4-(methoxymethyl)-4-methyl-2-phenyl-4*H*-benzo[*d*][1,3]oxazine (3a). yellow oil (69%, 55.3 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.21-8.14 (m, 2H), 7.56 (m, 1H), 7.51 (m, 1H), 7.48-7.40 (m, 3H), 7.34 (m, 1H), 7.20 (m, 1H), 4.47 (s, 2H), 3.25 (s, 3H), 1.51 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.22, 140.92, 138.44, 134.52, 131.83, 130.92, 128.70, 128.40, 128.23, 126.11, 125.08, 76.60, 74.60, 51.20, 24.50. HRMS (m/z) (ESI): calcd for $C_{17}H_{18}NO_2$ $[M+H]^+$ 268.1332, found 268.1333.

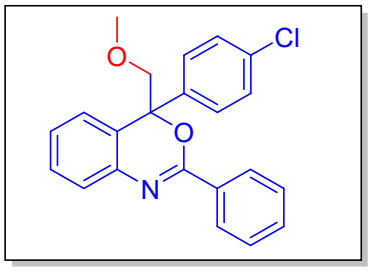


4-(methoxymethyl)-2,4-diphenyl-4*H*-benzo[*d*][1,3]oxazine (3b). yellow oil (57%, 56.3 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.11-8.06 (m, 2H), 7.64 (m, 1H), 7.44-7.36 (m, 4H), 7.33-7.27 (m, 5H), 7.24 (m, 1H), 7.17-7.12 (m, 1H), 4.74 (m, 1H), 4.63 (m, 1H), 3.30 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 153.19, 142.99, 141.56, 136.29, 134.40, 131.96, 130.90, 129.78, 128.97, 128.71, 128.37, 128.15, 127.93, 127.50, 124.76, 82.39, 75.26, 52.61. HRMS (m/z) (ESI): calcd for $C_{22}H_{20}NO_2$ $[M+H]^+$ 330.1489, found 330.1483.

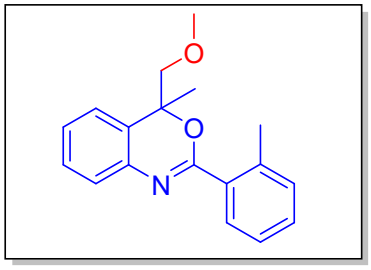


4-(4-fluorophenyl)-4-(methoxymethyl)-2-phenyl-4*H*-benzo[*d*][1,3]oxazine (3c). yellow oil (59%, 61.5 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00-7.93 (m, 2H), 7.54 (m, 1H), 7.36-7.27 (m, 4H), 7.20-7.13 (m, 3H), 7.10-7.05 (m, 1H), 6.91-6.86 (m, 2H), 4.63-4.51 (m, 2H), 3.20 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 162.37 (d, J = 245.0 Hz), 153.21, 142.67, 137.55 (d, J = 3.0 Hz), 136.10, 134.17, 131.98, 131.05, 129.38 (d, J = 8.0 Hz), 129.26, 129.08, 128.70, 128.19, 125.02, 115.22 (d, J = 21.0 Hz), 81.80, 75.14, 52.52. ^{19}F (376 MHz, $CDCl_3$) δ -114.52. HRMS (m/z) (ESI):

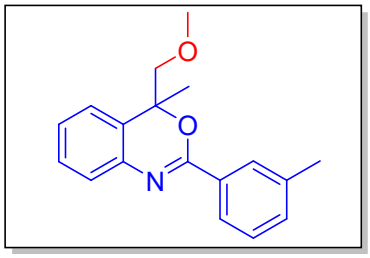
calcd for $C_{22}H_{19}FNO_2$ $[M+H]^+$ 348.1394, found 348.1400.



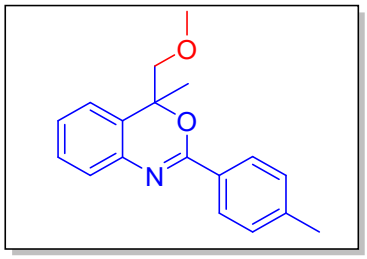
4-(4-chlorophenyl)-4-(methoxymethyl)-2-phenyl-4*H*-benzo[*d*][1,3]oxazine (3d). yellow oil (63%, 68.8 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.10-8.04 (m, 2H), 7.64 (m, 1H), 7.46-7.36 (m, 4H), 7.30-7.13 (m, 6H), 4.69-4.60 (m, 2H), 3.29 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 153.17, 142.82, 140.53, 135.85, 134.17, 133.85, 132.13, 131.05, 129.41, 129.14, 128.96, 128.71, 128.50, 128.21, 125.04, 81.85, 74.95, 52.56. HRMS (m/z) (ESI): calcd for $C_{22}H_{19}ClNO_2$ $[M+H]^+$ 364.1099, found 364.1107.



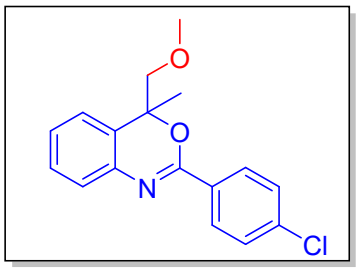
4-(methoxymethyl)-4-methyl-2-(o-tolyl)-4*H*-benzo[*d*][1,3]oxazine (3e). yellow oil (54%, 45.6 mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.73 (m, 1H), 7.50 (m, 1H), 7.47 (m, 1H), 7.34-7.28 (m, 2H), 7.26-7.22 (m, 2H), 7.21-7.17 (m, 1H), 4.50-4.44 (m, 2H), 3.26 (s, 3H), 2.58 (s, 3H), 1.54 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 154.23, 141.08, 138.13, 137.82, 135.27, 131.44, 131.29, 129.87, 129.65, 128.46, 126.10, 125.76, 125.09, 76.54, 75.03, 51.19, 24.31, 21.35. HRMS (m/z) (ESI): calcd for $C_{18}H_{20}NO_2$ $[M+H]^+$ 282.1489, found 282.1493.



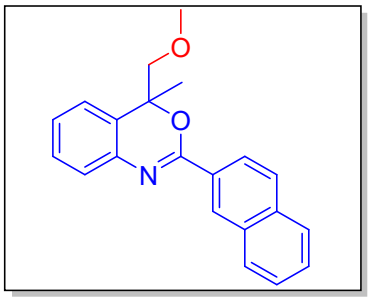
4-(methoxymethyl)-4-methyl-2-(m-tolyl)-4*H*-benzo[*d*][1,3]oxazine (3f). yellow oil (55%, 46.4 mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.03-7.92 (m, 2H), 7.57 (m, 1H), 7.50 (m, 1H), 7.35-7.27 (m, 3H), 7.19 (m, 1H), 4.47 (s, 2H), 3.25 (s, 3H), 2.43 (s, 3H), 1.51 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 152.50, 140.98, 138.38, 137.88, 134.41, 131.77, 129.29, 128.40, 128.16, 126.12, 125.88, 125.03, 124.61, 76.60, 74.66, 51.20, 24.48, 21.57. HRMS (m/z) (ESI): calcd for $C_{18}H_{20}NO_2$ $[M+H]^+$ 282.1489, found 282.1492.



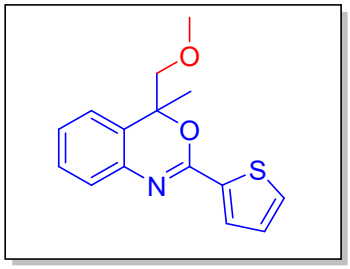
4-(methoxymethyl)-4-methyl-2-(p-tolyl)-4*H*-benzo[*d*][1,3]oxazine (3g). yellow oil (72%, 60.8 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.05 (m, 2H), 7.55 (m, 1H), 7.50 (m, 1H), 7.35-7.30 (m, 1H), 7.24-7.16 (m, 3H), 4.45 (s, 2H), 3.24 (s, 3H), 2.41 (s, 3H), 1.50 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.65, 141.32, 141.02, 138.32, 131.71, 128.99, 128.75, 128.38, 126.14, 124.95, 76.64, 74.62, 51.20, 24.61, 21.63. HRMS (m/z) (ESI): calcd for $C_{18}H_{20}NO_2$ $[M+H]^+$ 282.1489, found 282.1490.



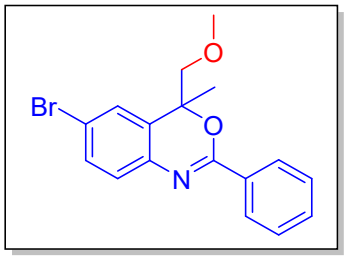
2-(4-chlorophenyl)-4-(methoxymethyl)-4-methyl-4*H*-benzo[*d*][1,3]oxazine (3h). yellow oil (62%, 56.1 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.17-8.08 (m, 2H), 7.54-7.48 (m, 2H), 7.41-7.30 (m, 3H), 7.22-7.17 (m, 1H), 4.45 (s, 2H), 3.24 (s, 3H), 1.50 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 150.95, 140.70, 138.34, 136.93, 133.02, 131.72, 129.95, 128.36, 128.30, 126.06, 125.13, 76.46, 74.58, 51.07, 24.21. HRMS (m/z) (ESI): calcd for $C_{17}H_{17}ClNO_2$ $[M+H]^+$ 302.0942, found 302.0945.



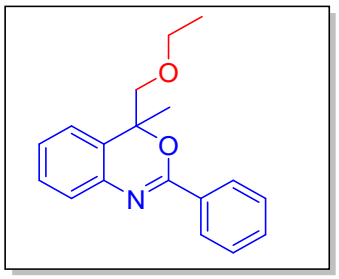
4-(methoxymethyl)-4-methyl-2-(naphthalen-2-yl)-4*H*-benzo[*d*][1,3]oxazine (3i). yellow oil (50%, 47.6 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.64 (s, 1H), 8.30 (m, 1H), 7.98-7.92 (m, 1H), 7.87 (m, 2H), 7.63 (m, 1H), 7.56-7.49 (m, 3H), 7.39-7.33 (m, 1H), 7.24-7.18 (m, 1H), 4.54 (s, 2H), 3.26 (s, 3H), 1.55 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 141.02, 138.40, 134.74, 132.98, 131.87, 131.79, 129.19, 129.00, 128.49, 127.84, 127.80, 127.39, 126.40, 126.24, 125.76, 125.21, 76.70, 74.84, 51.25, 24.57. HRMS (m/z) (ESI): calcd for $C_{21}H_{20}NO_2$ $[M+H]^+$ 318.1489, found 318.1493.



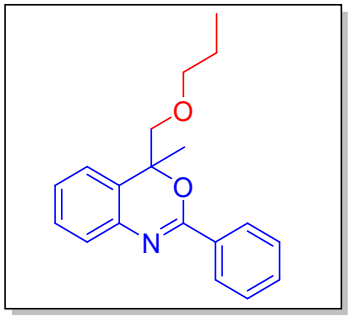
4-(methoxymethyl)-4-methyl-2-(thiophen-2-yl)-4*H*-benzo[*d*][1,3]oxazine (3j). yellow oil (48%, 39.4mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.71 (s, 1H), 7.53-7.46 (m, 2H), 7.43 (m, 1H), 7.33-7.29 (m, 1H), 7.20-7.16 (m, 1H), 7.09-7.05 (m, 1H), 4.44 (m, 2H), 3.23 (s, 3H), 1.51 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 149.28, 140.42, 139.36, 137.89, 131.36, 130.26, 130.00, 128.35, 127.74, 126.12, 125.04, 76.52, 74.76, 51.09, 24.46. HRMS (m/z) (ESI): calcd for $C_{15}H_{16}NO_2S$ $[M+H]^+$ 274.0896, found 274.0899.



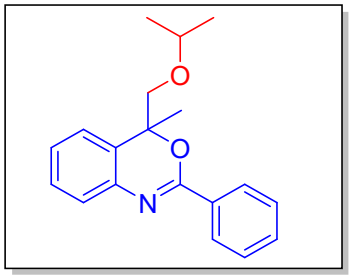
6-bromo-4-(methoxymethyl)-4-methyl-2-phenyl-4*H*-benzo[*d*][1,3]oxazine (3k). yellow oil (61%, 63.4mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.16-8.11 (m, 2H), 7.63 (m, 1H), 7.48-7.38 (m, 5H), 4.47-4.39 (m, 2H), 3.28 (s, 3H), 1.48 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.47, 140.65, 139.73, 134.09, 133.23, 131.27, 131.00, 128.75, 128.57, 128.14, 118.72, 76.17, 73.87, 51.04, 23.85. HRMS (m/z) (ESI): calcd for $C_{17}H_{17}BrNO_2$ $[M+H]^+$ 346.0437, found 346.0437.



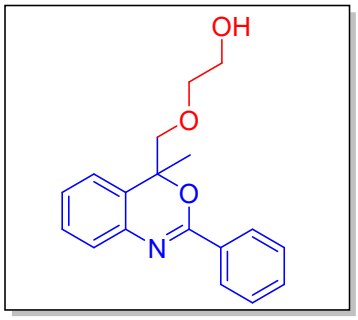
4-(ethoxymethyl)-4-methyl-2-phenyl-4*H*-benzo[*d*][1,3]oxazine (3l). yellow oil (61%, 51.5mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.20-8.13 (m, 2H), 7.55-7.51 (m, 2H), 7.47-7.40 (m, 3H), 7.34-7.30 (m, 1H), 7.18 (m, 1H), 4.47 (s, 2H), 3.41 (m, 2H), 1.51 (s, 3H), 1.21 (m, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 152.00, 140.68, 139.08, 134.51, 131.66, 130.68, 128.50, 128.09, 128.06, 125.72, 124.90, 76.47, 74.69, 58.46, 25.06, 15.85. HRMS (m/z) (ESI): calcd for $C_{18}H_{20}NO_2$ $[M+H]^+$ 282.1489, found 282.1490.



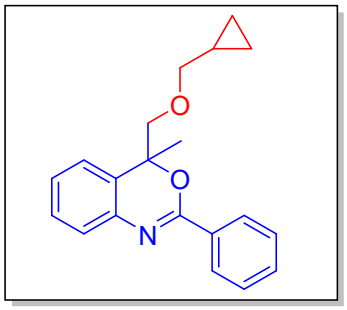
4-methyl-2-phenyl-4-(propoxymethyl)-4*H*-benzo[*d*][1,3]oxazine (3m). yellow oil (58%, 51.4mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.15 (m, 2H), 7.52 (m, 2H), 7.48-7.37 (m, 3H), 7.32 (m, 1H), 7.17 (m, 1H), 4.46 (s, 2H), 3.37-3.25 (m, 2H), 1.60 (m, 2H), 1.51 (s, 3H), 0.90 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.04, 140.74, 139.19, 134.57, 131.54, 130.66, 128.50, 128.09, 128.05, 125.84, 124.81, 76.16, 74.79, 64.60, 24.64, 23.58, 10.60. HRMS (m/z) (ESI): calcd for $C_{19}H_{22}NO_2$ $[M+H]^+$ 296.1645, found 296.1644.



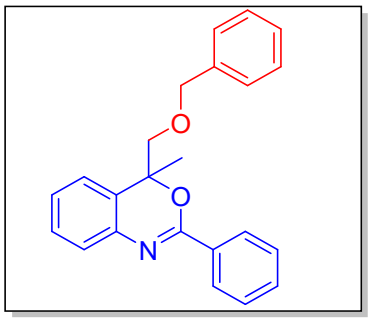
4-(isopropoxymethyl)-4-methyl-2-phenyl-4*H*-benzo[*d*][1,3]oxazine (3n). yellow oil (53%, 47.0mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.21-8.12 (m, 2H), 7.57 (m, 1H), 7.54-7.49 (m, 1H), 7.48-7.39 (m, 3H), 7.32 (m, 1H), 7.16 (m, 1H), 4.43 (m, 2H), 3.77 (m, 1H), 1.57 (s, 3H), 1.16 (m, 3H), 1.09 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 140.06, 138.33, 133.50, 130.14, 129.72, 127.55, 127.30, 127.05, 125.42, 123.62, 75.93, 74.75, 64.92, 23.88, 23.75, 23.29. HRMS (m/z) (ESI): calcd for $C_{19}H_{22}NO_2$ $[M+H]^+$ 296.1645, found 296.1644.



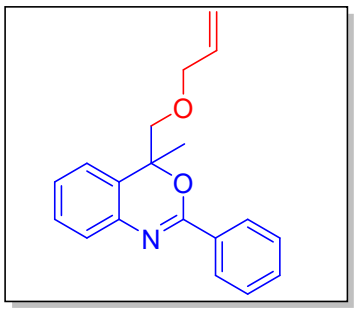
2-((4-methyl-2-phenyl-4*H*-benzo[*d*][1,3]oxazin-4-yl)methoxy)ethan-1-ol (3o). yellow oil (60%, 53.5mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.22-8.08 (m, 2H), 7.52-7.40 (m, 5H), 7.36-7.31 (m, 1H), 7.17 (m, 1H), 4.56-4.46 (m, 2H), 3.72-3.61 (m, 2H), 3.56-3.50 (m, 1H), 3.39 (m, 1H), 1.57 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.94, 141.55, 137.77, 134.46, 131.37, 131.00, 128.74, 128.63, 128.29, 126.07, 124.95, 76.77, 76.45, 64.48, 62.32, 23.87. HRMS (m/z) (ESI): calcd for $C_{18}H_{20}NO_3$ $[M+H]^+$ 298.1438, found 298.1440.



4-((cyclopropylmethoxy)methyl)-4-methyl-2-phenyl-4*H*-benzo[*d*][1,3]oxazine (3p). yellow oil (63%, 58.1mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.16 (m, 2H), 7.55 (m, 2H), 7.48-7.39 (m, 3H), 7.34-7.29 (m, 1H), 7.21-7.16 (m, 1H), 4.46 (s, 2H), 3.25-3.20 (m, 1H), 3.16-3.10 (m, 1H), 1.53 (s, 3H), 1.05 (s, 1H), 0.55-0.49 (m, 2H), 0.19-0.13 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.35, 140.74, 138.80, 134.41, 131.55, 130.74, 128.54, 128.17, 128.06, 125.99, 124.90, 76.24, 74.97, 67.95, 25.08, 11.21, 3.11, 3.00. HRMS (m/z) (ESI): calcd for $C_{20}H_{22}NO_2$ $[M+H]^+$ 308.1645, found 308.1643.

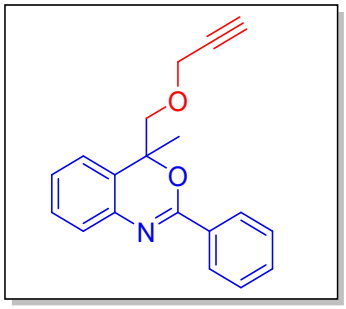


4-((benzyloxy)methyl)-4-methyl-2-phenyl-4*H*-benzo[*d*][1,3]oxazine (3q). yellow oil (66%, 68.0mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.17 (m, 2H), 7.59 (m, 2H), 7.49-7.40 (m, 3H), 7.32 (m, 5H), 7.26 (s, 1H), 7.20 (m, 1H), 4.57 (s, 2H), 4.50-4.39 (m, 2H), 1.63 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 152.74, 140.91, 138.52, 138.33, 134.33, 131.60, 130.83, 128.60, 128.41, 128.37, 128.09, 127.45, 127.25, 126.10, 125.02, 77.11, 75.25, 65.34, 24.88. HRMS (m/z) (ESI): calcd for $C_{23}H_{21}NNaO_2$ $[M+Na]^+$ 366.1465, found 366.1464.

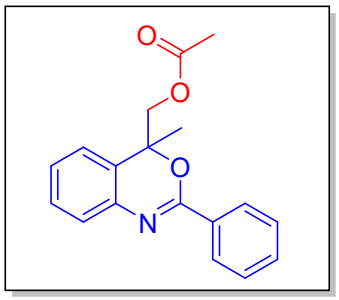


4-((allyloxy)methyl)-4-methyl-2-phenyl-4*H*-benzo[*d*][1,3]oxazine (3r). yellow oil (56%, 49.3mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.16 (m, 2H), 7.60 (m, 1H), 7.53 (m, 1H), 7.44 (m, 3H), 7.36-7.29 (m, 1H), 7.22-7.16 (m, 1H), 5.94 (s, 1H), 5.30 (m, 1H), 5.15 (m, 1H), 4.50 (s, 2H), 3.90 (m, 2H), 1.55 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 140.55, 138.54, 134.93, 131.66, 131.17, 129.79, 128.84, 128.53, 128.28, 126.06, 125.32, 116.53, 77.03, 75.28, 64.57, 25.19. HRMS (m/z)

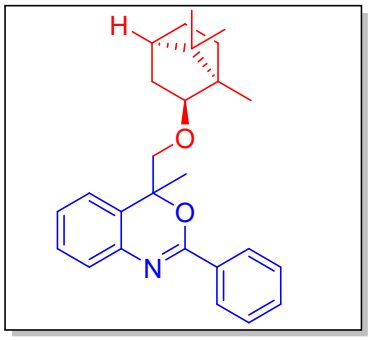
(ESI): calcd for $C_{19}H_{20}NO_2$ $[M+H]^+$ 294.1489, found 294.1492.



4-methyl-2-phenyl-4-((prop-2-yn-1-yloxy)methyl)-4*H*-benzo[*d*][1,3]oxazine (3s). yellow oil (58%, 50.7mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.16 (m, 2H), 7.54 (m, 2H), 7.49-7.41 (m, 3H), 7.35 (m, 1H), 7.21 (m, 1H), 4.56-4.47 (m, 2H), 4.10-4.00 (m, 2H), 2.45 (m, 1H), 1.58 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 152.35, 140.87, 137.58, 134.24, 131.98, 130.84, 128.56, 128.10, 126.02, 125.23, 80.53, 78.22, 74.32, 74.12, 52.13, 25.43. HRMS (m/z) (ESI): calcd for $C_{19}H_{18}NO_2$ $[M+H]^+$ 292.1332, found 292.1330.

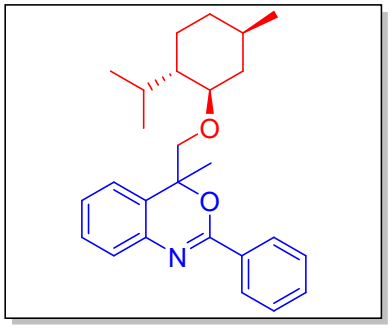


(4-methyl-2-phenyl-4*H*-benzo[*d*][1,3]oxazin-4-yl)methyl acetate (3t). yellow oil (67%, 59.4mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.16 (m, 2H), 7.55 (m, 1H), 7.48-7.40 (m, 3H), 7.32 (m, 2H), 7.16 (m, 1H), 5.02 (m, 1H), 4.49 (m, 1H), 2.12 (s, 3H), 1.68 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 169.69, 151.47, 139.35, 138.06, 134.28, 131.87, 130.79, 128.50, 128.34, 128.10, 124.96, 123.98, 80.88, 73.38, 24.09, 21.79. HRMS (m/z) (ESI): calcd for $C_{18}H_{17}NO_3$ $[M+H]^+$ 296.1281, found 296.1287.

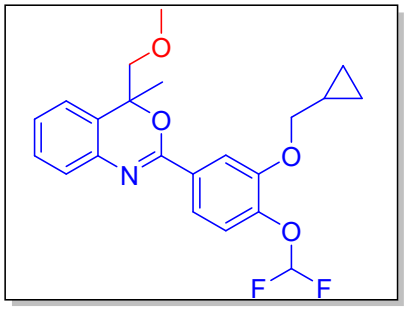


4-methyl-2-phenyl-4-((((1*R*,2*S*,4*R*)-1,7,7-trimethylbicyclo[2.2.1]heptan-2-yl)oxy)methyl)-4*H*-benzo[*d*][1,3]oxazine (3u). yellow oil (45%, 52.6mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.15 (m, 2H), 7.64 (m, 1H), 7.49 (m, 1H), 7.43 (m, 3H), 7.31 (m, 1H), 7.15 (m, 1H), 4.36 (m, 1H), 4.25 (m,

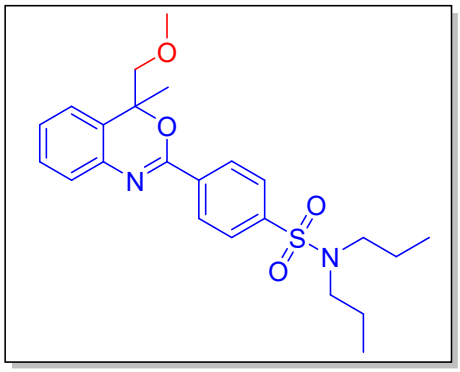
1H), 3.89 (m, 1H), 2.12 (m, 1H), 1.99 (s, 1H), 1.69 (s, 1H), 1.62 (s, 1H), 1.45 (s, 3H), 1.20-1.02 (m, 3H), 0.86-0.82 (m, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 151.58, 141.52, 140.28, 134.81, 130.95, 130.54, 128.40, 128.03, 127.90, 125.57, 124.69, 77.62, 75.72, 74.04, 49.46, 47.17, 45.20, 39.55, 28.33, 26.68, 22.54, 19.75, 18.95, 13.69. HRMS (m/z) (ESI): calcd for $C_{26}H_{32}NO_2$ $[M+H]^+$ 390.2428, found 390.2430.



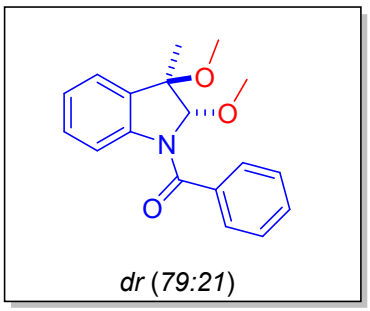
4-((((1*R*,2*S*,5*R*)-2-isopropyl-5-methylcyclohexyl)oxy)methyl)-4-methyl-2-phenyl-4*H*-benzo[*d*][1,3]oxazine (3v). yellow oil (44%, 51.7mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.16 (m, 2H), 7.64 (m, 1H), 7.51-7.39 (m, 4H), 7.32-7.27 (m, 1H), 7.15 (m, 1H), 4.42-4.28 (m, 2H), 3.53-3.45 (m, 1H), 2.18 (m, 1H), 1.88 (m, 1H), 1.68-1.61 (m, 2H), 1.55 (s, 3H), 1.20 (m, 1H), 0.97 (s, 2H), 0.95 (s, 1H), 0.86 (m, 6H), 0.80 (m, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 151.67, 141.46, 140.31, 134.81, 131.14, 130.53, 128.47, 128.01, 127.95, 126.12, 124.66, 76.08, 74.87, 72.75, 48.99, 44.57, 34.32, 31.70, 24.51, 23.15, 22.37, 21.62, 16.30. HRMS (m/z) (ESI): calcd for $C_{26}H_{34}NO_2$ $[M+H]^+$ 392.2584, found 392.2590.



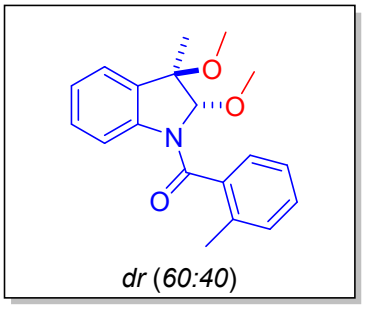
2-(3-(cyclopropylmethoxy)-4-(difluoromethoxy)phenyl)-4-(methoxymethyl)-4-methyl-4*H*-benzo[*d*][1,3]oxazine (3w). yellow oil (52%, 63.0mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.77 (s, 1H), 7.72 (m, 1H), 7.51 (m, 2H), 7.34-7.31 (m, 1H), 7.19 (m, 2H), 6.70 (t, J = 75.0 Hz, 1H), 4.45 (m, 2H), 3.97 (m, 2H), 3.24 (s, 3H), 1.50 (s, 3H), 0.67 (m, 2H), 0.40 (m, 2H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 150.91, 149.85, 142.47, 140.64, 138.24, 132.86, 131.64, 128.29, 126.02, 125.05, 121.69, 121.64, 116.04 (t, J = 258.0 Hz), 114.42, 76.40, 74.57, 73.92, 51.02, 24.11, 10.15, 3.23, 3.21. ^{19}F NMR (565 MHz, $CDCl_3$) δ -81.58, -81.71. HRMS (m/z) (ESI): calcd for $C_{22}H_{24}F_2NO_4$ $[M+H]^+$ 404.1668, found 404.1672.



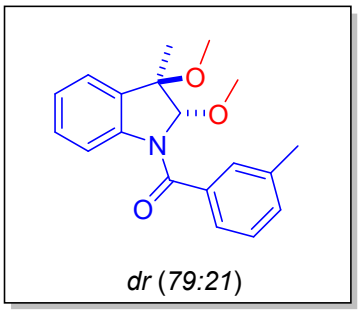
4-(4-(methoxymethyl)-4-methyl-4*H*-benzo[*d*][1,3]oxazin-2-yl)-*N*,*N*-dipropylbenzenesulfonamide (3x). yellow oil (56%, 72.3mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.27 (m, 2H), 7.84 (m, 2H), 7.52 (m, 2H), 7.35 (m, 1H), 7.22 (m, 1H), 4.51-4.44 (m, 2H), 3.24 (s, 3H), 3.12-3.06 (m, 4H), 1.55 (m, 4H), 1.52 (s, 3H), 0.88 (m, 6H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 150.40, 141.86, 140.53, 138.54, 138.26, 131.95, 129.19, 128.61, 126.90, 126.24, 125.66, 76.53, 74.97, 51.19, 50.13, 24.05, 22.11, 11.34. HRMS (m/z) (ESI): calcd for $C_{23}H_{31}N_2O_4S$ $[M+H]^+$ 431.1999, found 431.2005.



(2,3-dimethoxy-3-methylindolin-1-yl)(phenyl)methanone (4a). yellow oil (66%, 58.9mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.74-7.57 (m, 2.37H), 7.51-7.40 (m, 3.43H), 7.32-7.29 (m, 1.72H), 7.22-7-09 (m, 1.49H), 5.25 (s, 0.79H), 5.08 (s, 0.21H), 3.42 (s, 0.78H), 3.30 (s, 0.93H), 3.20 (s, 1.67H), 3.12 (s, 2.55H), 1.58 (s, 2.37H), 1.51 (s, 0.62H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 170.00, 142.72, 136.20, 130.52 (minor), 130.45, 129.85 (minor), 128.93 (minor), 128.47, 128.46, 127.54, 127.24 (minor), 126.83, 124.50 (minor), 124.38, 123.72, 123.43 (minor), 117.73, 117.12 (minor), 98.43 (minor), 96.98, 83.12, 81.91 (minor), 57.24 (minor), 54.01, 50.56, 15.37, 15.15 (minor). HRMS (m/z) (ESI): calcd for $C_{18}H_{20}NO_3$ $[M+H]^+$ 298.1438, found 298.1436.

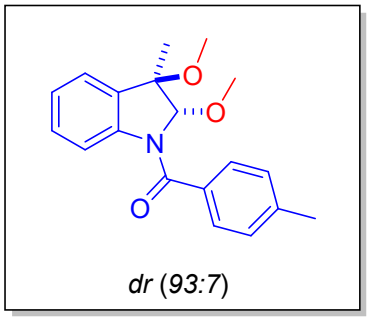


(2,3-dimethoxy-3-methylindolin-1-yl)(*o*-tolyl)methanone (4b). yellow oil (52%, 48.6mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.36 (s, 0.61H), 7.66-7.24 (m, 6H), 7.24-6.72 (m, 2H), 5.86-5.63 (m, 0.60H), 4.76 (s, 0.40H), 3.71 (s, 0.76H), 3.39 (s, 0.31H), 3.09 (s, 3H), 2.87 (s, 1H), 2.47-2.40 (m, 2.53H), 2.04-1.99 (s, 0.44H),1.71 (s, 0.63H), 1.57 (s, 3.02H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 170.25, 142.52, 141.62 (minor), 136.50, 135.92 (minor), 135.30, 130.53, 130.26 (minor), 129.70 (minor), 129.38, 127.20, 126.88, 125.96 (minor), 125.53, 124.69 (minor), 124.29, 123.82, 123.66, 123.46 (minor),117.67, 116.17 (minor), 99.13, 96.10 (minor), 83.59, 81.95 (minor), 60.37, 57.56 (minor), 50.43, 21.02, 19.06 (minor), 15.56, 14.16 (minor). HRMS (m/z) (ESI): calcd for $C_{19}H_{22}NO_3$ $[M+H]^+$ 312.1594, found 312.1594.



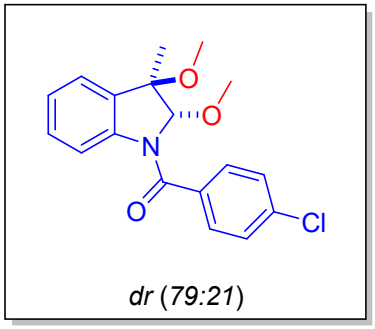
dr (*79:21*)

(2,3-dimethoxy-3-methylindolin-1-yl)(*m*-tolyl)methanone (4c). yellow oil (55%, 51.4mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.39 (m, 1H), 7.37-7.25 (m, 5H), 7.25-7.16 (m, 0.50H), 7.16-7.00 (m, 1.21H), 5.25 (s, 0.79H), 5.08 (s, 0.21H), 3.43 (s, 0.69H), 3.31 (s, 0.78H), 3.22 (s, 1.84H), 3.12 (s, 2.68H), 2.39 (s, 3H), 1.58 (s, 2.37H), 1.50 (s, 0.62H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 170.15, 142.72, 140.40 (minor), 138.31, 136.15, 136.08 (minor), 131.56 (minor), 131.18, 131.11, 129.77, 128.85 (minor), 128.24, 128.22 (minor), 128.06, 127.85 (minor), 124.45, 124.38 (minor), 124.30, 124.13 (minor), 123.63, 123.37 (minor), 117.66, 117.09 (minor), 98.29, 96.91 (minor), 83.08, 81.91 (minor), 57.21, 56.40 (minor), 53.97 (minor), 50.51, 21.33, 15.41. HRMS (m/z) (ESI): calcd for $C_{19}H_{22}NO_3$ $[M+H]^+$ 312.1594, found 312.1587.



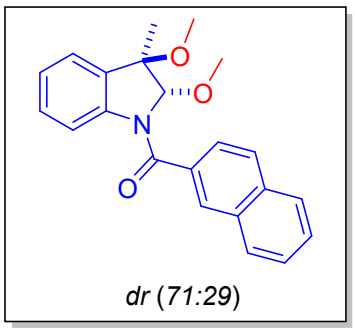
dr (*93:7*)

(2,3-dimethoxy-3-methylindolin-1-yl)(*p*-tolyl)methanone (4d). yellow oil (54%, 50.4mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.45-7.43 (m, 2.33H), 7.26-7.19 (m, 4.78H), 7.17-7.14 (m, 0.25H), 7.07-7.03 (m, 1.18H), 5.23 (s, 0.93H), 5.07 (s, 0.07H), 3.39 (s, 0.32H), 3.28 (s, 0.36H), 3.19 (s, 2.46H), 3.07 (s, 3.06H), 2.36 (s, 3H), 1.53 (s, 2.80H), 1.46 (s, 0.20H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 170.12, 142.87, 140.89 (minor), 140.76, 140.49 (minor), 134.48, 133.28, 131.61, 129.77, 129.05, 128.83 (minor), 127.68, 127.42, 124.33, 123.57, 123.33 (minor), 117.70, 117.12 (minor), 98.37, 96.97 (minor), 83.04, 81.92 (minor), 57.17, 56.44 (minor), 53.91 (minor), 50.53, 21.48, 15.36. HRMS (m/z) (ESI): calcd for $C_{19}H_{22}NO_3$ $[M+H]^+$ 312.1594, found 312.1593.



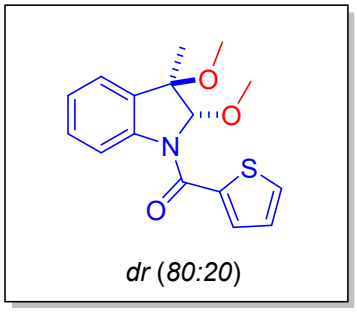
dr (*79:21*)

(4-chlorophenyl)(2,3-dimethoxy-3-methylindolin-1-yl)methanone (4e). yellow oil (58%, 57.7mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.49-7.47 (m, 2.40H), 7.39-7.36 (m, 2.29H), 7.26-7.18 (m, 2.52H), 7.09-7.04 (m, 1.26H), 5.15 (s, 0.79H), 4.97 (s, 0.21H), 3.36 (s, 0.82H), 3.26 (s, 0.69H), 3.19-3.14 (m, 1.87H), 3.06 (s, 2.62H), 1.53 (s, 2.37H), 1.44 (s, 0.62H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.82, 142.52, 140.22 (minor), 136.64, 134.47, 131.69, 131.01 (minor), 129.85, 129.17, 129.01 (minor), 128.85 (minor), 128.76, 124.71 (minor), 124.45, 123.87, 123.48 (minor), 117.73, 117.08 (minor), 98.54, 96.92 (minor), 83.07, 81.92 (minor), 57.17, 56.34 (minor), 53.98 (minor), 50.50, 15.13. HRMS (m/z) (ESI): calcd for $C_{18}H_{19}ClNO_3$ $[M+H]^+$ 332.1048, found 332.1048.



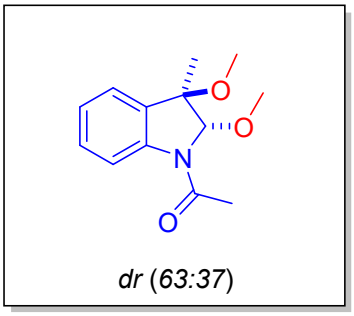
dr (*71:29*)

(2,3-dimethoxy-3-methylindolin-1-yl)(naphthalen-2-yl)methanone (4f). yellow oil (52%, 54.2mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.12 (s, 1H), 7.93-7.88 (m, 3H), 7.72-7.42 (m, 4H), 7.38-7.28 (m, 1H), 7.25-7.03 (m, 2H), 5.33 (s, 0.71H), 5.14 (s, 0.29H), 3.44 (s, 1H), 3.32 (s, 1H), 3.22 (s, 2H), 3.17 (s, 2H), 1.60 (s, 2.12H), 1.54 (s, 0.87H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 170.00, 142.73, 140.42 (minor), 134.08 (minor), 134.05, 133.45, 133.36, 132.54, 129.88, 128.97, 128.71, 128.35, 128.31 (minor), 127.86, 127.81 (minor), 127.50, 127.46 (minor), 126.82, 126.77 (minor), 124.58, 124.55 (minor), 124.42, 124.33 (minor), 123.77, 123.48 (minor), 117.80, 117.23 (minor), 98.51 (minor), 97.15, 83.16 (minor), 82.02, 57.38, 56.62 (minor), 54.03 (minor), 50.62, 15.34. HRMS (m/z) (ESI): calcd for $C_{22}H_{21}NNaO_3$ $[M+Na]^+$ 370.1414, found 370.1410.



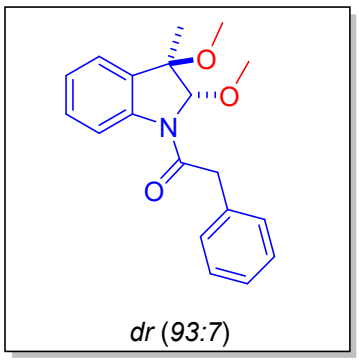
dr (*80:20*)

(2,3-dimethoxy-3-methylindolin-1-yl)(thiophen-2-yl)methanone (4g). yellow oil (52%, 47.3mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.94-7.83 (m, 1H), 7.71 (m, 1H), 7.56 (m, 1H), 7.38-7.29 (m, 2H), 7.17-7.08 (m, 2H), 5.55 (s, 0.80H), 5.41 (s, 0.20H), 3.51 (s, 1H), 3.37 (s, 1H), 3.24 (s, 2H), 3.06 (s, 2H), 1.62 (s, 2.25H), 1.48 (s, 0.75H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 162.96, 143.24, 140.47 (minor), 138.37 (minor), 138.13, 135.30, 131.55 (minor), 130.82 (minor), 130.46, 130.27, 130.07, 129.06 (minor), 127.39 (minor), 127.19, 124.90 (minor), 124.13, 124.02, 122.73 (minor), 118.07, 117.45 (minor), 97.67, 95.38 (minor), 82.89, 81.91 (minor), 54.89, 54.21 (minor), 53.74 (minor), 50.41, 25.11 (minor), 15.40. HRMS (m/z) (ESI): calcd for $C_{16}H_{17}NNaO_3S$ $[M+Na]^+$ 326.0821, found 326.0820.



dr (*63:37*)

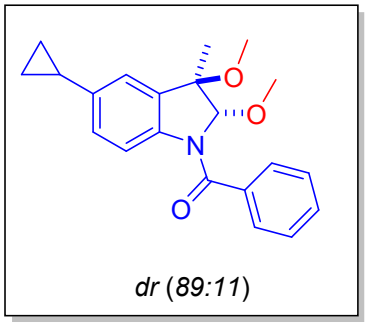
1-(2,3-dimethoxy-3-methylindolin-1-yl)ethan-1-one (4h). yellow oil (59%, 41.6mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.19 (m, 0.70H), 7.35 (m, 1.02H), 7.27 (m, 1.44H), 7.17-7.05 (m, 1.15H), 5.59-5.38(m, 0.35), 5.14-5.02 (m, 0.68H), 3.52 (m, 1H), 3.40 (s, 2H), 3.03 (s, 3H), 2.36 (s, 2.80H), 2.15-2.06(m, 0.27), 1.63 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 169.72, 143.01, 130.33, 130.11 (minor), 127.89, 127.64 (minor), 125.17 (minor), 123.95, 123.51, 120.95 (minor), 117.42, 116.28 (minor), 97.79, 95.84 (minor), 83.39, 81.66 (minor), 55.60, 50.34, 23.44, 15.97. HRMS (m/z) (ESI): calcd for $C_{13}H_{18}NO_3$ $[M+H]^+$ 236.1281, found 236.1282.



dr (*93:7*)

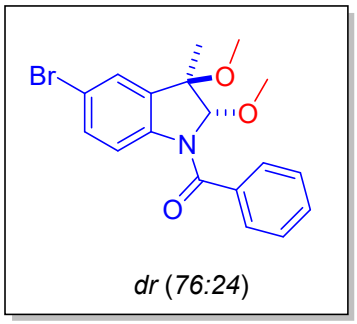
1-(2,3-dimethoxy-3-methylindolin-1-yl)-2-phenylethan-1-one (4i). yellow oil (72%, 67.3mg).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.27 (s, 0.76H), 7.37-7.27 (m, 7.86H), 7.15-7.11 (m, 1.16H), 5.65 (s, 0.07H), 5.30 (s, 0.93H), 3.97 (s, 1.97H), 3.58-3.52 (m, 0.63H), 3.40 (s, 2.45H), 3.11-3.02 (m, 0.59H), 2.89 (s, 2.40H), 1.65 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 170.25, 143.18, 134.17, 130.31, 129.24, 129.12, 128.61, 126.99, 123.76, 117.34, 96.54, 83.37, 55.21, 50.25, 41.97, 16.36. HRMS (m/z) (ESI): calcd for $C_{19}H_{22}NO_3$ $[M+H]^+$ 312.1594, found 312.1593.



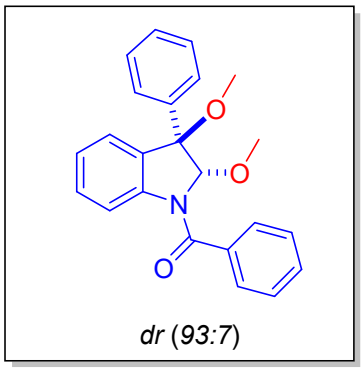
dr (*89:11*)

(5-cyclopropyl-2,3-dimethoxy-3-methylindolin-1-yl)(phenyl)methanone (4j). yellow oil (51%, 51.6mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.84-7.82 (m, 0.30H), 7.58.7.54 (m, 2.23H), 7.54-7.42 (m, 3.63H), 7.14 (s, 0.21H), 7.00 (m, 1.68H), 5.50 (s,0.11H), 5.20 (m, 0.89H), 3.43 (s, 1.18H), 3.35 (m, 1.44H), 3.26 (s, 0.80H), 3.12 (s, 2.51H), 1.92-1.86 (m, 1.03H), 1.57 (s, 2.08H), 1.50 (s, 0.96H), 0.97-0.92 (m, 2.03H), 0.66-0.65 (m, 2H). ^{13}C NMR (150MHz, $CDCl_3$) δ 169.93 (minor), 169.72, 143.09 (minor), 140.57, 139.70 (minor), 134.95, 131.87 (minor), 130.46 (minor), 130.37, 128.88 (minor), 128.44, 127.55, 127.29 (minor), 127.10 (minor), 126.33, 125.69 (minor), 121.80, 120.86 (minor), 120.34, 117.48, 116.88, 98.37 (minor), 96.92, 81.90, 79.90 (minor), 56.82, 53.87 (minor), 50.65, 41.64 (minor), 40.83, 15.50 (minor), 15.21, 9.23 (minor), 9.07, 9.02, 8.99 (minor). HRMS (m/z) (ESI): calcd for $C_{21}H_{24}NO_3$ $[M+H]^+$ 338.1751, found 338.1752.



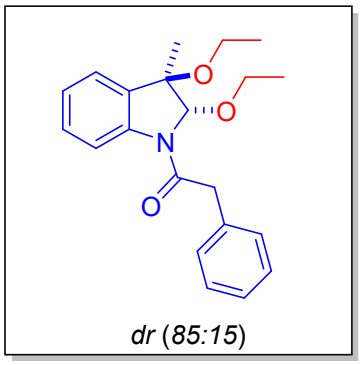
dr (*76:24*)

(5-bromo-2,3-dimethoxy-3-methylindolin-1-yl)(phenyl)methanone (4k). yellow oil (56%, 63.2mg). ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.56 (m, 2.24H), 7.52-7.43 (m, 3.69H), 7.40 (m, 1.64H), 7.34-7.32 (m, 0.48) 5.22 (s, 0.76H), 5.07 (s, 0.24H), 3.42 (s, 0.74H), 3.34 (s, 0.20), 3.24 (m, 1.27H), 3.13 (s, 3.81H), 1.55 (s, 2.28H), 1.49 (s, 0.72H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 170.12 (minor), 169.90, 141.79, 139.40 (minor), 136.74 (minor), 135.78, 133.91 (minor), 132.66, 131.83 (minor), 130.64, 128.81 (minor), 128.53, 128.50 (minor), 127.50, 127.41 (minor), 127.20, 126.91 (minor), 126.49, 119.24, 118.66 (minor), 117.13 (minor), 116.37, 98.56 (minor), 96.88, 82.94 (minor), 81.60, 59.57 (minor), 54.00, 50.89 (minor), 50.64, 21.39 (minor), 15.08. HRMS (m/z) (ESI): calcd for $C_{18}H_{19}BrNO_3$ $[M+H]^+$ 398.0362, found 398.0364.



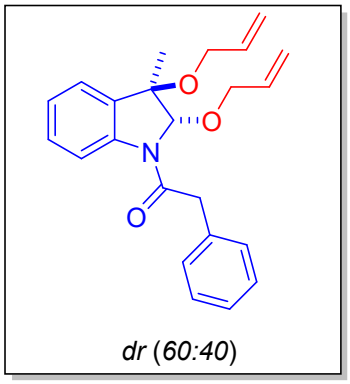
dr (*93:7*)

(2,3-dimethoxy-3-phenylindolin-1-yl)(phenyl)methanone (4l). yellow oil (57%, 61.5mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.65-7.60 (m, 2.21H), 7.48-7.42 (m, 6.15H), 7.40-7.34 (m, 3.48H), 7.20-7.12 (m, 2.15H), 5.35 (s, 0.07), 4.94 (s, 0.93H), 3.08 (s, 3H), 2.30 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 170.28, 144.33, 136.47, 134.82, 130.25, 129.75, 128.96, 128.40, 128.24, 127.95, 127.31, 127.20, 123.21, 118.16, 100.39, 88.68, 56.63, 51.37. HRMS (m/z) (ESI): calcd for $C_{23}H_{22}NO_3$ $[M+H]^+$ 360.1600, found 360.1589.



dr (*85:15*)

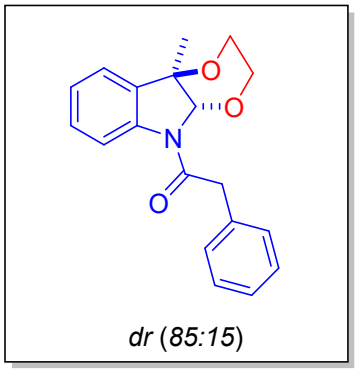
1-(2,3-diethoxy-3-methylindolin-1-yl)-2-phenylethan-1-one (4m). yellow oil (70%, 71.3mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.24-8.22 (m, 0.77H), 7.34-7.26 (m, 7.83H), 7.14-7.07 (m, 1.08H), 5.74 (s, 0.15), 5.33 (s, 0.85H), 3.96 (s, 2.16H), 3.75-3.49 (m, 2.03H), 3.12-2.81 (m, 1.82H), 1.63 (s, 4.20H), 1.39 (s, 0.73H), 1.29-1.23 (m, 1.06H), 1.20 (m, 3.04H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 170.23, 143.11, 134.31, 131.11, 130.10, 129.19, 128.63, 126.99, 123.76, 117.37, 96.15, 83.19, 81.19 (minor), 63.73 (minor), 58.10, 42.09, 17.47 (minor), 15.92, 15.50, 15.37, 15.21. HRMS (m/z) (ESI): calcd for $C_{21}H_{26}NO_3$ $[M+H]^+$ 362.1727, found 362.1726.



dr (*60:40*)

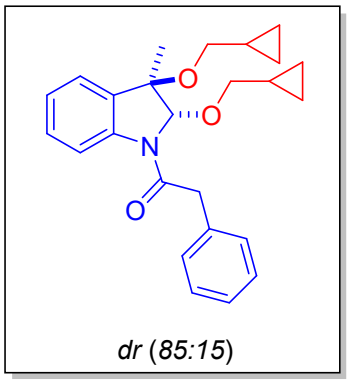
1-(2,3-bis(allyloxy)-3-methylindolin-1-yl)-2-phenylethan-1-one (4n). yellow oil (50%, 54.5mg).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.24 (s, 0.56H), 7.45-7.19 (m, 7.31H), 7.13 (m, 1.14H), 5.89 (m, 1.13H), 5.61 (s, 0.40H), 5.43 (s, 0.60H), 5.29 (m, 1.19H), 5.18 (m, 1.29H), 5.01 (m, 1.35H), 4.18-3.89 (m, 4H), 3.48 (m, 2H), 1.67 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 170.24, 143.27, 134.59, 134.18, 133.17, 130.43, 130.01, 129.71, 129.21, 128.71, 128.69, 127.07, 123.94, 117.51, 116.42, 95.89, 83.56, 68.67, 64.02, 35.88, 14.12. HRMS (m/z) (ESI): calcd for $C_{23}H_{26}NO_3$ $[M+H]^+$ 386.1727, found 386.1722.



dr (*85:15*)

1-((9b*S*)-9b-methyl-2,3,4a,9b-tetrahydro-5*H*-[1,4]dioxino[2,3-*b*]indol-5-yl)-2-phenylethan-1-one (4o). white solid (60.2%, 55.7mg). mp: 136.4-137.2 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.20 (m, 0.80H), 7.37-7.25 (m, 7.72H), 7.14 (m, 1.08H), 5.20 (s, 1H), 3.97 (s, 2H), 3.82-3.75 (m, 1H), 3.69-3.62 (m, 3H), 1.30 (s, 2.55H), 1.25 (s, 0.45H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.16 (minor), 170.44, 141.49, 141.14, 134.48, 129.56, 129.07, 128.70, 127.06, 124.60, 122.60, 117.34, 88.53, 77.98, 61.54, 60.82, 60.39 (minor), 42.16, 26.77, 21.04 (minor), 14.18 (minor). HRMS (m/z) (ESI): calcd for $C_{19}H_{20}NO_3$ $[M+H]^+$ 310.1438, found 310.1434.

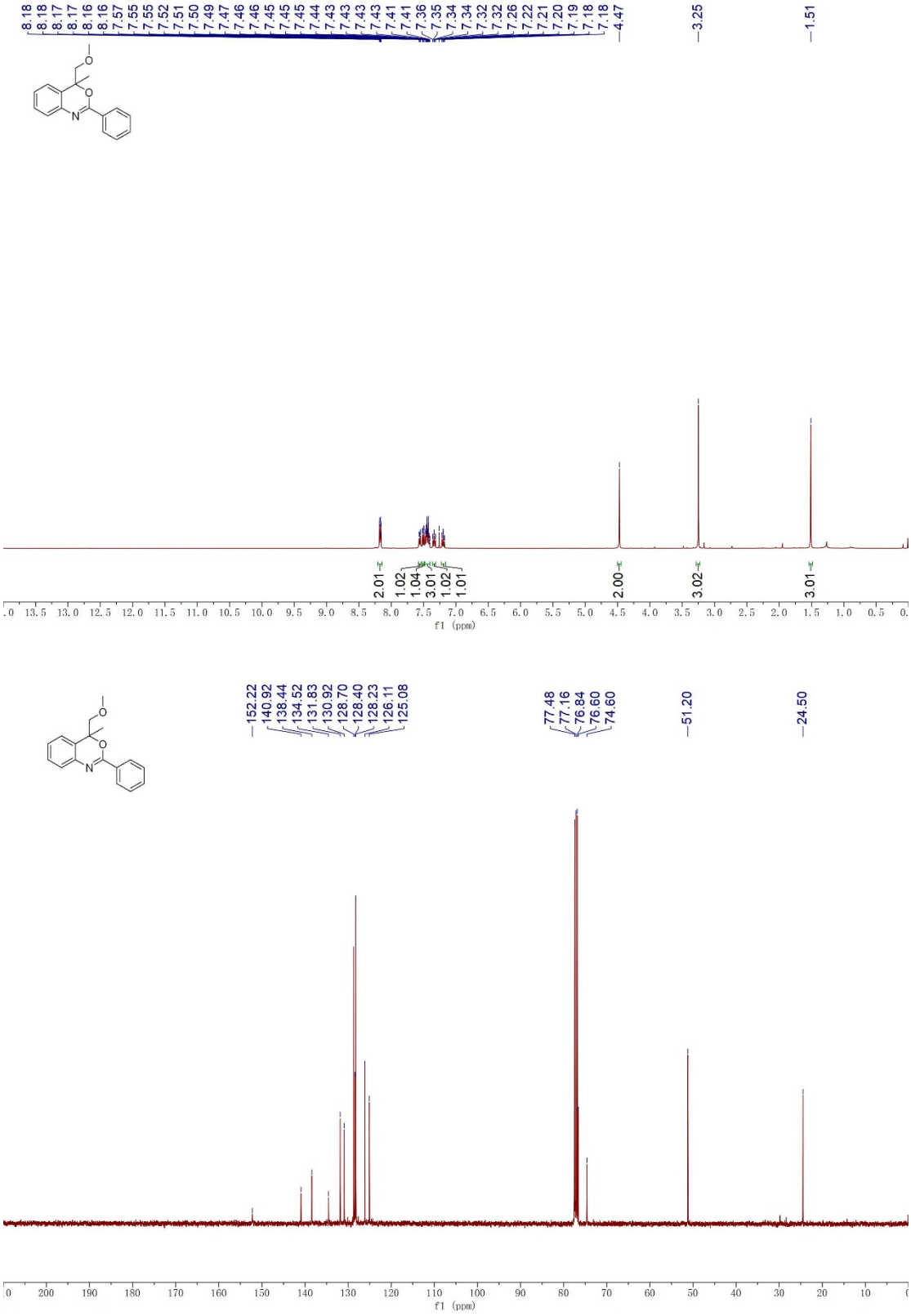


dr (*85:15*)

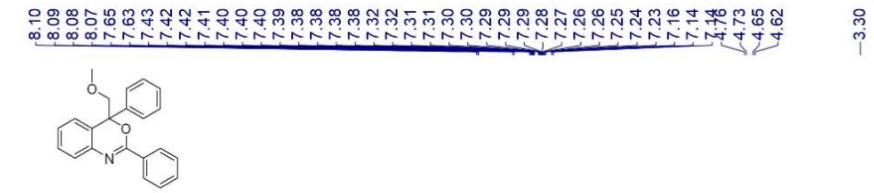
1-(2,3-bis(cyclopropylmethoxy)-3-methylindolin-1-yl)-2-phenylethan-1-one (4p). yellow oil (52%, 61.1mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.23 (m, 0.75H), 7.38-7.21 (m, 7.64H), 7.10 (m, 1.15H), 5.73 (s, 0.15H), 5.35 (s, 0.85H), 3.98 (s, 2.20H), 3.39 (s, 1.84H), 2.69 (m, 1.93H), 1.64 (s, 3H), 1.06 (s, 1H), 0.71 (s, 1H), 0.54 (s, 2H), 0.36 (m, 2H), 0.20 (m, 2H), 0.13 (s, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 170.27, 134.27, 130.87, 130.19, 129.19, 128.68, 127.06, 123.84, 117.26, 95.72, 83.22, 72.87, 67.57, 42.14, 18.09, 10.77, 10.72, 3.23, 3.01, 2.92. HRMS (m/z) (ESI): calcd for $C_{25}H_{30}NO_3$ $[M+H]^+$ 392.2220, found 392.2227.

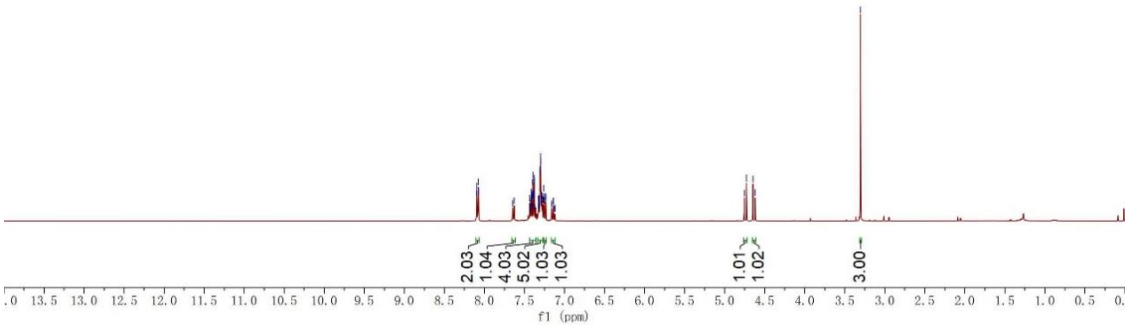
9. Copies of ^{13}C and ^{1}H NMR spectra for all products

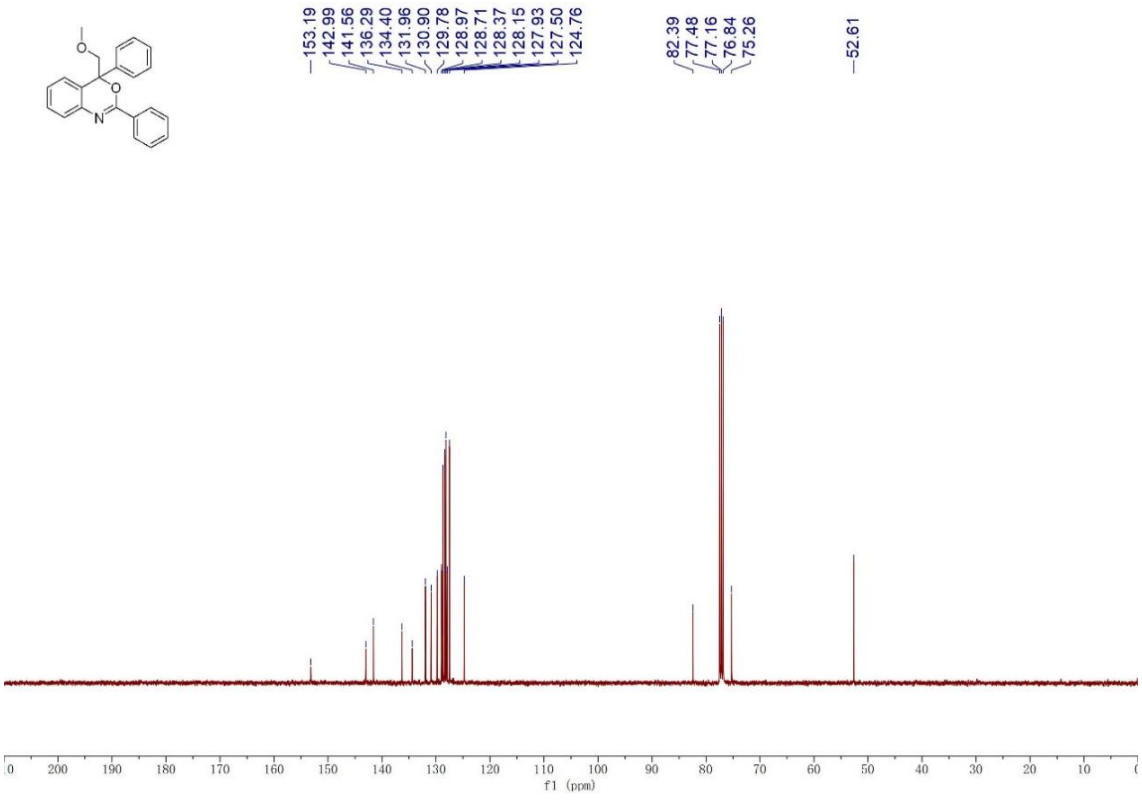
4-(methoxymethyl)-4-methyl-2-phenyl-4*H*-benzo[*d*][1,3]oxazine **(3a)**



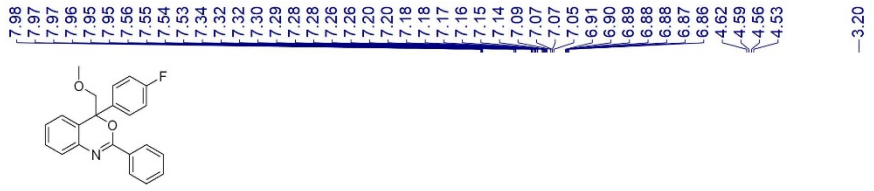
4-(methoxymethyl)-2,4-diphenyl-4*H*-benzo[*d*][1,3]oxazine **(3b)**

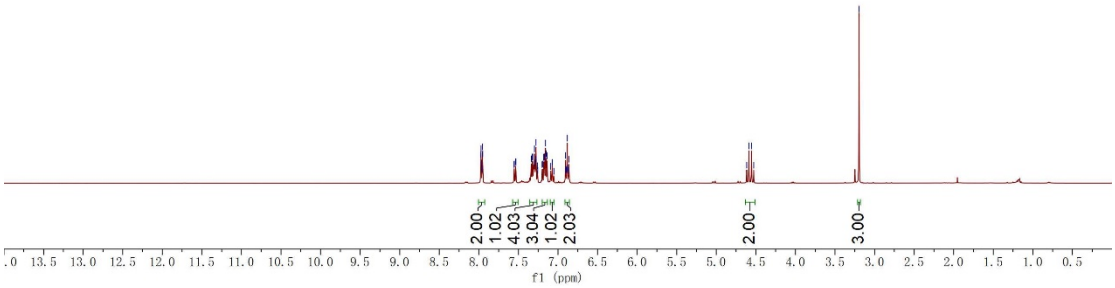


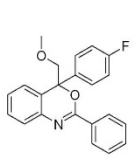


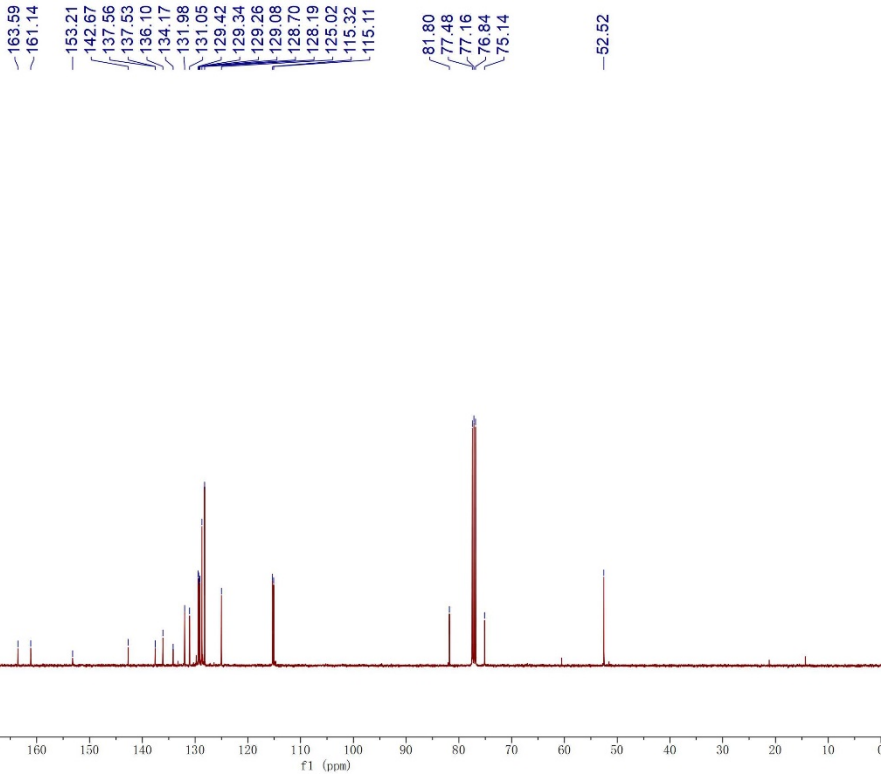


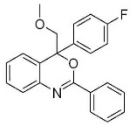
4-(4-fluorophenyl)-4-(methoxymethyl)-2-phenyl-4*H*-benzo[*d*][1,3]oxazine **(3c)**



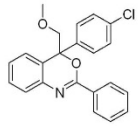


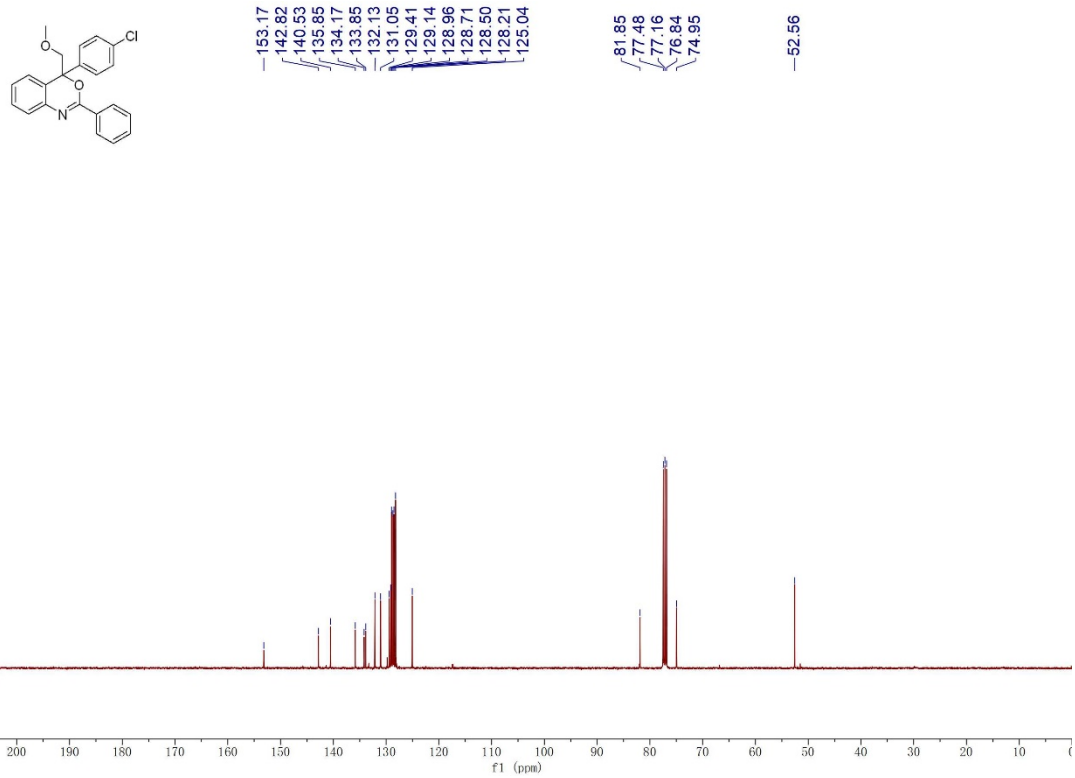




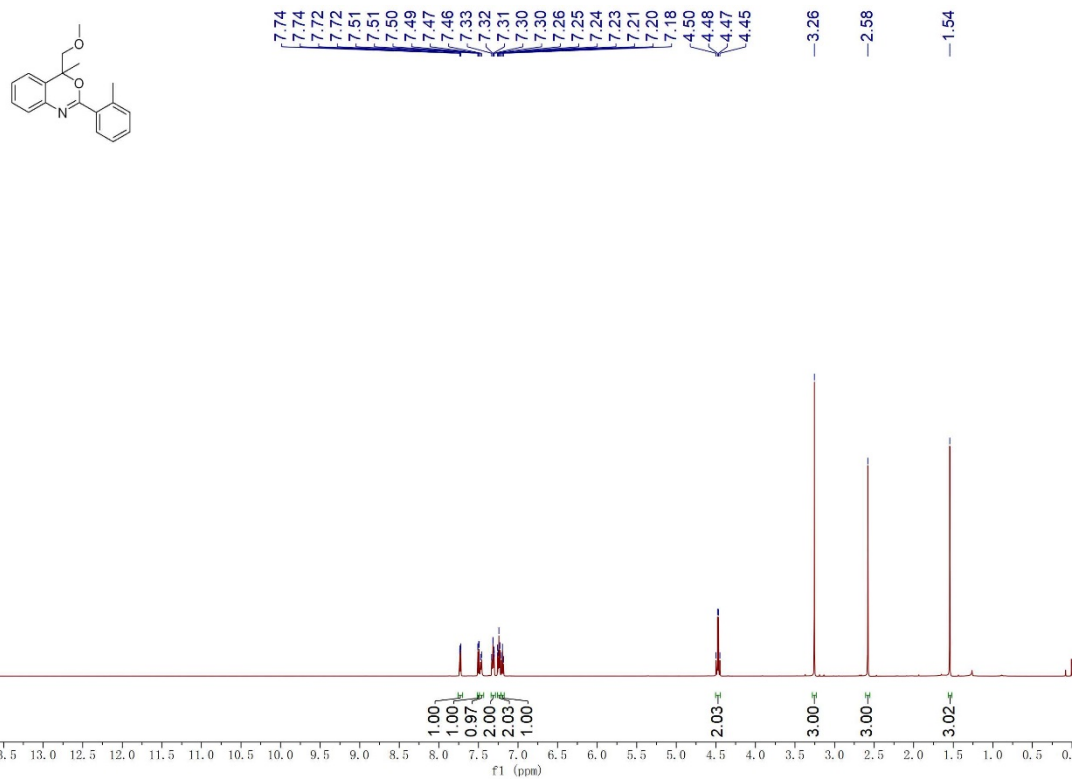


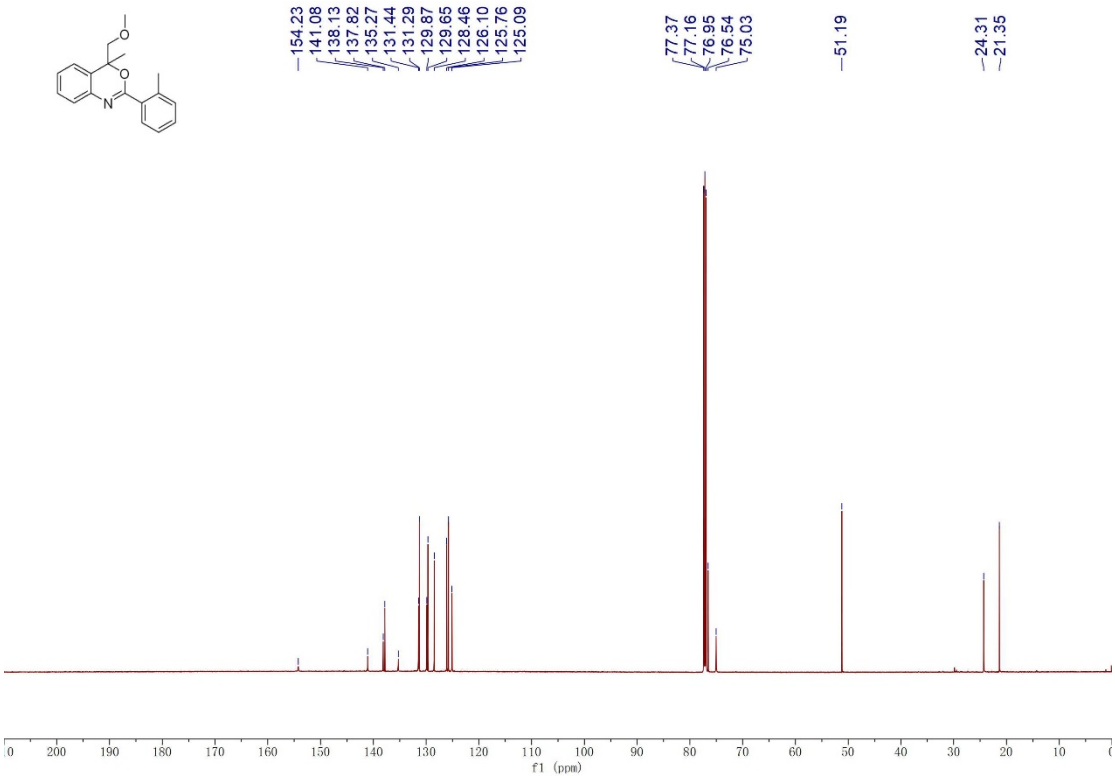
4-(4-chlorophenyl)-4-(methoxymethyl)-2-phenyl-4*H*-benzo[*d*][1,3]oxazine **(3d)**



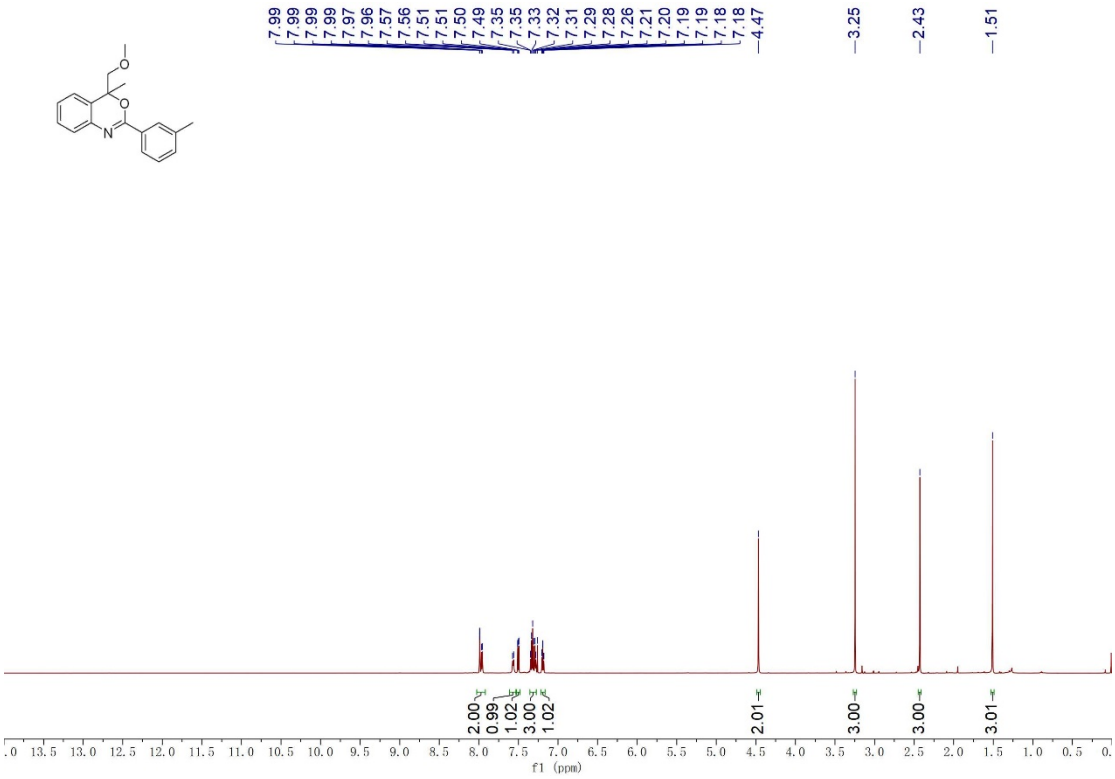


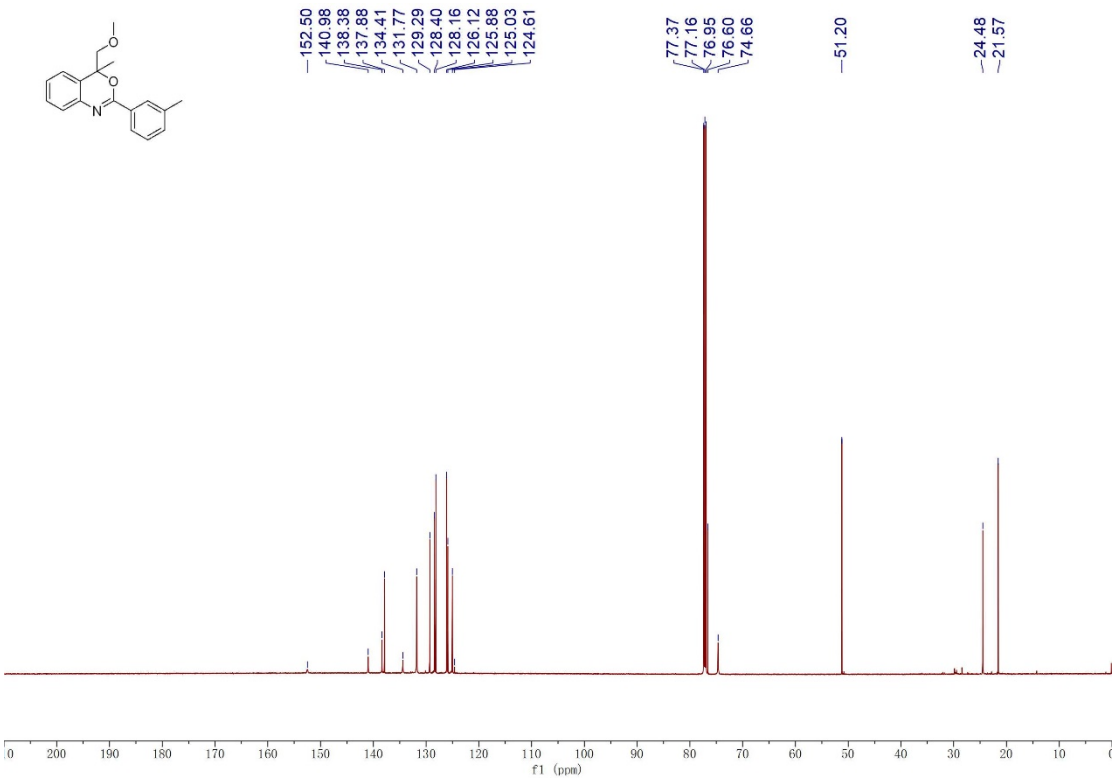
4-(methoxymethyl)-4-methyl-2-(o-tolyl)-4*H*-benzo[*d*][1,3]oxazine **(3e)**



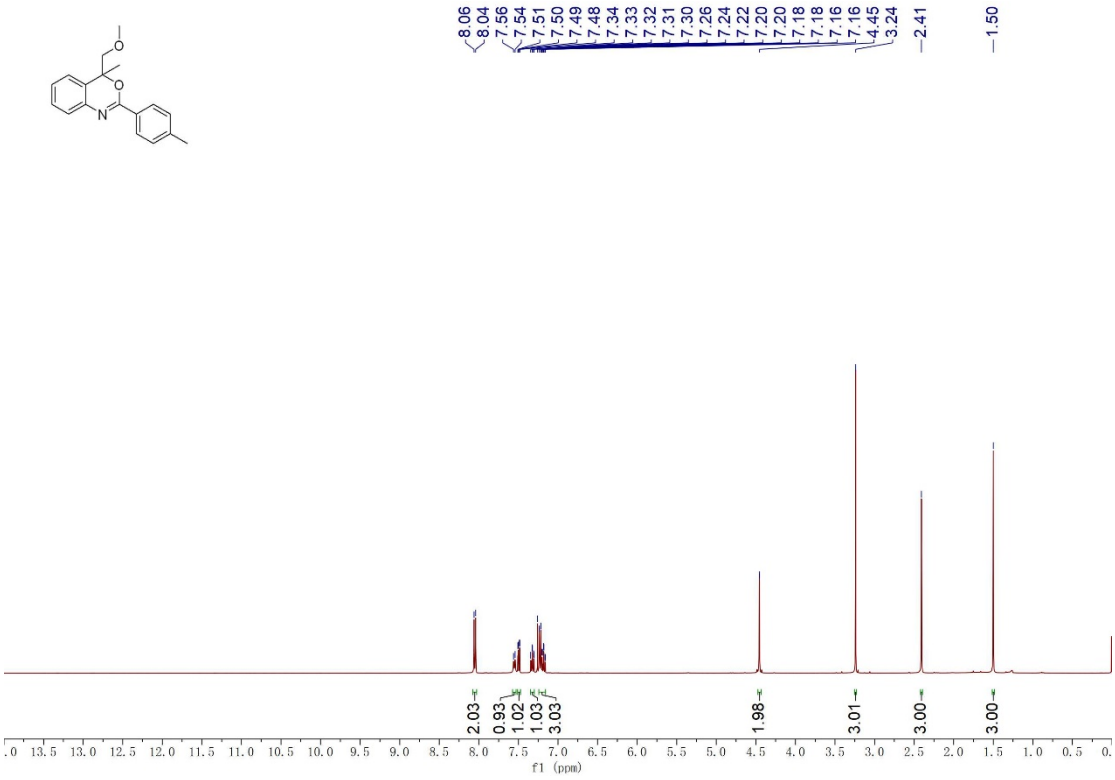


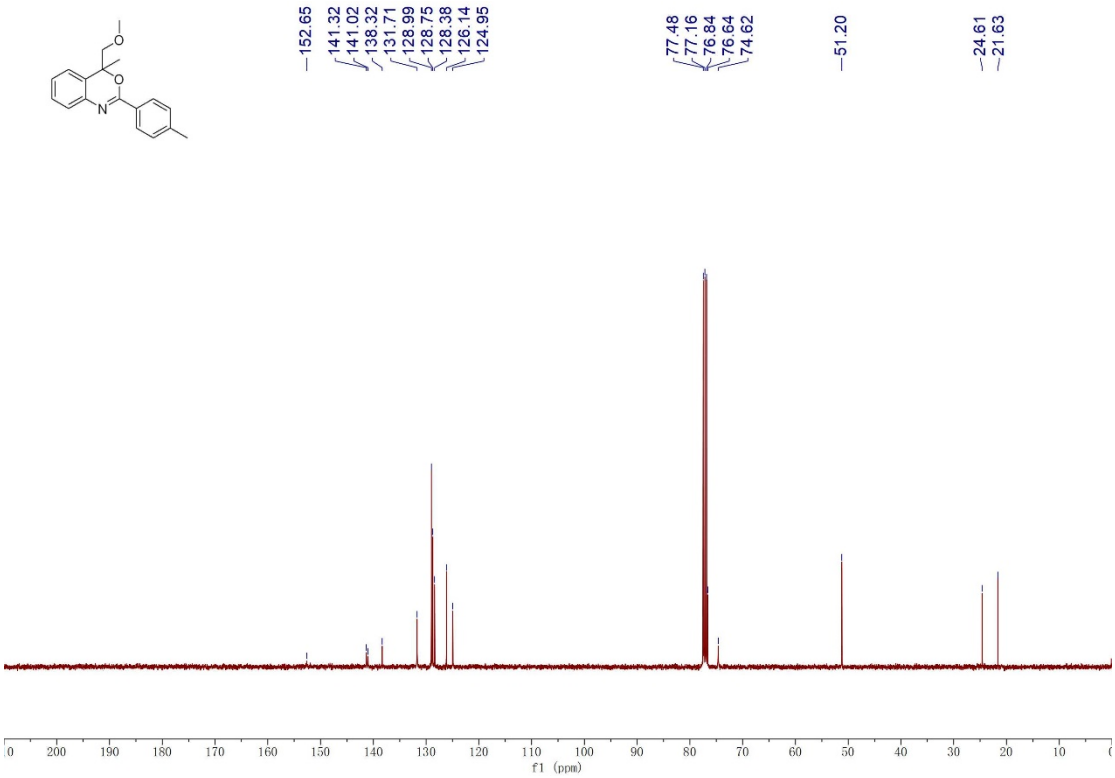
4-(methoxymethyl)-4-methyl-2-(m-tolyl)-4*H*-benzo[*d*][1,3]oxazine **(3f)**



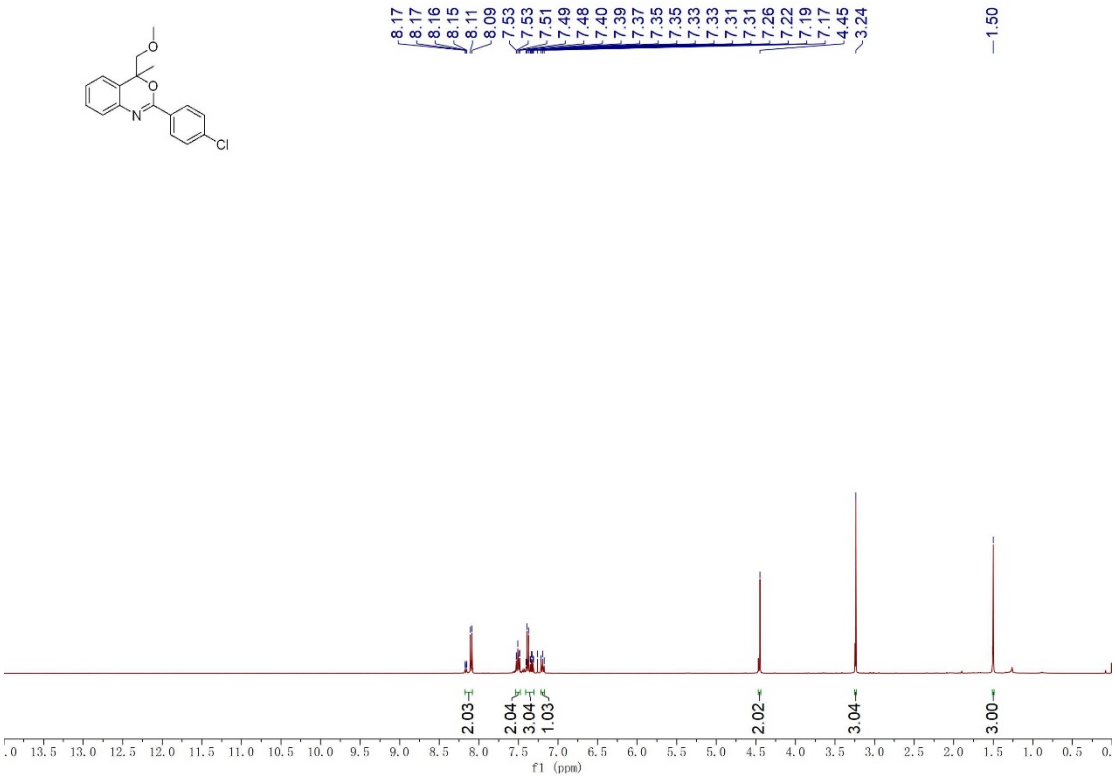


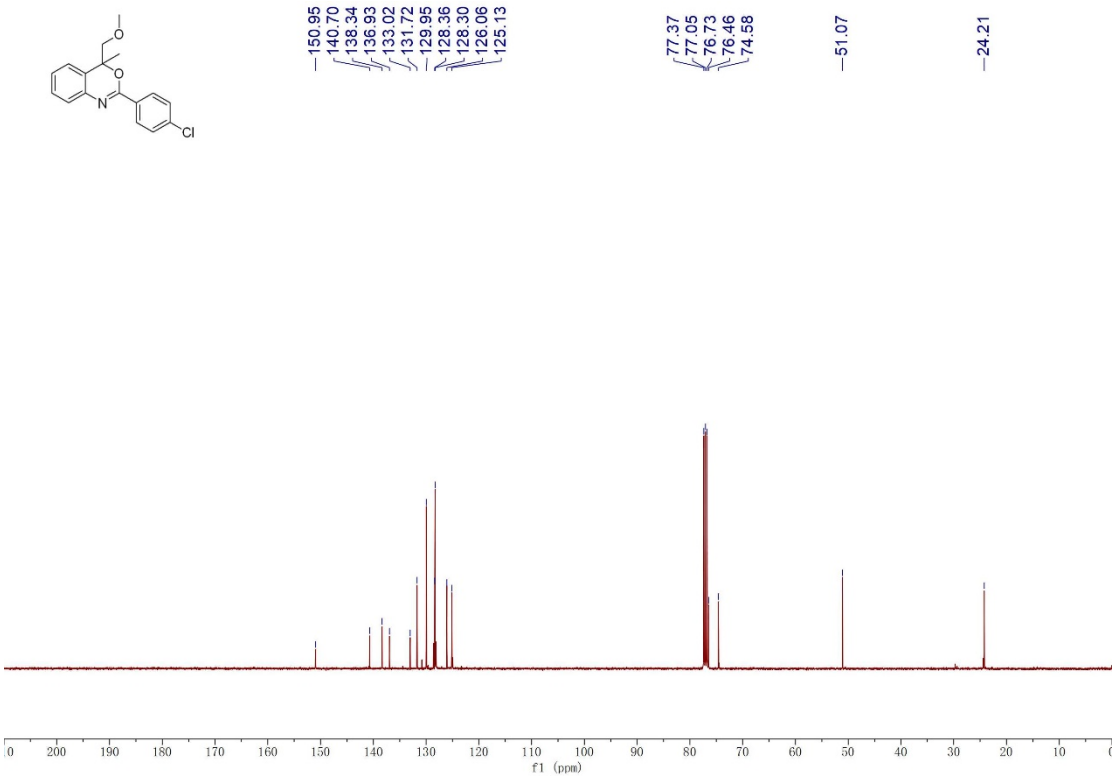
4-(methoxymethyl)-4-methyl-2-(p-tolyl)-4*H*-benzo[*d*][1,3]oxazine **(3g)**



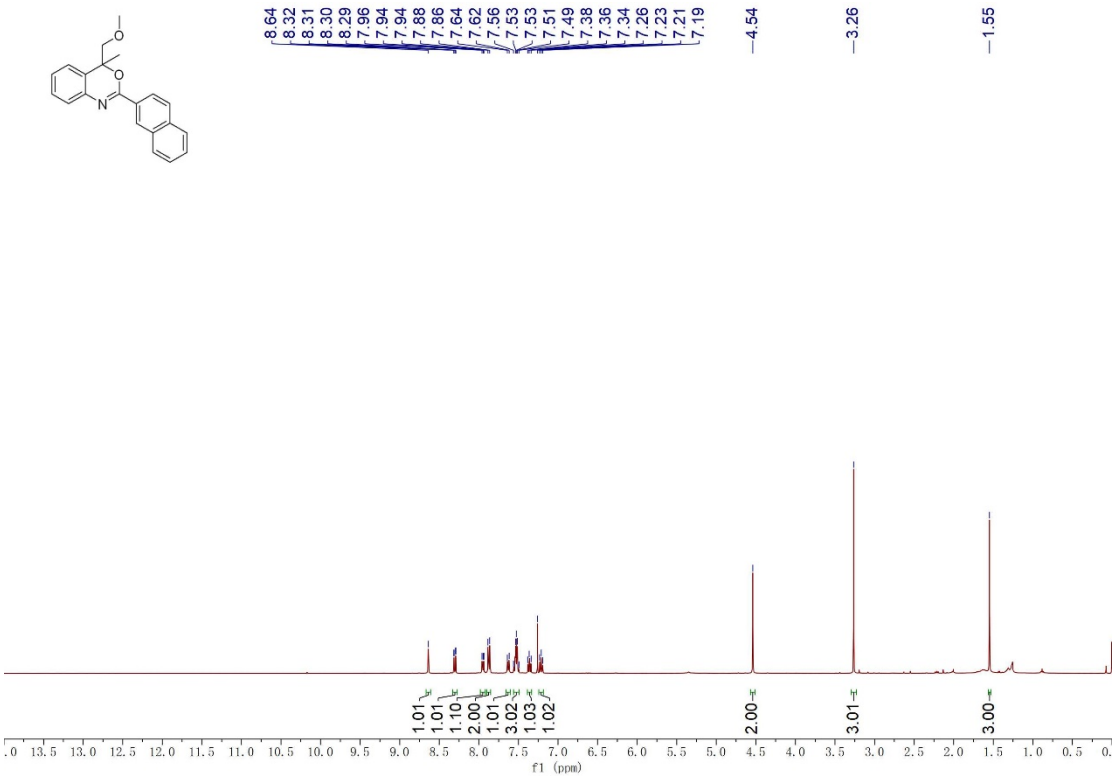


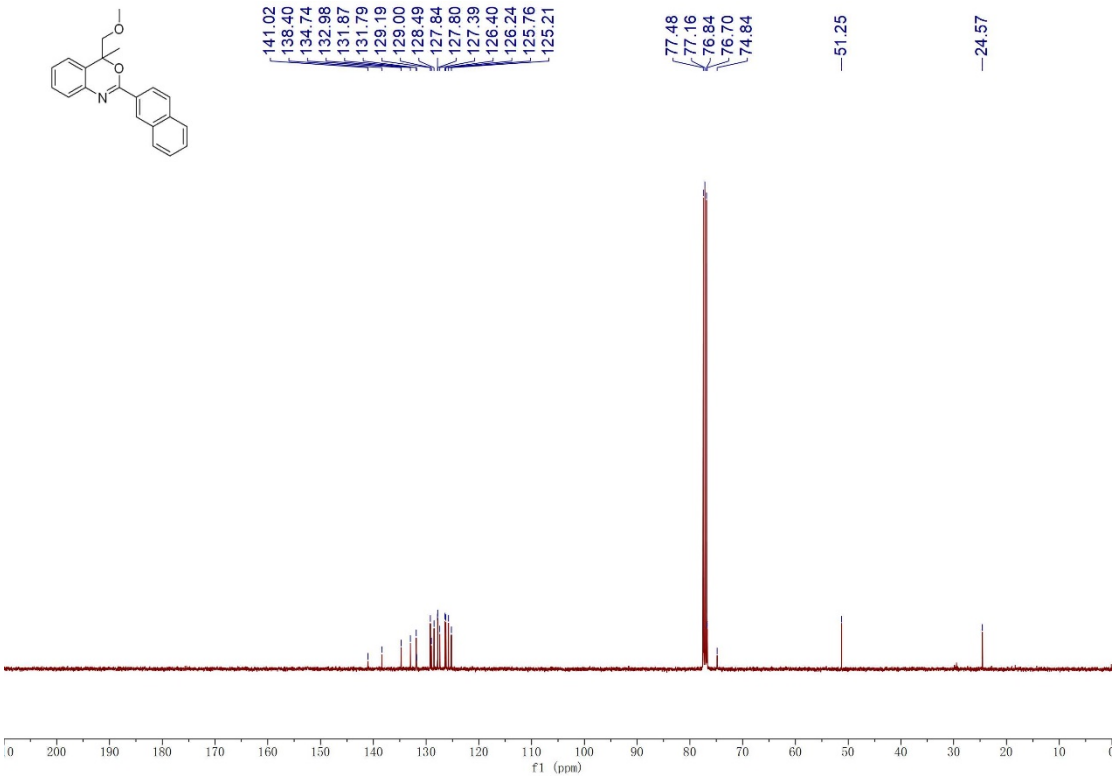
2-(4-chlorophenyl)-4-(methoxymethyl)-4-methyl-4*H*-benzo[*d*][1,3]oxazine **(3h)**



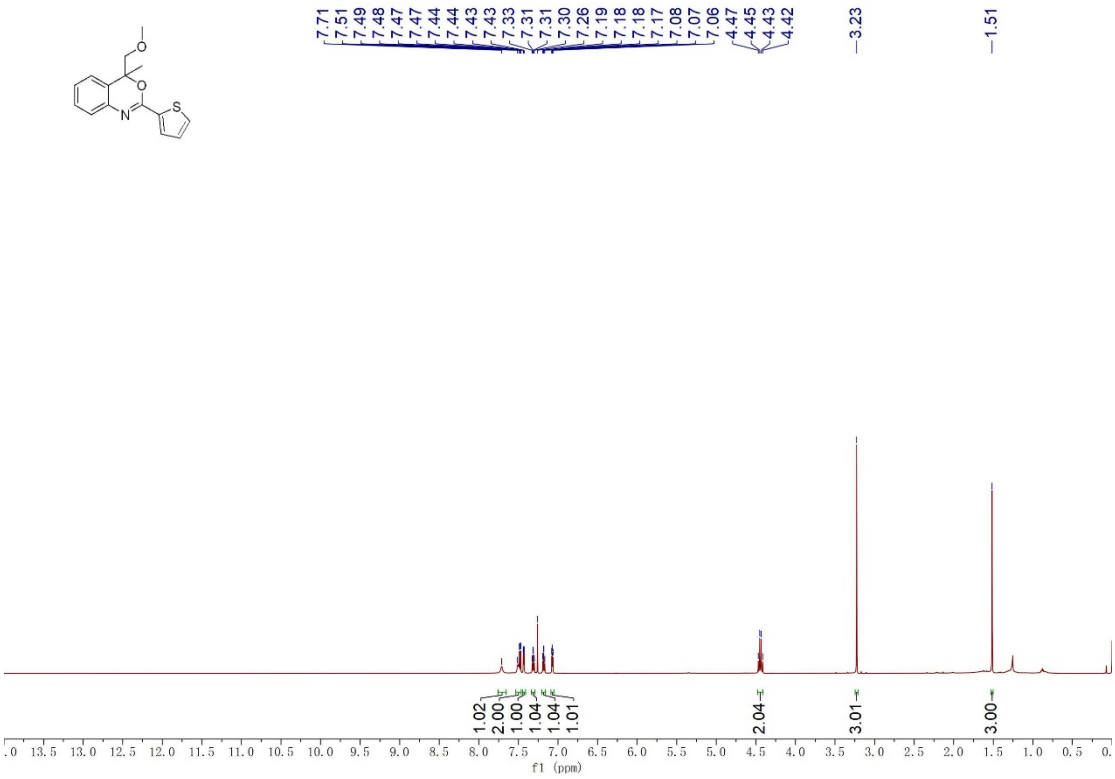


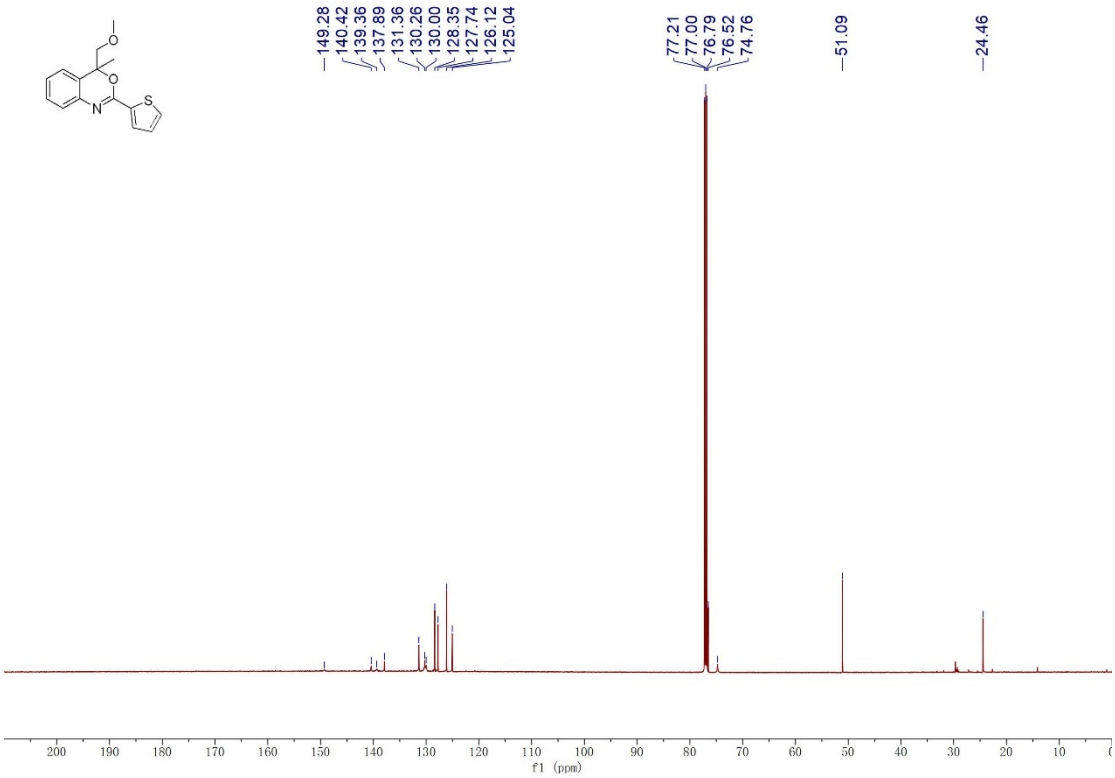
4-(methoxymethyl)-4-methyl-2-(naphthalen-2-yl)-4*H*-benzo[*d*][1,3]oxazine **(3i)**



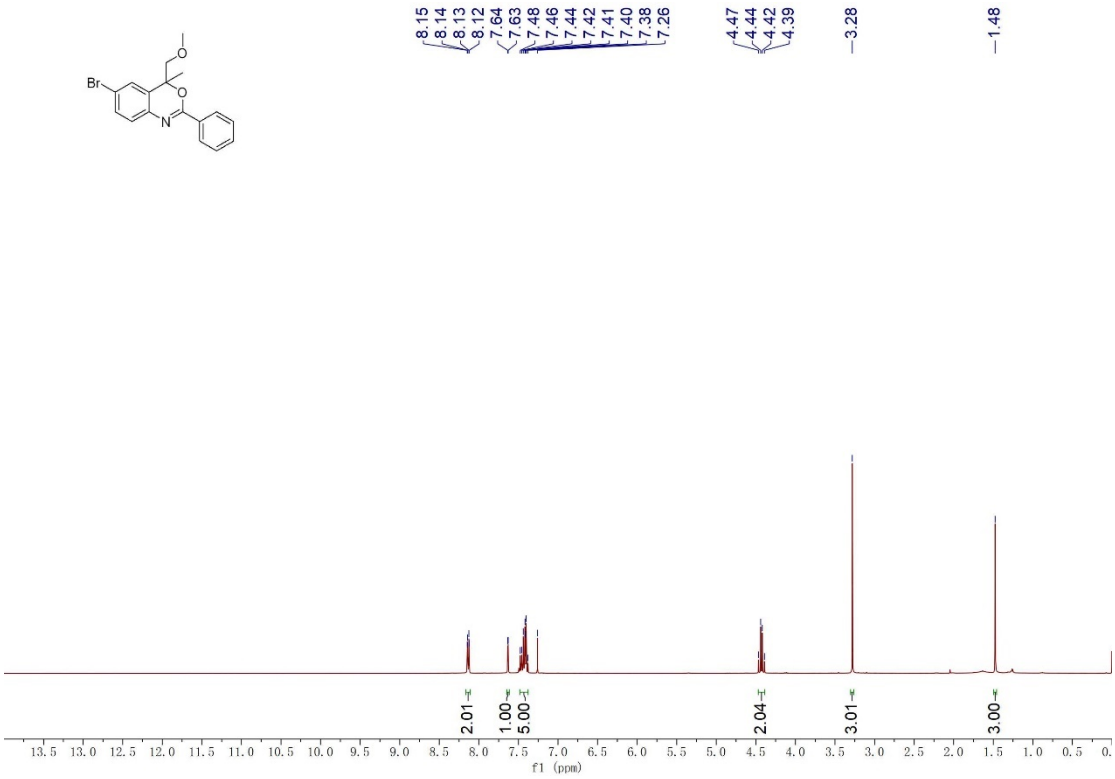


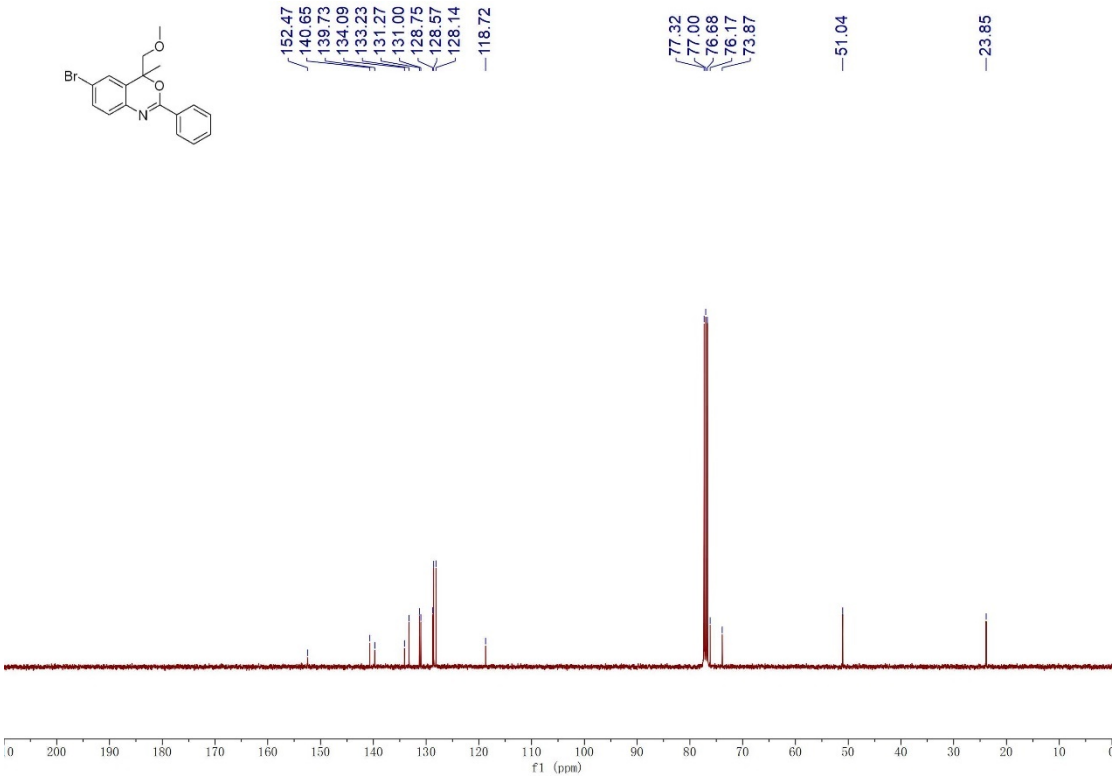
4-(methoxymethyl)-4-methyl-2-(thiophen-2-yl)-4*H*-benzo[*d*][1,3]oxazine **(3j)**



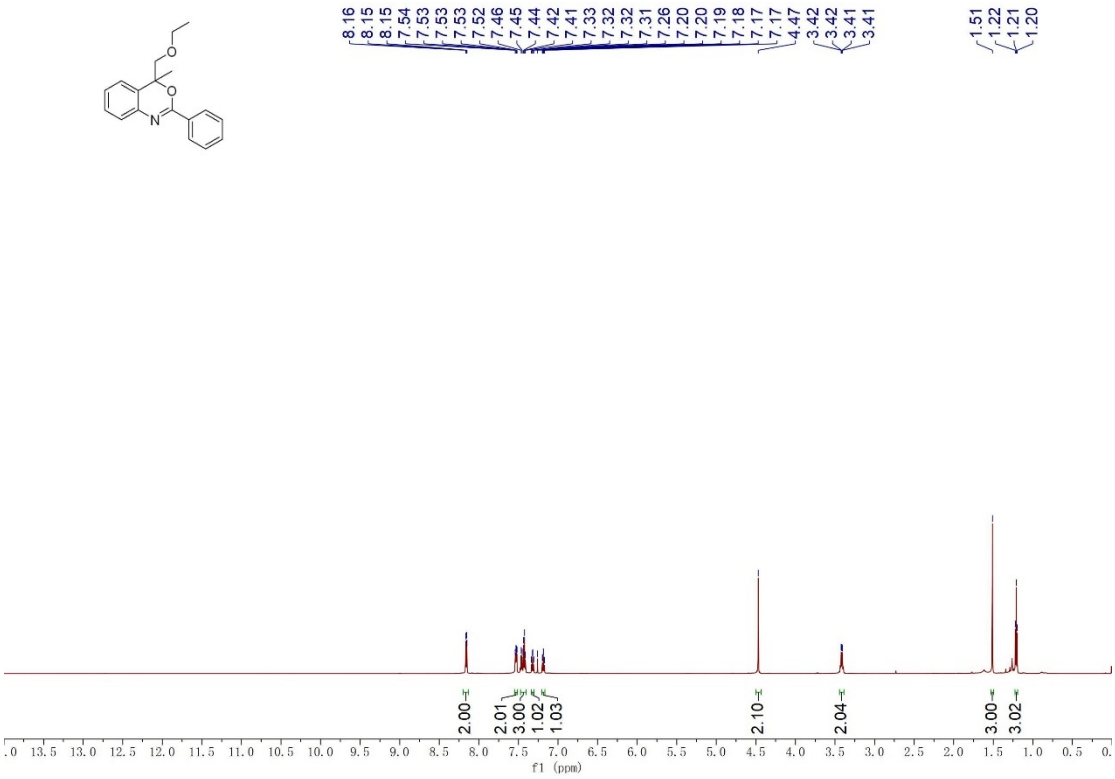


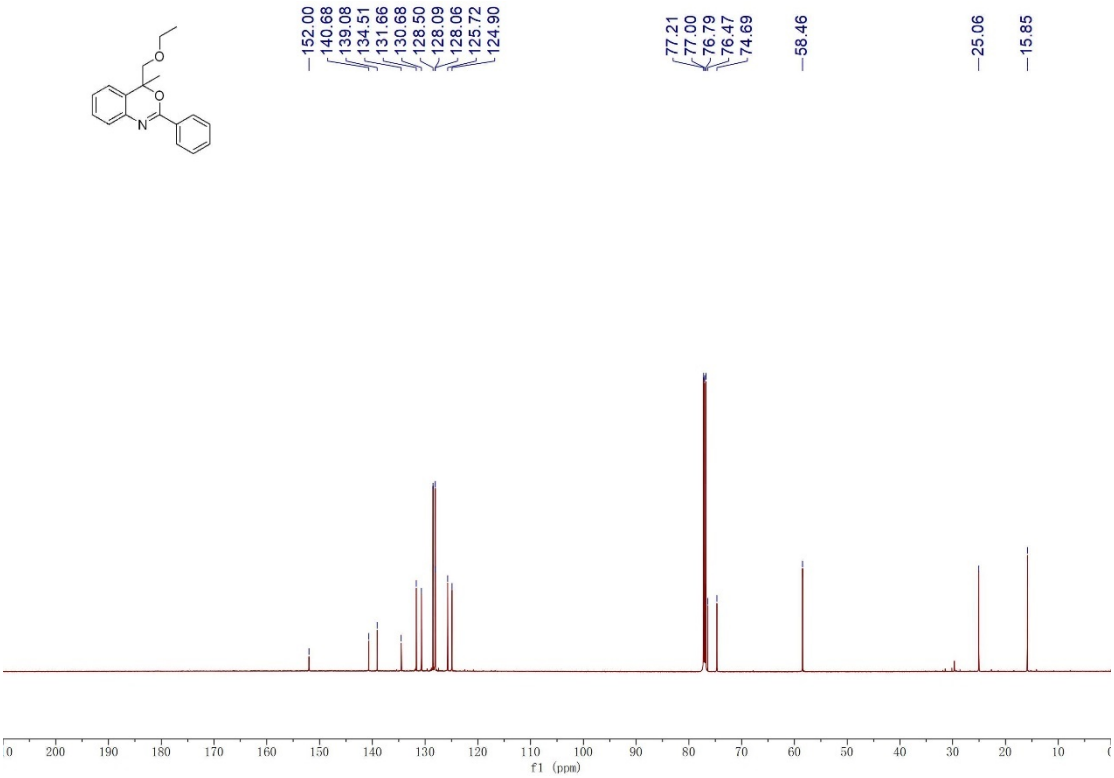
6-bromo-4-(methoxymethyl)-4-methyl-2-phenyl-4*H*-benzo[*d*][1,3]oxazine **(3k)**



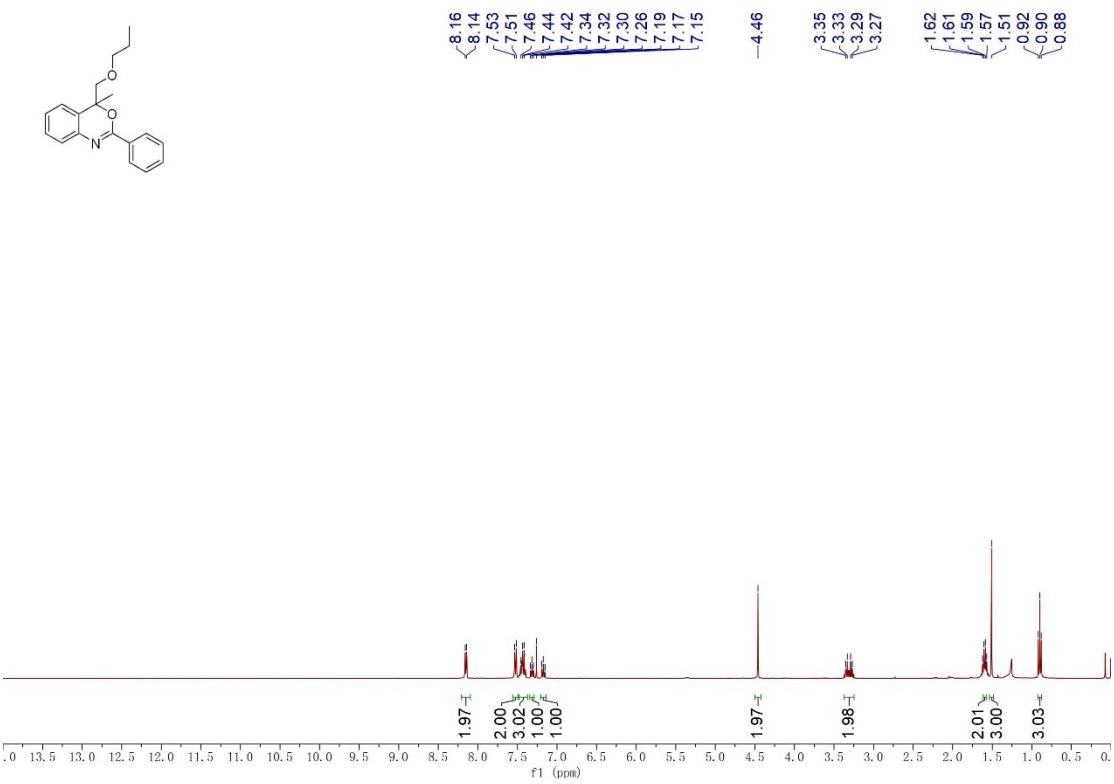


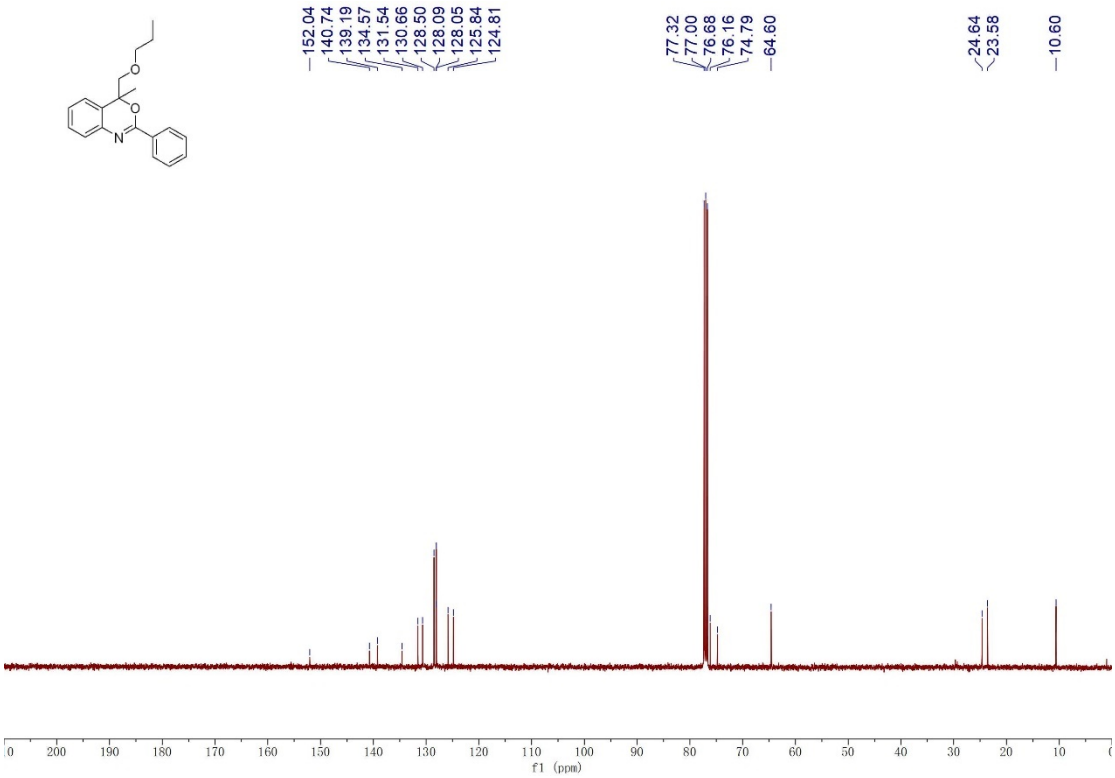
4-(ethoxymethyl)-4-methyl-2-phenyl-4*H*-benzo[*d*][1,3]oxazine **(3l)**



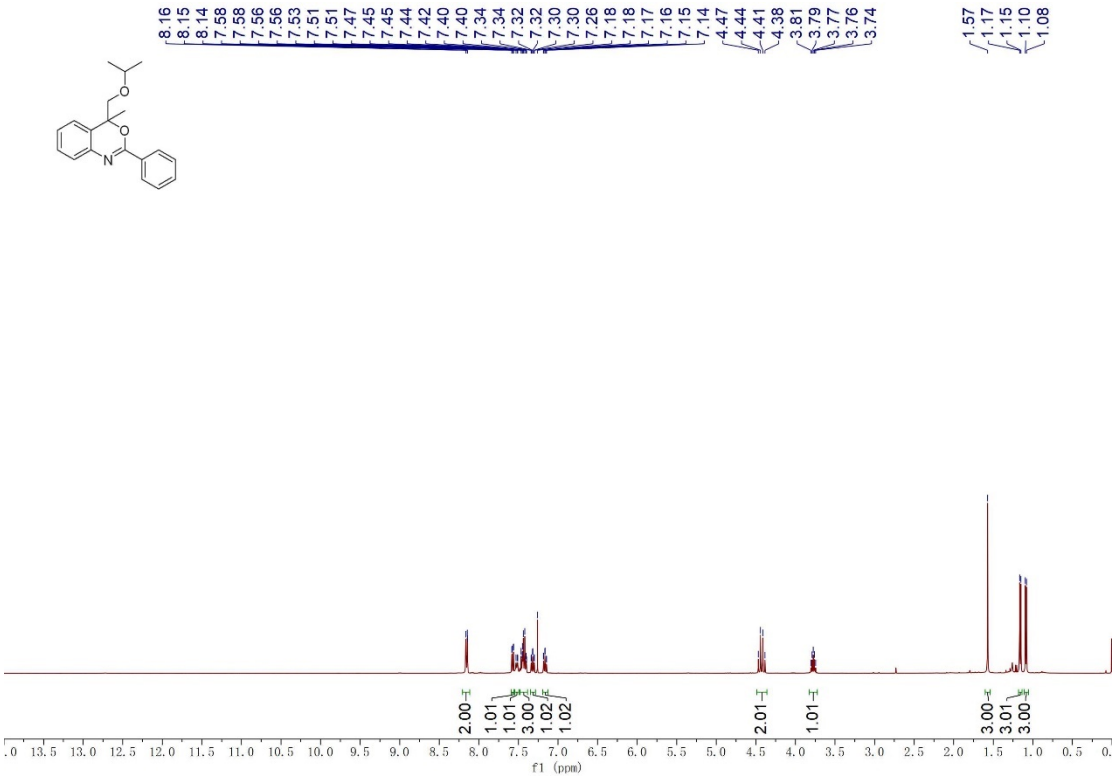


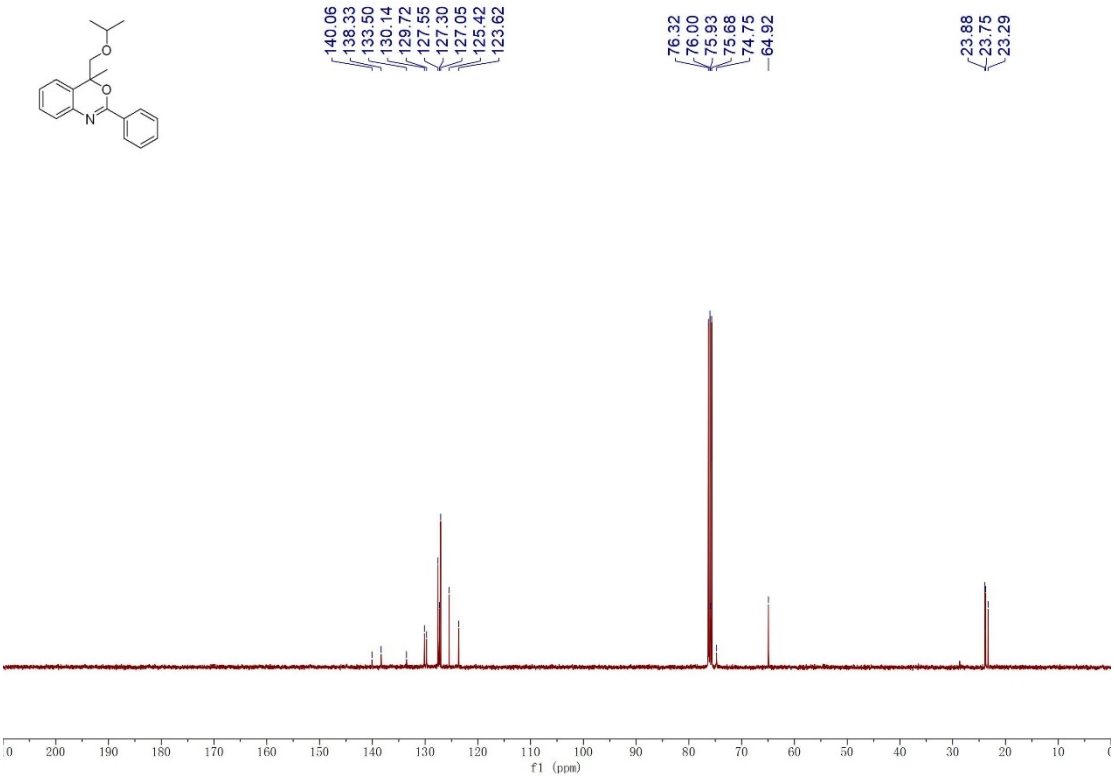
4-methyl-2-phenyl-4-(propoxymethyl)-4*H*-benzo[*d*][1,3]oxazine **(3m)**



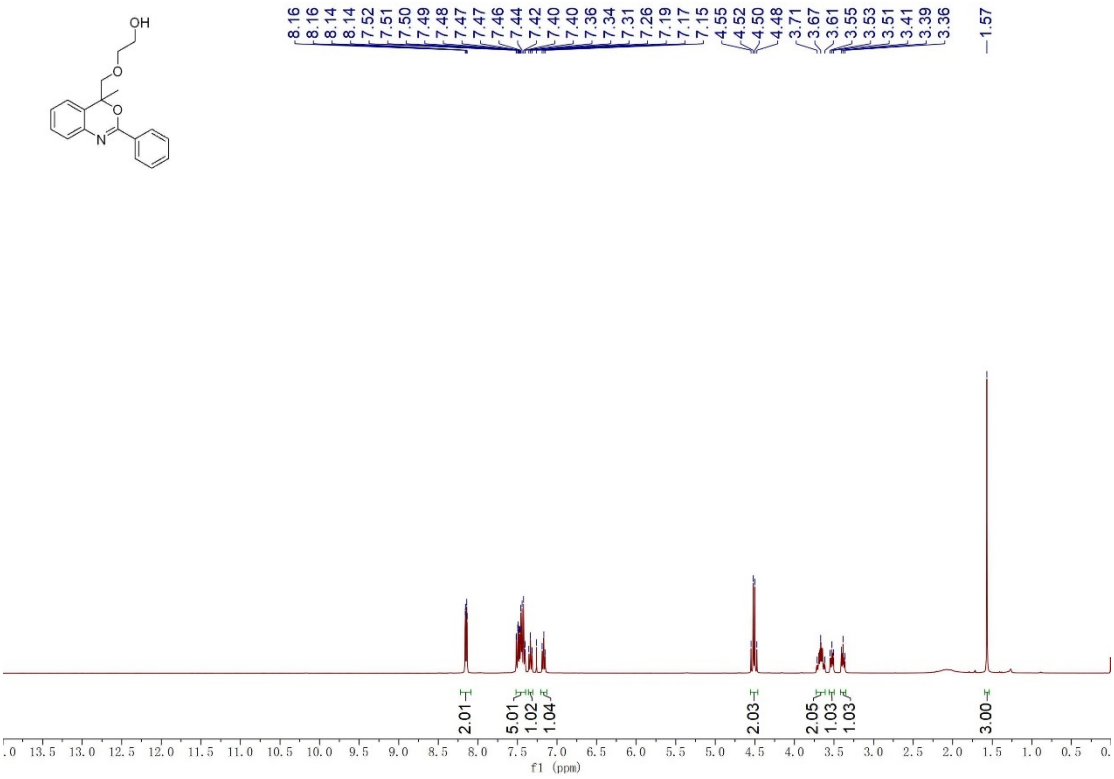


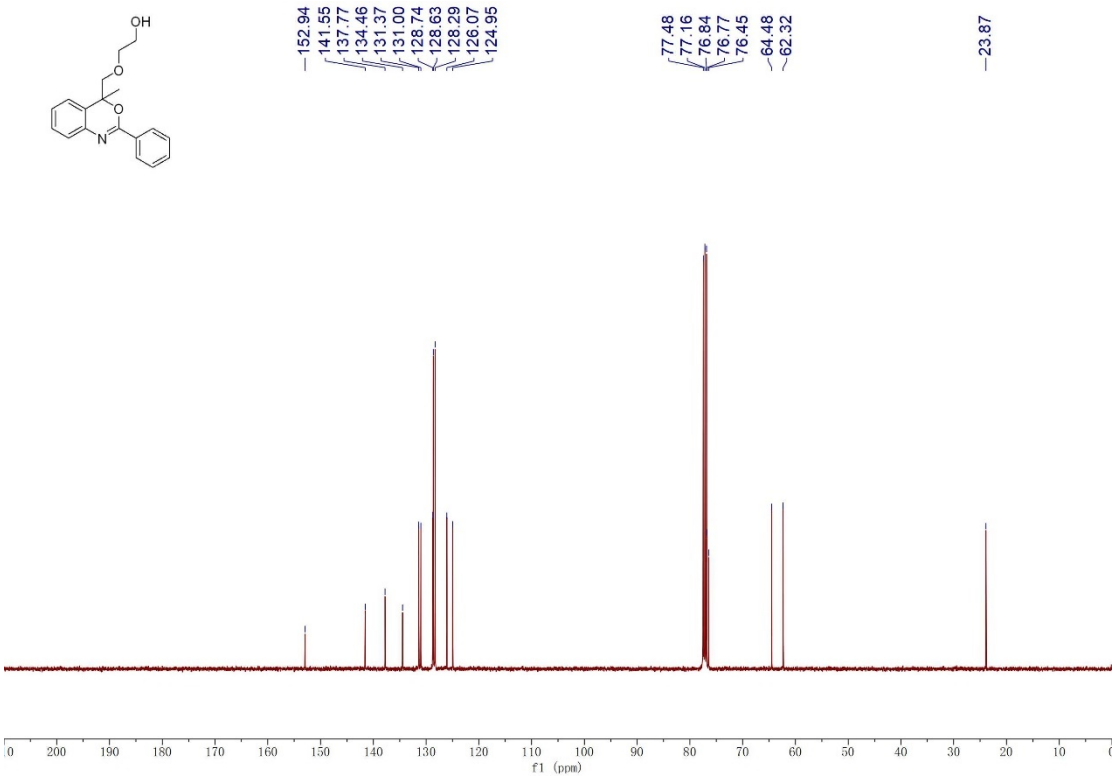
4-(isopropoxymethyl)-4-methyl-2-phenyl-4*H*-benzo[*d*][1,3]oxazine **(3n)**



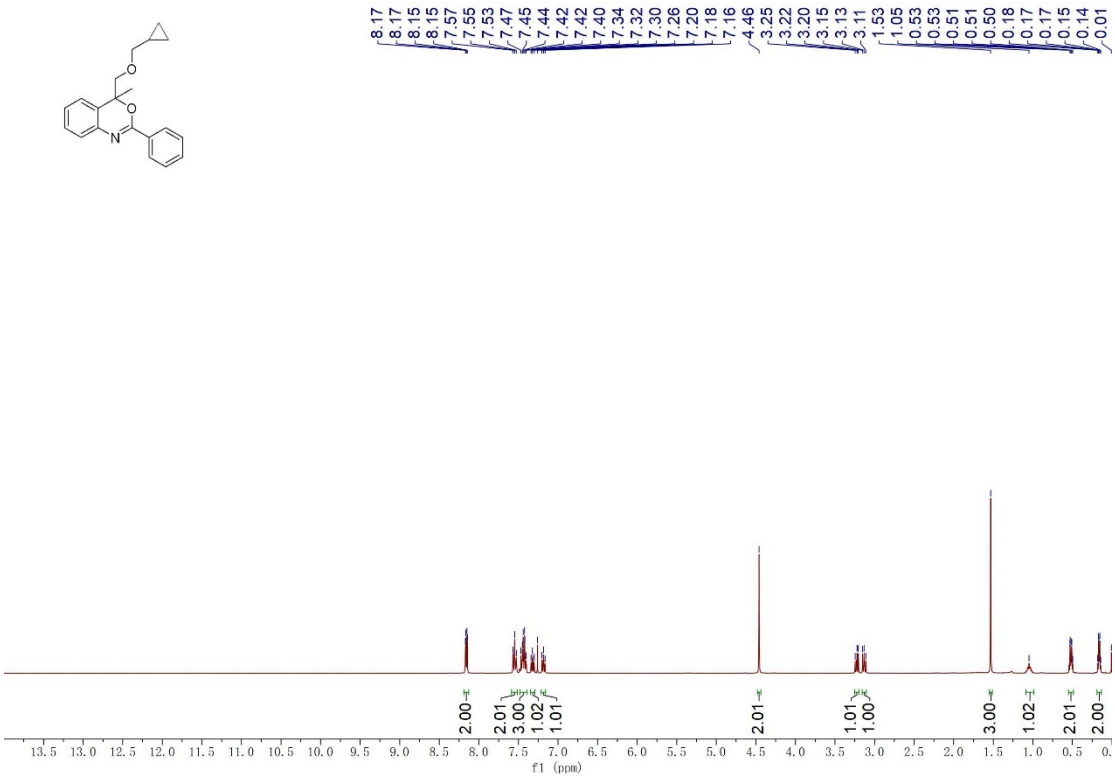


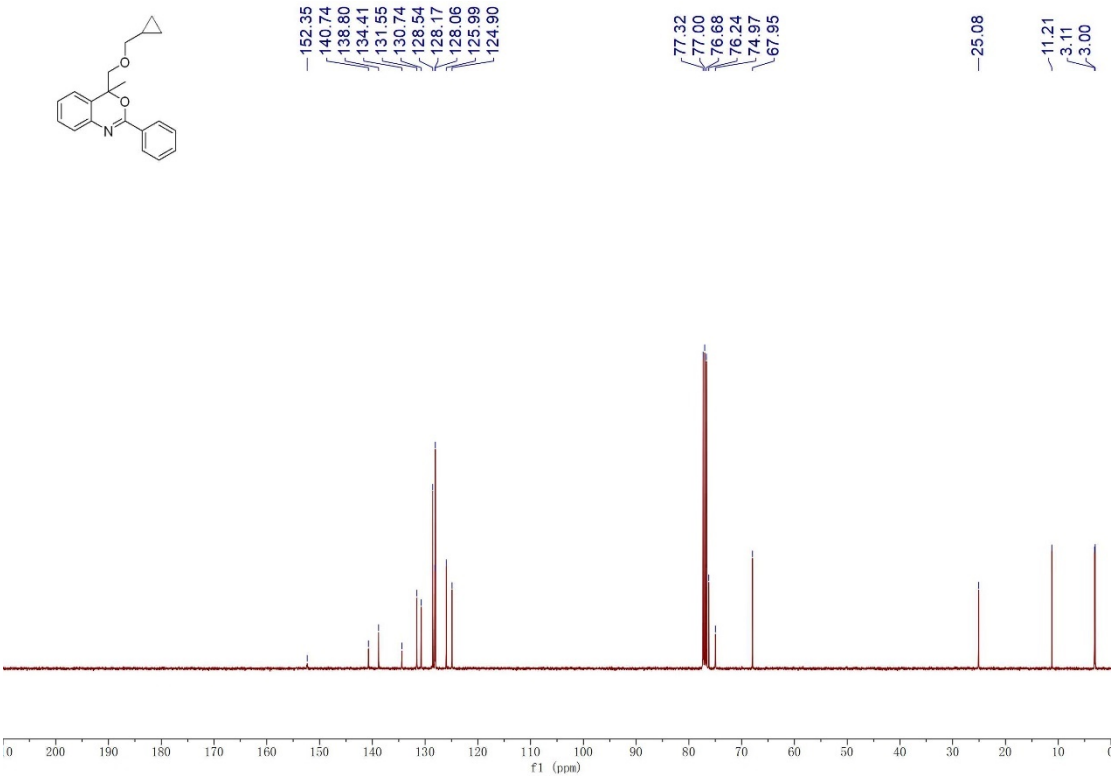
2-((4-methyl-2-phenyl-4*H*-benzo[*d*][1,3]oxazin-4-yl)methoxy)ethan-1-ol **(3o)**



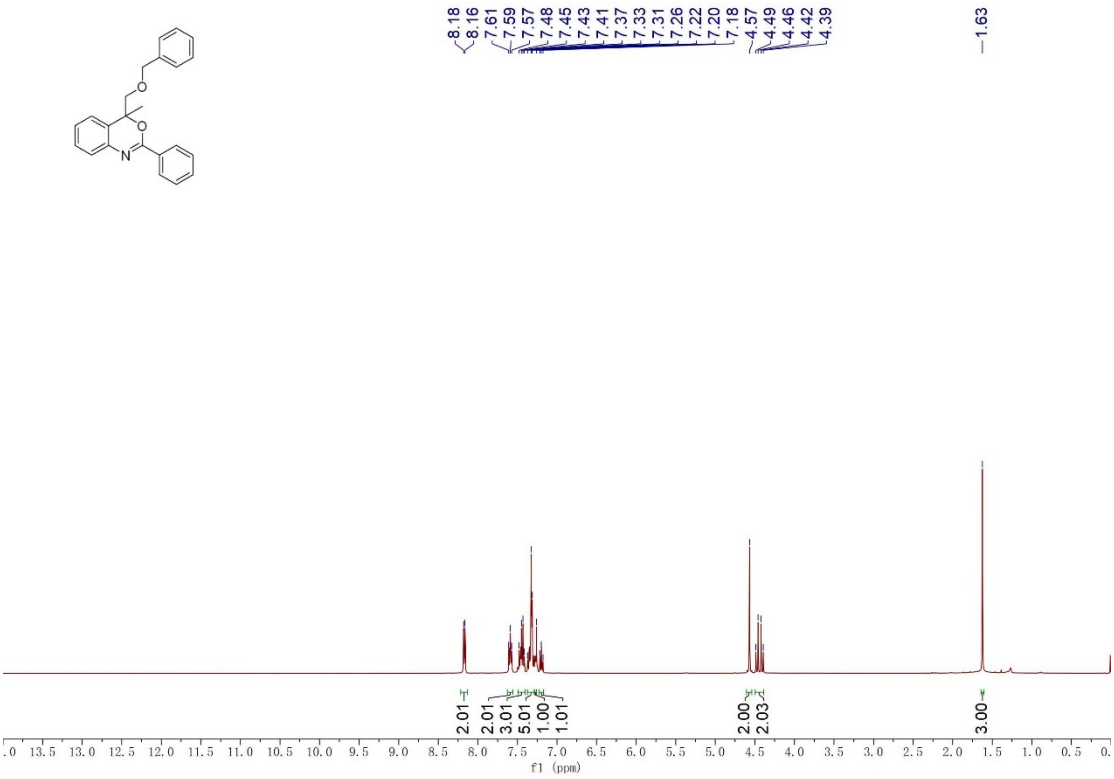


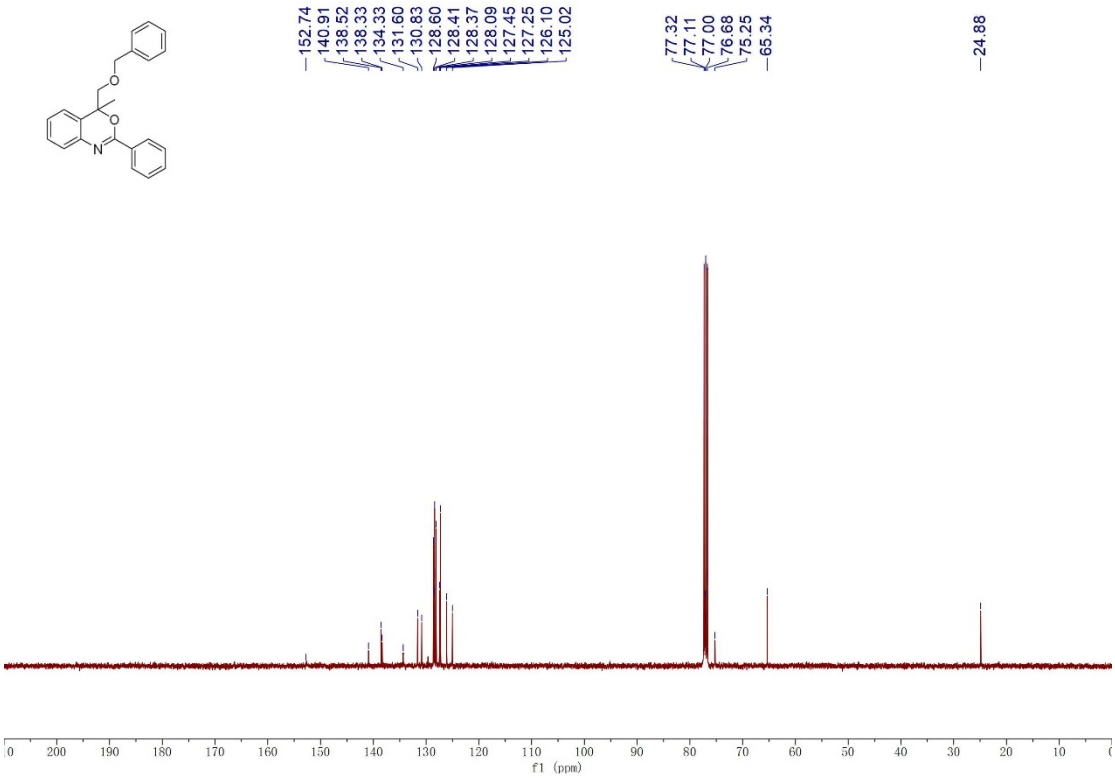
4-((cyclopropylmethoxy)methyl)-4-methyl-2-phenyl-4*H*-benzo[*d*][1,3]oxazine **(3p)**



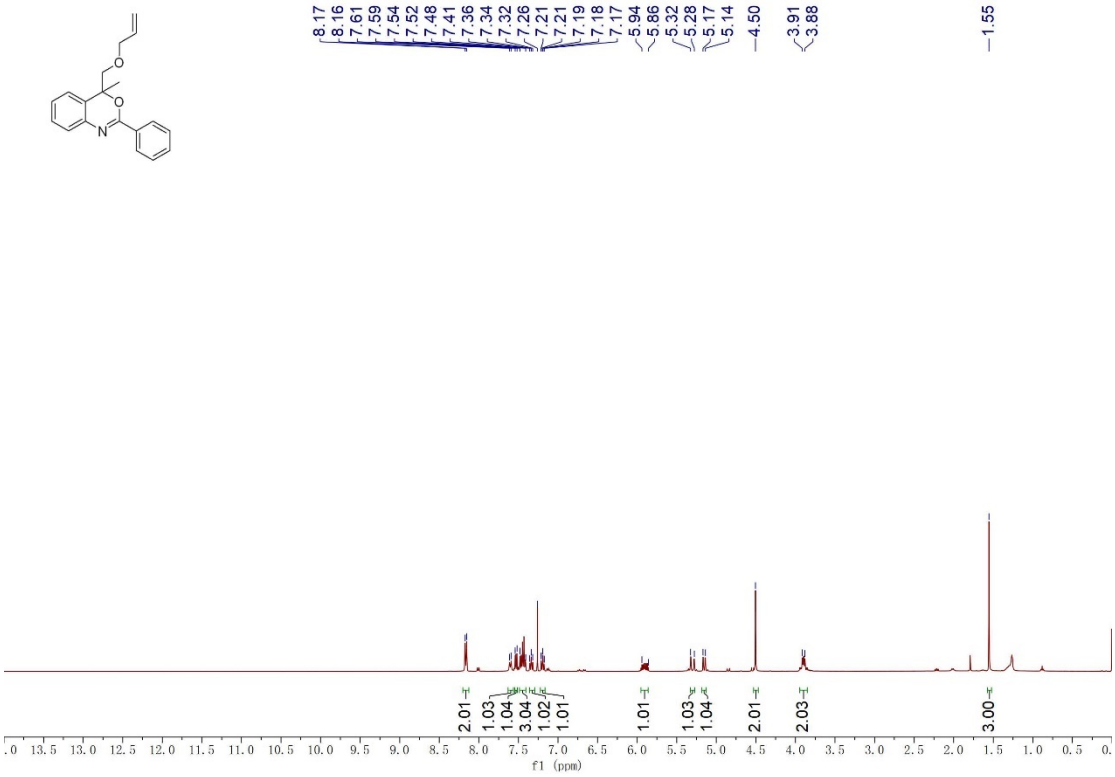


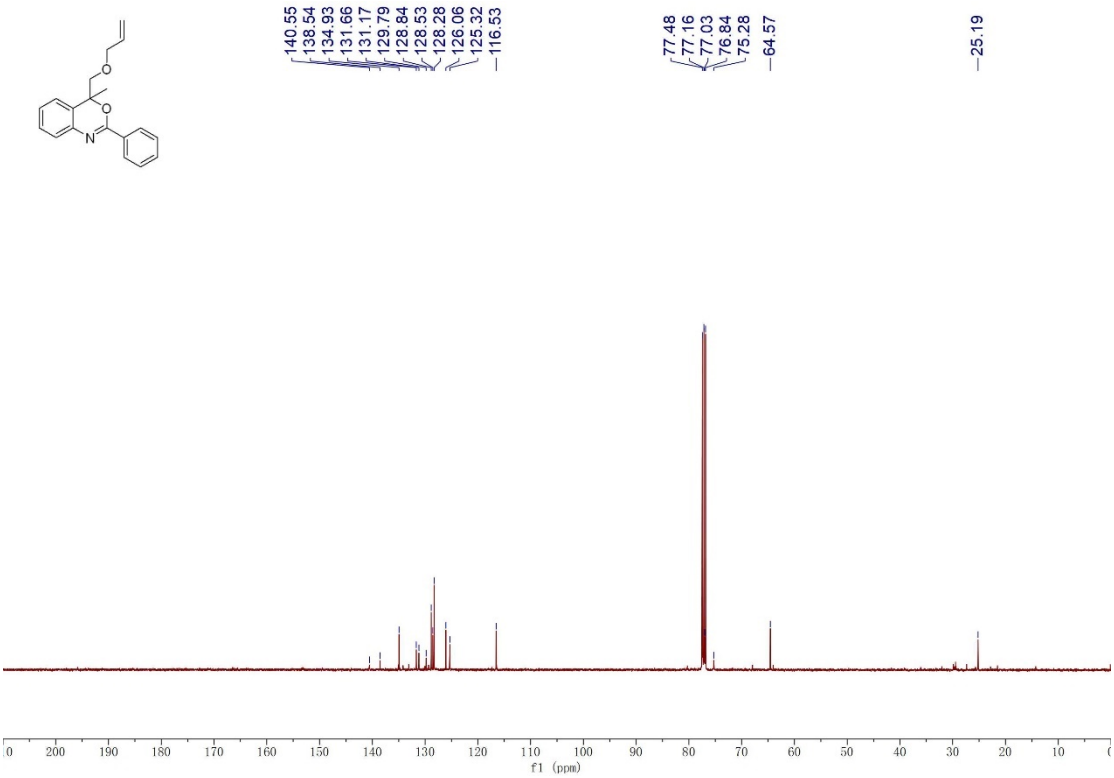
4-((benzyloxy)methyl)-4-methyl-2-phenyl-4*H*-benzo[*d*][1,3]oxazine **(3q)**



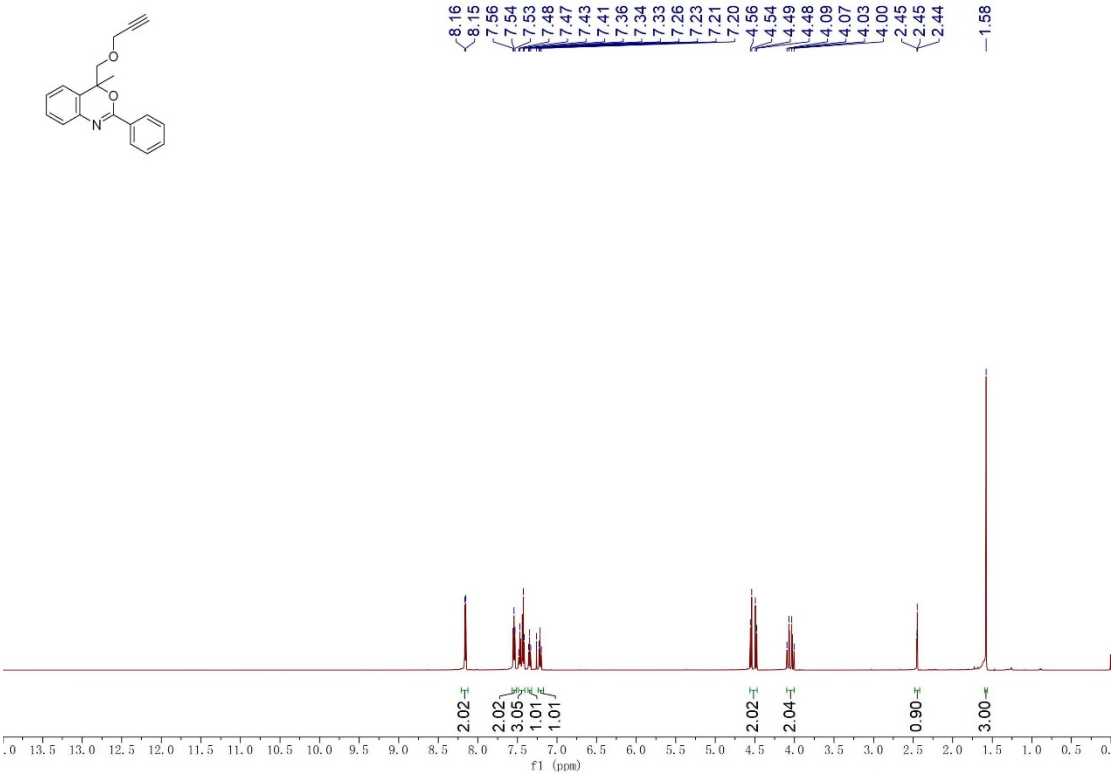


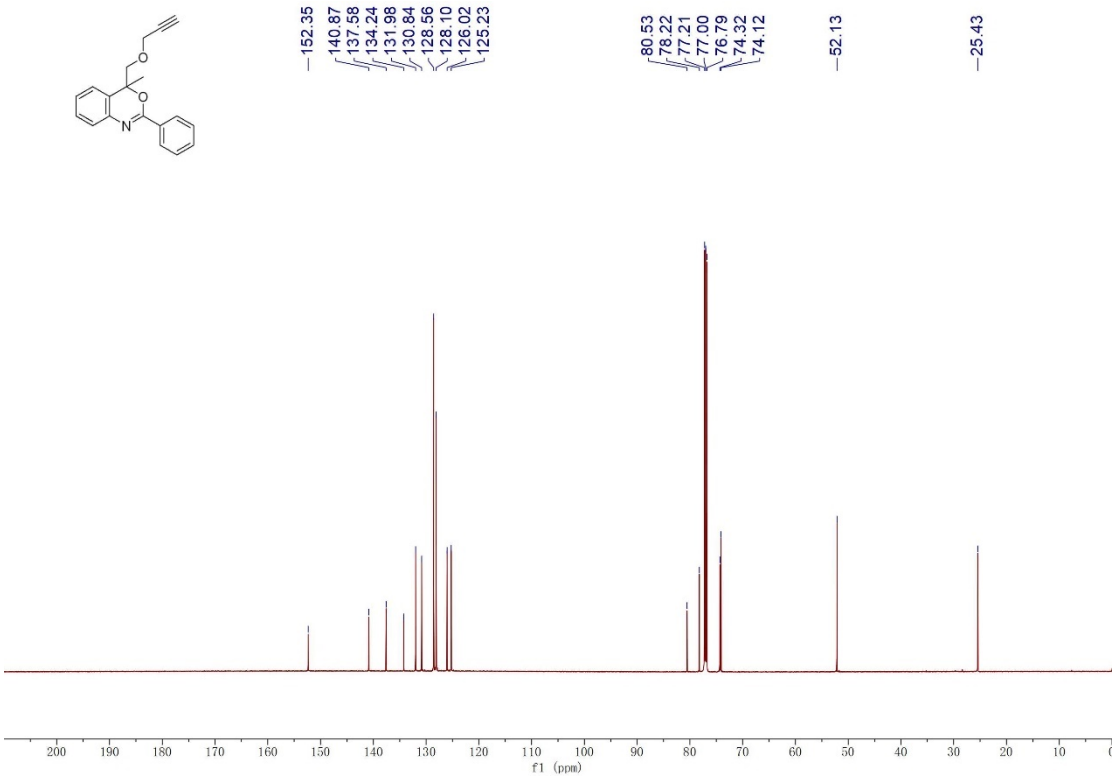
4-((allyloxy)methyl)-4-methyl-2-phenyl-4*H*-benzo[*d*][1,3]oxazine **(3r)**



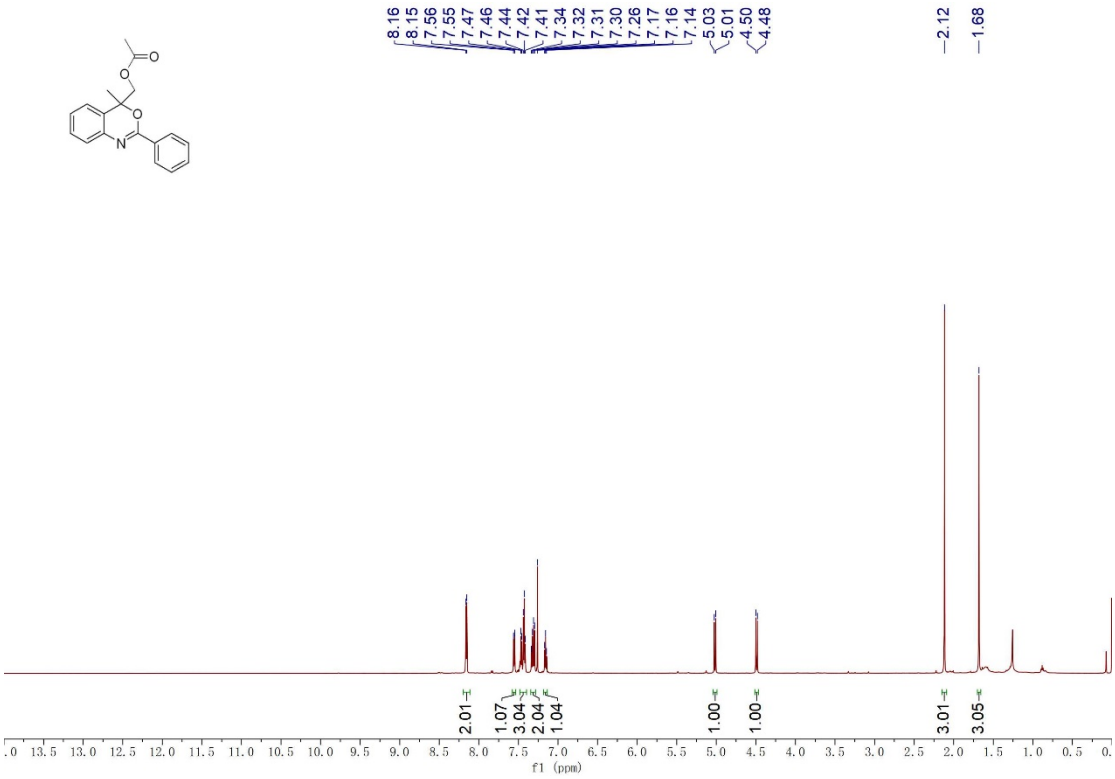


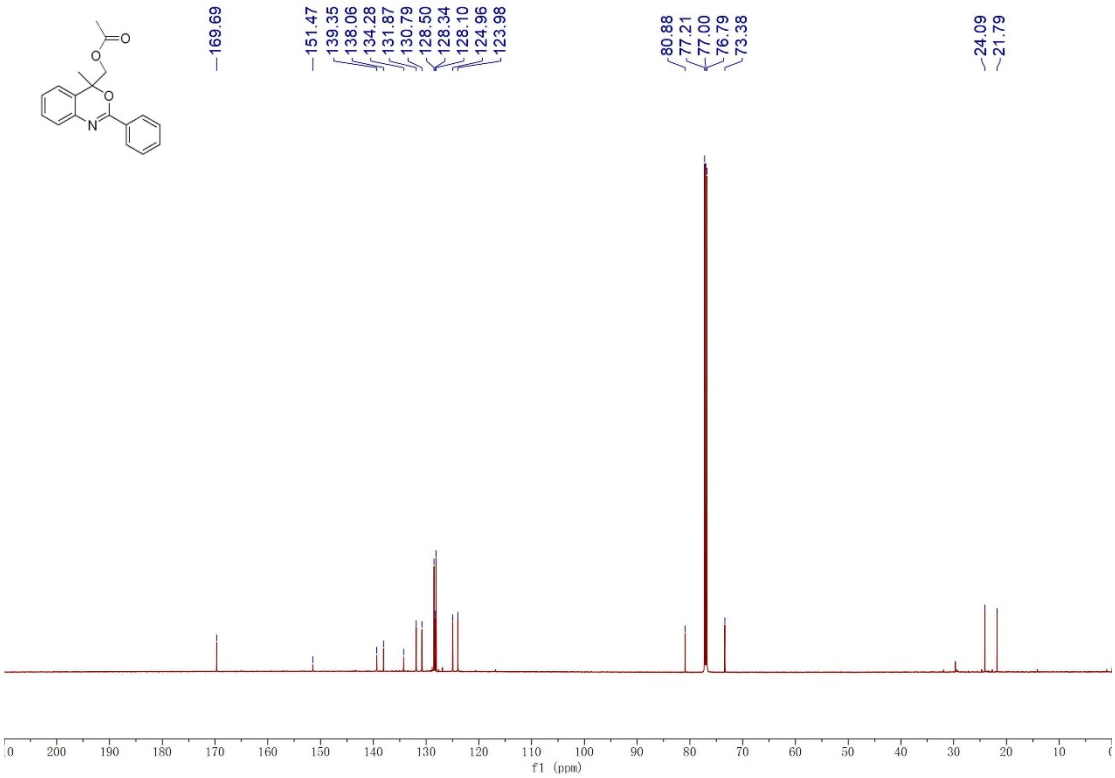
4-methyl-2-phenyl-4-((prop-2-yn-1-yloxy)methyl)-4*H*-benzo[*d*][1,3]oxazine **(3s)**



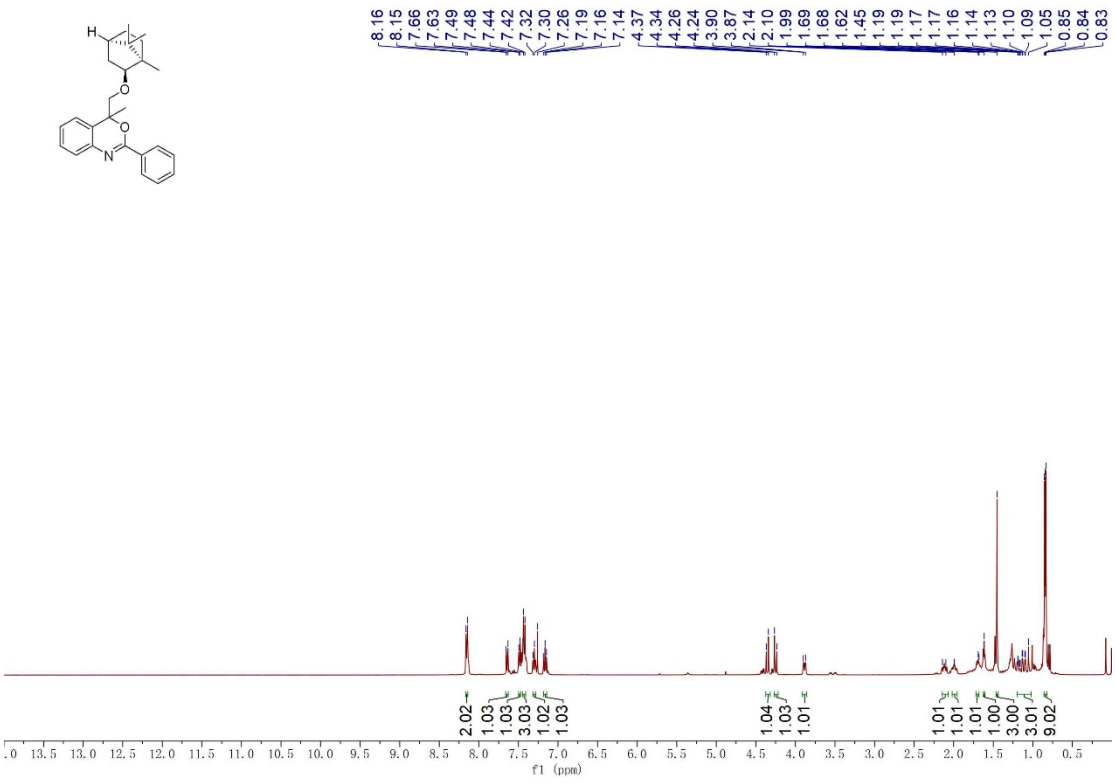


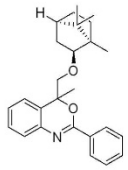
(4-methyl-2-phenyl-4*H*-benzo[*d*][1,3]oxazin-4-yl)methyl acetate **(3t)**



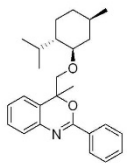


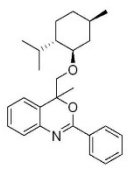
4-methyl-2-phenyl-4-((((1*R*,2*S*,4*R*)-1,7,7-trimethylbicyclo[2.2.1]heptan-2-yl)oxy)methyl)-4*H*-benzo[*d*][1,3]oxazine **(3u)**

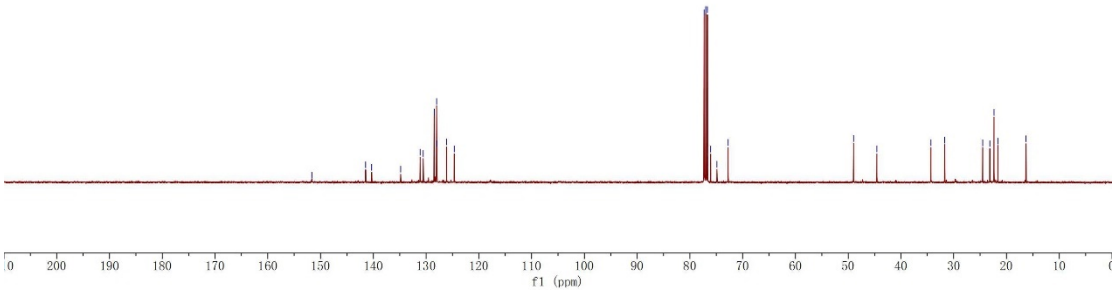




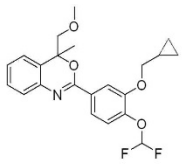
4-((((1*R*,2*S*,5*R*)-2-isopropyl-5-methylcyclohexyl)oxy)methyl)-4-methyl-2-phenyl-4*H*-benzo[*d*][1,3]oxazine **(3v)**

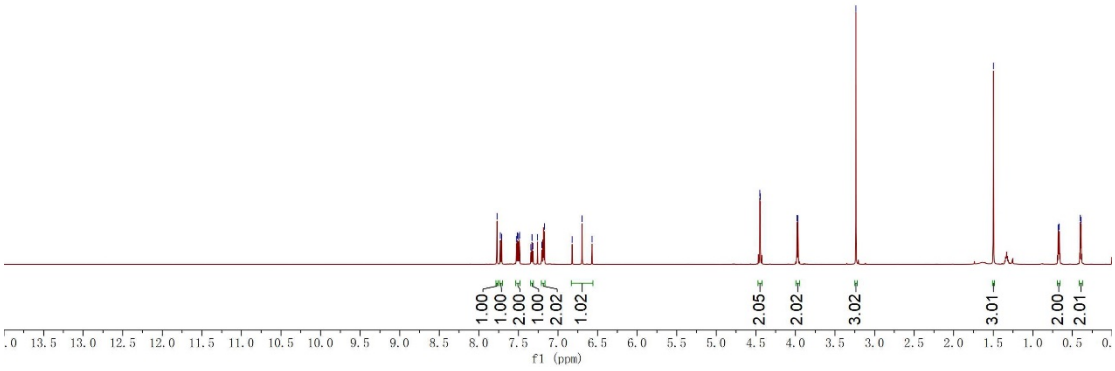


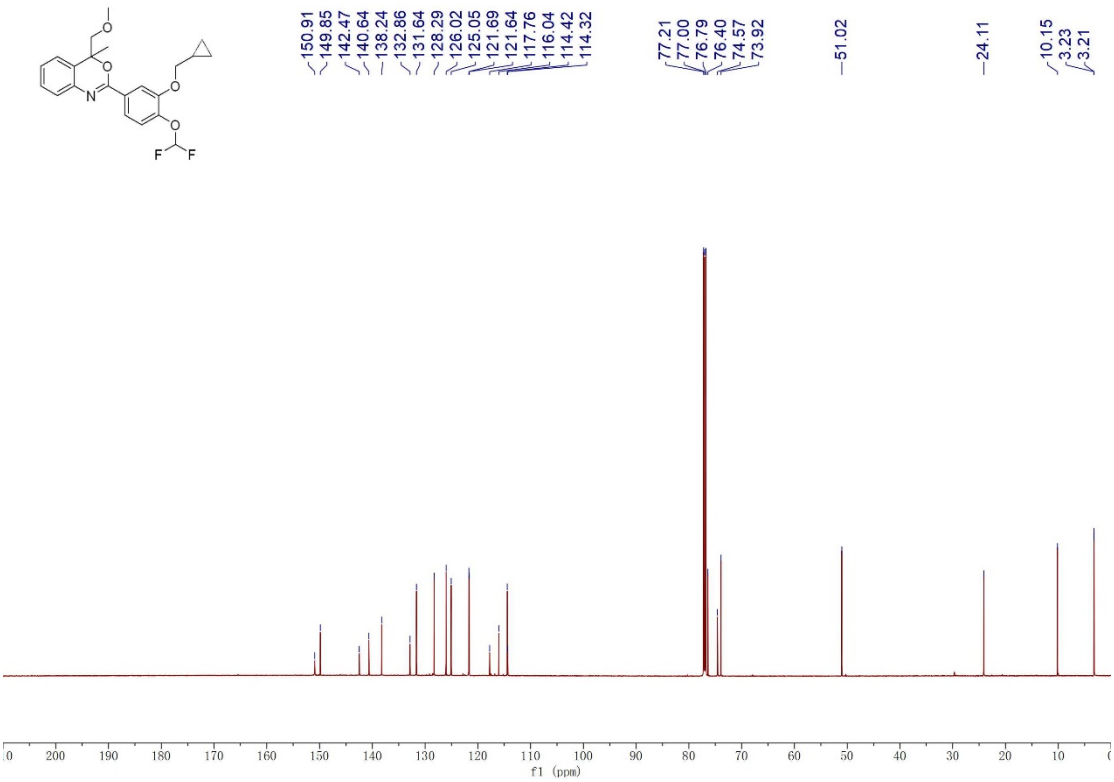


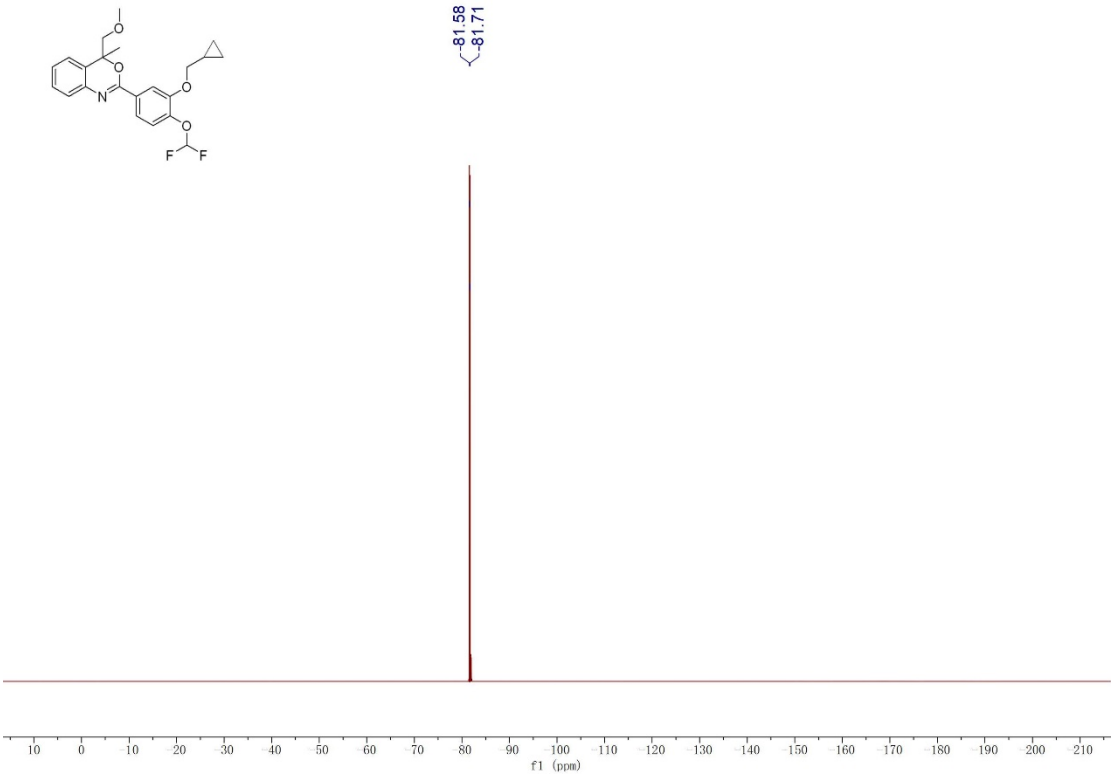


2-(3-(cyclopropylmethoxy)-4-(difluoromethoxy)phenyl)-4-(methoxymethyl)-4-methyl-4*H*-benzo[*d*][1,3]oxazine **(3w)**

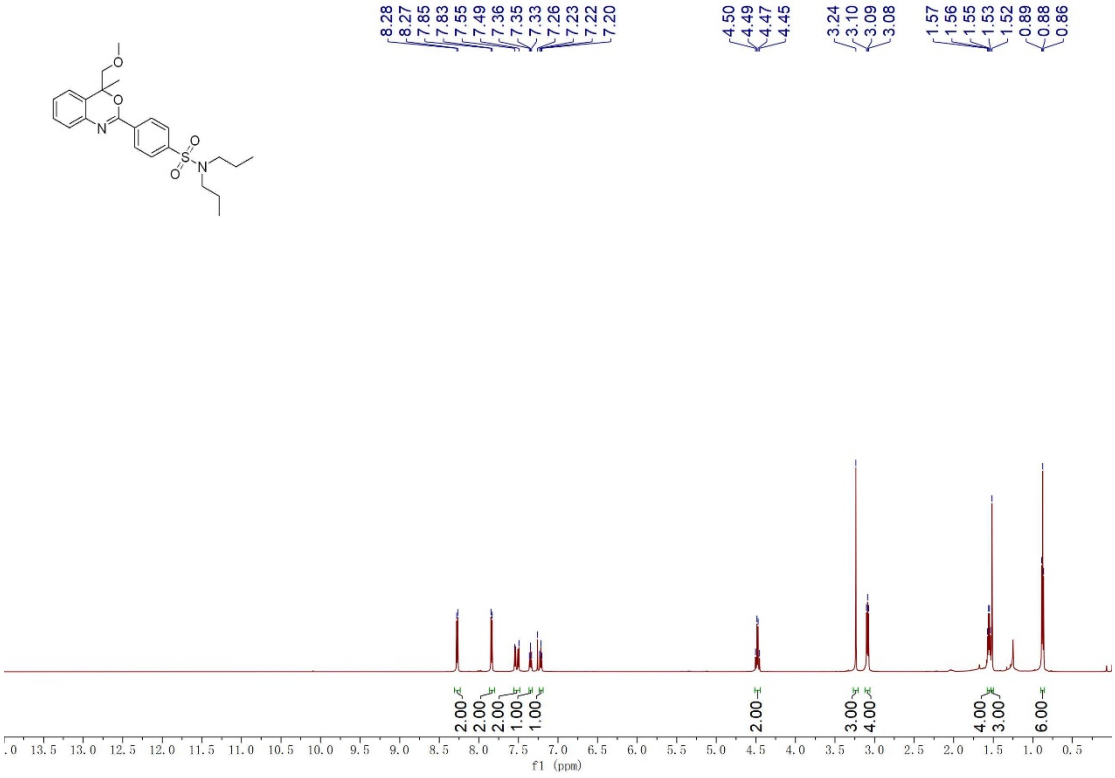


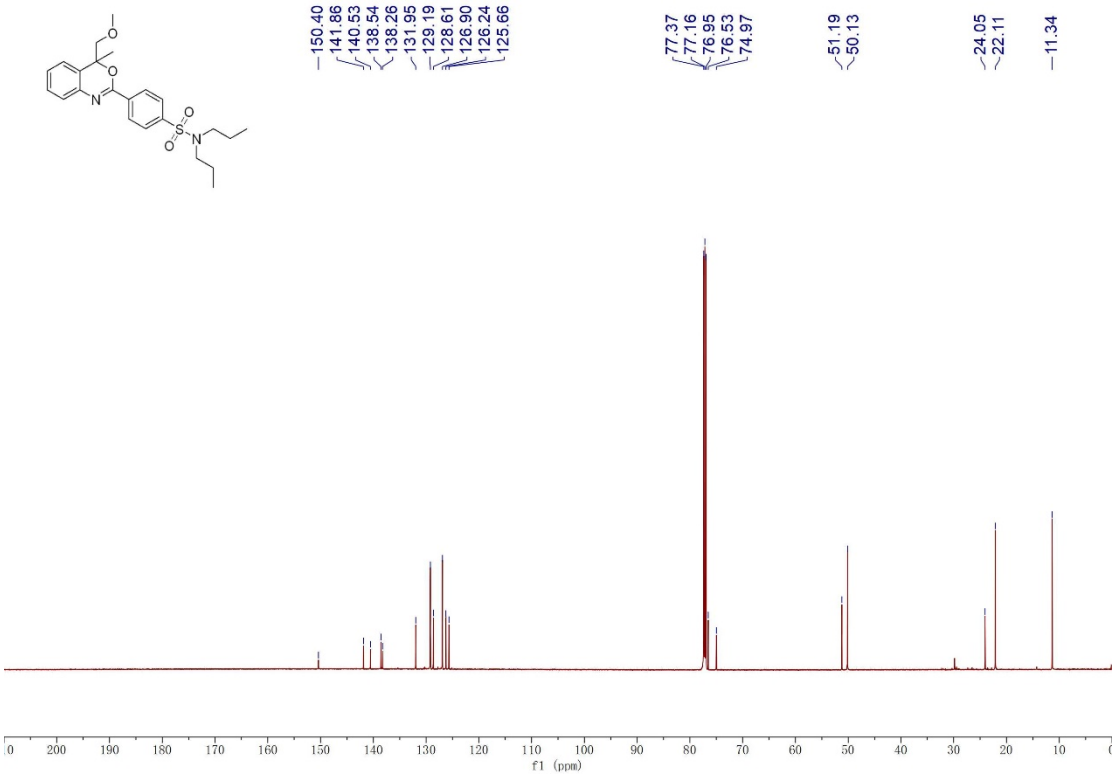



150.91
149.85
142.47
140.64
138.24
132.86
131.64
128.29
126.02
125.05
121.69
121.64
117.76
116.04
114.42
114.32
77.21
77.00
76.79
76.40
74.57
73.92
51.02
24.11
10.15
3.23
3.21
f1 (ppm)

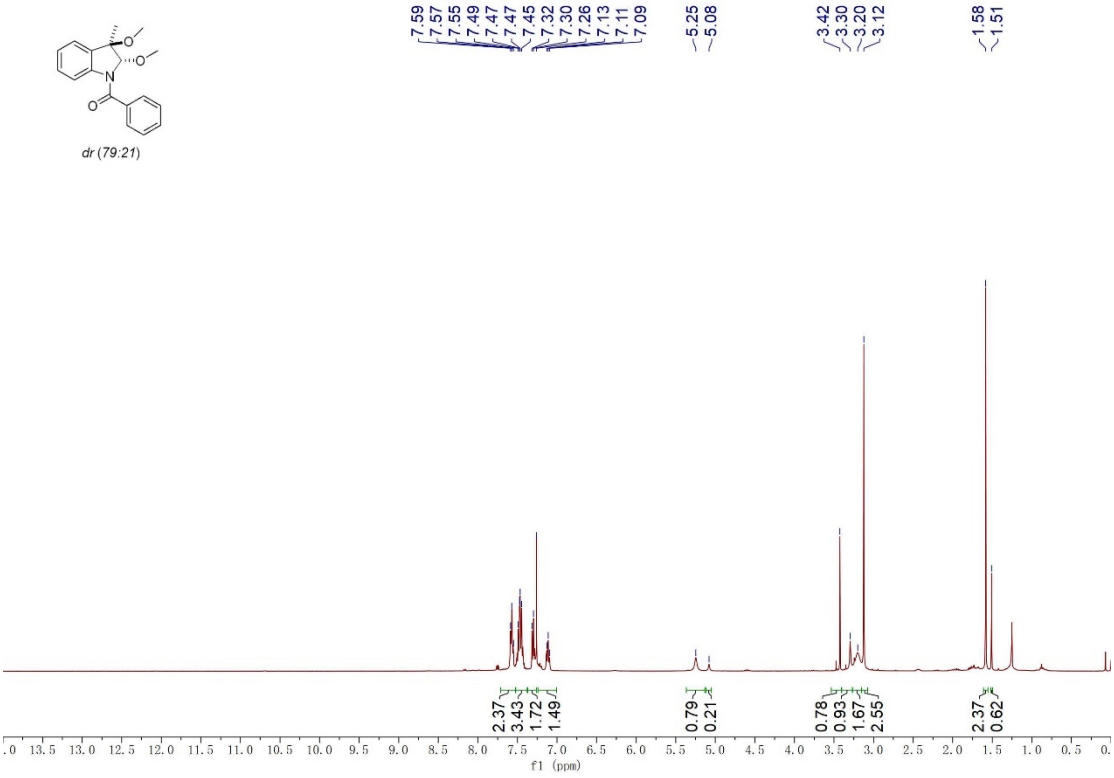

-81.58
-81.71
f1 (ppm)

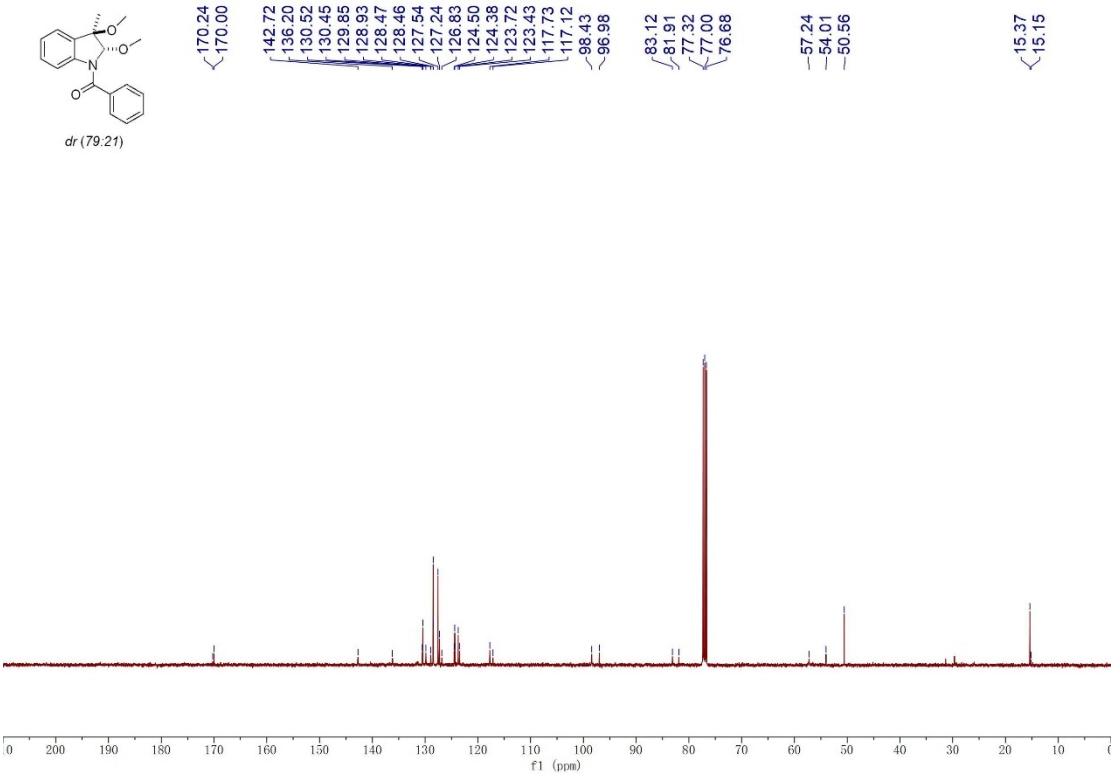
4-(4-(methoxymethyl)-4-methyl-4*H*-benzo[*d*][1,3]oxazin-2-yl)-*N*,*N*-dipropylbenzenesulfonamide **(3x)**



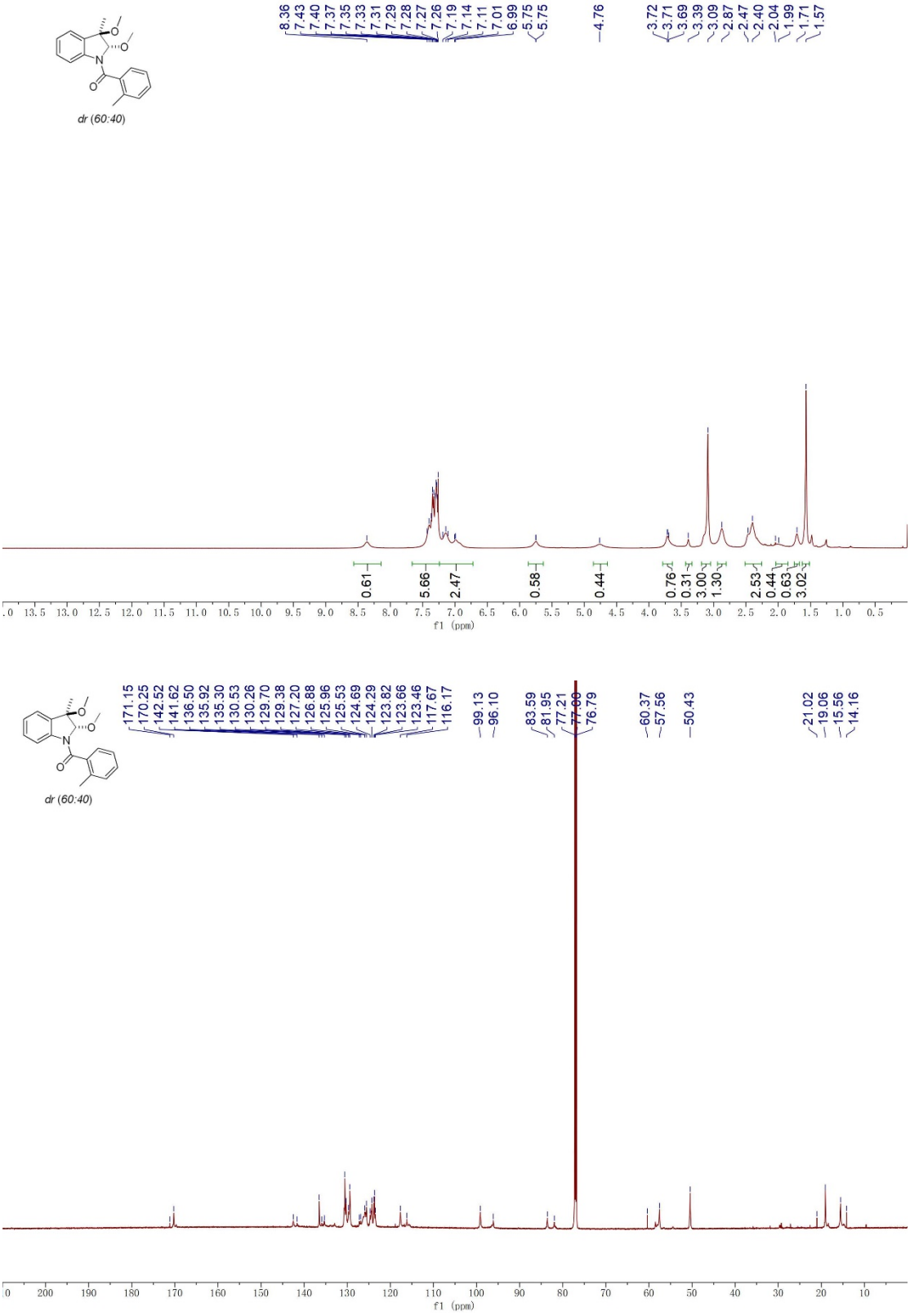


(2,3-dimethoxy-3-methylindolin-1-yl)(phenyl)methanone **(4a)**

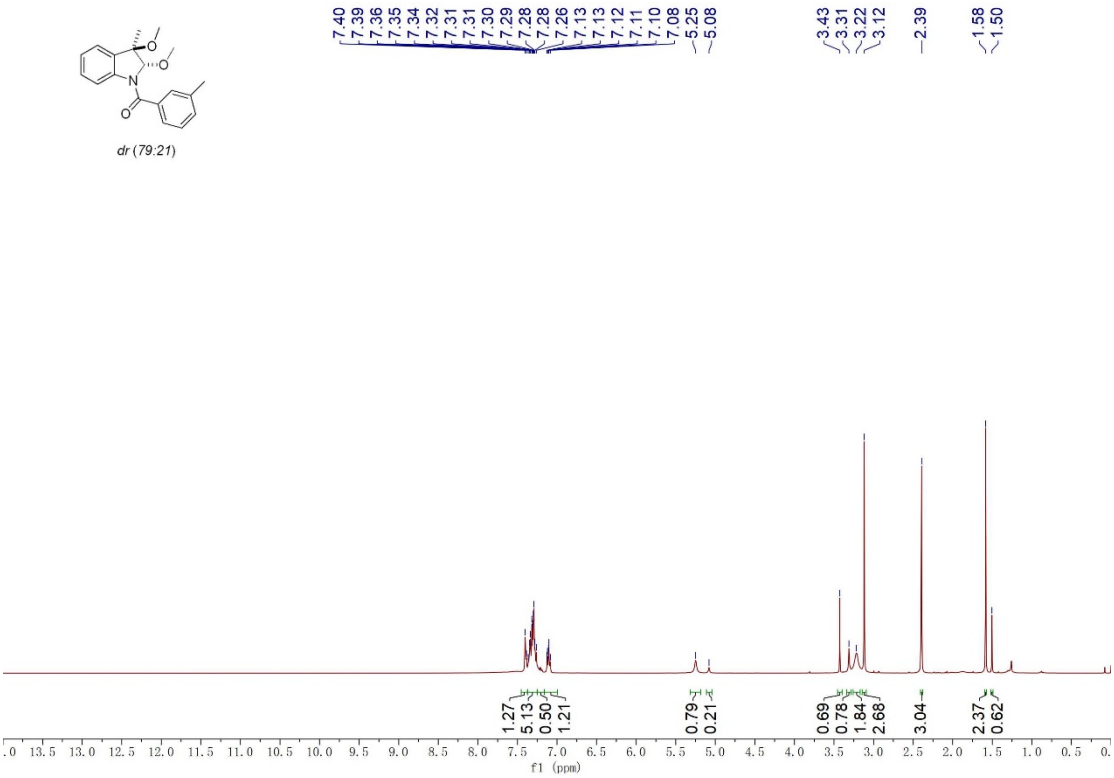


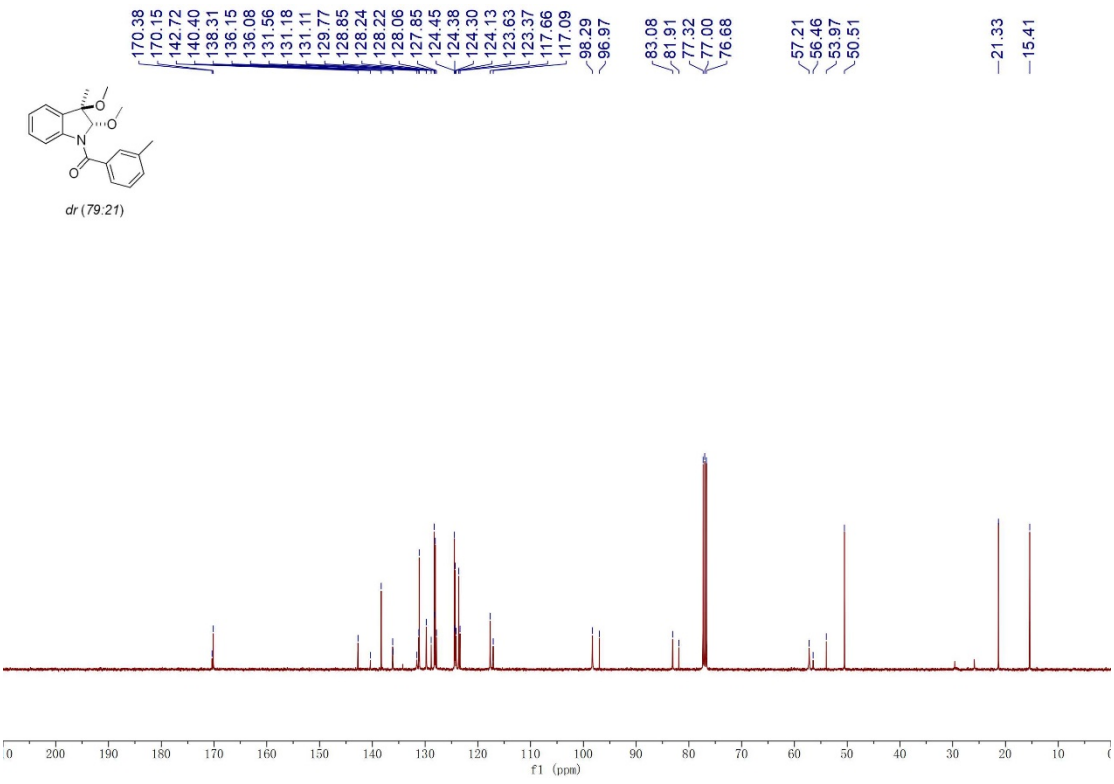


(2,3-dimethoxy-3-methylindolin-1-yl)(*o*-tolyl)methanone **(4b)**

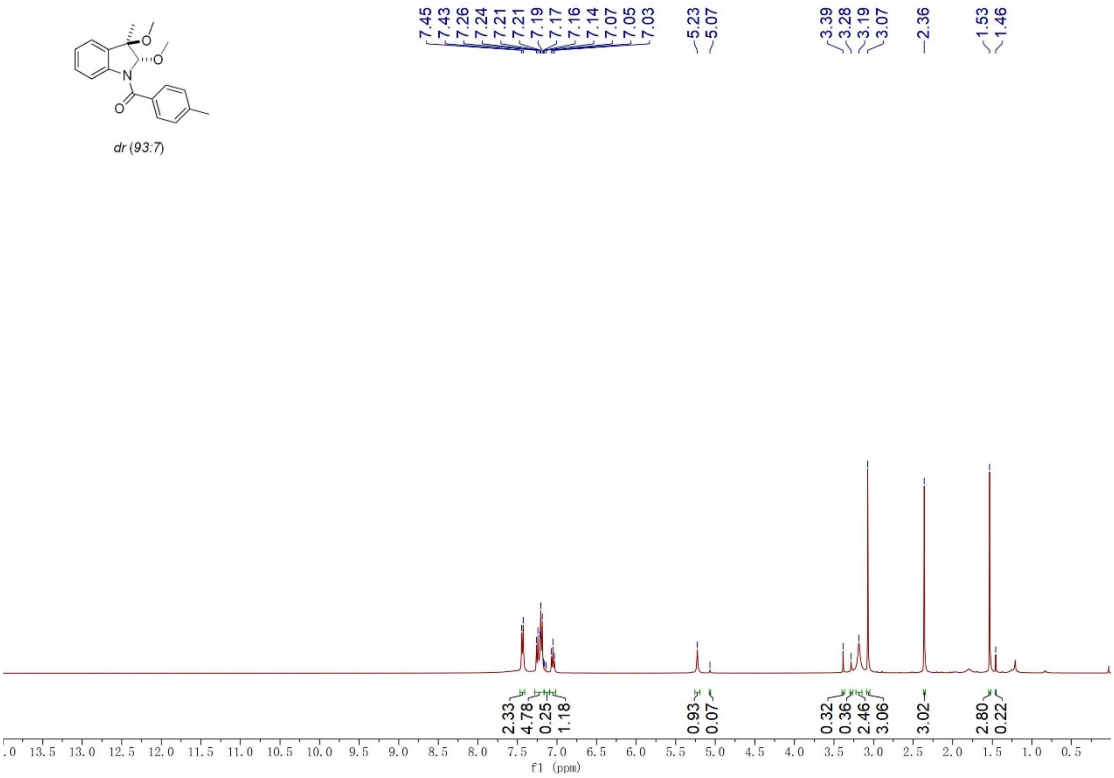


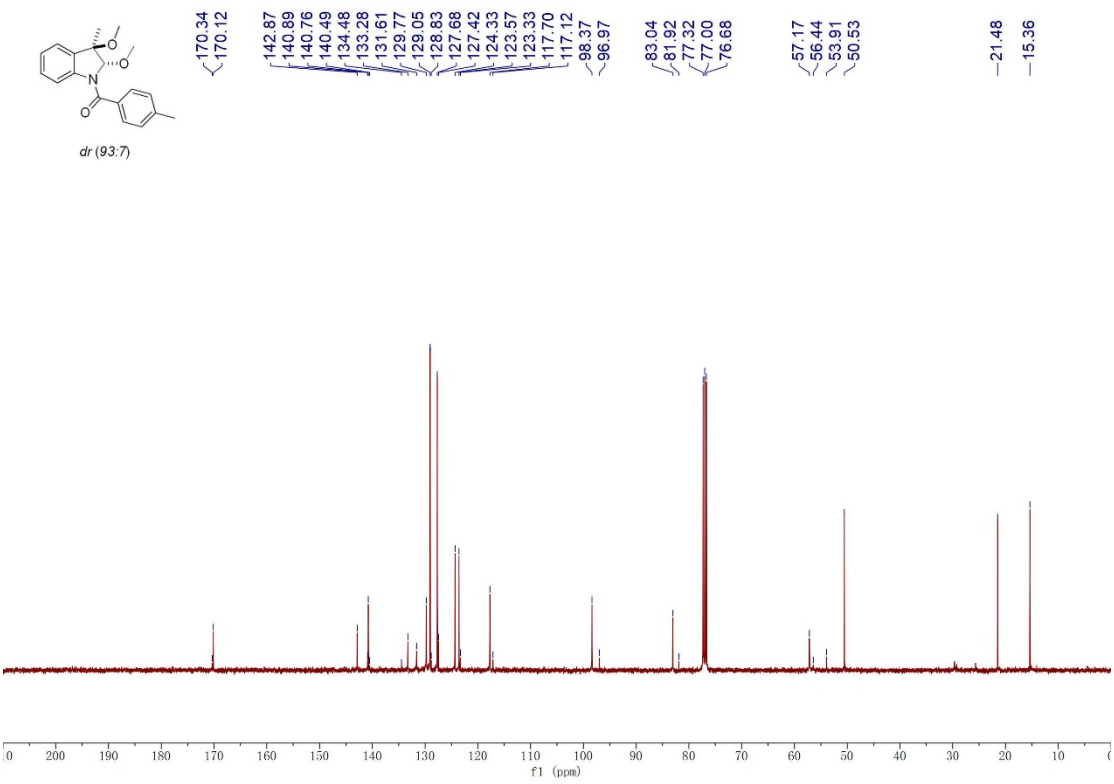
(2,3-dimethoxy-3-methylindolin-1-yl)(*m*-tolyl)methanone **(4c)**



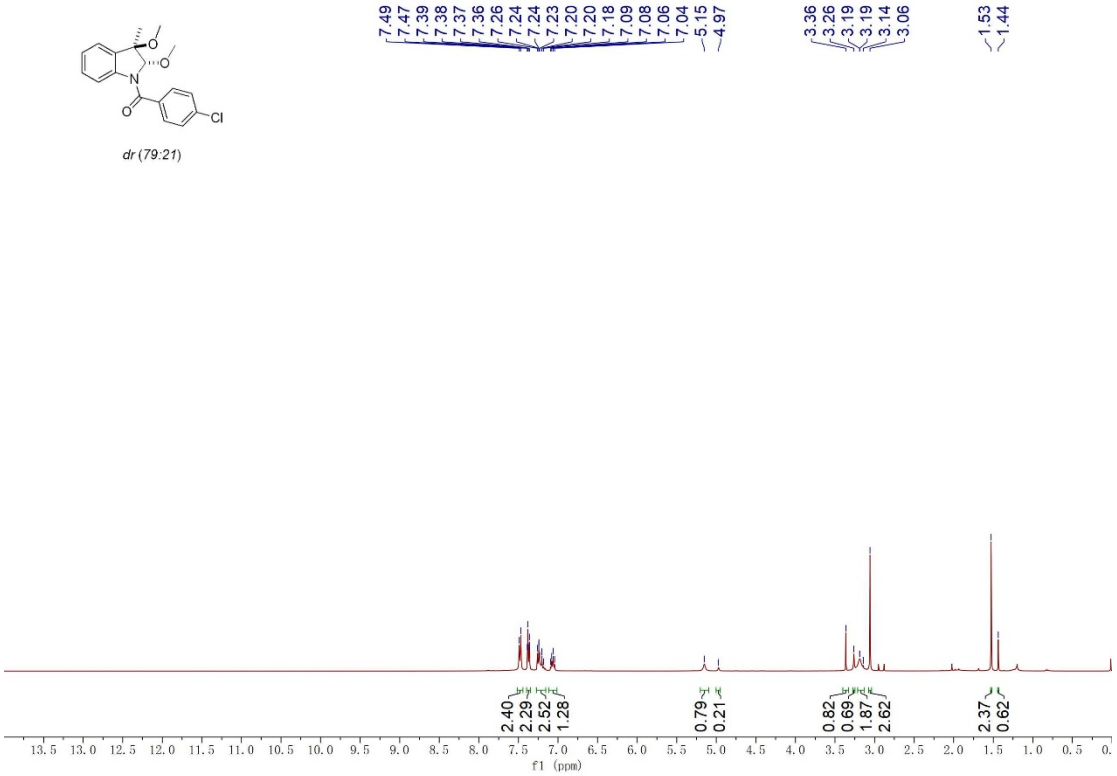


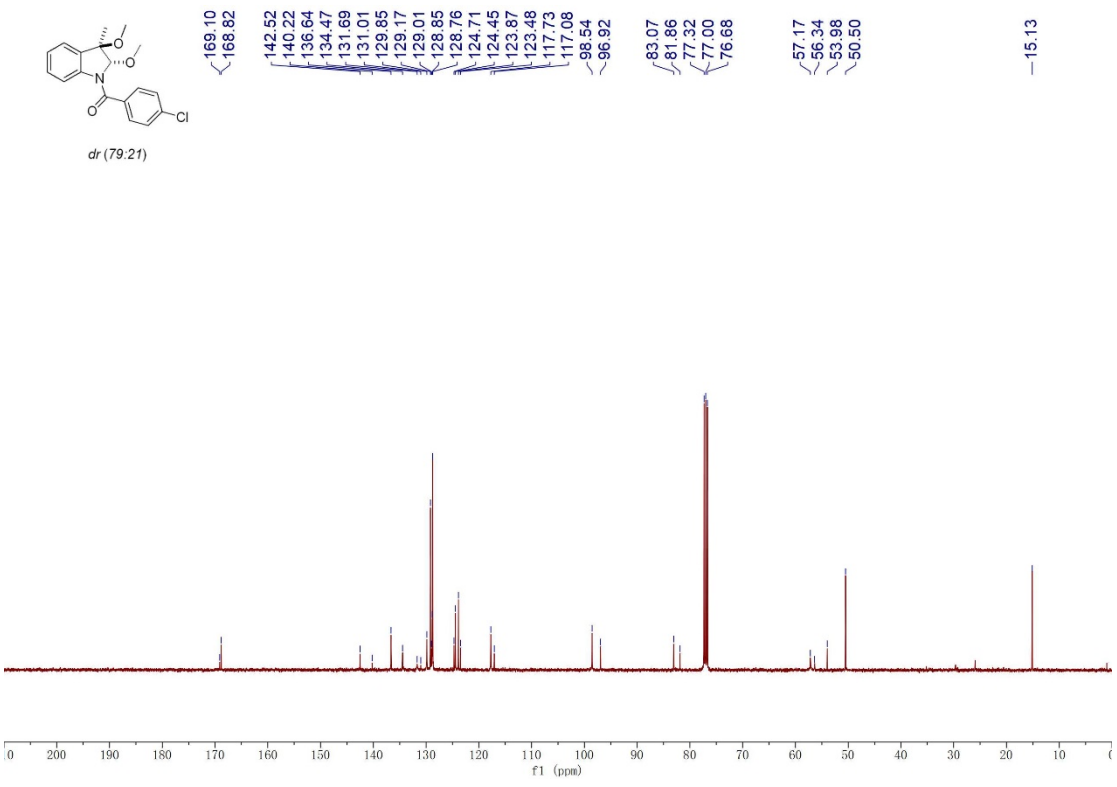
(2,3-dimethoxy-3-methylindolin-1-yl)(*p*-tolyl)methanone **(4d)**



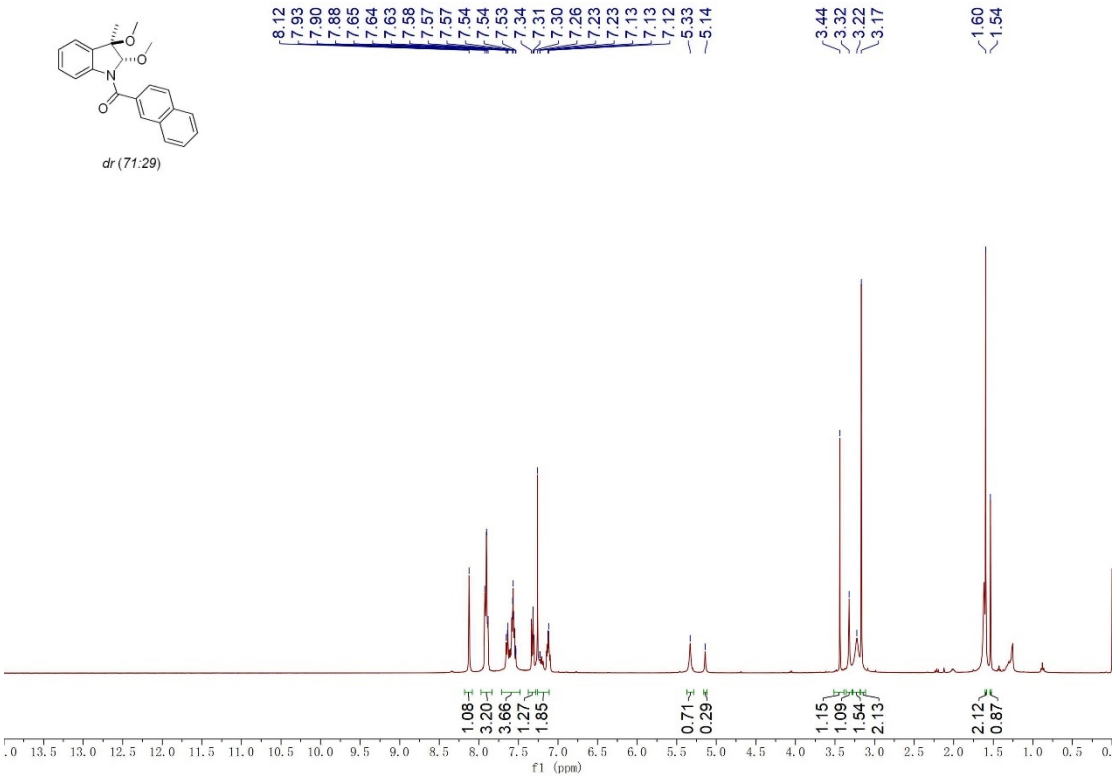


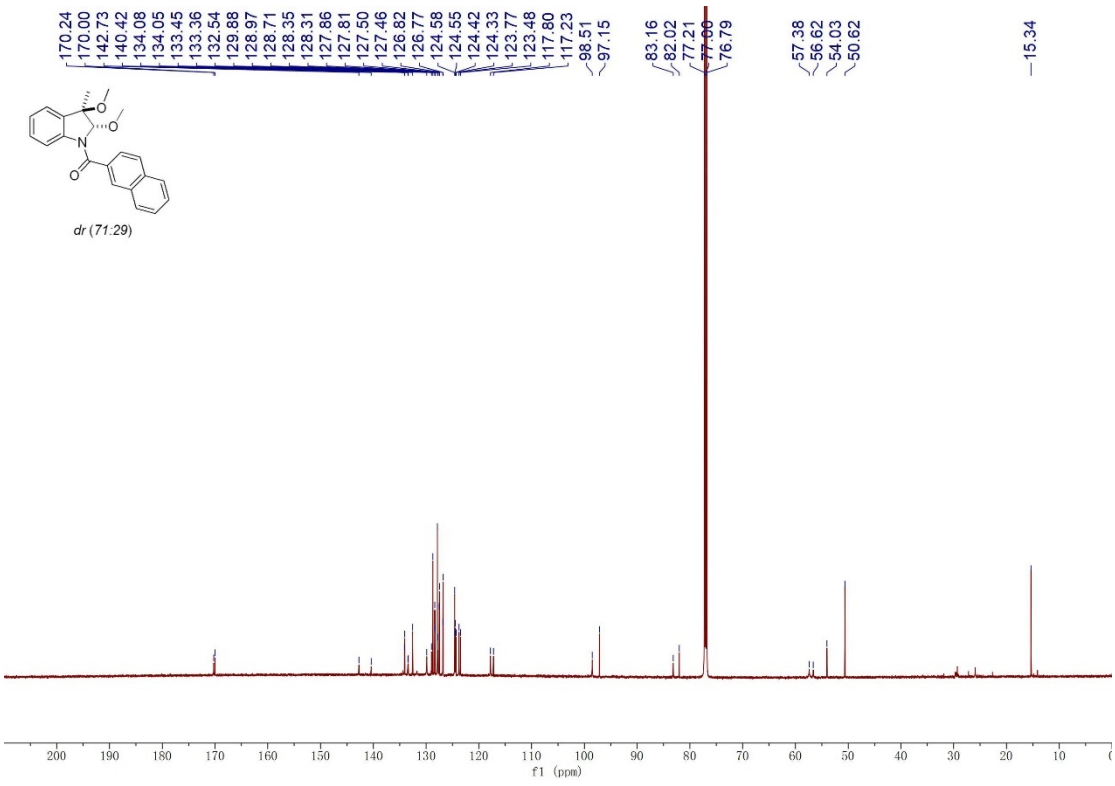
(4-chlorophenyl)(2,3-dimethoxy-3-methylindolin-1-yl)methanone **(4e)**



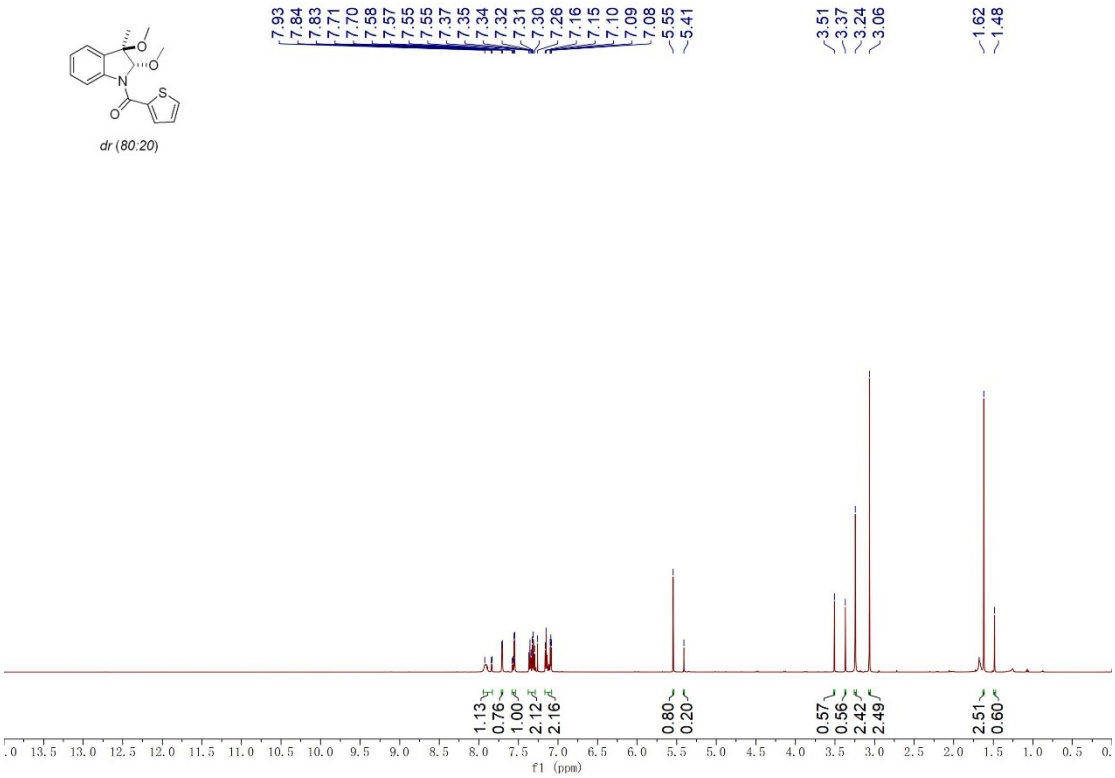


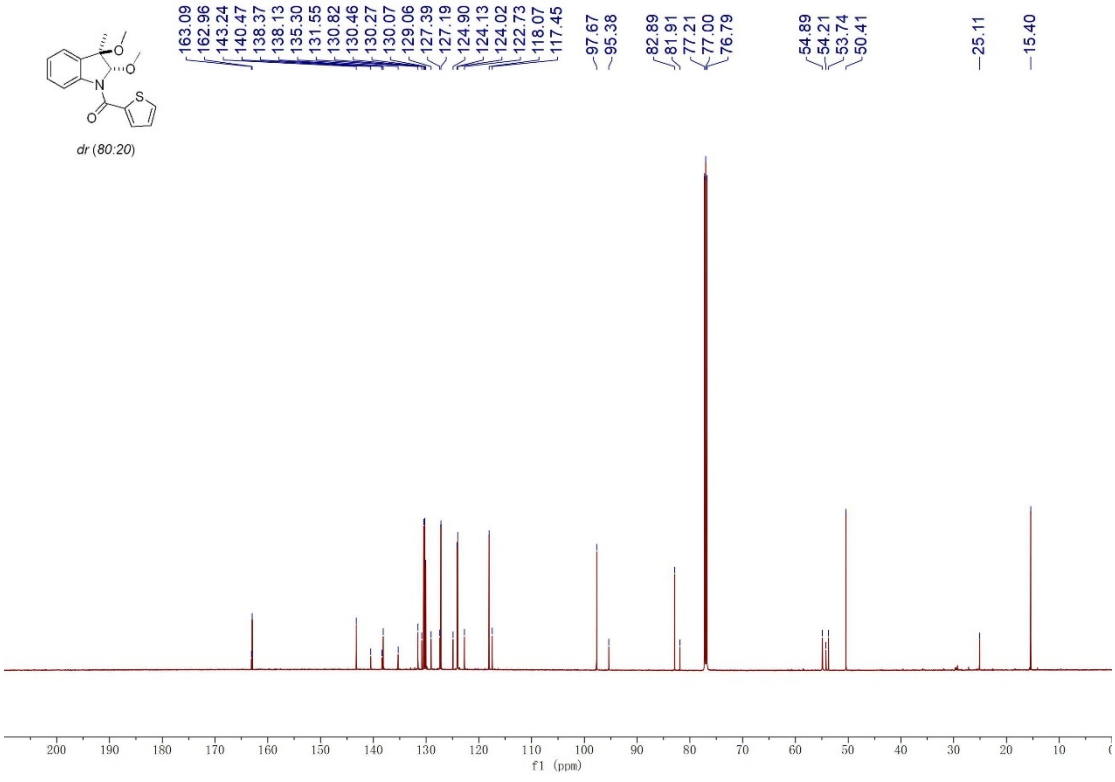
(2,3-dimethoxy-3-methylindolin-1-yl)(naphthalen-2-yl)methanone **(4f)**



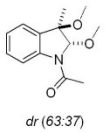


(2,3-dimethoxy-3-methylindolin-1-yl)(thiophen-2-yl)methanone **(4g)**

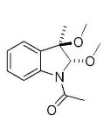




1-(2,3-dimethoxy-3-methylindolin-1-yl)ethan-1-one **(4h)**

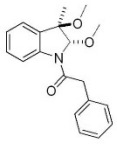


dr (63:37)

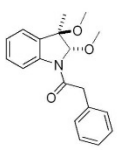


dr (63:37)

1-(2,3-dimethoxy-3-methylindolin-1-yl)-2-phenylethan-1-one **(4i)**

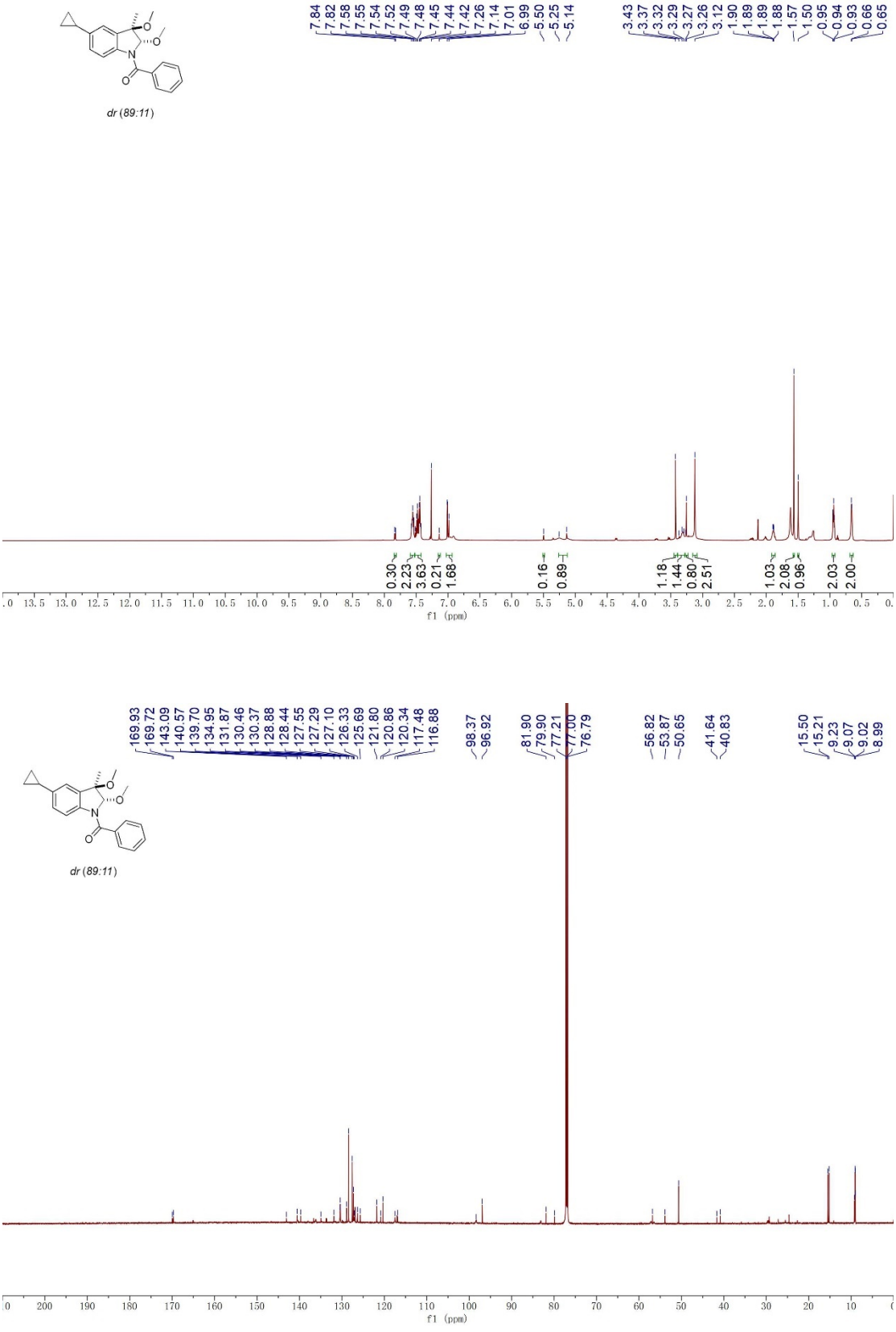


dr (93:7)

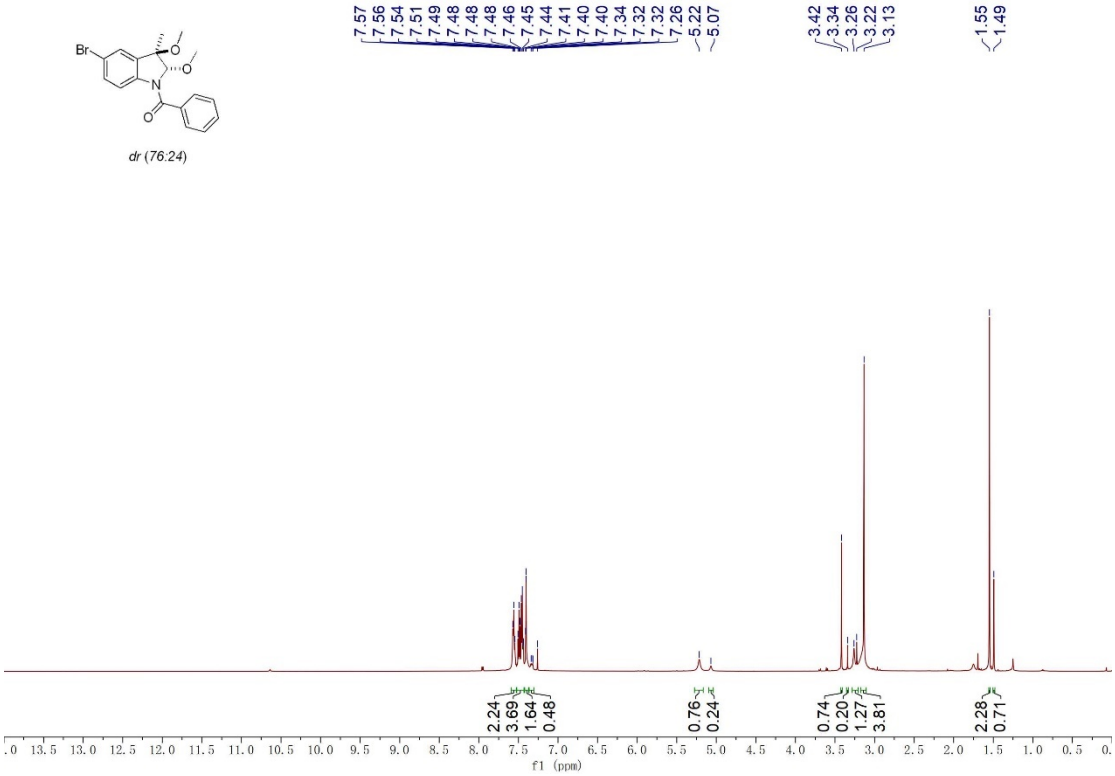


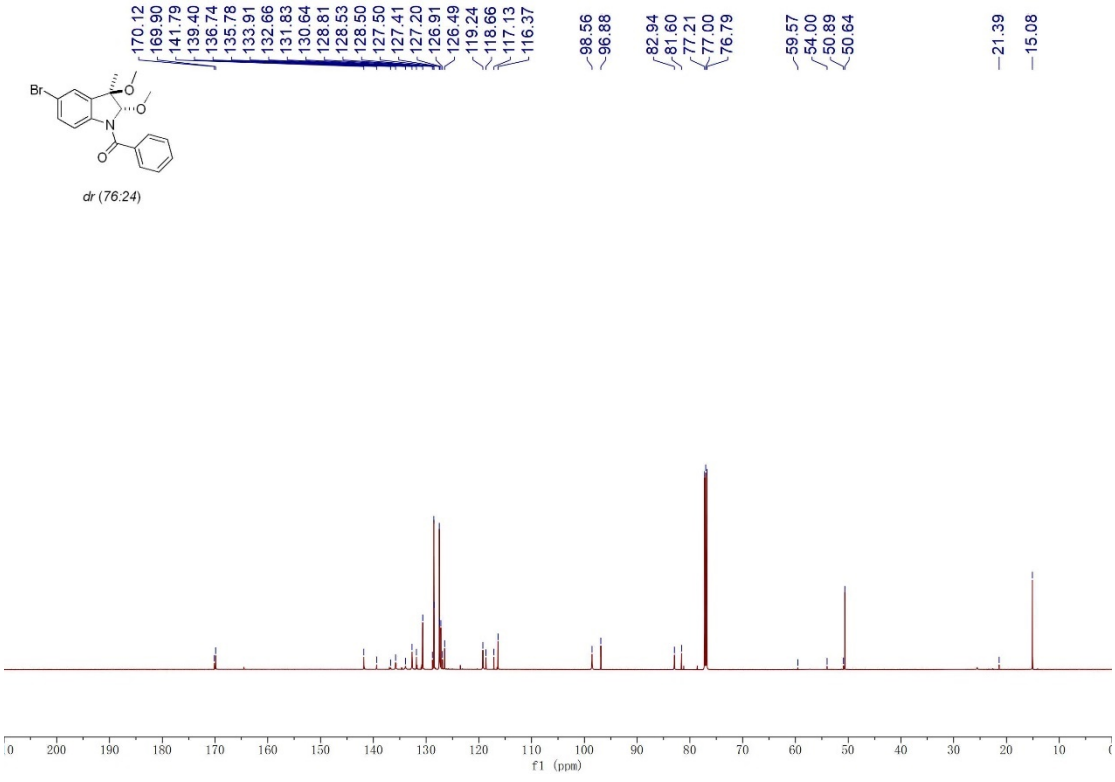
dr (93:7)

(5-cyclopropyl-2,3-dimethoxy-3-methylindolin-1-yl)(phenyl)methanone **(4j)**

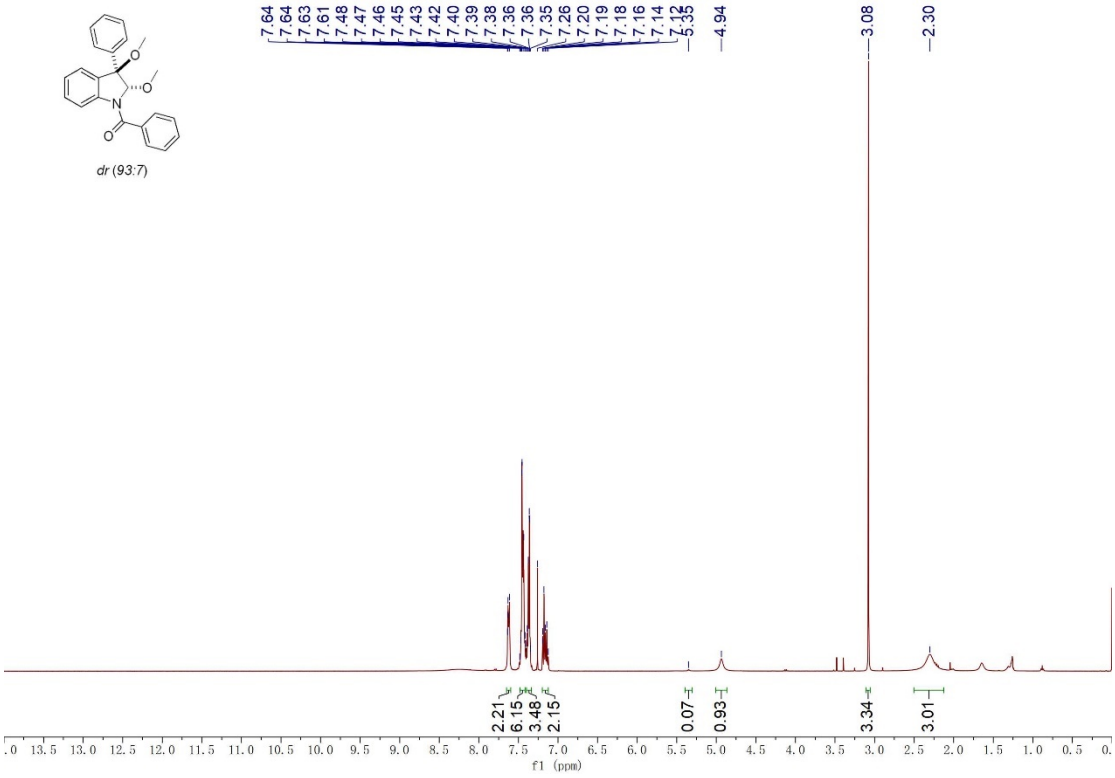


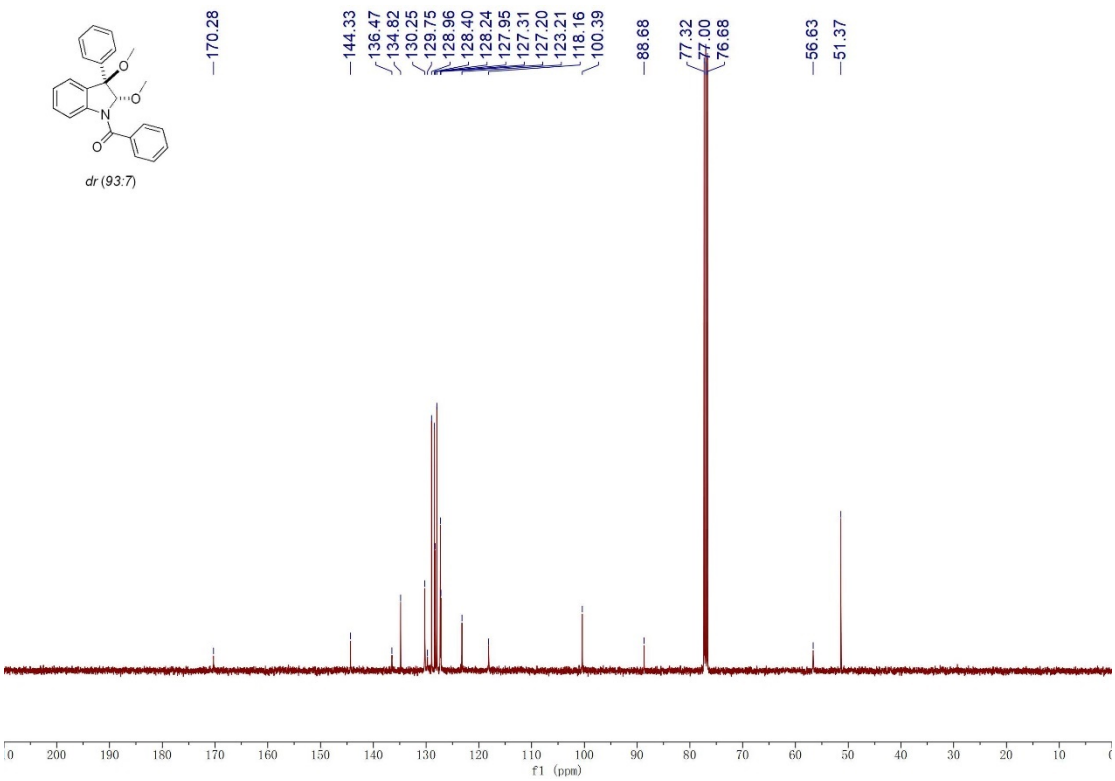
(5-bromo-2,3-dimethoxy-3-methylindolin-1-yl)(phenyl)methanone **(4k)**



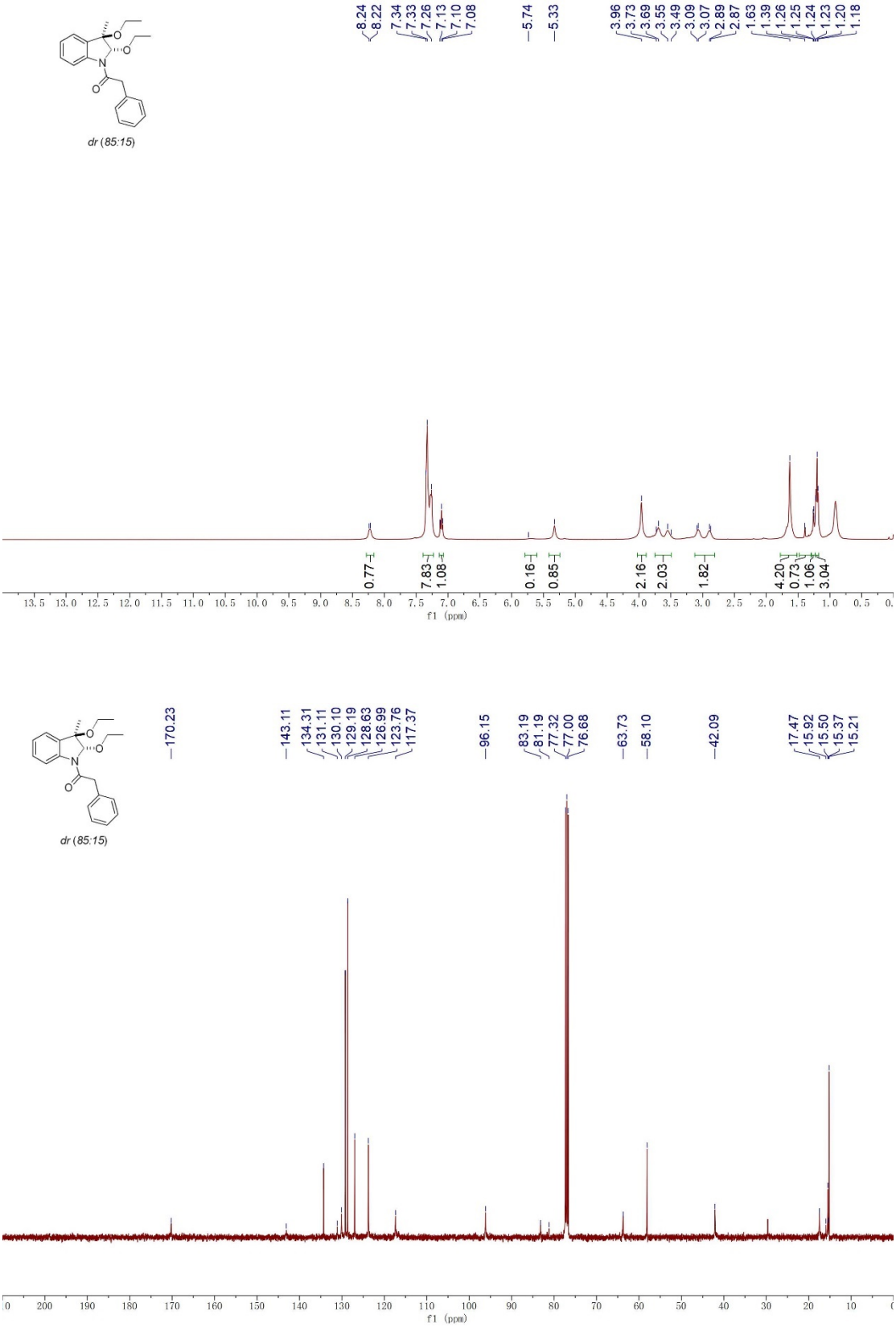


(2,3-dimethoxy-3-phenylindolin-1-yl)(phenyl)methanone **(4l)**

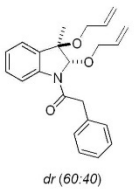




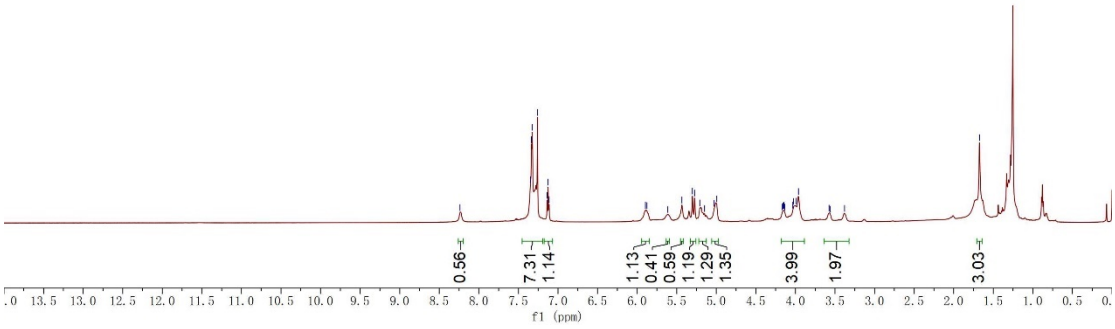
1-(2,3-diethoxy-3-methylindolin-1-yl)-2-phenylethan-1-one **(4m)**

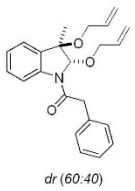


1-(2,3-bis(allyloxy)-3-methylindolin-1-yl)-2-phenylethan-1-one **(4n)**



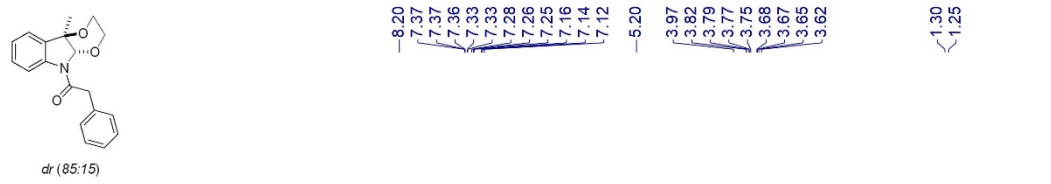
dr (60:40)

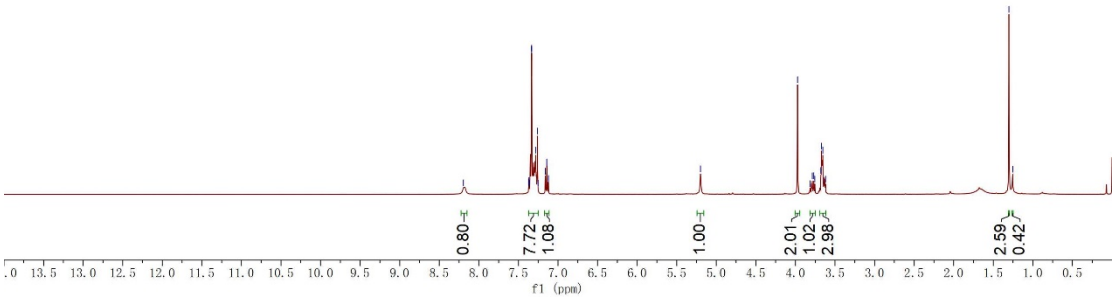


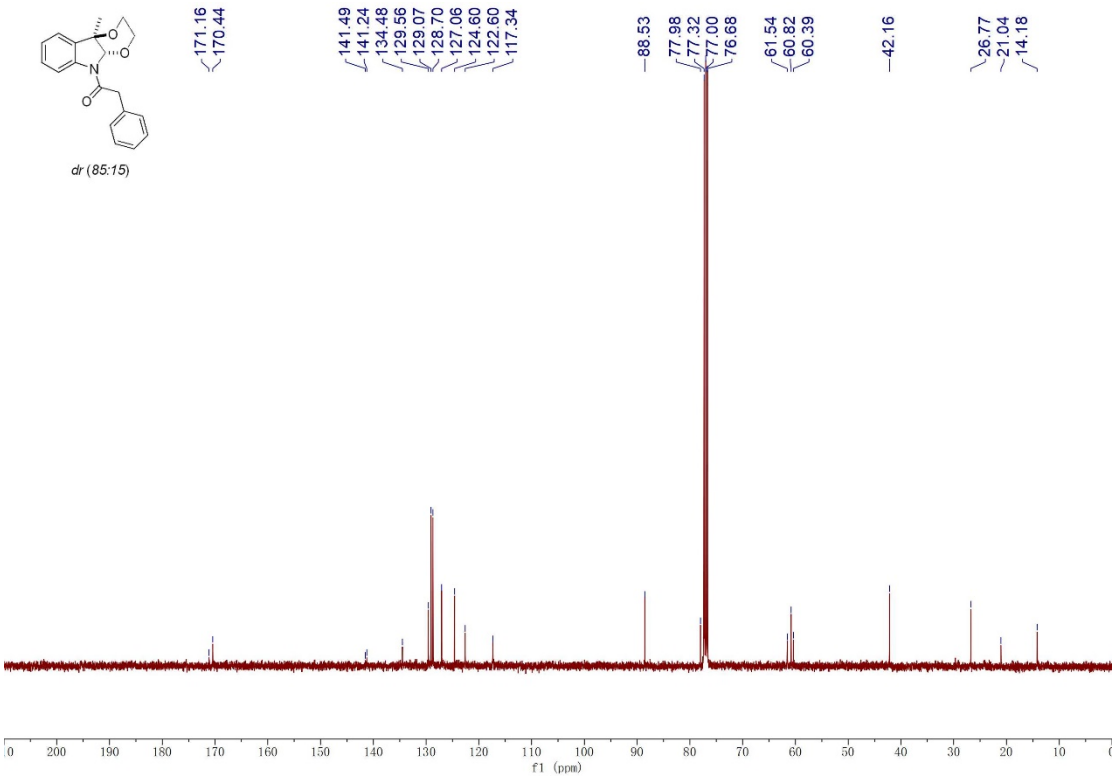


dr (60:40)

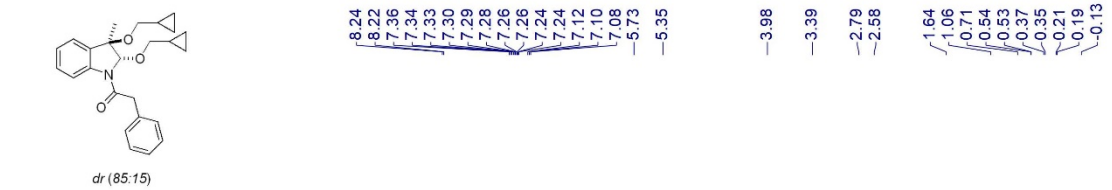
1-((9b*S*)-9b-methyl-2,3,4a,9b-tetrahydro-5*H*-[1,4]dioxino[2,3-*b*]indol-5-yl)-2-phenylethan-1-one **(4o)**



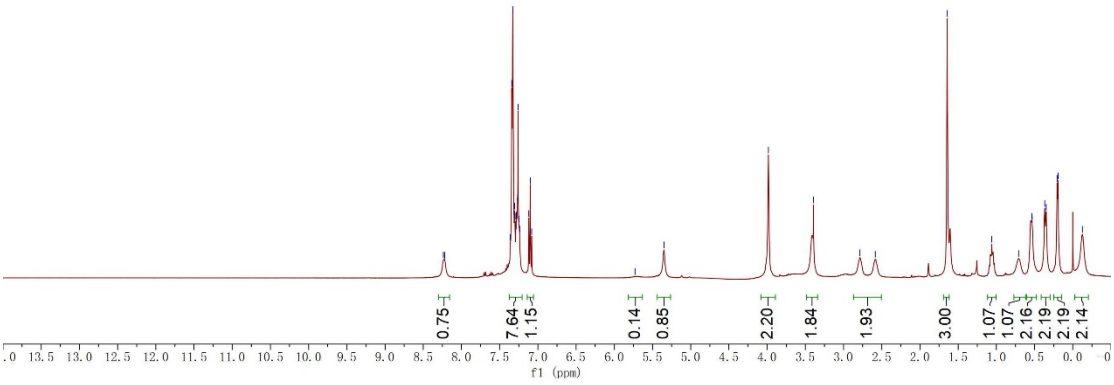


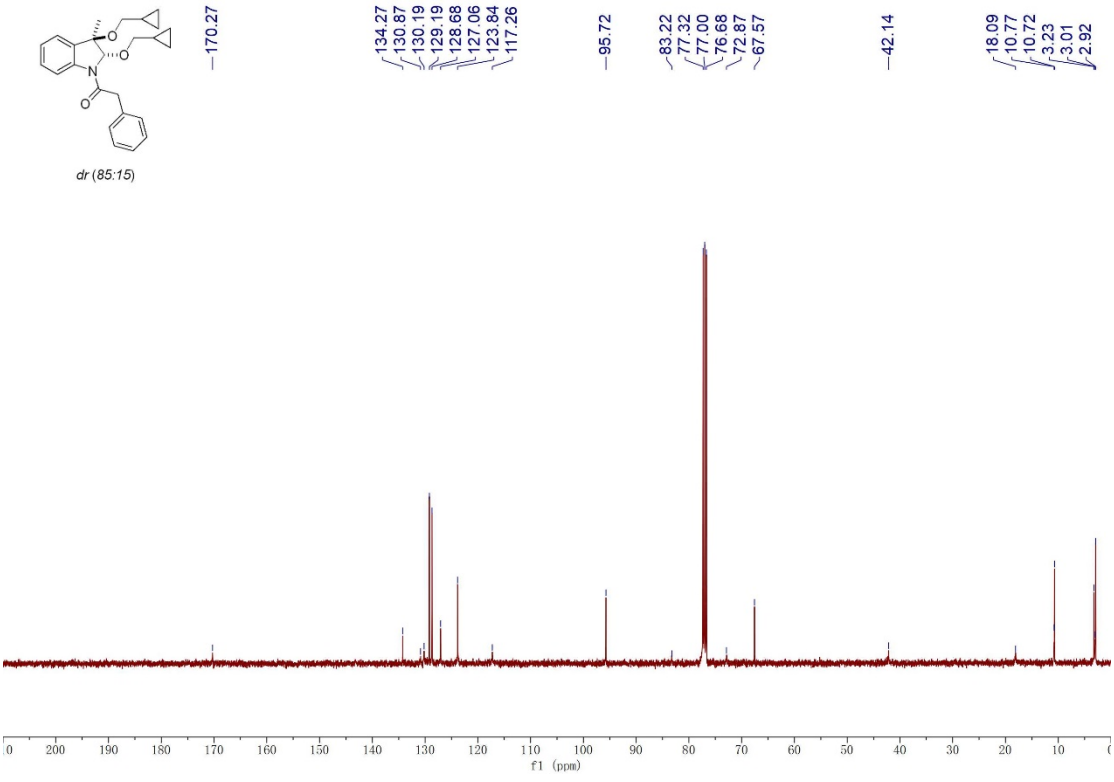


1-(2,3-bis(cyclopropylmethoxy)-3-methylindolin-1-yl)-2-phenylethan-1-one **(4p)**



dr (85:15)





dr (85:15)