

Supporting Information

Transition-metal-free oxidative annulation reactions between *N*-acyl-2-aminoacetophenones and alkynes for facile synthesis of 2-amino-1-naphthols

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I. General remarks

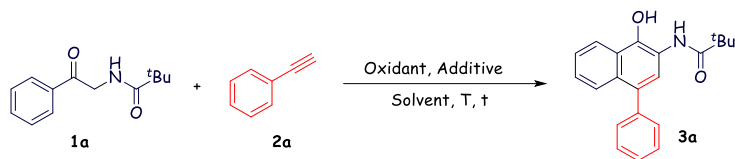
NMR spectra were obtained on a Bruker AV II-400 MHz or a Varian Inova 400 MHz spectrometer. The ¹H NMR (400 MHz) chemical shifts were measured relative to CDCl₃, DMSO-*d*₆, Acetone-*d*₆, CD₃OD or TMS as the internal reference (CDCl₃: δ = 7.26 ppm, DMSO-*d*₆: δ = 2.50 ppm, Acetone-*d*₆: δ = 2.05 ppm, CD₃OD: δ = 3.31 ppm, TMS: δ = 0.00 ppm). The ¹³C NMR (100 MHz) chemical shifts were given using CDCl₃, DMSO-*d*₆, CD₃OD or Acetone-*d*₆ as the internal standard (CDCl₃: δ = 77.16 ppm, DMSO-*d*₆: δ = 39.52 ppm, CD₃OD: δ = 49.00 ppm or Acetone-*d*₆: δ = 29.84, 206.26 ppm). Chemical shifts δ are reported in ppm relative to residual solvent. Data are reported as follows: chemical shift, multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, bs = broad singlet, m = multiplet), coupling constants (Hz), integration. High-resolution mass spectra (HRMS) were obtained with a Waters-Q-TOF-Premier (ESI).

Unless otherwise noted, all reagents and solvents were obtained from commercially available sources and used without further purification. Reactions were monitored by Thin Layer Chromatography (TLC) using UV light (254/365 nm) for detection. Products were purified by column chromatography, which was carried out on 200-300 mesh of silica gel purchased from Qing Dao Hai Yang Chemical Industry Co. The α-amino ketone substrates were prepared according to the known procedures.¹⁻⁵

II. Optimization of the cascade oxidative annulation of *N*-(2-oxo-2-phenylethyl)pivalamide **1a** with phenylacetylene **2a**

An oven-dried Schlenk tube with a magnetic stir bar was charged with *N*-(2-oxo-2-phenylethyl)pivalamide **1a** (43.9 mg, 0.20 mmol, 1.0 equiv.), phenylacetylene **2a** (44.0 μl, 0.40 mmol, 2.0 equiv.), oxidant, additive and solvent under N₂ atmosphere. The tube was sealed with a teflon-coated cap and the reaction solution was heated at indicated temperature for indicated time. After being cooled to ambient temperature, the solvent was removed under reduced pressure, and the residue was purified by column chromatography on silica gel (ethyl acetate/petroleum ether = 1/15, v/v) to provide the desired product **3a**.

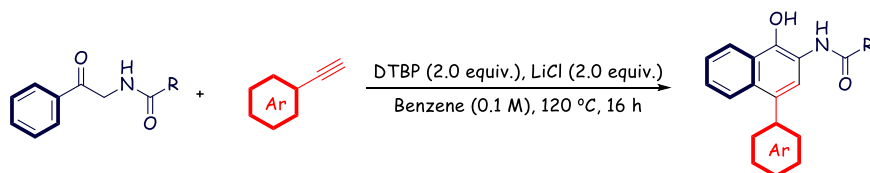
Table S1: Optimization of the cascade oxidative annulation of *N*-(2-oxo-2-phenylethyl)pivalamide **1a** with phenylacetylene **2a**^a



Entry	Oxidant (equiv.)	Additive (equiv.)	Solvent	T (°C)	Yield (%) ^b
1	DTBP (2.0)	Cu(acac) ₂ (0.1)	Benzene	140	26
2	DTBP (2.0)	Fe(acac) ₂ (0.1)	Benzene	140	15
3	DTBP (2.0)	Ni(acac) ₂ (0.1)	Benzene	140	17
4	DTBP (2.0)	Co(acac) ₂ (0.1)	Benzene	140	27
5	DTBP (2.0)	Mn(acac) ₂ (0.1)	Benzene	140	26
6	DTBP (2.0)	FeCl ₂ ·4H ₂ O (0.1)	Benzene	140	trace
7	DTBP (2.0)	Fe(OTf) ₃ (0.1)	Benzene	140	trace
8	DTBP (2.0)	Cu(OTf) ₂ (0.1)	Benzene	140	trace
9	DTBP (2.0)	CuCl (0.1)	Benzene	140	18
10	DTBP (2.0)	Cu(CH ₃ CN) ₄ BF ₄ (0.1)	Benzene	140	20
11	DTBP (2.0)	--	Benzene	140	33
12	DTBP (2.0)	--	DCE	140	trace
13	DTBP (2.0)	--	PhCF ₃	140	28
14	DTBP (2.0)	--	CH ₃ CN	140	22
15	TBHP (2.0)	--	Benzene	140	28
16	DCP (2.0)	--	Benzene	140	26
17	DTBP (2.0)	LiCl (2.0)	Benzene	140	48
18	DTBP (2.0)	LiCl (2.0)	Benzene	120	61
19	DTBP (2.0)	LiCl (2.0)	Benzene	110	56
20	DTBP (3.0)	LiCl (2.0)	Benzene	120	41
21	DTBP (1.5)	LiCl (2.0)	Benzene	120	52
22 ^c	DTBP (2.0)	LiCl (2.0)	Benzene	120	45
23 ^d	DTBP (2.0)	LiCl (2.0)	Benzene	120	59
24 ^e	DTBP (2.0)	LiCl (2.0)	Benzene	120	44
25	DTBP (2.0)	KCl (2.0)	Benzene	120	43
26	DTBP (2.0)	LiBr (2.0)	Benzene	120	32
27	DTBP (2.0)	MgCl ₂ (2.0)	Benzene	120	trace

^aReaction conditions: **1a** (43.9 mg, 0.2 mmol, 1.0 equiv.), **2a** (44.0 μ l, 0.4 mmol, 2.0 equiv.), oxidant and additive in benzene (2.0 mL) for 16 h. ^bYield of isolated **3a** after purification by column chromatography. ^c**2a** (1.5 equiv.) was used. ^d24 h. ^e10 h. DTBP = Di-*tert*-butyl peroxide. DCE = 1,2-Dichloroethane. TBHP = *tert*-Butyl hydroperoxide. DCP = Dicumyl peroxide.

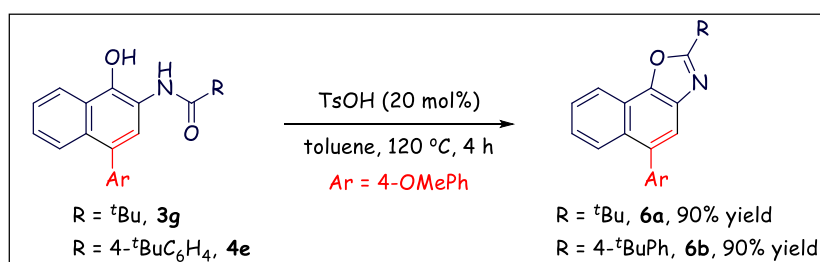
III. General procedure for the synthesis of 2-amino-1-naphthols



In a 25 mL Schlenk tube equipped with a stir bar was charged with α -amino ketone substrates (0.2 mmol, 1.0 equiv.), alkynes (0.4 mmol, 2.0 equiv.), DTBP (73.6 μ l, 0.4 mmol, 2.0 equiv.) and LiCl (17.0 mg, 0.4 mmol, 2.0 equiv.) in benzene (2.0 mL). The reaction was stirred at 120 °C for 16 h under N₂ atmosphere. After cooled to room temperature, the solvent was removed under reduced pressure, and the residue was purified by silica gel column chromatography using petroleum ether and ethyl acetate as eluents to obtain the desired products.

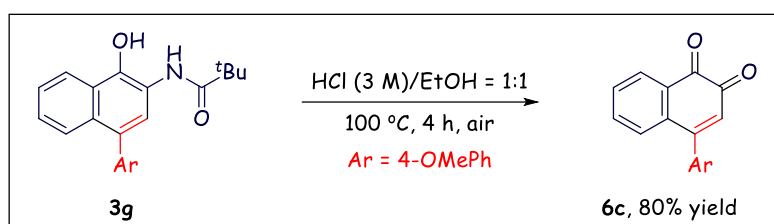
IV. General procedure for synthetic manipulation

a) Procedure for the synthetic of the fused benzoxazole derivatives



In a 10 mL Schlenk tube equipped with a stir bar was charged with **3g** (34.9 mg, 0.1 mmol, 1.0 equiv.) or **4e** (42.5 mg, 0.1 mmol, 1.0 equiv.), TsOH (3.5 mg, 0.02 mmol, 0.2 equiv.) in toluene (1.0 mL). The reaction was stirred at 120 °C for 4 h under N₂ atmosphere. After cooled to room temperature, the solvent was removed under reduced pressure, and the residue was purified by silica gel column chromatography using petroleum ether and ethyl acetate as eluents to obtain the desired product **6a** (29.8 mg, 90% yield) or **6b** (36.6 mg, 90% yield).

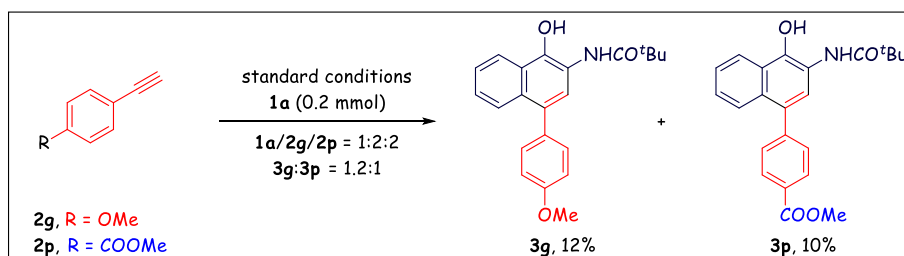
b) Procedure for the synthetic of naphthalene-1,2-dione



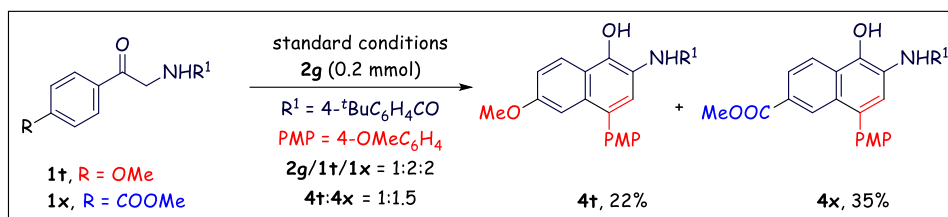
In a 10 mL Schlenk tube equipped with a stir bar was charged with *N*-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)pivalamide **3g** (34.9 mg, 0.1 mmol, 1.0 equiv.) in EtOH (1.0 mL) and HCl (3 M, 1.0 mL). The reaction was heated to 100 °C for 5 h under air atmosphere. After cooled to room temperature, the ethanol was removed under reduced pressure, and the residue was added saturated sodium bicarbonate solution and extracted with DCM (three times). Then concentrated and dried to obtain the desired product **6c** (21.1 mg, 80% yield).

V. Investigation of the reaction mechanism

a) Intermolecular competition experiments

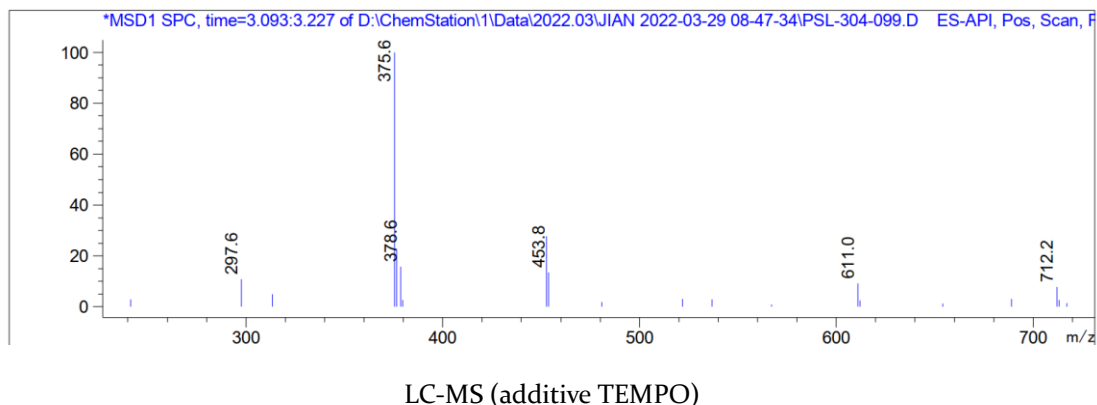
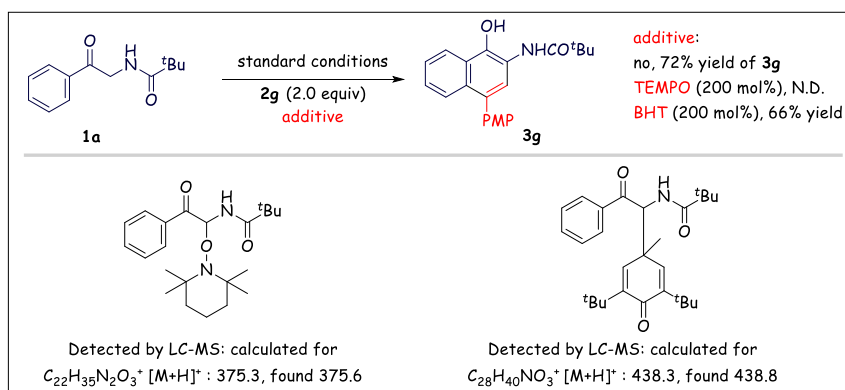


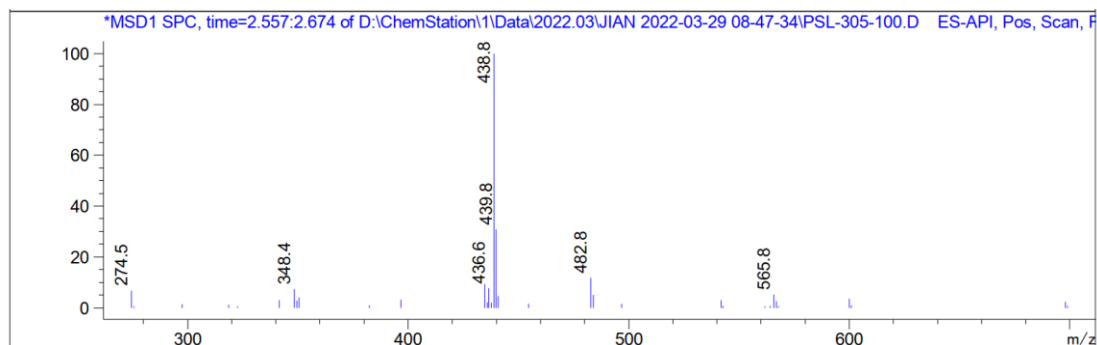
In a 25 mL Schlenk tube equipped with a stir bar was charged with *N*-(2-oxo-2-phenylethyl)pivalamide **1a** (21.9 mg, 0.1 mmol, 1.0 equiv), 1-ethynyl-4-methoxybenzene (26.5 mg, 0.2 mmol, 2.0 equiv), methyl 4-ethynylbenzoate (32.0 mg, 0.2 mmol, 2.0 equiv), DTBP (36.8 μ L, 0.2 mmol, 2.0 equiv) and LiCl (8.5 mg, 0.2 mmol, 2.0 equiv) in benzene (2.0 mL). The reaction was stirred at 120 °C for 16 h under N₂ atmosphere. After cooled to room temperature, the solvent was removed under reduced pressure, and the residue was purified by silica gel column chromatography using petroleum ether and ethyl acetate as eluents to obtain the desired products **3g** (4.1 mg, 12% yield) and **3p** (3.9 mg, 10% yield).



In a 25 mL Schlenk tube equipped with a stir bar was charged with **1t** (65.1 mg, 0.20 mmol, 2.0 equiv), **1x** (70.7 mg, 0.20 mmol, 2.0 equiv), 1-ethynyl-4-methoxybenzene (13.3 mg, 0.1 mmol, 1.0 equiv), DTBP (36.8 μL , 0.2 mmol, 2.0 equiv) and LiCl (8.5 mg, 0.2 mmol, 2.0 equiv) in benzene (2.0 mL). The reaction was stirred at 120 °C for 16 h under N₂ atmosphere. After cooled to room temperature, the solvent was removed under reduced pressure, and the residue was purified by silica gel column chromatography using petroleum ether and ethyl acetate as eluents to obtain the desired products **4t** (10.2 mg, 22% yield) and **4x** (17.0 mg, 35% yield).

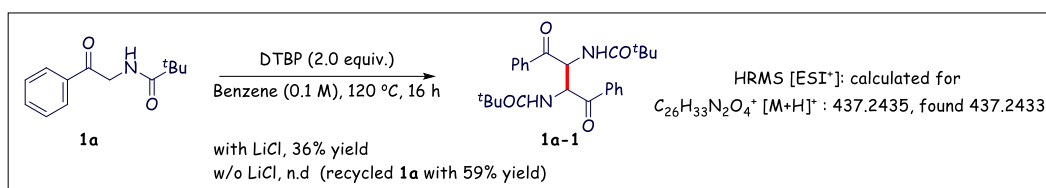
b) Radical scavenger experiments





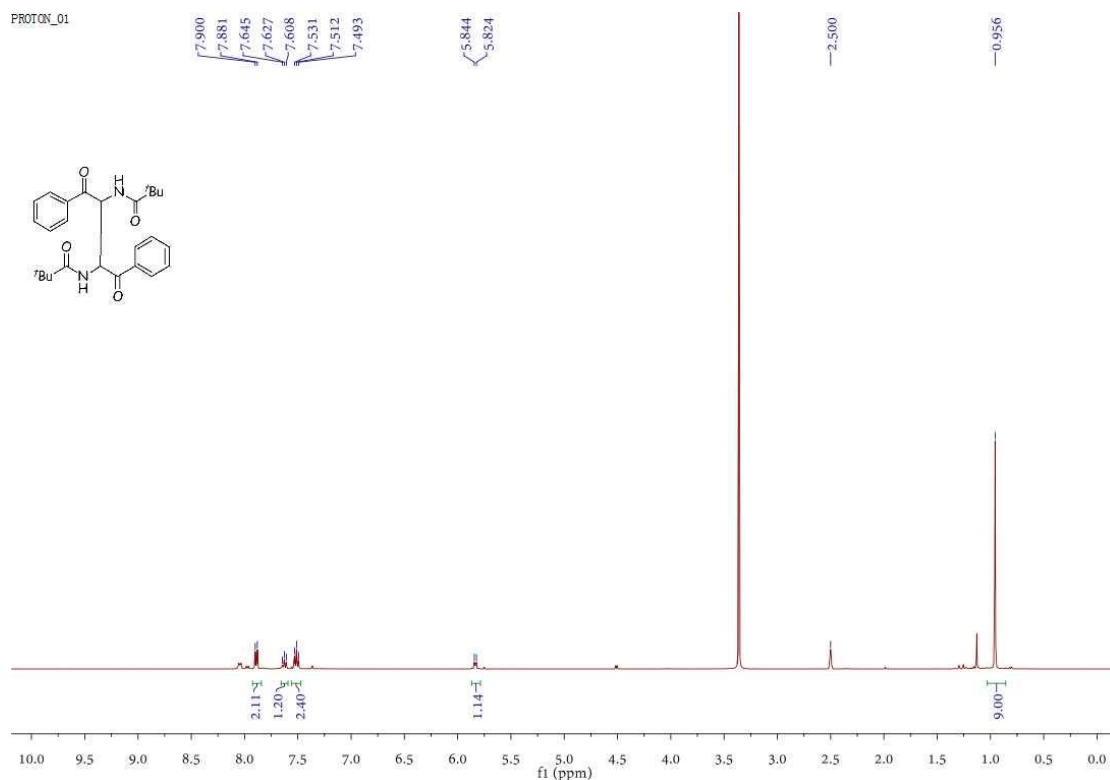
LC-MS (additive BHT)

c) The effect of LiCl

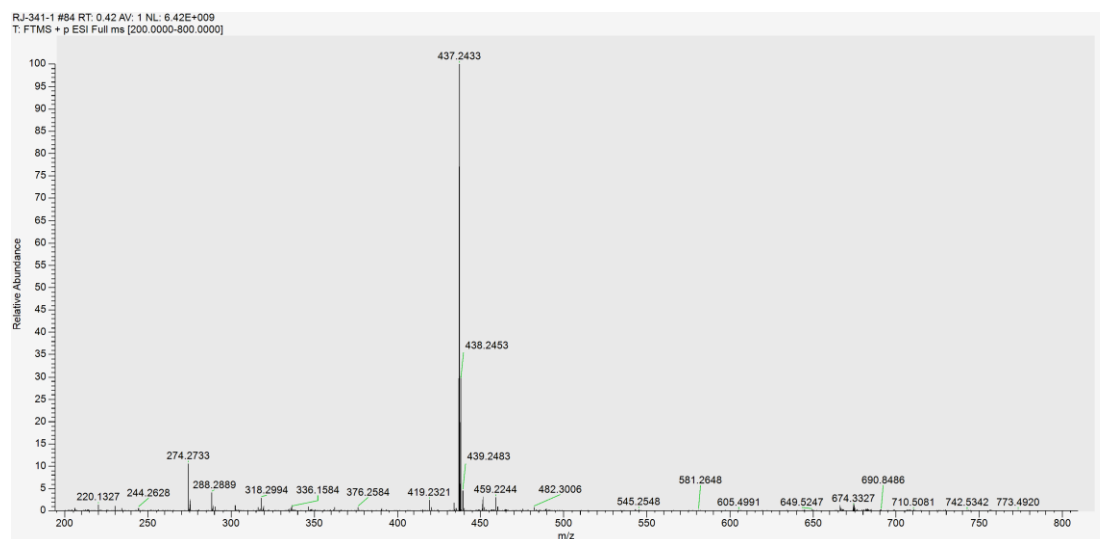
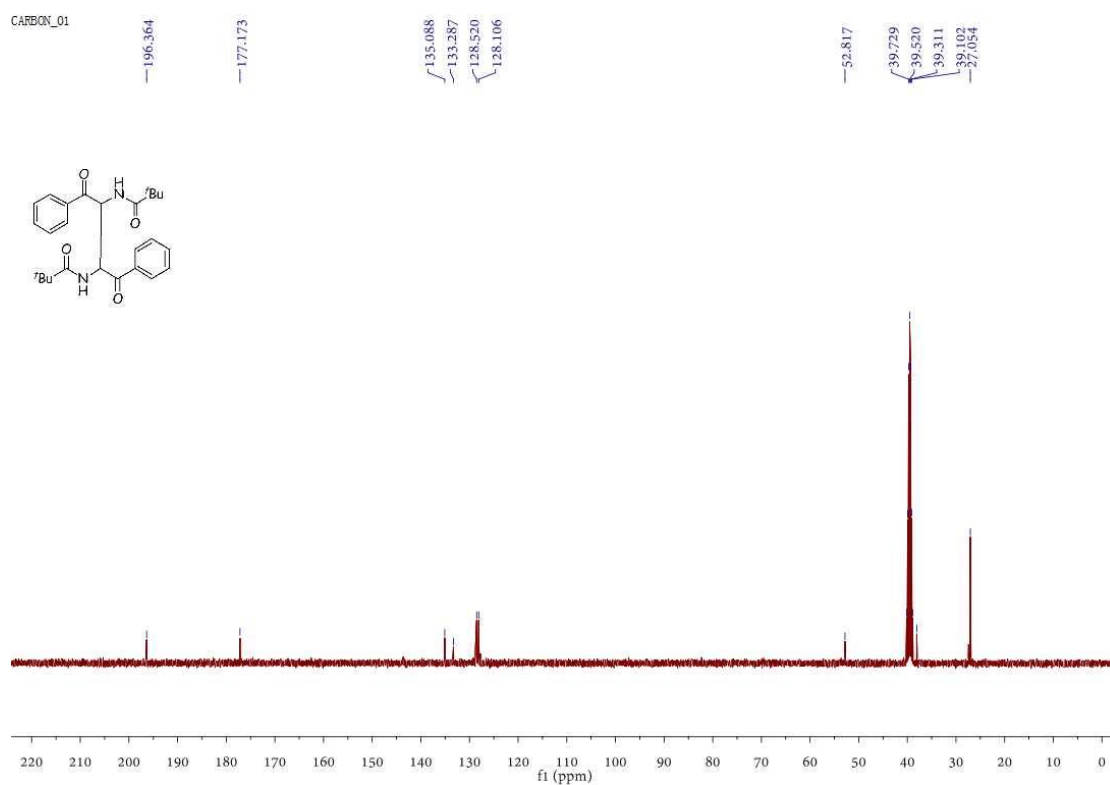


N,N'-(1,4-dioxo-1,4-diphenylbutane-2,3-diyl)bis(2,2-dimethylpropanamide) (1a-1):

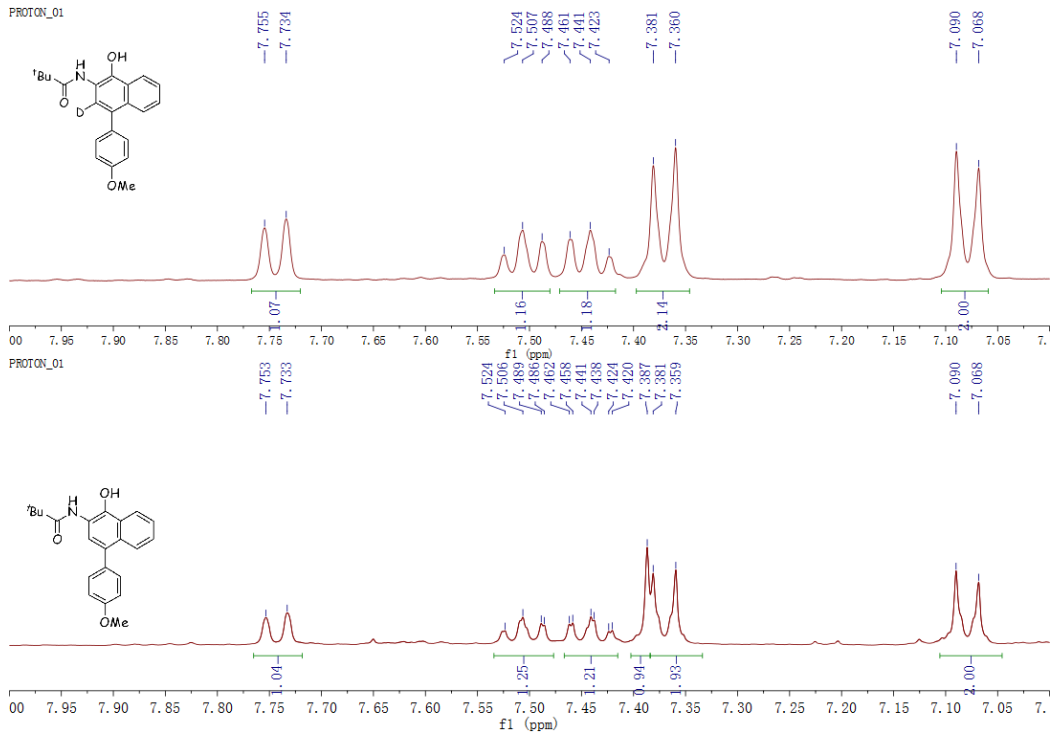
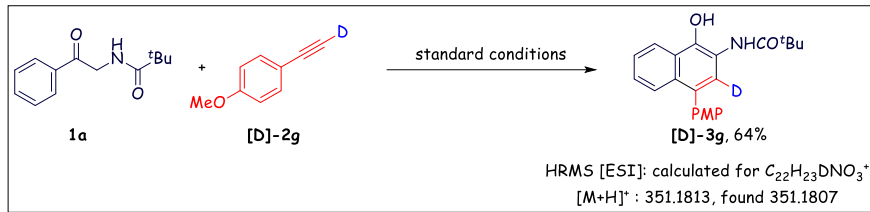
Yield: 20%; pale-yellow oil; ¹H NMR (400 MHz, DMSO) δ 7.89 (d, *J* = 7.8 Hz, 2H), 7.63 (t, *J* = 7.3 Hz, 1H), 7.51 (t, *J* = 7.6 Hz, 2H), 5.83 (d, *J* = 8.3 Hz, 1H), 0.96 (s, 9H); ¹³C NMR (101 MHz, DMSO) δ 196.36, 177.17, 135.09, 133.29, 128.52, 128.11, 52.82, 38.04, 27.05. HRMS [ESI⁺]: calculated for C₂₆H₃₃N₂O₄⁺ [M+H]⁺: 437.2435, found 437.2433.



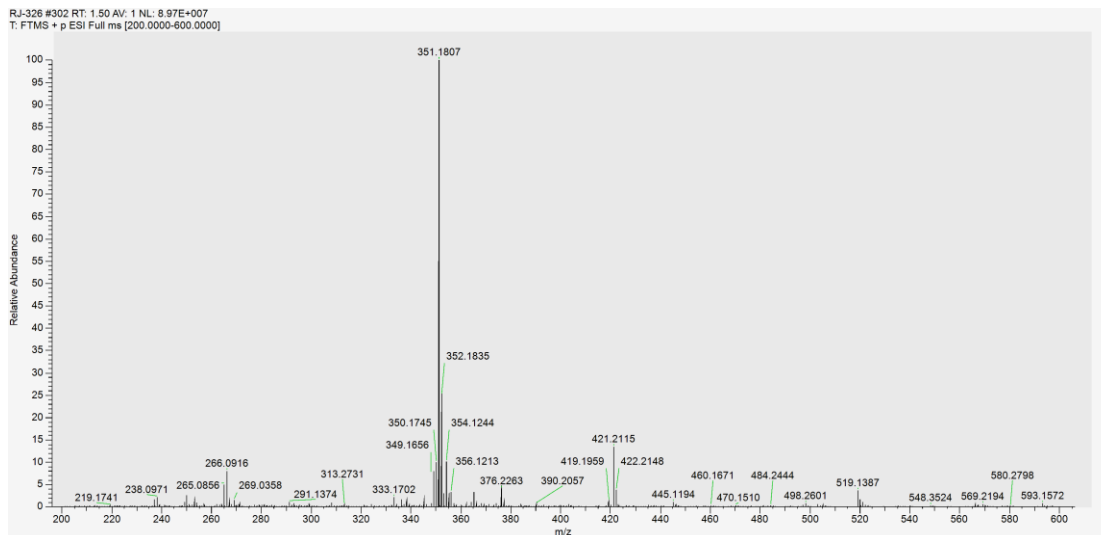
¹H-NMR spectrum of compound 1a-1 (400 MHz, DMSO)



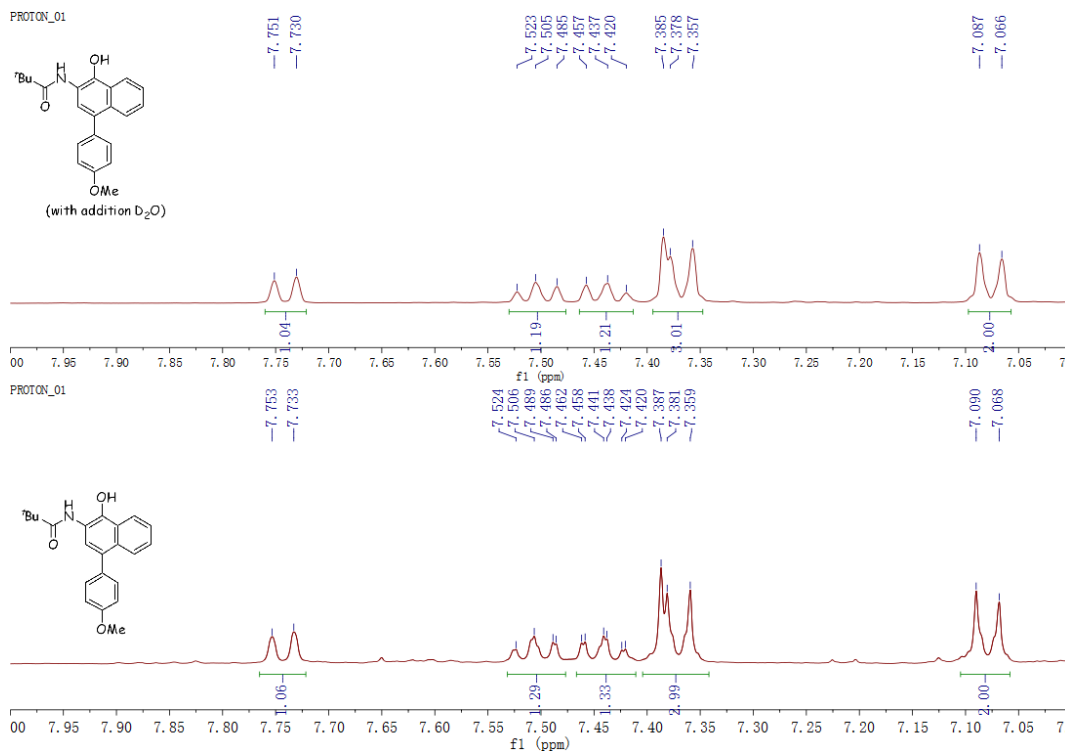
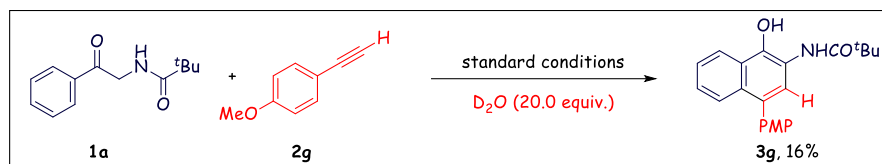
d) H/D Exchange experiments



H/D exchange experiments : ¹H-NMR spectrum comparison (400 MHz, DMSO)

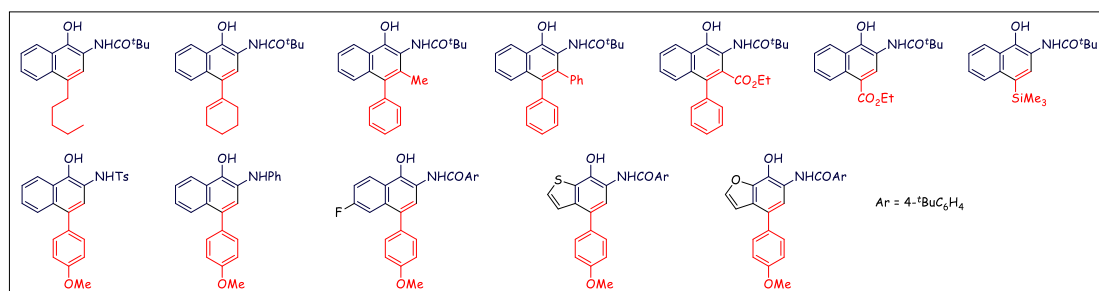


HRMS of compound **[D]-3g**

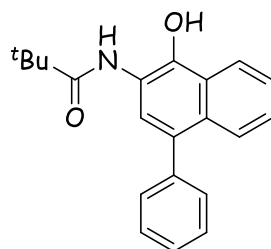


H/D exchange experiments : ^1H -NMR spectrum comparison (400 MHz, DMSO)

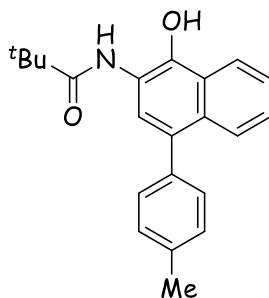
VI. Substrate scope (The products shown below were not obtained)



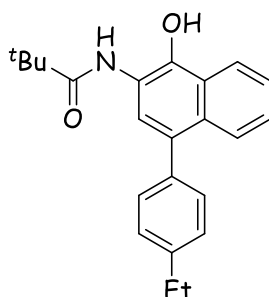
VII. Experimental data for the described substances



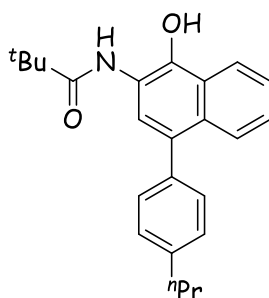
***N*-(1-hydroxy-4-phenylnaphthalen-2-yl)pivalamide (3a)**: Yield: 61%; pale-yellow oil; ¹H NMR (400 MHz, DMSO-*d*₆) δ 9.90 (s, 1H), 9.57 (s, 1H), 8.34 – 8.27 (m, 1H), 7.74 (d, *J* = 8.2 Hz, 1H), 7.56 – 7.49 (m, 3H), 7.48 – 7.40 (m, 5H), 1.31 (s, 9H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 178.92, 143.22, 139.70, 131.10, 129.80, 129.68, 128.47, 127.19, 126.63, 125.99, 125.32, 124.94, 124.40, 122.70, 120.16, 39.94, 27.37; HRMS [ESI]: calculated for C₂₁H₂₂NO₂⁺ [M+H]⁺ : 320.1645, found 320.1649.



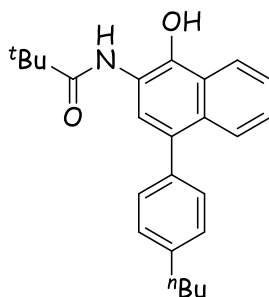
***N*-(1-hydroxy-4-(*p*-tolyl)naphthalen-2-yl)pivalamide (3b)**: Yield: 40%; pale-yellow oil; ¹H NMR (400 MHz, DMSO-*d*₆) δ 9.88 (s, 1H), 9.61 (s, 1H), 8.31 (d, *J* = 8.3 Hz, 1H), 7.75 (d, *J* = 8.4 Hz, 1H), 7.54 – 7.48 (m, 1H), 7.44 (d, *J* = 8.0 Hz, 1H), 7.41 (s, 1H), 7.36 – 7.29 (m, 4H), 2.39 (s, 3H), 1.31 (s, 9H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 178.96, 143.11, 136.79, 136.34, 131.14, 129.85, 129.69, 129.06, 126.71, 125.90, 125.28, 125.05, 124.25, 122.72, 120.20, 39.10, 27.40, 20.84; HRMS [ESI]: calculated for C₂₂H₂₄NO₂⁺ [M+H]⁺ : 334.1802, found 334.1791.



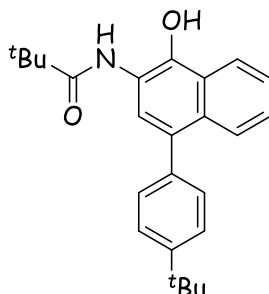
***N*-(4-(4-ethylphenyl)-1-hydroxynaphthalen-2-yl)pivalamide (3c)**: Yield: 50%; yellow solid; ¹H NMR (400 MHz, DMSO-*d*₆) δ 9.84 (s, 1H), 9.56 (s, 1H), 8.34 – 8.25 (m, 1H), 7.75 (d, *J* = 8.2 Hz, 1H), 7.51 (m, 1H), 7.44 (m, 1H), 7.40 (s, 1H), 7.35 (m, 4H), 2.69 (q, *J* = 7.6 Hz, 2H), 1.30 (s, 9H), 1.25 (t, *J* = 7.6 Hz, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 179.01, 143.14, 142.75, 137.08, 131.20, 129.84, 129.80, 127.92, 126.71, 125.97, 125.35, 125.10, 124.35, 122.73, 120.25, 39.16, 27.99, 27.43, 15.73; HRMS [ESI]: calculated for C₂₃H₂₆NO₂⁺ [M+H]⁺ : 348.1958, found 348.1949.



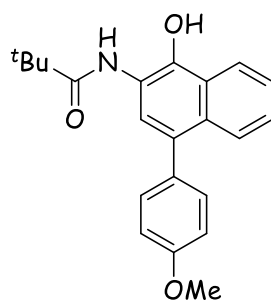
***N*-(1-hydroxy-4-(4-propylphenyl)naphthalen-2-yl)pivalamide (3d)**: Yield: 47%; yellow oil; ¹H NMR (400 MHz, DMSO-*d*₆) δ 9.86 (s, 1H), 9.56 (s, 1H), 8.30 (m, 1H), 7.75 (d, *J* = 8.0 Hz, 1H), 7.50 (m, 1H), 7.46 – 7.41 (m, 1H), 7.40 (s, 1H), 7.33 (m, 4H), 2.67 – 2.60 (m, 2H), 1.65 (dq, *J* = 15.0, 7.4 Hz, 2H), 1.30 (s, 9H), 0.94 (t, *J* = 7.3 Hz, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 179.02, 143.12, 141.11, 137.10, 131.20, 129.83, 129.71, 128.50, 126.72, 125.97, 125.35, 125.09, 124.36, 122.74, 120.25, 39.16, 37.05, 27.42, 24.18, 13.75; HRMS [ESI]: calculated for C₂₄H₂₈NO₂⁺ [M+H]⁺ : 362.2115, found 362.2110.



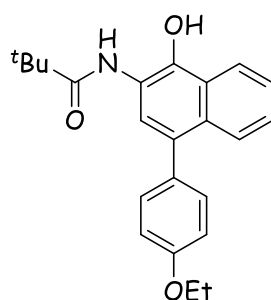
***N*-(4-(4-butylphenyl)-1-hydroxynaphthalen-2-yl)pivalamide (3e)**: Yield: 61%; yellow oil; ¹H NMR (400 MHz, DMSO-*d*₆) δ 9.86 (s, 1H), 9.55 (s, 1H), 8.30 (d, *J* = 8.3 Hz, 1H), 7.75 (d, *J* = 8.3 Hz, 1H), 7.54 – 7.48 (m, 1H), 7.45 (dd, *J* = 11.1, 4.1 Hz, 1H), 7.40 (s, 1H), 7.34 (m, 4H), 2.67 (t, *J* = 7.6 Hz, 2H), 1.63 (dt, *J* = 15.2, 7.5 Hz, 2H), 1.40 – 1.33 (m, 2H), 1.32 (s, 9H), 0.93 (t, *J* = 7.6 Hz, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 178.90, 143.05, 141.22, 136.99, 131.09, 129.75, 129.65, 128.38, 126.64, 125.90, 125.26, 125.02, 124.32, 122.68, 120.16, 39.31, 34.56, 33.18, 27.37, 21.79, 13.85; HRMS [ESI]: calculated for C₂₅H₃₀NO₂⁺ [M+H]⁺ : 376.2271, found 376.2262.



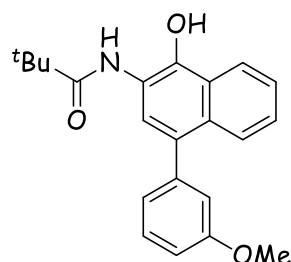
***N*-(4-(4-*tert*-butylphenyl)-1-hydroxynaphthalen-2-yl)pivalamide (3f)**: Yield: 45%; yellow oil; ¹H NMR (400 MHz, DMSO-*d*₆) δ 9.86 (s, 1H), 9.53 (s, 1H), 8.30 (d, *J* = 8.5 Hz, 1H), 7.77 (d, *J* = 8.2 Hz, 1H), 7.55 – 7.52 (m, 2H), 7.50 (dd, *J* = 8.3, 1.2 Hz, 1H), 7.45 (dd, *J* = 8.3, 1.3 Hz, 1H), 7.42 – 7.37 (m, 3H), 1.35 (s, 9H), 1.31 (s, 9H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 178.86, 149.50, 143.08, 136.79, 130.99, 129.72, 129.46, 126.64, 125.88, 125.24, 125.20, 125.05, 124.41, 122.67, 120.19, 39.94, 34.32, 31.19, 27.36; HRMS [ESI]: calculated for C₂₅H₃₀NO₂⁺ [M+H]⁺ : 376.2271, found 376.2263.



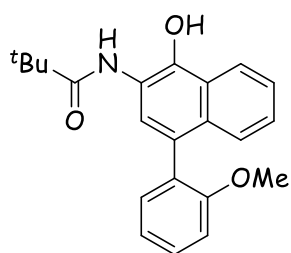
***N*-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)pivalamide (3g)**: Yield: 72%; pale-yellow solid; ¹H NMR (400 MHz, DMSO-*d*₆) δ 9.83 (s, 1H), 9.57 (s, 1H), 8.29 (d, *J* = 7.8 Hz, 1H), 7.74 (d, *J* = 8.1 Hz, 1H), 7.50 (dd, *J* = 11.1, 4.0 Hz, 1H), 7.47 – 7.41 (m, 1H), 7.40 – 7.34 (m, 3H), 7.08 (d, *J* = 8.7 Hz, 2H), 3.83 (s, 3H), 1.31 (s, 9H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 178.90, 158.46, 142.92, 131.87, 130.88, 129.92, 126.67, 125.85, 125.24, 125.05, 124.23, 122.67, 120.15, 113.91, 55.18, 39.73, 27.38; HRMS [ESI]: calculated for C₂₂H₂₄NO₂⁺ [M+H]⁺ : 350.1751, found 350.1740.



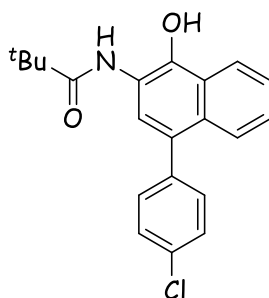
***N*-(4-(4-ethoxyphenyl)-1-hydroxynaphthalen-2-yl)pivalamide (3h)**: Yield: 61%; pale-yellow oil; ¹H NMR (400 MHz, DMSO-*d*₆) δ 9.84 (s, 1H), 9.59 (s, 1H), 8.29 (d, *J* = 8.3 Hz, 1H), 7.75 (d, *J* = 8.3 Hz, 1H), 7.53 – 7.47 (m, 1H), 7.44 (s, 1H), 7.38 (s, 1H), 7.35 (d, *J* = 8.2 Hz, 2H), 7.06 (d, *J* = 8.3 Hz, 2H), 4.09 (q, *J* = 6.9 Hz, 2H), 1.37 (t, *J* = 6.9 Hz, 3H), 1.31 (s, 9H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 178.93, 157.74, 142.93, 131.75, 130.89, 129.94, 126.69, 125.87, 125.26, 125.08, 124.25, 122.70, 120.16, 114.36, 63.10, 39.12, 27.40, 14.76; HRMS [ESI]: calculated for C₂₃H₂₆NO₂⁺ [M+H]⁺ : 364.1907, found 364.1898.



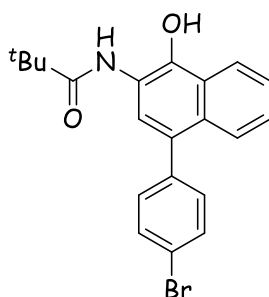
***N*-(1-hydroxy-4-(3-methoxyphenyl)naphthalen-2-yl)pivalamide (3i)**: Yield: 60%; pale-yellow oil; ¹H NMR (400 MHz, DMSO-*d*₆) δ 9.90 (s, 1H), 9.59 (s, 1H), 8.30 (d, *J* = 8.3 Hz, 1H), 7.77 (d, *J* = 8.3 Hz, 1H), 7.54 – 7.49 (m, 1H), 7.48 – 7.40 (m, 3H), 7.04 – 6.96 (m, 3H), 3.81 (s, 3H), 1.31 (s, 9H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 178.98, 159.27, 143.32, 141.12, 130.98, 129.72, 129.52, 126.63, 126.03, 125.35, 125.03, 124.31, 122.71, 122.20, 120.09, 115.41, 112.69, 55.18, 39.72, 27.40; HRMS [ESI]: calculated for C₂₂H₂₄NO₂⁺ [M+H]⁺ : 350.1751, found 350.1742.



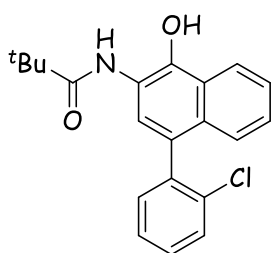
***N*-(1-hydroxy-4-(2-methoxyphenyl)naphthalen-2-yl)pivalamide (3j)**: Yield: 67%; pale-yellow oil; ¹H NMR (400 MHz, CD₃OD) δ 8.32 (d, *J* = 8.4 Hz, 1H), 7.43 (d, *J* = 7.0 Hz, 1H), 7.41 – 7.35 (m, 2H), 7.33 – 7.28 (m, 1H), 7.24 (s, 1H), 7.22 (dd, *J* = 7.4, 1.3 Hz, 1H), 7.10 – 7.01 (m, 2H), 3.64 (s, 3H), 1.37 (s, 9H); ¹³C NMR (101 MHz, CD₃OD) δ 181.32, 158.83, 144.76, 132.89, 132.72, 130.79, 130.36, 130.15, 128.21, 127.03, 126.46, 126.04, 124.82, 123.54, 121.58, 120.99, 112.11, 55.80, 40.49, 27.99; HRMS [ESI]: calculated for C₂₂H₂₄NO₂⁺ [M+H]⁺ : 350.1751, found 350.1743.



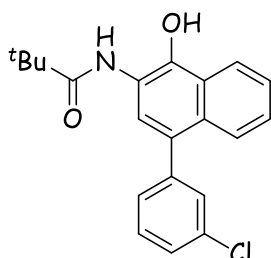
***N*-(4-(4-chlorophenyl)-1-hydroxynaphthalen-2-yl)pivalamide (3k)**: Yield: 40%; yellow oil; ¹H NMR (400 MHz, DMSO-*d*₆) δ 9.95 (s, 1H), 9.59 (s, 1H), 8.31 (d, *J* = 8.3 Hz, 1H), 7.70 (d, *J* = 8.3 Hz, 1H), 7.57 (m, 2H), 7.55 – 7.50 (m, 1H), 7.47 (m, 3H), 7.43 (s, 1H), 1.31 (s, 9H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 179.34, 143.96, 138.92, 132.39, 132.00, 130.05, 129.91, 128.91, 127.01, 126.61, 125.84, 125.11, 124.96, 123.17, 120.57, 39.10, 27.76; HRMS [ESI]: calculated for C₂₁H₂₁³⁵ClNO₂⁺ [M+H]⁺ : 354.1255, found 354.1247, C₂₁H₂₁³⁷ClNO₂⁺ [M+H]⁺ : 356.1226, found 356.1215.



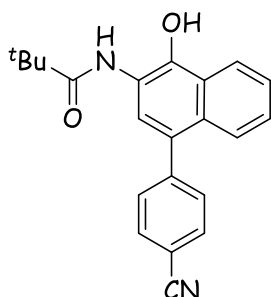
***N*-(4-(4-bromophenyl)-1-hydroxynaphthalen-2-yl)pivalamide (3l)**: Yield: 40%; brown oil; ¹H NMR (400 MHz, DMSO-*d*₆) δ 9.95 (s, 1H), 9.59 (s, 1H), 8.31 (d, *J* = 8.3 Hz, 1H), 7.70 (m, 3H), 7.49 (m, 2H), 7.42 (d, *J* = 2.4 Hz, 2H), 7.40 (s, 1H), 1.31 (s, 9H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 179.33, 143.98, 139.29, 132.33, 131.83, 130.06, 129.84, 127.02, 126.62, 125.85, 125.11, 124.91, 123.17, 120.95, 120.58, 39.12, 27.76; HRMS [ESI]: calculated for C₂₁H₂₁⁷⁹BrNO₂⁺ [M+H]⁺ : 398.0750, found 398.0743, C₂₁H₂₁⁸¹BrNO₂⁺ [M+H]⁺ : 400.0730, found 400.0720.



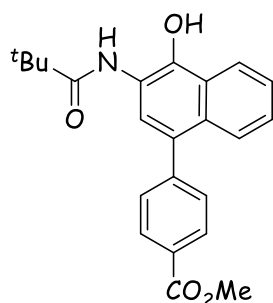
N-(4-(2-chlorophenyl)-1-hydroxynaphthalen-2-yl)pivalamide (3m): Yield: 55%; yellow oil; ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 9.95 (s, 1H), 9.61 (s, 1H), 8.30 (d, $J = 8.3$ Hz, 1H), 7.63 (d, $J = 6.9$ Hz, 1H), 7.50 (m, 3H), 7.42 (m, 2H), 7.38 (s, 1H), 7.24 (d, $J = 8.3$ Hz, 1H), 1.31 (s, 9H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 179.32, 144.08, 138.61, 133.69, 132.81, 130.27, 129.99, 129.75, 128.78, 127.73, 126.69, 126.47, 125.76, 125.39, 124.73, 123.03, 120.42, 39.11, 27.75; HRMS [ESI]: calculated for $\text{C}_{21}\text{H}_{21}^{35}\text{ClNO}_2^+$ $[\text{M}+\text{H}]^+$: 354.1255, found 354.1247, $\text{C}_{21}\text{H}_{21}^{37}\text{ClNO}_2^+$ $[\text{M}+\text{H}]^+$: 356.1226, found 356.1214.



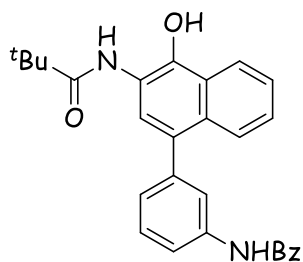
N-(4-(3-chlorophenyl)-1-hydroxynaphthalen-2-yl)pivalamide (3n): Yield: 51%; yellow oil; ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 10.01 (s, 1H), 9.58 (s, 1H), 8.31 (d, $J = 8.3$ Hz, 1H), 7.71 (d, $J = 8.2$ Hz, 1H), 7.56 (d, $J = 7.7$ Hz, 1H), 7.53 (d, $J = 4.3$ Hz, 2H), 7.51 – 7.48 (m, 2H), 7.46 (s, 1H), 7.43 (dd, $J = 7.1, 1.1$ Hz, 1H), 1.31 (s, 9H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 179.38, 144.10, 142.23, 133.59, 130.70, 129.81, 129.78, 129.05, 127.57, 127.00, 126.72, 125.88, 125.11, 125.00, 123.20, 120.54, 39.11, 27.76; HRMS [ESI]: calculated for $\text{C}_{21}\text{H}_{21}^{35}\text{ClNO}_2^+$ $[\text{M}+\text{H}]^+$: 354.1255, found 354.1247, $\text{C}_{21}\text{H}_{21}^{37}\text{ClNO}_2^+$ $[\text{M}+\text{H}]^+$: 356.1226, found 356.1216.



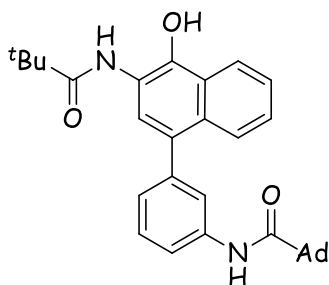
N-(4-(4-cyanophenyl)-1-hydroxynaphthalen-2-yl)pivalamide (3o): Yield: 57%; brown solid; ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 10.06 (s, 1H), 9.56 (s, 1H), 8.33 (d, $J = 7.8$ Hz, 1H), 7.98 (d, $J = 8.3$ Hz, 2H), 7.69 (d, $J = 9.2$ Hz, 1H), 7.67 (d, $J = 8.3$ Hz, 2H), 7.54 (m, 2H), 7.49 (s, 1H), 1.31 (s, 9H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 178.95, 144.69, 144.13, 132.46, 130.80, 129.18, 129.13, 126.59, 126.47, 125.59, 124.92, 124.44, 122.86, 120.26, 118.92, 109.90, 39.10, 27.34; HRMS [ESI]: calculated for $\text{C}_{21}\text{H}_{22}\text{N}_2\text{O}_2^+$ $[\text{M}+\text{H}]^+$: 345.1598, found 345.1589.



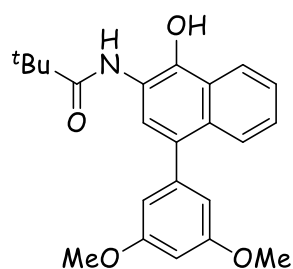
Methyl 4-(4-hydroxy-3-pivalamidonaphthalen-1-yl)benzoate (3p): Yield: 50%; pale-yellow solid; $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 10.02 (s, 1H), 9.58 (s, 1H), 8.33 (m, 1H), 8.11 (d, $J = 8.3$ Hz, 2H), 7.73 (d, $J = 8.1$ Hz, 1H), 7.62 (d, $J = 8.3$ Hz, 2H), 7.54 (m, 1H), 7.49 (s, 1H), 7.48 – 7.45 (m, 1H), 3.90 (s, 3H), 1.31 (s, 9H); $^{13}\text{C NMR}$ (101 MHz, $\text{DMSO-}d_6$) δ 178.97, 166.14, 144.66, 143.85, 130.19, 129.79, 129.39, 129.30, 128.29, 126.65, 126.32, 125.50, 124.70, 124.62, 122.82, 120.25, 52.21, 39.10, 27.34; HRMS [ESI]: calculated for $\text{C}_{21}\text{H}_{22}\text{N}_2\text{O}_2^+$ $[\text{M}+\text{H}]^+$: 345.1598, found 345.1589.



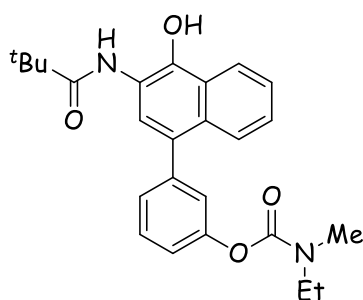
N-(3-(4-hydroxy-3-pivalamidonaphthalen-1-yl)phenyl)benzamide (3q): Yield: 51%; brown oil; $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 10.40 (s, 1H), 9.92 (s, 1H), 9.61 (s, 1H), 8.33 (d, $J = 8.0$ Hz, 1H), 8.01 – 7.95 (m, 3H), 7.91 – 7.82 (m, 2H), 7.62 – 7.45 (m, 7H), 7.20 (d, $J = 7.6$ Hz, 1H), 1.32 (s, 9H); $^{13}\text{C NMR}$ (101 MHz, $\text{DMSO-}d_6$) δ 178.94, 165.73, 143.34, 140.08, 139.35, 134.94, 131.67, 131.01, 129.66, 128.76, 128.45, 127.72, 126.67, 126.07, 125.39, 125.18, 125.07, 124.40, 122.75, 121.65, 120.21, 119.03, 39.15, 27.41; HRMS [ESI]: calculated for $\text{C}_{28}\text{H}_{27}\text{N}_2\text{O}_3^+$ $[\text{M}+\text{H}]^+$: 439.2016, found 439.2015.



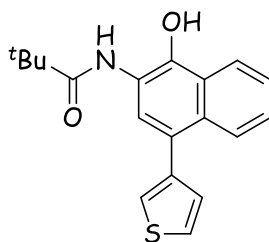
(3s)-N-(3-(4-hydroxy-3-pivalamidonaphthalen-1-yl)phenyl)adamantane-1-carboxamide (3r): Yield: 50%; brown oil; $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 9.89 (s, 1H), 9.58 (s, 1H), 9.24 (s, 1H), 8.31 (d, $J = 9.9$ Hz, 1H), 7.97 – 7.93 (m, 1H), 7.84 – 7.77 (m, 2H), 7.53 – 7.47 (m, 2H), 7.43 (s, 1H), 7.40 (d, $J = 7.8$ Hz, 1H), 7.11 (d, $J = 7.7$ Hz, 1H), 2.01 (m, 3H), 1.92 (m, 6H), 1.69 (m, 6H), 1.31 (s, 9H); $^{13}\text{C NMR}$ (101 MHz, $\text{DMSO-}d_6$) δ 178.91, 167.35, 143.16, 139.89, 139.56, 132.89, 131.15, 129.29, 128.59, 126.64, 125.97, 125.31, 125.06, 124.21, 122.70, 121.50, 120.21, 118.81, 41.00, 38.32, 36.04, 27.71, 27.39; HRMS [ESI]: calculated for $\text{C}_{32}\text{H}_{37}\text{N}_2\text{O}_3^+$ $[\text{M}+\text{H}]^+$: 497.2799, found 497.2795.



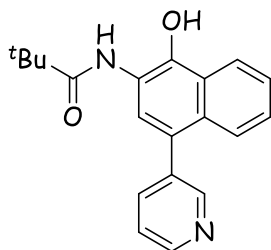
N-(4-(3,5-dimethoxyphenyl)-1-hydroxynaphthalen-2-yl)pivalamide (3s): Yield: 55%; brown oil; $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 11.00 (s, 1H), 9.88 (s, 1H), 9.58 (s, 1H), 8.29 (d, $J = 8.3$ Hz, 1H), 7.78 (d, $J = 8.3$ Hz, 1H), 7.48 (d, $J = 7.1$ Hz, 1H), 7.42 (s, 1H), 6.58 (d, $J = 1.7$ Hz, 1H), 6.56 (s, 2H), 3.79 (s, 6H), 1.30 (d, $J = 6.5$ Hz, 9H); $^{13}\text{C NMR}$ (101 MHz, $\text{DMSO-}d_6$) δ 179.02, 164.27, 160.39, 143.34, 141.76, 131.09, 129.72, 126.61, 126.04, 125.36, 124.06, 120.04, 109.63, 108.06, 99.07, 55.35, 27.41, 25.91; HRMS [ESI]: calculated for $\text{C}_{23}\text{H}_{26}\text{NO}_2^+$ $[\text{M}+\text{H}]^+$: 380.1856, found 380.1849.



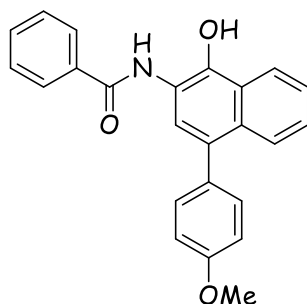
3-(4-hydroxy-3-pivalamidonaphthalen-1-yl)phenyl ethyl(methyl)carbamate (3t): Yield: 42%; pale-yellow oil; $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 9.96 (s, 1H), 9.59 (s, 1H), 8.31 (d, $J = 8.1$ Hz, 1H), 7.77 (d, $J = 8.3$ Hz, 1H), 7.53 – 7.46 (m, 3H), 7.45 (s, 1H), 7.31 (d, $J = 7.6$ Hz, 1H), 7.19 (d, $J = 7.9$ Hz, 2H), 3.44 (dd, $J = 13.9, 6.9$ Hz, 1H), 3.33 – 3.28 (m, 1H), 2.97 (d, $J = 52.5$ Hz, 3H), 1.31 (s, 9H), 1.26 – 1.17 (m, 3H); $^{13}\text{C NMR}$ (101 MHz, $\text{DMSO-}d_6$) δ 179.38, 151.75, 143.83, 130.48, 129.90, 129.63, 129.16, 128.16, 127.04, 126.56, 125.79, 125.16, 124.90, 123.57, 123.16, 121.07, 120.55, 43.92, 39.12, 27.80, 27.77, 12.71; HRMS [ESI]: calculated for $\text{C}_{25}\text{H}_{29}\text{N}_2\text{O}_4^+$ $[\text{M}+\text{H}]^+$: 421.2122, found 421.2116.



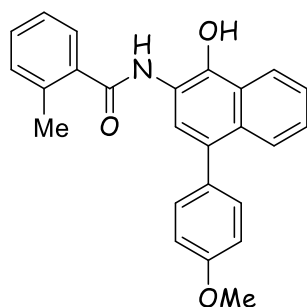
N-(1-hydroxy-4-(thiophen-3-yl)naphthalen-2-yl)pivalamide (3u): Yield: 59%; yellow oil; $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 9.89 (s, 1H), 9.58 (s, 1H), 8.30 (d, $J = 8.0$ Hz, 1H), 7.88 (d, $J = 8.2$ Hz, 1H), 7.74 – 7.70 (m, 1H), 7.61 (d, $J = 2.9$ Hz, 1H), 7.55 – 7.46 (m, 3H), 7.32 – 7.29 (m, 1H), 1.32 (s, 9H); $^{13}\text{C NMR}$ (101 MHz, $\text{DMSO-}d_6$) δ 178.93, 143.31, 140.00, 129.94, 129.42, 126.64, 126.33, 126.09, 125.93, 125.38, 124.99, 124.45, 123.57, 122.69, 120.15, 39.10, 27.40; HRMS [ESI]: calculated for $\text{C}_{19}\text{H}_{20}\text{NO}_2\text{S}^+$ $[\text{M}+\text{H}]^+$: 326.1209, found 326.1199.



***N*-(1-hydroxy-4-(pyridin-3-yl)naphthalen-2-yl)pivalamide (3v)**: Yield: 18%; yellow oil; ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 10.01 (s, 1H), 9.59 (s, 1H), 8.65 (d, $J = 2.1$ Hz, 2H), 8.33 (d, $J = 8.2$ Hz, 1H), 7.92 – 7.87 (m, 1H), 7.66 (d, $J = 8.2$ Hz, 1H), 7.58 – 7.53 (m, 2H), 7.50 (d, $J = 8.2$ Hz, 1H), 7.47 (s, 1H), 1.31 (s, 9H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 178.98, 150.02, 148.39, 144.03, 137.35, 135.40, 129.71, 127.28, 126.69, 126.44, 125.57, 125.09, 124.52, 123.58, 122.88, 120.32, 39.16, 27.40; HRMS [ESI]: calculated for $\text{C}_{20}\text{H}_{21}\text{N}_2\text{O}_2^+$ $[\text{M}+\text{H}]^+$: 321.1598, found 321.1588.

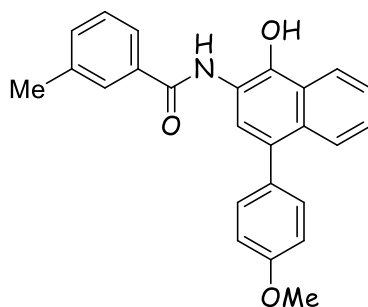


***N*-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)benzamide (4a)**: Yield: 50%; brown oil; ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 10.48 (s, 1H), 9.98 (s, 1H), 8.35 (d, $J = 8.2$ Hz, 1H), 8.10 (d, $J = 7.8$ Hz, 2H), 7.79 (d, $J = 8.3$ Hz, 1H), 7.63 (d, $J = 6.7$ Hz, 1H), 7.60 – 7.54 (m, 2H), 7.52 (d, $J = 8.0$ Hz, 1H), 7.50 – 7.46 (m, 2H), 7.40 (d, $J = 8.0$ Hz, 2H), 7.09 (d, $J = 7.9$ Hz, 2H), 3.83 (s, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 167.15, 158.87, 144.38, 133.94, 132.48, 132.28, 131.31, 131.19, 130.64, 128.90, 128.49, 126.97, 126.48, 125.66, 125.50, 125.32, 123.21, 120.03, 114.34, 55.57; HRMS [ESI]: calculated for $\text{C}_{24}\text{H}_{20}\text{NO}_3^+$ $[\text{M}+\text{H}]^+$: 370.1438, found 370.1430.

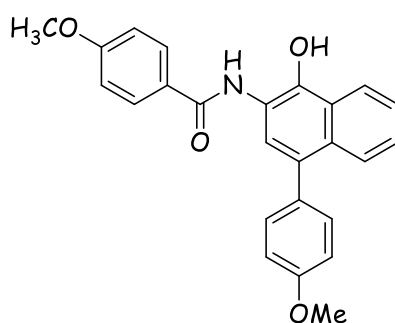


***N*-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)-2-methylbenzamide (4b)**: Yield: 44%; brown oil; ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 10.57 (s, 1H), 10.08 (s, 1H), 8.35 (d, $J = 8.0$ Hz, 1H), 7.78 (d, $J = 8.3$ Hz, 1H), 7.67 (d, $J = 7.2$ Hz, 1H), 7.58 – 7.42 (m, 4H), 7.41 – 7.32 (m, 4H), 7.09 (d, $J = 8.6$ Hz, 2H), 3.83 (s, 3H), 2.47 (s, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 169.44, 158.51, 143.11, 135.85, 135.59, 131.87, 131.02, 130.92, 130.73, 130.27, 130.14, 127.85, 126.69, 126.04, 125.73, 125.35, 125.11, 123.93,

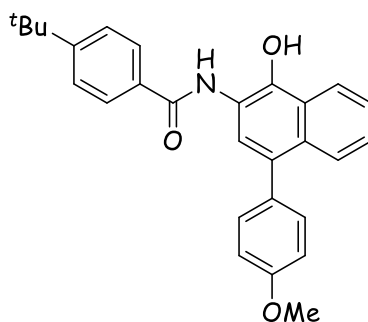
122.80, 119.92, 113.96, 55.18, 19.55; HRMS [ESI]: calculated for $C_{25}H_{22}NO_3^+$ $[M+H]^+$: 384.1594, found 384.1583.



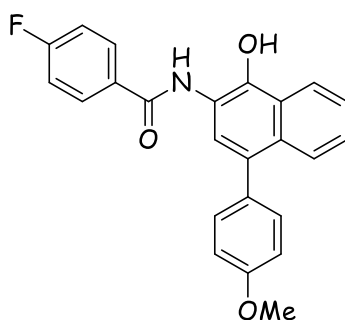
***N*-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)-3-methylbenzamide (4c)**: Yield: 41%; brown oil; 1H NMR (400 MHz, acetone- d_6) δ 10.24 (s, J = 1.6 Hz, 1H), 10.10 (s, 1H), 8.47 (d, J = 8.3 Hz, 1H), 8.00 – 7.93 (m, 2H), 7.83 (d, J = 8.4 Hz, 1H), 7.54 (m, 1H), 7.46 (m, 3H), 7.41 (d, J = 2.9 Hz, 2H), 7.39 (s, 1H), 7.07 (d, J = 8.6 Hz, 2H), 3.87 (s, 3H), 2.43 (s, 3H); ^{13}C NMR (101 MHz, acetone- d_6) δ 168.60, 159.92, 144.69, 139.31, 133.98, 133.88, 133.15, 132.90, 132.82, 131.86, 129.41, 129.38, 128.57, 126.84, 126.13, 125.98, 124.30, 124.02, 120.57, 114.60, 55.59, 21.32; HRMS [ESI]: calculated for $C_{25}H_{22}NO_3^+$ $[M+H]^+$: 384.1594, found 384.1584.



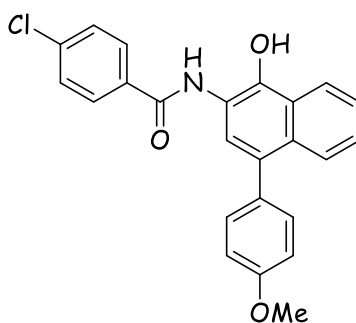
***N*-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)-4-methoxybenzamide (4d)**: Yield: 43%; brown oil; 1H NMR (400 MHz, DMSO- d_6) δ 10.41 (s, 1H), 10.12 (s, 1H), 8.35 (d, J = 8.3 Hz, 1H), 8.10 (d, J = 8.5 Hz, 2H), 7.78 (d, J = 8.3 Hz, 1H), 7.53 (m, 1H), 7.49 – 7.43 (m, 2H), 7.40 (d, J = 8.1 Hz, 2H), 7.10 (m, 4H), 3.86 (s, 3H), 3.83 (s, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 166.72, 162.78, 158.86, 144.12, 132.29, 131.31, 131.20, 130.54, 127.09, 126.40, 125.72, 125.65, 125.46, 125.12, 123.21, 120.25, 114.33, 114.18, 55.91, 55.56; HRMS [ESI]: calculated for $C_{25}H_{22}NO_4^+$ $[M+H]^+$: 400.1543, found 400.1534.



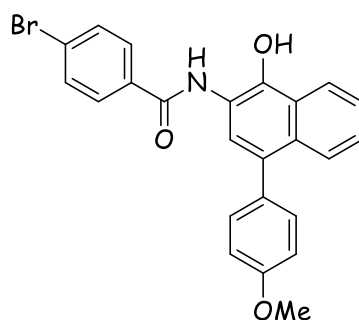
4-(*tert*-butyl)-*N*-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)benzamide (4e): Yield: 67%; brown solid; ¹H NMR (400 MHz, DMSO-*d*₆) δ 10.44 (s, 1H), 10.03 (s, 1H), 8.36 – 8.31 (m, 1H), 8.07 – 8.01 (m, 2H), 7.78 (d, *J* = 8.2 Hz, 1H), 7.58 (d, *J* = 8.5 Hz, 2H), 7.55 – 7.50 (m, 1H), 7.49 – 7.50 – 7.43 (m, 2H), 7.42 – 7.37 (m, 2H), 7.12 – 7.06 (m, 2H), 3.83 (s, 3H), 1.33 (s, 9H); ¹³C NMR (101 MHz, acetone-*d*₆) δ 168.36, 159.90, 156.70, 144.66, 133.16, 132.78, 131.86, 131.15, 129.59, 128.77, 128.57, 128.22, 126.79, 126.40, 126.12, 124.29, 124.01, 120.63, 114.58, 55.58, 35.56, 31.34; HRMS [ESI]: calculated for C₂₈H₂₈NO₃⁺ [M+H]⁺: 426.2064, found 426.2060.



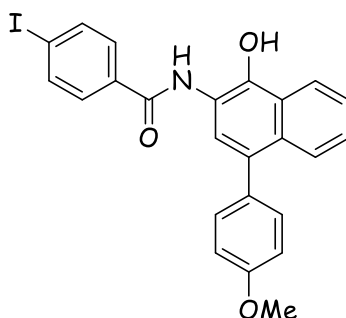
4-fluoro-*N*-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)benzamide (4f): Yield: 50%; yellow oil; ¹H NMR (400 MHz, acetone-*d*₆) δ 10.19 (s, 1H), 10.11 (s, *J* = 8.2 Hz, 1H), 8.47 (d, *J* = 8.3 Hz, 1H), 8.24 (m, 2H), 7.83 (d, *J* = 8.4 Hz, 1H), 7.54 (m, 1H), 7.49 – 7.44 (m, 1H), 7.41 (d, *J* = 4.2 Hz, 2H), 7.39 (s, 1H), 7.33 (m, 2H), 7.07 (d, *J* = 8.5 Hz, 2H), 3.87 (s, 3H); ¹³C NMR (101 MHz, acetone-*d*₆) δ 167.33, 165.98 (d, *J* = 251.0 Hz), 159.91, 144.71, 133.10, 132.86, 131.85, 131.70, 131.61, 130.45 (d, *J* = 3.2 Hz), 128.49, 126.89, 126.14, 124.33, 123.98, 120.44, 116.38 (d, *J* = 22.2 Hz), 114.59, 55.57; ¹⁹F NMR (376 MHz, acetone-*d*₆) δ -108.72; HRMS [ESI]: calculated for C₂₄H₁₉FNO₃⁺ [M+H]⁺: 388.1343, found 388.1332.



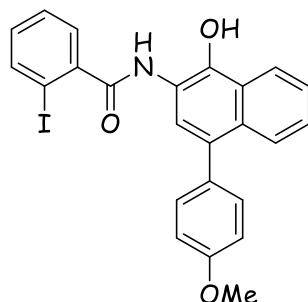
4-chloro-*N*-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)benzamide (4g): Yield: 51%; yellow oil; ¹H NMR (400 MHz, DMSO-*d*₆) δ 10.47 (s, 1H), 9.87 (s, 1H), 8.34 (d, *J* = 8.3 Hz, 1H), 8.11 (d, *J* = 8.3 Hz, 2H), 7.78 (d, *J* = 8.3 Hz, 1H), 7.64 (d, *J* = 8.2 Hz, 2H), 7.56 – 7.50 (m, 1H), 7.48 (d, *J* = 8.1 Hz, 1H), 7.44 (s, 1H), 7.39 (d, *J* = 8.3 Hz, 2H), 7.08 (d, *J* = 8.3 Hz, 2H), 3.82 (s, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 165.60, 158.48, 144.34, 136.86, 132.51, 131.89, 130.92, 130.77, 130.35, 130.03, 128.55, 126.47, 126.14, 125.26, 125.20, 125.13, 122.82, 119.33, 113.96, 55.18; HRMS [ESI]: calculated for C₂₄H₁₉³⁵ClNO₃⁺ [M+H]⁺: 404.1048, found 404.1039, C₂₄H₁₉³⁷ClNO₃⁺ [M+H]⁺: 406.1018, found 406.1004.



4-bromo-*N*-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)benzamide (4h): Yield: 40%; brown oil; $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 10.47 (s, 1H), 9.87 (s, 1H), 8.34 (d, $J = 8.2$ Hz, 1H), 8.04 (d, $J = 8.4$ Hz, 2H), 7.78 (m, 3H), 7.56 – 7.46 (m, 2H), 7.44 (s, 1H), 7.39 (d, $J = 8.5$ Hz, 2H), 7.08 (d, $J = 8.3$ Hz, 2H), 3.83 (s, 3H); $^{13}\text{C NMR}$ (101 MHz, $\text{DMSO-}d_6$) δ 166.09, 158.85, 144.76, 133.26, 132.26, 131.88, 131.31, 131.12, 130.73, 130.58, 126.82, 126.54, 126.21, 125.65, 125.52, 123.20, 119.67, 114.33, 55.56; HRMS [ESI]: calculated for $\text{C}_{24}\text{H}_{19}^{79}\text{BrNO}_3^+$ $[\text{M}+\text{H}]^+$: 448.0543, found 448.0531, $\text{C}_{24}\text{H}_{19}^{81}\text{BrNO}_3^+$ $[\text{M}+\text{H}]^+$: 450.0522, found 450.0513.

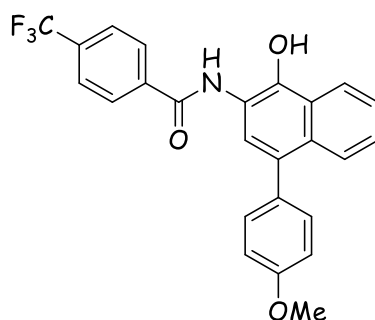


***N*-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)-4-iodobenzamide (4i)**: Yield: 38%; yellow oil; $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 10.42 (s, 1H), 9.84 (s, 1H), 8.30 (d, $J = 8.2$ Hz, 1H), 7.92 (d, $J = 8.2$ Hz, 2H), 7.83 (d, $J = 8.3$ Hz, 2H), 7.74 (d, $J = 8.3$ Hz, 1H), 7.51 – 7.42 (m, 2H), 7.40 (s, 1H), 7.35 (d, $J = 8.4$ Hz, 2H), 7.04 (d, $J = 8.4$ Hz, 2H), 3.79 (s, 3H); $^{13}\text{C NMR}$ (101 MHz, $\text{DMSO-}d_6$) δ 166.38, 158.84, 144.68, 137.74, 133.51, 132.25, 131.31, 131.12, 130.70, 130.37, 126.84, 126.54, 125.66, 125.56, 125.51, 123.20, 119.71, 114.33, 100.33, 55.57; HRMS [ESI]: calculated for $\text{C}_{24}\text{H}_{19}\text{INO}_3^+$ $[\text{M}+\text{H}]^+$: 496.0404, found 496.0395.

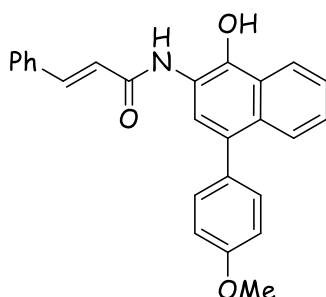


***N*-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)-2-iodobenzamide (4j)**: Yield: 43%; yellow oil; $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 10.68 (s, 1H), 9.92 (s, 1H), 8.35 (d, $J = 8.3$ Hz, 1H), 7.98 (d, $J = 7.9$ Hz, 1H), 7.78 (d, $J = 8.3$ Hz, 1H), 7.71 (d, $J = 7.5$ Hz, 1H), 7.58 – 7.52 (m, 2H), 7.49 (d, $J = 8.2$ Hz, 1H), 7.46 (s, 1H), 7.39 (d, $J = 8.3$ Hz, 2H), 7.27 (m, 1H), 7.09 (d, $J = 8.3$ Hz, 2H), 3.83 (s, 3H);

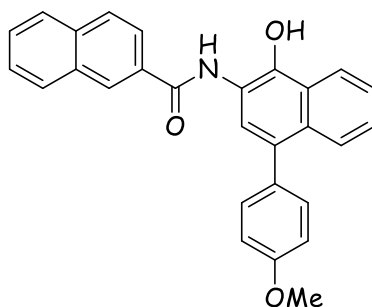
^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 169.48, 158.91, 143.70, 142.18, 139.57, 132.23, 131.89, 131.42, 131.33, 130.61, 129.05, 128.54, 126.95, 126.55, 125.80, 125.55, 124.25, 123.18, 119.88, 114.38, 94.57, 55.59; HRMS [ESI]: calculated for $\text{C}_{24}\text{H}_{19}\text{INO}_3^+$ $[\text{M}+\text{H}]^+$: 496.0404, found 496.0401.



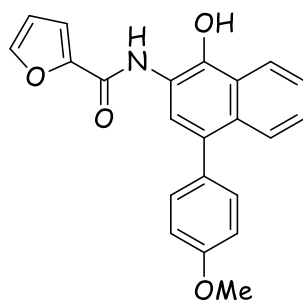
***N*-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)-4-(trifluoromethyl)benzamide (4k)**: Yield: 43%; brown solid; ^1H NMR (400 MHz, acetone- d_6) δ 10.34 (s, 1H), 9.90 (s, $J = 8.3$ Hz, 1H), 8.46 (d, $J = 8.3$ Hz, 1H), 8.36 (d, $J = 8.1$ Hz, 2H), 7.92 (d, $J = 8.2$ Hz, 2H), 7.83 (d, $J = 8.4$ Hz, 1H), 7.57 – 7.52 (m, 1H), 7.47 (m, 1H), 7.43 (s, 1H), 7.39 (d, $J = 8.4$ Hz, 2H), 7.06 (d, $J = 8.4$ Hz, 2H), 3.86 (s, 3H); ^{13}C NMR (101 MHz, acetone- d_6) δ 167.10, 159.96, 144.85, 137.90, 133.06, 133.01, 132.01, 131.86, 129.73, 129.35 (q, $J = 28.8$ Hz), 128.42, 127.03, 126.44 (q, $J = 3.8$ Hz), 126.23, 126.20, 124.85 (q, $J = 271.6$ Hz), 124.34, 123.98, 120.24, 114.61, 55.58; ^{19}F NMR (376 MHz, acetone- d_6) δ -63.44; HRMS [ESI]: calculated for $\text{C}_{25}\text{H}_{19}\text{F}_3\text{NO}_3^+$ $[\text{M}+\text{H}]^+$: 438.1312, found 438.1310.



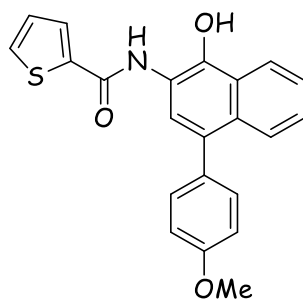
***N*-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)cinnamamide (4l)**: Yield: 34%; yellow oil; ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 10.70 (s, 1H), 10.65 (s, 1H), 8.33 (d, $J = 8.2$ Hz, 1H), 7.78 – 7.66 (m, 4H), 7.55 – 7.50 (m, 1H), 7.46 (m, 3H), 7.44 – 7.35 (m, 4H), 7.07 (m, 3H), 3.83 (s, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 165.66, 158.90, 143.10, 142.04, 134.85, 132.18, 131.49, 131.30, 130.60, 130.37, 129.51, 128.41, 127.13, 126.39, 125.79, 125.52, 123.32, 123.24, 120.87, 120.45, 114.35, 55.56; HRMS [ESI]: calculated for $\text{C}_{26}\text{H}_{22}\text{NO}_3^+$ $[\text{M}+\text{H}]^+$: 396.1594, found 396.1585.



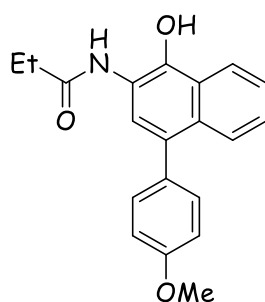
***N*-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)-2-naphthamide (4m)**: Yield: 44%; yellow oil; ¹H NMR (400 MHz, acetone-*d*₆) δ 10.35 (s, 1H), 10.29 (s, *J* = 8.3 Hz, 1H), 8.78 (s, 1H), 8.50 (d, *J* = 8.3 Hz, 1H), 8.20 (m, 1H), 8.07 (m, 2H), 8.00 (d, *J* = 8.5 Hz, 1H), 7.84 (d, *J* = 8.4 Hz, 1H), 7.67 – 7.59 (m, 2H), 7.57 – 7.52 (m, 1H), 7.50 – 7.45 (m, 2H), 7.41 (d, *J* = 8.5 Hz, 2H), 7.10 – 7.04 (m, 2H), 3.87 (s, 3H); ¹³C NMR (101 MHz, acetone-*d*₆) δ 167.62, 159.06, 143.90, 135.12, 132.57, 132.29, 132.01, 131.02, 130.41, 129.12, 128.92, 128.42, 128.23, 127.77, 127.72, 126.99, 126.01, 125.29, 124.20, 123.49, 123.18, 119.79, 113.74, 54.73; HRMS [ESI]: calculated for C₂₈H₂₂NO₃⁺ [M+H]⁺ : 420.1594, found 420.1588.



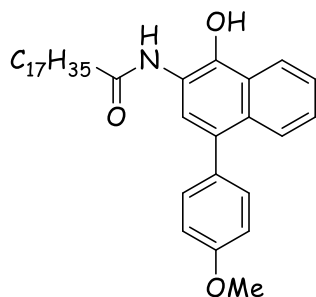
***N*-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)furan-2-carboxamide (4n)**: Yield: 43%; yellow solid; ¹H NMR (400 MHz, acetone-*d*₆) δ 10.03 (s, *J* = 10.1 Hz, 1H), 10.01 (s, 1H), 8.44 (d, *J* = 8.3 Hz, 1H), 7.90 – 7.79 (m, 2H), 7.55 – 7.43 (m, 3H), 7.43 – 7.34 (m, 3H), 7.06 (d, *J* = 8.3 Hz, 2H), 6.72 (m, 1H), 3.85 (d, *J* = 13.2 Hz, 3H); ¹³C NMR (101 MHz, acetone-*d*₆) δ 159.93, 158.60, 147.78, 147.01, 144.24, 133.11, 133.02, 131.88, 131.78, 128.37, 128.30, 126.84, 126.18, 124.09, 123.86, 120.11, 117.17, 114.60, 113.44, 55.58; HRMS [ESI]: calculated for C₂₂H₁₈NO₄⁺ [M+H]⁺ : 360.1230, found 360.1218.



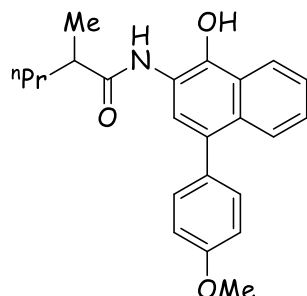
***N*-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)thiophene-2-carboxamide (4o)**: Yield: 43%; yellow oil; ¹H NMR (400 MHz, DMSO-*d*₆) δ 10.40 (s, 1H), 9.87 (s, 1H), 8.37 – 8.31 (m, 1H), 8.13 (d, *J* = 3.0 Hz, 1H), 7.91 (m, 1H), 7.78 (d, *J* = 7.9 Hz, 1H), 7.50 (m, 2H), 7.42 (s, 1H), 7.39 (d, *J* = 8.7 Hz, 2H), 7.27 (m, 1H), 7.09 (d, *J* = 8.7 Hz, 2H), 3.83 (s, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 161.14, 158.48, 144.17, 138.67, 132.38, 131.84, 130.90, 130.82, 130.27, 130.17, 128.31, 126.47, 126.10, 125.27, 125.12, 125.03, 122.76, 119.15, 113.95, 55.17; HRMS [ESI]: calculated for C₂₂H₁₈NO₃S⁺ [M+H]⁺ : 376.1002, found 376.0992.



***N*-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)propionamide (4p)**: Yield: 53%; yellow oil; ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 10.32 (s, 1H), 10.26 (s, 1H), 8.28 (d, $J = 8.3$ Hz, 1H), 7.73 (d, $J = 8.4$ Hz, 1H), 7.53 – 7.46 (m, 1H), 7.46 – 7.39 (m, 1H), 7.36 (d, $J = 8.1$ Hz, 2H), 7.27 (s, 1H), 7.07 (d, $J = 8.2$ Hz, 2H), 3.82 (s, 3H), 2.51 (q, $J = 7.5$ Hz, 3H), 1.16 (t, $J = 7.5$ Hz, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 174.43, 158.48, 142.54, 131.84, 130.91, 129.87, 126.70, 125.85, 125.30, 125.07, 123.33, 122.76, 119.92, 113.95, 55.19, 28.85, 9.92; HRMS [ESI]: calculated for $\text{C}_{20}\text{H}_{20}\text{NO}_3^+$ $[\text{M}+\text{H}]^+$: 322.1438, found 322.1431.

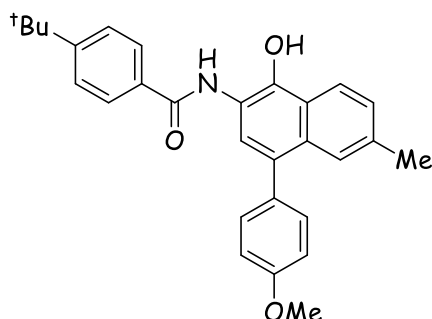


***N*-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)stearamide (4q)**: Yield: 42%; brown oil; ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 10.34 (s, 1H), 10.31 (s, 1H), 8.27 (d, $J = 8.1$ Hz, 1H), 7.73 (d, $J = 8.1$ Hz, 1H), 7.52 – 7.47 (m, 1H), 7.44 (d, $J = 8.1$ Hz, 1H), 7.35 (d, $J = 8.3$ Hz, 2H), 7.24 (s, 1H), 7.07 (d, $J = 8.4$ Hz, 2H), 3.83 (s, 3H), 2.47 (m, 2H), 1.69 – 1.58 (m, 2H), 1.21 (m, 28H), 0.84 (t, $J = 6.6$ Hz, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 173.76, 158.47, 142.53, 131.82, 130.92, 130.86, 129.88, 126.75, 125.82, 125.26, 125.03, 123.16, 122.76, 119.89, 113.92, 55.17, 35.54, 31.35, 29.10, 29.07, 29.03, 28.95, 28.77, 28.56, 25.31, 22.15, 13.99; HRMS [ESI]: calculated for $\text{C}_{35}\text{H}_{50}\text{NO}_3^+$ $[\text{M}+\text{H}]^+$: 532.3785, found 532.3786.



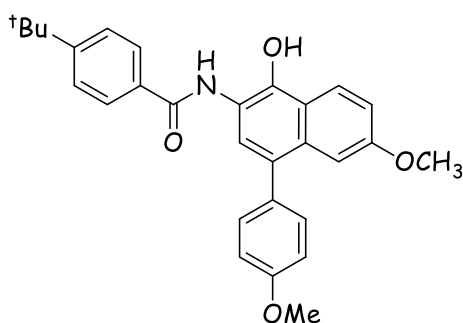
***N*-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)-2-methylpentanamide (4r)**: Yield: 50%; yellow oil; ^1H NMR (400 MHz, $\text{acetone-}d_6$) δ 10.51 (s, $J = 9.9$ Hz, 1H), 9.83 (s, 1H), 8.41 (d, $J = 8.4$ Hz, 1H), 7.78 (d, $J = 8.4$ Hz, 1H), 7.53 – 7.47 (m, 1H), 7.45 – 7.40 (m, 1H), 7.37 (t, $J = 5.7$ Hz, 2H), 7.17 (s, 1H), 7.08 – 7.02 (m, 2H), 3.86 (s, 3H), 2.81 (m, 1H), 1.81 – 1.73 (m, 1H), 1.53 – 1.35 (m, 3H), 1.25

(d, $J = 6.8$ Hz, 3H), 0.93 (t, $J = 7.2$ Hz, 3H); ^{13}C NMR (101 MHz, acetone- d_6) δ 178.69, 159.89, 144.15, 133.12, 132.67, 131.82, 131.63, 128.54, 126.63, 126.07, 126.05, 123.94, 123.49, 120.50, 114.58, 55.57, 41.55, 37.37, 21.26, 18.41, 14.30; HRMS [ESI]: calculated for $\text{C}_{23}\text{H}_{26}\text{NO}_3^+$ $[\text{M}+\text{H}]^+$: 364.1907, found 364.1898.



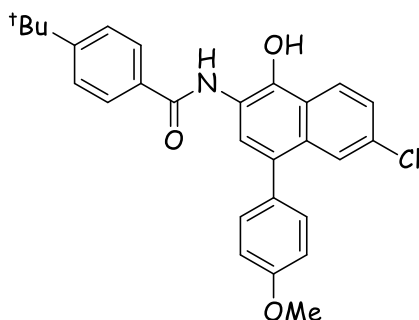
4-(tert-butyl)-N-(1-hydroxy-4-(4-methoxyphenyl)-6-methylnaphthalen-2-yl)benzamide

(4s): Yield: 48%; brown oil; ^1H NMR (400 MHz, DMSO- d_6) δ 10.42 (s, 1H), 10.00 (s, 1H), 8.25 (d, $J = 8.5$ Hz, 1H), 8.03 (d, $J = 8.1$ Hz, 2H), 7.60 – 7.53 (m, 3H), 7.43 – 7.33 (m, 4H), 7.12 – 7.05 (m, 2H), 3.83 (s, 3H), 2.39 (s, 3H), 1.32 (s, 9H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 167.05, 158.80, 155.45, 144.23, 135.61, 132.47, 131.28, 131.08, 130.86, 130.62, 128.35, 127.74, 125.71, 125.24, 124.44, 123.24, 119.44, 114.32, 55.54, 35.18, 31.32, 21.92; HRMS [ESI]: calculated for $\text{C}_{29}\text{H}_{30}\text{NO}_3^+$ $[\text{M}+\text{H}]^+$: 440.2220, found 440.2220.



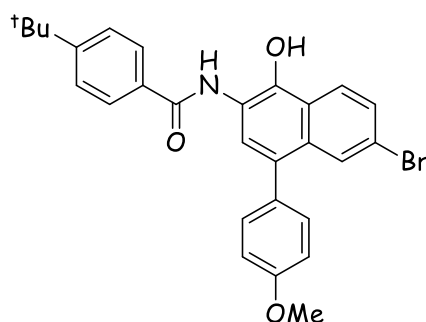
4-(tert-butyl)-N-(1-hydroxy-6-methoxy-4-(4-methoxyphenyl)naphthalen-2-yl)benzamide

(4t): Yield: 35%; brown oil; ^1H NMR (400 MHz, DMSO- d_6) δ 10.40 (s, 1H), 10.05 (s, 1H), 8.26 (d, $J = 9.2$ Hz, 1H), 8.02 (d, $J = 8.3$ Hz, 2H), 7.57 (d, $J = 8.4$ Hz, 2H), 7.46 – 7.39 (m, 3H), 7.22 – 7.17 (m, 1H), 7.16 (d, $J = 2.0$ Hz, 1H), 7.11 – 7.06 (m, 2H), 3.83 (s, 3H), 3.73 (s, 3H), 1.32 (s, 9H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 167.02, 158.77, 157.91, 155.42, 144.58, 132.50, 131.94, 131.14, 131.09, 130.00, 128.34, 125.96, 125.69, 125.08, 122.13, 118.51, 117.36, 114.40, 104.62, 55.52, 55.31, 35.17, 31.32; HRMS [ESI]: calculated for $\text{C}_{29}\text{H}_{30}\text{NO}_4^+$ $[\text{M}+\text{H}]^+$: 456.2169, found 456.2168.



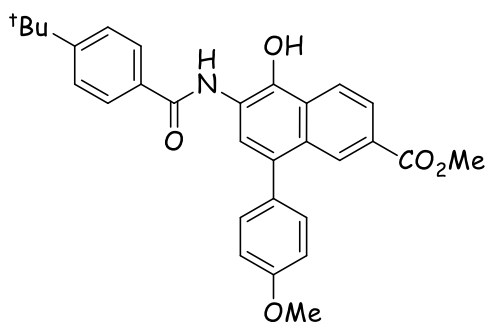
4-(*tert*-butyl)-*N*-(6-chloro-1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)benzamide

(4u): Yield: 58%; brown oil; ^1H NMR (400 MHz, DMSO- d_6) δ 10.46 (s, 1H), 10.26 (s, 1H), 8.35 (d, J = 9.0 Hz, 1H), 8.05 – 7.98 (m, 2H), 7.70 (d, J = 1.7 Hz, 1H), 7.60 – 7.55 (m, 3H), 7.54 – 7.50 (m, 1H), 7.41 – 7.36 (m, 2H), 7.13 – 7.07 (m, 2H), 3.83 (s, 3H), 1.31 (s, 9H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 167.19, 159.07, 155.56, 144.32, 131.54, 131.43, 131.35, 131.26, 130.98, 130.45, 128.39, 126.59, 126.05, 125.70, 125.42, 124.08, 120.79, 114.51, 55.55, 35.16, 31.29; HRMS [ESI]: calculated for $\text{C}_{28}\text{H}_{27}^{35}\text{ClNO}_3^+$ [M+H] $^+$: 460.1674, found 460.1671, $\text{C}_{28}\text{H}_{27}^{37}\text{ClNO}_3^+$ [M+H] $^+$: 462.1644, found 462.1653.



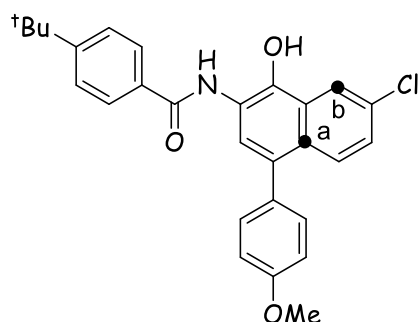
***N*-(6-bromo-1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)-4-(*tert*-butyl)benzamide**

(4v): Yield: 60%; brown oil; ^1H NMR (400 MHz, DMSO- d_6) δ 10.44 (s, 1H), 10.25 (s, 1H), 8.32 – 8.25 (m, 1H), 8.05 – 7.99 (m, 2H), 7.87 (d, J = 1.9 Hz, 1H), 7.64 (d, J = 9.0 Hz, 1H), 7.60 – 7.53 (m, 3H), 7.42 – 7.35 (m, 2H), 7.13 – 7.08 (m, 2H), 3.83 (s, 3H), 1.31 (s, 9H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 167.18, 159.07, 155.56, 144.34, 131.78, 131.52, 131.26, 130.98, 130.40, 128.57, 128.39, 127.30, 126.52, 125.79, 125.70, 125.59, 120.87, 120.19, 114.51, 55.56, 35.16, 31.29; HRMS [ESI]: calculated for $\text{C}_{28}\text{H}_{27}^{79}\text{BrNO}_3^+$ [M+H] $^+$: 504.1169, found 504.1161, $\text{C}_{28}\text{H}_{27}^{81}\text{BrNO}_3^+$ [M+H] $^+$: 506.1148, found 506.1140.



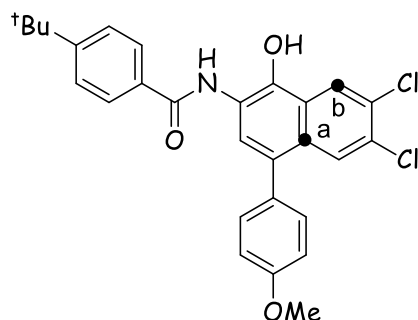
methyl 6-(4-(*tert*-butyl)benzamido)-5-hydroxy-8-(4-methoxyphenyl)-2-naphthoate (4w):

Yield: 23%; brown oil; ^1H NMR (400 MHz, DMSO- d_6) δ 10.47 (s, 1H), 10.22 (s, 1H), 8.49 – 8.39 (m, 2H), 8.01 (m, 3H), 7.63 – 7.56 (m, 3H), 7.42 (d, J = 8.5 Hz, 2H), 7.13 (d, J = 8.5 Hz, 2H), 3.85 (s, 3H), 3.84 (s, 3H), 1.33 (s, 9H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 166.82, 166.40, 158.76, 155.26, 143.50, 132.21, 131.27, 131.00, 130.60, 129.20, 128.67, 128.03, 127.86, 126.71, 125.70, 125.36, 124.17, 123.54, 122.27, 114.14, 55.23, 52.29, 34.82, 30.93; HRMS [ESI]: calculated for $\text{C}_{30}\text{H}_{30}\text{NO}_5^+$ [M+H] $^+$: 484.2118, found 484.2116.



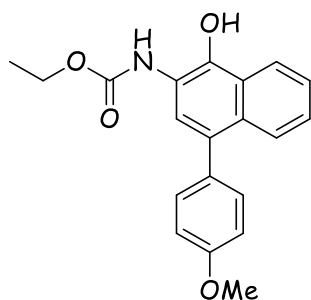
4-(tert-butyl)-N-(7-chloro-1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)benzamide

(4x): Yield: 60%; The ratio of the two diastereoisomers is 2.0:1; brown oil; ¹H NMR (400 MHz, DMSO-*d*₆, a mixture of two isomers) δ 10.47 (s, major), 10.43 (s, minor), 10.30 (s, major + minor isomer), 8.39 (dd, *J* = 8.4, 1.2 Hz, major), 8.31 (s, minor), 8.30 (d, *J* = 2.2 Hz, minor), 8.02 (d, *J* = 8.4 Hz, major + minor isomer), 7.78 (d, *J* = 9.0 Hz, minor), 7.59 – 7.53 (m, major + minor isomer), 7.47 (dt, *J* = 4.3, 3.3 Hz, major + minor isomer), 7.38 (d, *J* = 8.6 Hz, minor), 7.20 (d, *J* = 8.6 Hz, major), 7.09 (d, *J* = 8.7 Hz, minor), 6.95 (d, *J* = 8.6 Hz, major), 3.83 (s, minor), 3.80 (s, major), 1.32 (s, minor), 1.32 (s, major); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 166.88, 166.78, 158.65, 158.17, 155.25, 155.18, 143.91, 142.85, 134.92, 131.36, 130.94, 130.88, 130.60, 130.42, 130.31, 130.09, 129.88, 129.21, 129.01, 128.71, 128.48, 128.02, 127.99, 127.60, 127.48, 127.39, 126.87, 126.33, 125.40, 125.31, 125.15, 122.63, 121.47, 121.09, 119.95, 114.04, 112.78, 55.18, 55.05, 34.77, 30.89; HRMS [ESI]: calculated for C₂₈H₂₇³⁵ClNO₃⁺ [M+H]⁺ : 460.1674, found 460.1674, C₂₈H₂₇³⁷ClNO₃⁺ [M+H]⁺ : 462.1644, found 462.1633.

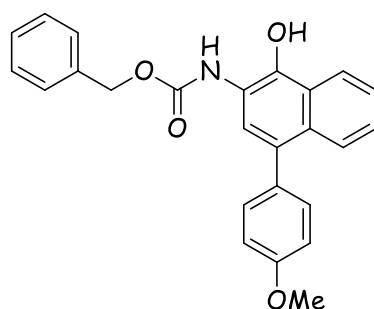


4-(tert-butyl)-N-(6,7-dichloro-1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)benzamide (4y):

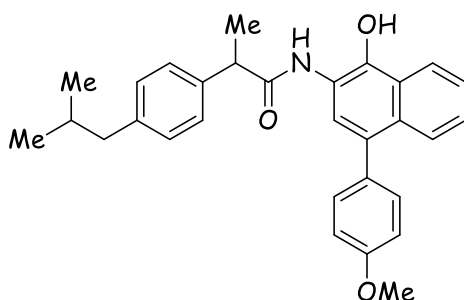
Yield: 55%; The ratio of the two diastereoisomers is 3.0:1; brown oil; ¹H NMR (400 MHz, DMSO-*d*₆, a mixture of two isomers) δ 10.48 (s, major), 10.43 (s, minor), 8.46 (s, minor), 8.36 (t, *J* = 8.4 Hz, major), 8.01 (d, *J* = 8.5 Hz, major + minor isomer), 7.86 (s, minor), 7.67 (d, *J* = 9.1 Hz, major), 7.60 (s, minor), 7.58 – 7.53 (m, major + minor isomer), 7.39 (d, *J* = 8.7 Hz, minor), 7.23 – 7.16 (m, major), 7.09 (d, *J* = 8.7 Hz, minor), 6.99 – 6.92 (m, major), 3.83 (s, minor), 3.80 (s, major), 1.31 (s, minor), 1.31 (s, major); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 166.94, 166.82, 158.81, 158.23, 155.31, 155.24, 144.01, 142.84, 134.94, 131.95, 130.84, 130.75, 130.54, 130.43, 130.34, 130.02, 129.96, 129.25, 128.84, 128.26, 128.04, 128.00, 127.51, 127.21, 126.44, 125.86, 125.30, 124.29, 123.67, 121.43, 120.49, 114.19, 112.97, 55.17, 55.07, 34.76, 30.88; HRMS [ESI]: calculated for C₂₈H₂₆³⁵Cl₂NO₃⁺ [M+H]⁺ : 494.1284, found 494.1270, C₂₈H₂₆³⁵Cl³⁷ClNO₃⁺ [M+H]⁺ : 496.1255, found 496.1260, C₂₈H₂₆³⁷Cl₂NO₃⁺ [M+H]⁺ : 498.1225, found 498.1224.



ethyl (1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)carbamate (4z) : Yield: 27%; yellow oil; ¹H NMR (400 MHz, DMSO-*d*₆) δ 9.52 (s, 1H), 9.03 (s, 1H), 8.24 (d, *J* = 8.4 Hz, 1H), 7.72 (d, *J* = 8.4 Hz, 1H), 7.51 – 7.45 (m, 1H), 7.44 – 7.39 (m, 2H), 7.34 (d, *J* = 8.5 Hz, 2H), 7.06 (d, *J* = 8.6 Hz, 2H), 4.15 (q, *J* = 7.1 Hz, 2H), 3.82 (s, 3H), 1.28 (t, *J* = 6.6 Hz, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 158.45, 155.05, 132.09, 130.94, 130.88, 130.78, 129.45, 128.89, 126.08, 125.52, 125.15, 124.12, 122.42, 119.81, 113.96, 60.85, 55.21, 14.59; HRMS [ESI]: calculated for C₂₀H₂₀NO₄⁺ [M+H]⁺ : 338.1387, found 338.1386.

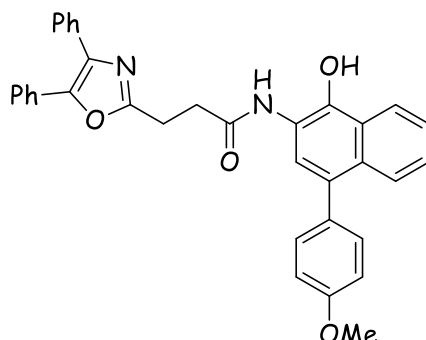


benzyl (1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)carbamate (4aa) : Yield: 36%; yellow oil; ¹H NMR (400 MHz, DMSO-*d*₆) δ 9.54 (s, 1H), 9.15 (s, 1H), 8.25 (d, *J* = 8.4 Hz, 1H), 7.73 (d, *J* = 8.4 Hz, 1H), 7.49 (d, *J* = 7.2 Hz, 1H), 7.46 (s, 1H), 7.43 (d, *J* = 3.9 Hz, 2H), 7.40 (d, *J* = 5.7 Hz, 2H), 7.38 – 7.31 (m, 4H), 7.06 (d, *J* = 8.4 Hz, 2H), 5.18 (s, 2H), 3.82 (s, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 158.45, 154.81, 136.60, 132.08, 130.92, 130.78, 129.53, 128.48, 128.08, 127.91, 126.67, 126.46, 126.04, 125.84, 125.56, 125.15, 122.42, 113.95, 66.29, 55.21; HRMS [ESI]: calculated for C₂₅H₂₂NO₄⁺ [M+H]⁺ : 400.1543, found 400.1537.

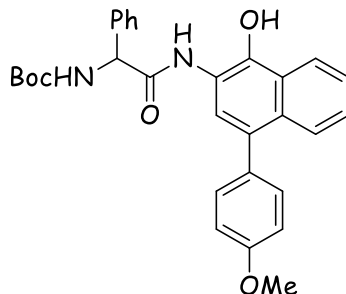


N-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)-2-(4-isobutylphenyl)propanamide (5a): Yield: 62%; yellow oil; ¹H NMR (400 MHz, DMSO-*d*₆) δ 10.36 (s, 1H), 10.15 (s, 1H), 8.26 (d, *J* = 8.4 Hz, 1H), 7.71 (d, *J* = 8.3 Hz, 1H), 7.49 (m, 1H), 7.45 – 7.39 (m, 1H), 7.39 – 7.27 (m, 5H), 7.14 (d, *J* = 8.0 Hz, 2H), 7.10 – 7.03 (m, 2H), 4.04 (q, *J* = 6.7 Hz, 1H), 3.82 (s, 3H), 2.41 (d, *J* = 7.1 Hz, 2H), 1.80 (tt, *J* = 13.4, 6.6 Hz, 1H), 1.48 (d, *J* = 7.0 Hz, 3H), 0.84 (d, *J* = 6.6 Hz, 6H); ¹³C NMR (101 MHz,

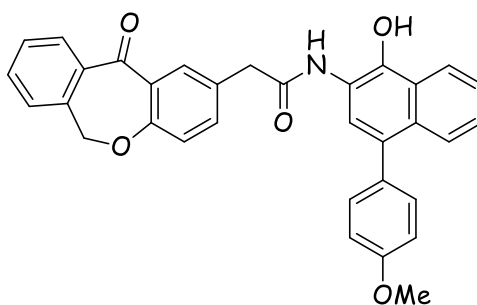
DMSO-*d*₆) δ 174.55, 158.47, 142.30, 139.80, 138.64, 131.79, 131.03, 130.86, 129.80, 129.09, 127.09, 126.57, 125.84, 125.32, 125.08, 123.09, 122.65, 120.01, 113.92, 55.17, 44.90, 44.25, 29.64, 22.21, 18.61; HRMS [ESI]: calculated for C₃₀H₃₂NO₃⁺ [M+H]⁺ : 454.2377, found 454.2372.



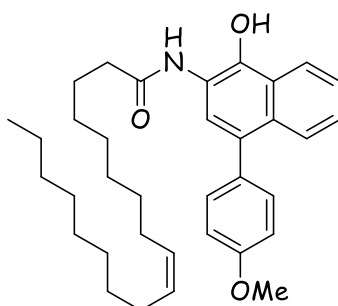
3-(4,5-diphenyloxazol-2-yl)-N-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)propanamide (5b): Yield: 60%; brown oil; ¹H NMR (400 MHz, DMSO-*d*₆) δ 10.40 (s, 1H), 10.11 (s, 1H), 8.31 (d, *J* = 8.9 Hz, 1H), 7.75 (d, *J* = 8.4 Hz, 1H), 7.56 (m, 2H), 7.51 (m, 2H), 7.44 (m, 1H), 7.40 – 7.30 (m, 10H), 7.08 – 7.03 (m, 2H), 3.82 (s, 3H), 3.25 (t, *J* = 7.1 Hz, 2H), 3.08 (t, *J* = 7.1 Hz, 2H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 172.20, 162.83, 158.86, 145.09, 143.27, 134.79, 132.42, 132.25, 131.29, 131.25, 130.32, 129.30, 129.19, 129.02, 128.82, 128.56, 127.77, 126.94, 126.72, 126.24, 125.65, 125.47, 124.05, 123.11, 120.15, 114.31, 55.56, 32.59, 23.79; HRMS [ESI]: calculated for C₃₅H₂₉N₂O₄⁺ [M+H]⁺ : 541.2122, found 541.2122.



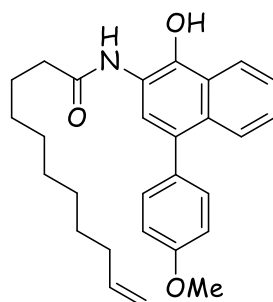
tert-butyl(2-((1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)amino)-2-oxo-1-phenylethyl)carbamate (5c): Yield: 35%; yellow oil; ¹H NMR (400 MHz, DMSO-*d*₆) δ 10.36 (s, 1H), 9.92 (s, 1H), 8.27 (d, *J* = 8.3 Hz, 1H), 7.72 (d, *J* = 8.2 Hz, 2H), 7.56 (d, *J* = 7.3 Hz, 2H), 7.49 (d, *J* = 7.3 Hz, 1H), 7.41 (m, 2H), 7.37 (d, *J* = 7.7 Hz, 2H), 7.33 (m, 3H), 7.06 (d, *J* = 8.6 Hz, 2H), 5.56 (d, *J* = 7.3 Hz, 1H), 3.82 (s, 3H), 1.41 (s, 9H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 171.10, 158.87, 155.63, 142.68, 138.23, 132.21, 131.50, 131.25, 130.16, 128.89, 128.36, 128.00, 126.68, 126.24, 125.73, 125.58, 123.34, 122.96, 120.28, 114.31, 79.06, 58.37, 55.55, 28.59; HRMS [ESI]: calculated for C₃₀H₃₁N₂O₅⁺ [M+H]⁺ : 499.2227, found 499.2221.



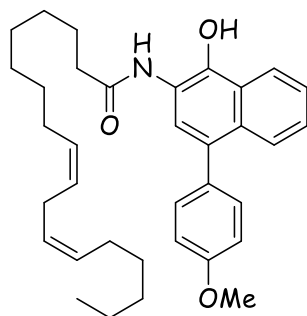
***N*-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)-2-(11-oxo-6,11-dihydrodibenzo[*b,e*]oxepin-2-yl)acetamide (5d)**: Yield: 44%; yellow oil; ¹H NMR (400 MHz, DMSO-*d*₆) δ 10.47 (s, 1H), 10.12 (s, 1H), 8.28 (d, *J* = 8.4 Hz, 1H), 8.15 (d, *J* = 2.0 Hz, 1H), 7.76 (m, 2H), 7.68 – 7.61 (m, 2H), 7.58 – 7.52 (m, 2H), 7.49 (d, *J* = 8.2 Hz, 1H), 7.46 – 7.40 (m, 1H), 7.36 (m, 3H), 7.11 (d, *J* = 8.4 Hz, 1H), 7.07 (d, *J* = 8.4 Hz, 2H), 5.30 (s, 2H), 3.88 (s, 2H), 3.82 (s, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 190.58, 171.51, 160.31, 158.86, 143.03, 140.35, 137.17, 136.32, 133.48, 132.22, 132.12, 131.37, 131.28, 130.26, 129.77, 129.58, 129.22, 128.70, 126.89, 126.27, 125.69, 125.51, 125.00, 123.79, 123.08, 121.21, 120.32, 114.32, 73.17, 55.55, 41.65; HRMS [ESI]: calculated for C₃₃H₂₆NO₅⁺ [M+H]⁺ : 516.1805, found 516.1802.



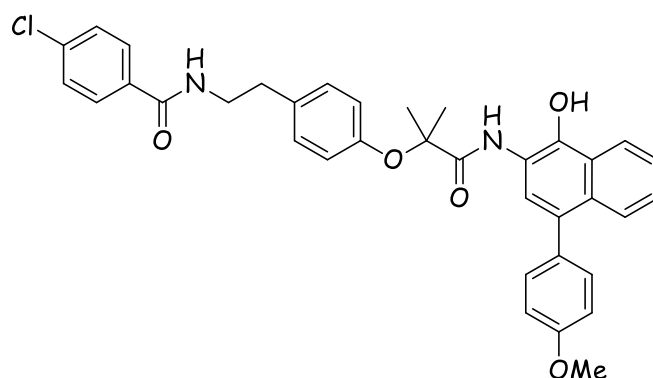
***N*-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)oleamide (5e)**: Yield: 33%; yellow oil; ¹H NMR (400 MHz, DMSO-*d*₆) δ 10.34 (s, 1H), 10.32 (s, 1H), 8.28 (d, *J* = 8.2 Hz, 1H), 7.73 (d, *J* = 8.3 Hz, 1H), 7.53 – 7.46 (m, 1H), 7.46 – 7.40 (m, 1H), 7.35 (d, *J* = 8.4 Hz, 2H), 7.24 (s, 1H), 7.07 (d, *J* = 8.3 Hz, 2H), 5.31 (m, 2H), 3.82 (s, 3H), 2.47 (m, 2H), 1.99 (m, 2H), 1.64 (m, 2H), 1.40 – 1.09 (m, 22H), 0.86 – 0.77 (m, 3H); ¹³C NMR (101 MHz, DMSO-*d*₆) δ 173.74, 158.48, 142.54, 131.82, 130.93, 130.88, 129.88, 129.63, 126.75, 125.85, 125.28, 125.05, 123.18, 122.76, 119.90, 115.78, 113.93, 55.18, 35.54, 31.33, 29.13, 29.10, 29.06, 28.95, 28.88, 28.75, 28.63, 28.60, 28.54, 26.61, 25.32, 22.14, 13.99; HRMS [ESI]: calculated for C₃₅H₄₈NO₃⁺ [M+H]⁺ : 530.3629, found 530.3628.



***N*-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)undec-10-enamide (5f)**: Yield: 32%; yellow oil; $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 10.38 (s, 1H), 10.35 (s, 1H), 8.32 (d, $J = 8.4$ Hz, 1H), 7.77 (d, $J = 8.3$ Hz, 1H), 7.56 – 7.50 (m, 2H), 7.42 – 7.37 (m, 2H), 7.28 (s, 1H), 7.14 – 7.08 (m, 2H), 5.84 – 5.78 (m, 1H), 5.03 (m, 1H), 4.94 (m, 1H), 3.86 (s, 3H), 2.53 (t, $J = 7.1$ Hz, 2H), 2.05 – 2.01 (m, 2H), 1.73 – 1.65 (m, 2H), 1.35 – 1.23 (m, 10H); $^{13}\text{C NMR}$ (101 MHz, $\text{DMSO-}d_6$) δ 173.77, 158.48, 142.54, 138.84, 131.82, 130.88, 129.89, 129.30, 128.60, 125.84, 125.29, 125.05, 123.19, 122.76, 119.90, 114.66, 113.93, 55.17, 35.55, 33.24, 28.79, 28.74, 28.58, 28.53, 28.30, 25.32; HRMS [ESI]: calculated for $\text{C}_{28}\text{H}_{34}\text{NO}_3^+$ $[\text{M}+\text{H}]^+$: 432.2533, found 432.2527.

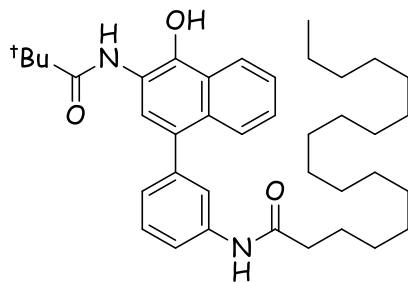


(9*Z*,12*Z*)-*N*-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)octadeca-9,12-dienamide (5g): Yield: 22%; yellow oil; $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 10.36 (d, $J = 12.8$ Hz, 2H), 8.32 (d, $J = 8.4$ Hz, 1H), 7.76 (d, $J = 8.3$ Hz, 1H), 7.54 – 7.50 (m, 1H), 7.47 (m, 1H), 7.39 (m, 2H), 7.28 (s, 1H), 7.13 – 7.07 (m, 2H), 5.43 – 5.28 (m, 4H), 3.86 (s, 3H), 2.76 (t, $J = 6.1$ Hz, 2H), 2.55 – 2.49 (m, 2H), 2.05 – 1.99 (m, 4H), 1.73 – 1.65 (m, 2H), 1.36 (m, 14H), 0.86 (t, $J = 6.6$ Hz, 3H); $^{13}\text{C NMR}$ (101 MHz, $\text{DMSO-}d_6$) δ 173.74, 158.47, 142.53, 131.81, 130.92, 130.87, 129.88, 129.74, 129.72, 127.79, 127.75, 126.74, 125.84, 125.28, 125.04, 123.17, 122.75, 119.89, 113.93, 55.17, 40.15, 35.55, 30.93, 29.06, 28.77, 28.58, 26.64, 25.25, 22.02, 13.96; HRMS [ESI]: calculated for $\text{C}_{35}\text{H}_{46}\text{NO}_3^+$ $[\text{M}+\text{H}]^+$: 528.3472, found 528.3468.

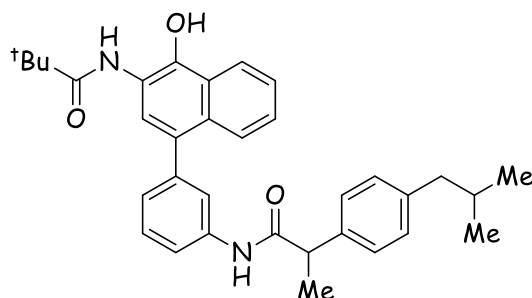


4-chloro-*N*-(4-((1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl)amino)-2-methyl-1-oxopropan-2-yl)oxy)phenethyl)benzamide (5h): Yield: 38%; brown oil; $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 10.01 (s, 1H), 9.78 (s, 1H), 8.66 (m, 1H), 8.28 (d, $J = 8.4$ Hz, 1H), 7.85 – 7.81 (m, 3H), 7.76 (d, $J = 8.4$ Hz, 1H), 7.51 (m, 3H), 7.45 – 7.40 (m, 1H), 7.36 (d, $J = 8.4$ Hz, 2H), 7.20 (d, $J = 8.4$ Hz, 2H), 7.08 (d, $J = 8.4$ Hz, 2H), 6.99 (d, $J = 8.3$ Hz, 2H), 3.82 (s, 3H), 3.46 (m, 2H), 2.80 (t, $J = 7.4$ Hz, 2H), 1.56 (s, 6H); $^{13}\text{C NMR}$ (101 MHz, $\text{DMSO-}d_6$) δ 173.52, 165.12, 158.49, 152.59, 141.62, 135.94, 134.18, 133.33, 132.07, 131.23, 130.94, 129.61, 129.42, 129.08, 128.37, 126.14, 125.64, 125.32, 122.64, 122.42,

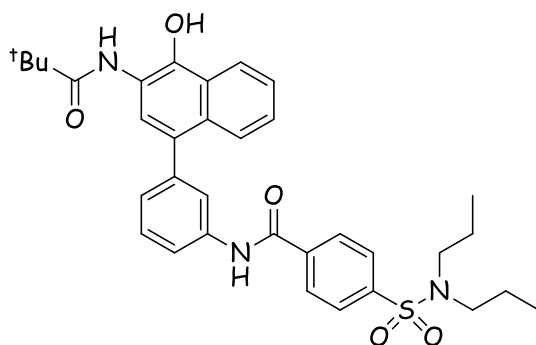
120.87, 120.81, 113.94, 80.99, 55.18, 40.98, 34.30, 25.01; HRMS [ESI]: calculated for $C_{36}H_{34}^{35}ClN_2O_5^+$ $[M+H]^+$: 609.2151, found 609.2145, $C_{36}H_{34}^{37}ClN_2O_5^+$ $[M+H]^+$: 611.2121, found 611.2120.



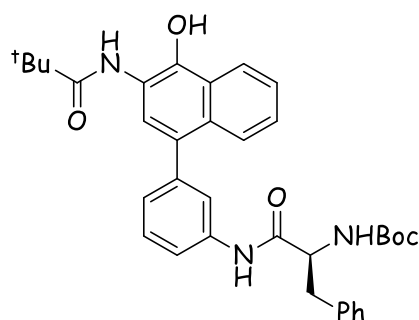
N-(3-(4-hydroxy-3-pivalamidonaphthalen-1-yl)phenyl)stearamide (5i): Yield: 37%; yellow oil; 1H NMR (400 MHz, DMSO- d_6) δ 9.98 (s, 1H), 9.88 (s, 1H), 9.57 (s, 1H), 8.30 (d, J = 8.3 Hz, 1H), 7.95 (d, J = 8.1 Hz, 1H), 7.77 (m, 2H), 7.63 (m, 1H), 7.51 (m, 1H), 7.47 – 7.43 (m, 1H), 7.42 (s, 1H), 7.10 (d, J = 7.6 Hz, 1H), 2.30 (t, J = 7.3 Hz, 2H), 1.61 – 1.54 (m, 2H), 1.30 (s, 9H), 1.23 – 1.19 (m, 28H), 0.84 (t, J = 6.6 Hz, 3H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 178.86, 171.43, 143.22, 140.10, 139.47, 131.04, 129.62, 128.69, 126.63, 125.91, 125.28, 124.99, 124.44, 124.21, 122.69, 120.38, 120.18, 117.76, 36.47, 31.30, 29.03, 28.93, 28.80, 28.72, 27.36, 25.10, 22.11, 13.95; HRMS [ESI]: calculated for $C_{39}H_{57}N_2O_3^+$ $[M+H]^+$: 601.4364, found 601.4369.



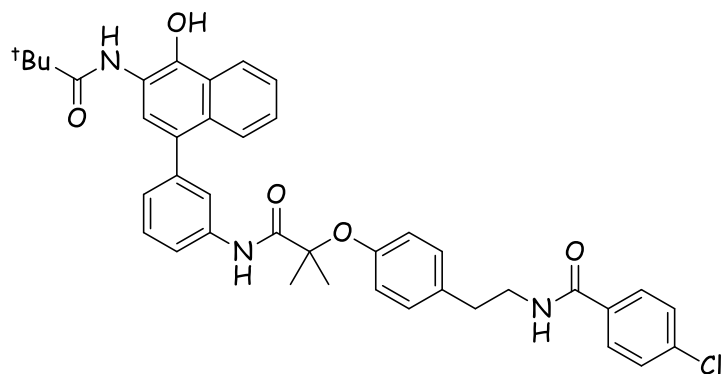
N-(1-hydroxy-4-(3-(2-(4-isobutylphenyl)propanamido)phenyl)naphthalen-2-yl)pivalamide (5j): Yield: 47%; yellow solid; 1H NMR (400 MHz, DMSO- d_6) δ 10.16 (s, 1H), 9.89 (s, 1H), 9.56 (s, 1H), 8.30 (d, J = 7.8 Hz, 1H), 7.76 (m, 2H), 7.66 – 7.62 (m, 1H), 7.54 – 7.49 (m, 1H), 7.47 – 7.43 (m, 1H), 7.43 – 7.38 (m, 2H), 7.30 (d, J = 8.1 Hz, 2H), 7.13 – 7.07 (m, 3H), 3.81 (q, J = 6.9 Hz, 1H), 2.39 (d, J = 7.1 Hz, 2H), 1.85 – 1.72 (m, 1H), 1.41 (d, J = 7.0 Hz, 3H), 1.31 (s, 9H), 0.84 (d, J = 6.6 Hz, 6H); ^{13}C NMR (101 MHz, DMSO- d_6) δ 178.93, 172.57, 143.26, 140.15, 139.57, 139.45, 139.10, 138.51, 130.98, 129.61, 128.98, 128.68, 127.14, 127.04, 126.63, 126.02, 125.35, 124.72, 124.25, 122.72, 120.44, 120.17, 45.69, 44.27, 29.68, 27.39, 26.71, 22.23, 18.69; HRMS [ESI]: calculated for $C_{34}H_{39}N_2O_3^+$ $[M+H]^+$: 523.2955, found 523.2953.



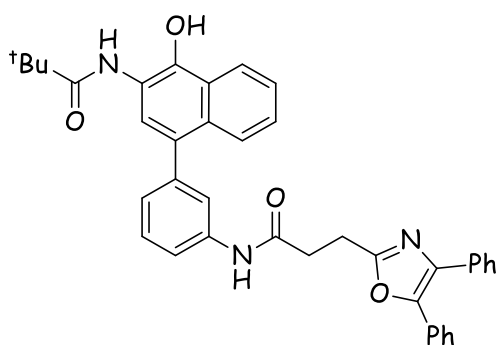
4-(*N,N*-dipropylsulfamoyl)-*N*-(3-(4-hydroxy-3-pivalamidonaphthalen-1-yl)phenyl)benzamide (5k): Yield: 45%; brown oil; $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 10.61 (s, 1H), 9.91 (s, 1H), 9.59 (s, 1H), 8.32 (d, $J = 8.2$ Hz, 1H), 8.14 (d, $J = 8.4$ Hz, 2H), 8.00 – 7.92 (m, 3H), 7.90 – 7.80 (m, 2H), 7.59 – 7.45 (m, 4H), 7.22 (d, $J = 7.5$ Hz, 1H), 3.11 – 3.01 (m, 4H), 1.54 – 1.39 (m, 4H), 1.32 (s, 9H), 0.81 (m, 6H); $^{13}\text{C NMR}$ (101 MHz, $\text{DMSO-}d_6$) δ 178.91, 164.58, 143.37, 141.99, 140.15, 139.01, 138.49, 130.88, 129.61, 128.87, 128.78, 127.79, 126.93, 126.65, 126.08, 125.40, 125.00, 124.43, 122.75, 121.71, 120.22, 119.10, 49.70, 39.10, 27.40, 21.69, 11.04; HRMS [ESI]: calculated for $\text{C}_{34}\text{H}_{40}\text{N}_3\text{O}_5\text{S}^+$ $[\text{M}+\text{H}]^+$: 602.2683, found 602.2679.



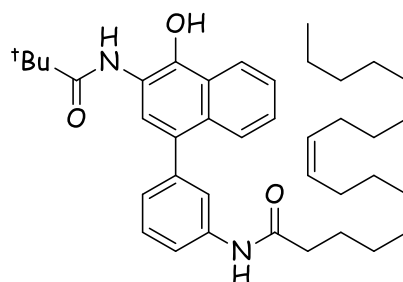
(*S*)-*tert*-butyl(1-((3-(4-hydroxy-3-pivalamidonaphthalen-1-yl)phenyl)amino)-1-oxo-3-phenylpropan-2-yl)carbamate (5l): Yield: 42%; yellow oil; $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ 10.19 (s, 1H), 9.91 (s, 1H), 9.60 (s, 1H), 8.31 (d, $J = 8.0$ Hz, 1H), 7.78 (d, $J = 8.4$ Hz, 1H), 7.73 (s, 1H), 7.66 (d, $J = 8.1$ Hz, 1H), 7.55 – 7.51 (m, 1H), 7.50 – 7.45 (m, 2H), 7.44 (s, 1H), 7.33 (d, $J = 7.3$ Hz, 2H), 7.28 (t, $J = 7.3$ Hz, 2H), 7.22 – 7.17 (m, 1H), 7.15 (d, $J = 8.6$ Hz, 1H), 6.72 (brs, 1H), 4.38 – 4.30 (m, 1H), 3.05 – 3.97 (m, 1H), 2.89 – 2.80 (m, 1H), 1.32 (s, 9H), 1.30 (s, 9H); $^{13}\text{C NMR}$ (101 MHz, $\text{DMSO-}d_6$) δ 178.94, 171.04, 155.47, 143.32, 140.16, 139.07, 138.00, 130.94, 129.61, 129.29, 128.88, 128.09, 126.65, 126.34, 126.04, 125.40, 125.00, 124.85, 124.33, 122.75, 120.60, 120.19, 118.05, 78.14, 56.69, 39.14, 28.20, 27.40, 26.79; HRMS [ESI]: calculated for $\text{C}_{34}\text{H}_{40}\text{N}_3\text{O}_5^+$ $[\text{M}+\text{H}]^+$: 582.2962, found 582.2955.



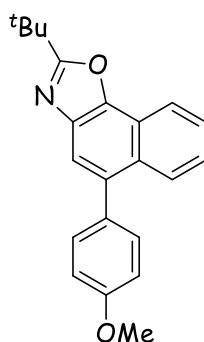
4-chloro-N-(4-((1-((3-(4-hydroxy-3-pivalamidonaphthalen-1-yl)phenyl)amino)-2-methyl-1-oxopropan-2-yl)oxy)phenethyl)benzamide (5m): Yield: 39%; brown oil; ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 10.12 (s, 1H), 9.88 (s, 1H), 9.57 (s, 1H), 8.62 (m, 1H), 8.33 – 8.28 (m, 1H), 7.85 (d, $J = 1.6$ Hz, 1H), 7.83 – 7.78 (m, 3H), 7.76 – 7.73 (m, 1H), 7.53 – 7.49 (m, 3H), 7.48 – 7.45 (m, 1H), 7.43 (d, $J = 6.6$ Hz, 2H), 7.16 (d, $J = 8.7$ Hz, 3H), 6.88 (d, $J = 8.5$ Hz, 2H), 3.43 (dd, $J = 14.1, 6.4$ Hz, 2H), 2.77 (t, $J = 7.4$ Hz, 2H), 1.52 (s, 6H), 1.31 (s, 9H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 178.89, 172.87, 165.05, 153.05, 143.26, 139.98, 138.73, 135.89, 133.44, 133.31, 130.93, 129.59, 129.50, 129.04, 128.58, 128.34, 126.63, 125.99, 125.32, 125.21, 125.01, 124.32, 122.70, 121.69, 120.18, 119.80, 119.11, 80.47, 40.93, 34.22, 31.17, 27.38, 24.86; HRMS [ESI]: calculated for $\text{C}_{40}\text{H}_{41}^{35}\text{ClN}_3\text{O}_5^+$ $[\text{M}+\text{H}]^+$: 678.2729, found 678.2717, $\text{C}_{40}\text{H}_{41}^{37}\text{ClN}_3\text{O}_5^+$ $[\text{M}+\text{H}]^+$: 680.2700, found 680.2706.



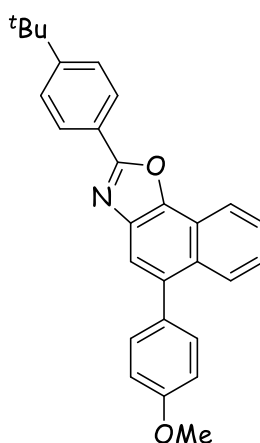
N-(4-(3-(3-(4,5-diphenyloxazol-2-yl)propanamido)phenyl)-1-hydroxynaphthalen-2-yl)pivalamide (5n): Yield: 31%; yellow oil; ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 10.24 (s, 1H), 9.88 (s, 1H), 9.56 (s, 1H), 8.34 – 8.27 (m, 1H), 7.77 (m, 2H), 7.68 – 7.62 (m, 1H), 7.57 – 7.54 (m, 2H), 7.53 – 7.51 (m, 1H), 7.51 – 7.49 (m, 1H), 7.47 – 7.33 (m, 10H), 7.13 (d, $J = 7.6$ Hz, 1H), 3.17 (t, $J = 7.2$ Hz, 2H), 2.93 (t, $J = 7.2$ Hz, 2H), 1.31 (s, 9H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 178.92, 169.81, 162.66, 144.62, 143.27, 140.19, 139.31, 134.37, 132.04, 131.01, 129.64, 129.29, 128.94, 128.81, 128.66, 128.45, 128.18, 127.37, 126.64, 126.32, 125.97, 125.33, 124.98, 124.66, 124.26, 122.70, 120.44, 120.18, 117.83, 39.12, 32.75, 27.37, 23.12; HRMS [ESI]: calculated for $\text{C}_{39}\text{H}_{36}\text{N}_3\text{O}_4^+$ $[\text{M}+\text{H}]^+$: 610.2700, found 610.2690.



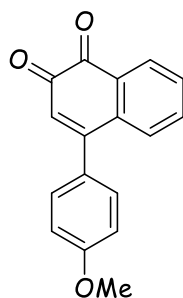
***N*-(3-(4-hydroxy-3-pivalamidonaphthalen-1-yl)phenyl)oleamide (50)**: Yield: 23%; yellow oil; ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ 9.99 (s, 1H), 9.89 (s, 1H), 9.58 (s, 1H), 8.30 (d, $J = 8.4$ Hz, 1H), 7.76 (d, $J = 9.1$ Hz, 2H), 7.63 (d, $J = 7.7$ Hz, 1H), 7.54 – 7.48 (m, 1H), 7.48 – 7.36 (m, 3H), 7.10 (d, $J = 7.6$ Hz, 1H), 5.35 – 5.25 (m, 2H), 2.30 (t, $J = 7.3$ Hz, 2H), 2.00 – 1.93 (m, 2H), 1.60 – 1.45 (m, 4H), 1.31 (s, 9H), 1.30 – 1.15 (m, 20H), 0.83 (t, $J = 4.9$ Hz, 3H); ^{13}C NMR (101 MHz, $\text{DMSO-}d_6$) δ 178.89, 171.42, 143.25, 140.10, 139.48, 131.05, 129.68, 129.63, 128.74, 126.62, 125.97, 125.33, 125.01, 124.46, 124.27, 122.71, 120.35, 120.17, 117.75, 109.62, 36.48, 33.69, 31.34, 31.32, 29.13, 29.07, 28.97, 28.87, 28.76, 28.72, 28.62, 28.56, 27.39, 26.60, 25.13, 22.14, 13.99; HRMS [ESI]: calculated for $\text{C}_{39}\text{H}_{55}\text{N}_2\text{O}_3^+$ $[\text{M}+\text{H}]^+$: 599.4207, found 599.4203.



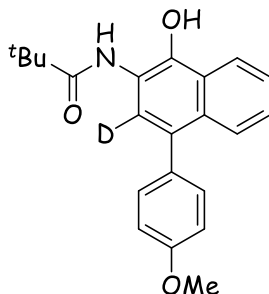
2-(*tert*-butyl)-5-(4-methoxyphenyl)naphtho[2,1-*d*]oxazole (6a): Yield: 90%; pale-yellow solid; ^1H NMR (400 MHz, DMSO) δ 8.26 (d, $J = 8.2$ Hz, 1H), 7.87 (d, $J = 8.6$ Hz, 1H), 7.73 – 7.68 (m, 1H), 7.67 (s, 1H), 7.57 – 7.51 (m, 1H), 7.40 (d, $J = 8.4$ Hz, 2H), 7.10 (d, $J = 8.4$ Hz, 2H), 3.85 (s, 3H), 1.52 (s, 9H); ^{13}C NMR (101 MHz, DMSO) δ 172.62, 158.78, 145.08, 136.82, 136.58, 132.07, 131.19, 129.22, 127.11, 126.80, 125.82, 120.11, 119.81, 118.98, 113.99, 55.25, 34.09, 28.40; HRMS [ESI]: calculated for $\text{C}_{22}\text{H}_{22}\text{NO}_2^+$ $[\text{M}+\text{H}]^+$: 332.1645, found 332.1636.



2-(4-(*tert*-butyl)phenyl)-5-(4-methoxyphenyl)naphtho[2,1-*d*]oxazole (6b): Yield: 90%; yellow solid; ¹H NMR (400 MHz, CDCl₃) δ 8.38 (d, *J* = 8.0 Hz, 1H), 8.29 (d, *J* = 8.5 Hz, 2H), 7.98 (d, *J* = 8.5 Hz, 1H), 7.78 (s, 1H), 7.68 – 7.63 (m, 1H), 7.59 (d, *J* = 8.6 Hz, 2H), 7.50 – 7.44 (m, 3H), 7.09 – 7.05 (m, 2H), 3.92 (s, 3H), 1.41 (s, 9H); ¹³C NMR (101 MHz, CDCl₃) δ 162.01, 158.16, 153.92, 144.98, 137.24, 136.90, 132.09, 130.48, 129.46, 126.55, 126.33, 125.78, 125.10, 124.61, 123.75, 119.65, 119.54, 118.45, 112.91, 54.51, 34.22, 30.33; HRMS [ESI]: calculated for C₂₈H₂₆NO₂⁺ [M+H]⁺ : 408.1958, found 408.1956.



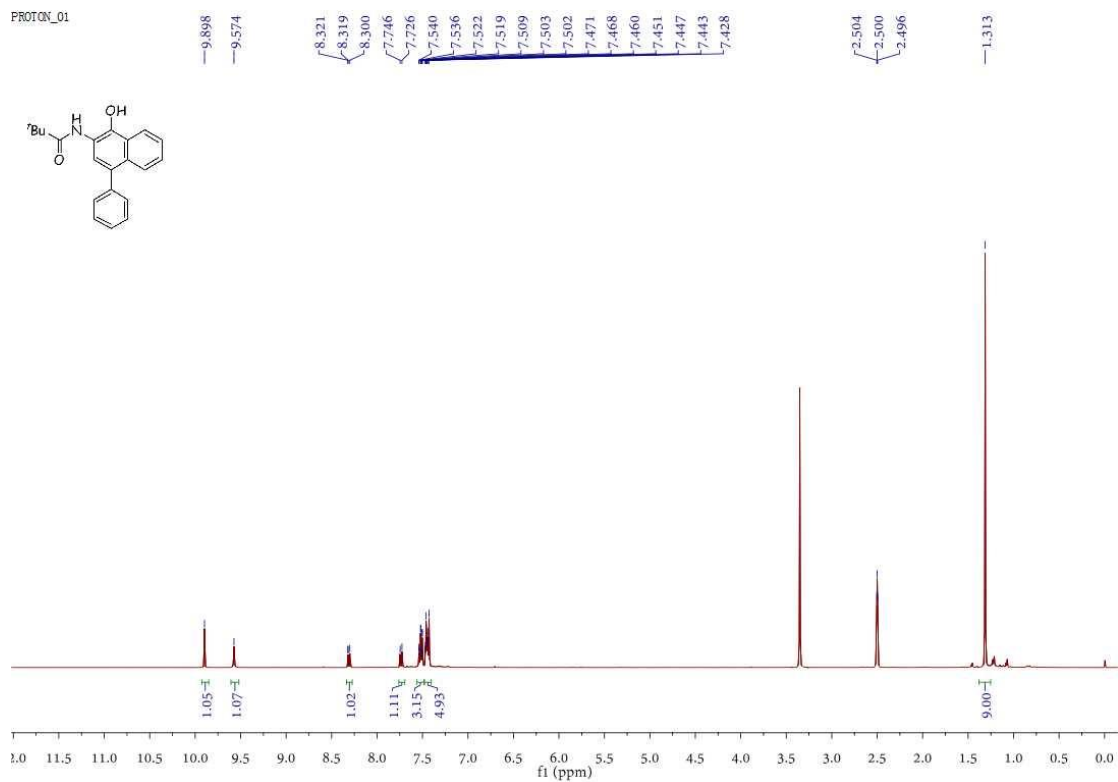
4-(4-methoxyphenyl)naphthalene-1,2-dione (6c): Yield: 80%; orange oil; ¹H NMR (400 MHz, DMSO) δ 8.05 (d, *J* = 7.5 Hz, 1H), 7.70 (t, *J* = 7.6 Hz, 1H), 7.62 (t, *J* = 7.5 Hz, 1H), 7.46 (d, *J* = 8.5 Hz, 2H), 7.32 (d, *J* = 7.8 Hz, 1H), 7.11 (d, *J* = 8.5 Hz, 2H), 6.31 (s, 1H), 3.84 (s, 3H); ¹³C NMR (101 MHz, DMSO) δ 179.71, 178.95, 160.39, 154.99, 135.02, 134.69, 131.94, 130.68, 129.96, 129.48, 129.11, 128.57, 127.09, 114.30, 55.39; HRMS [ESI]: calculated for C₁₇H₁₃O₃⁺ [M+H]⁺ : 265.0859, found 265.0855.



***N*-(1-hydroxy-4-(4-methoxyphenyl)naphthalen-2-yl-3-*d*)pivalamide ([D]-3g):** Yield: 64%; pale-yellow oil; ¹H NMR (400 MHz, DMSO) δ 9.84 (s, 1H), 9.58 (s, 1H), 8.29 (d, *J* = 8.3 Hz, 1H), 7.74 (d, *J* = 8.3 Hz, 1H), 7.54 – 7.48 (m, 1H), 7.47 – 7.42 (m, 1H), 7.37 (d, *J* = 8.6 Hz, 2H), 7.08 (d, *J* = 8.6 Hz, 2H), 3.83 (s, 3H), 1.31 (s, 9H); HRMS [ESI]: calculated for C₂₂H₂₂DNO₃⁺ [M+H]⁺ : 351.1813, found 351.1807.

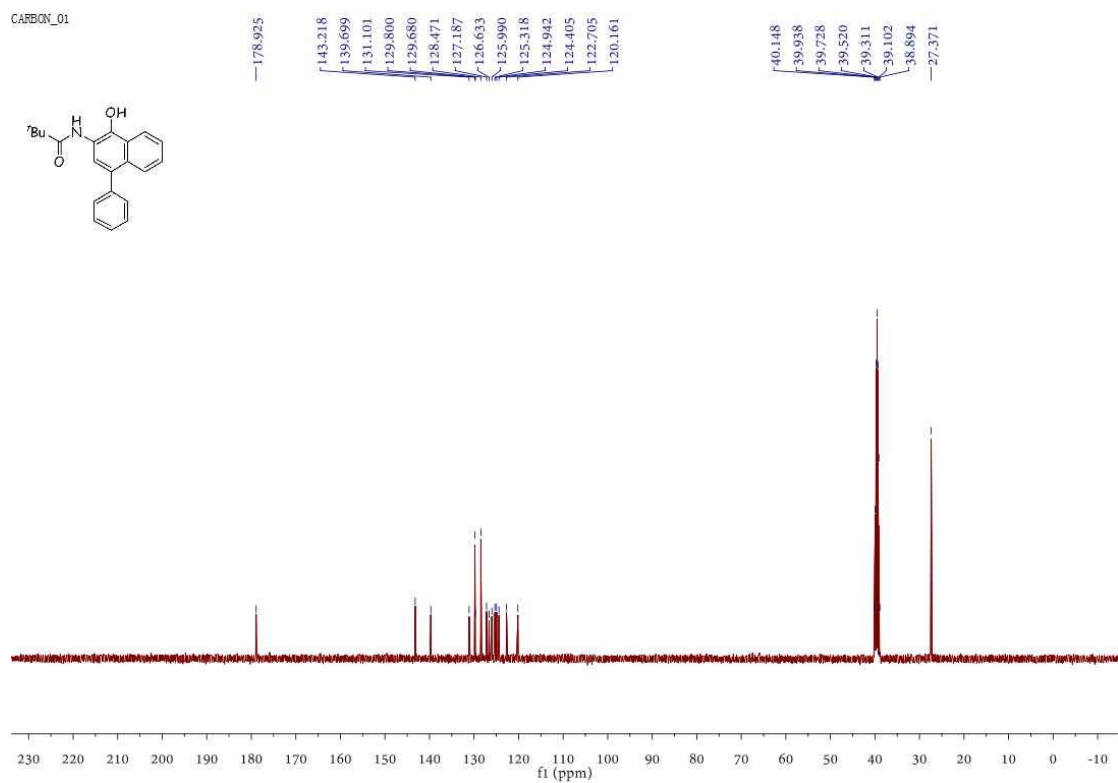
VIII. Copies of ¹H, ¹³C NMR and ¹⁹F spectra

PROTON_01



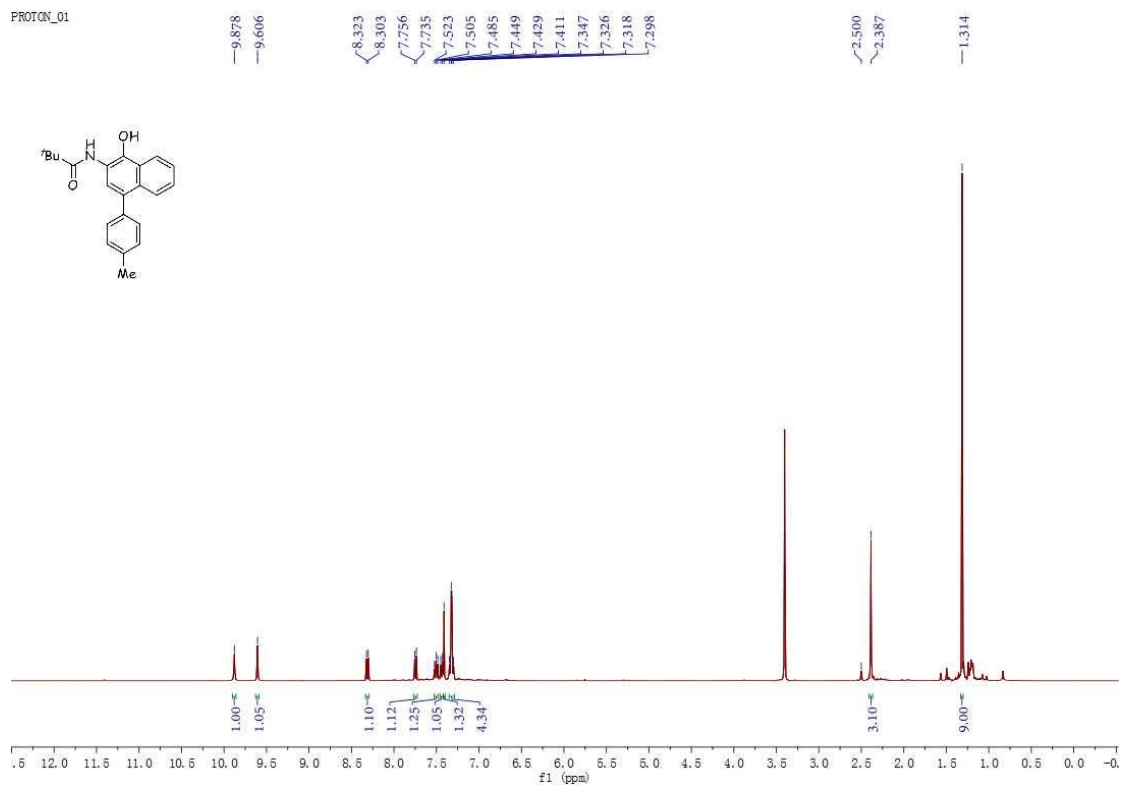
¹H - NMR spectrum of compound - **3a** (400 MHz, DMSO-*d*₆)

CARBON_01



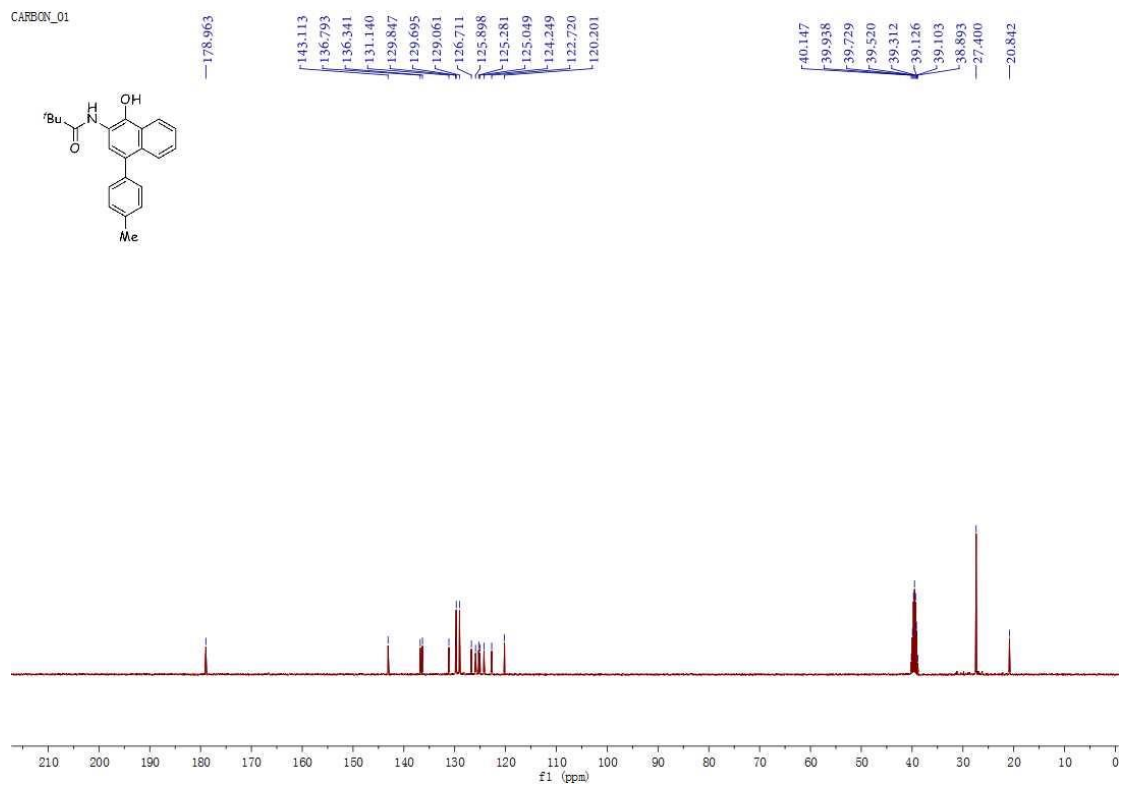
¹³C - NMR spectrum of compound - **3a** (101 MHz, DMSO-*d*₆)

PROTON_01



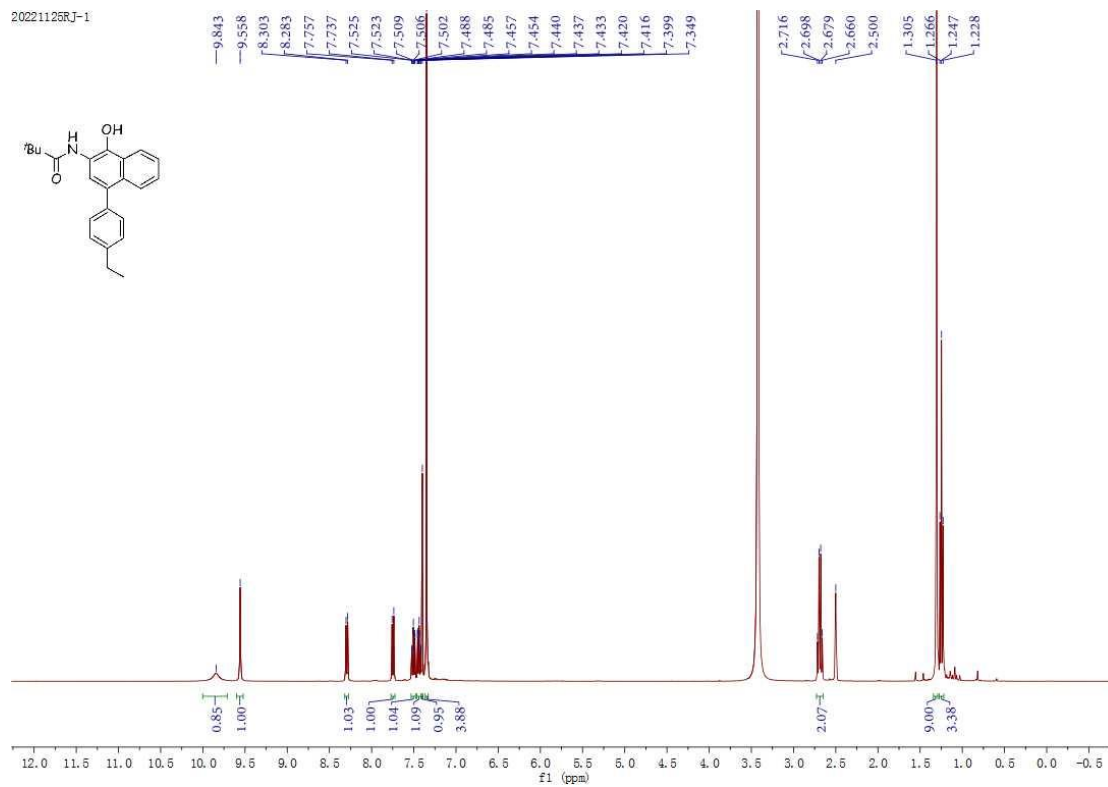
¹H – NMR spectrum of compound – **3b** (400 MHz, DMSO-*d*₆)

CARBON_01



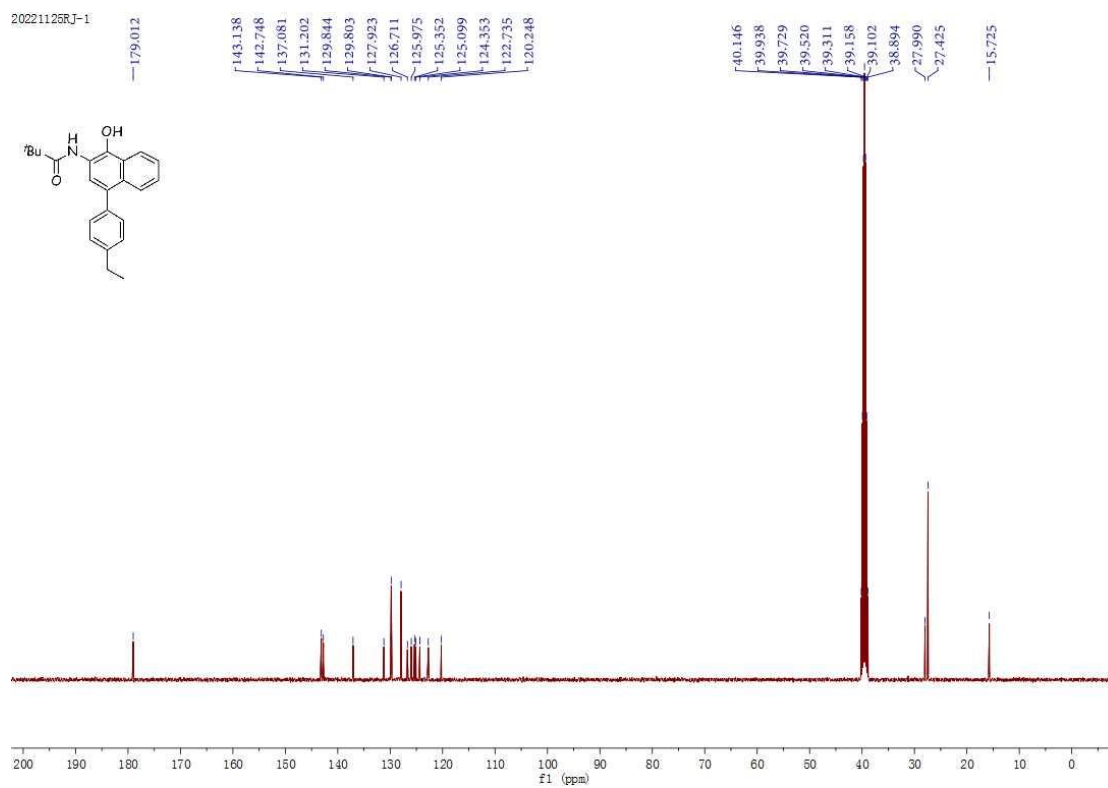
¹³C – NMR spectrum of compound – **3b** (101 MHz, DMSO-*d*₆)

20221125R-J-1



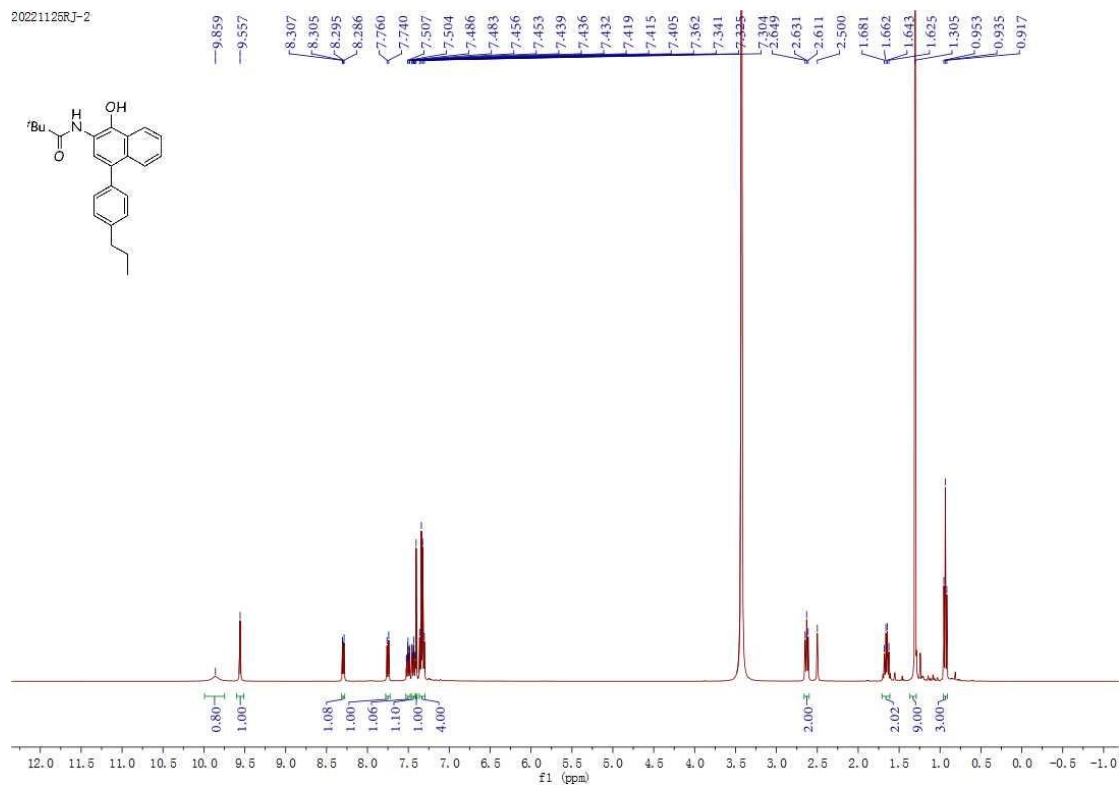
¹H - NMR spectrum of compound – 3c (400 MHz, DMSO-*d*₆)

20221125R-J-1



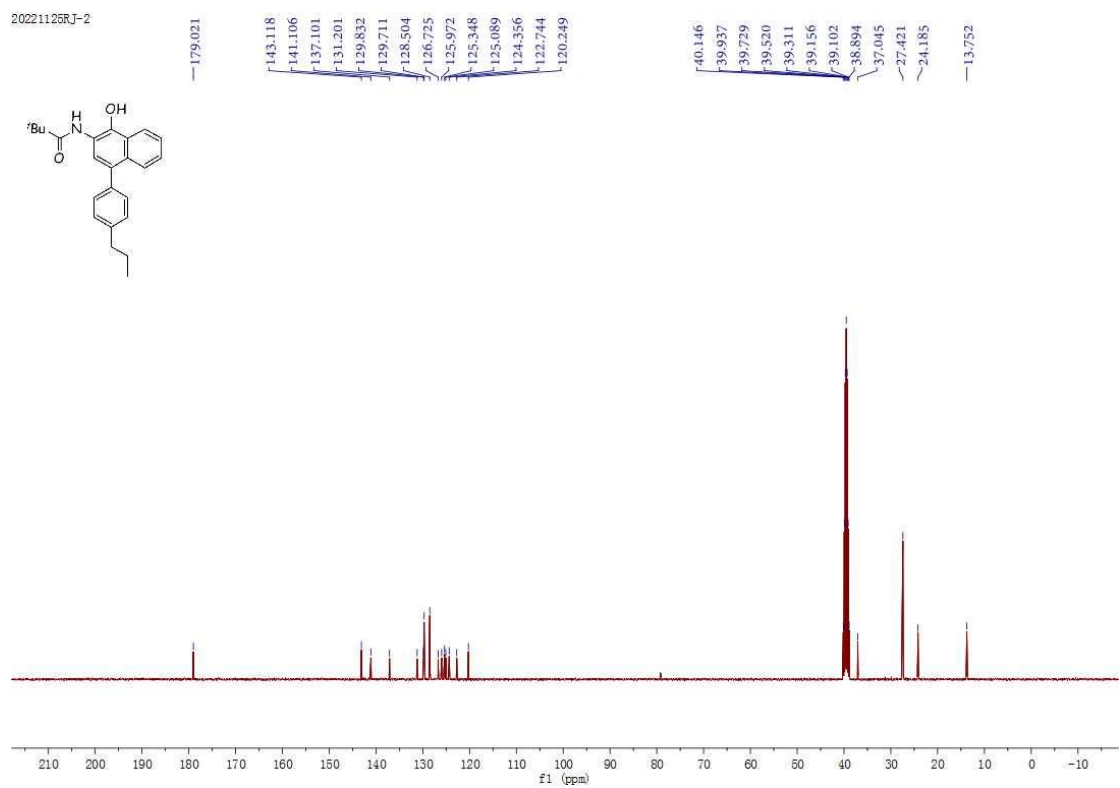
¹³C - NMR spectrum of compound – 3c (101 MHz, DMSO-*d*₆)

20221125RJ-2

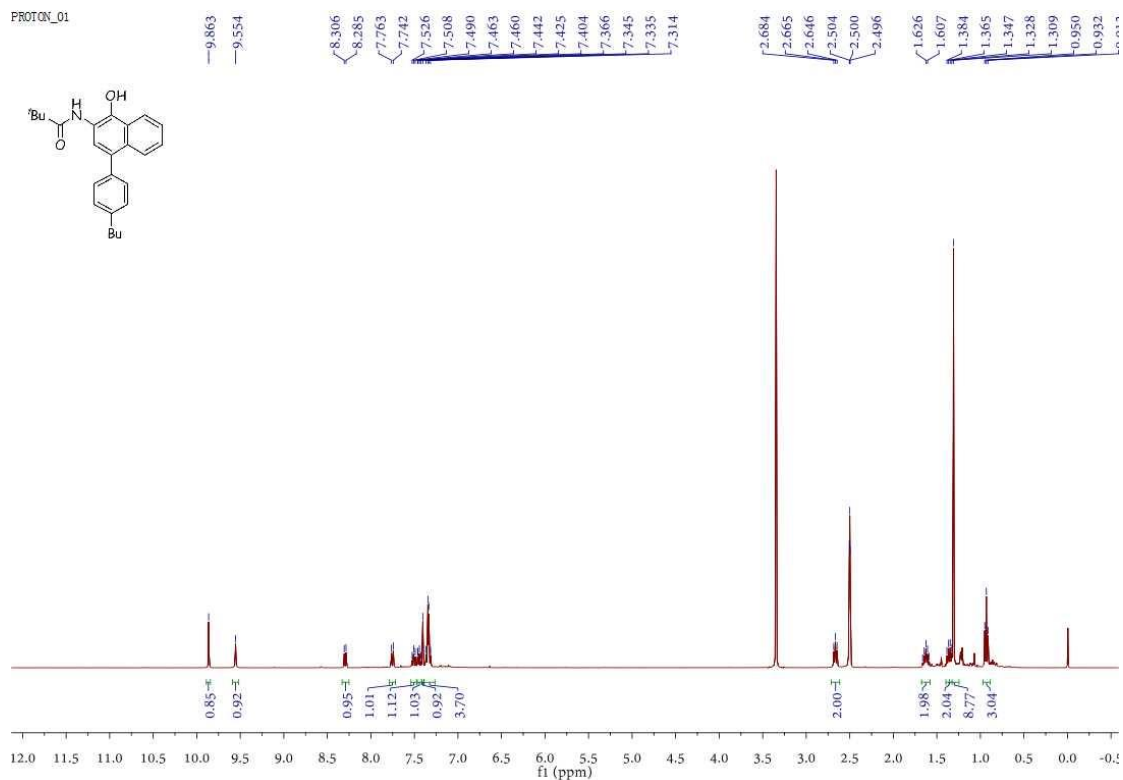


¹H – NMR spectrum of compound – 3d (400 MHz, DMSO-*d*₆)

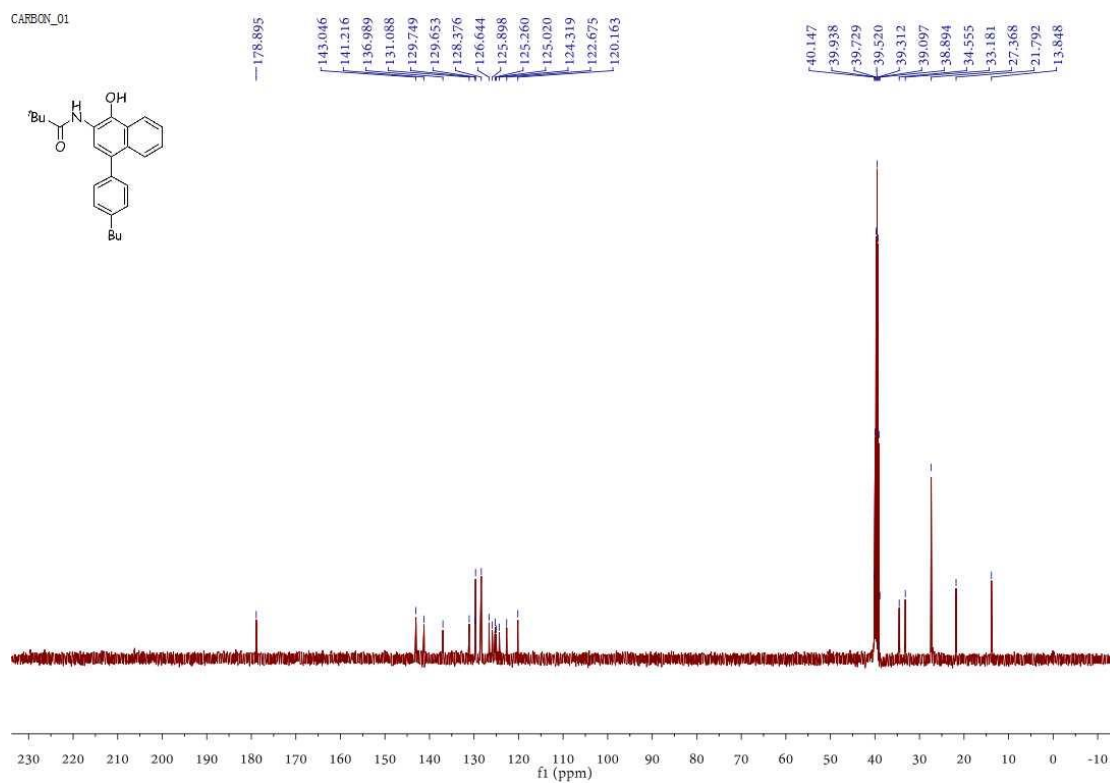
20221125RJ-2



¹³C – NMR spectrum of compound – 3d (101 MHz, DMSO-*d*₆)

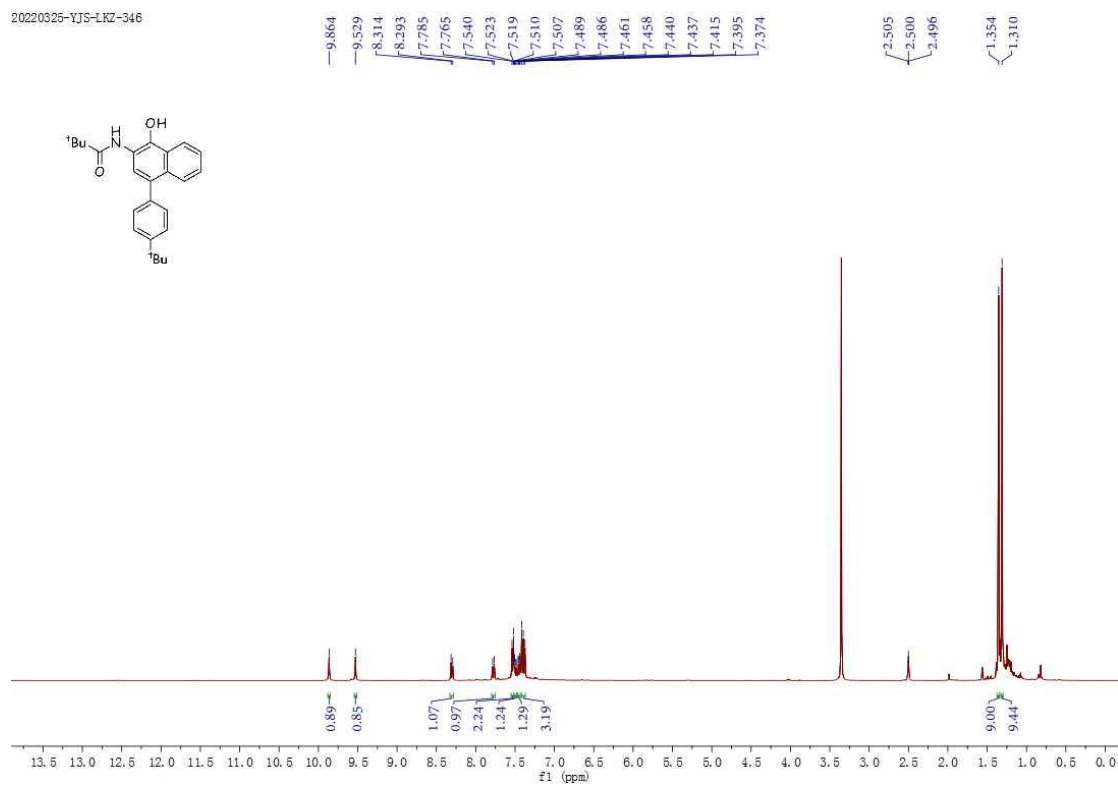


^1H - NMR spectrum of compound - **3e** (400 MHz, $\text{DMSO-}d_6$)



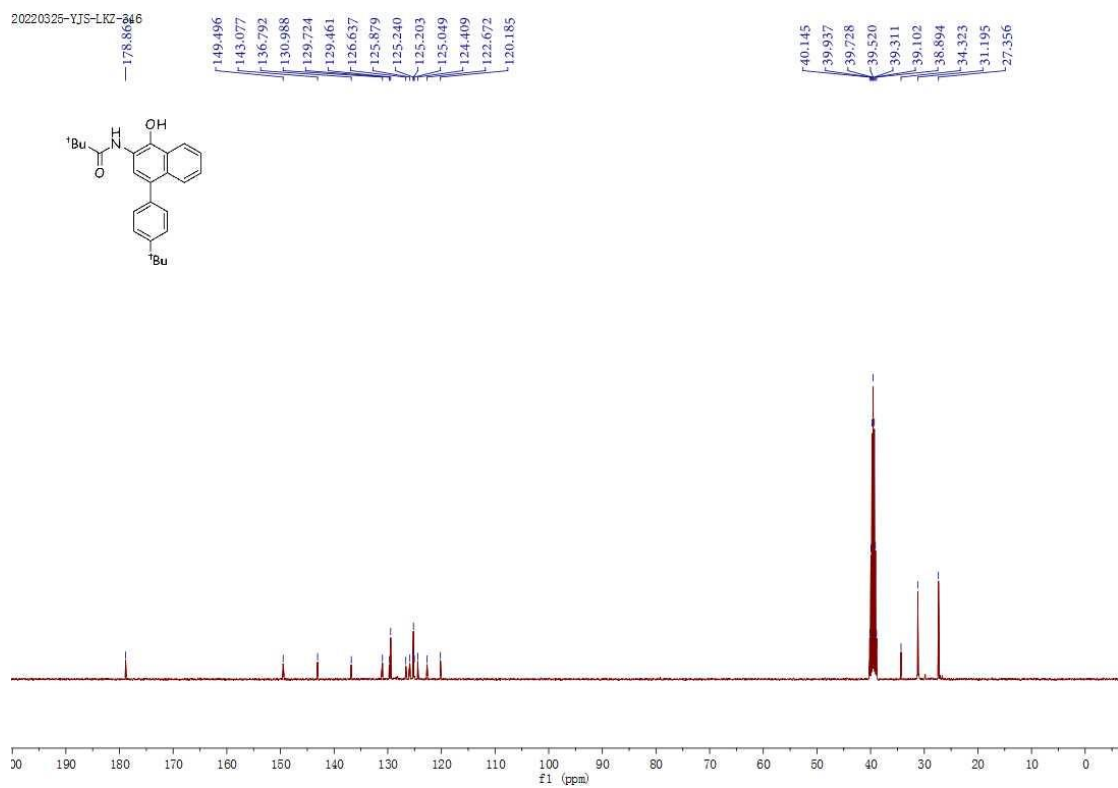
^{13}C - NMR spectrum of compound - **3e** (101 MHz, $\text{DMSO-}d_6$)

20220325-YJS-LKZ-346

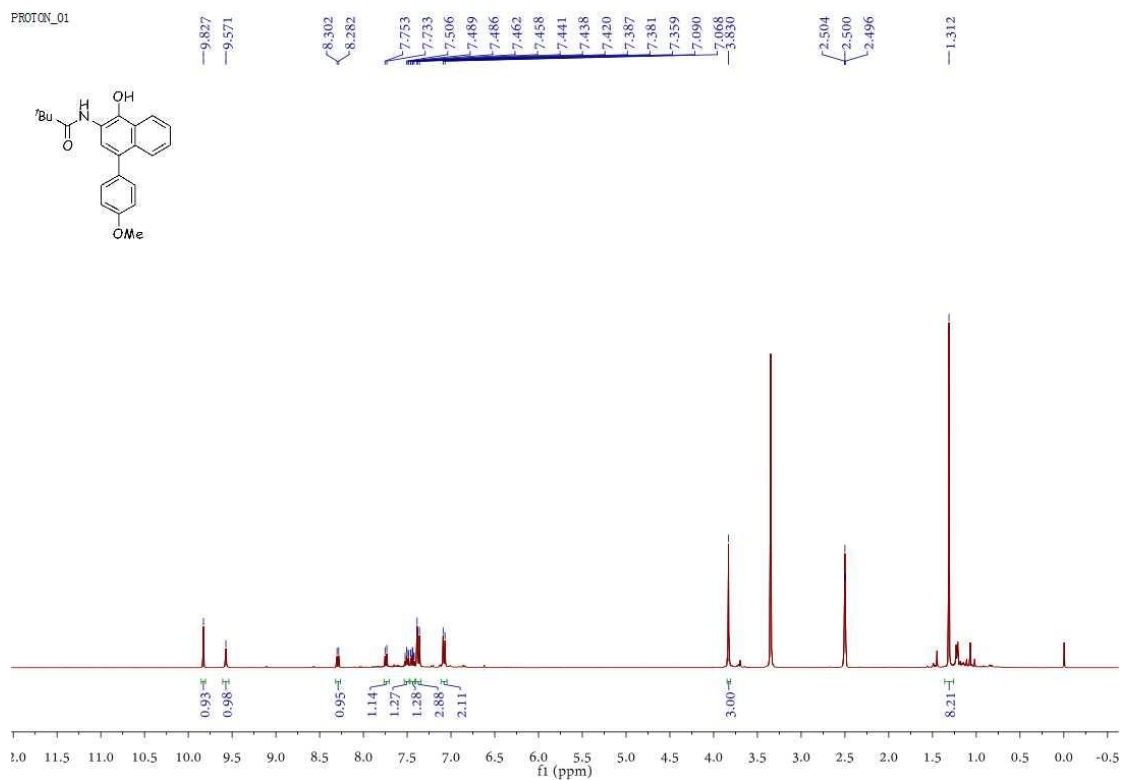


¹H - NMR spectrum of compound – 3f (400 MHz, DMSO-*d*₆)

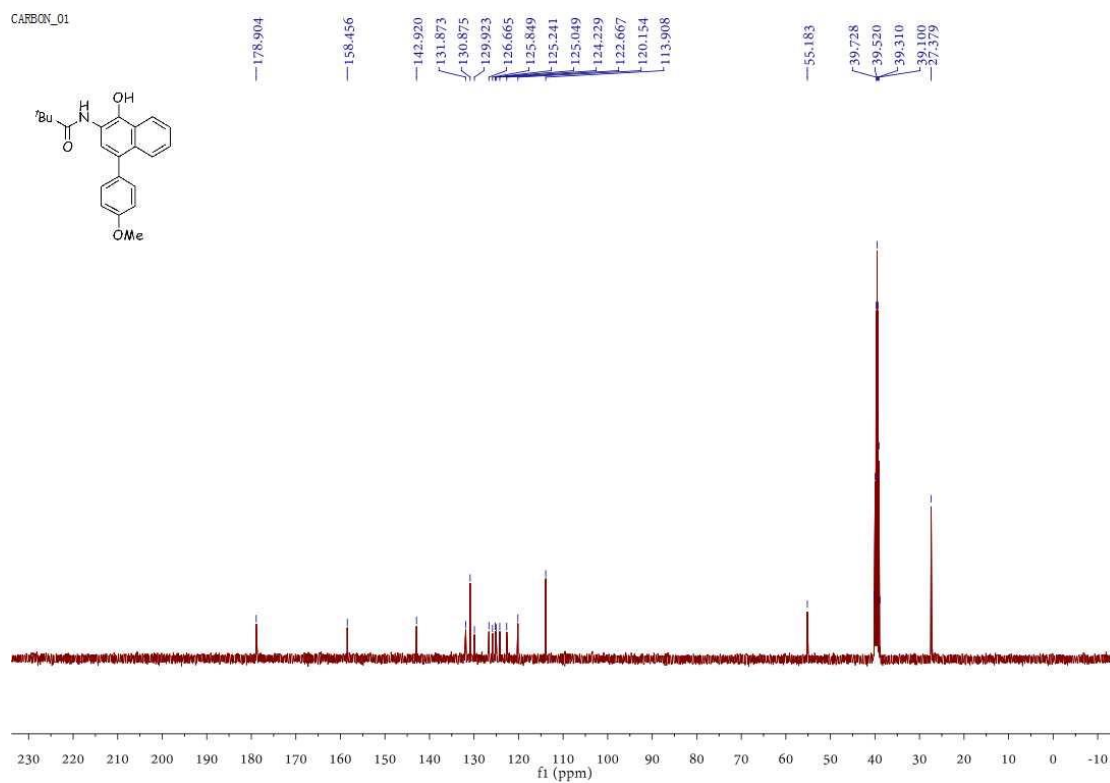
20220325-YJS-LKZ-346



¹³C - NMR spectrum of compound – 3f (101 MHz, DMSO-*d*₆)

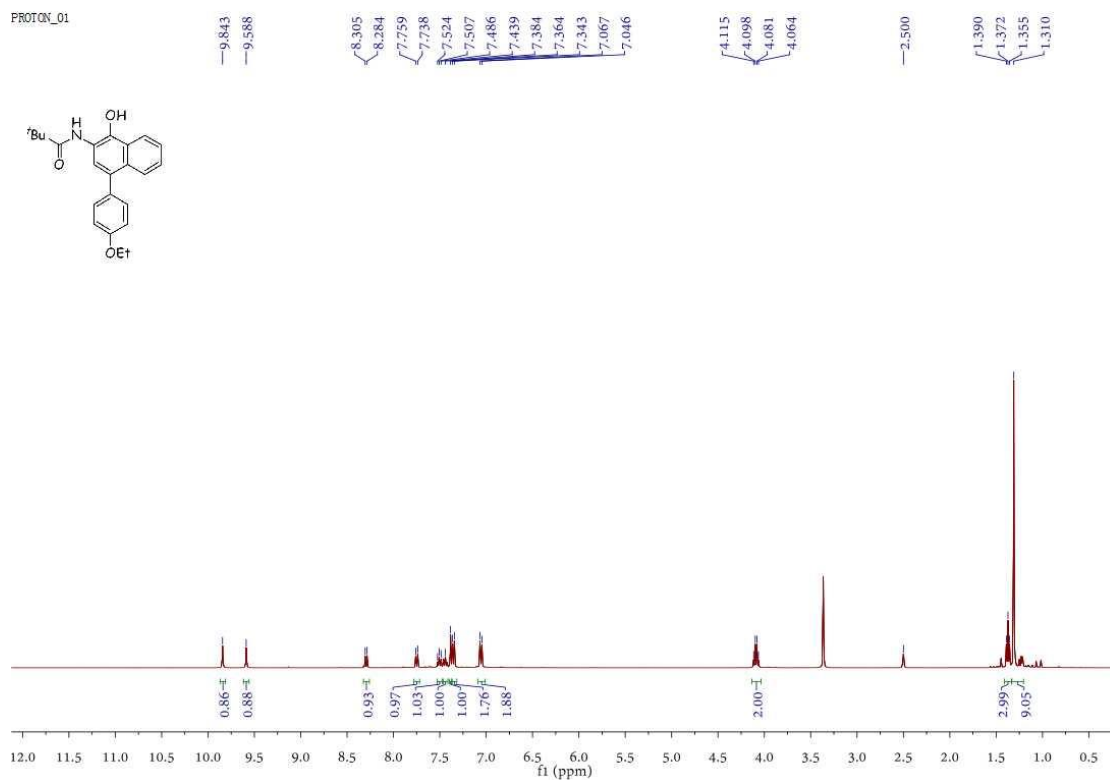


¹H – NMR spectrum of compound – **3g** (400 MHz, DMSO-*d*₆)



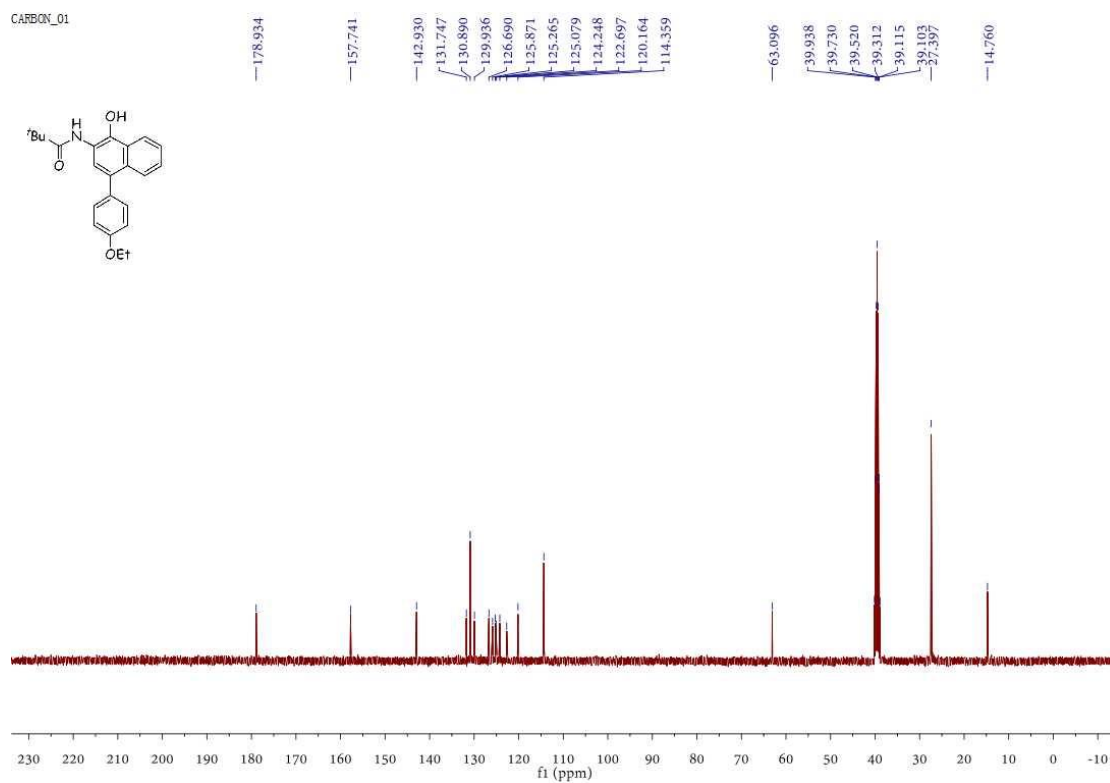
¹³C – NMR spectrum of compound – **3g** (101 MHz, DMSO-*d*₆)

PROTON_01

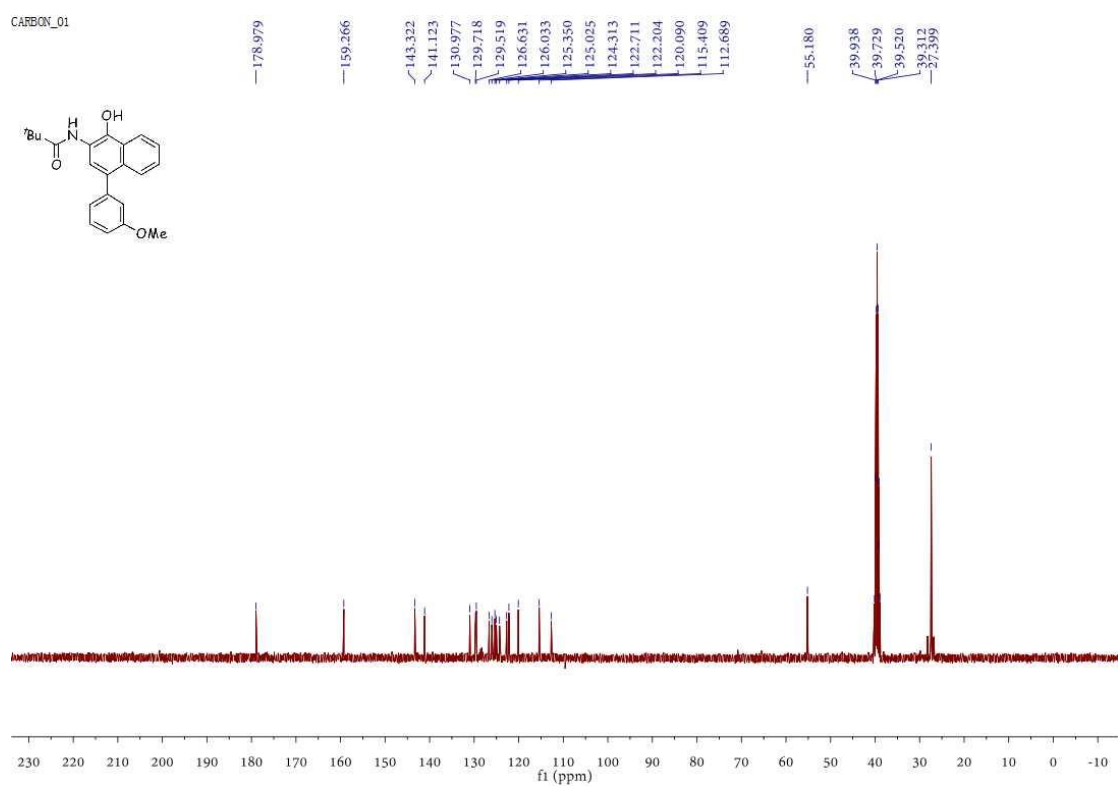
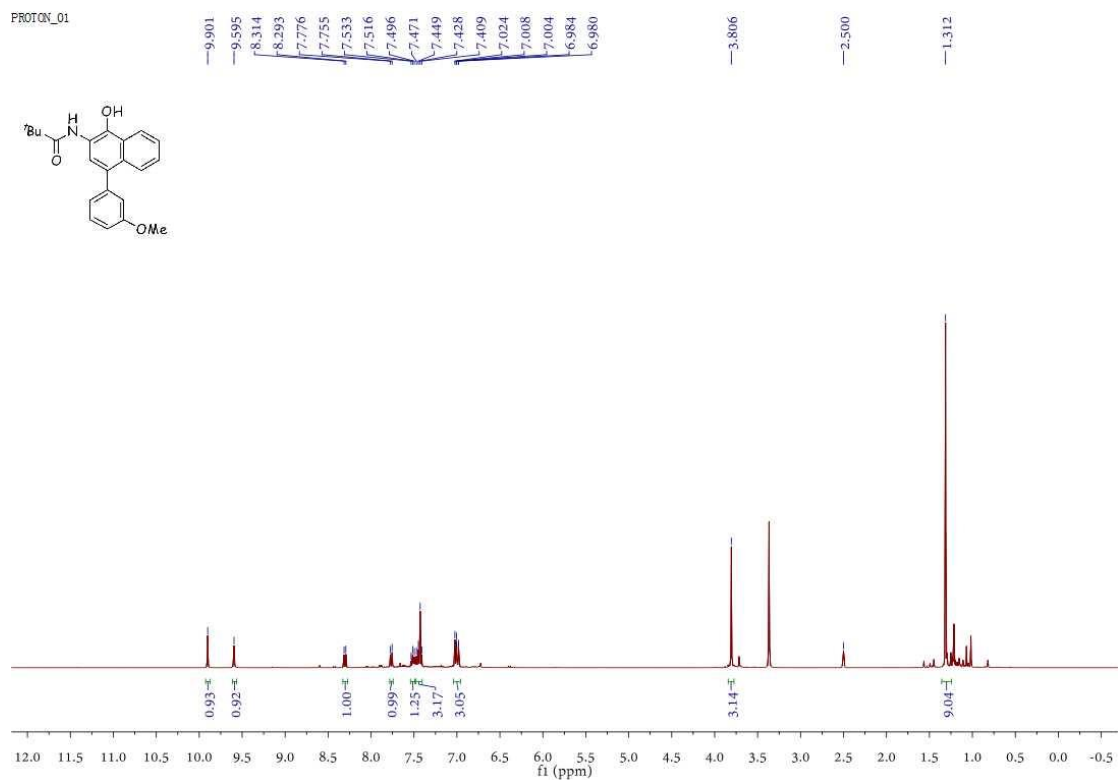


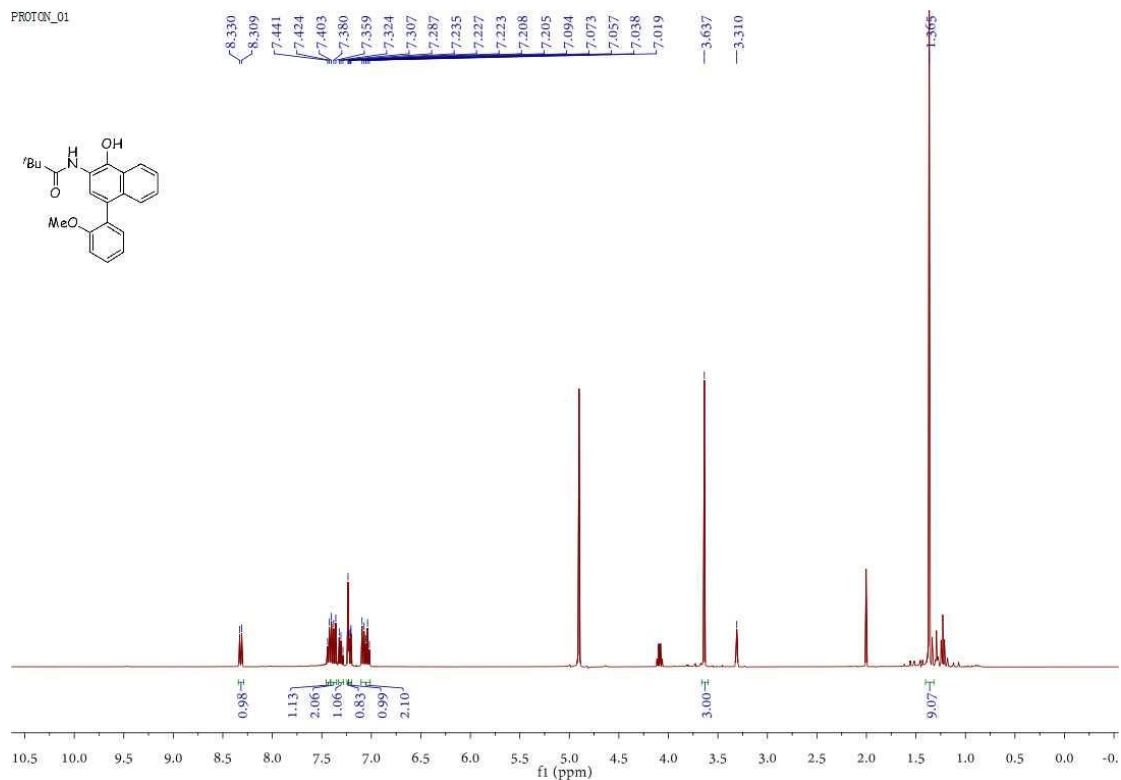
¹H – NMR spectrum of compound – **3h** (400 MHz, DMSO-*d*₆)

CARBON_01

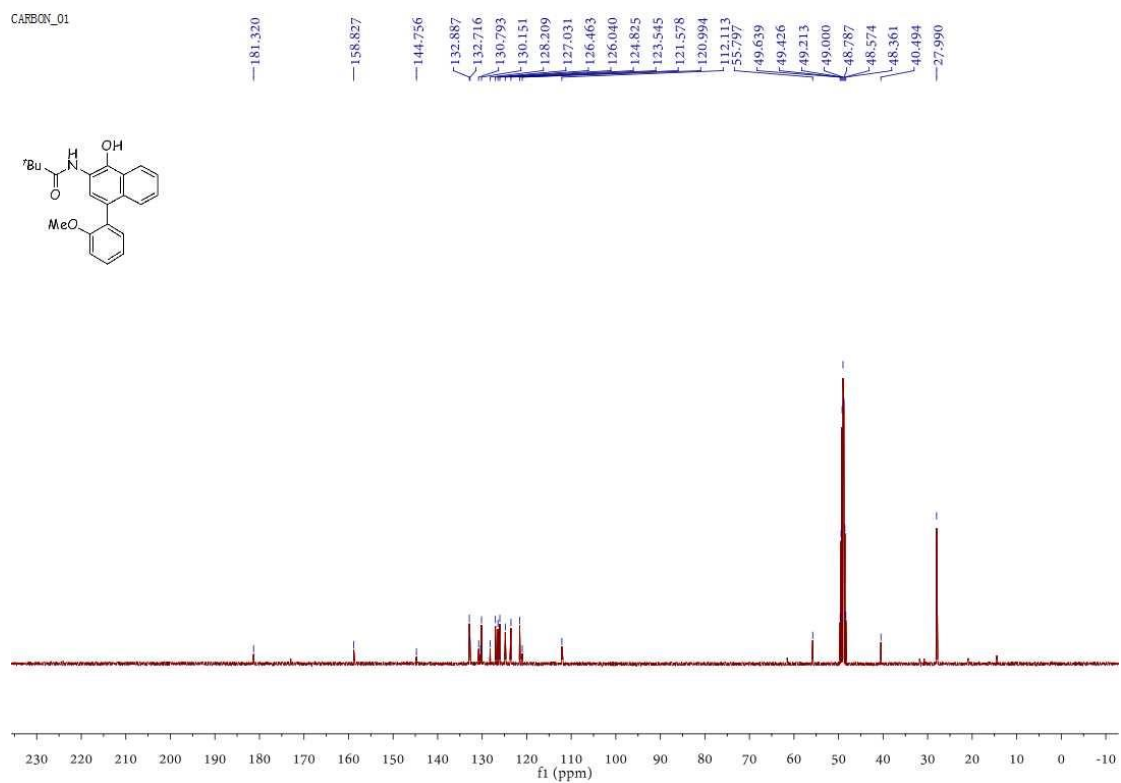


¹³C – NMR spectrum of compound – **3h** (101 MHz, DMSO-*d*₆)

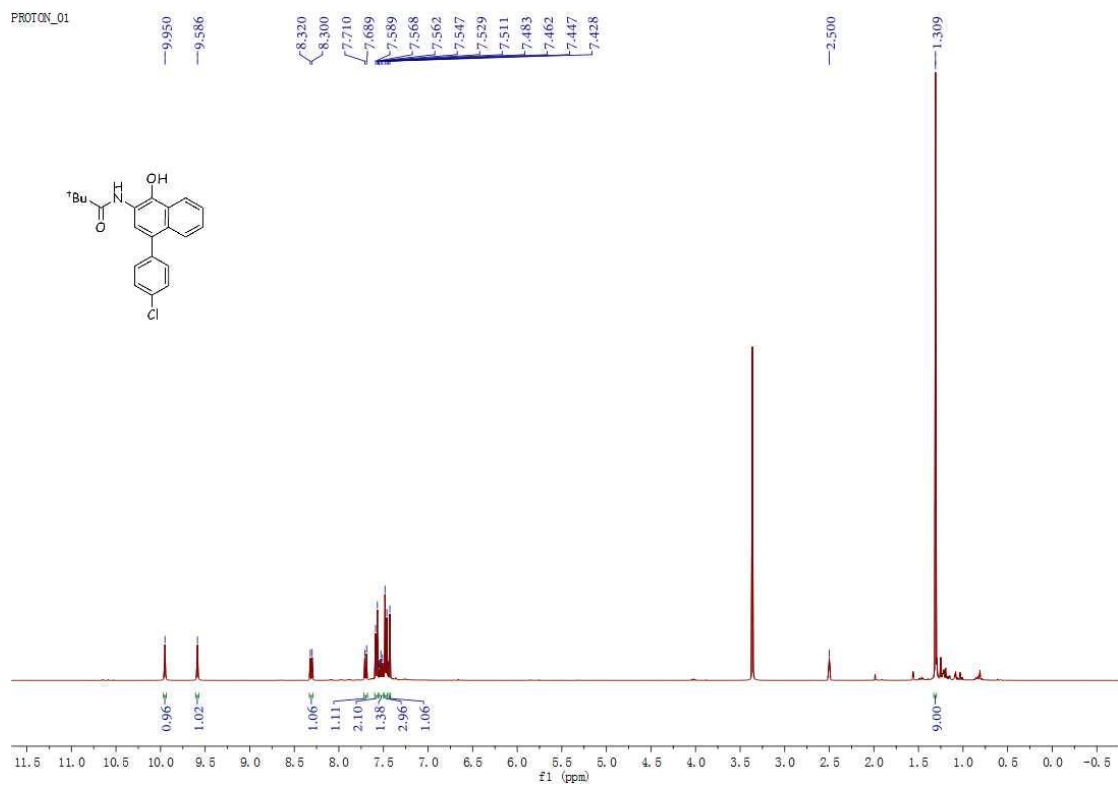




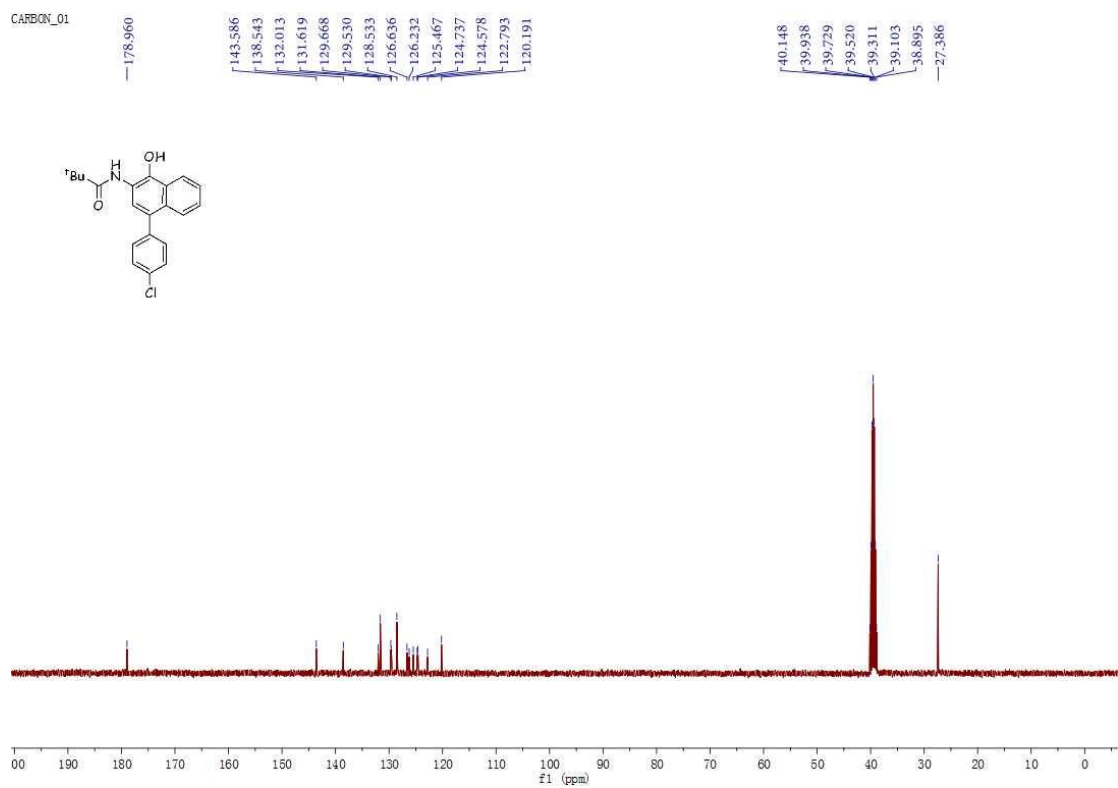
¹H – NMR spectrum of compound – **3j** (400 MHz, CD₃OD)



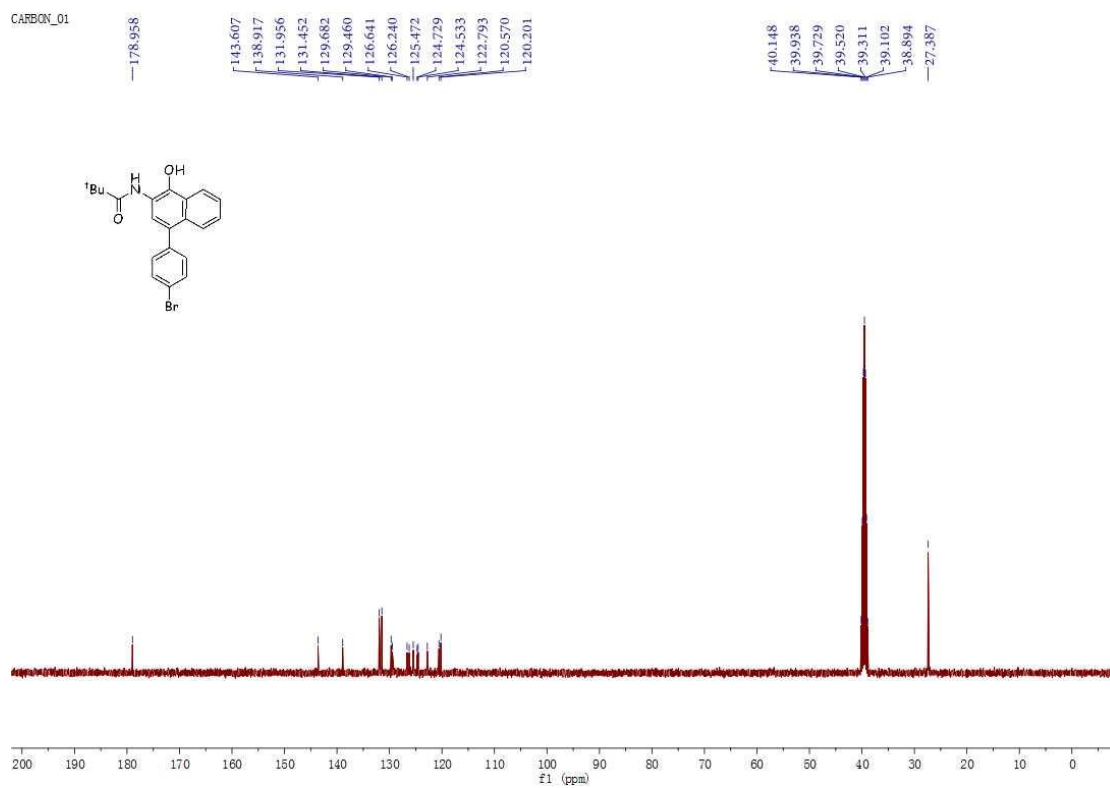
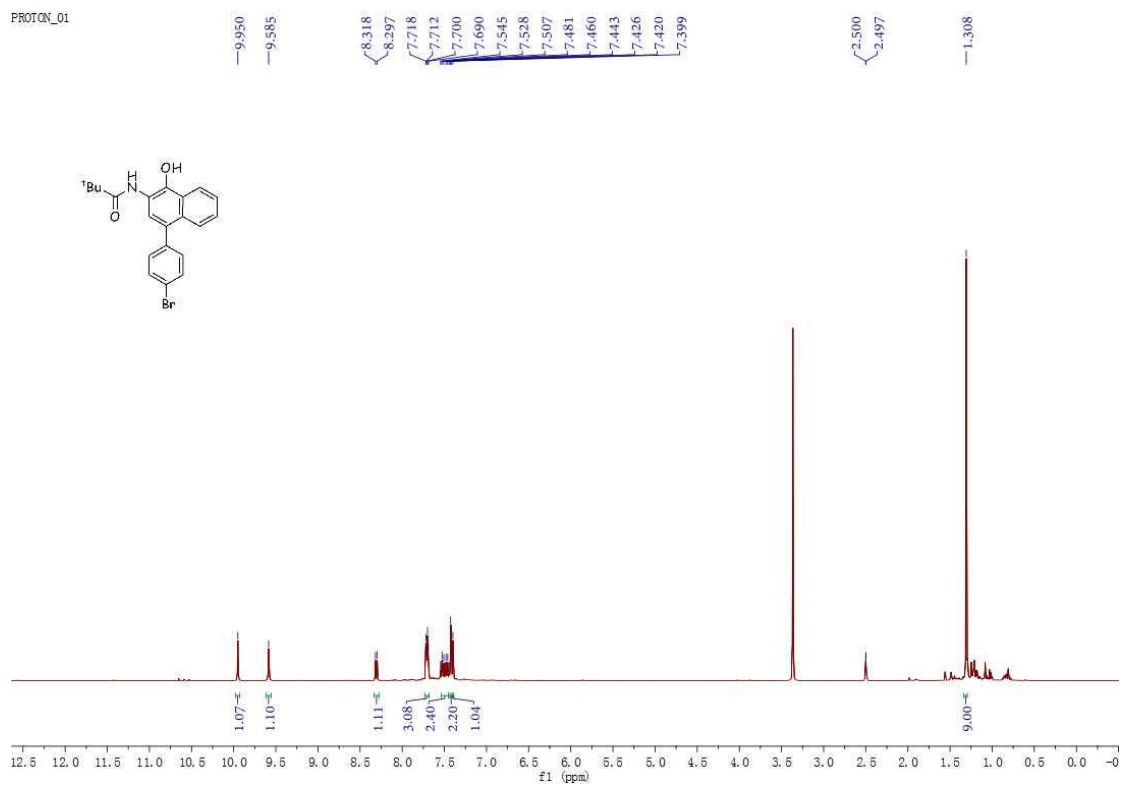
¹³C – NMR spectrum of compound – **3j** (101 MHz, CD₃OD)

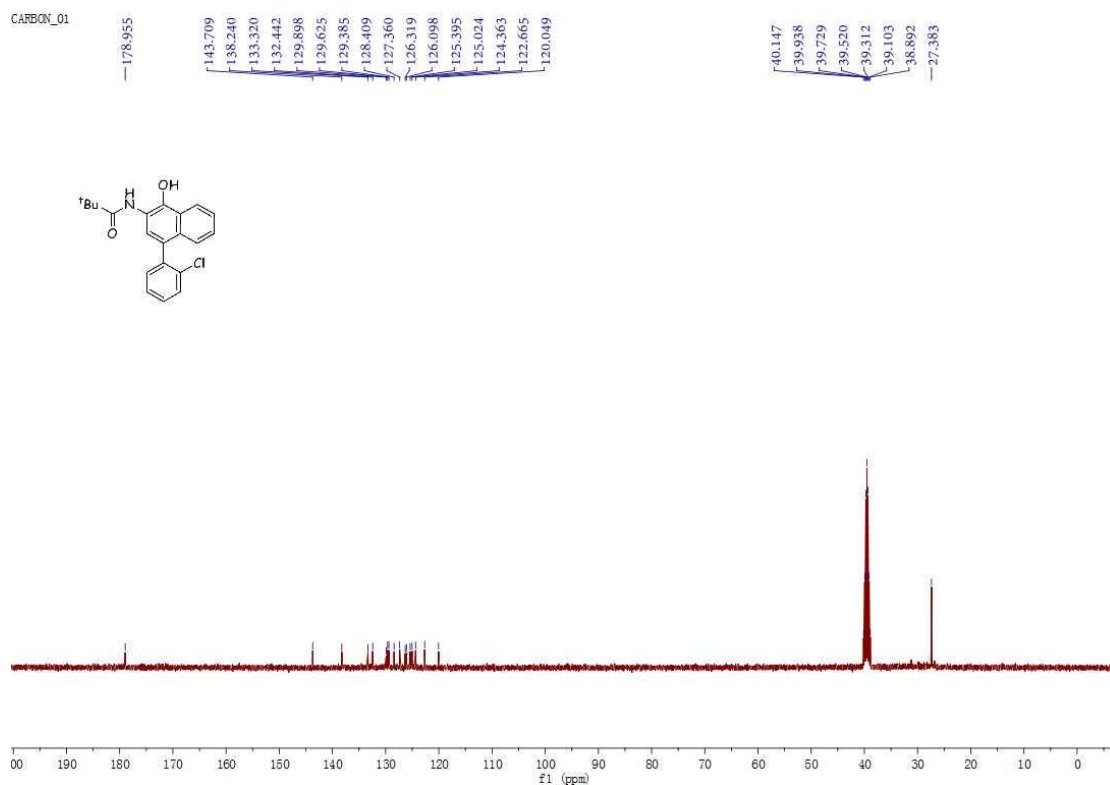
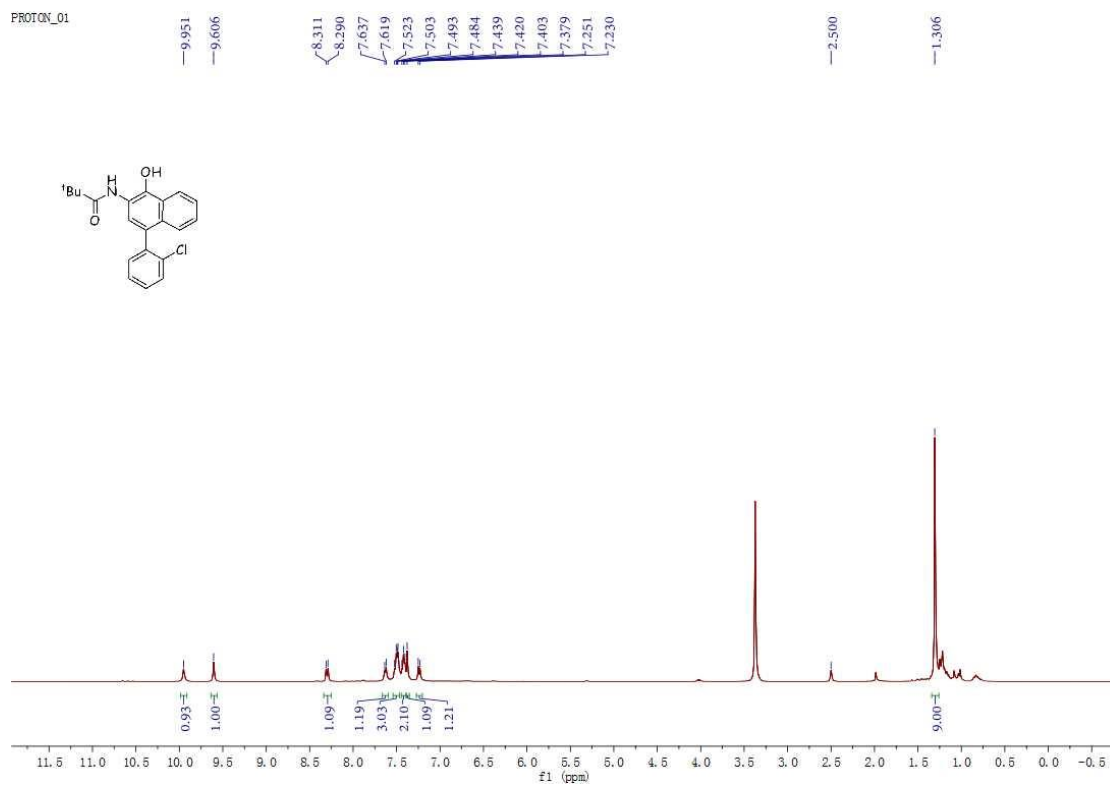


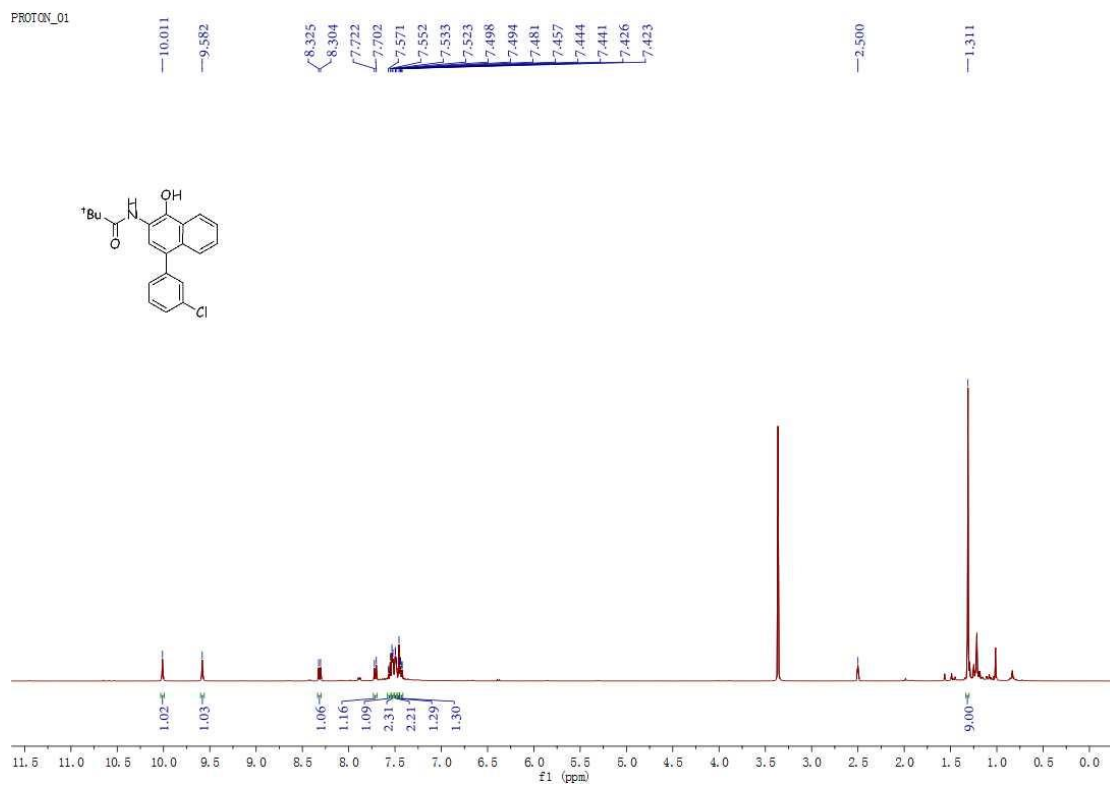
¹H – NMR spectrum of compound – **3k** (400 MHz, DMSO-*d*₆)



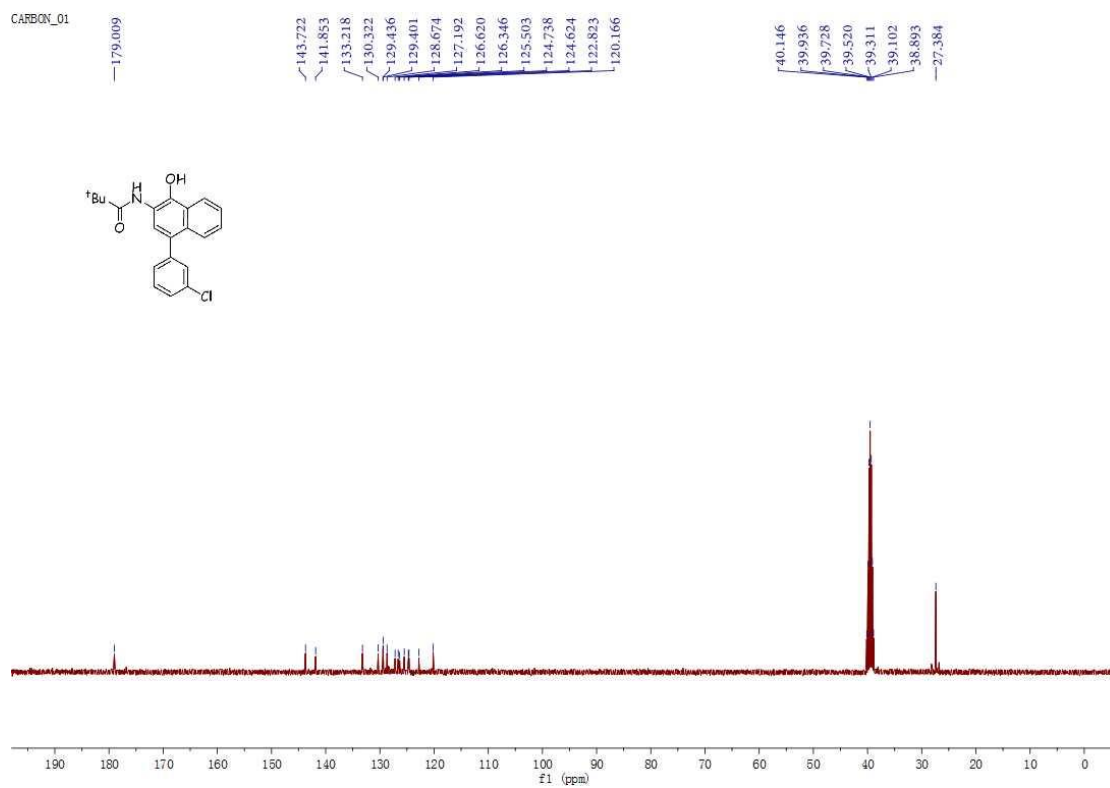
¹³C – NMR spectrum of compound – **3k** (101 MHz, DMSO-*d*₆)



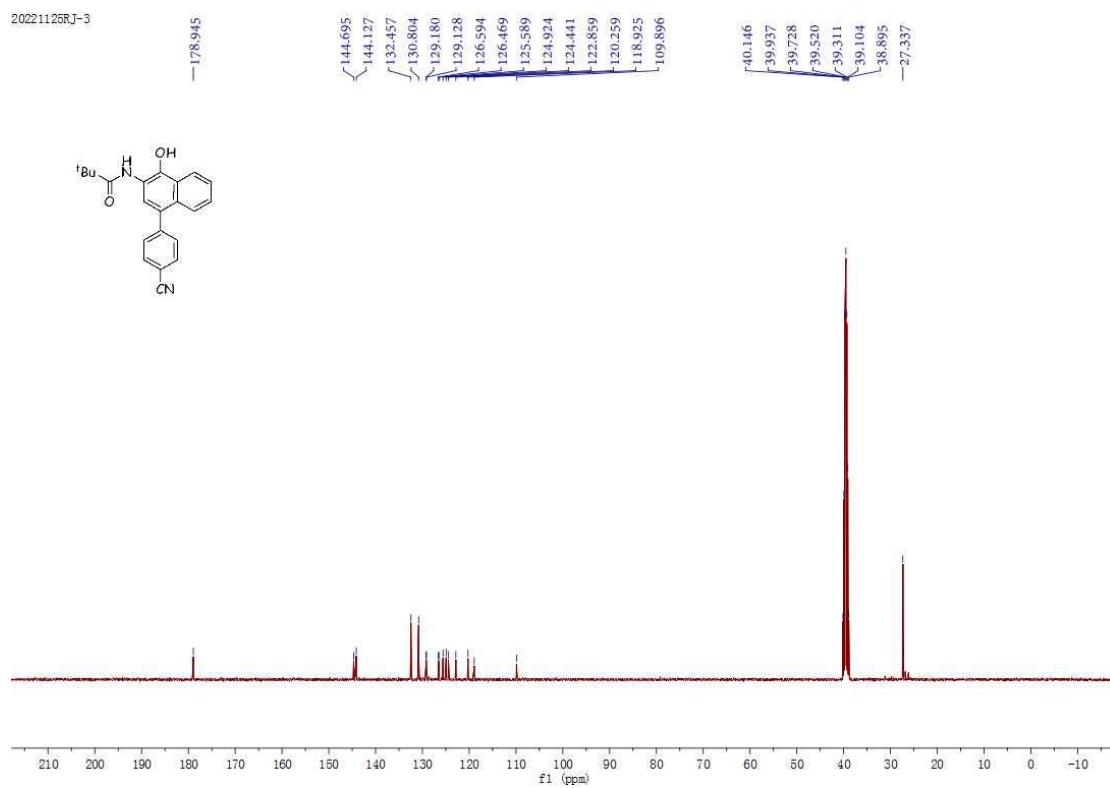
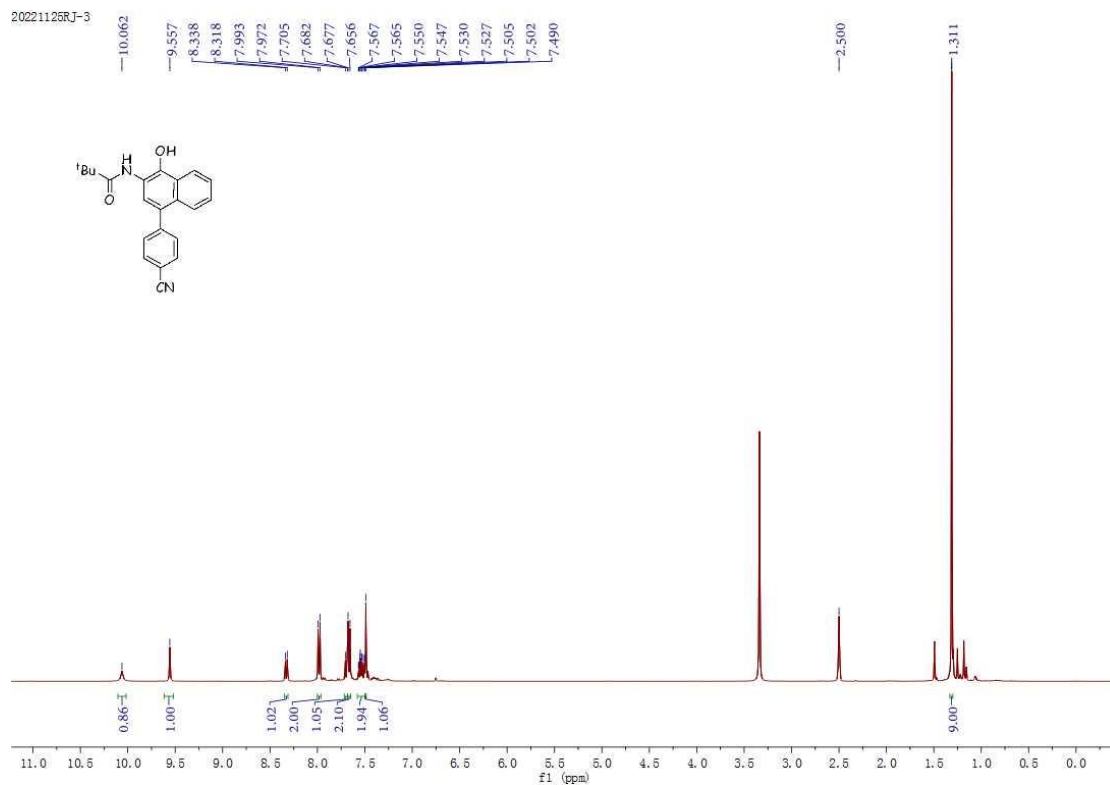


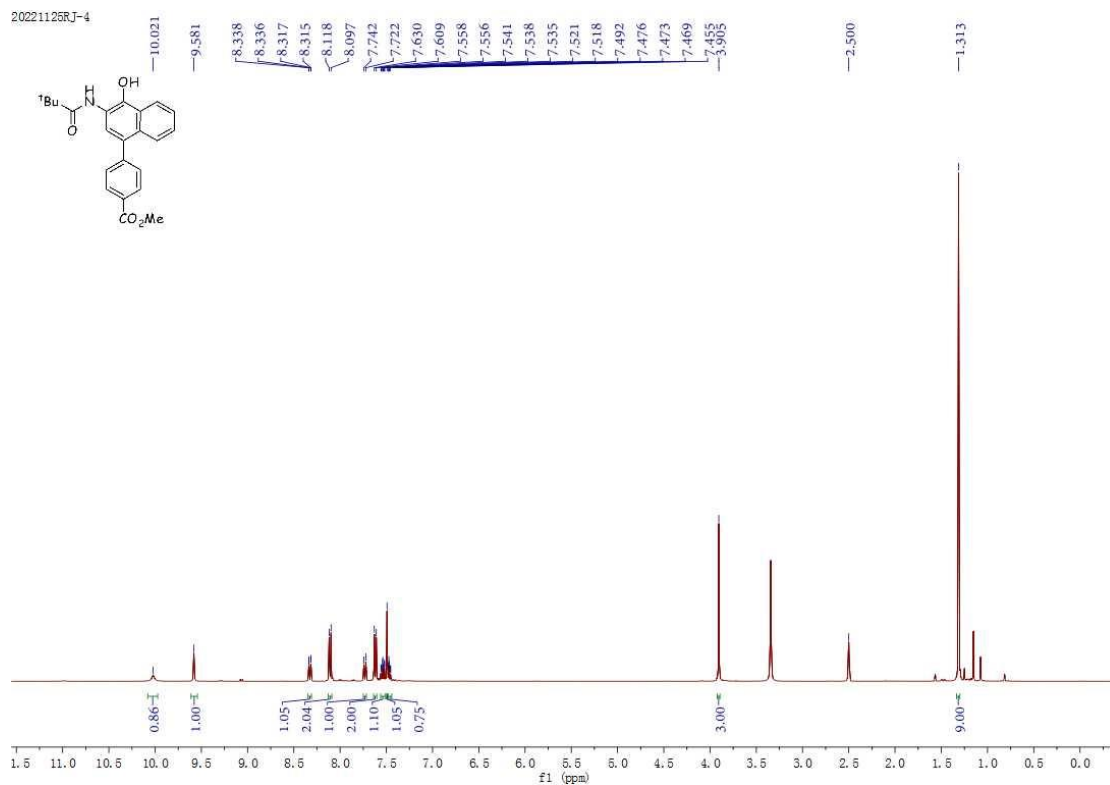


¹H – NMR spectrum of compound – **3n** (400 MHz, DMSO-*d*₆)

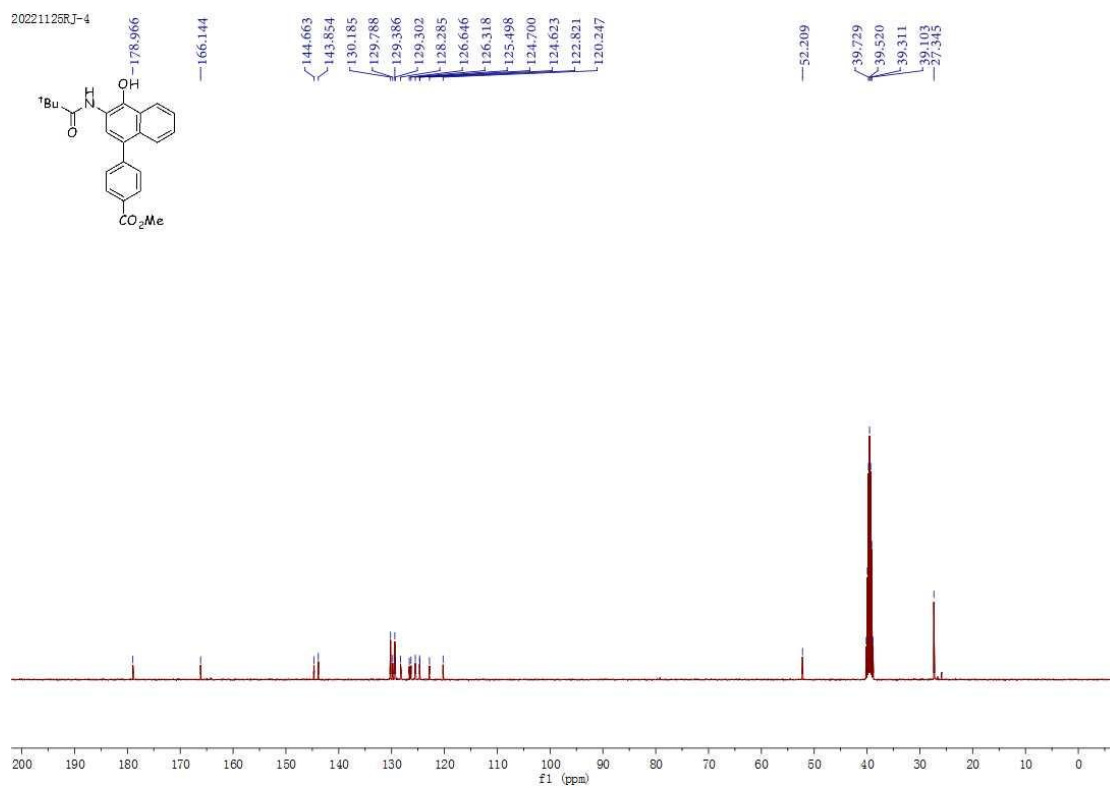


¹³C – NMR spectrum of compound – **3n** (101 MHz, DMSO-*d*₆)

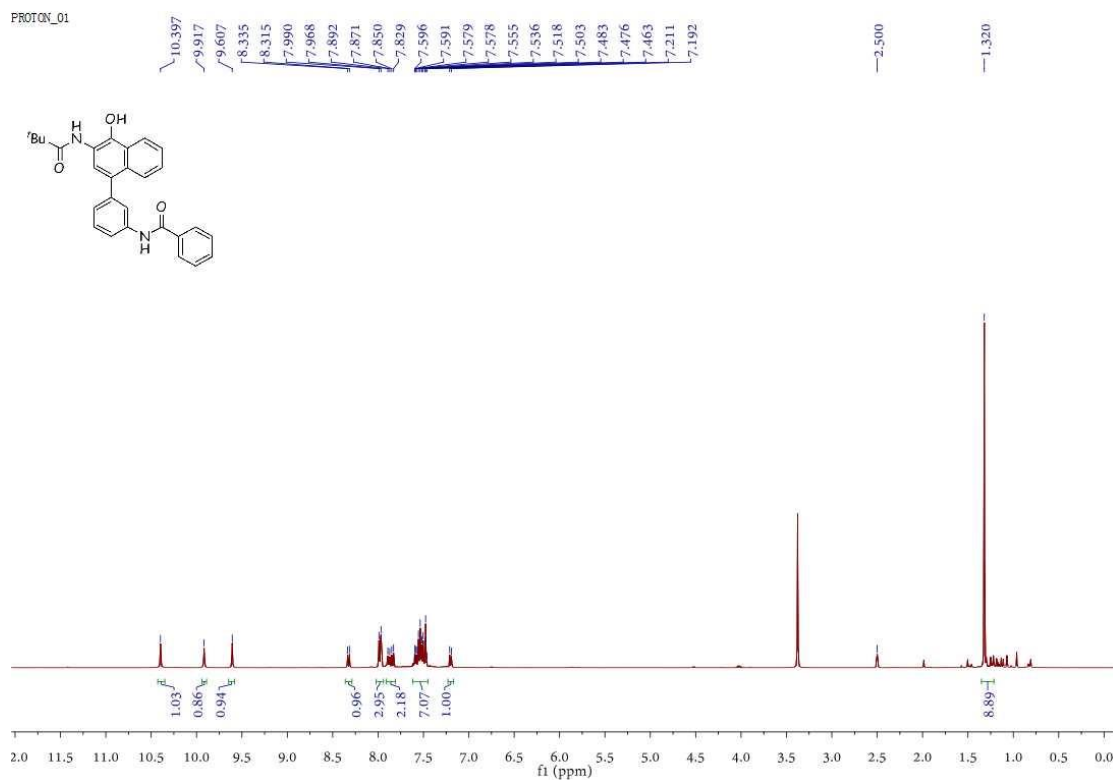




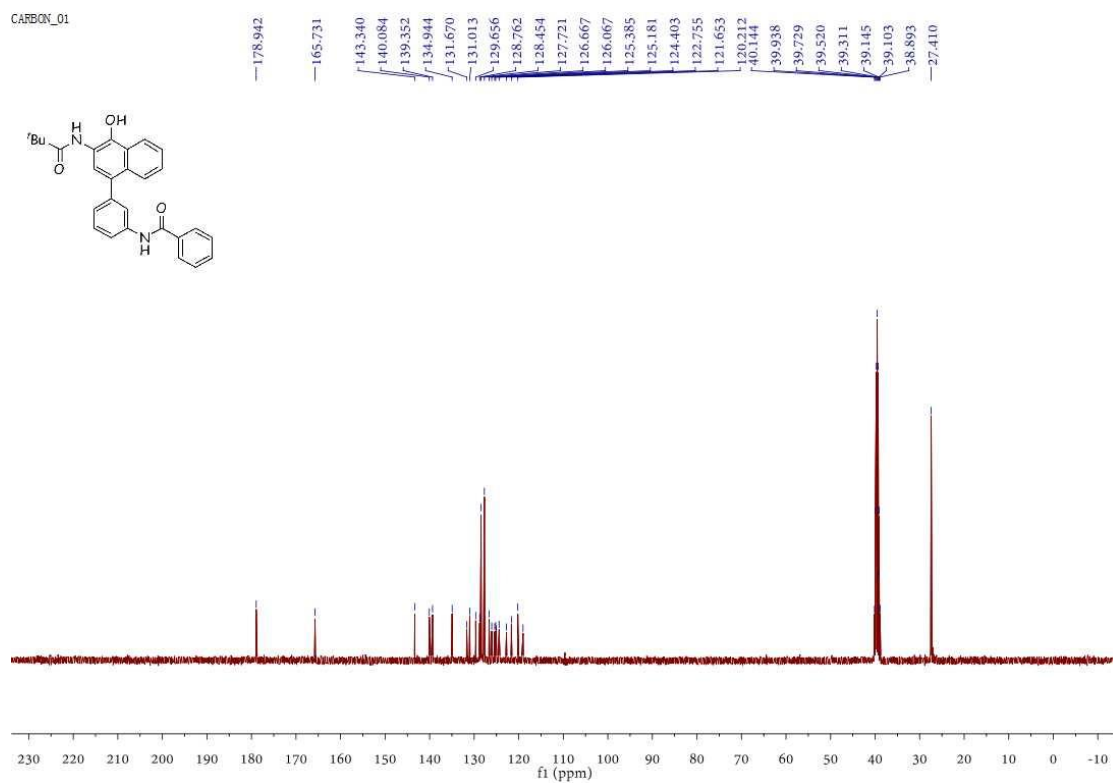
^1H - NMR spectrum of compound - **3p** (400 MHz, $\text{DMSO-}d_6$)



^{13}C - NMR spectrum of compound - **3p** (101 MHz, $\text{DMSO-}d_6$)

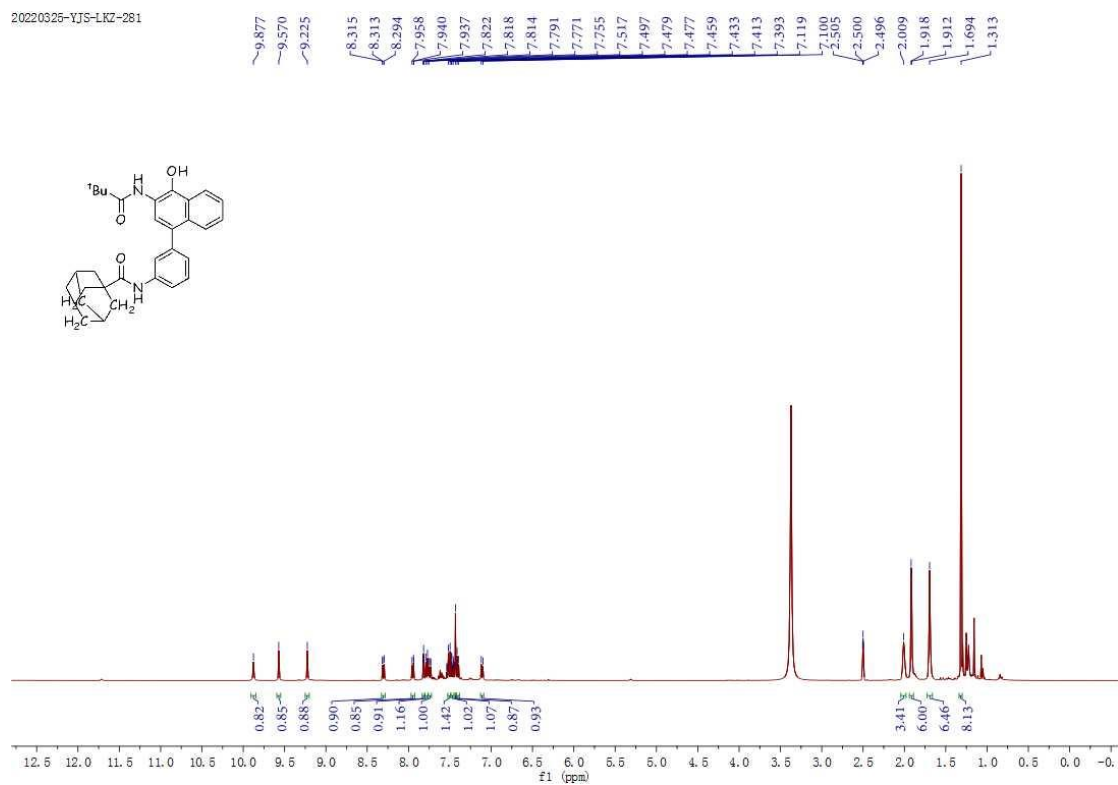


^1H - NMR spectrum of compound - **3q** (400 MHz, $\text{DMSO-}d_6$)



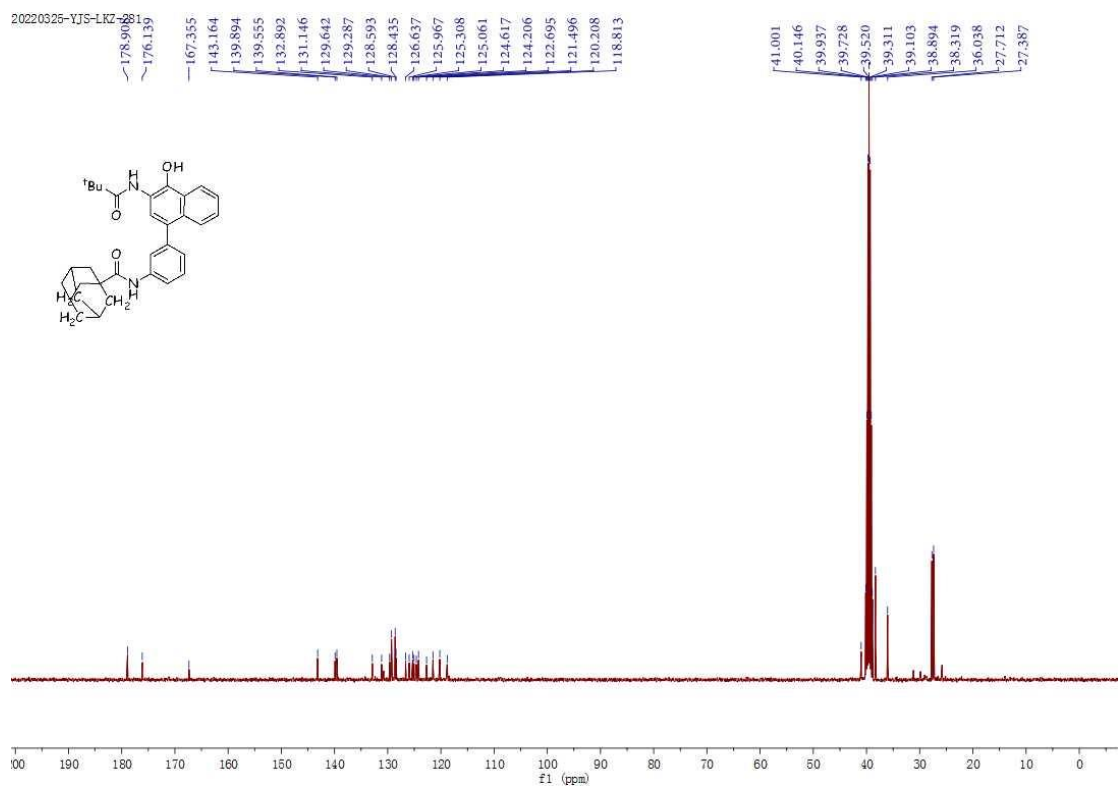
^{13}C - NMR spectrum of compound - **3q** (101 MHz, $\text{DMSO-}d_6$)

20220325-YJS-LKZ-281

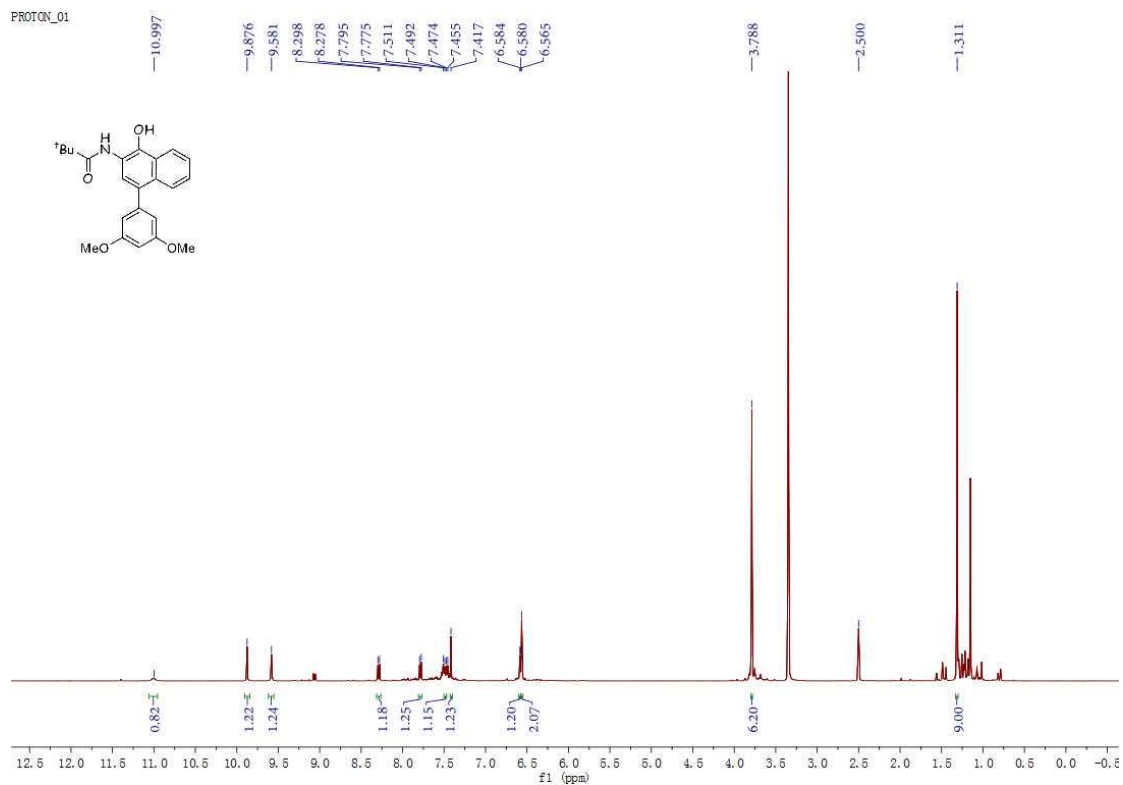


¹H - NMR spectrum of compound – **3r** (400 MHz, DMSO-*d*₆)

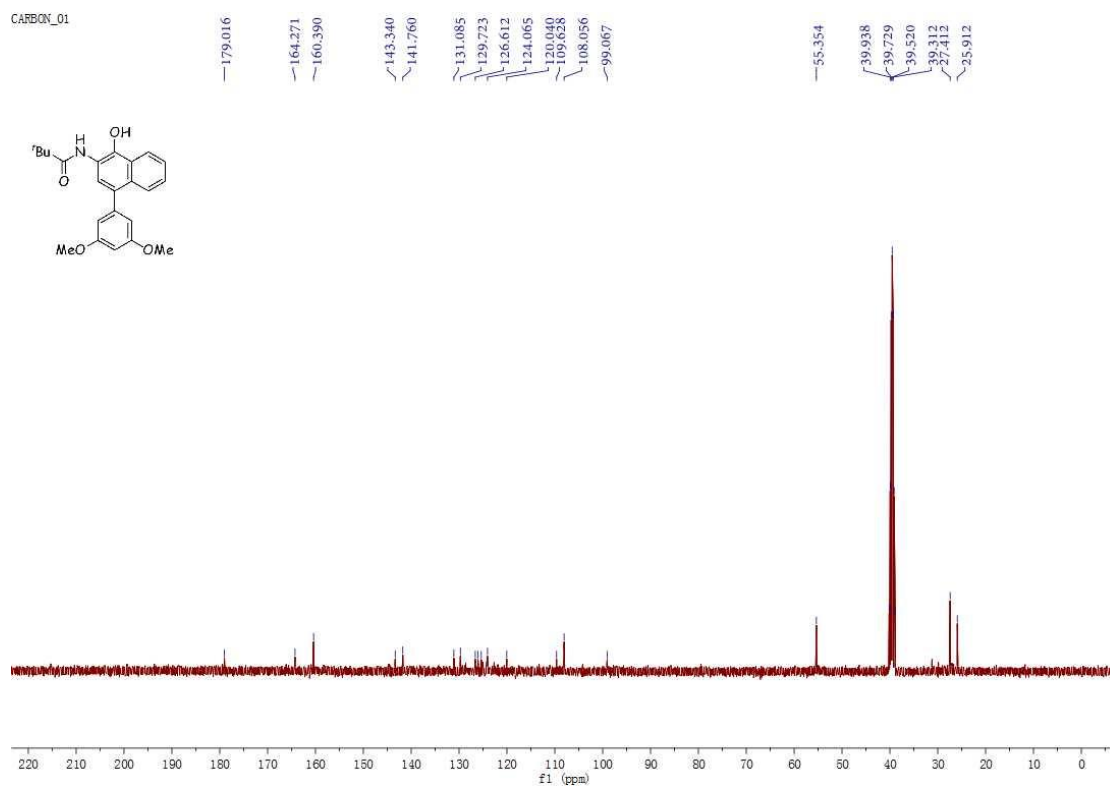
20220325-YJS-LKZ-281



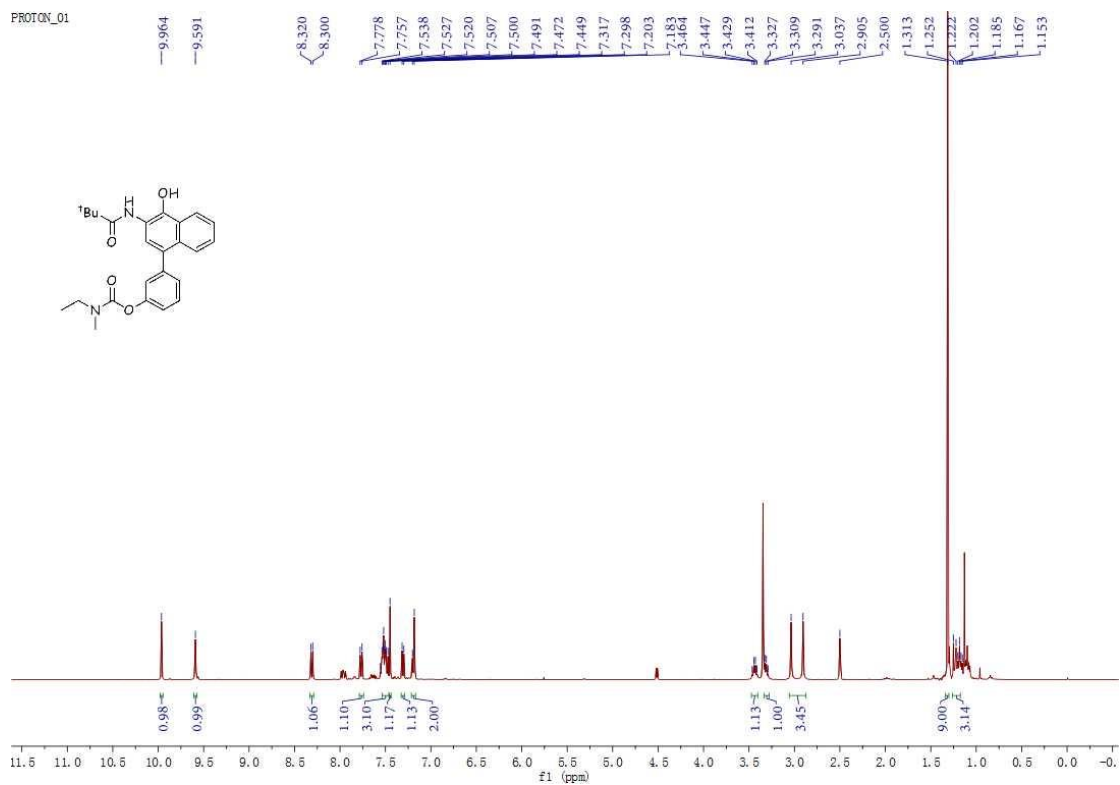
¹³C - NMR spectrum of compound – **3r** (101 MHz, DMSO-*d*₆)



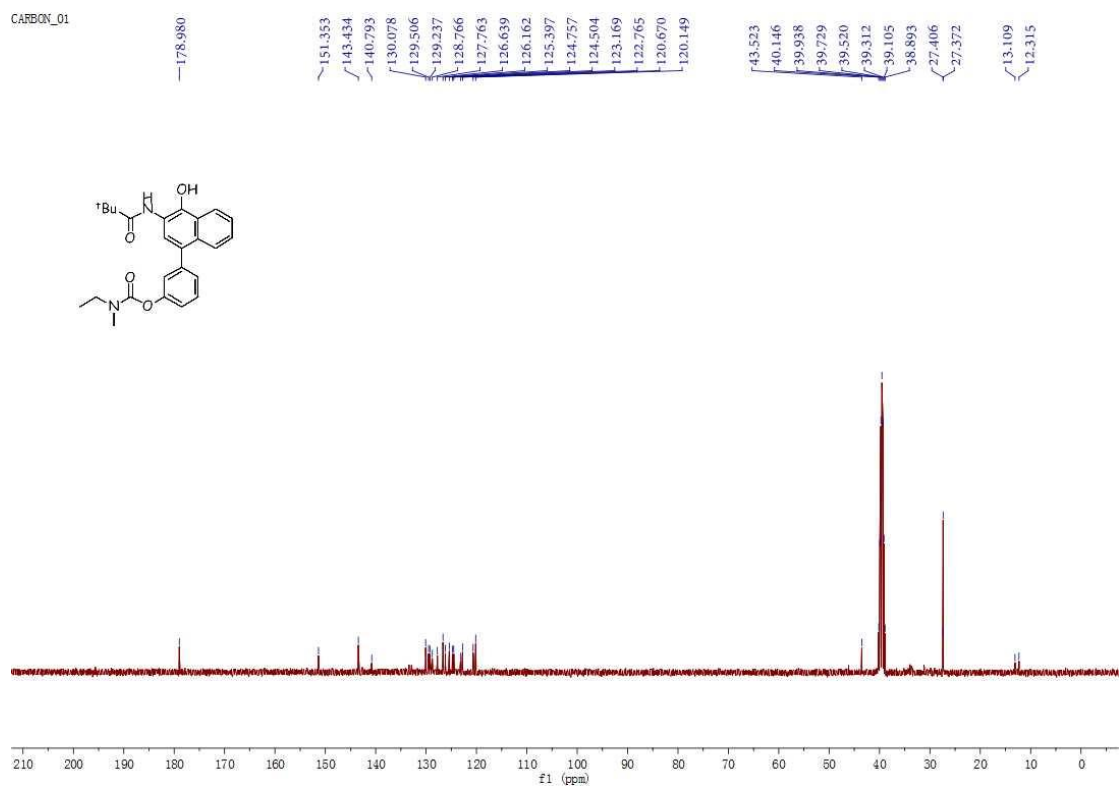
^1H - NMR spectrum of compound - **3s** (400 MHz, $\text{DMSO-}d_6$)



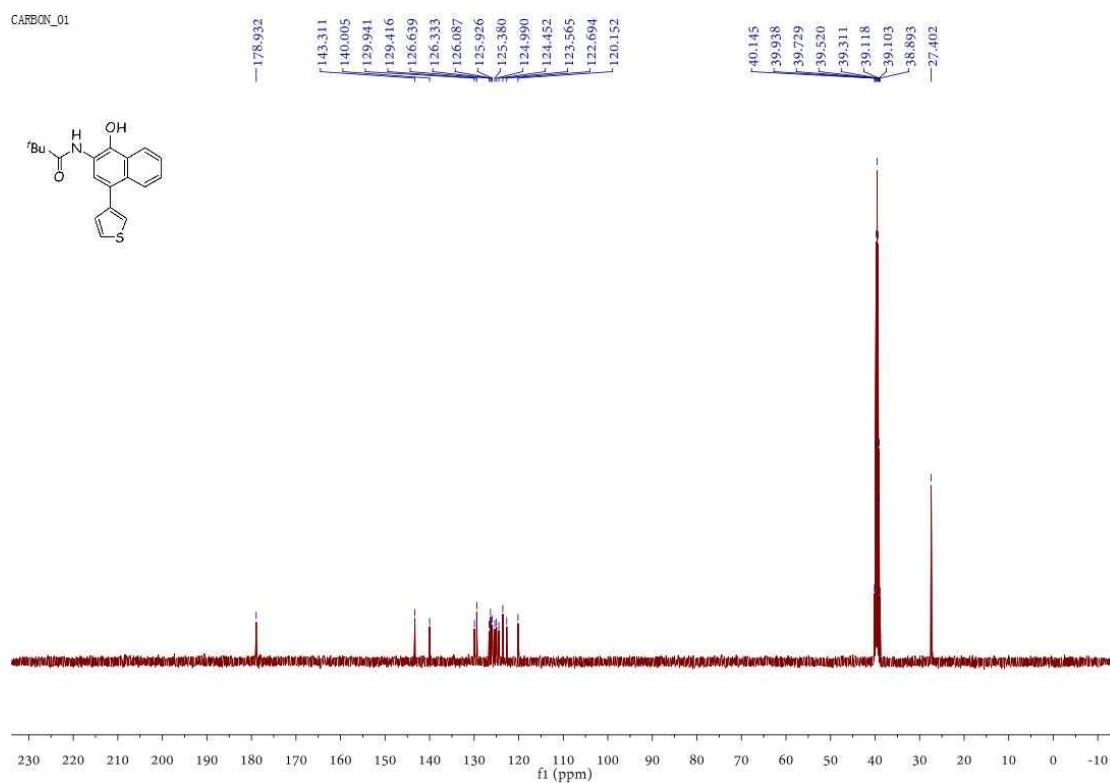
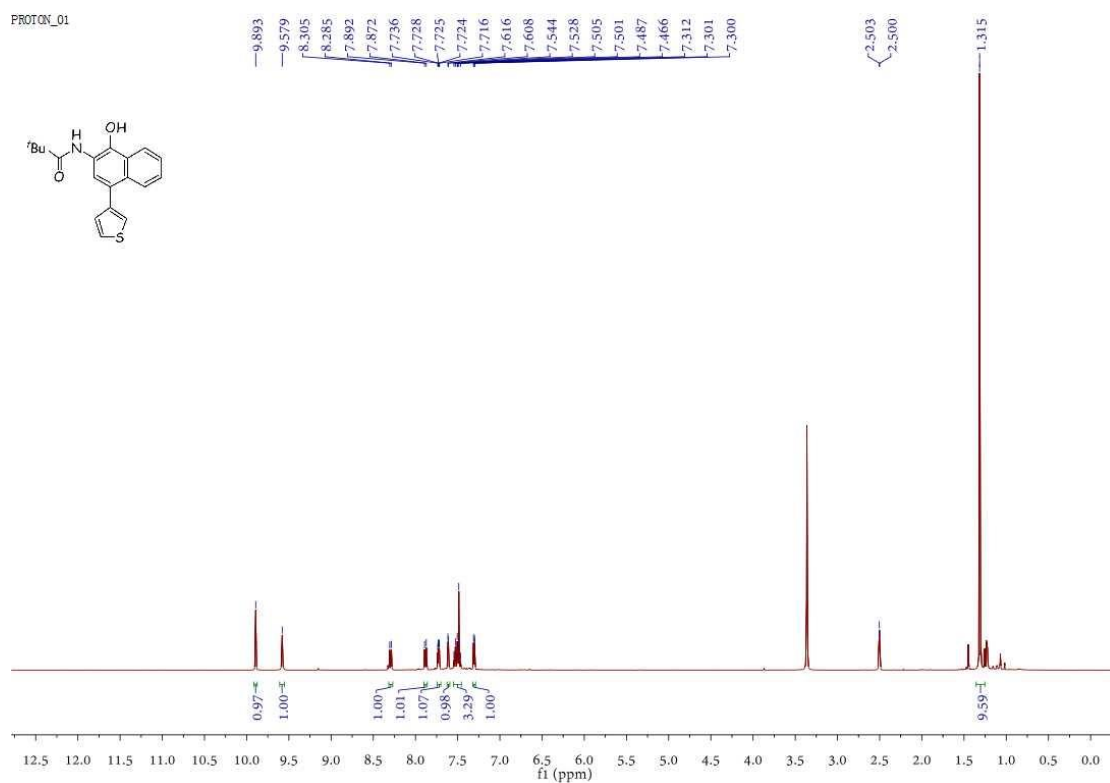
^{13}C - NMR spectrum of compound - **3s** (101 MHz, $\text{DMSO-}d_6$)



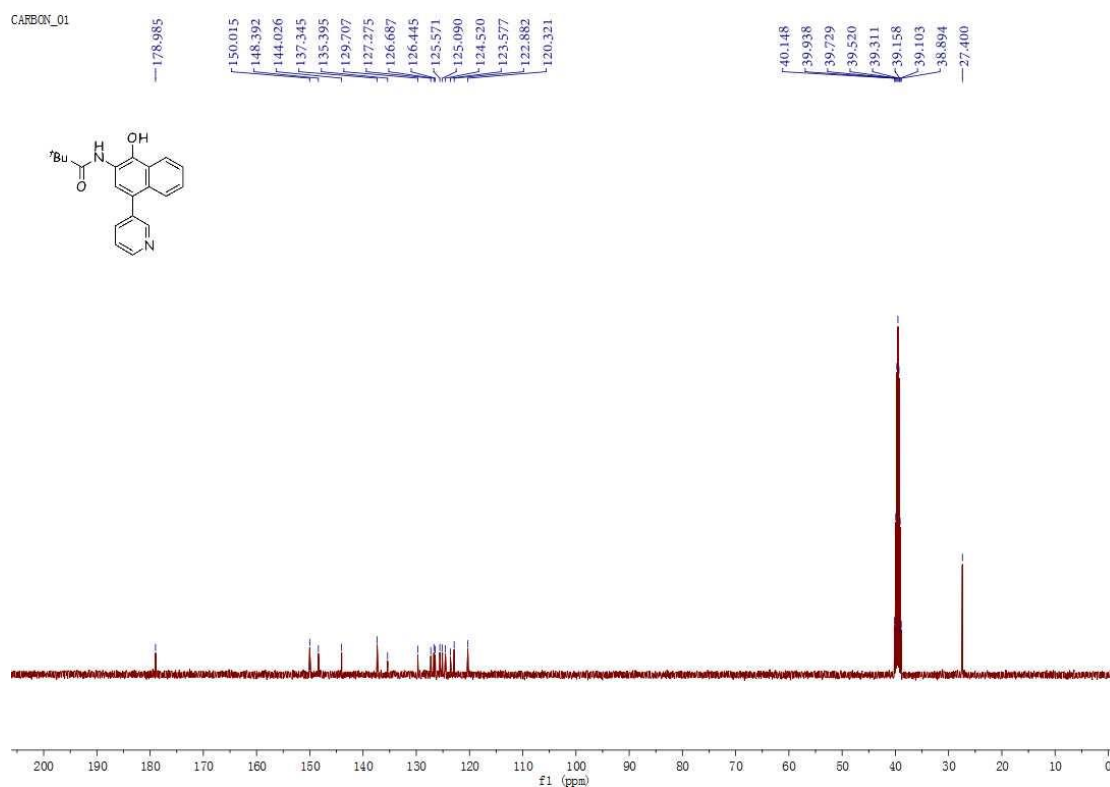
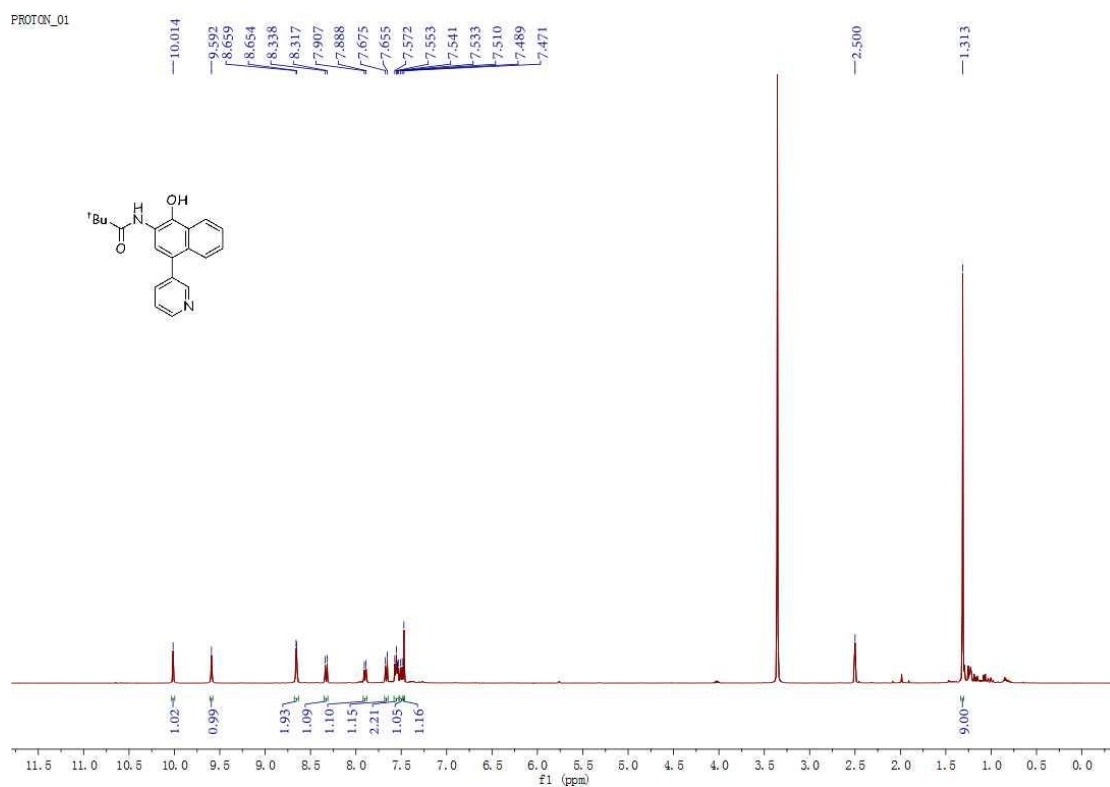
¹H – NMR spectrum of compound – **3t** (400 MHz, DMSO-*d*₆)



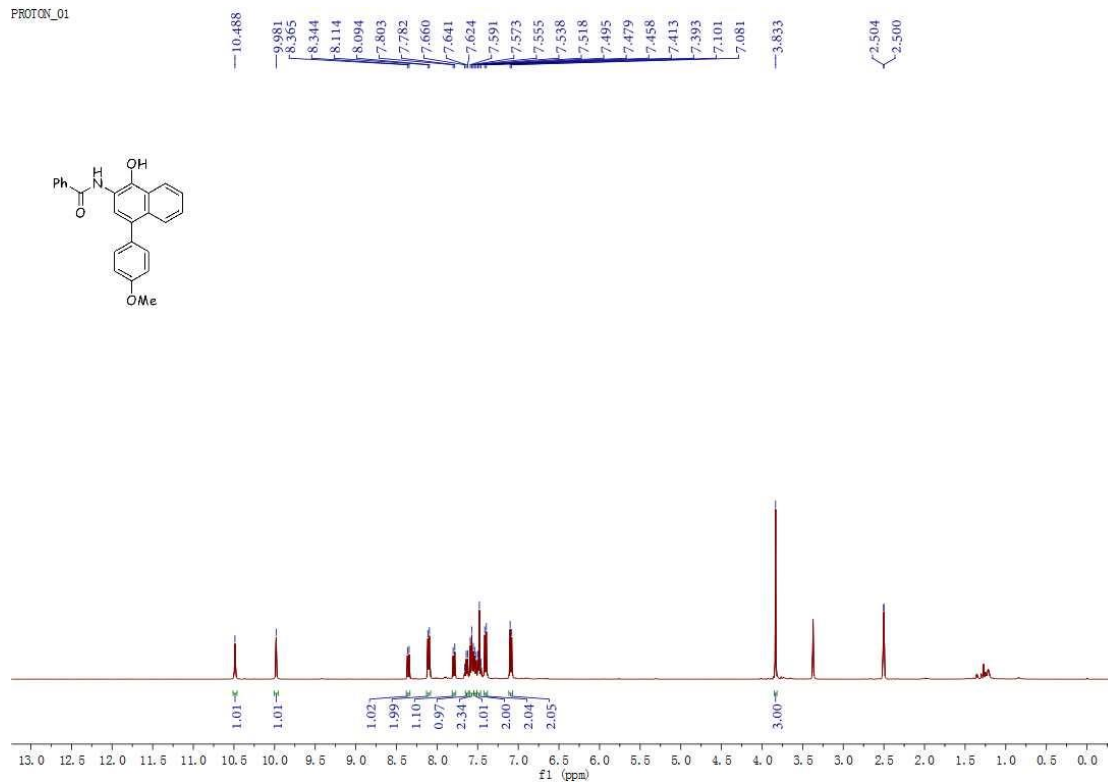
¹³C – NMR spectrum of compound – **3t** (101 MHz, DMSO-*d*₆)



^{13}C - NMR spectrum of compound - **3u** (101 MHz, DMSO- d_6)

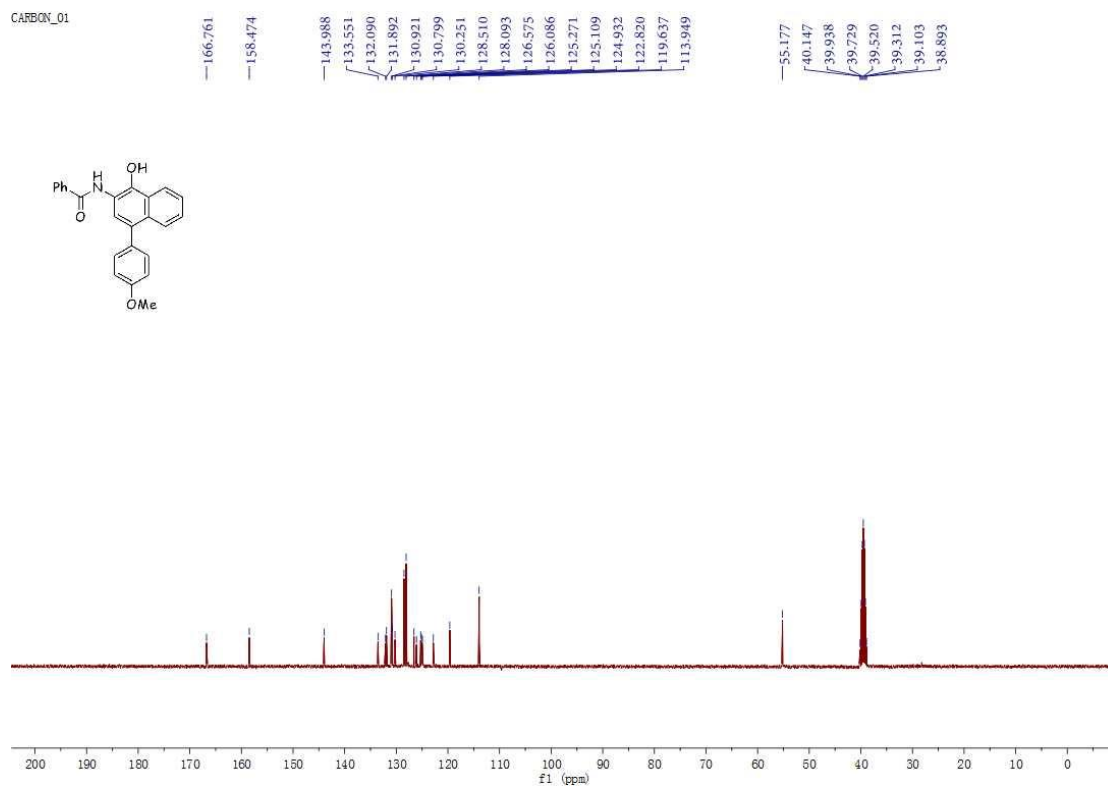


PROTON_01



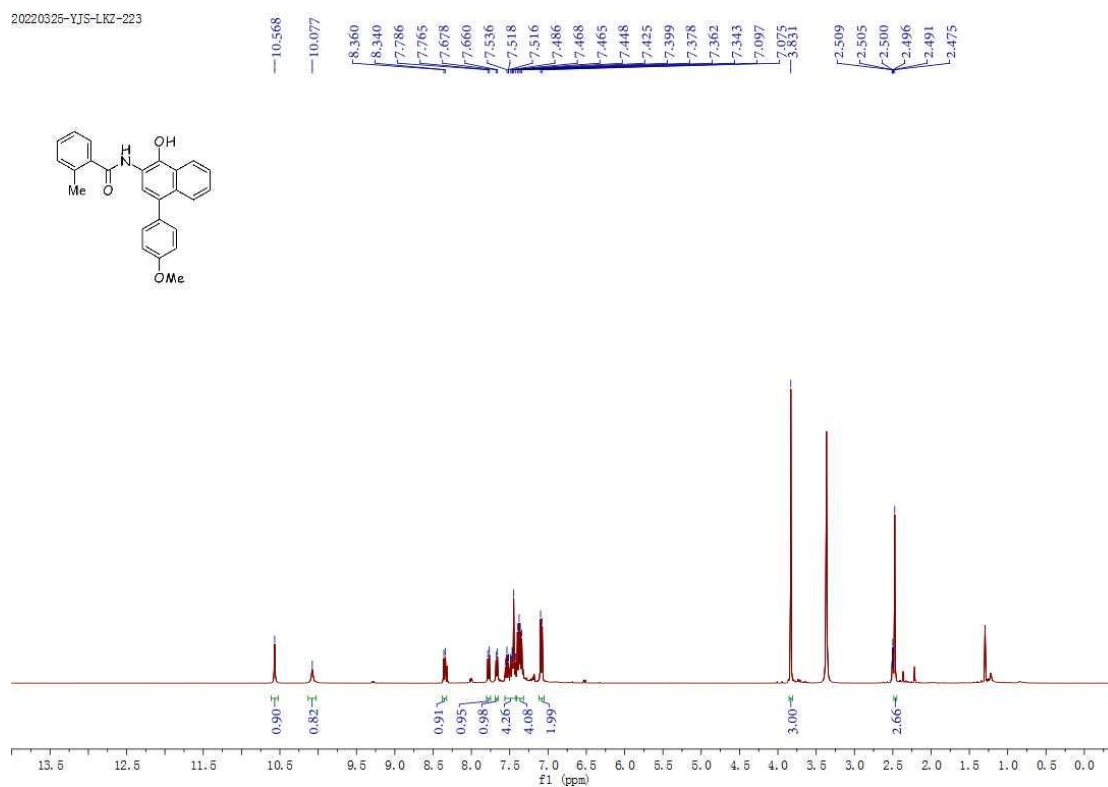
¹H – NMR spectrum of compound – **4a** (400 MHz, DMSO-*d*₆)

CARBON_01



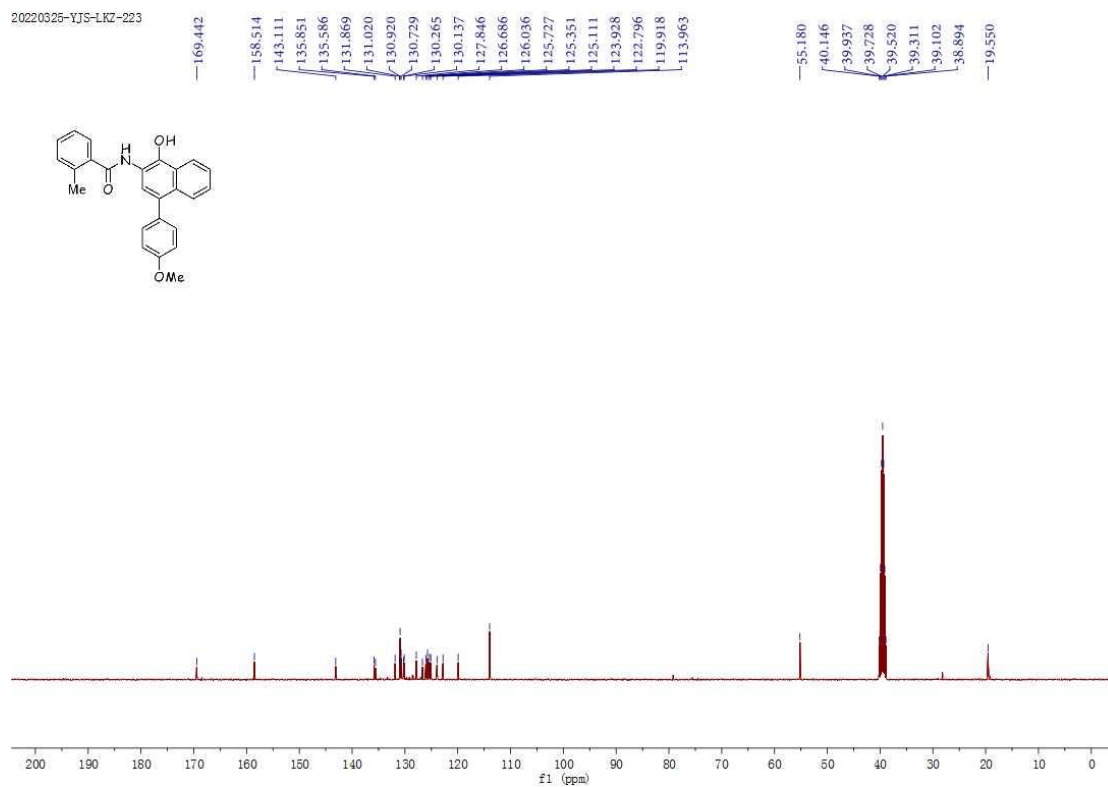
¹³C – NMR spectrum of compound – **4a** (101 MHz, DMSO-*d*₆)

20220326-YJS-LKZ-223

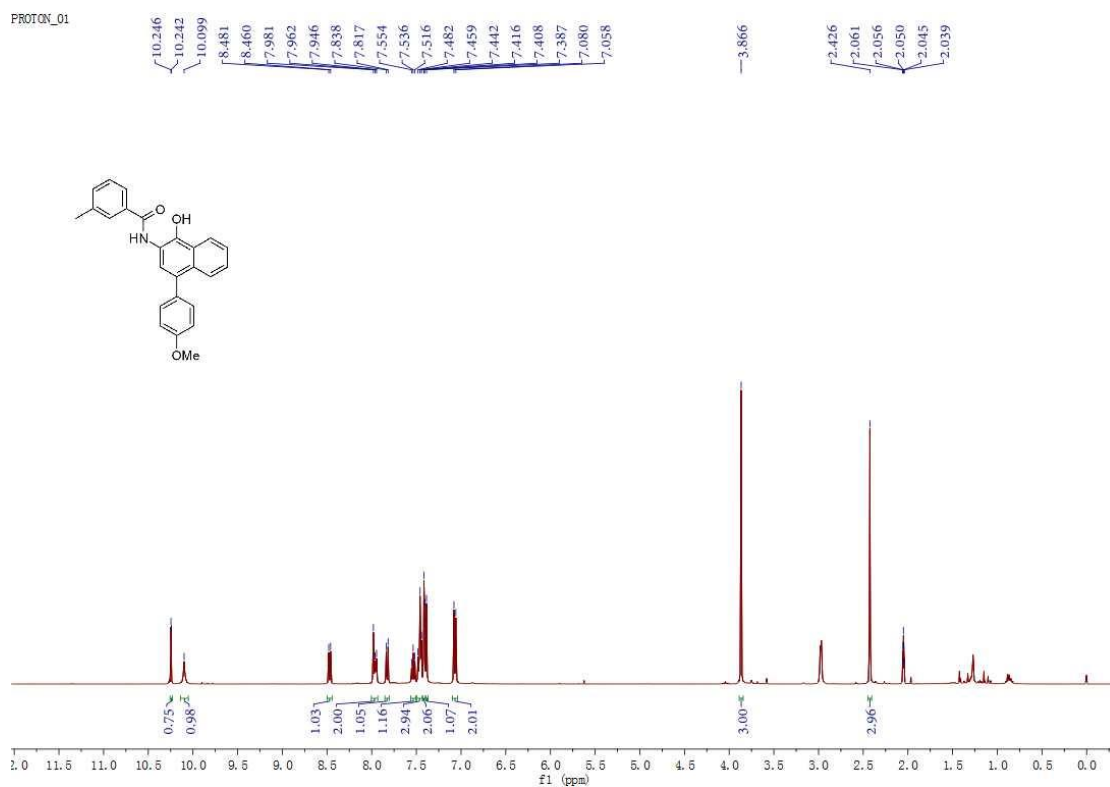


¹H - NMR spectrum of compound - **4b** (400 MHz, DMSO-d₆)

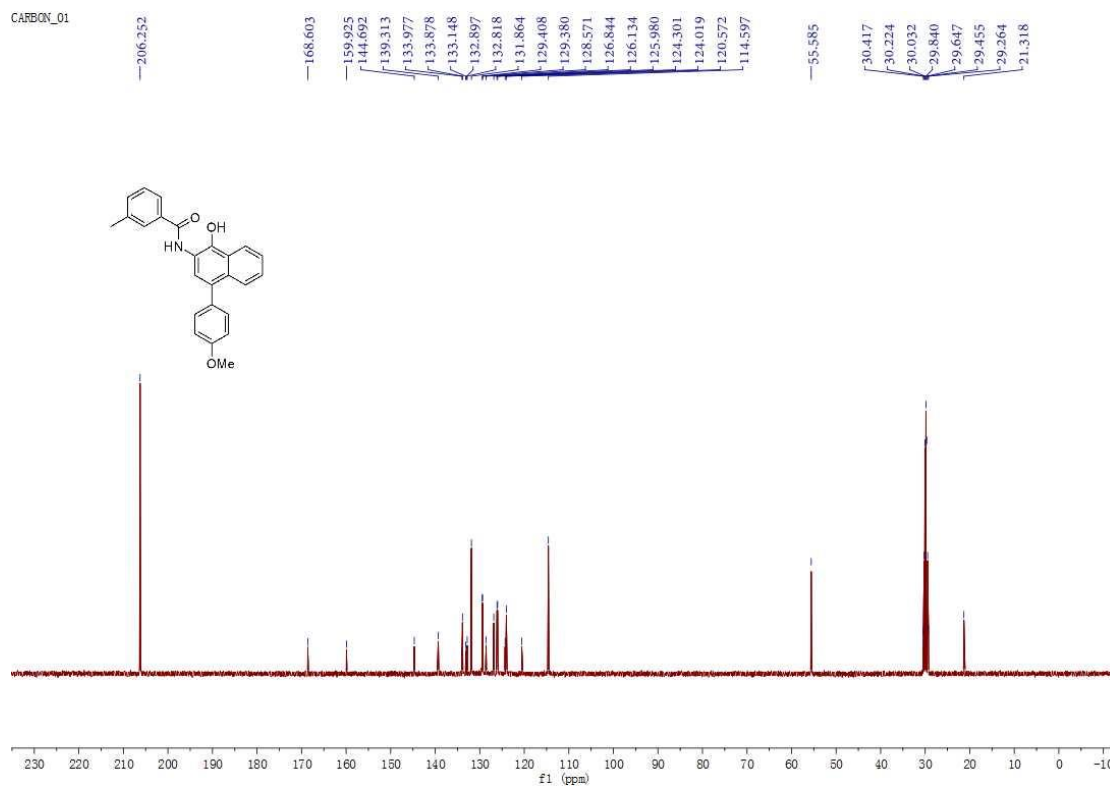
20220326-YJS-LKZ-223



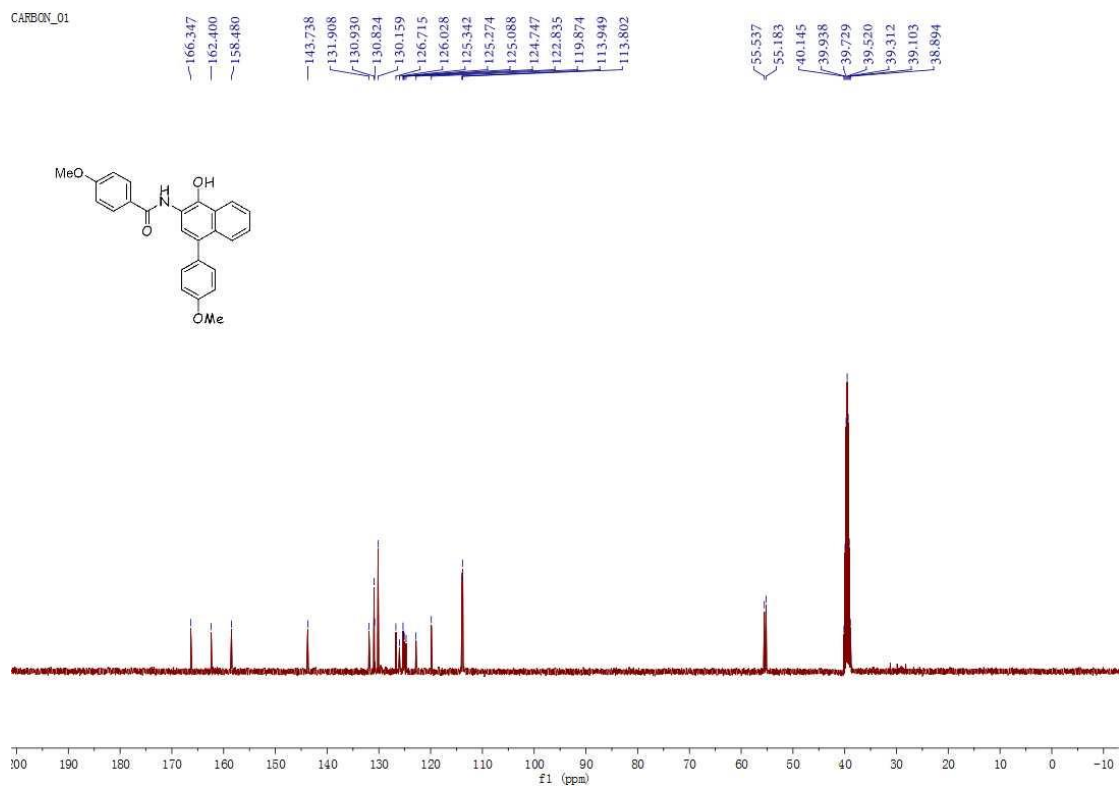
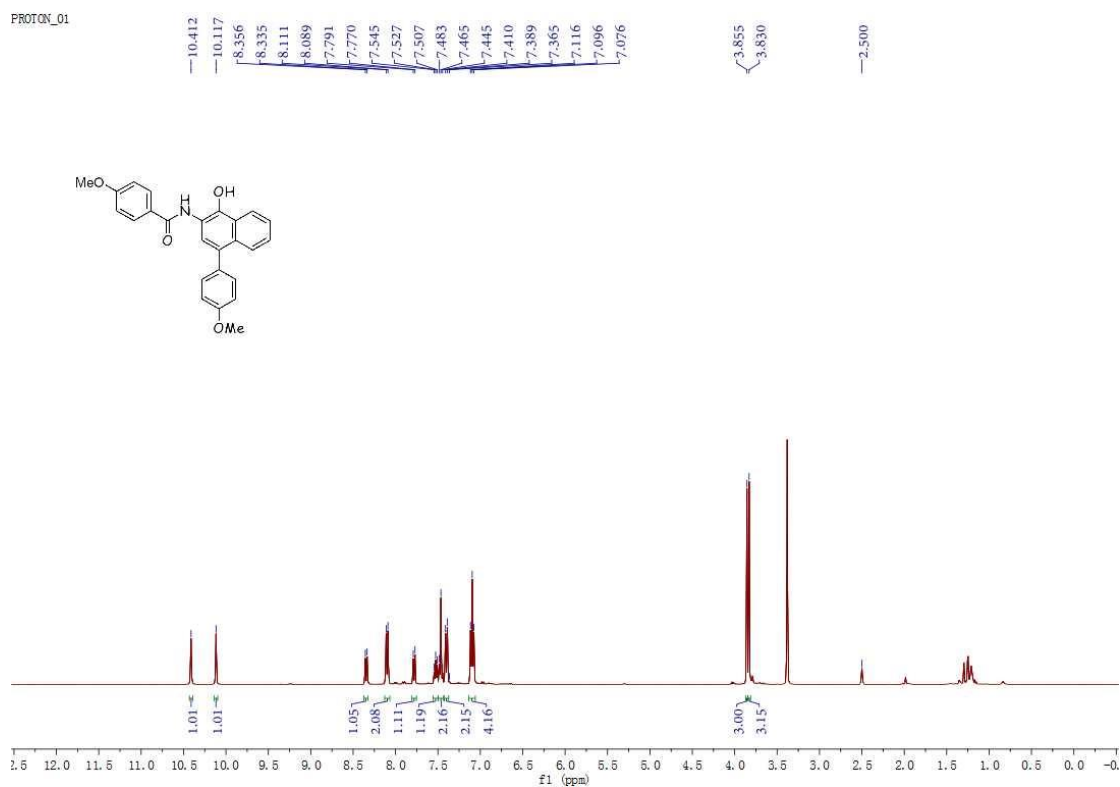
¹³C - NMR spectrum of compound - **4b** (101 MHz, DMSO-d₆)



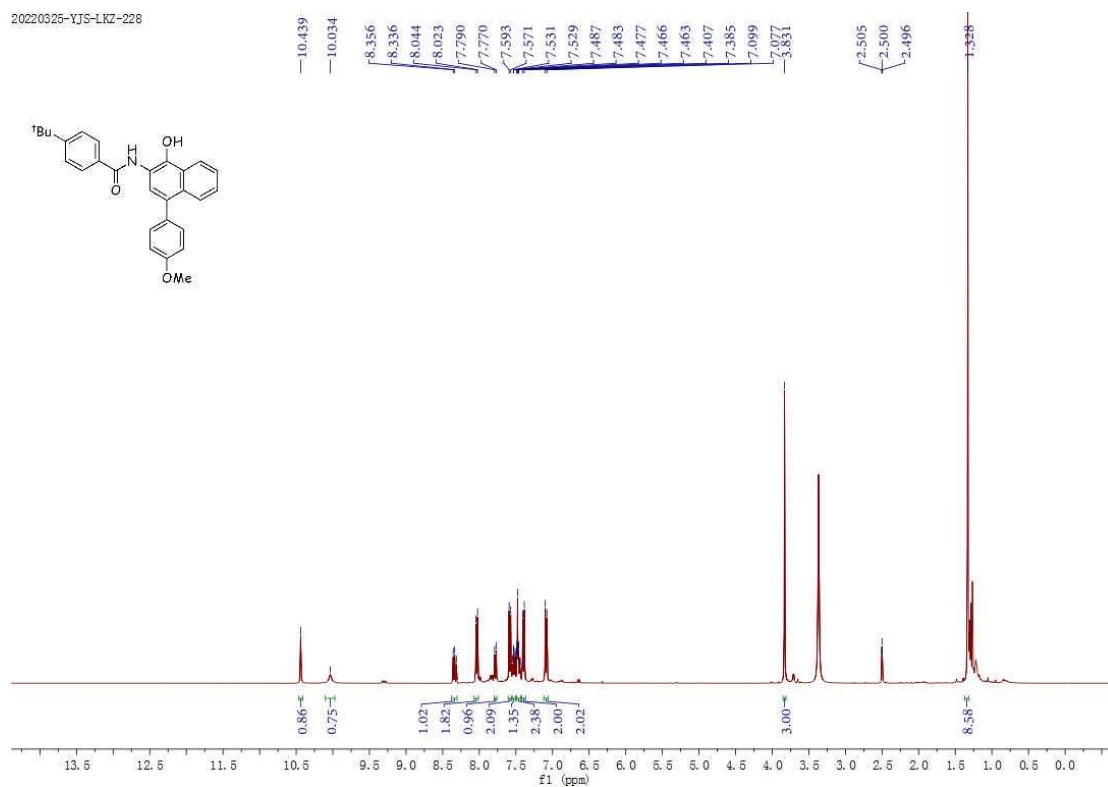
¹H – NMR spectrum of compound – **4c** (400 MHz, acetone-*d*₆)



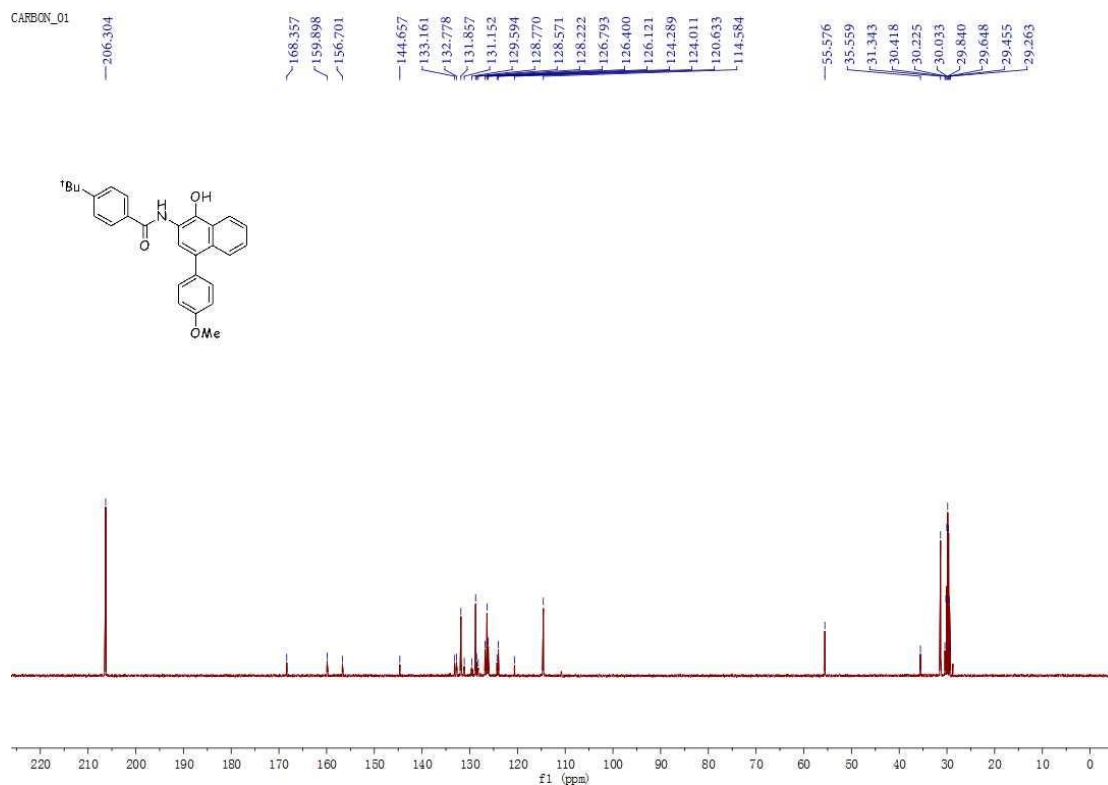
¹³C – NMR spectrum of compound – **4c** (101 MHz, acetone-*d*₆)



20220326-YJS-LKZ-228

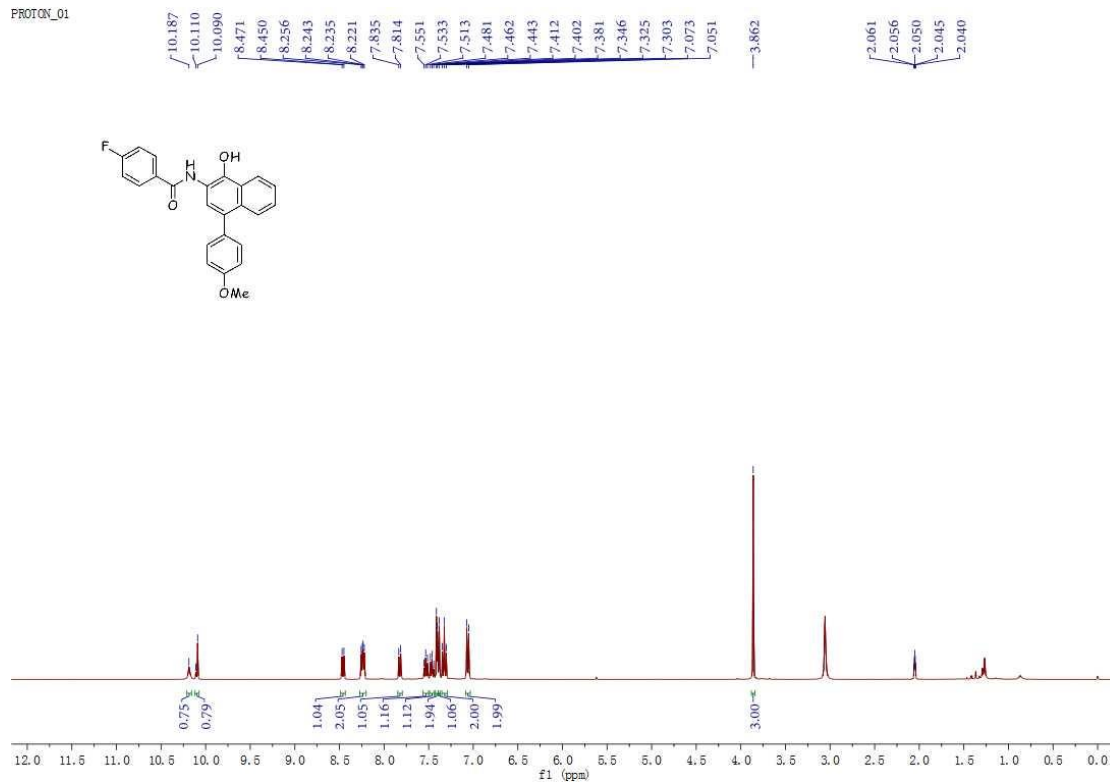


¹H - NMR spectrum of compound **4e** (400 MHz, DMSO-*d*₆)



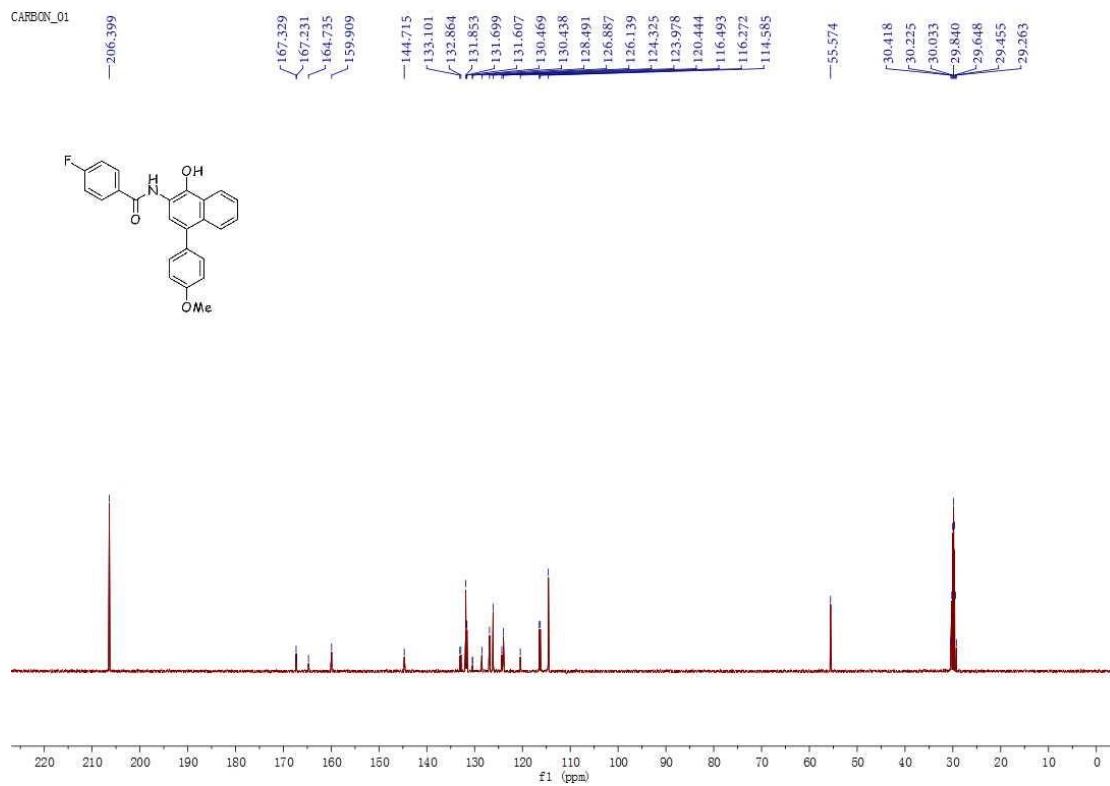
¹³C - NMR spectrum of compound **4e** (101 MHz, acetone-*d*₆)

PROTON_01



¹H - NMR spectrum of compound - **4f** (400 MHz, acetone-d₆)

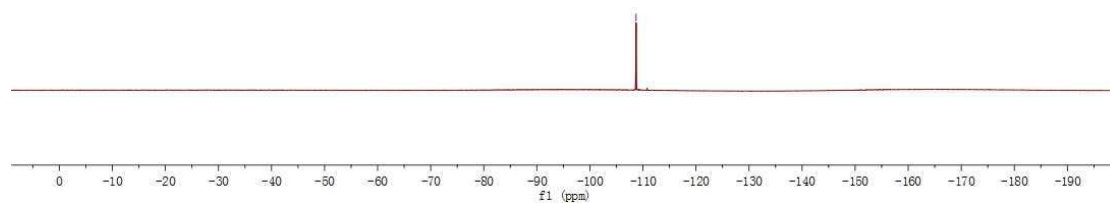
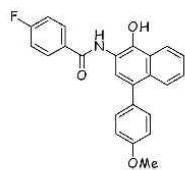
CARBON_01



¹³C - NMR spectrum of compound - **4f** (101 MHz, acetone-d₆)

FLUORINE_01

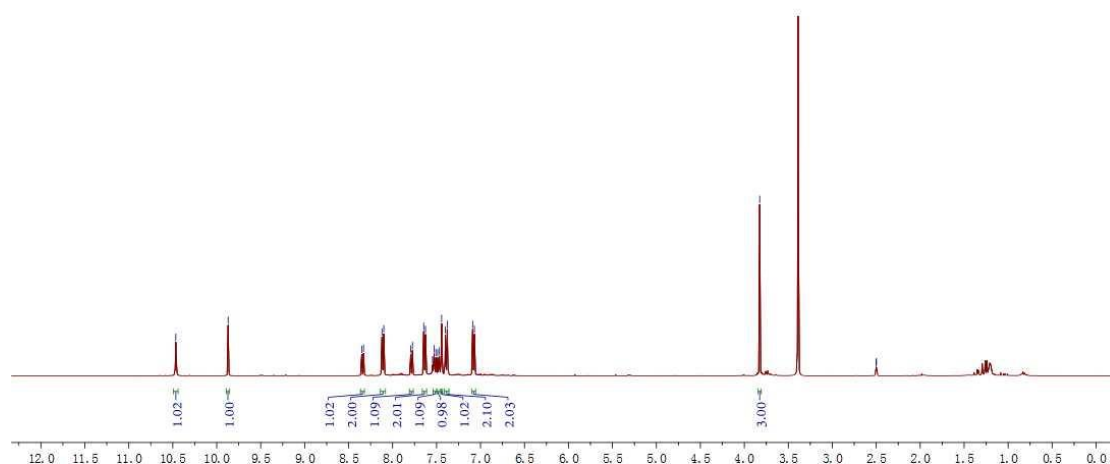
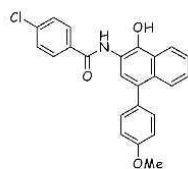
-108.716



^{19}F - NMR spectrum of compound - **4f** (376 MHz, acetone- d_6)

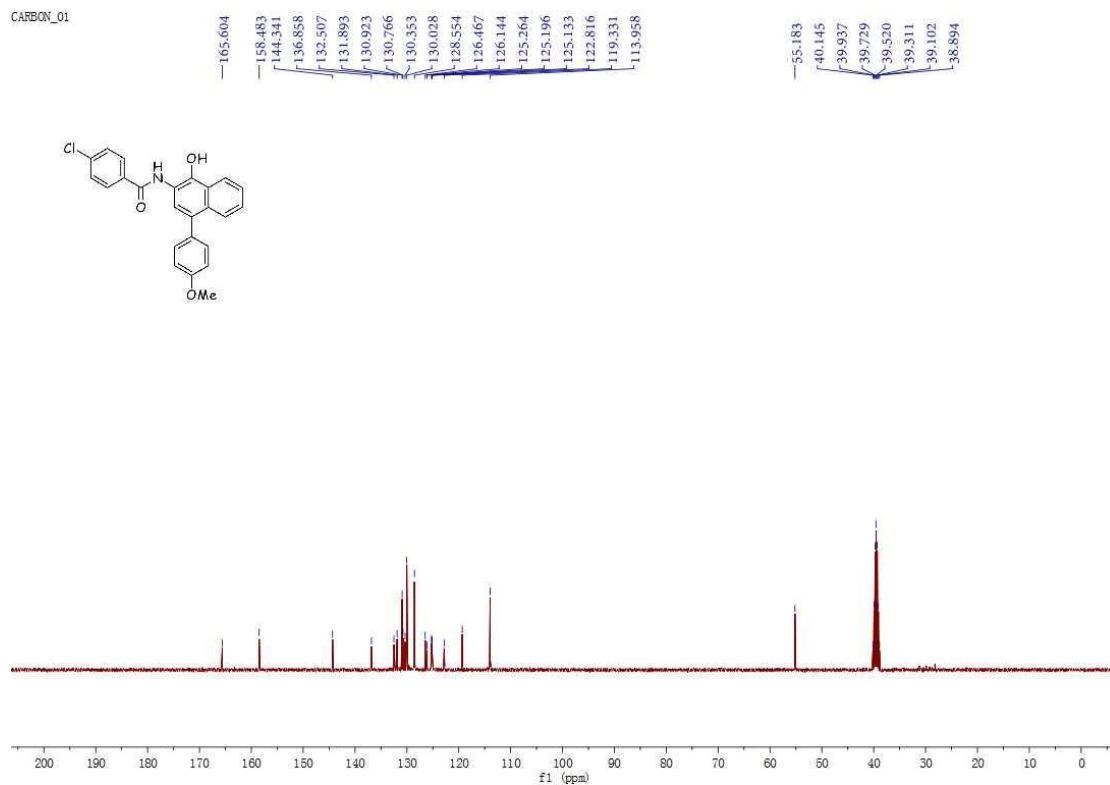
PROTON_01

10.465
9.870
8.351
8.331
8.121
8.100
7.795
7.774
7.646
7.625
7.546
7.528
7.508
7.488
7.468
7.442
7.399
7.379
7.090
7.069
3.825
2.500
2.497



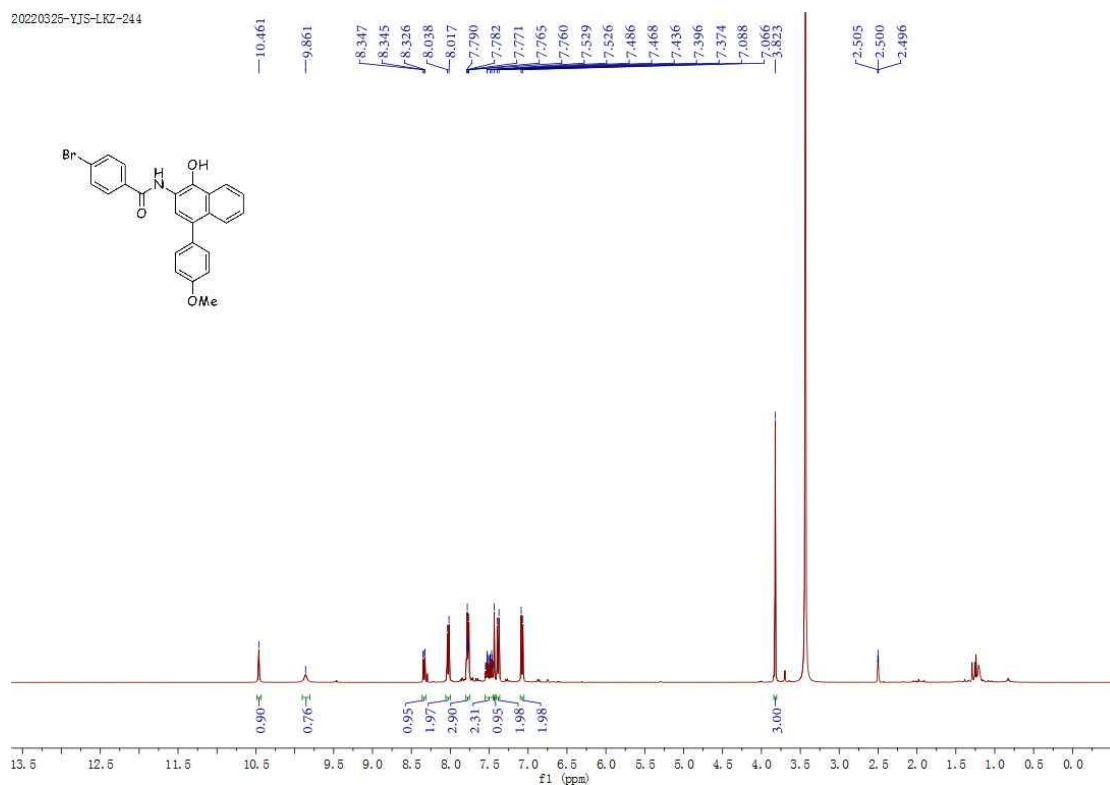
^1H - NMR spectrum of compound - **4g** (400 MHz, DMSO- d_6)

CARBON_01



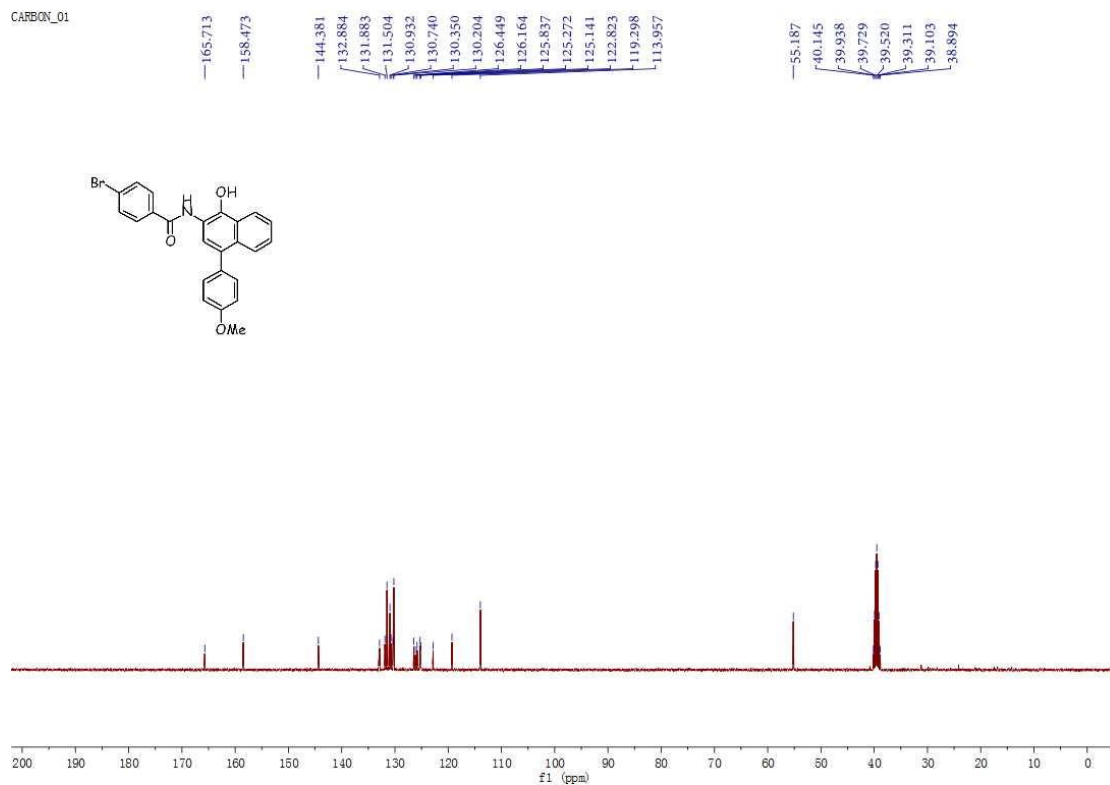
¹³C – NMR spectrum of compound – **4g** (101 MHz, DMSO-*d*₆)

20220326-YJS-LKZ-244



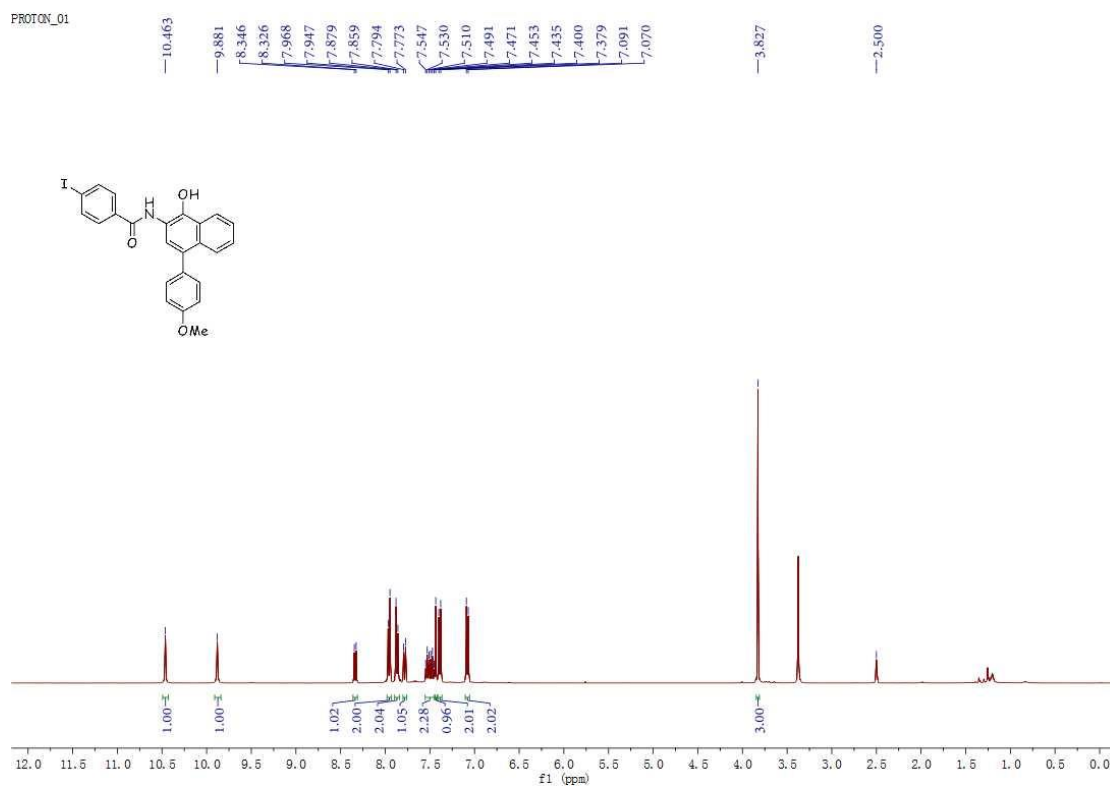
¹H – NMR spectrum of compound – **4h** (400 MHz, DMSO-*d*₆)

CARBON_01



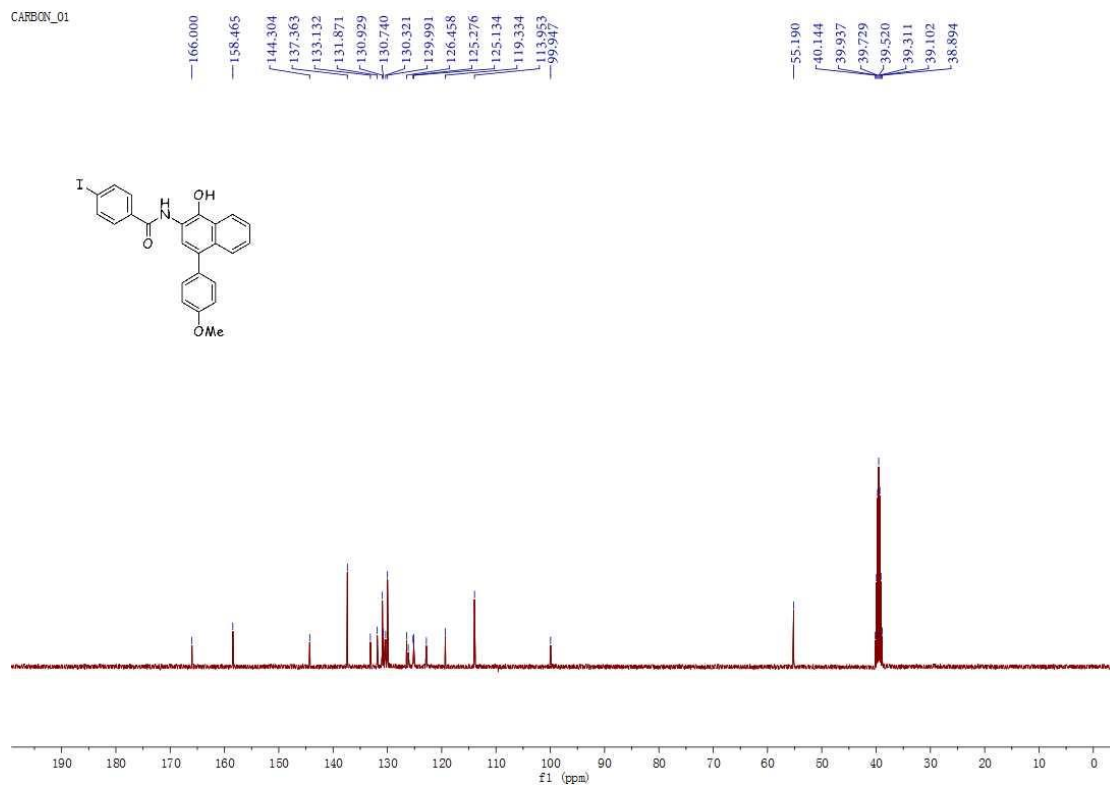
¹³C – NMR spectrum of compound – **4h** (101 MHz, DMSO-*d*₆)

PROTON_01



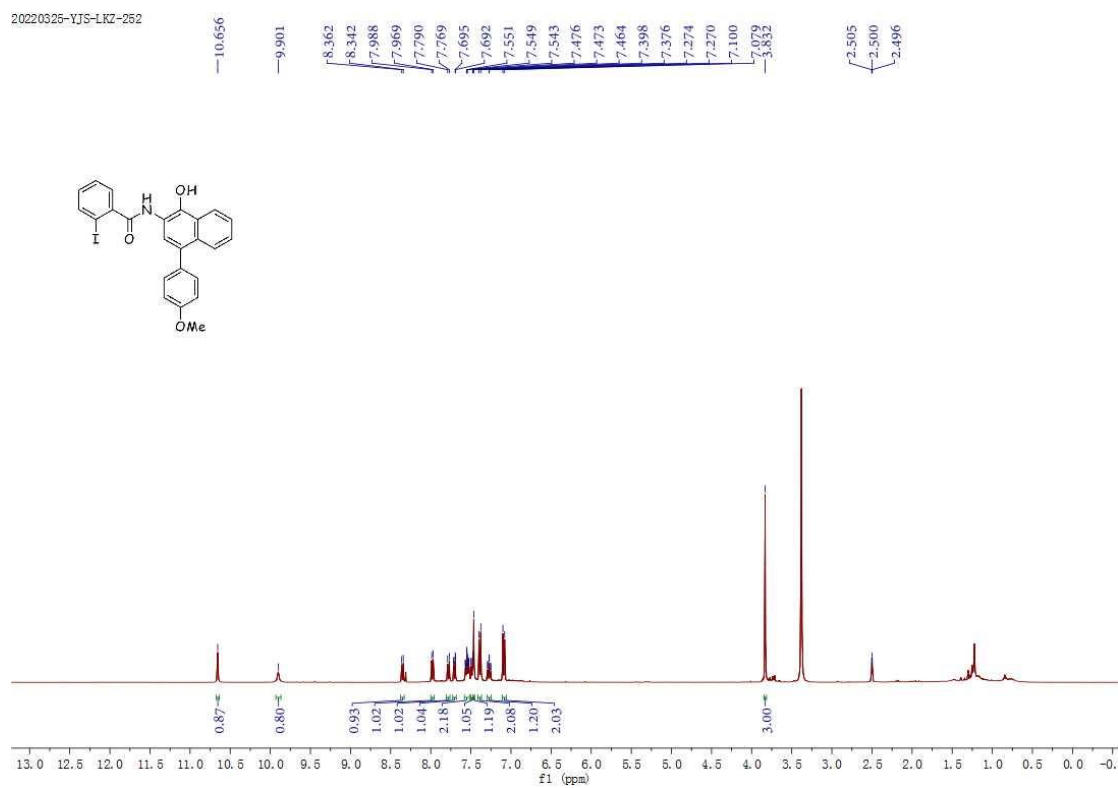
¹H – NMR spectrum of compound – **4i** (400 MHz, DMSO-*d*₆)

CARBON_01



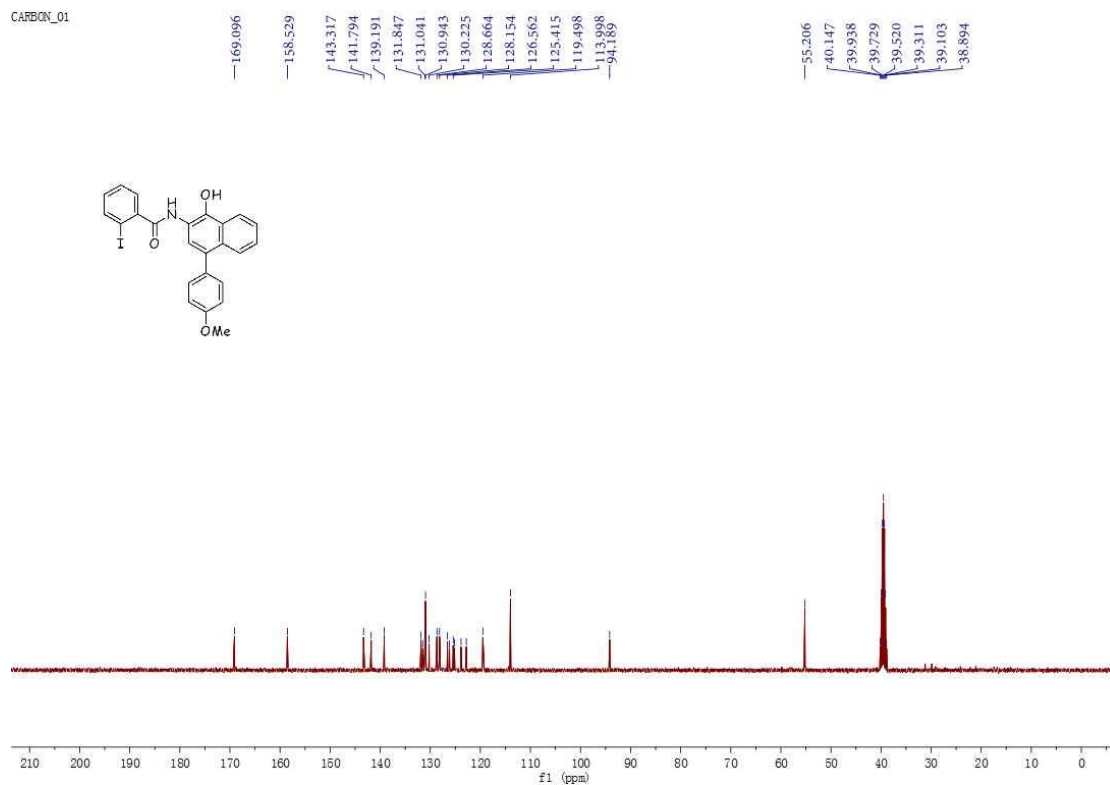
¹³C – NMR spectrum of compound – **4i** (101 MHz, DMSO-*d*₆)

20220326-YJS-LKZ-262



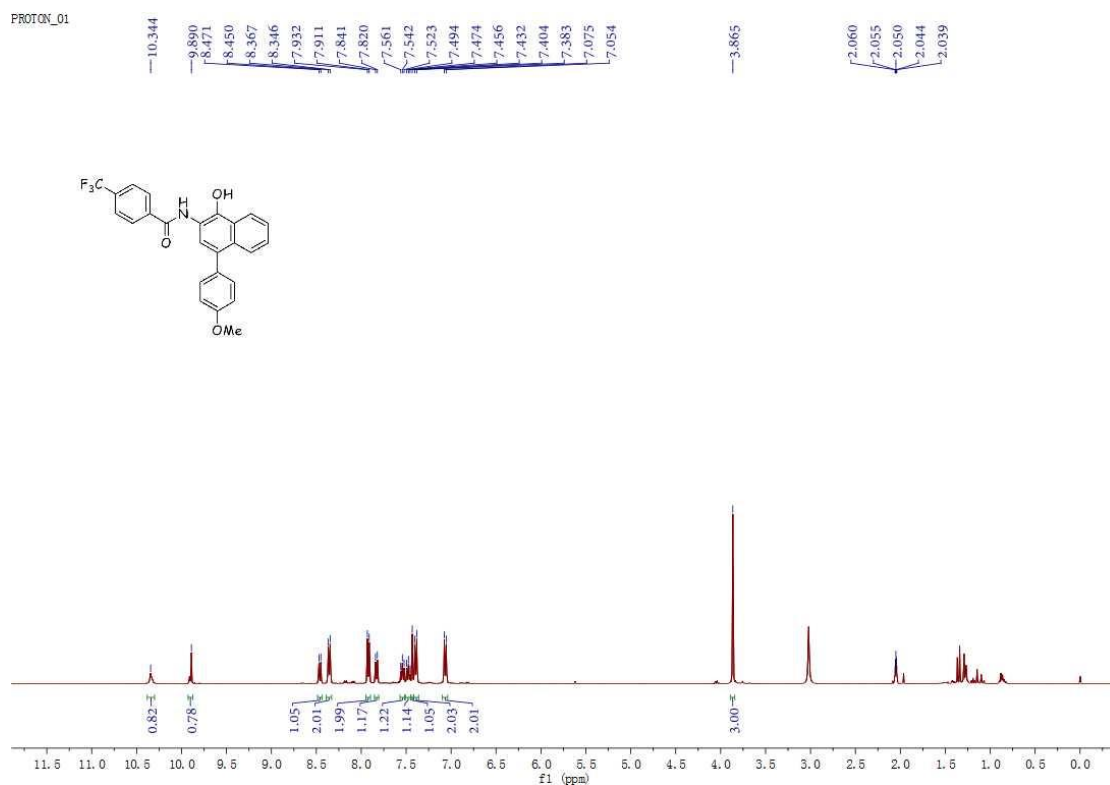
¹H – NMR spectrum of compound – **4j** (400 MHz, DMSO-*d*₆)

CARBON_01



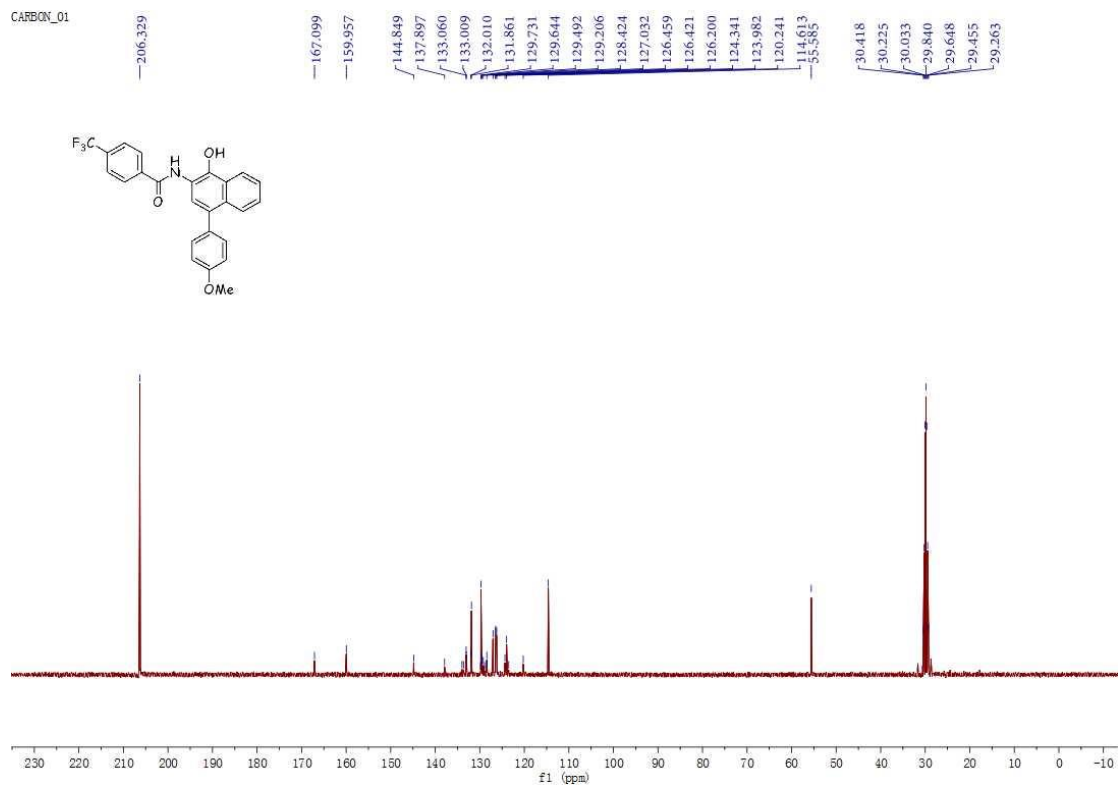
¹³C – NMR spectrum of compound – **4j** (101 MHz, DMSO-*d*₆)

PROTON_01



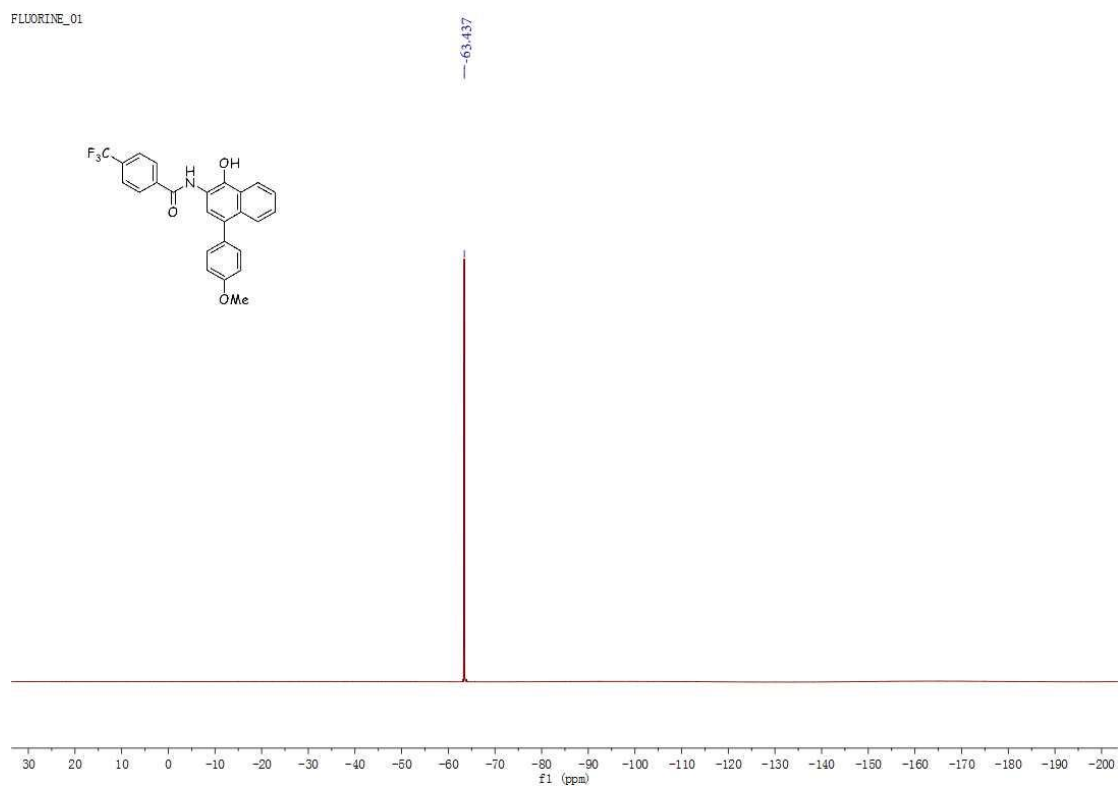
¹H – NMR spectrum of compound – **4k** (400 MHz, acetone-*d*₆)

CARBON_01



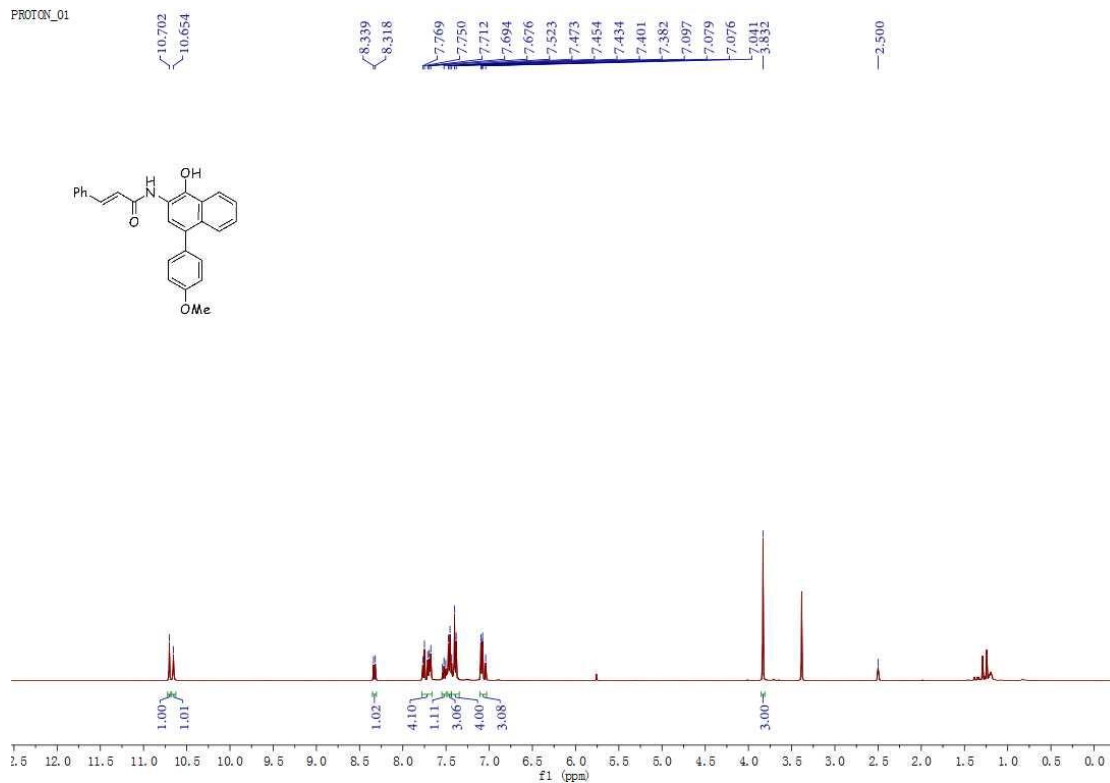
¹³C – NMR spectrum of compound – **4k** (101 MHz, acetone-*d*₆)

FLUORINE_01



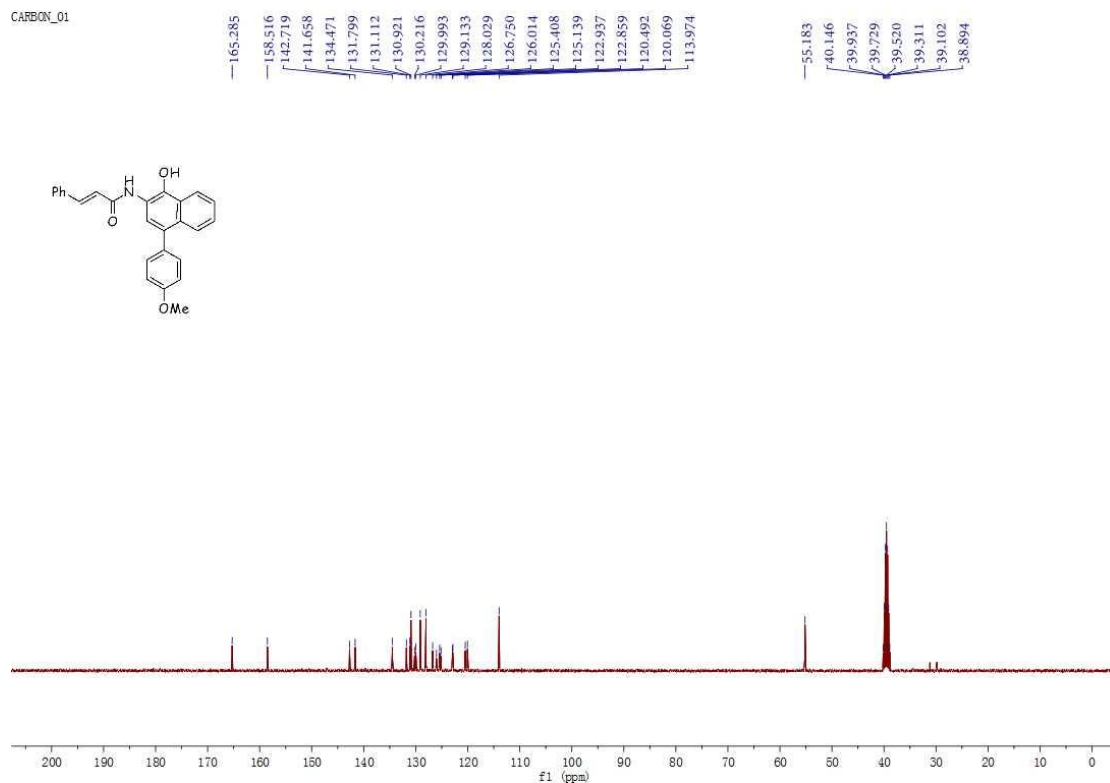
¹⁹F – NMR spectrum of compound – **4k** (376 MHz, acetone-*d*₆)

PROTON_01

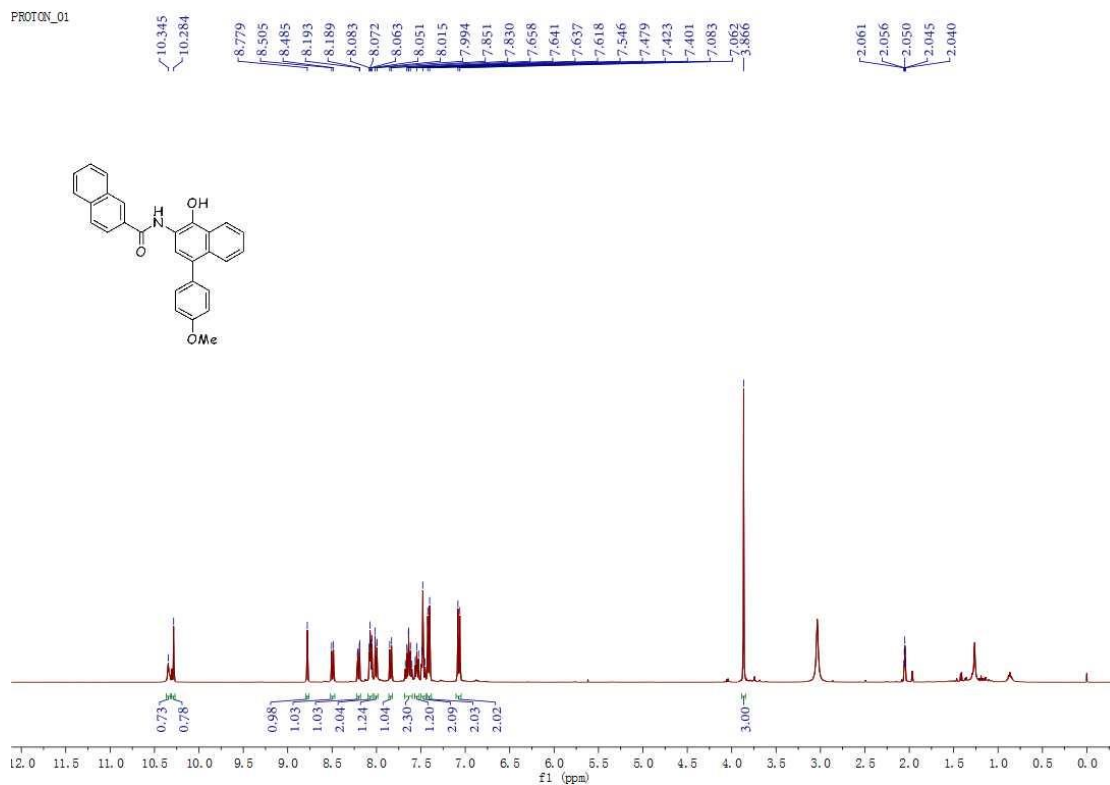


¹H - NMR spectrum of compound - **4l** (400 MHz, DMSO-*d*₆)

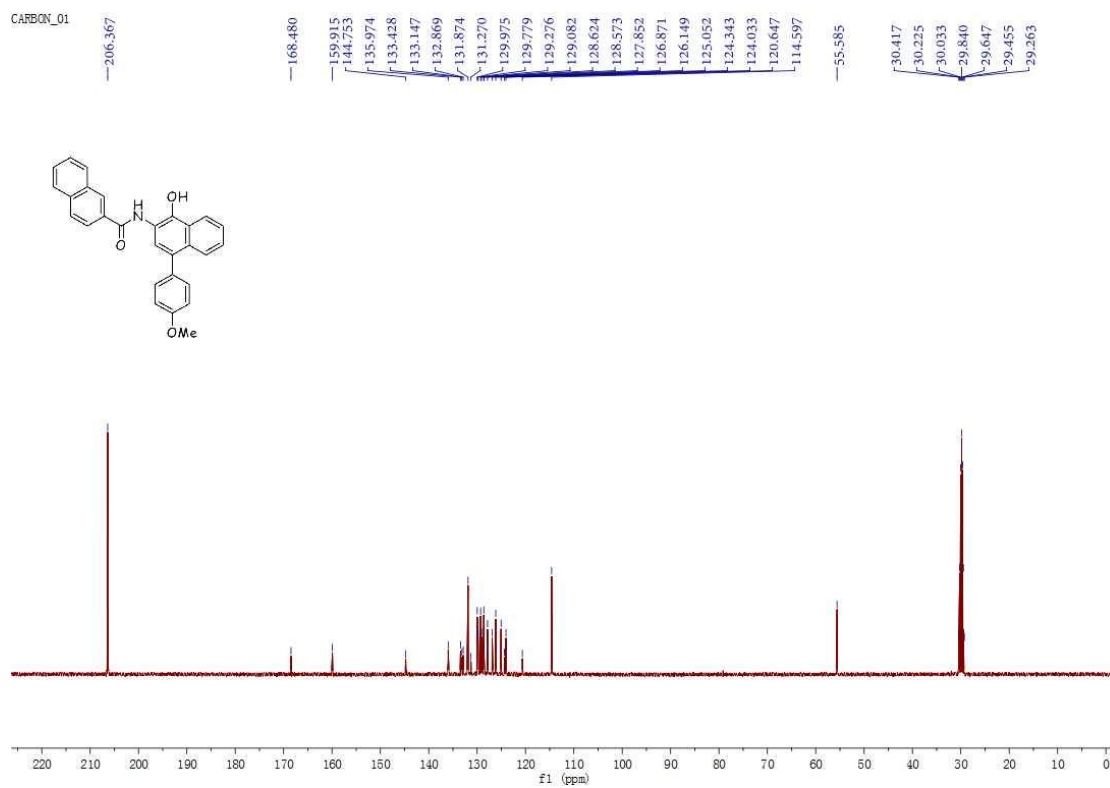
CARBON_01



¹³C - NMR spectrum of compound - **4l** (101 MHz, DMSO-*d*₆)

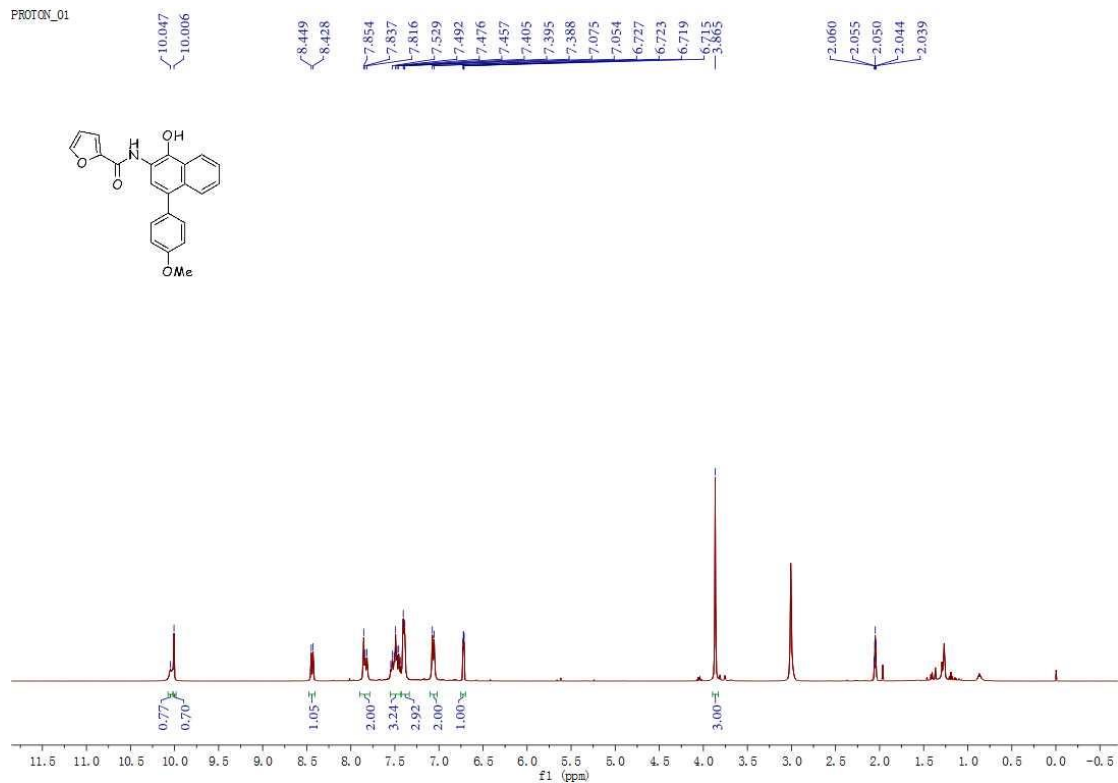


^1H - NMR spectrum of compound - **4m** (400 MHz, acetone- d_6)



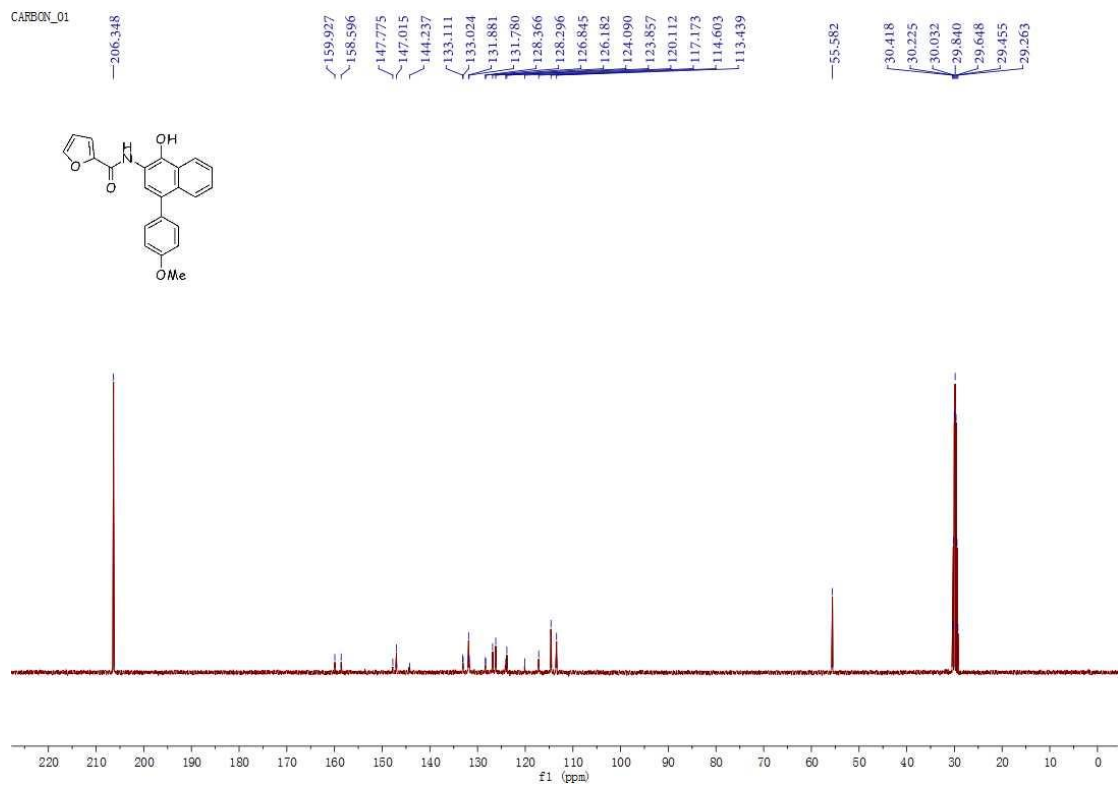
^{13}C - NMR spectrum of compound - **4m** (101 MHz, acetone- d_6)

PROTON_01



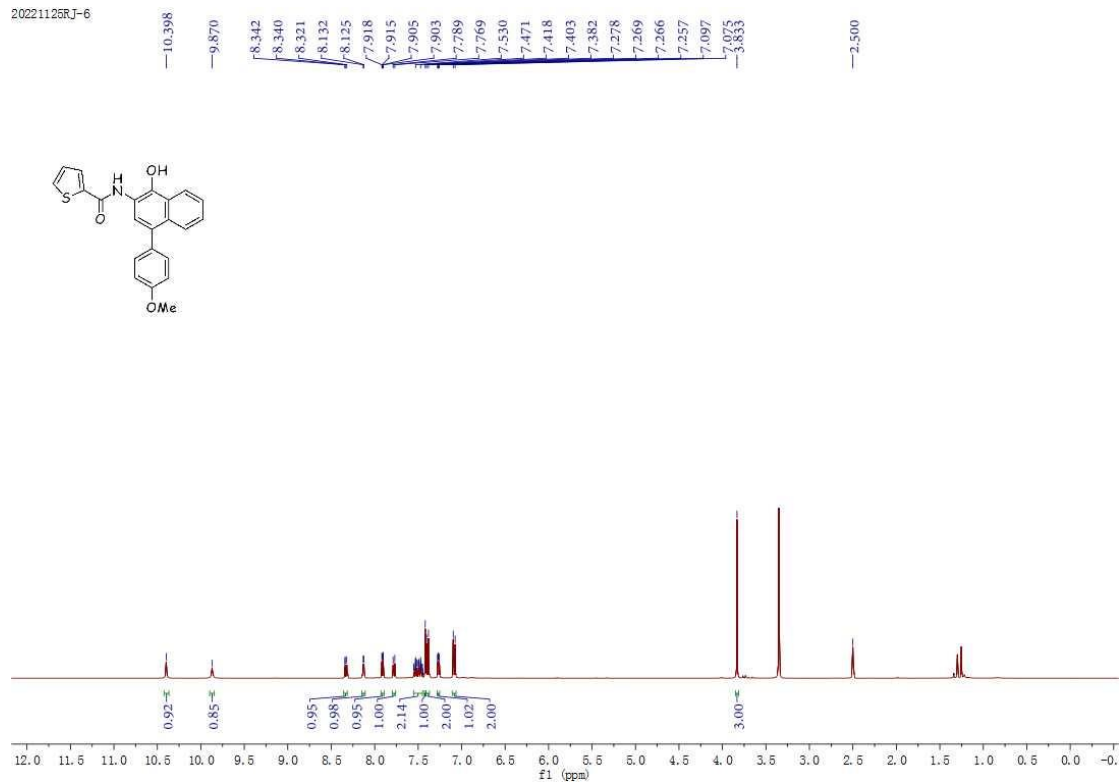
¹H – NMR spectrum of compound – **4n** (400 MHz, acetone-d₆)

CARBON_01



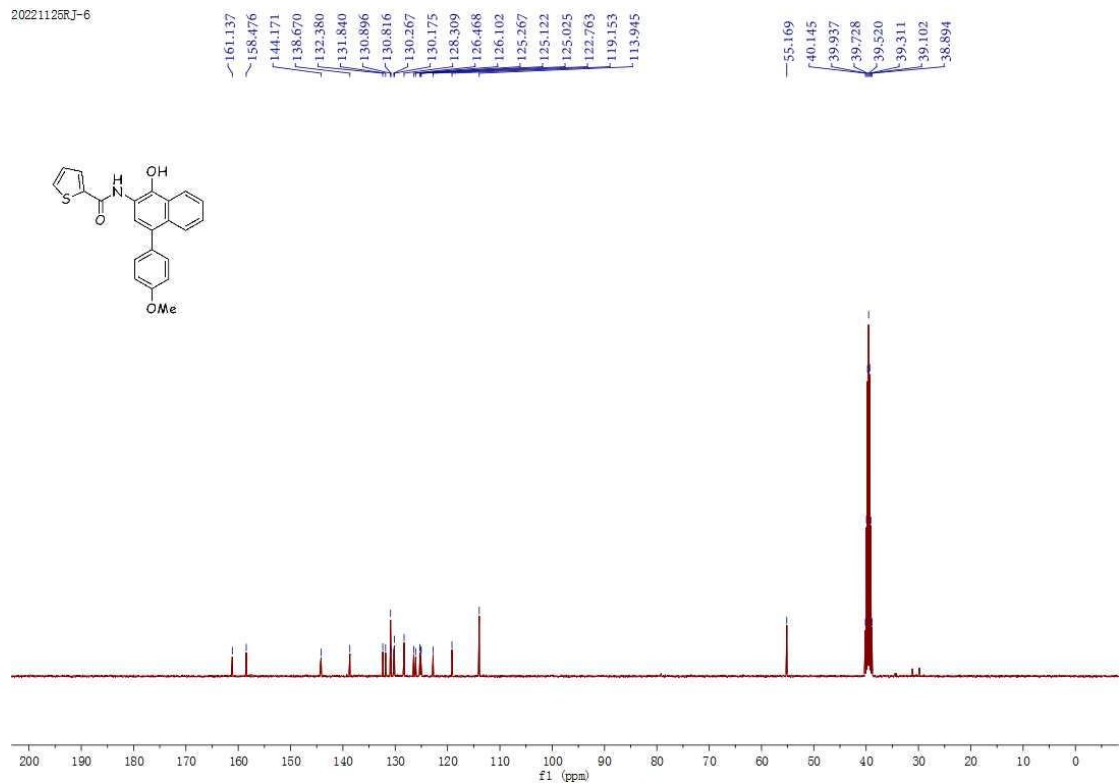
¹³C – NMR spectrum of compound – **4n** (101 MHz, acetone-d₆)

20221125RJ-6

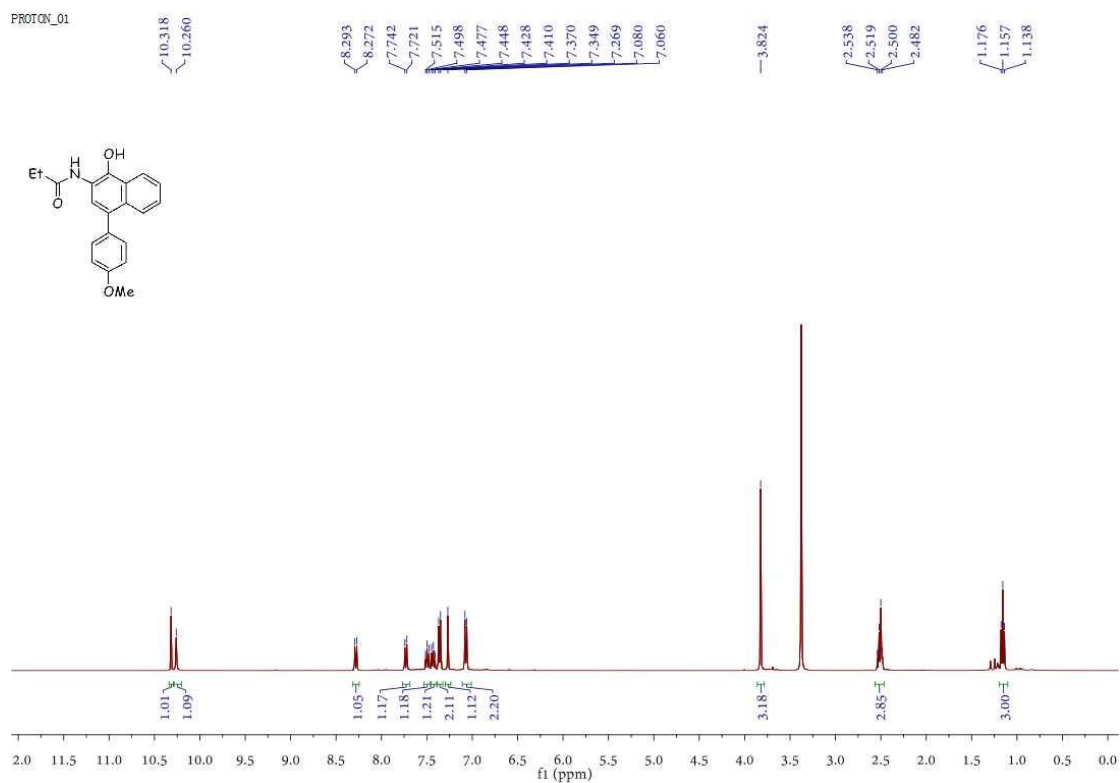


¹H – NMR spectrum of compound – 40 (400 MHz, DMSO-*d*₆)

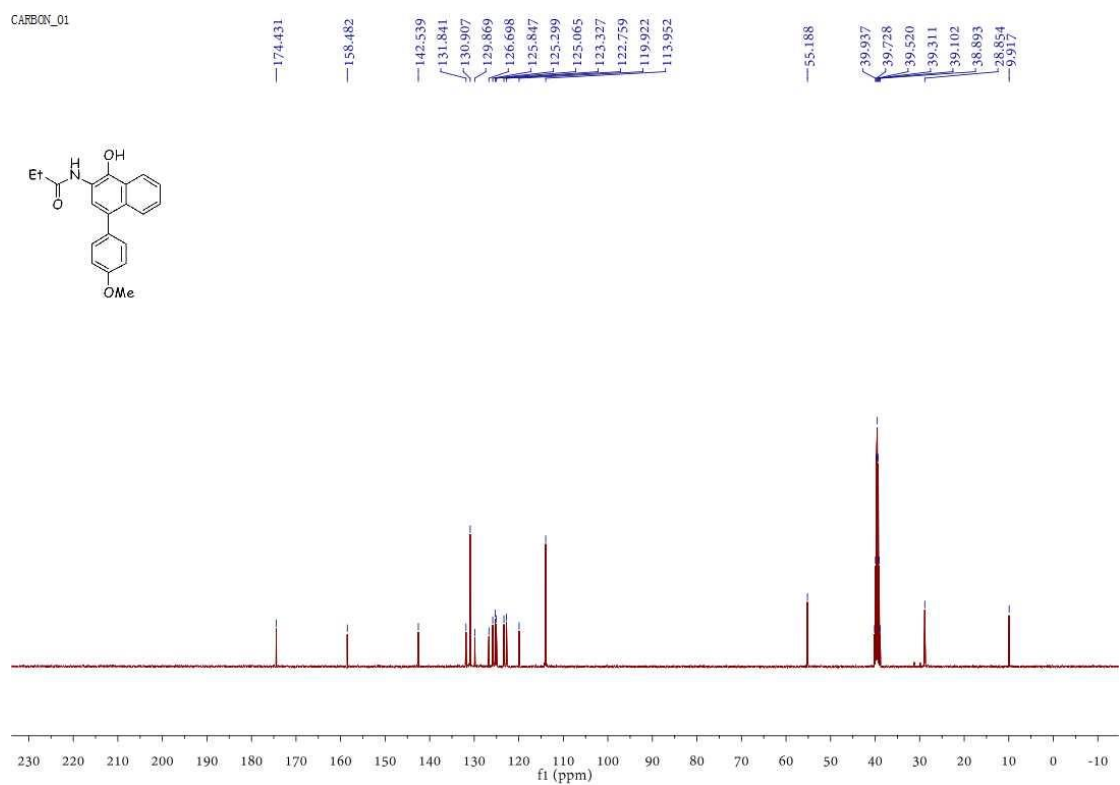
20221125RJ-6



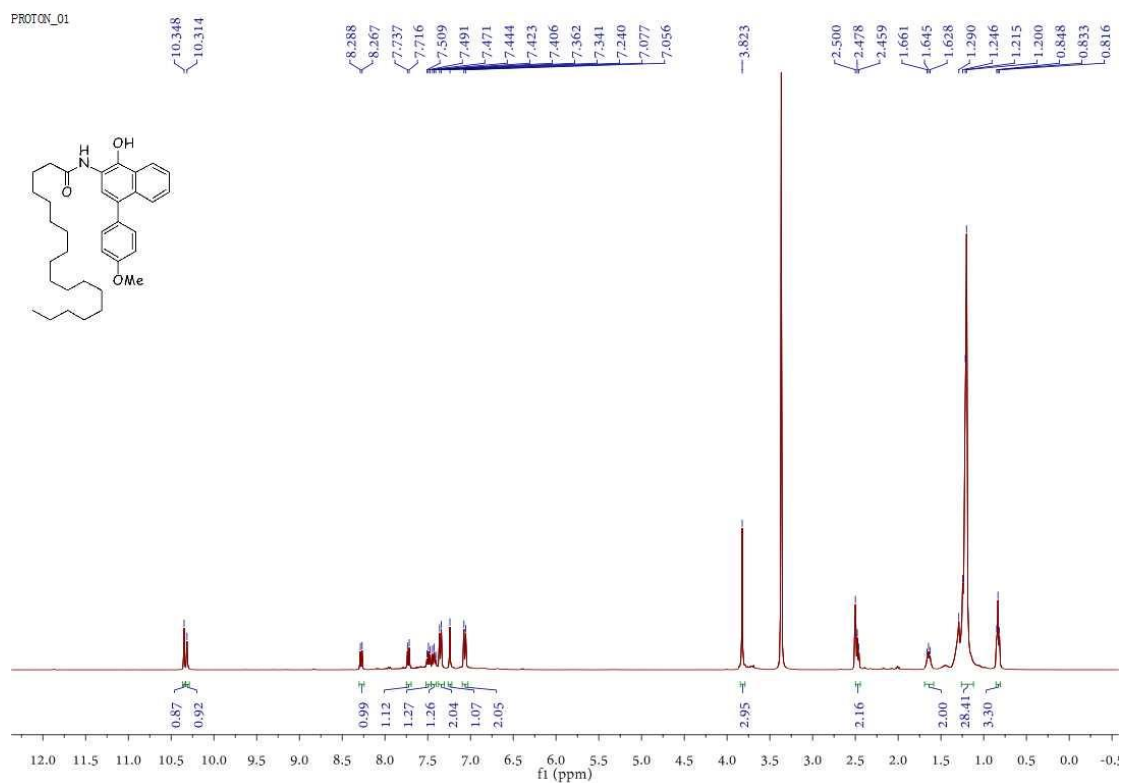
¹³C – NMR spectrum of compound – 40 (101 MHz, DMSO-*d*₆)



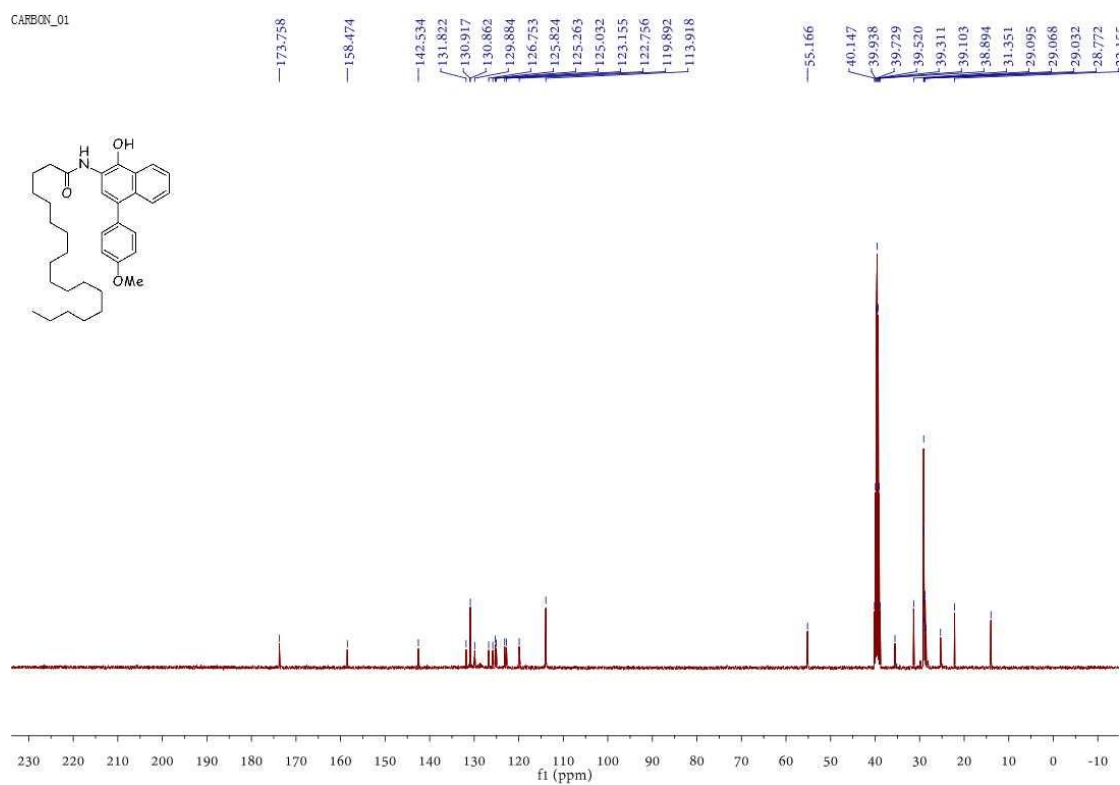
^1H - NMR spectrum of compound - **4p** (400 MHz, DMSO- d_6)



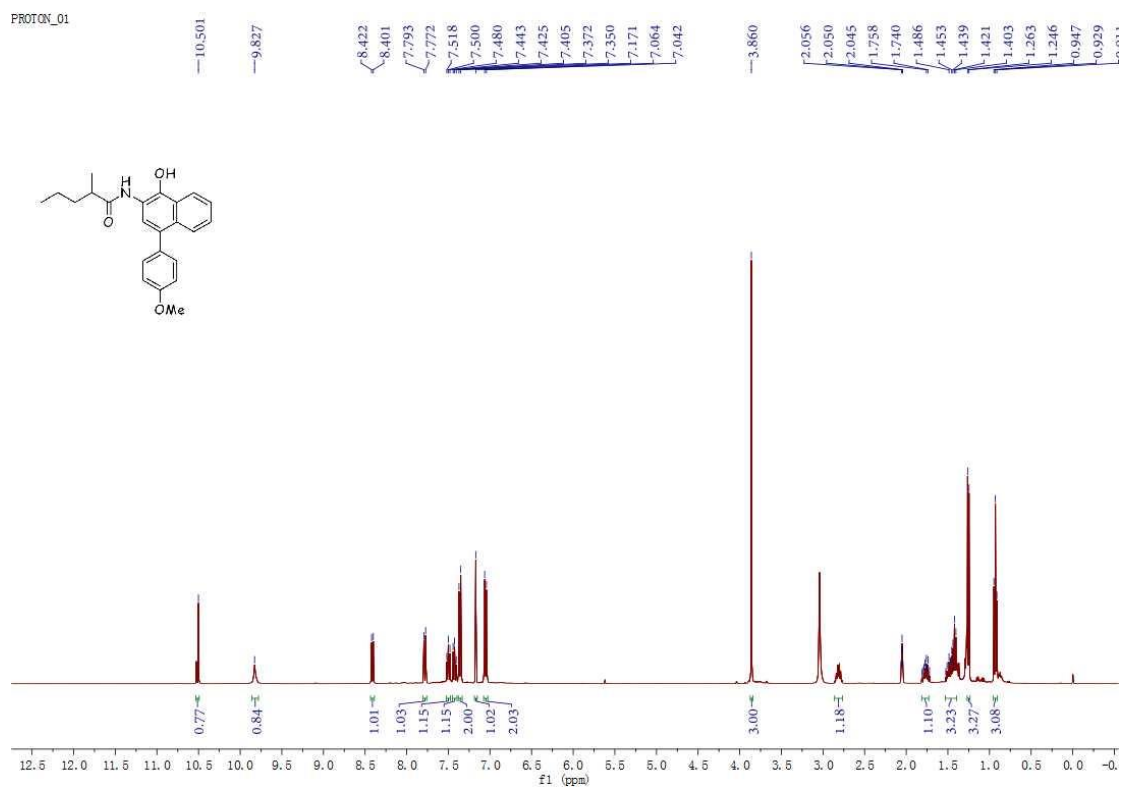
^{13}C - NMR spectrum of compound - **4p** (101 MHz, DMSO- d_6)



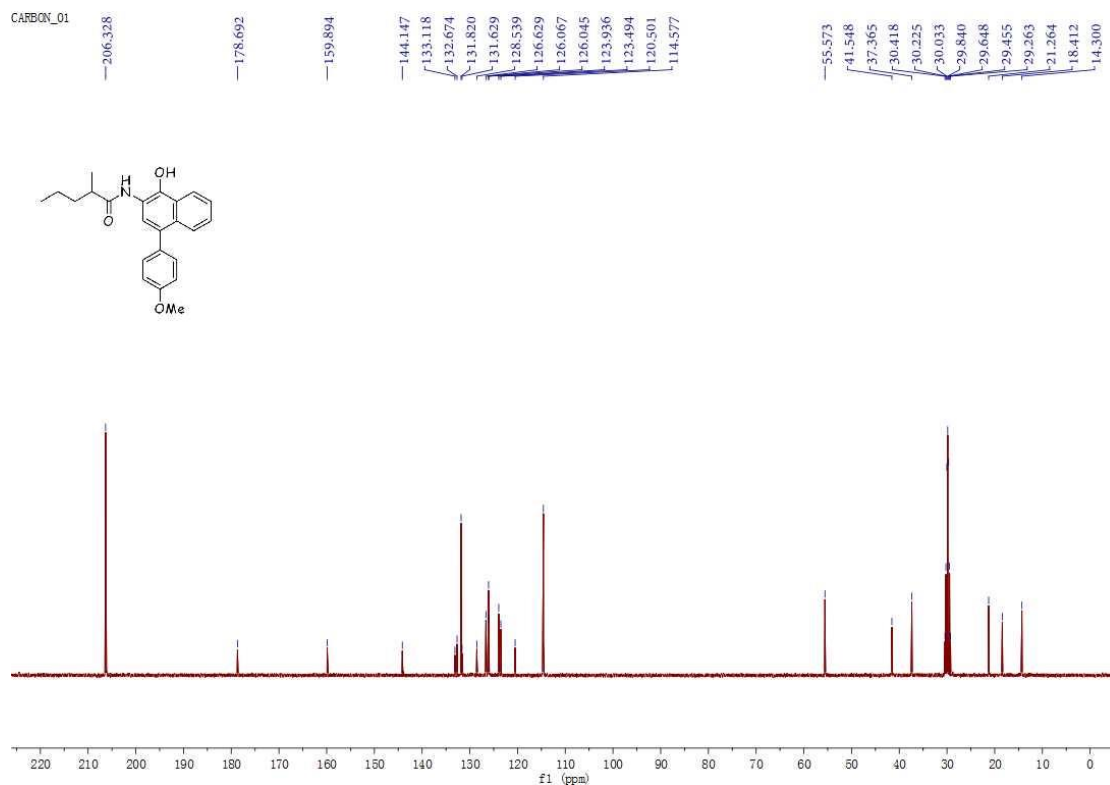
^1H - NMR spectrum of compound - **4q** (400 MHz, DMSO- d_6)



^{13}C - NMR spectrum of compound - **4q** (101 MHz, DMSO- d_6)

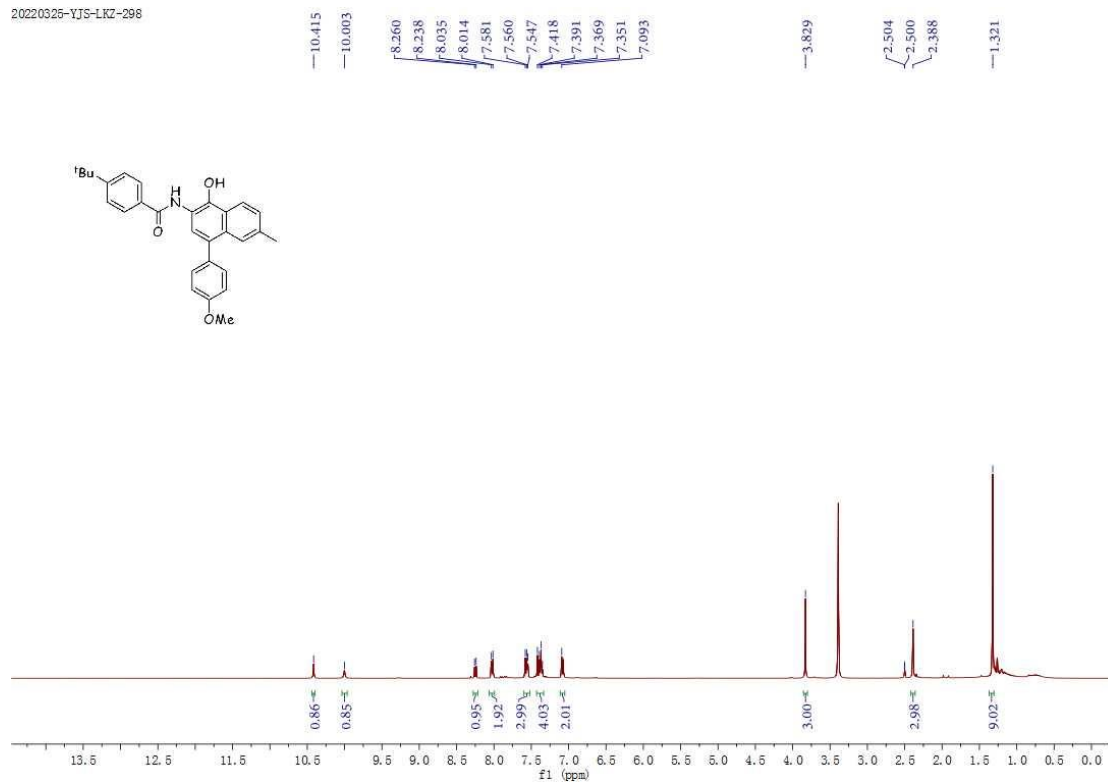


^1H - NMR spectrum of compound - **4r** (400 MHz, acetone- d_6)



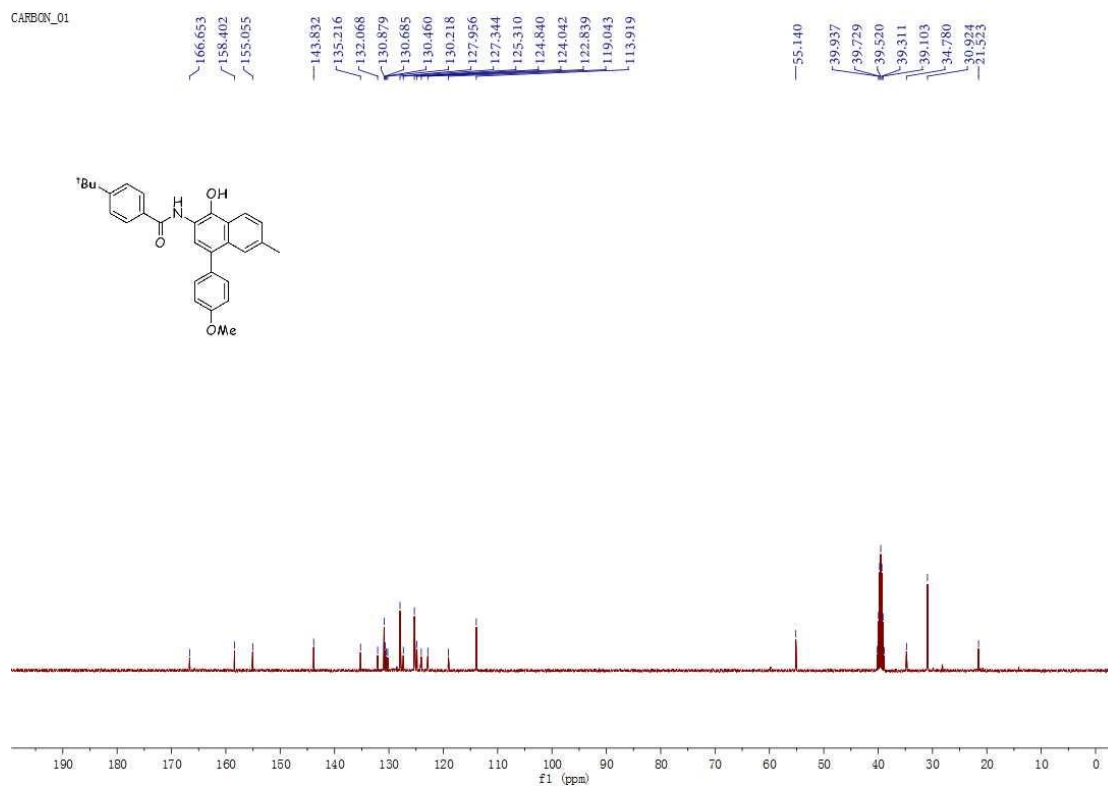
^{13}C - NMR spectrum of compound - **4r** (101 MHz, acetone- d_6)

20220326-YJS-LKZ-298



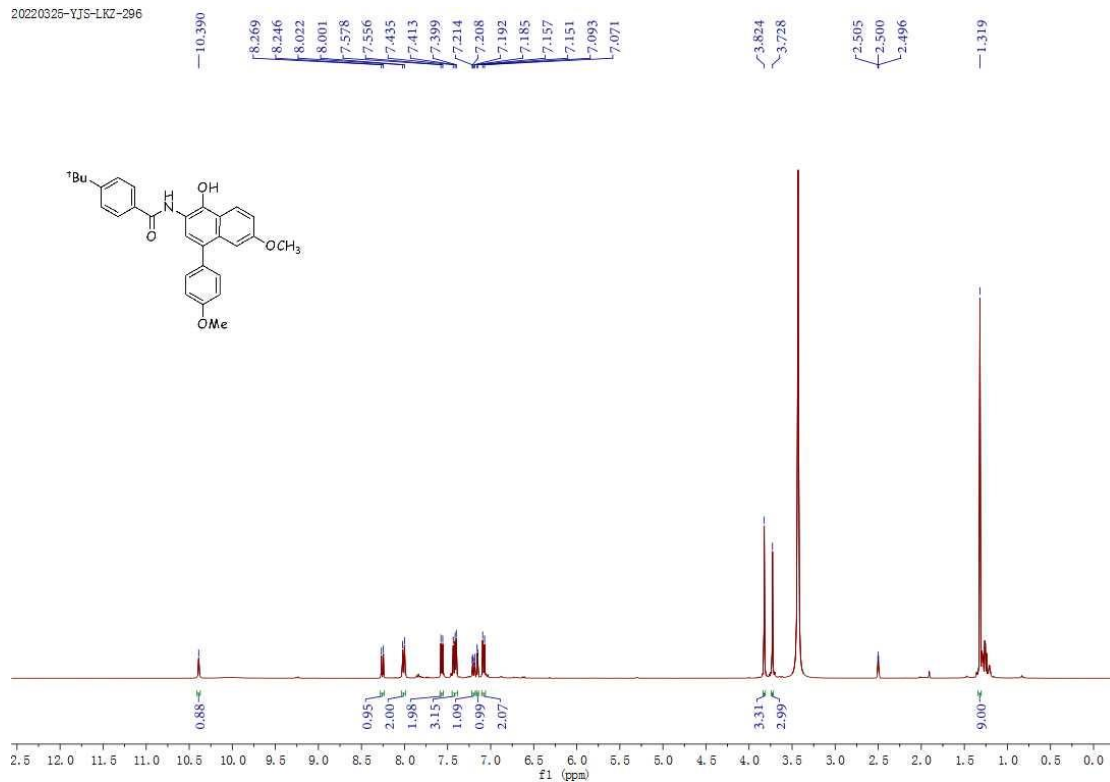
¹H - NMR spectrum of compound - **4s** (400 MHz, DMSO-*d*₆)

CARBON_01

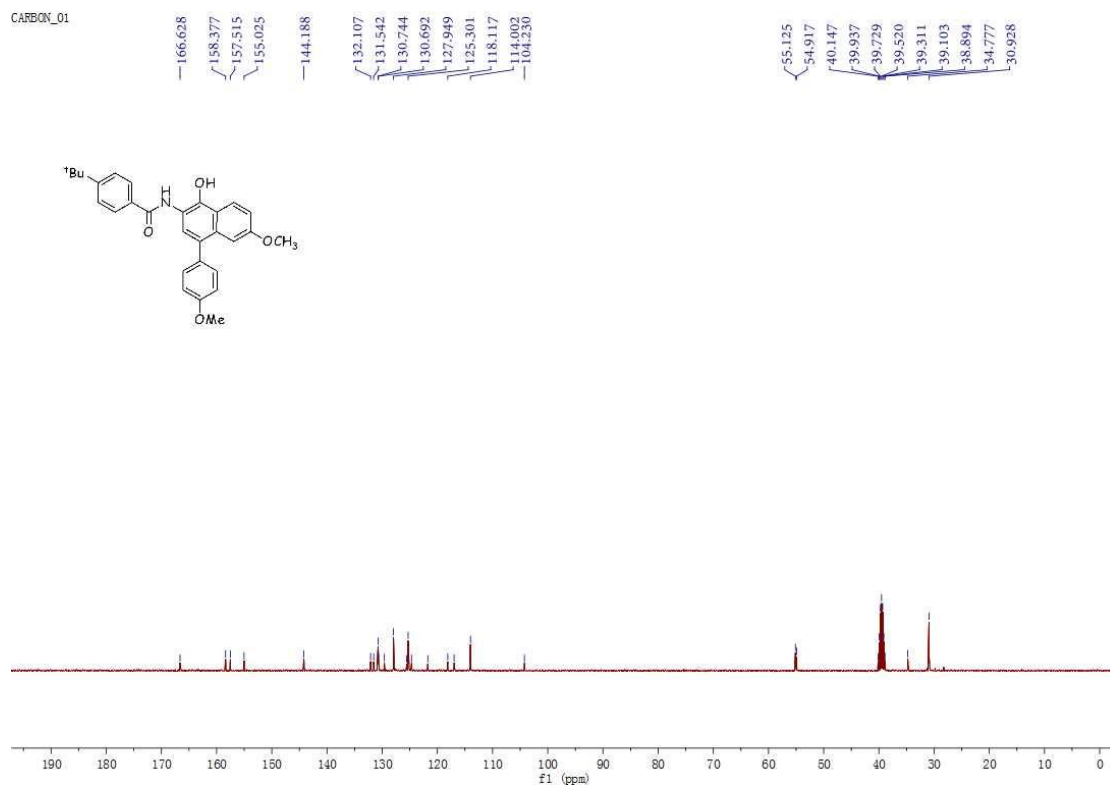


¹³C - NMR spectrum of compound - **4s** (101 MHz, DMSO-*d*₆)

20220326-YJS-LKZ-296

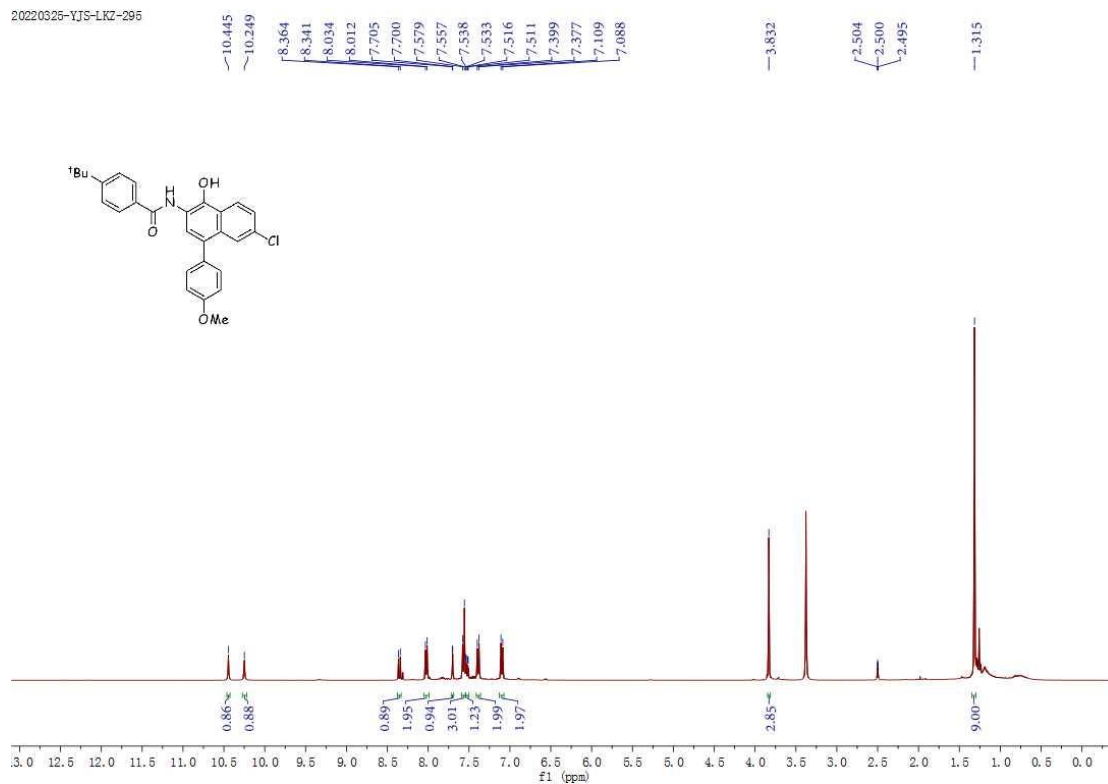


¹H - NMR spectrum of compound - 4t (400 MHz, DMSO-*d*₆)



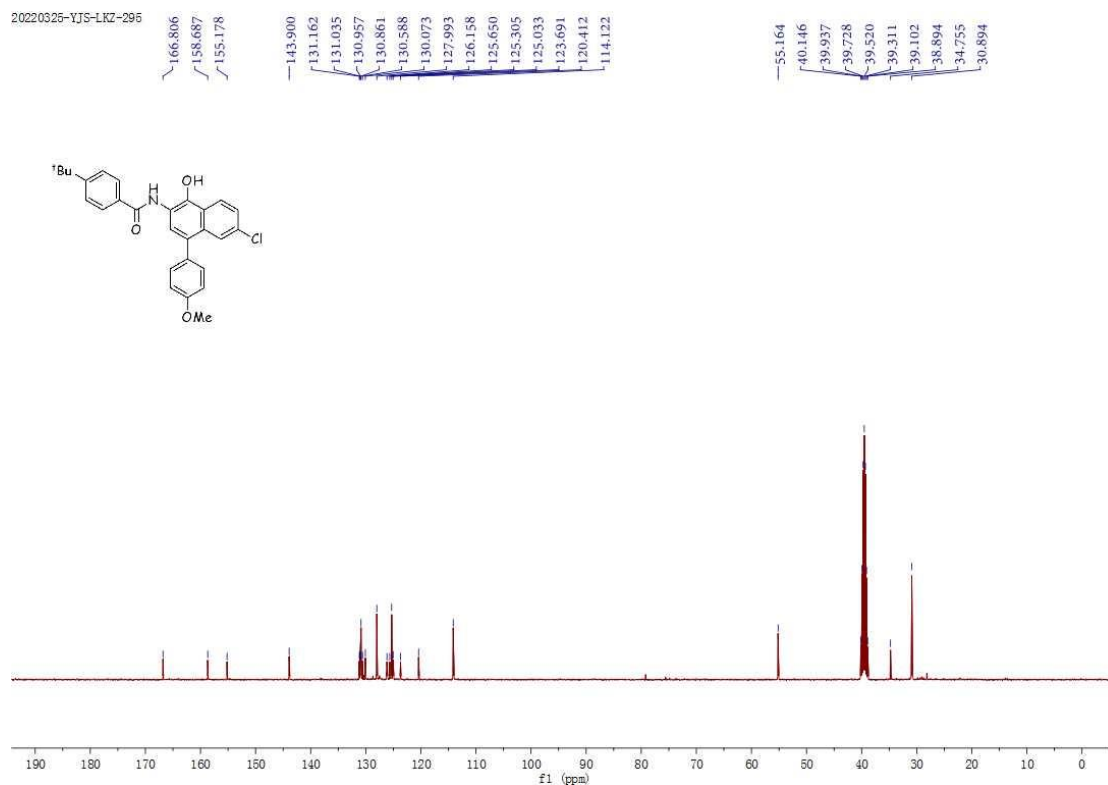
¹³C - NMR spectrum of compound - 4t (101 MHz, DMSO-*d*₆)

20220326-YJS-LKZ-295



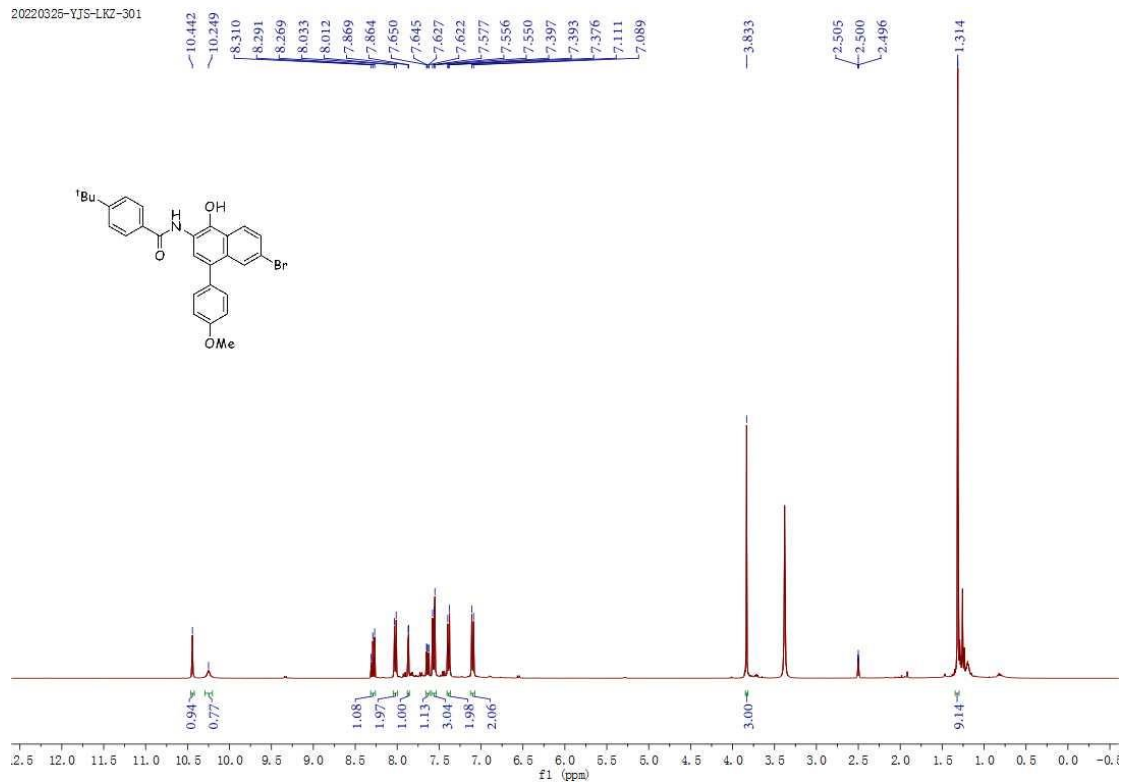
¹H - NMR spectrum of compound - **4u** (400 MHz, DMSO-*d*₆)

20220326-YJS-LKZ-295

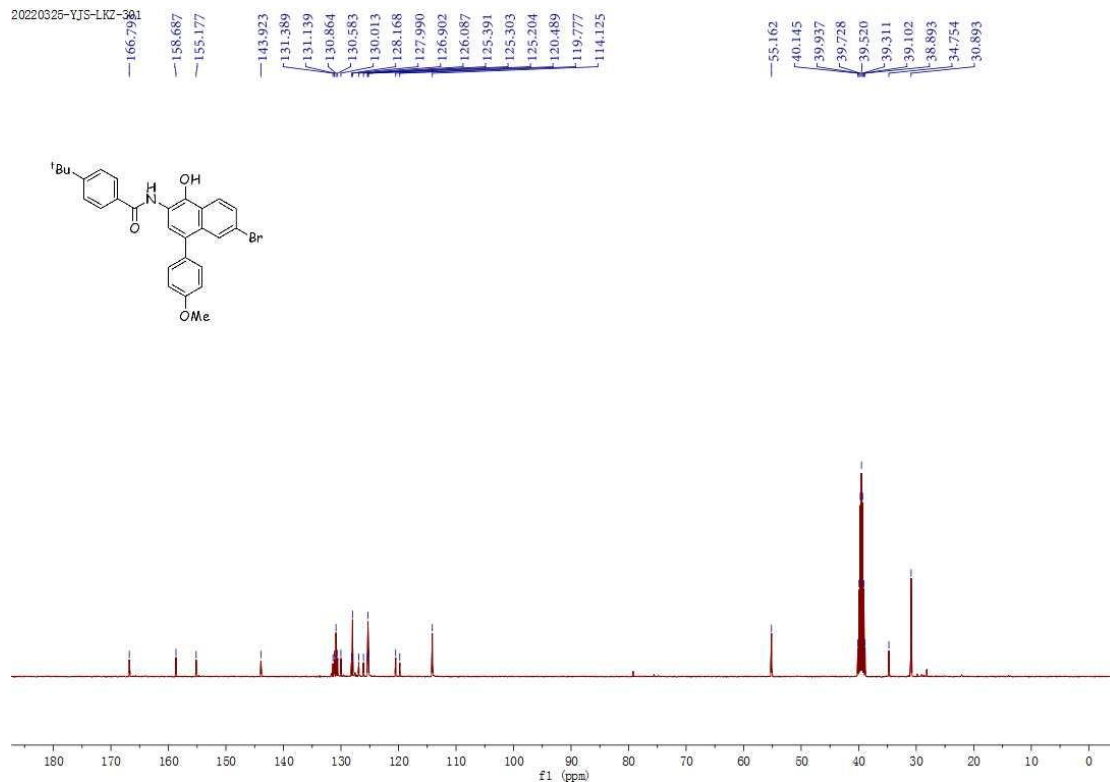


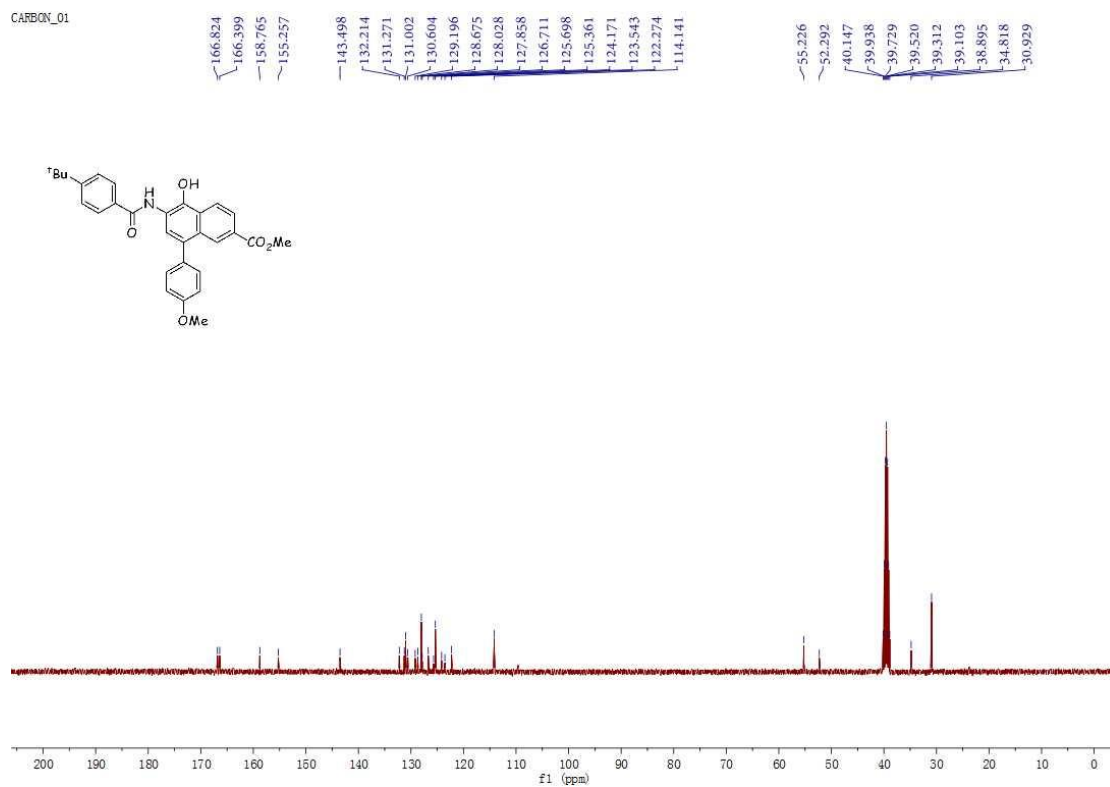
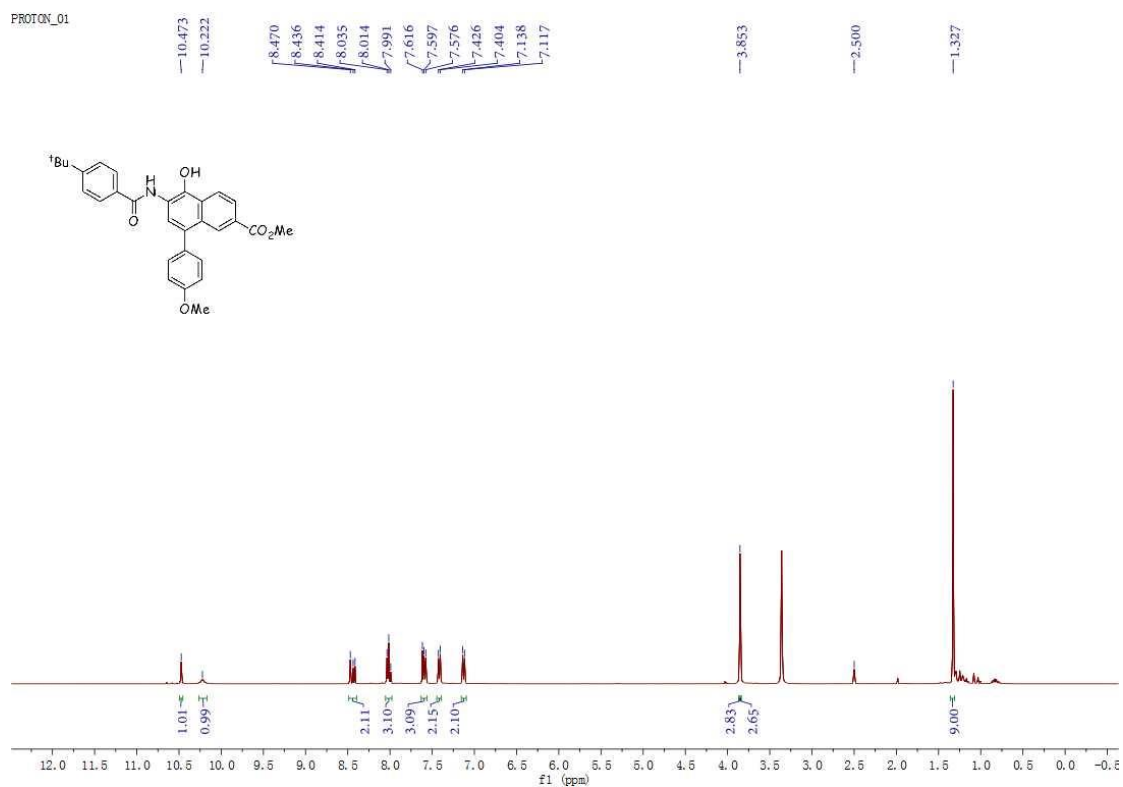
¹³C - NMR spectrum of compound - **4u** (101 MHz, DMSO-*d*₆)

20220326-YJS-LKZ-301

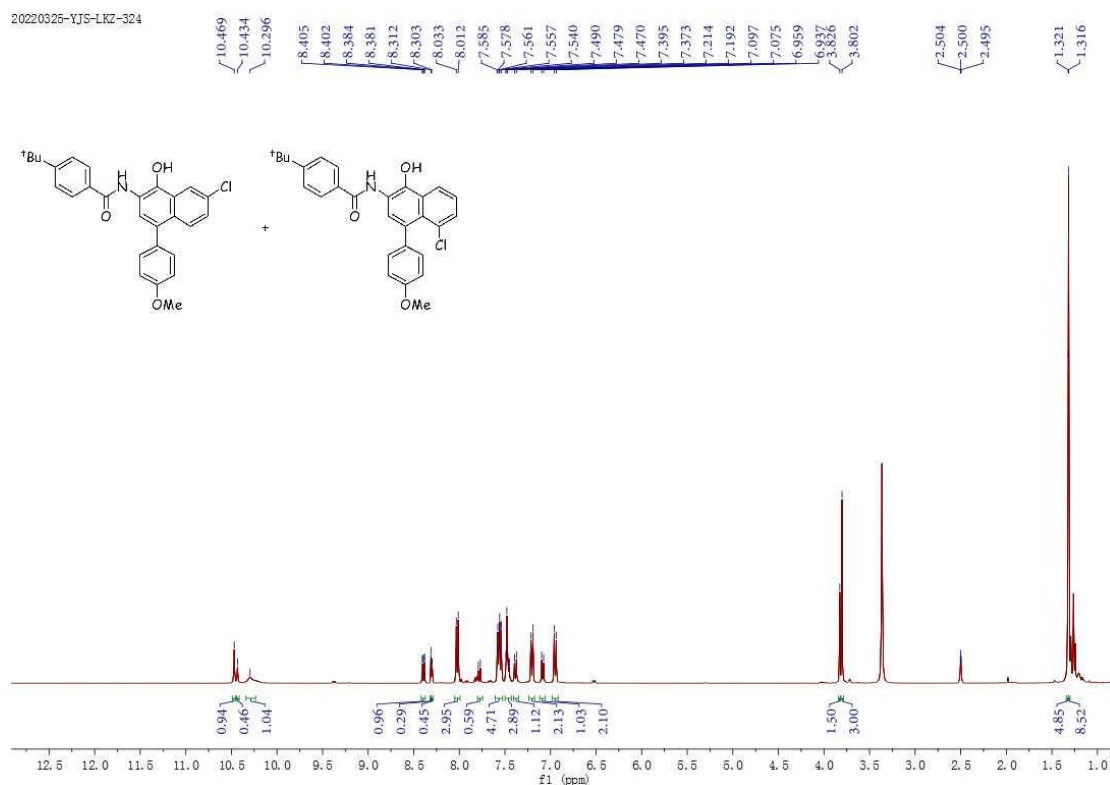


20220326-YJS-LKZ-301



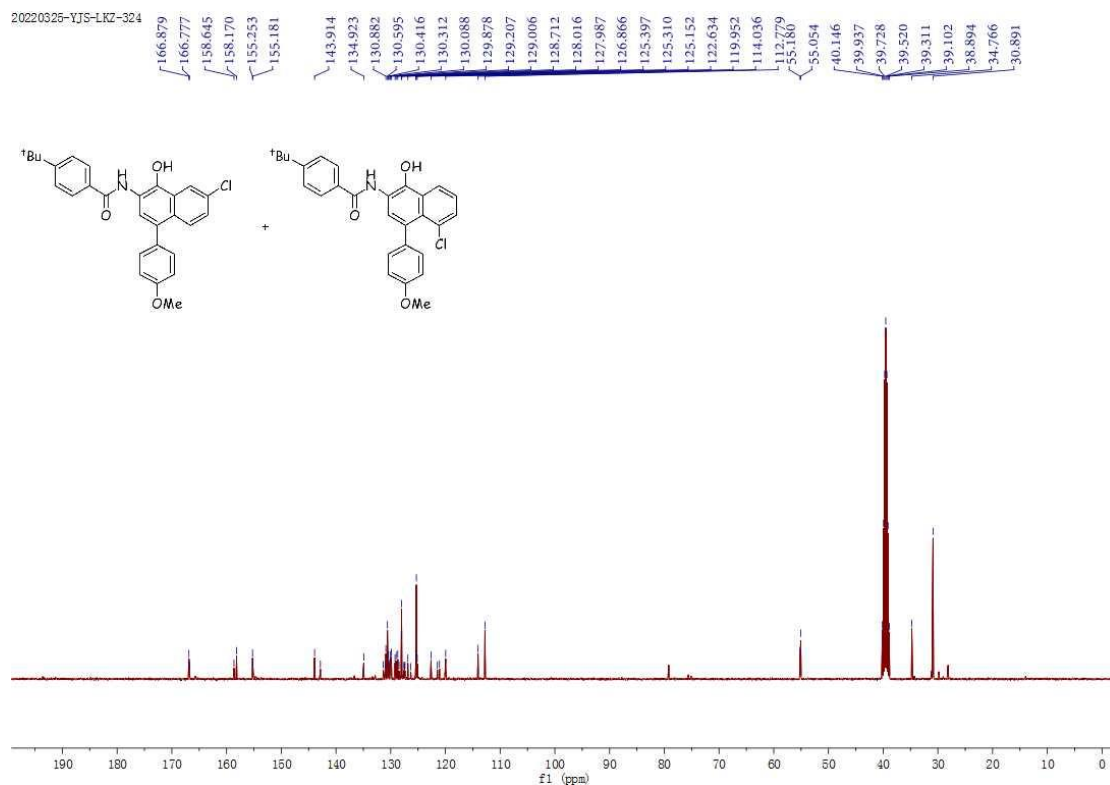


20220326-YJS-LKZ-324



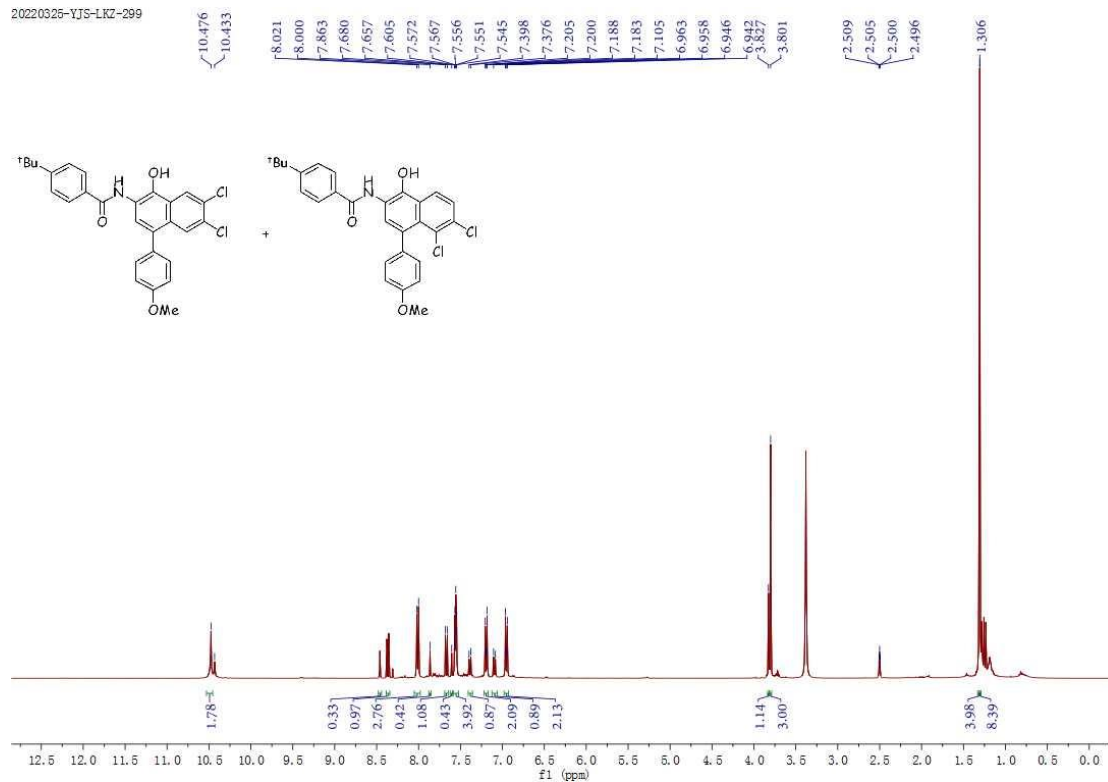
¹H – NMR spectrum of compound – 4x (400 MHz, DMSO-*d*₆)

20220326-YJS-LKZ-324



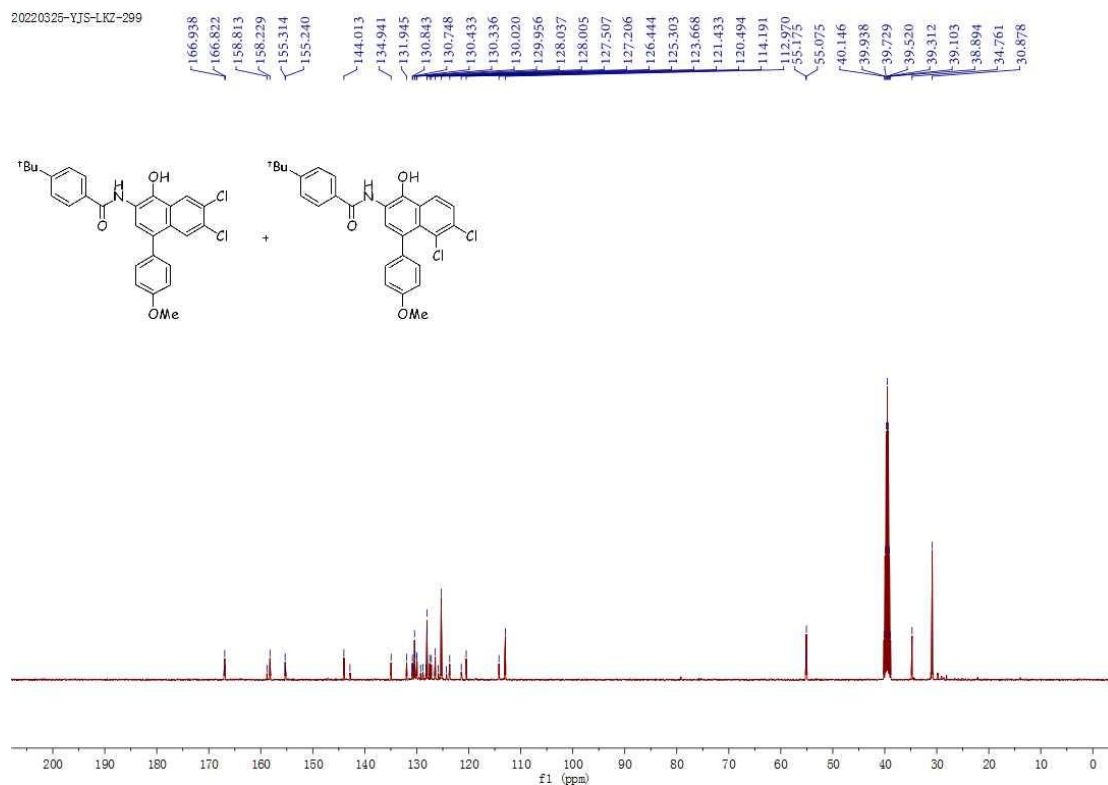
¹³C – NMR spectrum of compound – 4x (101 MHz, DMSO-*d*₆)

20220326-YJS-LKZ-299

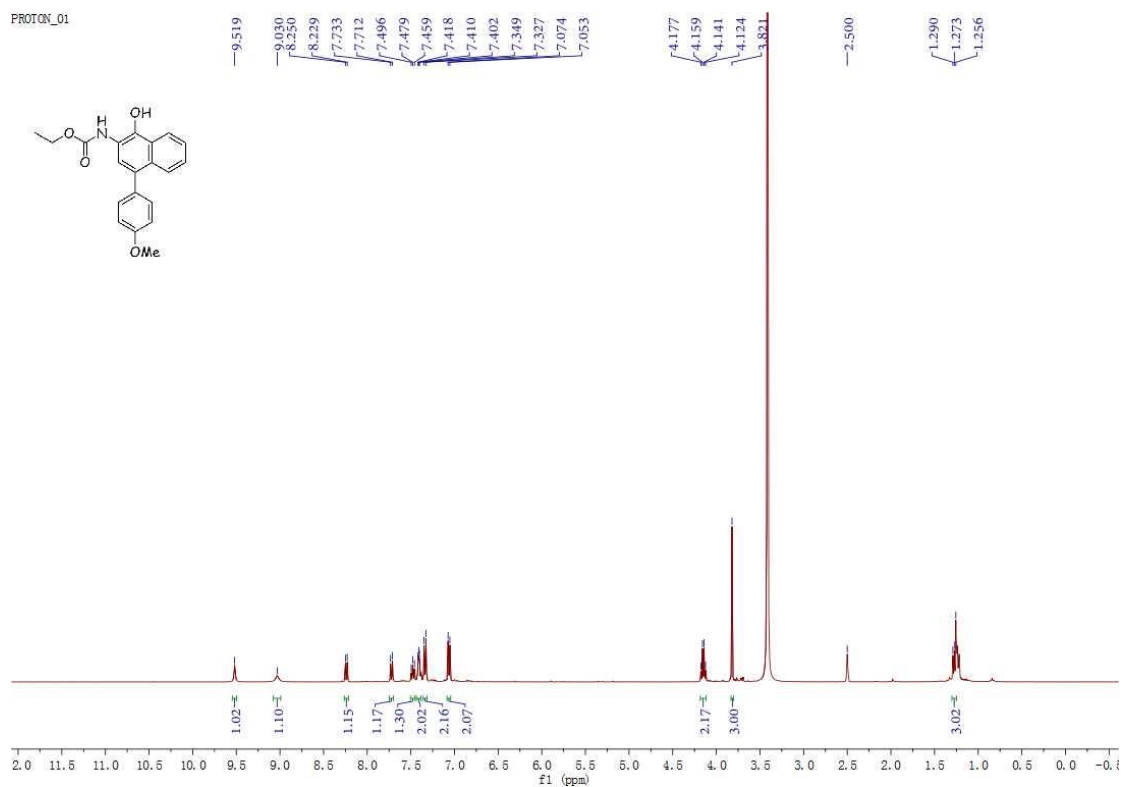


¹H – NMR spectrum of compound – 4y (400 MHz, DMSO-*d*₆)

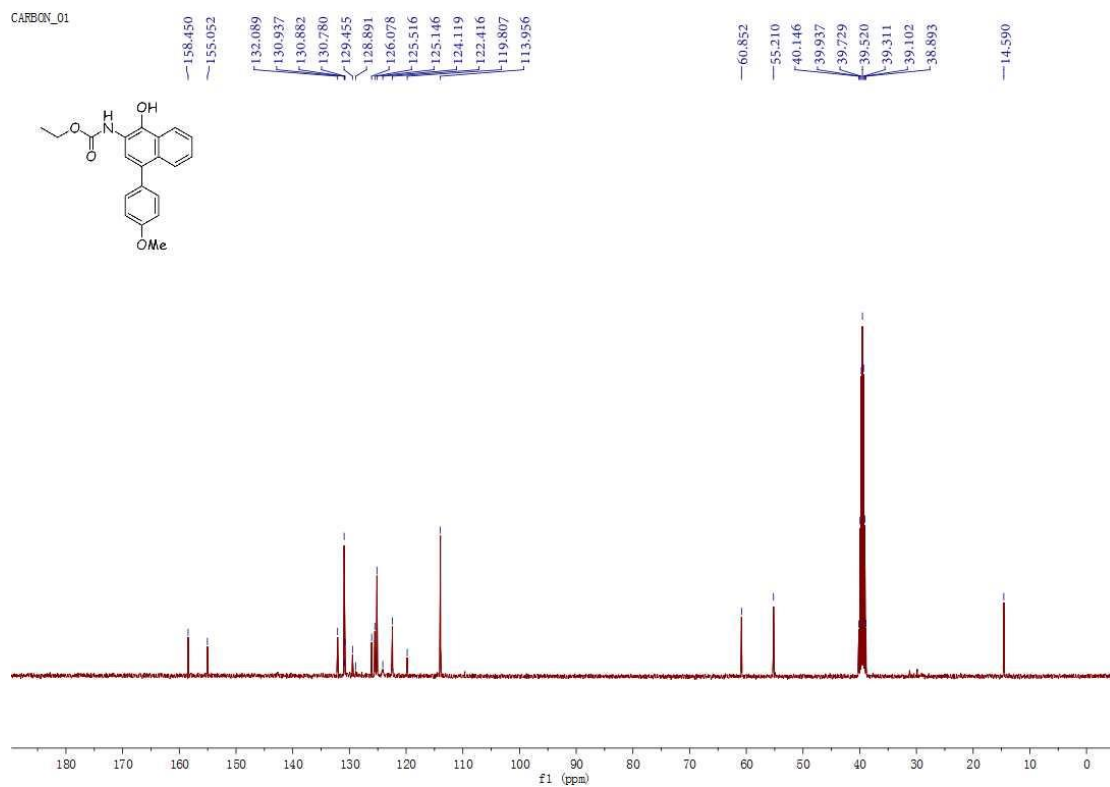
20220326-YJS-LKZ-299



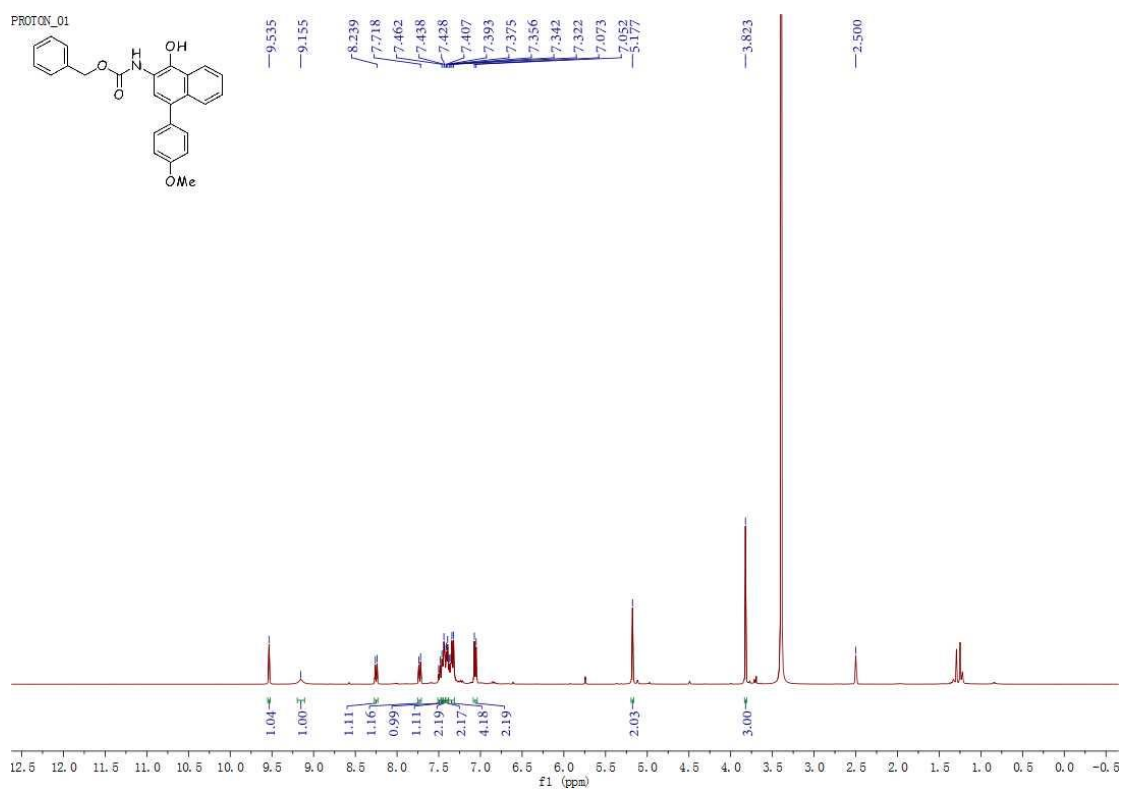
¹³C – NMR spectrum of compound – 4y (101 MHz, DMSO-*d*₆)



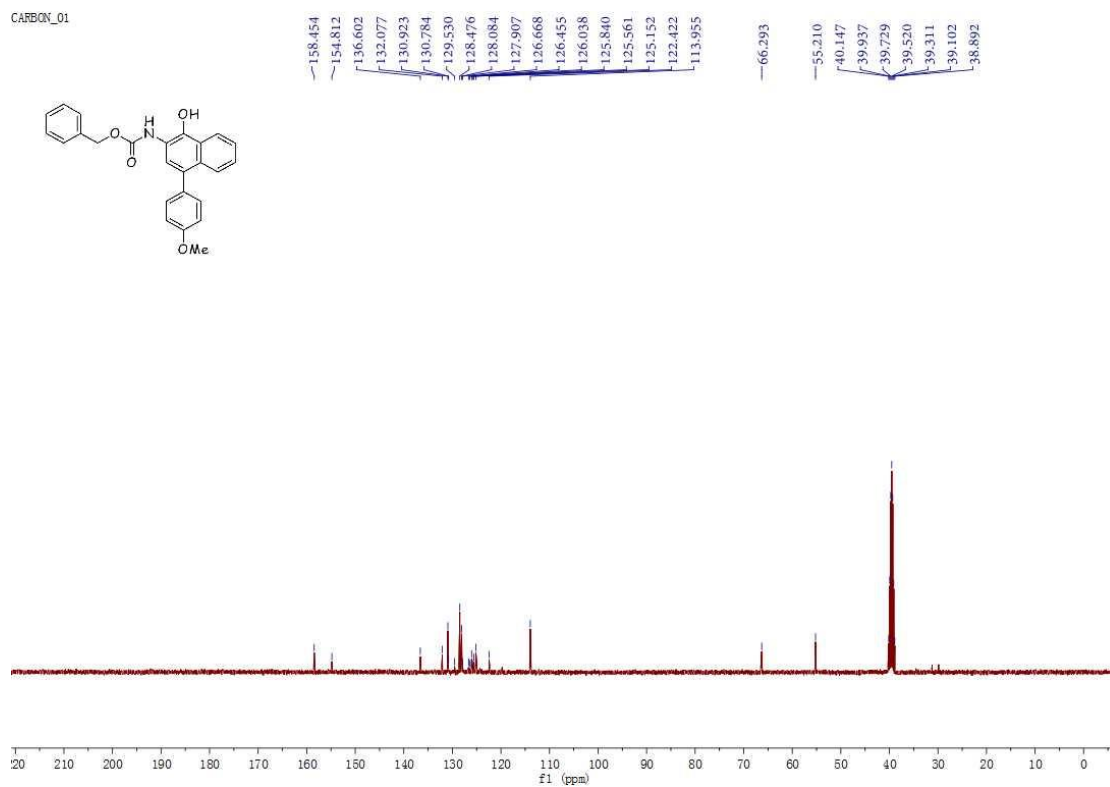
¹H – NMR spectrum of compound – **4z** (400 MHz, DMSO-*d*₆)



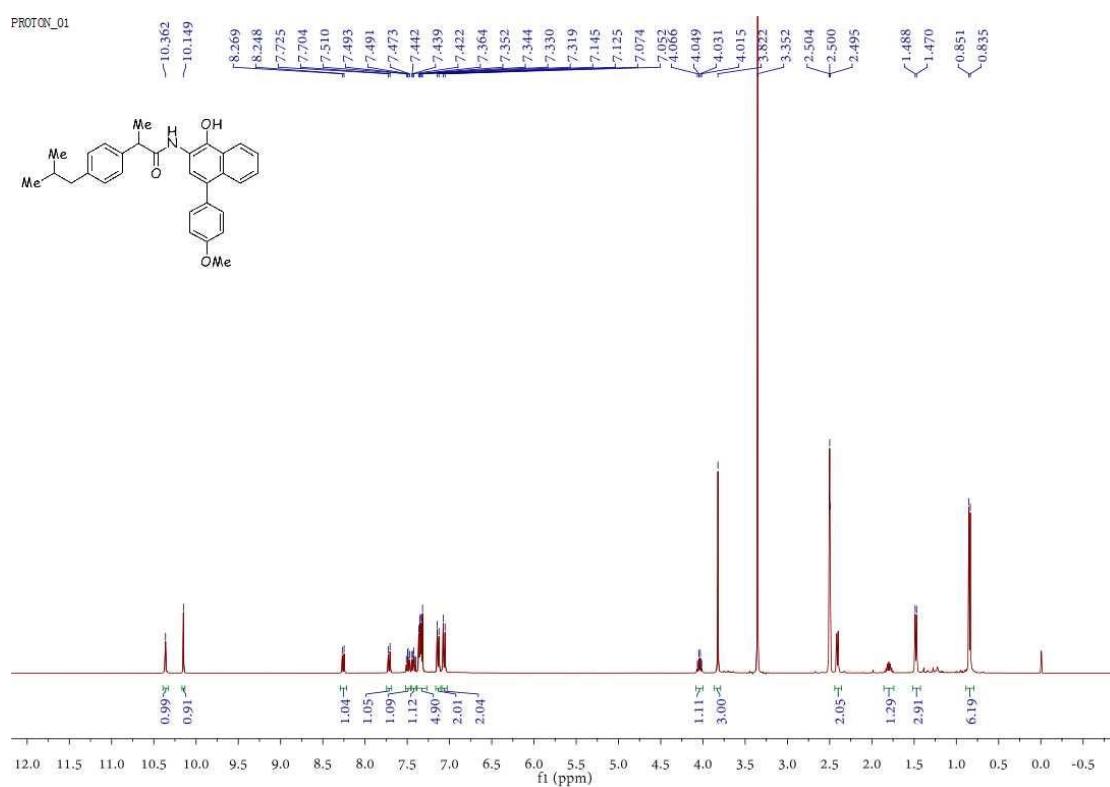
¹³C – NMR spectrum of compound – **4z** (101 MHz, DMSO-*d*₆)



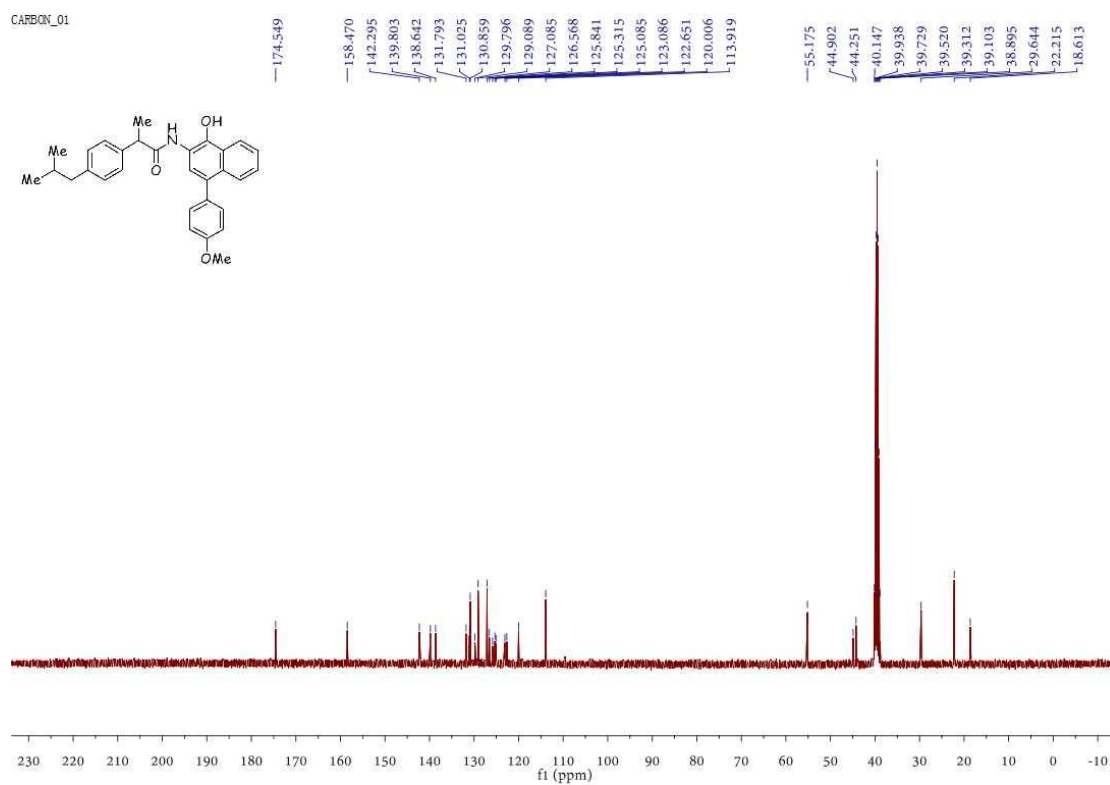
¹H – NMR spectrum of compound – **4aa** (400 MHz, DMSO-*d*₆)



¹³C – NMR spectrum of compound – **4aa** (101 MHz, DMSO-*d*₆)

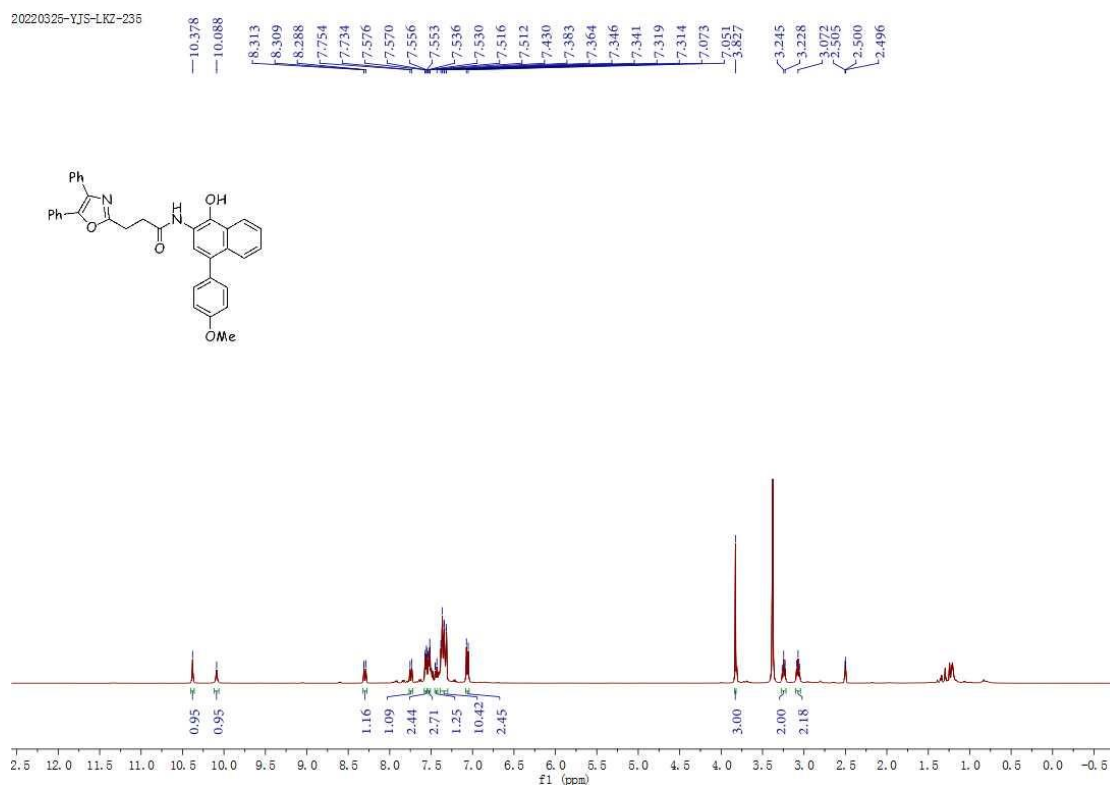


^1H - NMR spectrum of compound - **5a** (400 MHz, DMSO- d_6)



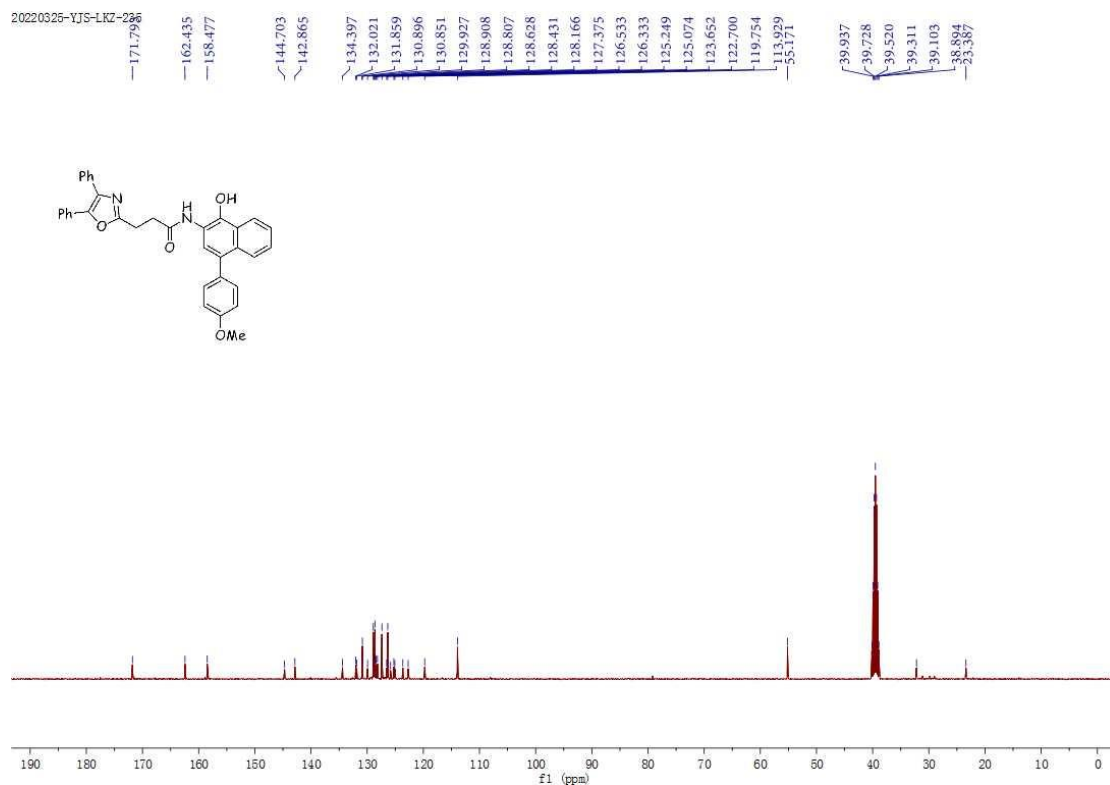
^{13}C - NMR spectrum of compound - **5a** (101 MHz, DMSO- d_6)

20220326-YJS-LKZ-236



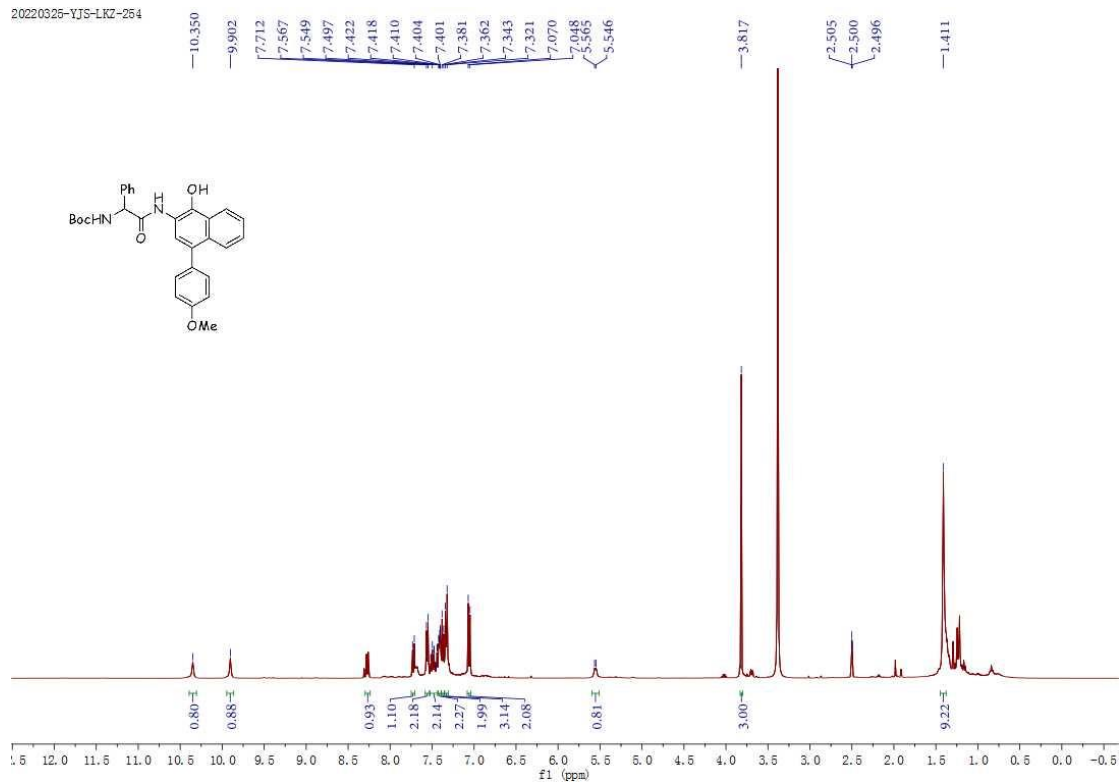
¹H – NMR spectrum of compound – **5b** (400 MHz, DMSO-*d*₆)

20220326-YJS-LKZ-236



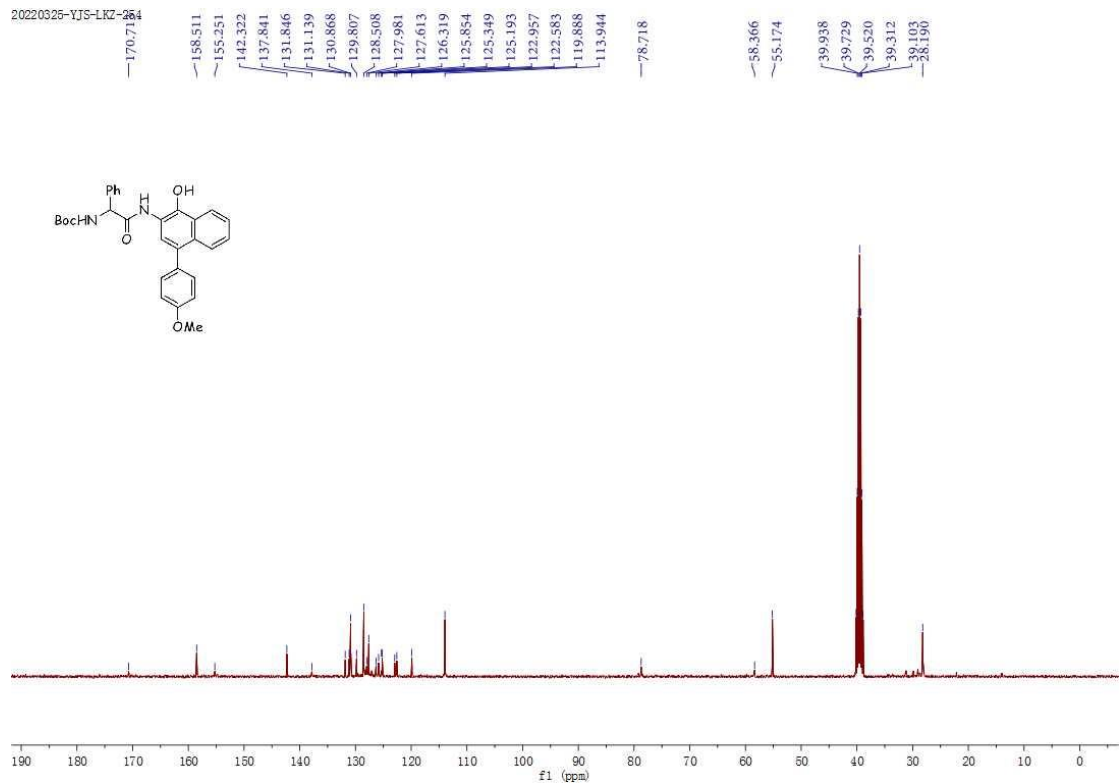
¹³C – NMR spectrum of compound – **5b** (101 MHz, DMSO-*d*₆)

20220326-YJS-LKZ-264

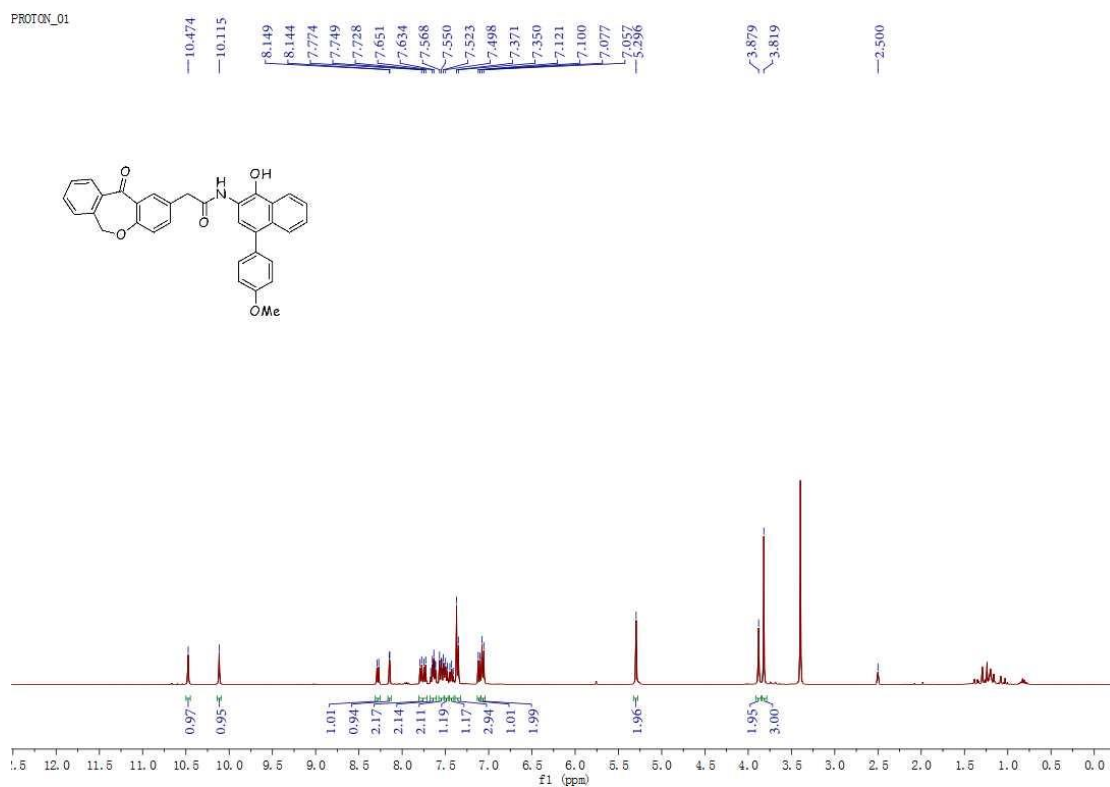


¹H - NMR spectrum of compound - 5c (400 MHz, DMSO-d₆)

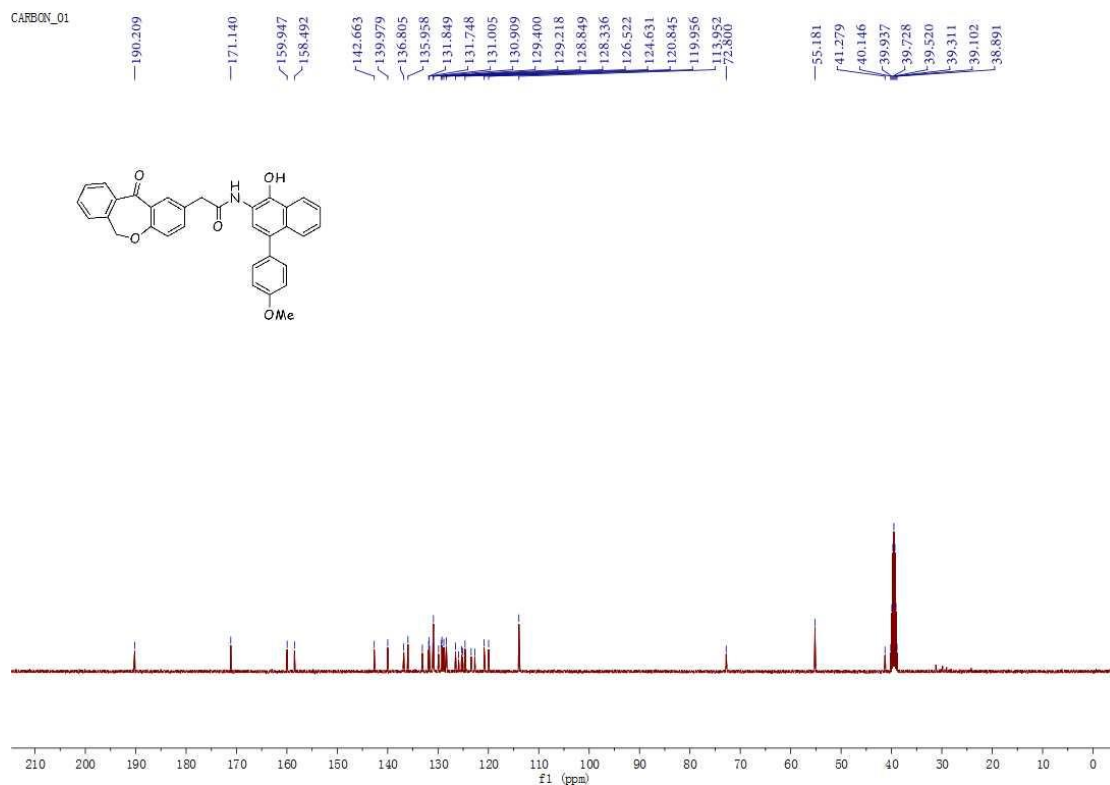
20220326-YJS-LKZ-264



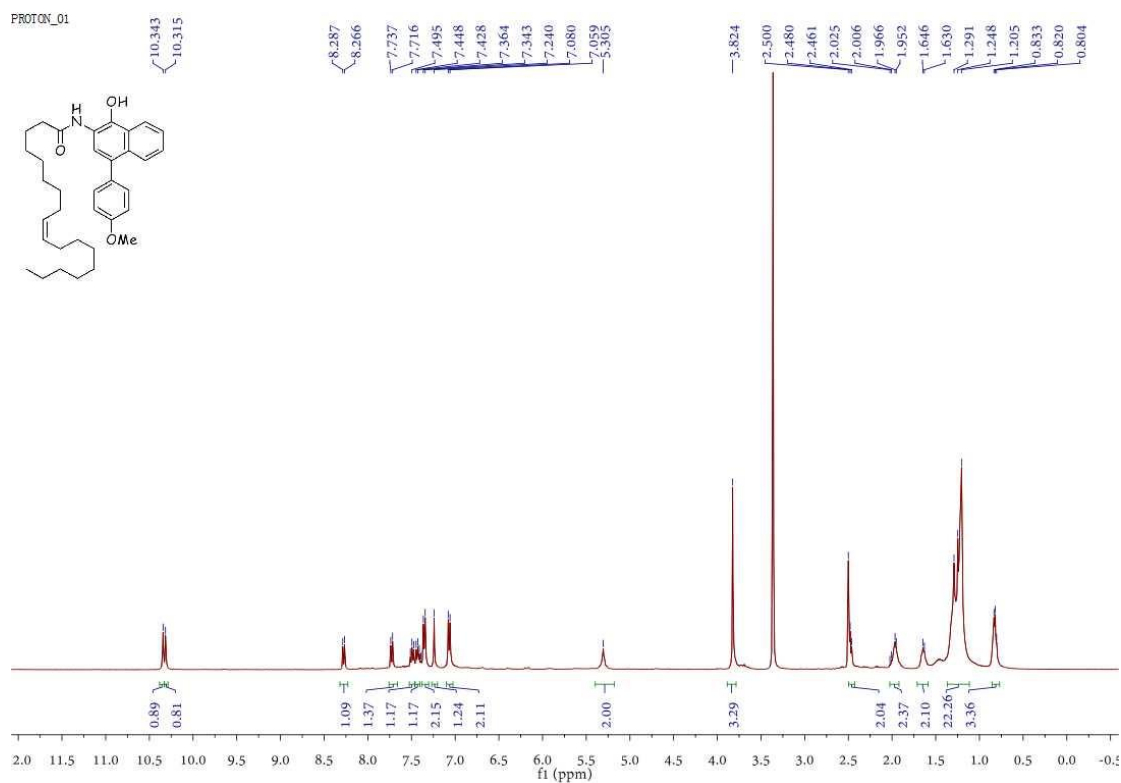
¹³C - NMR spectrum of compound - 5c (101 MHz, DMSO-d₆)



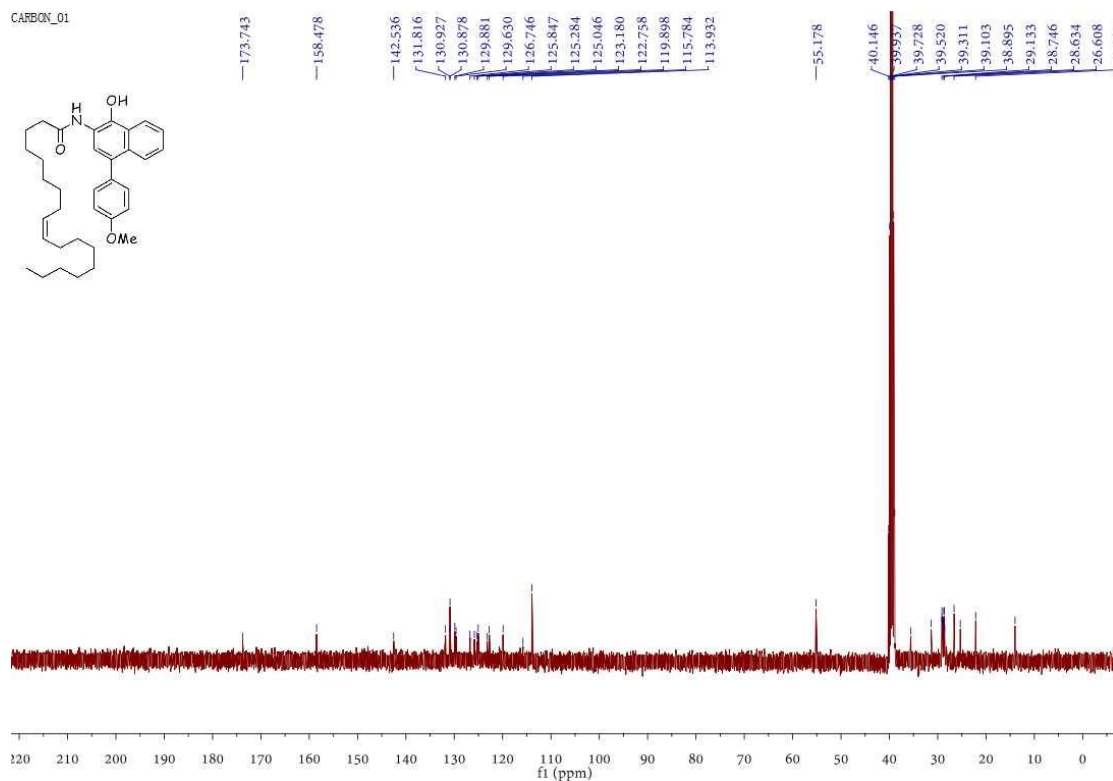
^1H - NMR spectrum of compound - **5d** (400 MHz, $\text{DMSO-}d_6$)



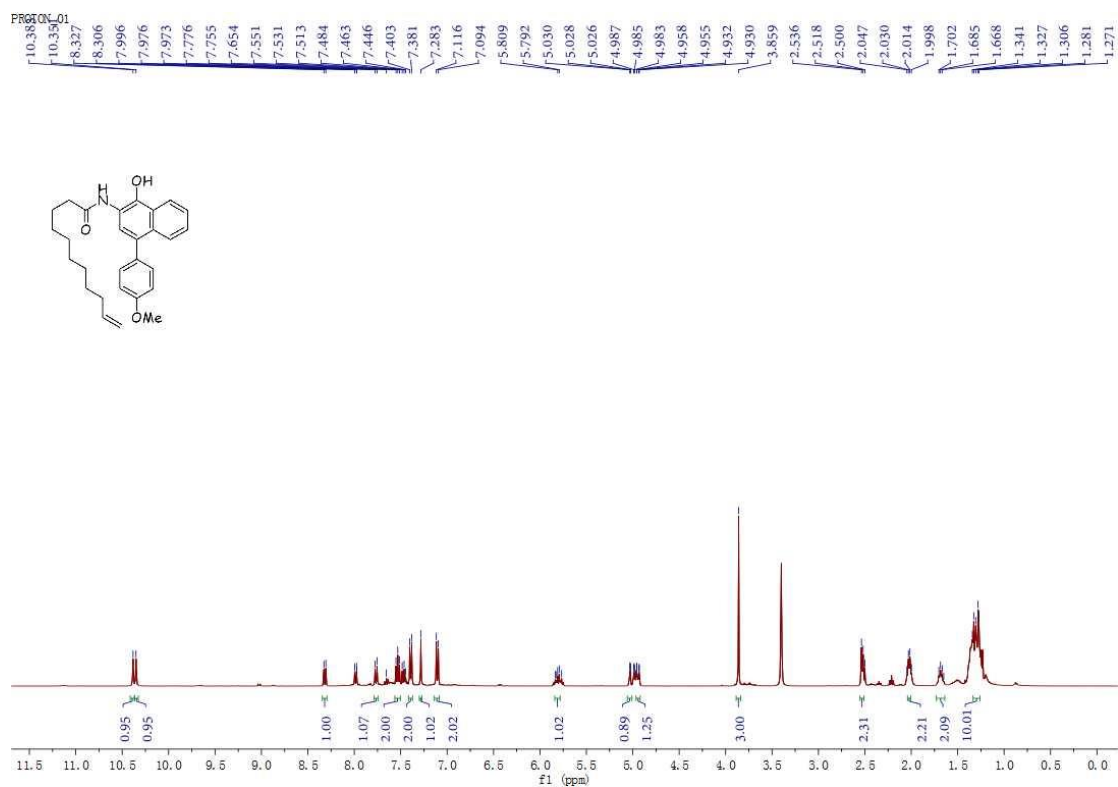
^{13}C - NMR spectrum of compound - **5d** (101 MHz, $\text{DMSO-}d_6$)



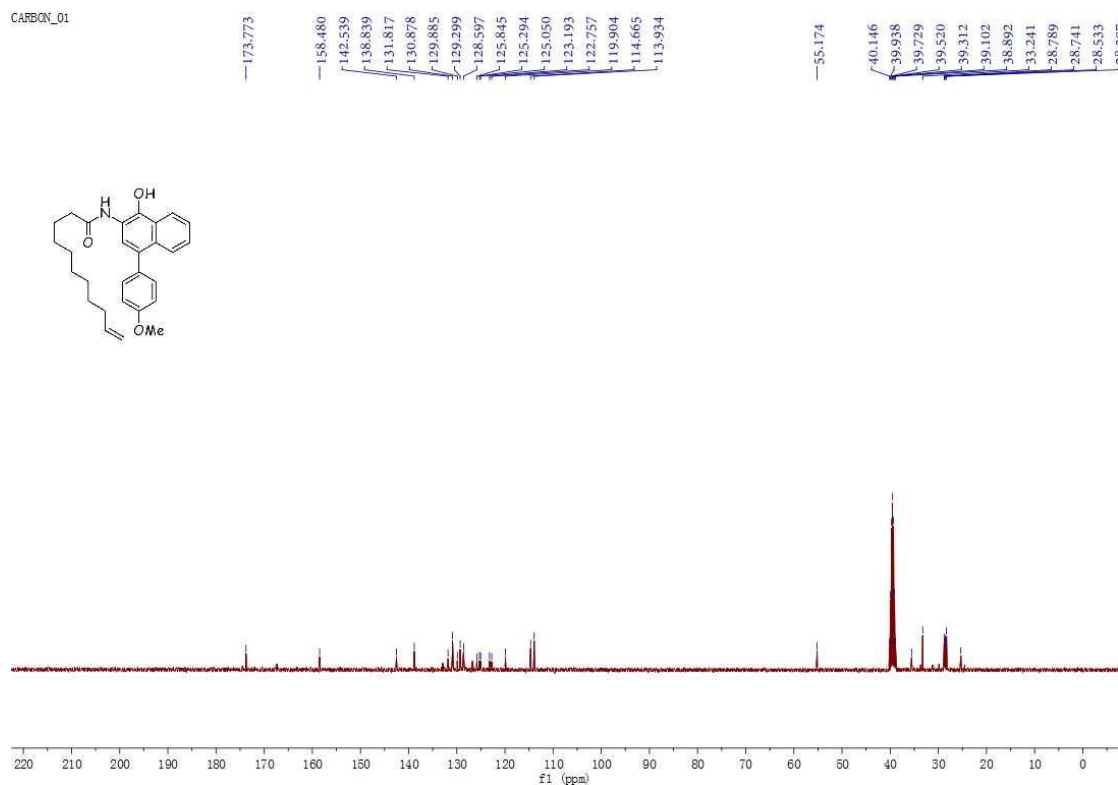
¹H - NMR spectrum of compound - **5e** (400 MHz, DMSO-d₆)



¹³C - NMR spectrum of compound - **5e** (101 MHz, DMSO-d₆)

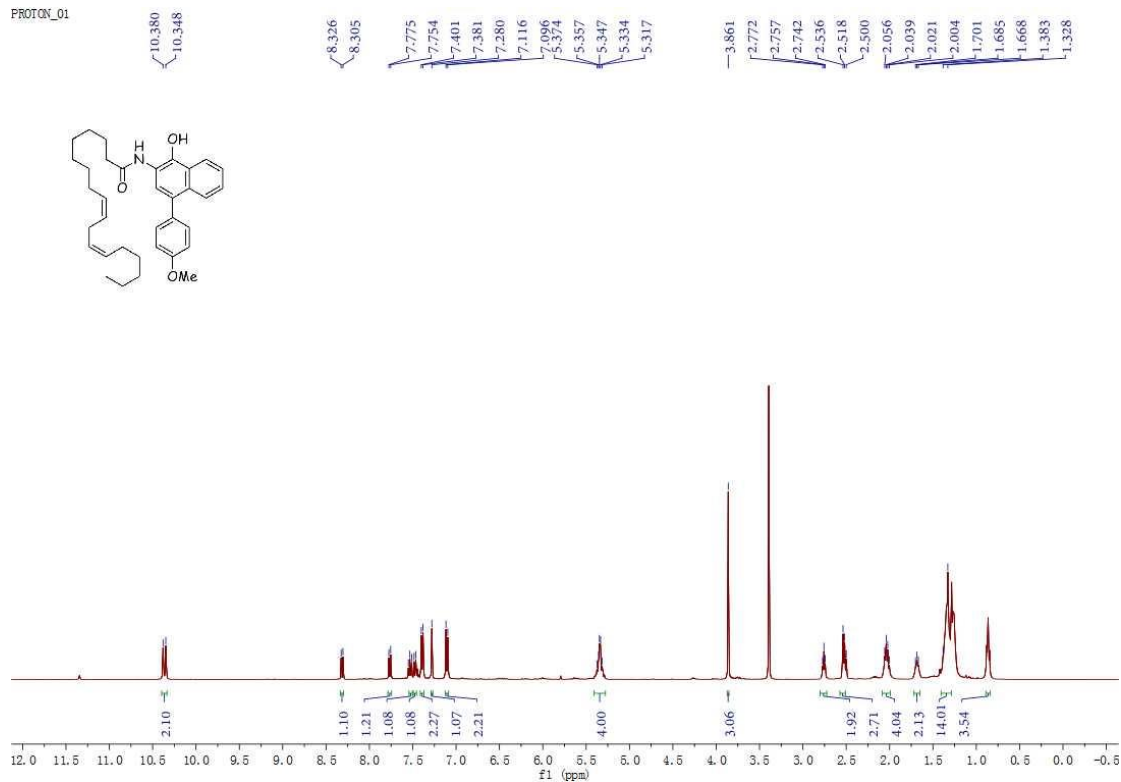


^1H - NMR spectrum of compound – **5f** (400 MHz, DMSO- d_6)



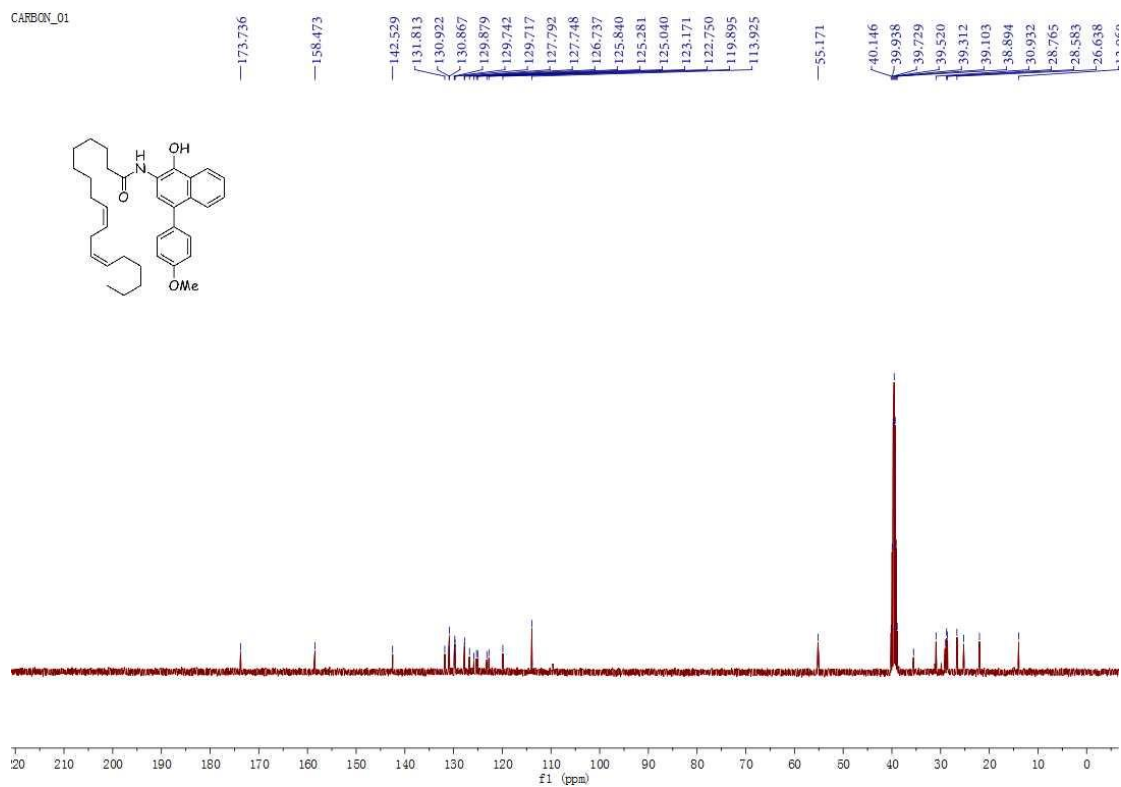
^{13}C - NMR spectrum of compound – **5f** (101 MHz, DMSO- d_6)

PROTON_01

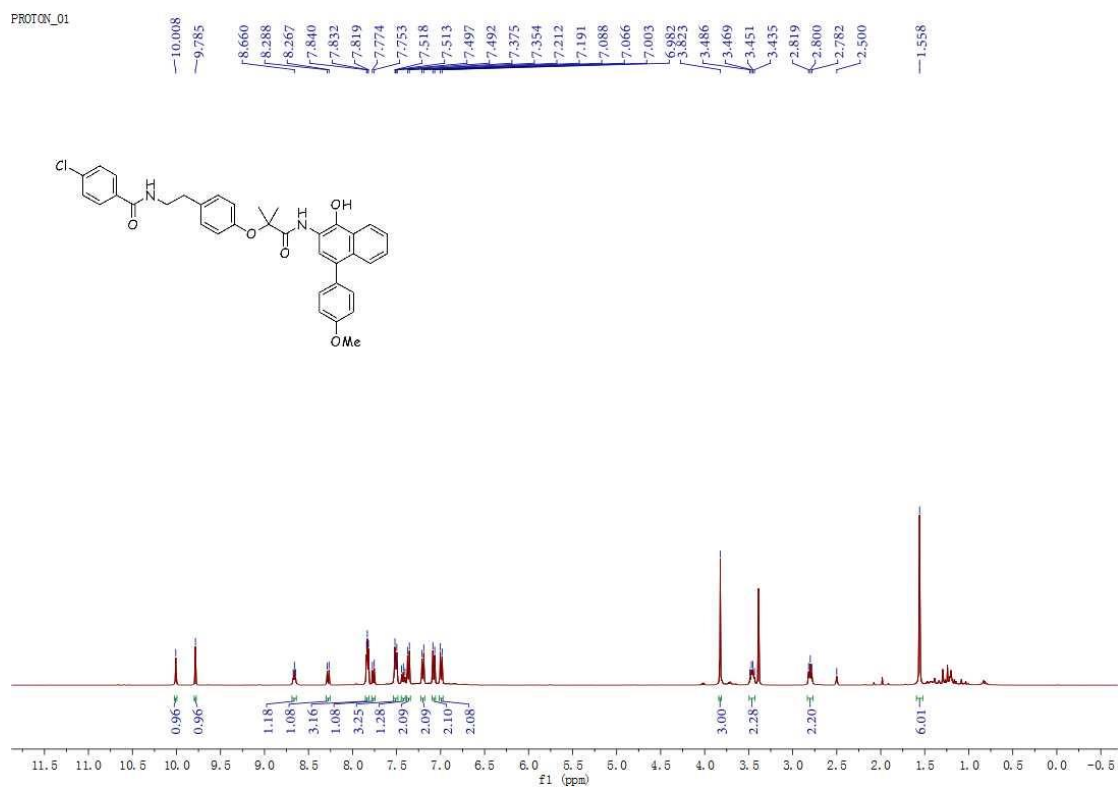


^1H - NMR spectrum of compound - **5g** (400 MHz, $\text{DMSO-}d_6$)

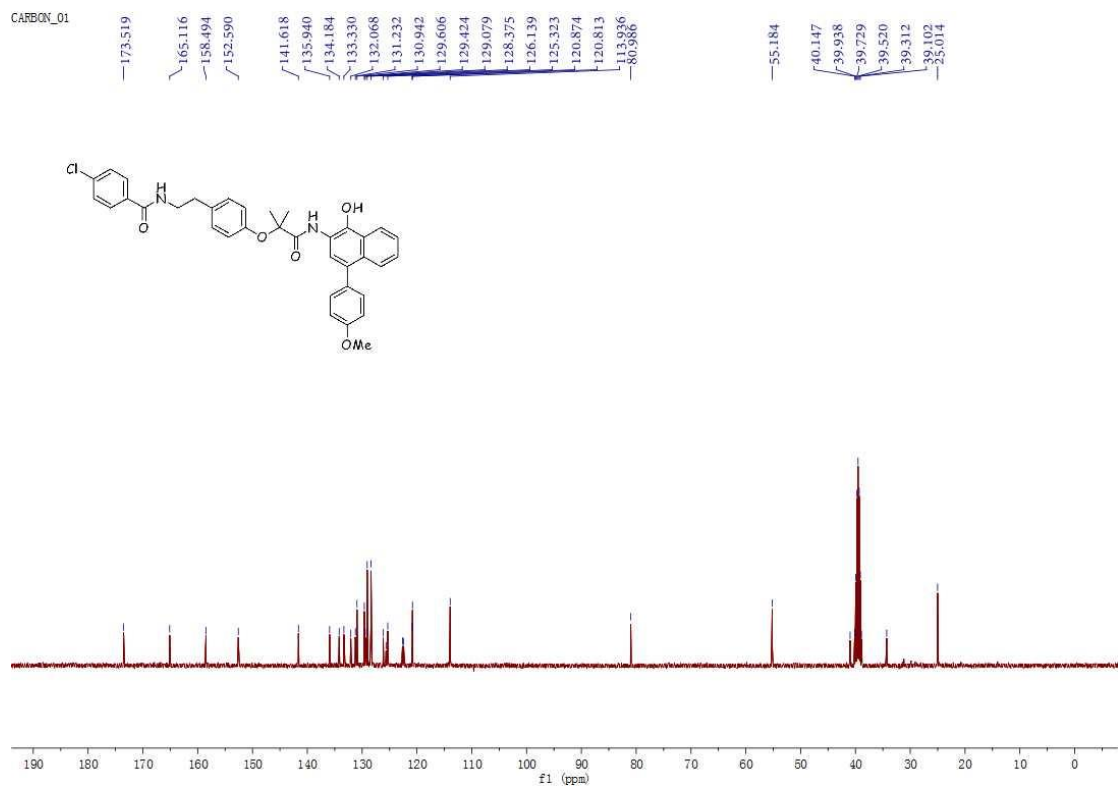
CARBON_01



^{13}C - NMR spectrum of compound - **5g** (101 MHz, $\text{DMSO-}d_6$)

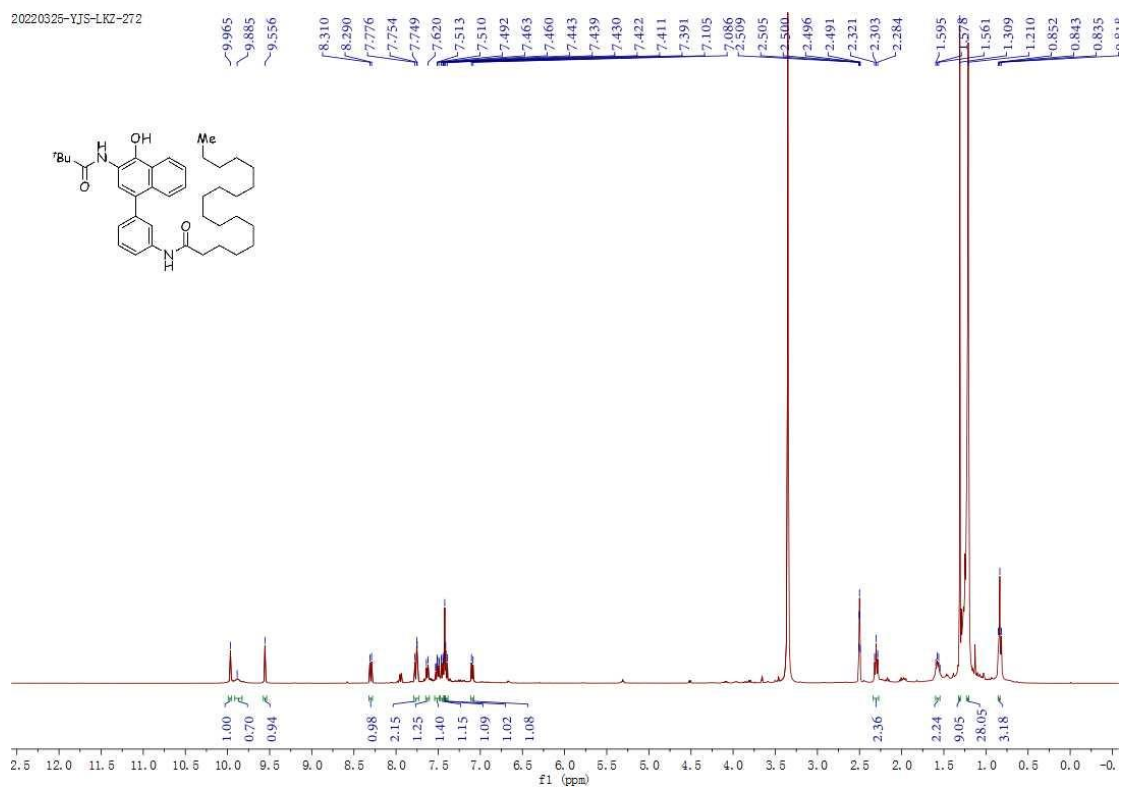


¹H – NMR spectrum of compound – **5h** (400 MHz, DMSO-*d*₆)



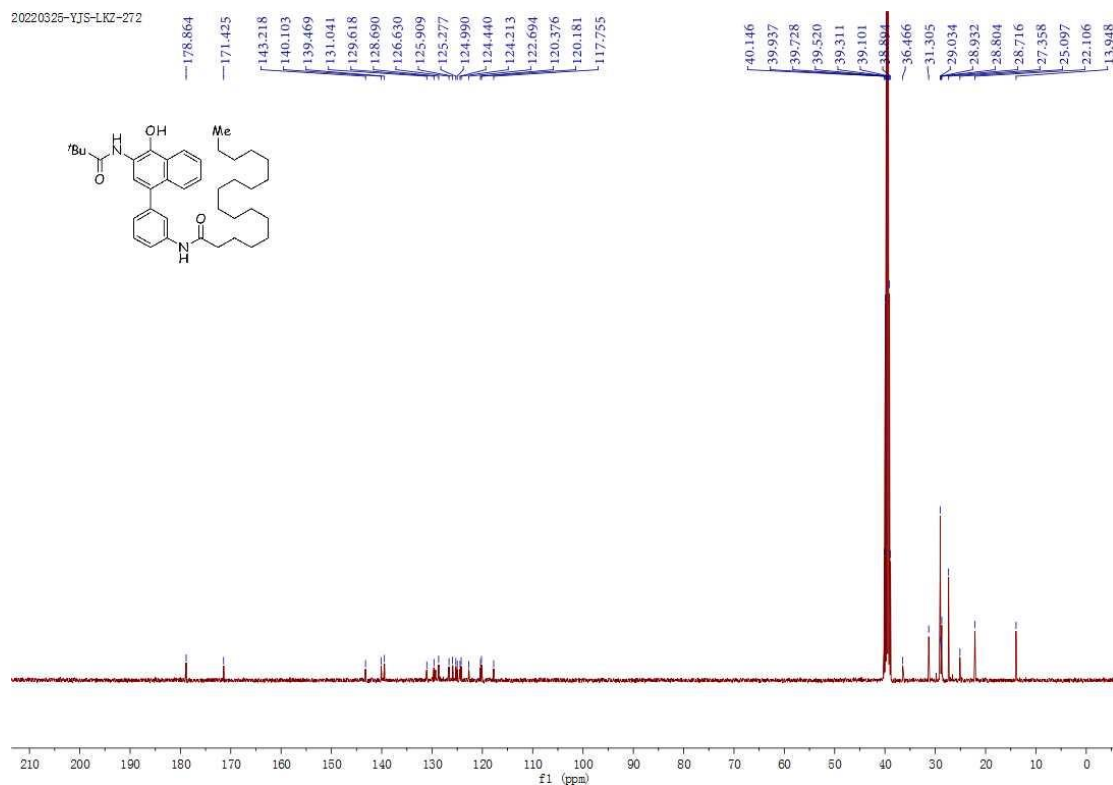
¹³C – NMR spectrum of compound – **5h** (101 MHz, DMSO-*d*₆)

20220326-YJS-LKZ-272



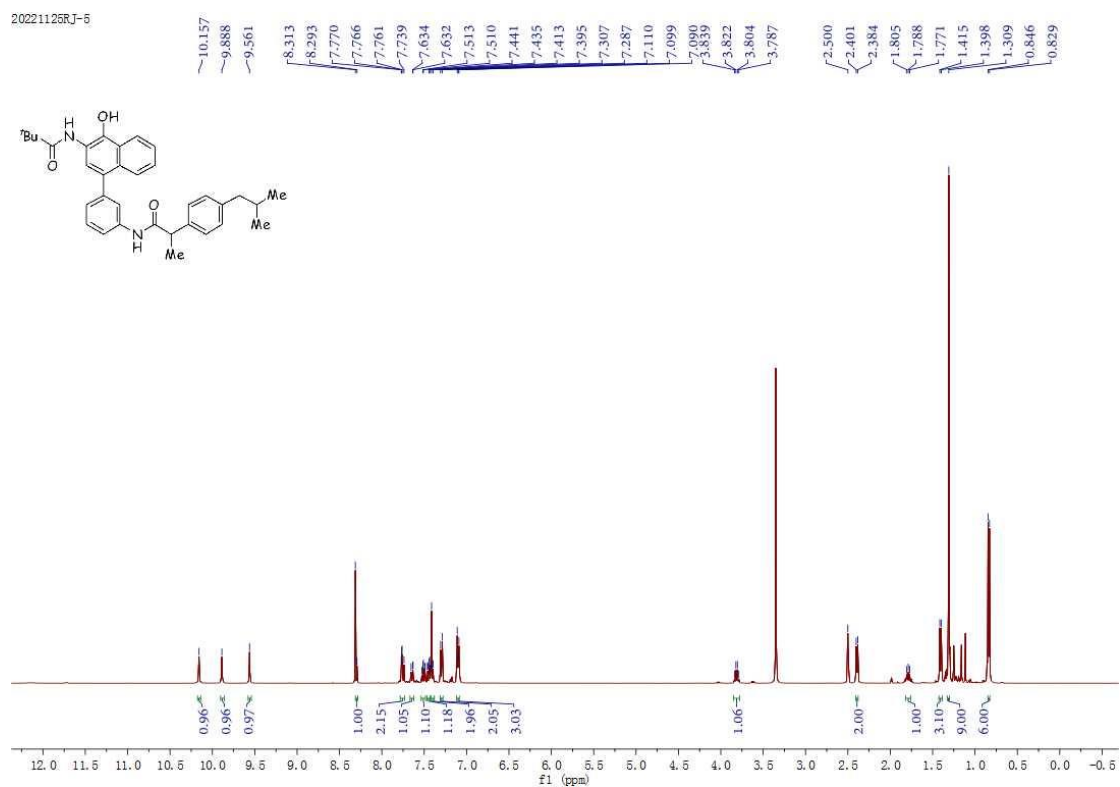
¹H – NMR spectrum of compound – **5i** (400 MHz, DMSO-*d*₆)

20220326-YJS-LKZ-272



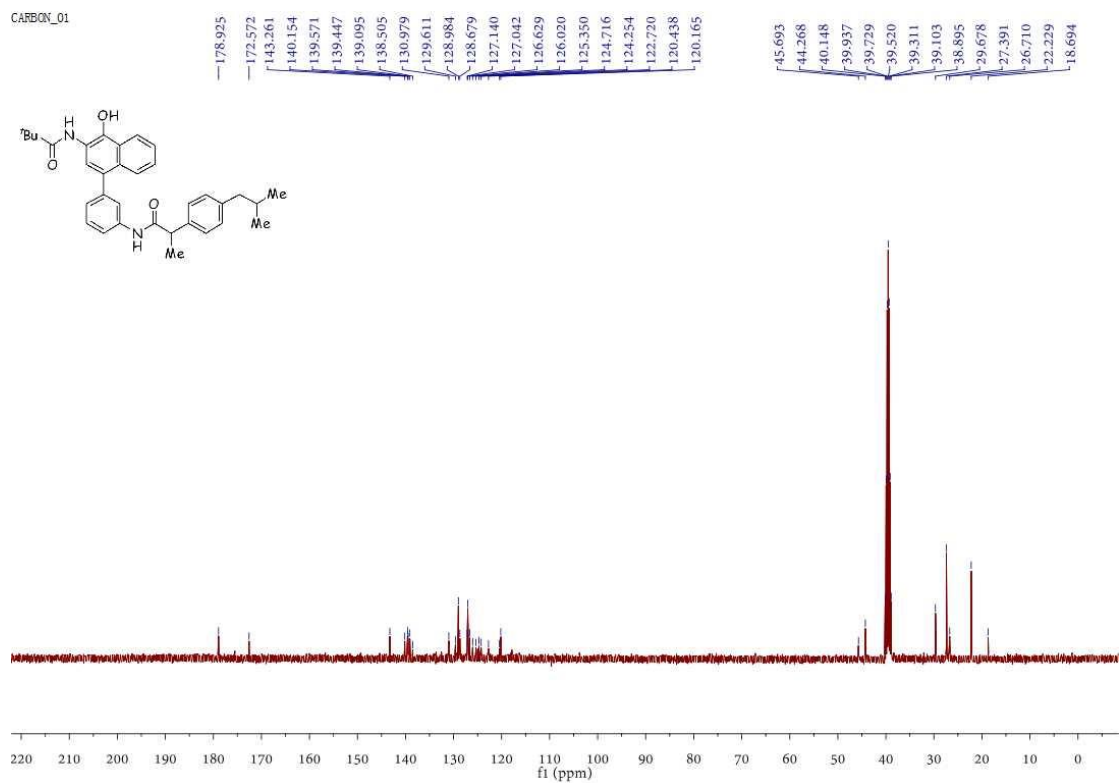
¹³C – NMR spectrum of compound – **5i** (101 MHz, DMSO-*d*₆)

20221126RJ-5

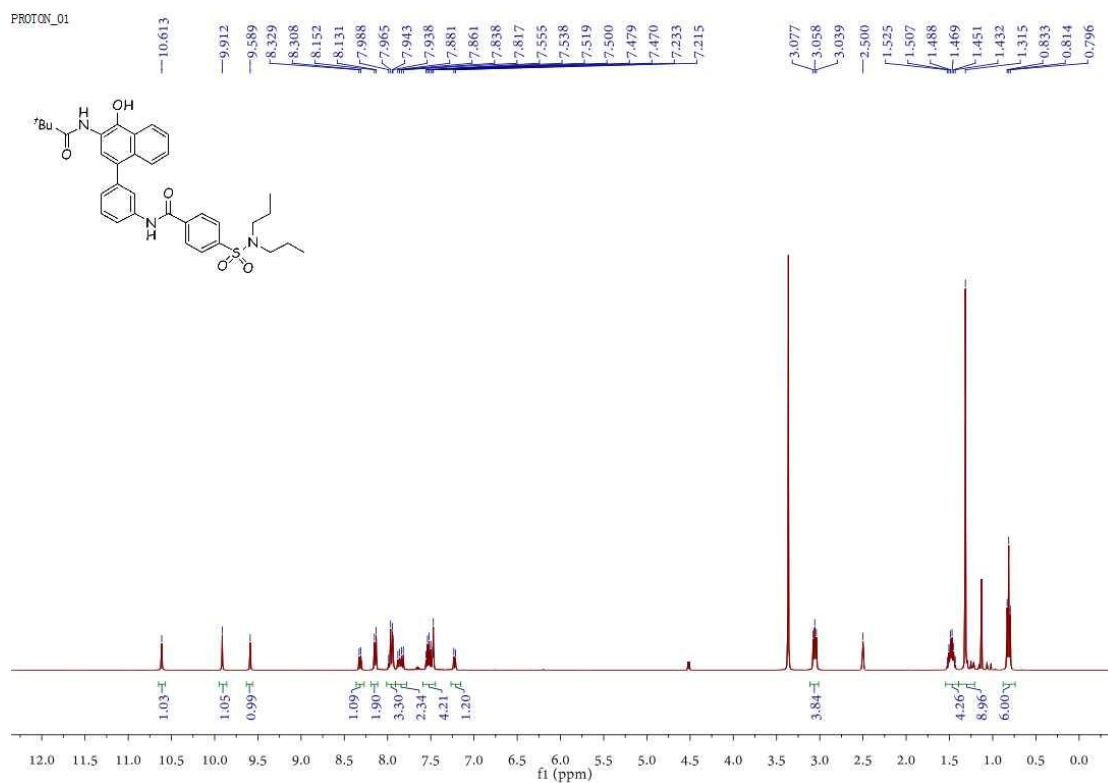


¹H – NMR spectrum of compound – 5j (400 MHz, DMSO-*d*₆)

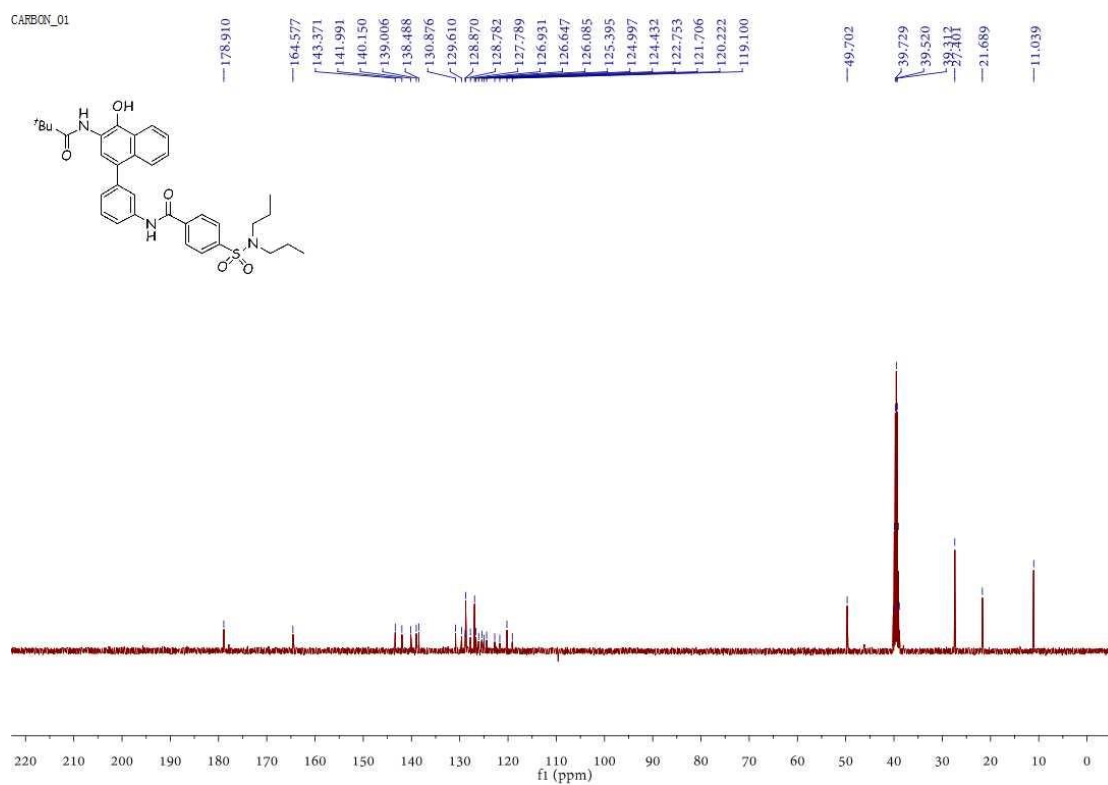
CARBON_01



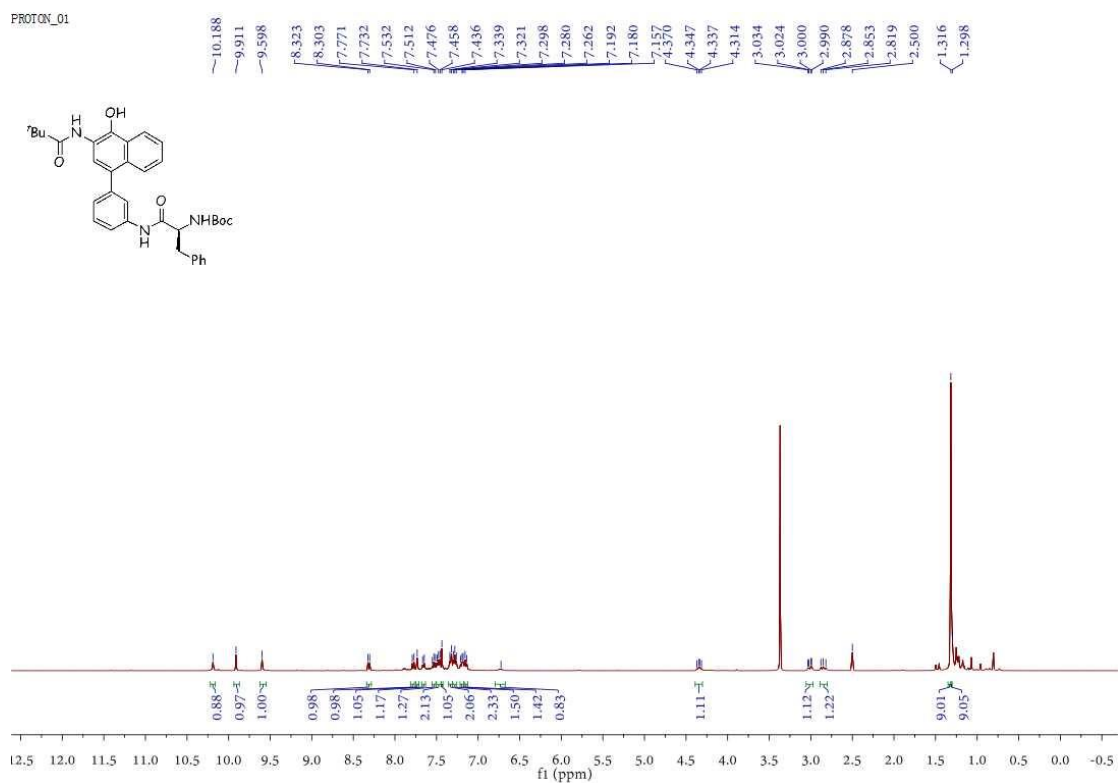
¹³C – NMR spectrum of compound – 5j (101 MHz, DMSO-*d*₆)



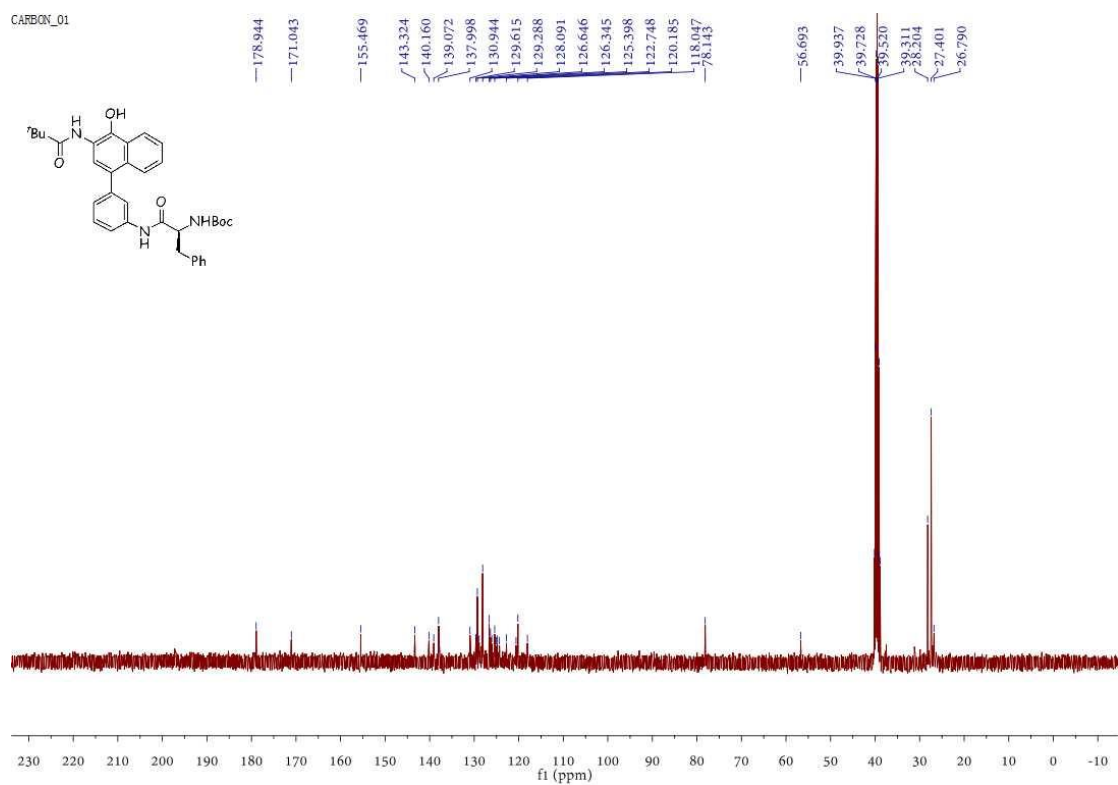
¹H – NMR spectrum of compound – **5k** (400 MHz, DMSO-*d*₆)



¹³C – NMR spectrum of compound – **5k** (101 MHz, DMSO-*d*₆)

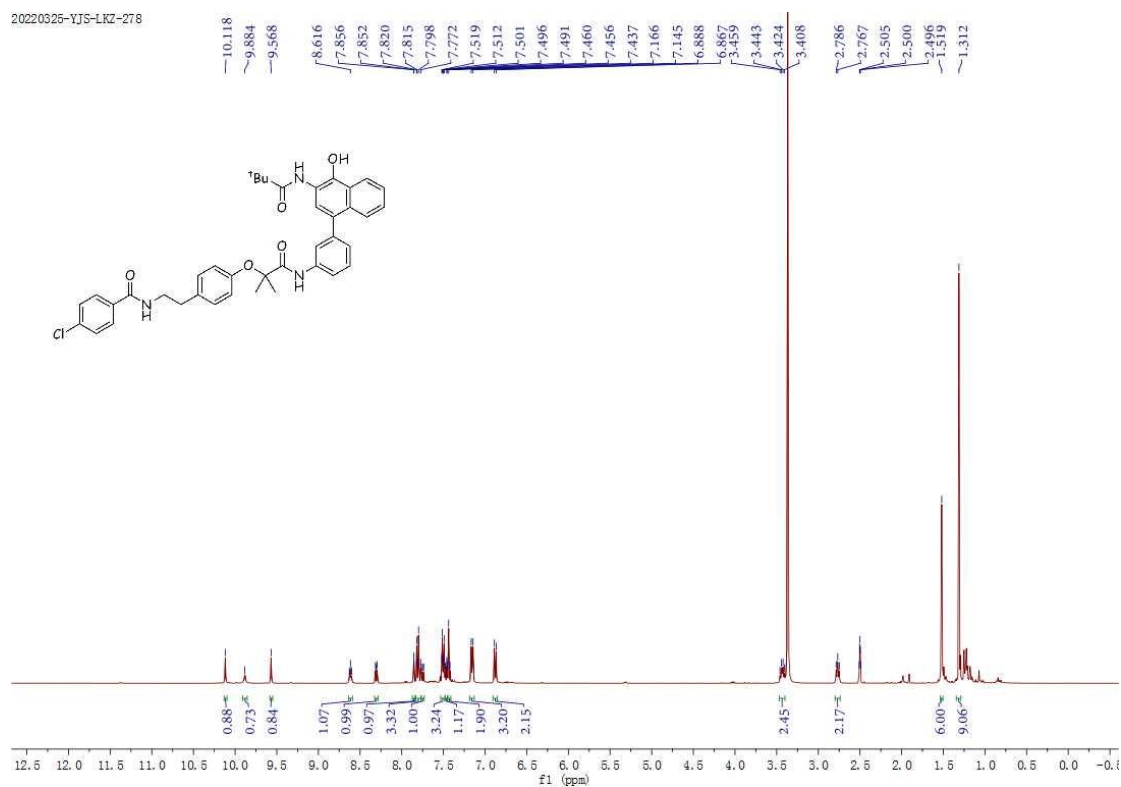


^1H - NMR spectrum of compound - **51** (400 MHz, $\text{DMSO-}d_6$)



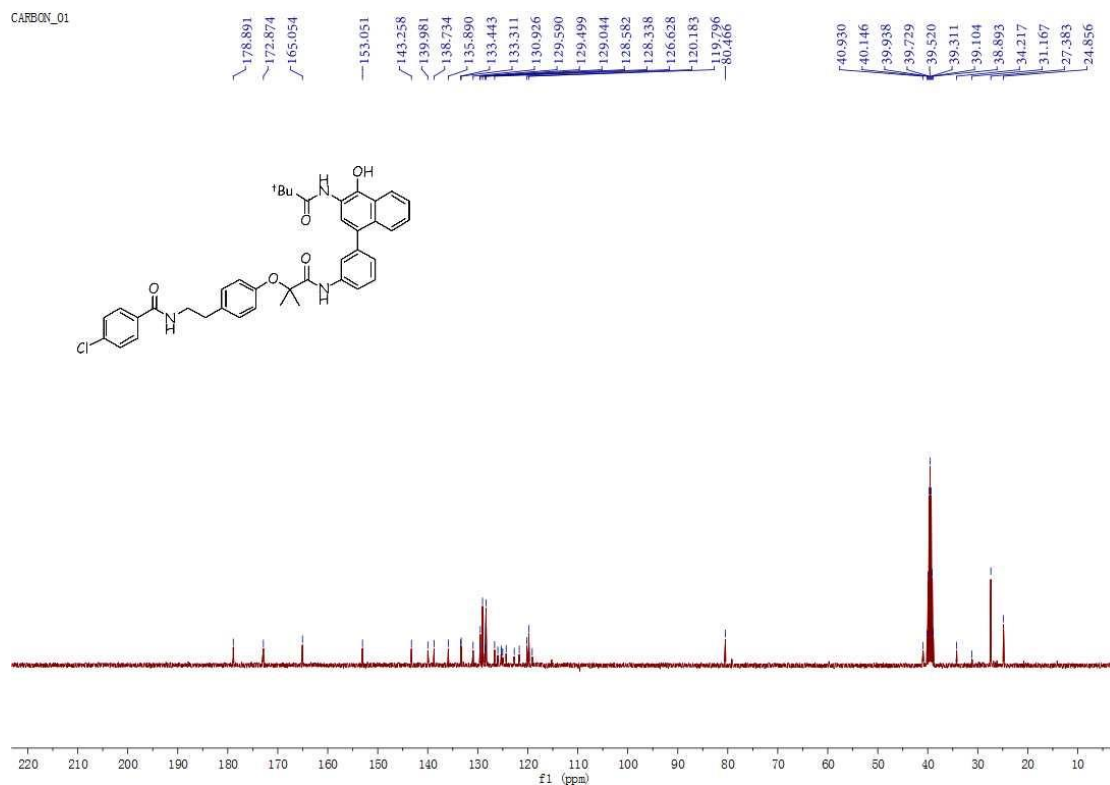
^{13}C - NMR spectrum of compound - **51** (101 MHz, $\text{DMSO-}d_6$)

20220326-YJS-LKZ-278

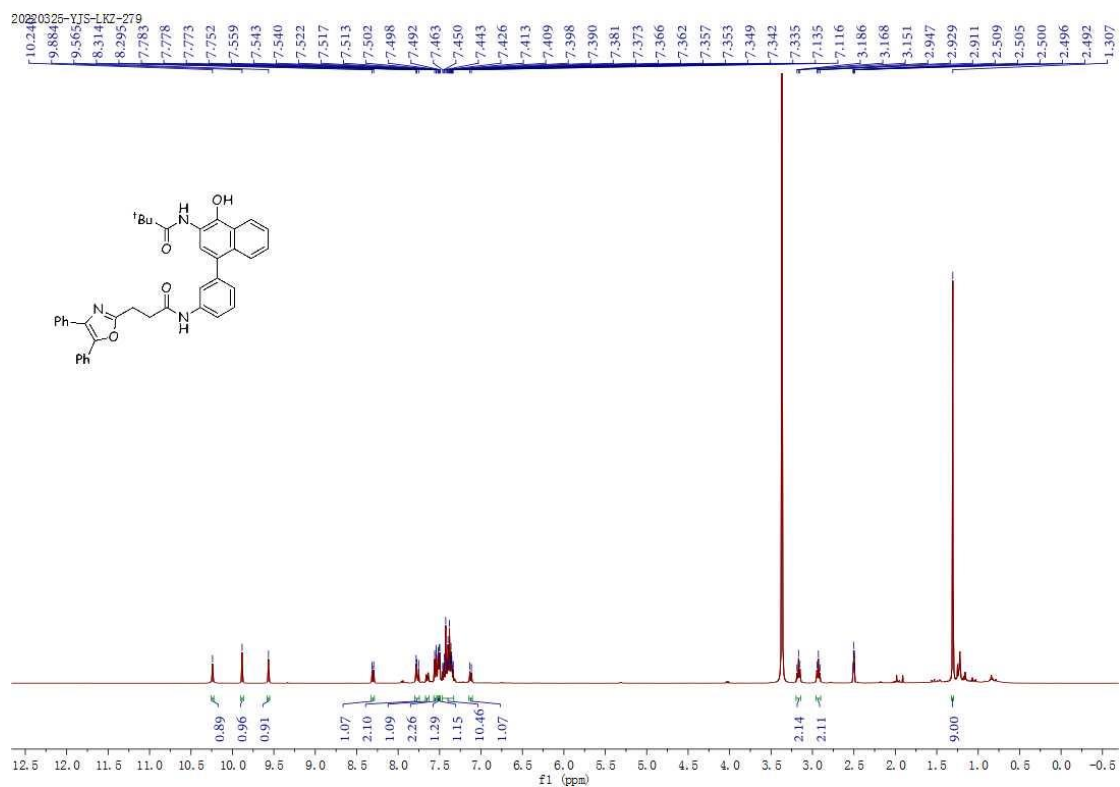


¹H – NMR spectrum of compound – 5m (400 MHz, DMSO-*d*₆)

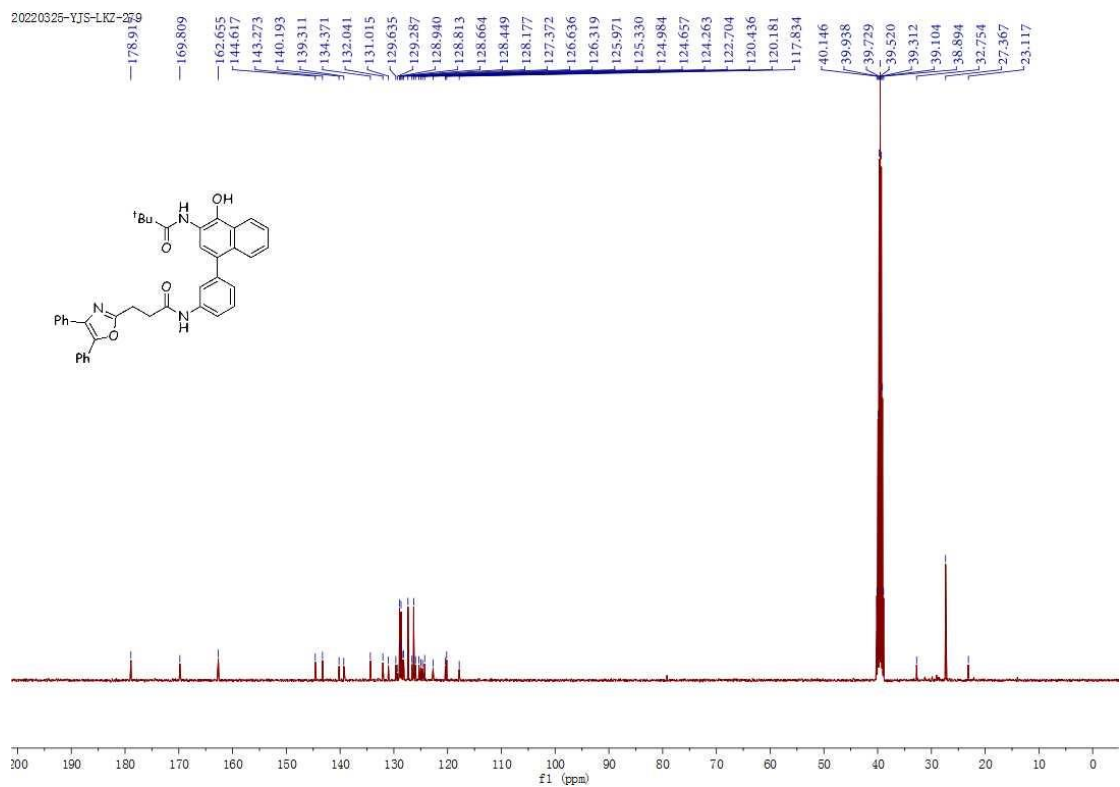
CARBON_01



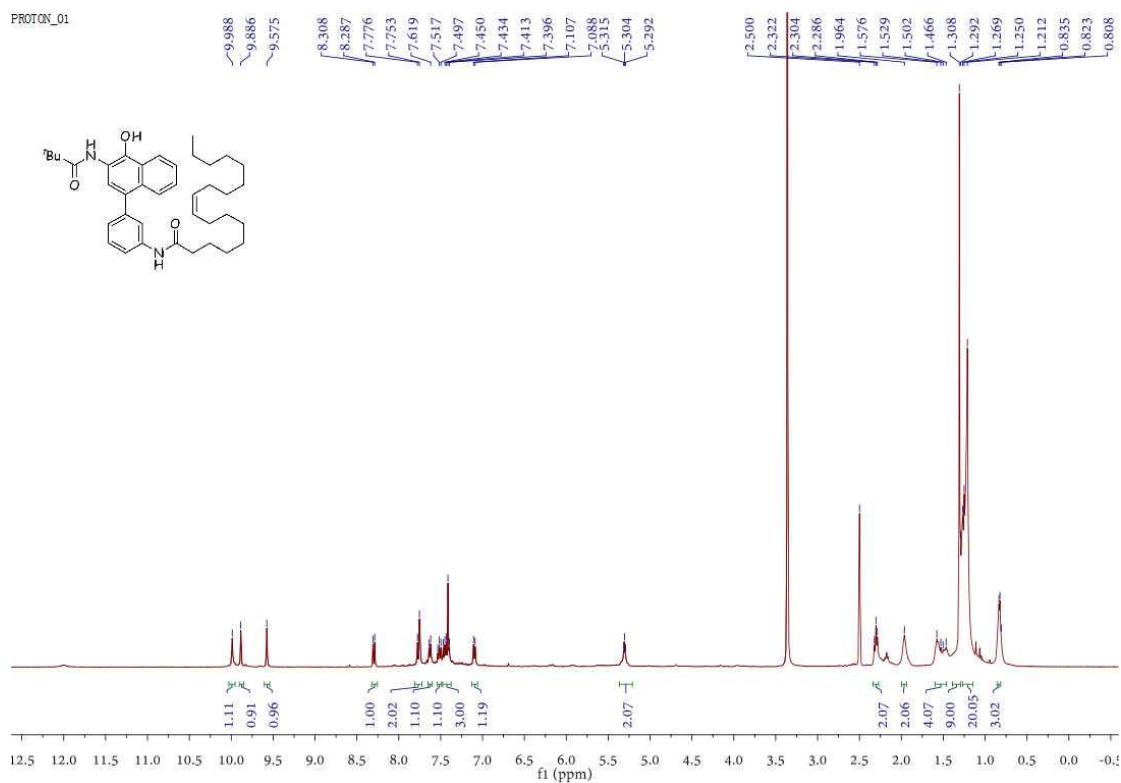
¹³C – NMR spectrum of compound – 5m (101 MHz, DMSO-*d*₆)



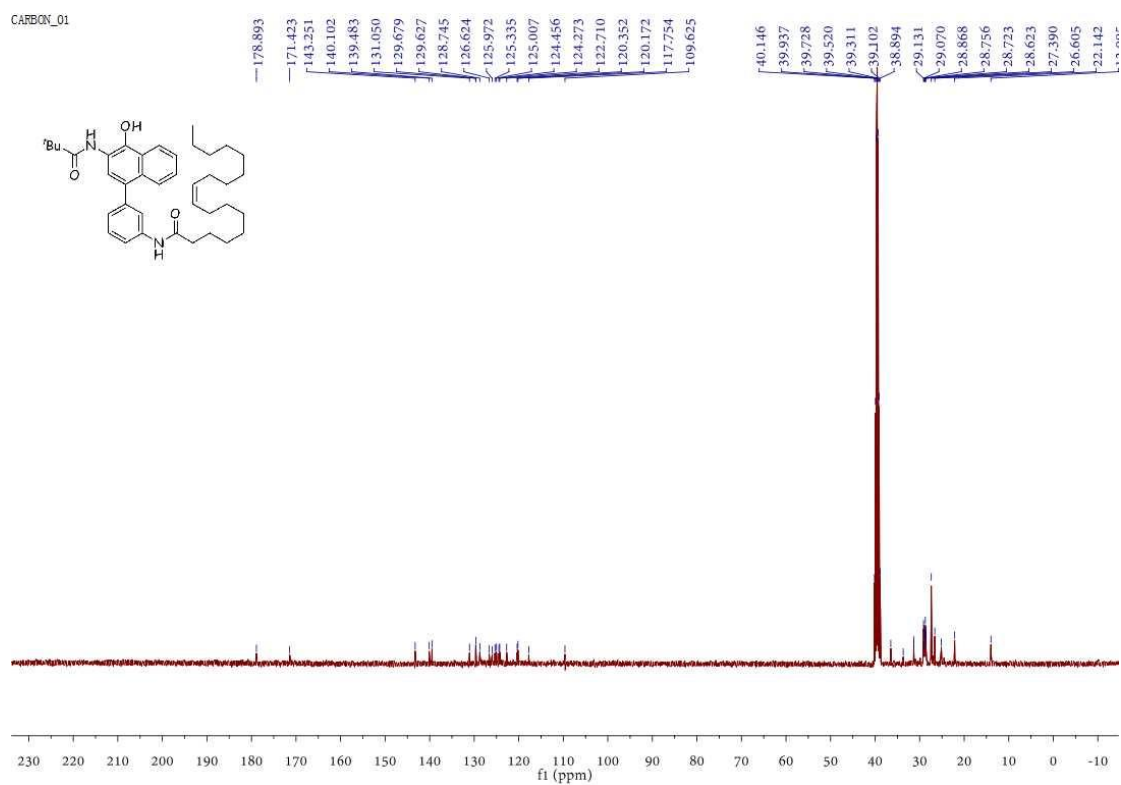
^1H – NMR spectrum of compound – **5n** (400 MHz, $\text{DMSO-}d_6$)



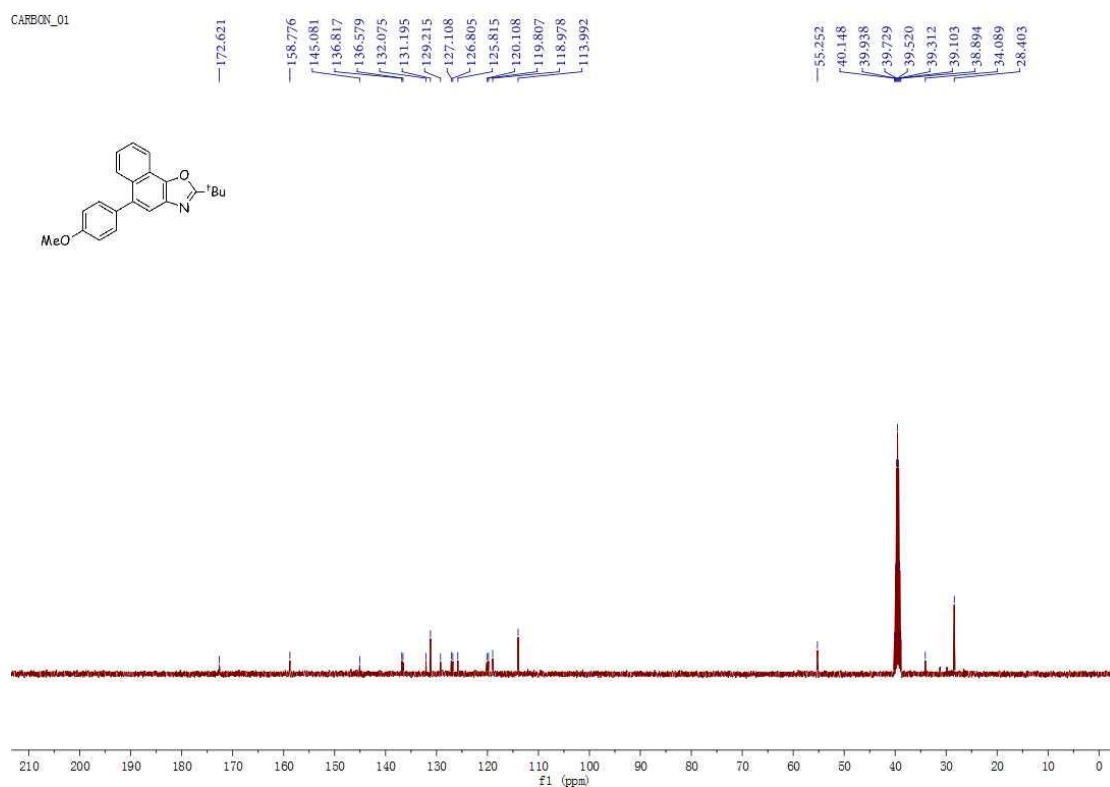
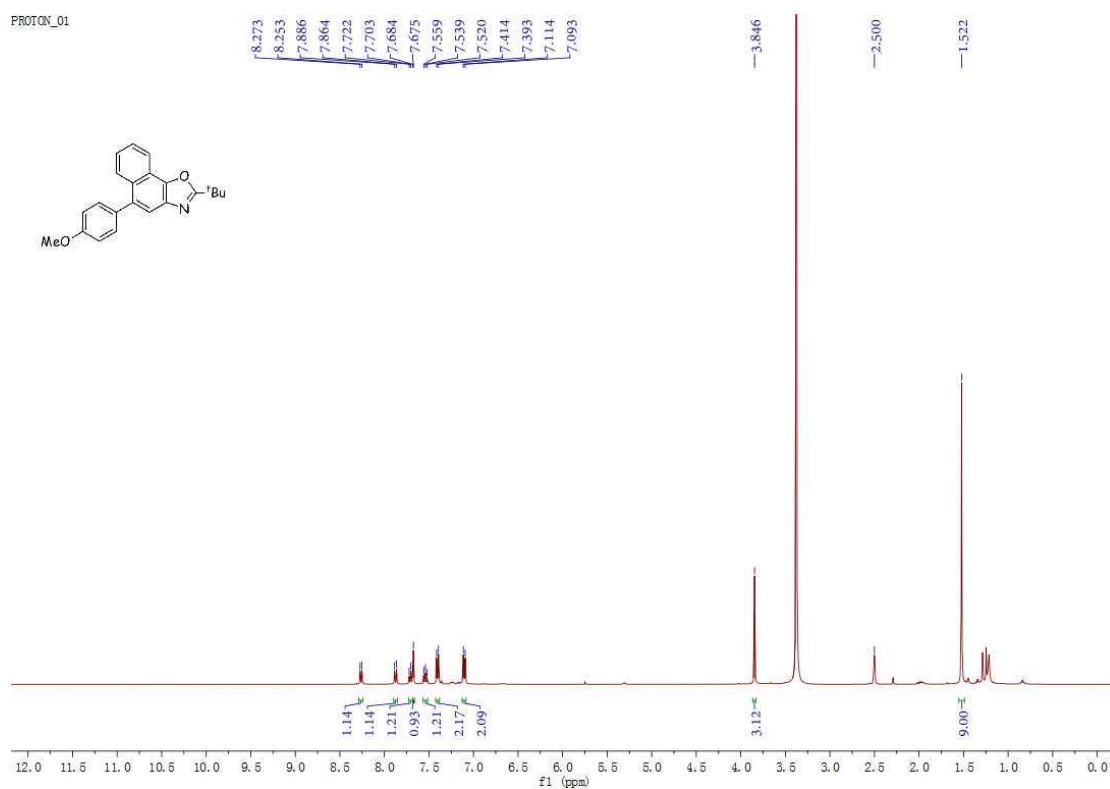
^{13}C – NMR spectrum of compound – **5n** (101 MHz, $\text{DMSO-}d_6$)

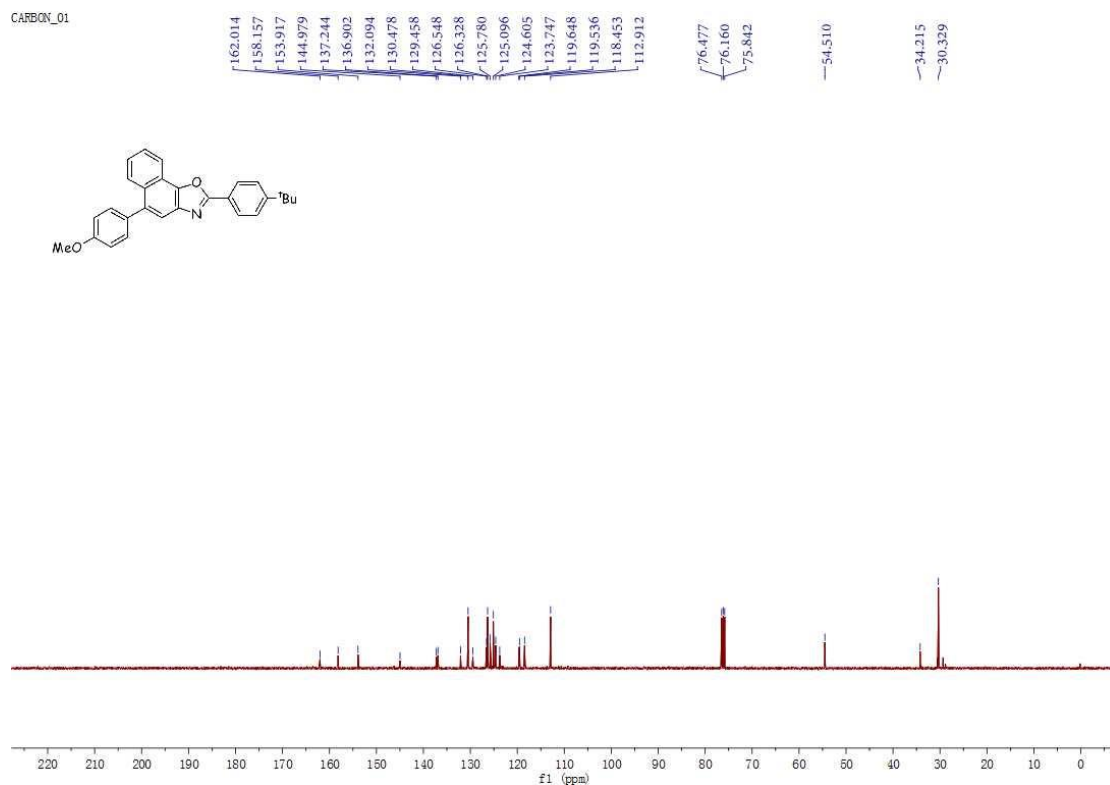
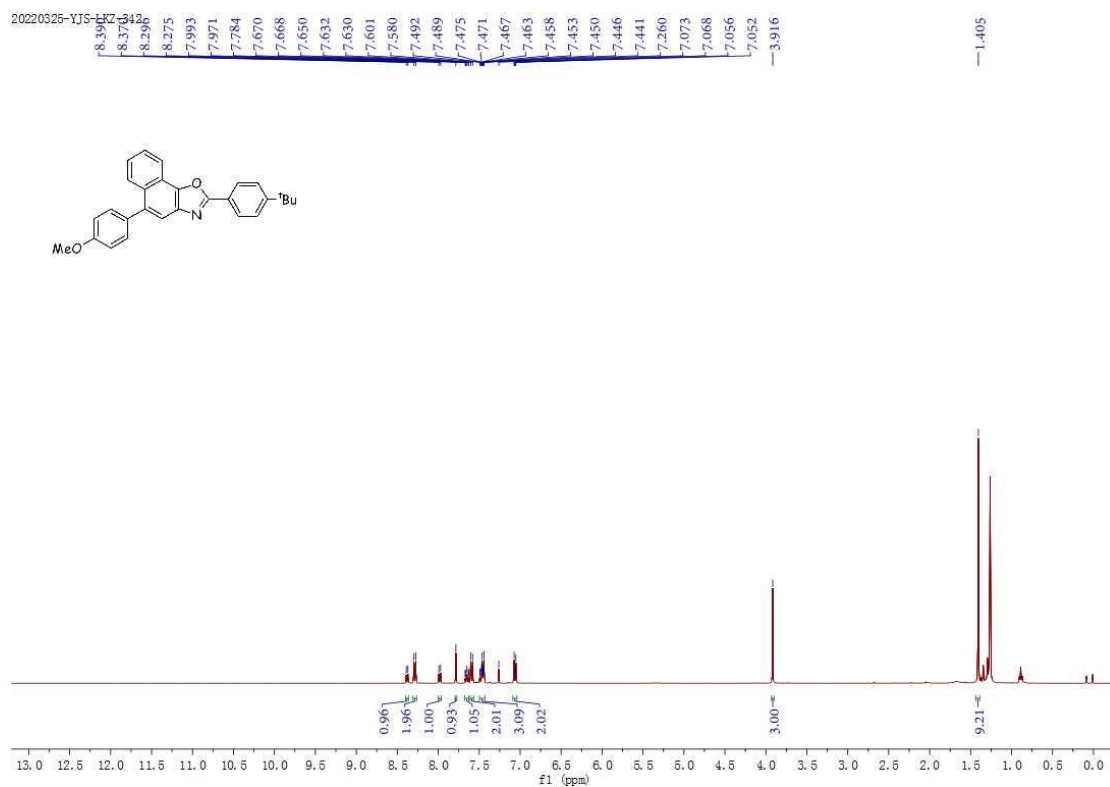


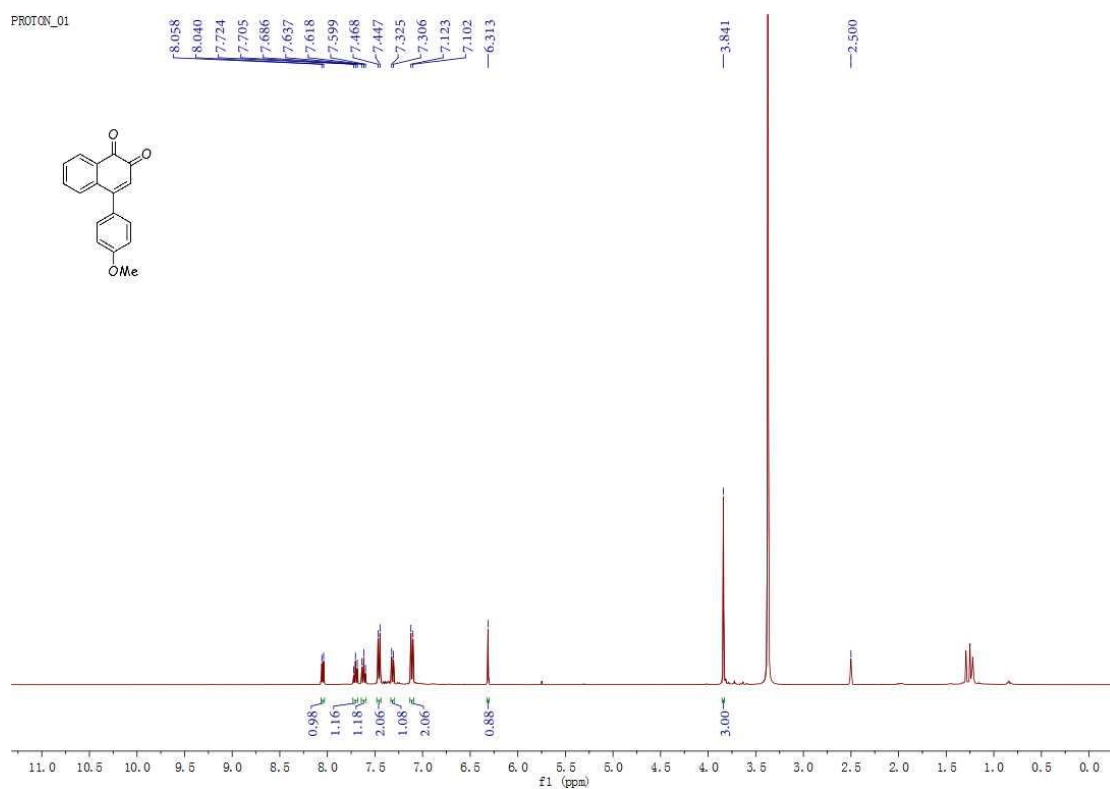
¹H – NMR spectrum of compound – 50 (400 MHz, DMSO-d₆)



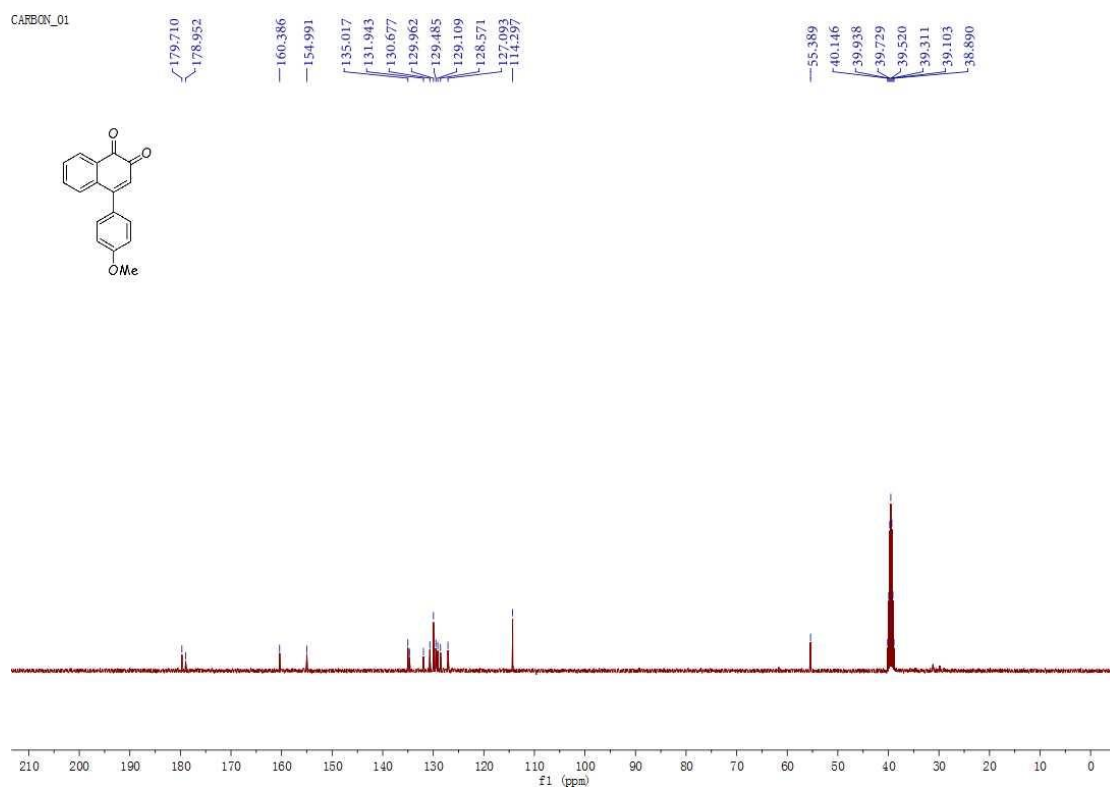
¹³C – NMR spectrum of compound – 50 (101 MHz, DMSO-d₆)



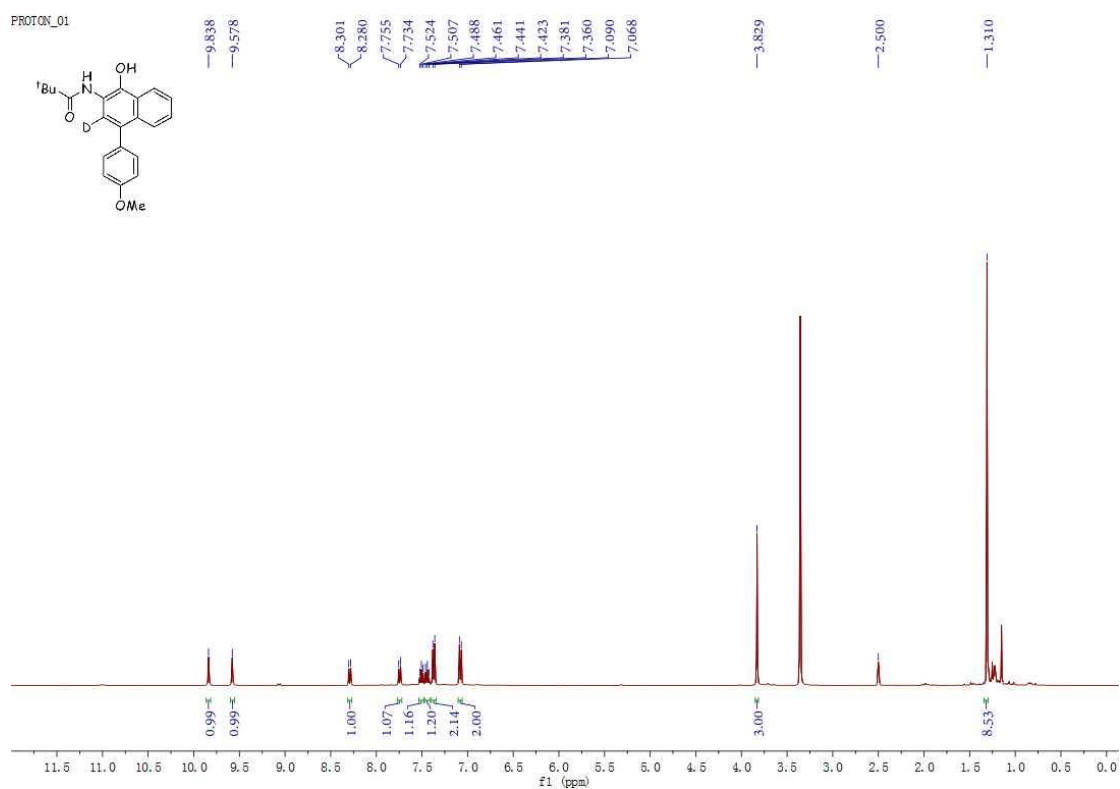




^1H - NMR spectrum of compound - **6c** (400 MHz, $\text{DMSO-}d_6$)



^{13}C - NMR spectrum of compound - **6c** (101 MHz, $\text{DMSO-}d_6$)



IX. References

1. Chen, J.; Liu, D.; Butt, N.; Li, C.; Fan, D.; Liu, Y.; Zhang, W. *Angew. Chem., Int. Ed.* **2013**, 125, 11846-11850.
2. Jia, T.; Zeng, G.; Zhang, C.; Zeng, L.; Zheng, W.; Li, S.; Zhu, H. *Chem. Commun.* **2021**, 57, 2657-2660.
3. Balti, M.; Miller, S. A.; Efrat, M. L.; Leadbeater, N. E. *RSC Adv.* **2016**, 6, 72165-72169.
4. Arias, S.; Rodríguez, R.; Quiñoá, E.; Riguera, R.; Freire, F. J. *Am. Chem. Soc.* **2018**, 140, 667-674.
5. Datta, S.; Saha, M. L.; Lahiri, N.; Yu, G.; Louie, J.; Stang, P. J. *Org. Lett.* **2018**, 20, 7020-7023.