

Supporting Information

Visible-light-driven three-component annulation for the synthesis of highly functionalized 2-iminothiazolidin-4-ones without photocatalysts

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1. General Information

Melting points were obtained using a digital melting point apparatus and are uncorrected. Infrared (IR) spectra data were measured on an infrared spectrometer using KBr pellets. ^1H and $^{13}\text{C}\{^1\text{H}\}$ NMR spectra were recorded on a Bruker Advance 400 nuclear magnetic resonance (400 MHz NMR) spectrometer using CDCl_3 or $\text{DMSO}-d_6$ as the solution and tetramethylsilane (TMS) as the internal standard. Gas chromatography-mass spectrometry (GC-MS) data were collected using electron ionization. The data of high resolution mass spectrometry (HRMS) were recorded on a high-resolution mass spectrometer (LCMS-IT-TOF). The crystal data were recorded on a diffractometer (Rigaku Oxford diffraction supernova dual source, Cu at zero) equipped with an AtlasS2 charge-coupled device using Cu $\text{K}\alpha$ radiation (1.54178 \AA) in a scan mode. The reaction proceeded on the photoreaction instrument (WP-TEC-1020L, WATTCAS, China) with a heating mantle and a condenser system. The distance from the light source to the irradiation vessel is 5 mm. Thin-layer chromatography (TLC) and column chromatography were performed on commercially available 100–400 mesh silica gel. The starting materials, including isothiocyanates and amines were purchased from Innochem (Beijing) Technology Co., Ltd. of China. Unless otherwise noted, all purchased chemicals were used without further purification.

2. Representative Procedure for the Synthesis of α -Diazooesters¹

Methyl arylacetate (10 mmol) and 4-acetamidobenzenesulfonyl azide (12 mmol, 1.2 equiv.) were dissolved in anhydrous MeCN (15 mL) and cooled to 0 °C. Then, DBU (15 mmol, 1.5 equiv.) was added drop-wise, and the mixture was stirred for overnight. Upon complete consumption of the starting materials, the reaction mixture was quenched with saturated aqueous solution of NH_4Cl (5 mL), and the water layer was extracted with ethyl acetate ($3 \times 30 \text{ mL}$), washed with brine ($3 \times 10 \text{ mL}$), dried over NaSO_4 , and concentrated under reduced pressure. The residue was purified by flash chromatography on a silica gel using petroleum ether/ethyl acetate (v/v = 15/1) as an eluent to afford the desired product.

3. Representative Procedure for the Synthesis of 2-Iminothiazolidin-4-ones

In a flame-dried test tube with a stir bar, isothiocyanatobenzene **1a** (27.0 mg, 0.20 mmol), phenylmethanamine **2a** (21.4 mg, 0.20 mmol), and methyl 2-diazo-2-phenylacetate **3a** (17.6 mg, 0.10 mmol) were added into CH₃CN (2.0 mL). The reaction was performed under a 10 W white LED at room temperature for 1.5-3.0 h. After the completion of the reaction, the solvent was evaporated and then filtered through an inch of silica gel. The filtrate was concentrated and purified by flash chromatography on a silica gel using petroleum ether/ethyl acetate (v/v = 8/1) as an eluent to provide the desired product **4a** (30.1 mg, yield of 84%).

4. X-Ray Crystallography Data of **5n**

The crystal growth procedure: Compound **5n** (20 mg) was dissolved into 1 mL of ethyl acetate, and then petroleum ether (2 mL) was added into the mixture. The mixture was evaporated slowly at room temperature to provide crystal **5n**. The ellipsoid contour % probability is 50%.

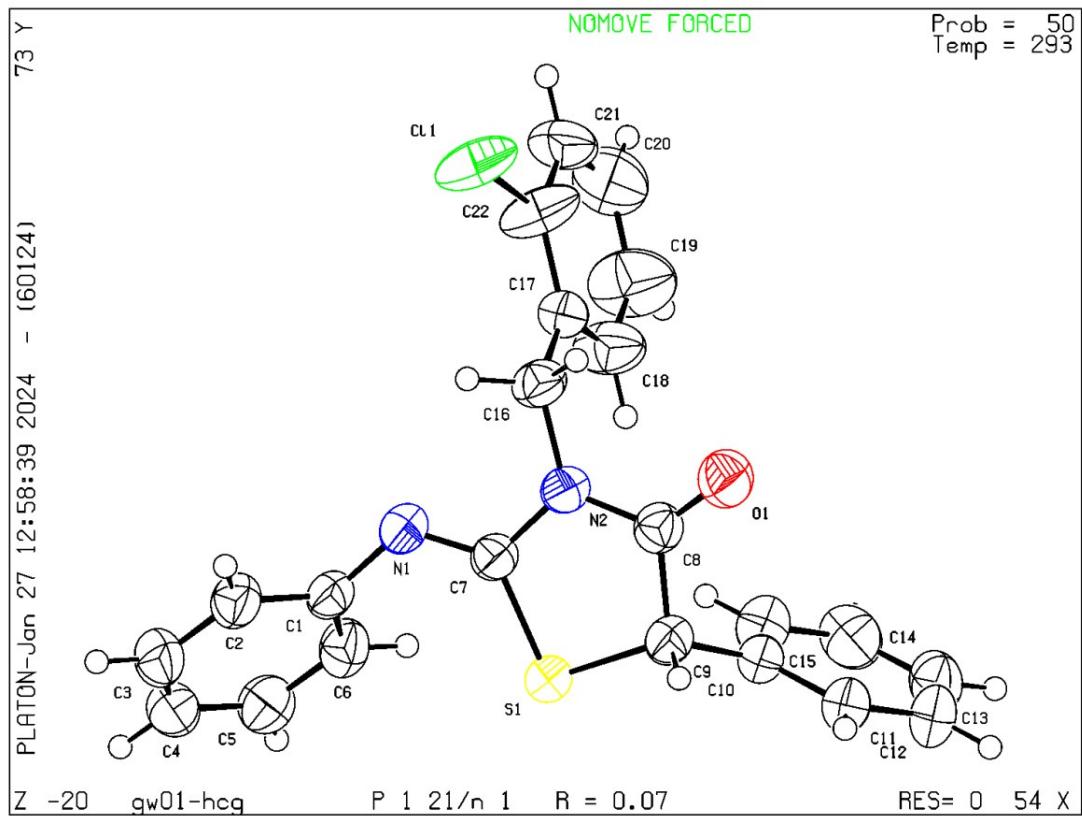


Figure S1. The Crystal Structure of **5n**

The CCDC number of **5n** is 2328961, the detail information please see **5n.cif** document.

checkCIF/PLATON report

Structure factors have been supplied for datablock(s) gw01-hcg

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No syntax errors found. CIF dictionary Interpreting this report

Datablock: gw01-hcg

Bond precision:	C-C = 0.0050 Å	Wavelength=1.54184	
Cell:	a=5.71587(8) alpha=90	b=16.8188(3) beta=90.7375(13)	c=20.0111(3) gamma=90
Temperature:	293 K		
	Calculated	Reported	
Volume	1923.59(5)	1923.59(5)	
Space group	P 21/n	P 1 21/n 1	
Hall group	-P 2yn	-P 2yn	
Moiety formula	C22 H17 Cl N2 O S	C22 H17 Cl N2 O S	
Sum formula	C22 H17 Cl N2 O S	C22 H17 Cl N2 O S	
Mr	392.89	392.88	
Dx,g cm ⁻³	1.357	1.357	
Z	4	4	
Mu (mm ⁻¹)	2.880	2.880	
F000	816.0	816.0	
F000'	820.73		
h,k,lmax	7,21,25	7,21,25	
Nref	4044	3872	
Tmin,Tmax	0.694, 0.750	0.792, 1.000	
Tmin'	0.601		
			Correction method= # Reported T Limits: Tmin=0.792 Tmax=1.000
			AbsCorr = MULTI-SCAN
Data completeness=	0.957	Theta (max)=	76.608
R(reflections)=	0.0685(3643)	wR2 (reflections)=	
S =	1.008	Npar=	244

The following ALERTS were generated. Each ALERT has the format
test-name_ALERT_alert-type_alert-level.
Click on the hyperlinks for more details of the test.

Alert level C

PLAT230_ALERT_2_C Hirshfeld Test Diff for C21 --C22 .	7.0 s.u.
PLAT241_ALERT_2_C High 'MainMol' Ueq as Compared to Neighbors of	C19 Check
PLAT242_ALERT_2_C Low 'MainMol' Ueq as Compared to Neighbors of	C17 Check
PLAT340_ALERT_3_C Low Bond Precision on C-C Bonds	0.00495 Ang.
PLAT906_ALERT_3_C Large K Value in the Analysis of Variance	2.524 Check
PLAT911_ALERT_3_C Missing FCF Refl Between Thmin & STh/L= 0.600	11 Report
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Alert level G

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PLAT178_ALERT_4_G The CIF-Embedded .res File Contains SIMU Records	1 Report
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PLAT200_ALERT_1_G Reported _diffrn_ambient_temperature (K)	293 Check
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PLAT969_ALERT_5_G The 'Henn et al.' R-Factor-gap value	10.31 Note
Predicted wr2: Based on SigI**2 1.82 or SHELLX Weight 19.23	
PLAT978_ALERT_2_G Number C-C Bonds with Positive Residual Density.	0 Info

0 **ALERT level A** = Most likely a serious problem - resolve or explain
0 **ALERT level B** = A potentially serious problem, consider carefully
6 **ALERT level C** = Check. Ensure it is not caused by an omission or oversight
14 **ALERT level G** = General information/check it is not something unexpected

2 ALERT type 1 CIF construction/syntax error, inconsistent or missing data
7 ALERT type 2 Indicator that the structure model may be wrong or deficient
7 ALERT type 3 Indicator that the structure quality may be low
3 ALERT type 4 Improvement, methodology, query or suggestion
1 ALERT type 5 Informative message, check

It is advisable to attempt to resolve as many as possible of the alerts in all categories. Often the minor alerts point to easily fixed oversights, errors and omissions in your CIF or refinement strategy, so attention to these fine details can be worthwhile. In order to resolve some of the more serious problems it may be necessary to carry out additional measurements or structure refinements. However, the purpose of your study may justify the reported deviations and the more serious of these should normally be commented upon in the discussion or experimental section of a paper or in the "special_details" fields of the CIF. checkCIF was carefully designed to identify outliers and unusual parameters, but every test has its limitations and alerts that are not important in a particular case may appear. Conversely, the absence of alerts does not guarantee there are no aspects of the results needing attention. It is up to the individual to critically assess their own results and, if necessary, seek expert advice.

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A basic structural check has been run on your CIF. These basic checks will be run on all CIFs submitted for publication in IUCr journals (*Acta Crystallographica*, *Journal of Applied Crystallography*, *Journal of Synchrotron Radiation*); however, if you intend to submit to *Acta Crystallographica Section C* or *E* or *IUCrData*, you should make sure that full publication checks are run on the final version of your CIF prior to submission.

Publication of your CIF in other journals

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5. Evaluation of Green Chemistry Metrics for the Synthesis of **4a**

$\text{Atom economy (\%)} = \frac{\text{Molecular mass of desired product}}{\text{Molecular mass of all reactants}} \times 100$					
$\text{Reaction mass efficiency (\%)} = \frac{\text{Mass of desired product}}{\text{Mass of all reactants}} \times 100$					
Reactant 1	Isothiocyanatobenzene	0.135 g	1.0 mmol	FW 135.01	
Reactant 2	Phenylmethanamine	0.107 g	1.0 mmol	FW 107.07	
Reactant 3	Methyl 2-Diazo-2-phenylacetate	0.176 g	1.0 mmol	FW 176.06	
Additive Solvent	CH ₃ CN (4 mL)	3.143 g	-	-	
Auxiliary	-	-	-	-	
Recycled solvent	CH ₃ CN (3 mL)	2.384 g	-	-	
Product	(Z)-3-Benzyl-5-phenyl-2-(phenylimino)thiazolidin-4-one	0.212 g	0.59 mmol	FW 358.11	
Product yield = 59%					
$E\text{-factor} = \frac{0.135 + 0.107 + 0.176 + 3.143 - (2.384 + 0.212)}{0.212 \text{ g}} = 4.55 \text{ Kg waste/1 Kg product}$					
$\text{Atom economy} = \frac{358.11}{418.14} \times 100 = 85.6\%$					
$\text{Atom efficiency} = 59\% \times 85.6\% / 100 = 50.5\%$					
$\text{Carbon efficiency} = \frac{22}{7+7+9} \times 100 = 95.7\%$					
$\text{Reaction mass efficiency} = \frac{0.212 \text{ g}}{0.135 \text{ g} + 0.107 \text{ g} + 0.176 \text{ g}} \times 100 = 50.7\%$					

Table S1 Evaluation of green chemistry metrics for the synthesis of **4a** using a stoichiometric (equimolar) amount of reactants.

6. GC-MS of compound 8

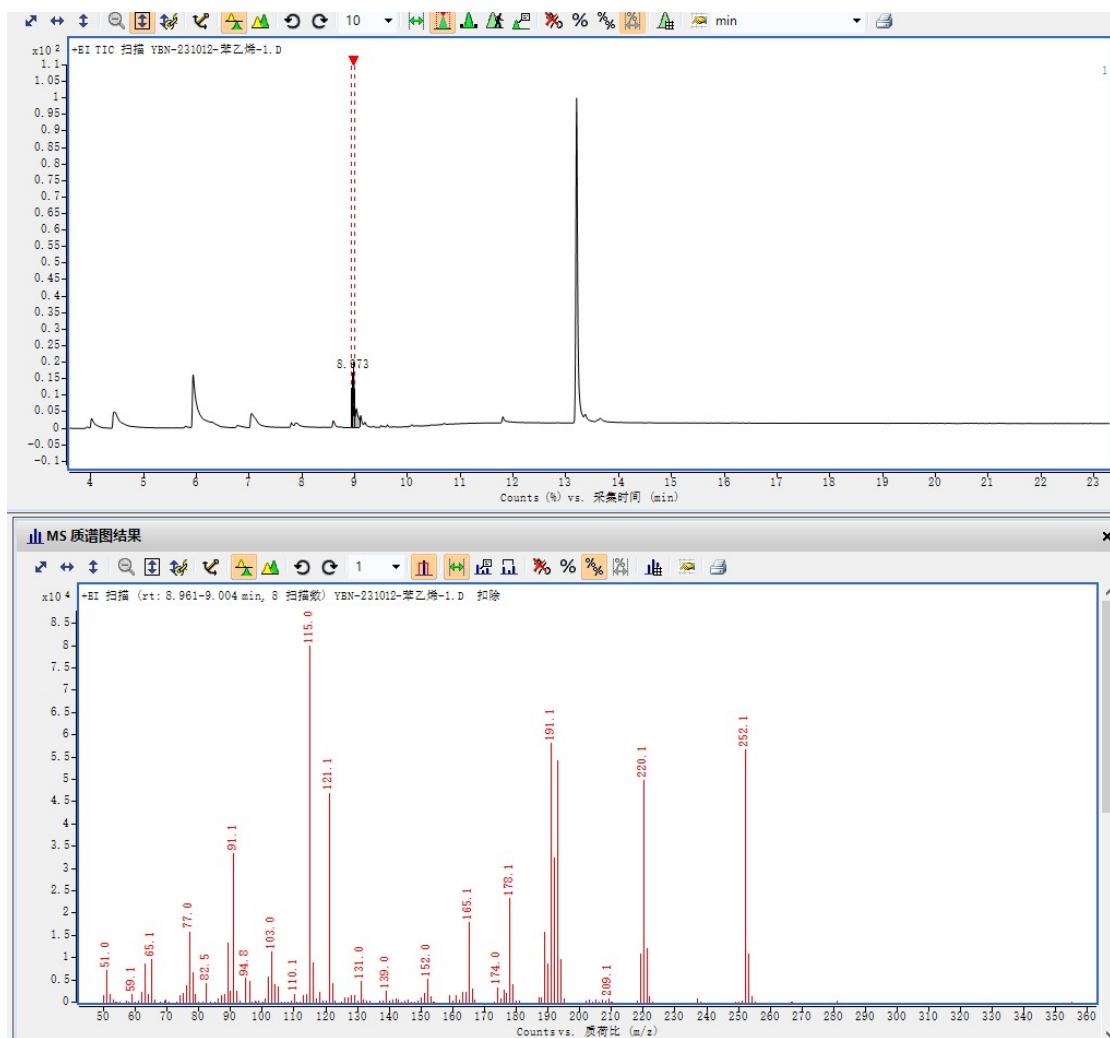


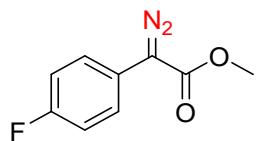
Figure S1 GC-MS of compound 8

MS (EI, 70 eV) m/z 252, 220, 191, 178, 165, 121, 115, 91, 77.

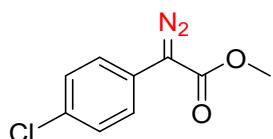
7. Characterization Data



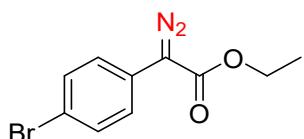
*Methyl α-Diazo-α-phenylacetate (3a).*² Eluent: petroleum ether/ethyl acetate (v/v = 15/1); red oil in 74% yield (1.30 g, 7.40 mmol); IR (KBr, cm⁻¹) 3060, 3026, 2953, 2845, 2089, 1706, 1598, 1576, 1499, 1435, 1353, 1287, 1250, 1193, 1155, 1077, 1052, 1026, 909, 756, 691; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.49-7.46 (m, 2H), 7.40-7.36 (m, 2H), 7.20-7.16 (m, 1H), 3.86 (s, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 165.6, 129.0, 125.9, 125.5, 124.0, 63.3, 52.0.



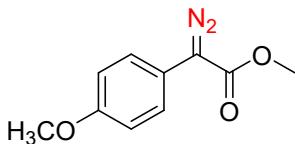
*Methyl 2-Diazo-2-(4-fluorophenyl)acetate (3b).*² Eluent: petroleum ether/ethyl acetate (v/v = 15/1); orange oil in 70% yield (1.36 g, 7.00 mmol); IR (KBr, cm⁻¹) 3047, 3003, 2956, 2848, 2092, 1705, 1606, 1511, 1438, 1349, 1289, 1251, 1193, 1160, 1102, 1045, 1013, 911, 833, 741; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.44 (dd, J = 8.8, 5.2 Hz, 2H), 7.09 (t, J = 8.7 Hz, 2H), 3.86 (s, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 165.6, 161.0 (d, J = 245.0 Hz), 125.9 (d, J = 8.0 Hz), 121.2 (d, J = 3.0 Hz), 116.0 (d, J = 22.0 Hz), 62.5, 52.1.



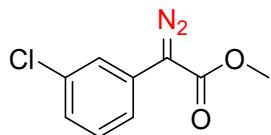
*Methyl α-(4-Chlorophenyl)-α-diazoacetate (3c).*² Eluent: petroleum ether/ethyl acetate (v/v = 15/1); orange solid in 72% yield (1.51 g, 7.20 mmol); mp 52-54 °C; IR (KBr, cm⁻¹) 3076, 3045, 3001, 2954, 2920, 2849, 2098, 1698, 1657, 1497, 1437, 1410, 1358, 1281, 1250, 1195, 1045, 834, 815, 741; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.41-7.38 (m, 2H), 7.34-7.31 (m, 2H), 3.85 (s, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 165.2, 131.4, 129.1, 125.0, 124.1, 63.0, 52.1.



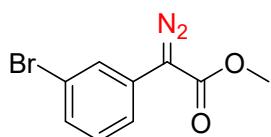
*Ethyl α-(4-Bromophenyl)-α-diazoacetate (3d).*³ Eluent: petroleum ether/ethyl acetate (v/v = 15/1); orange solid in 86% yield (2.30 g, 8.60 mmol); mp 53-55 °C; IR (KBr, cm⁻¹) 2990, 2911, 2102, 1698, 1585, 1489, 1390, 1371, 1339, 1274, 1239, 1172, 1078, 1048, 828, 815; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.46-7.44 (m, 2H), 7.34-7.32 (m, 2H), 4.31 (q, *J* = 7.1 Hz, 2H), 1.32 (t, *J* = 7.1 Hz, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 164.7, 131.9, 125.2, 124.9, 119.1, 63.2, 61.2, 14.5.



*Methyl α-Diazo-α-(4-methoxyphenyl)acetate (3e).*³ Eluent: petroleum ether/ethyl acetate (v/v = 10/1); red solid in 52% yield (1.07 g, 5.20 mmol); mp 46-47 °C; IR (KBr, cm⁻¹) 3002, 2954, 2838, 2085, 1703, 1610, 1513, 1437, 1351, 1297, 1258, 1184, 1157, 1051, 1029, 829, 740; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.38 (d, *J* = 8.9 Hz, 2H), 6.94 (d, *J* = 8.9 Hz, 2H), 3.85 (s, 3H), 3.81 (s, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 166.2, 158.1, 126.0, 116.9, 114.6, 60.4, 55.4, 52.0.

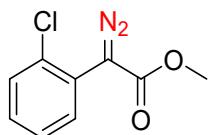


*Methyl α-(3-Chlorophenyl)-α-diazoacetate (3f).*² Eluent: petroleum ether/ethyl acetate (v/v = 15/1); orange solid in 54% yield (1.13 g, 5.40 mmol); mp 52-53 °C; IR (KBr, cm⁻¹) 3008, 2957, 2919, 2850, 2093, 1698, 1595, 1562, 1482, 1441, 1359, 1246, 1161, 1047, 892, 777, 740; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.52 (s, 1H), 7.31-7.27 (m, 2H), 7.12 (dt, *J* = 7.0, 1.9 Hz, 1H), 3.85 (s, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 165.0, 135.0, 130.0, 127.7, 125.7, 123.6, 121.5, 63.2, 52.1.

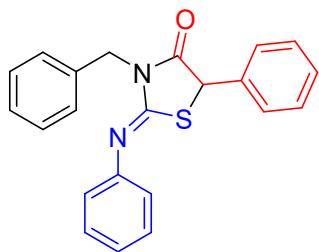


*Methyl α-(3-Bromophenyl)-α-diazoacetate (3g).*² Eluent: petroleum ether/ethyl acetate (v/v = 15/1); orange solid in 56% yield (1.40 g, 5.50 mmol); mp 51-53 °C; IR (KBr, cm⁻¹) 3072, 3001, 2953, 2092, 1707, 1591, 1557, 1479, 1437, 1355, 1247, 1193, 1157, 1047, 993, 886, 776, 719; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.67 (t, *J* = 1.9

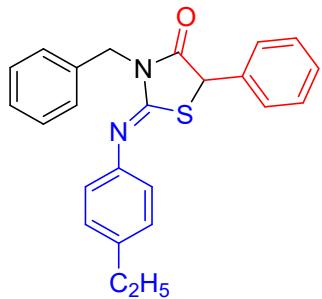
Hz, 1H), 7.35 (d, J = 7.8 Hz, 1H), 7.27 (d, J = 7.9 Hz, 1H), 7.21 (t, J = 7.9 Hz, 1H), 3.85 (s, 3H); $^{13}\text{C}\{\text{H}\}$ NMR (100 MHz, CDCl_3 , ppm) δ 164.9, 130.3, 128.7, 128.0, 126.4, 123.1, 122.0, 63.1, 52.1.



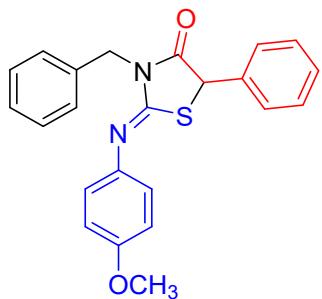
*Methyl α-(2-Chlorophenyl)-α-diazoacetate (3h).*² Eluent: petroleum ether/ethyl acetate (v/v = 15/1); yellow oil in 68% yield (1.43 g, 6.80 mmol); IR (KBr, cm^{-1}) 3070, 3000, 2953, 2844, 2099, 1710, 1590, 1480, 1435, 1352, 1287, 1243, 1194, 1158, 1077, 1029, 916, 756, 708; ^1H NMR (400 MHz, CDCl_3 , ppm) δ 7.52 (d, J = 7.8 Hz, 1H), 7.38 (d, J = 7.8 Hz, 1H), 7.30-7.21 (m, 2H), 3.80 (s, 3H); $^{13}\text{C}\{\text{H}\}$ NMR (100 MHz, CDCl_3 , ppm) δ 165.8, 133.7, 132.3, 130.0, 129.6, 127.1, 123.9, 61.8, 52.2.



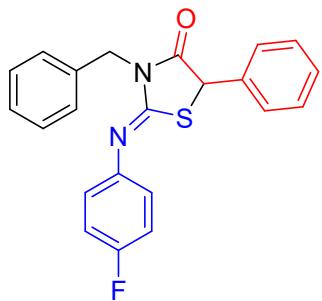
(Z)-3-Benzyl-5-phenyl-2-(phenylimino)thiazolidin-4-one (4a). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); yellow liquid in 84% yield (30.1 mg, 0.08 mmol); IR (KBr, cm^{-1}) 3061, 3031, 2922, 2850, 1725, 1627, 1591, 1491, 1452, 1425, 1381, 1333, 1266, 1154, 1077, 1027, 976, 834, 737, 697; ^1H NMR (400 MHz, CDCl_3 , ppm) δ 7.51 (d, J = 8.0 Hz, 2H), 7.34-7.26 (m, 10H), 7.10 (t, J = 8.0 Hz, 1H), 7.00 (d, J = 8.0 Hz, 2H), 5.12-4.99 (m, 3H); $^{13}\text{C}\{\text{H}\}$ NMR (100 MHz, CDCl_3 , ppm) δ 172.9, 152.7, 148.0, 136.2, 135.6, 129.3, 129.2, 129.1, 128.9, 128.6, 128.3, 128.0, 124.8, 121.2, 51.8, 46.7; MS (EI, 70 eV) m/z 358, 270, 207, 121, 91, 77; HRMS (ESI) m/z [M + H] $^+$ calcd for $\text{C}_{22}\text{H}_{19}\text{N}_2\text{OS}$ 359.1213, found 359.1230.



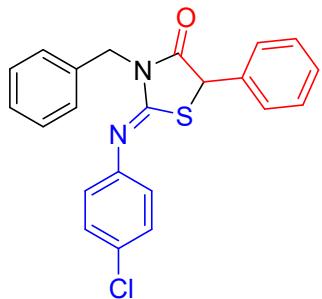
(Z)-3-Benzyl-2-((4-ethylphenyl)imino)-5-phenylthiazolidin-4-one (4b). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); colorless liquid in 83% yield (32.2 mg, 0.08 mmol); IR (KBr, cm^{-1}) 3062, 3030, 2963, 2929, 2871, 1723, 1627, 1603, 1569, 1505, 1495, 1454, 1426, 1380, 1330, 1177, 1153, 1079, 974, 839, 755, 698; ^1H NMR (400 MHz, CDCl_3 , ppm) δ 7.52 (d, $J = 7.9$ Hz, 2H), 7.34-7.15 (m, 10H), 6.93 (d, $J = 7.9$ Hz, 2H), 5.13-5.00 (m, 3H), 2.62 (q, $J = 7.7$ Hz, 2H), 1.22 (t, $J = 7.7$ Hz, 3H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3 , ppm) δ 172.9, 152.2, 145.5, 140.7, 136.2, 135.7, 129.1, 128.8, 128.6, 128.5, 128.3, 127.9, 121.0, 51.7, 46.6, 28.4, 15.7; MS (EI, 70 eV) m/z 386, 268, 165, 121, 91, 77; HRMS (ESI) m/z [M + H]⁺ calcd for $\text{C}_{24}\text{H}_{23}\text{N}_2\text{OS}$ 387.1526, found 387.1543.



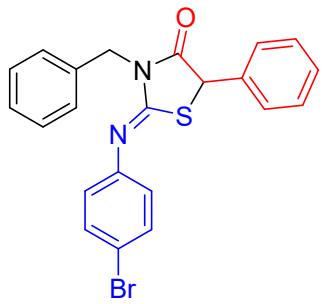
(Z)-3-Benzyl-2-((4-methoxyphenyl)imino)-5-phenylthiazolidin-4-one (4c). Eluent: petroleum ether/ethyl acetate (v/v = 5/1); yellow liquid in 81% yield (31.4 mg, 0.08 mmol); IR (KBr, cm^{-1}) 3062, 3033, 3003, 2950, 2834, 1727, 1621, 1506, 1454, 1426, 1381, 1290, 1247, 1172, 1079, 1032, 975, 834, 783, 716, 697; ^1H NMR (400 MHz, CDCl_3 , ppm) δ 7.50 (d, $J = 8.0$ Hz, 2H), 7.31-7.23 (m, 8H), 6.97-6.84 (m, 4H), 5.10-4.98 (m, 3H), 3.71 (s, 3H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3 , ppm) δ 172.9, 157.0, 152.2, 141.1, 136.3, 135.8, 129.2, 129.1, 128.8, 128.6, 128.3, 128.0, 122.3, 114.6, 55.5, 51.7, 46.7; MS (EI, 70 eV) m/z 388, 300, 121, 91, 77; HRMS (ESI) m/z [M + H]⁺ calcd for $\text{C}_{23}\text{H}_{21}\text{N}_2\text{O}_2\text{S}$ 389.1318, found 389.1336.



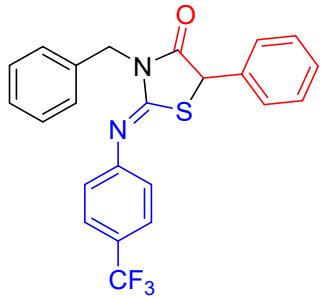
(Z)-3-Benzyl-2-((4-fluorophenyl)imino)-5-phenylthiazolidin-4-one (4d). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); yellow liquid in 58% yield (21.8 mg, 0.06 mmol); IR (KBr, cm^{-1}) 3063, 3032, 2946, 1727, 1624, 1503, 1453, 1427, 1378, 1265, 1231, 1150, 1092, 1079, 1029, 975, 924, 838, 794, 695; ^1H NMR (400 MHz, CDCl_3 , ppm) δ 7.51-7.48 (m, 2H), 7.35-7.27 (m, 8H), 7.04-6.93 (m, 4H), 5.13-4.99 (m, 3H); $^{13}\text{C}\{\text{H}\}$ NMR (100 MHz, CDCl_3 , ppm) δ 172.8, 160.1 (d, J = 241.0 Hz), 153.2 (d, J = 2.0 Hz), 143.9 (d, J = 3.0 Hz), 136.0, 135.4, 129.1, 129.0, 128.9, 128.6, 128.2, 128.0, 122.5 (d, J = 8.0 Hz), 116.0 (d, J = 23.0 Hz), 51.7, 46.6; MS (EI, 70 eV) m/z 376, 258, 225, 121, 91, 77; HRMS (ESI) m/z [M + H]⁺ calcd for $\text{C}_{22}\text{H}_{18}\text{N}_2\text{OSF}$ 377.1118, found 377.1133.



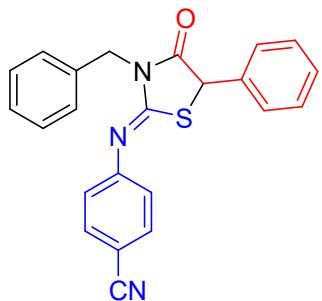
(Z)-3-Benzyl-2-((4-chlorophenyl)imino)-5-phenylthiazolidin-4-one (4e). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); colorless liquid in 68% yield (26.7 mg, 0.07 mmol); IR (KBr, cm^{-1}) 3087, 3063, 3032, 2946, 1726, 1627, 1587, 1485, 1453, 1426, 1379, 1330, 1265, 1234, 1154, 1084, 1011, 975, 835, 732, 697; ^1H NMR (400 MHz, CDCl_3 , ppm) δ 7.48 (d, J = 8.0 Hz, 2H), 7.31-7.24 (m, 10H), 6.91 (d, J = 8.0 Hz, 2H), 5.08-4.95 (m, 3H); $^{13}\text{C}\{\text{H}\}$ NMR (100 MHz, CDCl_3 , ppm) δ 172.8, 153.5, 146.6, 136.1, 135.5, 130.1, 129.5, 129.2, 129.1, 129.0, 128.7, 128.3, 128.1, 122.7, 51.9, 46.7; MS (EI, 70 eV) m/z 392, 304, 121, 91, 77; HRMS (ESI) m/z [M + H]⁺ calcd for $\text{C}_{22}\text{H}_{18}\text{N}_2\text{OSCl}$ 393.0823, found 393.0838.



(Z)-3-Benzyl-2-((4-bromophenyl)imino)-5-phenylthiazolidin-4-one (4f). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); yellow liquid in 74% yield (32.3 mg, 0.07 mmol); IR (KBr, cm⁻¹) 3086, 3063, 3032, 2947, 1726, 1634, 1581, 1482, 1454, 1427, 1378, 1265, 1233, 1151, 1100, 1070, 1007, 974, 832, 733, 696; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.47 (d, *J* = 8.0 Hz, 2H), 7.40 (d, *J* = 8.0 Hz, 2H), 7.29-7.25 (m, 8H), 6.87-6.84 (m, 2H), 5.07-4.95 (m, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 172.8, 153.4, 147.0, 136.0, 135.4, 132.4, 129.2, 129.1, 129.0, 128.7, 128.3, 128.1, 123.1, 117.9, 51.9, 46.7; MS (EI, 70 eV) *m/z* 438, 320, 121, 91, 77; HRMS (ESI) *m/z* [M + H]⁺ calcd for C₂₂H₁₈N₂OSBr 437.0318, found 437.0336.

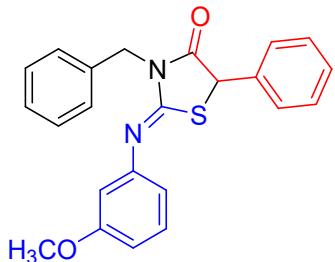


(Z)-3-Benzyl-5-phenyl-2-((4-(trifluoromethyl)phenyl)imino)thiazolidin-4-one (4g). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); yellow liquid in 59% yield (25.1 mg, 0.06 mmol); IR (KBr, cm⁻¹) 3065, 3033, 2947, 1729, 1609, 1512, 1495, 1454, 1380, 1320, 1240, 1106, 1065, 1014, 976, 923, 848, 753, 697; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.56 (d, *J* = 8.3 Hz, 2H), 7.50-7.48 (m, 2H), 7.32-7.23 (m, 8H), 7.06 (d, *J* = 8.3 Hz, 2H), 5.09-4.96 (m, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 172.8, 154.1, 151.2 (q, *J* = 1.0 Hz), 136.0, 135.3, 129.3, 129.1, 129.0, 128.7, 128.3, 128.2, 126.6 (d, *J* = 4.0 Hz), 126.9, 125.5 (d, *J* = 270.0 Hz), 121.6, 51.9, 46.8; MS (EI, 70 eV) *m/z* 426, 308, 121, 91, 77; HRMS (ESI) *m/z* [M + H]⁺ calcd for C₂₃H₁₈N₂OSF₃ 427.1087, found 427.1100.

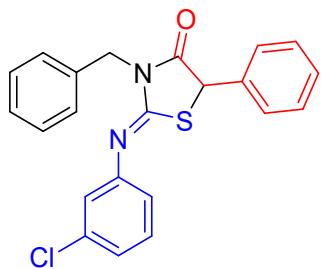


(Z)-4-((3-Benzyl-4-oxo-5-phenylthiazolidin-2-ylidene)amino)benzonitrile (4h).

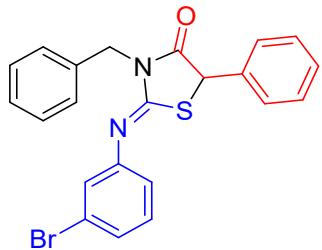
Eluent: petroleum ether/ethyl acetate (v/v = 5/1); colorless liquid in 67% yield (25.7 mg, 0.07 mmol); IR (KBr, cm^{-1}) 3063, 3033, 2947, 2225, 1729, 1629, 1590, 1497, 1426, 1378, 1334, 1241, 1154, 1108, 1079, 979, 846, 736, 700; ^1H NMR (400 MHz, CDCl_3 , ppm) δ 7.59-7.56 (m, 2H), 7.47 (d, J = 7.5 Hz, 2H), 7.35-7.26 (m, 8H), 7.05 (d, J = 7.5 Hz, 2H), 5.17-4.97 (m, 3H); $^{13}\text{C}\{\text{H}\}$ NMR (100 MHz, CDCl_3 , ppm) δ 172.7, 154.4, 152.0, 135.7, 135.0, 133.5, 129.3, 129.1, 129.0, 128.7, 128.3, 128.2, 122.2, 119.1, 108.0, 52.0, 46.8; MS (EI, 70 eV) m/z 383, 265, 232, 121, 91, 77; HRMS (ESI) m/z [M + H] $^+$ calcd for $\text{C}_{23}\text{H}_{18}\text{N}_3\text{OS}$ 384.1165, found 384.1184.



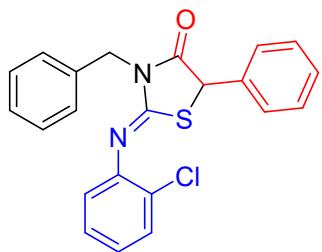
(Z)-3-Benzyl-2-((3-methoxyphenyl)imino)-5-phenylthiazolidin-4-one (4i). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); yellow liquid in 74% yield (28.7 mg, 0.07 mmol); IR (KBr, cm^{-1}) 3063, 3031, 3005, 2939, 2834, 1724, 1633, 1595, 1485, 1453, 1428, 1380, 1330, 1282, 1264, 1137, 1079, 1043, 977, 859, 781, 743, 697; ^1H NMR (400 MHz, CDCl_3 , ppm) δ 7.51 (d, J = 4.0 Hz, 2H), 7.34-7.19 (m, 9H), 6.68-6.56 (m, 3H), 5.12-4.99 (m, 3H), 3.76 (s, 3H); $^{13}\text{C}\{\text{H}\}$ NMR (100 MHz, CDCl_3 , ppm) δ 172.9, 160.5, 152.9, 149.2, 136.1, 135.6, 130.1, 129.13, 129.05, 128.8, 128.6, 128.3, 128.0, 113.4, 110.3, 107.1, 55.3, 51.7, 46.6; MS (EI, 70 eV) m/z 388, 300, 121, 91, 77; HRMS (ESI) m/z [M + H] $^+$ calcd for $\text{C}_{23}\text{H}_{21}\text{N}_2\text{O}_2\text{S}$ 389.1318, found 389.1338.



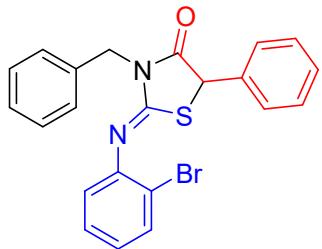
(Z)-3-Benzyl-2-((3-chlorophenyl)imino)-5-phenylthiazolidin-4-one (4j). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); colorless liquid in 61% yield (23.9 mg, 0.06 mmol); IR (KBr, cm^{-1}) 3063, 3032, 2946, 1721, 1589, 1494, 1469, 1453, 1426, 1335, 1264, 1228, 1154, 1075, 1029, 977, 873, 785, 734, 692; ^1H NMR (400 MHz, CDCl_3 , ppm) δ 7.47 (d, $J = 7.8$ Hz, 2H), 7.32-7.17 (m, 9H), 7.07-7.00 (m, 2H), 6.86 (d, $J = 7.8$ Hz, 1H), 5.08-4.95 (m, 3H); $^{13}\text{C}\{\text{H}\}$ NMR (100 MHz, CDCl_3 , ppm) δ 172.8, 153.9, 149.3, 136.0, 135.4, 134.8, 130.4, 129.2, 129.1, 129.0, 128.7, 128.3, 128.1, 124.8, 121.6, 119.5, 51.9, 46.7; MS (EI, 70 eV) m/z 392, 357, 121, 91, 77; HRMS (ESI) m/z [M + H]⁺ calcd for $\text{C}_{22}\text{H}_{18}\text{N}_2\text{OSCl}$ 393.0823, found 393.0843.



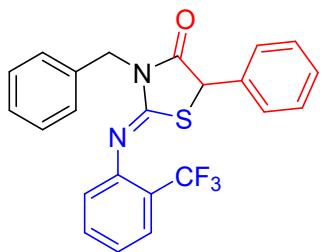
(Z)-3-Benzyl-2-((3-bromophenyl)imino)-5-phenylthiazolidin-4-one (4k). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); colorless liquid in 74% yield (32.3 mg, 0.07 mmol); IR (KBr, cm^{-1}) 3062, 3031, 2947, 1727, 1631, 1582, 1494, 1469, 1454, 1426, 1378, 1332, 1227, 1154, 1079, 1029, 977, 851, 783, 729, 695; ^1H NMR (400 MHz, CDCl_3 , ppm) δ 7.47 (d, $J = 7.8$ Hz, 2H), 7.31-7.10 (m, 11H), 6.90 (d, $J = 7.8$ Hz, 1H), 5.07-4.94 (m, 3H); $^{13}\text{C}\{\text{H}\}$ NMR (100 MHz, CDCl_3 , ppm) δ 172.8, 154.0, 149.4, 136.0, 135.4, 130.7, 129.3, 129.1, 129.0, 128.7, 128.4, 128.2, 127.7, 124.5, 122.9, 120.0, 51.9, 46.7; MS (EI, 70 eV) m/z 438, 357, 121, 91, 77; HRMS (ESI) m/z [M + H]⁺ calcd for $\text{C}_{22}\text{H}_{18}\text{N}_2\text{OSBr}$ 437.0318, found 437.0336.



(Z)-3-Benzyl-2-((2-chlorophenyl)imino)-5-phenylthiazolidin-4-one (4l). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); yellow liquid in 56% yield (22.0 mg, 0.06 mmol); IR (KBr, cm^{-1}) 3063, 3032, 2946, 1728, 1630, 1584, 1495, 1472, 1454, 1427, 1379, 1332, 1263, 1165, 1079, 1057, 1032, 976, 839, 756, 729, 698; ^1H NMR (400 MHz, CDCl_3 , ppm) δ 7.54 (d, $J = 7.8$ Hz, 2H), 7.37-7.10 (m, 10H), 6.97-6.94 (m, 2H), 5.11-4.99 (m, 3H); $^{13}\text{C}\{\text{H}\}$ NMR (100 MHz, CDCl_3 , ppm) δ 172.9, 155.2, 145.4, 136.0, 135.5, 130.4, 129.3, 129.0, 128.7, 128.4, 128.2, 127.7, 126.7, 125.8, 122.1, 52.1, 46.9; MS (EI, 70 eV) m/z 392, 357, 121, 91, 77; HRMS (ESI) m/z [M + H] $^+$ calcd for $\text{C}_{22}\text{H}_{18}\text{N}_2\text{OSCl}$ 393.0823, found 393.0842.

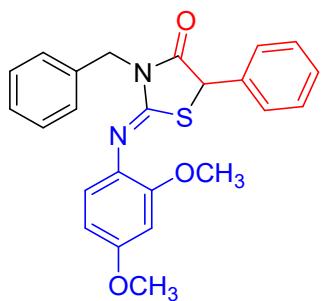


(Z)-3-Benzyl-2-((2-bromophenyl)imino)-5-phenylthiazolidin-4-one (4m). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); colorless liquid in 67% yield (29.2 mg, 0.07 mmol); IR (KBr, cm^{-1}) 3062, 3032, 2946, 1729, 1633, 1581, 1495, 1467, 1454, 1428, 1379, 1332, 1261, 1164, 1119, 1079, 1045, 1028, 975, 837, 755, 725, 697; ^1H NMR (400 MHz, CDCl_3 , ppm) δ 7.56-7.52 (m, 3H), 7.28-7.14 (m, 9H), 6.95-6.85 (m, 2H), 5.11-4.98 (m, 3H); $^{13}\text{C}\{\text{H}\}$ NMR (100 MHz, CDCl_3 , ppm) δ 172.9, 155.2, 146.8, 136.0, 135.4, 133.5, 129.32, 129.27, 129.0, 128.7, 128.4, 128.2, 126.1, 121.9, 116.8, 52.1, 46.9; MS (EI, 70 eV) m/z 438, 357, 121, 91, 77; HRMS (ESI) m/z [M + H] $^+$ calcd for $\text{C}_{22}\text{H}_{18}\text{N}_2\text{OSBr}$ 437.0318, found 437.0342.



(Z)-3-Benzyl-5-phenyl-2-((2-(trifluoromethyl)phenyl)imino)thiazolidin-4-one (4n).

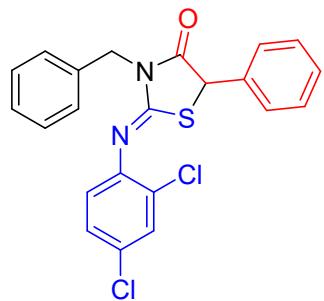
Eluent: petroleum ether/ethyl acetate (v/v = 8/1); yellow liquid in 62% yield (26.4 mg, 0.06 mmol); IR (KBr, cm^{-1}) 3065, 3034, 2946, 1730, 1634, 1601, 1579, 1491, 1453, 1427, 1380, 1319, 1264, 1170, 1129, 1056, 1034, 977, 842, 760, 698; ^1H NMR (400 MHz, CDCl_3 , ppm) δ 7.80 (d, J = 7.9 Hz, 1H), 7.68-7.65 (m, 2H), 7.56 (t, J = 7.8 Hz, 1H), 7.48-7.39 (m, 8H), 7.29 (t, J = 7.6 Hz, 1H), 7.16 (d, J = 8.0 Hz, 1H), 5.29-5.15 (m, 3H); $^{13}\text{C}\{\text{H}\}$ NMR (100 MHz, CDCl_3 , ppm) δ 172.9, 154.8, 146.5, 135.9, 135.4, 132.8, 129.3, 129.1, 129.0, 128.6, 128.3, 128.1, 127.0 (q, J = 5.0 Hz), 125.4, 123.0 (d, J = 284.0 Hz), 122.5 (q, J = 30.0 Hz), 119.9, 52.0, 46.9; MS (EI, 70 eV) m/z 426, 308, 275, 121, 91, 77; HRMS (ESI) m/z [M + H] $^+$ calcd for $\text{C}_{23}\text{H}_{18}\text{N}_2\text{OSF}_3$ 427.1087, found 427.1107.



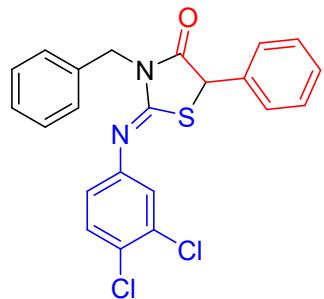
(Z)-3-Benzyl-2-((2,4-dimethoxyphenyl)imino)-5-phenylthiazolidin-4-one (4o).

Eluent: petroleum ether/ethyl acetate (v/v = 3/1); yellow liquid in 60% yield (25.1 mg, 0.06 mmol); IR (KBr, cm^{-1}) 3063, 3031, 3002, 2937, 2835, 1723, 1636, 1584, 1504, 1454, 1381, 1330, 1208, 1165, 1126, 1080, 1033, 911, 824, 731, 699; ^1H NMR (400 MHz, CDCl_3 , ppm) δ 7.58 (d, J = 8.5 Hz, 2H), 7.34-7.28 (m, 8H), 6.85 (d, J = 8.5 Hz, 1H), 6.53 (d, J = 2.6 Hz, 1H), 6.44 (dd, J = 8.5, 2.6 Hz, 1H), 5.16-5.03 (m, 3H), 3.80 (s, 3H), 3.77 (s, 3H); $^{13}\text{C}\{\text{H}\}$ NMR (100 MHz, CDCl_3 , ppm) δ 173.0, 157.9, 153.9, 151.9, 136.2, 135.8, 130.6, 129.2, 129.1, 128.7, 128.5, 128.3, 127.9, 121.6, 104.1, 100.1, 55.9, 55.5, 51.8, 46.6. MS (EI, 70 eV) m/z 418, 387, 269, 121, 91, 77; HRMS

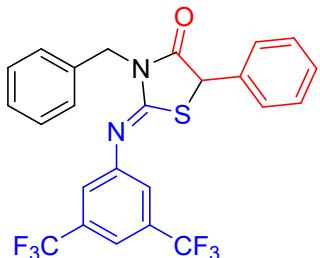
(ESI) m/z [M + H]⁺ calcd for C₂₄H₂₃N₂O₃S 419.1424, found 419.1458.



(Z)-3-Benzyl-2-((2,4-dichlorophenyl)imino)-5-phenylthiazolidin-4-one (4p). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); white solid in 61% yield (26.0 mg, 0.06 mmol); mp 100-101 °C; IR (KBr, cm⁻¹) 3063, 3032, 2927, 1730, 1632, 1555, 1471, 1454, 1428, 1378, 1331, 1164, 1100, 1080, 1056, 822, 783, 713, 698; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.52 (d, *J* = 8.5 Hz, 2H), 7.39-7.12 (m, 10H), 6.88 (d, *J* = 8.5 Hz, 1H), 5.11-4.98 (m, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 172.8, 155.7, 144.0, 135.8, 135.2, 130.3, 130.1, 129.3, 129.2, 129.0, 128.7, 128.3, 128.2, 127.8, 127.6, 122.8, 52.1, 46.8; MS (EI, 70 eV) m/z 426, 307, 121, 91, 77; HRMS (ESI) m/z [M + H]⁺ calcd for C₂₂H₁₇N₂OSCl₂ 427.0433, found 427.0467.

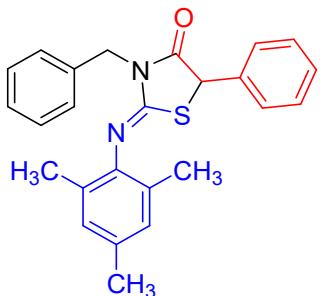


(Z)-3-Benzyl-2-((3,4-dichlorophenyl)imino)-5-phenylthiazolidin-4-one (4q). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); white solid in 61% yield (26.0 mg, 0.06 mmol); mp 115-117 °C; IR (KBr, cm⁻¹) 3088, 3064, 3032, 2947, 1727, 1629, 1584, 1495, 1468, 1378, 1332, 1264, 1165, 1125, 1079, 1027, 978, 876, 821, 735, 698; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.46 (d, *J* = 8.6 Hz, 2H), 7.34-7.09 (m, 10H), 6.82 (dt, *J* = 8.6, 2.1 Hz, 1H), 5.10-4.94 (m, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 172.7, 154.4, 147.5, 135.9, 135.2, 133.0, 131.0, 129.3, 129.1, 129.0, 128.7, 128.3, 128.20, 128.17, 123.3, 121.0, 51.9, 46.8; MS (EI, 70 eV) m/z 426, 357, 121, 91, 77; HRMS (ESI) m/z [M + H]⁺ calcd for C₂₂H₁₇N₂OSCl₂ 427.0433, found 427.0454.

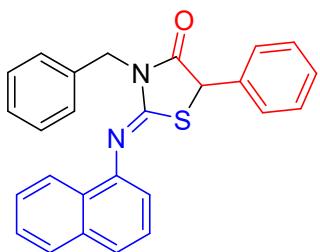


(Z)-3-Benzyl-2-((3,5-bis(trifluoromethyl)phenyl)imino)-5-phenylthiazolidin-4-one (4r).

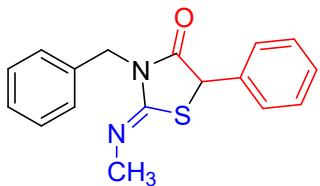
Eluent: petroleum ether/ethyl acetate (v/v = 8/1); yellow liquid in 77% yield (38.0 mg, 0.08 mmol); IR (KBr, cm^{-1}) 3089, 3066, 3035, 2952, 1734, 1630, 1496, 1455, 1430, 1378, 1350, 1277, 1127, 1080, 981, 943, 890, 847, 722, 700; ^1H NMR (400 MHz, CDCl_3 , ppm) δ 7.64 (s, 1H), 7.50 (d, $J = 8.0$ Hz, 2H), 7.45 (s, 2H), 7.38-7.28 (m, 8H), 5.20-5.01 (m, 3H); $^{13}\text{C}\{\text{H}\}$ NMR (100 MHz, CDCl_3 , ppm) δ 172.7, 155.7, 149.3, 135.6, 134.7, 132.7 (q, $J = 33.0$ Hz), 129.3, 129.2, 129.0, 128.7, 128.3, 128.2, 124.6, 121.8 (q, $J = 3.0$ Hz), 118.2 (q, $J = 4.0$ Hz), 52.0, 46.8; MS (EI, 70 eV) m/z 494, 376, 343, 272, 118, 91, 77; HRMS (ESI) m/z [M + H] $^+$ calcd for $\text{C}_{24}\text{H}_{17}\text{N}_2\text{OSF}_6$ 495.0960, found 495.0984.



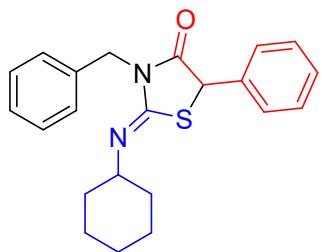
(Z)-3-Benzyl-2-(mesylimino)-5-phenylthiazolidin-4-one (4s). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); colorless liquid in 61% yield (24.4 mg, 0.06 mmol); IR (KBr, cm^{-1}) 3087, 3064, 3031, 2943, 2916, 2856, 1725, 1642, 1606, 1494, 1478, 1454, 1427, 1379, 1330, 1266, 1226, 1174, 1140, 1079, 1030, 976, 855, 736, 718, 697; ^1H NMR (400 MHz, CDCl_3 , ppm) δ 7.51-7.48 (m, 2H), 7.31-7.24 (m, 8H), 6.82 (s, 2H), 5.15-5.01 (m, 3H), 2.22 (s, 3H), 1.99 (s, 6H); $^{13}\text{C}\{\text{H}\}$ NMR (100 MHz, CDCl_3 , ppm) δ 173.0, 152.7, 143.3, 136.2, 135.9, 133.6, 129.2, 129.02, 128.99, 128.8, 128.6, 128.1, 128.0, 51.9, 46.6, 20.9, 17.9; MS (EI, 70 eV) m/z 400, 385, 269, 225, 91, 77; HRMS (ESI) m/z [M + H] $^+$ calcd for $\text{C}_{25}\text{H}_{25}\text{N}_2\text{OS}$ 401.1682, found 401.1712.



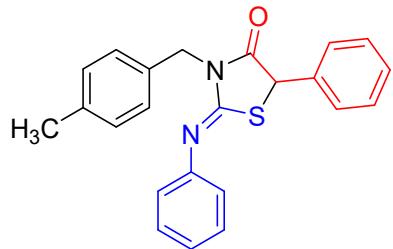
(Z)-3-Benzyl-2-(naphthalen-1-ylimino)-5-phenylthiazolidin-4-one (4t). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); yellow liquid in 66% yield (26.9 mg, 0.07 mmol); IR (KBr, cm^{-1}) 3057, 2945, 1726, 1642, 1577, 1498, 1452, 1427, 1378, 1333, 1264, 1186, 1150, 1079, 1014, 980, 859, 777, 738, 700; ^1H NMR (400 MHz, CDCl_3 , ppm) δ 7.78 (d, J = 8.2 Hz, 1H), 7.65-7.54 (m, 4H), 7.46-7.26 (m, 11H), 7.06 (d, J = 7.3 Hz, 1H), 5.24-5.10 (m, 3H); $^{13}\text{C}\{\text{H}\}$ NMR (100 MHz, CDCl_3 , ppm) δ 173.1, 153.2, 144.5, 136.3, 135.5, 134.4, 129.2, 129.0, 128.9, 128.8, 128.3, 128.1, 128.0, 127.7, 126.5, 125.73, 125.72, 125.0, 123.6, 115.1, 51.9, 47.0; MS (EI, 70 eV) m/z 408, 331, 257, 121, 91, 77; HRMS (ESI) m/z [M + H]⁺ calcd for $\text{C}_{26}\text{H}_{21}\text{N}_2\text{OS}$ 409.1369, found 409.1388.



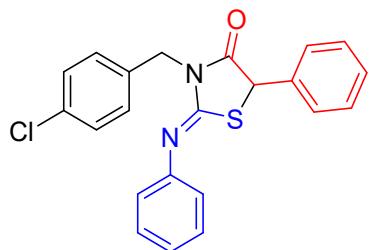
(Z)-3-Benzyl-2-(methylimino)-5-phenylthiazolidin-4-one (4u). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); colorless liquid in 34% yield (10.1 mg, 0.03 mmol); IR (KBr, cm^{-1}) 3061, 3029, 2945, 1722, 1640, 1494, 1451, 1419, 1363, 1303, 1177, 1104, 1026, 858, 777, 729, 697; ^1H NMR (400 MHz, CDCl_3 , ppm) δ 7.41-7.24 (m, 10H), 5.17 (s, 1H), 4.62-4.52 (m, 2H), 3.29 (s, 3H); $^{13}\text{C}\{\text{H}\}$ NMR (100 MHz, CDCl_3 , ppm) δ 172.8, 152.5, 139.2, 135.7, 129.1, 128.8, 128.5, 128.3, 127.6, 127.1, 55.6, 51.9, 30.0; MS (EI, 70 eV) m/z 296, 205, 145, 118, 91, 77; HRMS (ESI) m/z [M + H]⁺ calcd for $\text{C}_{17}\text{H}_{17}\text{N}_2\text{OS}$ 297.1056, found 297.1059.



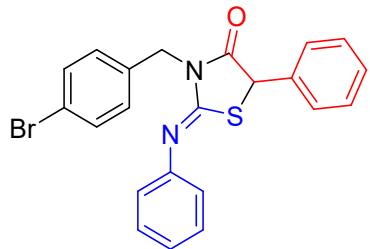
(Z)-3-Benzyl-2-(cyclohexylimino)-5-phenylthiazolidin-4-one (4v). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); white solid in 47% yield (17.1 mg, 0.05 mmol); mp 90-92 °C; IR (KBr, cm⁻¹) 3063, 3032, 2928, 2853, 1719, 1644, 1586, 1495, 1451, 1426, 1386, 1330, 1180, 1078, 1029, 977, 910, 860, 731, 698; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.46 (d, *J* = 8.0 Hz, 2H), 7.33-7.22 (m, 8H), 5.07-4.85 (m, 3H), 3.21-3.15 (m, 1H), 1.78-1.72 (m, 4H), 1.61-1.29 (m, 6H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 172.7, 147.5, 136.6, 136.4, 129.2, 129.1, 128.7, 128.34, 128.27, 127.7, 61.2, 51.5, 46.3, 33.7, 33.6, 25.8, 24.5; MS (EI, 70 eV) *m/z* 364, 273, 245, 118, 91, 77; HRMS (ESI) *m/z* [M + H]⁺ calcd for C₂₂H₂₅N₂OS₂ 365.1682, found 365.1702.



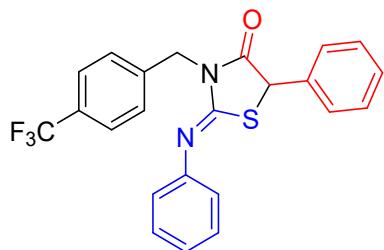
(Z)-3-(4-Methylbenzyl)-5-phenyl-2-(phenylimino)thiazolidin-4-one (5a). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); yellow solid in 75% yield (27.9 mg, 0.08 mmol); mp 113-115 °C; IR (KBr, cm⁻¹) 3058, 3030, 2946, 2923, 1724, 1634, 1593, 1515, 1489, 1452, 1425, 1379, 1331, 1156, 835, 770, 724, 695; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.41 (d, *J* = 8.0 Hz, 2H), 7.33-7.25 (m, 7H), 7.12-7.08 (m, 3H), 7.00 (d, *J* = 8.0 Hz, 2H), 5.08-4.94 (m, 3H), 2.31 (s, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 172.9, 152.8, 148.1, 137.7, 135.7, 133.2, 129.31, 129.26, 129.2, 129.1, 128.8, 128.3, 124.8, 121.2, 51.8, 46.4, 21.3; MS (EI, 70 eV) *m/z* 372, 284, 254, 105, 77; HRMS (ESI) *m/z* [M + H]⁺ calcd for C₂₃H₂₁N₂OS 373.1369, found 373.1387.



(Z)-3-(4-Chlorobenzyl)-5-phenyl-2-(phenylimino)thiazolidin-4-one (5b). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); white solid in 54% yield (21.2 mg, 0.05 mmol); mp 124-126 °C; IR (KBr, cm⁻¹) 3062, 3031, 2947, 1725, 1634, 1593, 1490, 1452, 1425, 1379, 1330, 1156, 1093, 1016, 980, 903, 803, 770, 724, 695; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.45 (d, *J* = 8.0 Hz, 2H), 7.35-7.25 (m, 9H), 7.12 (t, *J* = 8.0 Hz, 1H), 6.99 (d, *J* = 8.0 Hz, 2H), 5.10-4.94 (m, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 172.8, 152.6, 147.8, 135.4, 134.6, 133.9, 130.7, 129.4, 129.2, 128.9, 128.8, 128.2, 124.9, 121.1, 51.8, 45.9; MS (EI, 70 eV) *m/z* 392, 274, 257, 125, 77; HRMS (ESI) *m/z* [M + H]⁺ calcd for C₂₂H₁₈N₂OSCl 393.0823, found 393.0840.

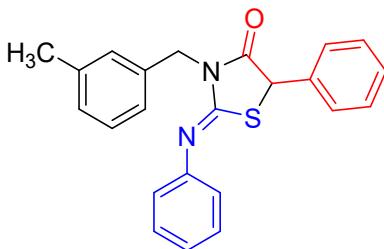


(Z)-3-(4-bromobenzyl)-5-phenyl-2-(phenylimino)thiazolidin-4-one (5c). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); white solid in 66% yield (28.8 mg, 0.07 mmol); mp 116-118 °C; IR (KBr, cm⁻¹) 3061, 3030, 2947, 1725, 1635, 1593, 1488, 1453, 1425, 1378, 1330, 1157, 1105, 1071, 1013, 903, 833, 799, 769, 725, 695; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.41 (d, *J* = 8.3 Hz, 2H), 7.39-7.25 (m, 9H), 7.11 (t, *J* = 7.4 Hz, 1H), 6.98 (d, *J* = 8.3 Hz, 2H), 5.10-4.92 (m, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 172.8, 152.6, 147.8, 135.4, 135.1, 131.7, 131.0, 129.4, 129.2, 128.9, 128.2, 124.9, 122.1, 121.1, 51.8, 46.0; MS (EI, 70 eV) *m/z* 438, 287, 136, 121, 77; HRMS (ESI) *m/z* [M + H]⁺ calcd for C₂₂H₁₈N₂OSBr 437.0318, found 437.0339.

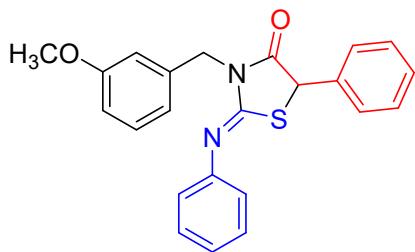


(Z)-5-phenyl-2-(phenylimino)-3-(4-(trifluoromethyl)benzyl)thiazolidin-4-one (5d).

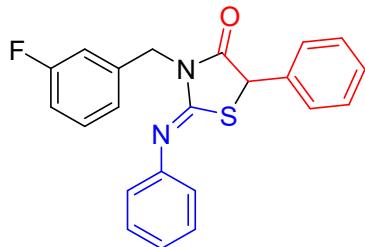
Eluent: petroleum ether/ethyl acetate (v/v = 8/1); yellow solid in 53% yield (22.6 mg, 0.05 mmol); mp 116-118 °C; IR (KBr, cm⁻¹) 3062, 3032, 2937, 1726, 1631, 1593, 1490, 1453, 1424, 1380, 1323, 1157, 1111, 1067, 1020, 982, 904, 818, 770, 725, 696; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.60-7.55 (m, 4H), 7.34-7.26 (m, 7H), 7.11 (t, *J* = 8.2 Hz, 1H), 6.99 (d, *J* = 8.2 Hz, 2H), 5.13-5.01 (m, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 172.9, 152.5, 147.7, 140.0, 139.9 (d, *J* = 2.0 Hz), 135.3, 130.2 (q, *J* = 32.0 Hz), 129.4, 129.3, 129.2, 129.0, 128.2, 125.6 (q, *J* = 4.0 Hz), 125.0, 122.8, 121.1, 51.8, 46.1; MS (EI, 70 eV) *m/z* 426, 338, 307, 159, 77; HRMS (ESI) *m/z* [M + H]⁺ calcd for C₂₃H₁₈N₂OSF₃ 427.1087, found 427.1107.



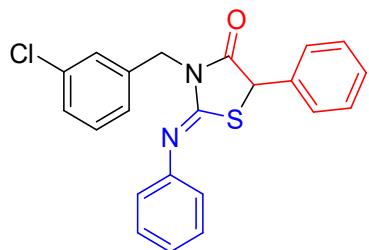
(Z)-3-(3-methylbenzyl)-5-phenyl-2-(phenylimino)thiazolidin-4-one (5e). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); yellow liquid in 58% yield (21.6 mg, 0.06 mmol); IR (KBr, cm⁻¹) 3060, 3030, 2947, 2866, 1727, 1633, 1490, 1452, 1425, 1375, 1266, 1152, 1096, 1073, 1026, 1002, 976, 910, 834, 770, 694; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.31-7.17 (m, 10H), 7.08 (t, *J* = 8.3 Hz, 2H), 6.99 (d, *J* = 8.3 Hz, 2H), 5.08-4.94 (m, 3H), 2.30 (s, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 173.0, 152.8, 148.1, 138.2, 136.2, 135.7, 129.8, 129.4, 129.2, 128.9, 128.8, 128.6, 128.4, 126.1, 124.8, 121.3, 51.8, 46.7, 21.6; MS (EI, 70 eV) *m/z* 372, 284, 254, 105, 77; HRMS (ESI) *m/z* [M + H]⁺ calcd for C₂₃H₂₁N₂OS 373.1369, found 373.1398.



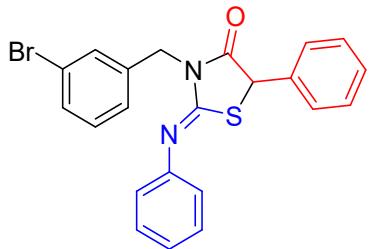
(Z)-3-(3-methoxybenzyl)-5-phenyl-2-(phenylimino)thiazolidin-4-one (5f). Eluent: petroleum ether/ethyl acetate (v/v = 5/1); yellow liquid in 71% yield (27.5 mg, 0.07 mmol); IR (KBr, cm⁻¹) 3060, 3031, 3003, 2950, 2835, 1730, 1648, 1492, 1453, 1433, 1381, 1329, 1290, 1264, 1232, 1152, 1054, 985, 834, 770, 740, 696; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.45-3.2 (m, 8H), 7.24-7.12 (m, 5H), 6.95 (d, *J* = 8.1 Hz, 1H), 5.23-5.09 (m, 3H), 3.85 (s, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 172.9, 159.8, 152.8, 148.0, 137.6, 135.7, 129.6, 129.4, 129.2, 128.8, 128.3, 124.8, 121.3, 121.2, 114.2, 113.9, 55.3, 51.7, 46.6; MS (EI, 70 eV) *m/z* 388, 300, 270, 121, 77; MS (EI, 70 eV) *m/z* 388, 300, 270, 121, 77; HRMS (ESI) *m/z* [M + H]⁺ calcd for C₂₃H₂₁N₂O₂S 389.1318, found 389.1338.



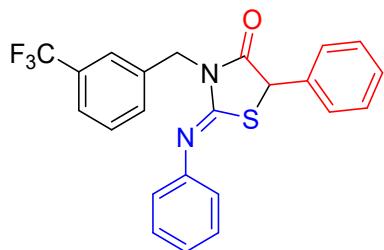
(Z)-3-(3-fluorobenzyl)-5-phenyl-2-(phenylimino)thiazolidin-4-one (5g). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); yellow liquid in 54% yield (20.3 mg, 0.05 mmol); IR (KBr, cm⁻¹) 3062, 3031, 2930, 1725, 1634, 1592, 1488, 1452, 1425, 1380, 1331, 1254, 1157, 1075, 987, 943, 834, 770, 749, 695; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.35-7.22 (m, 10H), 7.12 (t, *J* = 8.0 Hz, 1H), 7.00 (d, *J* = 8.0 Hz, 3H), 5.13-4.98 (m, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 172.8, 162.8 (d, *J* = 245.0 Hz), 152.6, 147.7, 138.4 (d, *J* = 7.0 Hz), 135.4, 130.1 (d, *J* = 8.0 Hz), 129.3, 129.2, 128.9, 128.2, 124.9, 124.6 (d, *J* = 3.0 Hz), 121.1, 115.9 (d, *J* = 22.0 Hz), 114.9 (d, *J* = 21.0 Hz), 51.7, 46.1 (d, *J* = 2.0 Hz); MS (EI, 70 eV) *m/z* 376, 257, 225, 121, 109, 77; HRMS (ESI) *m/z* [M + H]⁺ calcd for C₂₂H₁₈N₂OSF 377.1118, found 377.1138.



(Z)-3-(3-chlorobenzyl)-5-phenyl-2-(phenylimino)thiazolidin-4-one (5h). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); yellow liquid in 50% yield (19.6 mg, 0.05 mmol); IR (KBr, cm⁻¹) 3062, 3031, 2928, 2853, 1727, 1635, 1594, 1490, 1452, 1425, 1378, 1330, 1154, 1077, 1026, 982, 899, 833, 770, 726, 696; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.52 (t, *J* = 1.9 Hz, 1H), 7.39-7.22 (m, 10H), 7.15-7.11 (m, 1H), 7.02-6.99 (m, 2H), 5.14-4.96 (m, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 172.8, 152.5, 147.7, 137.9, 135.4, 134.4, 129.8, 129.3, 129.2, 129.1, 128.9, 128.20, 128.18, 127.2, 124.9, 121.1, 51.7, 46.0; MS (EI, 70 eV) *m/z* 392, 274, 257, 125, 77; HRMS (ESI) *m/z* [M + H]⁺ calcd for C₂₂H₁₈N₂OSCl 393.0823, found 393.0843.

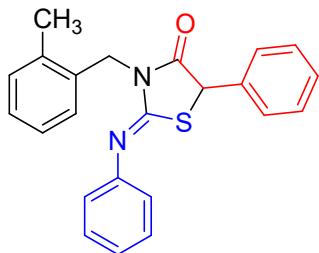


(Z)-3-(3-bromobenzyl)-5-phenyl-2-(phenylimino)thiazolidin-4-one (5i). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); yellow liquid in 63% yield (27.5 mg, 0.06 mmol); IR (KBr, cm⁻¹) 3061, 3030, 2943, 1725, 1631, 1591, 1486, 1426, 1378, 1330, 1156, 1072, 983, 900, 768, 698; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.67 (s, 1H), 7.39 (t, *J* = 8.0 Hz, 2H), 7.32-7.25 (m, 7H), 7.15-7.07 (m, 2H), 7.00 (d, *J* = 8.0 Hz, 2H), 5.07-4.91 (m, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 172.8, 152.6, 147.8, 138.3, 135.5, 132.0, 131.2, 130.2, 129.4, 129.2, 129.0, 128.3, 127.7, 125.0, 122.6, 121.2, 51.8, 46.0; MS (EI, 70 eV) *m/z* 438, 287, 136, 121, 77; HRMS (ESI) *m/z* [M + H]⁺ calcd for C₂₂H₁₈N₂OSBr 437.0318, found 437.0339.

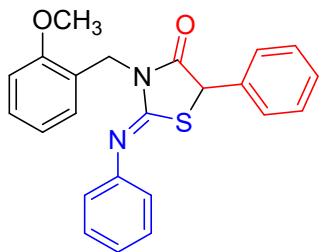


(Z)-5-phenyl-2-(phenylimino)-3-(3-(trifluoromethyl)benzyl)thiazolidin-4-one (5j).

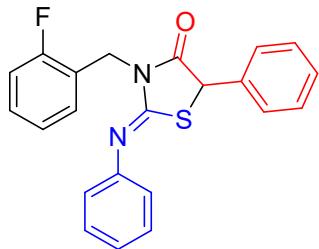
Eluent: petroleum ether/ethyl acetate (v/v = 8/1); colorless liquid in 54% yield (23.0 mg, 0.05 mmol); IR (KBr, cm⁻¹) 3063, 3031, 2948, 1726, 1635, 1593, 1490, 1453, 1427, 1380, 1326, 1163, 1125, 1074, 909, 834, 794, 770, 751, 724, 696; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.81 (s, 1H), 7.66 (d, *J* = 7.7 Hz, 1H), 7.54 (d, *J* = 7.9 Hz, 1H), 7.42-7.25 (m, 8H), 7.13-6.98 (m, 3H), 5.15-5.02 (m, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 172.8, 152.6, 147.7, 137.0, 135.4, 132.5, 131.0 (q, *J* = 32.0 Hz), 129.4, 129.2, 129.1, 129.0, 128.2, 125.8 (q, *J* = 3.0 Hz), 125.0, 124.9 (q, *J* = 4.0 Hz), 124.2 (q, *J* = 271.0 Hz), 121.1, 51.8, 46.0; MS (EI, 70 eV) *m/z* 426, 388, 307, 159, 77; HRMS (ESI) *m/z* [M + H]⁺ calcd for C₂₃H₁₈N₂OSF₃ 427.1087, found 427.1106.



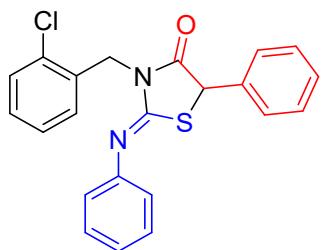
(Z)-3-(2-Methylbenzyl)-5-phenyl-2-(phenylimino)thiazolidin-4-one (5k). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); yellow liquid in 45% yield (16.7 mg, 0.05 mmol); IR (KBr, cm⁻¹) 3060, 3028, 2949, 1727, 1636, 1592, 1491, 1451, 1378, 1334, 1223, 1160, 1013, 901, 835, 769, 741, 696; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.34-7.29 (m, 8H), 7.16-7.08 (m, 4H), 6.97 (d, *J* = 8.5 Hz, 2H), 5.17-5.02 (m, 3H), 2.43 (s, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 173.0, 152.7, 147.9, 136.3, 135.5, 134.0, 130.5, 129.3, 129.2, 128.9, 128.3, 127.6, 127.2, 126.1, 124.8, 121.1, 51.8, 44.2, 19.6; MS (EI, 70 eV) *m/z* 372, 284, 254, 105, 77; HRMS (ESI) *m/z* [M + H]⁺ calcd for C₂₃H₂₁N₂OS 373.1369, found 373.1385.



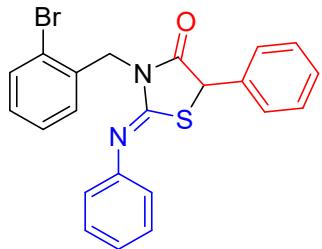
(Z)-3-(2-Methoxybenzyl)-5-phenyl-2-(phenylimino)thiazolidin-4-one (5l). Eluent: petroleum ether/ethyl acetate (v/v = 5/1); yellow liquid in 56% yield (21.7 mg, 0.06 mmol); IR (KBr, cm⁻¹) 3060, 3030, 2946, 2838, 1727, 1634, 1592, 1492, 1458, 1379, 1338, 1245, 1161, 1114, 1027, 997, 900, 836, 755, 696; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.35-7.26 (m, 7H), 7.21 (d, *J* = 7.7 Hz, 2H), 7.07 (t, *J* = 7.7 Hz, 1H), 6.96-6.81 (m, 4H), 5.19-5.05 (m, 3H), 3.73 (s, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 172.6, 157.3, 152.6, 148.1, 135.8, 129.2, 129.1, 128.8, 128.7, 128.3, 128.0, 124.7, 123.8, 121.2, 120.4, 110.5, 55.4, 51.8, 42.4; MS (EI, 70 eV) *m/z* 388, 357, 269, 121, 77; HRMS (ESI) *m/z* [M + H]⁺ calcd for C₂₃H₂₁N₂O₂S 389.1318, found 389.1337.



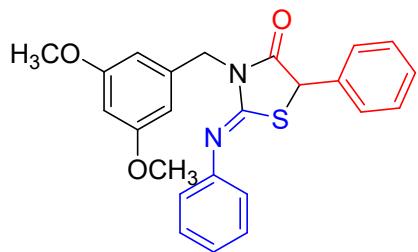
(Z)-3-(2-Fluorobenzyl)-5-phenyl-2-(phenylimino)thiazolidin-4-one (5m). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); yellow liquid in 53% yield (19.9 mg, 0.05 mmol); IR (KBr, cm⁻¹) 3062, 3031, 2933, 1729, 1624, 1593, 1492, 1455, 1426, 1383, 1233, 1160, 1104, 1074, 1027, 982, 904, 832, 756, 726, 695; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.38-7.19 (m, 9H), 7.12-7.01 (m, 3H), 6.97 (d, *J* = 8.0 Hz, 2H), 5.20-5.10 (m, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 172.6, 160.9 (d, *J* = 246.0 Hz), 152.2, 147.8, 135.5, 129.8 (d, *J* = 3.0 Hz), 129.5 (d, *J* = 8.0 Hz), 129.3, 129.2, 128.9, 128.3, 124.8, 124.1 (d, *J* = 4.0 Hz), 122.9 (d, *J* = 14.0 Hz), 121.2, 115.6 (d, *J* = 22.0 Hz), 51.7, 40.7 (d, *J* = 5.0 Hz); MS (EI, 70 eV) *m/z* 376, 288, 257, 109, 77; HRMS (ESI) *m/z* [M + H]⁺ calcd for C₂₂H₁₈N₂OSF 377.1118, found 377.1140.



(Z)-3-(2-Chlorobenzyl)-5-phenyl-2-(phenylimino)thiazolidin-4-one (5n). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); yellow liquid in 43% yield (16.9 mg, 0.04 mmol); IR (KBr, cm⁻¹) 3062, 3029, 2936, 1728, 1631, 1590, 1486, 1446, 1414, 1380, 1338, 1160, 1049, 992, 903, 835, 754, 696; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.38-7.28 (m, 8H), 7.23-7.14 (m, 3H), 7.09 (t, J = 8.0 Hz, 1H), 6.96 (d, J = 8.0 Hz, 2H), 5.25-5.15 (m, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 172.7, 152.2, 147.7, 135.3, 133.2, 133.1, 129.8, 129.3, 129.2, 129.0, 128.8, 128.3, 127.9, 126.9, 124.9, 121.2, 51.8, 44.5; MS (EI, 70 eV) m/z 392, 274, 257, 125, 77; HRMS (ESI) m/z [M + H]⁺ calcd for C₂₂H₁₈N₂OSCl 393.0823, found 393.0843.

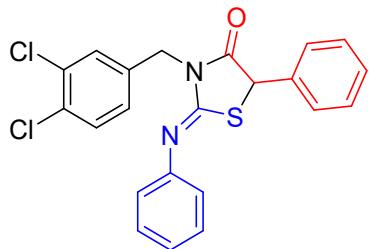


(Z)-3-(2-Bromobenzyl)-5-phenyl-2-(phenylimino)thiazolidin-4-one (5o). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); yellow liquid in 57% yield (24.9 mg, 0.06 mmol); IR (KBr, cm⁻¹) 3061, 3029, 2930, 1728, 1629, 1590, 1488, 1445, 1412, 1380, 1337, 1272, 1233, 1159, 1073, 992, 903, 835, 746, 696; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.54 (d, J = 8.0 Hz, 1H), 7.40-7.24 (m, 8H), 7.19-7.08 (m, 3H), 6.97 (d, J = 7.9 Hz, 2H), 5.22-5.13 (m, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 172.6, 152.1, 147.6, 135.3, 134.7, 133.1, 129.3, 129.2, 128.94, 128.92, 128.3, 127.49, 127.47, 124.9, 123.0, 121.2, 51.8, 47.0; MS (EI, 70 eV) m/z 438, 287, 136, 121, 77; HRMS (ESI) m/z [M + H]⁺ calcd for C₂₂H₁₈N₂OSBr 437.0318, found 437.0342.

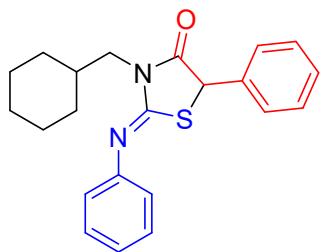


(Z)-3-(3,5-Dimethoxybenzyl)-5-phenyl-2-(phenylimino)thiazolidin-4-one (5p).

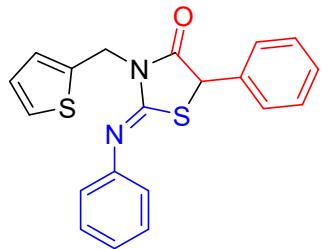
Eluent: petroleum ether/ethyl acetate (v/v = 3/1); yellow liquid in 63% yield (26.3 mg, 0.06 mmol); IR (KBr, cm⁻¹) 3060, 3030, 3002, 2938, 2838, 1726, 1630, 1455, 1430, 1379, 1329, 1296, 1228, 1205, 1151, 1070, 989, 929, 833, 771, 728, 696; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.33-7.26 (m, 7H), 7.11-6.98 (m, 3H), 6.67 (d, *J* = 2.3 Hz, 2H), 6.39 (t, *J* = 2.3 Hz, 1H), 5.09-4.92 (m, 3H), 3.70 (s, 6H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 172.9, 161.0, 152.8, 148.0, 138.3, 135.7, 129.3, 129.1, 128.8, 128.3, 124.8, 121.2, 106.7, 100.3, 55.4, 51.7, 46.7; MS (EI, 70 eV) *m/z* 418, 300, 268, 121, 91, 77; HRMS (ESI) *m/z* [M + H]⁺ calcd for C₂₄H₂₃N₂O₃S 419.1424, found 419.1458.



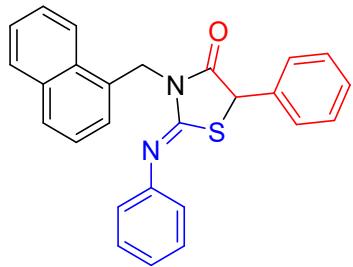
(Z)-3-(3,4-Dichlorobenzyl)-5-phenyl-2-(phenylimino)thiazolidin-4-one (5q). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); yellow liquid in 57% yield (24.3 mg, 0.06 mmol); IR (KBr, cm⁻¹) 3062, 3031, 2948, 1723, 1635, 1593, 1489, 1471, 1453, 1424, 1377, 1329, 1206, 1157, 1074, 1032, 983, 906, 823, 770, 730, 695; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.62 (d, *J* = 1.9 Hz, 1H), 7.36-7.26 (m, 9H), 7.11 (t, *J* = 7.9 Hz, 1H), 7.00 (d, *J* = 7.9 Hz, 2H), 5.11-4.90 (m, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 172.8, 152.5, 147.6, 136.2, 135.3, 132.6, 132.2, 131.1, 130.6, 129.4, 129.2, 129.0, 128.6, 128.2, 125.0, 121.2, 51.8, 45.4; MS (EI, 70 eV) *m/z* 426, 308, 275, 159, 77; HRMS (ESI) *m/z* [M + H]⁺ calcd for C₂₂H₁₇N₂OSCl₂ 427.0433, found 427.0455.



(Z)-3-(Cyclohexylmethyl)-5-phenyl-2-(phenylimino)thiazolidin-4-one (5r). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); white solid in 46% yield (16.7 mg, 0.05 mmol); mp 133-135 °C; IR (KBr, cm⁻¹) 3061, 3031, 2924, 2851, 1727, 1639, 1593, 1491, 1450, 1427, 1387, 1342, 1172, 1132, 1074, 1026, 956, 908, 833, 769, 725, 695; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.45-7.19 (m, 8H), 7.11 (d, *J* = 7.8 Hz, 2H), 5.19 (s, 1H), 3.87 (d, *J* = 7.4 Hz, 2H), 2.12-1.74 (m, 6H), 1.38-1.11 (m, 5H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 173.2, 153.5, 148.3, 135.8, 129.3, 129.1, 128.8, 128.3, 124.7, 121.1, 51.7, 49.3, 36.0, 30.80, 30.74, 26.4, 25.84, 25.82; MS (EI, 70 eV) *m/z* 364, 281, 269, 118, 77; HRMS (ESI) *m/z* [M + H]⁺ calcd for C₂₂H₂₅N₂OS 365.1682, found 365.1702.

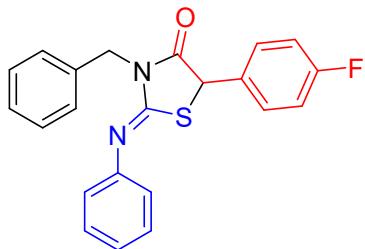


(Z)-5-Phenyl-2-(phenylimino)-3-(thiophen-2-ylmethyl)thiazolidin-4-one (5s). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); white solid in 63% yield (22.9 mg, 0.06 mmol); mp 109-111 °C; IR (KBr, cm⁻¹) 3062, 3030, 2946, 1725, 1634, 1592, 1489, 1452, 1420, 1382, 1325, 1184, 1139, 1074, 1025, 976, 904, 831, 770, 696; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.33-7.30 (m, 2H), 7.28-7.17 (m, 7H), 7.12-7.05 (m, 3H), 6.90 (dd, *J* = 5.1, 3.5 Hz, 1H), 5.23-5.13 (m, 2H), 5.03 (s, 1H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 172.3, 152.3, 147.9, 137.4, 135.5, 129.4, 129.2, 128.9, 128.6, 128.3, 126.7, 126.3, 124.9, 121.3, 51.9, 41.1; MS (EI, 70 eV) *m/z* 364, 269, 246, 97, 77; HRMS (ESI) *m/z* [M + H]⁺ calcd for C₂₀H₁₇N₂OS₂ 365.0777, found 365.0796.



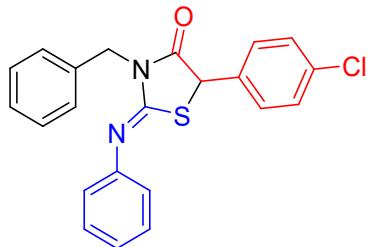
(Z)-3-(Naphthalen-1-ylmethyl)-5-phenyl-2-(phenylimino)thiazolidin-4-one (5t).

Eluent: petroleum ether/ethyl acetate (v/v = 8/1); yellow liquid in 38% yield (15.5 mg, 0.04 mmol); IR (KBr, cm^{-1}) 3059, 2950, 1730, 1634, 1593, 1489, 1452, 1433, 1419, 1375, 1350, 1317, 1237, 1212, 1157, 1017, 834, 772, 728, 696; ^1H NMR (400 MHz, CDCl_3 , ppm) δ 8.36 (d, J = 8.1 Hz, 1H), 7.86 (d, J = 7.9 Hz, 1H), 7.80 (d, J = 8.2 Hz, 1H), 7.60 (d, J = 7.1 Hz, 1H), 7.54-7.30 (m, 10H), 7.11 (t, J = 7.4 Hz, 1H), 6.97 (d, J = 8.2 Hz, 2H), 5.64-5.48 (m, 2H), 5.20 (d, J = 30.8 Hz, 1H); $^{13}\text{C}\{\text{H}\}$ NMR (100 MHz, CDCl_3 , ppm) δ 173.0, 152.7, 147.8, 135.5, 133.9, 131.5, 131.0, 129.3, 129.1, 128.9, 128.8, 128.5, 128.3, 126.9, 126.4, 125.8, 125.2, 124.8, 123.9, 121.1, 51.7, 44.6; MS (EI, 70 eV) m/z 408, 372, 257, 141, 115, 77; HRMS (ESI) m/z [M + H] $^+$ calcd for $\text{C}_{26}\text{H}_{21}\text{N}_2\text{OS}$ 409.1369, found 409.1386.

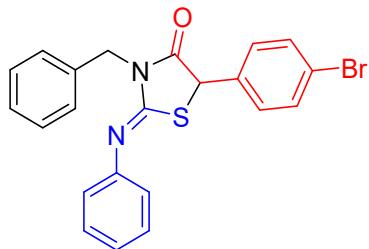


(Z)-3-Benzyl-5-(4-fluorophenyl)-2-(phenylimino)thiazolidin-4-one (6a). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); white solid in 68% yield (25.6 mg, 0.07 mmol); mp 108-110 °C; IR (KBr, cm^{-1}) 3063, 3033, 2948, 1724, 1634, 1592, 1509, 1489, 1426, 1380, 1331, 1231, 1159, 1079, 1015, 975, 848, 770, 755, 697; ^1H NMR (400 MHz, CDCl_3 , ppm) δ 7.49 (d, J = 7.9 Hz, 2H), 7.32-7.18 (m, 7H), 7.10-6.92 (m, 5H), 5.12-4.96 (m, 3H); $^{13}\text{C}\{\text{H}\}$ NMR (100 MHz, CDCl_3 , ppm) δ 172.7, 162.9 (d, J = 247.0 Hz), 152.5, 148.0, 136.2, 131.4, 130.2 (d, J = 8.0 Hz), 129.4, 129.1, 128.7, 128.1, 124.9, 121.2, 116.2 (d, J = 22.0 Hz), 51.0, 46.7; MS (EI, 70 eV) m/z 376, 258, 225, 121, 91, 77; HRMS (ESI) m/z [M + H] $^+$ calcd for $\text{C}_{22}\text{H}_{18}\text{N}_2\text{OSF}$ 377.1118, found

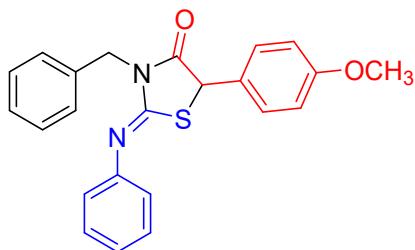
377.1138.



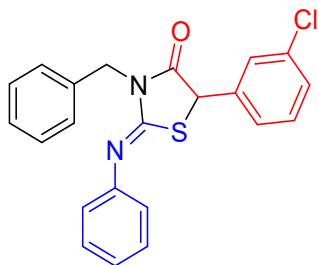
(Z)-3-Benzyl-5-(4-chlorophenyl)-2-(phenylimino)thiazolidin-4-one (6b). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); white solid in 71% yield (27.8 mg, 0.07 mmol); mp 118-119 °C; IR (KBr, cm⁻¹) 3062, 3032, 2947, 1724, 1634, 1593, 1490, 1454, 1427, 1381, 1331, 1153, 1091, 1015, 975, 840, 769, 755, 697; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.49 (d, *J* = 8.0 Hz, 2H), 7.34-7.25 (m, 7H), 7.18 (d, *J* = 8.0 Hz, 2H), 7.11 (t, *J* = 8.0 Hz, 1H), 6.99 (d, *J* = 8.0 Hz, 2H), 5.10-4.97 (m, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 172.5, 152.3, 147.8, 136.0, 134.8, 134.0, 129.7, 129.4, 129.3, 129.1, 128.6, 128.1, 124.9, 121.1, 51.0, 46.7; MS (EI, 70 eV) *m/z* 392, 240, 155, 91, 77; HRMS (ESI) *m/z* [M + H]⁺ calcd for C₂₂H₁₈N₂OSCl 393.0823, found 393.0844.



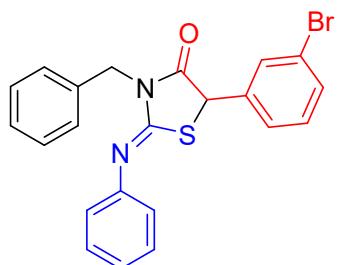
(Z)-3-Benzyl-5-(4-bromophenyl)-2-(phenylimino)thiazolidin-4-one (6c). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); white solid in 73% yield (31.8 mg, 0.07 mmol); mp 119-121 °C; IR (KBr, cm⁻¹) 3061, 3032, 2942, 1725, 1629, 1589, 1488, 1425, 1380, 1331, 1155, 1074, 1010, 976, 836, 763, 698; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.49 (d, *J* = 8.0 Hz, 2H), 7.36 (d, *J* = 8.0 Hz, 2H), 7.32-7.24 (m, 5H), 7.09 (t, *J* = 8.0 Hz, 3H), 6.99 (d, *J* = 8.0 Hz, 2H), 5.08-4.95 (m, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 172.4, 152.3, 147.9, 136.1, 134.6, 132.3, 130.0, 129.5, 129.1, 128.7, 128.2, 125.0, 123.0, 121.2, 51.1, 46.8; MS (EI, 70 eV) *m/z* 438, 273, 240, 91, 77; HRMS (ESI) *m/z* [M + H]⁺ calcd for C₂₂H₁₈N₂OSBr 437.0318, found 437.0338.



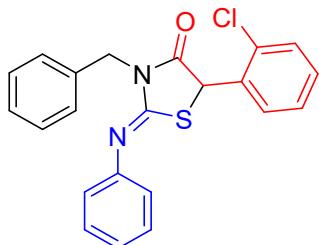
(Z)-3-Benzyl-5-(4-methoxyphenyl)-2-(phenylimino)thiazolidin-4-one (6d). Eluent: petroleum ether/ethyl acetate (v/v = 5/1); white solid in 52% yield (20.2 mg, 0.05 mmol); mp 113–115 °C; IR (KBr, cm^{-1}) 3061, 3032, 2934, 2837, 1724, 1641, 1590, 1512, 1490, 1456, 1424, 1379, 1332, 1255, 1175, 1077, 1030, 842, 769, 698; ^1H NMR (400 MHz, CDCl_3 , ppm) δ 7.51 (d, J = 8.0 Hz, 2H), 7.33–7.08 (m, 8H), 6.99 (d, J = 8.0 Hz, 2H), 6.83 (d, J = 8.0 Hz, 2H), 5.12–4.99 (m, 3H), 3.73 (s, 3H); $^{13}\text{C}\{\text{H}\}$ NMR (100 MHz, CDCl_3 , ppm) δ 173.2, 160.0, 152.8, 148.0, 136.2, 129.5, 129.3, 129.1, 128.6, 128.0, 127.5, 124.7, 121.2, 114.6, 55.4, 51.3, 46.6; MS (EI, 70 eV) m/z 388, 240, 148, 91, 77; HRMS (ESI) m/z [M + H] $^+$ calcd for $\text{C}_{23}\text{H}_{21}\text{N}_2\text{O}_2\text{S}$ 389.1318, found 389.1338.



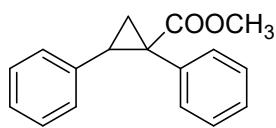
(Z)-3-Benzyl-5-(3-chlorophenyl)-2-(phenylimino)thiazolidin-4-one (6e). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); yellow liquid in 55% yield (21.6 mg, 0.06 mmol); IR (KBr, cm^{-1}) 3062, 3032, 2946, 1724, 1637, 1593, 1489, 1477, 1454, 1428, 1381, 1331, 1153, 1079, 1027, 975, 899, 756, 697; ^1H NMR (400 MHz, CDCl_3 , ppm) δ 7.51 (d, J = 8.0 Hz, 2H), 7.35–7.10 (m, 10H), 7.00 (d, J = 8.0 Hz, 2H), 5.12–4.99 (m, 3H); $^{13}\text{C}\{\text{H}\}$ NMR (100 MHz, CDCl_3 , ppm) δ 172.3, 152.1, 147.8, 137.4, 136.0, 135.0, 130.4, 129.4, 129.1, 128.6, 128.4, 128.1, 126.6, 124.9, 121.1, 51.1, 46.8; MS (EI, 70 eV) m/z 392, 240, 207, 91, 77; HRMS (ESI) m/z [M + H] $^+$ calcd for $\text{C}_{22}\text{H}_{18}\text{N}_2\text{OSCl}$ 393.0823, found 393.0842.



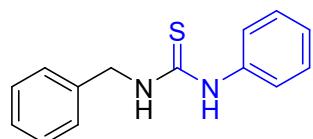
(Z)-3-Benzyl-5-(3-bromophenyl)-2-(phenylimino)thiazolidin-4-one (6f). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); yellow liquid in 46% yield (20.1 mg, 0.04 mmol); IR (KBr, cm⁻¹) 3061, 3032, 2943, 1725, 1632, 1590, 1488, 1424, 1381, 1332, 1156, 1075, 1027, 976, 889, 757, 696; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.50 (d, *J* = 7.7 Hz, 2H), 7.43-7.40 (m, 2H), 7.34-7.27 (m, 5H), 7.21-7.10 (m, 3H), 7.00 (d, *J* = 8.3 Hz, 2H), 5.11-4.98 (m, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 172.3, 152.1, 147.8, 137.7, 136.0, 132.0, 131.3, 130.6, 129.4, 129.1, 128.7, 128.1, 127.0, 124.9, 123.1, 121.1, 51.0, 46.8; MS (EI, 70 eV) *m/z* 438, 273, 240, 91, 77; HRMS (ESI) *m/z* [M + H]⁺ calcd for C₂₂H₁₈N₂OSBr 437.0318, found 437.0340.



(Z)-3-Benzyl-5-(2-chlorophenyl)-2-(phenylimino)thiazolidin-4-one (6g). Eluent: petroleum ether/ethyl acetate (v/v = 8/1); yellow liquid in 41% yield (16.1 mg, 0.04 mmol); IR (KBr, cm⁻¹) 3062, 3032, 2941, 1725, 1635, 1590, 1486, 1426, 1383, 1332, 1156, 1077, 1042, 977, 837, 748, 698; ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.57 (d, *J* = 8.0 Hz, 2H), 7.35-7.28 (m, 6H), 7.18-7.07 (m, 4H), 6.97 (d, *J* = 7.8 Hz, 2H), 5.53 (s, 1H), 5.11 (s, 2H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 172.2, 152.9, 147.9, 136.0, 134.4, 133.9, 130.2, 130.1, 129.8, 129.4, 128.6, 128.1, 127.7, 124.8, 121.2, 49.4, 46.8; MS (EI, 70 eV) *m/z* 392, 240, 207, 148, 97, 77; HRMS (ESI) *m/z* [M + H]⁺ calcd for C₂₂H₁₈N₂OSCl 393.0823, found 393.0843.



*Methyl 1,2-diphenylcyclopropane-1-carboxylate (8).*⁴ Eluent: petroleum ether/ethyl acetate (v/v = 7/1); white solid in 35% yield (8.8 mg, 0.04mmol); ¹H NMR (400 MHz, CDCl₃, ppm) δ 7.41-7.24 (m, 10H), 5.17 (s, 1H), 4.57 (q, *J* = 8.0 Hz, 2H), 3.29 (s, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃, ppm) δ 172.8, 152.5, 139.3, 135.7, 129.1, 128.9, 128.5, 128.3, 127.6, 127.1, 55.6, 51.9, 30.0. MS (EI, 70 eV) *m/z* 252, 220, 191, 178, 165, 121, 115, 91, 77.

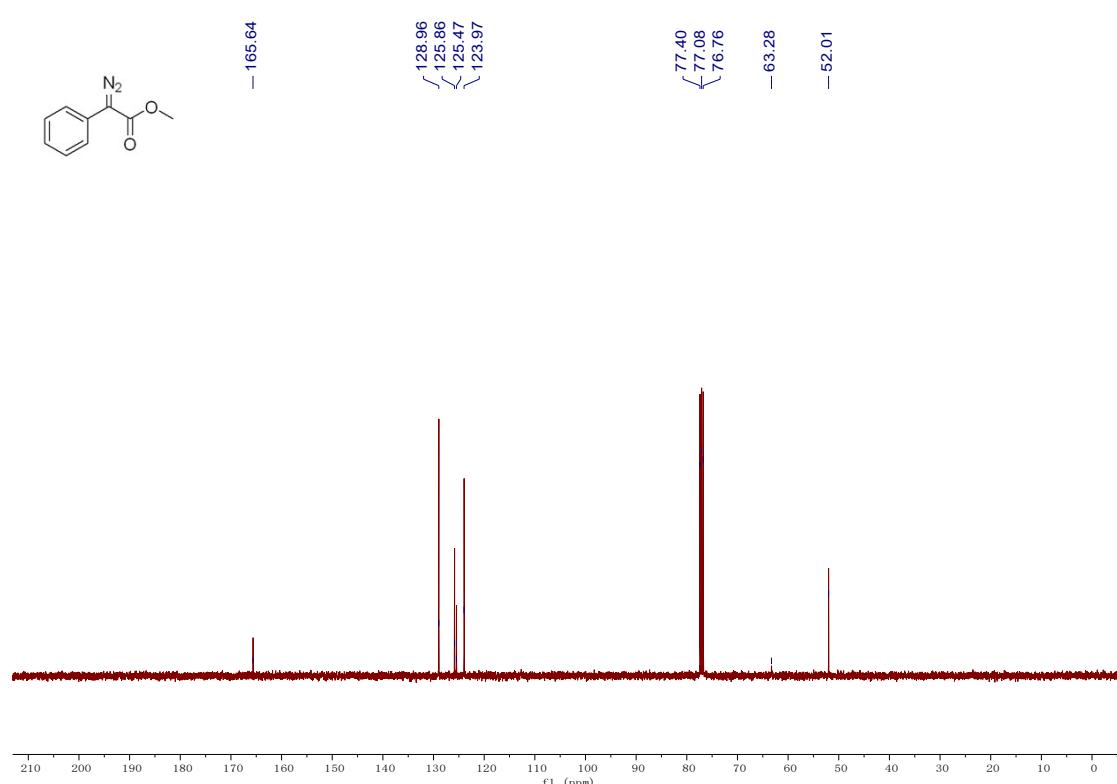
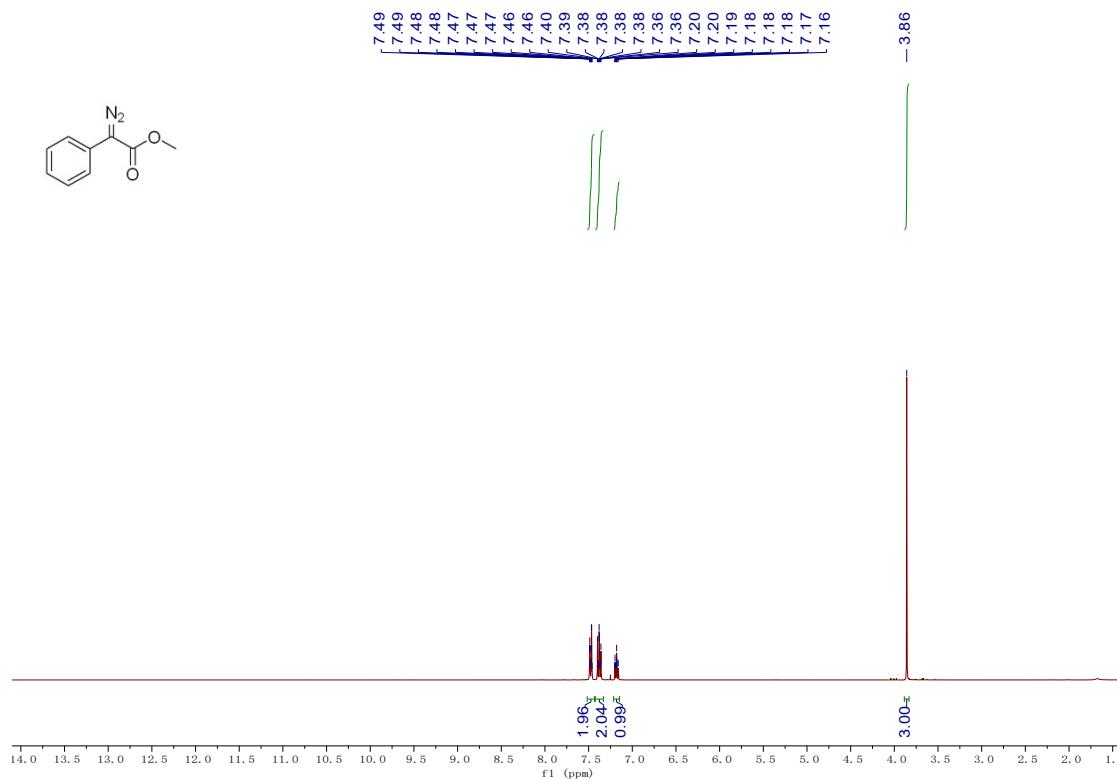


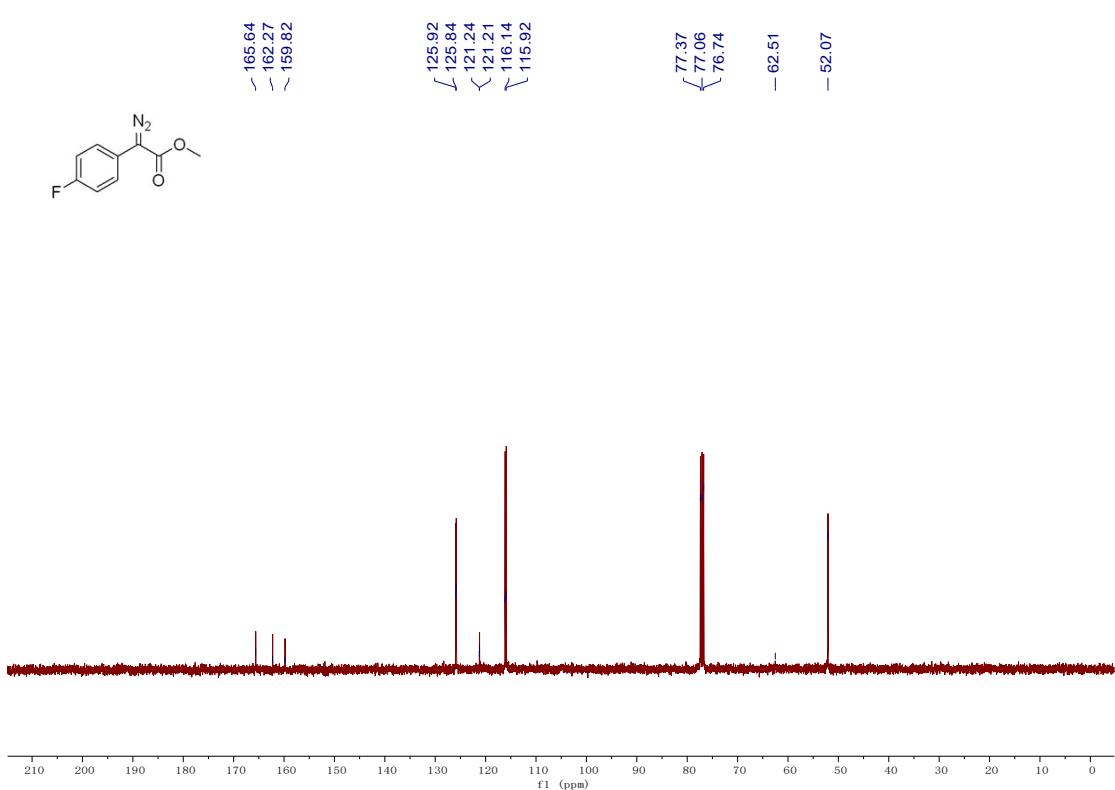
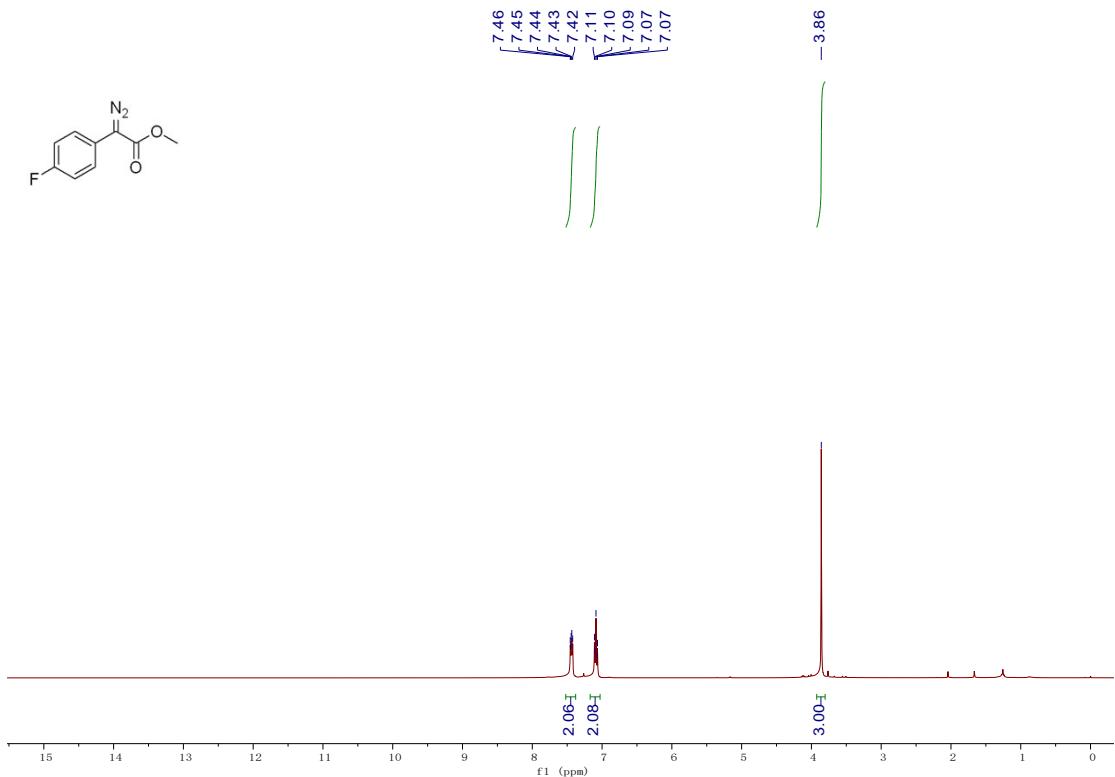
*1-Benzyl-3-phenylthiourea (9).*⁵ ¹H NMR (400 MHz, DMSO-*d*₆, ppm) δ 9.64 (s, 1H), 8.17 (s, 1H), 7.44 (d, *J* = 7.4 Hz, 2H), 7.35-7.30 (m, 6H), 7.27-7.23 (m, 1H), 7.11 (t, *J* = 8.1 Hz, 1H), 4.75 (d, *J* = 5.7 Hz, 2H); ¹³C{¹H} NMR (100 MHz, DMSO-*d*₆, ppm) δ 181.3, 139.6, 139.5, 129.1, 128.8, 127.9, 127.4, 124.8, 123.8, 47.7;

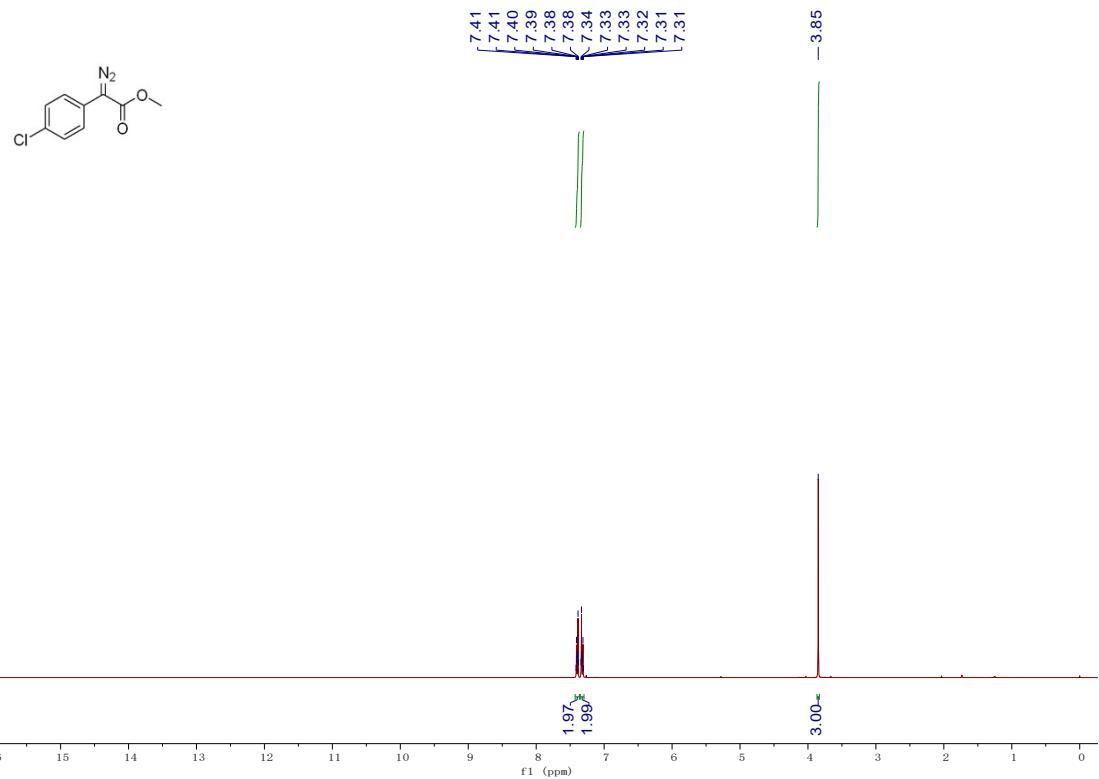
References:

- (1) H. M. L. Davies, T. Hansen, M. R. Churchill, Catalytic asymmetric C-H activation of alkanes and tetrahydrofuran, *J. Am. Chem. Soc.*, 2000, **122**, 3063–3070.
- (2) H. Keipour, T. Ollevier, Iron-catalyzed carbene insertion reactions of α-diazoesters into SiH bonds, *Org. Lett.* 2017, **19**, 5736-5739.
- (3) B. Muriel, J. Waser, Azide radical initiated ring opening of cyclopropenes Leading to alkenyl nitriles and polycyclic aromatic compounds, *Angew. Chem. Int. Ed.*, 2021, **60**, 4075-4079.
- (4) T. Xiao, M. Mei, Y. He, L. Zhou, Blue light-promoted cross-coupling of aryldiazoacetates and diazocarbonyl compounds, *Chem. Commun.*, 2018, **54**, 8865–8868.
- (5) M. Zhao, Y. Guo, Q. Wang, L. Liu, S. Zhang, W. Guo, L.-P. Wu, F. G. Qiu, Synthesis of 2-iminothiazolidin-4-ones via copper-catalyzed [2 + 1 + 2] tandem annulation, *RSC Adv.*, 2023, **13**, 2220-2224.

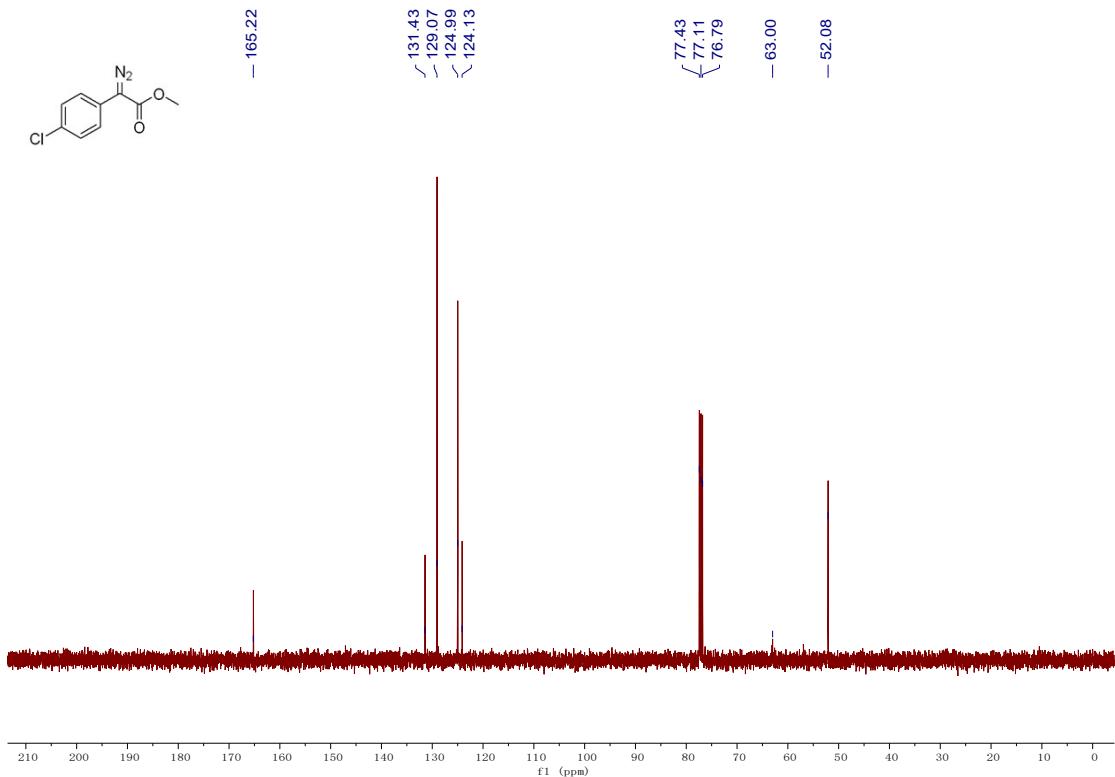
8. NMR Spectra



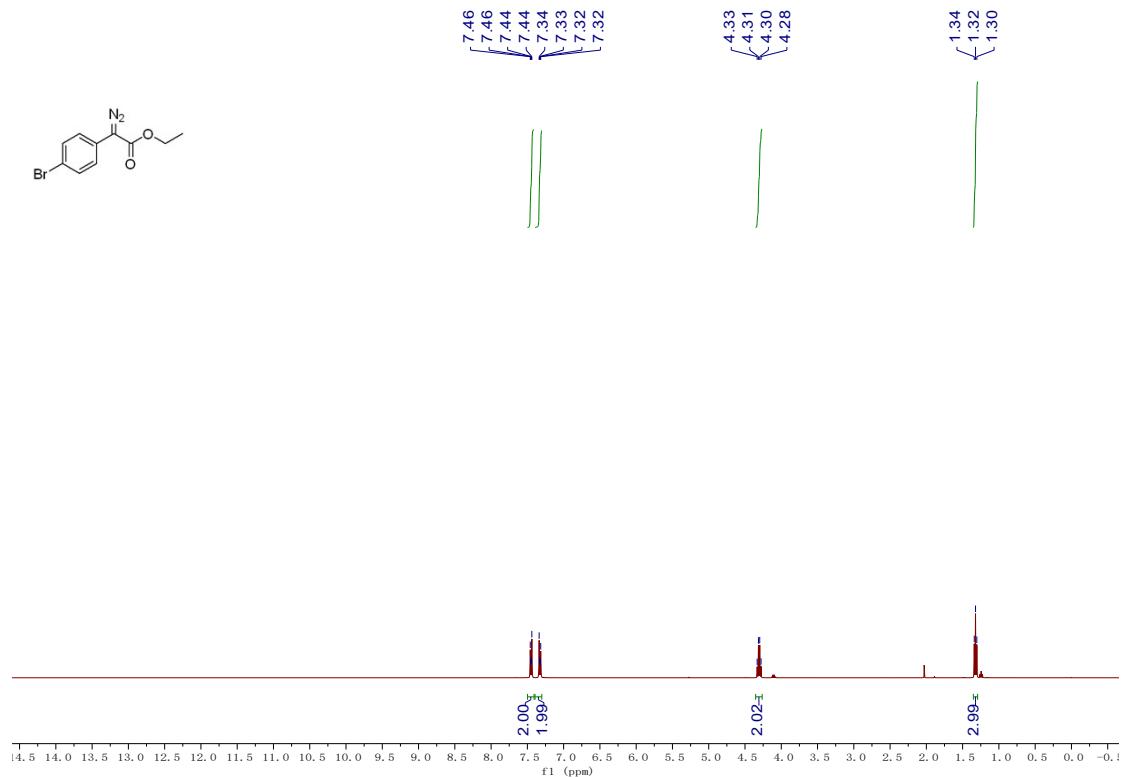




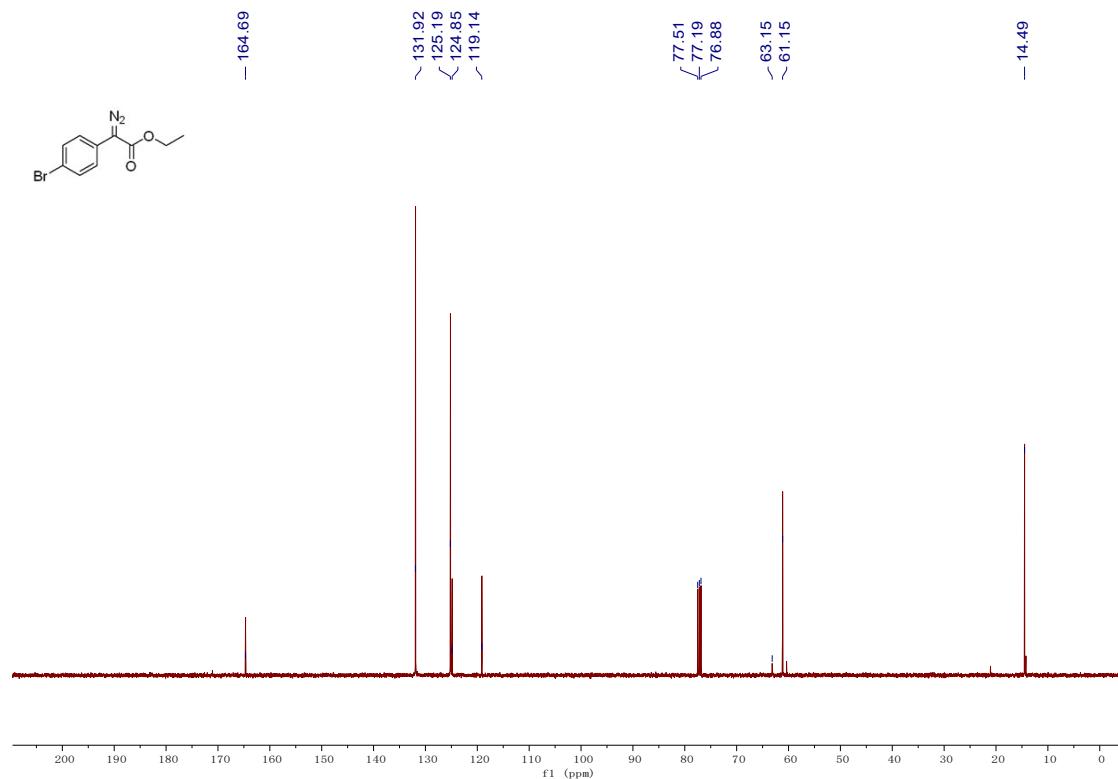
¹H NMR of 3d in CDCl₃ (400 MHz)



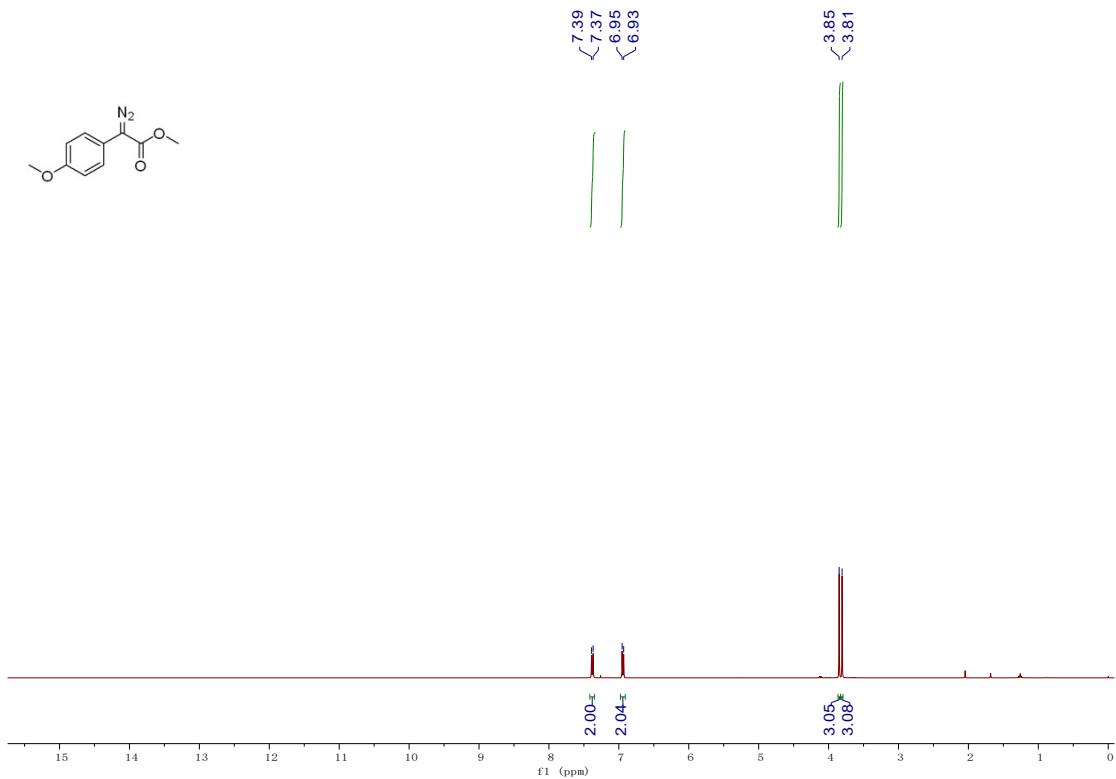
¹³C{¹H} NMR of 3d in CDCl₃ (100 MHz)



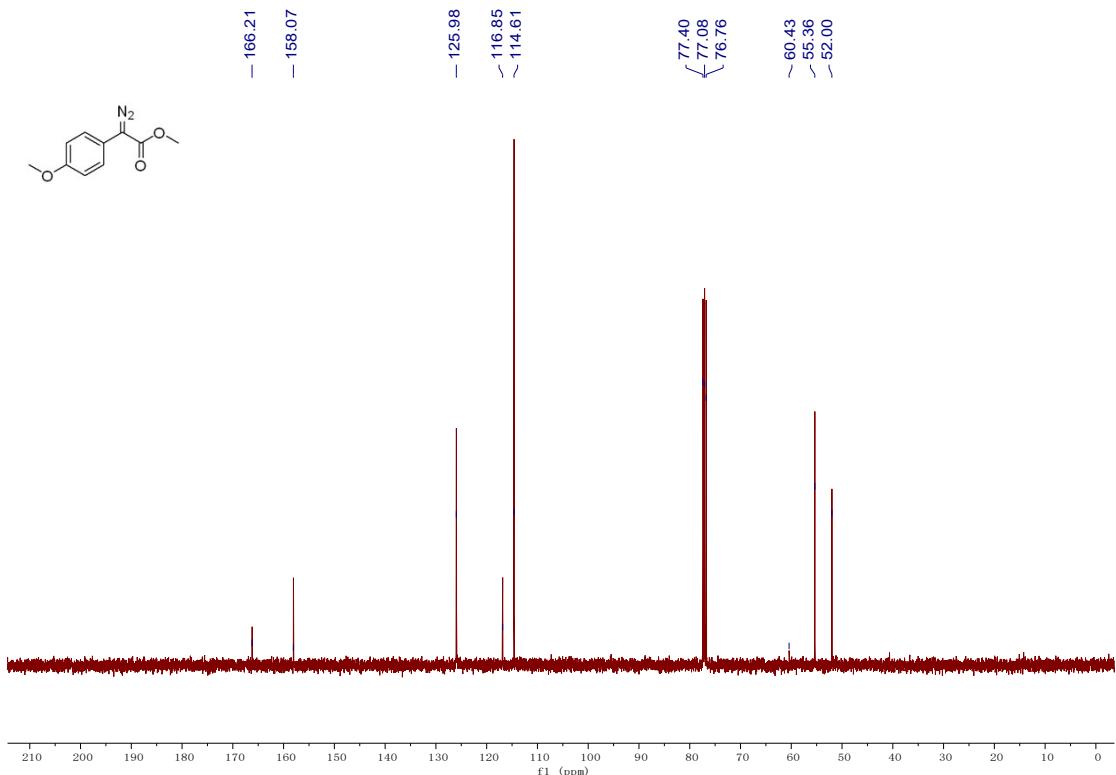
¹H NMR of 3e in CDCl_3 (400 MHz)



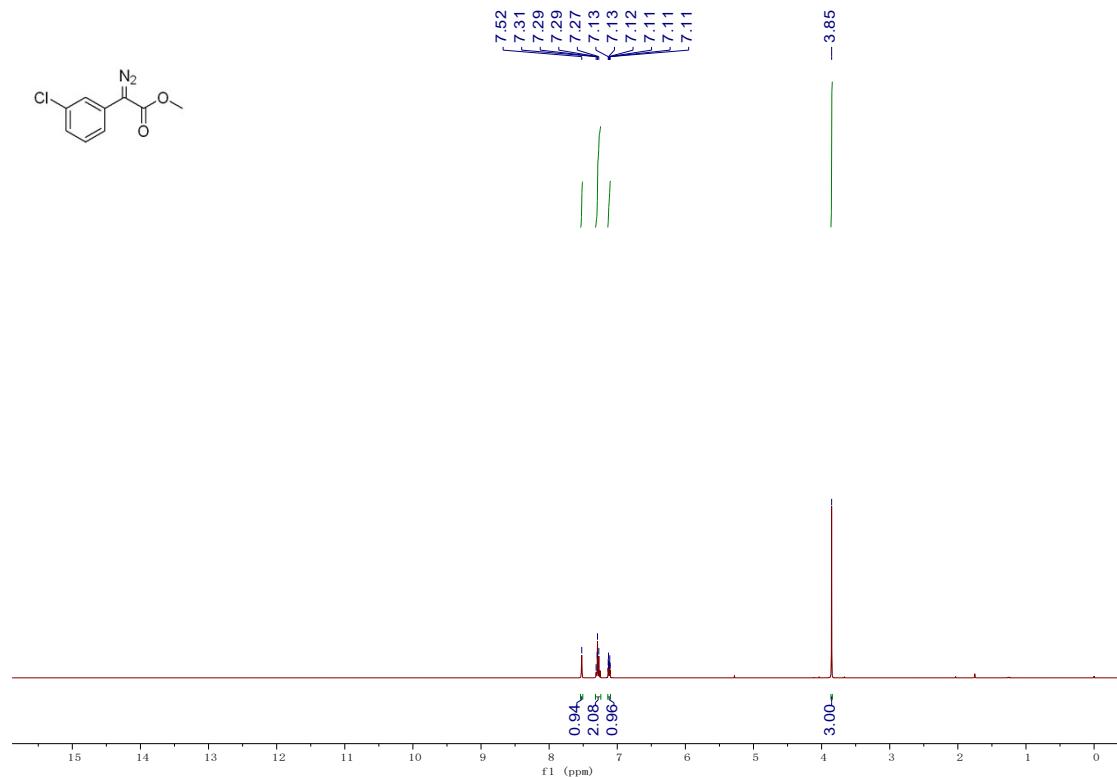
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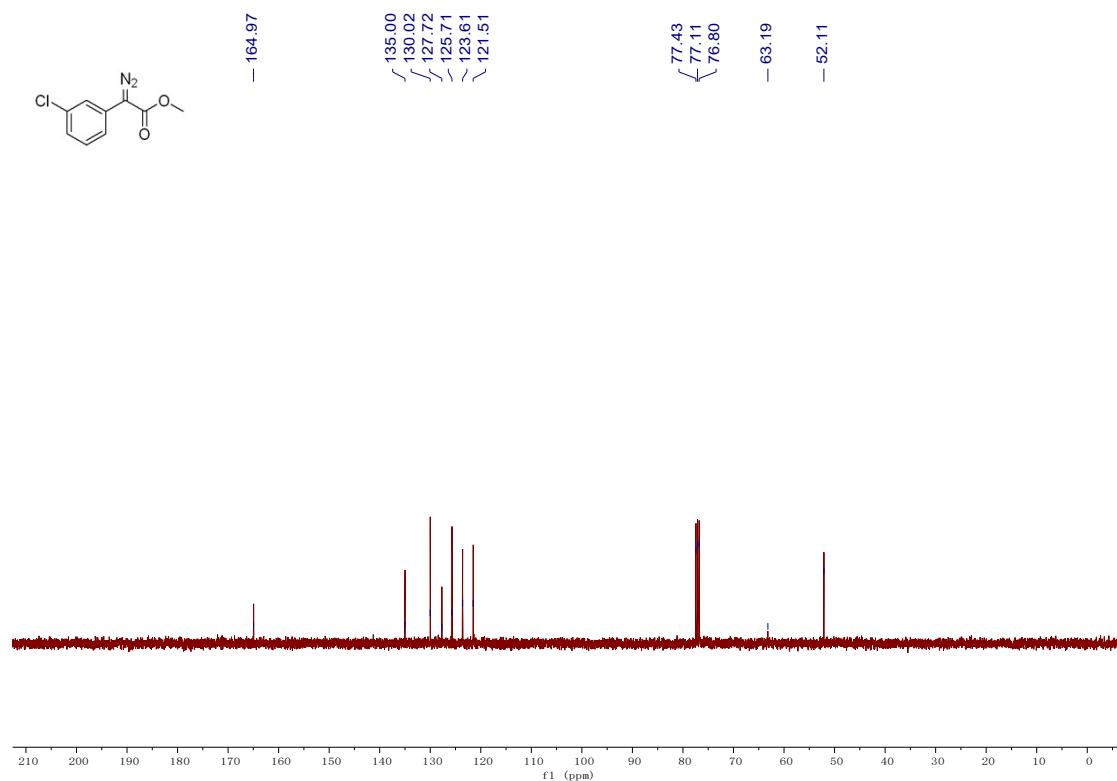
¹H NMR of 3f in CDCl₃ (400 MHz)



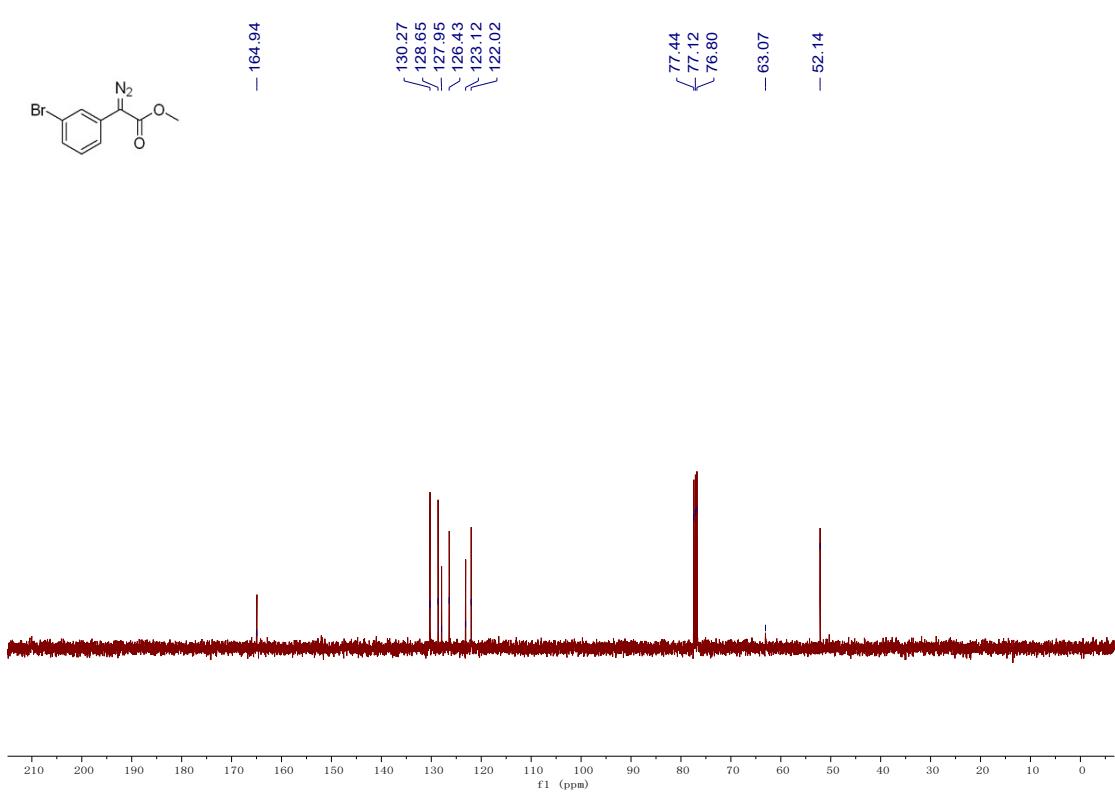
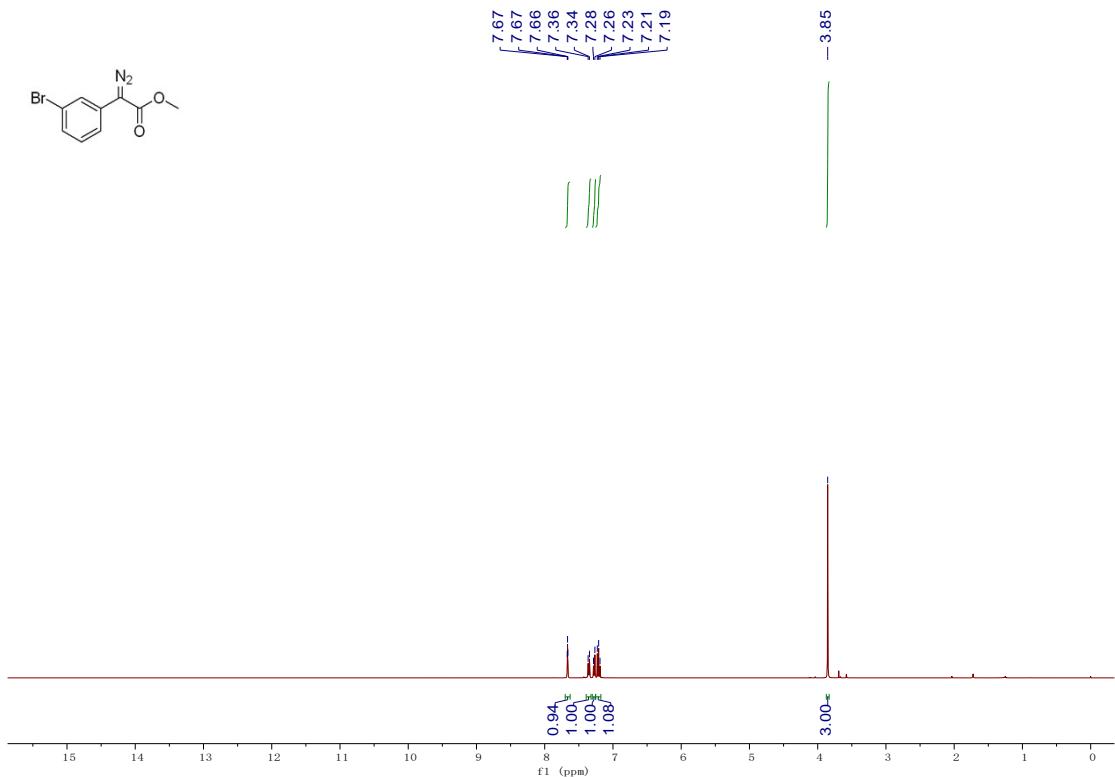
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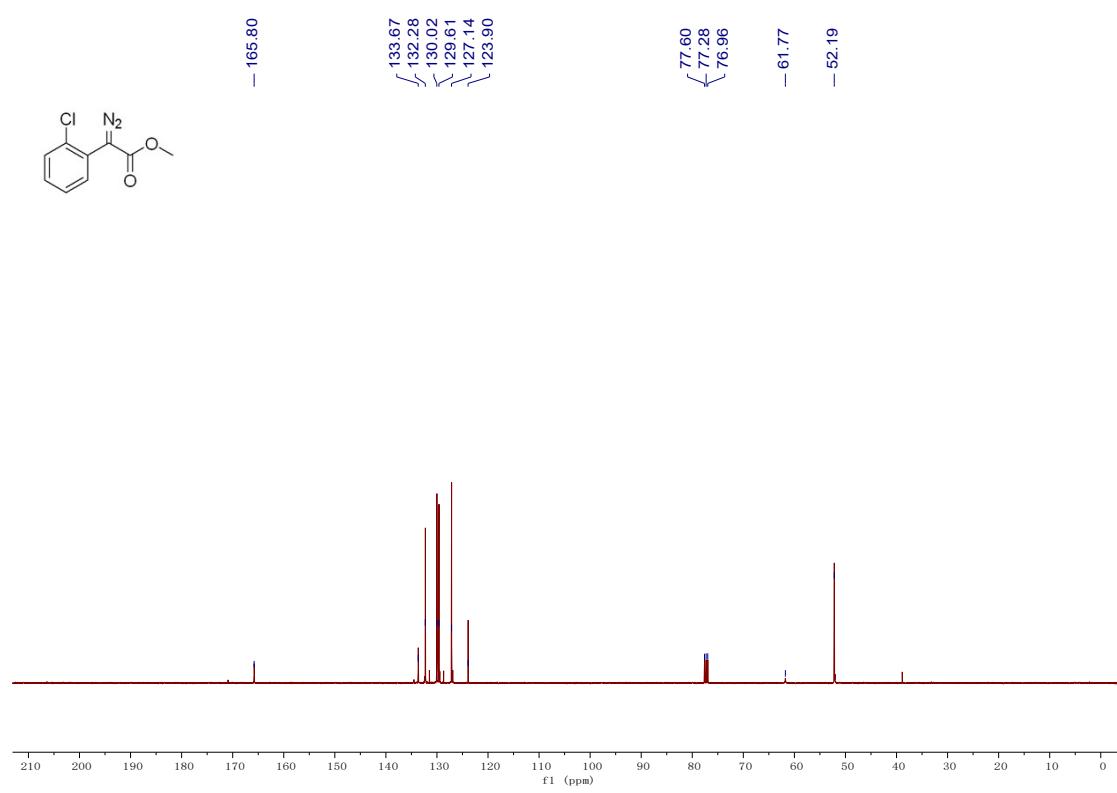
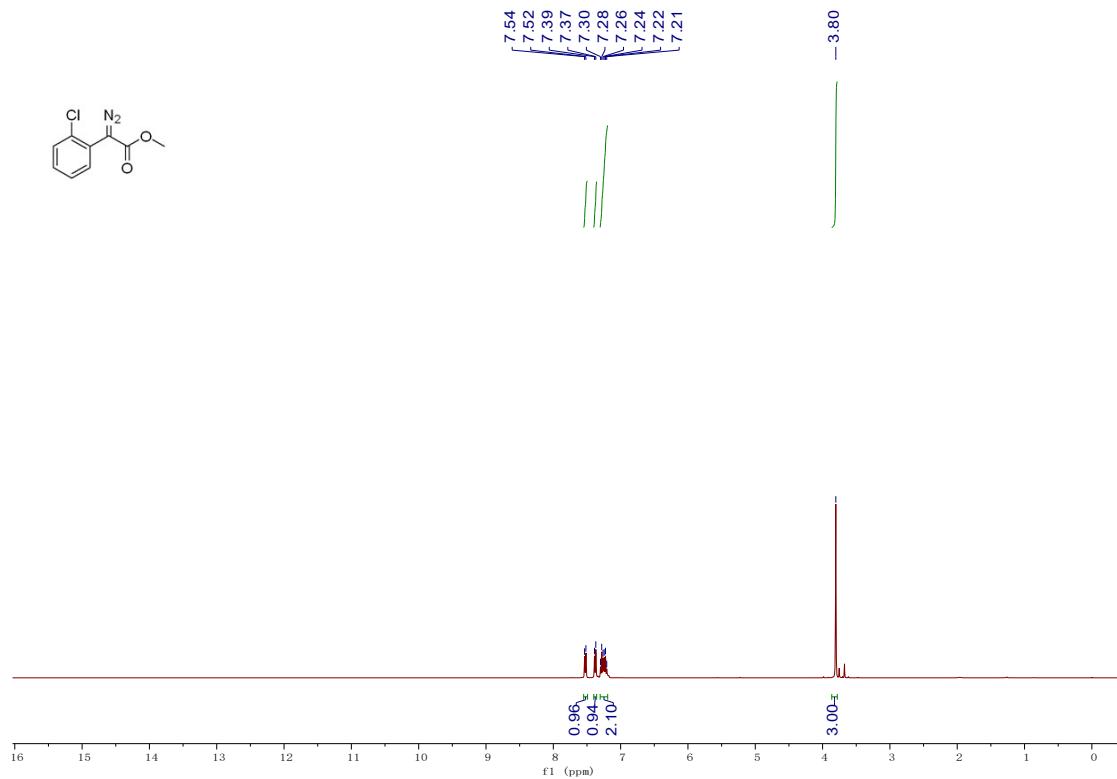


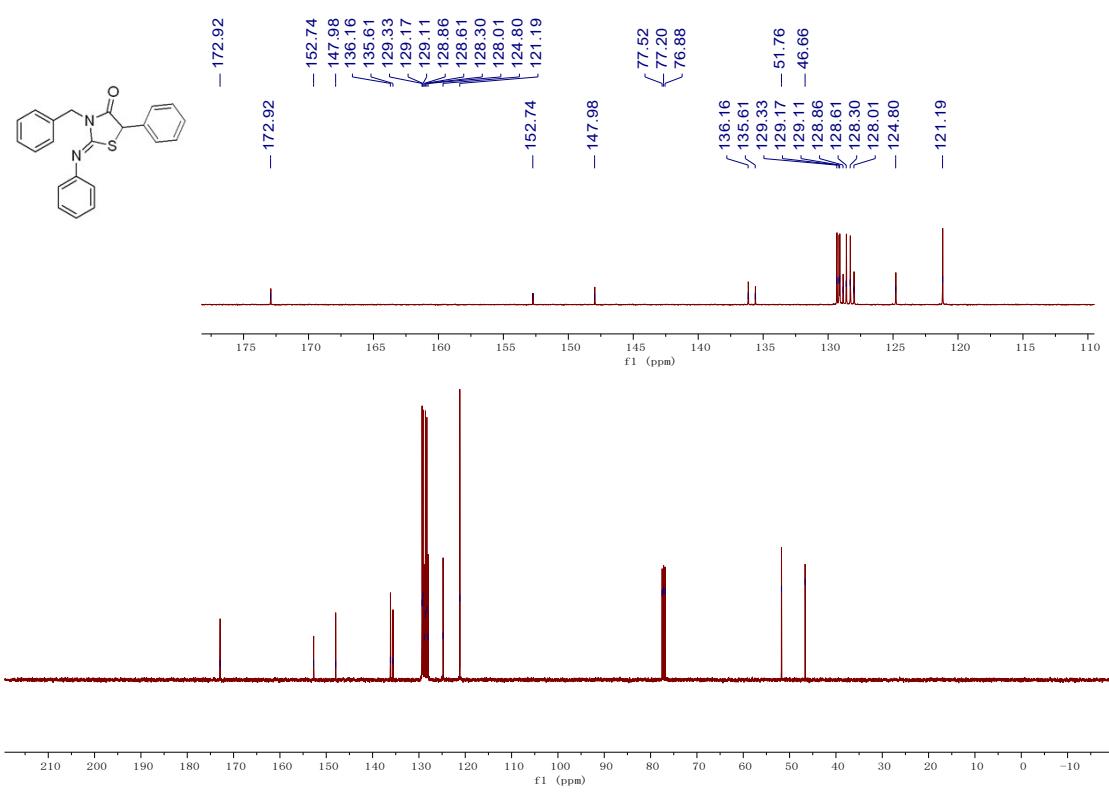
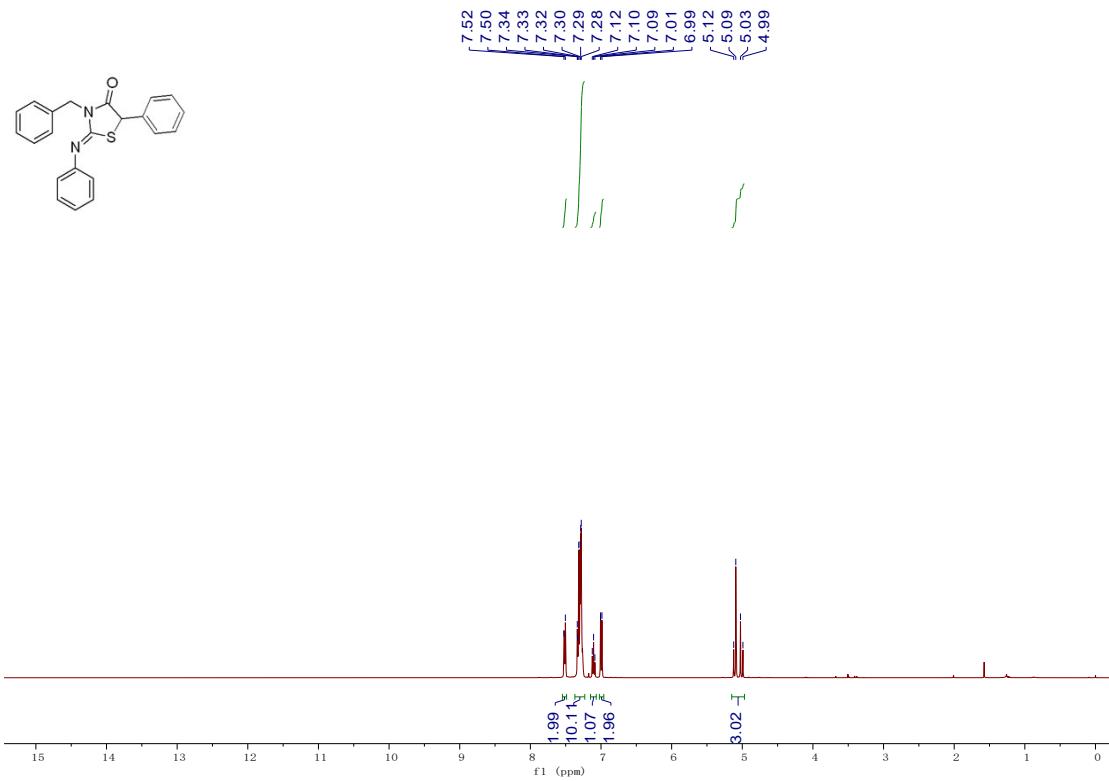
¹H NMR of 3g in CDCl₃ (400 MHz)

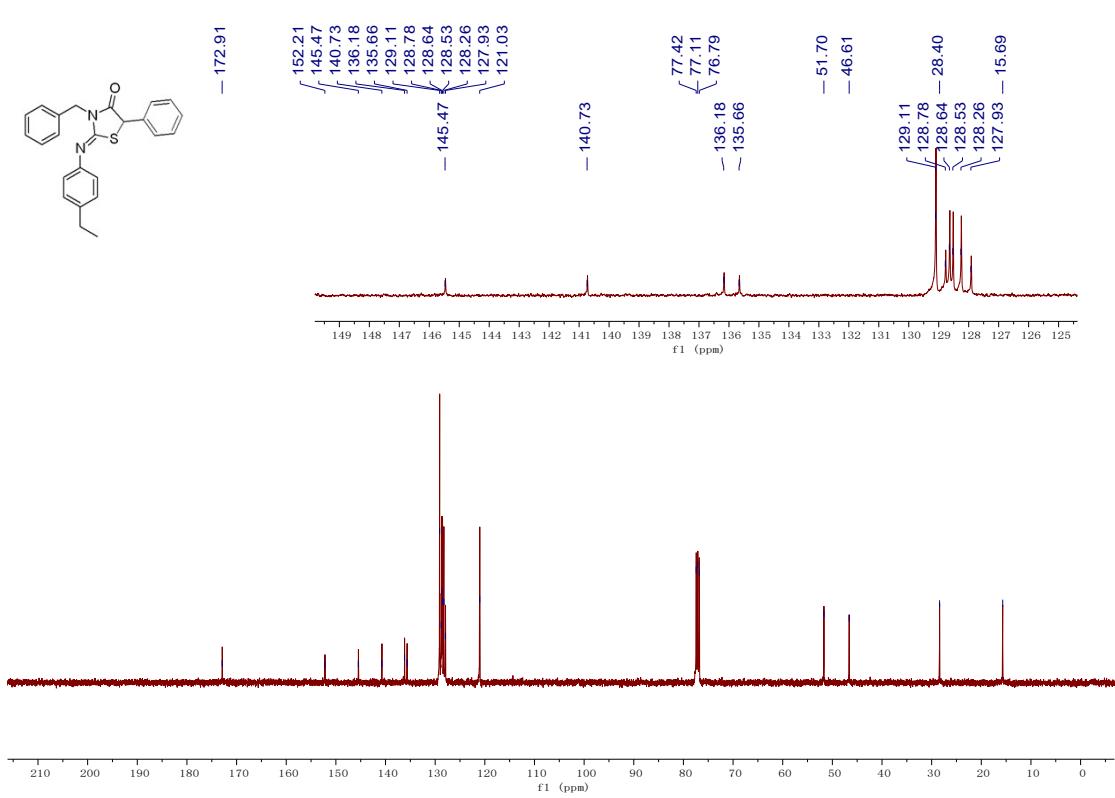
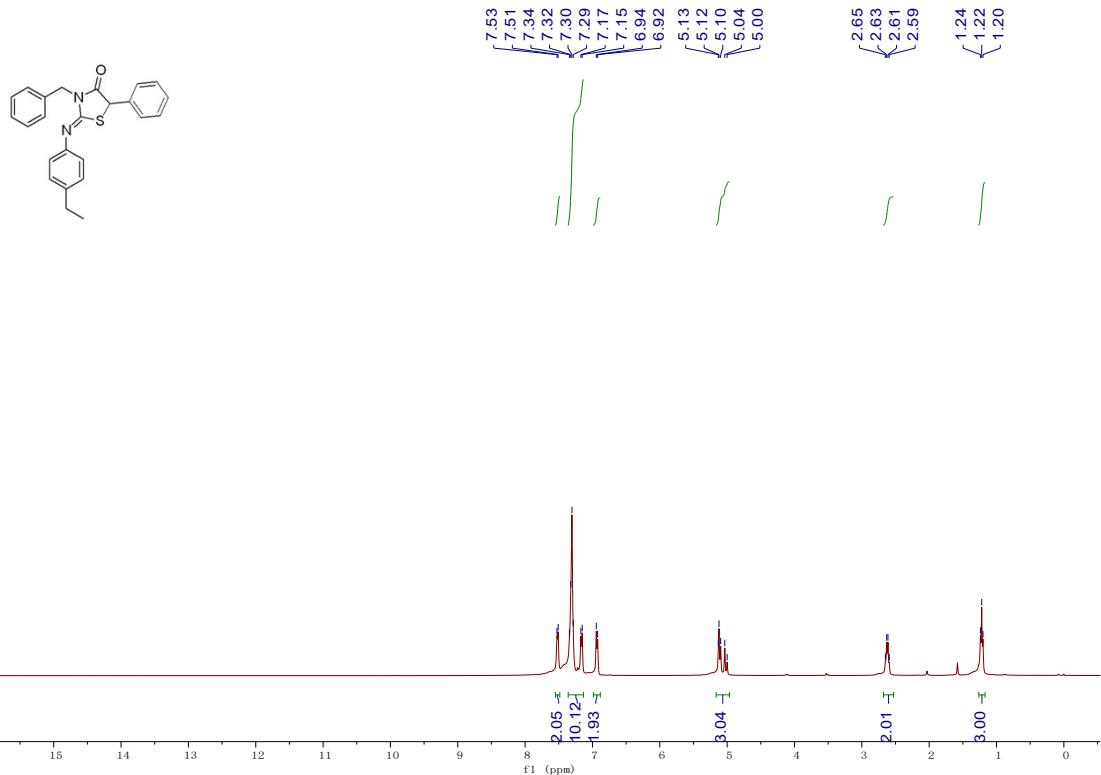


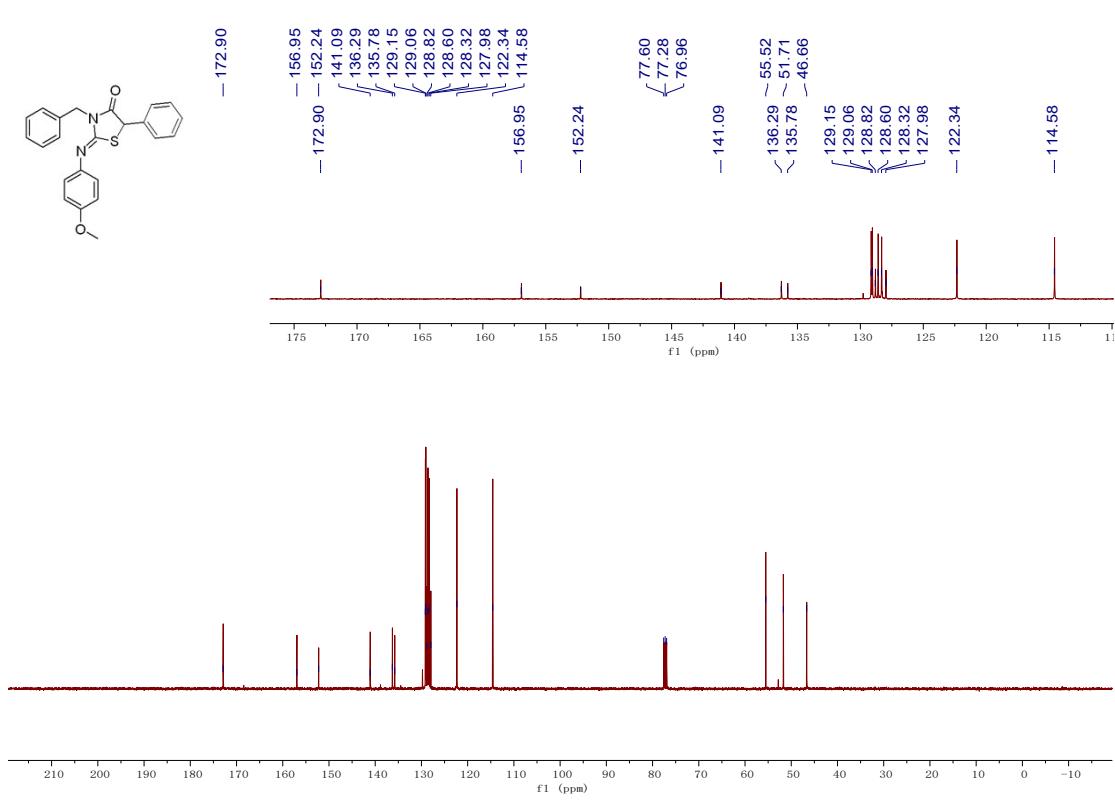
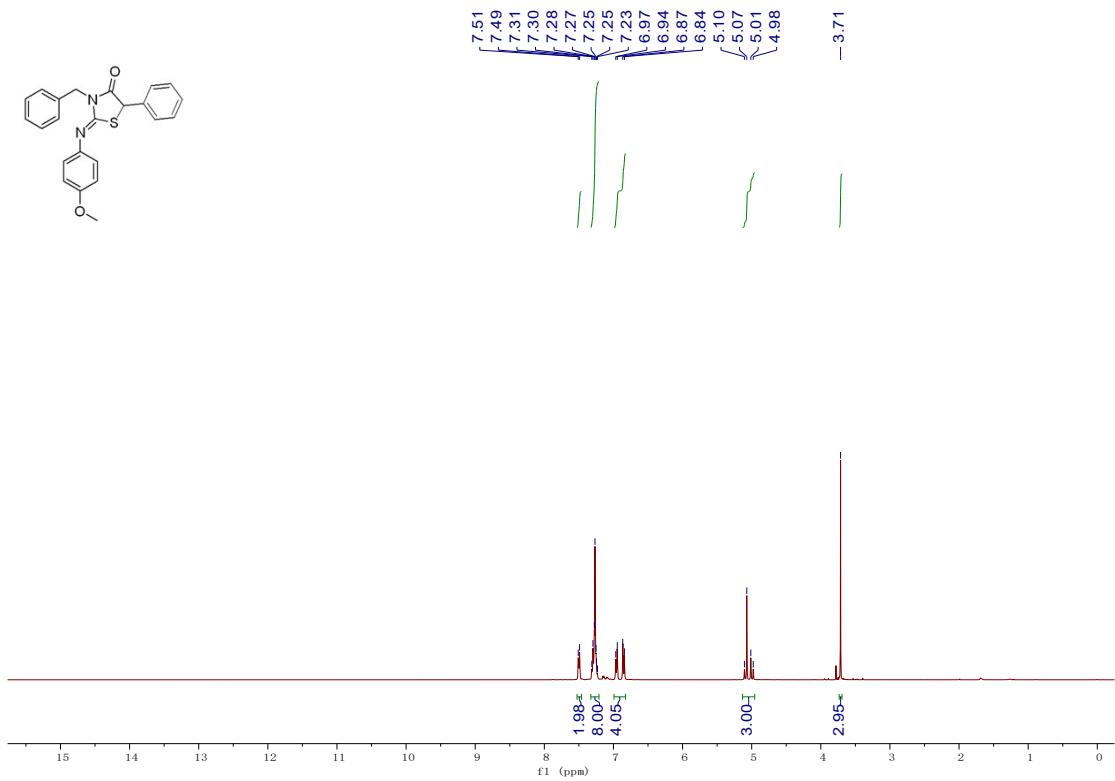
¹³C{¹H} NMR of 3g in CDCl₃ (100 MHz)

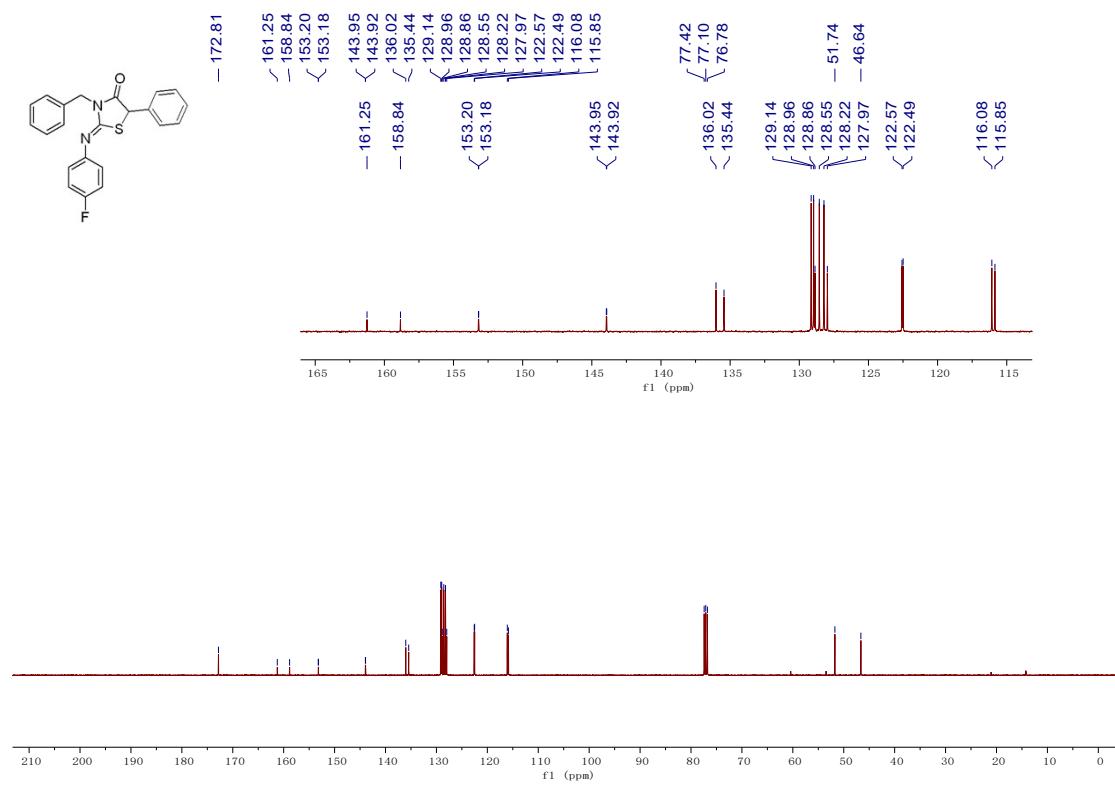
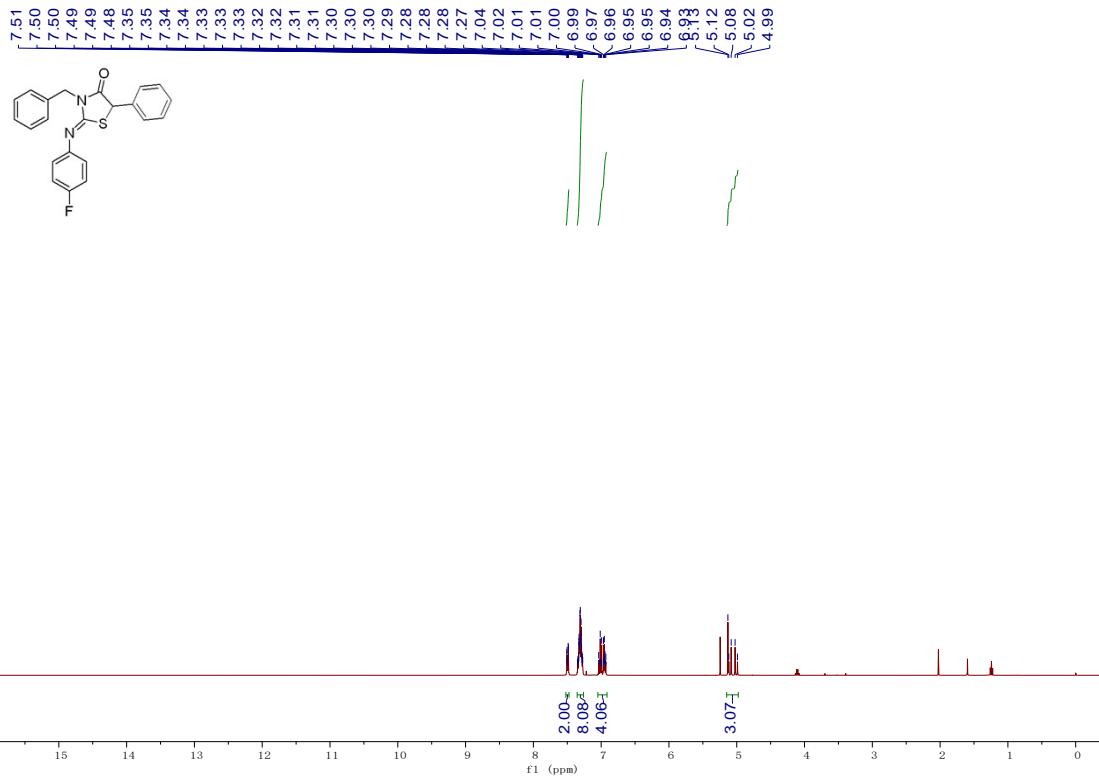


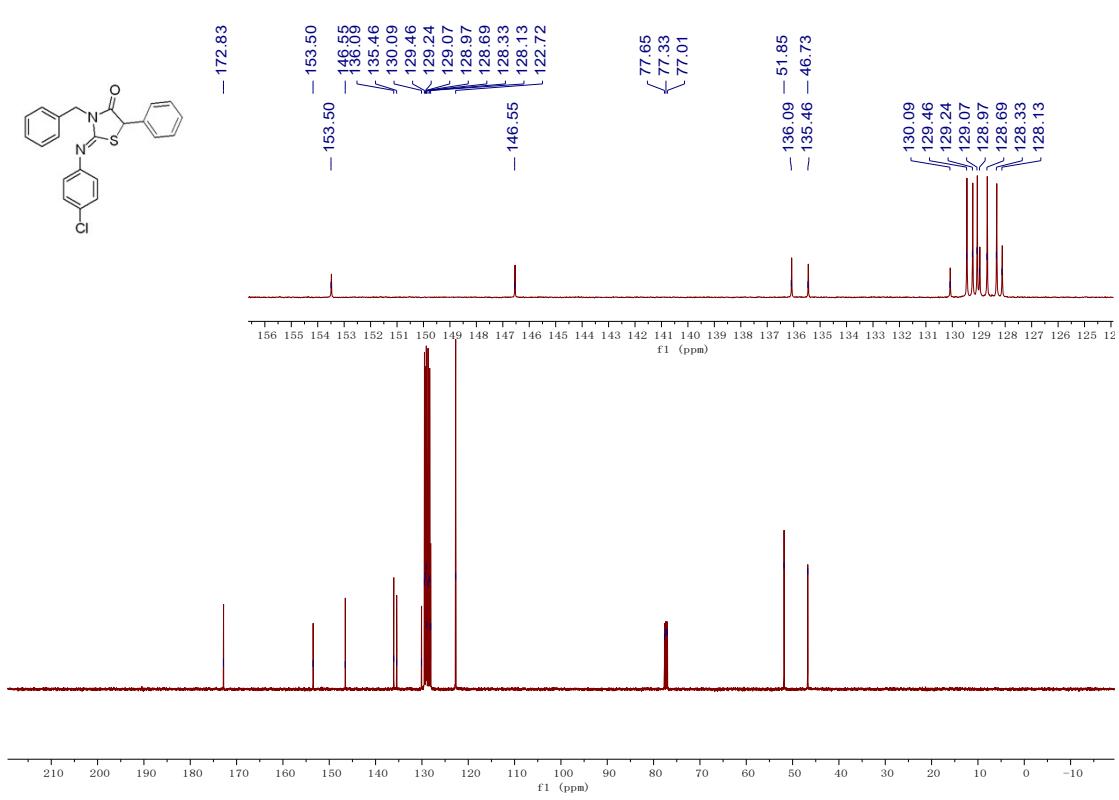
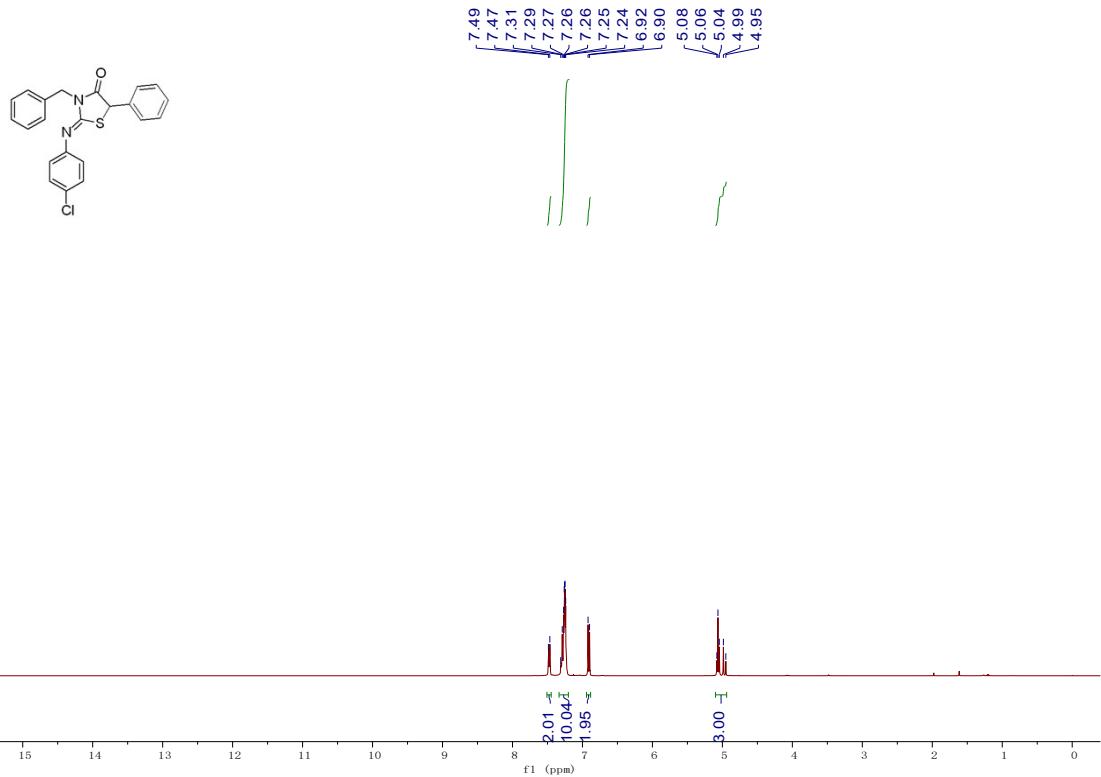


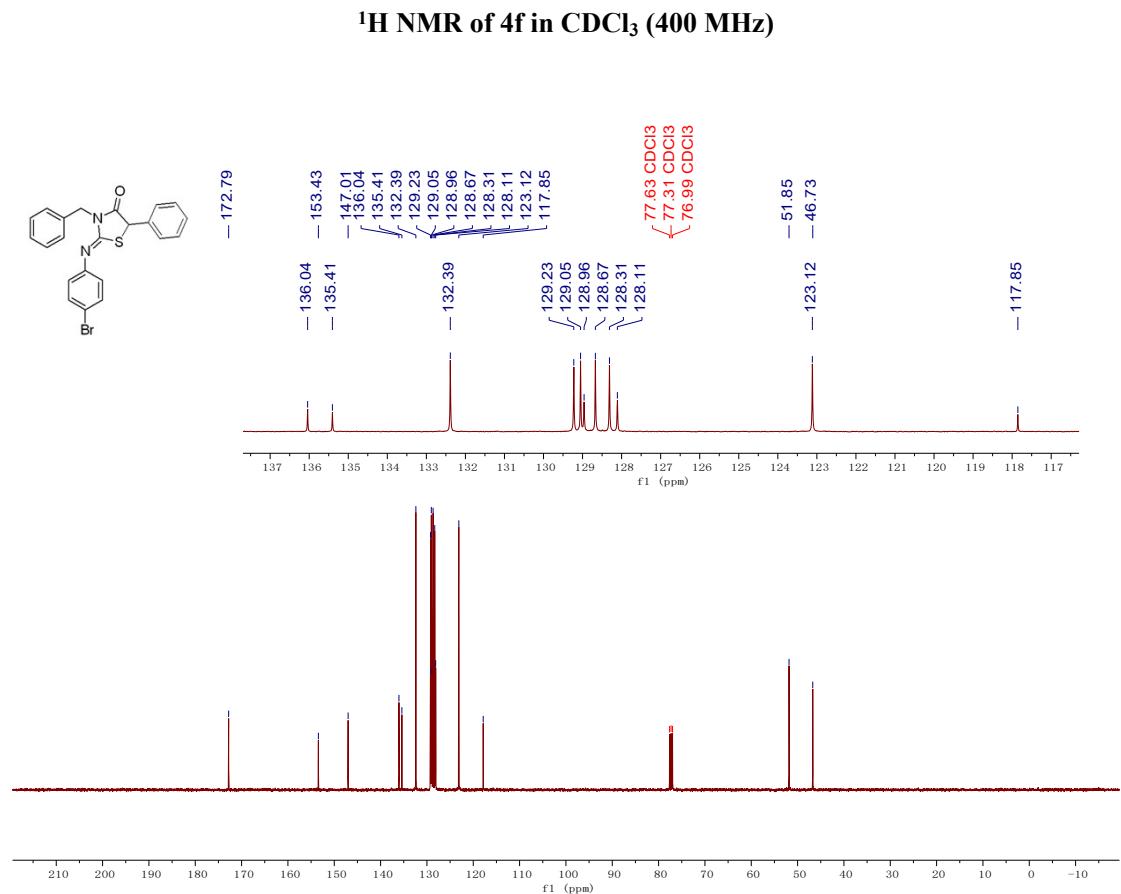
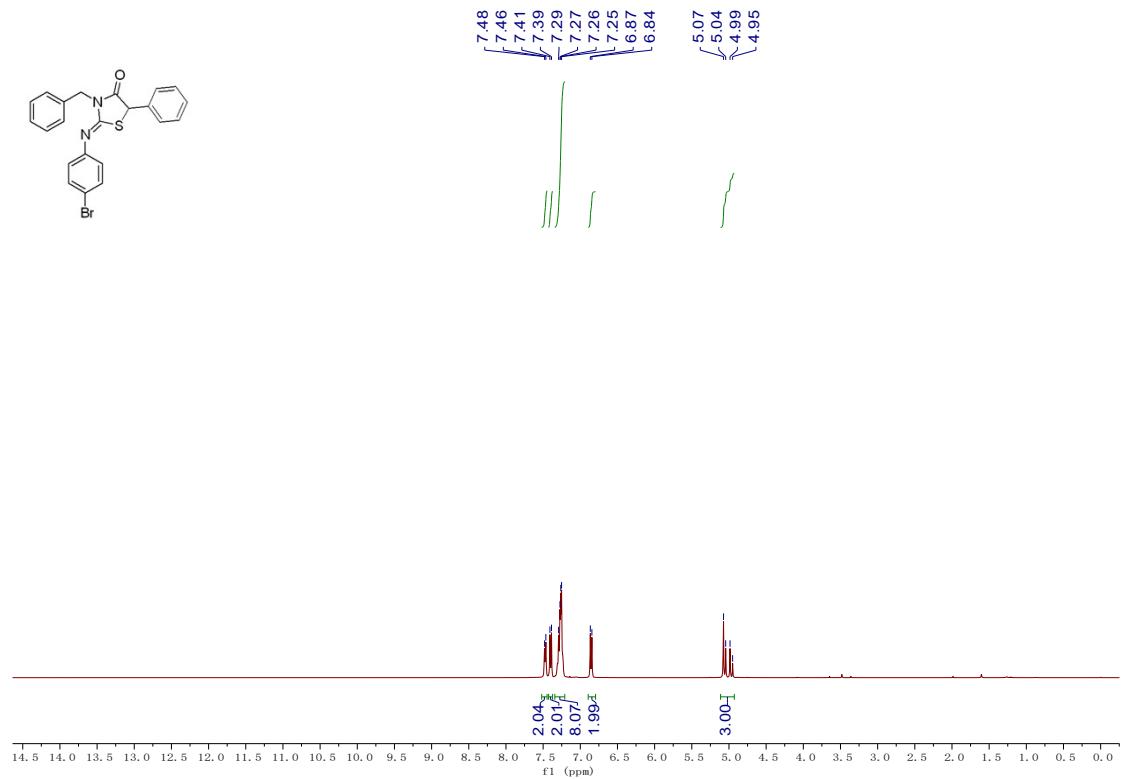


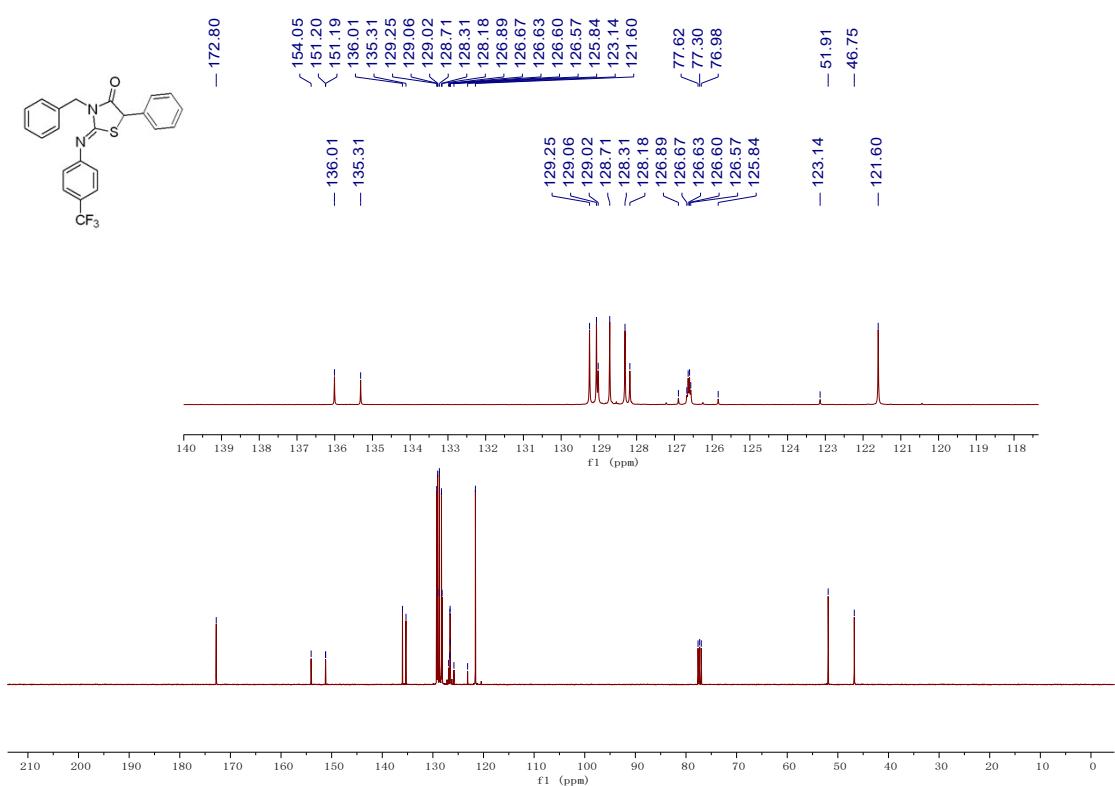
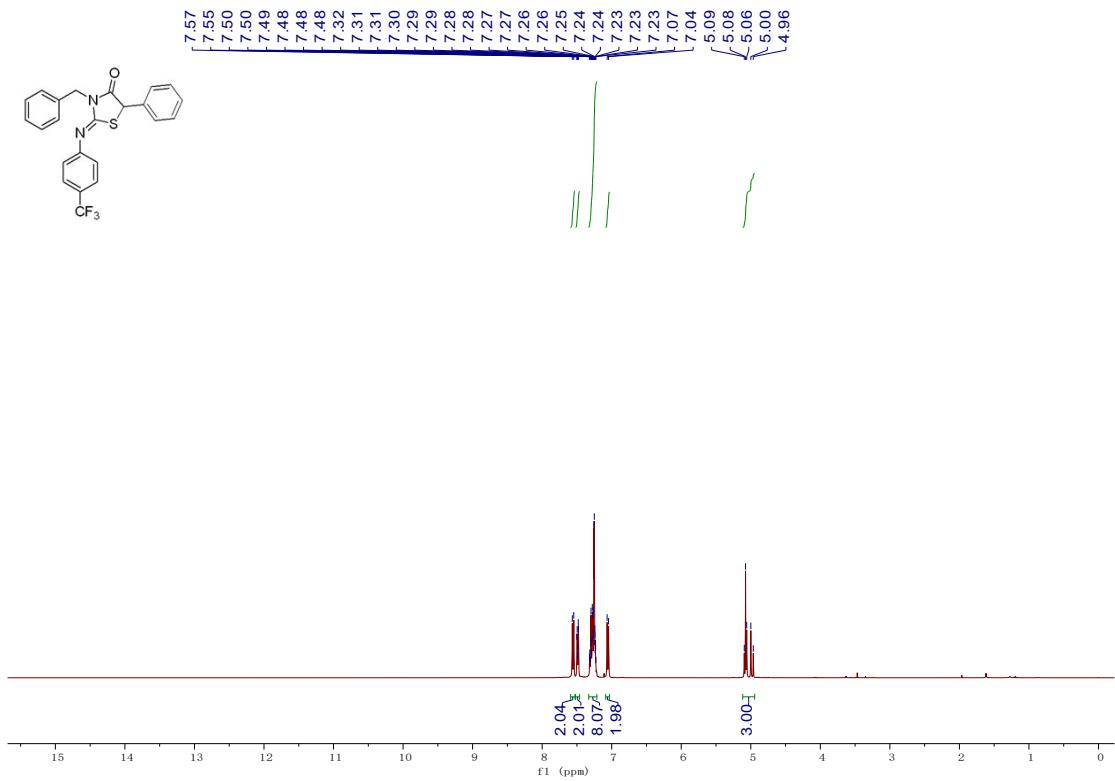


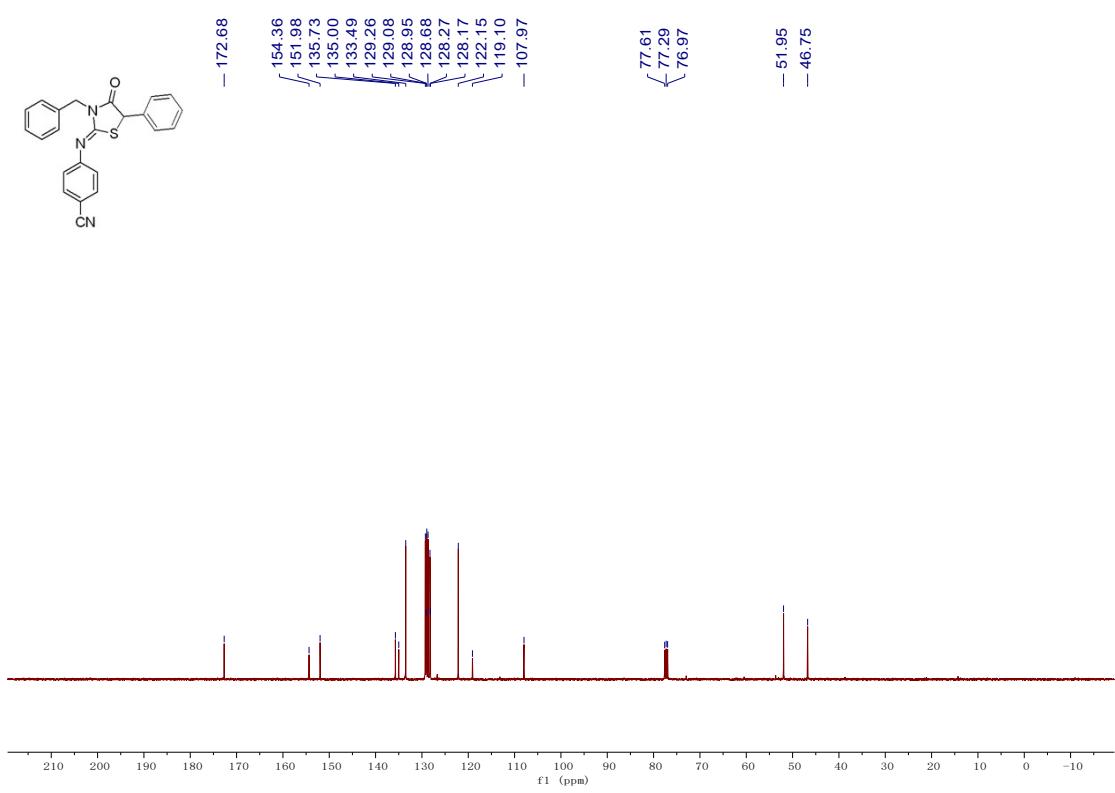
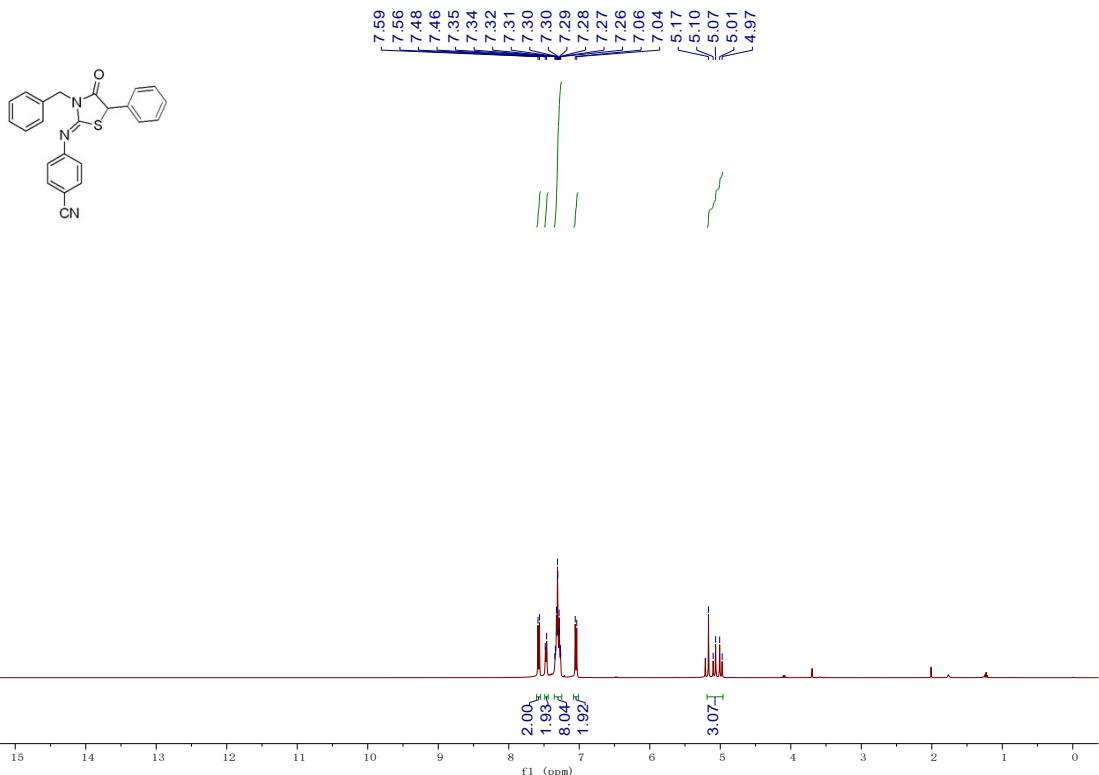


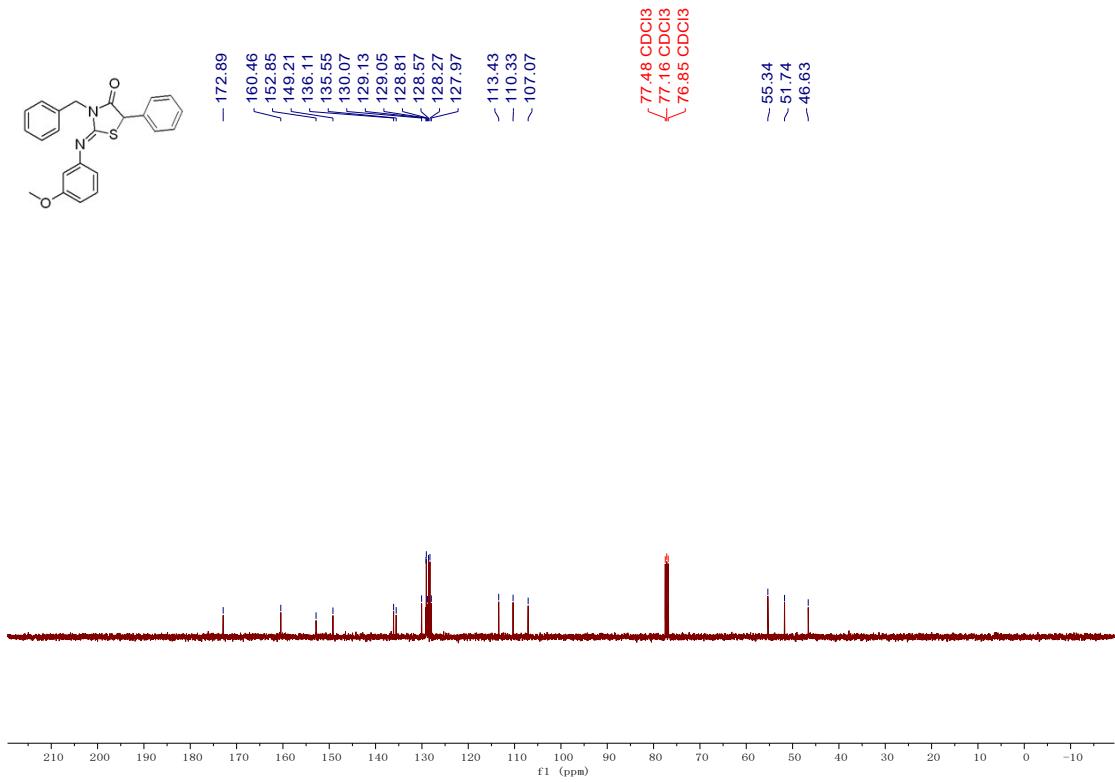
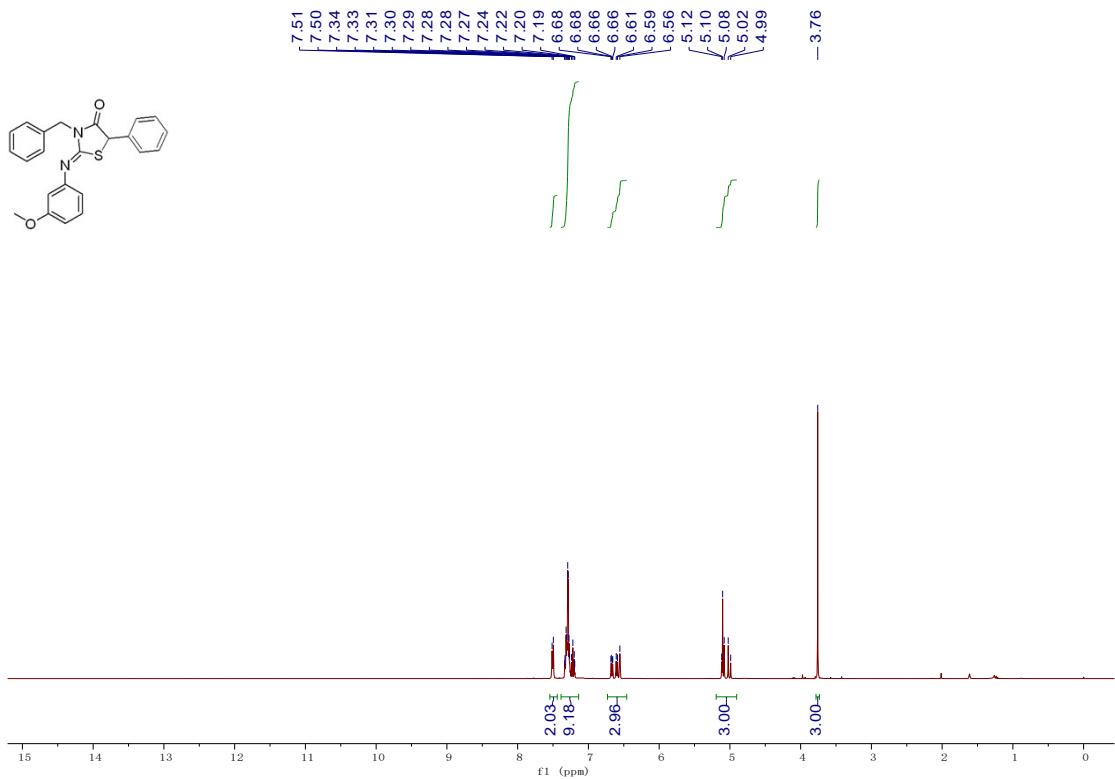


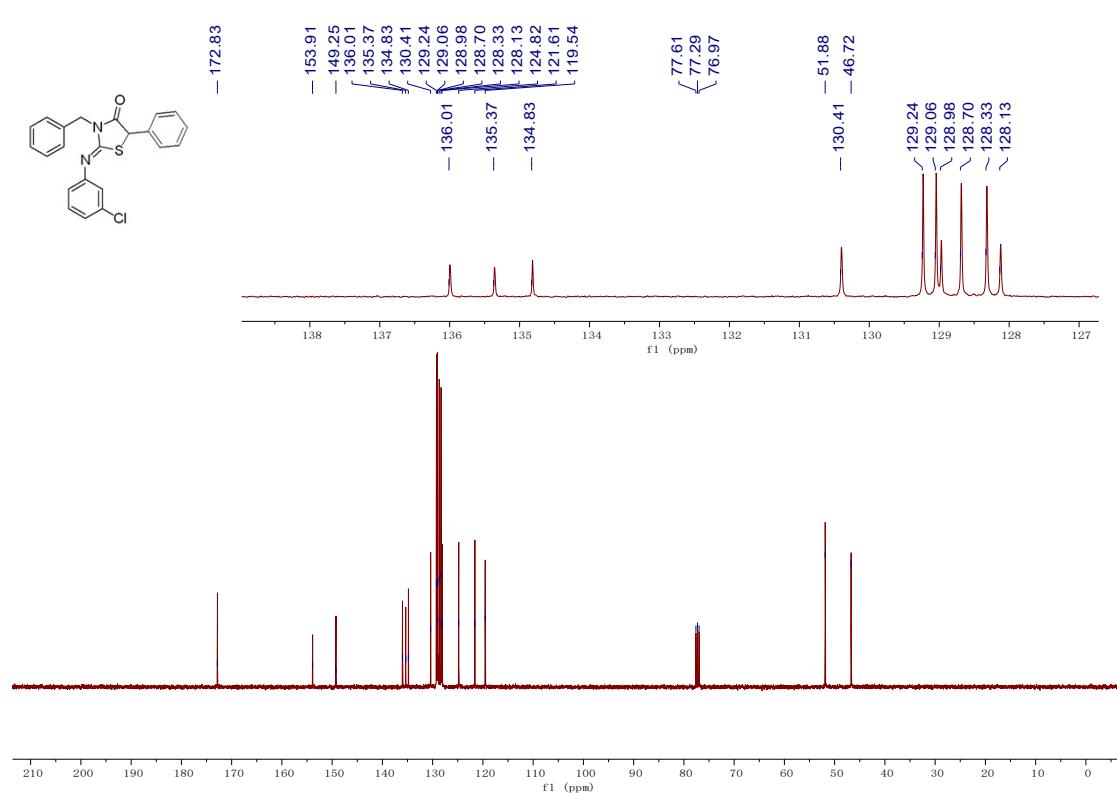
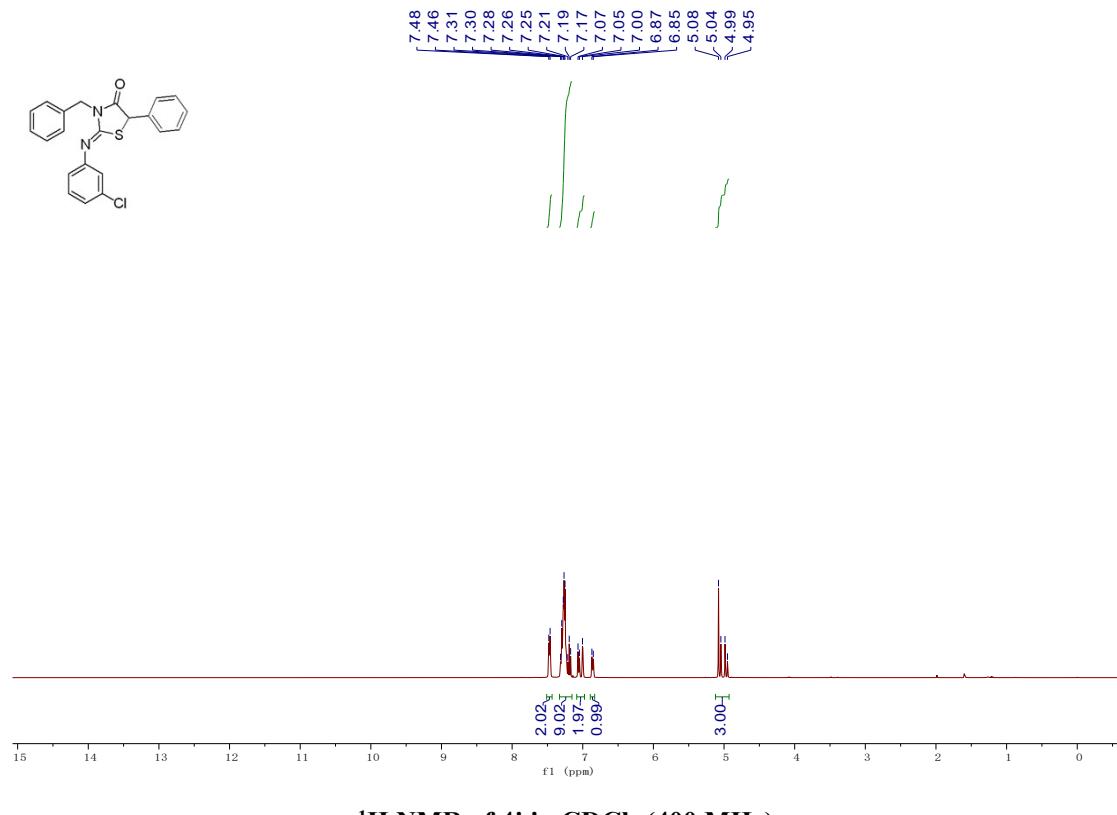


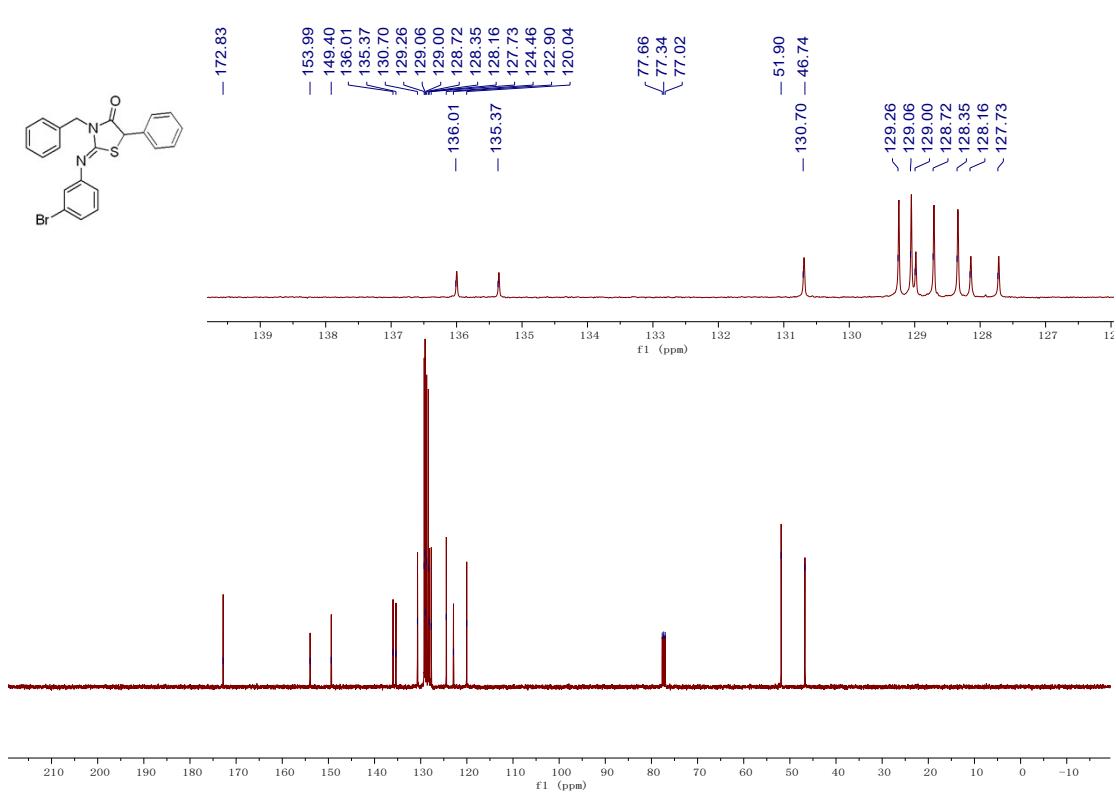
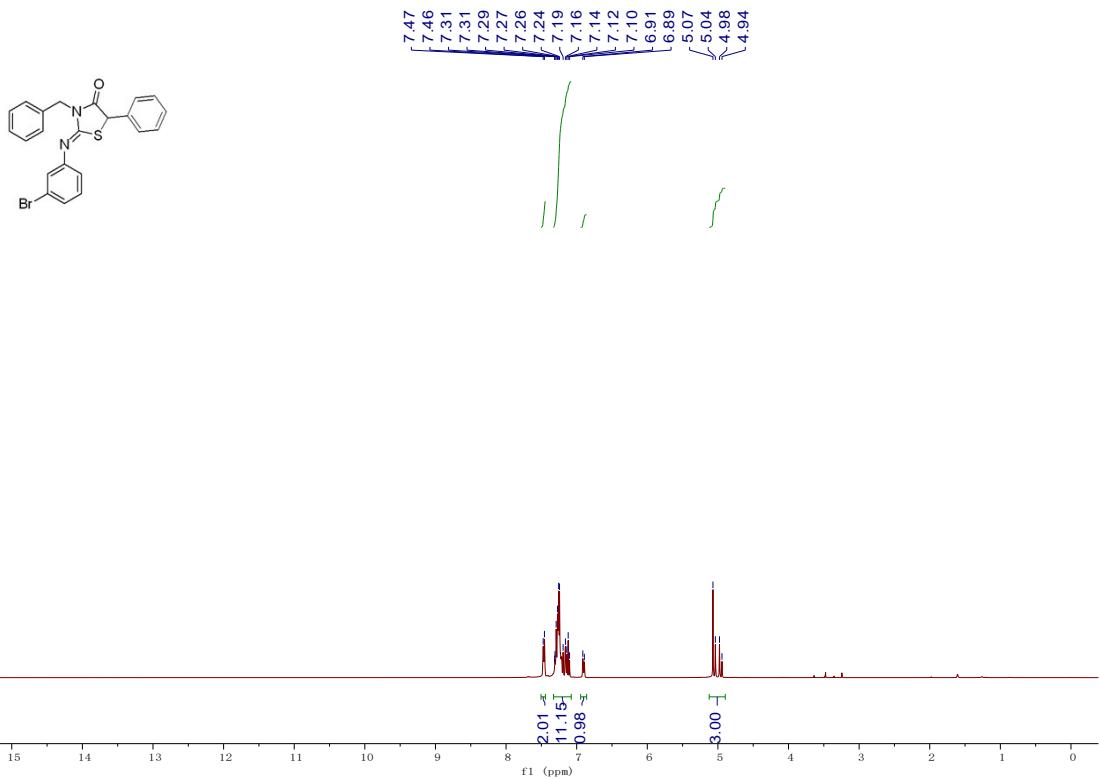


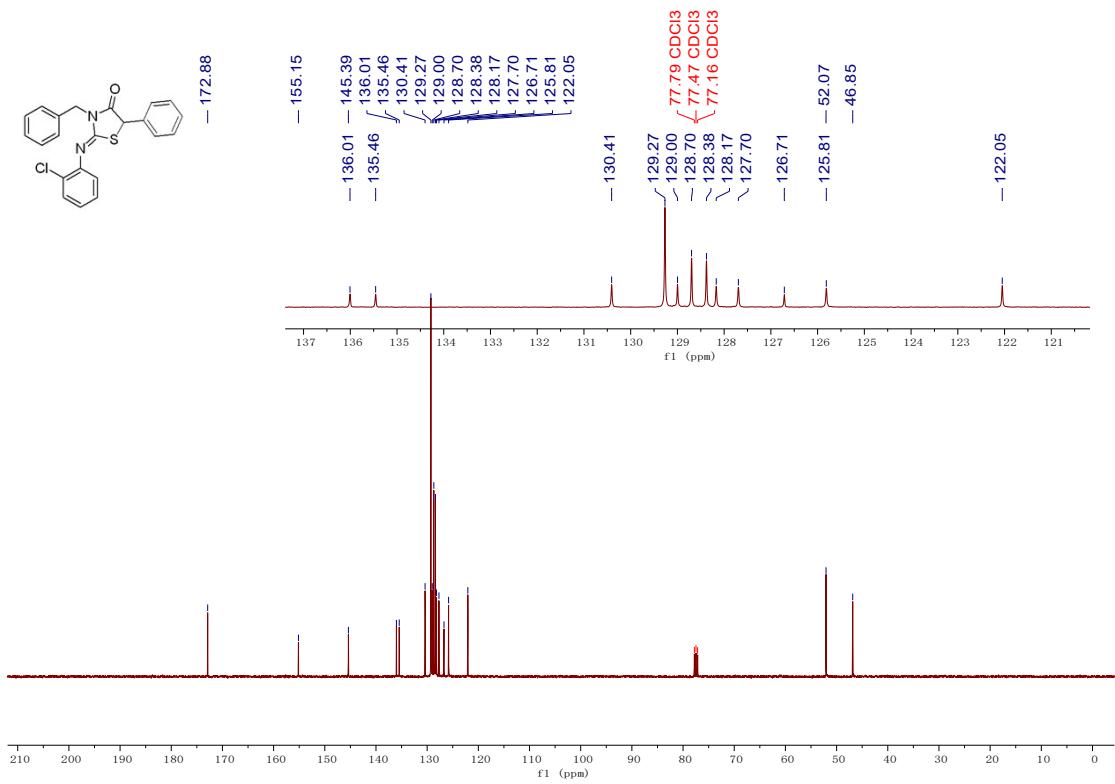
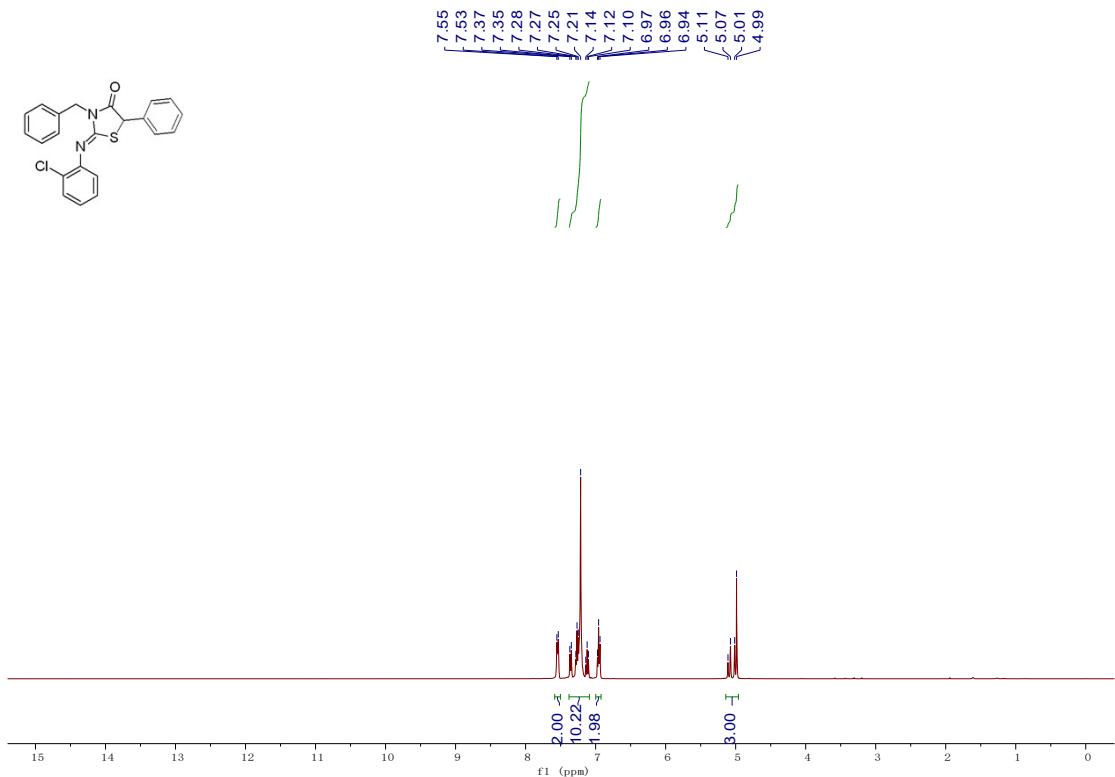


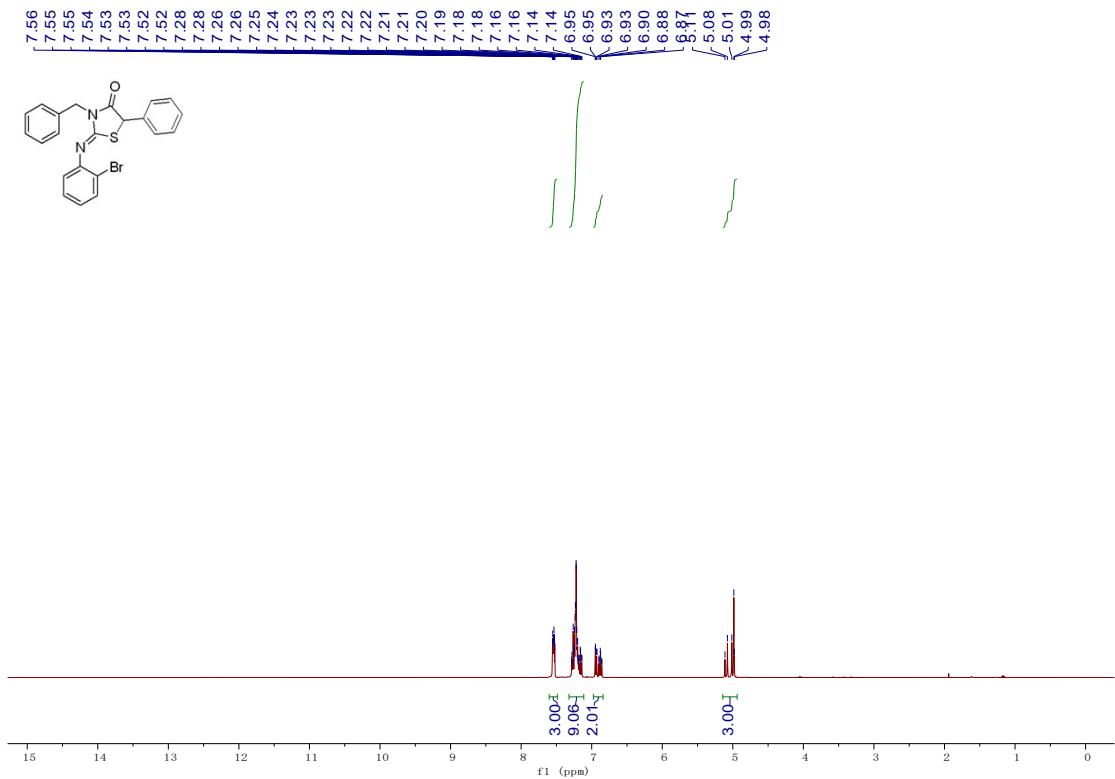




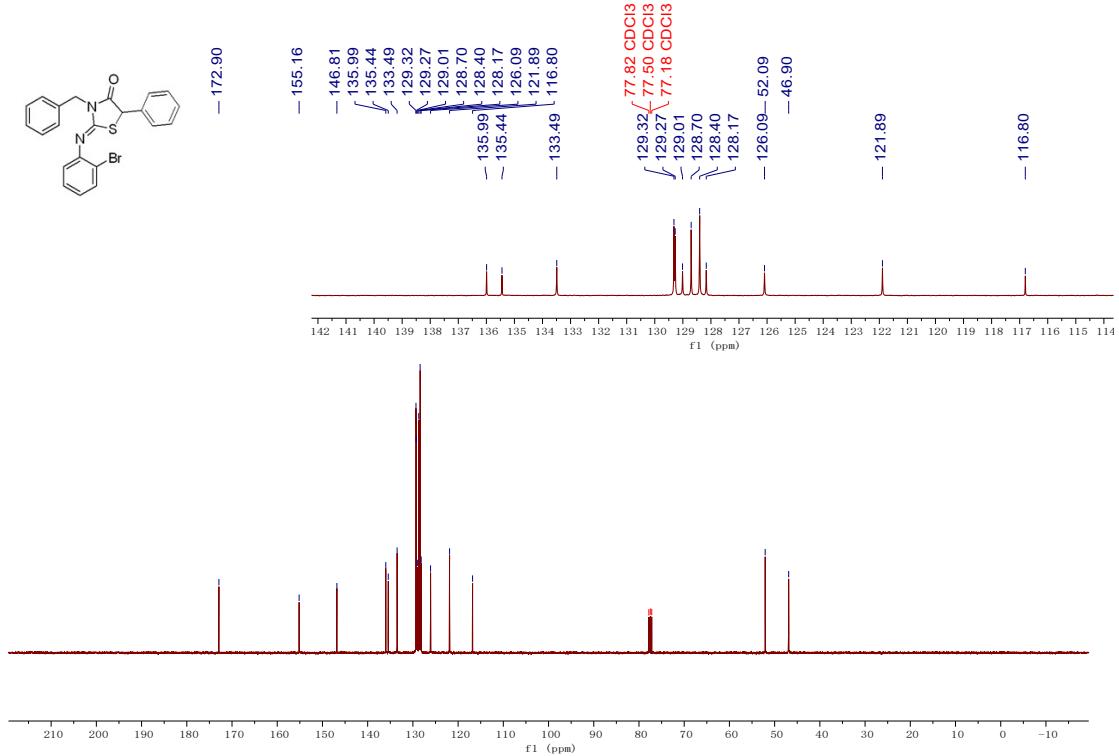




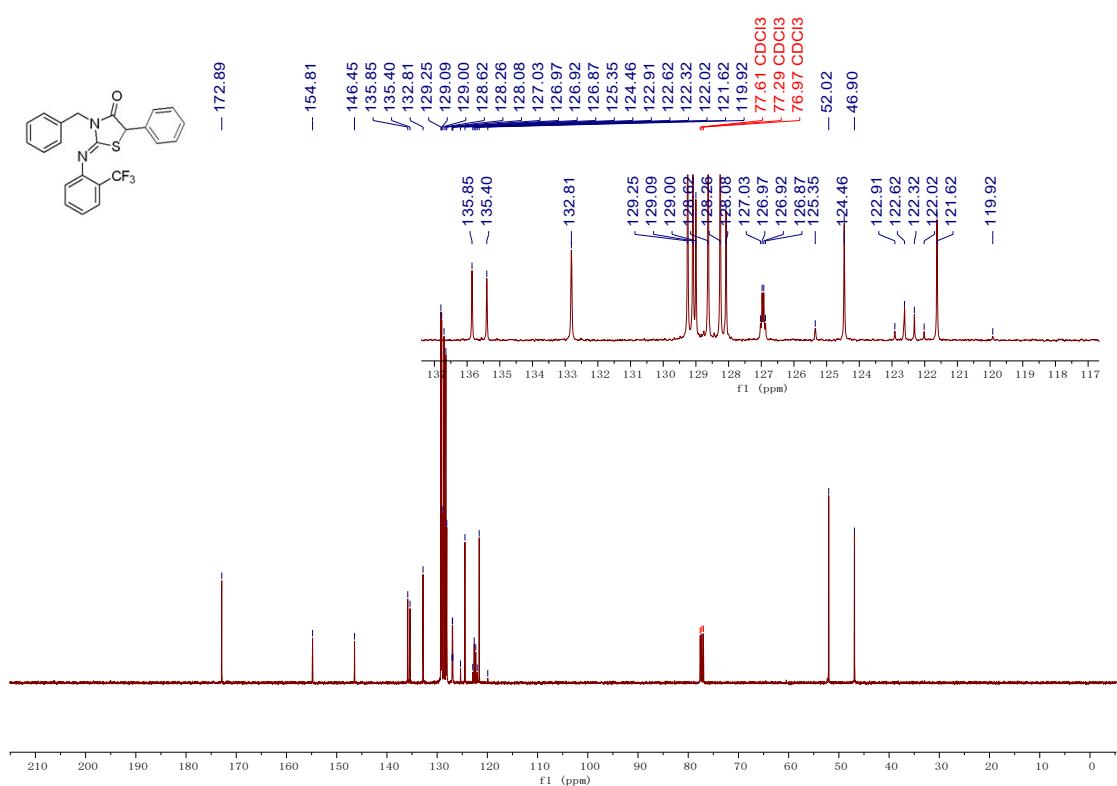
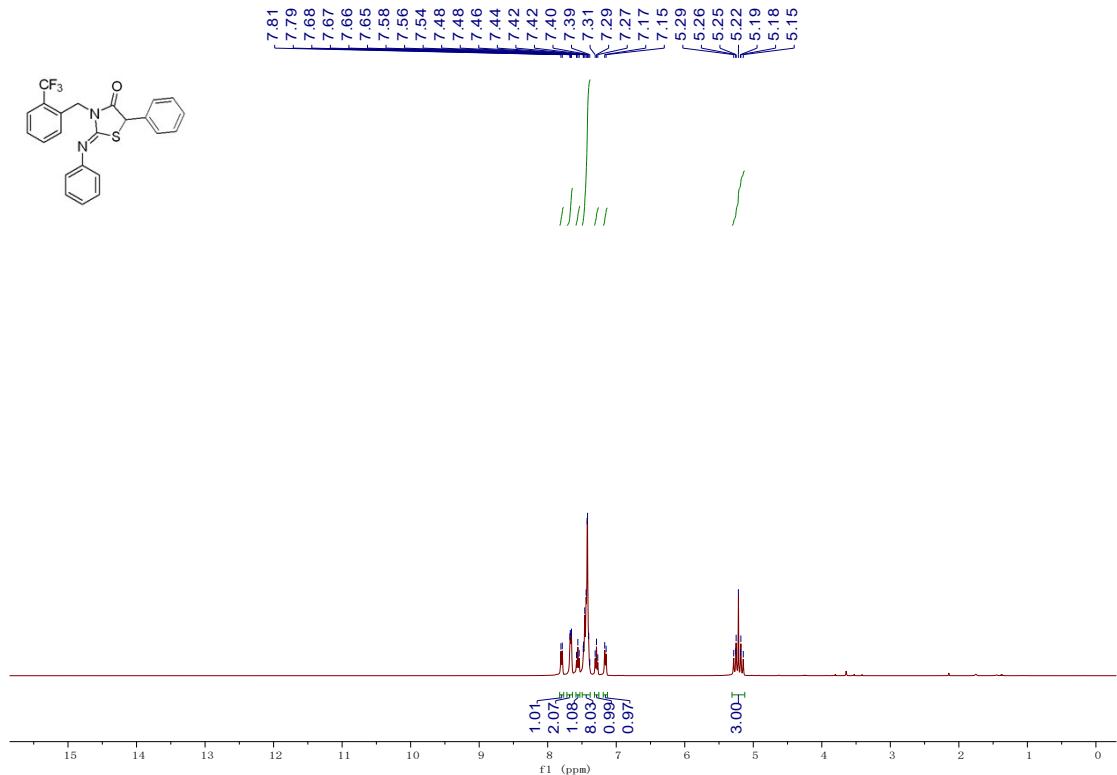


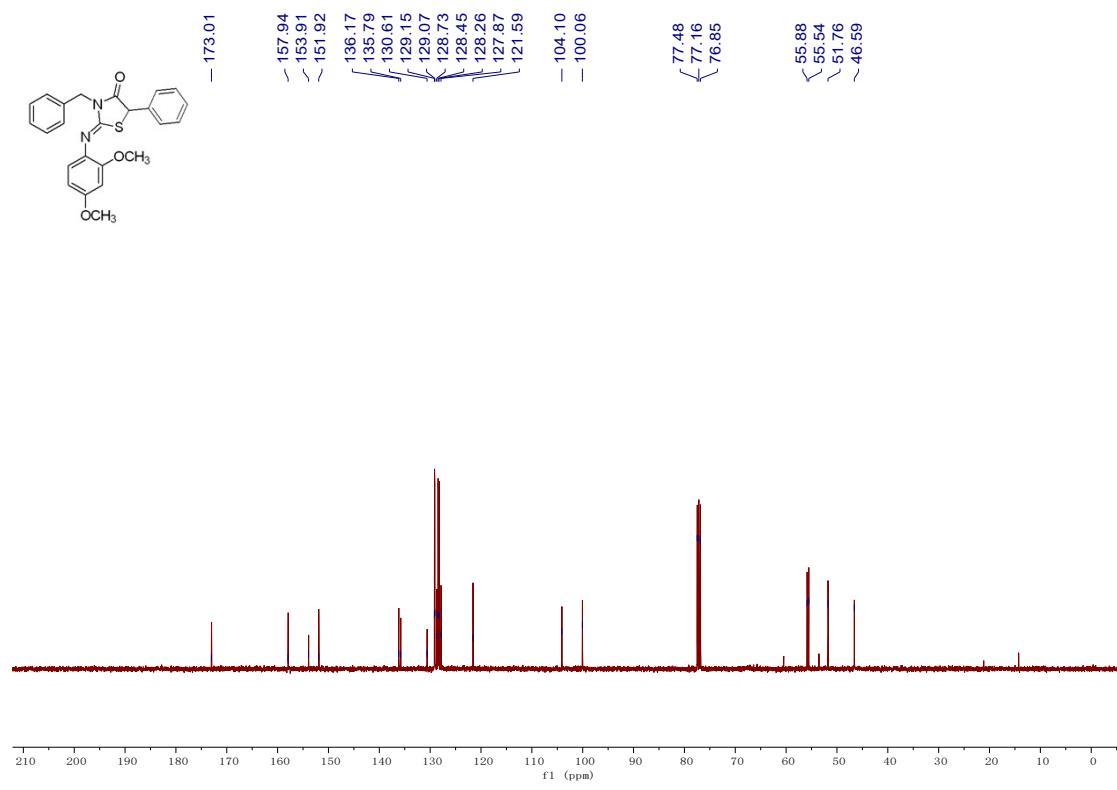
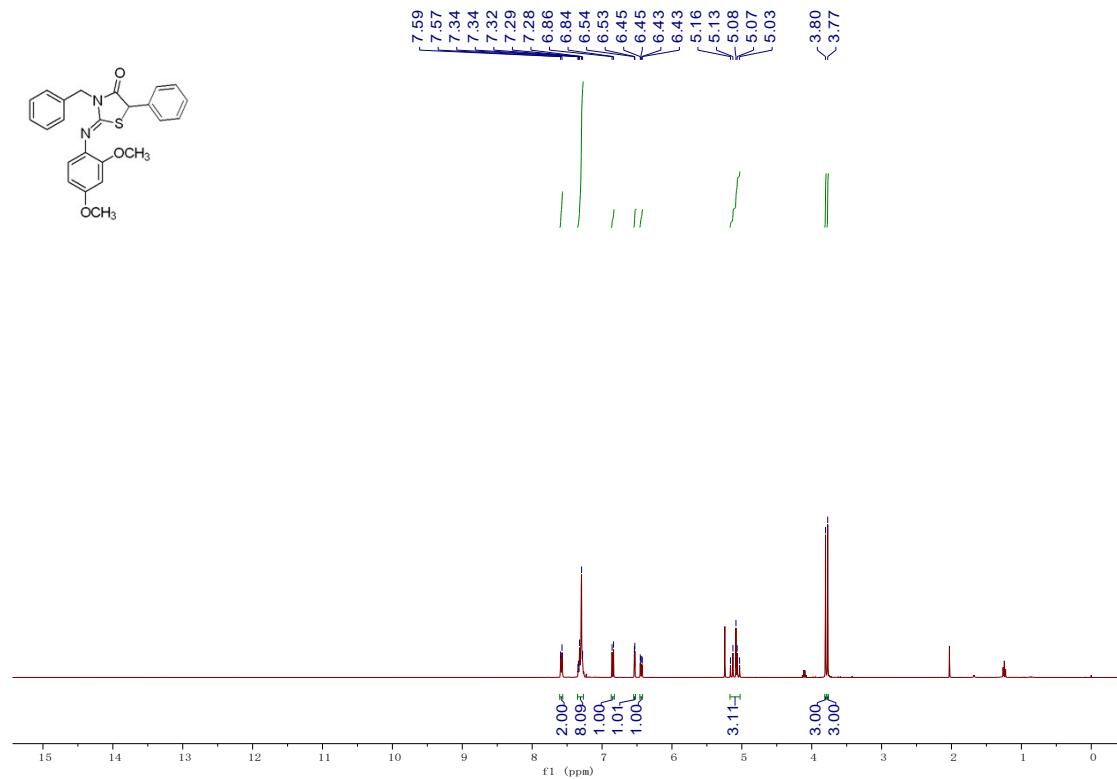


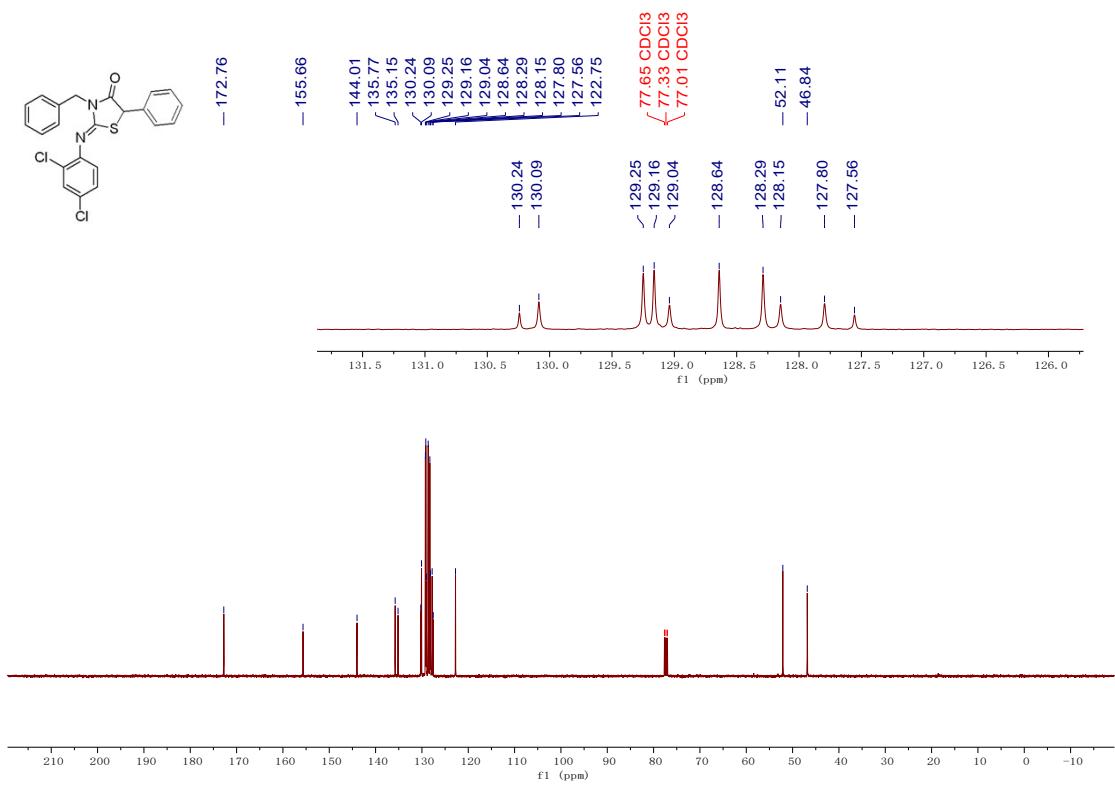
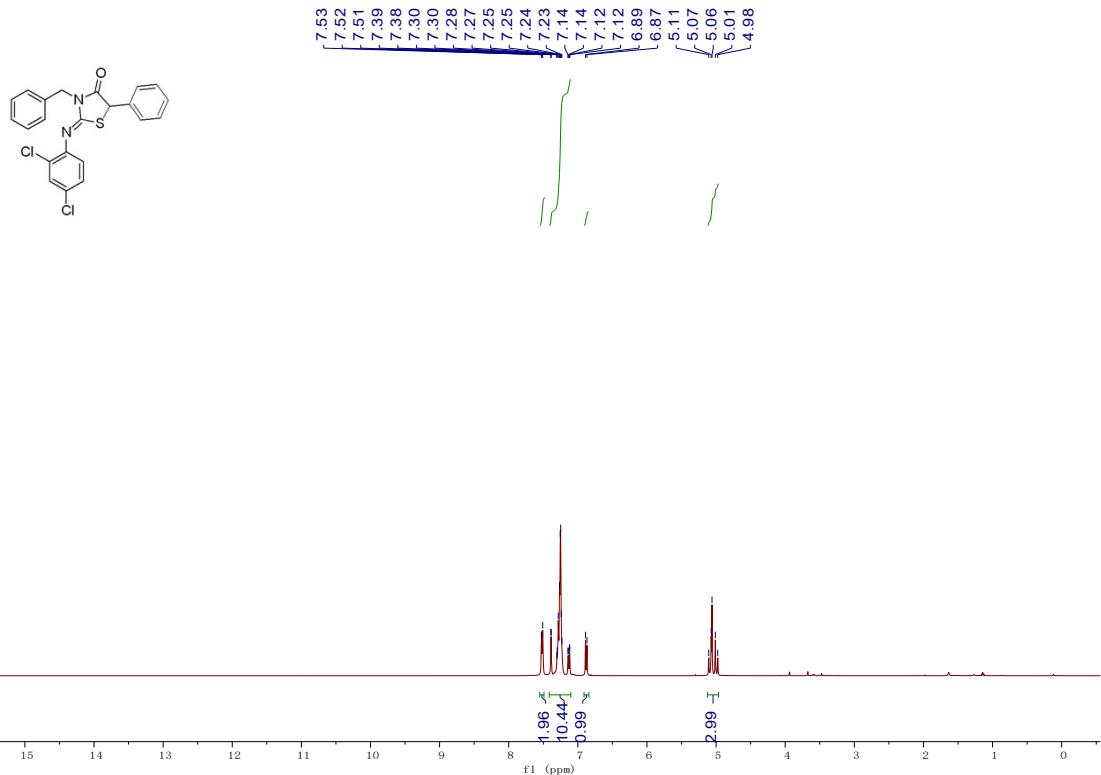
¹H NMR of 4m in CDCl₃ (400 MHz)

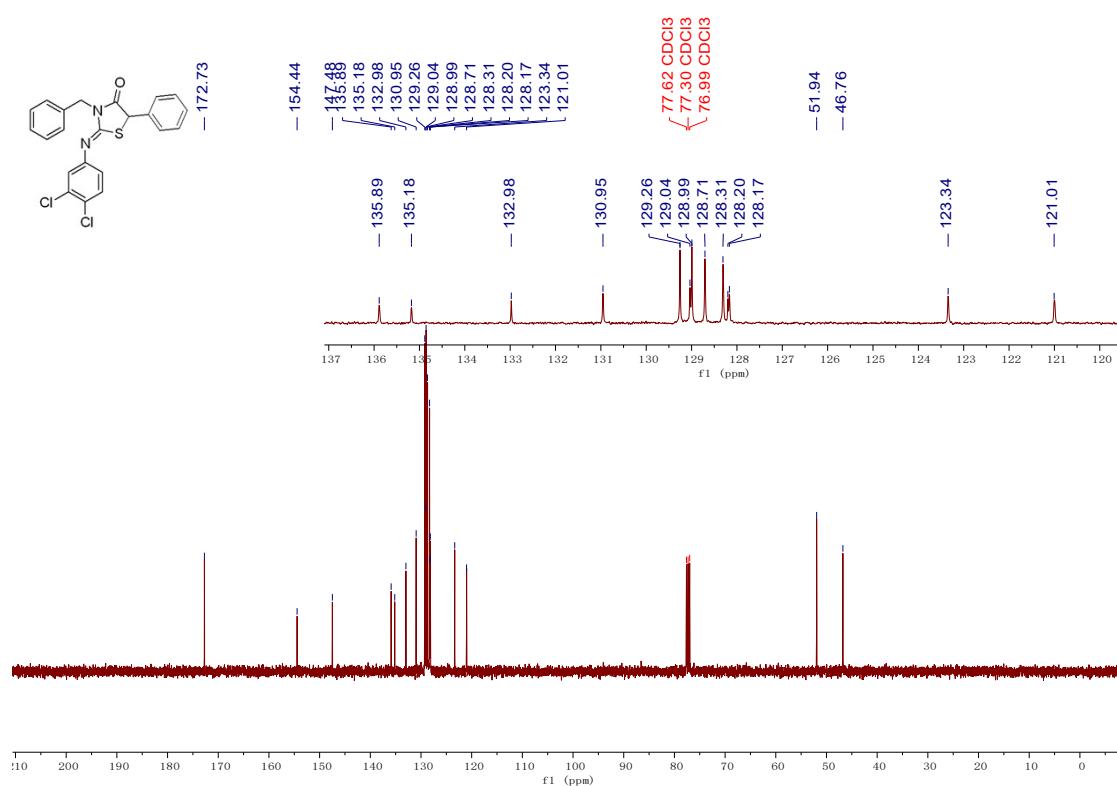
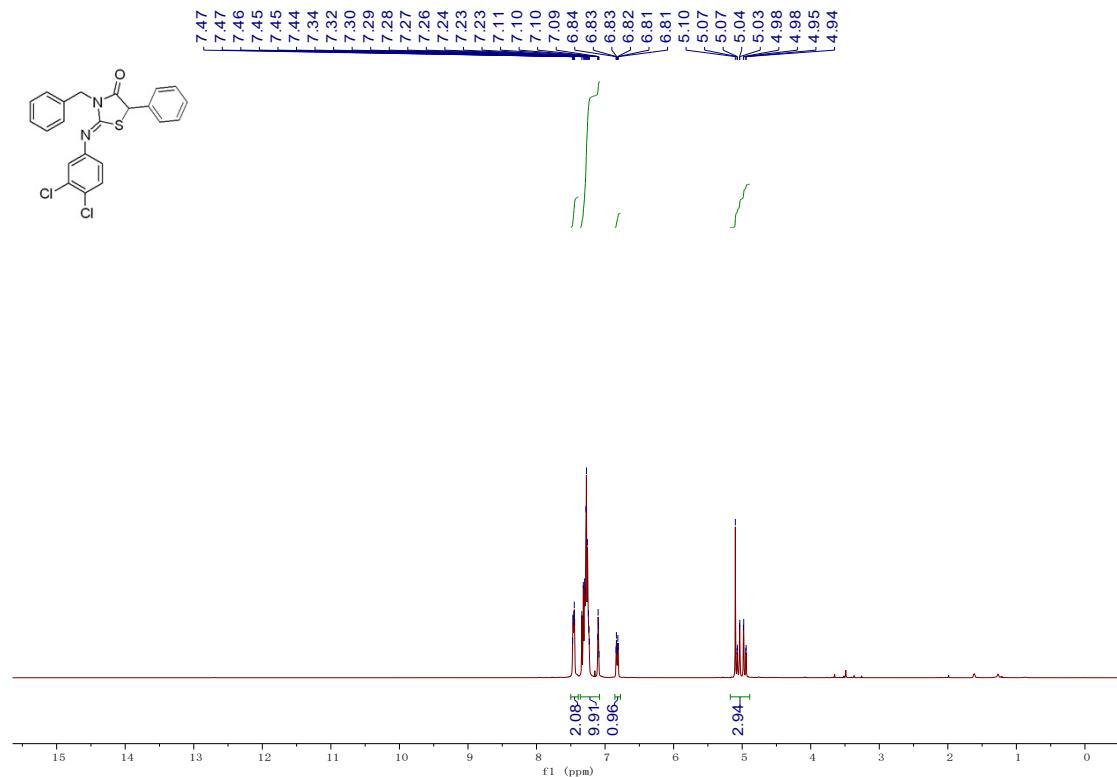


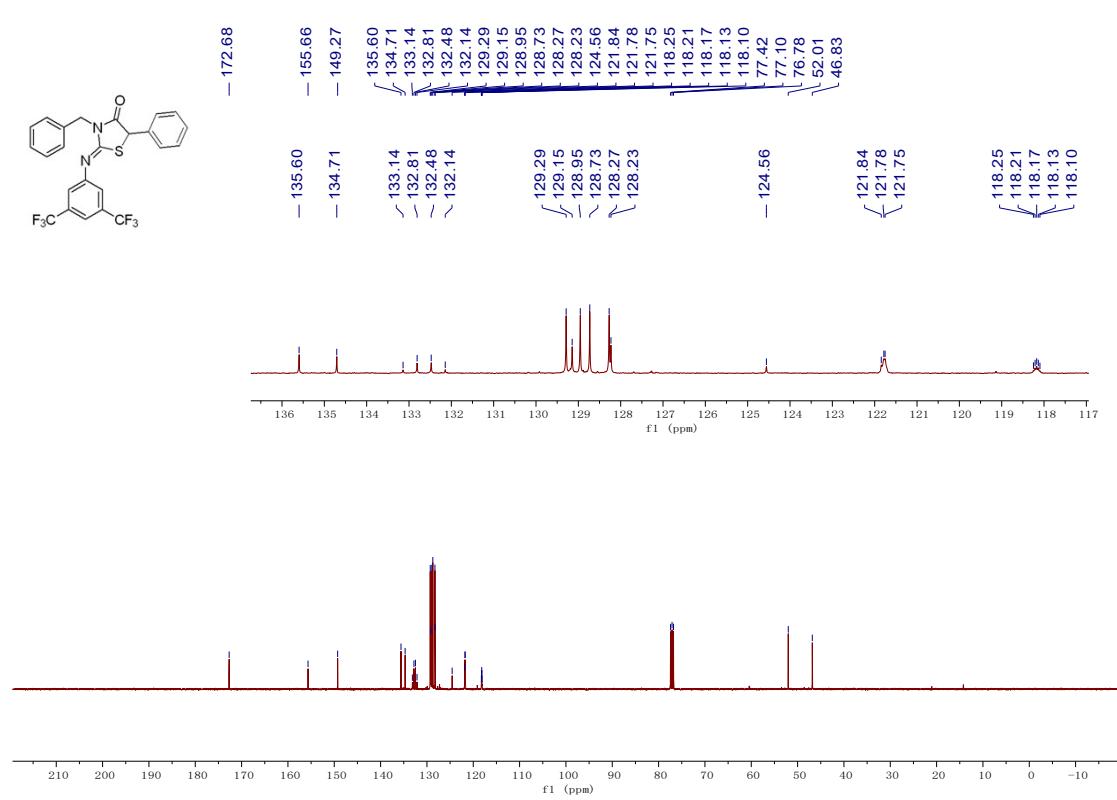
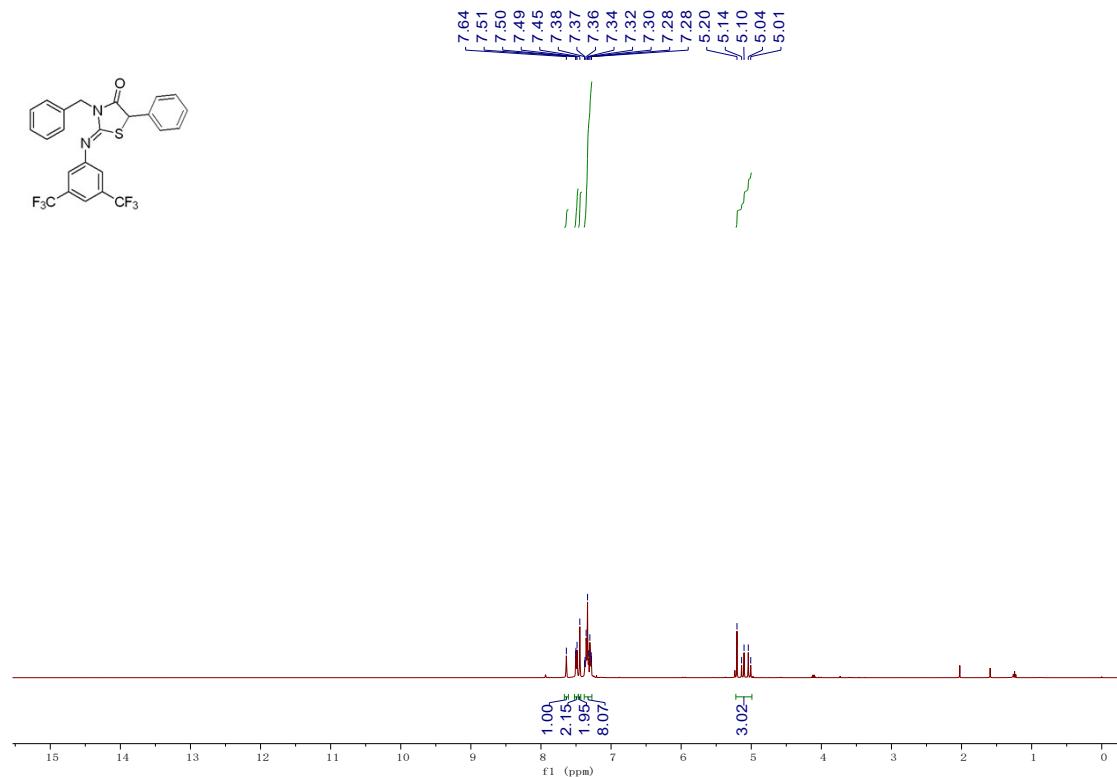
¹³C{¹H} NMR of 4m in CDCl₃ (100 MHz)

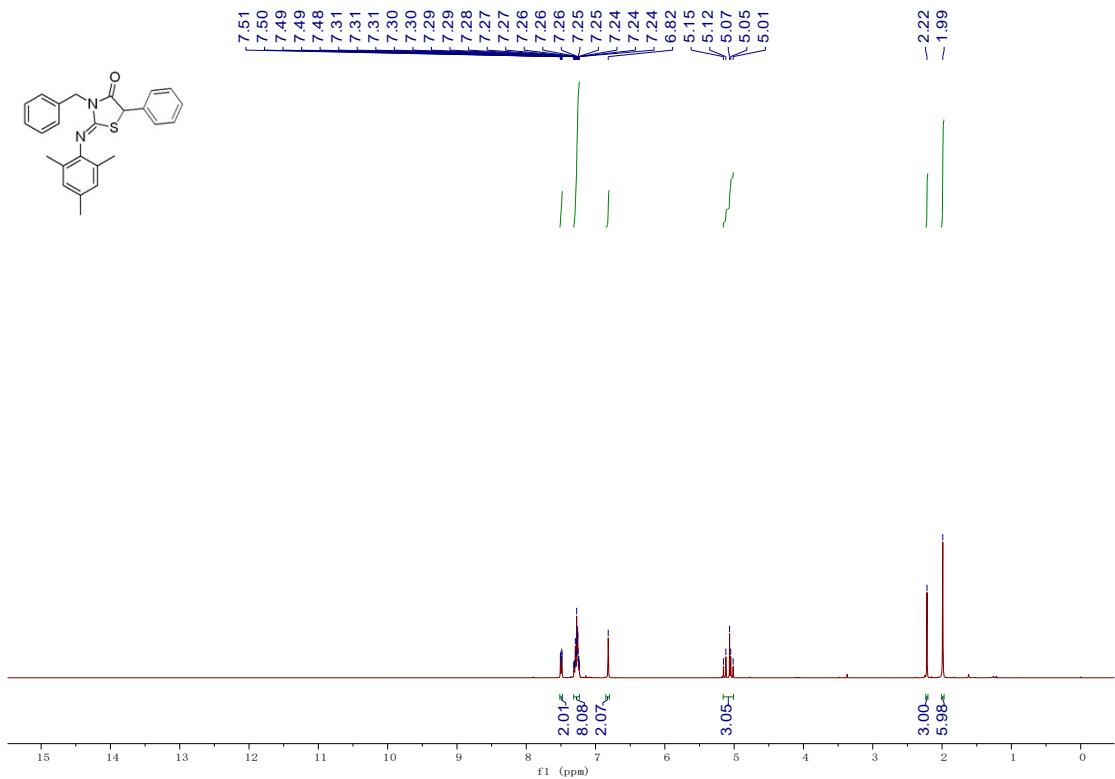




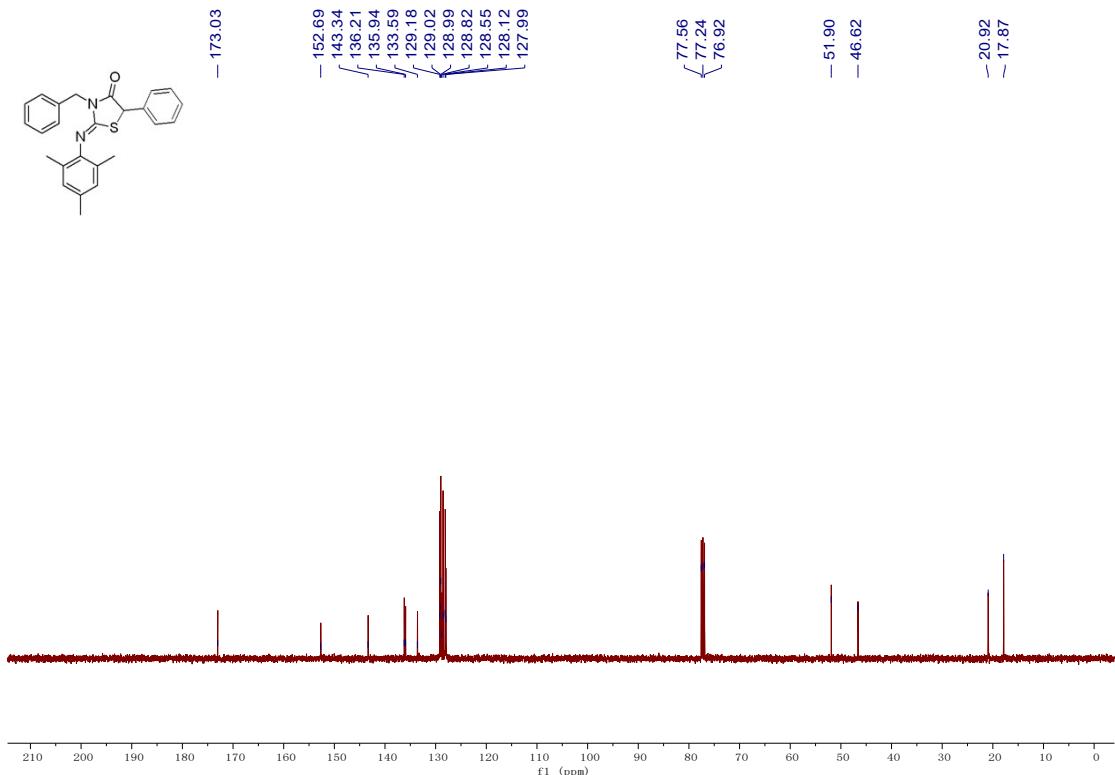




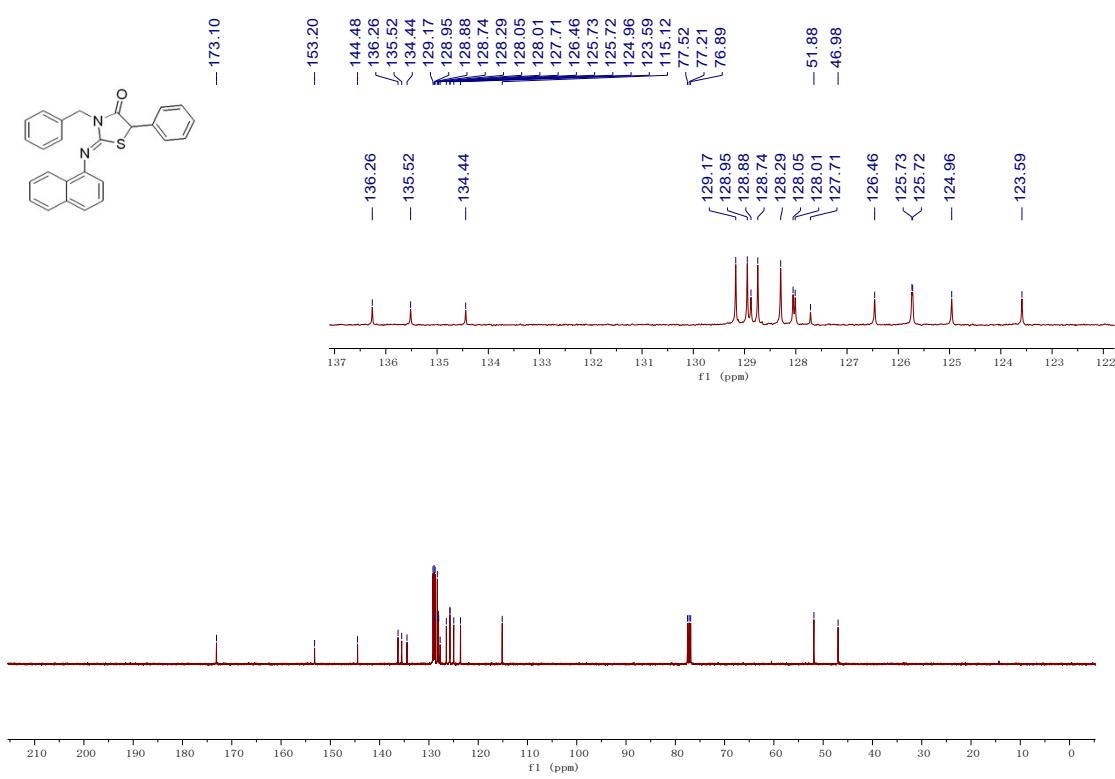
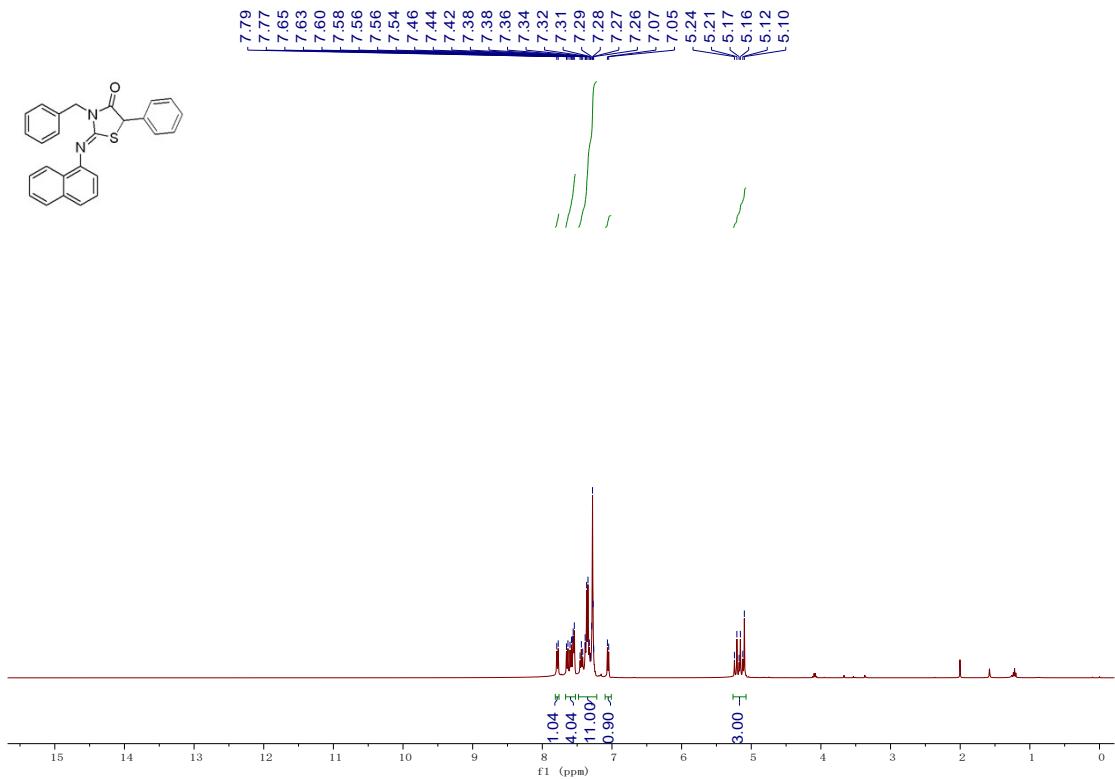


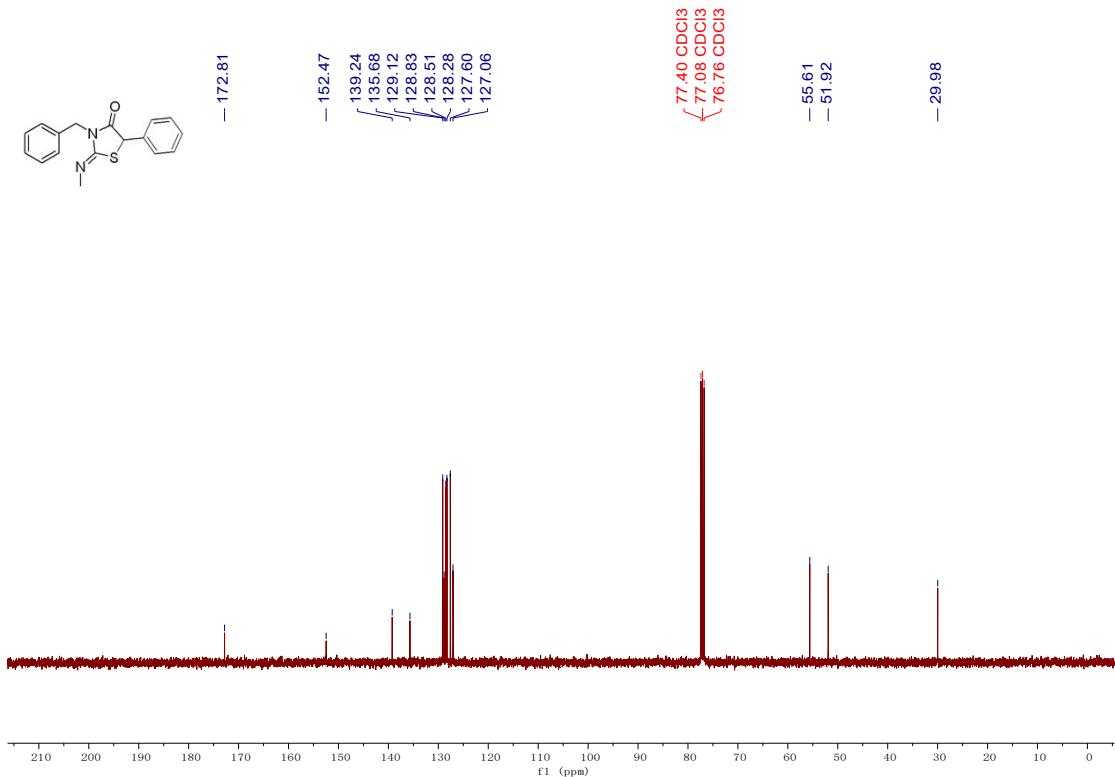
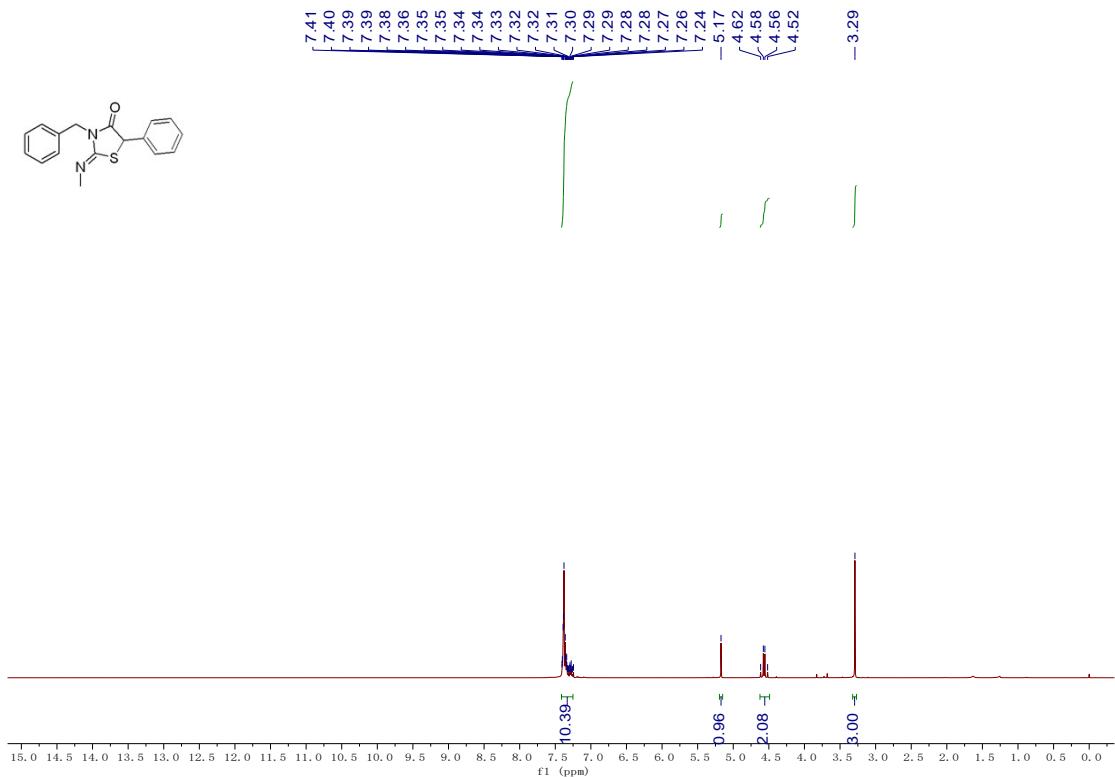


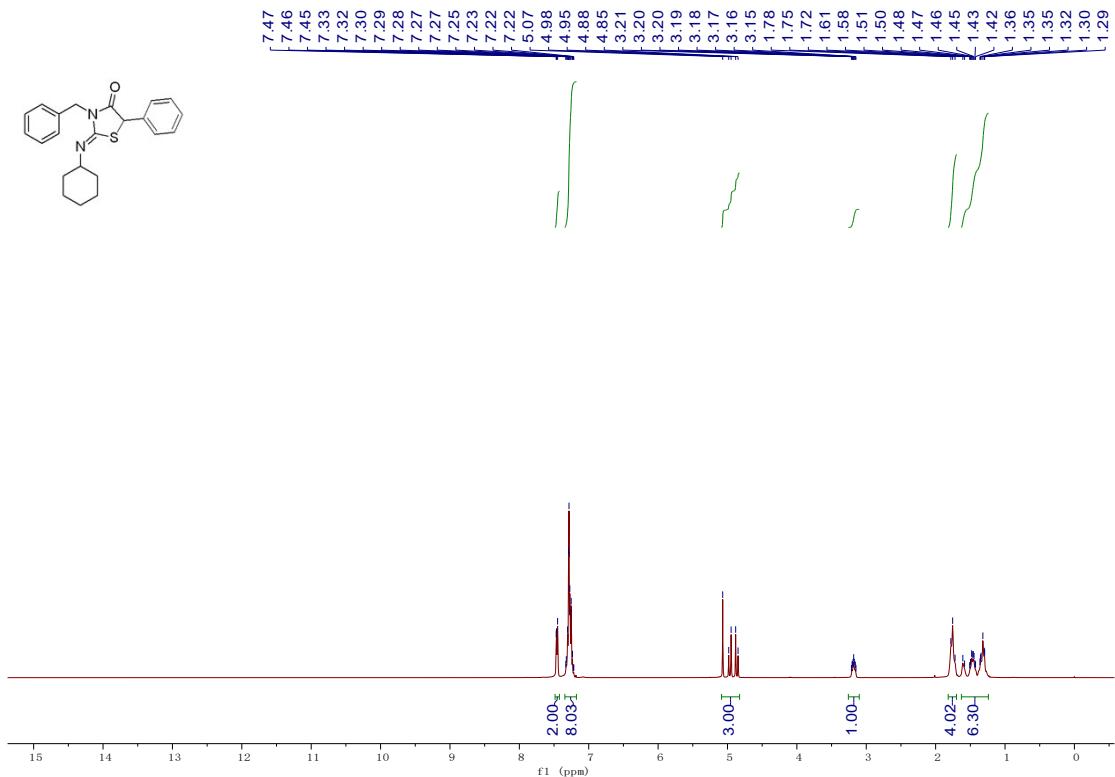
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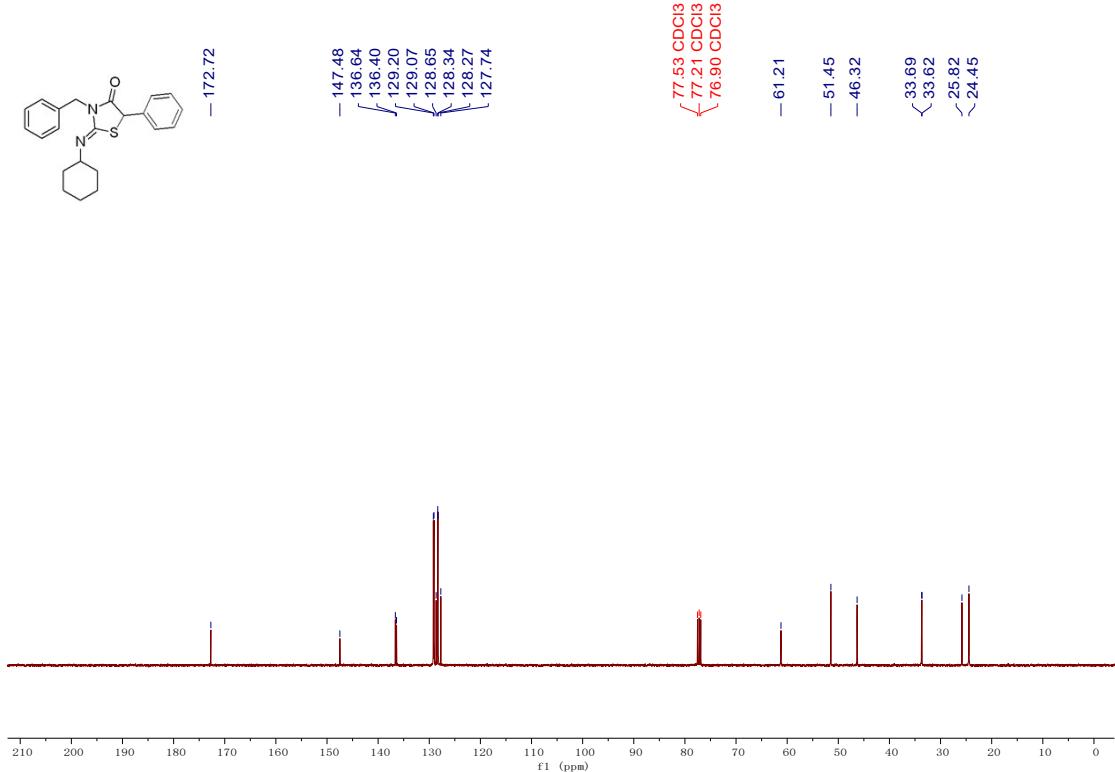
¹³C{¹H} NMR of 4s in CDCl₃ (100 MHz)



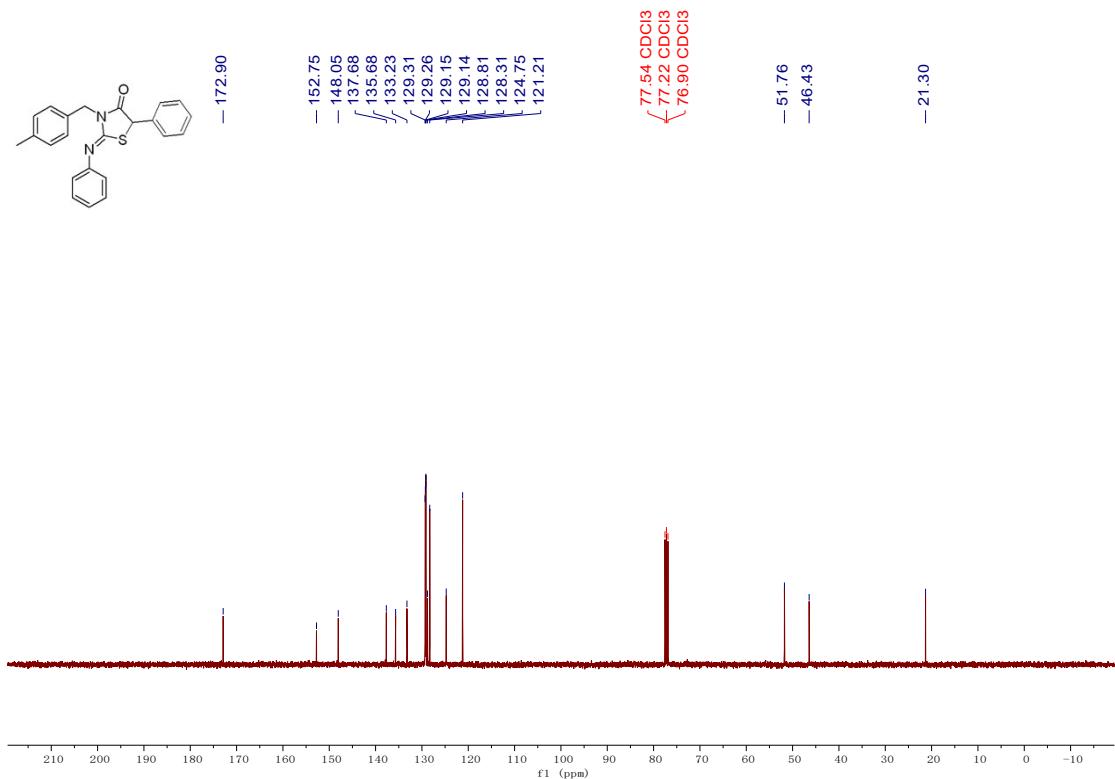
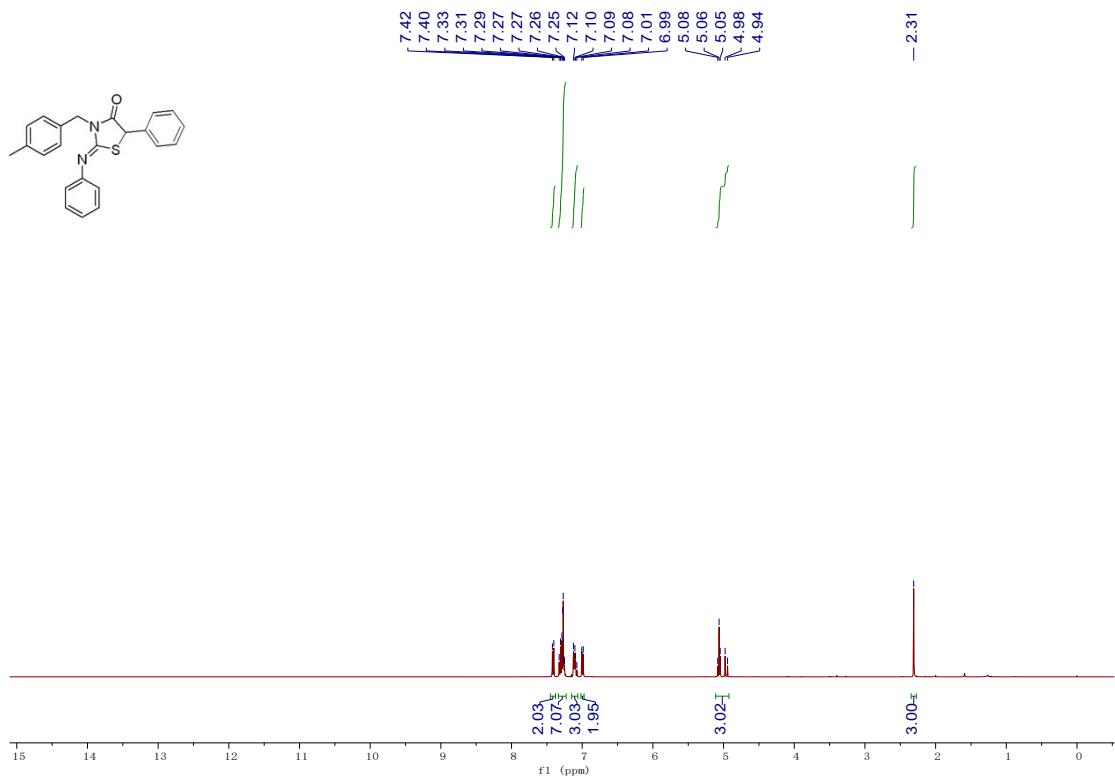


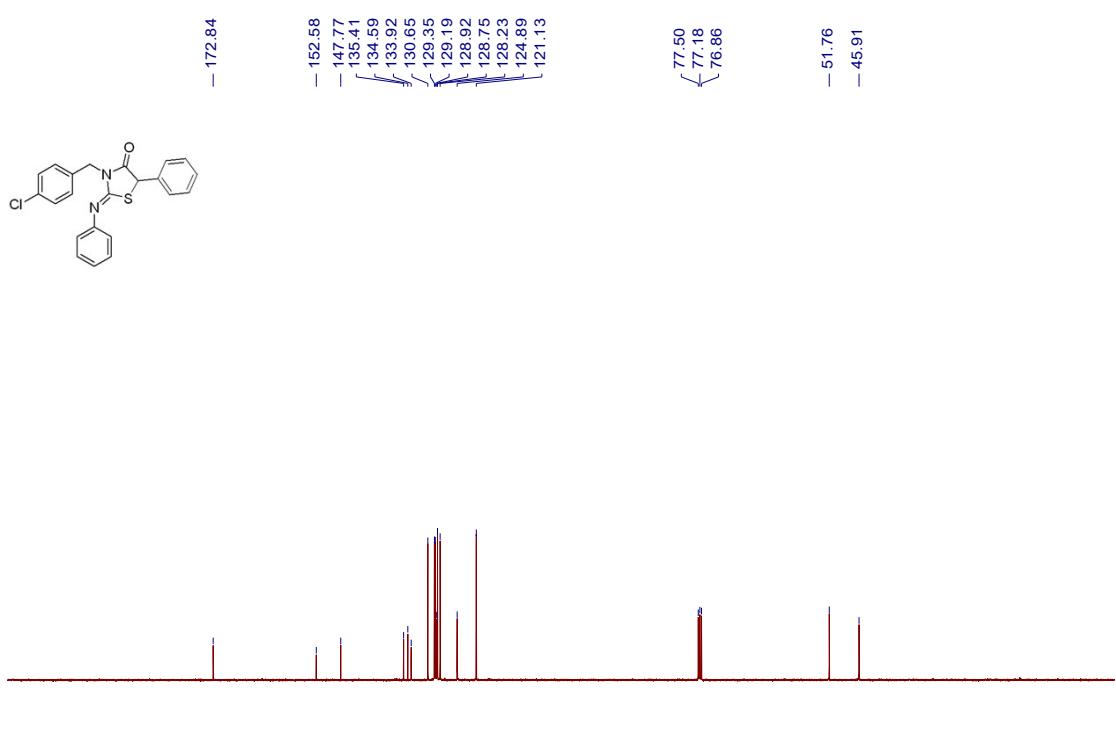
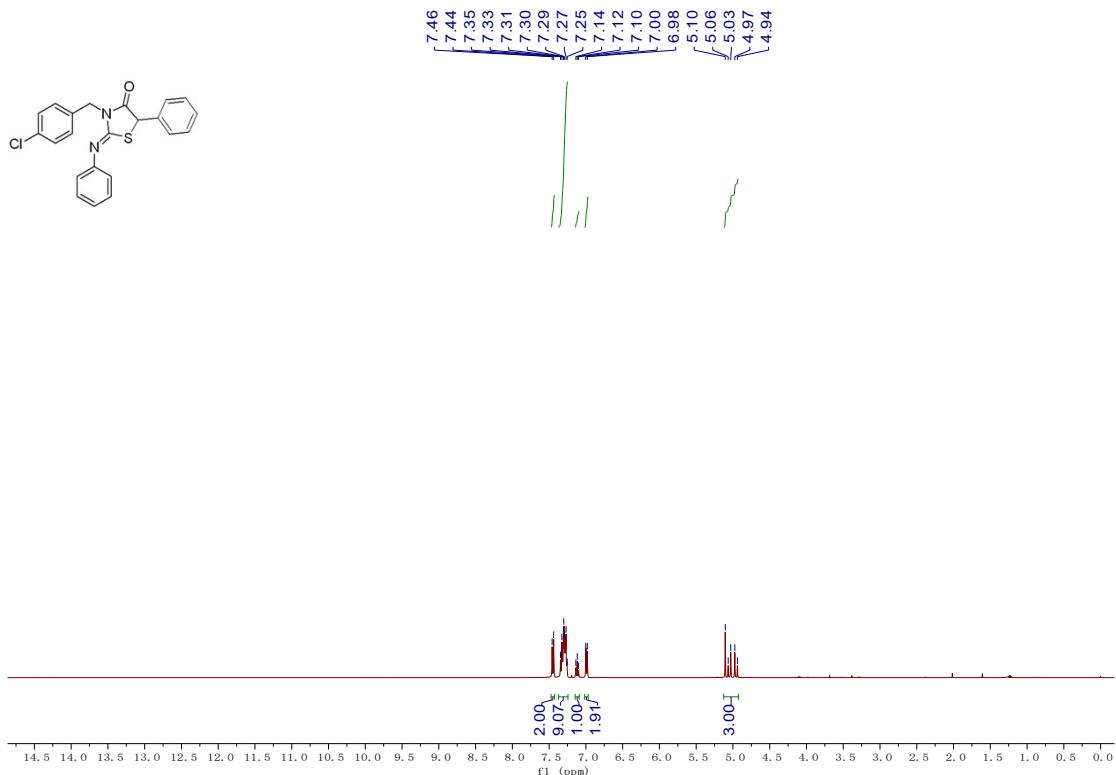


¹H NMR of 4v in CDCl₃ (400 MHz)

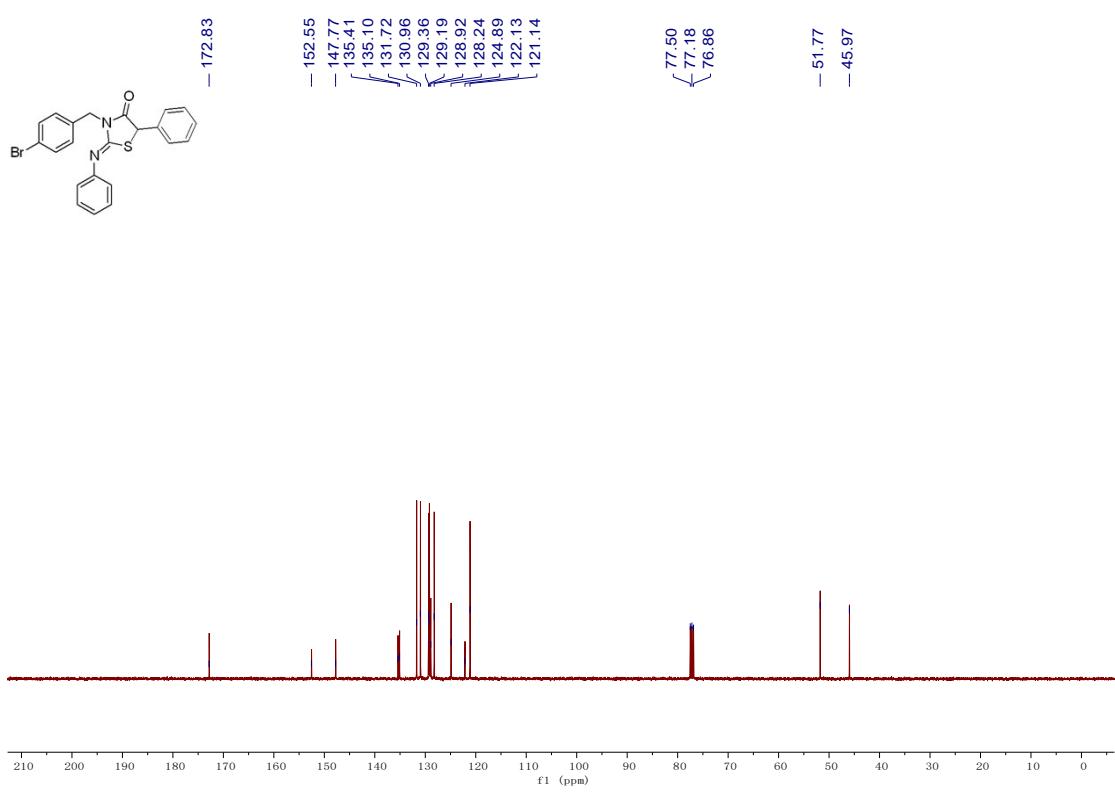
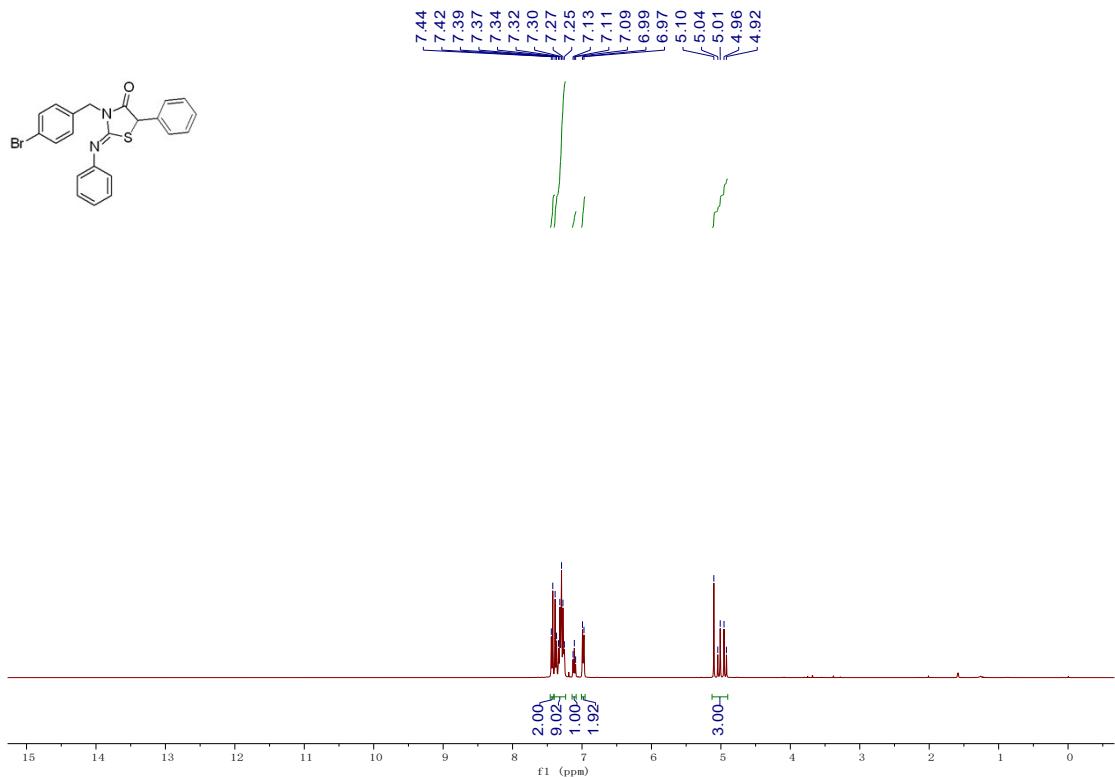


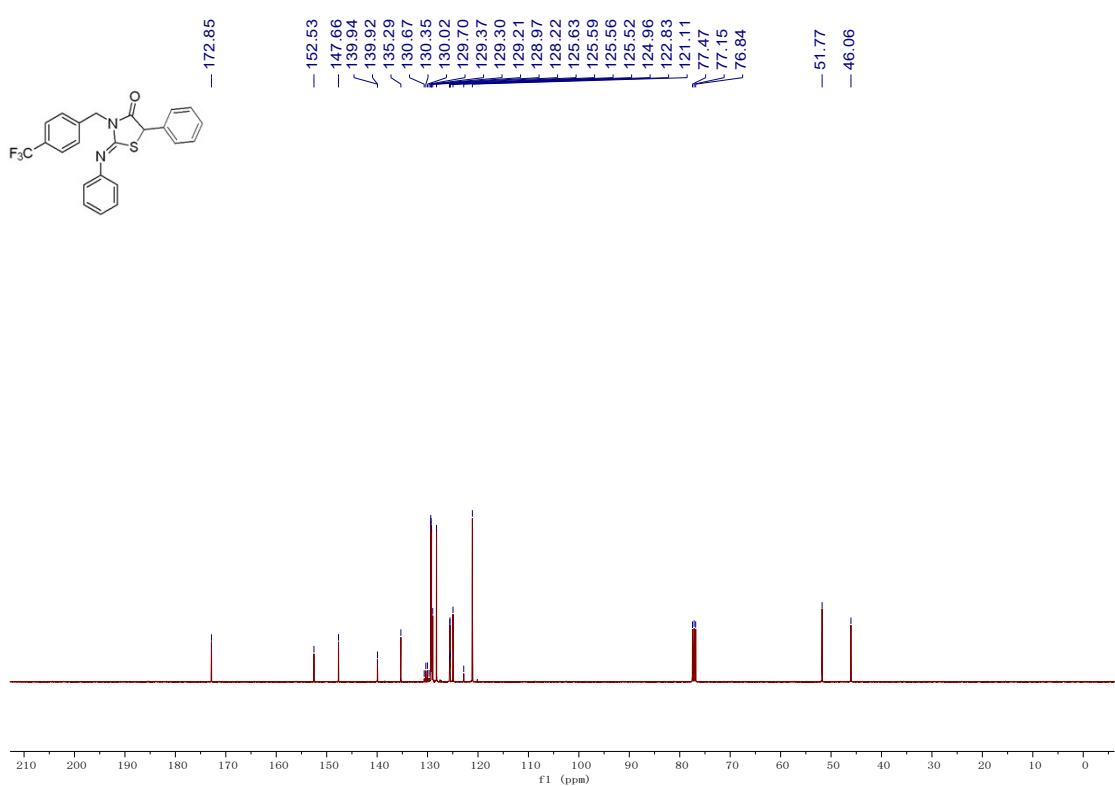
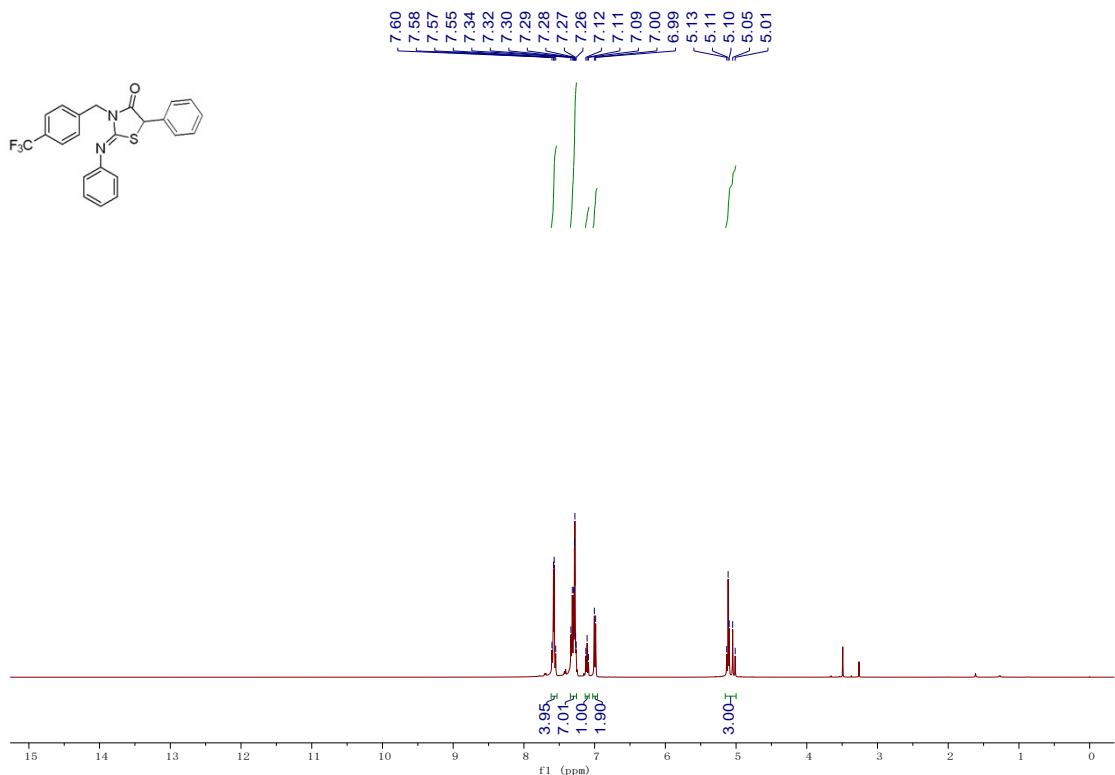
¹³C{¹H} NMR of 4v in CDCl₃ (100 MHz)

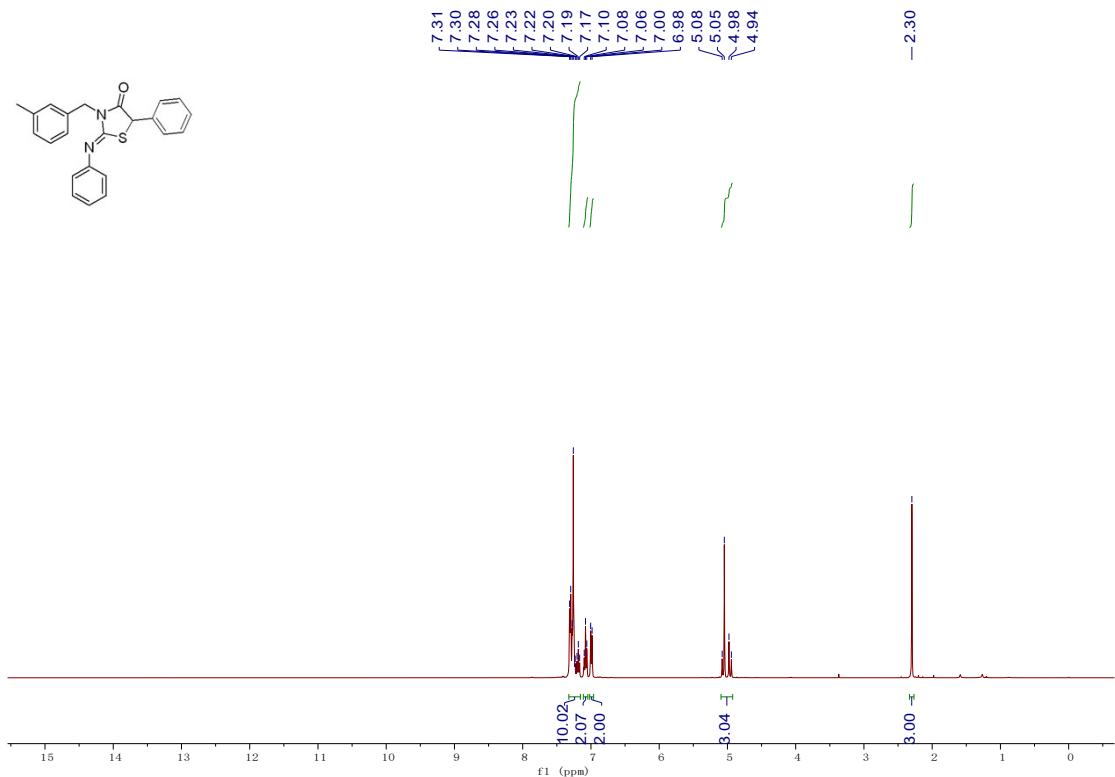




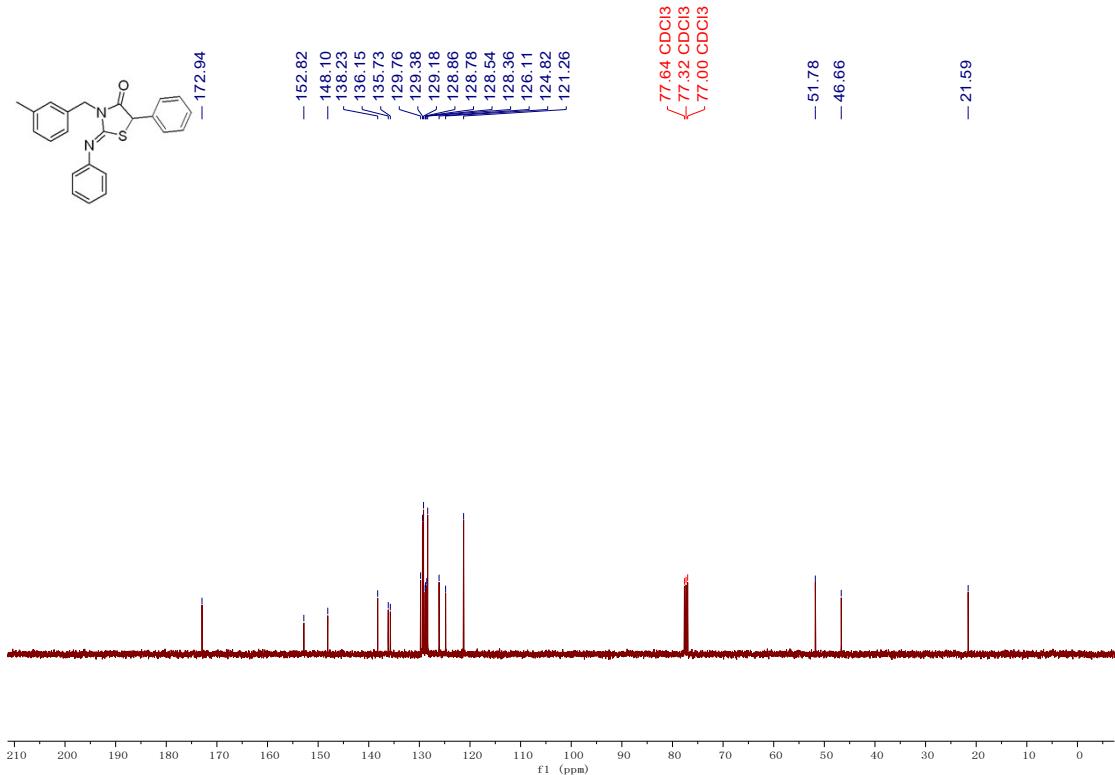
¹³C{¹H} NMR of 5b in CDCl₃ (100 MHz)



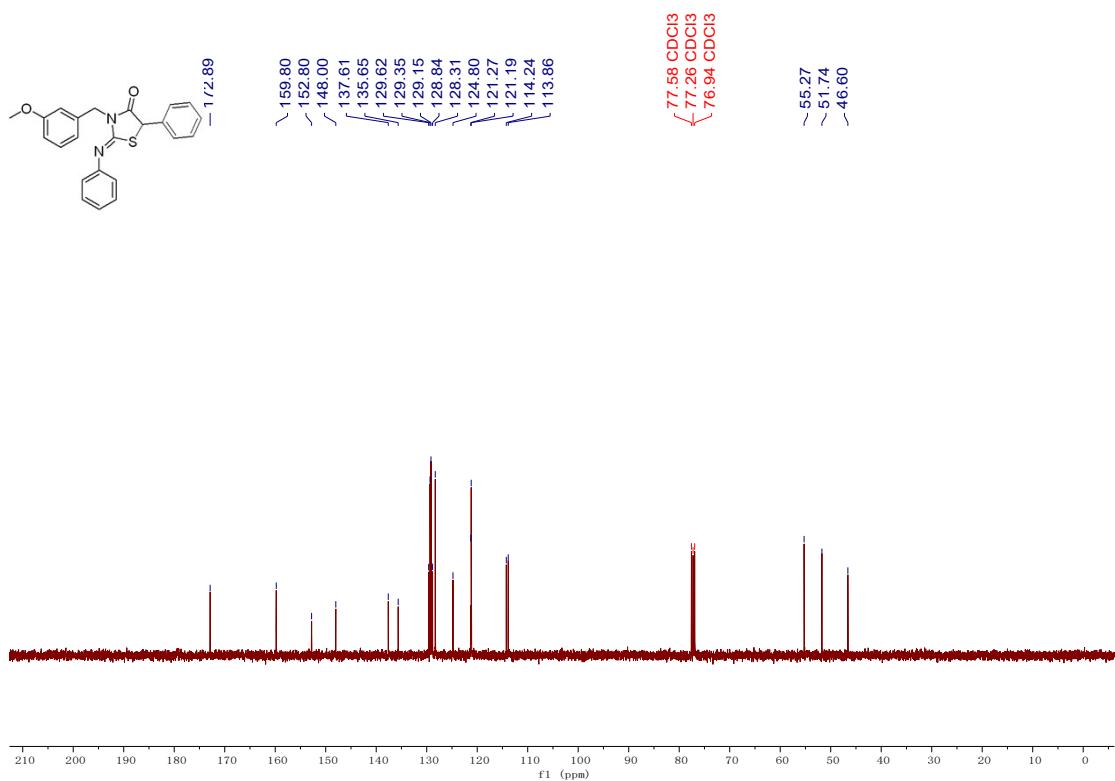
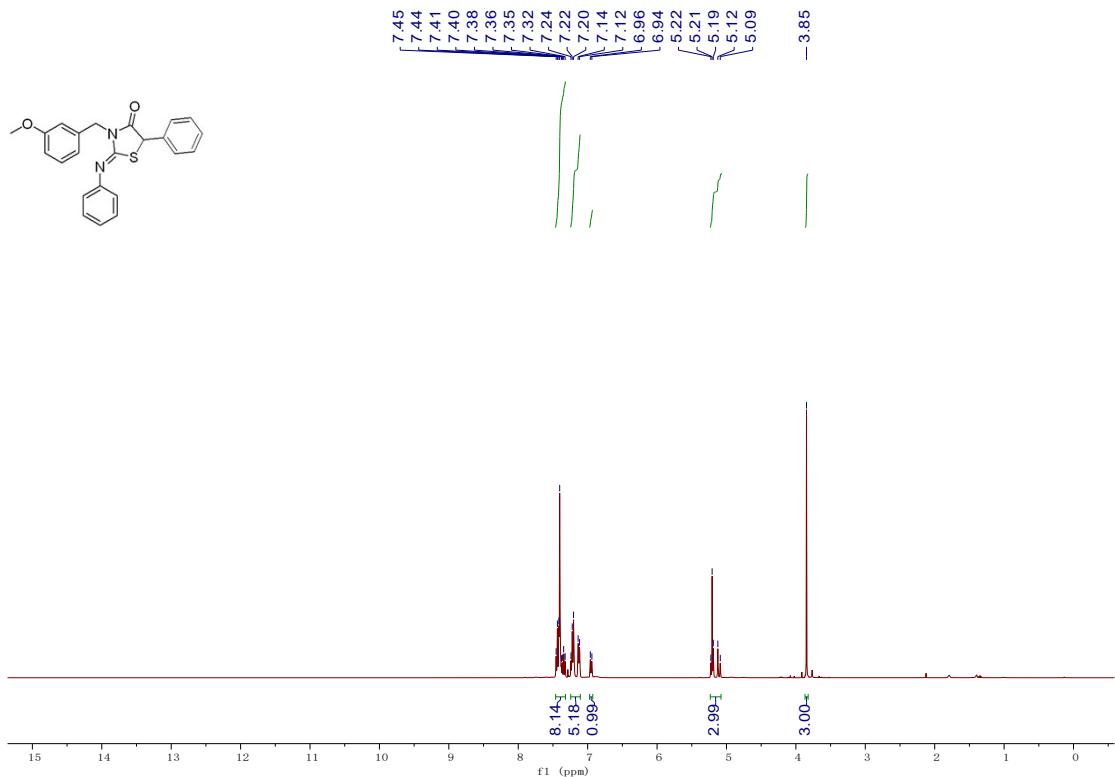


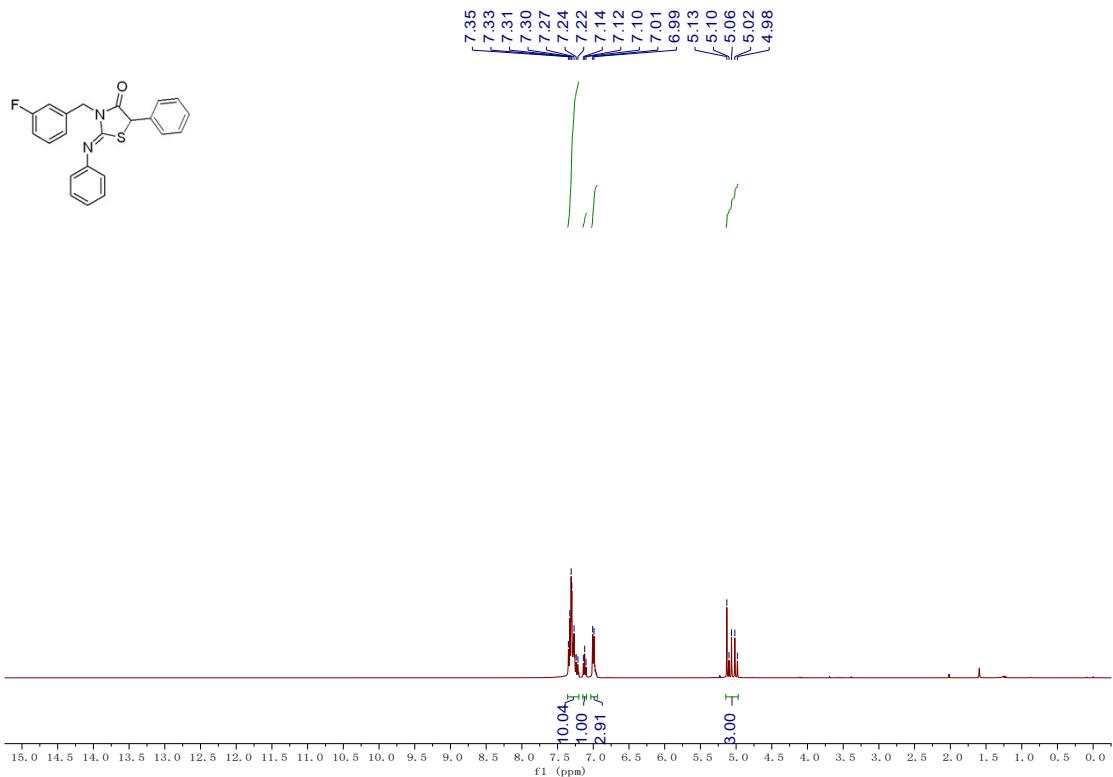


^1H NMR of 5e in CDCl_3 (400 MHz)

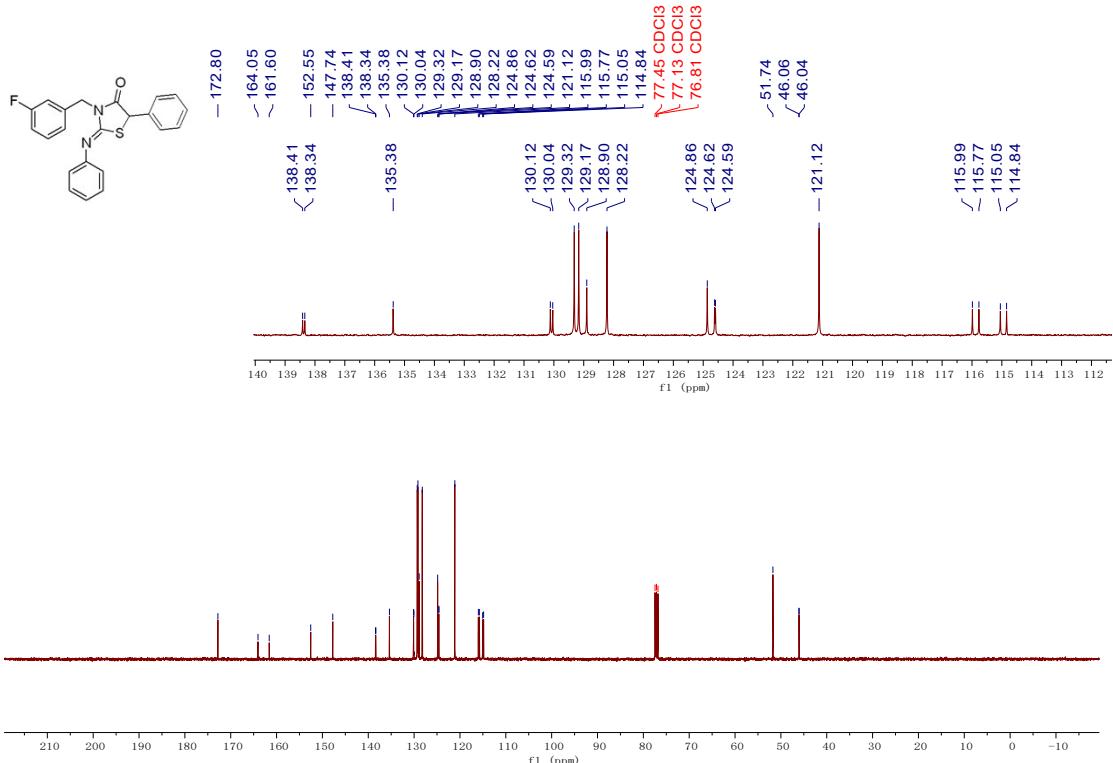


$^{13}\text{C}\{^1\text{H}\}$ NMR of 5e in CDCl_3 (100 MHz)

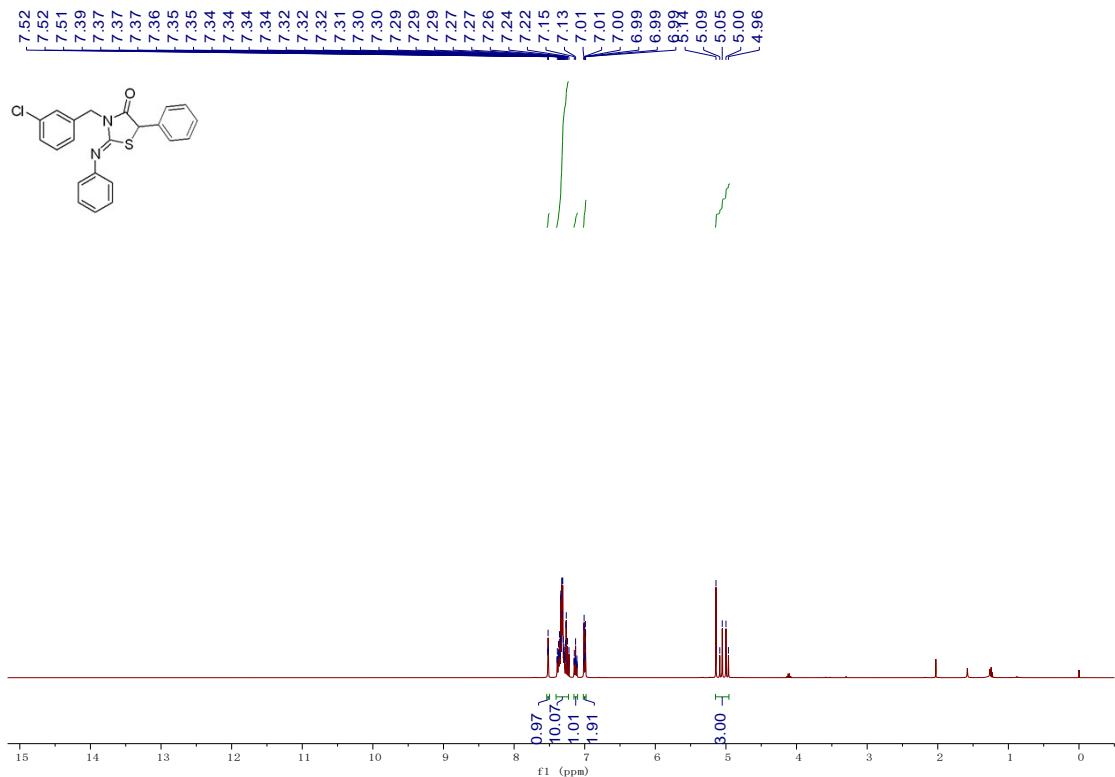




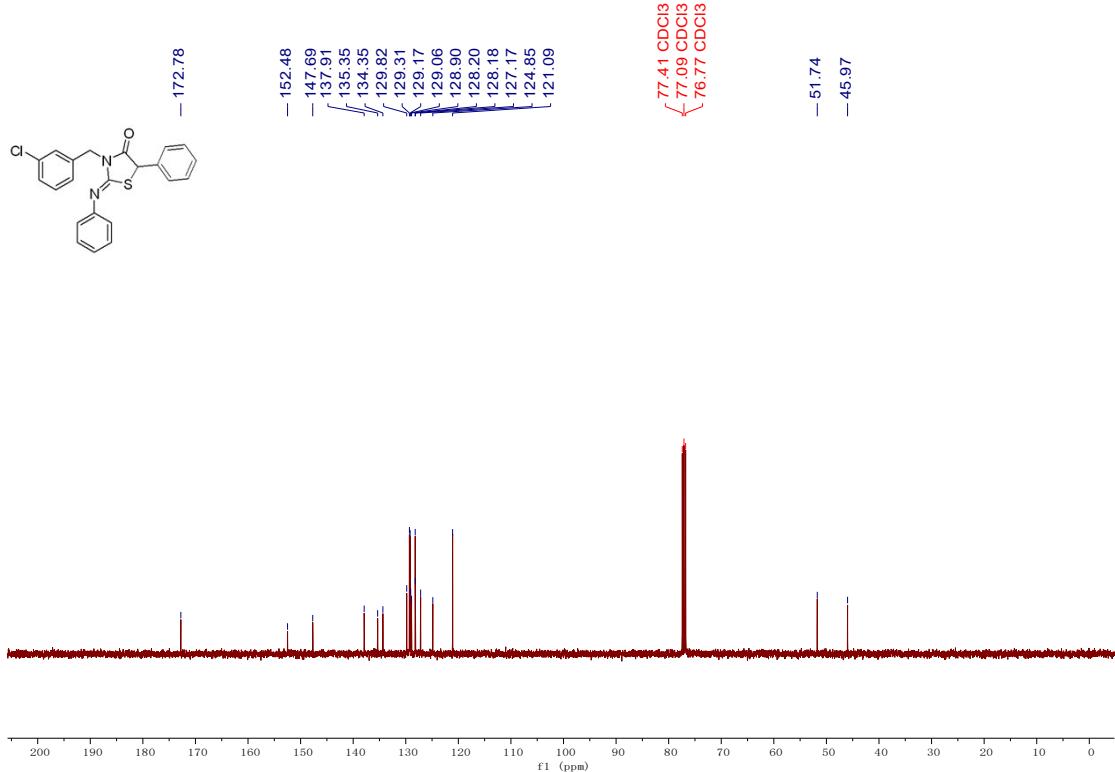
¹H NMR of 5g in CDCl₃ (400 MHz)



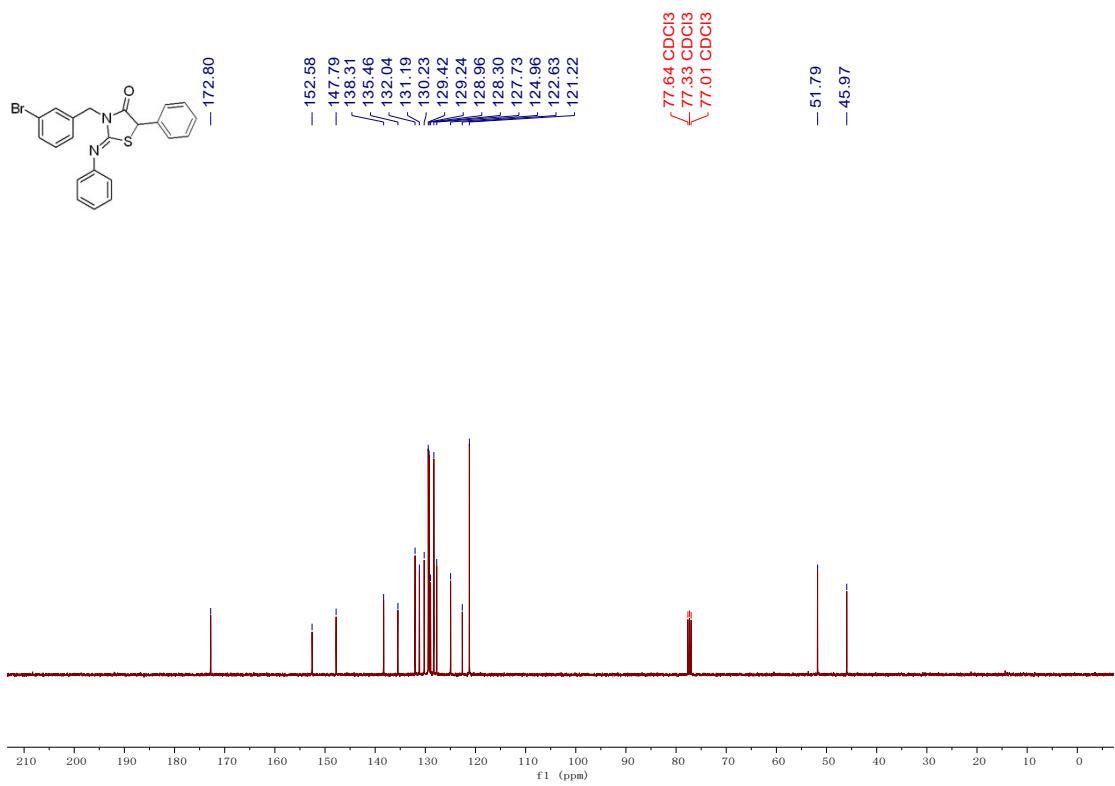
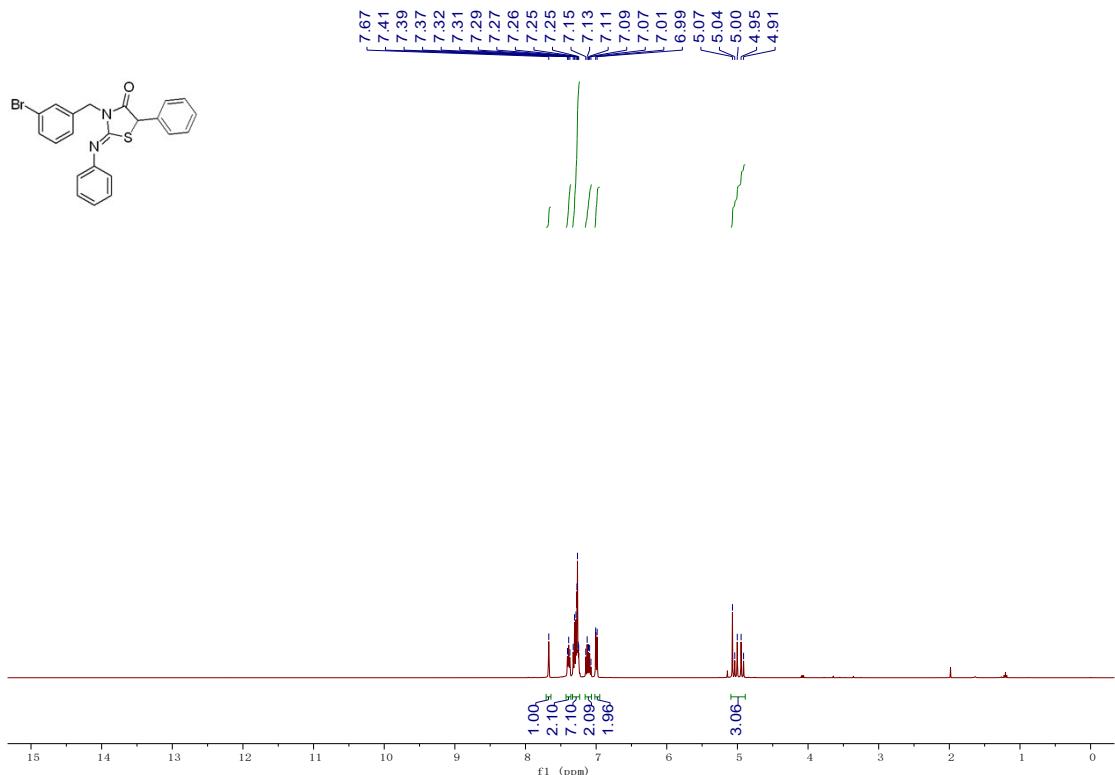
¹³C{¹H} NMR of 5g in CDCl₃ (100 MHz)

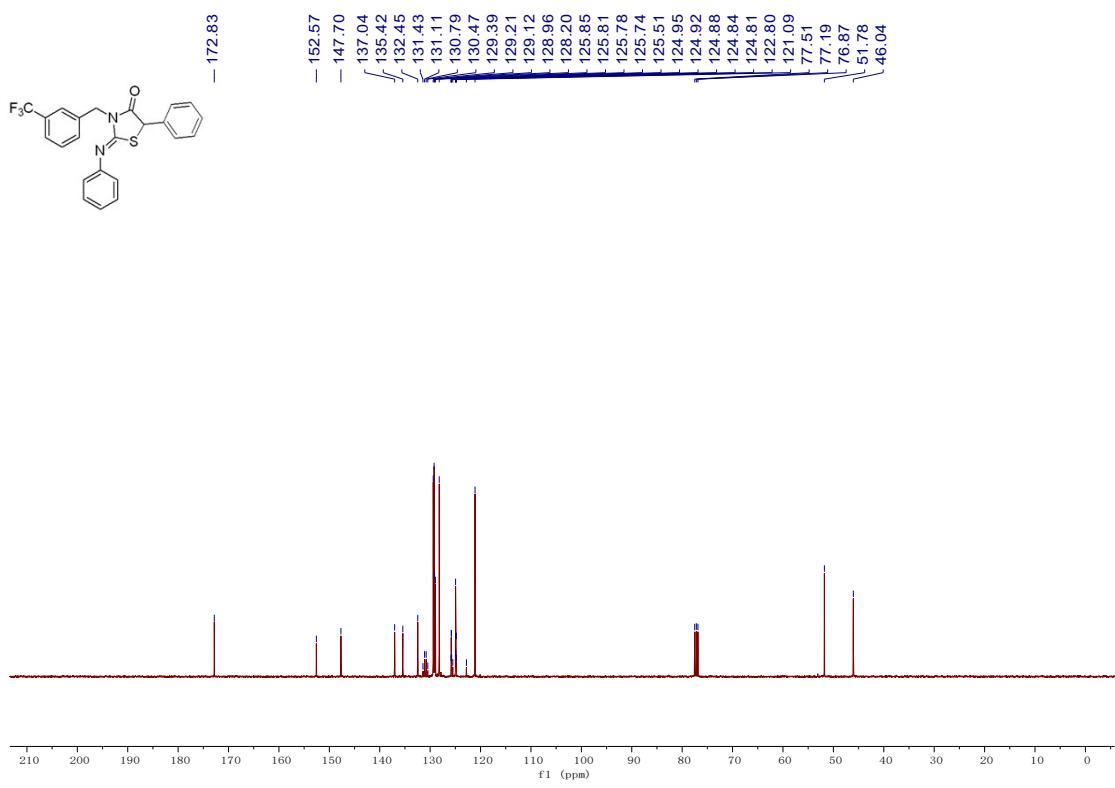
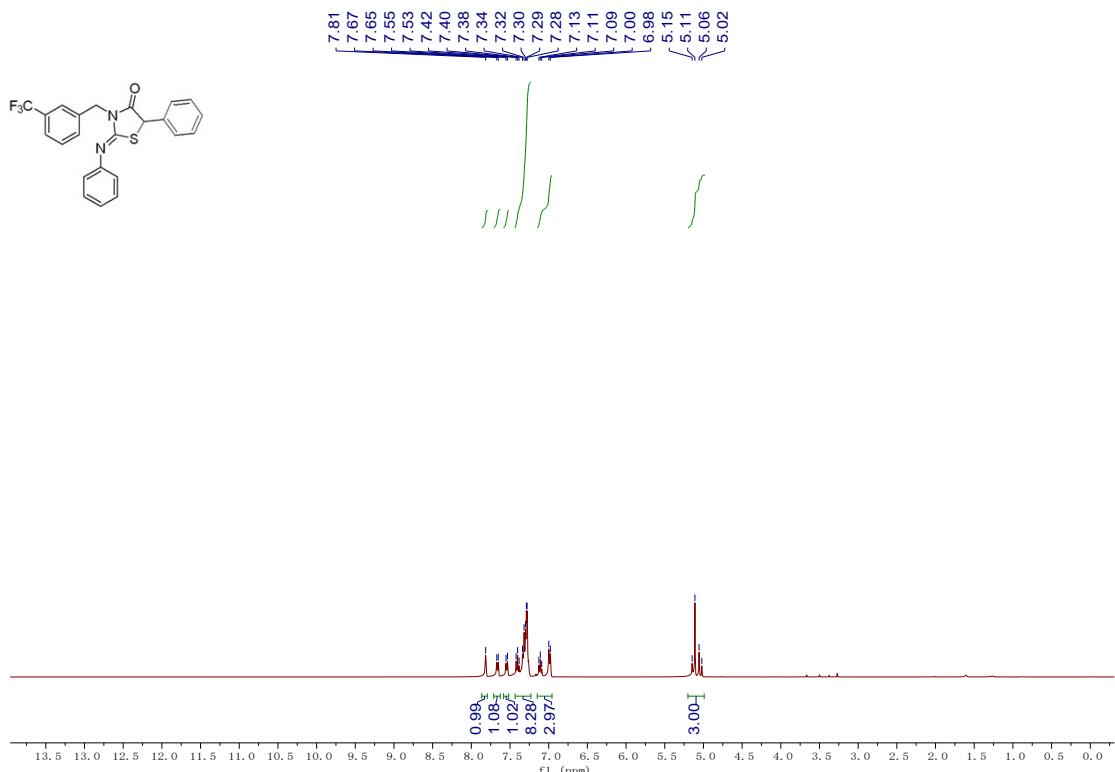


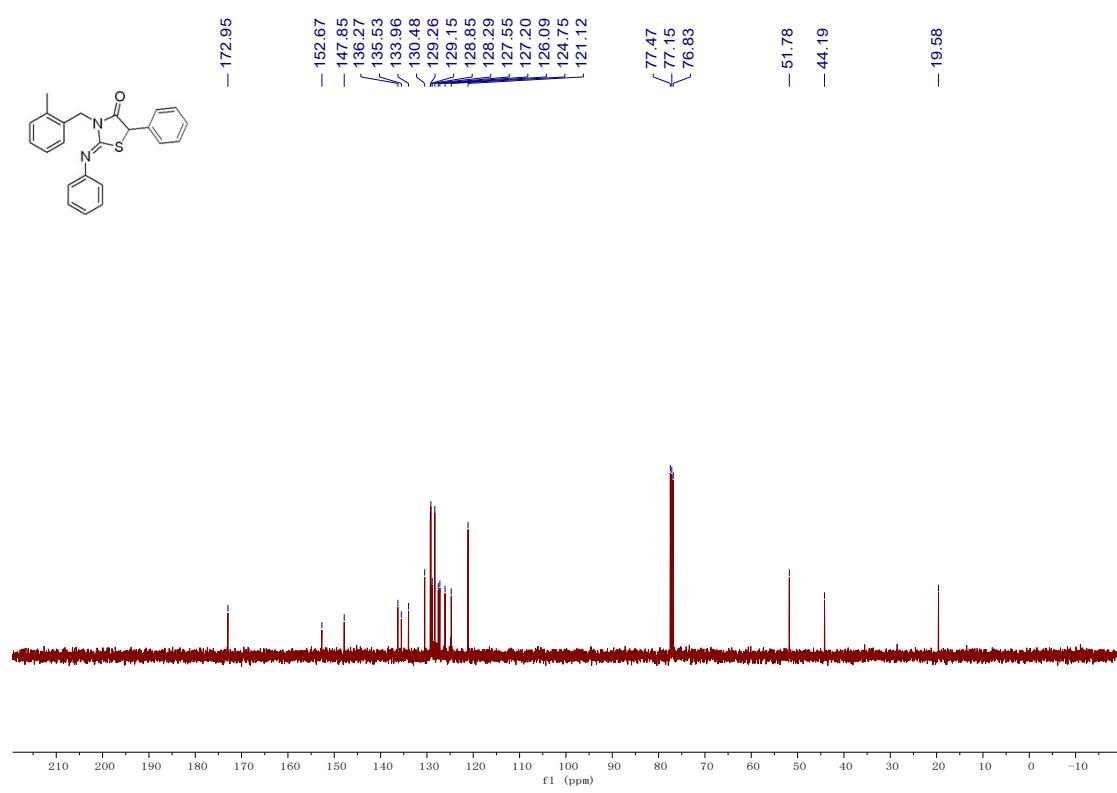
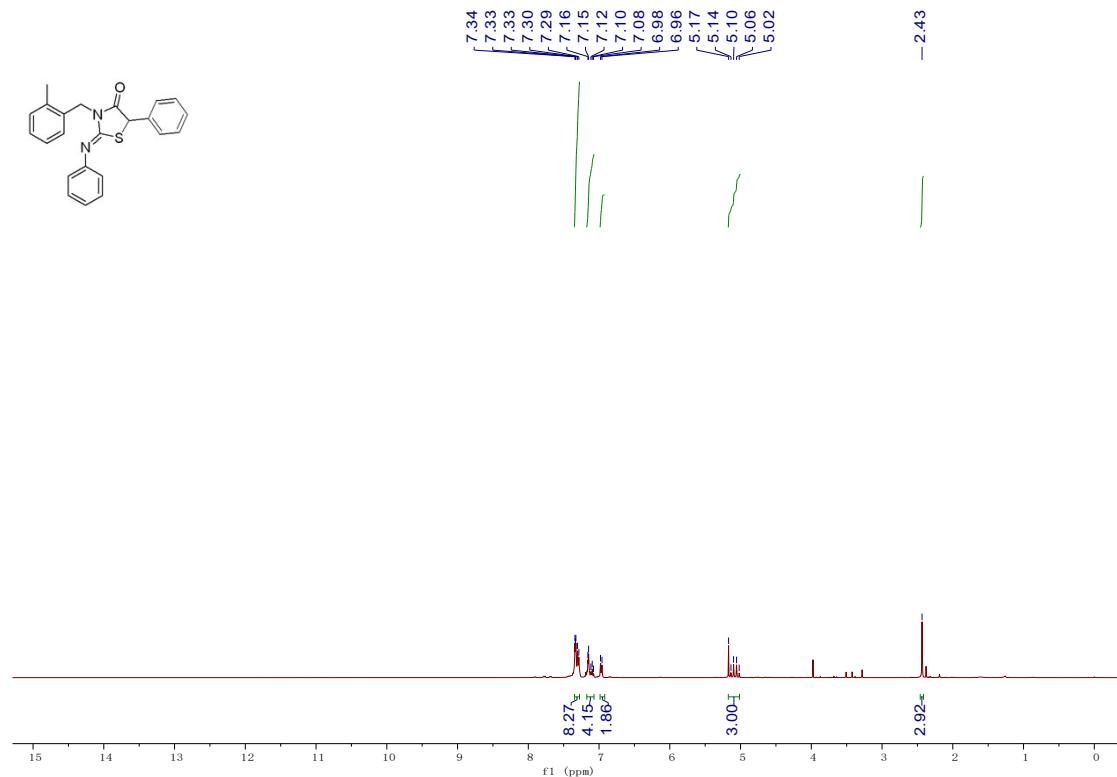
¹H NMR of 5h in CDCl₃ (400 MHz)

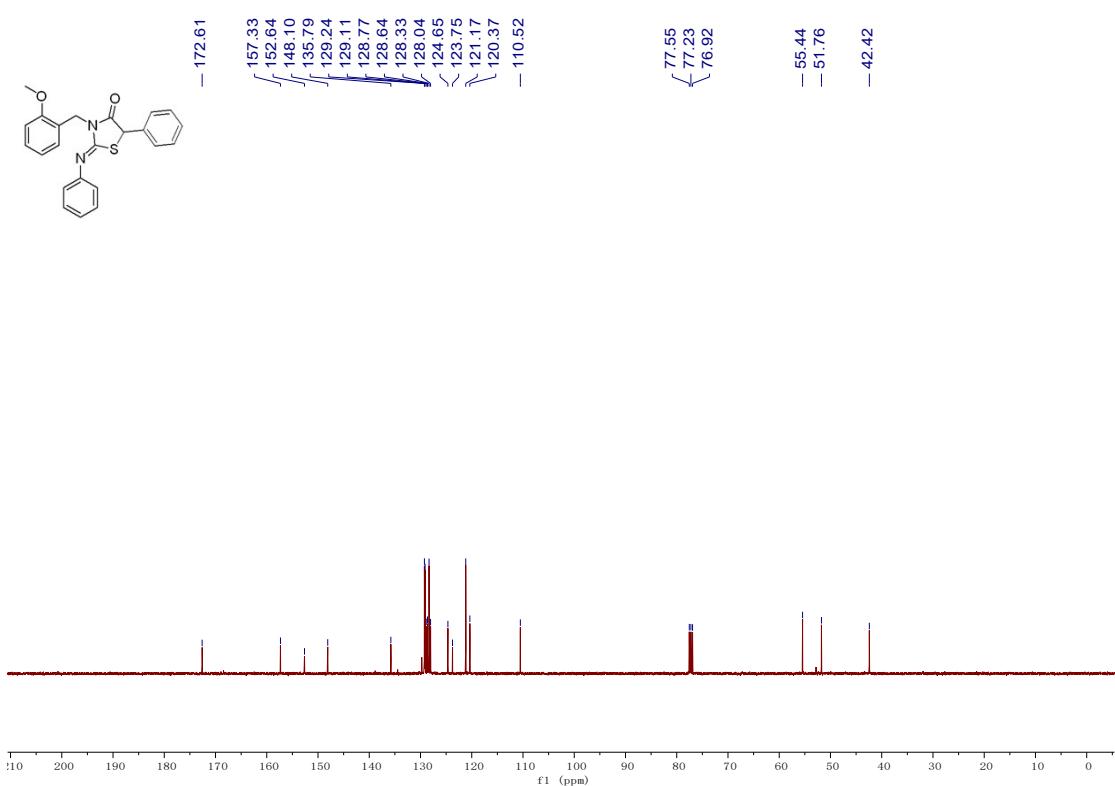
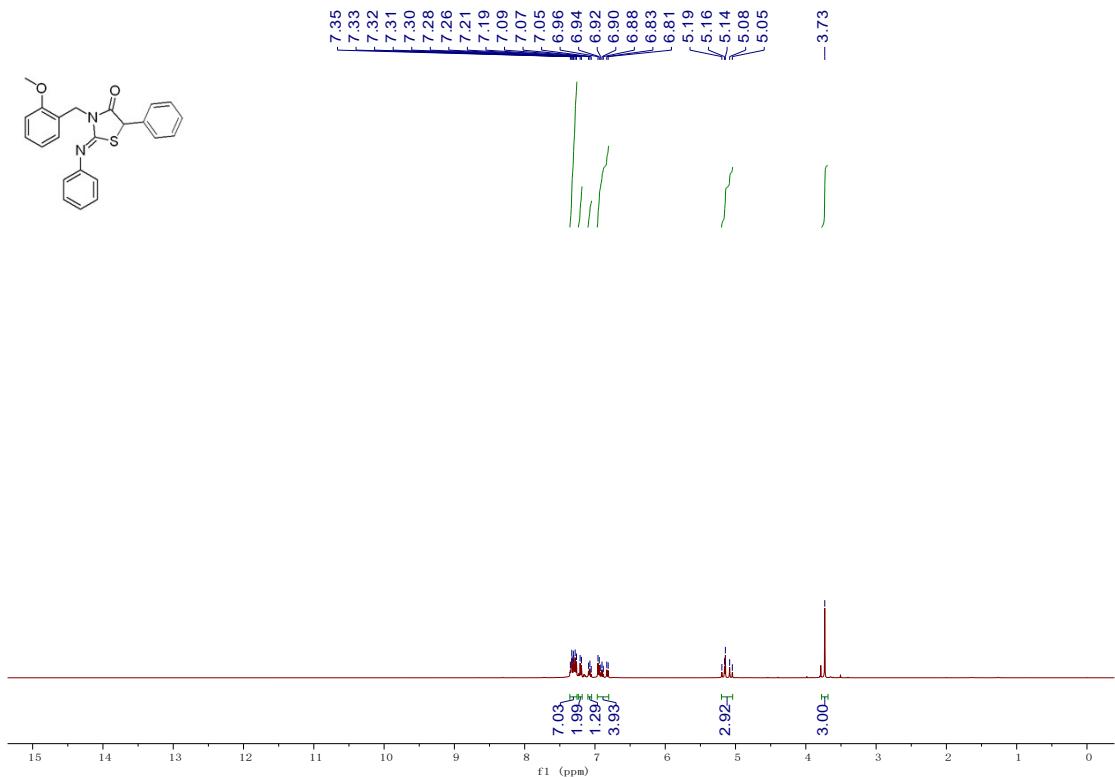


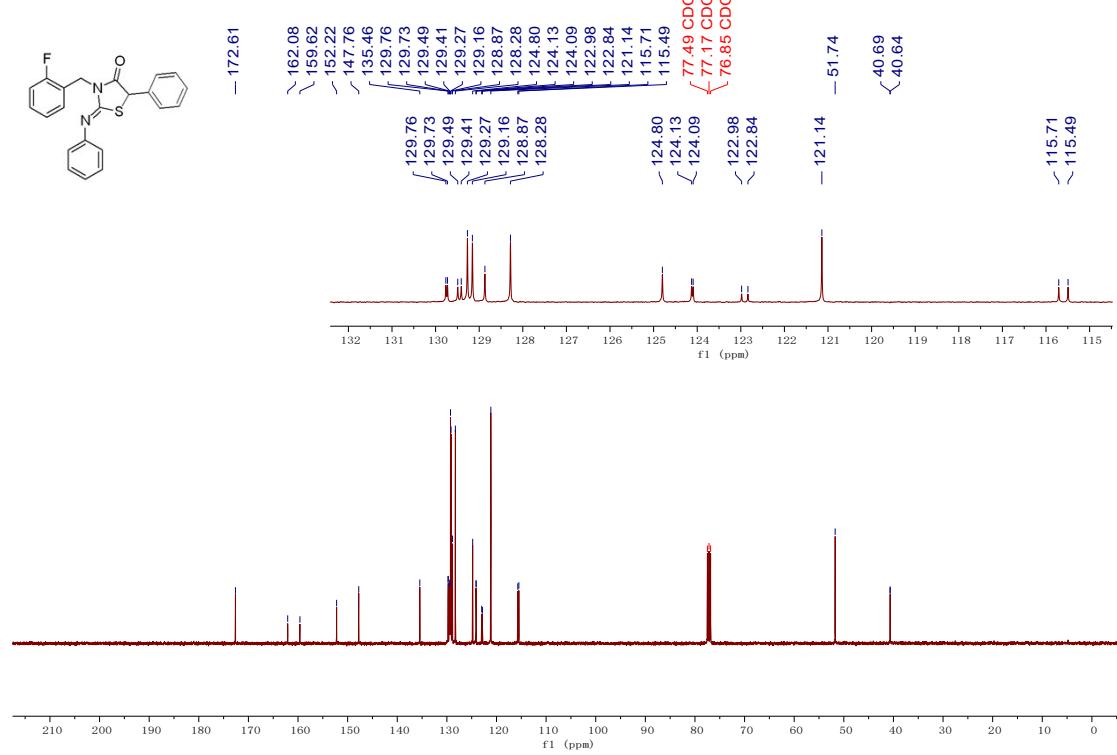
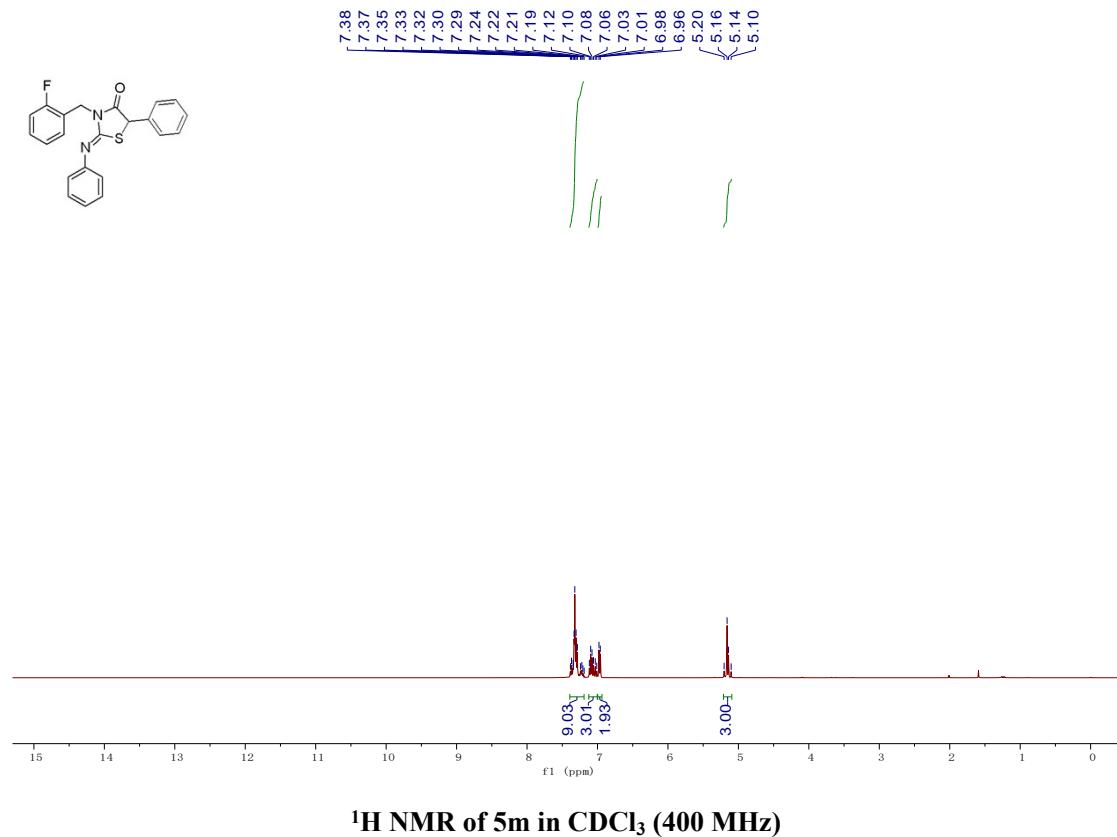
¹³C{¹H} NMR of 5h in CDCl₃ (100 MHz)

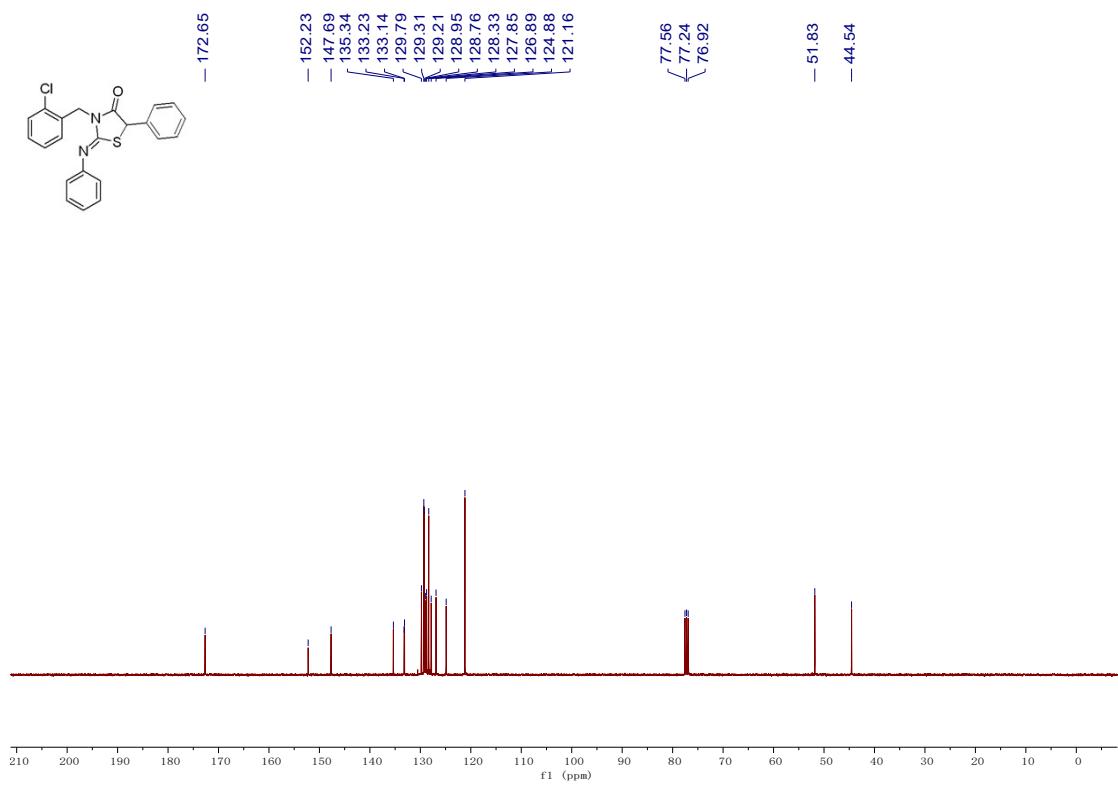
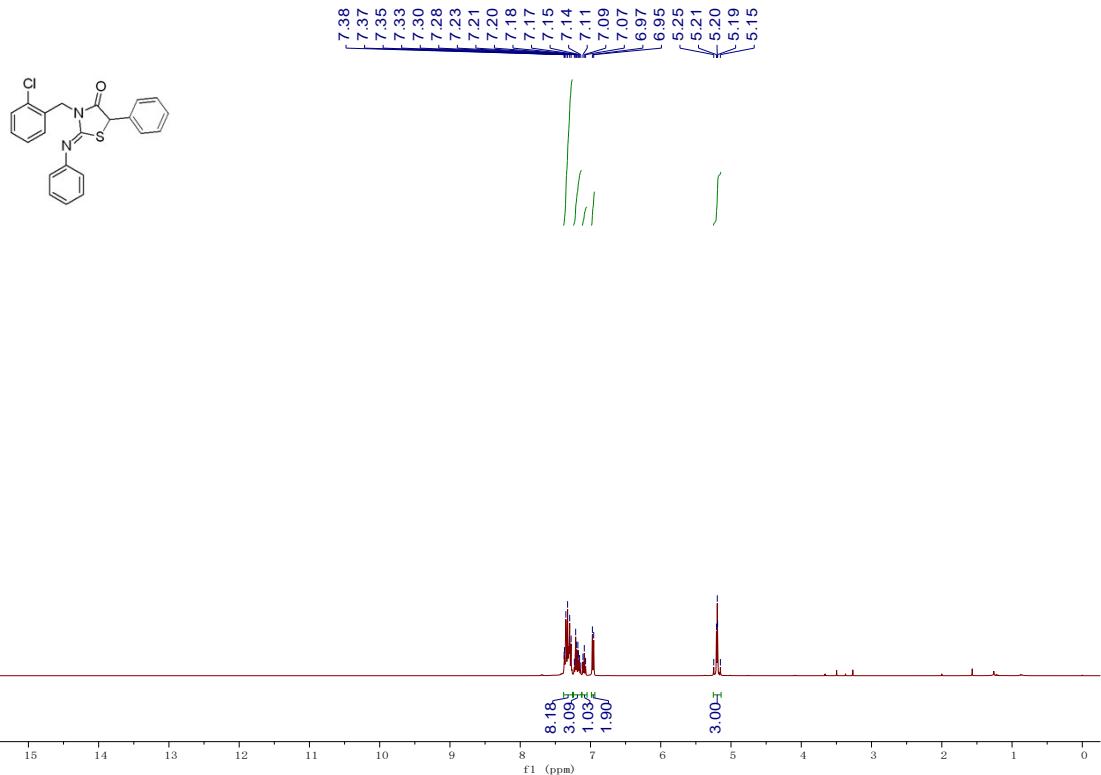


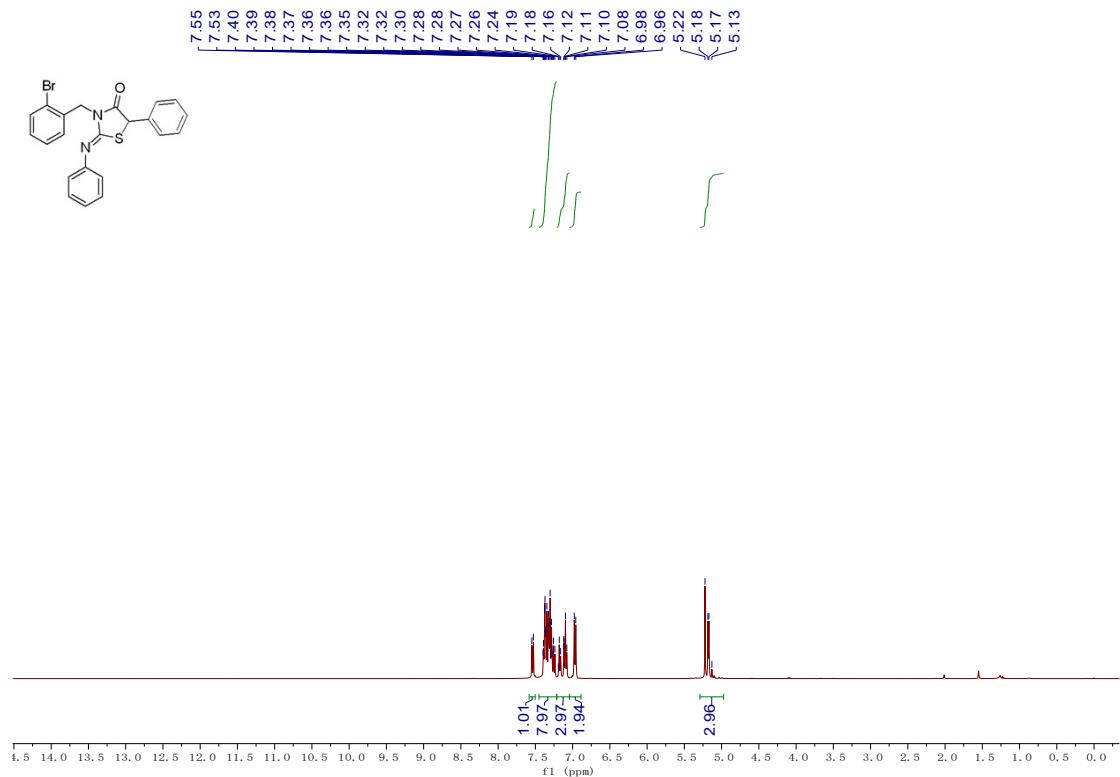




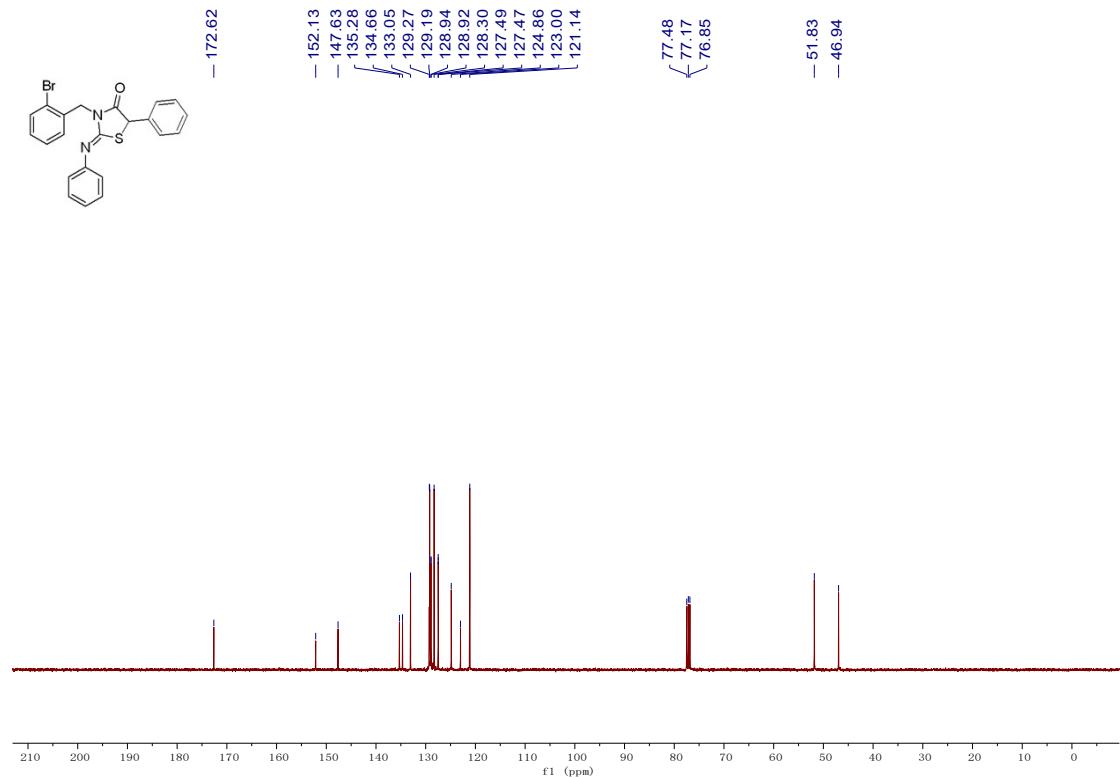




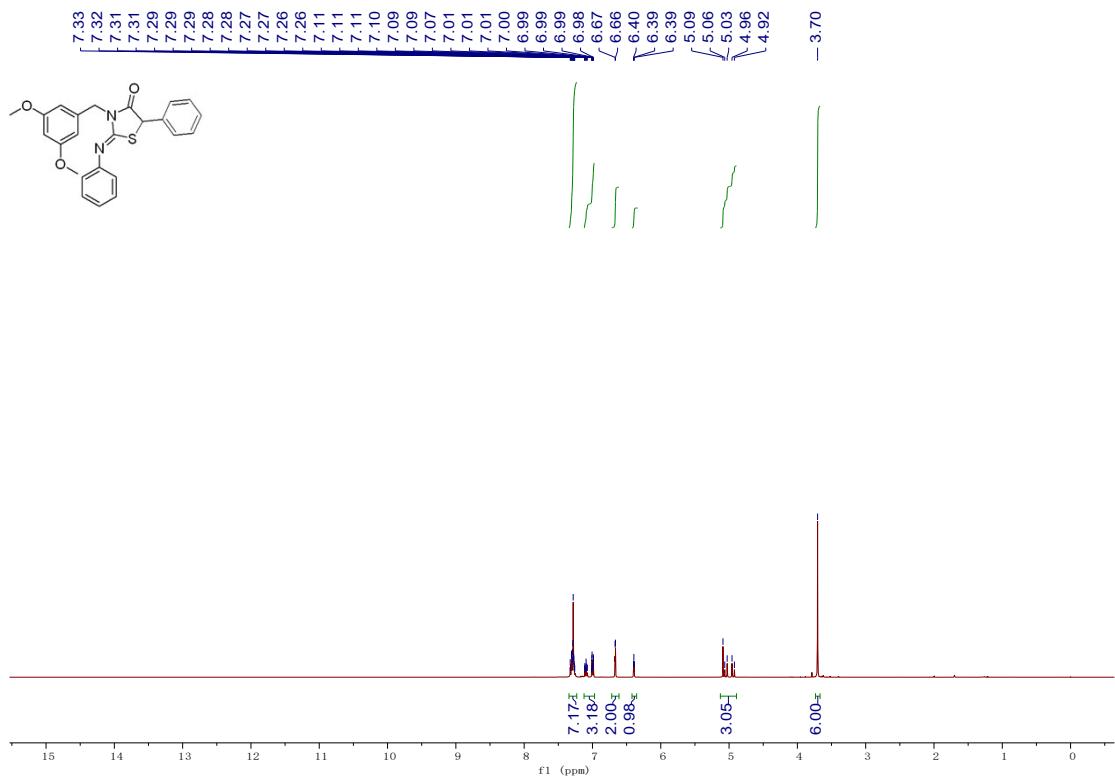




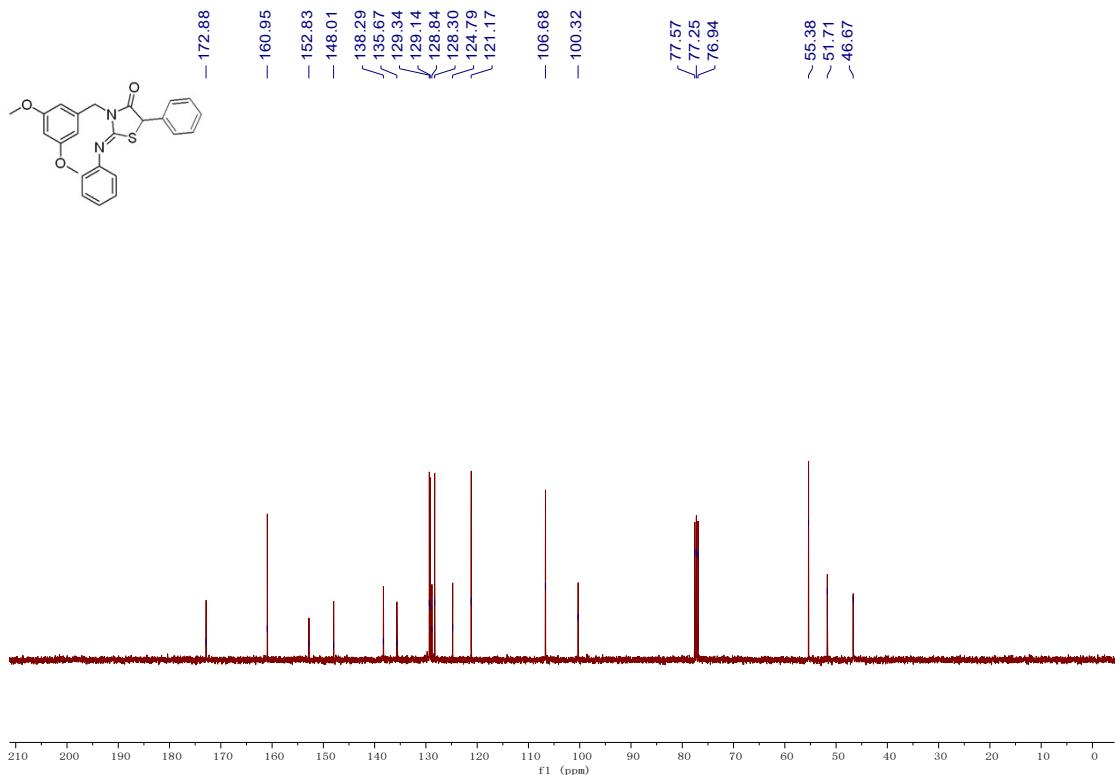
¹H NMR of 5o in CDCl₃ (400 MHz)



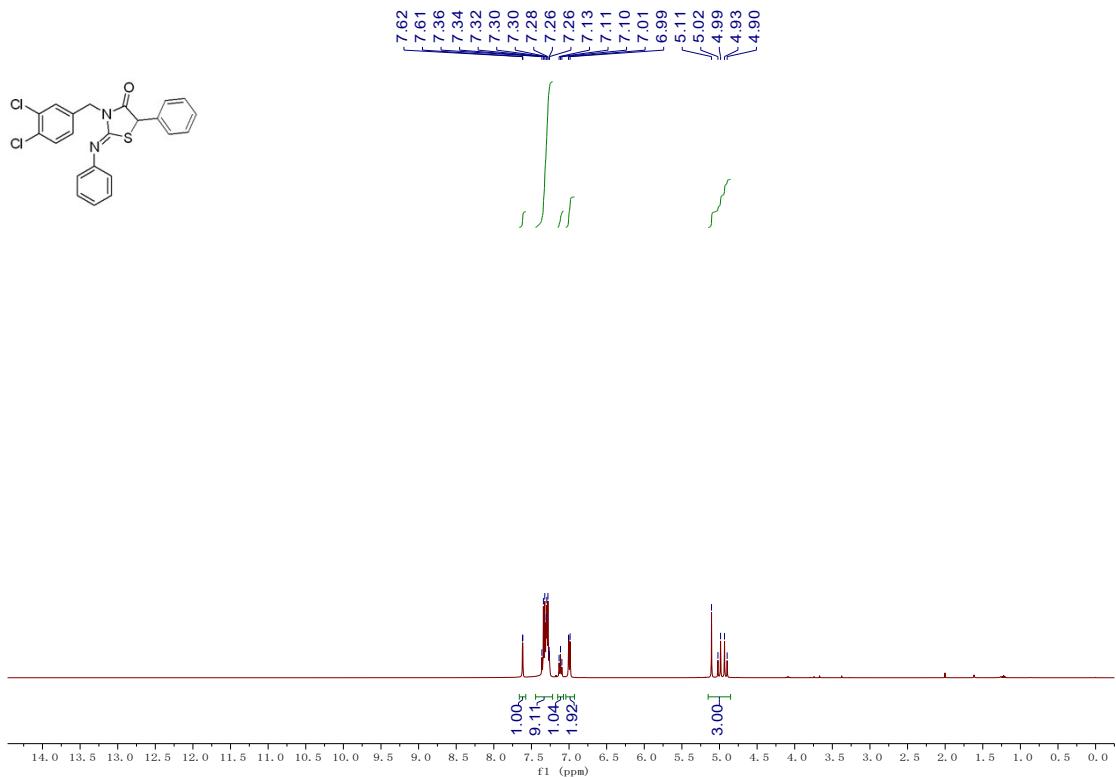
¹³C{¹H} NMR of 5o in CDCl₃ (100 MHz)



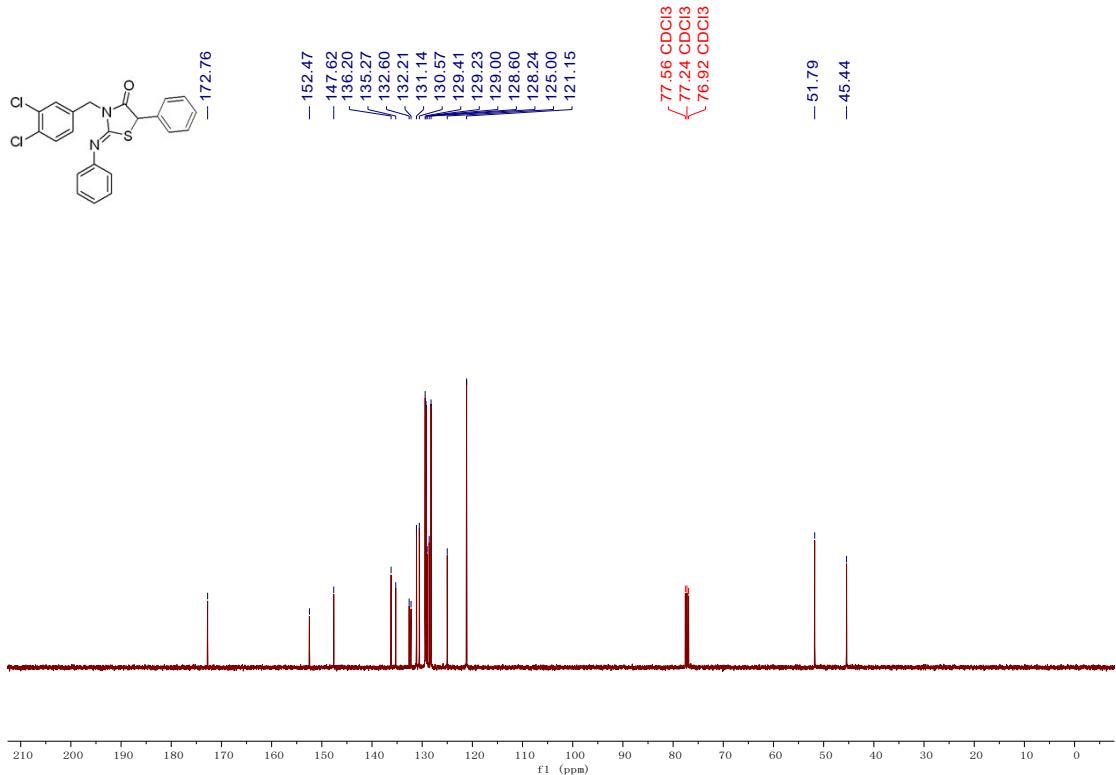
¹H NMR of 5p in CDCl₃ (400 MHz)



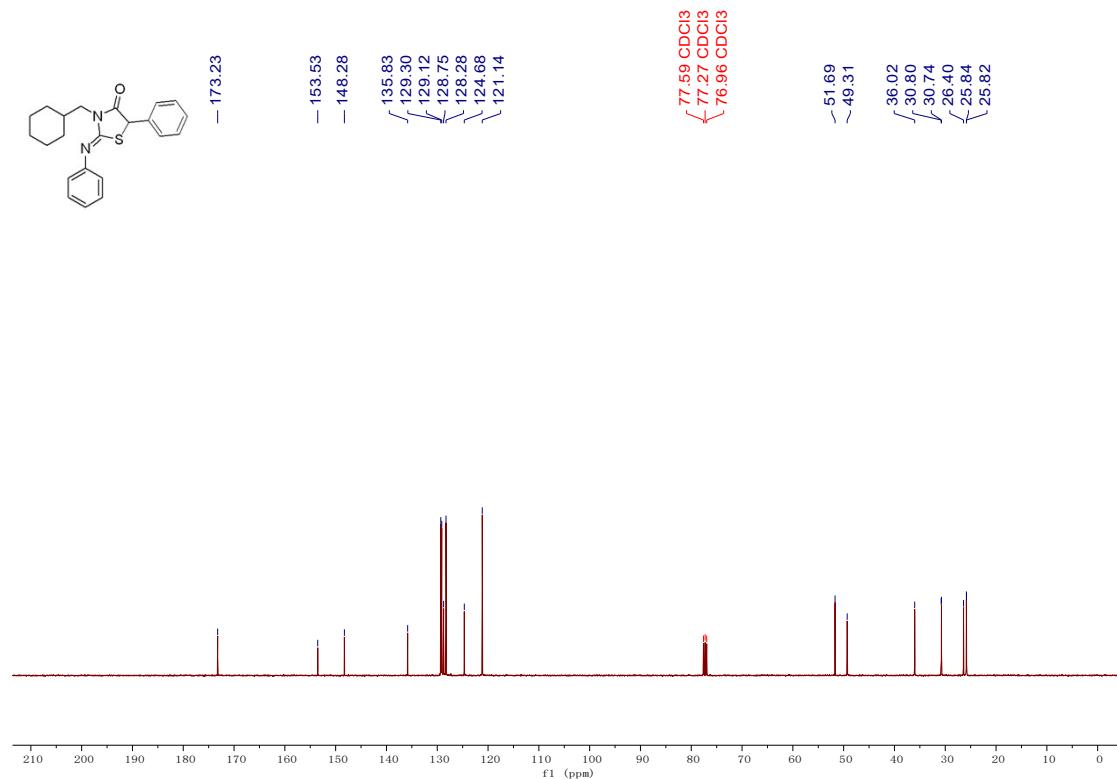
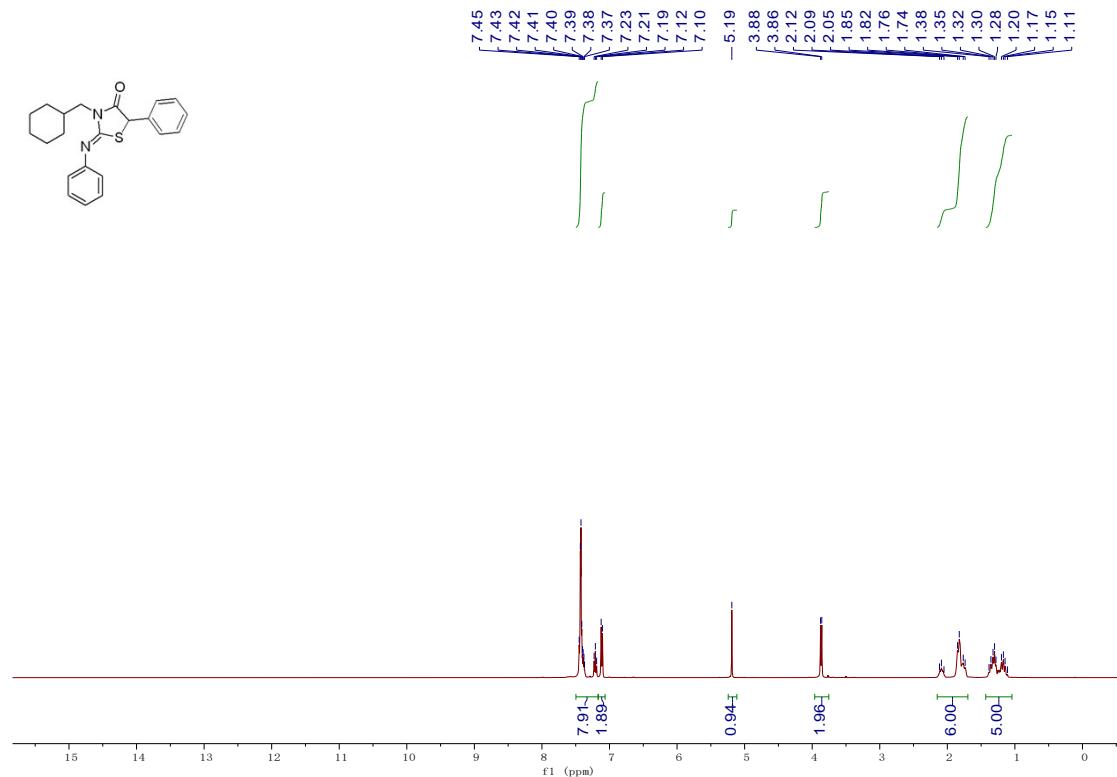
¹³C{¹H} NMR of 5p in CDCl₃ (100 MHz)

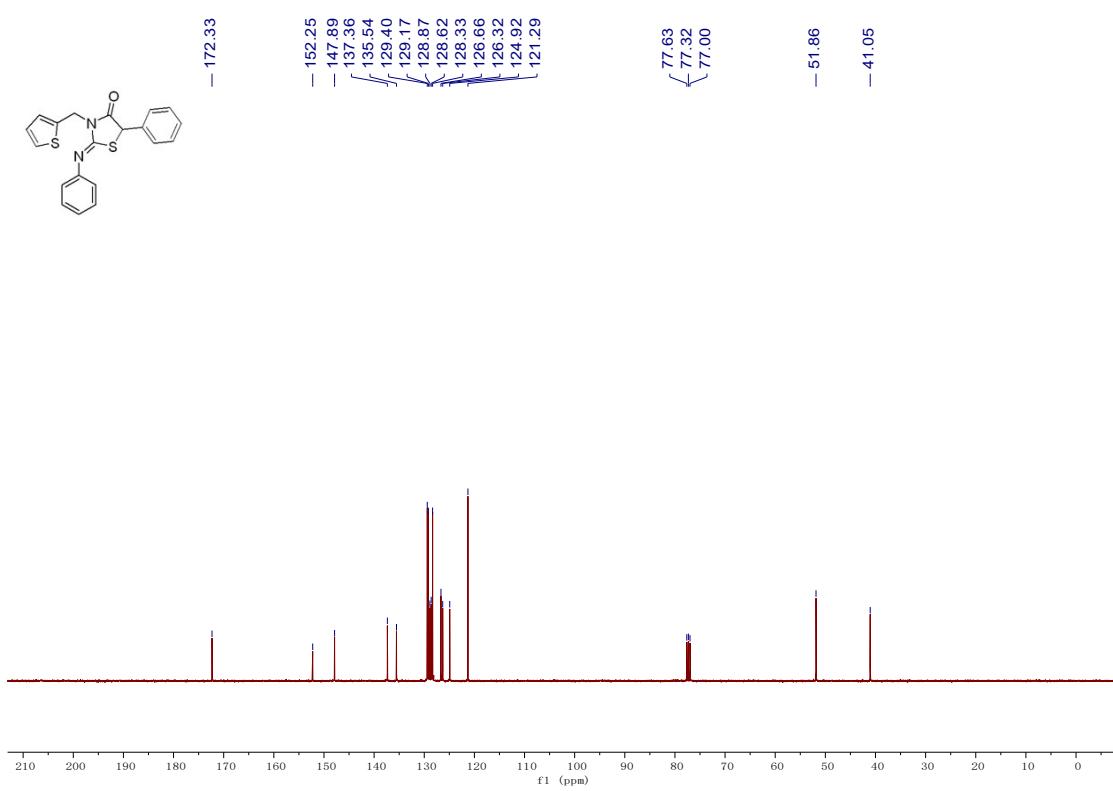
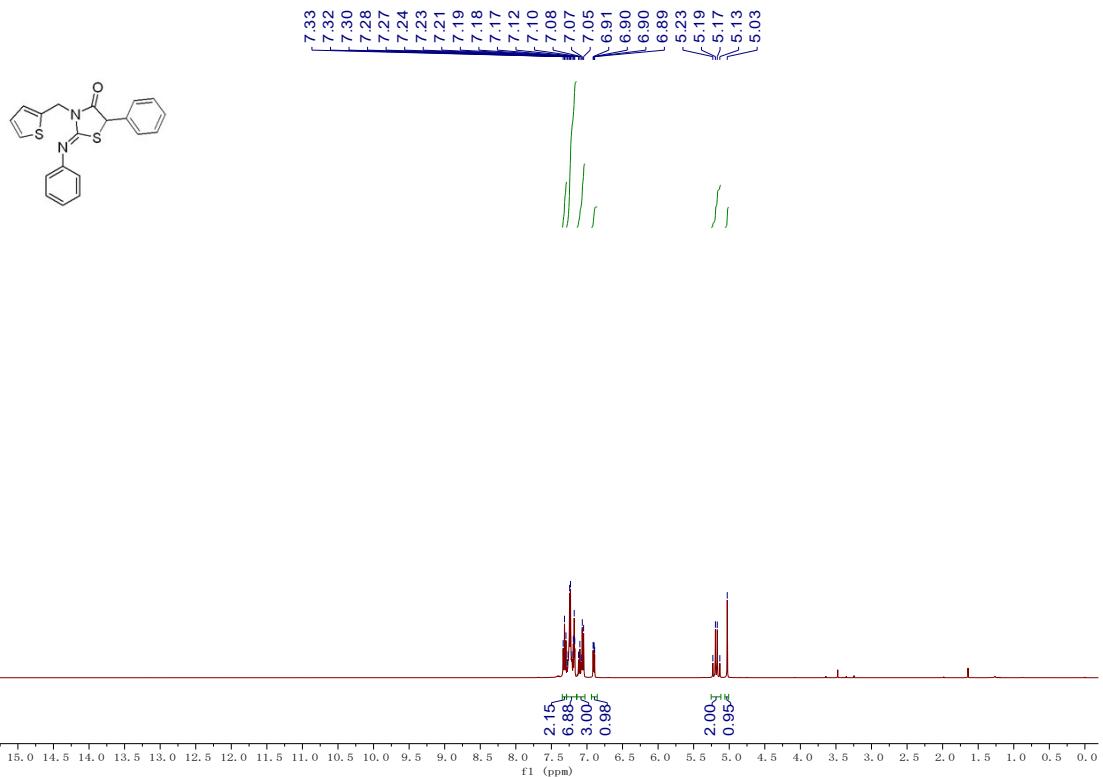


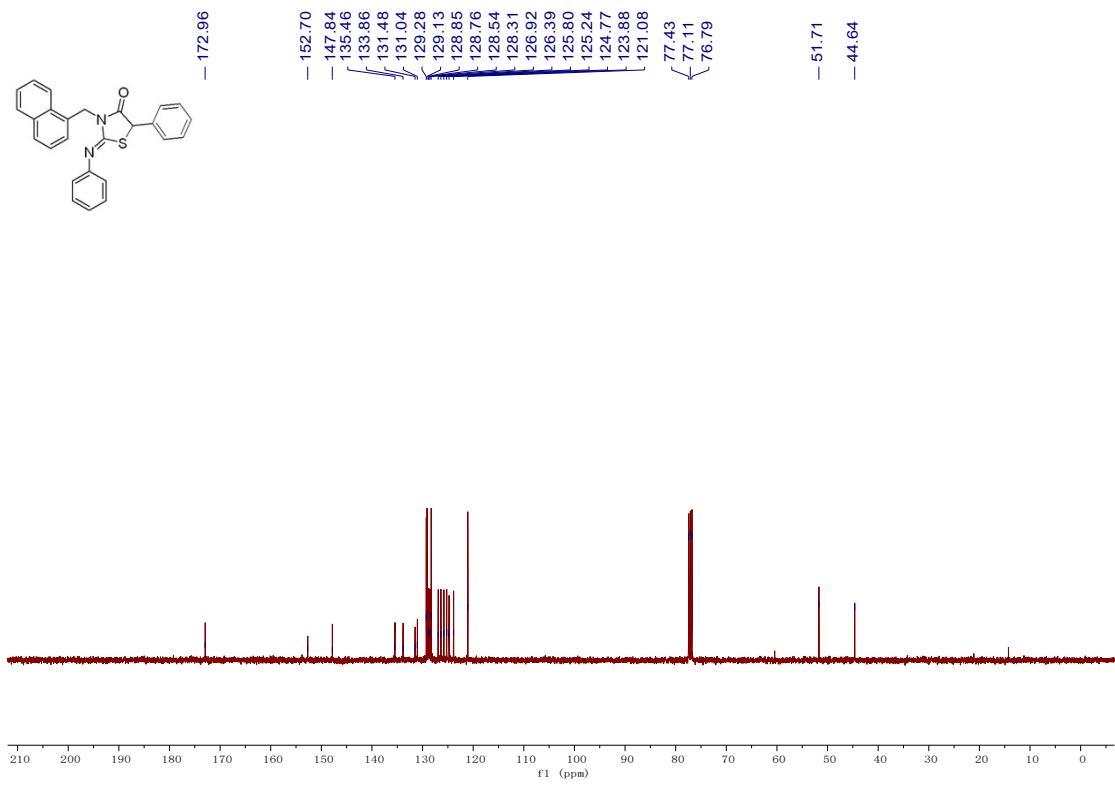
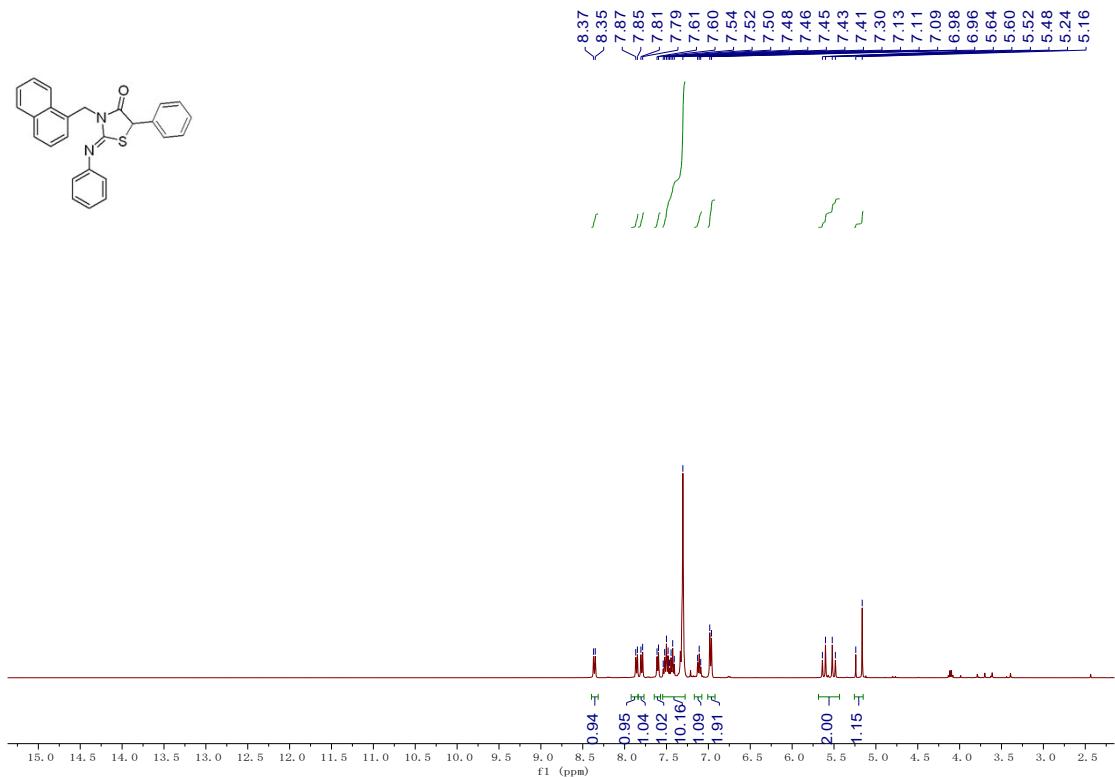
¹H NMR of 5q in CDCl₃ (400 MHz)

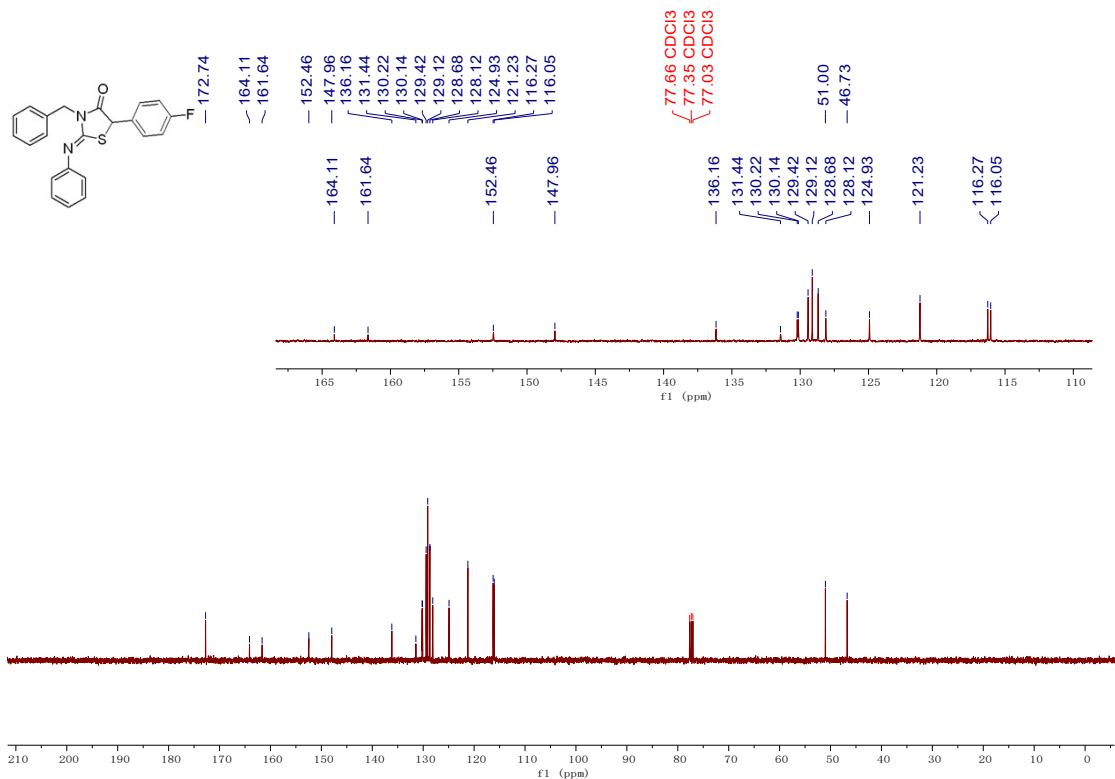
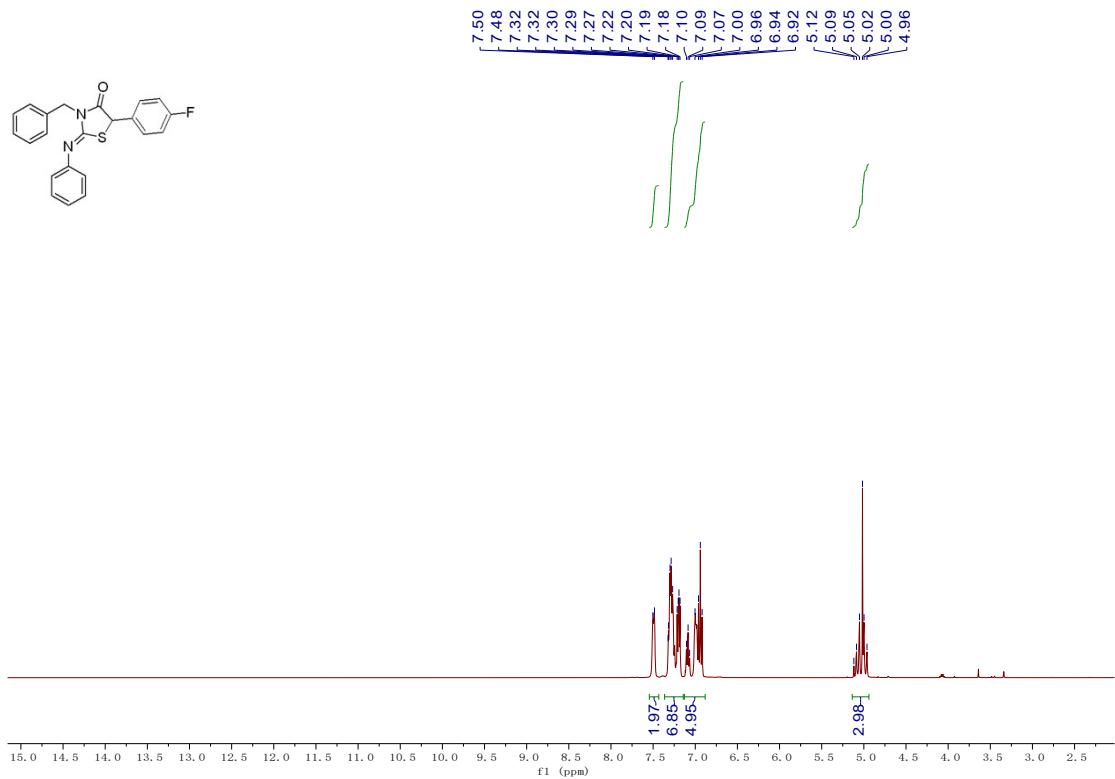


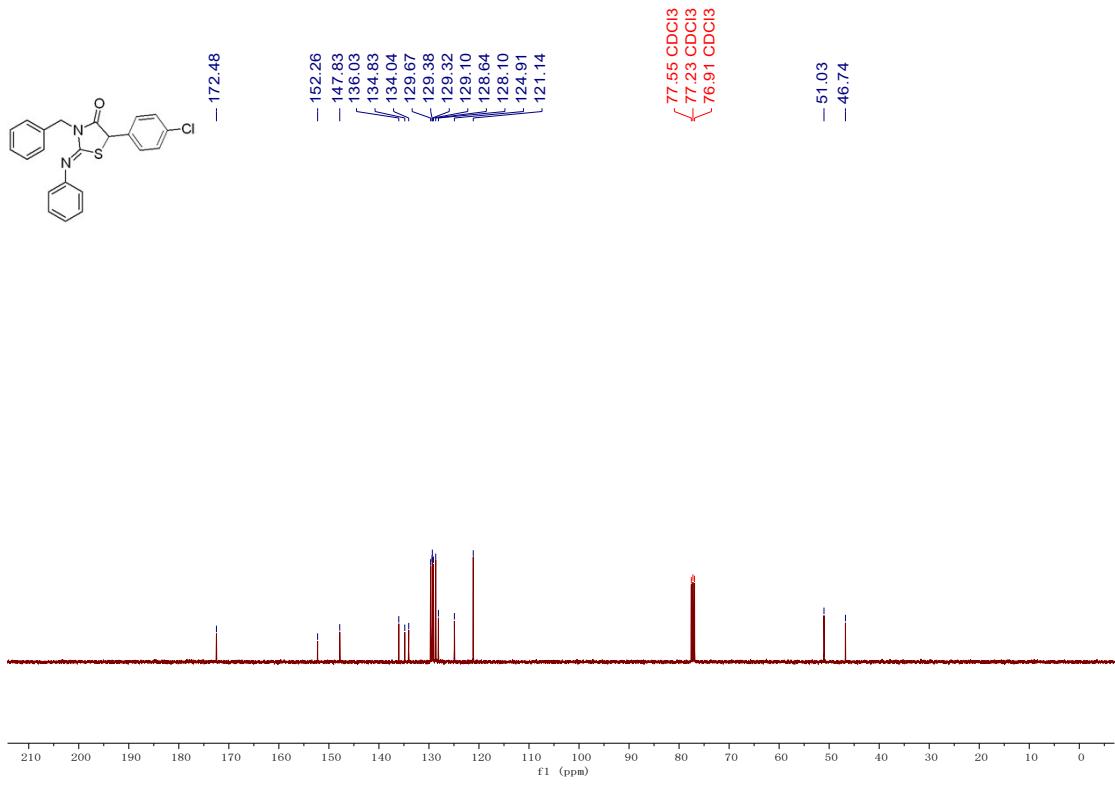
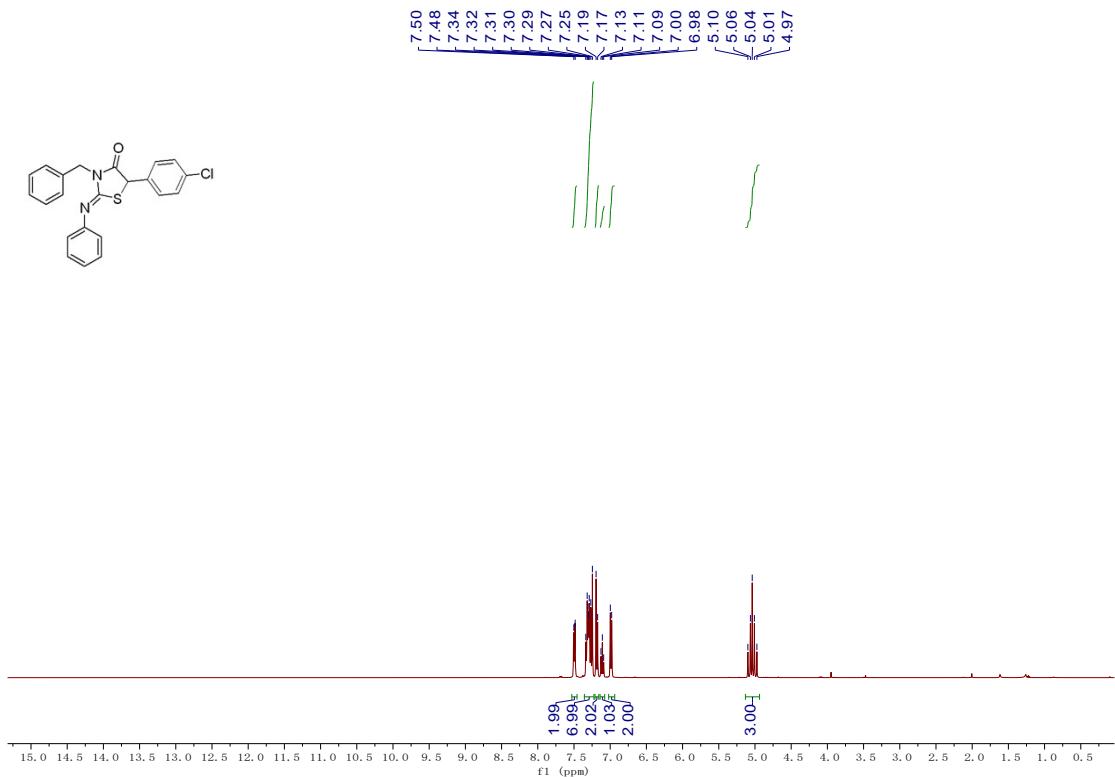
¹³C{¹H} NMR of 5q in CDCl₃ (100 MHz)

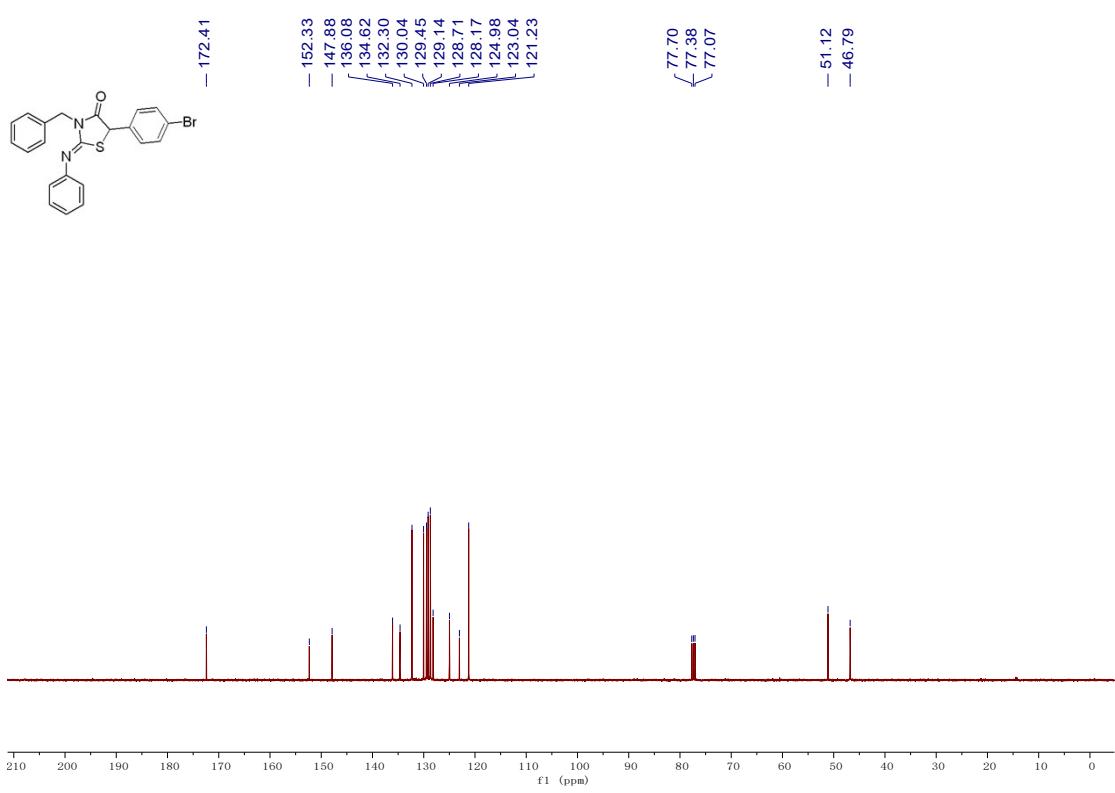
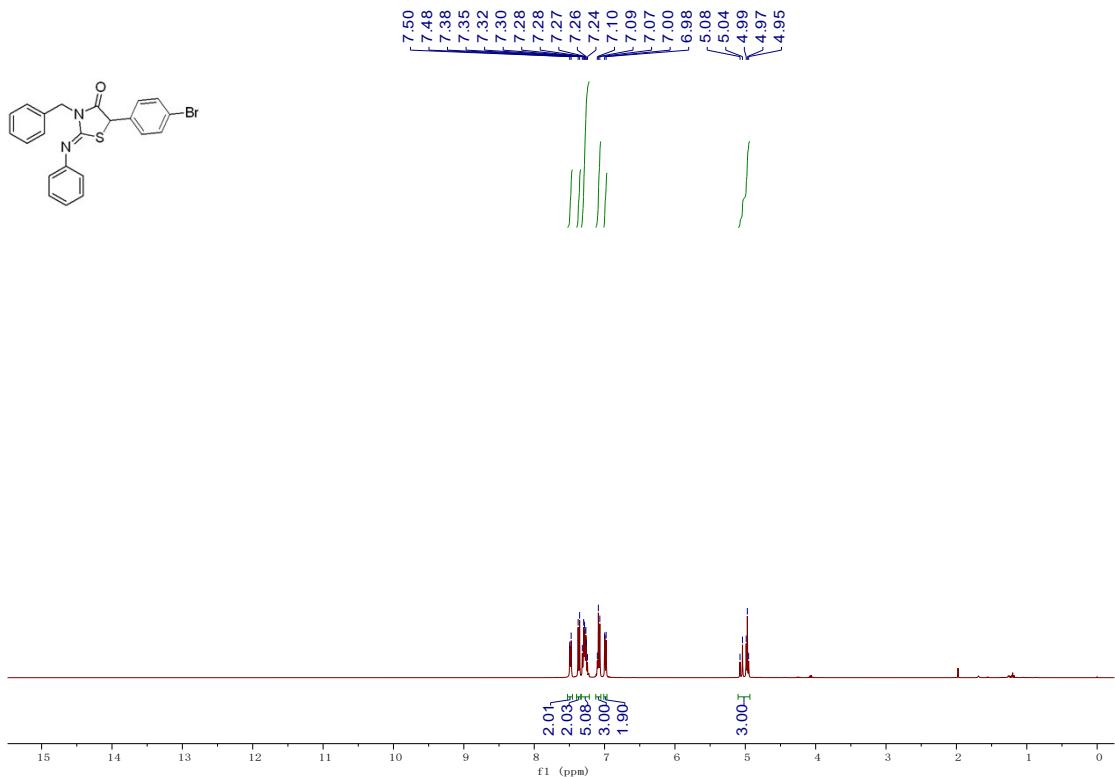


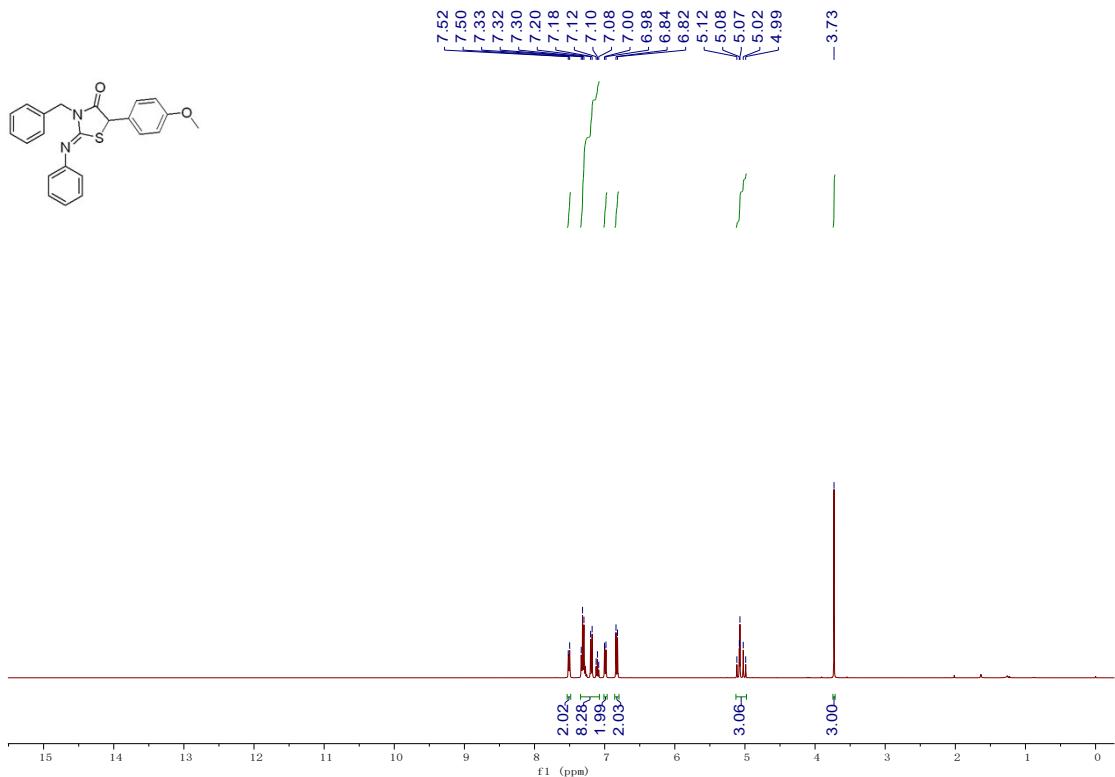




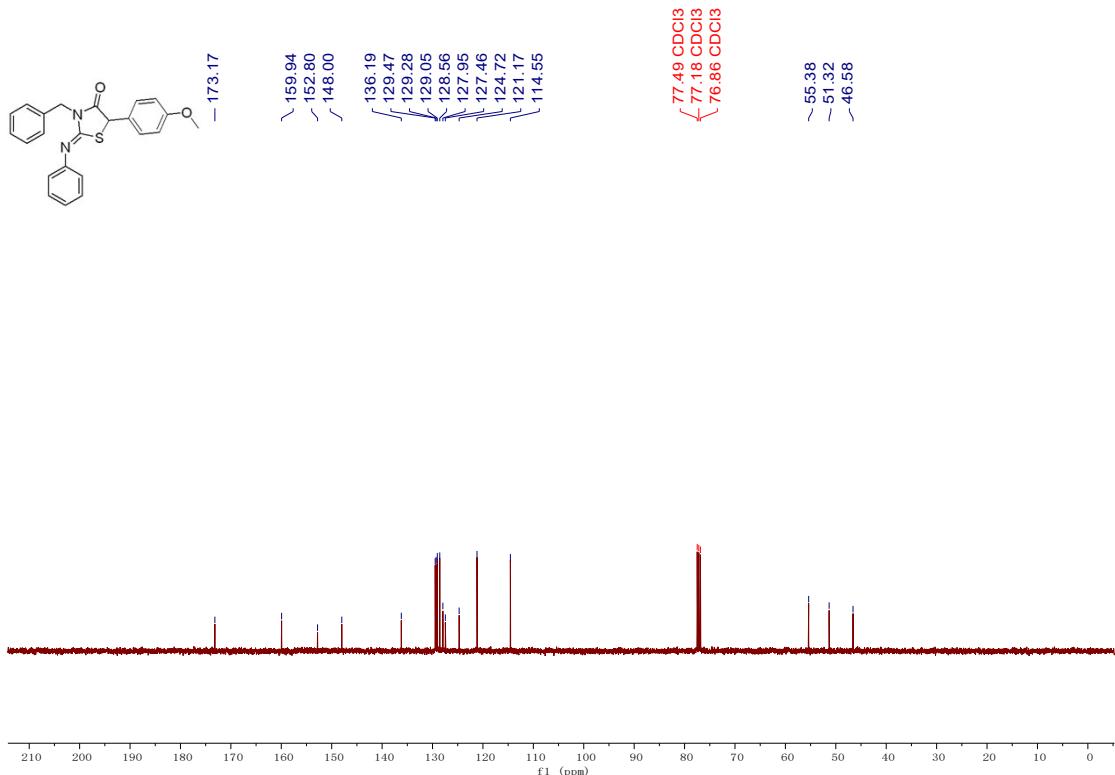




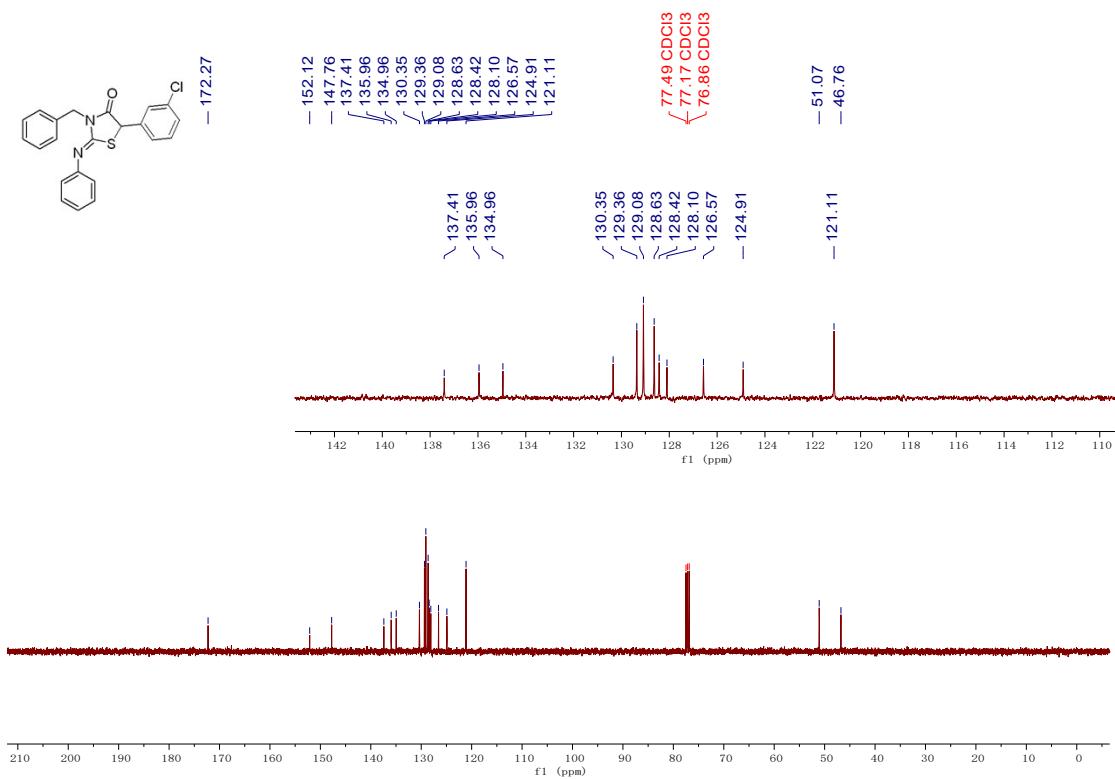
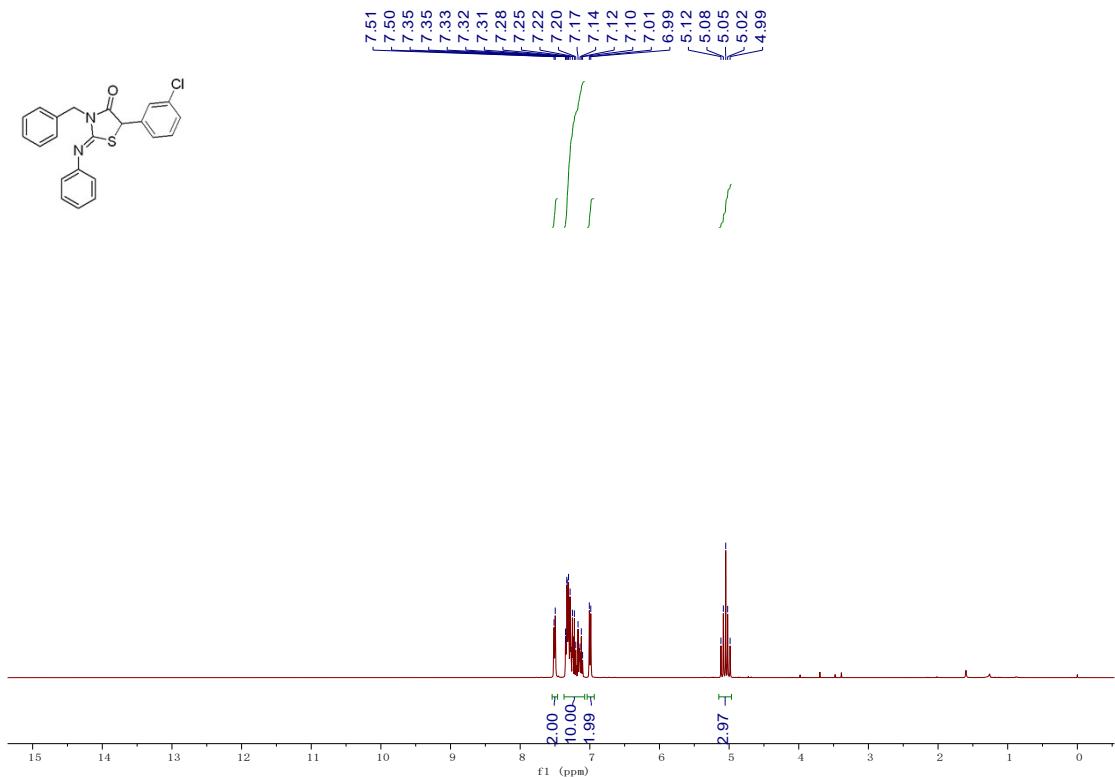


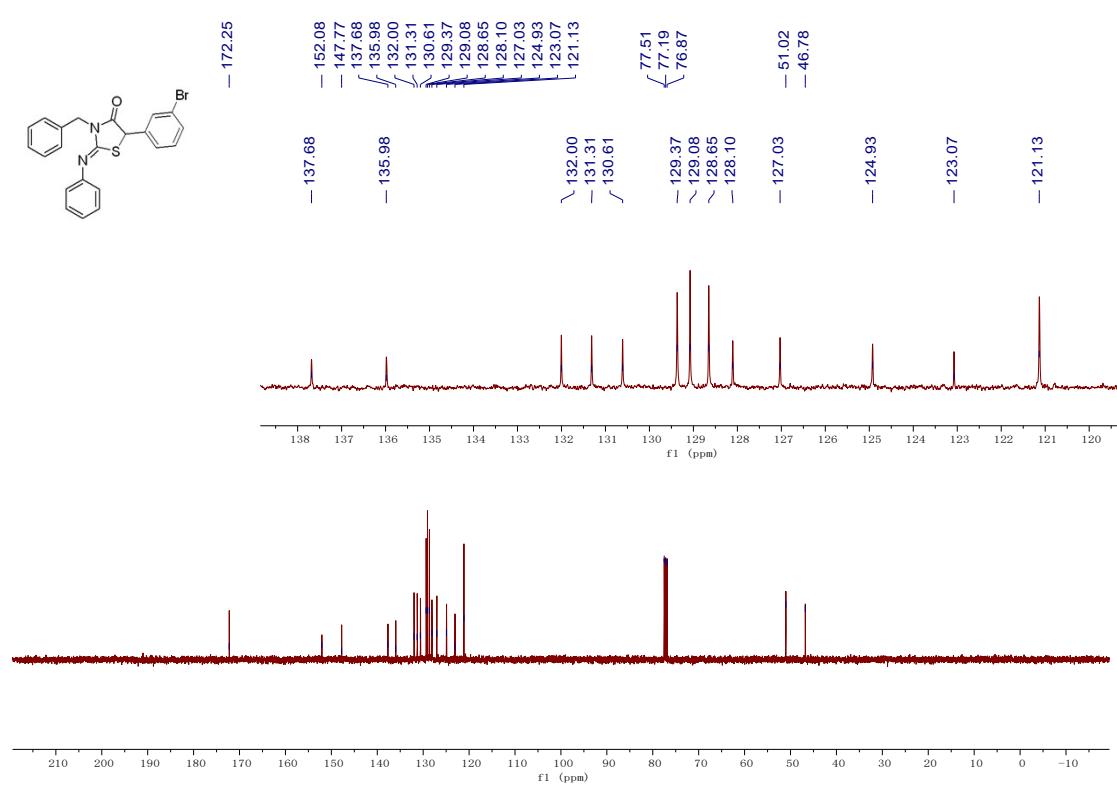
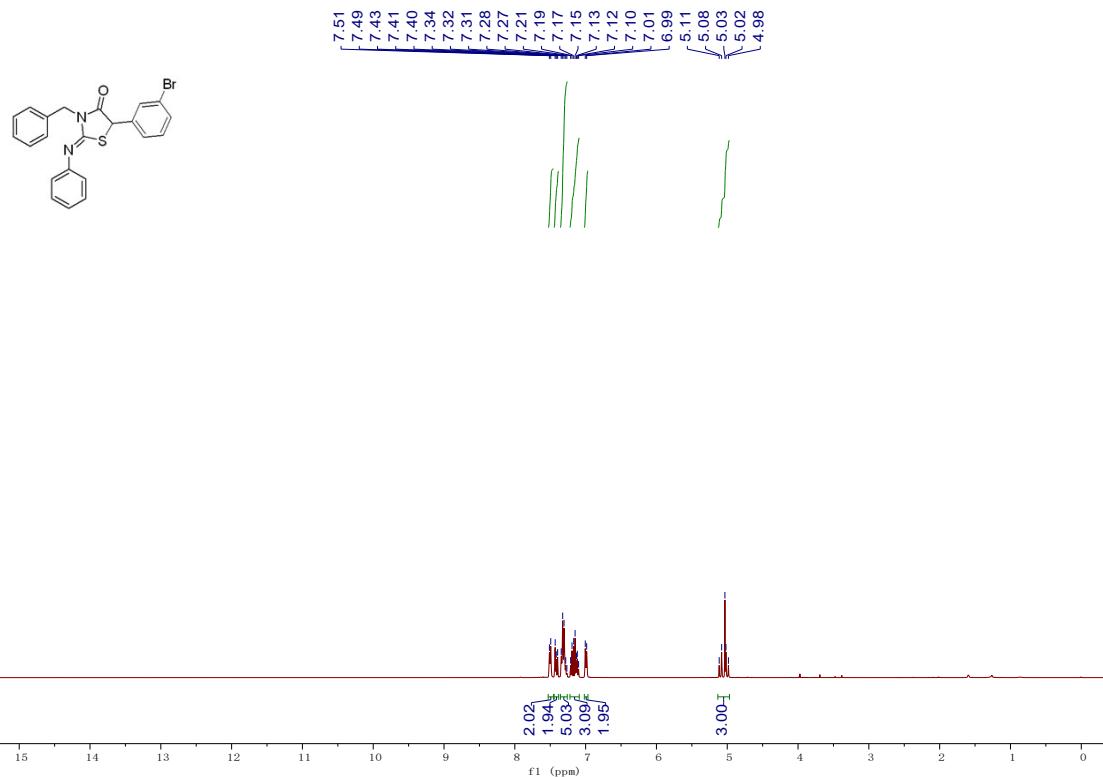


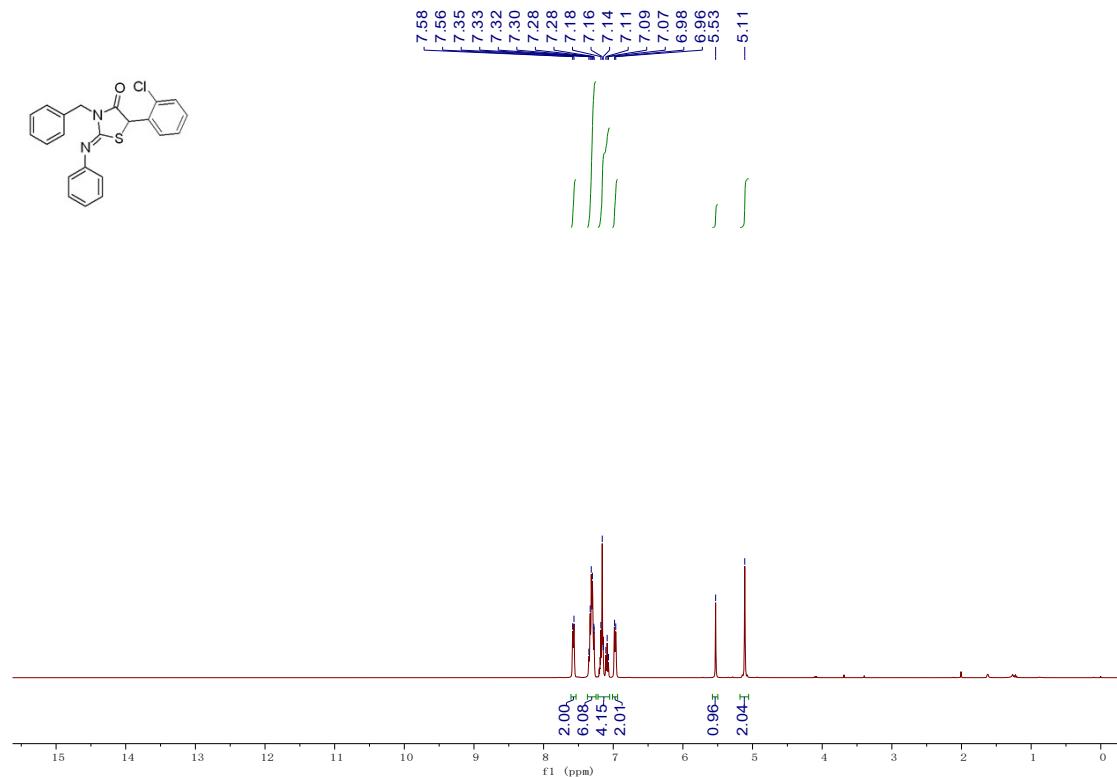
¹H NMR of 6d in CDCl₃ (400 MHz)



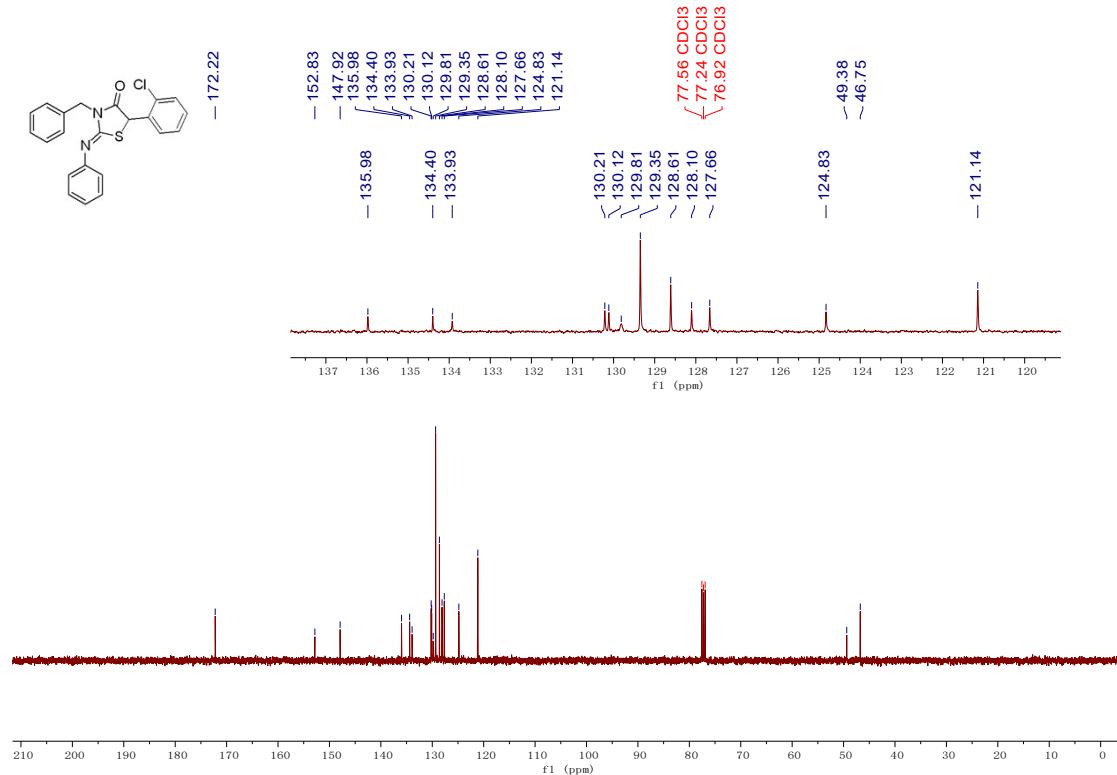
¹³C{¹H} NMR of 6d in CDCl₃ (100 MHz)



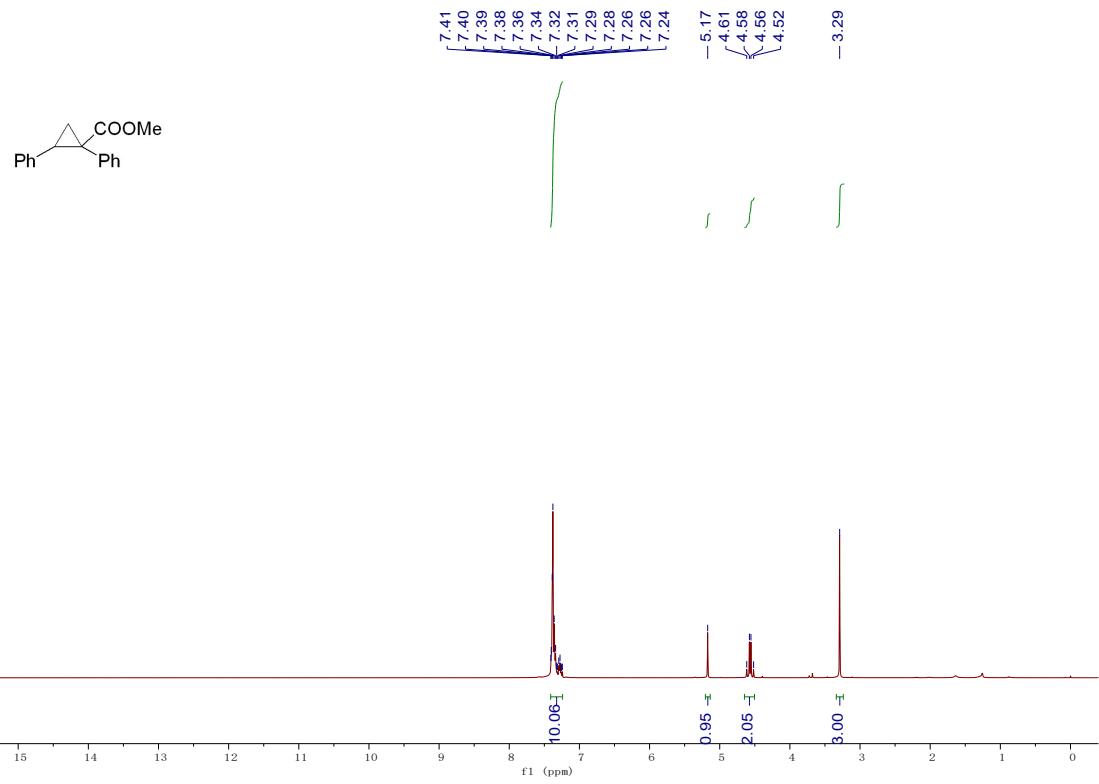




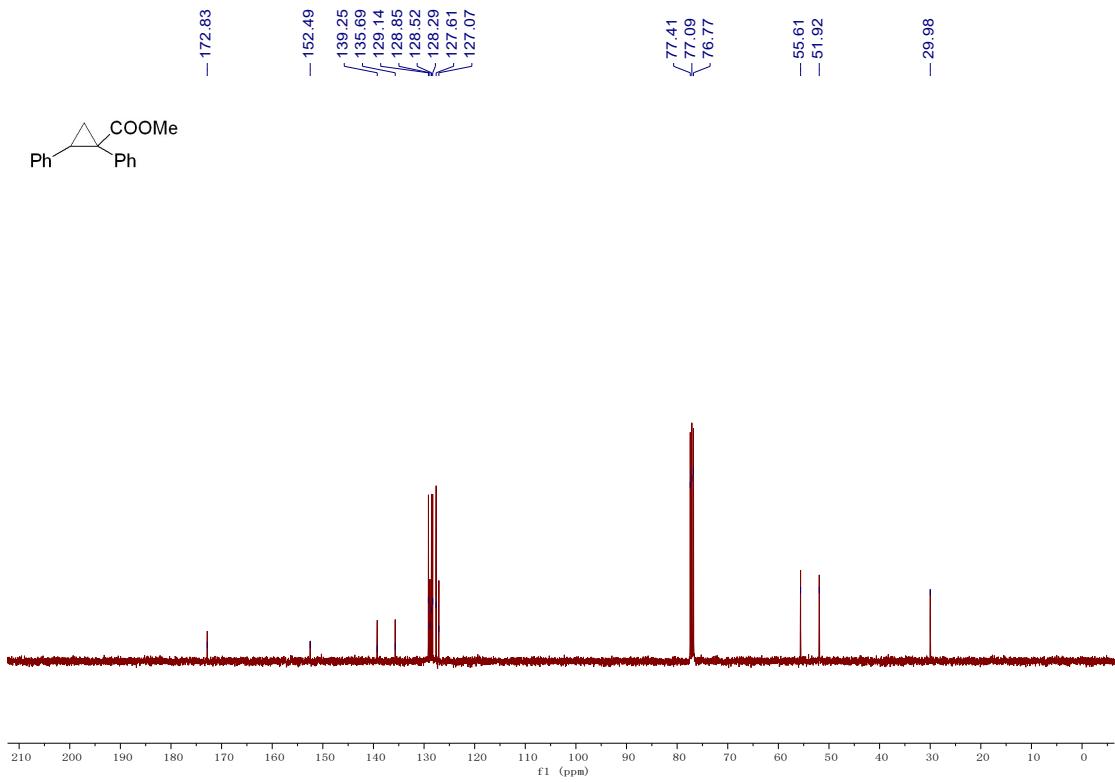
¹H NMR of 6g in CDCl_3 (400 MHz)

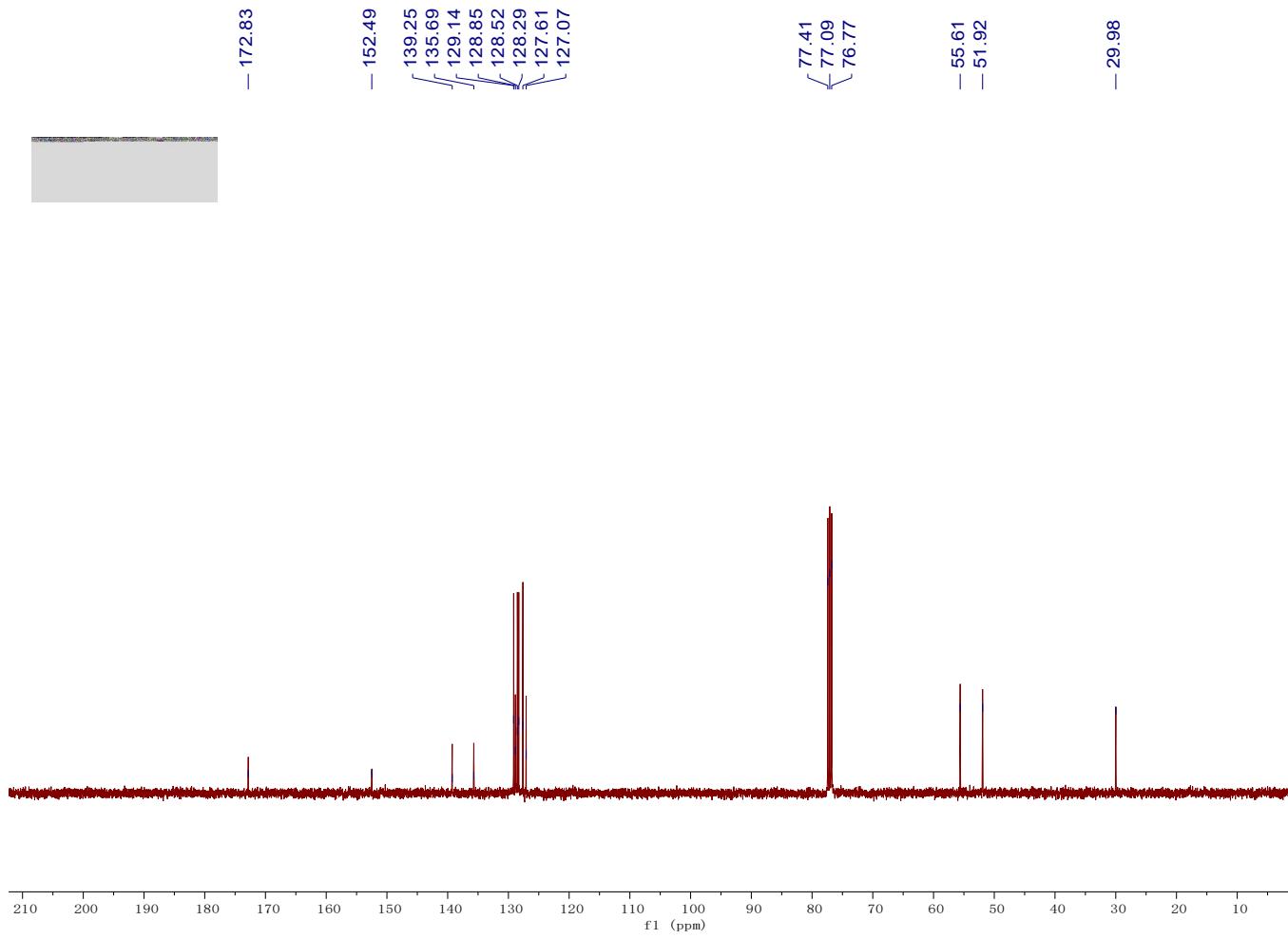


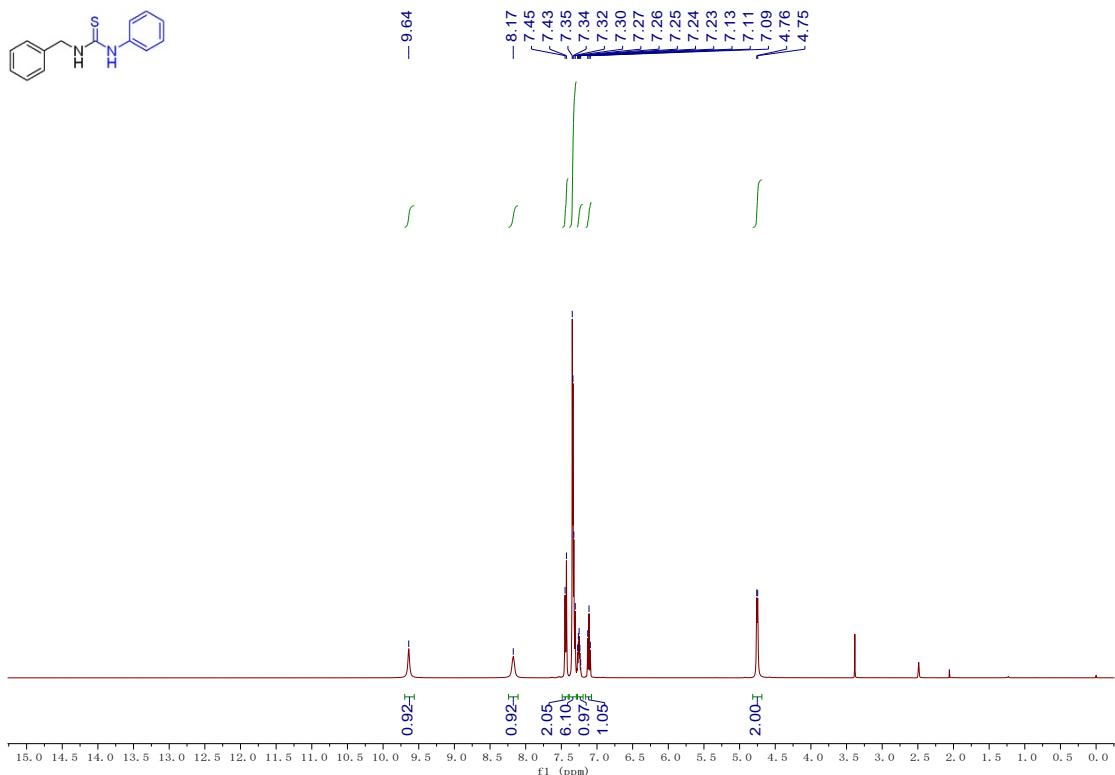
¹³C{¹H} NMR of 6g in CDCl_3 (100 MHz)



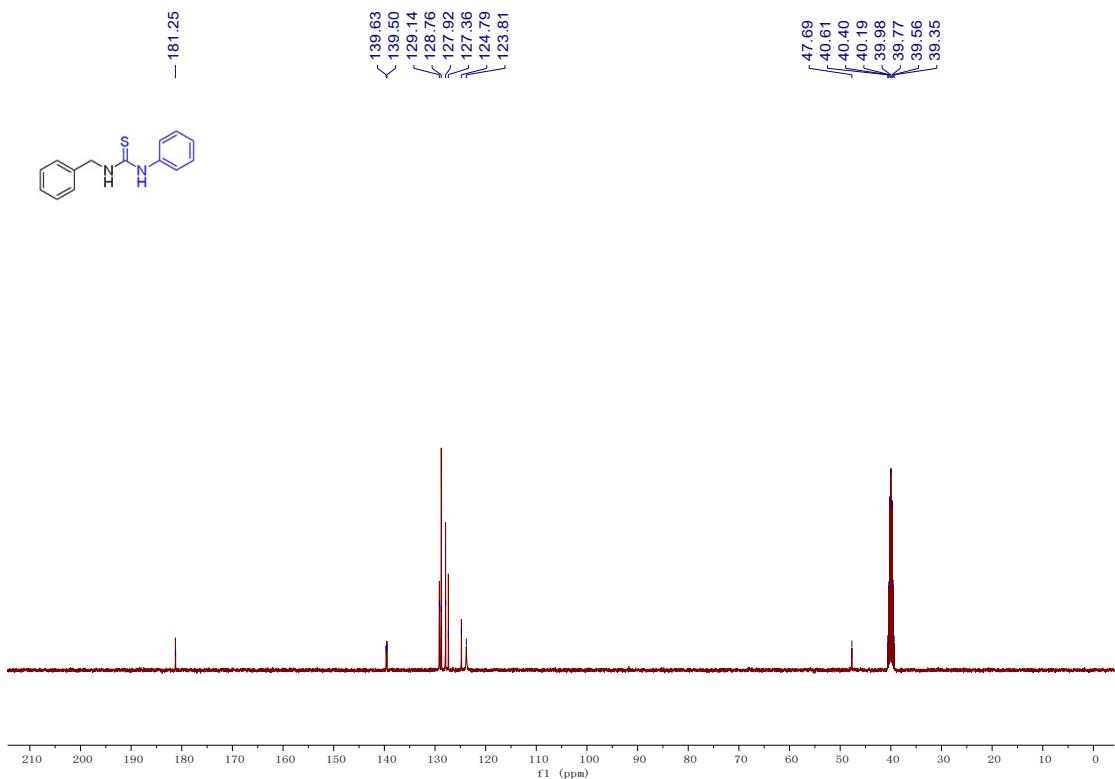
¹H NMR of 8 in CDCl_3 (400 MHz)







^1H NMR of 9 in $\text{DMSO}-d_6$ (400 MHz)



$^{13}\text{C}\{^1\text{H}\}$ NMR of 9 in $\text{DMSO}-d_6$ (100 MHz)