Regioselective heterofunctionalization of alpha-aryl amides with heteroatom nucleophiles *via* electrophilic activation

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Supporting Information

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1. General Information

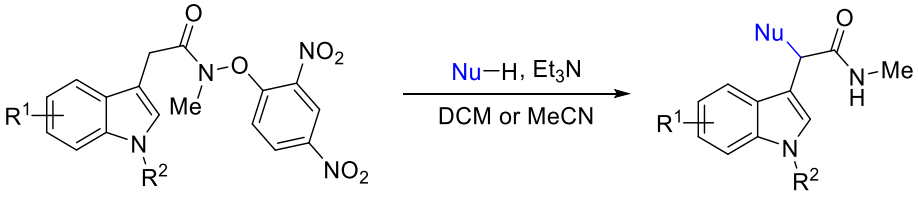
All reagents were obtained commercially and used without further purification. All solvents were dried and distilled according to standard procedures. Column chromatography was performed on silica gel (200-300 mesh). ^{1}H and ^{13}C NMR spectra were recorded in $CDCl_3$ (DMSO-d_6, CD_3OD) on a Bruker Ascend 500 spectrometer operating at 500 MHz and 126 MHz, respectively. The chemical shifts (δ) were expressed in ppm and coupling constants (J) were in Hz. The following abbreviations are used for the multiplicities: s: singlet, d: doublet, t: triplet, q: quartet, m: multiplet, br s: broad singlet for proton spectra. High-resolution mass spectra (HRMS) were recorded on a FT ICRMS 15T Bruker or Thermo Fisher Q-Exactive mass spectrometer using electrospray ionization or chemical ionization techniques. Analytical thin layer chromatography was performed on Polygram SIL G/UV_{254} plates. Visualization was accomplished with short wave UV light, or $KMnO_4$ staining solutions followed by heating.

2. Experimental Section

2.1 General procedure for the synthesis of starting materials 1a~1j

The staring materials **1a~1j** were synthesized according to the known methods [1].

2.2 General procedure for the synthesis of products 3-6

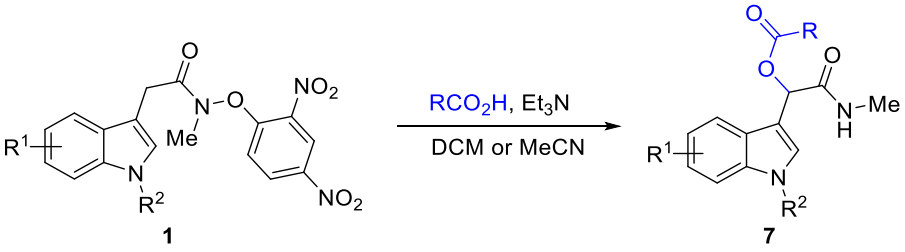


1 **3-6**

To a mixture of **1** (0.2 mmol, 1.0 equiv.) in DCM or MeCN (2.0 mL) was added amine (0.24 mmol, 1.2 equiv.) or phenol (0.24 mmol, 1.2 equiv.) or alcohol (0.4 mmol, 2.0 equiv.) and Et_3N (0.4 mmol, 2 equiv.). Then the mixture was stirred at room temperature (30 ^{o}C for alcohol) under air atmosphere for 12-18 h. After the reaction was completed, the reaction mixture was concentrated in vacuum. The residue was

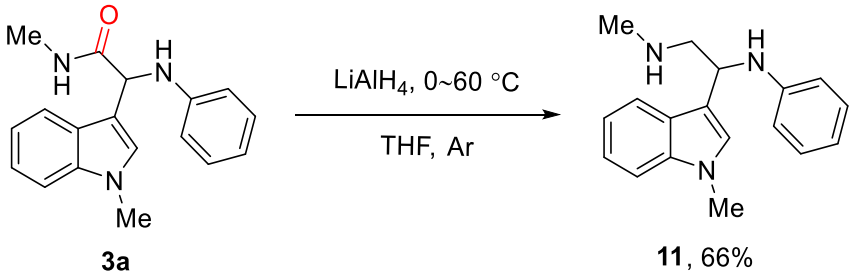
purified by flash column chromatography over silica gel using a mixture of petroleum ether and ethyl acetate as eluent to give the desired products **3-6**.

2.3 General procedure for the synthesis of products 7



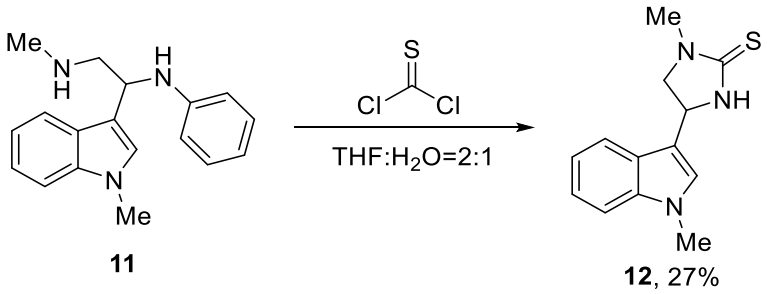
To a mixture of **1** (0.2 mmol, 1.0 equiv.) in DCM was added carboxylic acid (0.3 mmol, 1.5 equiv.) and Et_3N (0.8 mmol, 4.0 equiv.). Then the mixture was stirred at room temperature under air atmosphere for 16 h. After the reaction was completed, the reaction mixture was concentrated in vacuum. The residue was purified by flash column chromatography over silica gel using a mixture of petroleum ether and ethyl acetate as eluent to give the desired products **7**.

2.4 The experimental procedure for the synthesis of product 11



To a mixture of **3a** (0.2 mmol, 1.0 equiv.) in dry THF (2.0 mL) was added $LiAlH_4$ (2.5 M in THF, 2 mmol, 10 equiv.) at 0 ℃. Then the reaction temperature was elevated to 60 ℃ and stirred for 5 h under argon atmosphere. Upon completion as monitored by TLC, the reaction mixture was cooled to 0 ℃ and carefully quenched with H_2O. The mixture was filtered and the residue was washed with DCM. The filtrate was extracted with DCM for three times. The combined organic extracts were dried over Na_2SO_4 and concentrated in vacuum. The residue was purified by flash column chromatography over silica gel using a mixture of DCM and MeOH as eluent to give the desired product **11**.

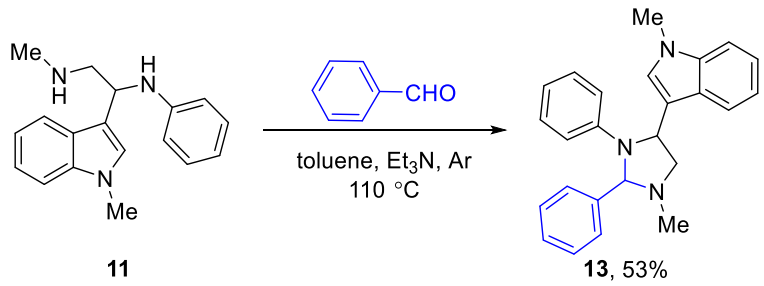
2.5 The experimental procedure for the synthesis of product 12



11 **12**, 27%

To a mixture of **11** (0.2 mmol, 1.0 equiv.) in THF (2.0 mL)/H_2O (1.0 mL) was added sulphosgene (0.6 mmol, 3.0 equiv.). Then the mixture was stirred at room temperature for 30 min under argon atmosphere. Upon completion as monitored by TLC, the reaction was concentrated in vacuum. The residue was purified by flash column chromatography over silica gel using a mixture of petroleum ether and ethyl acetate as eluent to give the desired product **12**.

2.6 The experimental procedure for the synthesis of product 13

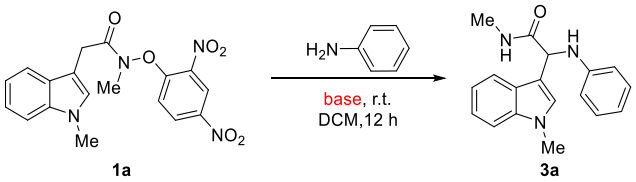


11 **13**, 53%

To a mixture of **11** (0.2 mmol, 1.0 equiv.) in toluene (2.0 mL) was added benzaldehyde (0.3 mmol, 1.5 equiv.) and Et_3N (0.2 mmol, 1.0 equiv.). The mixture was stirred at 110 ℃ for 6 h under argon atmosphere. Upon completion as monitored by TLC, the reaction was concentrated in vacuum. The residue was purified by flash column chromatography over silica gel using a mixture of petroleum ether and ethyl acetate as eluent to give the desired product **13**.

2.7 Screening of the reaction conditions

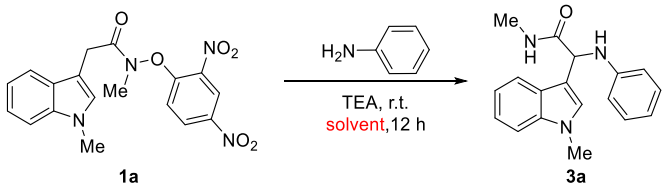
Table 1 Screening of the base[a]



entry	base	**3a** yield (%)[b]
1	Et_3N	92
2	DIPEA	30
3	DBU	90
4	KO^{t}Bu	87
5	Cs_2CO_3	41
6	K_2CO_3	47

[a] Reaction conditions: **1a** (0.1 mmol), aniline (0.2 mmol, 2 equiv.), base (0.2 mmol, 2 equiv.), acetone (1.0 mL), r.t., 12 h. [b] ^{1}H NMR yield, using 4-methylbenzophenone as the internal standard.

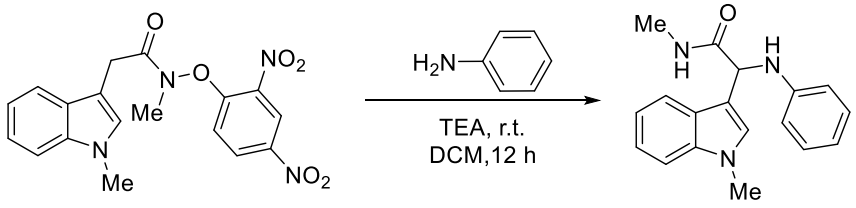
Table 2 Screening of the solvent[a]



entry	solvent	**3a** yield (%)[b]
1	CCl_4	63
2	toluene	54
3	DCM	92
4	pyridine	91
5	THF	76
6	acetonitrile	92
7	DMSO	80

[a] Reaction conditions: **1a** (0.1 mmol), aniline (0.2 mmol, 2 equiv.), TEA (0.2 mmol, 2 equiv.), solvent (1.0 mL), r.t., 12 h. [b] ^{1}H NMR yield, using 4-methylbenzophenone as the internal standard.

Table 3 Screening of the amount of Et_3N[a]

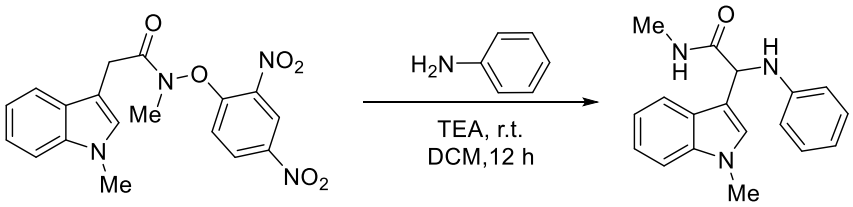


1a **3a**

entry	Et_3N (equiv.)	**3a** yield (%)[b]
1	0.5	43
2	1.0	79
3	1.5	83
4	2.0	92

[a] Reaction conditions: **1a** (0.1 mmol), aniline (0.2 mmol, 2 equiv.), TEA, DCM (1.0 mL), r.t., 12 h. [b] ^1H NMR yield, using 4-methylbenzophenone as the internal standard.

Table 4 Screening of the amount of aniline[a]



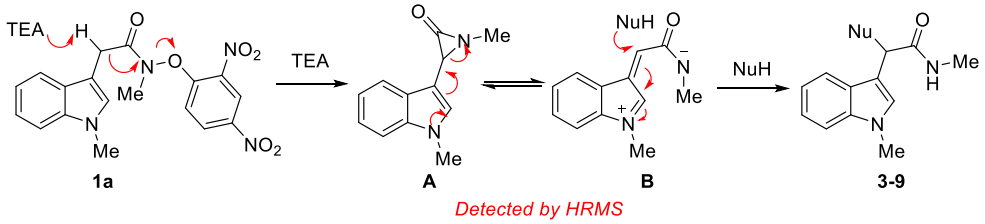
1a **3a**

entry	aniline (equiv.)	**3a** yield (%)[b]
1	1	88
2	1.2	94
3	1.4	91

[a] Reaction conditions: **1a** (0.1 mmol), aniline, TEA (0.2 mmol, 2 equiv.), DCM (1.0 mL), r.t., 12 h. [b] ^1H NMR yield, using 4-methylbenzophenone as the internal standard.

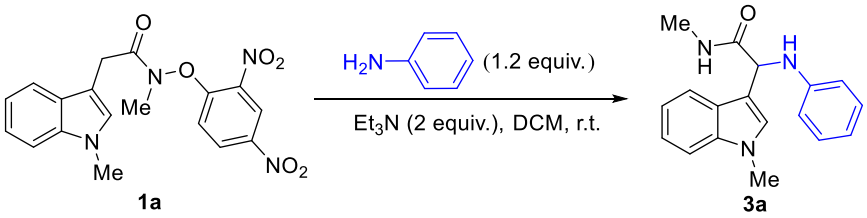
2.8 Monitoring the reaction intermediate

1) The proposed mechanism



1a **A** **B** **3-9**

2) In the presence of aniline



To a mixture of **1a** (0.2 mmol, 1.0 equiv.) in DCM (2.0 mL) was added aniline (0.24 mmol, 1.2 equiv.) and Et_3N (0.4 mmol, 2 equiv.). Then the mixture was stirred at room temperature under air atmosphere for 5 h. The reaction mixture was monitored by HRMS (ESI).

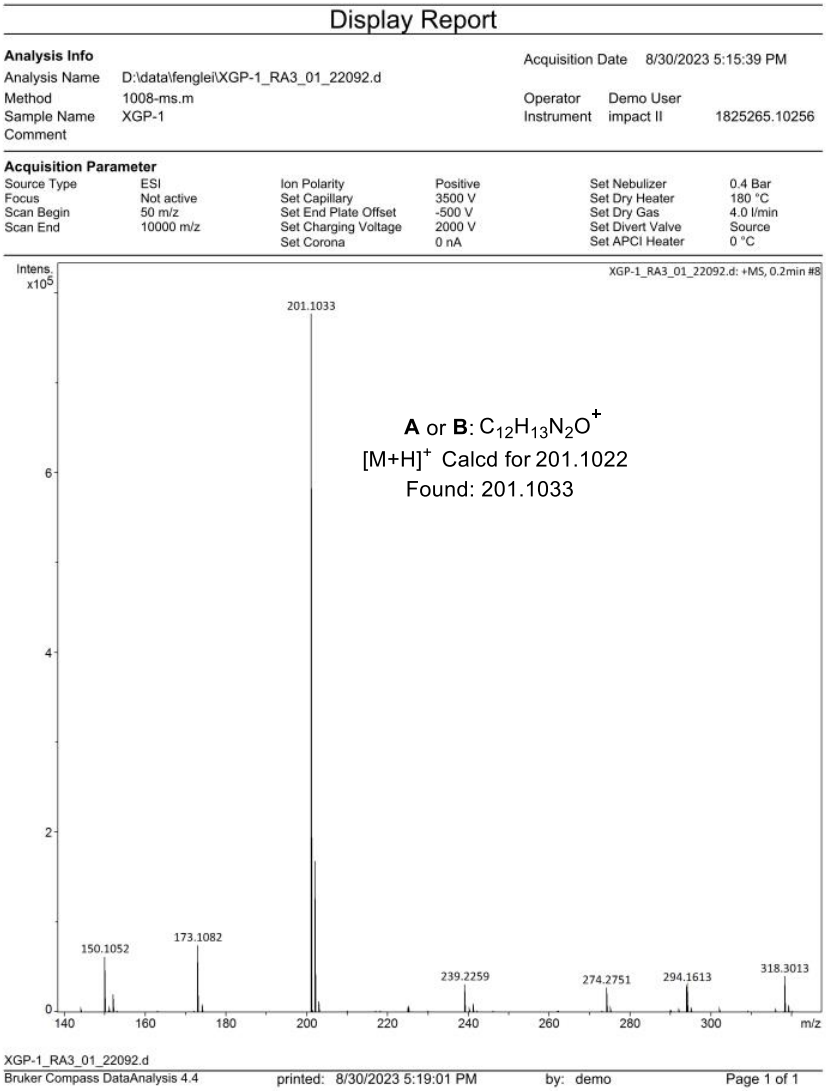
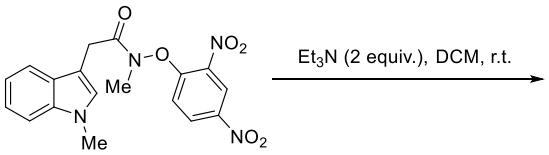


Fig.1 The HRMS (ESI) of the reaction mixture (in the presence of aniline)

3) In the absence of aniline



1a

To a mixture of **1a** (0.2 mmol, 1.0 equiv.) in DCM (2.0 mL) was added Et_3N (0.4 mmol, 2 equiv.). Then the mixture was stirred at room temperature under air atmosphere for 5 h. The reaction mixture was monitored by HRMS (ESI).

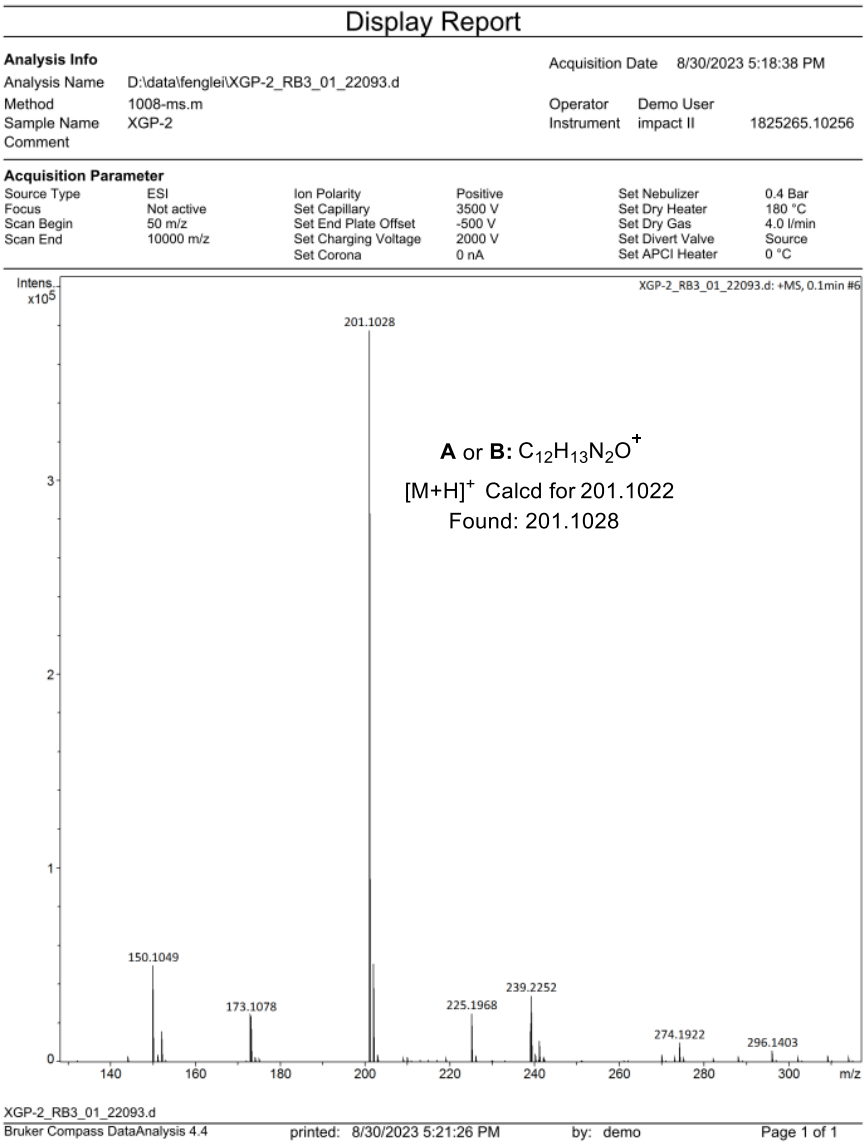
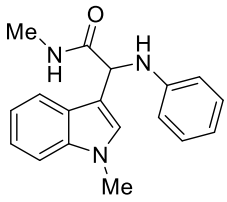


Fig.2 The HRMS (ESI) of the reaction mixture (in the absence of aniline)

3. Single crystal X-ray structure of compound 3a

Crystal Data for $C_{18}H_{19}N_3O$ (M =293.36 g/mol): monoclinic, space group $P2_1/c$ (no. 14), a = 10.2374(7) Å, b = 9.6937(5) Å, c = 17.3010(12) Å, β = 105.152(7)°, V = 1657.24(19) Å^3, Z = 4, T = 293.15 K, μ(MoKα) = 0.075 mm^{-1}, *Dcalc* = 1.176 g/cm^3, 7402 reflections measured (4.122° ≤ 2Θ ≤ 58.588°), 3858 unique (R_{int} = 0.0271, R_{sigma} = 0.0428) which were used in all calculations. The final R_1 was 0.0633 (I > 2σ(I)) and wR_2 was 0.1867 (all data).



3a, CCDC 2279999

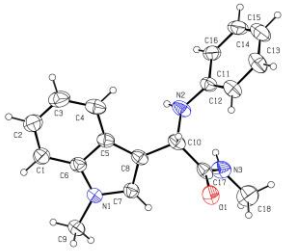


Table 1 Crystal data and structure refinement for 3a.

Identification code	**3a**
Empirical formula	$C_{18}H_{19}N_3O$
Formula weight	293.36
Temperature/K	293.15
Crystal system	monoclinic
Space group	$P2_1/c$
a/Å	10.2374(7)
b/Å	9.6937(5)
c/Å	17.3010(12)
α/°	90
β/°	105.152(7)
γ/°	90
Volume/Å^3	1657.24(19)
Z	4
ρ_{calc}g/cm^3	1.176
μ/mm^{-1}	0.075
F(000)	624.0
Crystal size/mm^3	0.48 × 0.38 × 0.32
Radiation	MoKα (λ = 0.71073)
2Θ range for data collection/°	4.122 to 58.588
Index ranges	-8 ≤ h ≤ 13, -13 ≤ k ≤ 9, -23 ≤ l ≤ 21
Reflections collected	7402
Independent reflections	3858 [R_{int} = 0.0271, R_{sigma} = 0.0428]
Data/restraints/parameters	3858/0/201
Goodness-of-fit on F^2	1.023

Final R indexes [I>=2σ (I)]	R_1 = 0.0633, wR_2 = 0.1478
Final R indexes [all data]	R_1 = 0.1162, wR_2 = 0.1867
Largest diff. peak/hole / e Å^{-3}	0.19/-0.19

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

Atom	*x*	*y*	*z*	U(eq)
O1	-258.7(18)	7920.7(15)	1948.9(9)	71.1(5)
N1	-107.4(18)	8366.6(18)	4548.9(10)	58.6(5)
N2	2108(2)	10532.3(18)	2937.2(12)	69.4(6)
N3	-491(2)	10230.2(19)	1922.6(13)	74.8(6)
C1	1798(3)	8315(2)	5796.1(15)	72.4(7)
C2	3170(3)	8462(3)	6063.2(18)	91.4(9)
C3	3964(3)	8728(3)	5544(2)	93.5(10)
C4	3406(3)	8906(2)	4738.0(18)	75.6(7)
C5	2001(2)	8781(2)	4439.4(14)	57.8(6)
C6	1221(2)	8459(2)	4976.8(14)	57.6(6)
C7	-183(2)	8640(2)	3765.2(13)	58.6(6)
C8	1070(2)	8905(2)	3664.7(13)	56.6(6)
C9	-1232(2)	7970(3)	4861.8(14)	71.8(7)
C10	1417(2)	9219(2)	2890.6(13)	58.8(6)
C11	2852(2)	10913(2)	2408.2(13)	57.6(6)
C12	3051(2)	10041(3)	1815.1(15)	70.5(7)
C13	3865(3)	10434(3)	1328.6(17)	88.5(9)
C14	4470(3)	11687(4)	1413(2)	99.4(10)
C15	4256(3)	12584(3)	1984.8(19)	89.1(9)
C16	3460(2)	12208(2)	2483.3(15)	69.6(7)
C17	154(2)	9078(2)	2201.3(14)	58.4(6)
C18	-1724(3)	10246(3)	1284.1(19)	102.2(10)

Table 3 Anisotropic Displacement Parameters ($Å^2×10^3$) for 3a. The Anisotropic displacement factor exponent takes the form: $-2\pi^2[h^2a^{*2}U_{11}+2hka^*b^*U_{12}+\ldots]$.

Atom	U_{11}	U_{22}	U_{33}	U_{23}	U_{13}	U_{12}
O1	105.1(13)	45.6(8)	66.5(10)	-6.6(7)	29.4(9)	-4.7(8)
N1	58.3(11)	62.6(11)	57.4(11)	4.2(9)	19.4(9)	-8.1(8)
N2	89.3(15)	48.1(10)	83.5(14)	-7.7(9)	45.0(12)	-14.8(9)
N3	83.2(15)	43.8(10)	95.0(15)	3.8(10)	19.0(12)	-2.1(9)
C1	78.5(17)	63.8(14)	69.1(16)	11.7(12)	8.9(13)	-13.0(12)
C2	86(2)	81.7(18)	91(2)	24.1(15)	-4.4(17)	-16.9(15)
C3	64.0(17)	83.9(19)	117(3)	25.5(17)	-4.5(17)	-9.7(14)
C4	63.3(16)	57.2(14)	109(2)	8.5(14)	28.4(15)	-4.6(12)
C5	57.7(13)	42.5(11)	73.6(15)	3.1(10)	18.0(11)	-3.4(9)
C6	59.6(14)	46.4(11)	66.2(14)	3.0(10)	15.2(11)	-6.6(9)
C7	62.4(14)	57.3(13)	58.1(13)	-2.5(10)	19.2(11)	-6.0(10)
C8	65.2(14)	42.3(11)	69.6(14)	-1.6(10)	30.5(11)	-3.8(10)
C9	72.6(16)	80.0(16)	69.1(15)	0.9(12)	29.7(12)	-16.4(13)
C10	70.7(14)	41.8(11)	72.8(15)	-2.6(10)	34.8(12)	-3.2(10)
C11	51.3(12)	51.6(12)	70.4(14)	11.3(11)	17.1(11)	-0.9(9)
C12	77.4(16)	60.1(14)	83.4(17)	10.4(13)	37.6(13)	2.7(12)
C13	88(2)	97(2)	94(2)	26.6(16)	47.9(16)	13.3(16)
C14	74.5(19)	116(3)	115(3)	47(2)	38.5(18)	-2.6(18)
C15	62.7(16)	87(2)	109(2)	32.3(18)	6.7(16)	-24.8(14)
C16	57.8(14)	65.9(14)	76.7(15)	14.8(12)	2.6(12)	-11.4(11)
C17	81.3(16)	37.3(11)	68.7(14)	2.1(10)	41.1(12)	-1.2(10)
C18	112(2)	67.9(18)	115(2)	14.7(17)	8(2)	1.1(16)

Table 4 Bond Lengths for 3a.

Atom	Atom	Length/Å	Atom	Atom	Length/Å
O1	C17	1.237(2)	C4	C5	1.401(3)
N1	C6	1.370(3)	C5	C6	1.410(3)
N1	C7	1.364(3)	C5	C8	1.432(3)
N1	C9	1.447(3)	C7	C8	1.363(3)
N2	C10	1.448(3)	C8	C10	1.504(3)
N2	C11	1.385(3)	C10	C17	1.519(3)
N3	C17	1.323(3)	C11	C12	1.385(3)
N3	C18	1.444(3)	C11	C16	1.393(3)
C1	C2	1.366(4)	C12	C13	1.383(3)
C1	C6	1.393(3)	C13	C14	1.354(4)
C2	C3	1.385(4)	C14	C15	1.377(4)
C3	C4	1.372(4)	C15	C16	1.381(4)

Table 5 Bond Angles for 3a.

Atom	Atom	Atom	Angle/°	Atom	Atom	Atom	Angle/°
C6	N1	C9	126.13(19)	C7	C8	C5	106.42(19)
C7	N1	C6	108.35(18)	C7	C8	C10	126.9(2)
C7	N1	C9	125.44(19)	N2	C10	C8	110.72(18)
C11	N2	C10	122.82(18)	N2	C10	C17	115.21(18)
C17	N3	C18	122.8(2)	C8	C10	C17	109.28(18)
C2	C1	C6	117.3(3)	N2	C11	C12	122.7(2)
C1	C2	C3	121.7(3)	N2	C11	C16	119.2(2)
C4	C3	C2	121.6(3)	C12	C11	C16	118.0(2)
C3	C4	C5	118.5(3)	C13	C12	C11	120.8(2)
C4	C5	C6	118.7(2)	C14	C13	C12	120.8(3)
C4	C5	C8	134.9(2)	C13	C14	C15	119.3(3)
C6	C5	C8	106.44(19)	C14	C15	C16	120.9(3)
N1	C6	C1	129.8(2)	C15	C16	C11	120.1(3)
N1	C6	C5	108.13(19)	O1	C17	N3	123.0(2)
C1	C6	C5	122.0(2)	O1	C17	C10	120.06(19)
C8	C7	N1	110.7(2)	N3	C17	C10	116.86(19)
C5	C8	C10	126.6(2)				

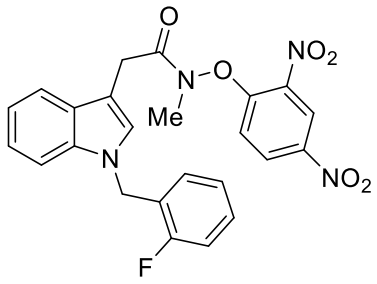
Table 6 Torsion Angles for 3a.

A	B	C	D	Angle/°	A	B	C	D	Angle/°
N1	C7	C8	C5	0.4(2)	C7	N1	C6	C5	-0.9(2)
N1	C7	C8	C10	178.53(18)	C7	C8	C10	N2	123.1(2)
N2	C10	C17	O1	156.83(19)	C7	C8	C10	C17	-4.8(3)
N2	C10	C17	N3	-26.2(3)	C8	C5	C6	N1	1.1(2)
N2	C11	C12	C13	-176.3(2)	C8	C5	C6	C1	-177.5(2)
N2	C11	C16	C15	177.1(2)	C8	C10	C17	O1	-77.8(2)
C1	C2	C3	C4	2.4(5)	C8	C10	C17	N3	99.2(2)
C2	C1	C6	N1	180.0(2)	C9	N1	C6	C1	-5.7(4)
C2	C1	C6	C5	-1.7(3)	C9	N1	C6	C5	175.9(2)
C2	C3	C4	C5	-1.5(4)	C9	N1	C7	C8	-176.5(2)
C3	C4	C5	C6	-0.8(3)	C10	N2	C11	C12	-3.3(3)
C3	C4	C5	C8	179.2(2)	C10	N2	C11	C16	178.6(2)
C4	C5	C6	N1	-178.91(19)	C11	N2	C10	C8	162.5(2)
C4	C5	C6	C1	2.5(3)	C11	N2	C10	C17	-72.9(3)
C4	C5	C8	C7	179.1(2)	C11	C12	C13	C14	-1.0(4)
C4	C5	C8	C10	1.0(4)	C12	C11	C16	C15	-1.1(3)
C5	C8	C10	N2	-59.2(3)	C12	C13	C14	C15	-0.8(5)
C5	C8	C10	C17	172.90(19)	C13	C14	C15	C16	1.5(4)
C6	N1	C7	C8	0.3(2)	C14	C15	C16	C11	-0.6(4)
C6	C1	C2	C3	-0.7(4)	C16	C11	C12	C13	1.9(3)
C6	C5	C8	C7	-0.9(2)	C18	N3	C17	O1	-1.4(4)
C6	C5	C8	C10	-179.05(19)	C18	N3	C17	C10	-178.3(2)
C7	N1	C6	C1	177.6(2)					

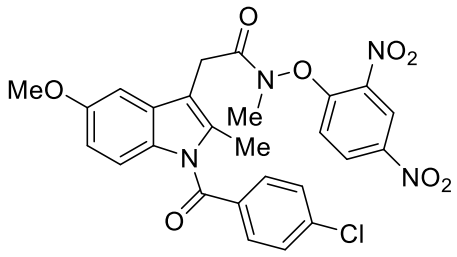
Table 7 Hydrogen Atom Coordinates (Å×10^4) and Isotropic Displacement Parameters (Å^2×10^3) for 3a.

Atom	*x*	*y*	*z*	U(eq)
H2	2053.93	11100.34	3309.39	83
H3	-162.75	11002.43	2130.4	90
H1	1270.98	8126.77	6147.26	87
H2A	3580.76	8380.38	6608.2	110
H3A	4897.52	8787.28	5745.74	112
H4	3949.36	9106.22	4397.5	91
H7	-979.27	8644.15	3355.63	70
H9A	-2045.27	8400.21	4551.09	108
H9B	-1060.92	8260.49	5409.62	108
H9C	-1337.56	6985.62	4832.18	108
H10	2054.53	8507.83	2816.96	71
H12	2633.56	9181.79	1743.09	85
H13	3998.21	9829.01	938.8	106
H14	5024.25	11939.49	1087.81	119
H15	4652.17	13453.82	2036	107
H16	3330.84	12821.3	2869.99	84
H18A	-1552.92	10660.76	816.36	153
H18B	-2401.24	10769.67	1448.42	153
H18C	-2038.95	9317.93	1162.97	153

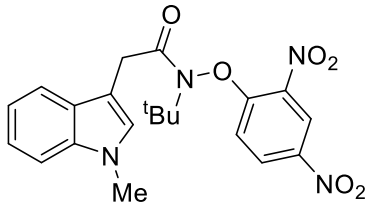
4. Characterization data of products



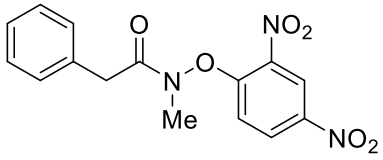
***N*-(2,4-dinitrophenoxy)-2-(1-(2-fluorobenzyl)-1*H*-indol-3-yl)-*N*-methylacetamide (1e):** Yellow solid (216.8 mg, 43% yield); R_f = 0.50 (PE:EA = 2:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.55 (d, *J* = 2.7 Hz, 1H), 7.70 (dd, *J* = 9.3, 2.7 Hz, 1H), 7.45 (d, *J* = 7.9 Hz, 1H), 7.31 – 7.27 (m, 1H), 7.15 (d, *J* = 7.8 Hz, 1H), 7.13 (d, *J* = 6.8 Hz, 1H), 7.10 (d, *J* = 8.5 Hz, 1H), 7.06 (d, *J* = 7.6 Hz, 1H), 7.05 – 7.03 (m, 1H), 6.97 (t, *J* = 6.7 Hz, 1H), 6.94 (s, 1H), 6.79 (d, *J* = 9.3 Hz, 1H), 5.09 (s, 2H), 3.99 (s, 2H), 3.33 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 176.2, 160.6 (d, *J* = 246.9 Hz), 156.0, 141.6, 136.1, 130.2 (d, *J* = 7.9 Hz), 129.8 (d, *J* = 3.7 Hz), 128.5, 127.5, 126.8, 124.7 (d, *J* = 3.7 Hz), 124.0 (d, *J* = 14.8 Hz), 122.5, 121.8, 120.1, 118.8, 115.9, 115.7, 114.3, 109.5, 106.9, 43.7 (d, *J* = 4.6 Hz), 36.3, 31.7. ^{19}F NMR (471 MHz, $CDCl_3$) δ -117.9. HRMS (ESI): calcd for $C_{24}H_{19}N_4O_6FNa$ $[M + Na]^+$: 501.1181, Found:501.1184.



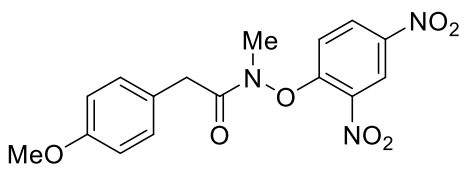
2-(1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1*H*-indol-3-yl)-*N*-(2,4-dinitrophenoxy)-*N*-methylacetamide (1f): Yellow solid (306.1 mg, 44% yield); R_f = 0.41 (PE:EA = 2:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.63 (d, *J* = 2.2 Hz, 1H), 8.02 (dd, *J* = 9.2, 2.3 Hz, 1H), 7.54 (d, *J* = 8.1 Hz, 2H), 7.44 (d, *J* = 8.0 Hz, 2H), 6.91 (d, *J* = 9.2 Hz, 1H), 6.80 (d, *J* = 2.4 Hz, 1H), 6.54 (dd, *J* = 9.1, 2.5 Hz, 1H), 6.30 (d, *J* = 9.0 Hz, 1H), 3.91 (s, 2H), 3.79 (s, 3H), 3.35 (s, 3H), 2.31 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 175.4, 168.1, 156.1, 155.9, 142.0, 140.0, 136.5, 135.8, 133.2, 131.3, 130.2, 130.0, 129.5, 129.0, 122.0, 114.4, 114.3, 112.0, 111.6, 100.8, 55.7, 36.3, 31.3, 13.2. HRMS (ESI): calcd for $C_{26}H_{21}N_4O_8ClNa$ $[M + Na]^+$: 575.0940, Found: 575.0941.



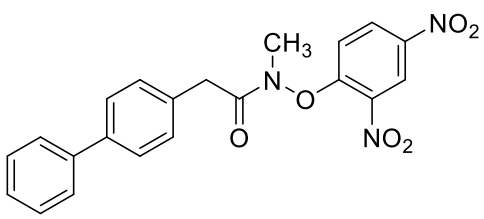
***N*-(*tert*-butyl)-N-(2,4-dinitrophenoxy)-2-(1-methyl-1H-indol-3-yl)acetamide (1g):** Yellow solid (120.0 mg, 55% yield); R_f = 0.50 (PE:EA = 4:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.60 (d, *J* = 2.7 Hz, 1H), 7.91 (dd, *J* = 9.3, 2.7 Hz, 1H), 7.35 (d, *J* = 7.9 Hz, 1H), 7.17 – 7.13 (m, 2H), 7.07 (d, *J* = 8.2 Hz, 1H), 7.02 (t, *J* = 7.4 Hz, 1H), 6.75 (s, 1H), 3.89 (d, *J* = 15.4 Hz, 1H), 3.76 (d, *J* = 15.7 Hz, 1H), 3.60 (s, 3H), 1.46 (s, 9H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 178.4, 158.3, 141.3, 136.6, 135.5, 128.0, 127.6, 127.4, 122.2, 121.4, 119.7, 118.6, 115.6, 109.2, 106.1, 65.7, 33.9, 32.7, 27.7. HRMS (ESI): calcd for $C_{21}H_{22}N_4O_6Na$ $[M + Na]^+$: 449.1432, Found:449.1434.



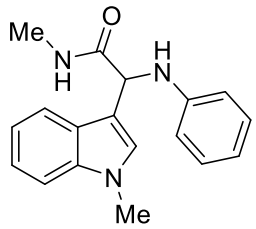
***N*-(2,4-dinitrophenoxy)-*N*-methyl-2-phenylacetamide (1i):** Yellow solid (66.2 mg, 17% yield); R_f = 0.50 (PE:EA = 3:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.81 (d, *J* = 2.6 Hz, 1H), 8.19 (dd, *J* = 9.3, 2.7 Hz, 1H), 7.11 – 7.10 (m, 5H), 7.06 (d, *J* = 9.3 Hz, 1H), 3.87 (s, 2H), 3.34 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 175.7, 155.9, 142.0, 136.6, 133.0, 129.3, 129.1, 128.8, 127.4, 122.3, 114.9, 41.2, 36.3. HRMS (ESI): calcd for $C_{15}H_{13}N_3O_6Na$ $[M + Na]^+$: 354.0697, Found:354.0700.



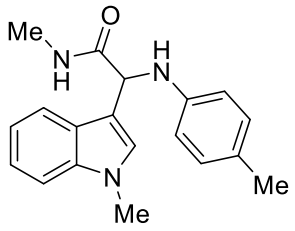
***N*-(2,4-dinitrophenoxy)-2-(4-methoxyphenyl)-*N*-methylacetamide (1j):** Yellow solid (118.4 mg, 34% yield); R_f = 0.44 (PE:EA = 3:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.81 (d, *J* = 2.7 Hz, 1H), 8.24 (dd, *J* = 9.2, 2.7 Hz, 1H), 7.12 (d, *J* = 9.3 Hz, 1H), 7.01 (d, *J* = 8.3 Hz, 2H), 6.65 (d, *J* = 8.2 Hz, 2H), 3.79 (s, 2H), 3.67 (s, 3H), 3.34 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 176.1, 158.9, 156.1, 142.1, 136.7, 130.2, 129.3, 124.9, 122.2, 115.0, 114.1, 55.3, 40.1, 36.3. HRMS (ESI): calcd for $C_{16}H_{15}N_3O_7Na$ [M + Na]$^+$: 384.0802, Found:384.0807.



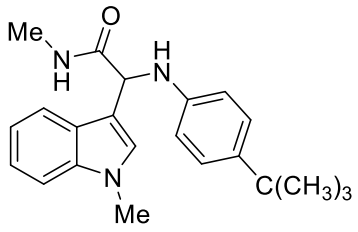
2-([1,1'-biphenyl]-4-yl)-*N*-(2,4-dinitrophenoxy)-*N*-methylacetamide (1k): Yellow solid (101.8 mg, 25% yield); R_f = 0.52 (PE:EA = 3:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.81 (d, *J* = 2.6 Hz, 1H), 8.19 (dd, *J* = 9.3, 2.7 Hz, 1H), 7.40 – 7.39 (m, 4H), 7.35 – 7.33 (m, 3H), 7.18 (d, *J* = 8.1 Hz, 2H), 7.13 (d, *J* = 9.3 Hz, 1H), 3.91 (s, 2H), 3.37 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 175.8, 155.9, 142.1, 140.5, 140.3, 136.7, 131.9, 129.6, 129.3, 129.0, 127.6, 127.5, 126.9, 122.2, 115.0, 40.9, 36.3. HRMS (ESI): calcd for $C_{21}H_{17}N_3O_6Na$ [M + Na]$^+$: 430.1010, Found:430.1012.



***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(phenylamino)acetamide (3a):** White solid (53.4 mg, 94% yield); R_f = 0.50 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.61 (d, *J* = 7.9 Hz, 1H), 7.34 (d, *J* = 8.2 Hz, 1H), 7.28 (t, *J* = 7.3 Hz, 1H), 7.22 (t, *J* = 7.8 Hz, 2H), 7.14 (t, *J* = 7.4 Hz, 1H), 7.11 (s, 1H), 7.05-7.04 (m, 1H), 6.83 (t, *J* = 7.3 Hz, 1H), 6.67 (d, *J* = 8.0 Hz, 2H), 5.07 (s, 1H), 4.48 (brs, 1H), 3.77 (s, 3H), 2.89 (d, *J* = 5.0 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.6, 147.3, 137.4, 129.5, 127.8, 126.3, 122.4, 119.9, 119.2, 119.1, 113.9, 112.0, 109.9, 57.5, 33.0, 26.5. HRMS (APCI): calcd for $C_{18}H_{18}N_3O$ [M - H]$^-$: 292.1455, Found: 292.1458.

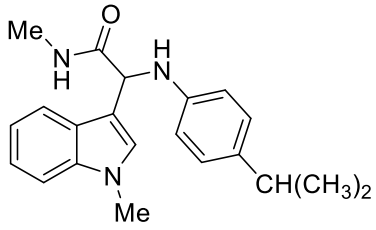


***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(*p*-tolylamino)acetamide (3b):** White solid (49.5 mg, 81% yield); R_f = 0.47 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.62 (d, *J* = 8.0 Hz, 1H), 7.34 (d, *J* = 8.2 Hz, 1H), 7.28 (d, *J* = 7.2 Hz, 1H), 7.14 (t, *J* = 7.5 Hz, 1H), 7.12 – 7.11 (m, 2H), 7.05 (d, *J* = 7.9 Hz, 2H), 6.60 (d, *J* = 7.9 Hz, 2H), 5.05 (s, 1H), 4.38 (s, 1H), 3.76 (s, 3H), 2.89 (d, *J* = 5.0 Hz, 3H), 2.29 (s, 3H). δ ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.8, 145.1, 137.5, 130.0, 128.5, 127.7, 126.4, 122.3, 119.9, 119.1, 114.0, 112.3, 109.8, 57.8, 32.9, 26.4, 20.5. HRMS (APCI): calcd for $C_{19}H_{20}N_3O$ [M - H]$^-$: 306.1612, Found: 306.1615.

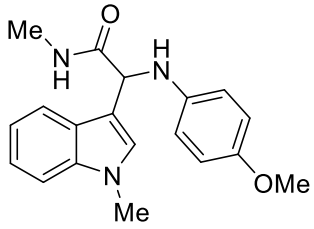


2-((4-(*tert*-butyl)phenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3c): Light yellow solid (59.8 mg, 86% yield); R_f = 0.48 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.60 (d, *J* = 7.9 Hz, 1H), 7.31 (d, *J* = 8.2 Hz, 1H), 7.24 – 7.23 (m, 3H), 7.13 – 7.09 (m, 2H), 7.08 (s, 1H), 6.61 (d, *J* = 8.2 Hz, 2H), 5.03 (s, 1H), 4.38 (s, 1H), 3.74 (s, 3H), 2.86 (d, *J* = 4.9 Hz, 3H), 1.28 (s, 9H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.8, 145.0, 142.1, 137.5, 127.8, 126.3, 126.3, 122.3,

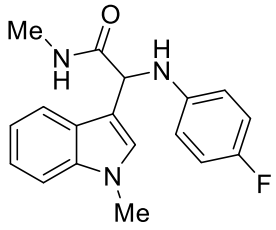
119.9, 119.2, 113.6, 112.3, 109.8, 57.8, 34.1, 32.9, 31.6, 26.4. HRMS (APCI): calcd for $C_{22}H_{26}N_3O$ [M - H]$^-$: 348.2081, Found: 348.2082.



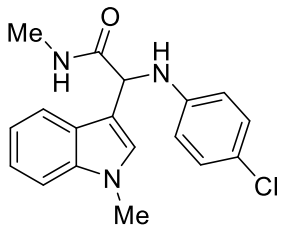
2-((4-*iso*propylphenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3d): White solid (65.5 mg, 97% yield); R_f = 0.51 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.61 (d, *J* = 7.9 Hz, 1H), 7.33 (d, *J* = 8.2 Hz, 1H), 7.27 (t, *J* = 7.6 Hz, 1H), 7.18 (d, *J* = 5.0 Hz, 1H), 7.13 (t, *J* = 7.5 Hz, 1H), 7.12 – 7.09 (m, 3H), 6.62 (d, *J* = 8.2 Hz, 2H), 5.03 (s, 1H), 4.36 (s, 1H), 3.76 (s, 3H), 2.89 (d, *J* = 5.0 Hz, 3H), 2.87 – 2.81 (m, 1H), 1.23 (d, *J* = 6.9 Hz, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.8, 145.3, 139.9, 137.4, 127.8, 127.4, 126.3, 122.3, 119.9, 119.1, 113.9, 112.2, 109.8, 57.9, 33.3, 33.0, 26.4, 24.3, 24.3. HRMS (APCI): calcd for $C_{21}H_{24}N_3O$ [M - H]$^-$: 334.1925, Found: 334.1927.



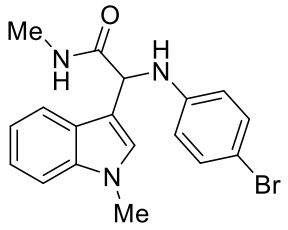
2-((4-methoxyphenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3e): White solid (56.2 mg, 87% yield); R_f = 0.44 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.61 (d, *J* = 7.9 Hz, 1H), 7.33 (d, *J* = 8.2 Hz, 1H), 7.26 (t, *J* = 7.6 Hz, 1H), 7.15 – 7.12 (m, 2H), 7.09 (s, 1H), 6.80 (d, *J* = 8.6 Hz, 2H), 6.62 (d, *J* = 8.6 Hz, 2H), 4.99 (s, 1H), 4.26 (s, 1H), 3.76 (s, 6H), 2.88 (d, *J* = 4.9 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.9, 153.3, 141.5, 137.5, 127.7, 126.4, 122.3, 119.9, 119.1, 115.1, 115.0, 112.4, 109.8, 58.3, 55.9, 32.9, 26.4. HRMS (APCI): calcd for $C_{19}H_{20}N_3O_2$ [M - H]$^-$: 322.1561, Found: 322.1565.



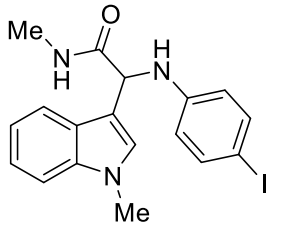
2-((4-fluorophenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl) acetamide (3f): White solid (59.1 mg, 95% yield); R_f = 0.50 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.61 (d, *J* = 8.0 Hz, 1H), 7.34 (d, *J* = 8.2 Hz, 1H), 7.28 (t, *J* = 7.2 Hz, 1H), 7.15 (t, *J* = 7.5 Hz, 1H), 7.11 (s, 1H), 6.94 – 6.89 (m, 3H), 6.60 – 6.58 (m, 2H), 5.00 (s, 1H), 4.49 (brs, 1H), 3.76 (s, 3H), 2.87 (d, *J* = 5.0 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.5, 156.8 (d, *J* = 238.4 Hz), 143.7, 137.5, 127.8, 126.2, 122.4, 120.0, 119.0, 116.0 (d, *J* = 22.7 Hz), 114.8 (d, *J* = 7.9 Hz), 111.9, 109.9, 57.8, 33.0, 26.5. HRMS (APCI): calcd for $C_{18}H_{17}N_3OF$ [M - H]$^-$: 310.1361, Found: 310.1363.



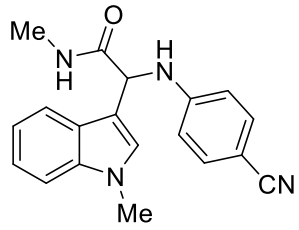
2-((4-chlorophenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl) acetamide (3g): Light yellow solid (51.0 mg, 78% yield); R_f = 0.46 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.60 (d, *J* = 7.9 Hz, 1H), 7.34 (d, *J* = 8.2 Hz, 1H), 7.29 (d, *J* = 8.2 Hz, 1H), 7.17 – 7.12 (m, 3H), 7.10 (s, 1H), 6.79 (d, *J* = 5.1 Hz, 1H), 6.57 (d, *J* = 8.8 Hz, 2H), 5.03 (s, 1H), 4.65 (brs, 1H), 3.76 (s, 3H), 2.86 (d, *J* = 4.9 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.3, 145.8, 137.4, 129.2, 127.8, 126.2, 123.6, 122.4, 120.0, 118.9, 114.9, 111.6, 109.9, 57.0, 32.9, 26.5. HRMS (APCI): calcd for $C_{18}H_{17}N_3OCl$ [M - H]$^-$: 326.1066, Found: 326.1068.



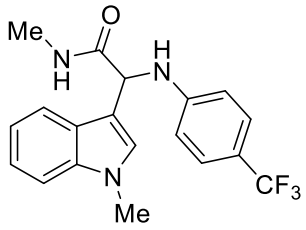
2-((4-bromophenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl) acetamide (3h): White solid (59.3 mg, 80% yield); R_f = 0.46 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.59 (d, *J* = 7.9 Hz, 1H), 7.33 (d, *J* = 8.2 Hz, 1H), 7.28 (d, *J* = 7.6 Hz, 1H), 7.25 (d, *J* = 4.5 Hz, 2H), 7.14 (t, *J* = 7.5 Hz, 1H), 7.09 (s, 1H), 6.73 (d, *J* = 5.1 Hz, 1H), 6.52 (d, *J* = 8.7 Hz, 2H), 5.02 (s, 1H), 4.69 (s, 1H), 3.75 (s, 3H), 2.84 (d, *J* = 4.9 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.2, 146.2, 137.5, 132.2, 127.8, 126.2, 122.5, 120.1, 118.9, 115.5, 111.6, 110.8, 110.0, 56.9, 33.0, 26.5. HRMS (APCI): calcd for $C_{18}H_{17}N_3OBr$ [M - H]$^-$: 370.0560, Found: 370.0562.



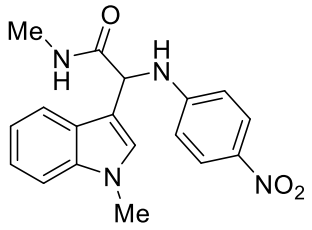
2-((4-iodophenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl) acetamide (3i): White solid (66.4 mg, 79% yield); R_f = 0.38 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.60 (d, *J* = 8.0 Hz, 1H), 7.43 (d, *J* = 8.3 Hz, 2H), 7.34 (d, *J* = 8.2 Hz, 1H), 7.28 (t, *J* = 7.4 Hz, 1H), 7.15 (t, *J* = 7.5 Hz, 1H), 7.10 (s, 1H), 6.69 (d, *J* = 5.3 Hz, 1H), 6.43 (d, *J* = 8.4 Hz, 2H), 5.03 (s, 1H), 4.71 (s, 1H), 3.76 (s, 3H), 2.85 (d, *J* = 4.9 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.1, 146.9, 138.1, 137.5, 127.9, 126.2, 122.5, 120.1, 118.9, 116.1, 111.7, 110.0, 80.1, 56.8, 33.0, 26.5. HRMS (APCI): calcd for $C_{18}H_{17}N_3OI$ [M - H]$^-$: 418.0422, Found: 418.0425.



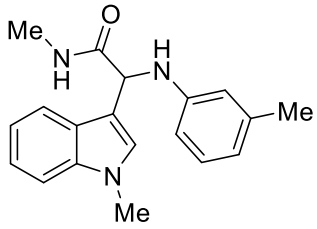
2-((4-cyanophenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl) acetamide (3j): White solid (45.7 mg, 72% yield); R_f = 0.38 (PE:EA = 1:1); ^{1}H NMR (500 MHz, DMSO-d_6) δ 8.15 – 8.12 (m, 1H), 7.70 (d, *J* = 8.0 Hz, 1H), 7.45 (d, *J* = 8.6 Hz, 2H), 7.41 (d, *J* = 8.2 Hz, 1H), 7.36 (s, 1H), 7.16 (t, *J* = 7.6 Hz, 1H), 7.08 (d, *J* = 6.6 Hz, 1H), 7.03 (t, *J* = 7.5 Hz, 1H), 6.76 (d, *J* = 8.5 Hz, 2H), 5.24 (d, *J* = 6.3 Hz, 1H), 3.76 (s, 3H), 2.61 (d, *J* = 4.5 Hz, 3H). ^{13}C NMR (126 MHz, DMSO- d_6) δ 170.9, 151.2, 136.6, 133.2, 128.5, 126.1, 121.4, 120.5, 119.4, 118.9, 112.6, 110.9, 109.8, 96.4, 53.5, 32.4, 25.7. HRMS (APCI): calcd for $C_{19}H_{17}N_4O$ [M - H]$^-$: 317.1408, Found: 317.1411.



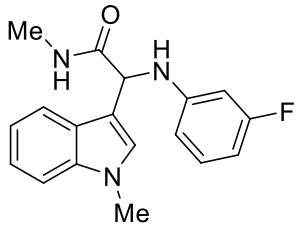
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-((4-(trifluoromethyl) phenyl)amino)acetamide (3k):** Light yellow solid (42.1 mg, 58% yield); R_f = 0.34 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.62 (d, *J* = 7.9 Hz, 1H), 7.40 (d, *J* = 8.3 Hz, 2H), 7.35 (d, *J* = 8.2 Hz, 1H), 7.29 (t, *J* = 7.6 Hz, 1H), 7.17 (t, *J* = 7.5 Hz, 1H), 7.12 (s, 1H), 6.66 (d, *J* = 8.4 Hz, 2H), 6.50 (d, *J* = 5.2 Hz, 1H), 5.13 (s, 2H), 3.77 (s, 3H), 2.85 (d, *J* = 4.9 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.8, 149.7, 137.6, 127.9, 126.8 (q, *J* = 3.7 Hz), 126.2, 124.9 (q, *J* = 270.3 Hz), 122.6, 120.5 (q, *J* = 32.6 Hz), 120.2, 118.8, 113.2, 111.4, 110.0, 56.1, 33.1, 26.6. ^{19}F NMR (471 MHz, $CDCl_3$) δ -61.2. HRMS (APCI): calcd for $C_{19}H_{17}N_3OF_3$ [M - H]$^-$: 360.1329, Found: 360.1331.



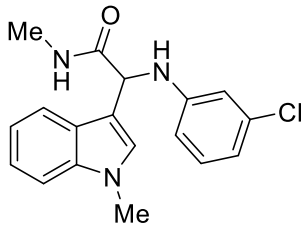
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-((4-nitrophenyl) amino) acetamide (3l):** Yellow solid (42.1 mg, 62% yield); R_f = 0.29 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.99 (d, *J* = 8.9 Hz, 2H), 7.64 (d, *J* = 7.9 Hz, 1H), 7.35 (d, *J* = 8.2 Hz, 1H), 7.29 (t, *J* = 7.6 Hz, 1H), 7.18 (t, *J* = 7.5 Hz, 1H), 7.14 (s, 1H), 6.57 (d, *J* = 8.8 Hz, 2H), 6.11 (d, *J* = 5.0 Hz, 1H), 5.95 (d, *J* = 3.6 Hz, 1H), 5.21 (s, 1H), 3.77 (s, 3H), 2.81 (d, *J* = 4.8 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.1, 152.2, 138.9, 137.6, 128.0, 126.2, 126.0, 122.8, 120.5, 118.6, 112.5, 110.7, 110.2, 54.7, 33.1, 26.9. HRMS (APCI): calcd for $C_{18}H_{17}N_4O_3$ [M - H]$^-$: 337.1306, Found: 337.1310.



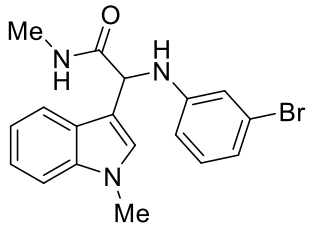
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(*m*-tolylamino)acetam ide (3m):** White solid (54.4 mg, 89% yield); R_f = 0.48 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.60 (d, *J* = 8.0 Hz, 1H), 7.32 (d, *J* = 8.2 Hz, 1H), 7.26 (t, *J* = 7.2 Hz, 1H), 7.14 – 7.11 (m, 2H), 7.10 (d, *J* = 7.6 Hz, 1H), 7.09 (s, 1H), 6.66 (d, *J* = 7.5 Hz, 1H), 6.49 (s, 1H), 6.47 (d, *J* = 8.0 Hz, 1H), 5.06 (s, 1H), 4.40 (s, 1H), 3.75 (s, 3H), 2.88 (d, *J* = 4.9 Hz, 3H), 2.29 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.7, 147.3, 139.5, 137.4, 129.4, 127.7, 126.3, 122.3, 120.2, 119.9, 119.1, 114.5, 112.1, 111.0, 109.8, 57.5, 32.9, 26.4, 21.7. HRMS (APCI): calcd for $C_{19}H_{20}N_3O$ [M - H]$^-$: 306.1612, Found: 306.1615.



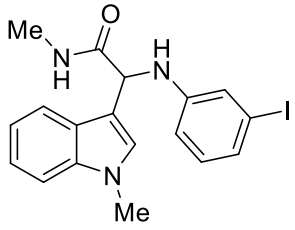
2-((3-fluorophenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl) acetamide (3n): Light yellow solid (56.6 mg, 91% yield); R_f = 0.31 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.60 (d, *J* = 8.0 Hz, 1H), 7.32 (d, *J* = 8.2 Hz, 1H), 7.26 (t, *J* = 7.1 Hz, 1H), 7.13 (t, *J* = 7.8Hz, 1H), 7.10 – 7.07 (m, 2H), 6.71 (d, *J* = 6.4 Hz, 1H), 6.46 (t, *J* = 8.5 Hz, 1H), 6.41 (d, *J* = 8.1 Hz, 1H), 6.33 (d, *J* = 11.3 Hz, 1H), 5.04 (s, 1H), 4.79 (s, 1H), 3.74 (s, 3H), 2.84 (d, *J* = 4.9 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.1, 164.0 (d, *J* = 245.6 Hz), 149.1 (d, *J* = 10.3 Hz), 137.5, 130.5 (d, *J* = 10.0 Hz), 127.9, 126.2, 122.5, 120.1, 118.9, 111.7, 109.9, 109.6 (d, *J* = 2.8 Hz), 105.4 (d, *J* = 21.8 Hz), 100.8 (d, *J* = 26.9 Hz), 56.8, 33.0, 26.5. ^{19}F NMR (471 MHz, $CDCl_3$) δ -112.3. HRMS (APCI): calcd for $C_{18}H_{17}N_3OF$ [M - H]$^-$: 310.1361, Found: 310.1363.



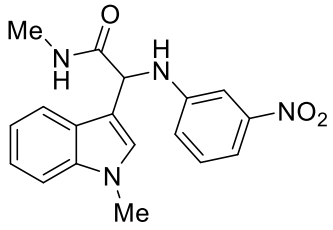
2-((3-chlorophenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl) acetamide (3o): Light yellow solid (52.3 mg, 80% yield); R_f = 0.31 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.59 (d, *J* = 7.9 Hz, 1H), 7.32 (d, *J* = 8.2 Hz, 1H), 7.26 (t, *J* = 7.5 Hz, 1H), 7.13 (t, *J* = 7.5 Hz, 1H), 7.09 (s, 1H), 7.06 (d, *J* = 8.0 Hz, 1H), 6.74 (d, *J* = 8.0 Hz, 1H), 6.71 (d, *J* = 6.1 Hz, 1H), 6.63 (s, 1H), 6.50 (d, *J* = 8.2 Hz, 1H), 5.04 (s, 1H), 4.74 (s, 1H), 3.74 (s, 3H), 2.84 (d, *J* = 4.9 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.1, 148.4, 137.5, 135.2, 130.5, 127.9, 126.2, 122.5, 120.1, 118.9, 118.9, 113.8, 112.0, 111.6, 109.9, 56.7, 33.0, 26.5. HRMS (APCI): calcd for $C_{18}H_{17}N_3OCl$ [M - H]$^-$: 326.1066, Found: 326.1068.



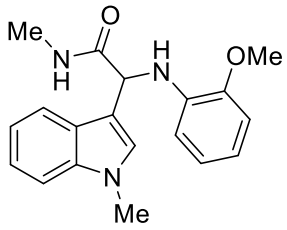
2-((3-bromophenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl) acetamide (3p): Light yellow solid (52.3 mg, 80% yield); R_f = 0.40 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.59 (d, *J* = 8.0 Hz, 1H), 7.34 (d, *J* = 8.3 Hz, 1H), 7.28 (t, *J* = 7.3 Hz, 1H), 7.15 (t, *J* = 7.5 Hz, 1H), 7.11 (s, 1H), 7.03 (t, *J* = 8.0 Hz, 1H), 6.91 (d, *J* = 7.9 Hz, 1H), 6.81 (s, 1H), 6.74 (d, *J* = 5.2 Hz, 1H), 6.55 (d, *J* = 8.1 Hz, 1H), 5.05 (s, 1H), 4.72 (s, 1H), 3.77 (s, 3H), 2.87 (d, *J* = 4.9 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.0, 148.5, 137.5, 130.8, 127.9, 126.2, 123.4, 122.5, 121.9, 120.1, 118.9, 116.7, 112.4, 111.6, 110.0, 56.7, 33.0, 26.6. HRMS (APCI): calcd for $C_{18}H_{17}N_3OBr$ [M - H]$^-$: 370.0560, Found: 370.0562.



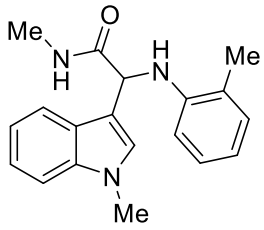
2-((3-iodophenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl) acetamide (3q): Light yellow solid (68.1 mg, 81% yield); R_f = 0.52 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.58 (d, *J* = 8.0 Hz, 1H), 7.32 (d, *J* = 8.2 Hz, 1H), 7.26 (t, *J* = 6.8 Hz, 1H), 7.13 (t, *J* = 7.4 Hz, 1H), 7.10 (d, *J* = 7.1 Hz, 1H), 7.08 (s, 1H), 7.00 (s, 1H), 6.87 (t, *J* = 8.0 Hz, 1H), 6.78 (d, *J* = 5.1 Hz, 1H), 6.57 (d, *J* = 8.2 Hz, 1H), 5.02 (s, 1H), 4.66 (s, 1H), 3.74 (s, 3H), 2.84 (d, *J* = 4.9 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.0, 148.4, 137.4, 130.9, 127.9, 127.9, 126.1, 122.6, 122.4, 120.0, 118.9, 112.8, 111.5, 109.9, 95.2, 56.6, 33.0, 26.5. HRMS (APCI): calcd for $C_{18}H_{17}N_3OI$ [M - H]$^-$: 418.0422, Found: 418.0425.



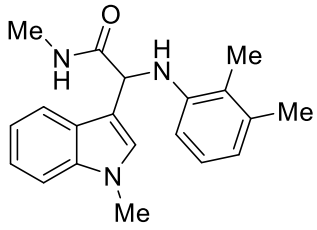
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-((3-nitropheny l)amino) acetamide (3r):** Yellow solid (39.2 mg, 58% yield); R_f = 0.48 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.64 (d, *J* = 7.9 Hz, 1H), 7.53 (d, *J* = 8.1 Hz, 1H), 7.46 (t, *J* = 2.4 Hz, 1H), 7.34 (d, *J* = 8.2 Hz, 1H), 7.28 (t, *J* = 7.2 Hz, 1H), 7.24 (d, *J* = 8.1 Hz, 1H), 7.18 (s, 1H), 7.15 (t, *J* = 7.5 Hz, 1H), 6.91 (dd, *J* = 8.2, 2.6 Hz, 1H), 6.40 (d, *J* = 4.9 Hz, 1H), 5.37 (d, *J* = 3.2 Hz, 1H), 5.15 (d, *J* = 3.2 Hz, 1H), 3.77 (s, 3H), 2.83 (d, *J* = 4.9 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.6, 149.2, 147.9, 137.5, 129.9, 128.2, 126.0, 122.6, 120.2, 119.6, 118.9, 113.0, 111.0, 110.0, 107.7, 55.7, 33.1, 26.7. HRMS (APCI): calcd for $C_{18}H_{17}N_4O_3$ [M - H]$^-$: 337.1306, Found: 337.1310.



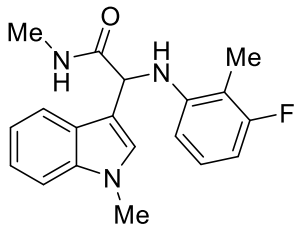
2-((2-methoxyphenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl) acetamide (3s): White solid (61.1 mg, 95% yield); R_f = 0.48 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.63 (d, *J* = 7.9 Hz, 1H), 7.34 (d, *J* = 8.2 Hz, 1H), 7.27 (t, *J* = 7.7 Hz, 1H), 7.19 (d, *J* = 5.3 Hz, 1H), 7.15 – 7.13 (m, 2H), 6.92 – 6.89 (m, 1H), 6.82 – 6.81 (m, 2H), 6.64 (d, *J* = 7.7 Hz, 1H), 5.03 (s, 1H), 5.00 (s, 1H), 3.79 (s, 3H), 3.77 (s, 3H), 2.89 (d, *J* = 4.9 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.8, 147.2, 137.3, 137.1, 127.8, 126.4, 122.2, 121.4, 119.8, 119.3, 118.6, 112.0, 111.3, 109.7, 109.6, 57.5, 55.5, 33.0, 26.4. HRMS (APCI): calcd for $C_{19}H_{20}N_3O_2$ [M - H]$^-$: 322.1561, Found: 322.1565.



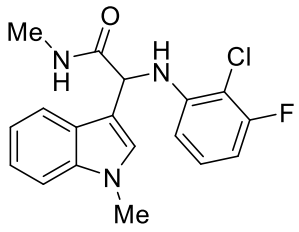
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(*o*-tolylamino)acetamide (3t):** White solid (53.5 mg, 87% yield); R_f = 0.47 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.64 (d, *J* = 7.9 Hz, 1H), 7.35 (d, *J* = 8.2 Hz, 1H), 7.28 (t, *J* = 7.6 Hz, 1H), 7.17 – 7.12 (m, 4H), 7.00 (d, *J* = 5.2 Hz, 1H), 6.79 (t, *J* = 7.4 Hz, 1H), 6.64 (d, *J* = 8.0 Hz, 1H), 5.13 (s, 1H), 4.48 (s, 1H), 3.78 (s, 3H), 2.88 (d, *J* = 4.9 Hz, 3H), 2.17 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.7, 145.2, 137.4, 130.3, 127.8, 127.5, 126.3, 123.0, 122.3, 119.9, 118.9, 118.8, 112.0, 111.2, 109.9, 57.2, 33.0, 26.4, 17.7. HRMS (APCI): calcd for $C_{19}H_{20}N_3O$ [M - H]$^-$: 306.1612, Found: 306.1615.



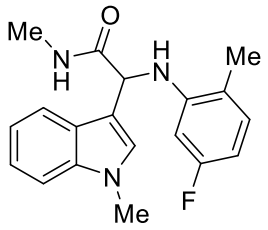
2-((2,3-dimethylphenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3u): White solid (51.7 mg, 81% yield); R_f = 0.5 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.66 (d, *J* = 7.9 Hz, 1H), 7.36 (d, *J* = 8.2 Hz, 1H), 7.29 (t, *J* = 7.7 Hz, 1H), 7.18 – 7.14 (m, 2H), 7.06 (t, *J* = 7.8 Hz, 1H), 7.01 (d, *J* = 5.1 Hz, 1H), 6.73 (d, *J* = 7.5 Hz, 1H), 6.55 (d, *J* = 8.1 Hz, 1H), 5.14 (s, 1H), 4.51 (s, 1H), 3.78 (s, 3H), 2.88 (d, *J* = 5.0 Hz, 3H), 2.33 (s, 3H), 2.09 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.8, 145.2, 137.4, 136.9, 127.8, 126.5, 126.4, 122.3, 121.3, 121.0, 119.9, 119.0, 112.2, 109.8, 109.4, 57.4, 32.9, 26.4, 20.8, 12.8. HRMS (APCI): calcd for $C_{20}H_{22}N_3O$ [M - H]$^-$: 320.1768, Found: 320.1770.



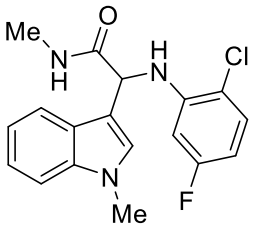
2-((3-fluoro-2-methylphenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3v): White solid (59.6 mg, 92% yield); R_f = 0.5 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.62 (d, *J* = 8.0 Hz, 1H), 7.35 (d, *J* = 8.2 Hz, 1H), 7.28 (t, *J* = 7.8 Hz, 1H), 7.16 (t, *J* = 7.5 Hz, 1H), 7.13 (s, 1H), 7.04 – 7.00 (m, 1H), 6.71 (d, *J* = 5.6 Hz, 1H), 6.54 (t, *J* = 8.8 Hz, 1H), 6.38 (d, *J* = 8.1 Hz, 1H), 5.12 (s, 1H), 4.67 (s, 1H), 3.78 (s, 3H), 2.86 (d, *J* = 4.9 Hz, 3H), 2.08 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.4, 161.0 (d, *J* = 240.8 Hz), 146.9 (d, *J* = 6.9 Hz), 137.5, 127.9, 127.5 (d, *J* = 11.3 Hz), 126.3, 122.5, 120.1, 118.8, 111.9, 110.0, 109.9 (d, *J* = 18.7 Hz), 106.9 (d, *J* = 2.1 Hz), 105.7 (d, *J* = 23.8 Hz), 57.1, 33.0, 26.5, 8.5 (d, *J* = 6.5 Hz); ^{19}F NMR (471 MHz, $CDCl_3$) δ -116.95. HRMS (APCI): calcd for $C_{19}H_{19}N_3OF$ [M - H]$^-$: 324.1518, Found: 324.1519.



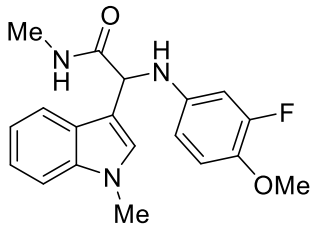
2-((2-chloro-3-fluorophenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3w): Yellow solid (50.0 mg, 72% yield); R_f = 0.52 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.65 (d, *J* = 8.0 Hz, 1H), 7.35 (d, *J* = 8.3 Hz, 1H), 7.29 (t, *J* = 7.6 Hz, 1H), 7.17 (t, *J* = 7.5 Hz, 1H), 7.14 (s, 1H), 7.07 – 7.03 (m, 1H), 6.67 (d, *J* = 5.1 Hz, 1H), 6.59 (t, *J* = 8.5 Hz, 1H), 6.43 (d, *J* = 8.3 Hz, 1H), 5.45 (d, *J* = 3.0 Hz, 1H), 5.14 (d, *J* = 2.8 Hz, 1H), 3.77 (s, 3H), 2.85 (d, *J* = 4.9 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.8, 158.8 (d, *J* = 243.5 Hz), 144.7(d, *J* = 2.4 Hz), 137.4, 128.1 (d, *J* = 9.5 Hz), 127.8, 126.1, 122.5, 120.1, 118.8, 111.1, 109.9, 107.7 (d, *J* = 2.4 Hz), 107.1 (d, *J* = 20.3 Hz), 105.9 (d, *J* = 21.6 Hz), 56.6, 33.0, 26.6; ^{19}F NMR (471 MHz, $CDCl_3$) δ -114.30. HRMS (APCI): calcd for $C_{18}H_{16}N_3OClF$ [M - H]$^-$: 344.0971, Found: 344.0974.



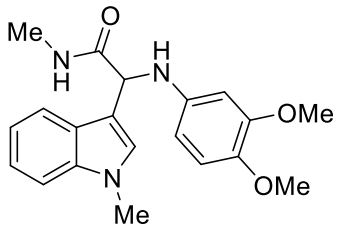
2-((5-fluoro-2-methylphenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide: (3x): White solid (57.6 mg, 89% yield); R_f = 0.5 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.64 (t, *J* = 7.6 Hz, 1H), 7.35 (d, *J* = 8.2 Hz, 1H), 7.28 (t, *J* = 7.6 Hz, 1H), 7.16 (d, *J* = 7.9 Hz, 1H), 7.14 (s, 1H), 7.00 (t, *J* = 7.6 Hz, 1H), 6.70 (d, *J* = 5.0 Hz, 1H), 6.43 – 6.39 (m, 1H), 6.32 (dd, *J* = 11.3, 2.7 Hz, 1H), 5.08 (s, 1H), 4.78 (s, 1H), 3.76 (s, 3H), 2.85 (d, *J* = 4.9 Hz, 3H), 2.14 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.1, 162.7(d, *J* = 242.7 Hz), 146.5 (d, *J* = 9.9 Hz), 137.5, 130.8(d, *J* = 10.2 Hz), 127.9, 126.2, 122.4, 120.0, 118.8, 118.4(d, *J* = 3.7 Hz), 111.5, 109.9, 104.2(d, *J* = 21.7 Hz), 98.7(d, *J* = 27.7 Hz), 56.5, 33.0, 26.5, 17.0. ^{19}F NMR (471 MHz, $CDCl_3$) δ -115.1. HRMS (APCI): calcd for $C_{19}H_{19}N_3OF$ $[M - H]^-$: 324.1518, Found: 324.1519.



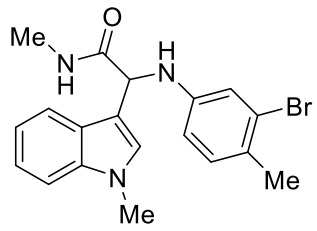
2-((2-chloro-5-fluorophenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide(3y): Yellow solid (56.3 mg, 81% yield); R_f = 0.52 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.65 (d, *J* = 8.1 Hz, 1H), 7.34 (d, *J* = 8.2 Hz, 1H), 7.28 (t, *J* = 7.6 Hz, 1H), 7.22 – 7.19 (m, 1H), 7.16 (t, *J* = 7.4 Hz, 1H), 7.14 (s, 1H), 6.47 (d, *J* = 5.6 Hz, 1H), 6.42 – 6.35 (m, 2H), 5.57 (s, 1H), 5.08 (s, 1H), 3.77 (s, 3H), 2.84 (d, *J* = 4.9 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.5, 162.6 (d, *J* = 243.9 Hz), 144.5(d, *J* = 10.7 Hz), 137.6, 130.0(d, *J* = 10.3 Hz), 127.9, 126.1, 122.6, 120.2, 118.9, 115.1 (d, *J* = 3.9 Hz), 111.0, 110.0, 105.1 (d, *J* = 22.9 Hz), 100.1(d, *J* = 27.5 Hz), 56.2, 33.0, 26.6. ^{19}F NMR (471 MHz, $CDCl_3$) δ -113.0. HRMS (APCI): calcd for $C_{18}H_{16}N_3OClF$ $[M - H]^-$: 344.0971, Found: 344.0974.



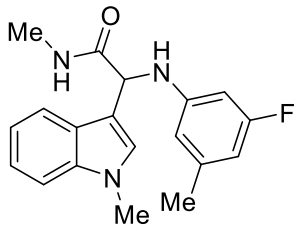
2-((3-fluoro-4-methoxyphenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3z): White solid (53.8 mg, 79% yield); R_f = 0.37 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.60 (d, *J* = 8.0 Hz, 1H), 7.33 (d, *J* = 8.2 Hz, 1H), 7.27 (t, *J* = 7.6 Hz, 1H), 7.14 (t, *J* = 7.5 Hz, 1H), 7.10 (s, 1H), 6.91 (d, *J* = 5.2 Hz, 1H), 6.83 (t, *J* = 9.0 Hz, 1H), 6.45 (dd, *J* = 12.9, 2.8 Hz, 1H), 6.35 (d, *J* = 8.9 Hz, 1H), 4.98 (s, 1H), 4.46 (s, 1H), 3.81 (s, 3H), 3.75 (s, 3H), 2.86 (d, *J* = 4.9 Hz, 3H). 13C NMR (126 MHz, CDCl3) δ 172.4, 153.3 (d, *J* = 243.1 Hz), 142.1 (d, *J* = 8.5 Hz), 140.6 (d, *J* = 10.8 Hz), 137.4, 127.8, 126.2, 122.4, 120.0, 119.0, 115.6(d, *J* = 2.7 Hz), 111.7, 109.9, 108.8 (d, *J* = 3.0 Hz), 103.1 (d, *J* = 21.4 Hz), 57.6, 57.4, 33.0, 26.5; ^{19}F NMR (471 MHz, $CDCl_3$) δ -133.17. HRMS (APCI): calcd for $C_{19}H_{19}N_3O_2F$ $[M - H]^-$: 340.1467, Found: 340.1471.



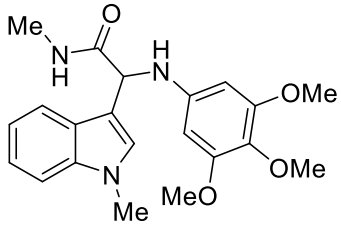
2-((2,3-dimethoxyphenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3aa): Yellow solid (63.5 mg, 90% yield); R_f = 0.20 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.62 (d, *J* = 7.9 Hz, 1H), 7.33 (d, *J* = 8.2 Hz, 1H), 7.27 (t, *J* = 7.7 Hz, 1H), 7.15 – 7.12 (m, 2H), 7.11 (s, 1H), 6.75 (d, *J* = 8.6 Hz, 1H), 6.27 (s, 1H), 6.18 (d, *J* = 8.7 Hz, 1H), 5.02 (s, 1H), 4.30 (s, 1H), 3.82 (s, 3H), 3.79 (s, 3H), 3.76 (s, 3H), 2.89 (d, *J* = 4.6 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.8, 150.0, 142.6, 142.0, 137.4, 127.7, 126.3, 122.3, 119.9, 119.0, 112.9, 112.1, 109.9, 104.3, 99.6, 58.0, 56.6, 55.9, 33.0, 26.4. HRMS (APCI): calcd for $C_{20}H_{22}N_3O_3$ $[M - H]^-$: 352.1667, Found: 352.1668.



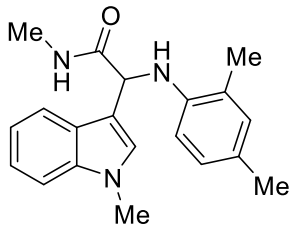
2-((3-bromo-4-methylphenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3ab): White solid (65.3 mg, 85% yield); R_f = 0.40 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.58 (d, *J* = 8.2 Hz, 1H), 7.33 (d, *J* = 8.2 Hz, 1H), 7.27 (t, *J* = 7.0 Hz, 1H), 7.14 (t, *J* = 7.3 Hz, 1H), 7.10 (s, 1H), 7.03 (d, *J* = 8.2 Hz, 1H), 6.90 (d, *J* = 5.2 Hz, 1H), 6.87 (d, *J* = 2.4 Hz, 1H), 6.51 (dd, *J* = 8.2, 2.5 Hz, 1H), 5.02 (s, 1H), 4.50 (s, 1H), 3.76 (s, 3H), 2.88 (d, *J* = 4.9 Hz, 3H), 2.29 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.3, 146.3, 137.4, 131.3, 128.0, 127.8, 126.2, 125.5, 122.4, 120.0, 119.0, 117.5, 112.9, 111.7, 109.9, 57.1, 33.0, 26.5, 21.9. HRMS (APCI): calcd for $C_{19}H_{19}N_3OBr$ [M - H]$^-$: 384.0717, Found: 384.0719.



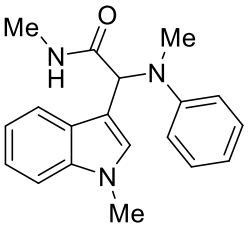
2-((3-fluoro-5-methylphenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3ac): Light yellow solid (62.7 mg, 95% yield); R_f = 0.51 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.61 (d, *J* = 7.9 Hz, 1H), 7.34 (d, *J* = 8.3 Hz, 1H), 7.28 (t, *J* = 7.3 Hz, 1H), 7.15 (t, *J* = 7.5 Hz, 1H), 7.10 (s, 1H), 6.82 (d, *J* = 5.2 Hz, 1H), 6.33 (d, *J* = 9.5 Hz, 1H), 6.27 (s, 1H), 6.17 (d, *J* = 10.6 Hz, 1H), 5.05 (s, 1H), 4.65 (brs, 1H), 3.76 (s, 3H), 2.87 (d, *J* = 4.9 Hz, 3H), 2.26 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.2, 164.0 (d, *J* = 243.41 Hz), 148.8 (d, *J* = 10.68 Hz), 141.2 (d, *J* = 9.34Hz), 137.5, 127.8, 126.2, 122.4, 120.0, 119.0, 111.8, 110.3 (d, *J* = 1.47 Hz), 109.9, 106.5 (d, *J* = 23.31 Hz), 98.0 (d, *J* = 27.75 Hz), 56.9, 32.9, 26.5, 21.7 (d, *J* = 2.46 Hz); ^{19}F NMR (471 MHz, $CDCl_3$) δ -113.40. HRMS (APCI): calcd for $C_{19}H_{19}N_3OF$ [M - H]$^-$: 324.1518, Found: 324.1519.



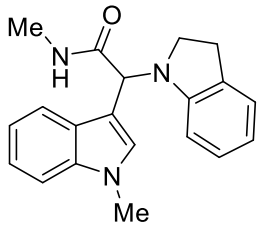
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-((3,4,5-trimethoxy phenyl)amino)acetamide (3ad):** Yellow solid (60.6 mg, 79% yield); R_f = 0.24 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.64 (d, *J* = 7.9 Hz, 1H), 7.33 (d, *J* = 8.3 Hz, 1H), 7.27 (t, *J* = 7.6 Hz, 1H), 7.15 (t, *J* = 7.5 Hz, 1H), 7.11 (s, 1H), 6.97 (d, *J* = 5.4 Hz, 1H), 5.88 (s, 2H), 5.06 (s, 1H), 4.47 (brs, 1H), 3.77 – 3.75 (m, 12H), 2.89 (d, *J* = 4.9 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.6, 154.1, 144.1, 137.4, 131.1, 127.7, 126.3, 122.4, 119.9, 118.9, 112.0, 109.9, 91.2, 61.2, 57.4, 56.1, 33.0, 26.5. HRMS (APCI): calcd for $C_{21}H_{24}N_3O_4$ [M - H]$^-$: 382.1772, Found: 382.1774.



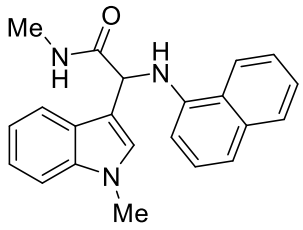
2-((2,4-dimethylphenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3ae): Light yellow solid (48.1 mg, 75% yield); R_f = 0.35 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.61 (d, *J* = 7.9 Hz, 1H), 7.34 (d, *J* = 8.2 Hz, 1H), 7.27 (t, *J* = 7.6 Hz, 1H), 7.14 (d, *J* = 7.6 Hz, 1H), 7.12 (s, 1H), 7.03 (d, *J* = 5.0 Hz, 1H), 6.96 – 6.95 (m, 2H), 6.55 (d, *J* = 7.9 Hz, 1H), 5.09 (s, 1H), 4.28 (brs, 1H), 3.77 (s, 3H), 2.88 (d, *J* = 4.9 Hz, 3H), 2.26 (s, 3H), 2.13 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.8, 143.0, 137.5, 131.3, 128.1, 127.8, 127.7, 126.4, 123.1, 122.3, 120.0, 119.0, 112.3, 111.4, 109.9, 57.6, 33.0, 26.4, 20.5, 17.6. HRMS (APCI): calcd for $C_{20}H_{22}N_3O$ [M - H]$^-$: 320.1768, Found: 320.1770.



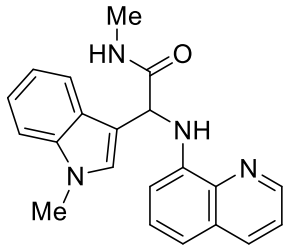
***N*-methyl-2-(methyl(phenyl)amino)-2-(1-methyl-1*H*-indol-3-yl) acetamide (3af):** White solid (40.1 mg, 65% yield); R_f = 0.43 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.33 (t, *J* = 7.8 Hz, 2H), 7.30 (d, *J* = 8.2 Hz, 1H), 7.21 (t, *J* = 7.6 Hz, 1H), 7.15 (d, *J* = 8.0 Hz, 1H), 7.12 (s, 1H), 7.00 (t, *J* = 7.5 Hz, 1H), 6.91 (d, *J* = 8.4 Hz, 2H), 6.88 (d, *J* = 7.5 Hz, 1H), 6.85 (d, *J* = 5.4 Hz, 1H), 5.68 (s, 1H), 3.77 (s, 3H), 2.93 (d, *J* = 4.9 Hz, 3H), 2.69 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.3, 150.1, 137.1, 129.5, 129.0, 128.0, 122.0, 119.7, 119.5, 118.5, 113.9, 109.6, 109.4, 63.0, 33.9, 32.9, 26.5. HRMS (APCI): calcd for $C_{19}H_{20}N_3O$ [M - H]$^-$: 306.1612, Found: 306.1615.



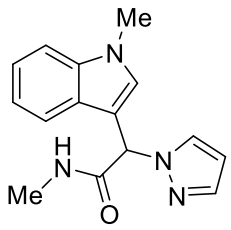
2-(indolin-1-yl)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3ag): White solid (54.5 mg, 85% yield); R_f = 0.45 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.54 (d, *J* = 8.0 Hz, 1H), 7.32 (d, *J* = 8.3 Hz, 1H), 7.29 (d, *J* = 5.1 Hz, 1H), 7.24 (t, *J* = 7.9 Hz, 1H), 7.16 (t, *J* = 7.7 Hz, 1H), 7.13 (d, *J* = 7.5 Hz, 1H), 7.11 (d, *J* = 8.8 Hz, 2H), 6.81 (t, *J* = 7.4 Hz, 1H), 6.63 (d, *J* = 7.8 Hz, 1H), 5.08 (s, 1H), 3.76 (s, 3H), 3.41 – 3.36 (m, 1H), 3.07 – 3.01 (m, 1H), 2.96 – 2.89 (m, 4H), 2.86 – 2.82 (m, 1H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.2, 151.8, 137.2, 130.8, 129.4, 127.6, 127.5, 124.7, 122.0, 119.8, 119.6, 119.3, 109.6, 109.1, 108.2, 61.1, 51.2, 32.9, 28.4, 26.3. HRMS (APCI): calcd for $C_{20}H_{20}N_3O$ [M - H]$^-$: 318.1612, Found: 318.1615.



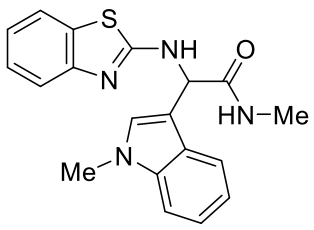
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(naphthalen-1-ylamino) acetamide (3ah):** Light yellow solid (53.5 mg, 78% yield); R_f = 0.43 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.84 (t, *J* = 8.1 Hz, 2H), 7.72 (d, *J* = 8.0 Hz, 1H), 7.48 (t, *J* = 7.5 Hz, 1H), 7.43 (t, 1H), 7.37 (d, *J* = 8.3 Hz, 1H), 7.35 (d, *J* = 3.9 Hz, 2H), 7.30 (t, *J* = 7.7 Hz, 1H), 7.19 (s, 1H), 7.17 (t, *J* = 7.6 Hz, 1H), 6.92 (d, *J* = 5.2 Hz, 1H), 6.66 (t, *J* = 4.4 Hz, 1H), 5.40 (s, 1H), 5.30 (s, 1H), 3.78 (s, 3H), 2.86 (d, *J* = 4.9 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.5, 142.2, 137.5, 134.3, 128.8, 128.0, 126.6, 126.4, 126.1, 125.3, 123.7, 122.4, 120.1, 119.1, 119.0, 111.8, 109.9, 106.1, 57.0, 33.0, 26.5. HRMS (APCI): calcd for $C_{22}H_{20}N_3O$ [M - H]$^-$: 342.1612, Found: 342.1615.



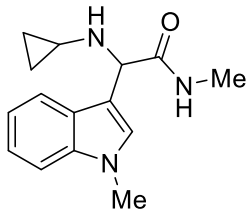
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(quinolin-8-ylamino)acet amide (3ai):** White solid (33.5 mg, 37% yield); R_f = 0.38 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.65 (s, 1H), 8.10 (d, *J* = 8.2 Hz, 1H), 7.65 (d, *J* = 8.0 Hz, 1H), 7.42 (t, *J* = 7.9 Hz, 1H), 7.39 – 7.37 (m, 1H), 7.34 (d, *J* = 8.3 Hz, 1H), 7.27 (t, *J* = 8.1 Hz, 1H), 7.22 – 7.19 (m, 3H), 7.11 (t, *J* = 7.6 Hz, 1H), 6.82 (s, 1H), 6.78 (d, *J* = 7.6 Hz, 1H), 5.26 (s, 1H), 3.78 (s, 3H), 2.89 (d, *J* = 5.0 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.7, 147.6, 143.8, 138.4, 137.4, 136.2, 128.6, 127.8, 126.6, 122.2, 121.8, 119.8, 119.3, 116.4, 111.8, 109.7, 106.8, 57.4, 33.0, 26.4. HRMS (APCI): calcd for $C_{21}H_{19}N_4O$ [M - H]$^-$: 343.1564, Found: 343.1566.



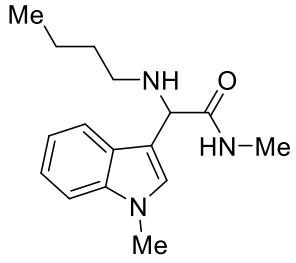
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(1*H*-pyrazol-1-yl)acetamide (3aj):** Yellow solid (44.5 mg, 64% yield); R_f = 0.20 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.61 (s, 1H), 7.49 (s, 1H), 7.32 (d, *J* = 10.7 Hz, 2H), 7.29 (s, 1H), 7.24 (t, *J* = 7.7 Hz, 1H), 7.07 (t, *J* = 7.5 Hz, 1H), 6.78 (d, *J* = 5.0 Hz, 1H), 6.36 (s, 1H), 6.26 (s, 1H), 3.77 (s, 3H), 2.84 (d, *J* = 4.8 Hz, 3H).^{13}C NMR (126 MHz, $CDCl_3$) δ 169.5, 140.6, 137.2, 130.3, 129.2, 126.7, 122.4, 120.1, 118.8, 109.7, 108.6, 105.9, 62.6, 33.1, 26.6. HRMS (APCI): calcd for $C_{15}H_{15}N_4O$ [M - H]$^-$: 267.1251, Found: 267.1254.



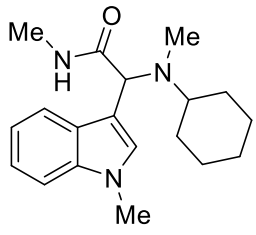
2-(benzo[d]thiazol-2-ylamino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3ak): Yellow solid (29.8 mg, 43% yield); R_f = 0.30 (PE:EA = 1:1); ^{1}H NMR (500 MHz, DMSO-d_6) δ 8.57 (d, *J* = 7.6 Hz, 1H), 8.23 (d, *J* = 4.8 Hz, 1H), 7.71 (d, *J* = 8.0 Hz, 1H), 7.66 (d, *J* = 7.8 Hz, 1H), 7.41 (t, *J* = 7.9 Hz, 2H), 7.32 (s, 1H), 7.22 (t, *J* = 7.7 Hz, 1H), 7.16 (t, *J* = 7.6 Hz, 1H), 7.05 – 7.00 (m, 2H), 5.84 (d, *J* = 7.6 Hz, 1H), 3.77 (s, 3H), 2.62 (d, *J* = 4.6 Hz, 3H). ^{13}C NMR (126 MHz, DMSO-d_6) δ 170.8, 165.3, 152.2, 136.6, 130.8, 128.4, 126.2, 125.5, 121.5, 121.1, 121.0, 119.5, 119.0, 118.1, 111.3, 109.8, 54.1, 32.5, 25.8. HRMS (APCI): calcd for $C_{19}H_{17}N_4OS$ [M - H]$^-$: 349.1129, Found: 349.1133.



2-(cyclopropylamino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3al): Yellow solid (36.8 mg, 69% yield); R_f = 0.31 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.65 (d, *J* = 7.9 Hz, 1H), 7.29 (d, *J* = 8.2 Hz, 1H), 7.23 (t, *J* = 7.6 Hz, 1H), 7.12 (t, *J* = 7.5 Hz, 1H), 7.06 (d, *J* = 4.3 Hz, 1H), 7.03 (s, 1H), 4.58 (s, 1H), 3.74 (s, 3H), 2.86 (d, *J* = 5.1 Hz, 3H), 2.29 – 2.25 (m, 1H), 2.15 (s, 1H), 0.48 – 0.45 (m, 2H), 0.42 – 0.40 (m, 2H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 173.9, 137.3, 127.4, 126.5, 122.1, 119.5, 119.3, 113.4, 109.6, 60.5, 32.8, 30.7, 26.5, 6.5, 6.4. HRMS (APCI): calcd for $C_{15}H_{18}N_3O$ [M - H]$^-$: 256.1455, Found: 256.1458.

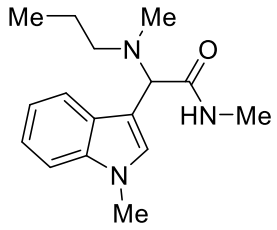


2-(butylamino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3am): Yellow solid (28.2 mg, 52% yield); R_f = 0.30 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.68 (d, *J* = 8.0 Hz, 1H), 7.40 (d, *J* = 5.8 Hz, 1H), 7.29 (d, *J* = 8.2 Hz, 1H), 7.23 (t, *J* = 7.6 Hz, 1H), 7.12 (t, *J* = 7.5 Hz, 1H), 7.04 (s, 1H), 4.46 (s, 1H), 3.74 (s, 3H), 2.87 (d, *J* = 5.1 Hz, 3H), 2.75 – 2.70 (m, 1H), 2.66 – 2.61 (m, 1H), 1.77 (s, 1H), 1.52 – 1.46 (m, 2H), 1.40 – 1.34 (m, 2H), 0.91 (t, *J* = 7.3 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 173.7, 137.3, 127.3, 126.5, 122.1, 119.5, 119.5, 113.3, 109.6, 60.8, 49.0, 32.9, 32.4, 26.1, 20.5, 14.1. HRMS (APCI): calcd for $C_{16}H_{22}N_3O$ [M - H]$^-$: 272.1768, Found: 272.1771.

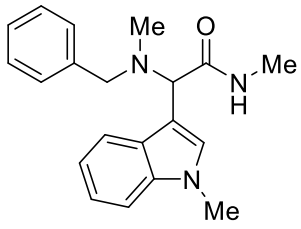


2-(cyclohexyl(methyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl) acetamide (3an): Yellow solid (48.5 mg, 77% yield); R_f = 0.49 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.62 (d, *J* = 8.0 Hz, 1H), 7.57 (s, 1H), 7.27 (d, *J* = 8.3 Hz, 1H), 7.19 (t, *J* = 7.5 Hz, 1H), 7.09 (t, *J* = 7.4 Hz, 1H), 7.02 (s, 1H), 4.53 (s, 1H), 3.73 (s, 3H), 2.86 (d, *J* = 5.1 Hz, 3H), 2.53 (t, *J* = 11.6 Hz, 1H), 2.13 (s, 3H), 1.85 – 1.83 (m, 1H), 1.77 – 1.71 (m, 3H), 1.39 – 1.25 (m, 3H), 1.19 – 1.03 (m, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 174.2, 137.3, 129.1, 127.7, 121.7, 120.0, 119.5, 110.5, 109.5, 65.1, 60.2, 33.8, 32.9, 29.7, 28.6, 26.3, 26.1, 26.0. HRMS (APCI):

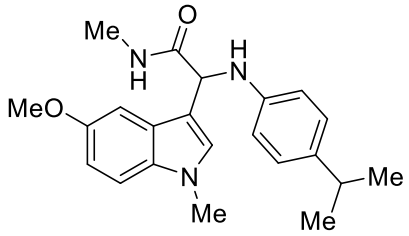
calcd for $C_{19}H_{26}N_3O$ [M - H]$^-$: 312.2081, Found: 312.2086.



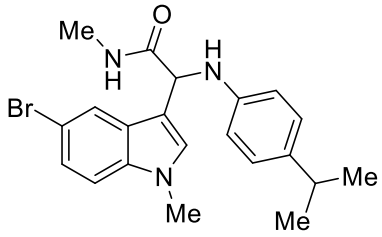
***N*-methyl-2-(methyl(propyl)amino)-2-(1-methyl-1*H*-indol-3-yl)acetamide (3ao):** Yellow solid (49.1 mg, 90% yield); R_f = 0.44 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.63 (d, *J* = 8.0 Hz, 1H), 7.43 (s, 1H), 7.28 (d, *J* = 8.2 Hz, 1H), 7.21 (t, *J* = 7.5 Hz, 1H), 7.10 (t, *J* = 7.4 Hz, 1H), 7.03 (s, 1H), 4.29 (s, 1H), 3.75 (s, 3H), 2.87 (d, *J* = 5.1 Hz, 3H), 2.39 – 2.35 (m, 2H), 2.18 (s, 3H), 1.57 – 1.50 (m, 2H), 0.89 (t, *J* = 7.3 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 173.4, 137.2, 129.2, 129.2, 127.8, 121.7, 120.0, 119.5, 109.5, 67.8, 57.6, 39.6, 32.9, 26.1, 20.9, 11.9. HRMS (APCI): calcd for $C_{16}H_{22}N_3O$ [M - H]$^-$: 272.1768, Found: 272.1771.



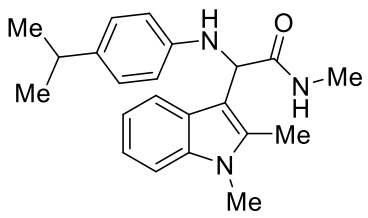
2-(benzyl(methyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3ap): White solid (52.4 mg, 82% yield); R_f = 0.42 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.68 (d, *J* = 8.0 Hz, 1H), 7.39 (s, 1H), 7.34 – 7.28 (m, 5H), 7.24 (d, *J* = 5.9 Hz, 1H), 7.21 (t, *J* = 7.7 Hz, 1H), 7.12 (t, *J* = 7.5 Hz, 1H), 7.07 (s, 1H), 4.41 (s, 1H), 3.75 (s, 3H), 3.63 (d, *J* = 13.3 Hz, 1H), 3.46 (d, *J* = 13.3 Hz, 1H), 2.89 (d, *J* = 5.0 Hz, 3H), 2.15 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 173.0, 139.1, 137.3, 129.3, 128.8, 128.5, 127.8, 127.2, 121.8, 120.0, 119.6, 109.6, 109.1, 67.3, 59.8, 40.1, 32.9, 26.2. HRMS (APCI): calcd for $C_{20}H_{22}N_3O$ [M - H]$^-$: 320.1768, Found: 320.1771.



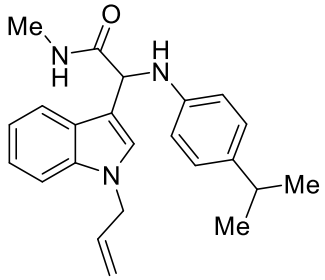
2-((4-*iso*propylphenyl)amino)-2-(5-methoxy-1-methyl-1*H*-indol-3-yl)-*N*-methylacetamide (4a) :Yellow solid (58.3 mg, 80% yield); R_f= 0.35 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.21 (d, *J* = 8.9 Hz, 1H), 7.16 (d, *J* = 5.0 Hz, 1H), 7.10 (d, *J* = 8.1 Hz, 2H), 7.06 (s, 1H), 7.03 (d, *J* = 2.4 Hz, 1H), 6.91 (dd, *J* = 8.9, 2.4 Hz, 1H), 6.63 (d, *J* = 8.1 Hz, 2H), 4.99 (s, 1H), 4.34 (s, 1H), 3.80 (s, 3H), 3.73 (s, 3H), 2.89 (d, *J* = 5.0 Hz, 3H), 2.87 – 2.81 (m, 1H), 1.23 (d, *J* = 6.9 Hz, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.9, 154.3, 145.4, 139.9, 132.7, 128.1, 127.4, 126.7, 113.9, 112.4, 111.7, 110.6, 101.0, 57.8, 56.0, 33.3, 33.1, 26.4, 24.3, 24.3. HRMS (APCI): calcd for $C_{22}H_{26}N_3O_2$ [M - H]$^-$: 364.2031, Found: 364.2036.



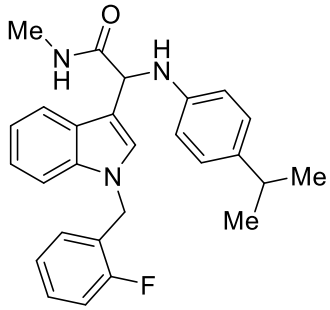
2-(5-bromo-1-methyl-1*H*-indol-3-yl)-2-((4-*iso*propylphenyl)amino)-*N*-methylacetamide (4b)： White solid (79.9 mg, 97% yield); R_f= 0.40 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.74 (s, 1H), 7.33 (d, *J* = 8.7 Hz, 1H), 7.18 (d, *J* = 8.7 Hz, 1H), 7.15 (d, *J* = 5.0 Hz, 1H), 7.11 – 7.09 (m, 3H), 6.62 (d, *J* = 8.6 Hz, 2H), 4.96 (s, 1H), 4.30 (s, 1H), 3.73 (s, 3H), 2.88 (d, *J* = 4.9 Hz, 3H), 2.86 – 2.82 (m, 1H), 1.23 (d, *J* = 6.9 Hz, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.5, 145.0, 140.0, 136.0, 129.0, 127.8, 127.4, 125.2, 121.7, 113.9, 113.3, 111.9, 111.3, 57.5, 33.3, 33.1, 26.4, 24.3. HRMS (APCI): calcd for $C_{21}H_{23}N_3OBr$ [M - H]$^-$: 412.1030, Found: 412.1034.



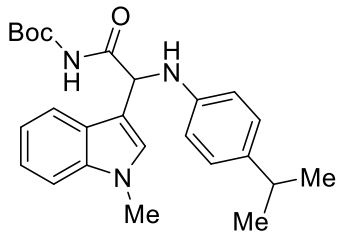
2-(1,2-dimethyl-1*H*-indol-3-yl)-2-((4-*iso*propylphenyl)amino)-*N*-methylacetamide (4c): White solid (25.2 mg, 36% yield); R_f = 0.44 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.61 (d, *J* = 7.9 Hz, 1H), 7.29 (d, *J* = 8.2 Hz, 1H), 7.19 (t, *J* = 7.6 Hz, 1H), 7.13 (d, *J* = 4.9 Hz, 1H), 7.11 (d, *J* = 7.6 Hz, 1H), 7.09 (d, *J* = 8.1 Hz, 2H), 6.61 (d, *J* = 7.4 Hz, 2H), 4.98 (s, 1H), 4.43 (s, 1H), 3.67 (s, 3H), 2.86 (d, *J* = 5.0 Hz, 3H), 2.83 – 2.81 (m, 1H), 2.47 (s, 3H), 1.22 (d, *J* = 6.8 Hz, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.8, 145.7, 139.6, 136.9, 135.5, 127.4, 125.6, 121.2, 119.7, 118.4, 113.9, 109.2, 108.5, 57.7, 33.3, 29.8, 26.3, 24.3, 24.3, 10.9. HRMS (APCI): calcd for $C_{22}H_{26}N_3O$ [M - H]$^-$: 348.2081, Found: 348.2085.



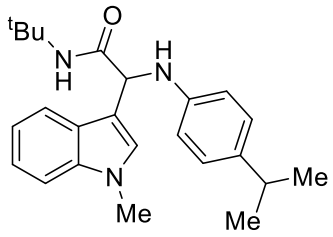
2-(1-allyl-1*H*-indol-3-yl)-2-((4-*iso*propylphenyl)amino)-*N*-methylacetamide (4d): White solid (69.2 mg, 96% yield); R_f = 0.45 (PE:EA = 2:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.62 (d, *J* = 7.9 Hz, 1H), 7.34 (d, *J* = 8.3 Hz, 1H), 7.25 (t, *J* = 7.5 Hz, 1H), 7.21 (d, *J* = 5.0 Hz, 1H), 7.15 – 7.13 (m, 2H), 7.11 (d, *J* = 8.4 Hz, 2H), 6.63 (d, *J* = 8.0 Hz, 2H), 6.02 – 5.94 (m, 1H), 5.23 (d, *J* = 10.2 Hz, 1H), 5.15 (d, *J* = 17.1 Hz, 1H), 5.04 (s, 1H), 4.70 (d, *J* = 5.4 Hz, 2H), 4.37 (s, 1H), 2.90 (d, *J* = 4.9 Hz, 3H), 2.88 – 2.82 (m, 1H), 1.23 (d, *J* = 7.1 Hz, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.7, 145.3, 139.9, 136.8, 133.1, 127.4, 126.8, 126.5, 122.3, 120.0, 119.2, 118.0, 113.9, 112.6, 110.2, 57.9, 49.1, 33.3, 26.4, 24.3, 24.3. HRMS (APCI): calcd for $C_{23}H_{26}N_3O$ [M - H]$^-$: 360.2081, Found: 360.2085.



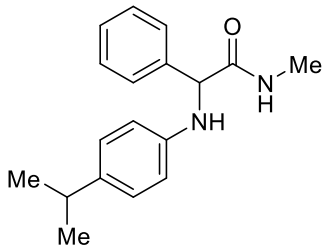
2-(1-(2-fluorobenzyl)-1*H*-indol-3-yl)-2-((4-*iso*propylphenyl)amino)-*N*-methylacetamide (4e): White solid (74.8 mg, 87% yield); R_f=0.40 (PE:EA = 2:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.64 (d, *J* = 7.9 Hz, 1H), 7.35 (d, *J* = 8.2 Hz, 1H), 7.29 – 7.26 (m, 1H), 7.25 (d, *J* = 8.3 Hz, 1H), 7.22 – 7.21 (m, 2H), 7.15 (t, *J* = 7.5 Hz, 1H), 7.11 (d, *J* = 8.5 Hz, 2H), 7.08 (d, *J* = 8.6 Hz, 1H), 7.03 (t, *J* = 7.5 Hz, 1H), 6.93 (t, *J* = 7.6 Hz, 1H), 6.64 (d, *J* = 8.5 Hz, 2H), 5.33 (s, 2H), 5.06 (s, 1H), 4.39 (s, 1H), 2.89 (d, *J* = 4.9 Hz, 3H), 2.87 – 2.83 (m, 1H), 1.24 (d, *J* = 6.9 Hz, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.6, 160.4 (d, *J* = 247.84 Hz), 145.3, 139.9, 136.9, 129.8 (d, *J* = 8.19 Hz), 129.2 (d, *J* = 3.84 Hz), 127.4, 127.2, 126.5, 124.6 (d, *J* = 3.61 Hz), 124.2 (d, *J* = 15.00Hz), 122.6, 120.2, 119.4, 115.6 (d, *J* = 21.30 Hz), 113.9, 113.1, 110.2, 57.9, 44.0 (d, *J* = 4.97 Hz), 33.3, 26.4, 24.3. ^{19}F NMR (471 MHz, $CDCl_3$) δ -118.15. HRMS (APCI): calcd for $C_{27}H_{27}N_3OF$ [M - H]$^-$: 428.2144, Found: 428.2148.



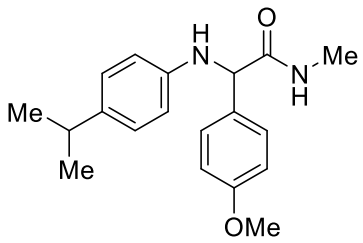
***tert*-butyl (2-((4-*iso*propylphenyl)amino)-2-(1-methyl-1*H*-indol-3-yl)acetyl)carbamate (4f):** Yellow oil (47.6 mg, 57% yield); R_f = 0.30 (PE:EA = 4:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.83 (s, 1H), 7.63 (d, *J* = 8.1 Hz, 1H), 7.33 (d, *J* = 8.1 Hz, 1H), 7.28 (d, *J* = 7.2 Hz, 1H), 7.16 – 7.12 (m, 4H), 6.68 (d, *J* = 8.5 Hz, 2H), 5.24 (s, 1H), 4.39 (s, 1H), 3.78 (s, 3H), 2.89 – 2.81 (m, 1H), 1.48 (s, 9H), 1.23 (d, *J* = 7.0 Hz, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.6, 149.6, 145.0, 140.5, 137.4, 127.7, 127.6, 126.2, 122.6, 120.1, 119.2, 114.3, 110.9, 109.9, 82.9, 58.7, 33.4, 33.0, 28.1, 24.3, 24.3. HRMS (APCI): calcd for $C_{25}H_{30}N_3O_3$ [M - H]$^-$: 420.2293, Found: 420.2295.



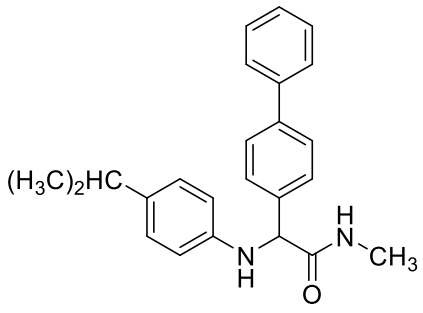
***N*-(*tert*-butyl)-2-((4-*iso*propylphenyl)amino)-2-(1-methyl-1*H*-indol-3-yl)acetamide (4g):** White solid (55.6 mg, 74% yield); R_f = 0.47 (PE:EA = 4:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.62 (d, *J* = 8.1 Hz, 1H), 7.32 (d, *J* = 8.2 Hz, 1H), 7.25 (t, *J* = 7.1 Hz, 1H), 7.12 (t, *J* = 7.5 Hz, 1H), 7.10 – 7.08 (m, 4H), 6.63 (d, *J* = 8.5 Hz, 2H), 4.85 (s, 1H), 4.32 (brs, 1H), 3.76 (s, 3H), 2.88 – 2.80 (m, 1H), 1.38 (s, 9H), 1.23 (d, *J* = 7.0 Hz, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.3, 145.5, 139.9, 137.5, 127.7, 127.3, 126.3, 122.3, 119.7, 119.2, 114.2, 112.6, 109.8, 59.0, 51.2, 33.4, 33.0, 28.8, 24.3. **HRMS *m/z* (APCI)**: calcd for $C_{24}H_{30}N_3O$ [M - H]$^-$: 376.2394, Found: 376.2399.



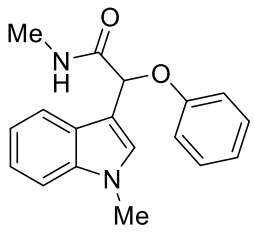
2-((4-*iso*propylphenyl)amino)-*N*-methyl-2-phenylacetamide (5a)： Yellow solid (12.8 mg, 15% yield); R_f = 0.31 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.42 (d, *J* = 7.0 Hz, 2H), 7.38 (t, *J* = 7.2 Hz, 2H), 7.34 (t, *J* = 6.9 Hz, 1H), 7.08 (d, *J* = 8.5 Hz, 2H), 6.85 (d, *J* = 5.3 Hz, 1H), 6.59 (d, *J* = 8.4 Hz, 2H), 4.70 (s, 1H), 4.35 (s, 1H), 2.84 (d, *J* = 5.1 Hz, 3H), 2.82 – 2.79 (m, 1H), 1.21 (d, *J* = 7.0 Hz, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.2, 144.9, 140.0, 139.2, 129.4, 128.7, 127.6, 127.4, 114.0, 64.8, 33.3, 26.5, 24.3. HRMS (APCI): calcd for $C_{18}H_{21}N_2O$ [M - H]$^-$: 281.1659, Found: 281.1662.



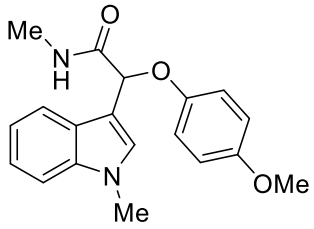
2-((4-*iso*propylphenyl)amino)-2-(4-methoxyphenyl)-*N*-methylacetamide (5b): Light yellow oil (31.1 mg, 50% yield); R_f = 0.56 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.33 (d, *J* = 8.7 Hz, 2H), 7.07 (d, *J* = 8.5 Hz, 2H), 6.90 (d, *J* = 8.6 Hz, 2H), 6.85 (d, *J* = 5.1 Hz, 1H), 6.58 (d, *J* = 8.5 Hz, 2H), 4.65 (s, 1H), 4.31 (s, 1H), 3.80 (s, 3H), 2.84 (d, *J* = 4.9 Hz, 3H), 2.82 – 2.79 (m, 1H), 1.21 (d, *J* = 6.9 Hz, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.4, 159.8, 145.0, 139.9, 131.2, 128.8, 127.4, 114.7, 113.9, 64.1, 55.5, 33.3, 26.4, 24.3, 24.3. HRMS (APCI): calcd for $C_{19}H_{23}N_2O_2$ [M - H]$^-$: 311.1765, Found: 311.1768.



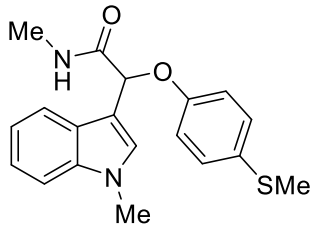
2-([1,1'-biphenyl]-4-yl)-2-((4-*iso*propylphenyl)amino)-*N*-methylacetamide (5c): Light yellow solid (28.7 mg, 40% yield); R_f = 0.29 (PE:EA = 3:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.60 (d, *J* = 8.0 Hz, 2H), 7.57 (d, *J* = 7.0 Hz, 2H), 7.49 (d, *J* = 8.0 Hz, 2H), 7.45 (t, *J* = 7.6 Hz, 2H), 7.36 (t, *J* = 7.3 Hz, 1H), 7.09 (d, *J* = 8.4 Hz, 2H), 6.88 (d, *J* = 5.2 Hz, 1H), 6.61 (d, *J* = 8.4 Hz, 2H), 4.75 (s, 1H), 4.39 (s, 1H), 2.87 (d, *J* = 4.9 Hz, 3H), 2.84 – 2.80 (m, 1H), 1.21 (d, *J* = 6.9 Hz, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.1, 144.9, 141.7, 140.6, 140.1, 138.1, 129.0, 128.1, 128.0, 127.7, 127.4, 127.3, 114.0, 64.5, 33.4, 26.5, 24.3, 24.3. HRMS (APCI): calcd for $C_{24}H_{25}N_2O$ [M - H]$^-$: 357.1972, Found: 357.1974.



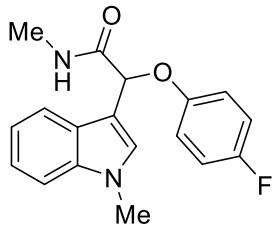
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-phenoxyacetamide (6a):** White solid (32.3 mg, 55% yield); R_f = 0.41 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.73 (d, *J* = 8.0 Hz, 1H), 7.29 – 7.26 (m, 3H), 7.23 (t, *J* = 7.4 Hz, 1H), 7.14 – 7.12 (m, 2H), 7.03 – 6.97 (m, 3H), 6.84 (d, *J* = 4.6 Hz, 1H), 5.87 (s, 1H), 3.73 (s, 3H), 2.91 (d, *J* = 5.0 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.0, 157.4, 137.4, 129.8, 128.2, 126.4, 122.3, 122.1, 120.0, 120.0, 116.0, 110.3, 109.6, 76.0, 33.0, 26.2. HRMS (APCI): calcd for $C_{18}H_{17}N_2O_2$ [M - H]$^-$: 293.1295, Found: 293.1298.



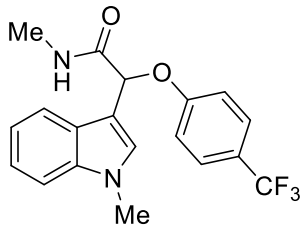
2-(4-methoxyphenoxy)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl) acetamide (6b): White solid (36.1 mg, 53% yield); R_f = 0.39 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.70 (d, *J* = 7.9 Hz, 1H), 7.29 (d, *J* = 8.2 Hz, 1H), 7.23 (t, *J* = 7.5 Hz, 1H), 7.14 – 7.11 (m, 2H), 6.92 – 6.88 (m, 3H), 6.79 (d, *J* = 9.0 Hz, 2H), 5.74 (s, 1H), 3.75 – 3.74 (m, 6H), 2.92 (d, *J* = 5.0 Hz, 3H). ^{113}C NMR (126 MHz, $CDCl_3$) δ 171.2, 154.9, 151.5, 137.5, 128.3, 126.5, 122.2, 120.0, 119.9, 117.3, 114.9, 110.4, 109.6, 77.0, 55.8, 33.0, 26.2. HRMS *m/z* (APCI): calcd for $C_{19}H_{19}N_2O_3$ [M - H]$^-$: 323.1401, Found: 323.1405.



***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(4-(methylthio)phenoxy) acetamide (6c):** White solid (46.8 mg, 69% yield); R_f = 0.33 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.70 (d, *J* = 8.0 Hz, 1H), 7.29 (d, *J* = 8.2 Hz, 1H), 7.24 (d, *J* = 7.3 Hz, 1H), 7.21 (d, *J* = 8.5 Hz, 2H), 7.14 – 7.11 (m, 2H), 6.94 (d, *J* = 8.3 Hz, 2H), 6.79 (d, *J* = 5.0 Hz, 1H), 5.81 (s, 1H), 3.75 (s, 3H), 2.92 (d, *J* = 4.9 Hz, 3H), 2.43 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 170.8, 155.7, 137.5, 130.8, 129.7, 128.3, 126.4, 122.3, 120.0, 119.9, 116.8, 110.1, 109.7, 76.3, 33.0, 26.2, 17.5. HRMS (APCI): calcd for $C_{19}H_{19}N_2O_2S$ [M - H]$^-$: 339.1173, Found: 339.1175.

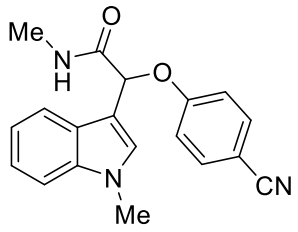


2-(4-fluorophenoxy)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl) acetamide (6d): White solid (57 mg, 91% yield); R_f = 0.41 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.67 (d, *J* = 7.8 Hz, 1H), 7.27 – 7.26 (m, 1H), 7.22 (t, *J* = 7.5 Hz, 1H), 7.12 – 7.10 (m, 2H), 6.91 – 6.90 (m, 4H), 6.85 (s, 1H), 5.74 (s, 1H), 3.70 (s, 3H), 2.89 (d, *J* = 5.1 Hz, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 170.8, 158.1(d, *J* = 240.2 Hz), 153.4, 137.4, 128.4, 126.3, 122.3, 120.0 (d, *J* = 16.4 Hz), 117.5(d, *J* = 6.8 Hz), 116.2, 116.1, 109.9, 109.7, 76.8, 33.0, 26.2. ^{19}F NMR (471 MHz, $CDCl_3$) δ -121.9. HRMS (APCI): calcd for $C_{18}H_{16}N_2O_2F$ [M - H]$^-$: 311.1201, Found: 311.1202.

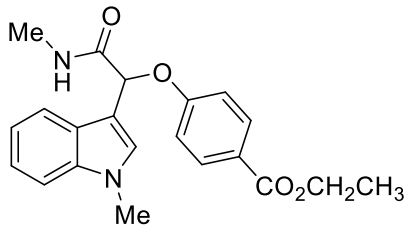


***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(4-(trifluoromethyl) phenoxy)acetamide (6e):** Yellow solid (29.3 mg, 40% yield); R_f = 0.30 (PE : EA = 1:1); ^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.69 (d, *J* = 8.1 Hz, 1H), 7.52 (d, *J* = 8.9 Hz, 2H), 7.30 (d, *J* = 8.2 Hz, 1H), 7.24 (d, *J* = 8.2 Hz, 1H), 7.16 (s, 1H), 7.14 (t, *J* = 8.1 Hz, 1H), 7.08 (d, *J* = 8.9 Hz, 2H), 6.73 (s, 1H), 5.91 (s, 1H), 3.76 (s, 3H), 2.92 (d, *J* = 4.9 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 170.2, 159.7, 137.5, 128.4, 127.3 (q, *J* = 3.7 Hz), 126.2, 124.3 (q, *J* = 32.9 Hz), 124.3 (q, *J* = 272.3 Hz), 122.5, 120.2, 119.8, 115.9, 109.8, 109.5, 76.1, 33.1,

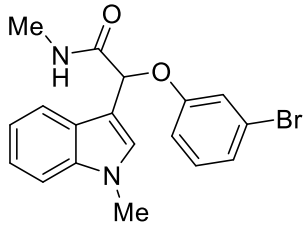
26.3. ^{19}F NMR (471 MHz, $CDCl_3$) δ -61.7. **HRMS *m/z* (APCI)**: calcd for $C_{19}H_{16}N_2O_2F_3$ [M - H]$^-$: 361.1169, Found: 361.1173.



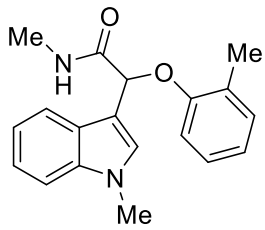
2-(4-cyanophenoxy)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl) acetamide (6f): White solid (41.7 mg, 65% yield); R_f = 0.45 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.67 (d, *J* = 7.9 Hz, 1H), 7.55 (d, *J* = 8.5 Hz, 2H), 7.31 (d, *J* = 8.2 Hz, 1H), 7.25 (t, *J* = 7.5 Hz, 1H), 7.17 (s, 1H), 7.14 (t, *J* = 7.4 Hz, 1H), 7.06 (d, *J* = 8.5 Hz, 2H), 6.71 (s, 1H), 5.92 (s, 1H), 3.75 (s, 3H), 2.92 (d, *J* = 5.0 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 169.9, 160.4, 137.4, 134.3, 128.5, 126.0, 122.6, 120.3, 119.6, 118.9, 116.6, 109.9, 108.9, 105.5, 76.0, 33.1, 26.4. HRMS (APCI): calcd for $C_{19}H_{16}N_3O_2$ [M - H]$^-$: 318.1248, Found: 318.1250.



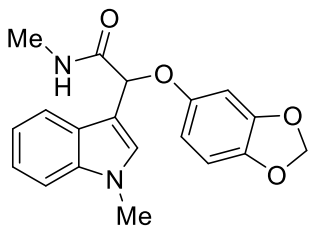
ethyl 4-(1-(1-methyl-1*H*-indol-3-yl)-2-(methylamino)-2-oxoethoxy)benzoate (6g): White solid (57.1 mg, 78% yield); R_f = 0.40 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.97 (d, *J* = 6.7 Hz, 2H), 7.70 (d, *J* = 8.0 Hz, 1H), 7.29 (d, *J* = 8.2 Hz, 1H), 7.24 (t, *J* = 7.9 Hz, 1H), 7.16 (s, 1H), 7.13 (t, *J* = 8.8 Hz, 1H), 7.03 (d, *J* = 6.6 Hz, 2H), 6.75 (s, 1H), 5.94 (s, 1H), 4.33 (q, *J* = 7.1 Hz, 2H), 3.75 (s, 3H), 2.91 (d, *J* = 4.0 Hz, 3H), 1.36 (t, *J* = 7.2 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 170.3, 166.2, 160.8, 137.4, 131.8, 128.3, 126.2, 124.3, 122.4, 120.1, 119.8, 115.5, 109.8, 109.6, 75.9, 60.9, 33.1, 26.3, 14.5. HRMS (APCI): calcd for $C_{21}H_{21}N_2O_4$ [M - H]$^-$: 365.1507, Found:365.1509.



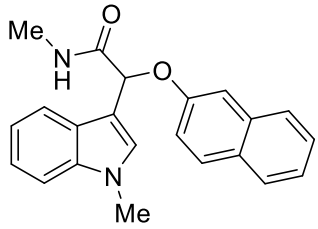
2-(3-bromophenoxy)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl) acetamide (6h): White solid (47.6 mg, 64% yield); R_f = 0.35 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.69 (d, *J* = 8.2 Hz, 1H), 7.30 (d, *J* = 8.2 Hz, 1H), 7.24 (d, *J* = 8.1 Hz, 1H), 7.19 (s, 1H), 7.15 – 7.11 (m, 4H), 6.93 (s, 1H), 6.78 (s, 1H), 5.84 (s, 1H), 3.75 (s, 3H), 2.92 (d, *J* = 4.9 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 170.4, 158.0, 137.4, 130.9, 128.4, 126.2, 125.3, 123.0, 122.4, 120.1, 119.8, 119.7, 114.5, 109.8, 109.6, 76.2, 33.0, 26.3. HRMS (APCI): calcd for $C_{18}H_{16}N_2O_2Br$ [M - H]$^-$: 371.0401, Found: 371.0403.



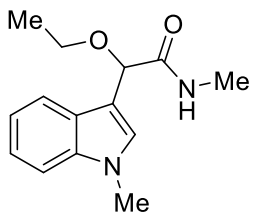
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(*o*-tolyloxy)acetamide (6i) :** White solid (38.2 mg, 62% yield); R_f = 0.50 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.72 (d, *J* = 8.0 Hz, 1H), 7.29 (d, *J* = 8.2 Hz, 1H), 7.24 (t, *J* = 7.6 Hz, 1H), 7.16 (d, *J* = 7.5 Hz, 1H), 7.14 (s, 1H), 7.12 – 7.09 (m, 2H), 6.92 – 6.89 (m, 2H), 6.83 (d, *J* = 5.4 Hz, 1H), 5.84 (s, 1H), 3.75 (s, 3H), 2.93 (d, *J* = 4.9 Hz, 3H), 2.29 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.2, 155.6, 137.5, 131.1, 128.2, 127.3, 127.2, 126.4, 122.2, 121.8, 120.0, 119.9, 113.2, 110.5, 109.6, 76.1, 33.0, 26.2, 16.8. HRMS (APCI): calcd for $C_{19}H_{19}N_2O_2$ [M - H]$^-$: 307.1452, Found: 307.1455.



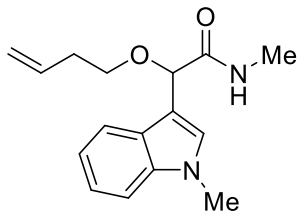
2-(benzo[d][1,3]dioxol-5-yloxy)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (6j): Yellow oil (32.4 mg, 53% yield); R_f = 0.15 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 9.73 (brs, 1H), 7.45 (d, *J* = 8.0 Hz, 1H), 7.31 (d, *J* = 8.2 Hz, 1H), 7.23 (t, *J* = 7.6 Hz, 1H), 7.10 (t, *J* = 7.5 Hz, 1H), 7.07 (s, 1H), 6.64 (s, 1H), 6.48 (s, 1H), 6.27 (d, *J* = 5.1 Hz, 1H), 5.85 (s, 2H), 4.95 (s, 1H), 3.74 (s, 3H), 2.85 (d, *J* = 4.8 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 175.5, 151.3, 147.8, 141.0, 137.4, 128.6, 126.9, 122.1, 119.7, 119.1, 116.8, 110.2, 109.8, 109.3, 101.2, 100.8, 48.9, 33.0, 27.0. HRMS (APCI): calcd for $C_{19}H_{17}N_2O_4$ [M - H]$^-$: 337.1194, Found: 337.1196.



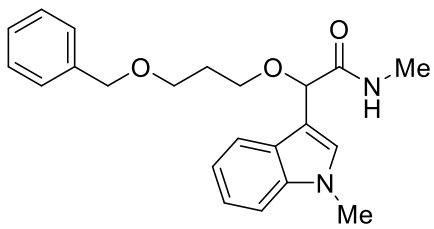
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(naphthalen-2-yloxy) acetamide (6k):** Light yellow solid (24.9 mg, 36% yield); R_f = 0.50 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.78 – 7.75 (m, 3H), 7.72 (d, *J* = 8.2 Hz, 1H), 7.44 (t, *J* = 7.5 Hz, 1H), 7.36 (t, *J* = 7.5 Hz, 1H), 7.31 – 7.29 (m, 2H), 7.26 – 7.23 (m, 2H), 7.19 (s, 1H), 7.14 (t, *J* = 7.5 Hz, 1H), 6.83 (d, *J* = 5.4 Hz, 1H), 6.03 (s, 1H), 3.76 (s, 3H), 2.93 (d, *J* = 4.9 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 170.9, 155.2, 137.5, 134.4, 129.9, 129.6, 128.2, 127.7, 127.2, 126.7, 126.5, 124.4, 122.3, 120.0, 120.0, 118.9, 110.2, 109.7, 109.3, 76.1, 33.0, 26.2. HRMS (APCI): calcd for $C_{22}H_{19}N_2O_2$ [M - H]$^-$: 343.1452, Found: 343.1456.



2-ethoxy-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (6l): Light yellow solid (28.7 mg, 58% yield); R_f = 0.32 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.68 (d, *J* = 8.0 Hz, 1H), 7.29 (d, *J* = 8.2 Hz, 1H), 7.22 (t, 1H), 7.13 – 7.10 (m, 2H), 7.01 (s, 1H), 5.04 (s, 1H), 3.74 (s, 3H), 3.62 – 3.49 (m, 2H), 2.91 (d, *J* = 4.9 Hz, 3H), 1.23 (t, *J* = 7.0 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.1, 137.5, 128.7, 126.5, 122.0, 119.9, 119.6, 111.0, 109.5, 76.6, 64.6, 32.9, 25.9, 15.4. HRMS (APCI): calcd for $C_{14}H_{17}N_2O_2$ [M - H]$^-$: 245.1296, Found: 245.1296.

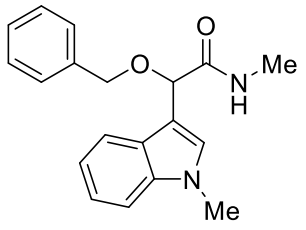


2-(but-3-en-1-yloxy)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl) acetamide (6m): Light yellow oil: (29.9 mg, 55% yield); R_f = 0.30 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.67 (d, *J* = 8.0 Hz, 1H), 7.29 (d, *J* = 8.2 Hz, 1H), 7.22 (t, *J* = 7.6 Hz, 1H), 7.12 – 7.09 (m, 2H), 6.98 (s, 1H), 5.84 – 5.76 (m, 1H), 5.10 (d, *J* = 18.8 Hz, 1H), 5.06 (d, *J* = 9.5 Hz, 1H), 5.03 (s, 1H), 3.75 (s, 3H), 3.63 – 3.58 (m, 1H), 3.52 – 3.47 (m, 1H), 2.90 (d, *J* = 5.0 Hz, 3H), 2.37 (q, *J* = 6.6 Hz, 2H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.9, 137.5, 135.3, 128.8, 126.5, 122.1, 120.0, 119.7, 116.9, 110.8, 109.6, 76.9, 68.4, 34.3, 32.9, 25.9. HRMS *m/z* (ESI): calcd for $C_{16}H_{20}N_2O_2Na$ [M + Na]$^+$: 295.1417, Found: 295.1420.

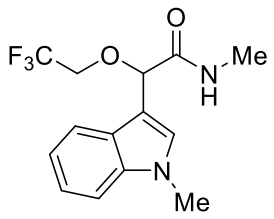


2-(3-(benzyloxy)propoxy)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (6n): Light yellow oil: (39.5 mg, 54% yield); R_f = 0.23 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.64 (d, *J* = 7.9 Hz, 1H), 7.34 – 7.31 (m, 2H), 7.29 – 7.25 (m, 4H), 7.21 (t, *J* = 7.6 Hz, 1H), 7.10 – 7.07 (m, 2H), 7.04 (s, 1H), 5.00 (s, 1H), 4.42 (s, 2H), 3.72 (s, 3H), 3.66 – 3.59 (m, 3H), 3.55 – 3.51 (m, 1H), 2.80 (d, *J* = 4.7 Hz, 3H), 1.91 (p, *J* = 6.3 Hz, 2H).

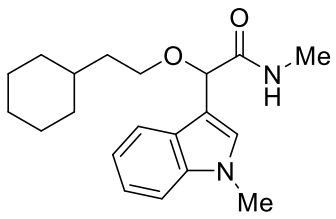
^{13}C NMR (126 MHz, $CDCl_3$) δ 172.0, 138.4, 137.5, 128.8, 128.5, 127.8, 127.8, 126.5, 122.0, 120.0, 119.6, 110.9, 109.5, 77.0, 73.0, 67.4, 66.4, 32.9, 30.0, 25.9. HRMS (APCI): calcd for $C_{22}H_{25}N_2O_3$ [M - H]$^-$: 365.1871, Found: 365.1873.



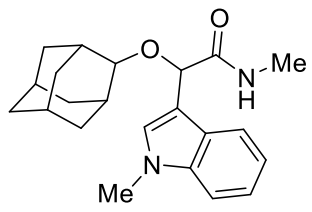
2-(benzyloxy)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (6o): Light yellow solid (41.9 mg, 68% yield); R_f = 0.35 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.68 (d, *J* = 8.0 Hz, 1H), 7.37 – 7.34 (m, 2H), 7.33 – 7.30 (m, 4H), 7.24 (d, *J* = 7.9 Hz, 1H), 7.15 (s, 1H), 7.12 (t, *J* = 7.6 Hz, 1H), 7.02 (s, 1H), 5.14 (s, 1H), 4.61 (d, *J* = 11.5 Hz, 1H), 4.46 (d, *J* = 11.5 Hz, 1H), 3.77 (s, 3H), 2.90 (d, *J* = 5.0 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.7, 137.7, 137.6, 129.3, 128.6, 128.3, 128.1, 126.5, 122.1, 120.0, 119.8, 110.4, 109.6, 76.0, 70.7, 33.0, 26.0. HRMS (APCI): calcd for $C_{19}H_{19}N_2O_2$ [M - H]$^-$: 307.1452, Found: 307.1453.



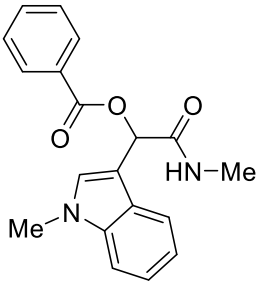
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(2,2,2-trifluoroethoxy) acetamide (6p):** Yellow oil (34.1 mg, 57% yield); R_f = 0.25 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.61 (d, *J* = 8.0 Hz, 1H), 7.32 (d, *J* = 8.2 Hz, 1H), 7.25 (t, *J* = 7.6 Hz, 1H), 7.18 (s, 1H), 7.13 (t, *J* = 7.4 Hz, 1H), 6.90 (s, 1H), 5.21 (s, 1H), 3.82 – 3.80 (m, 2H), 3.77 (s, 3H), 2.93 (d, *J* = 5.0 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 170.2, 137.7, 130.1, 126.1, 124.1(q, *J* = 278.6 Hz), 122.5, 120.2, 119.6, 109.8, 108.4, 77.5, 65.2 (q, *J* = 35.8 Hz), 33.0, 26.1. ^{19}F NMR (471 MHz, $CDCl_3$) δ -73.7. HRMS (APCI): calcd for $C_{14}H_{14}N_2O_2F_3$ [M - H]$^-$: 299.1013, Found: 299.1016.



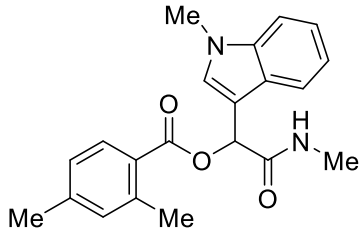
2-(2-cyclohexylethoxy)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl) acetamide (6q): Yellow oil (43.8 mg, 67% yield); R_f = 0.51 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.66 (d, *J* = 8.0 Hz, 1H), 7.28 (d, *J* = 8.2 Hz, 1H), 7.22 (t, *J* = 7.6 Hz, 1H), 7.12 – 7.09 (m, 2H), 6.97 (s, 1H), 5.00 (s, 1H), 3.75 (s, 3H), 3.57 – 3.45 (m, 2H), 2.91 (d, *J* = 5.1 Hz, 3H), 1.67 – 1.62 (m, 5H), 1.54 – 1.46 (m, 2H), 1.36 – 1.34 (m, 1H), 1.21 – 1.10 (m, 3H), 0.91 – 0.83 (m, 2H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.2, 137.5, 128.7, 126.6, 122.0, 120.0, 119.6, 111.1, 109.5, 77.0, 67.3, 37.3, 34.7, 33.6, 33.3, 32.9, 26.6, 26.4, 26.3, 26.0. HRMS (APCI): calcd for $C_{20}H_{27}N_2O_2$ [M - H]$^-$: 327.2078, Found: 327.2080.



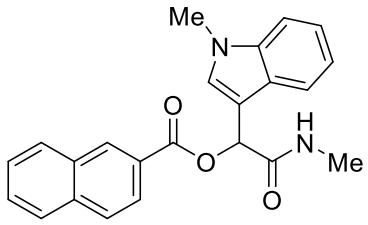
2-(((1r,3r,5r,7r)-adamantan-2-yl)oxy)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (6r): White solid (30.1mg, 43% yield); R_f = 0.52 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.72 (d, *J* = 8.0 Hz, 1H), 7.28 (d, *J* = 8.4 Hz, 1H), 7.21 (t, *J* = 7.6 Hz, 1H), 7.11 – 7.07 (m, 3H), 5.17 (s, 1H), 3.76 (s, 3H), 3.60 (s, 1H), 2.90 (d, *J* = 4.9 Hz, 3H), 2.12 – 2.06 (m, 3H), 1.85 – 1.74 (m, 5H), 1.70 (s, 2H), 1.57 – 1.49 (m, 4H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.9, 137.5, 128.5, 126.7, 121.9, 120.3, 119.5, 111.7, 109.5, 79.6, 73.6, 37.6, 36.6, 36.4, 32.9, 32.8, 32.2, 31.9, 31.2, 27.5, 27.4, 26.1. HRMS (APCI): calcd for $C_{22}H_{27}N_2O_2$ [M - H]$^-$: 351.2078, Found: 357.2082.



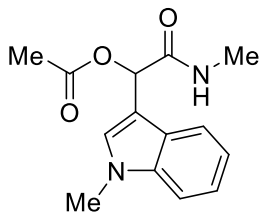
1-(1-methyl-1*H*-indol-3-yl)-2-(methylamino)-2-oxoethyl benzoate (7a): White solid (43.1 mg, 67% yield); R_f = 0.32 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.07 (d, *J* = 7.5 Hz, 2H), 7.74 (d, *J* = 8.0 Hz, 1H), 7.55 (t, *J* = 7.4 Hz, 1H), 7.42 (t, *J* = 7.6 Hz, 2H), 7.32 (d, *J* = 8.3 Hz, 1H), 7.30 (s, 1H), 7.27 (t, *J* = 7.8 Hz, 1H), 7.16 (t, *J* = 7.4 Hz, 1H), 6.71 (s, 1H), 6.32 (s, 1H), 3.77 (s, 3H), 2.90 (d, *J* = 4.9 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 169.5, 165.7, 137.3, 133.5, 130.0, 130.0, 129.8, 128.6, 126.4, 122.4, 120.3, 119.6, 109.8, 109.0, 70.4, 33.0, 26.5. HRMS (ESI): calcd for $C_{19}H_{17}N_2O_3$ [M - H]$^-$: 321.1245, Found: 321.1247.



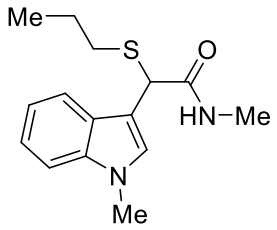
1-(1-methyl-1*H*-indol-3-yl)-2-(methylamino)-2-oxoethyl 2,4-dimethylbenzoate (7b): White solid (53.4 mg, 76% yield); R_f = 0.45 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.85 (d, *J* = 7.9 Hz, 1H), 7.72 (d, *J* = 7.9 Hz, 1H), 7.31 (d, *J* = 8.2 Hz, 1H), 7.28 (s, 1H), 7.24 (d, *J* = 8.8 Hz, 1H), 7.15 (t, *J* = 7.4 Hz, 1H), 7.03 (s, 1H), 7.00 (d, *J* = 8.0 Hz, 1H), 6.66 (s, 1H), 6.32 (s, 1H), 3.76 (s, 3H), 2.89 (d, *J* = 4.9 Hz, 3H), 2.56 (s, 3H), 2.32 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 169.8, 166.3, 143.1, 141.0, 137.3, 132.7, 131.1, 130.0, 126.6, 126.4, 126.1, 122.3, 120.2, 119.6, 109.7, 109.2, 69.9, 33.0, 26.5, 21.9, 21.5. HRMS (APCI): calcd for $C_{21}H_{21}N_2O_3$ [M - H]$^-$: 349.1558, Found: 349.1560.



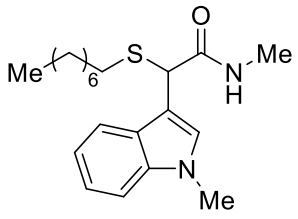
1-(1-methyl-1*H*-indol-3-yl)-2-(methylamino)-2-oxoethyl 2-naphthoate (7c): White solid (44.3 mg, 60% yield); R_f = 0.29 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.62 (s, 1H), 8.06 (d, *J* = 8.7 Hz, 1H), 7.90 (d, *J* = 8.7 Hz, 1H), 7.84 (d, *J* = 8.4 Hz, 2H), 7.78 (d, *J* = 7.9 Hz, 1H), 7.57 (t, *J* = 7.6 Hz, 1H), 7.51 (t, *J* = 7.5 Hz, 1H), 7.32 (d, *J* = 9.5 Hz, 2H), 7.26 (t, *J* = 7.5 Hz, 1H), 7.17 (t, *J* = 7.5 Hz, 1H), 6.77 (s, 1H), 6.34 (s, 1H), 3.77 (s, 3H), 2.92 (d, *J* = 4.9 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 169.6, 166.0, 137.4, 135.8, 132.5, 131.7, 130.0, 129.5, 128.6, 128.4, 127.9, 127.0, 126.9, 126.5, 125.4, 122.5, 120.3, 119.6, 109.8, 109.0, 70.5, 33.1, 26.5. HRMS (APCI): calcd for $C_{23}H_{19}N_2O_3$ [M - H]$^-$: 371.1401, Found: 371.1406.



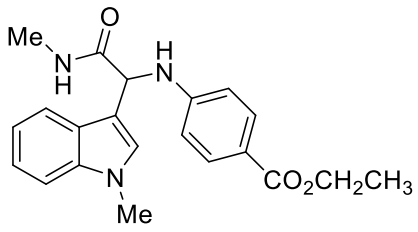
1-(1-methyl-1*H*-indol-3-yl)-2-(methylamino)-2-oxoethyl acetate (7d): Light Yellow solid (36.4 mg, 70% yield); R_f = 0.25 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.65 (d, *J* = 8.0 Hz, 1H), 7.32 (d, *J* = 8.2 Hz, 1H), 7.26 (t, *J* = 6.3 Hz, 1H), 7.23 (s, 1H), 7.15 (t, *J* = 7.5 Hz, 1H), 6.44 (s, 1H), 6.27 (s, 1H), 3.76 (s, 3H), 2.87 (d, *J* = 5.0 Hz, 3H), 2.14 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 170.0, 169.5, 137.2, 130.0, 126.2, 122.4, 120.2, 119.5, 109.8, 109.0, 69.7, 33.0, 26.4, 21.2. HRMS (APCI): calcd for $C_{14}H_{15}N_2O_3$ [M - H]$^-$: 259.1088, Found: 259.1091.



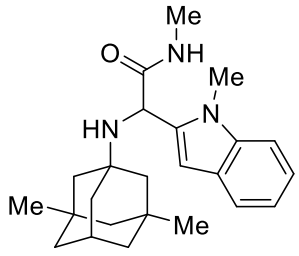
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(propylthio)acetamide (8a):** Yellow solid (32.1 mg, 58% yield); R_f = 0.44 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.70 (d, *J* = 7.9 Hz, 1H), 7.30 (d, *J* = 8.2 Hz, 1H), 7.24 (t, *J* = 8.2 Hz, 1H), 7.14 (t, *J* = 7.5 Hz, 1H), 7.11 (s, 1H), 6.60 (s, 1H), 4.86 (s, 1H), 3.76 (s, 3H), 2.85 (d, *J* = 4.9 Hz, 3H), 2.69 – 2.63 (m, 1H), 2.60 – 2.54 (m, 1H), 1.71 – 1.62 (m, 2H), 0.99 (t, *J* = 7.4 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.7, 137.3, 127.8, 126.7, 122.4, 119.8, 119.6, 110.3, 109.6, 46.8, 34.8, 33.0, 26.8, 22.7, 13.7. HRMS (APCI): calcd for $C_{15}H_{19}N_2OS$ [M - H]$^-$: 275.1224, Found: 275.1228.



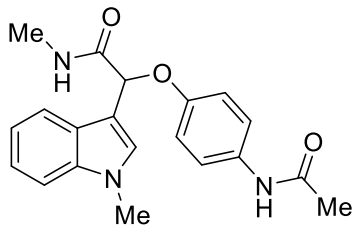
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(octylthio)acetamide (8b):** White solid (19.3 mg, 28% yield); R_f = 0.35 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.70 (d, *J* = 8.0 Hz, 1H), 7.30 (d, *J* = 8.2 Hz, 1H), 7.24 (t, *J* = 7.9 Hz, 1H), 7.14 (t, *J* = 7.4 Hz, 1H), 7.11 (s, 1H), 6.61 (s, 1H), 4.86 (s, 1H), 3.76 (s, 3H), 2.85 (d, *J* = 4.9 Hz, 3H), 2.69 – 2.56 (m, 2H), 1.64 – 1.60 (m, 2H), 1.38 – 1.35 (m, 2H), 1.29 – 1.25 (m, 8H), 0.87 (t, *J* = 6.8 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.6, 137.3, 127.8, 126.7, 122.4, 119.7, 119.6, 110.3, 109.6, 46.8, 33.0, 32.8, 31.9, 29.3, 29.3, 29.0, 26.8, 22.8, 14.2. HRMS (APCI): calcd for $C_{20}H_{29}N_2OS$ [M - H]$^-$: 345.2006, Found: 345.2010.



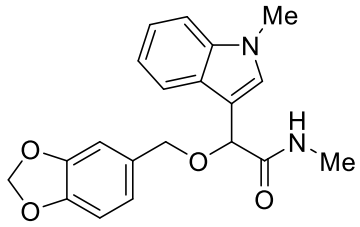
ethyl4-((1-(1-methyl-1*H*-indol-3-yl)-2-(methylamino) -2-oxoethyl)amino)benzoate (10a): Yellow solid (63.5 mg, 90% yield); R_f = 0.28 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.85 (d, *J* = 6.8 Hz, 2H), 7.62 (d, *J* = 7.9 Hz, 1H), 7.33 (d, *J* = 8.3 Hz, 1H), 7.27 (t, *J* = 7.3 Hz, 1H), 7.15 (t, *J* = 7.5 Hz, 1H), 7.10 (s, 1H), 6.65 – 6.63 (m, 1H), 6.60 (d, *J* = 7.0 Hz, 2H), 5.23 (s, 1H), 5.16 (s, 1H), 4.29 (q, *J* = 7.2 Hz, 2H), 3.77 – 3.71 (m, 3H), 2.83 (d, *J* = 4.6 Hz, 3H), 1.34 (t, *J* = 7.1 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.8, 166.8, 150.7, 137.4, 131.5, 127.9, 126.1, 122.5, 120.2, 120.1, 118.8, 112.7, 111.2, 109.9, 60.4, 55.8, 33.0, 26.6, 14.5. HRMS (APCI): calcd for $C_{21}H_{22}N_3O_3$ [M - H]$^-$: 364.1667, Found:364.1671.



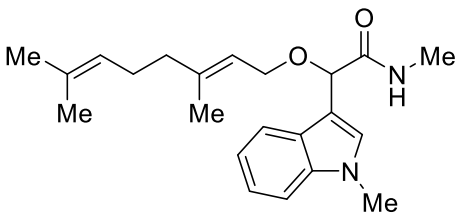
2-(((1r,3R,5S,7r)-3,5-dimethyladamantan-1-yl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-2-yl)acetamide(10b): Yellow oil (67.5 mg, 89% yield); R_f = 0.35 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.04 (d, *J* = 5.3 Hz, 1H), 7.67 (d, *J* = 8.0 Hz, 1H), 7.28 (d, *J* = 8.4 Hz, 1H), 7.22 (t, *J* = 7.5 Hz, 1H), 7.12 (t, *J* = 7.4 Hz, 1H), 6.99 (s, 1H), 4.74 (s, 1H), 3.72 (s, 3H), 2.91 (d, *J* = 5.1 Hz, 3H), 2.15 (s, 1H), 1.63 (d, *J* = 12.3 Hz, 2H), 1.44 – 1.39 (m, 2H), 1.34 – 1.26 (m, 6H), 1.21 (d, *J* = 11.8 Hz, 1H), 1.16 – 1.09 (m, 2H), 0.85 (s, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 175.6, 137.3, 127.2, 126.1, 122.0, 119.4, 119.4, 114.9, 109.6, 53.7, 52.6, 50.9, 49.2, 49.0, 42.9, 42.9, 41.4, 32.8, 32.5, 32.5, 30.4, 30.3, 25.9. HRMS (APCI): calcd for $C_{24}H_{32}N_3O$ [M - H]$^-$: 378.2551, Found:378.2556.



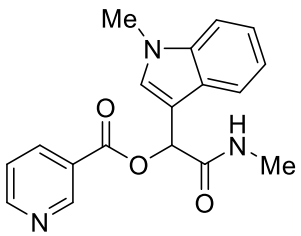
2-(4-acetamidophenoxy)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (10c): White solid (41.9 mg, 60% yield); ^{1}H NMR (500 MHz, DMSO-d_6) δ 9.75 (s, 1H), 8.26 (d, J = 4.9 Hz, 1H), 7.72 (d, J = 7.9 Hz, 1H), 7.42 – 7.39 (m, 4H), 7.15 (t, J = 7.6 Hz, 1H), 7.04 (t, J = 7.5 Hz, 1H), 6.94 (d, J = 8.5 Hz, 2H), 5.79 (s, 1H), 3.75 (s, 3H), 2.65 (d, J = 4.6 Hz, 3H), 1.98 (s, 3H). ^{13}C NMR (126 MHz, DMSO-d_6) δ 169.7, 167.7, 153.0, 136.7, 133.0, 129.5, 126.0, 121.4, 120.4, 119.7, 119.1, 115.8, 110.0, 109.8, 74.4, 32.4, 25.6, 23.8. HRMS (APCI): calcd for $C_{20}H_{20}N_3O_3$ [M - H]$^-$: 350.1510, Found:350.1515.



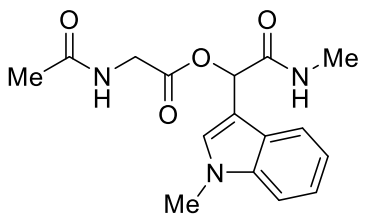
2-(benzo[d][1,3]dioxol-5-ylmethoxy)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (10d): Yellow oil (52.8 mg, 75% yield); R_f = 0.15 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.66 (d, J = 7.9 Hz, 1H), 7.31 (d, J = 8.2 Hz, 1H), 7.24 (t, J = 7.6 Hz, 1H), 7.14 (s, 1H), 7.11 (d, J = 7.8 Hz, 1H), 6.98 (s, 1H), 6.80 (s, 1H), 6.77 (d, J = 7.9 Hz, 1H), 6.73 (d, J = 7.8 Hz, 1H), 5.96 (s, 2H), 5.10 (s, 1H), 4.49 (d, J = 11.3 Hz, 1H), 4.34 (d, J = 11.3 Hz, 1H), 3.77 (s, 3H), 2.89 (d, J = 5.0 Hz, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.7, 147.9, 147.5, 137.6, 131.5, 129.3, 126.5, 122.2, 122.0, 120.0, 119.8, 110.4, 109.6, 109.0, 108.3, 101.2, 75.7, 70.5, 33.0, 26.0. HRMS (APCI): calcd for $C_{20}H_{19}N_2O_4$ [M - H]$^-$: 351.1350, Found:351.1354.



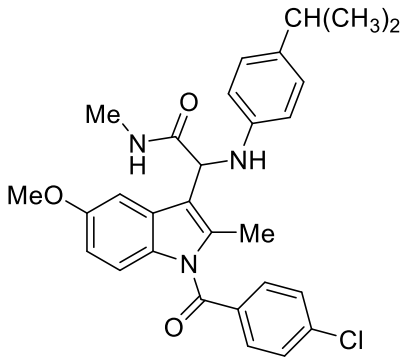
(*E*)-2-((3,7-dimethylocta-2,6-dien-1-yl)oxy)-*N*-meth yl-2-(1-methyl-1*H*-indol-3-yl)acetamide (10e): Yellow oil (47.6 mg, 67% yield); R_f = 0.51 (PE:EA = 1:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.68 (d, J = 8.0 Hz, 1H), 7.26 (t, J = 7.4 Hz, 1H), 7.20 (t, J = 7.6 Hz, 1H), 7.10 – 7.08 (m, 2H), 6.97 (s, 1H), 5.35 (t, J = 6.9 Hz, 1H), 5.08 – 5.06 (m, 2H), 4.09 – 3.98 (m, 2H), 3.74 (s, 3H), 2.89 (d, J = 5.0 Hz, 3H), 2.10 – 2.02 (m, 4H), 1.68 (s, 3H), 1.60 (s, 3H), 1.56 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.1, 141.1, 137.5, 131.9, 128.8, 126.7, 124.0, 122.0, 120.4, 120.0, 119.7, 111.0, 109.5, 75.7, 65.5, 39.7, 32.9, 26.5, 25.9, 25.8, 17.8, 16.7. HRMS (APCI): calcd for $C_{22}H_{29}N_2O_2$ [M - H]$^-$: 353.2235, Found:353.2238.



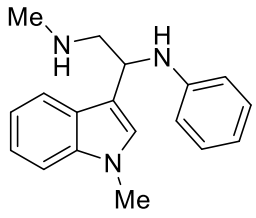
1-(1-methyl-1*H*-indol-3-yl)-2-(methylamino)-2-oxoethyl nicotinate (10f): White solid (38.4 mg, 59% yield); ^{1}H NMR (500 MHz, CD_3OD) δ 9.12 (s, 1H), 8.73 (d, J = 4.9 Hz, 1H), 8.41 (d, J = 8.0 Hz, 1H), 7.62 (d, J = 8.0 Hz, 1H), 7.57 – 7.55 (m, 1H), 7.35 (d, J = 8.2 Hz, 1H), 7.23 (s, 1H), 7.17 (t, J = 7.6 Hz, 1H), 7.04 (t, J = 7.5 Hz, 1H), 4.89 (s, 1H), 3.78 (s, 3H), 2.82 (d, J = 4.2 Hz, 3H). ^{13}C NMR (126 MHz, CD_3OD) δ 174.7, 167.8, 153.7, 151.3, 139.2, 138.9, 130.8, 128.7, 127.7, 125.2, 122.9, 120.6, 120.4, 111.3, 110.5, 78.9, 32.8, 26.1. HRMS (APCI): calcd for $C_{18}H_{16}N_3O_3$ [M - H]$^-$: 322.1197, Found:322.1199.



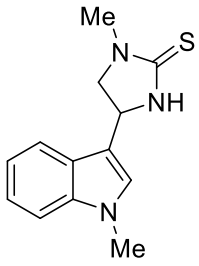
1-(1-methyl-1*H*-indol-3-yl)-2-(methylamino)-2-oxoethyl acetylglycinate (10g): White solid (34.8 mg, 55% yield); ^{1}H NMR (500 MHz, DMSO-d_6) δ 8.36 (s, 1H), 8.13 (s, 1H), 7.61 (d, J = 8.0 Hz, 1H), 7.43 (d, J = 8.4 Hz, 1H), 7.41 (s, 1H), 7.18 (t, J = 7.6 Hz, 1H), 7.06 (t, J = 7.5 Hz, 1H), 6.15 (s, 1H), 3.99 – 3.94 (m, 1H), 3.87 – 3.83 (m, 1H), 3.77 (s, 3H), 2.62 (d, J = 4.6 Hz, 3H), 1.85 (s, 3H). ^{13}C NMR (126 MHz, DMSO-d_6) δ 170.0, 169.6, 168.4, 136.7, 130.0, 126.0, 121.6, 119.4, 110.0, 108.4, 70.0, 40.7, 32.5, 25.6, 22.3. HRMS (ESI): calcd for $C_{16}H_{18}N_3O_4$ [M - H]$^-$: 316.1303, Found:316.1310.



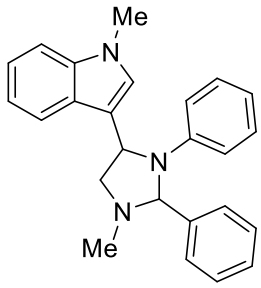
2-(1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1*H*-indol-3-yl)-2-((4-*iso*propylphenyl)amino)-*N*-methylacetamide (10h): Light yellow solid (79.6 mg, 80% yield); R_f = 0.45 (PE:EA = 2:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.66 (d, J = 8.1 Hz, 2H), 7.47 (d, J = 8.1 Hz, 2H), 7.10 (d, J = 8.0 Hz, 2H), 7.05 (s, 1H), 7.03 (d, J = 5.0 Hz, 1H), 6.88 (d, J = 9.0 Hz, 1H), 6.68 (d, J = 10.2 Hz, 1H), 6.63 (d, J = 8.1 Hz, 2H), 4.98 (s, 1H), 4.44 (s, 1H), 3.80 (s, 3H), 2.89 (d, J = 4.9 Hz, 3H), 2.86 – 2.81 (m, 1H), 2.46 (s, 3H), 1.22 (d, J = 6.9 Hz, 6H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.6, 168.5, 156.0, 145.2, 140.2, 139.9, 136.9, 133.6, 131.5, 131.3, 129.4, 128.6, 127.5, 116.6, 115.1, 114.0, 111.5, 102.4, 56.8, 55.8, 33.4, 26.5, 24.3, 24.3, 13.8. HRMS (APCI): calcd for $C_{29}H_{29}N_3O_3Cl$ [M - H]$^-$: 502.1903, Found:502.1897.



***N*^{2}-methyl-1-(1-methyl-1*H*-indol-3-yl)-*N*^{1}-phenylethane-1,2-diamine (11):** Colorless solid (79.6 mg, 66% yield); R_f = 0.50 (DCM:MeOH = 6:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.71 (d, J = 7.9 Hz, 1H), 7.32 (d, J = 8.2 Hz, 1H), 7.26 (t, J = 7.6 Hz, 1H), 7.14 (t, J = 7.5 Hz, 1H), 7.10 (t, J = 8.0 Hz, 2H), 6.99 (s, 1H), 6.67 – 6.63 (m, 3H), 4.86 (t, J = 5.6 Hz, 1H), 4.71 (brs, 1H), 3.71 (s, 3H), 3.09 (d, J = 5.5 Hz, 2H), 2.45 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 148.1, 137.6, 129.2, 127.2, 126.4, 121.9, 119.2, 119.1, 117.2, 115.0, 113.6, 109.6, 57.0, 50.8, 36.6, 32.9. HRMS (APCI): calcd for $C_{18}H_{20}N_3$ [M - H]$^-$: 278.1663, Found:278.1666.



1-methyl-4-(1-methyl-1*H*-indol-3-yl)imidazolidine-2-thione (12): Canary yellow (23.6 mg, 21% yield) ; R_f=0.52 (PE:EA = 1:1), ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.66 (d, J = 8.0 Hz, 1H), 7.33 (d, J = 8.2 Hz, 1H), 7.28 (d, J = 8.3 Hz, 1H), 7.16 (t, J = 7.5 Hz, 1H), 7.13 (s, 1H), 5.21 (t, J = 7.8 Hz, 1H), 3.93 – 3.90 (m, 1H), 3.81 – 3.78 (m, 1H), 3.77 (s, 3H), 2.96 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 172.3, 137.6, 127.4, 126.2, 122.5, 119.7, 119.2, 112.3, 109.9, 57.7, 38.6, 33.0, 31.8. HRMS (APCI): calcd for $C_{13}H_{14}N_3S$ [M - H]$^-$: 244.0914, Found:244.0916.



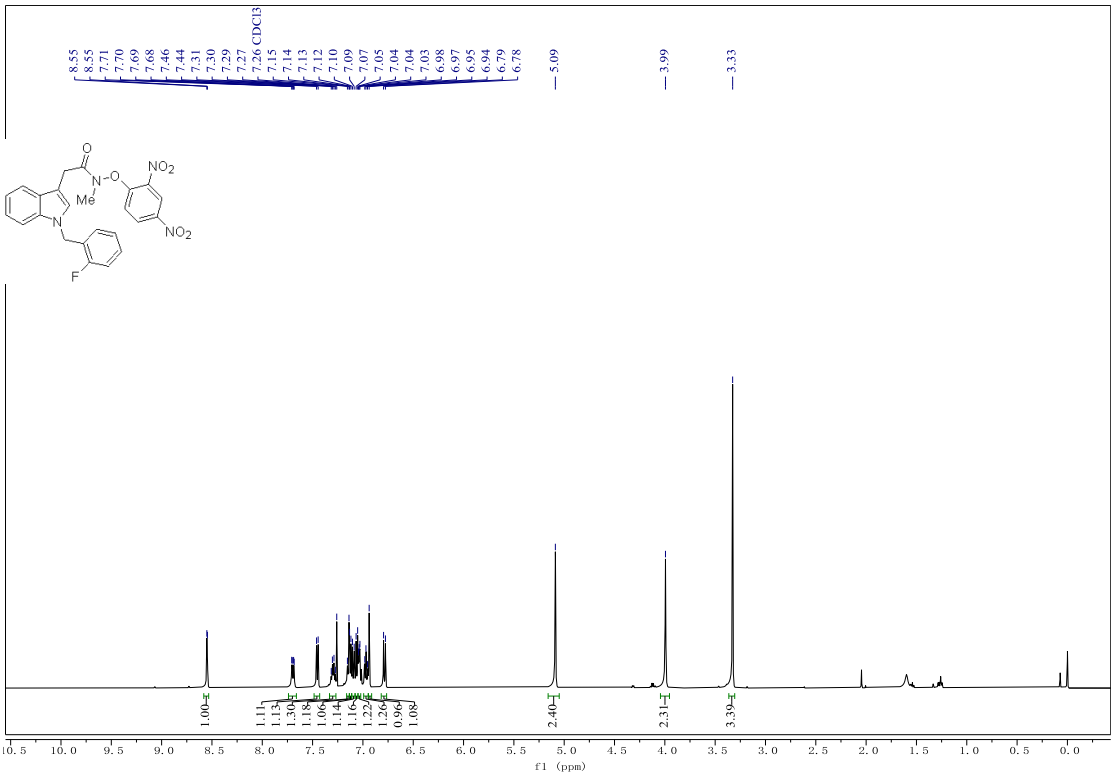
1- methyl-3-(1-methyl-2,3-diphenylimidazolidin-4-yl)-1*H*-indole (13): White solid (19.3 mg, 53% yield); R_f = 0.50 (PE:EA = 10:1); ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.63 (d, *J* = 8.3 Hz, 3H), 7.36 (t, *J* = 7.4 Hz, 2H), 7.31 – 7.29 (m, 2H), 7.23 (d, *J* = 7.2 Hz, 1H), 7.21 (s, 1H), 7.11 (t, *J* = 7.5 Hz, 1H), 7.00 (t, *J* = 7.8 Hz, 2H), 6.62 (t, *J* = 7.3 Hz, 1H), 6.59 (d, *J* = 8.2 Hz, 2H), 5.11 (d, *J* = 7.5 Hz, 1H), 4.54 (s, 1H), 3.73 (s, 3H), 3.42 (d, *J* = 8.9 Hz, 1H), 3.14 (t, *J* = 8.2 Hz, 1H), 2.27 (s, 3H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 148.0, 140.9, 137.8, 128.9, 128.7, 128.6, 128.3, 127.4, 126.2, 121.8, 119.1, 118.9, 117.7, 117.1, 114.0, 109.7, 86.9, 61.6, 58.2, 39.5, 33.0. HRMS (ESI): calcd for $C_{25}H_{25}N_3Na$ $[M+Na]^+$: 390.1941, Found:390.1945.

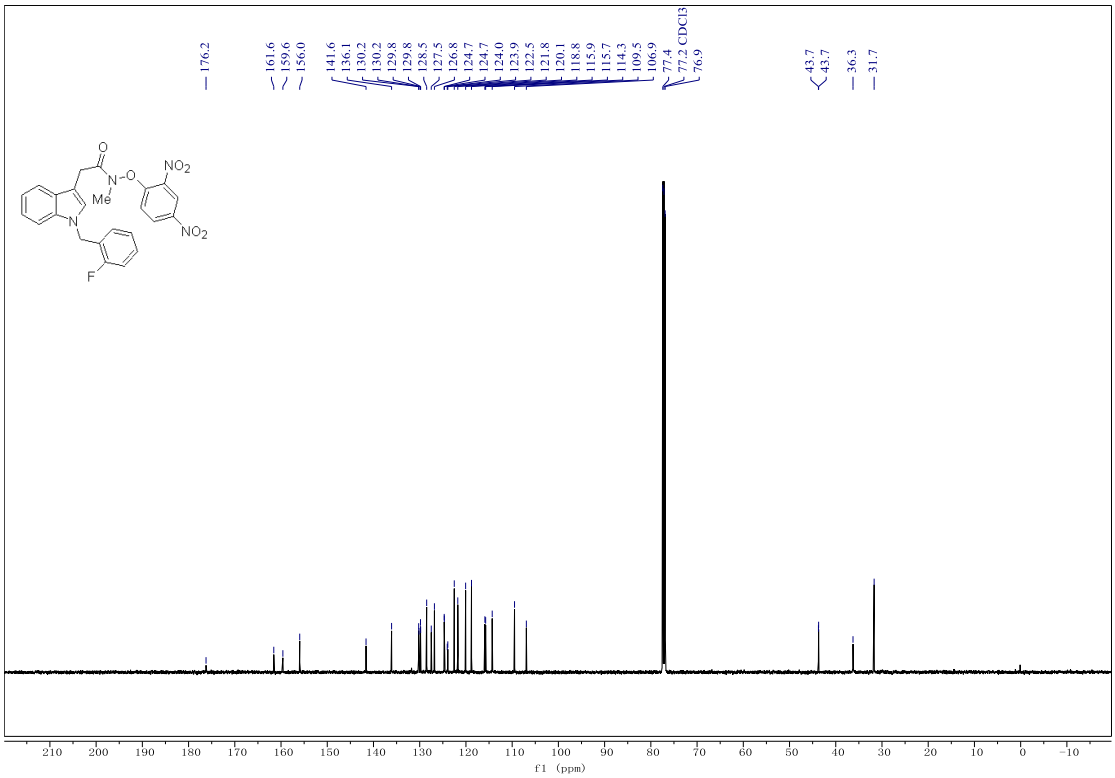
5. Reference

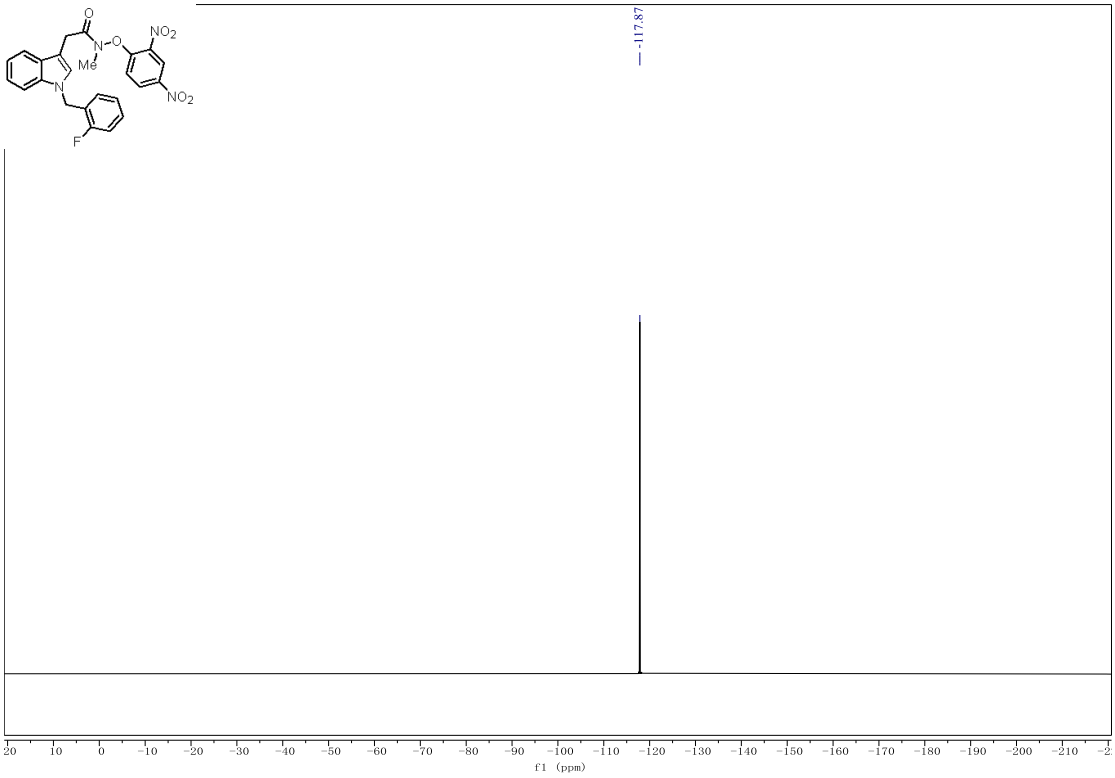
[1] Wu, K.; Du, Y.; Wei, Z.; Wang, T., *Chem. Commun.,* 2018, **54**, 7443-7446.

6. NMR spectra of products

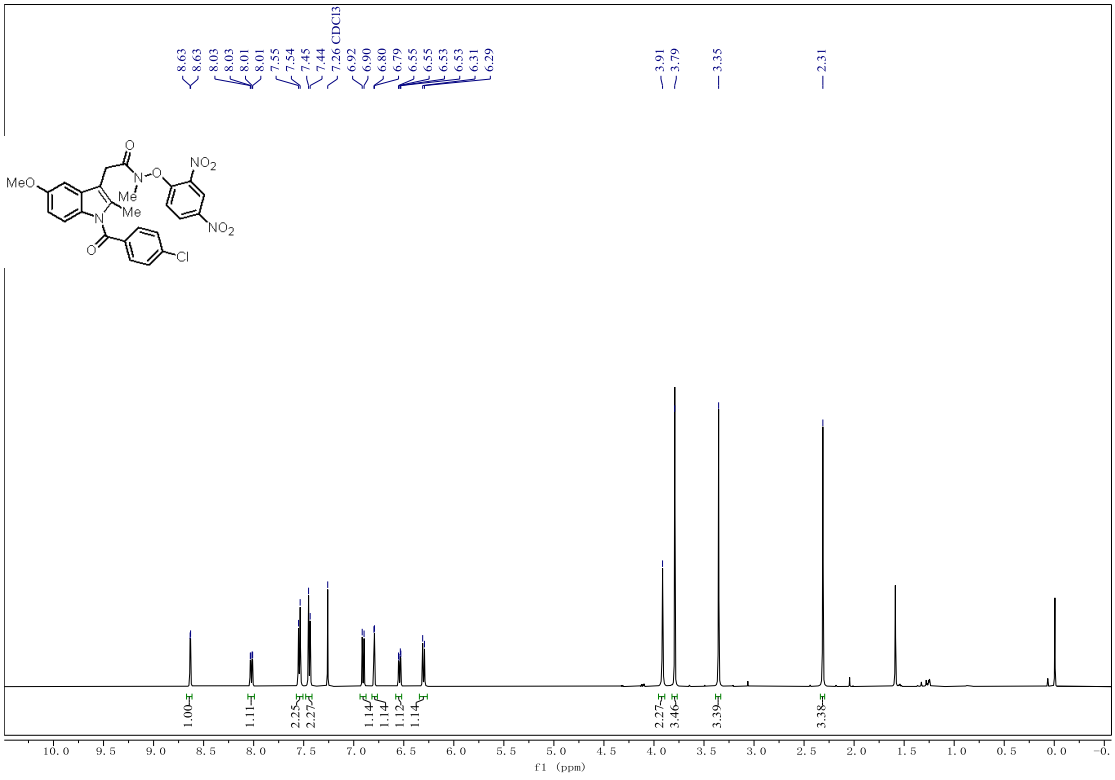
_N_-(2,4-dinitrophenoxy)-2-(1-(2-fluorobenzyl)-1_H_-indol-3-yl)-_N_-methylacetamide (1e)

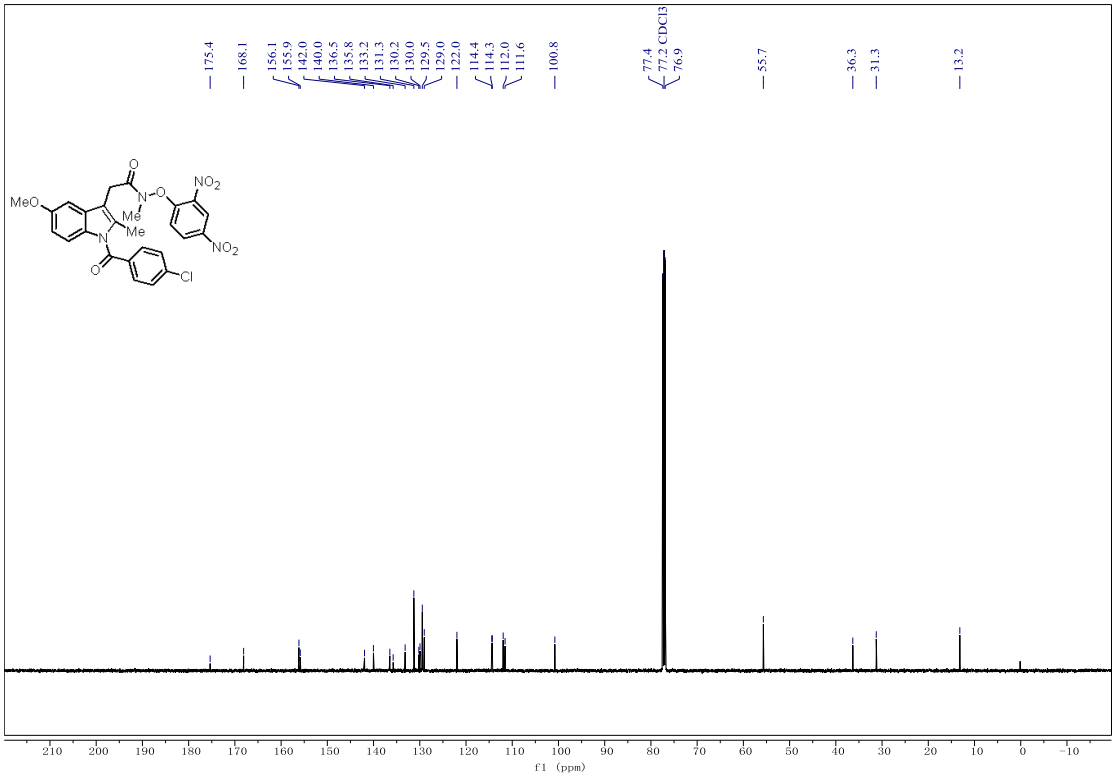




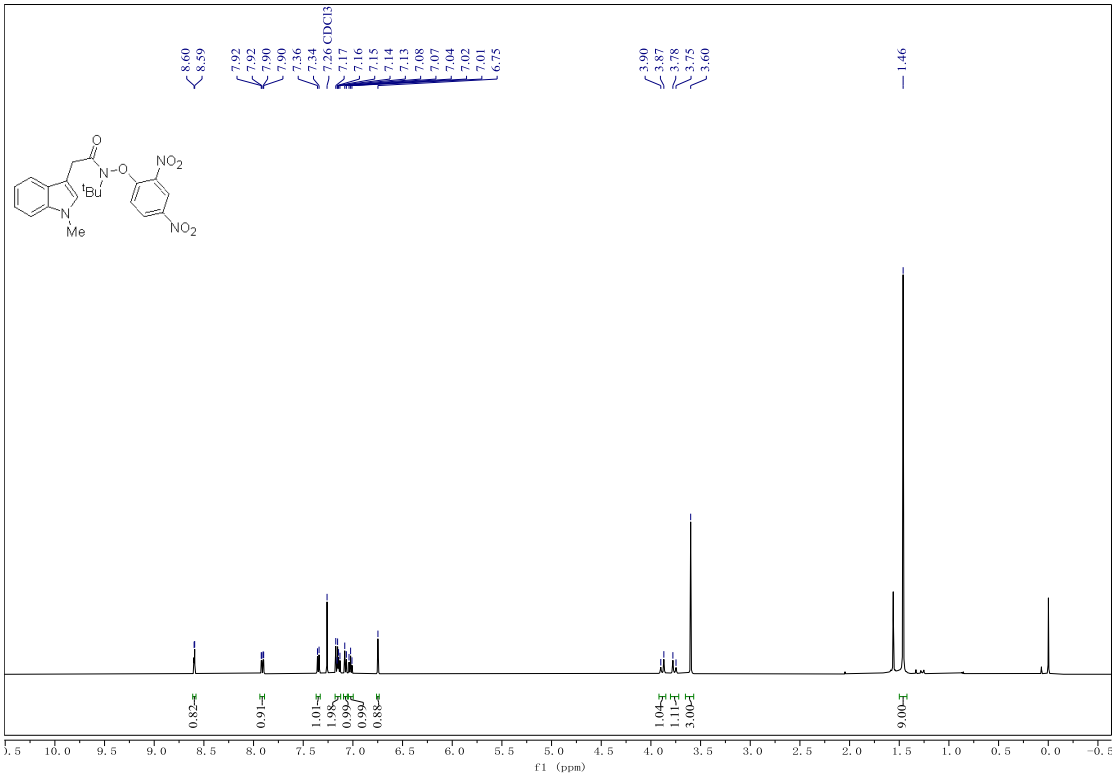


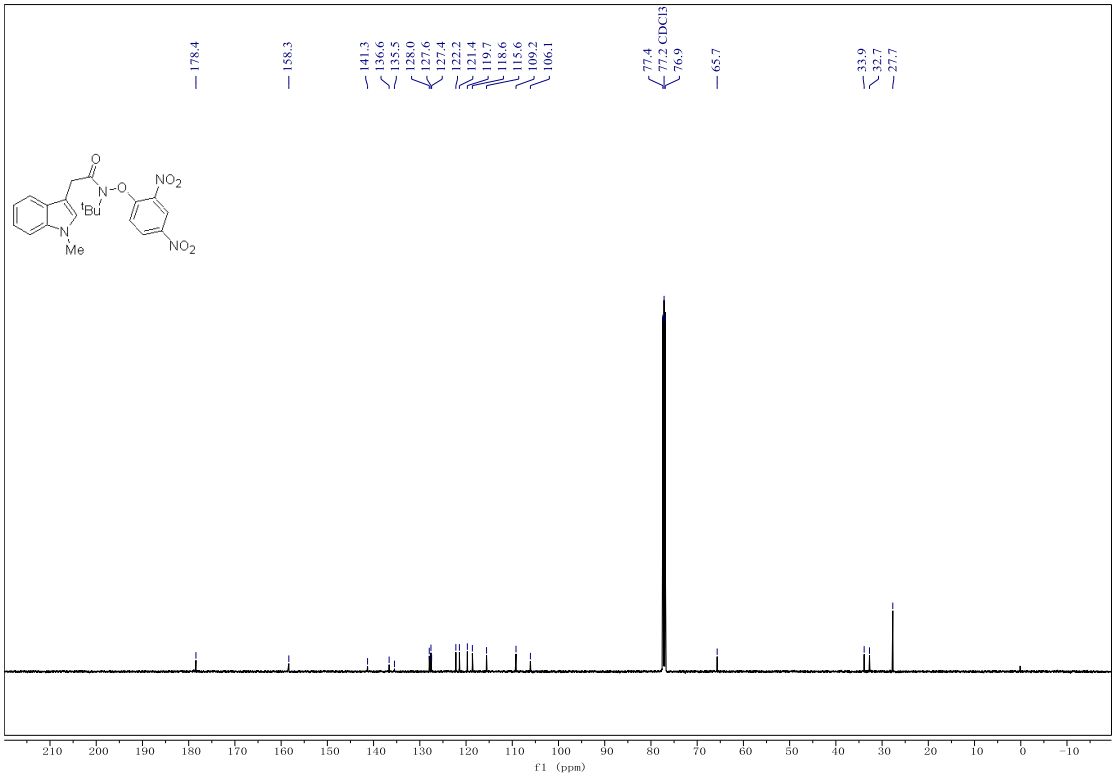
2-(1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1*H*-indol-3-yl)-*N*-(2,4-dinitrophenoxy)-*N*-methylacetamide (1f)



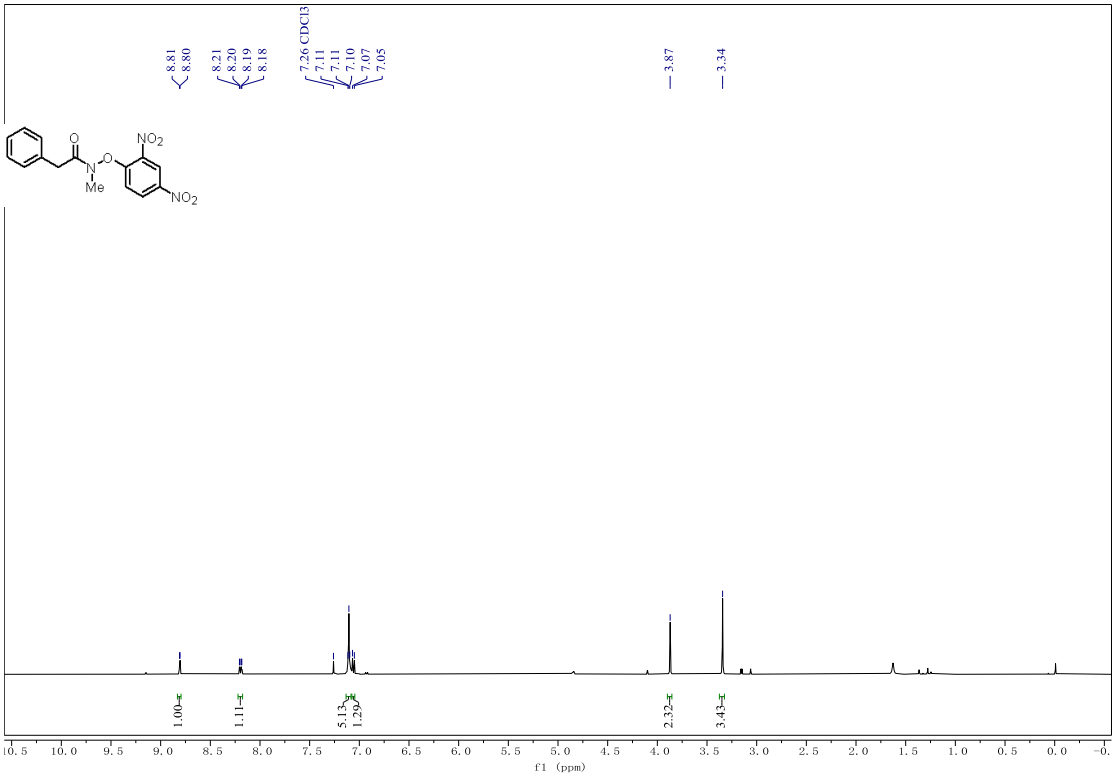


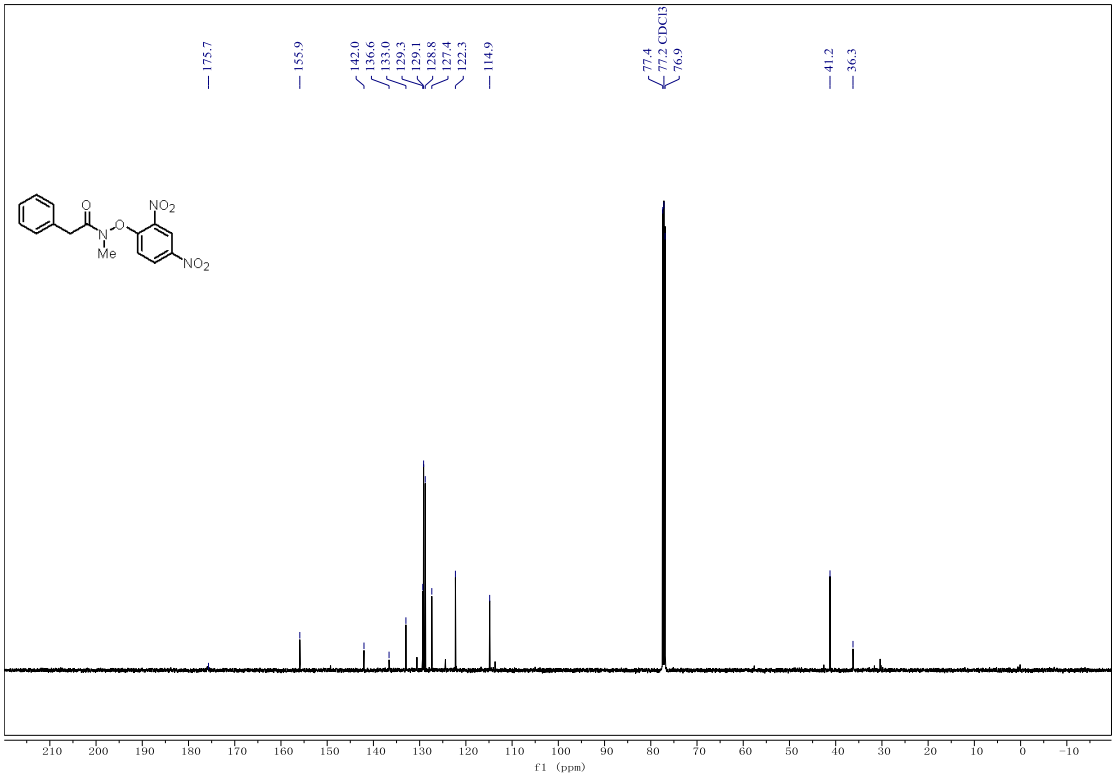
***N*-(*tert*-butyl)-*N*-(2,4-dinitrophenoxy)-2-(1-methyl-1*H*-indol-3-yl)acetamide (1g)**



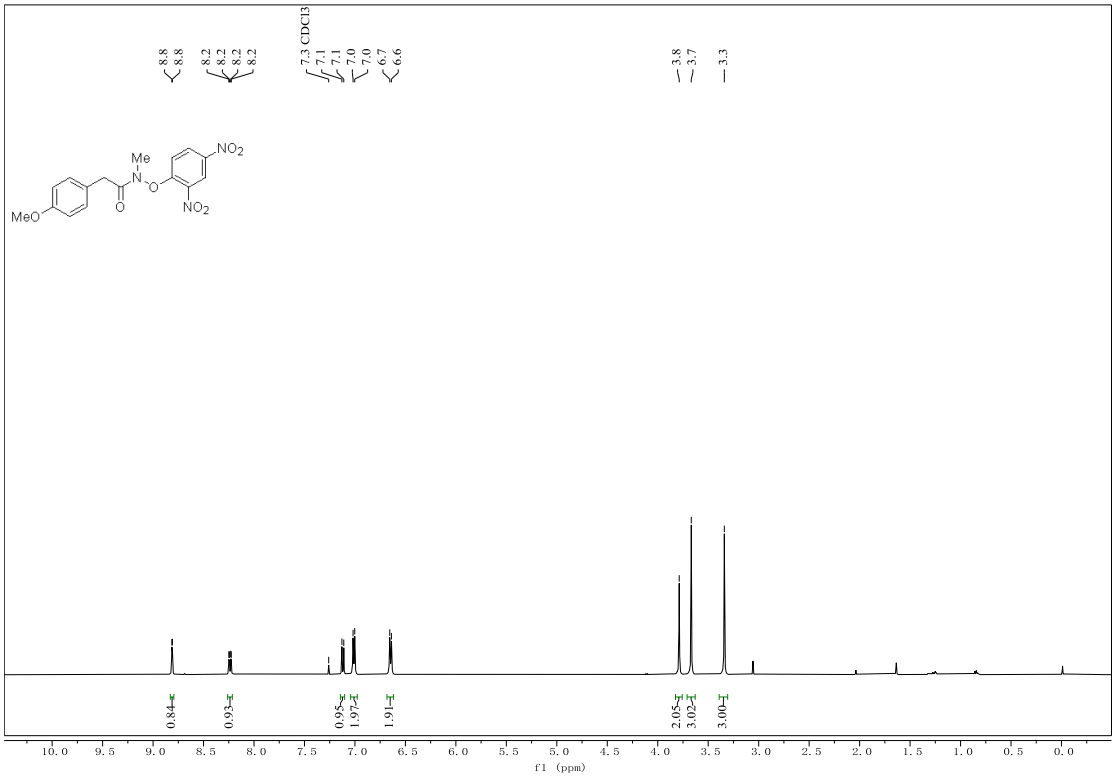


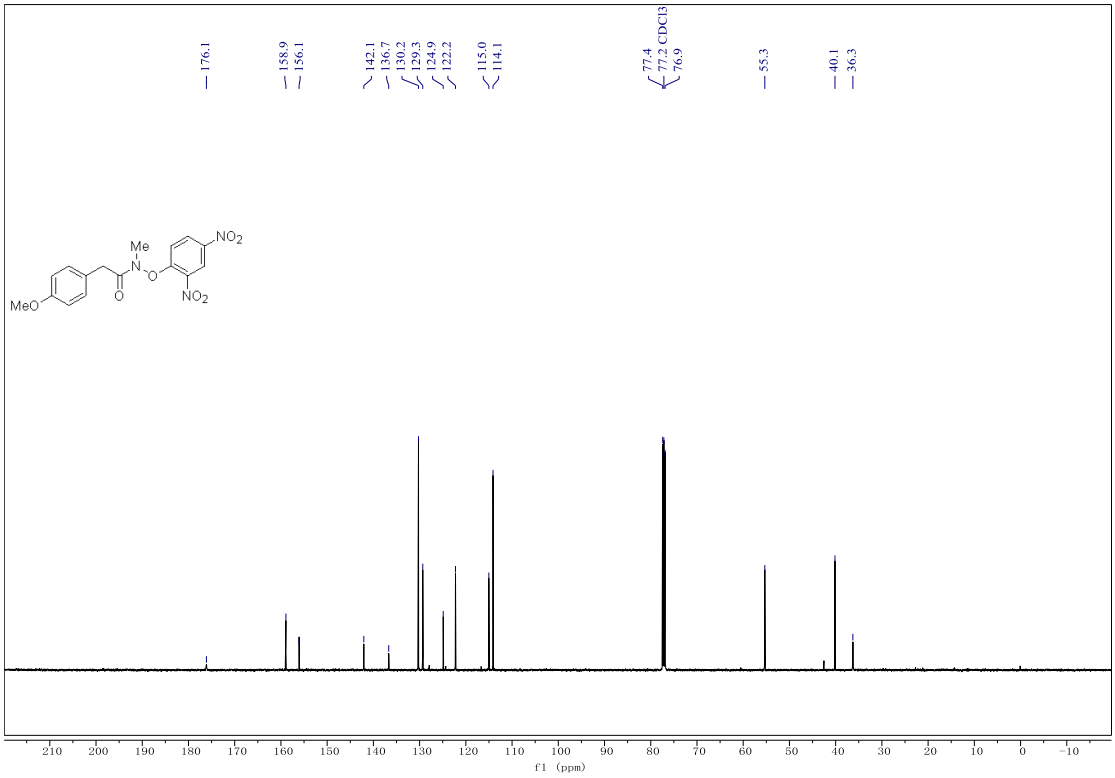
***N*-(2,4-dinitrophenoxy)-*N*-methyl-2-phenylacetamide (1i)**



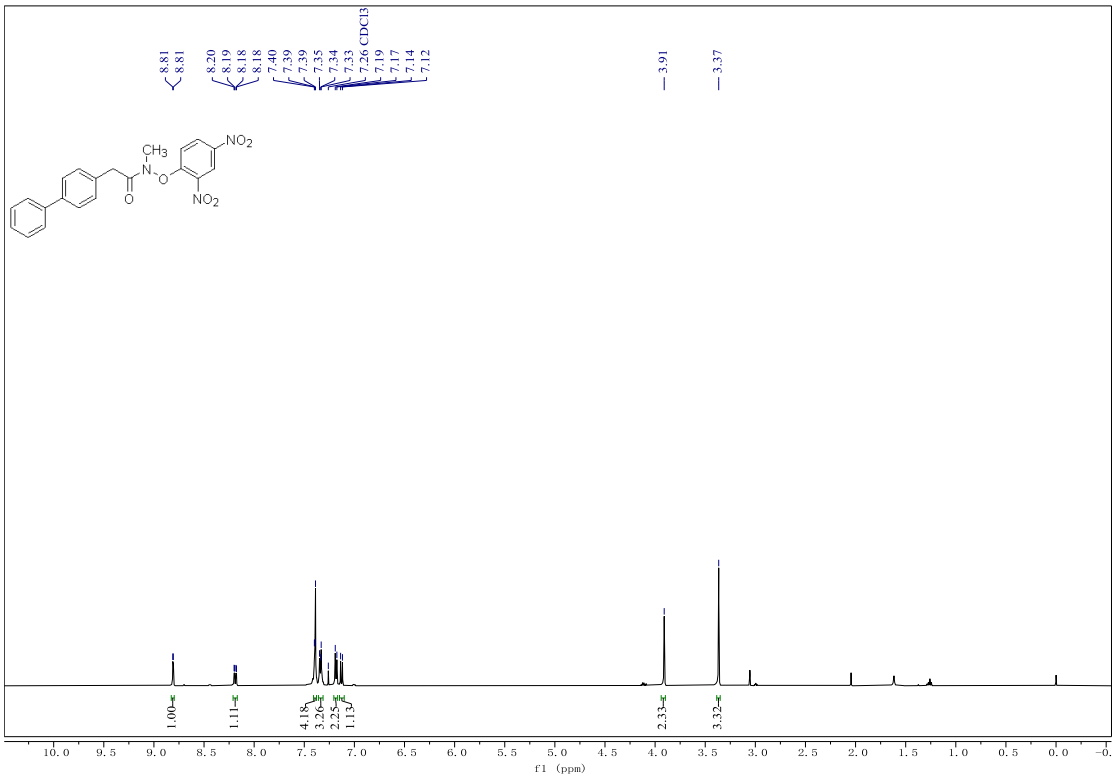


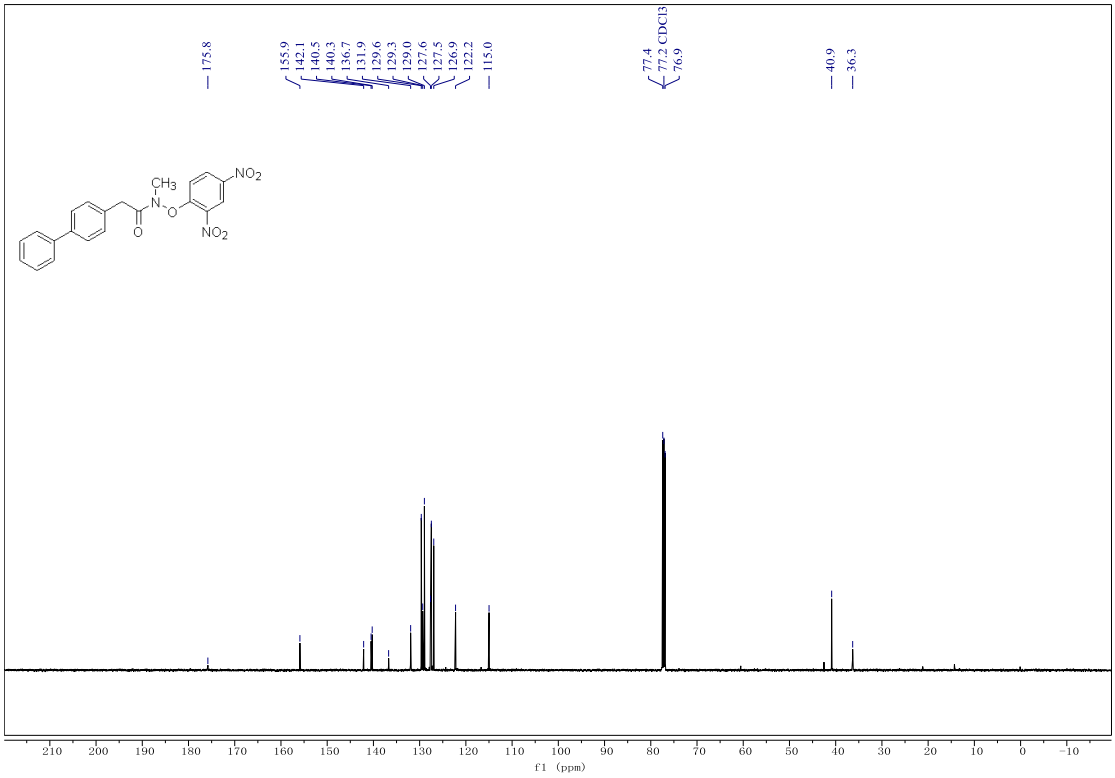
***N*-(2,4-dinitrophenoxy)-2-(4-methoxyphenyl)-*N*-methylacetamide (1j)**



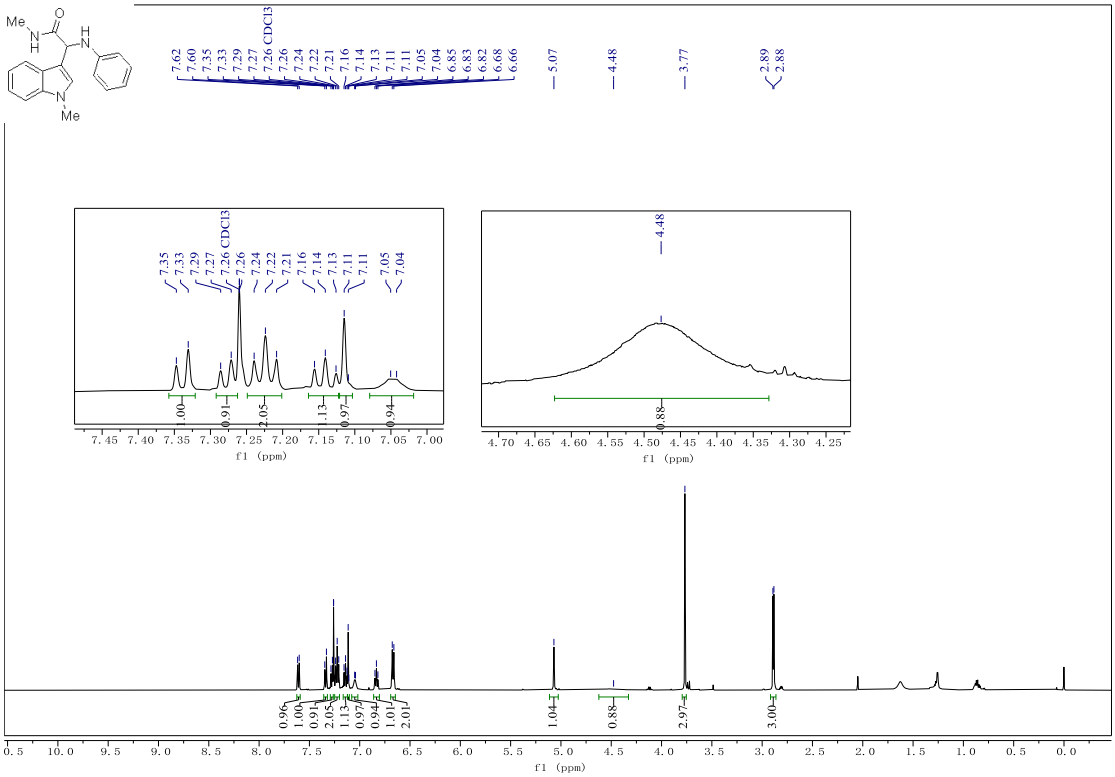


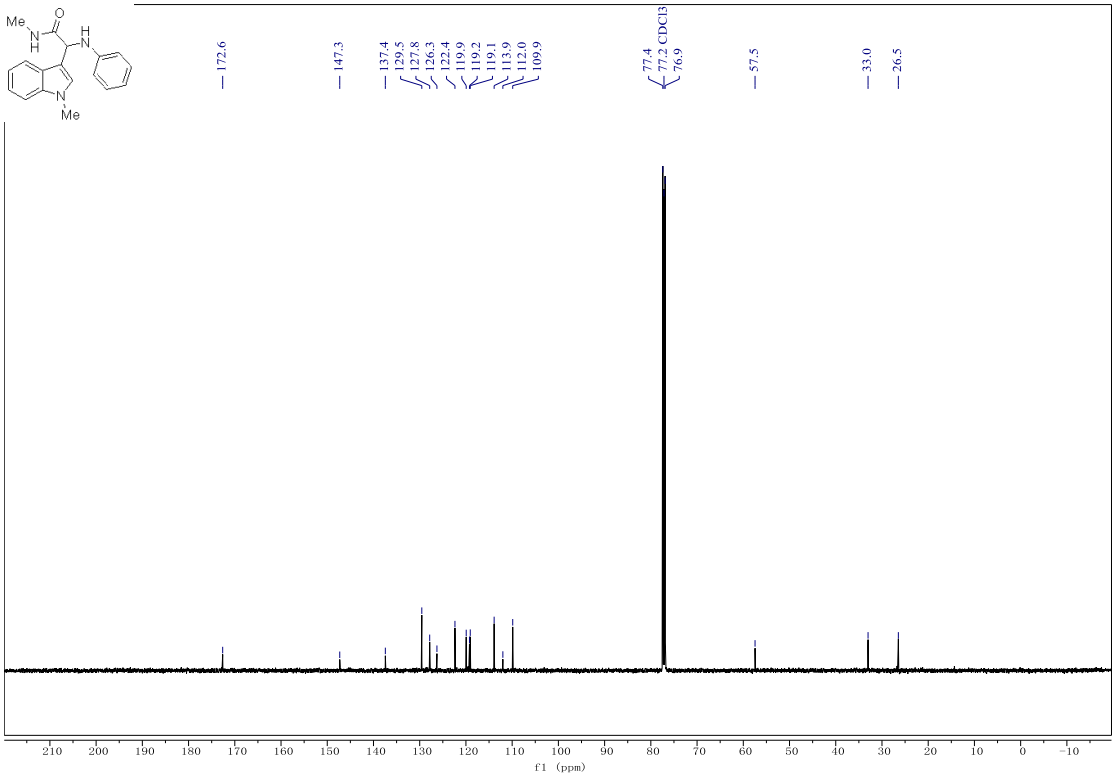
2-([1,1'-biphenyl]-4-yl)-*N*-(2,4-dinitrophenoxy)-*N*-methylacetamide (1k)



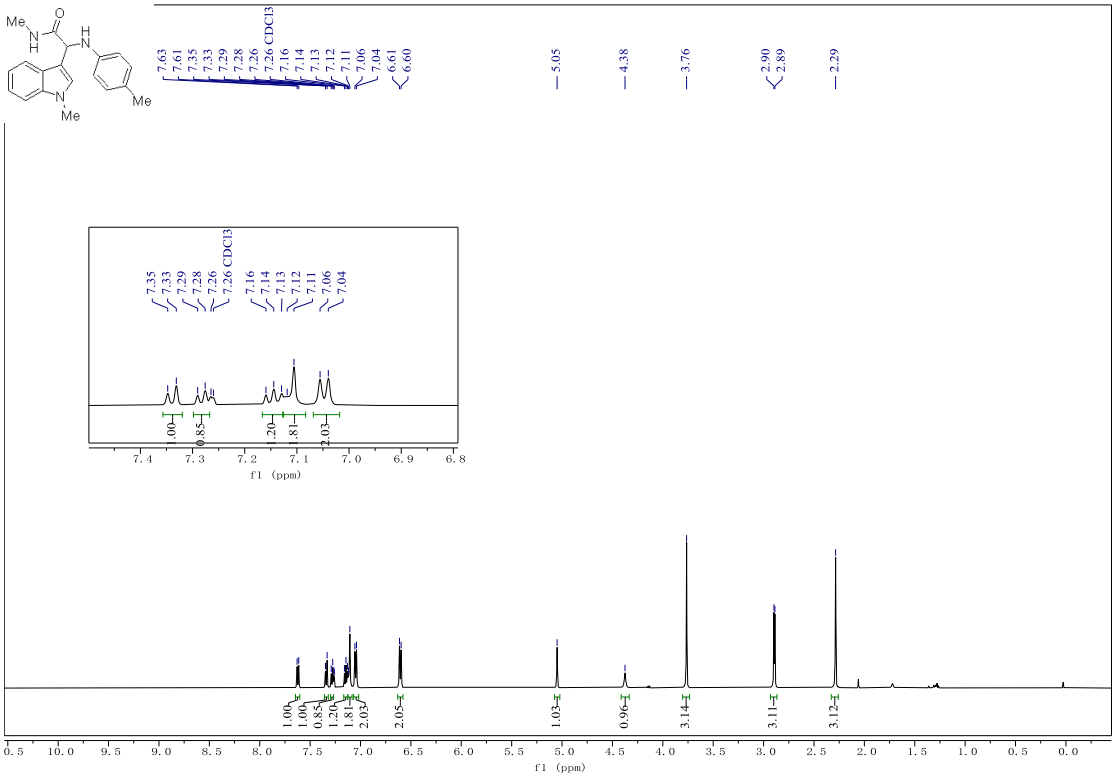


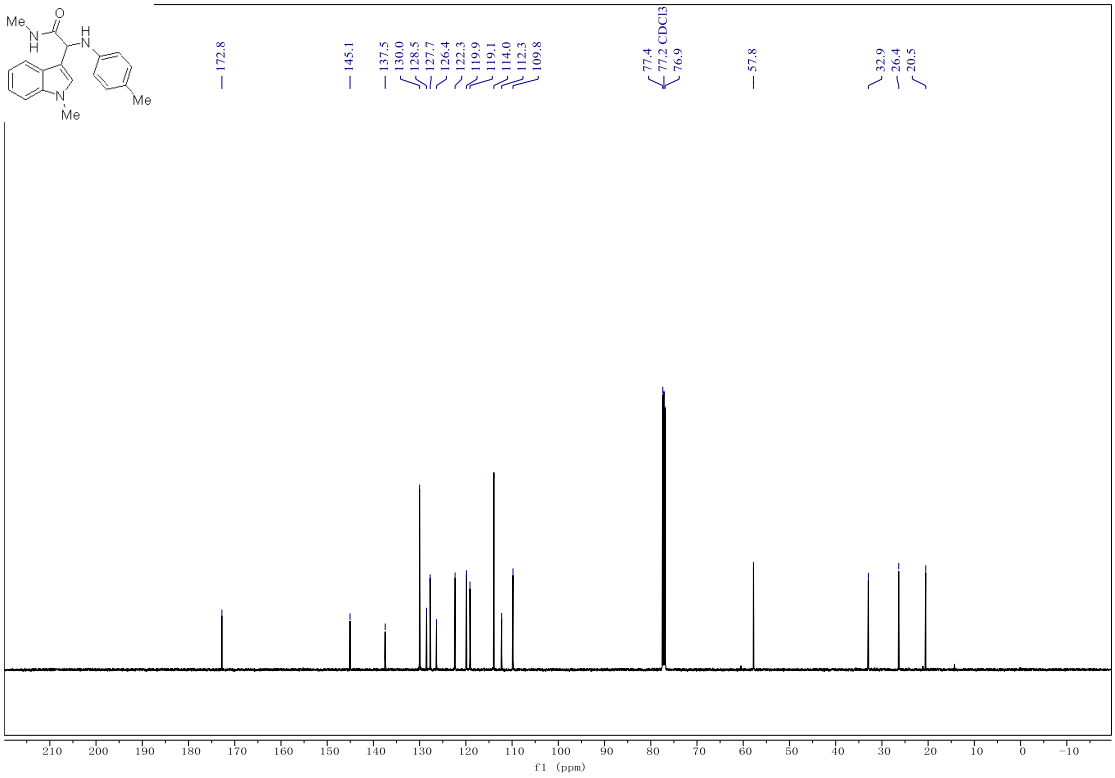
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(phenylamino)acetamide (3a)**



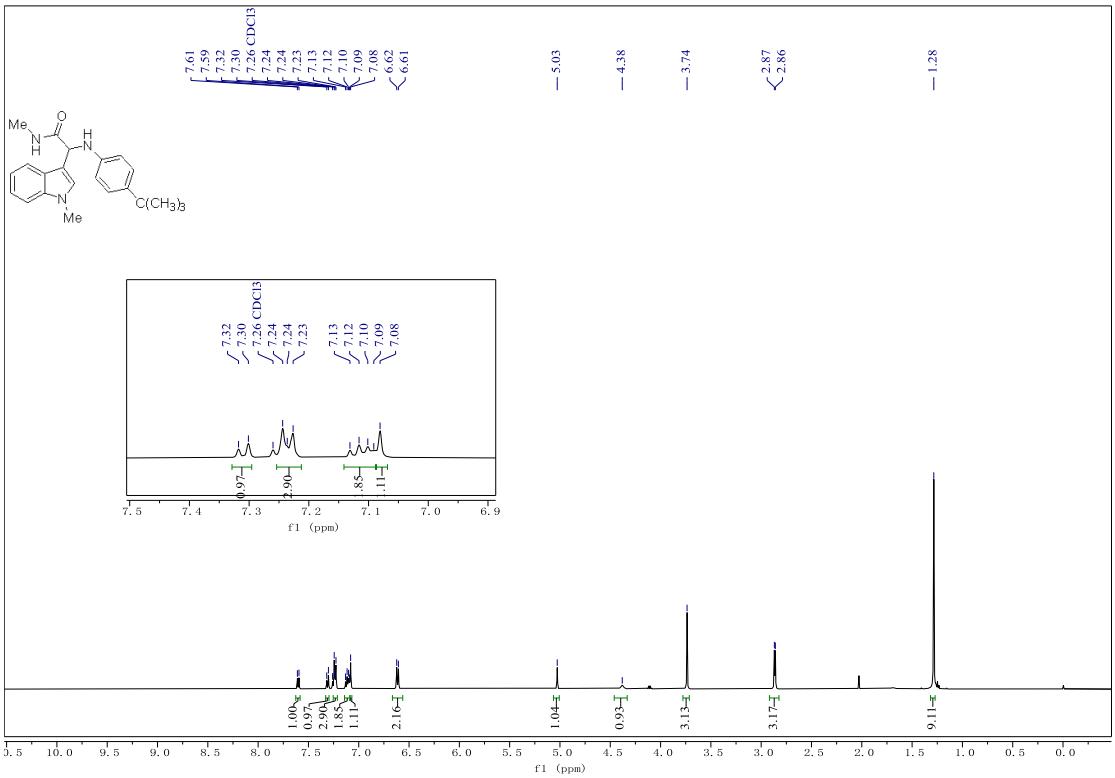


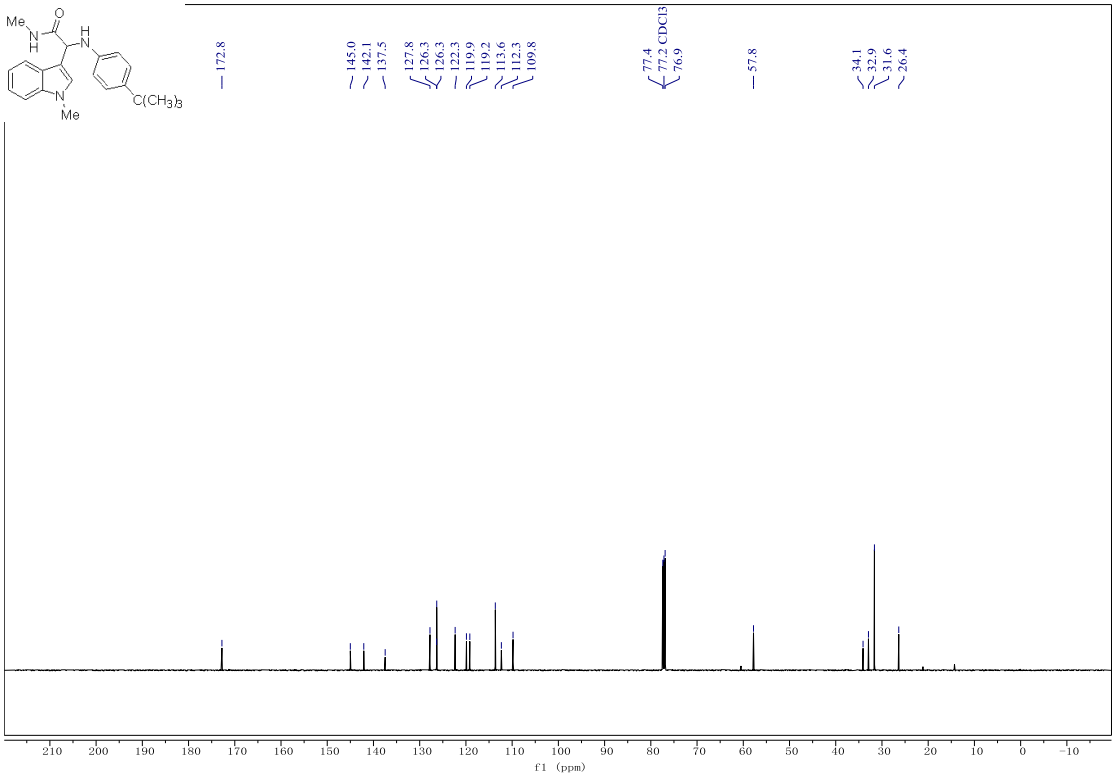
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(*p*-tolylamino) acetamide (3b)**



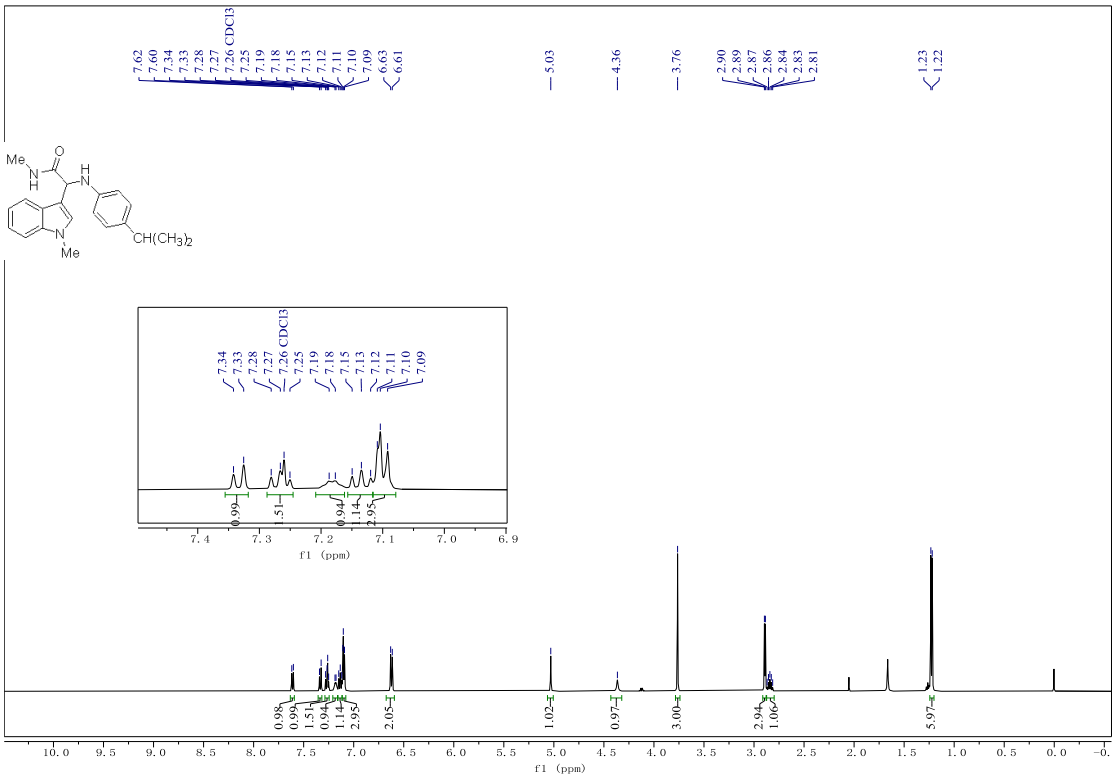


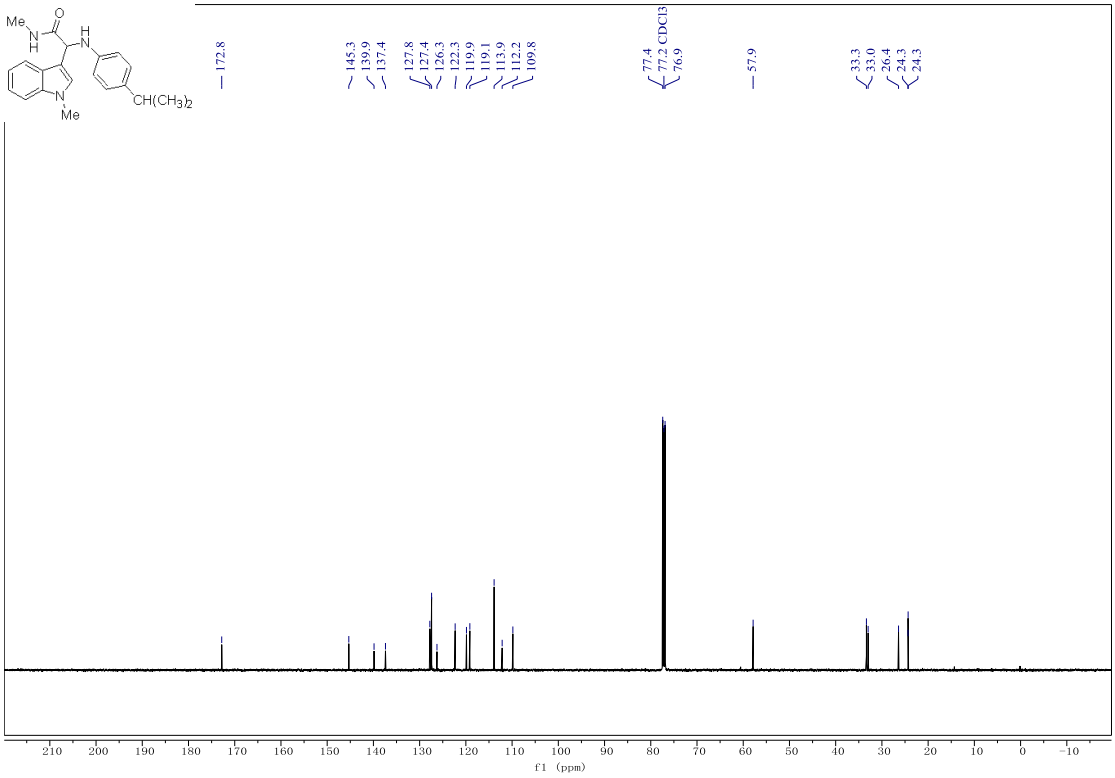
2-((4-(*tert*-butyl)phenyl)amino)-*N*-methyl-2-(1-methyl -1*H*-indol-3-yl)acetamide (3c)



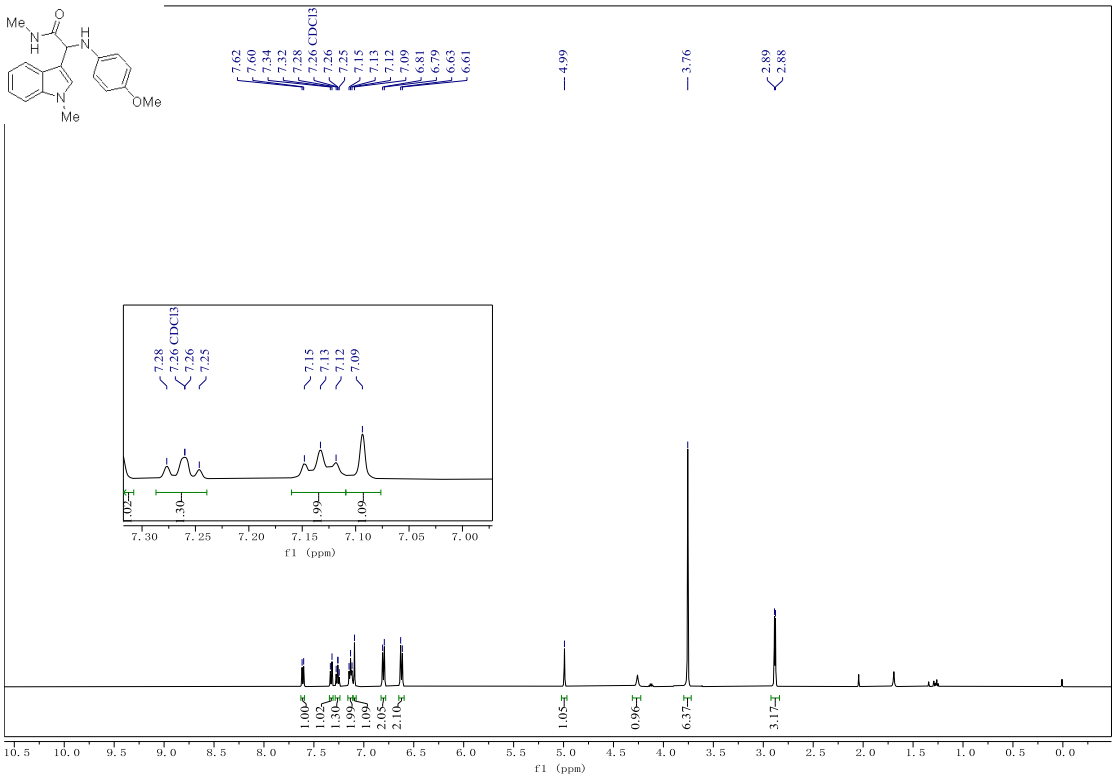


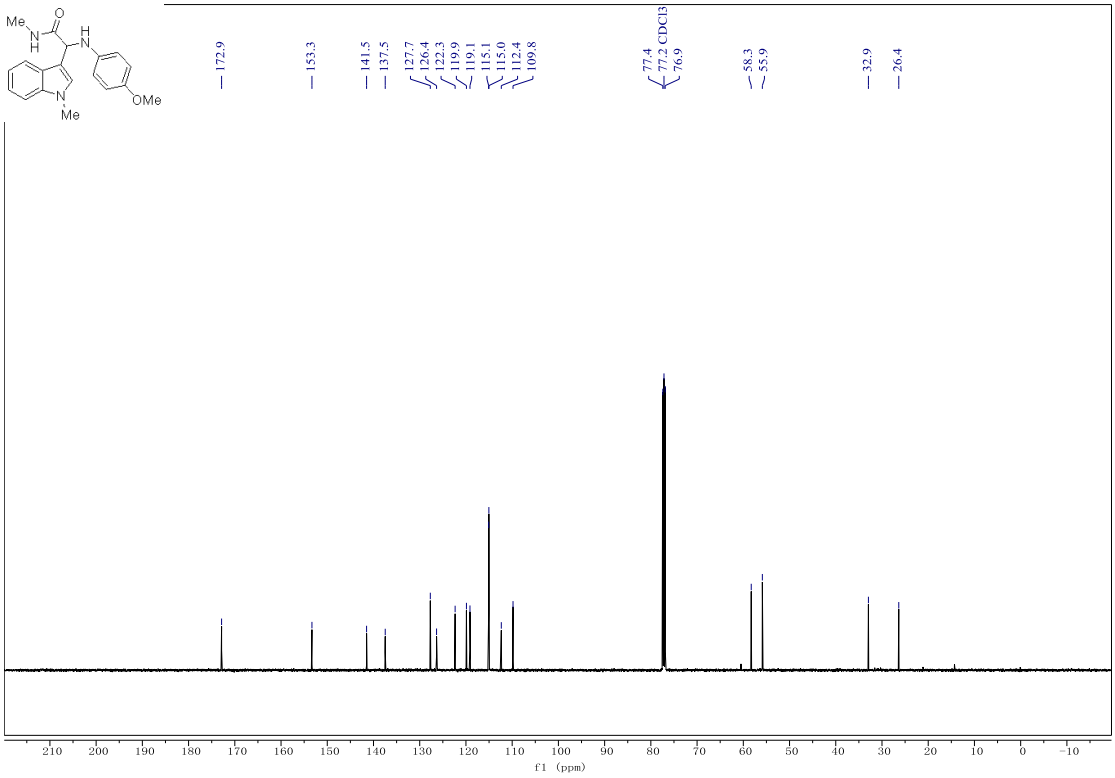
2-((4-*iso*propylphenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3d)



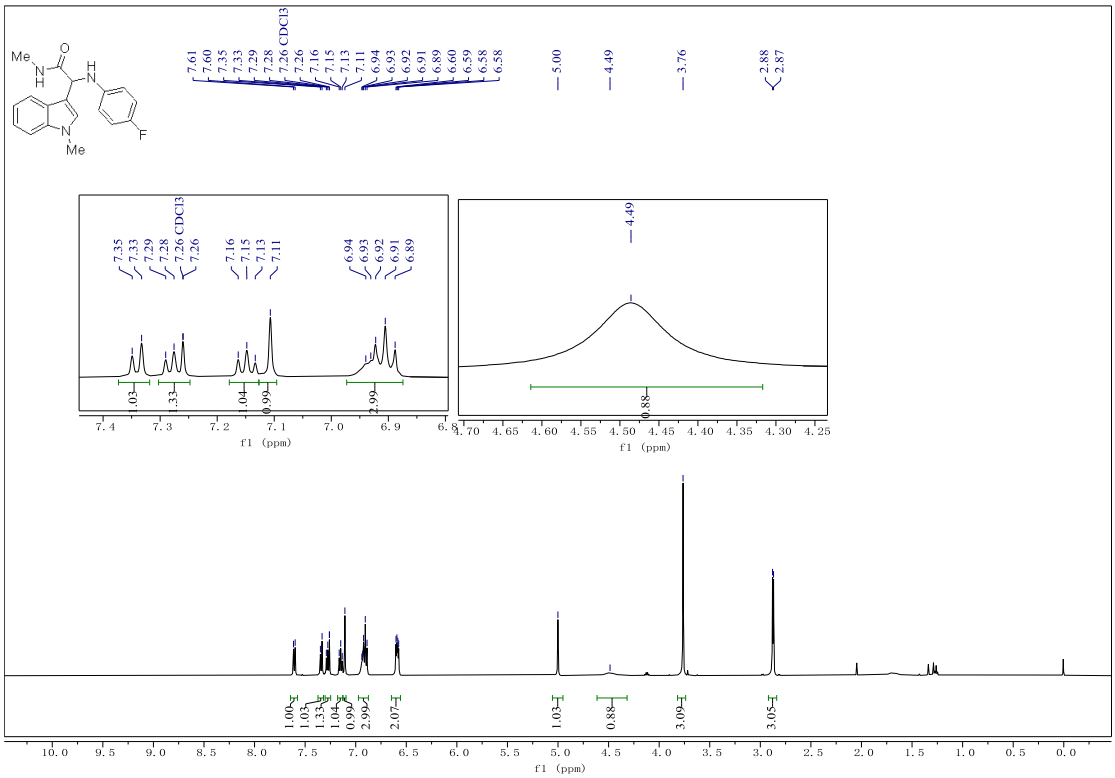


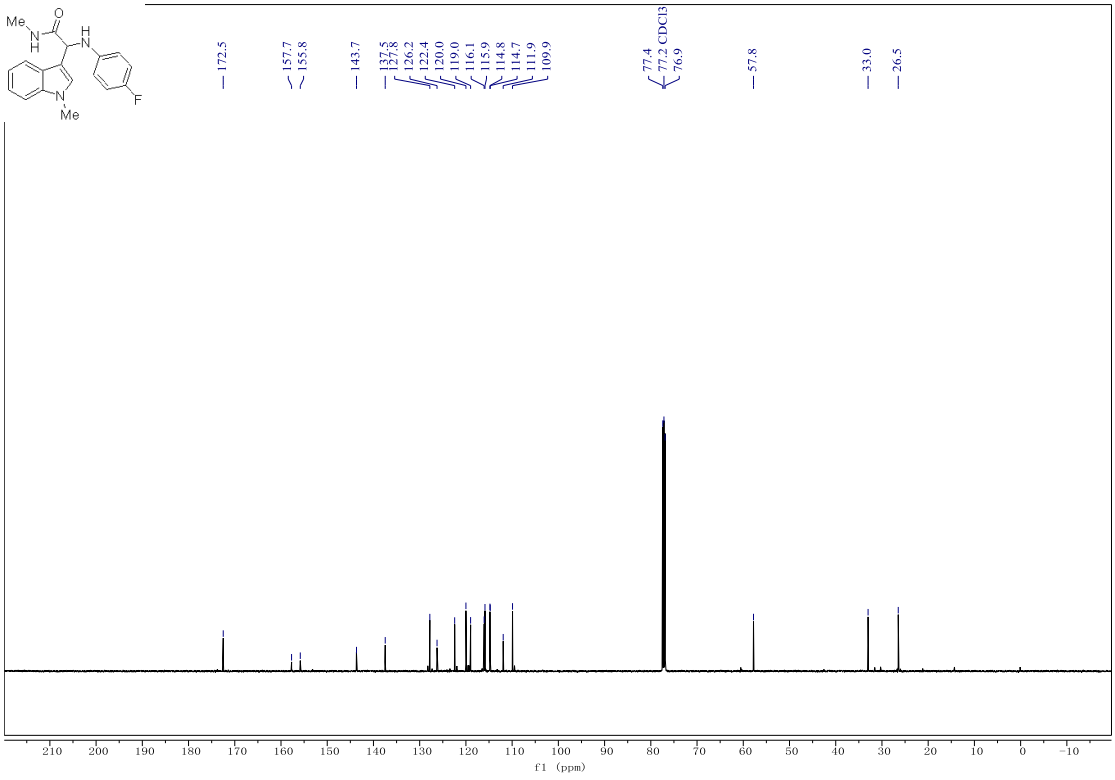
2-((4-methoxyphenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide(3e)

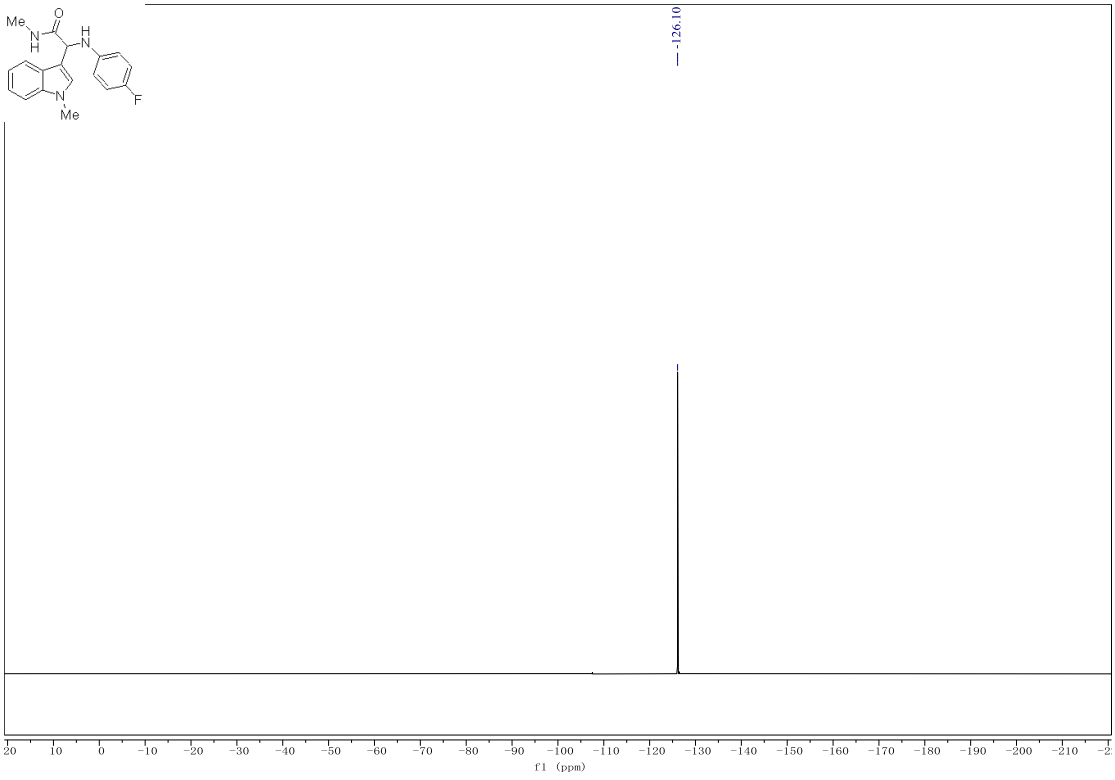




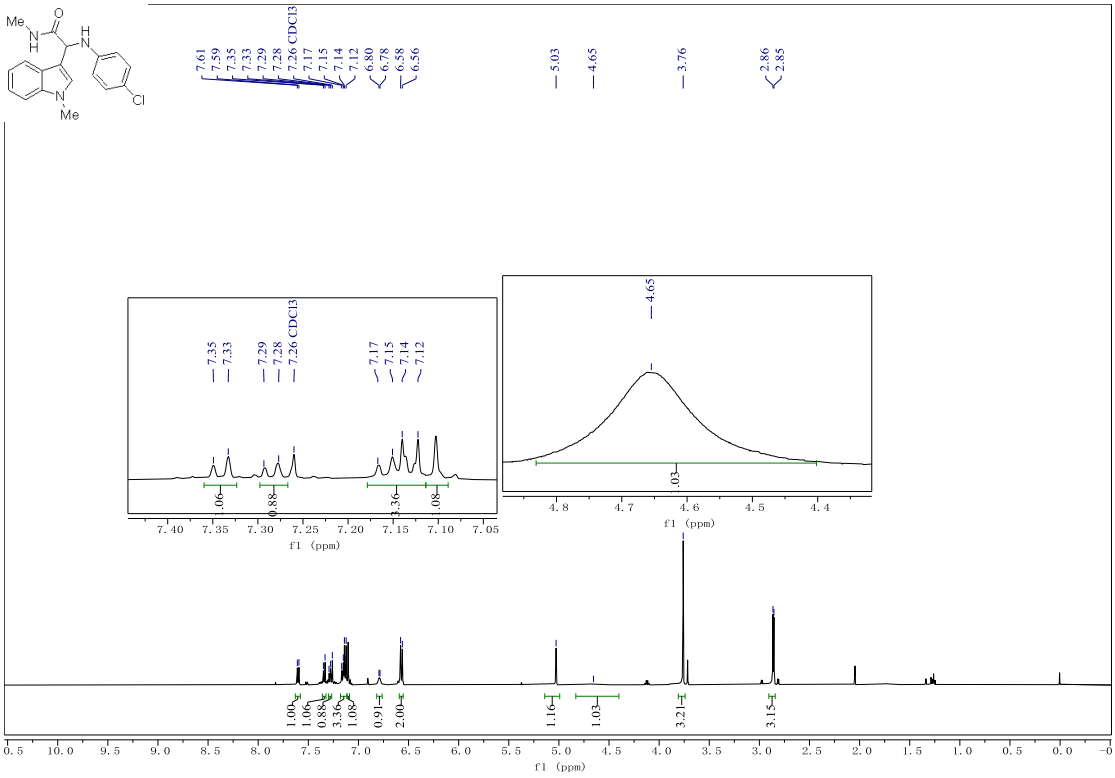
2-((4-fluorophenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3f)

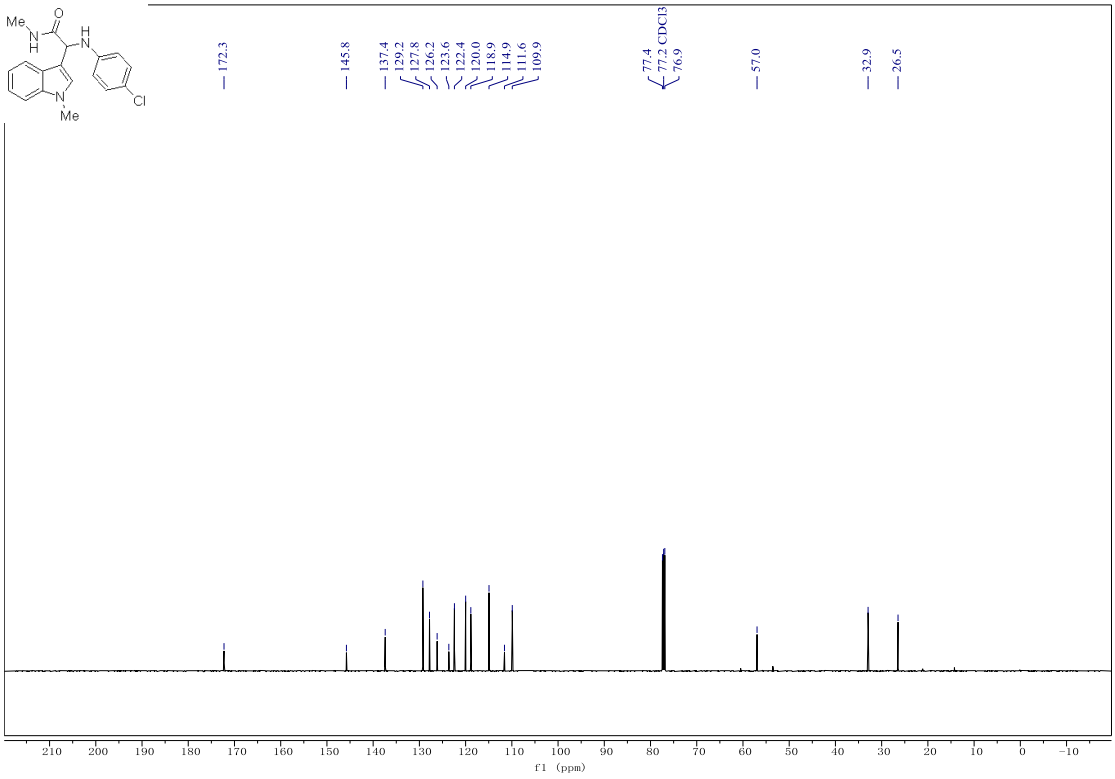



Me
N
H
O
H
N
F
N
Me
172.5
157.7
155.8
143.7
137.5
127.8
126.2
122.4
120.0
119.0
116.1
115.9
114.8
114.7
111.9
109.9
77.4
77.2 CDCl3
76.9
57.8
33.0
26.5
f1 (ppm)

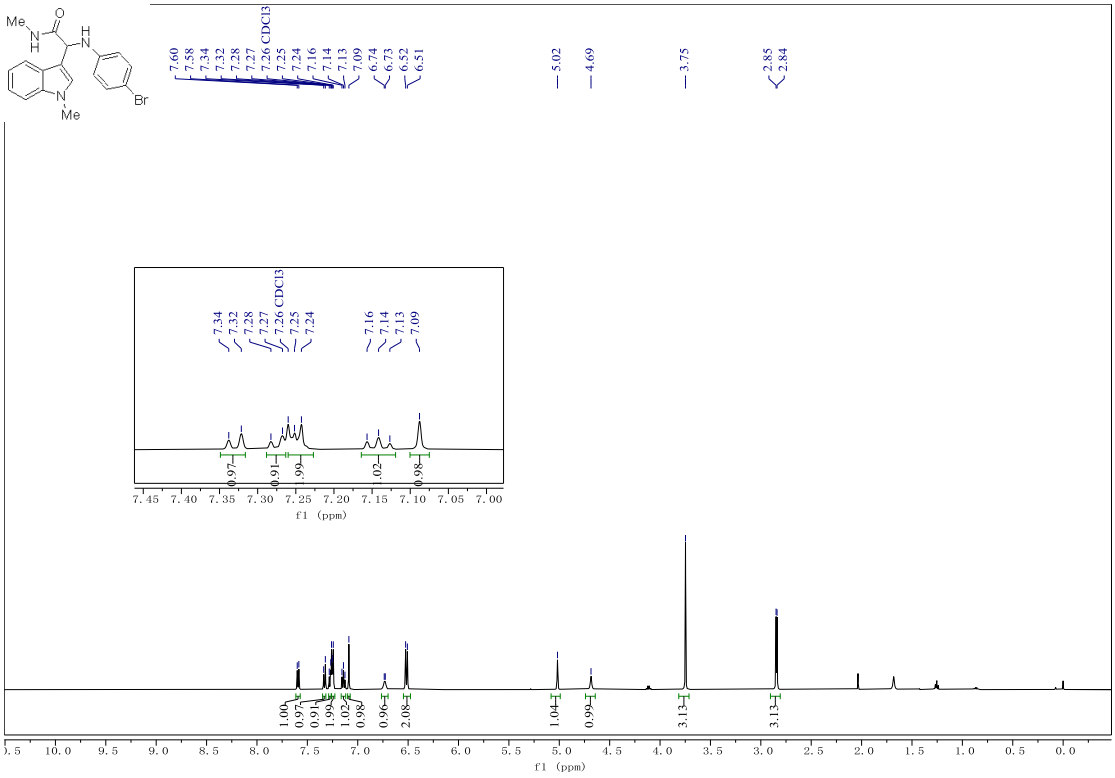

Me
N
H
O
H
N
F
N
Me
-126.10
f1 (ppm)

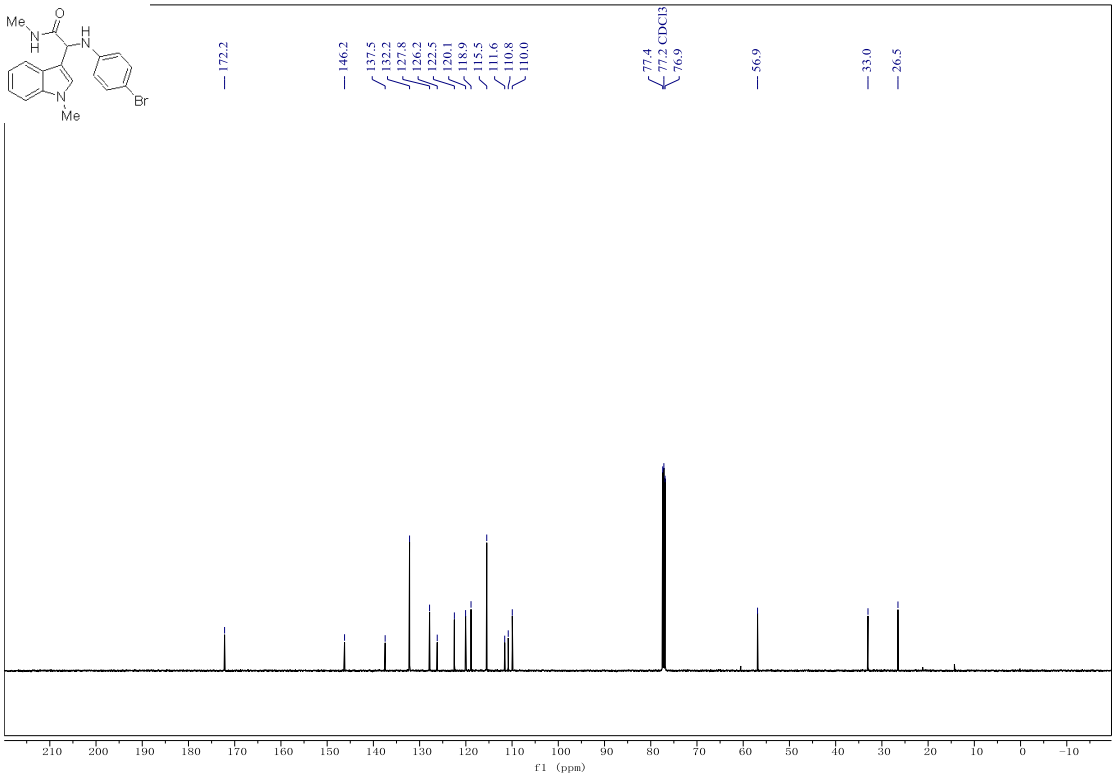
2-((4-chlorophenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3g)



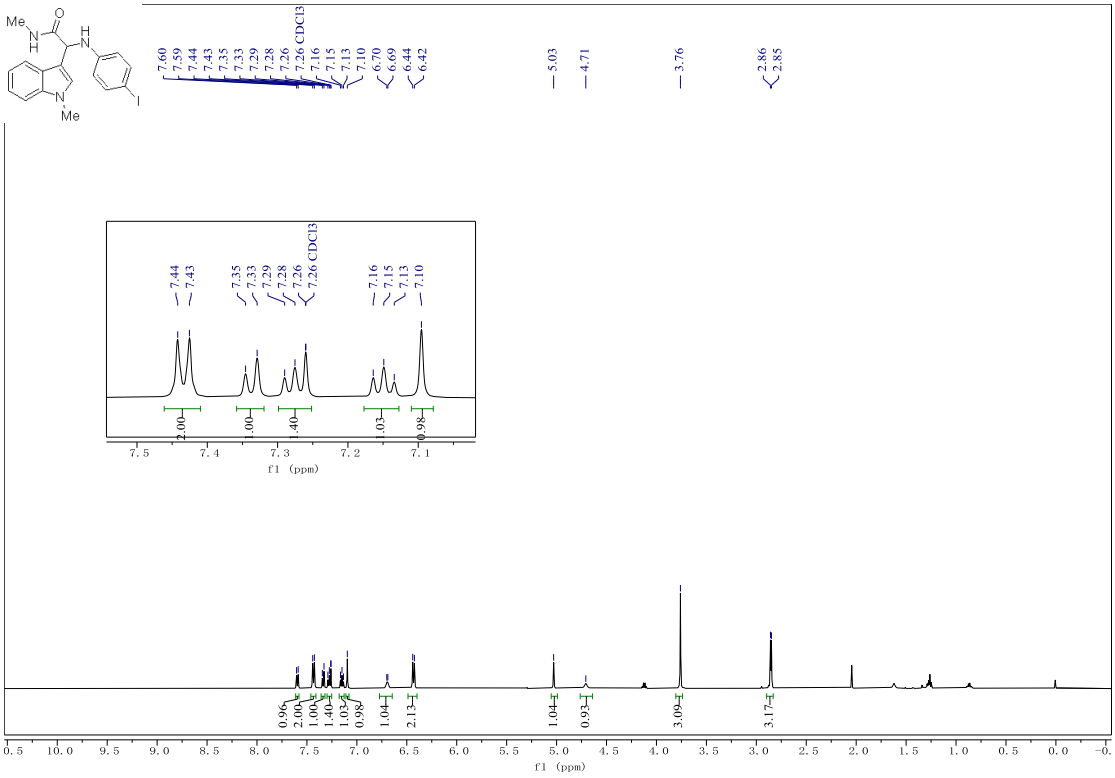


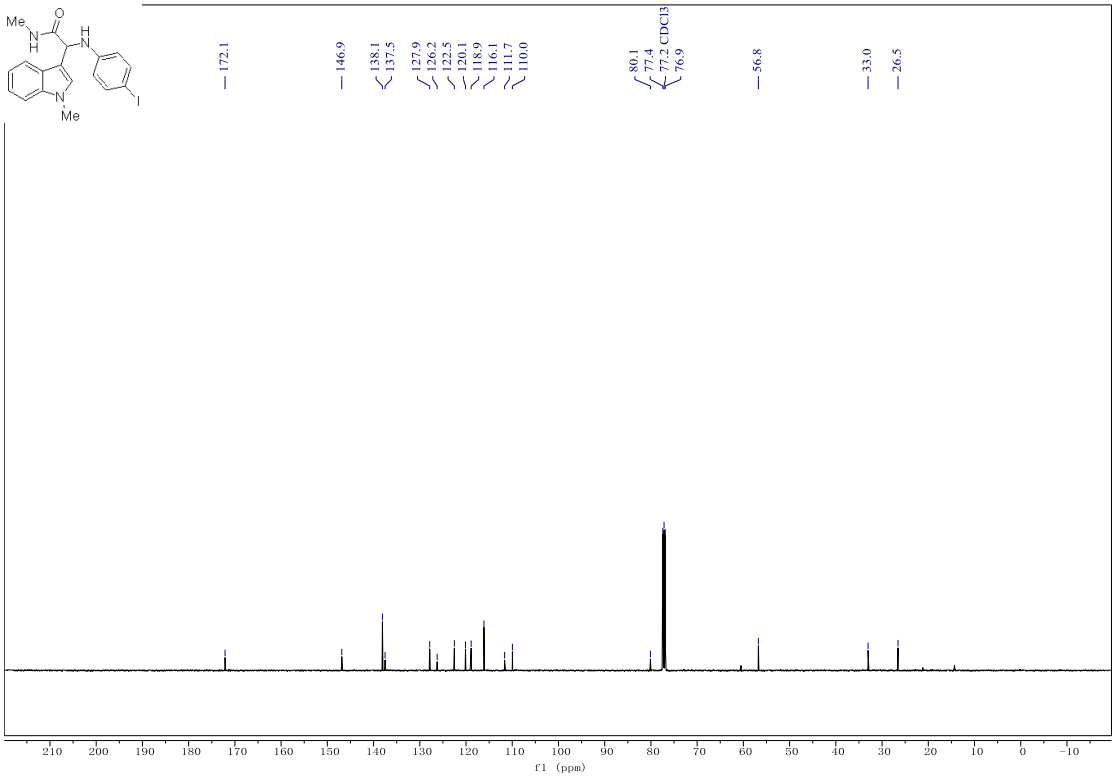
2-((4-bromophenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol -3-yl)acetamide (3h)



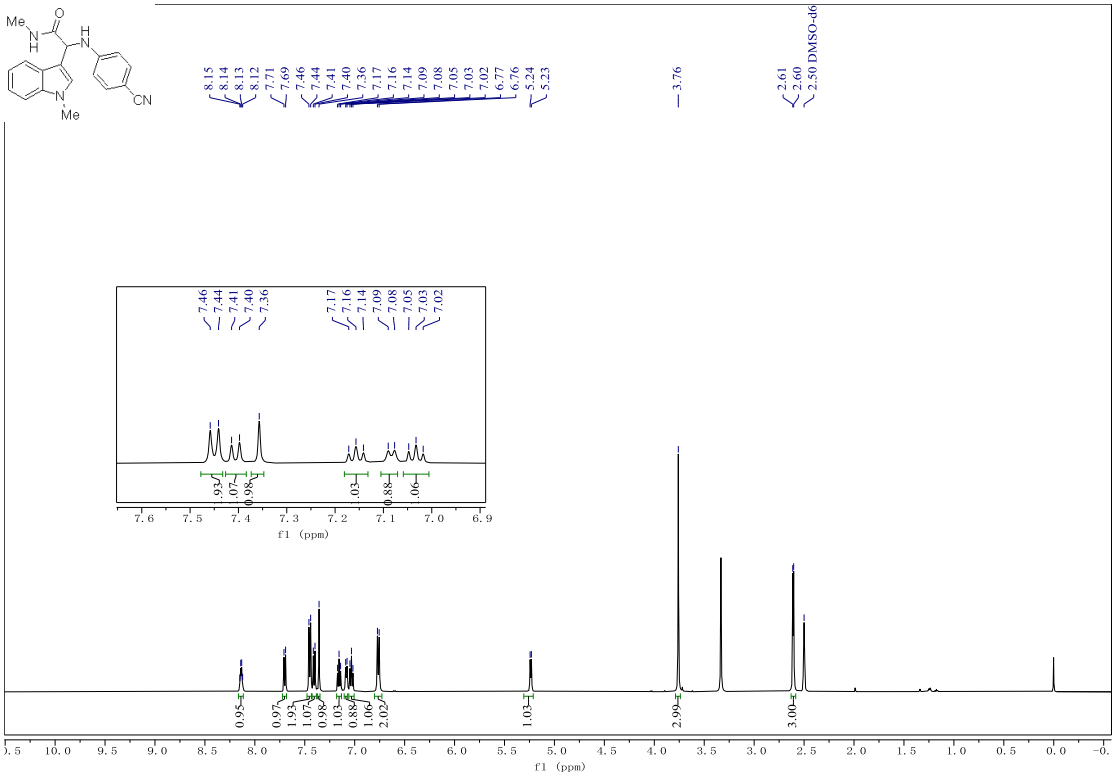


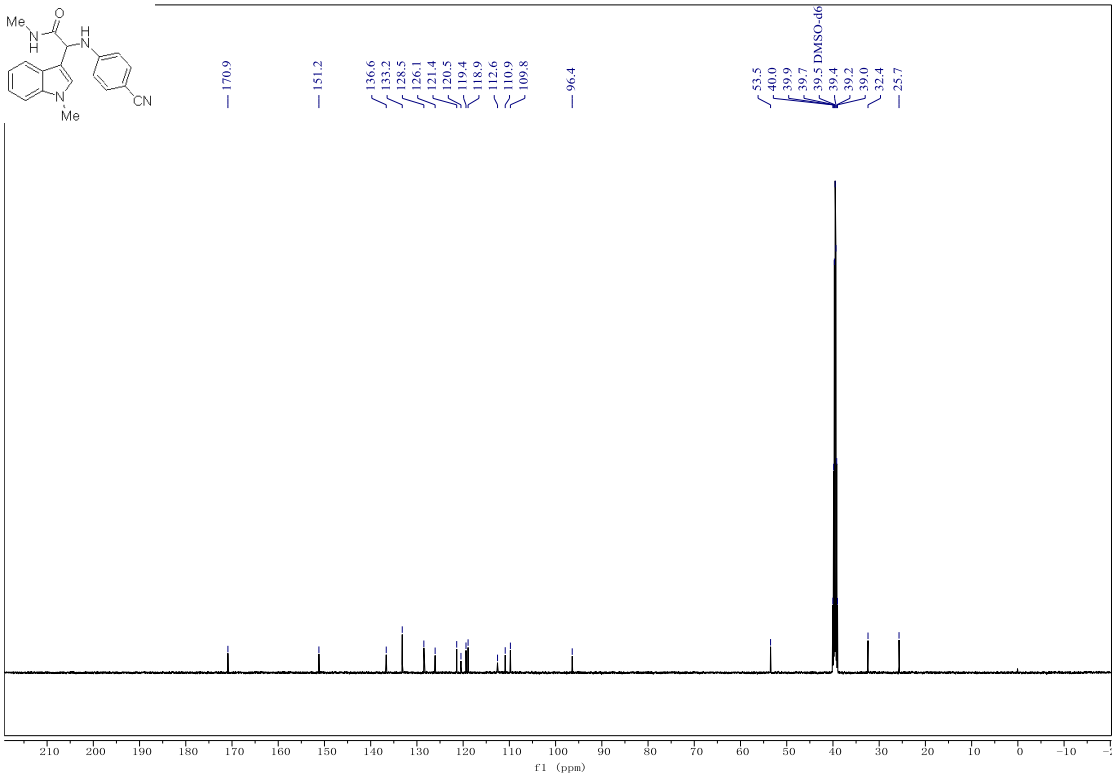
2-((4-iodophenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3i)



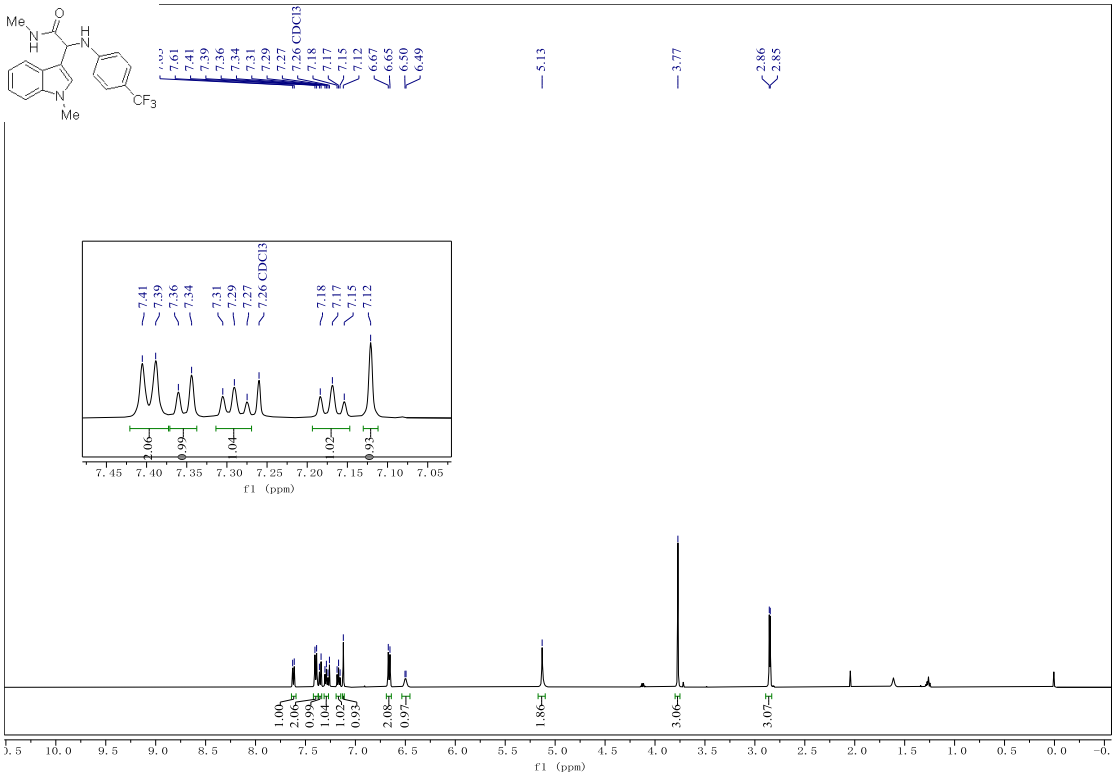


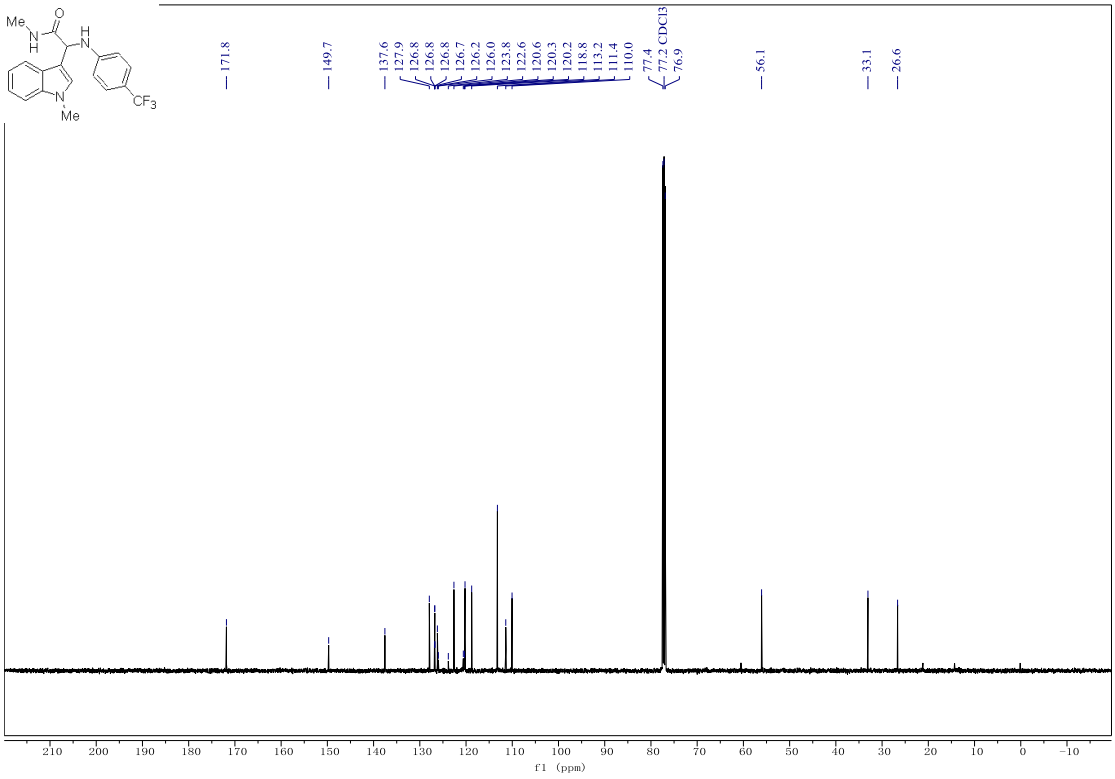
2-((4-cyanophenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol -3-yl)acetamide (3j)

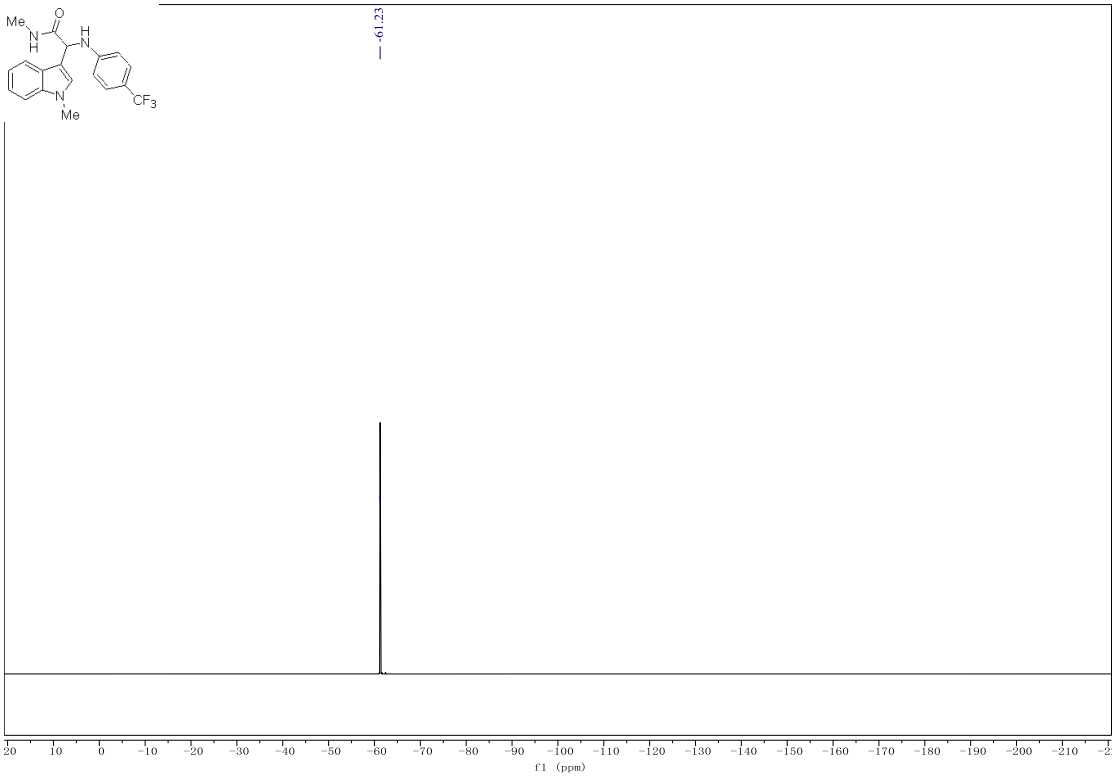




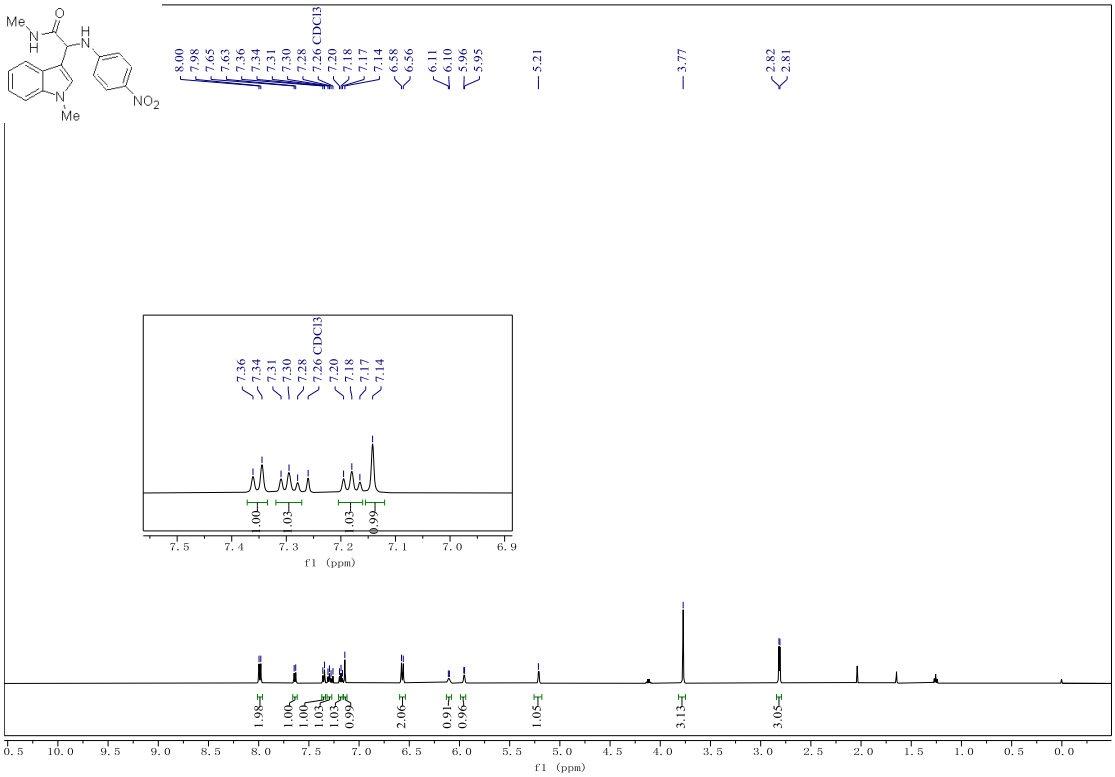
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-((4-(trifluoromethyl)phenyl)amino)acetamide (3k)**

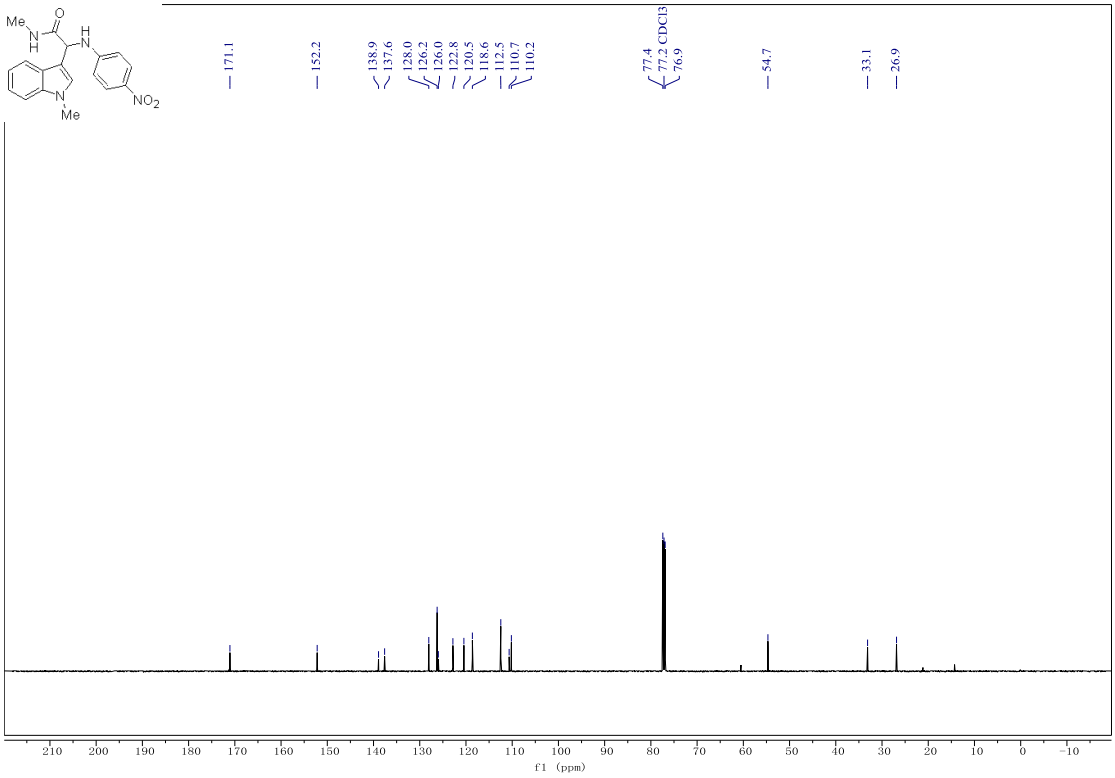




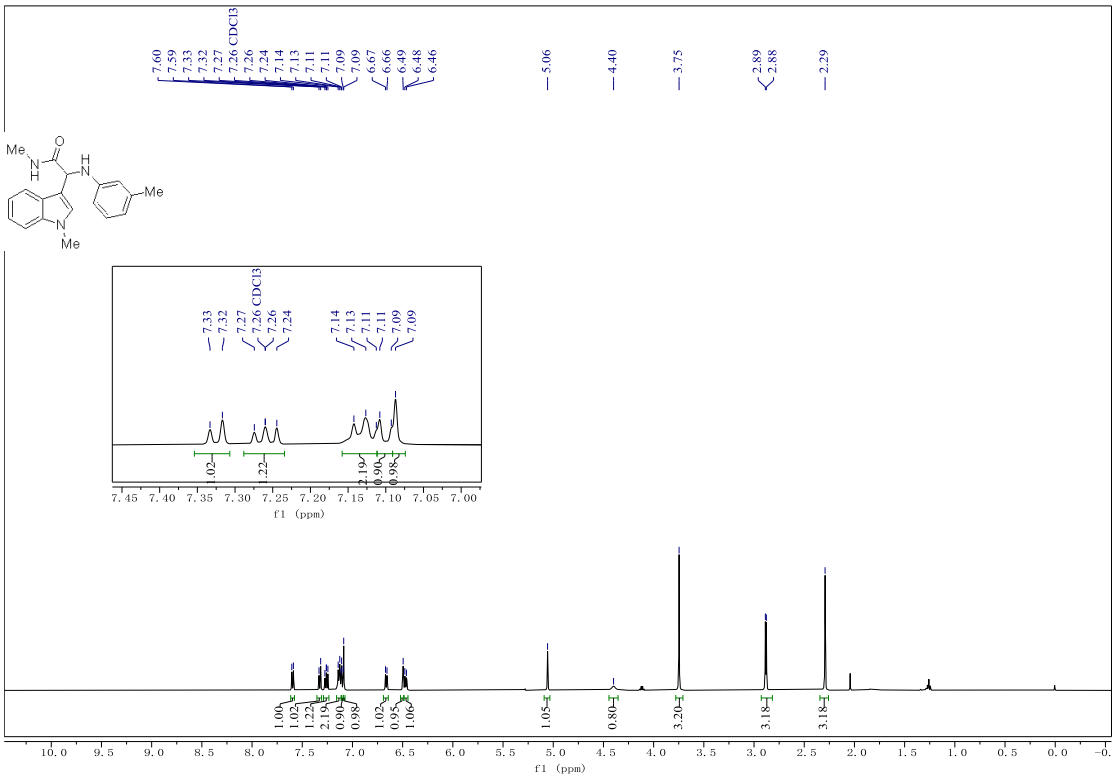


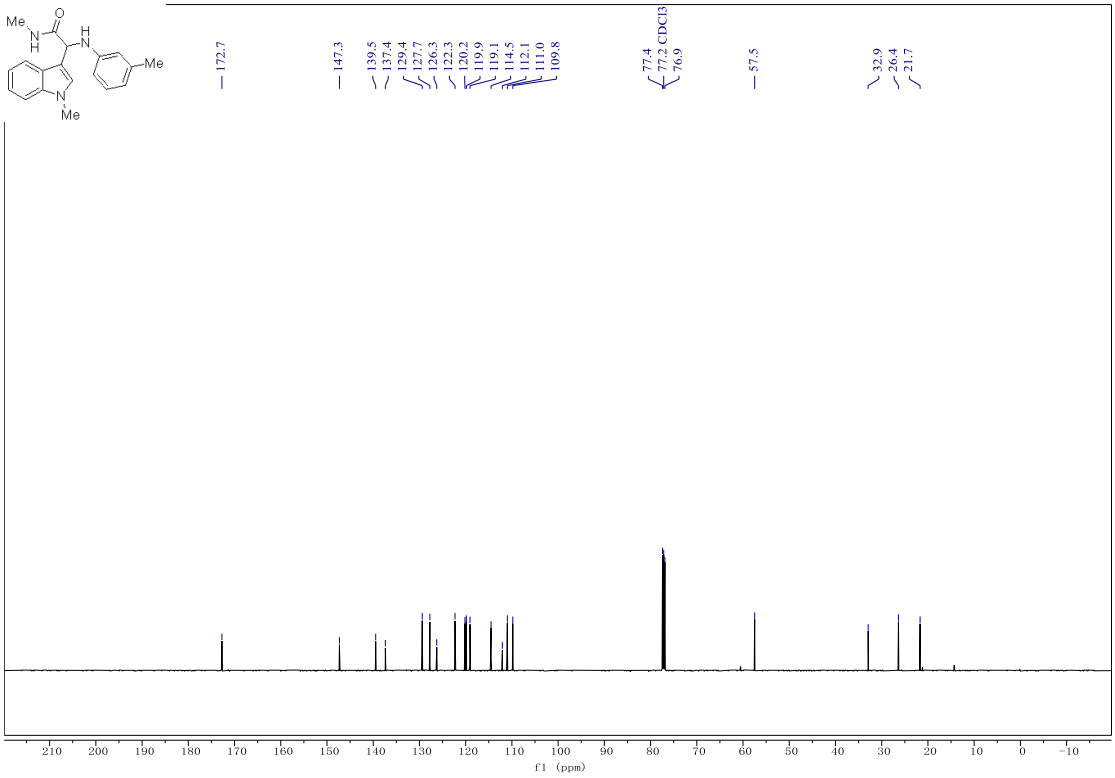
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-((4-nitrophenyl) amino)acetamide(3l)**



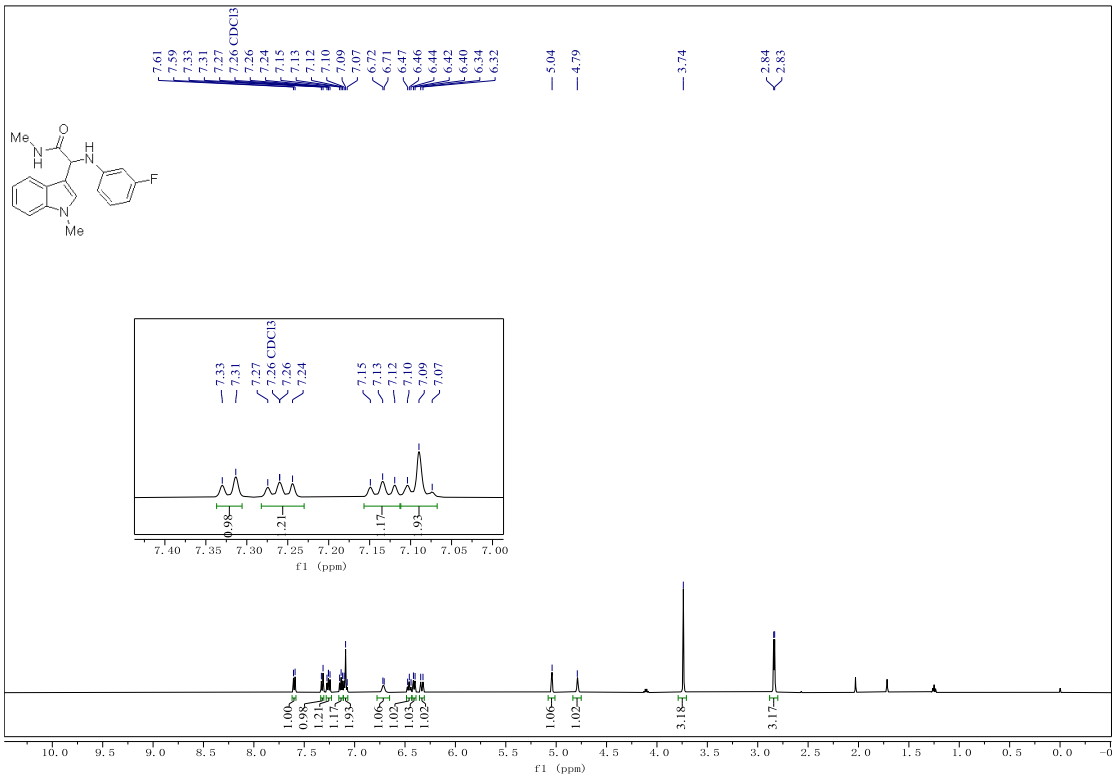


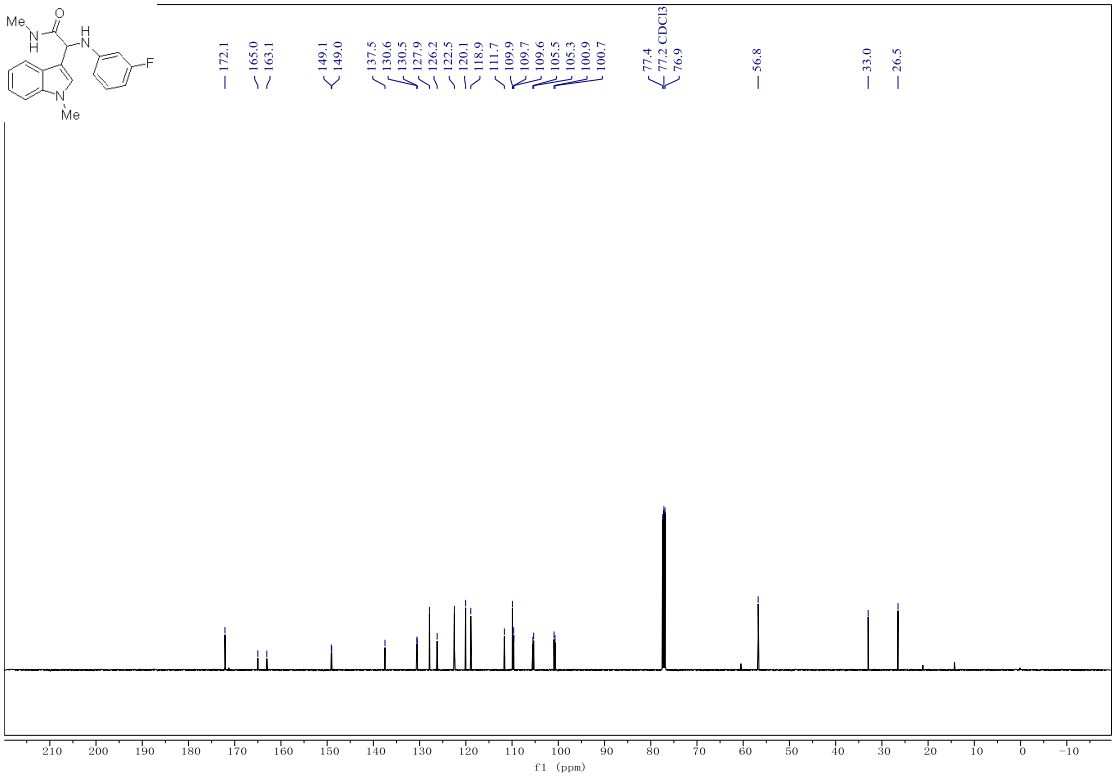
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(*m*-tolylamino) acetamide (3m)**

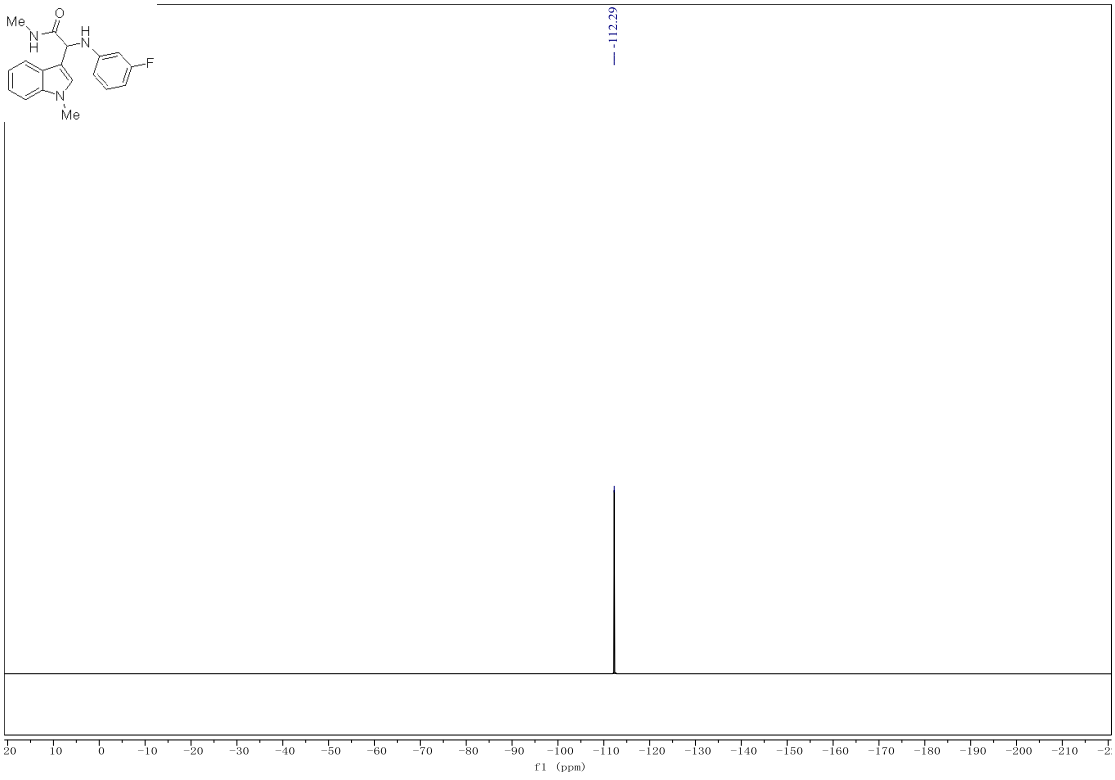




2-((3-fluorophenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol -3-yl)acetamide (3n)




Me
N
H
O
N
H
F
N
Me
172.1
165.0
163.1
149.1
149.0
137.5
130.6
130.5
127.9
126.2
122.5
120.1
118.9
111.7
109.9
109.7
109.6
105.5
105.3
100.9
100.7
77.4
77.2 CDCl3
76.9
56.8
33.0
26.5
f1 (ppm)


Me
N
H
O
N
H
F
N
Me
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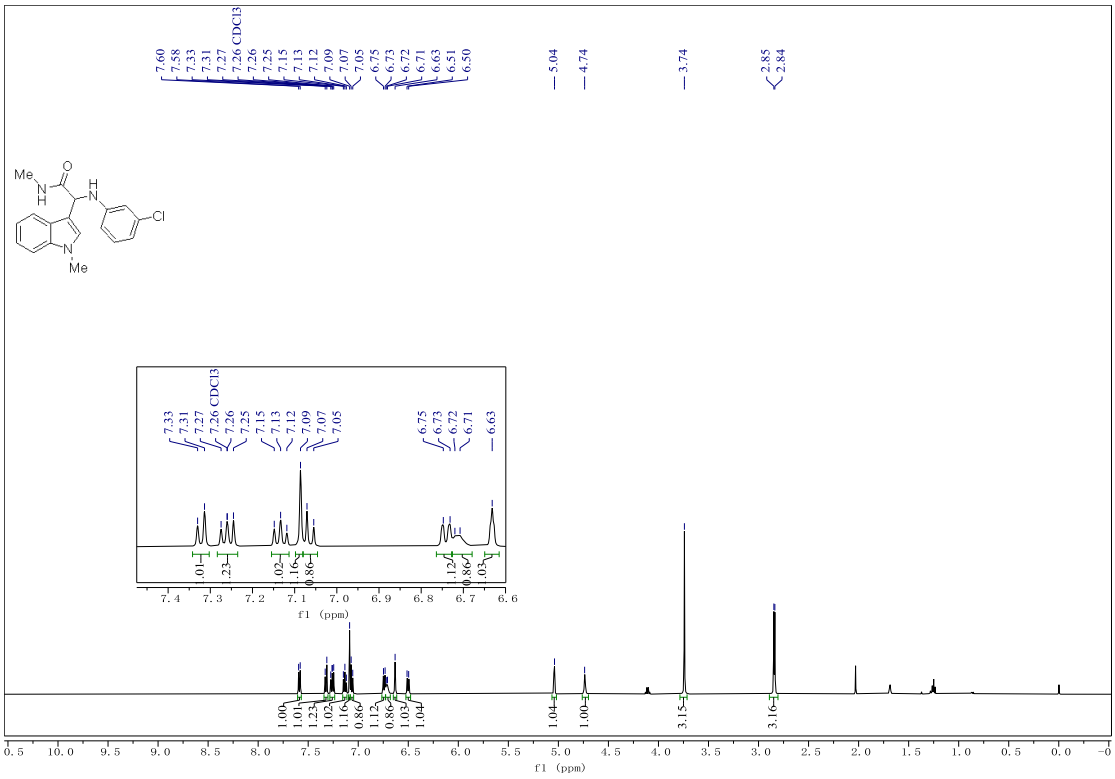
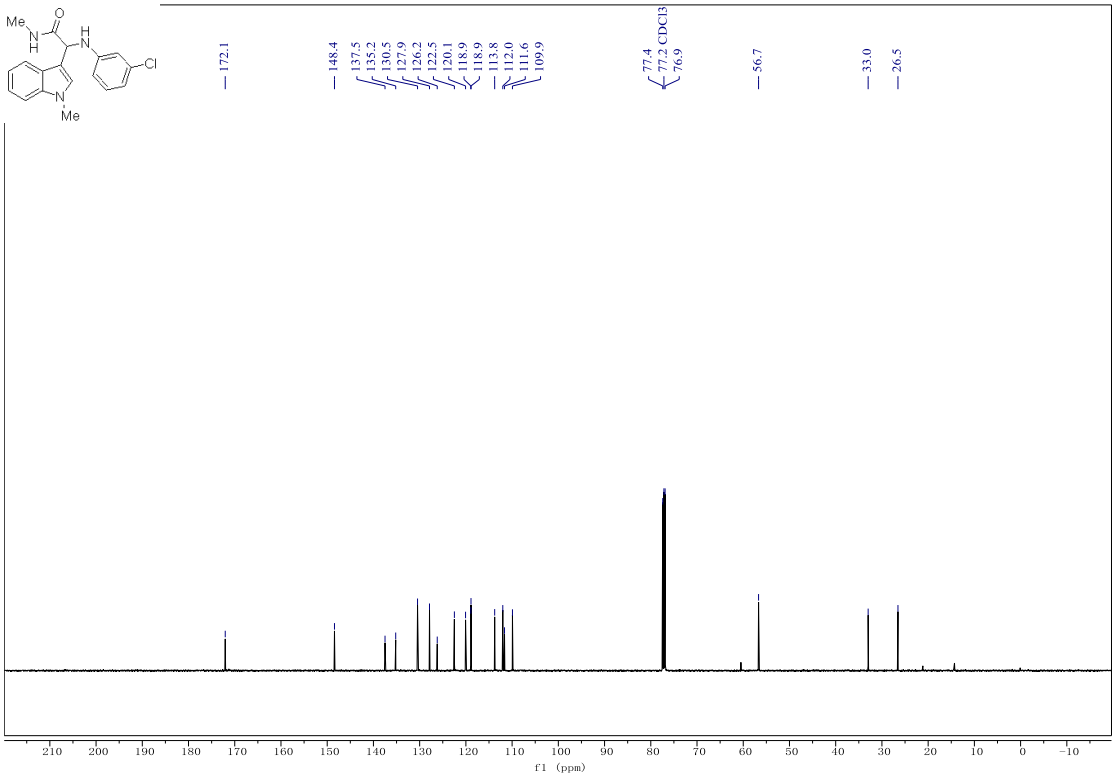
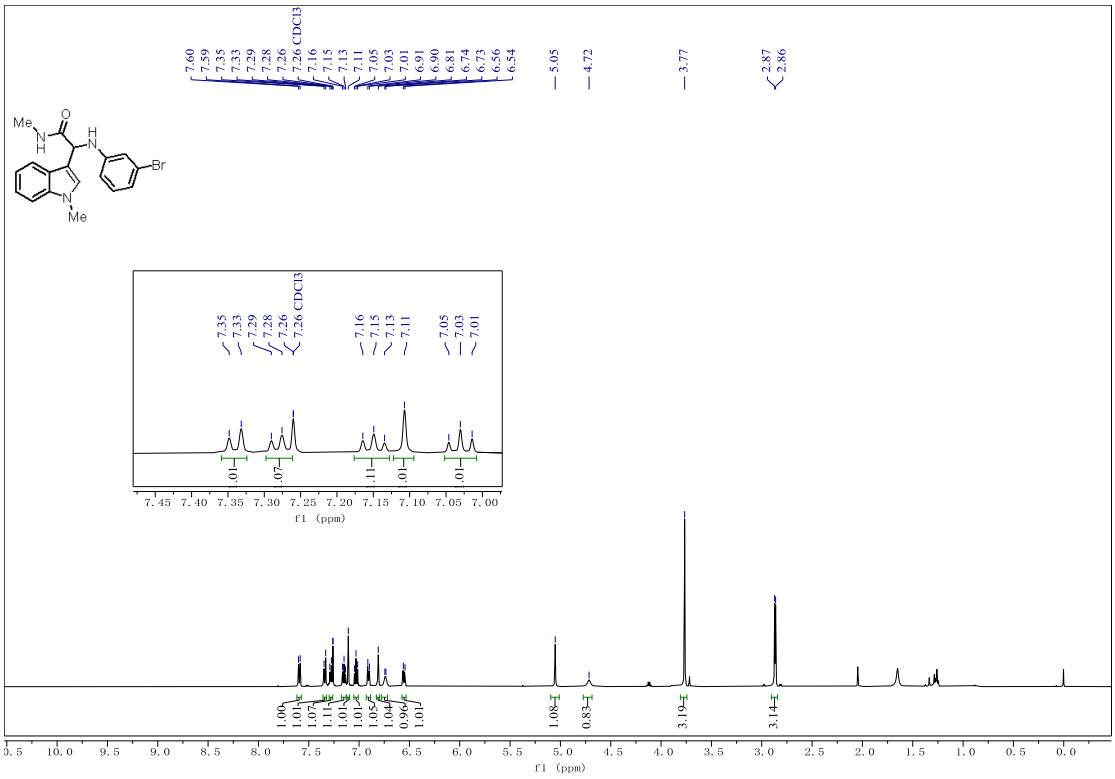
f1 (ppm)

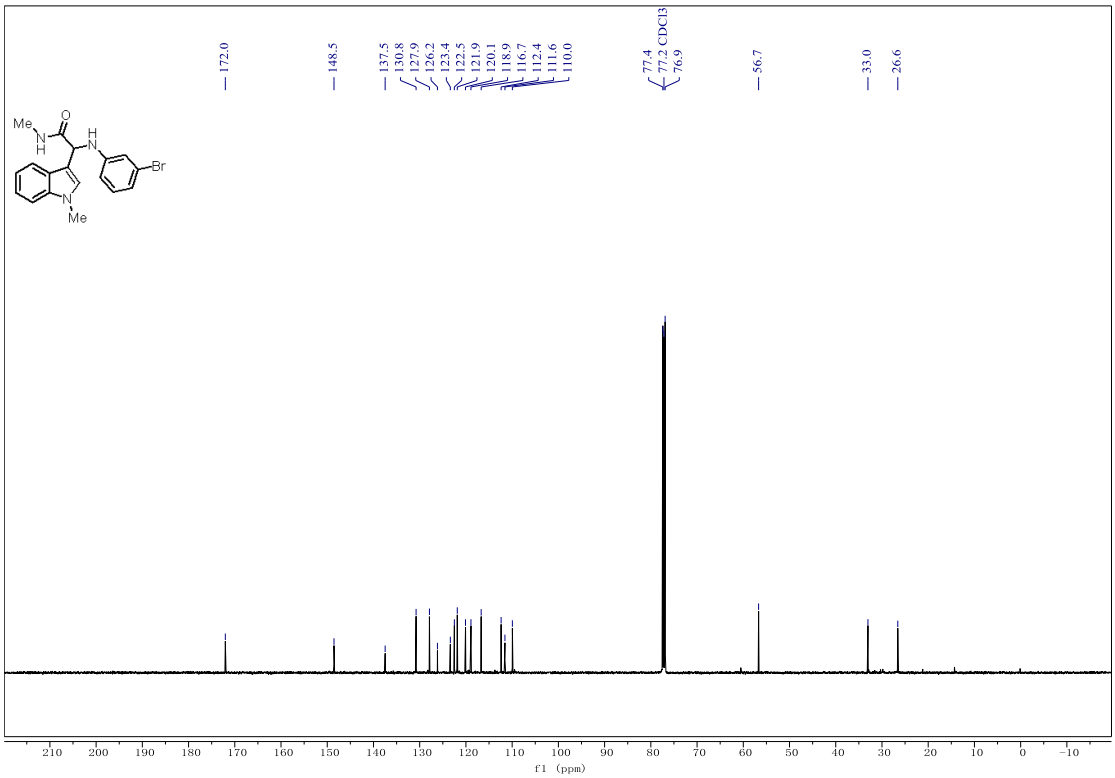
2-((3-chlorophenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3o)



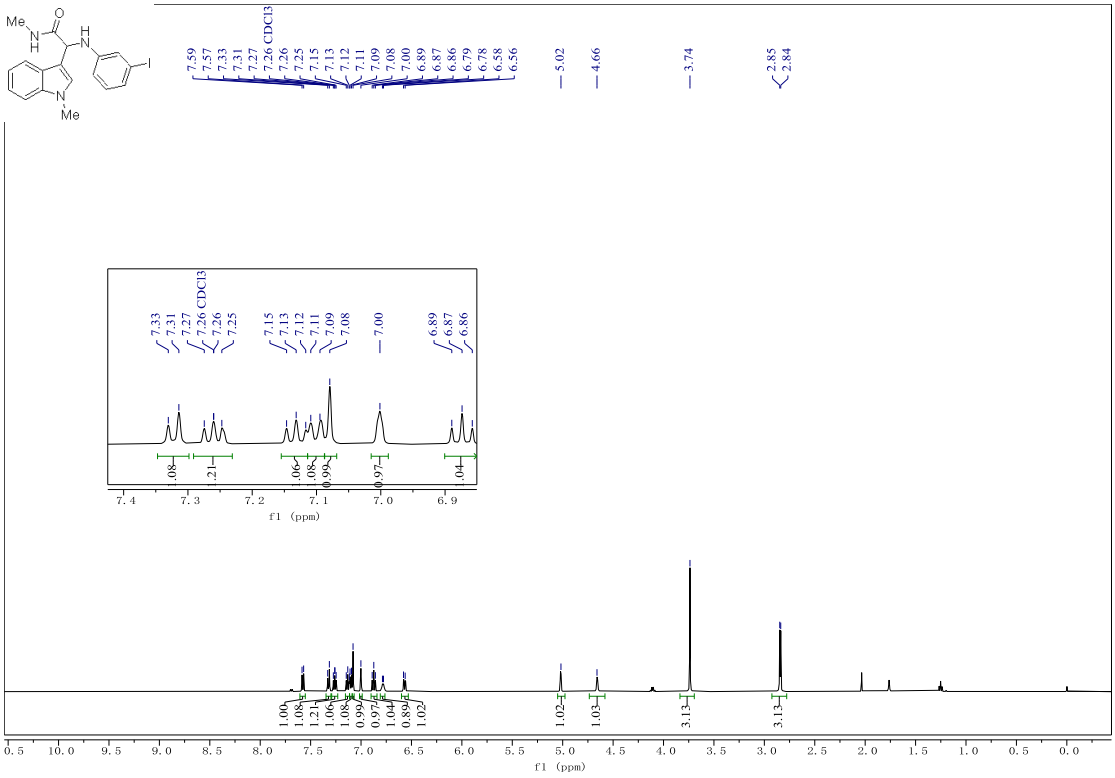


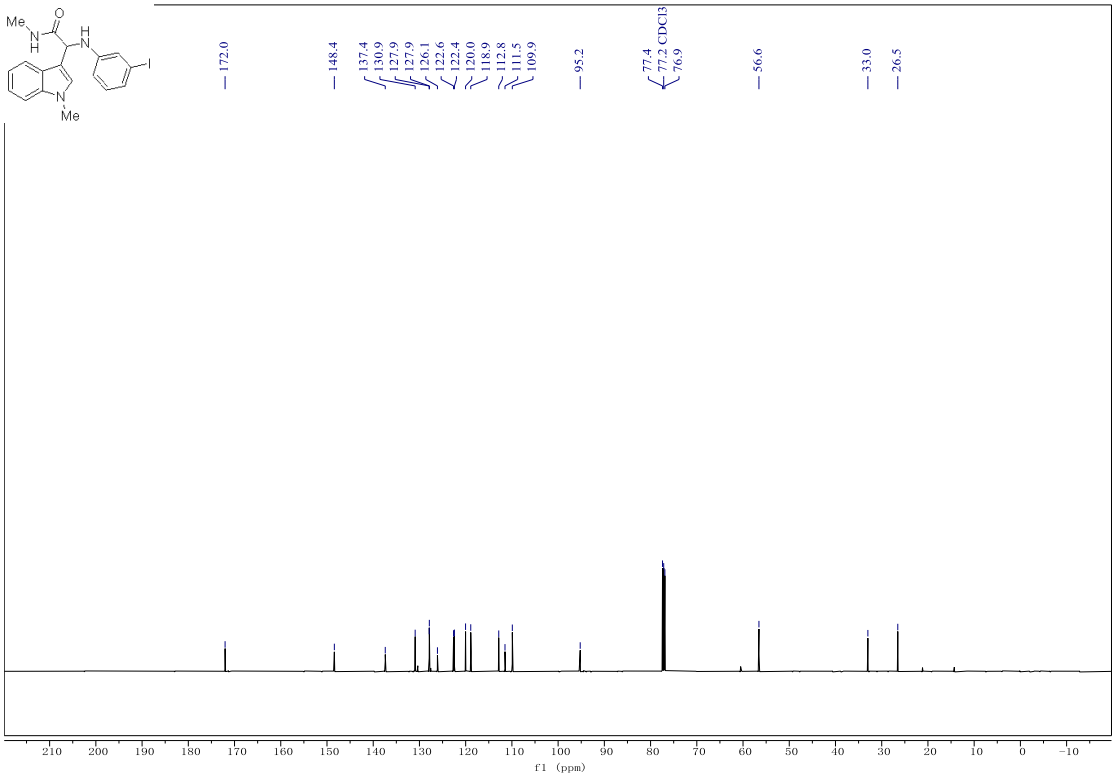
2-((3-bromophenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl) acetamide (3p)



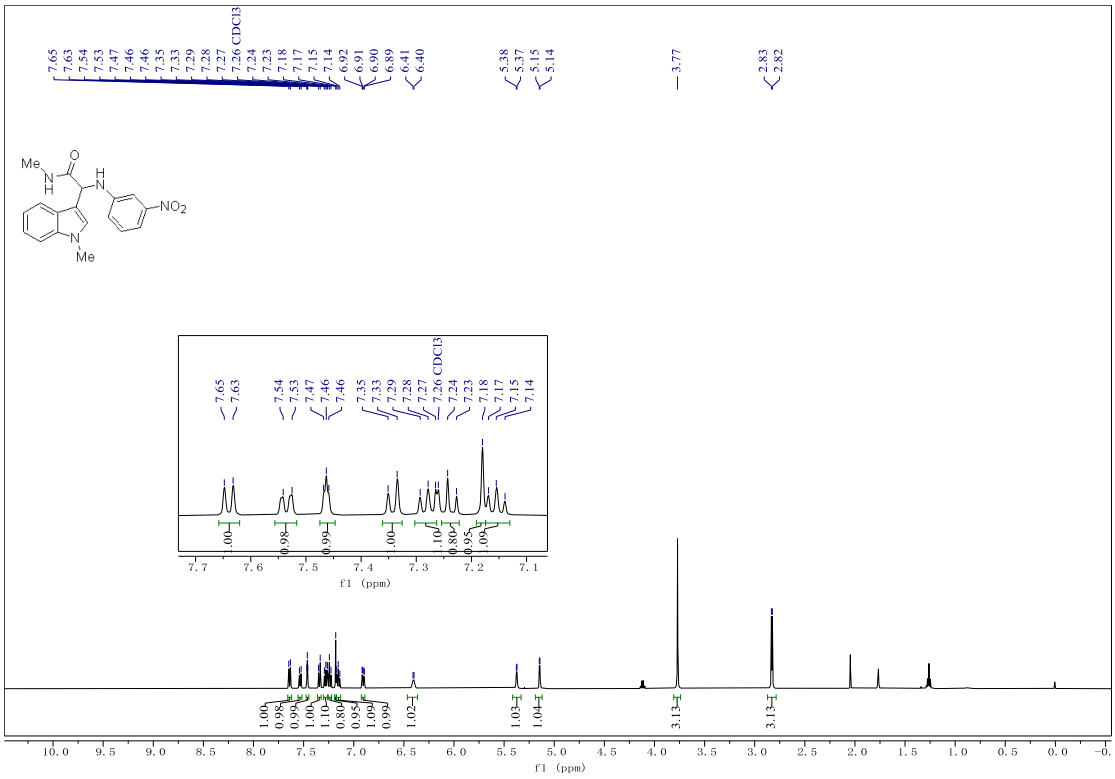


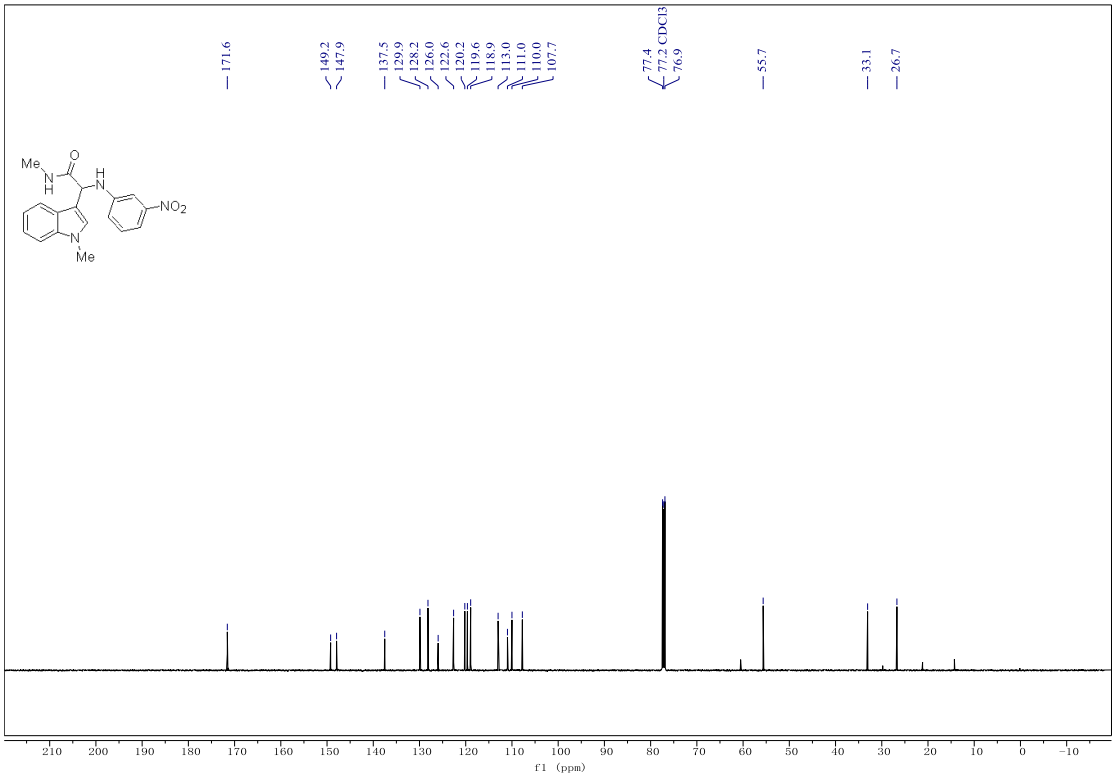
2-((3-iodophenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3q)



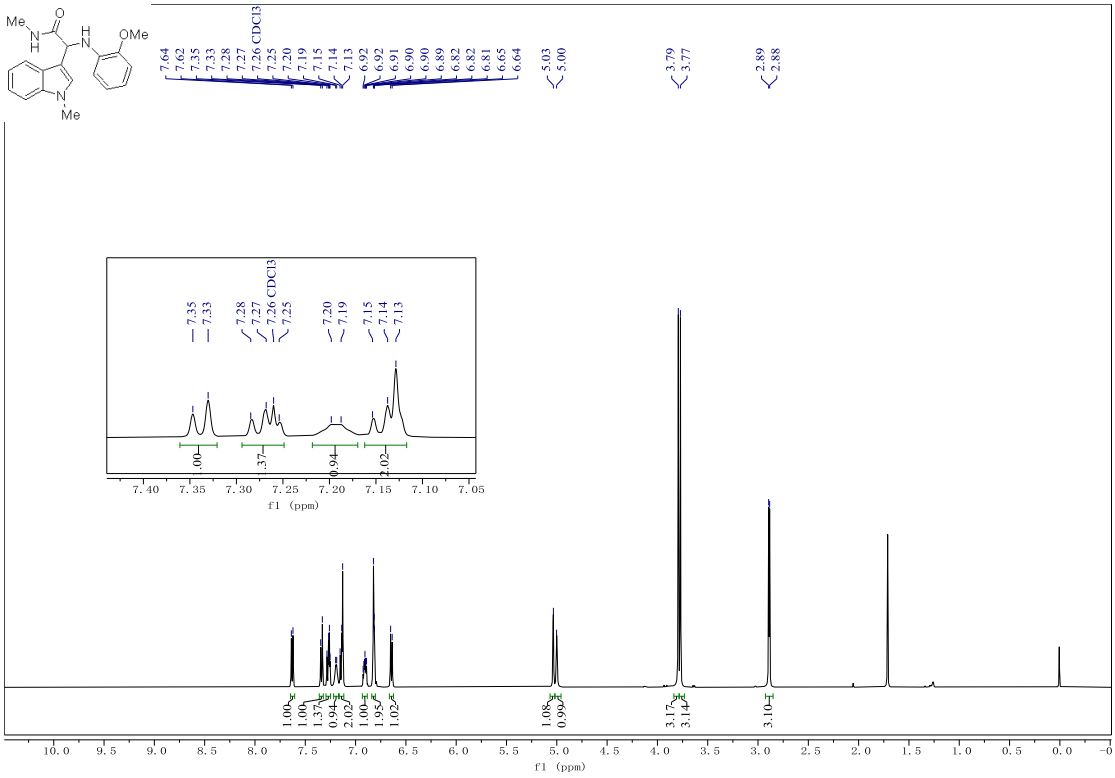


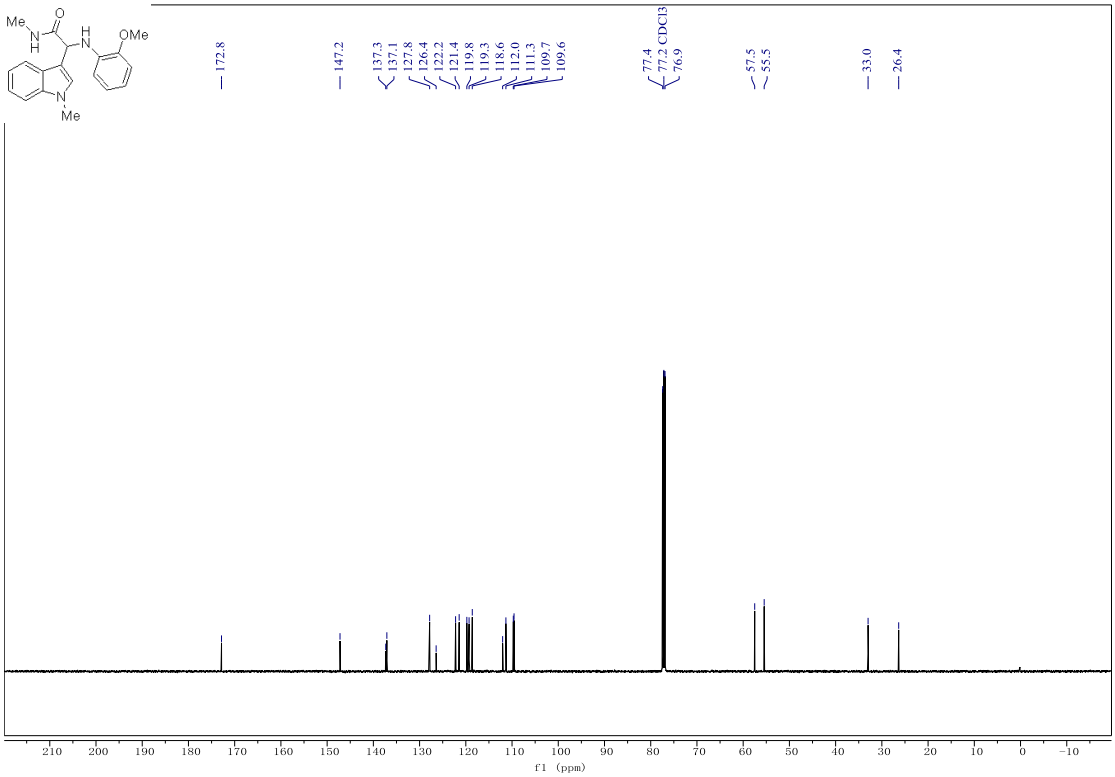
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-((3-nitrophenyl)amino)acetamide (3r)**



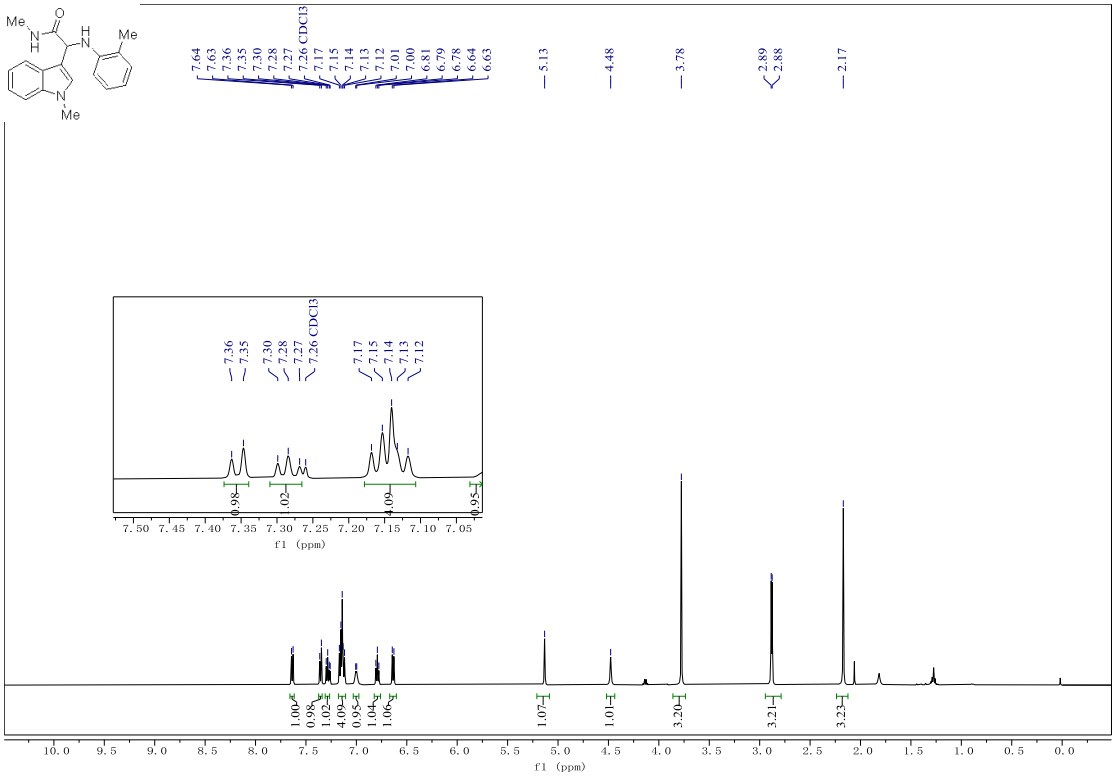


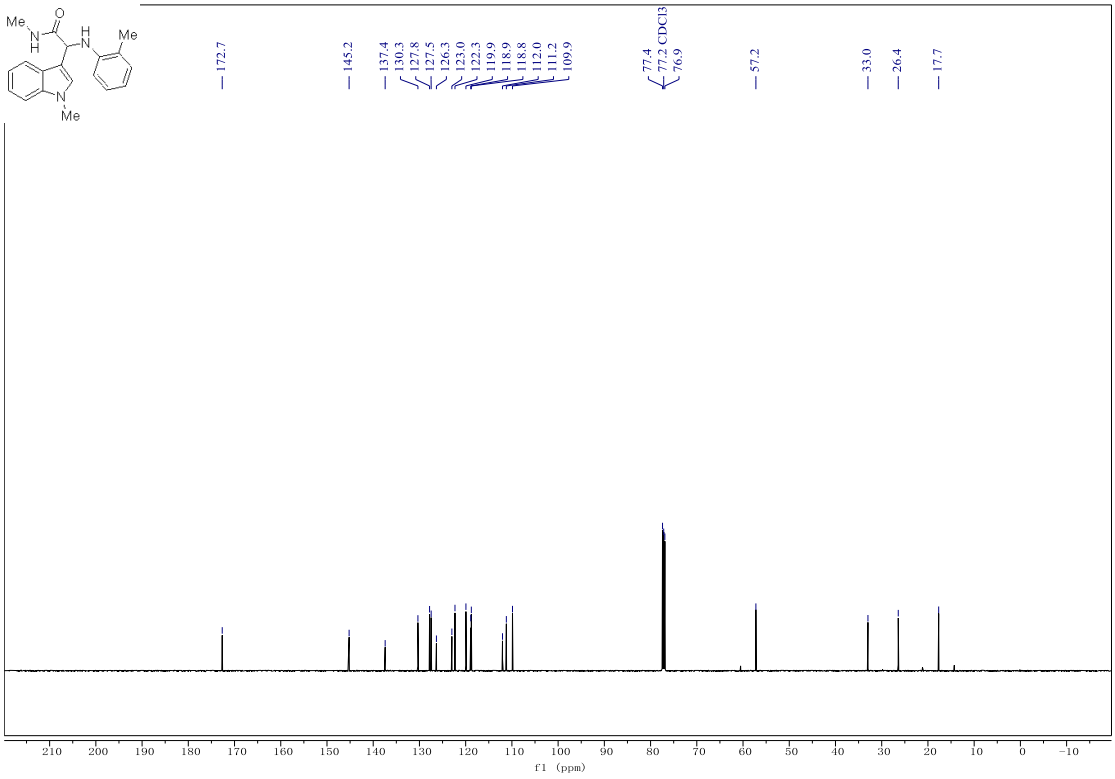
2-((2-methoxyphenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3s)



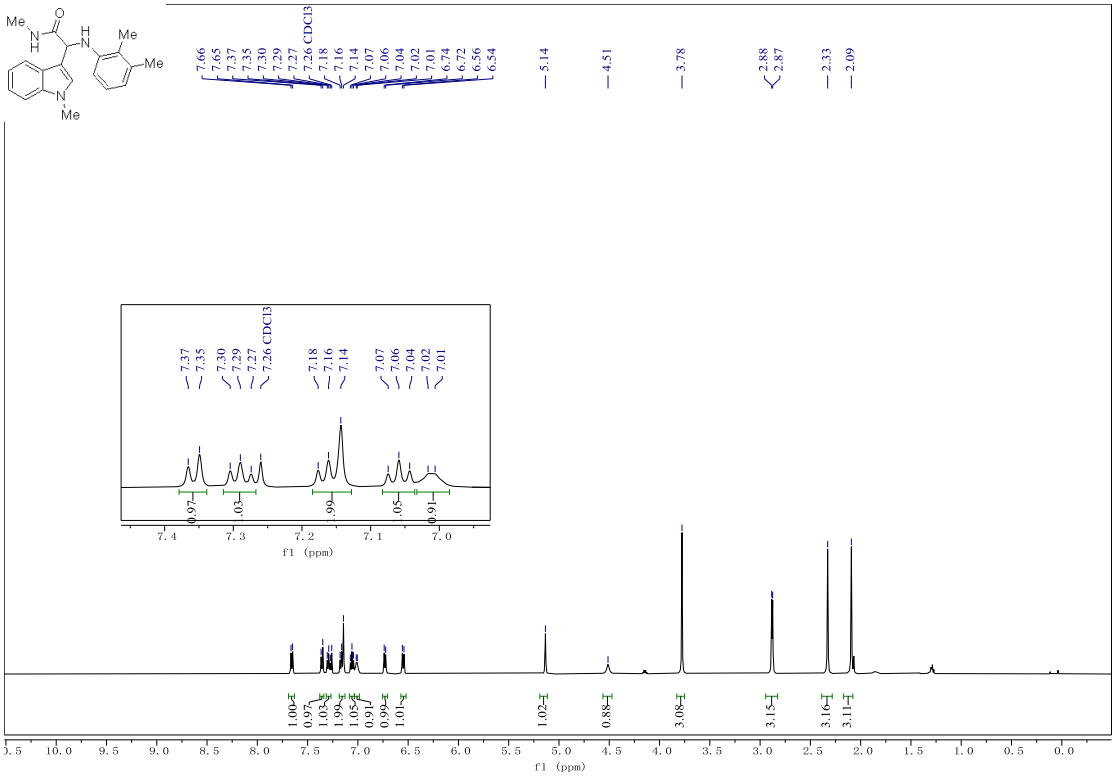


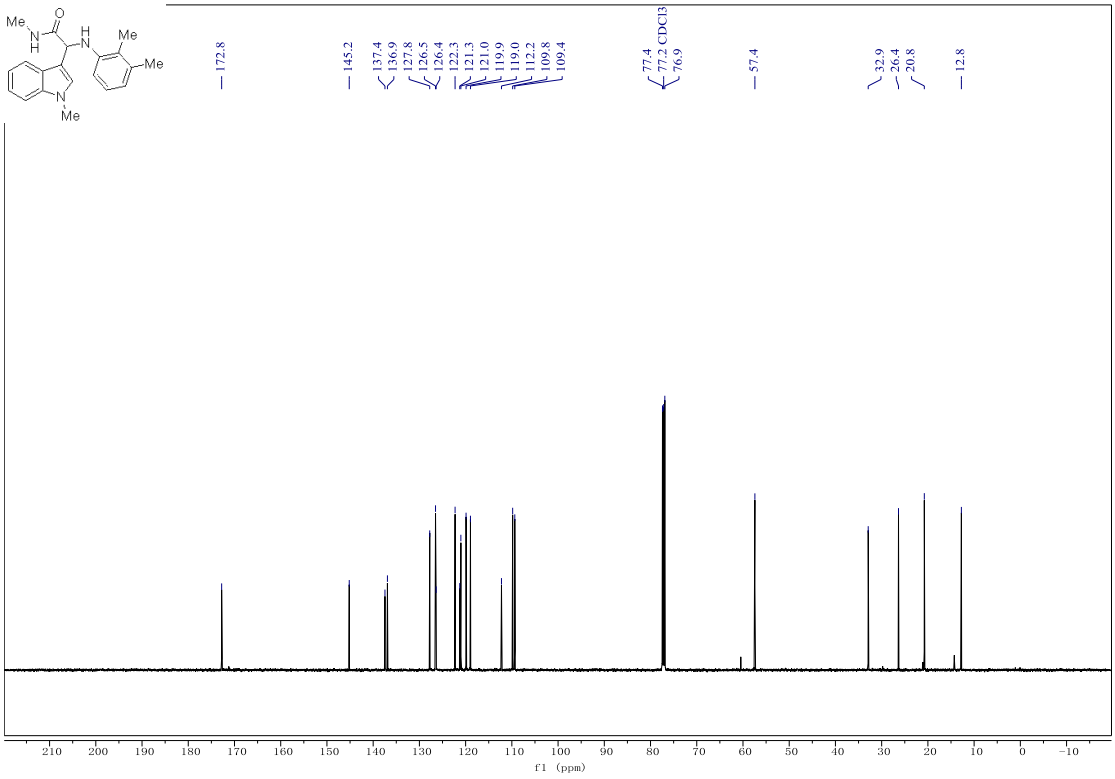
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(*o*-tolylamino)acetamide (3t)**



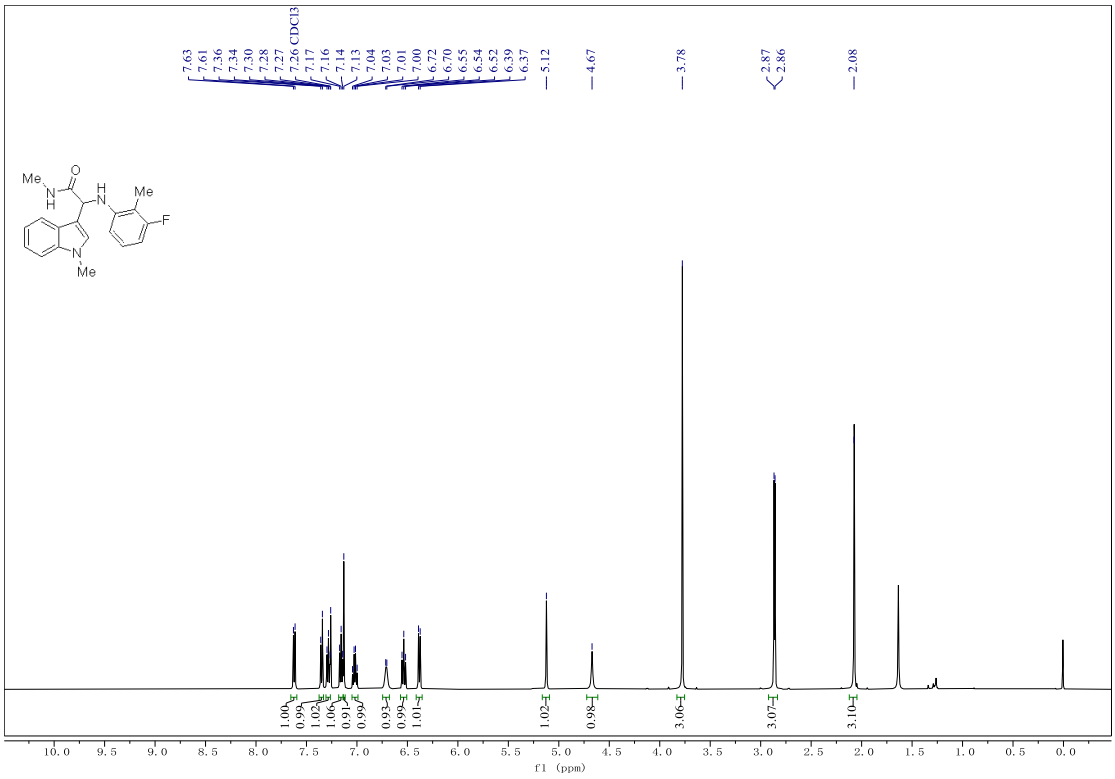


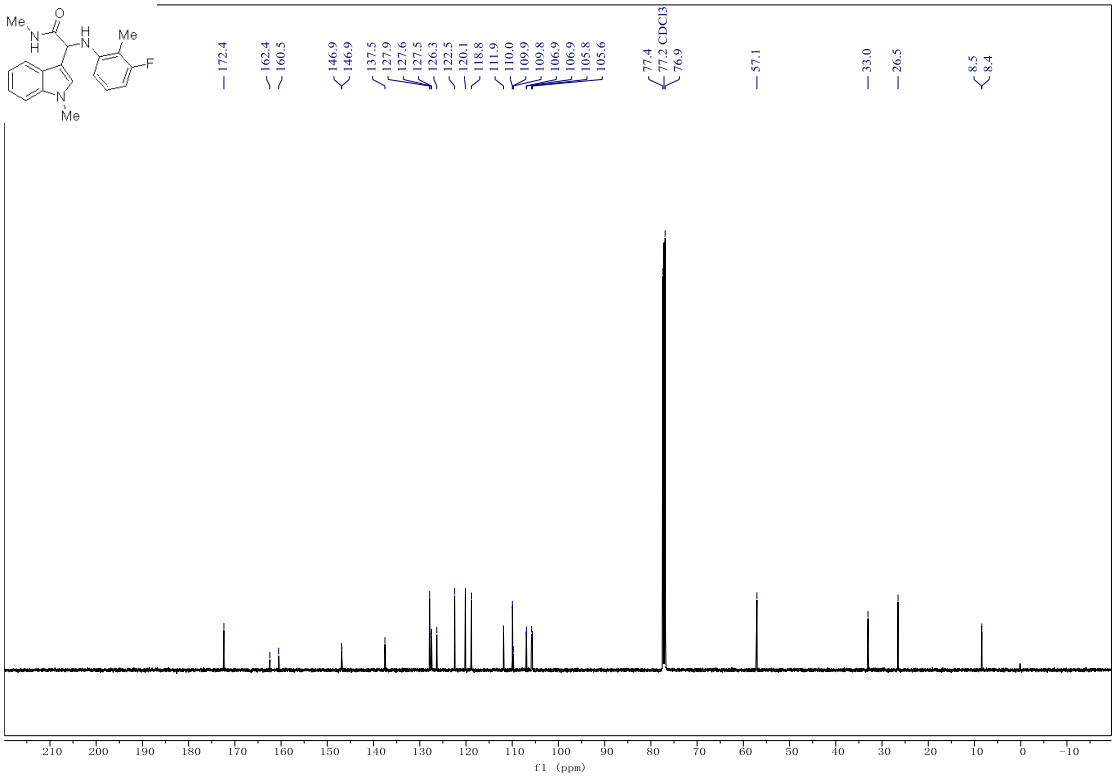
2-((2,3-dimethylphenyl)amino)-*N*-methyl-2-(1-methyl-1*H* -indol-3-yl)acetamide (3u)

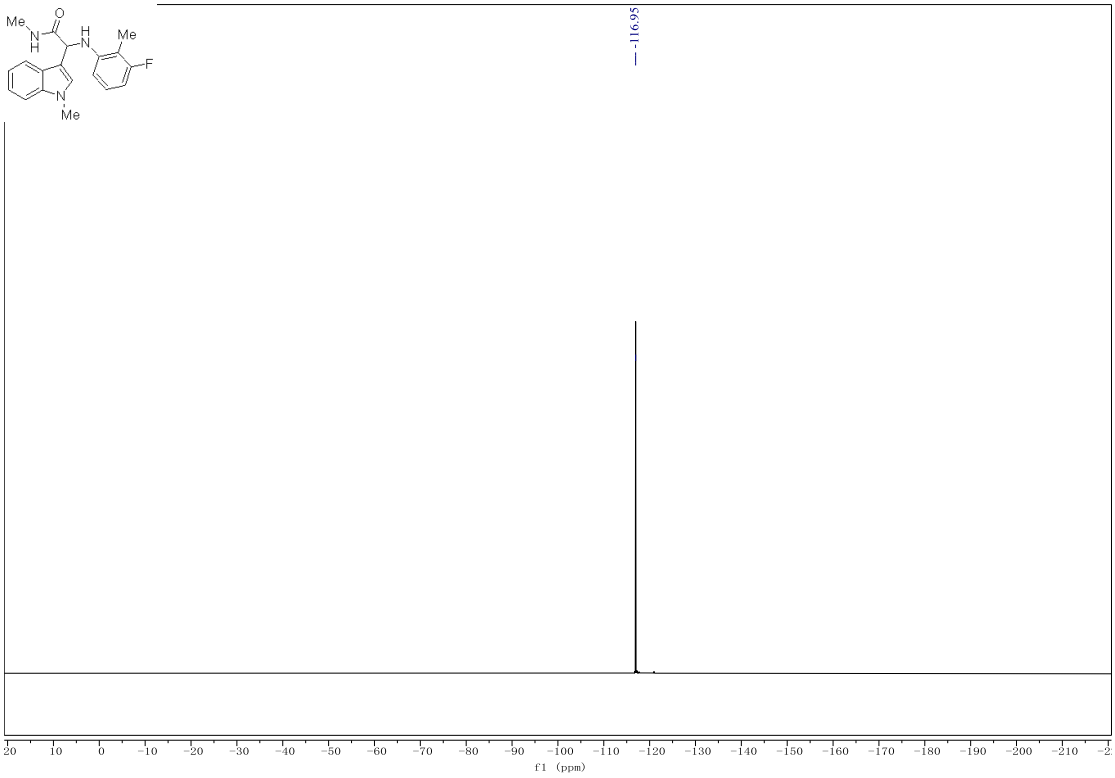




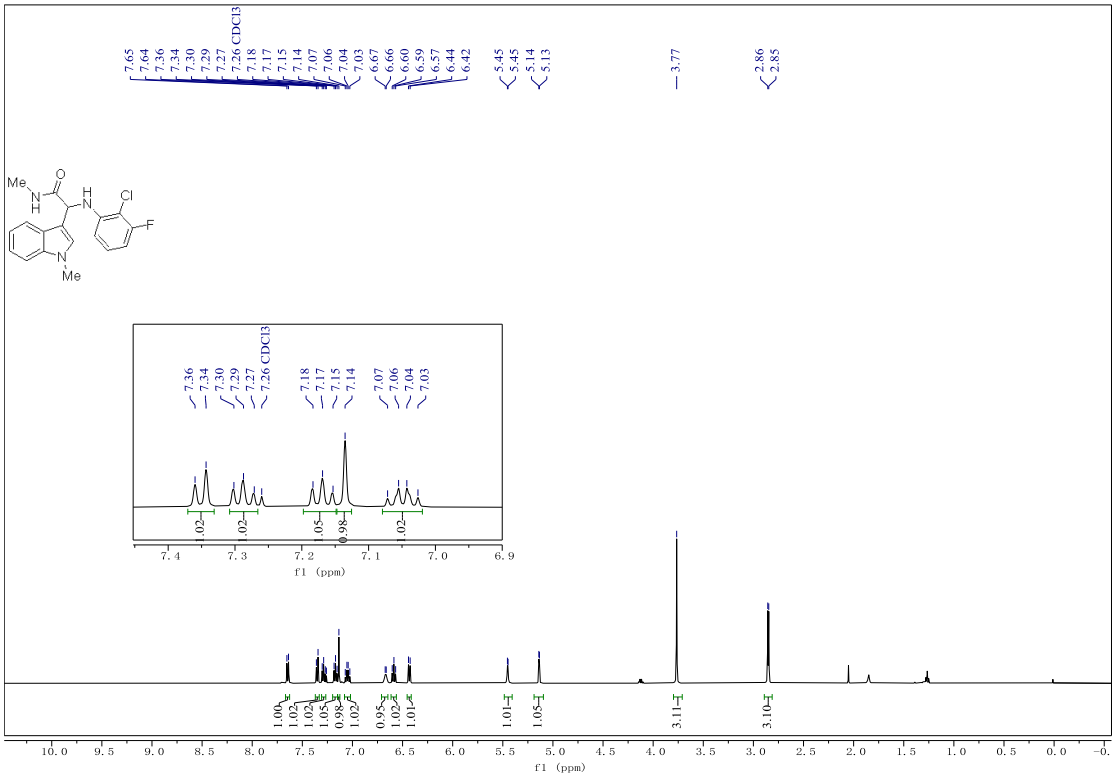
2-((3-fluoro-2-methylphenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3v)

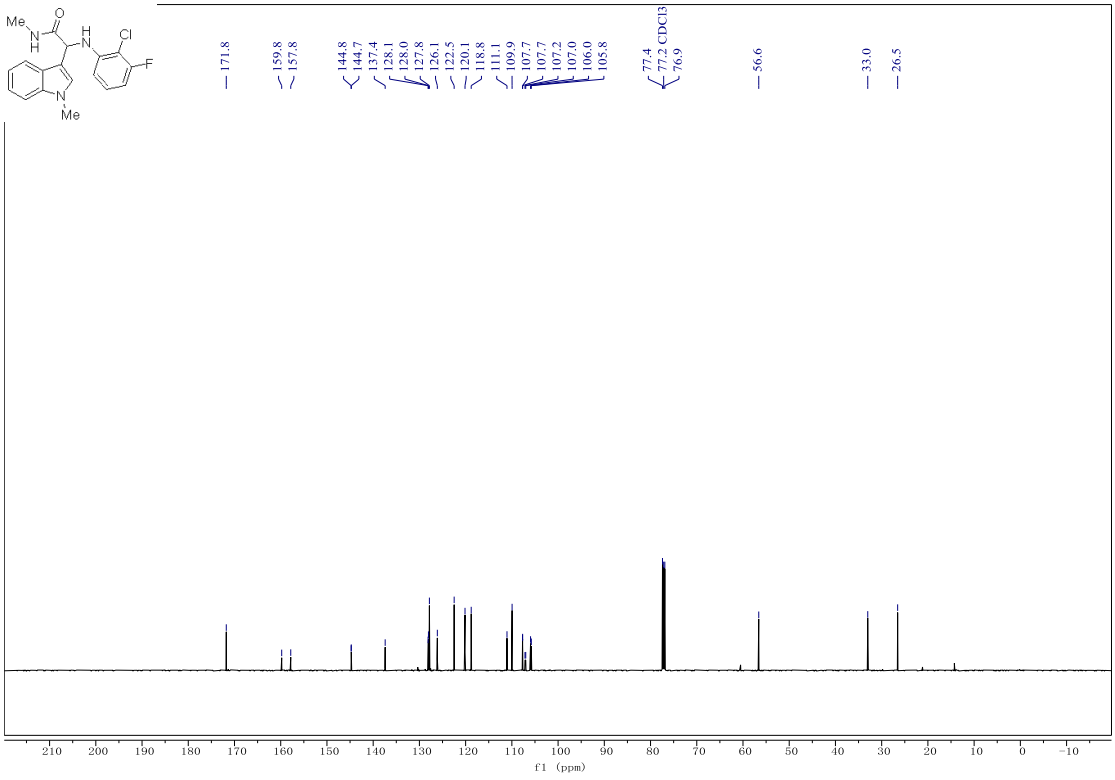


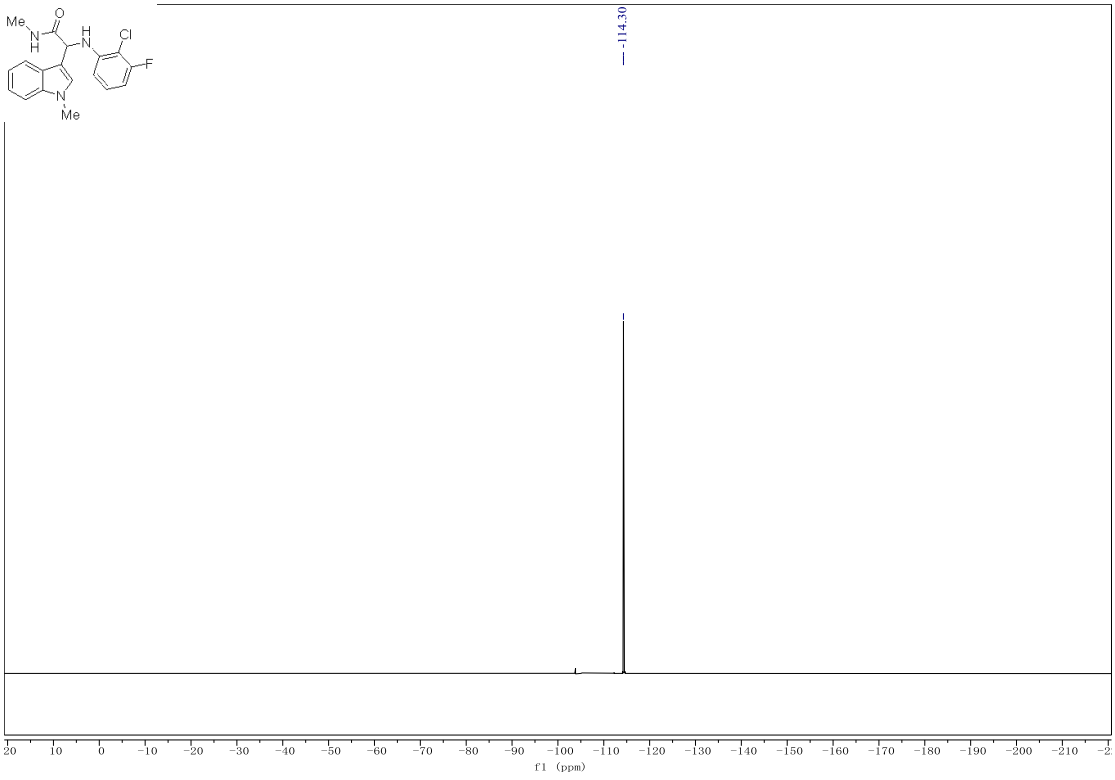




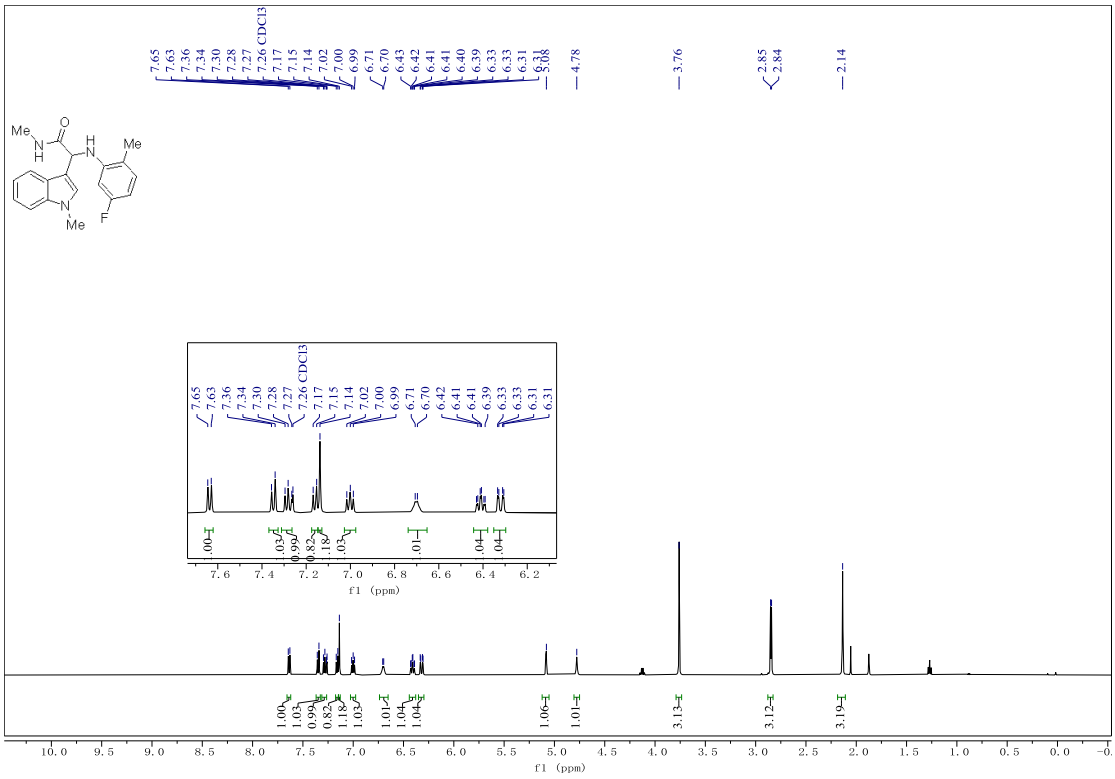
2-((2-chloro-3-fluorophenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3w)

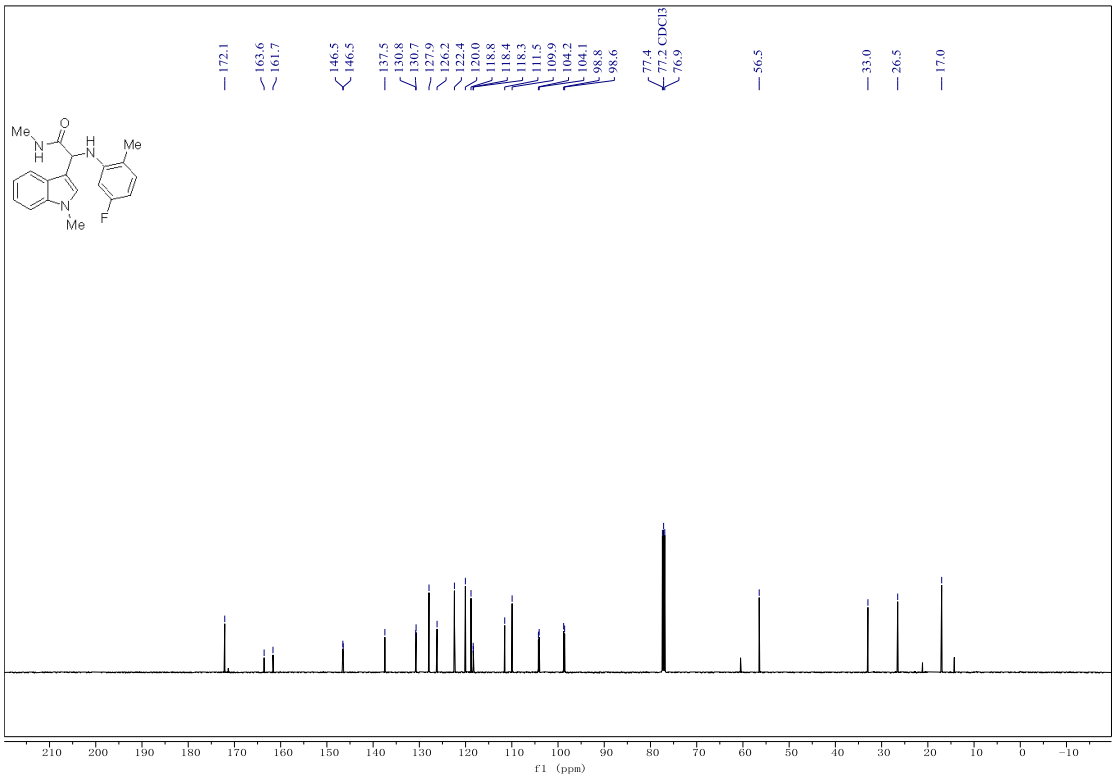


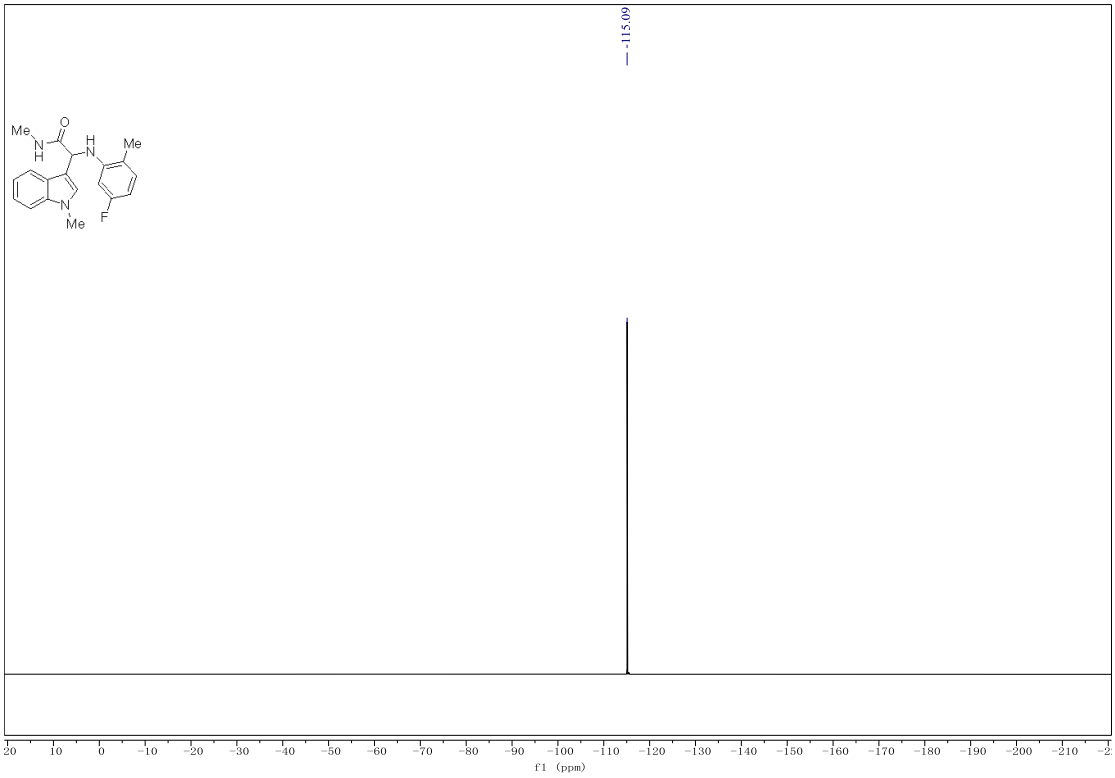

Me
N
H
O
H
N
Cl
F
N
Me
171.8
159.8
157.8
144.8
144.7
137.4
128.1
128.0
127.8
126.1
122.5
120.1
118.8
111.1
109.9
107.7
107.7
107.2
107.0
106.0
105.8
77.4
77.2 CDCl3
76.9
56.6
33.0
26.5
f1 (ppm)


Me
N
H
O
H
N
Cl
F
N
Me
-114.30
f1 (ppm)

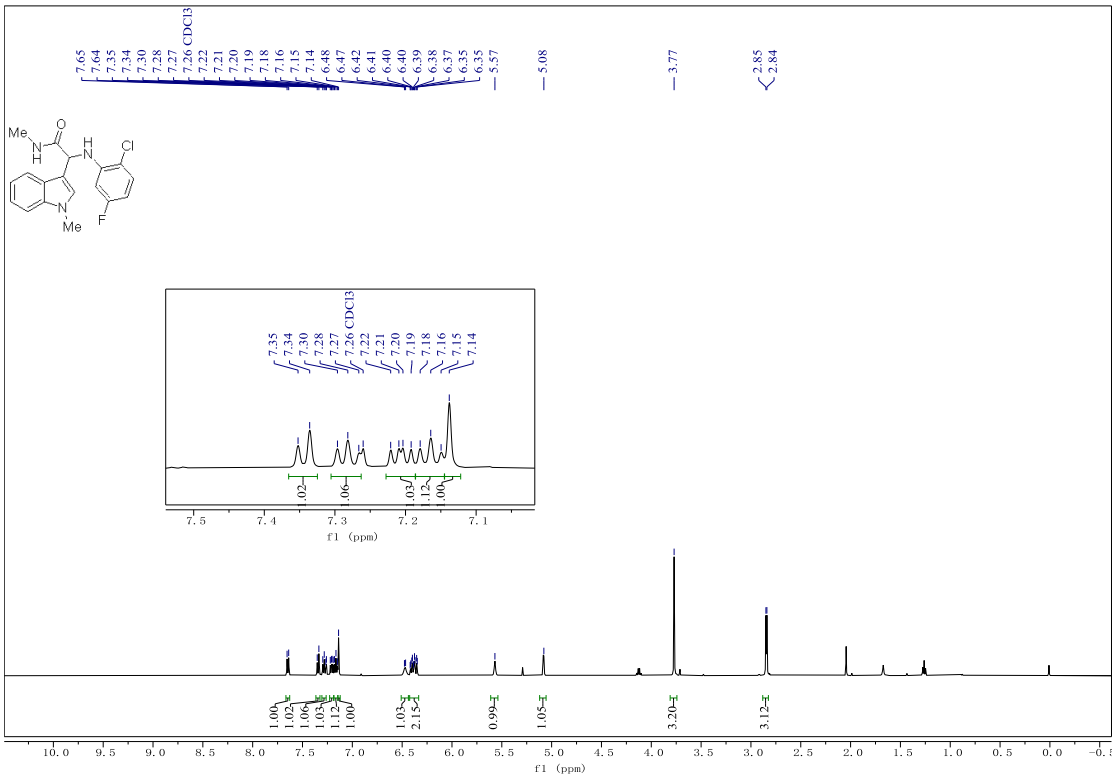
2-((5-fluoro-2-methylphenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide(3x)

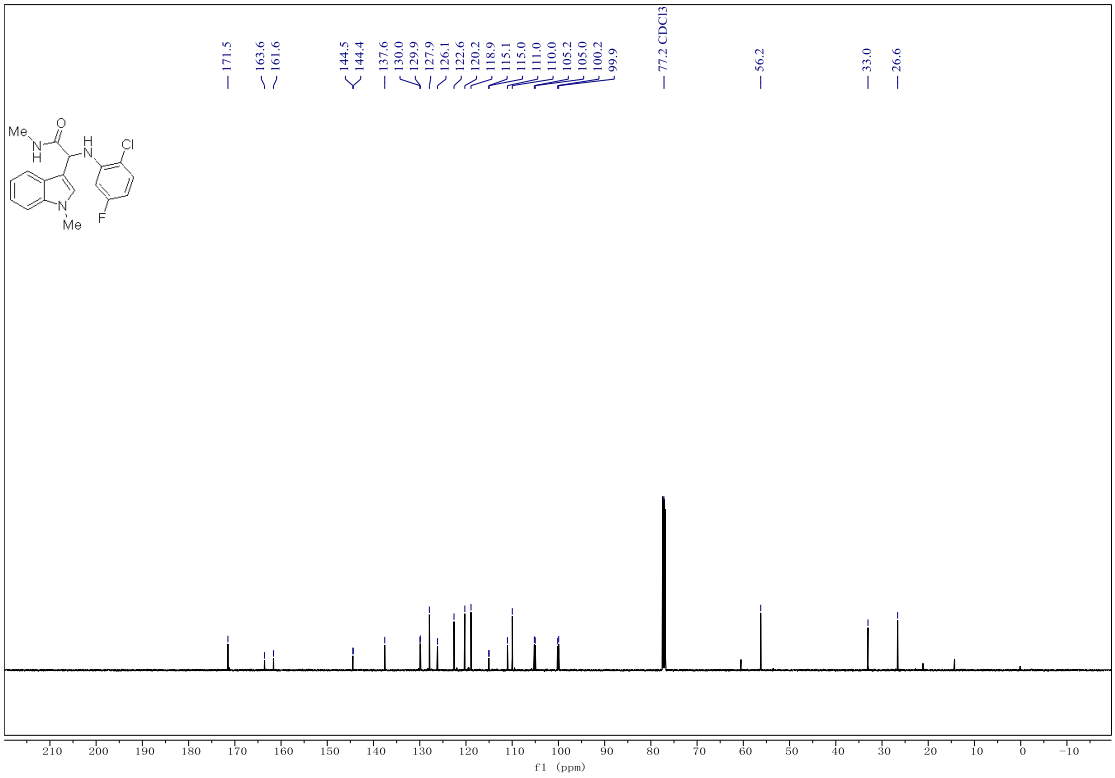


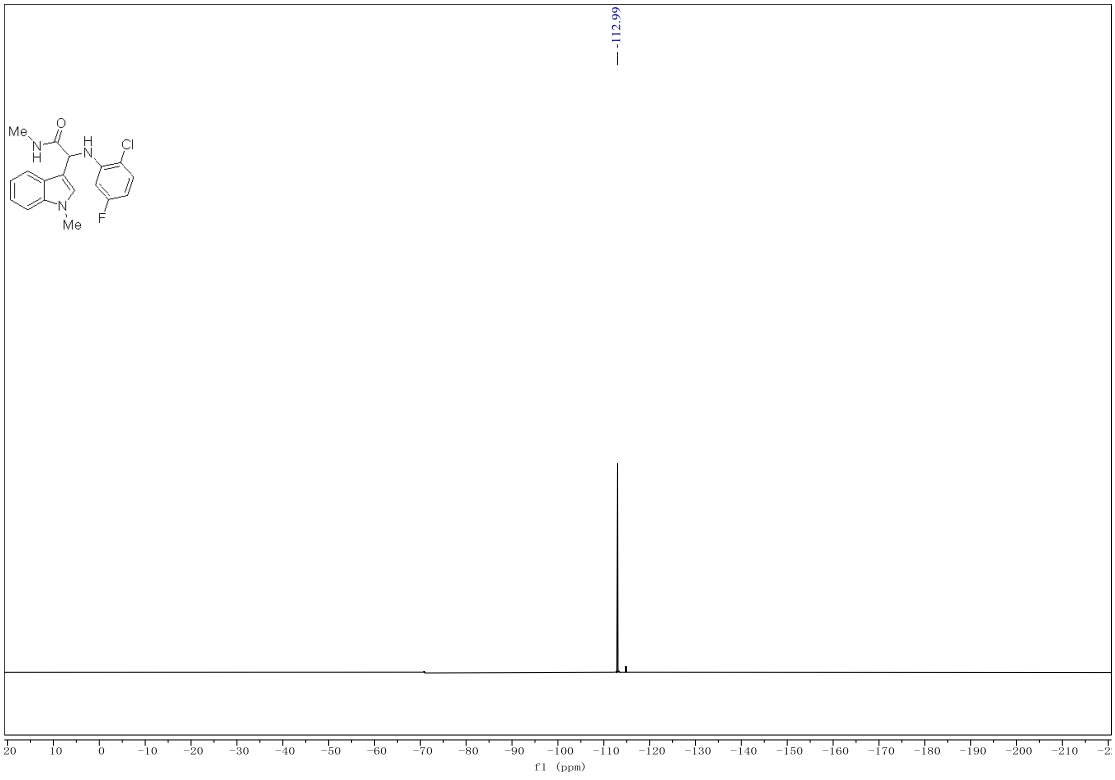




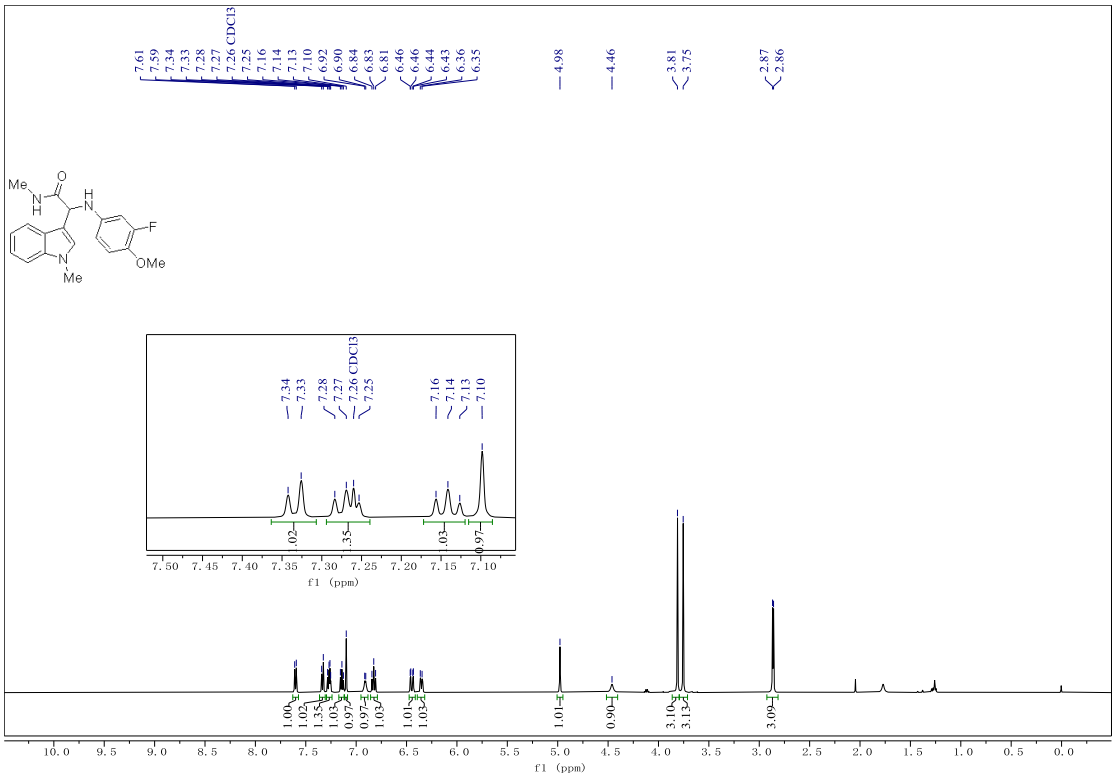
2-((2-chloro-5-fluorophenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide(3y)

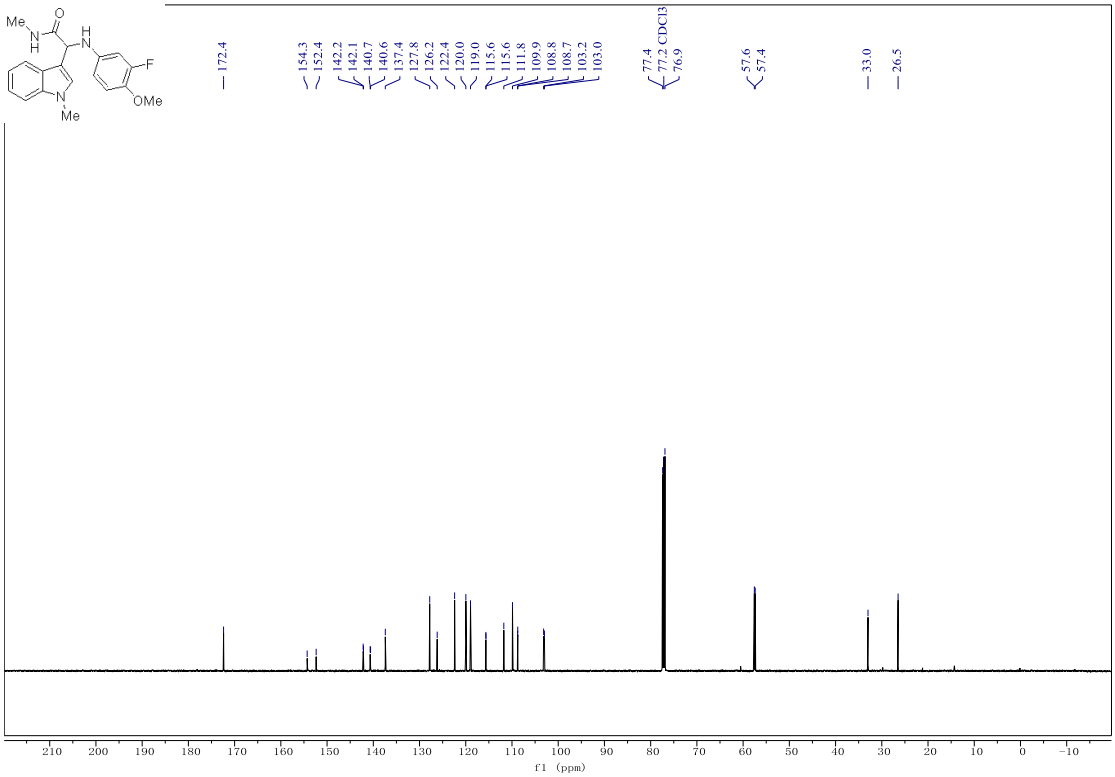


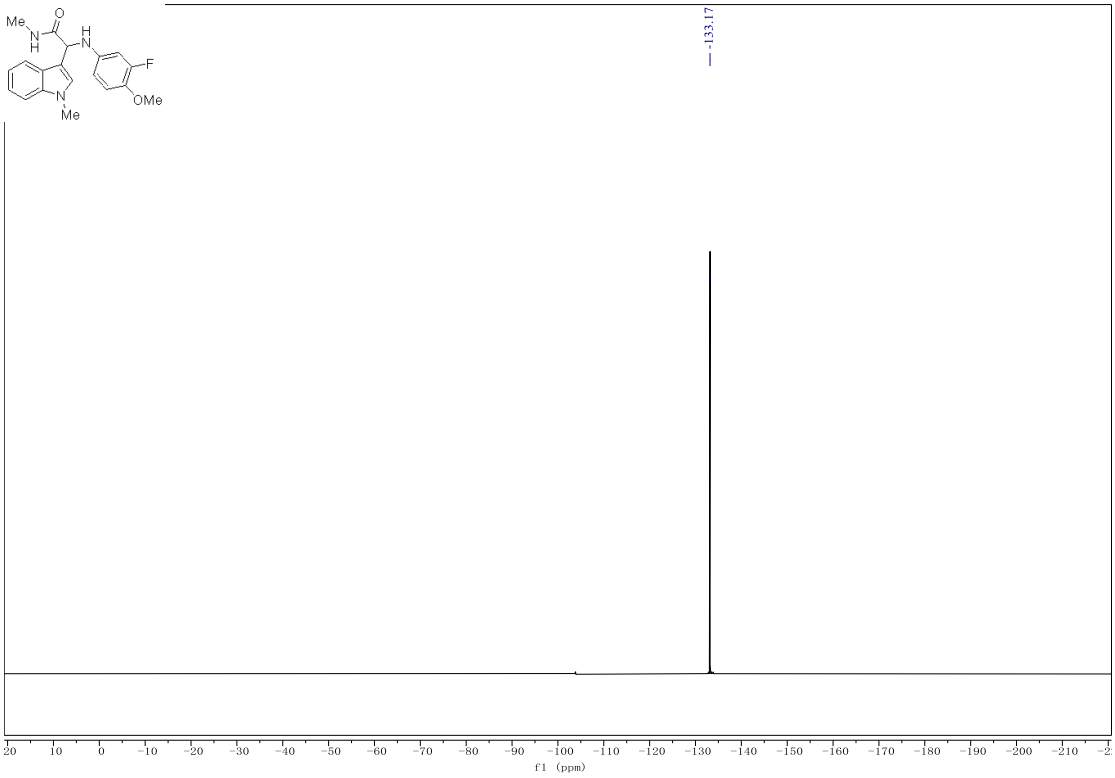

171.5
163.6
161.6
144.5
144.4
137.6
130.0
129.9
127.9
126.1
122.6
120.2
118.9
115.1
115.0
111.0
110.0
105.2
105.0
100.2
99.9
77.2 CDCl3
56.2
33.0
26.6
Me
N
H
O
H
N
Cl
N
Me
F
f1 (ppm)


-112.99
Me
N
H
O
H
N
Cl
N
Me
F
f1 (ppm)

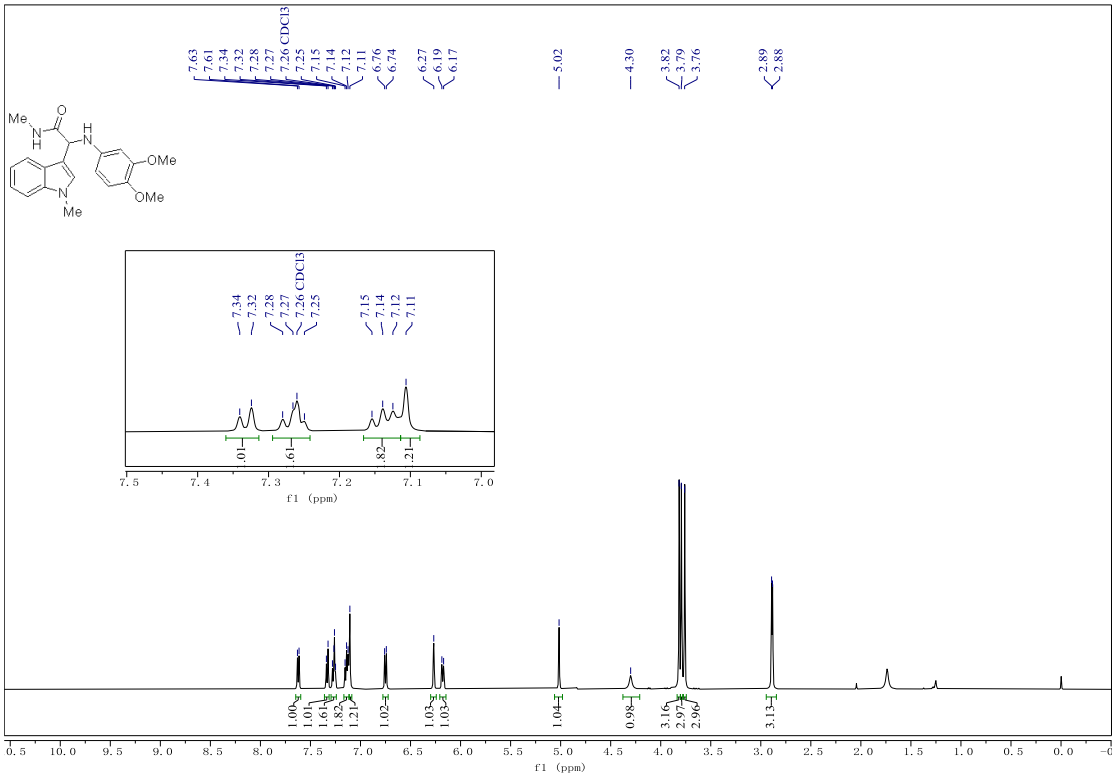
2-((3-fluoro-4-methoxyphenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3z)

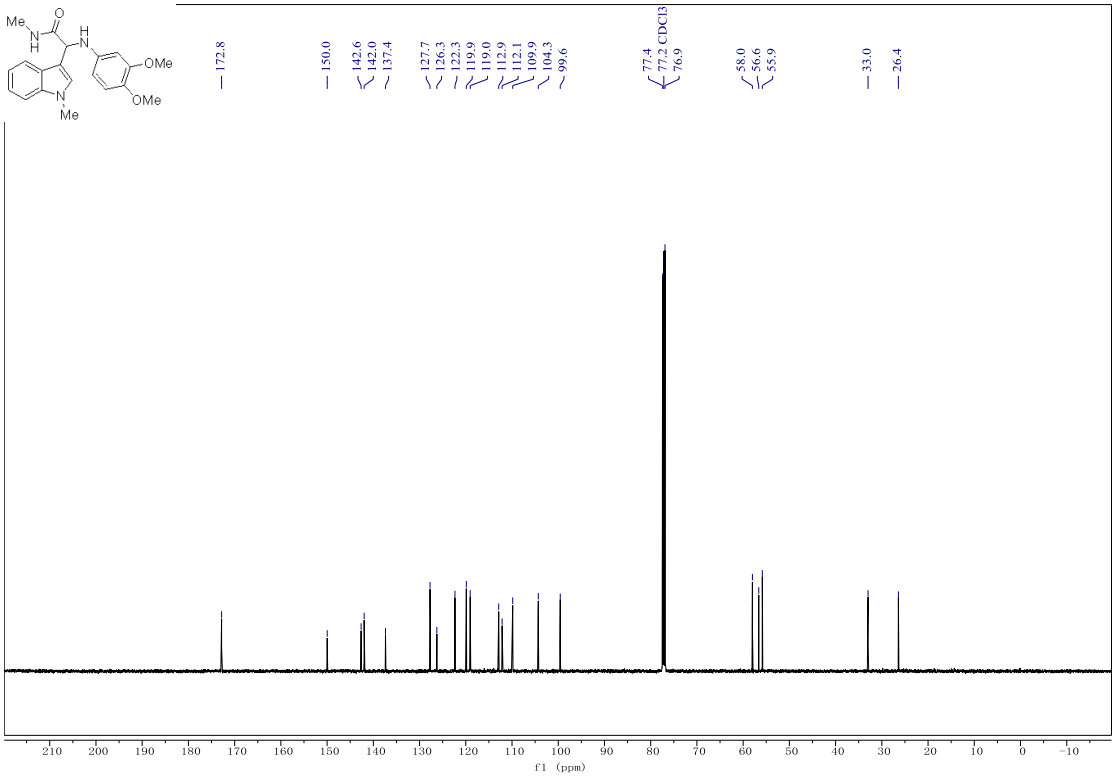




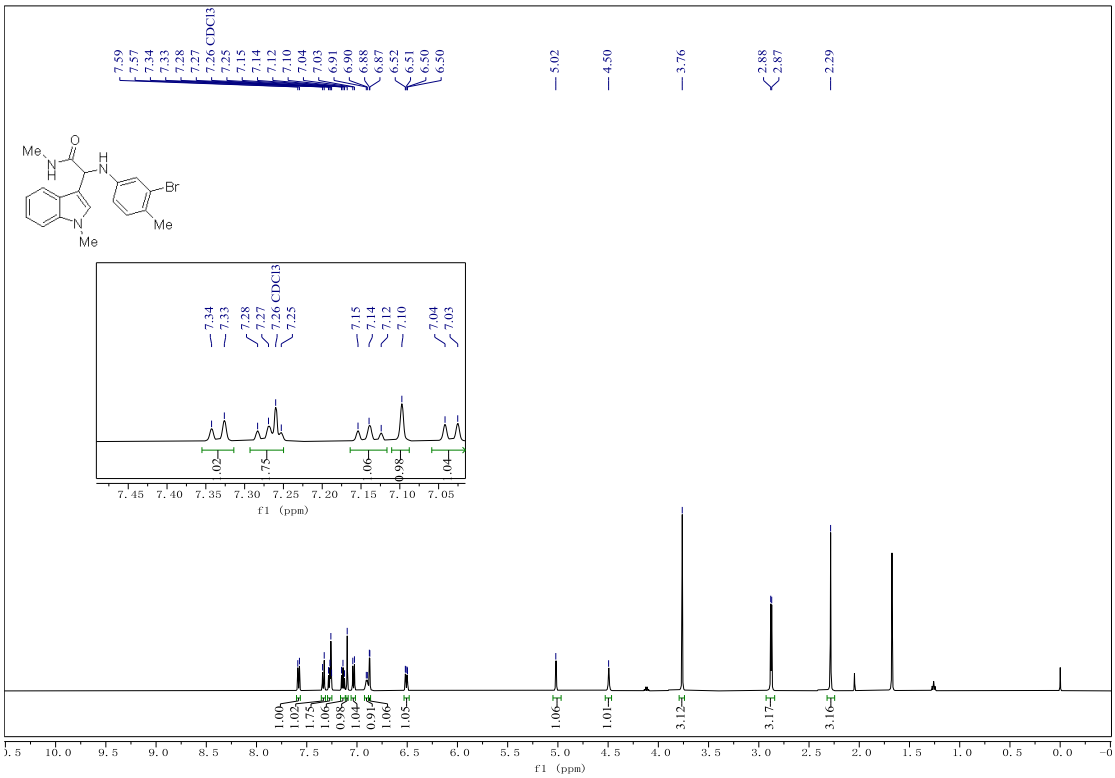


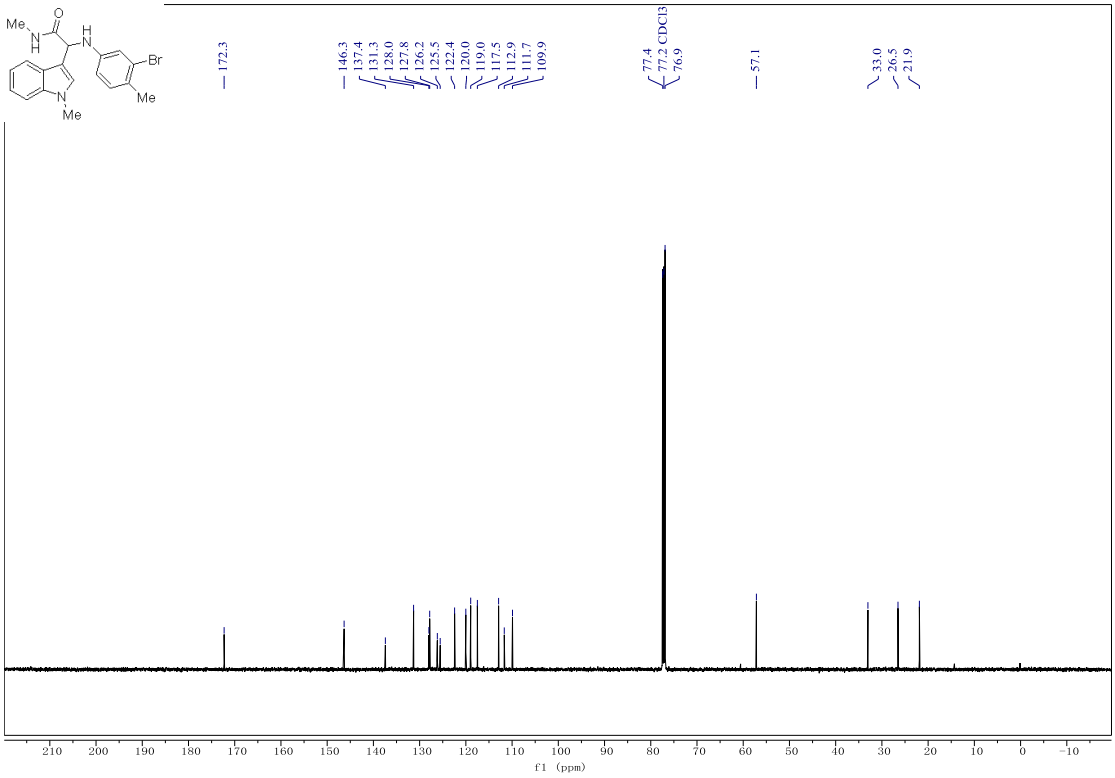
2-((2,3-dimethoxyphenyl)amino)-*N*-methyl-2-(1-methyl -1*H*-indol-3-yl)acetamide (3aa)



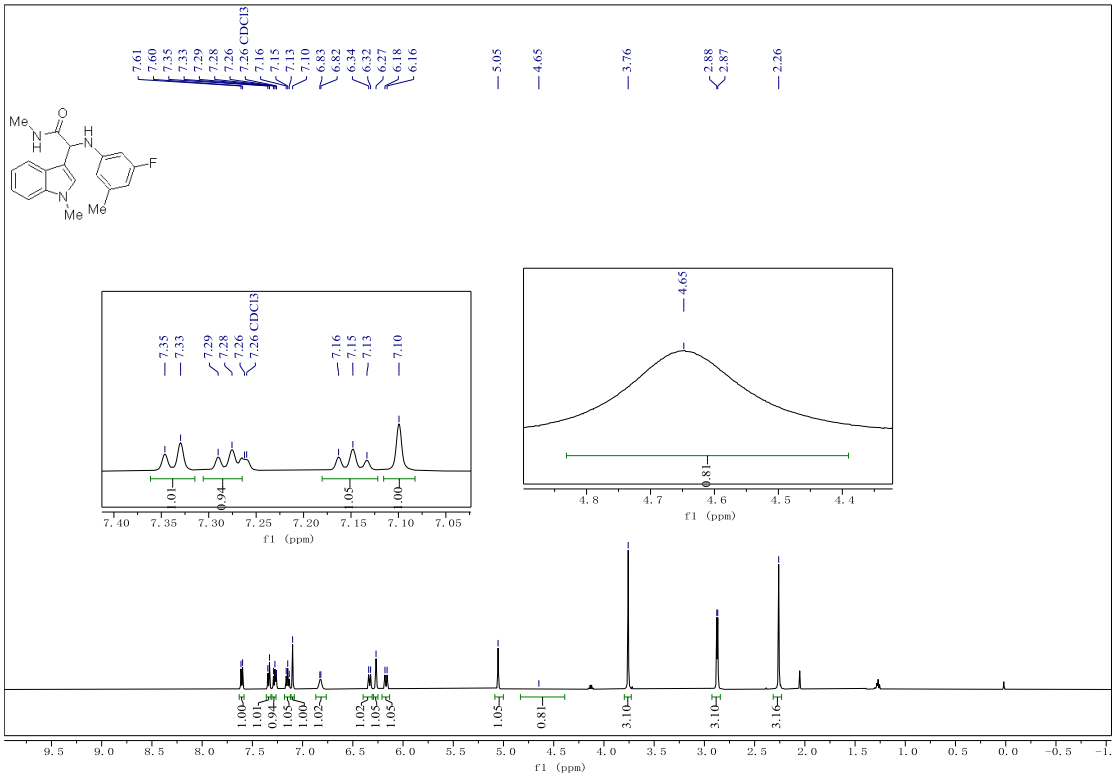


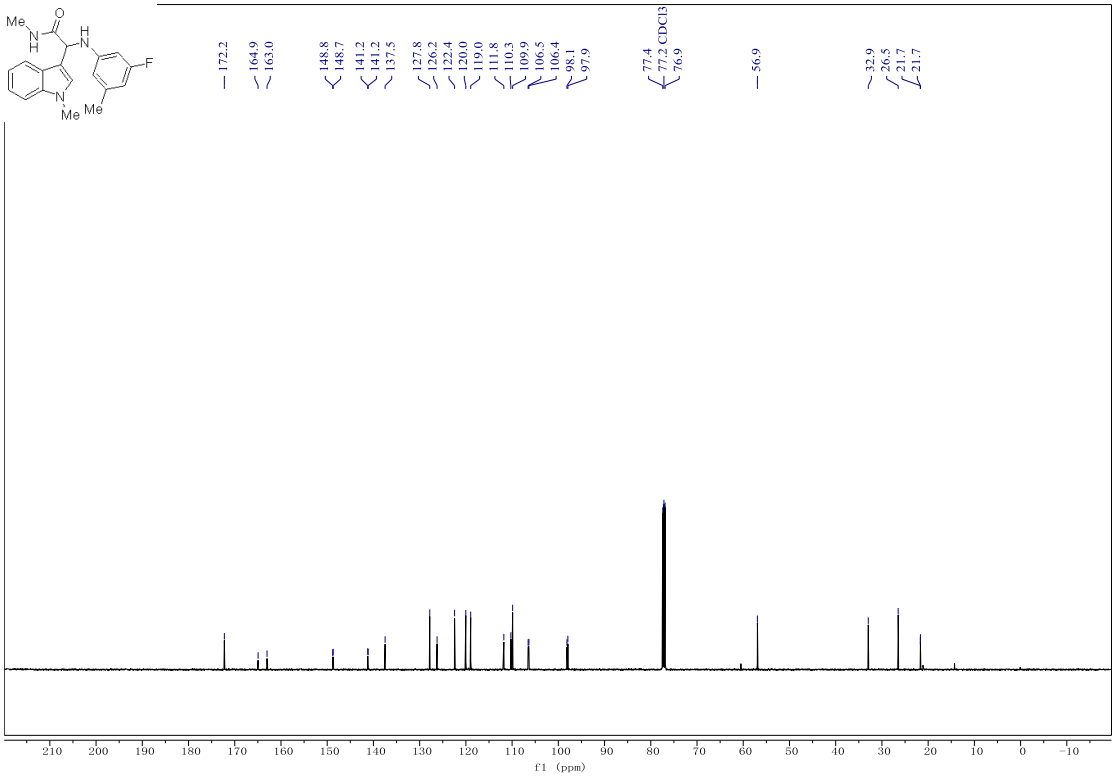
2-((3-bromo-4-methylphenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3ab)





2-((3-fluoro-5-methylphenyl)amino)-*N*-methyl-2-(1-methyl -1*H*-indol-3-yl)acetamide (3ac)




Me
O
N
H
H
N
F
Me
N
Me
172.2
164.9
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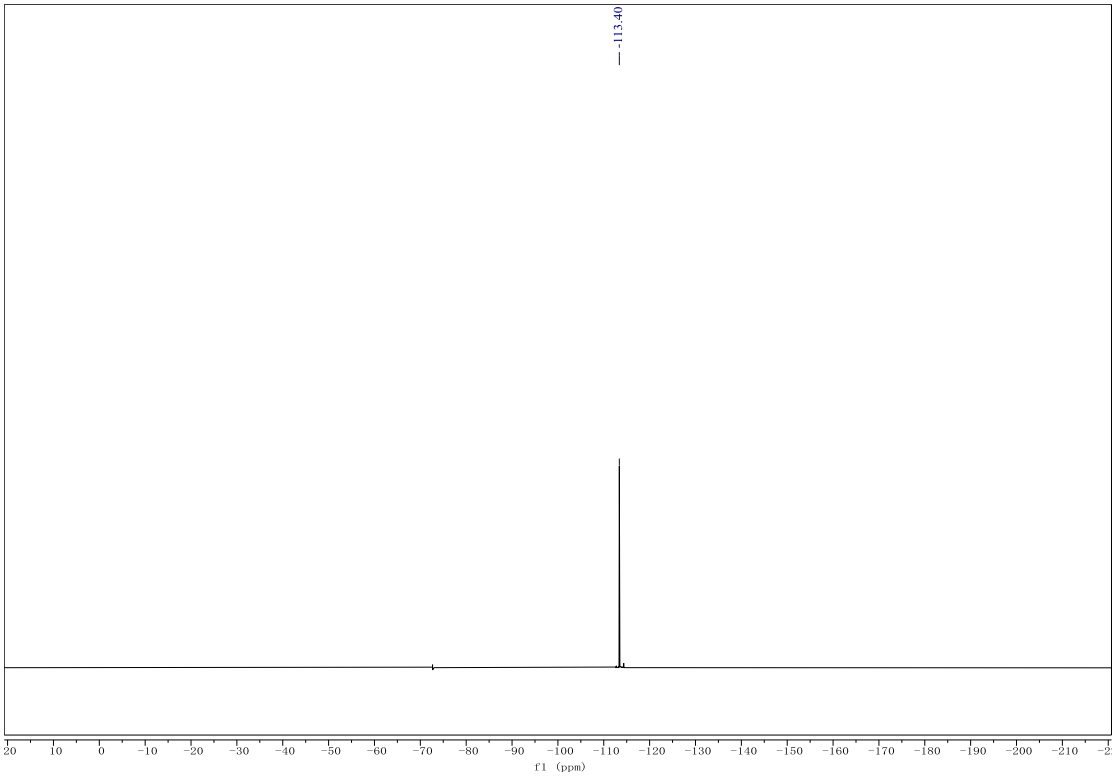
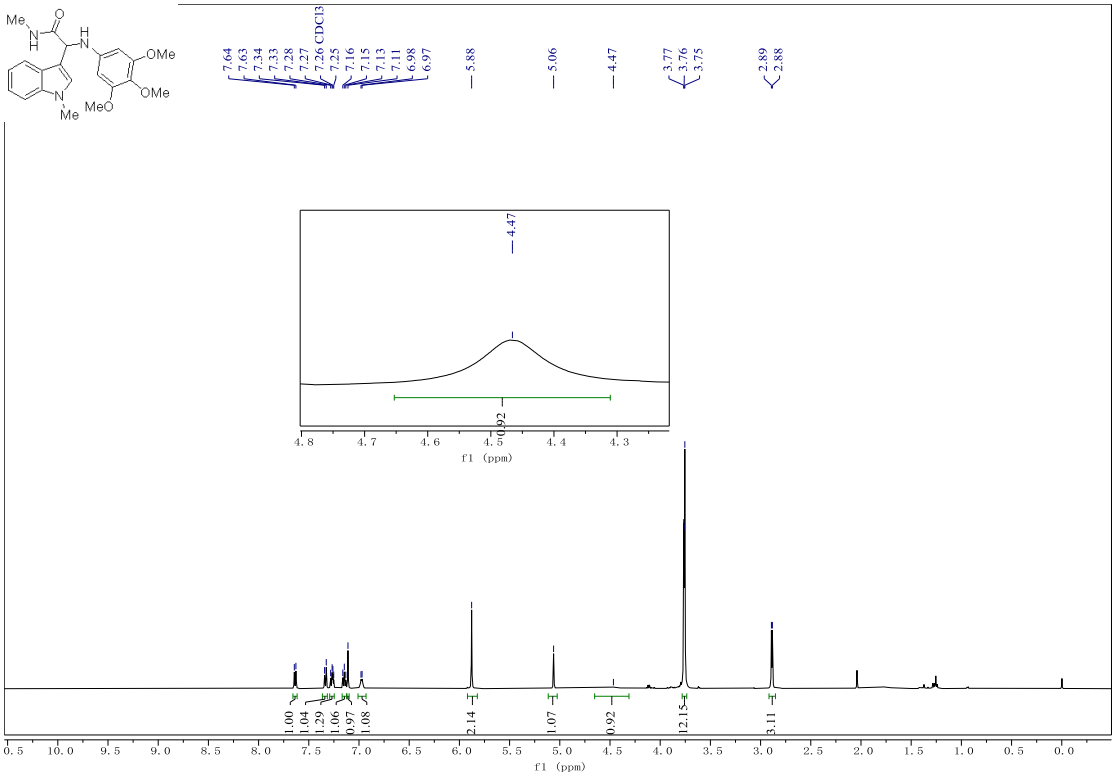
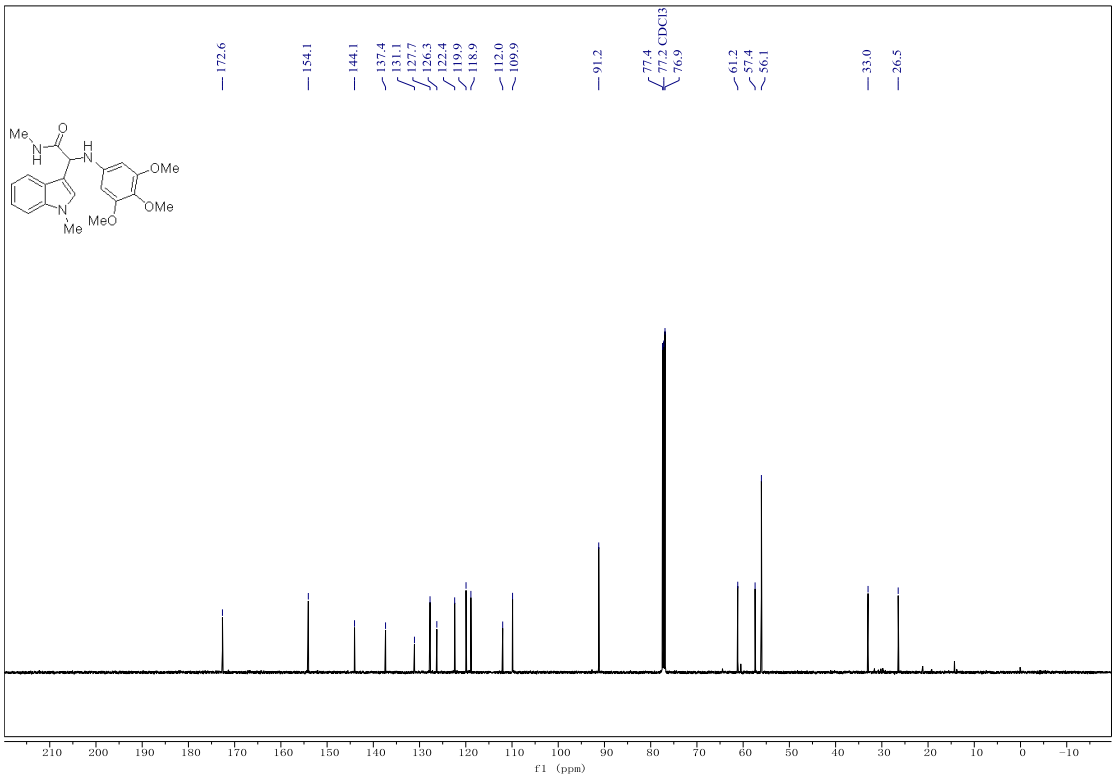
148.8
148.7
141.2
141.2
137.5
127.8
126.2
122.4
120.0
119.0
111.8
110.3
109.9
106.5
106.4
98.1
97.9
77.4
77.2 CDCl3
76.9
56.9
32.9
26.5
21.7
21.7
f1 (ppm)

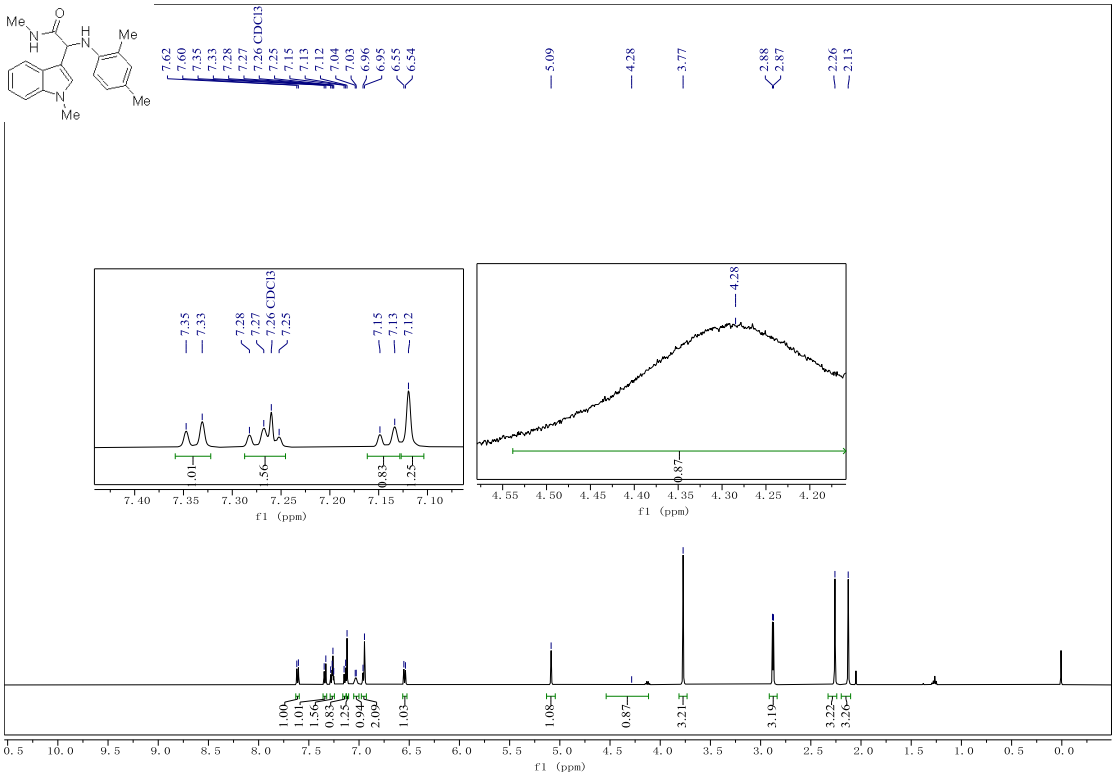

-113.40
f1 (ppm)

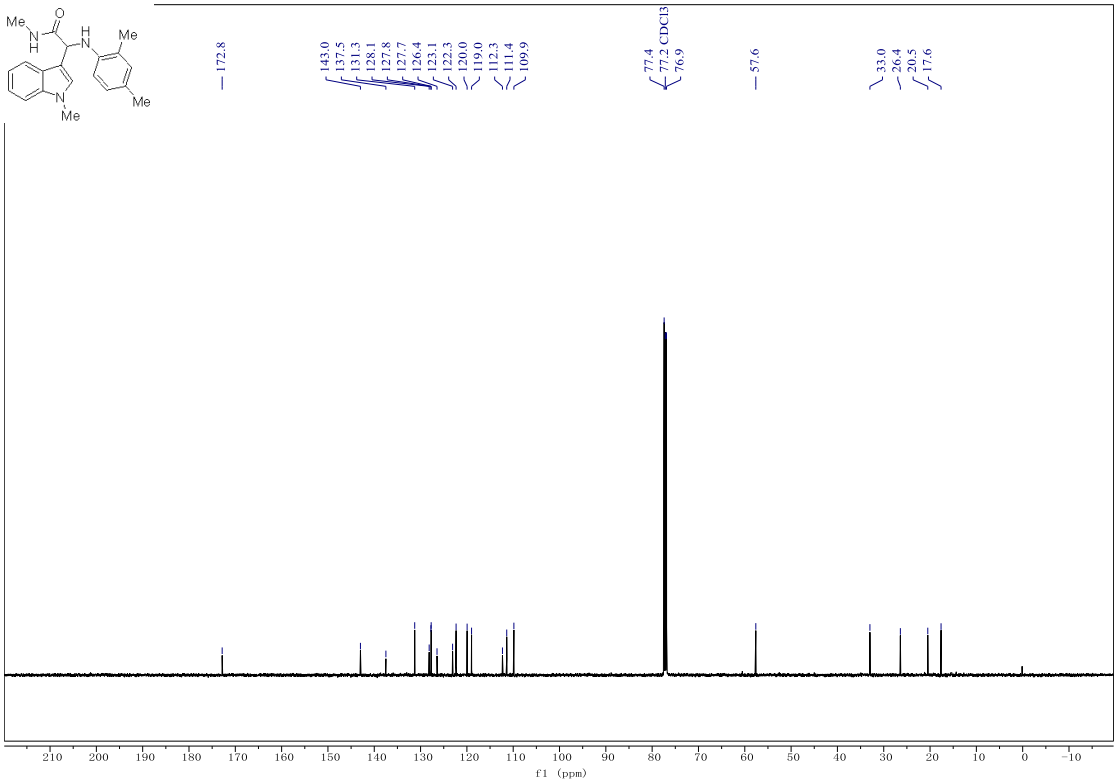
_N_-methyl-2-(1-methyl-1_H_-indol-3-yl)-2-((3,4,5-trimethoxyphenyl)amino)acetamide (3ad)



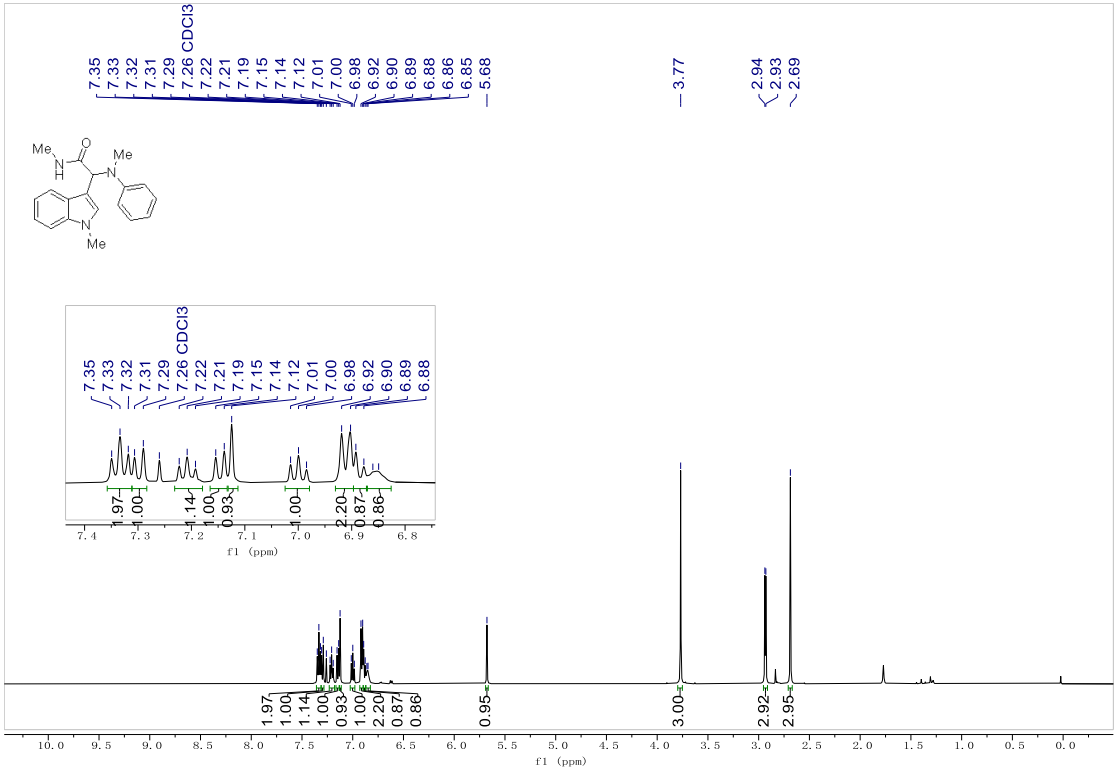


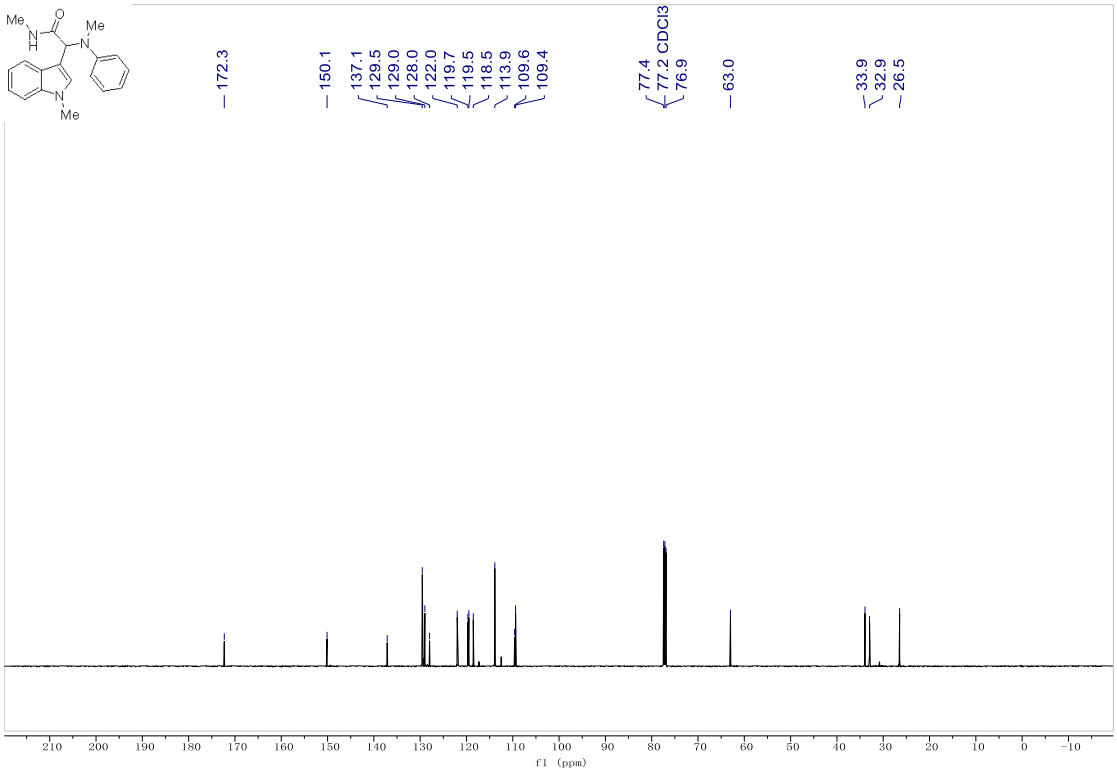
2-((2,4-dimethylphenyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3ae)



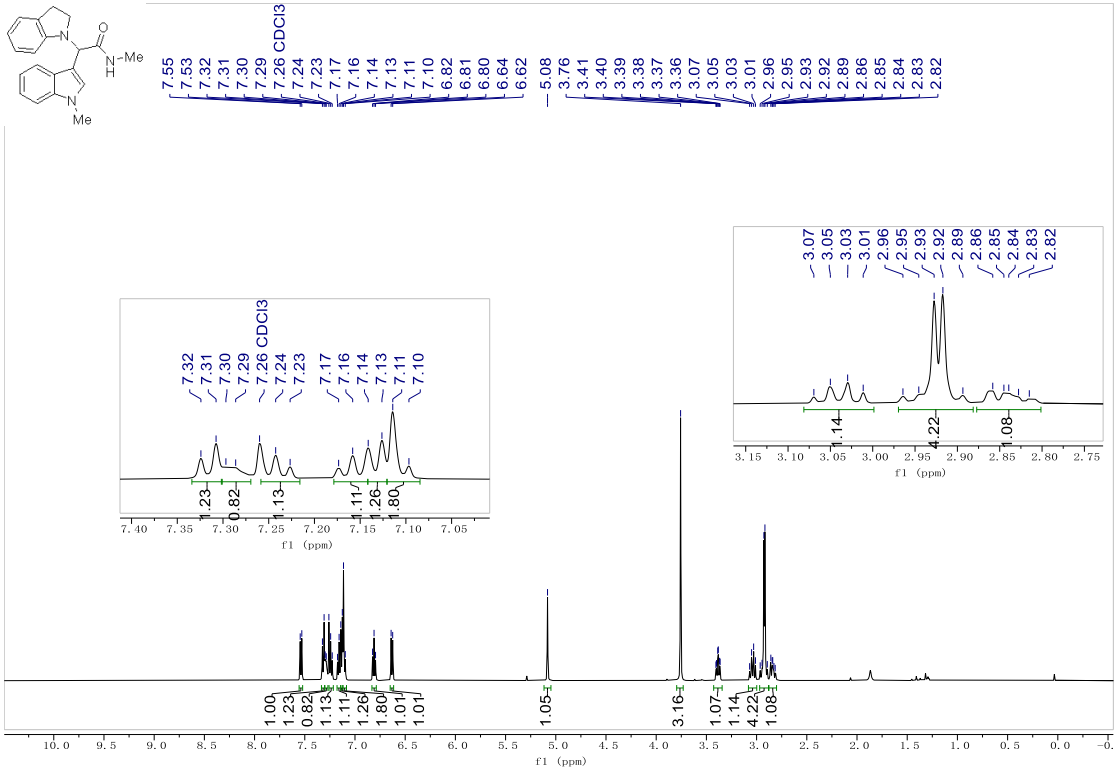


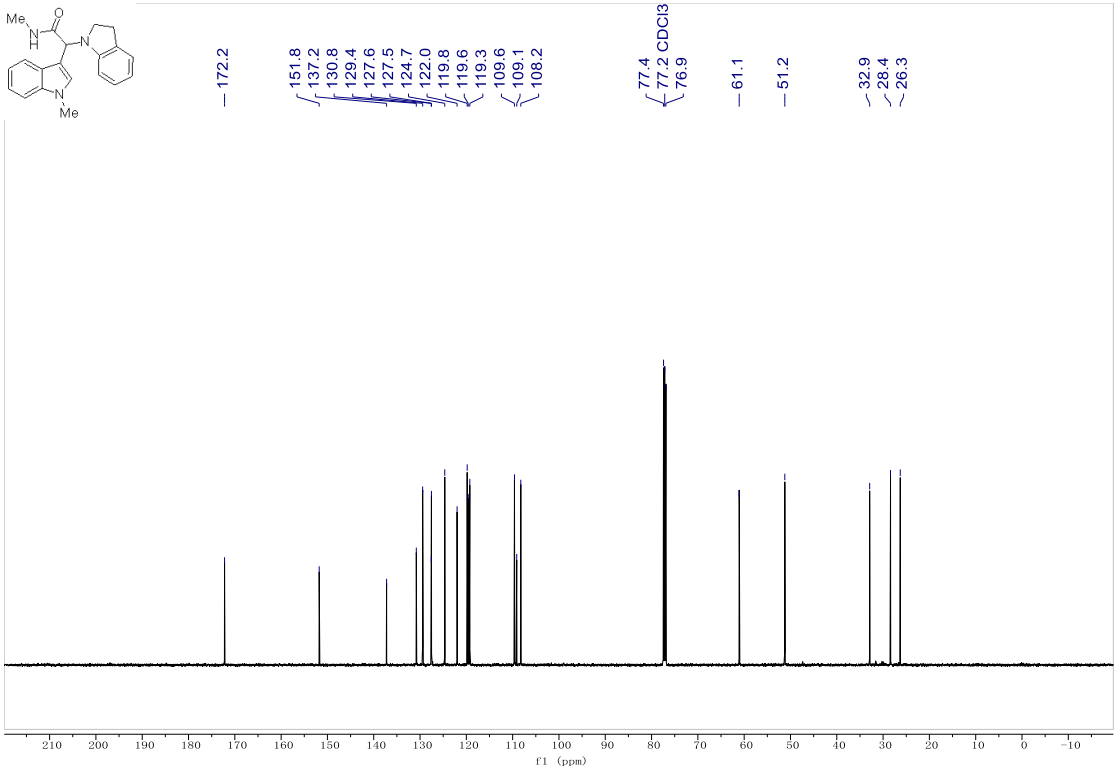
_N_-methyl-2-(methyl(phenyl)amino)-2-(1-methyl-1_H_-indol-3-yl)acetamide (3af)



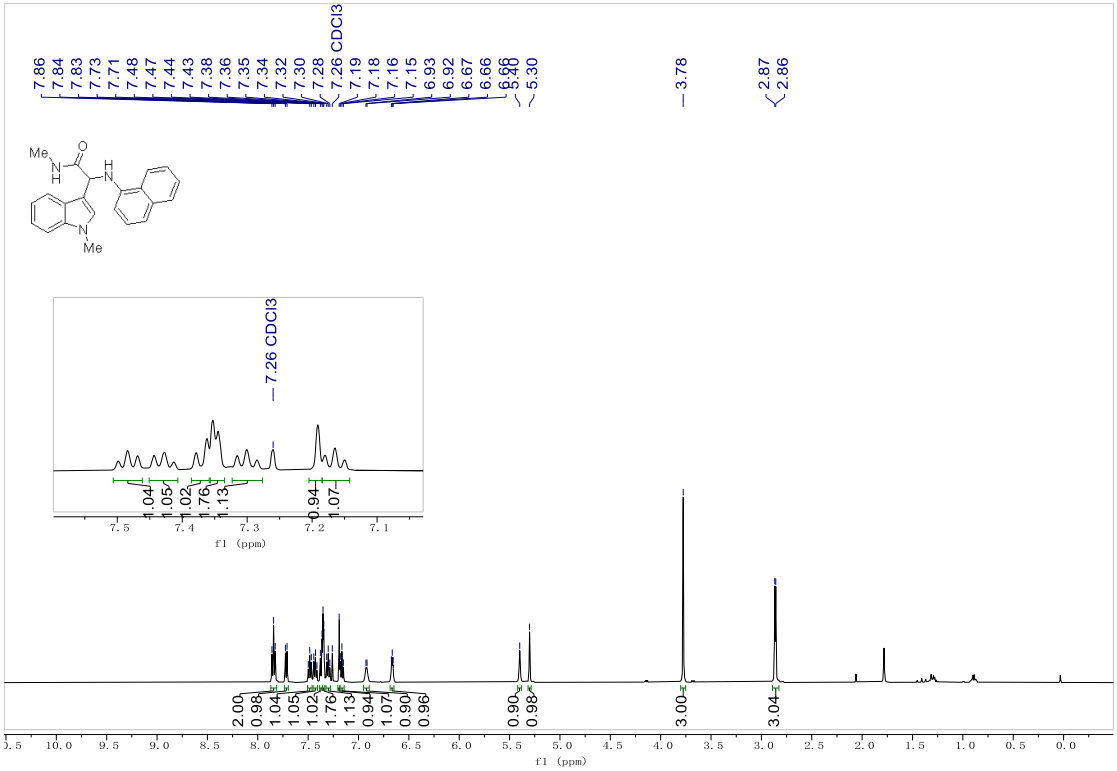


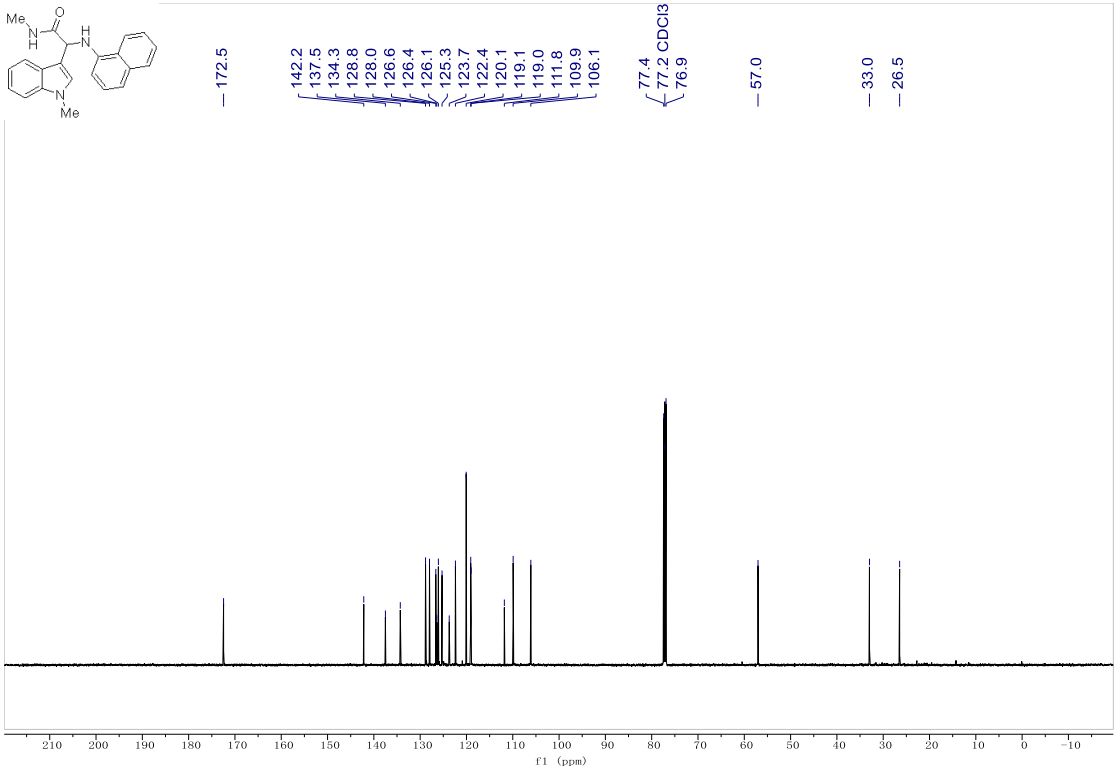
2-(indolin-1-yl)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3ag)



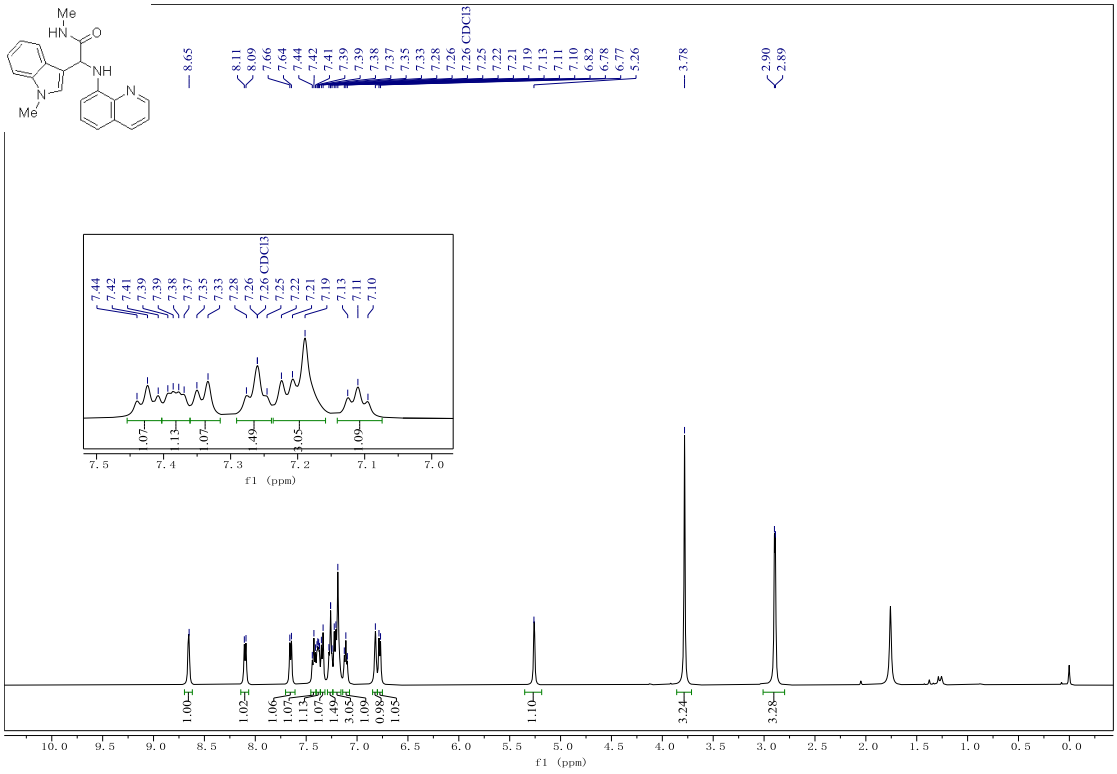


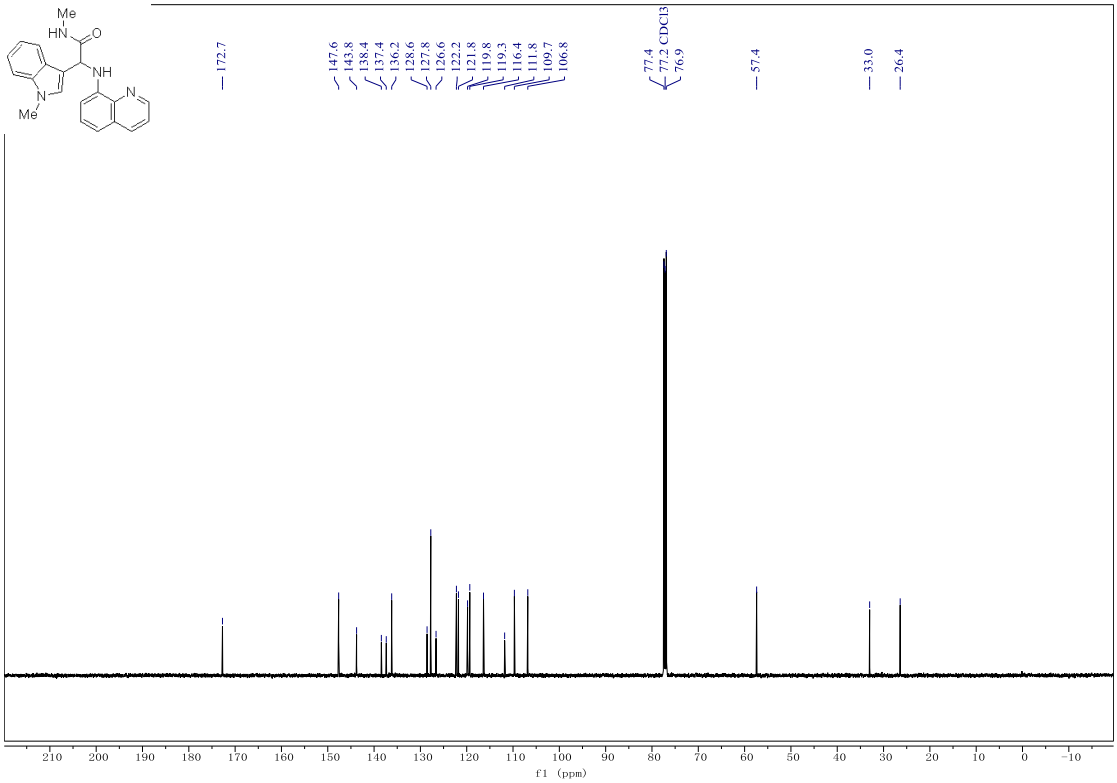
_N_-methyl-2-(1-methyl-1_H_-indol-3-yl)-2-(naphthalen-1-ylamino)acetamide (3ah)



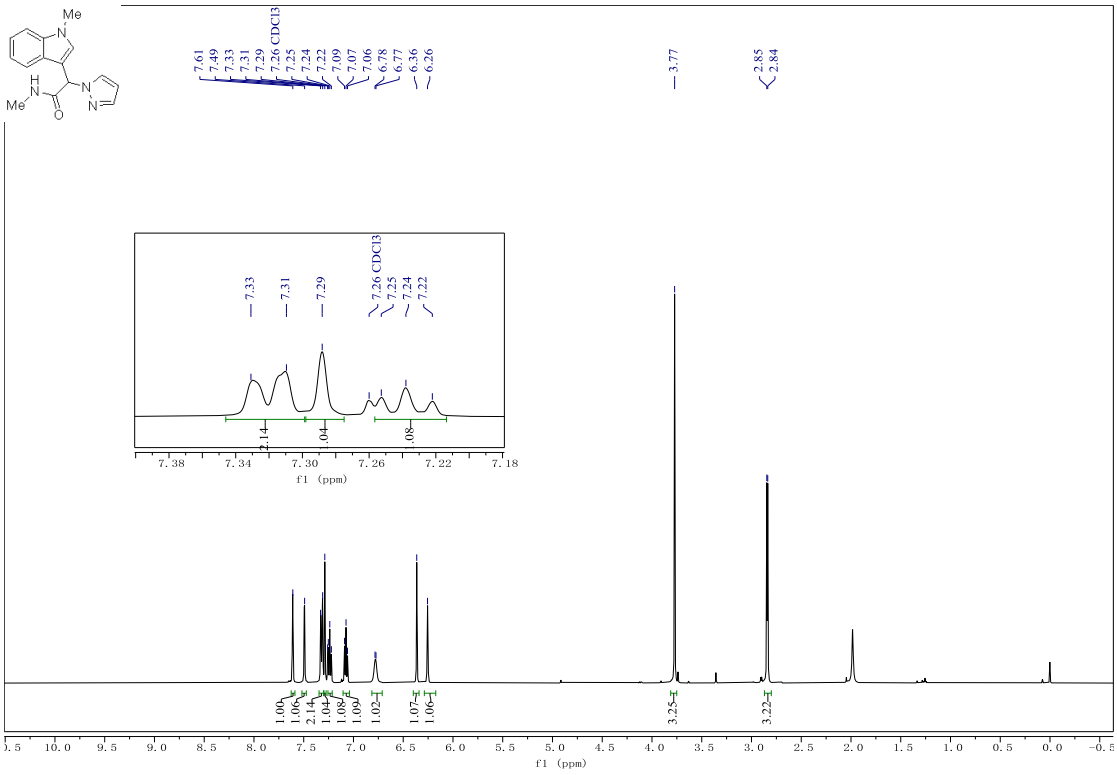


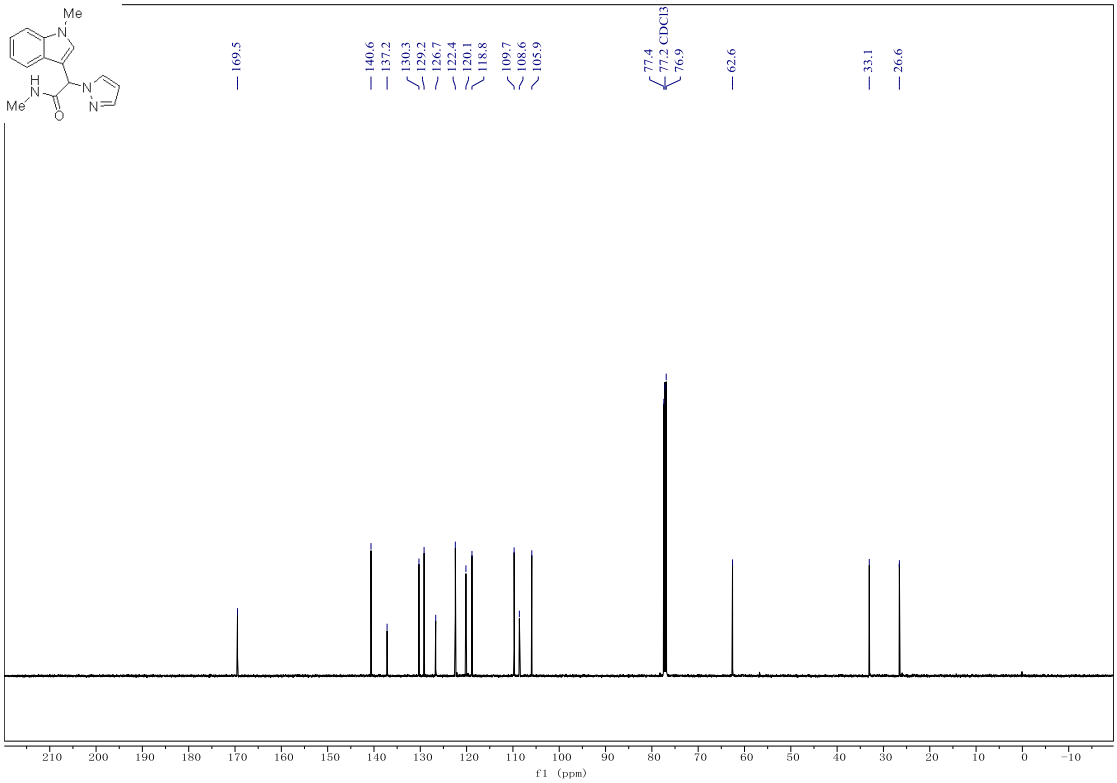
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(quinolin-8-ylamino)acetamide (3ai)**



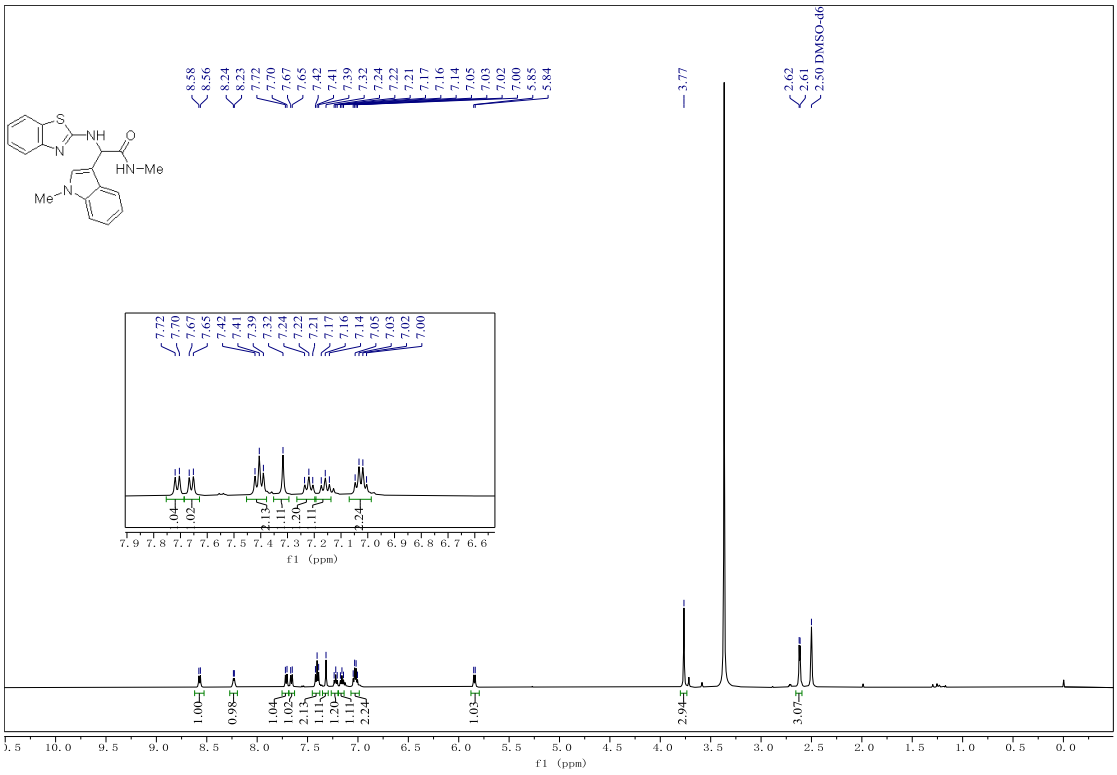


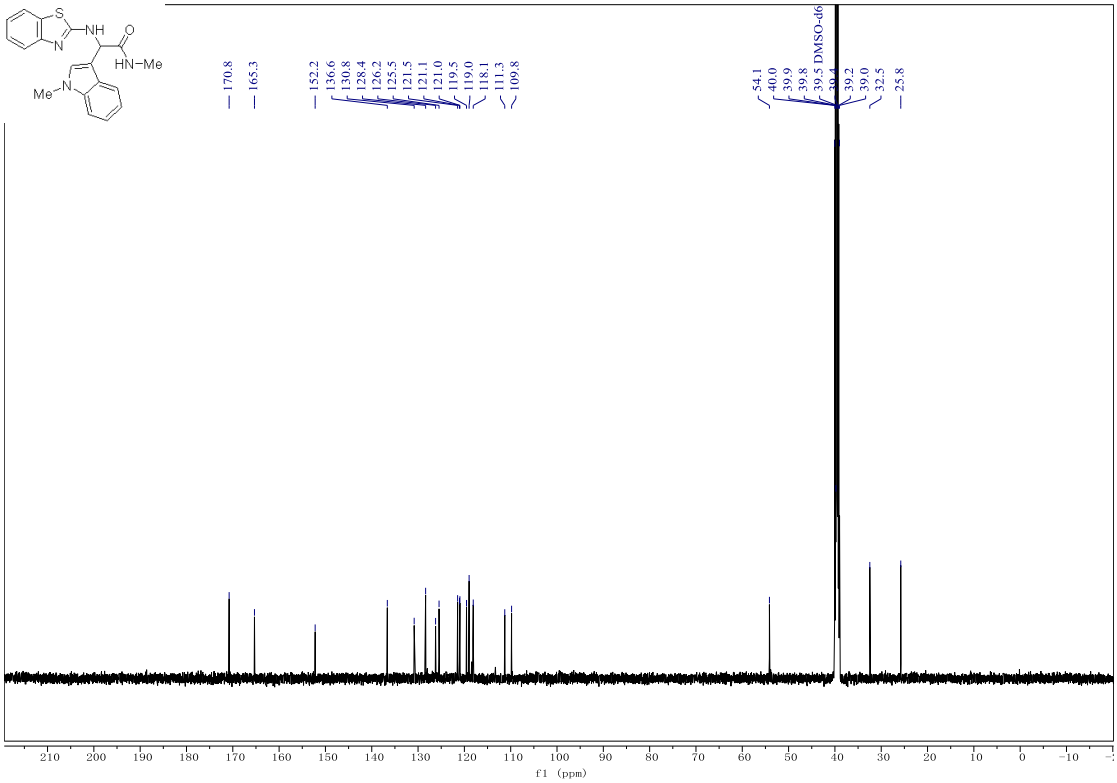
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(1*H*-pyrazol-1-yl)acetamide (3aj)**



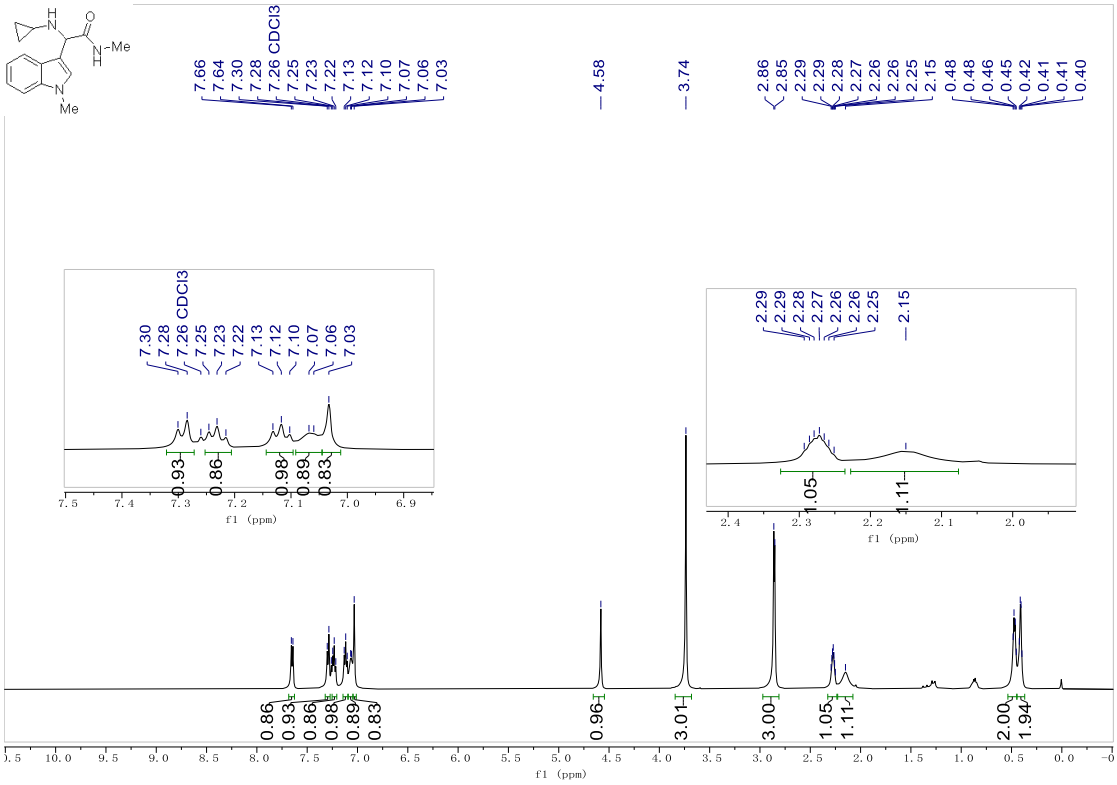


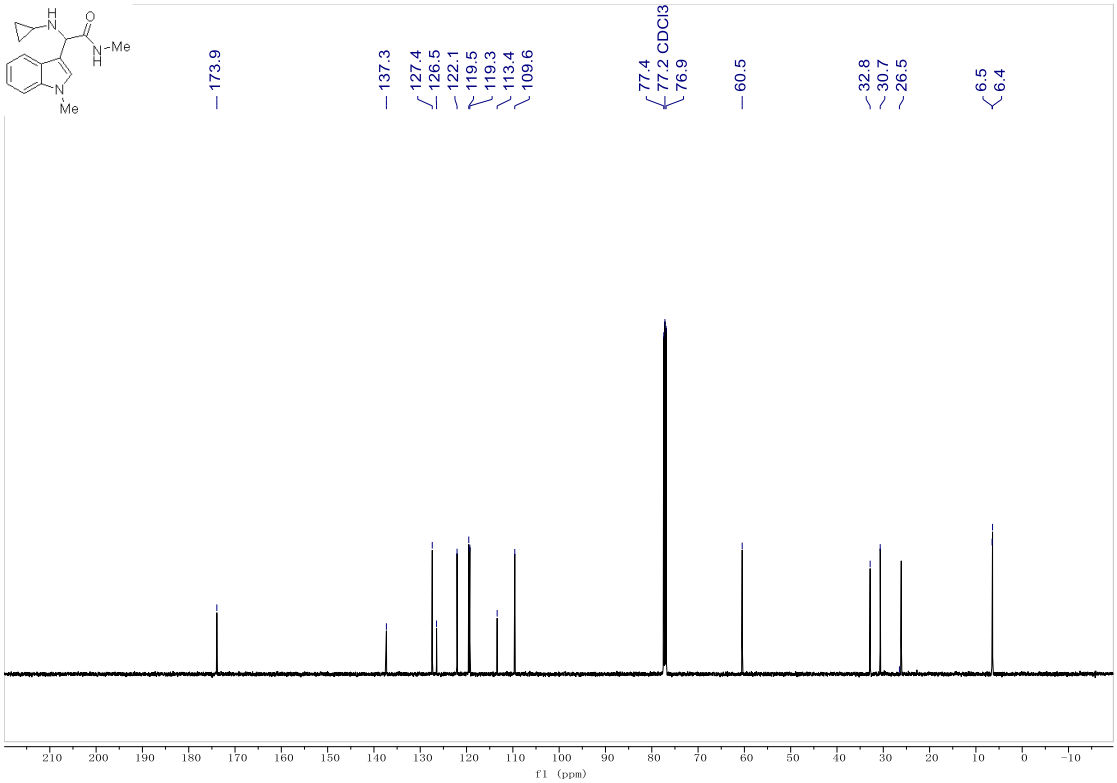
2-(benzo[d]thiazol-2-ylamino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3ak)



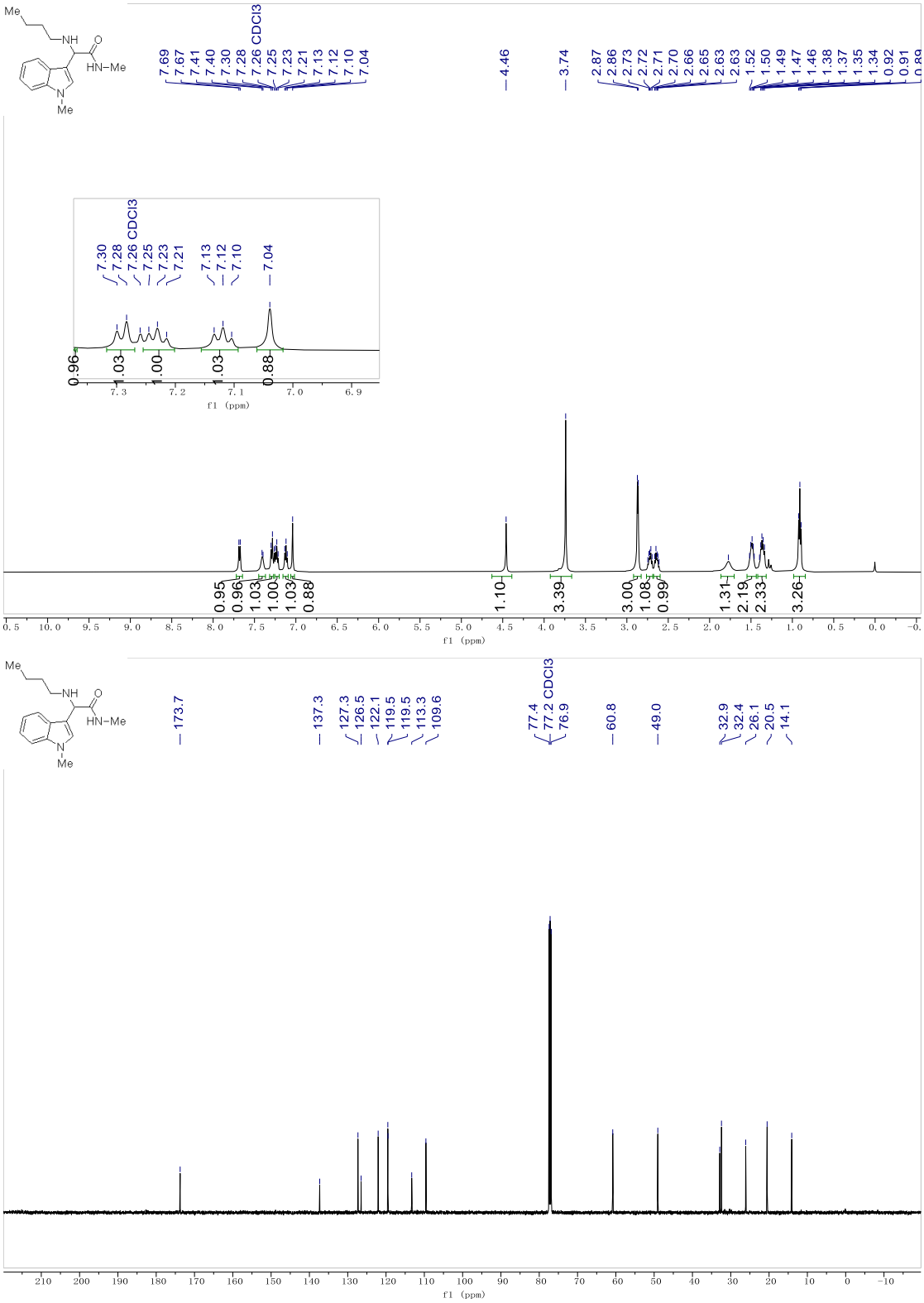


2-(cyclopropylamino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3al)

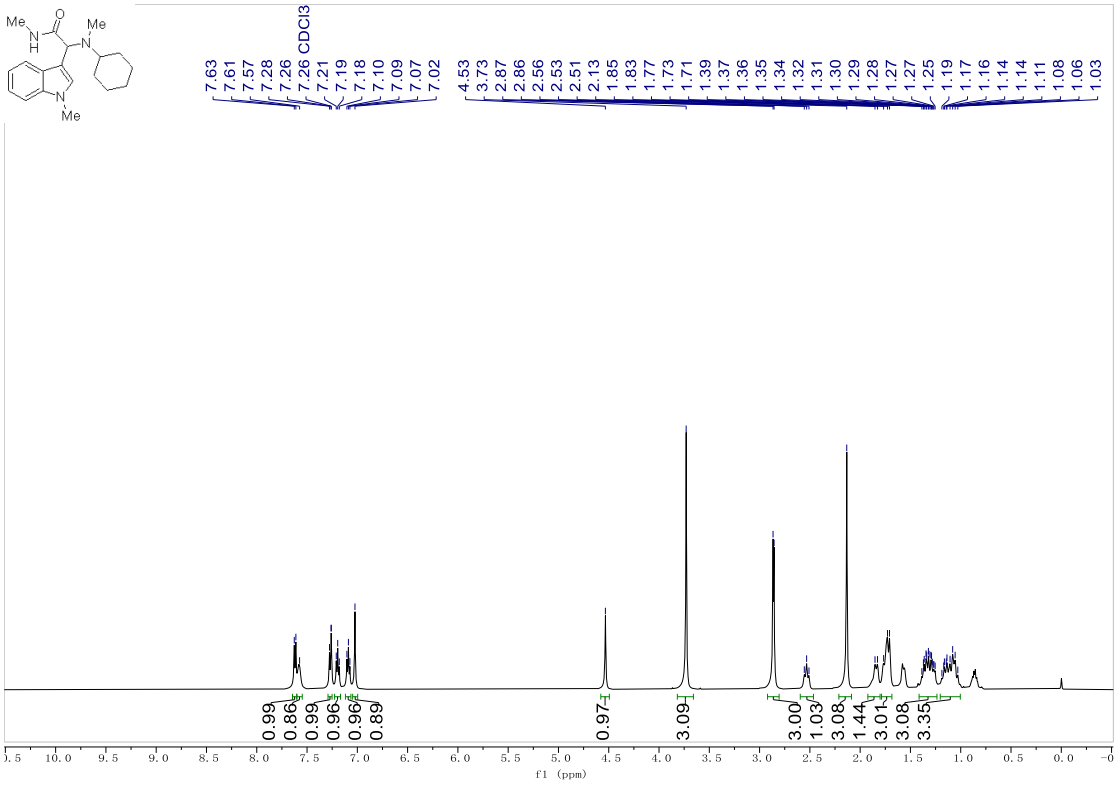


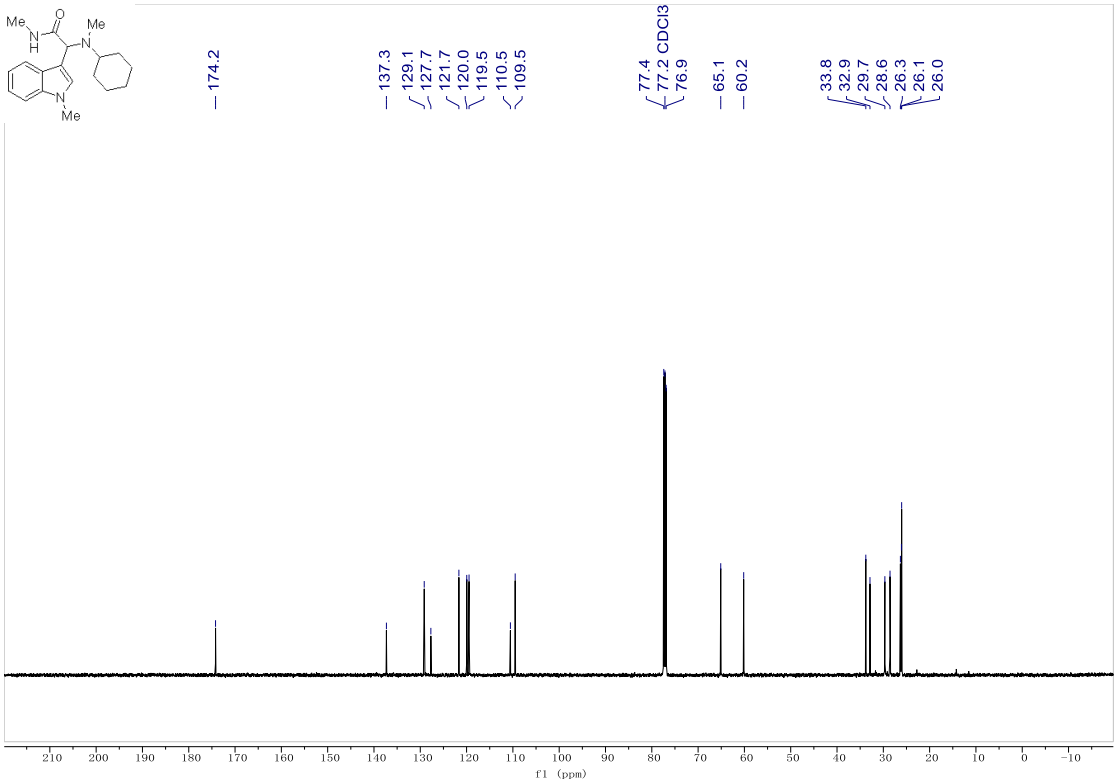


2-(butylamino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3am)

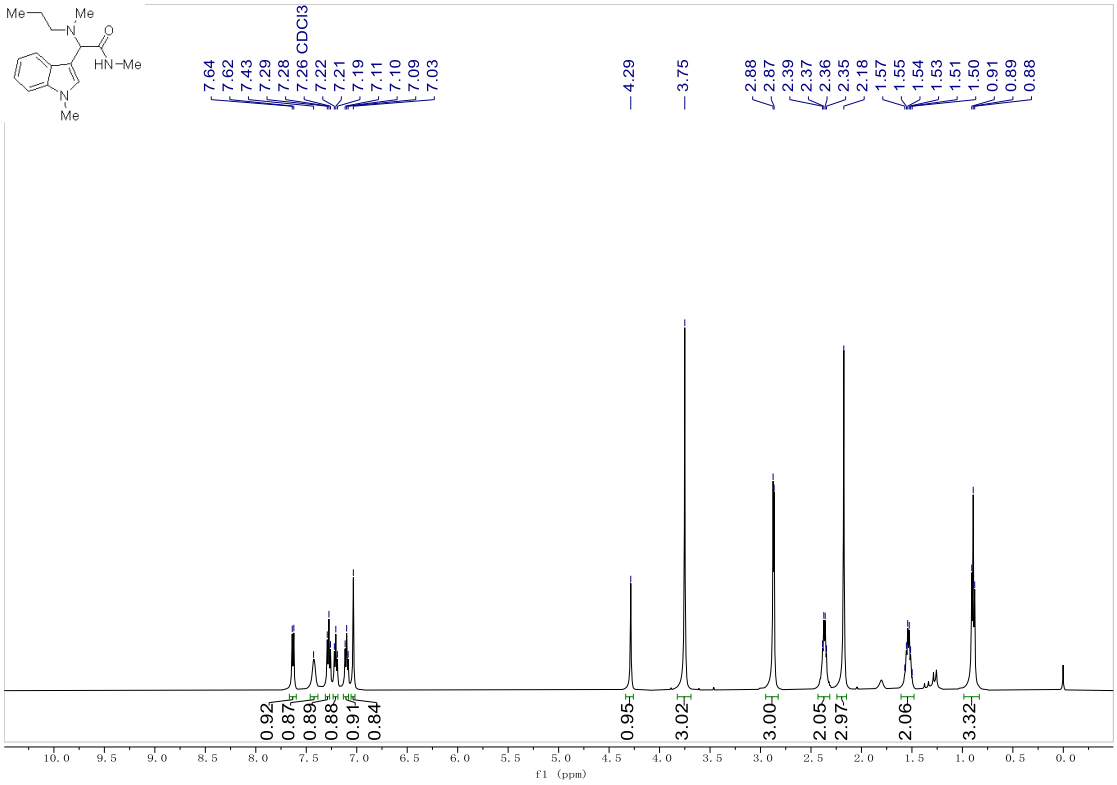


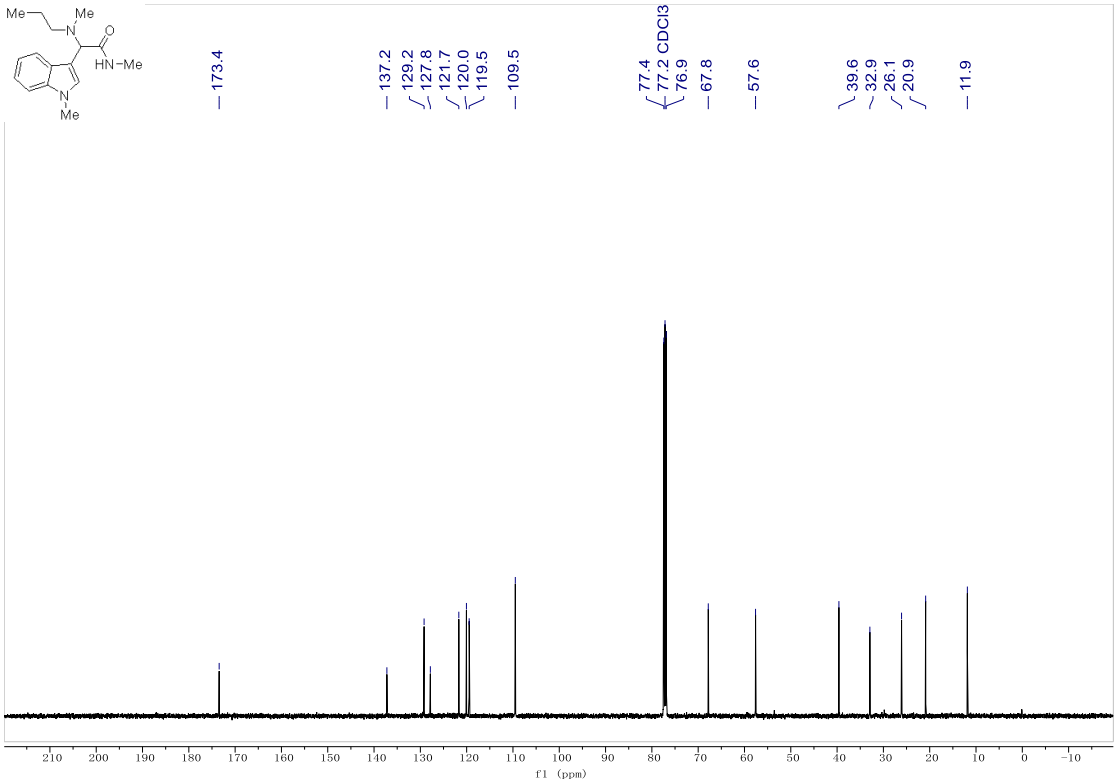
2-(cyclohexyl(methyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3an)



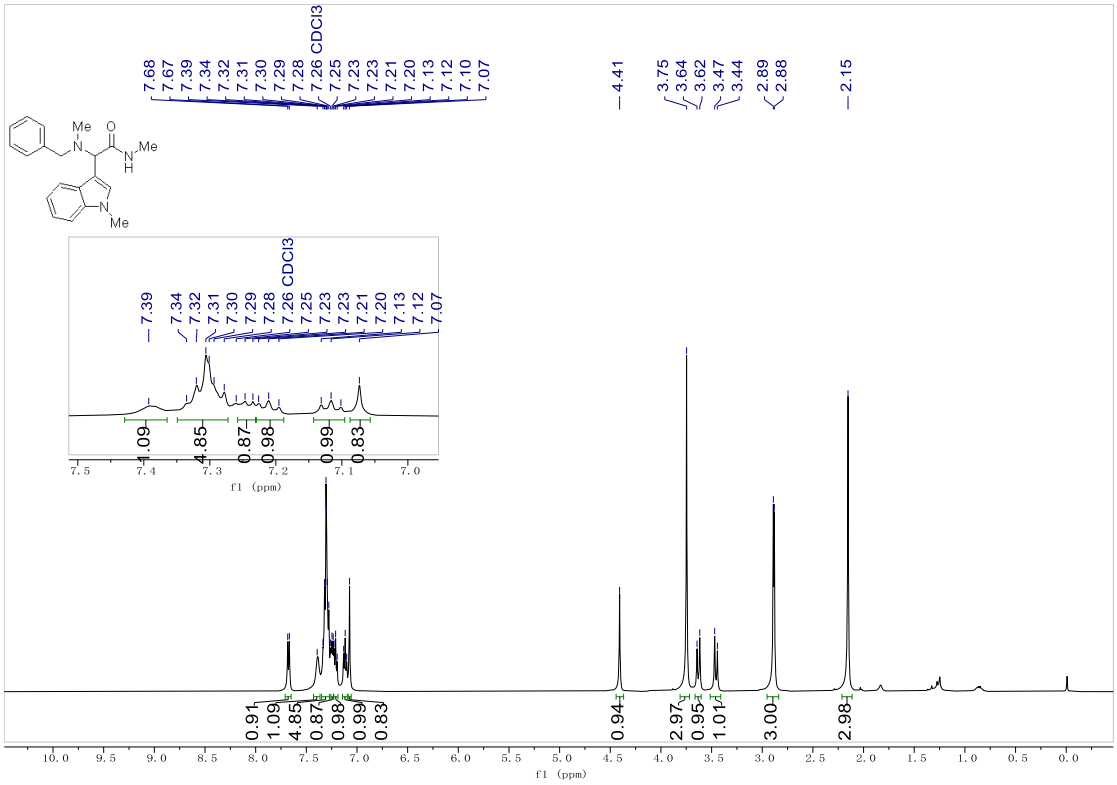


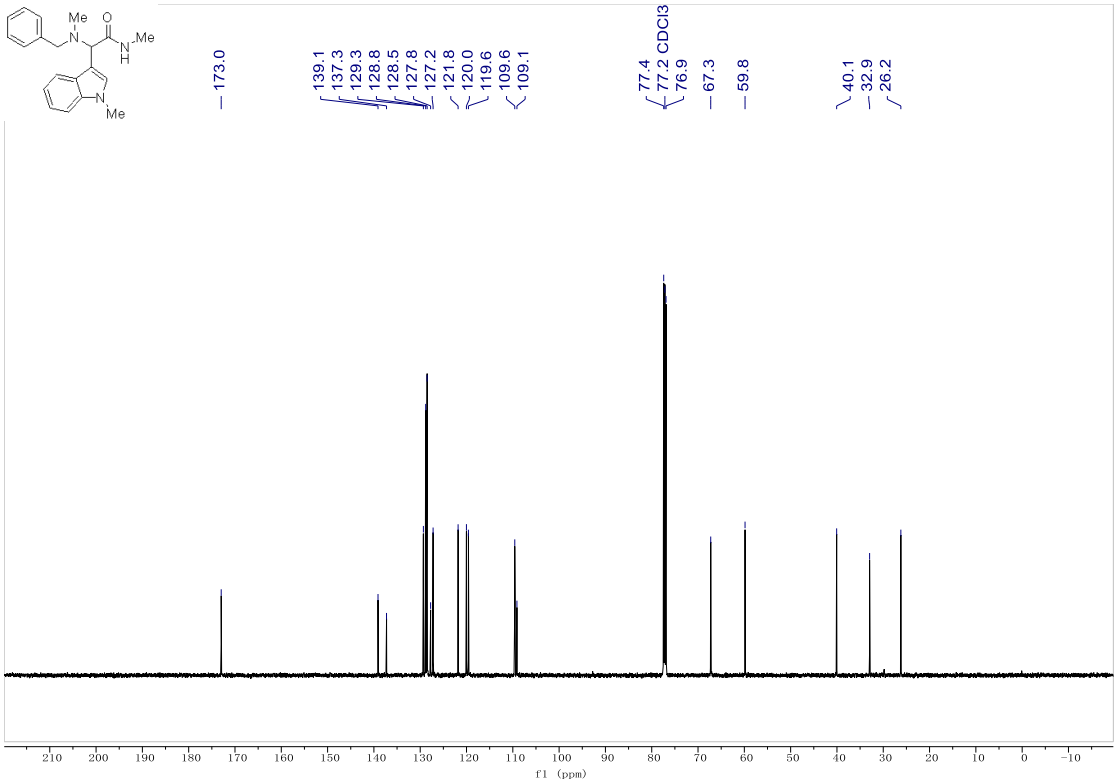
_N_-methyl-2-(methyl(propyl)amino)-2-(1-methyl-1_H_-indol-3-yl)acetamide (3ao)



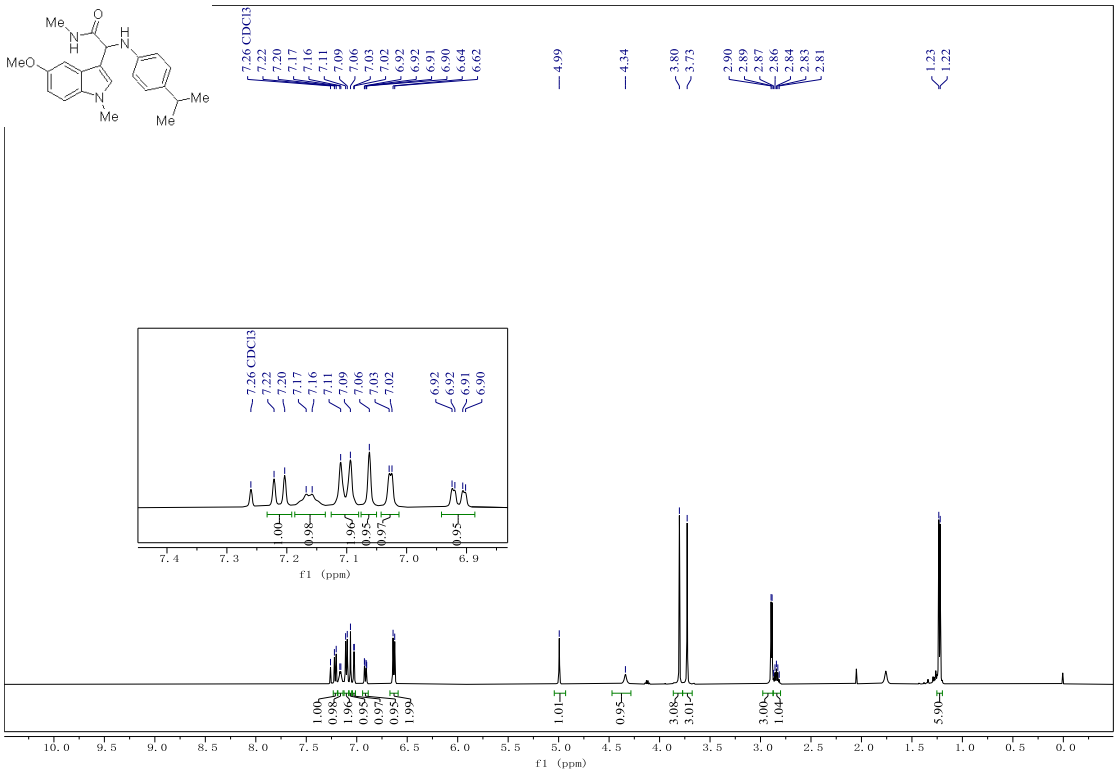


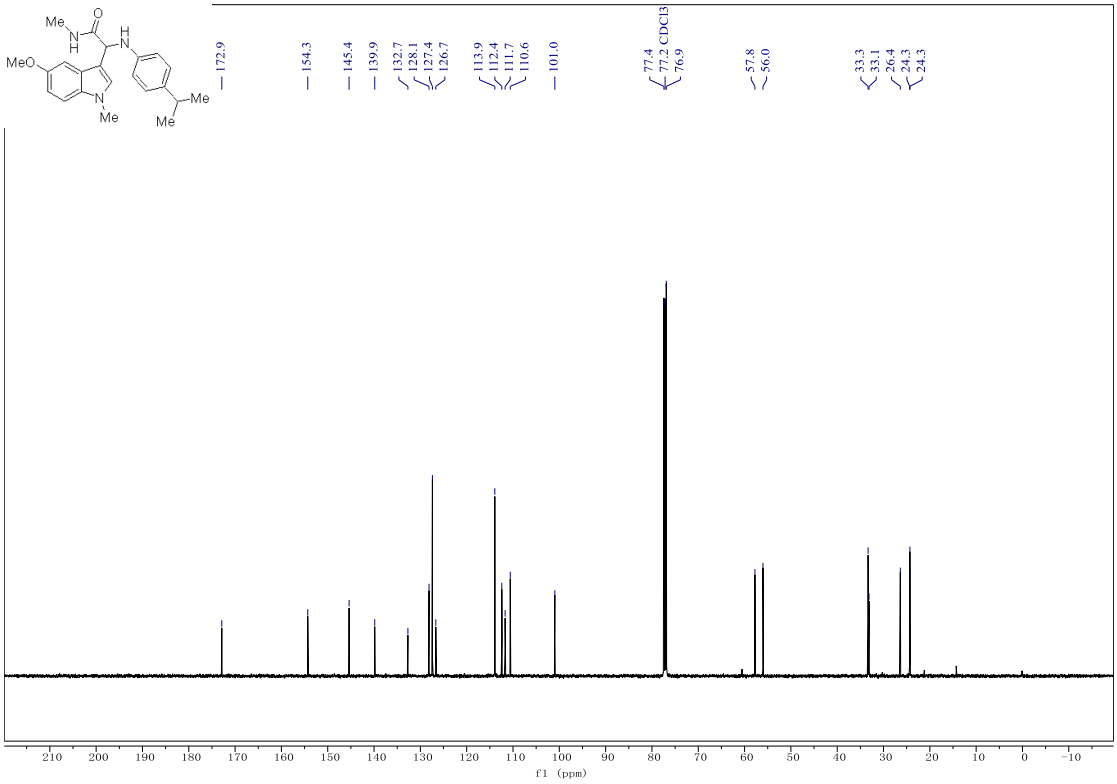
2-(benzyl(methyl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (3ap)



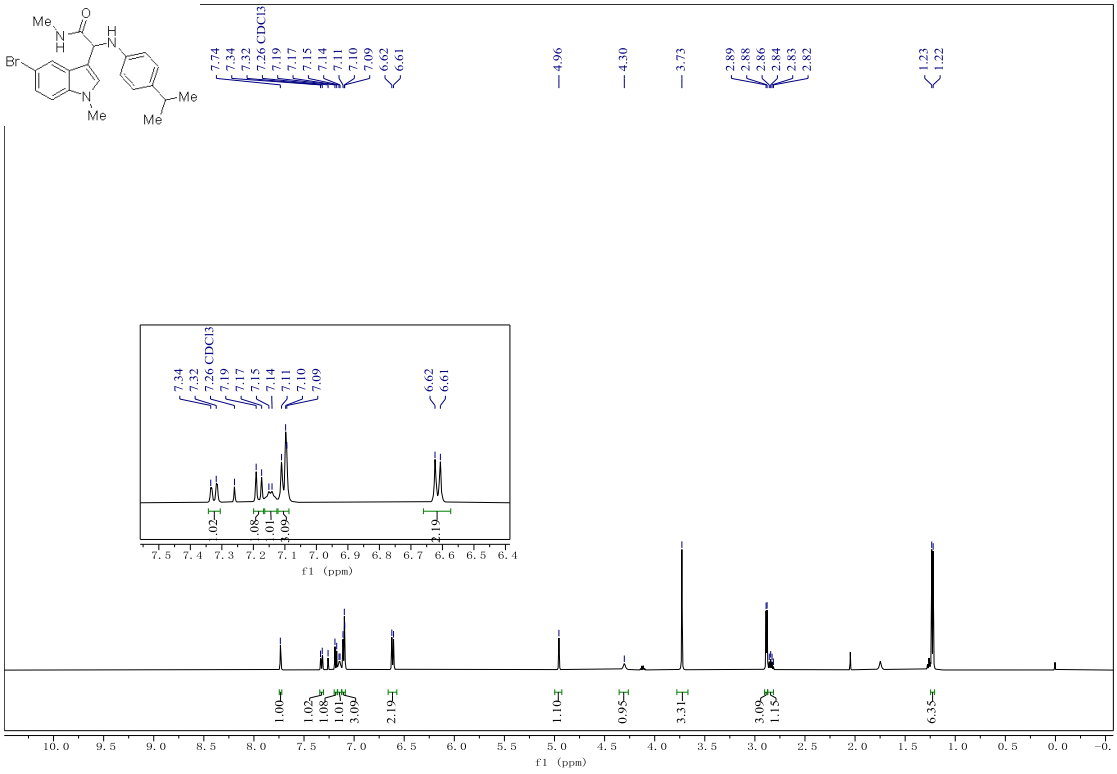


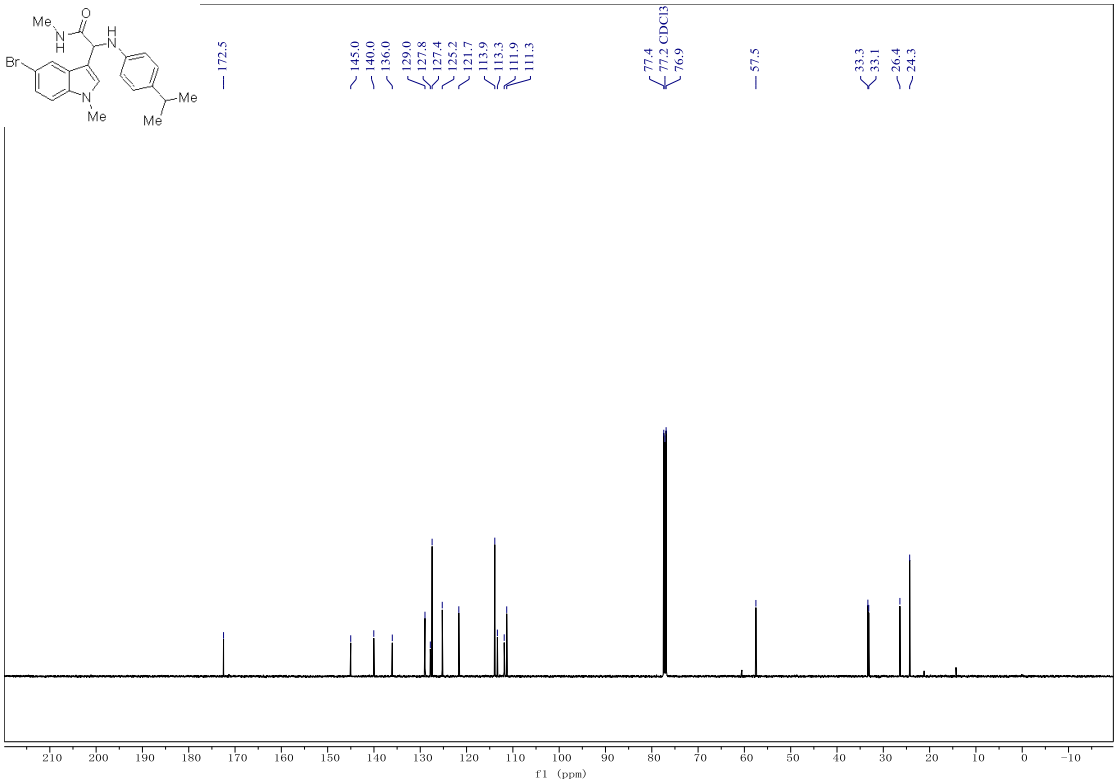
2-((4-*iso*propylphenyl)amino)-2-(5-methoxy-1-methyl-1*H*-indol-3-yl)-*N*-methylacetamide (4a)



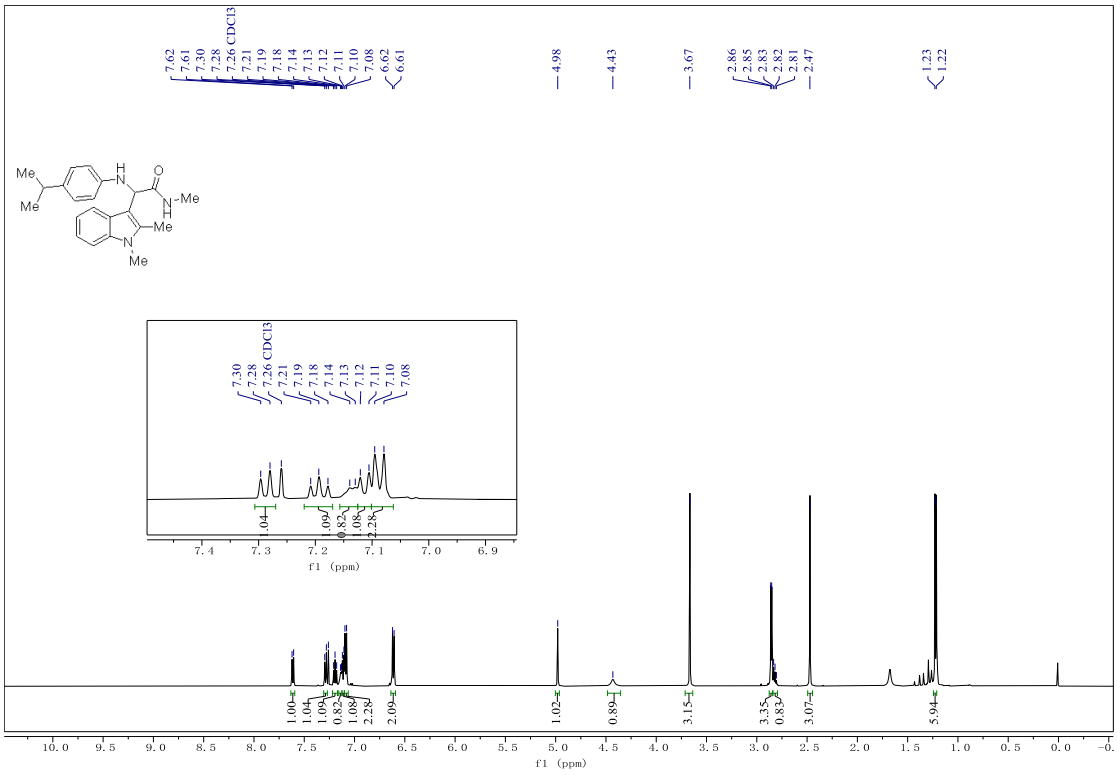


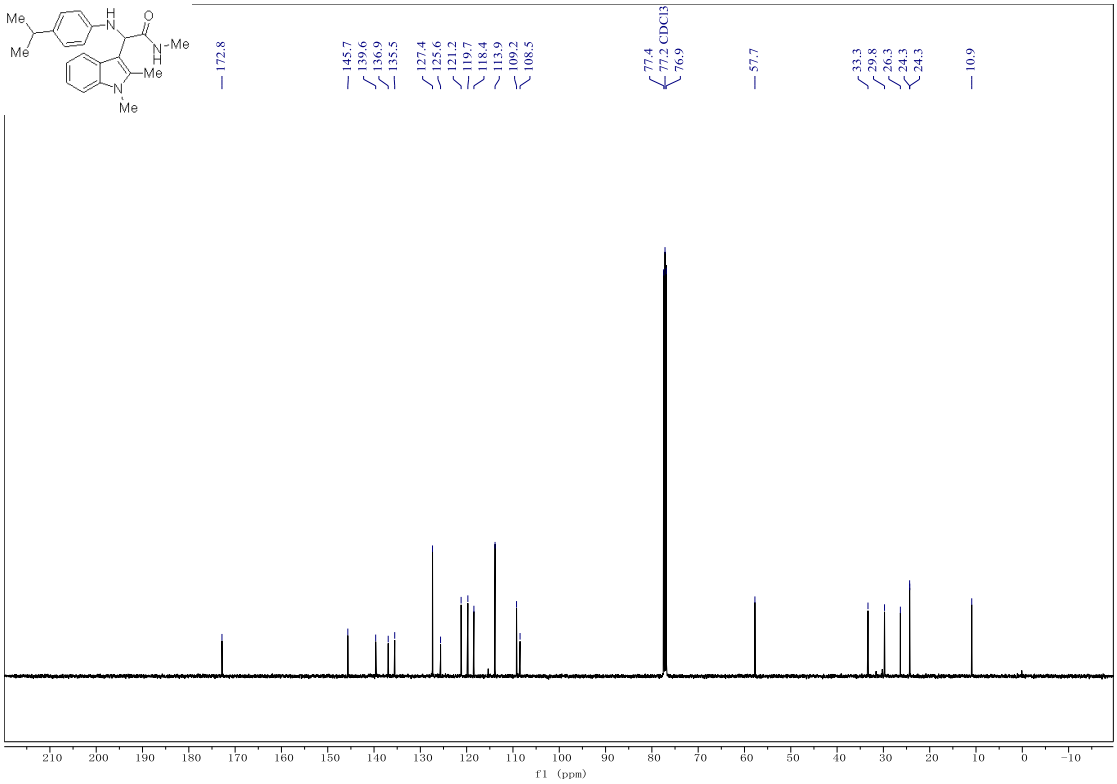
2-(5-bromo-1-methyl-1*H*-indol-3-yl)-2-((4-*iso*propylpheny l)amino)-*N*-methylacetamide (4b)



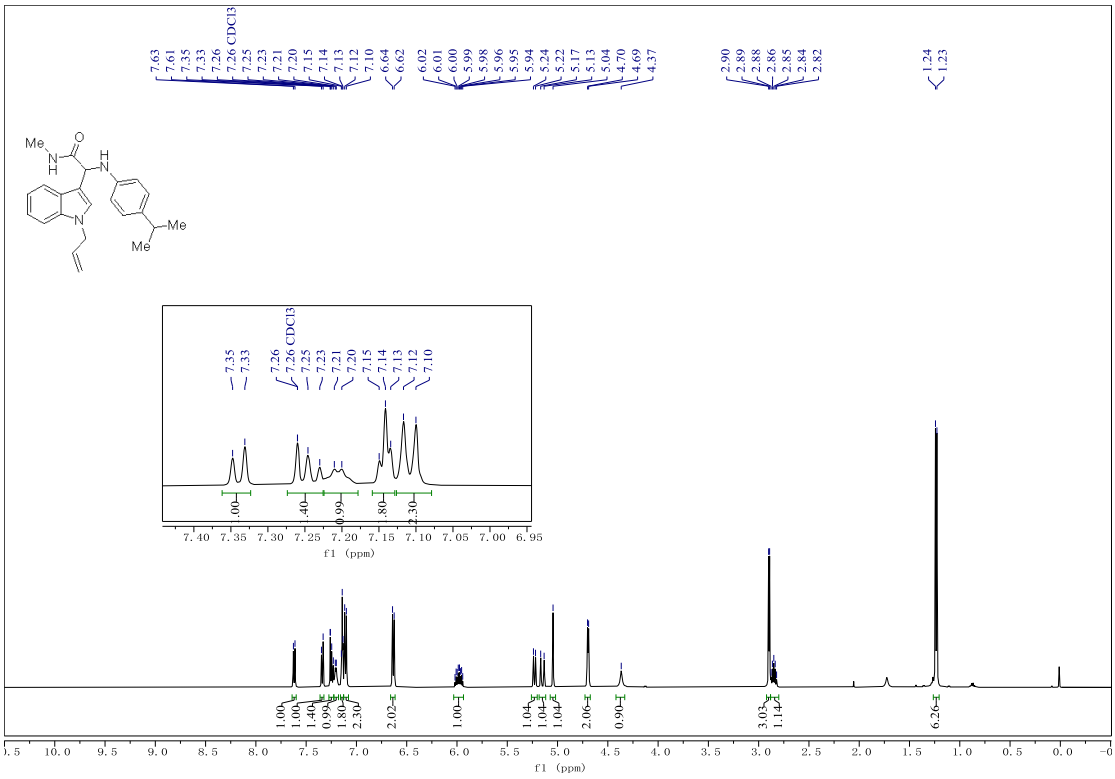


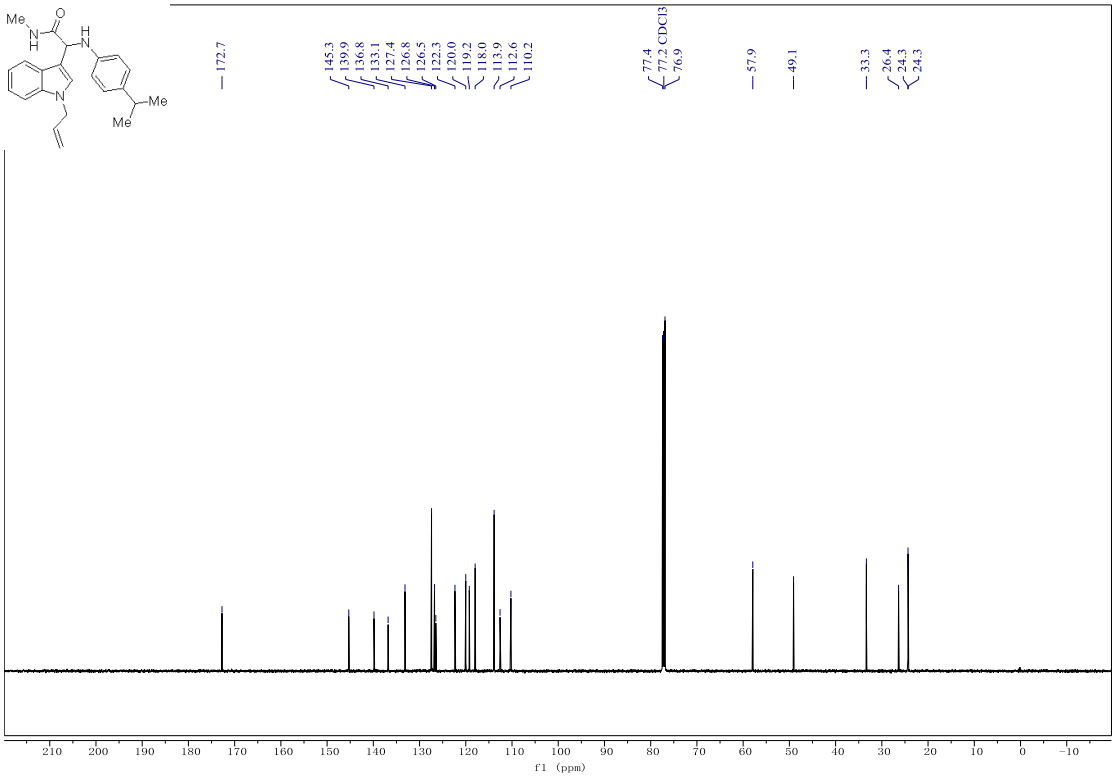
2-(1,2-dimethyl-1*H*-indol-3-yl)-2-((4-*iso*propylphenyl)amino)-*N*-methylacetamide (4c)



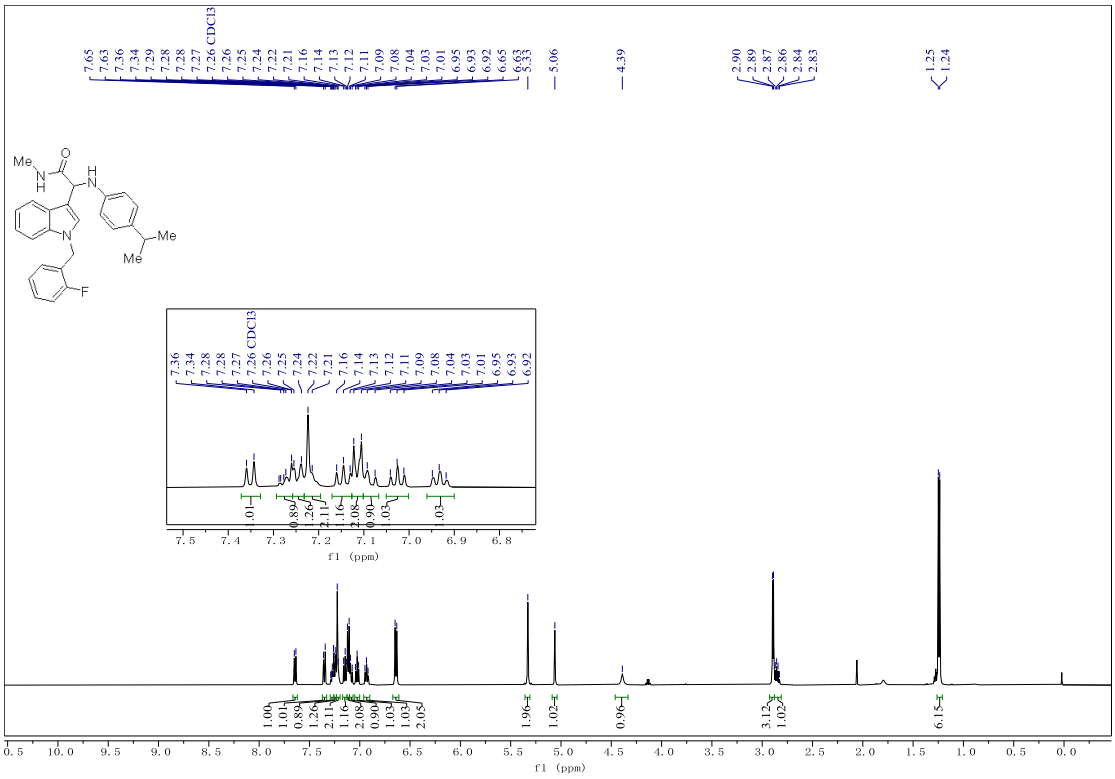


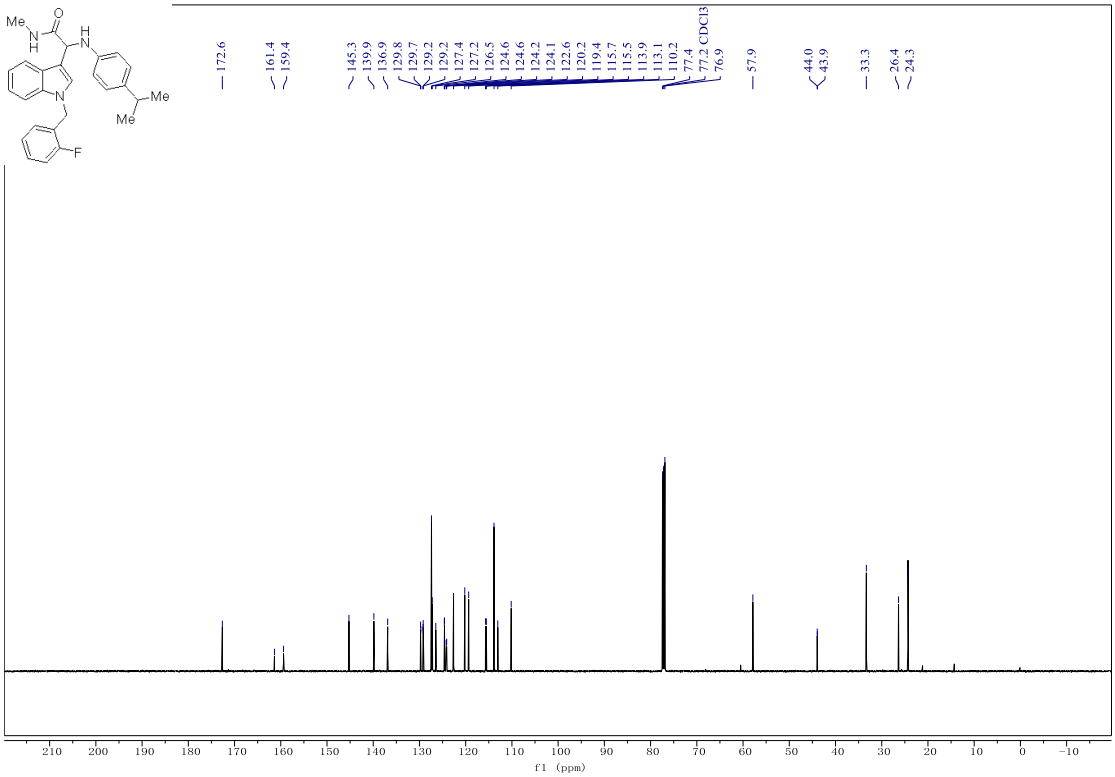
2-(1-allyl-1*H*-indol-3-yl)-2-((4-*iso*propylphenyl)amino)-*N*-methylacetamide (4d)

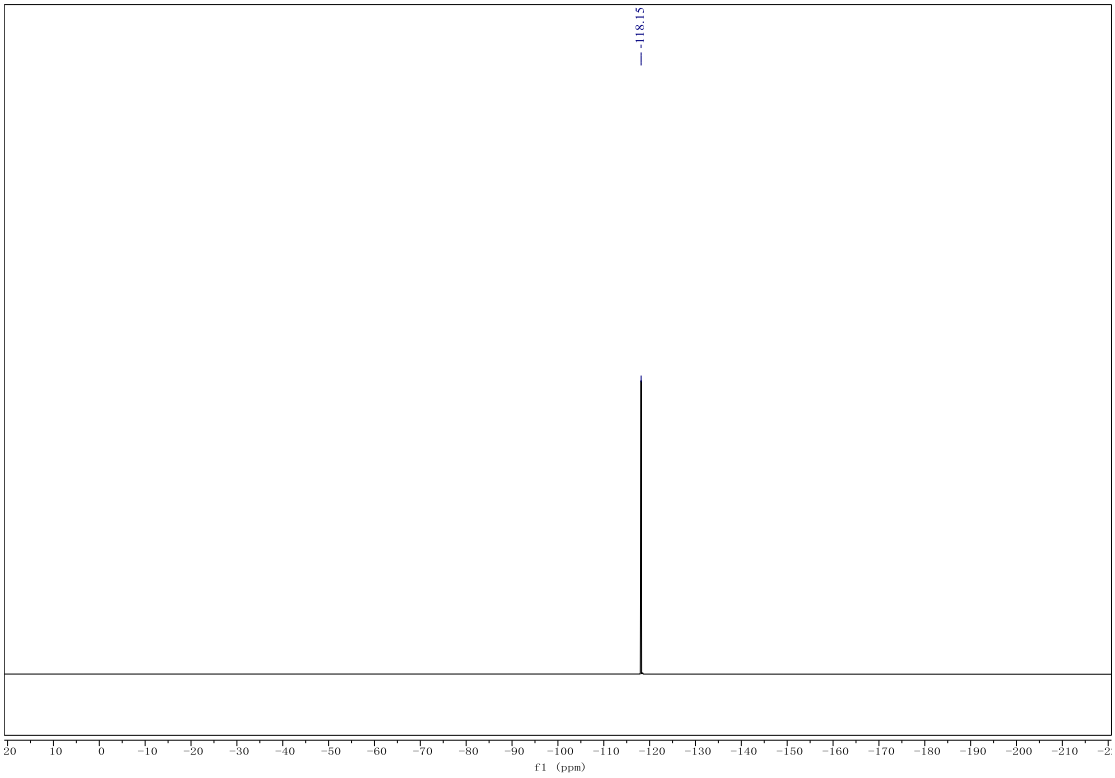




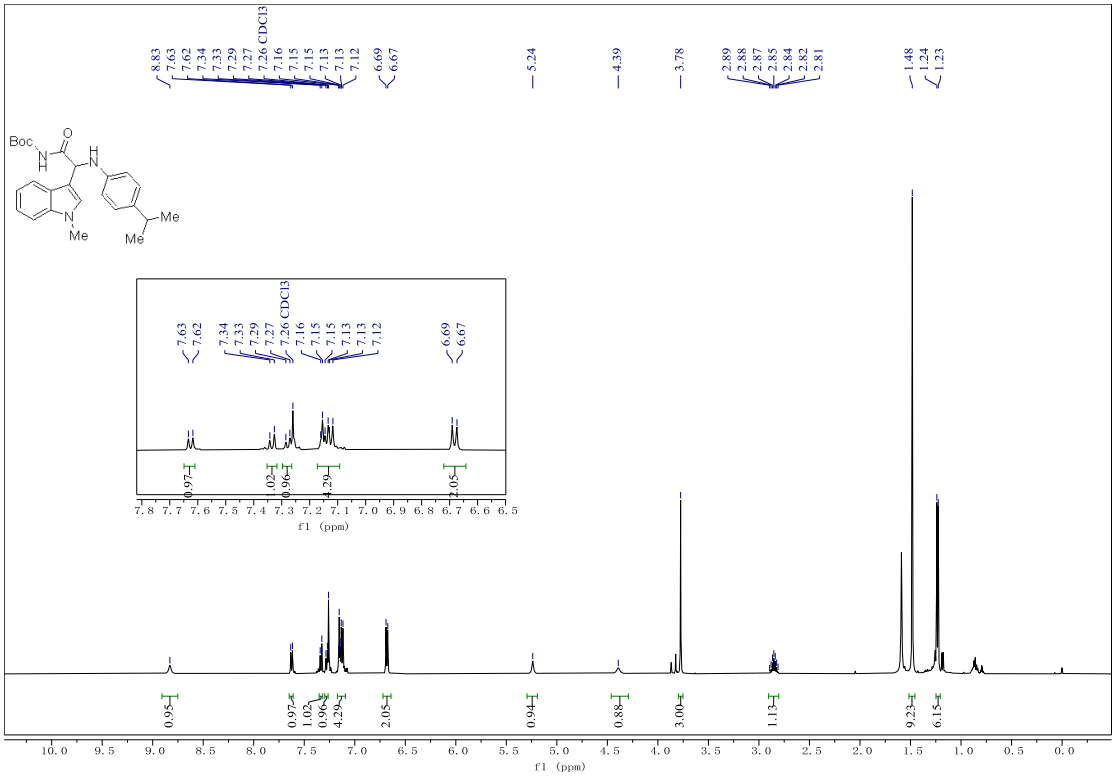
2-(1-(2-fluorobenzyl)-1*H*-indol-3-yl)-2-((4-*iso*propylphenyl)amino)-*N*-methylacetamide (4e)

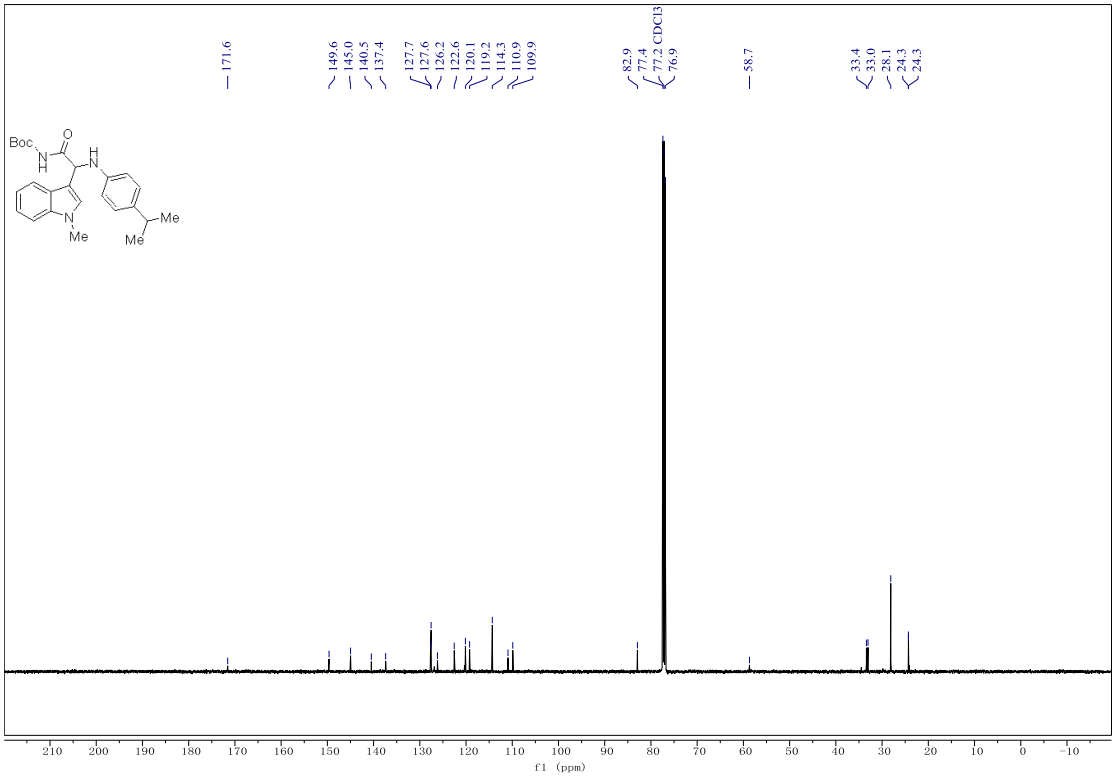




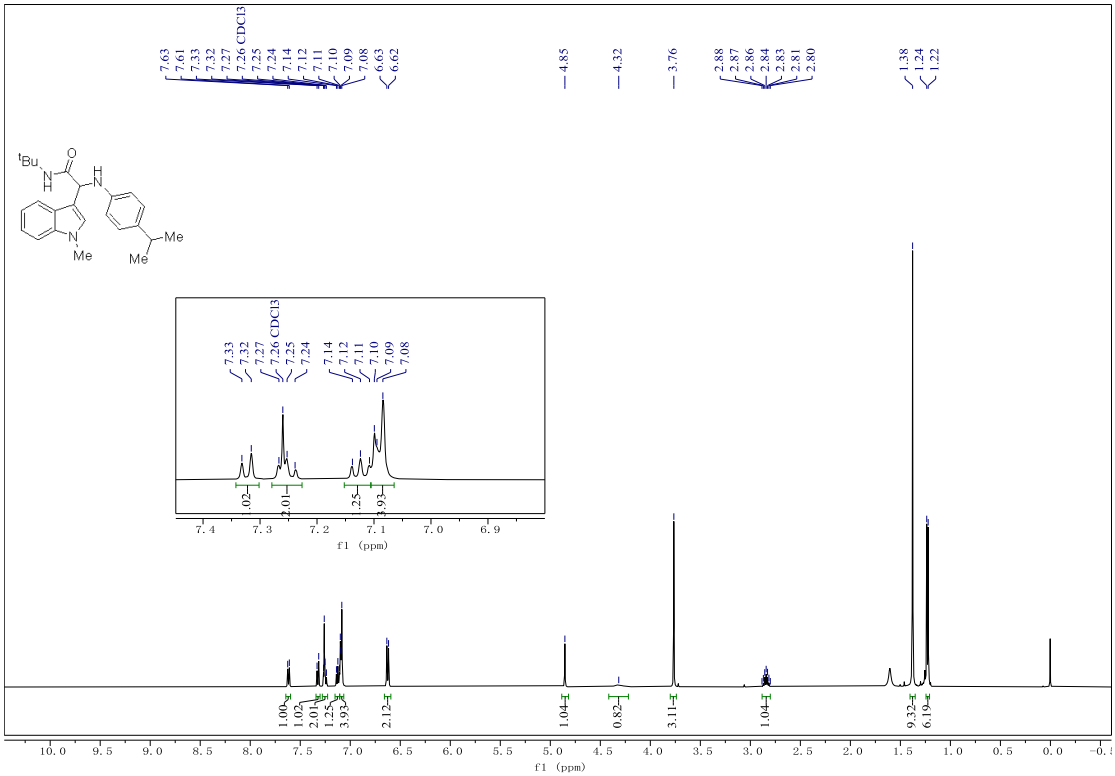


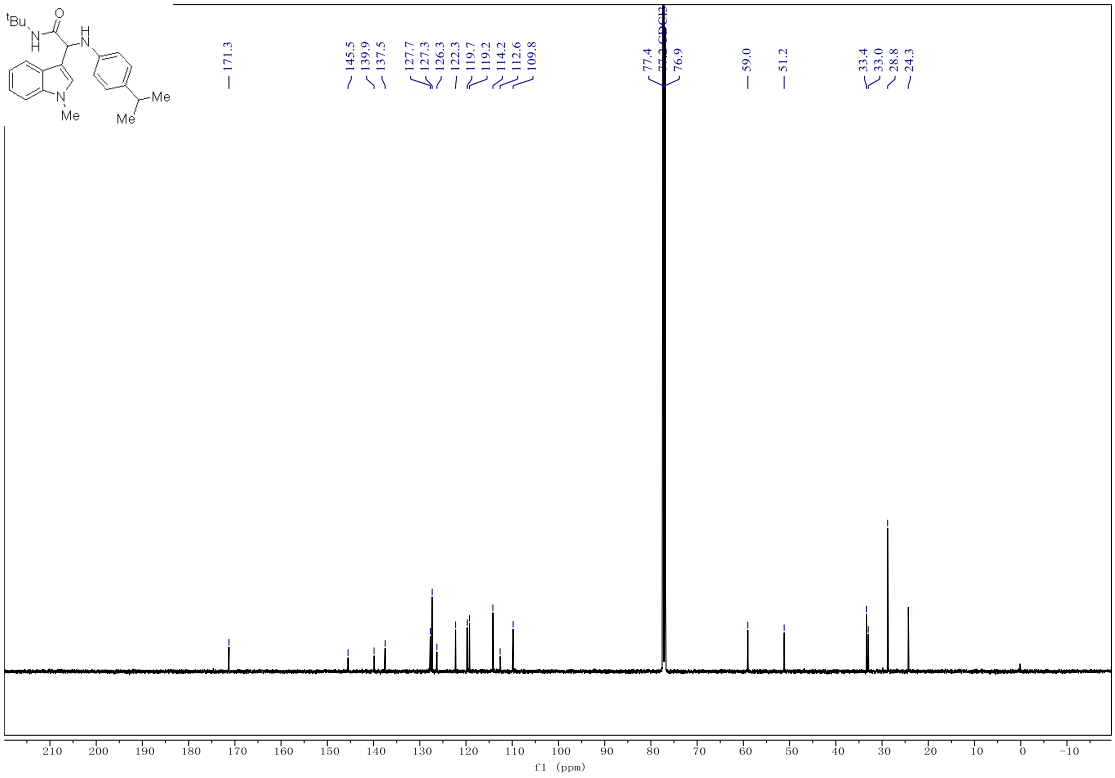
***tert*-butyl (2-((4-*iso*propylphenyl)amino)-2-(1-methyl-1*H*-indol-3-yl)acetyl)carbamate (4f)**



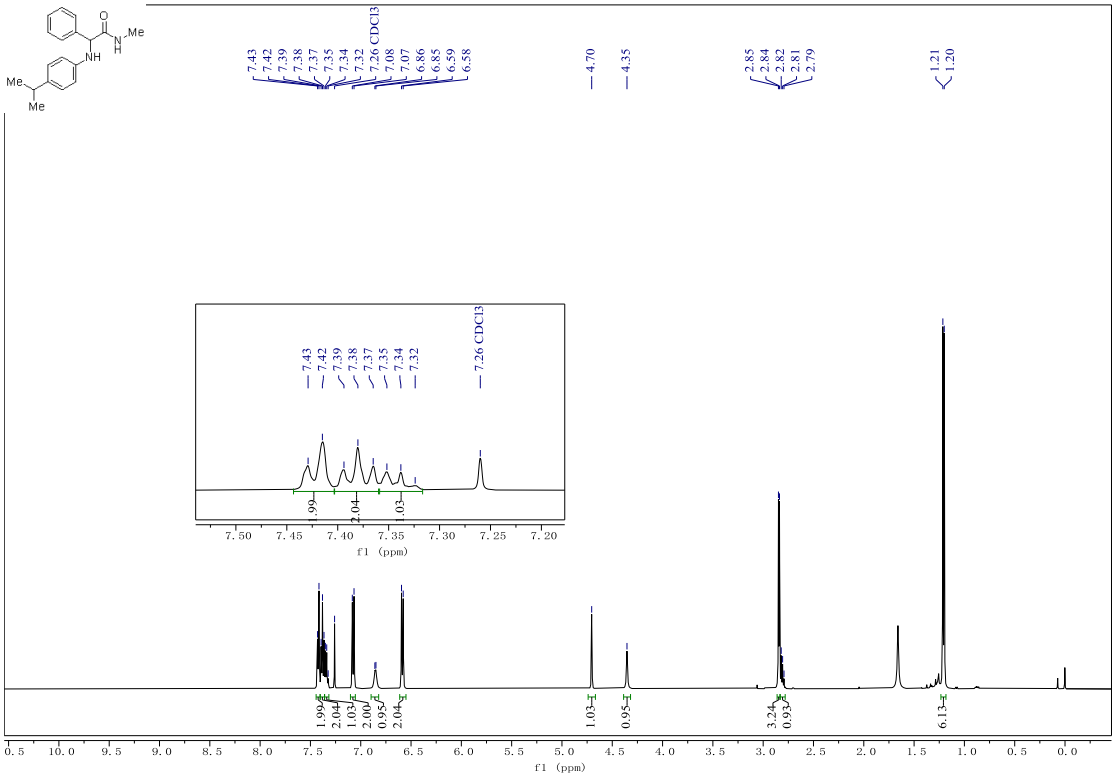


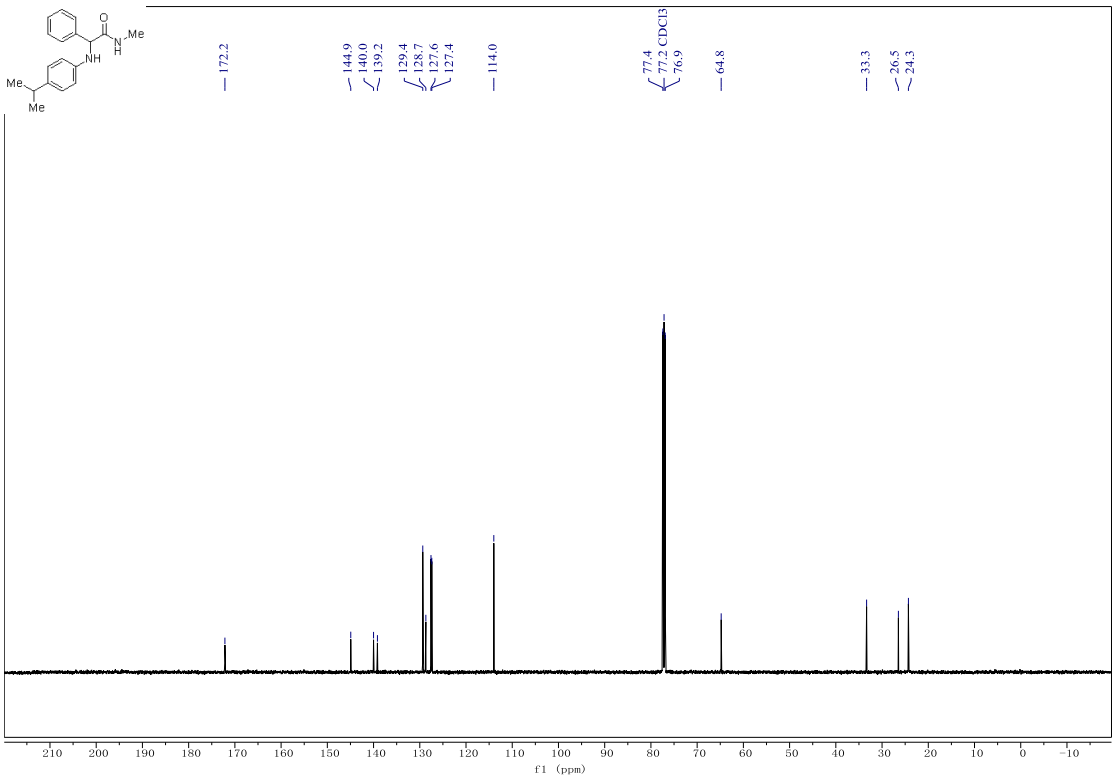
***N*-(*tert*-butyl)-2-((4-*iso*propylphenyl)amino)-2-(1-methyl-1*H*-indol-3-yl)acetamide (4g)**



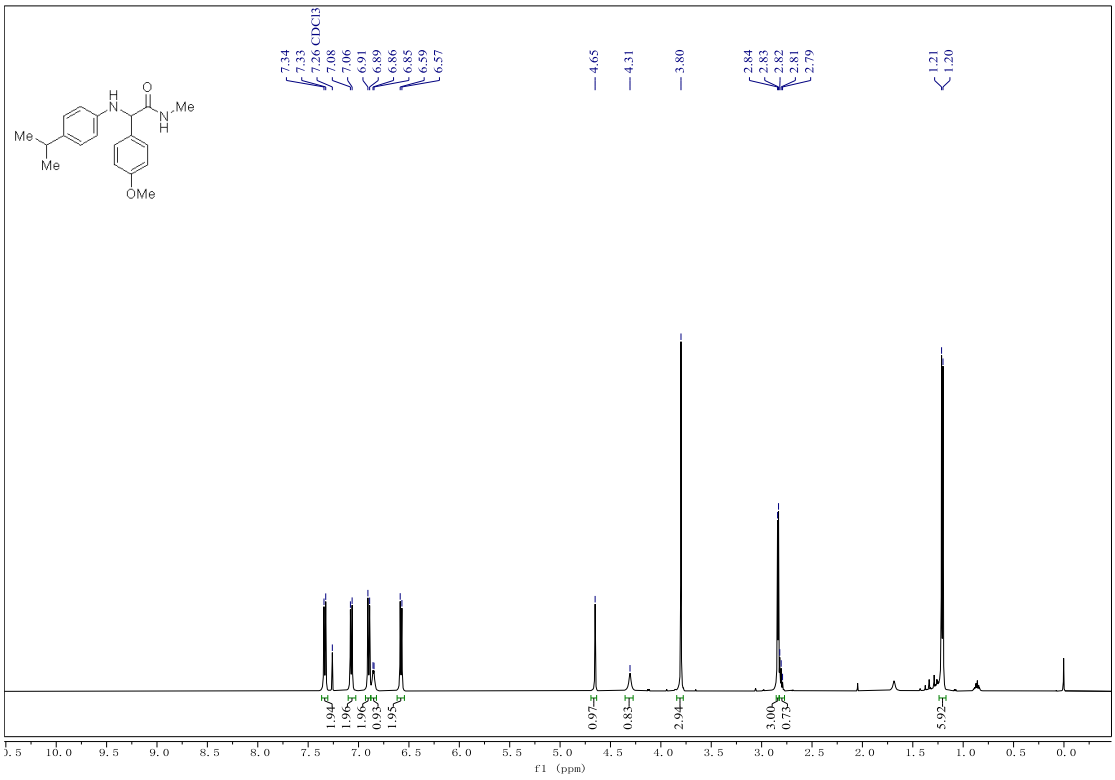


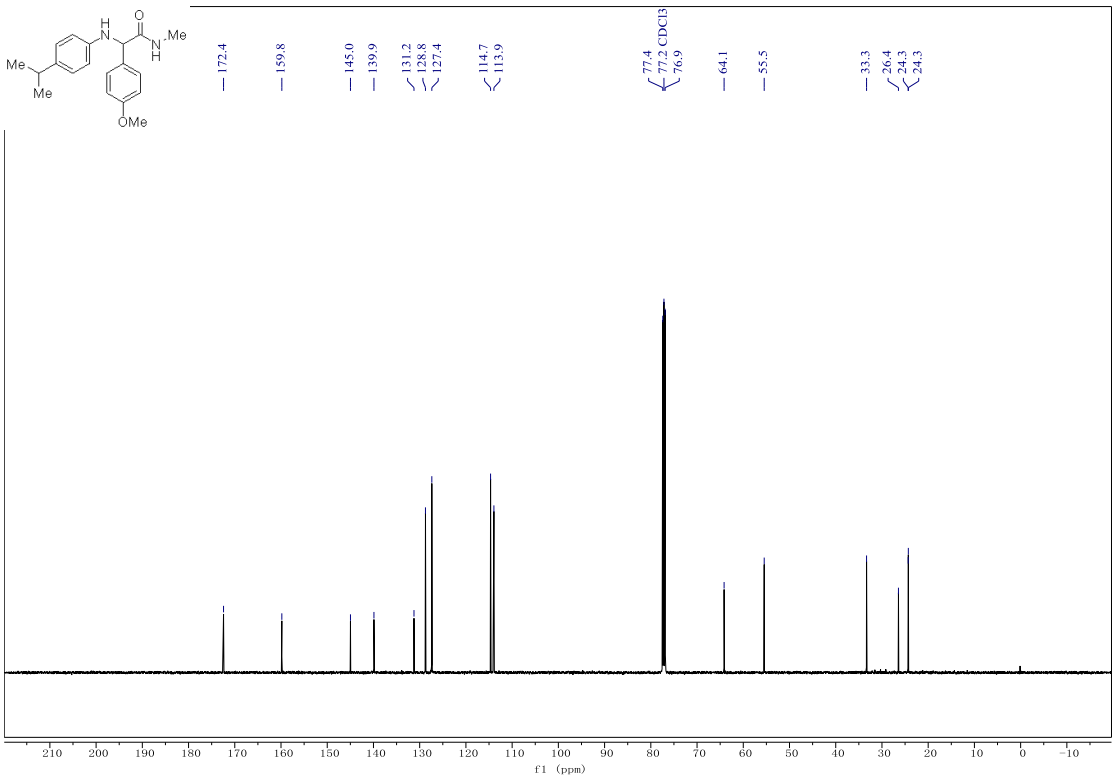
2-((4-*iso*propylphenyl)amino)-*N*-methyl-2-phenylacetamide (5a)



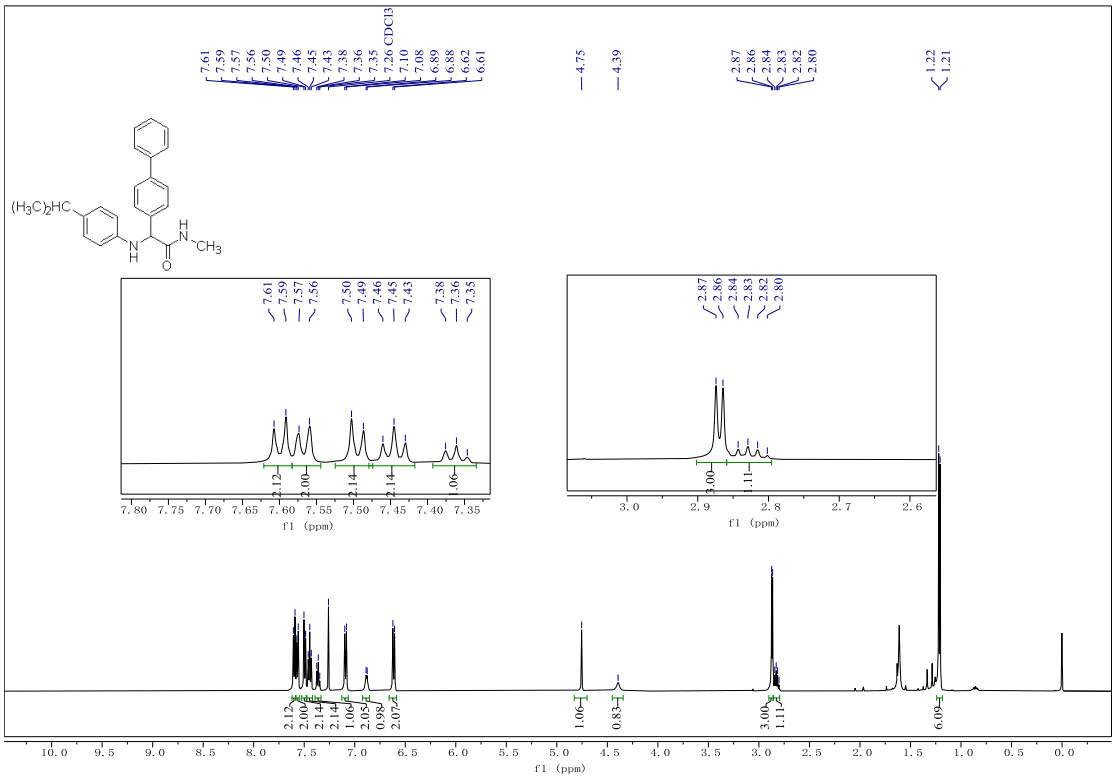


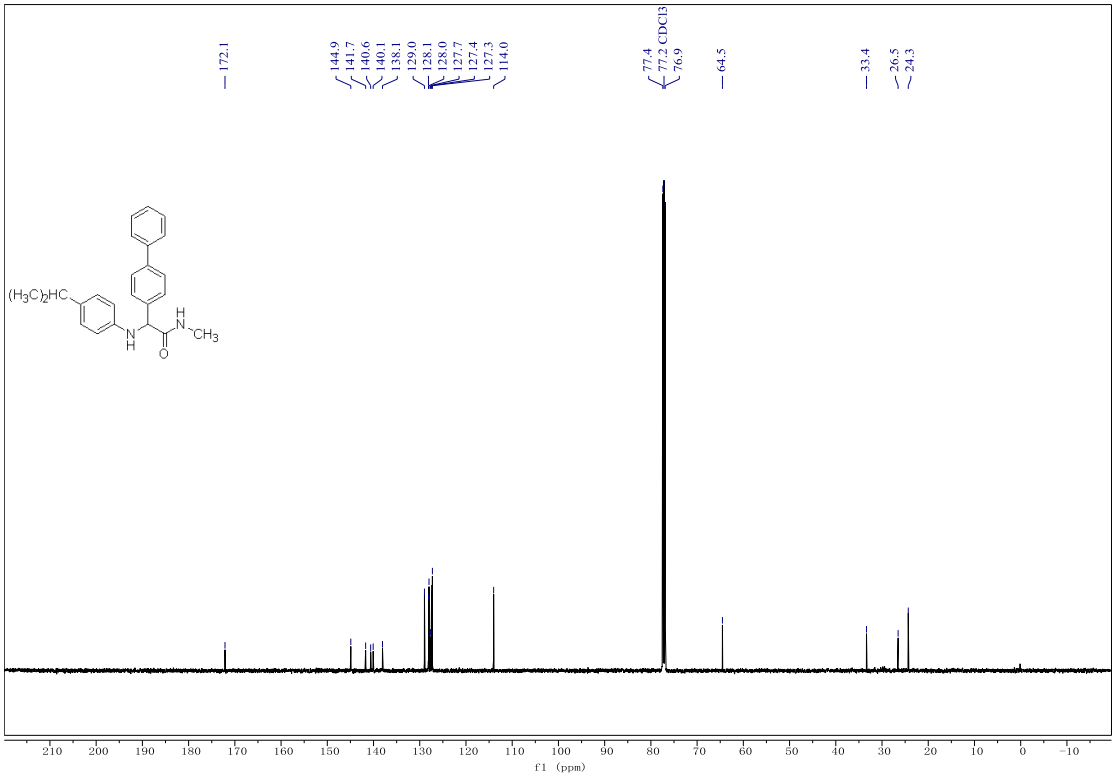
2-((4-*iso*propylphenyl)amino)-2-(4-methoxyphenyl)-*N*-methylacetamide (5b)



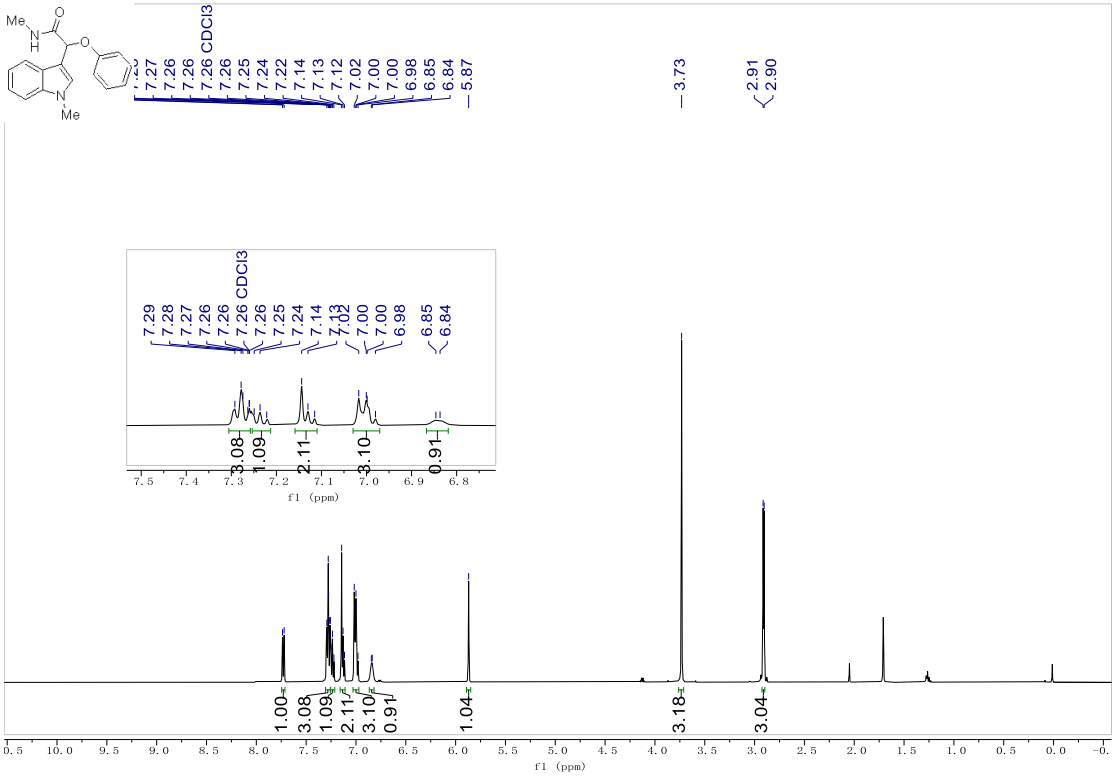


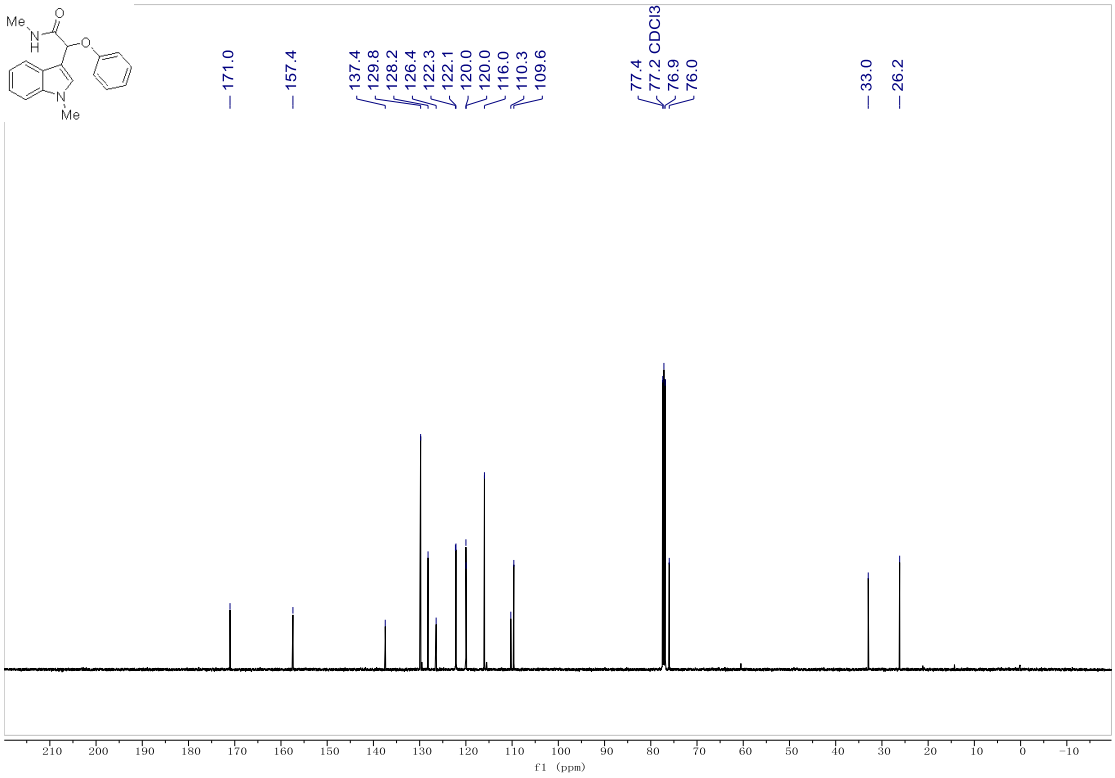
2-([1,1'-biphenyl]-4-yl)-2-((4-*iso*propylphenyl)amino)-*N*-methylacetamide (5c)



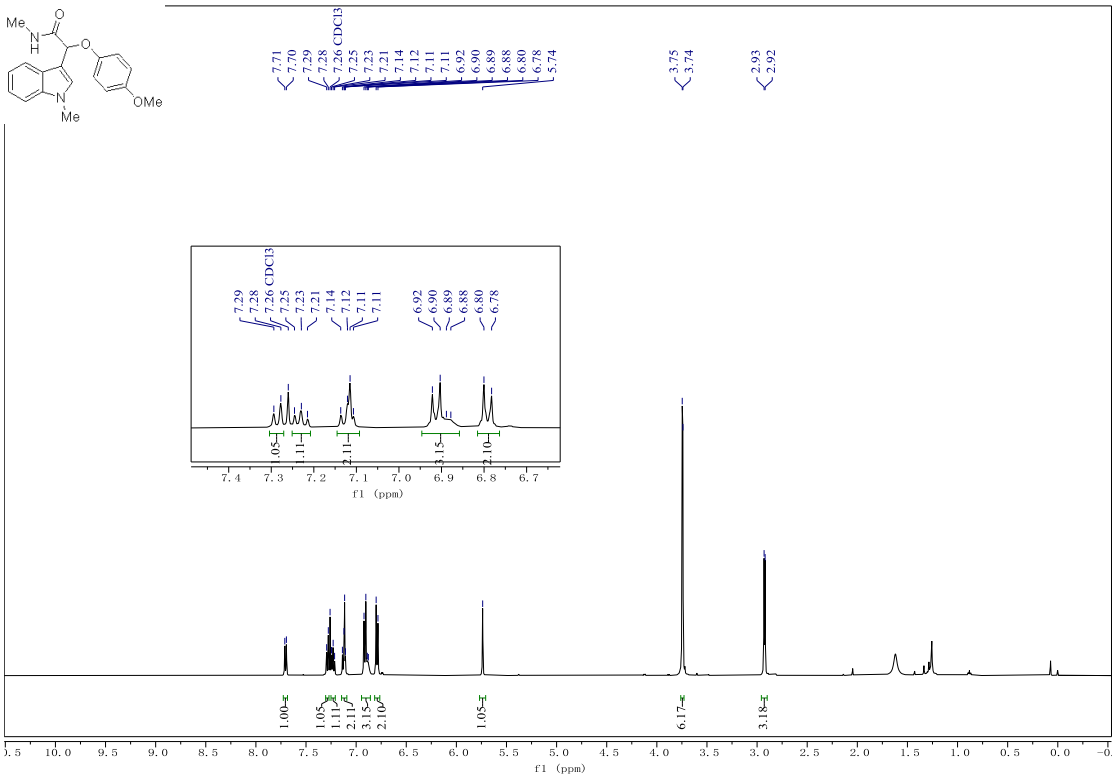


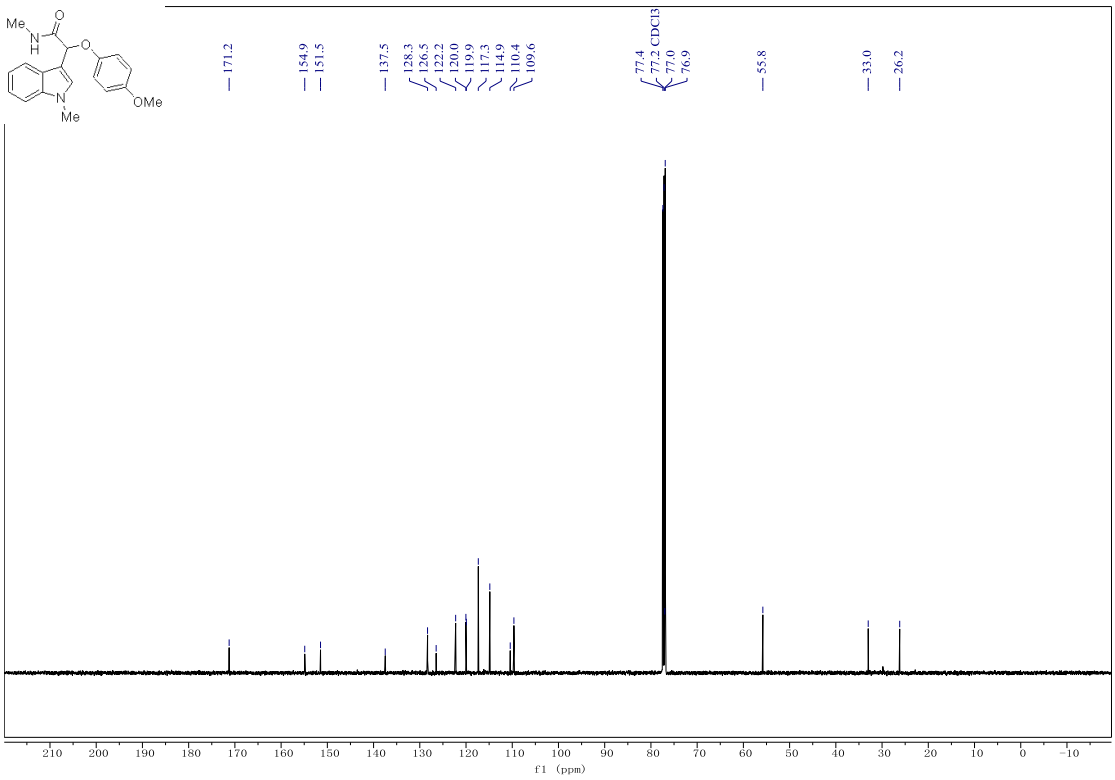
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-phenoxyacetamide (6a)**



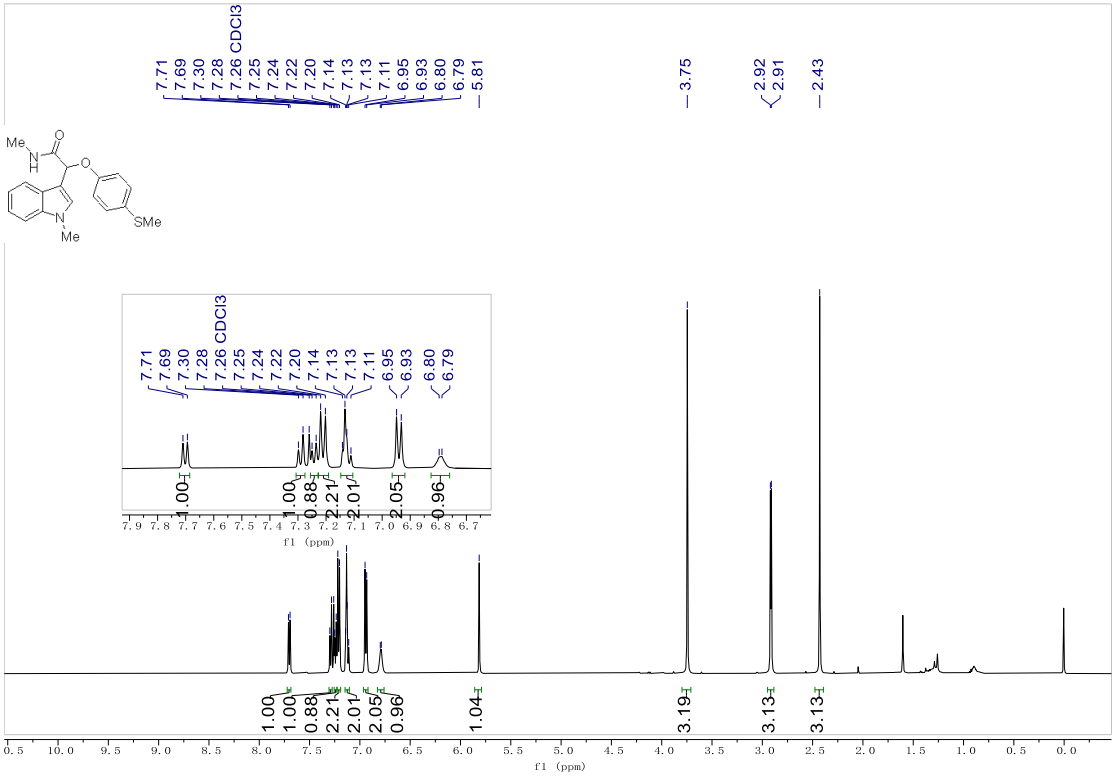


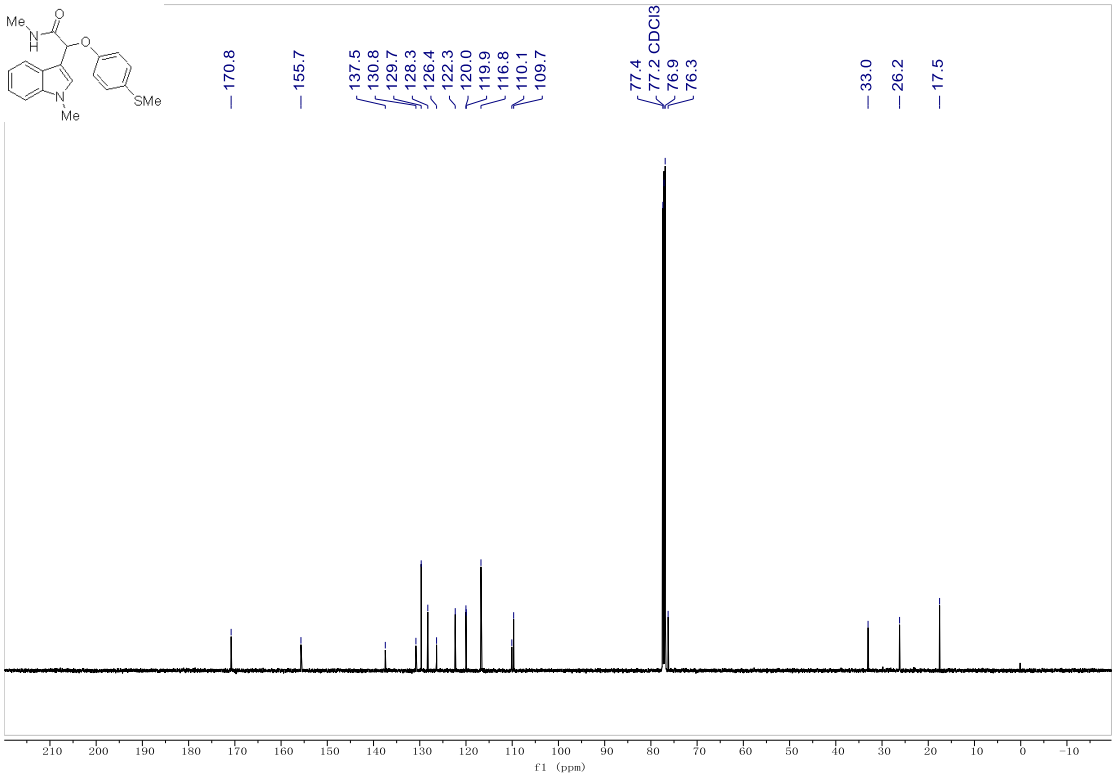
2-(4-methoxyphenoxy)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl) acetamide (6b)



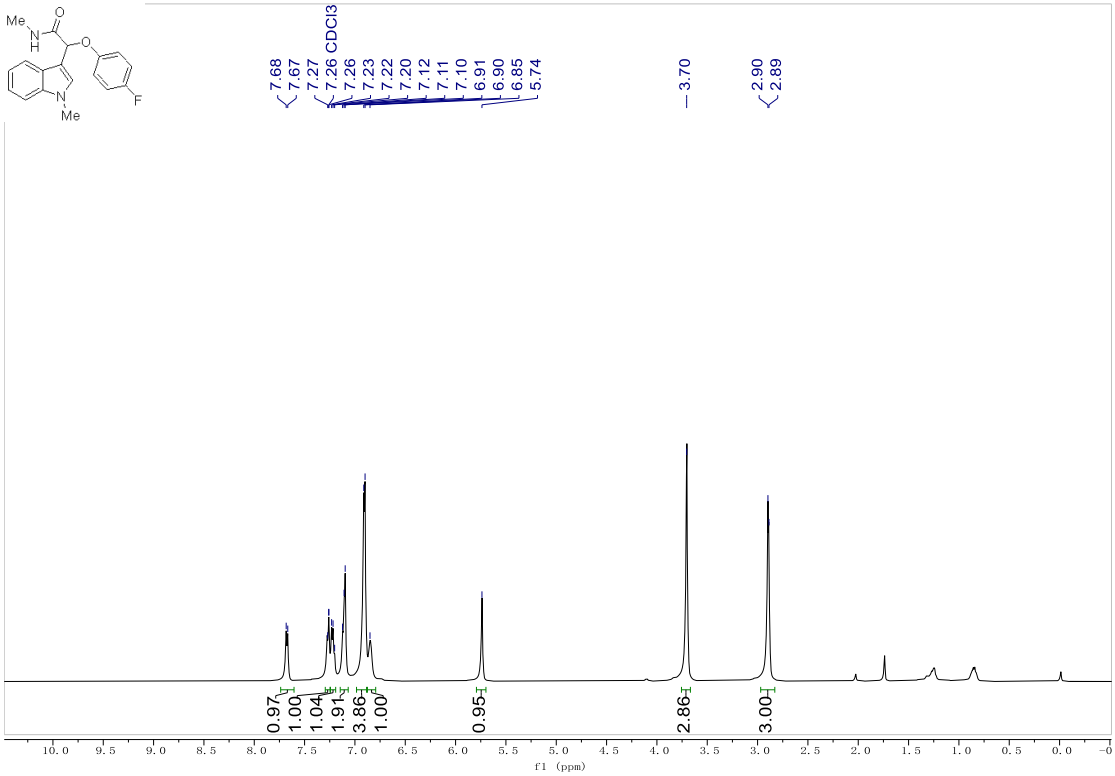


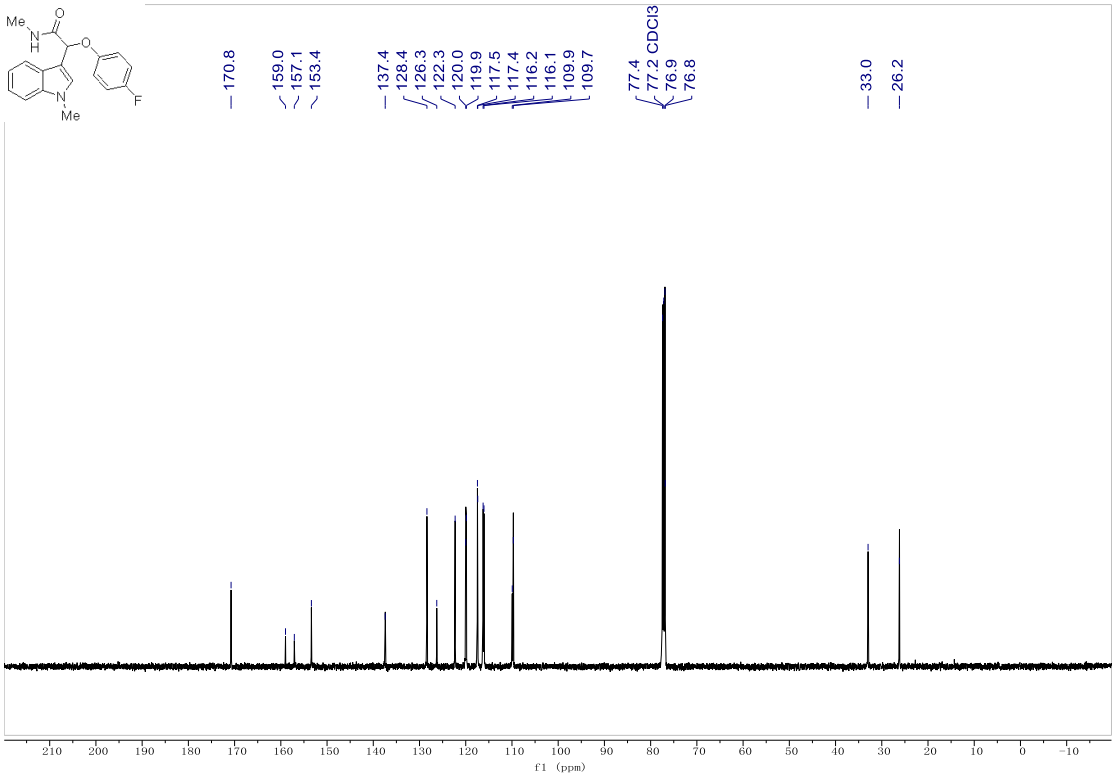
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(4-(methylthio)phenoxy) acetamide (6c)**

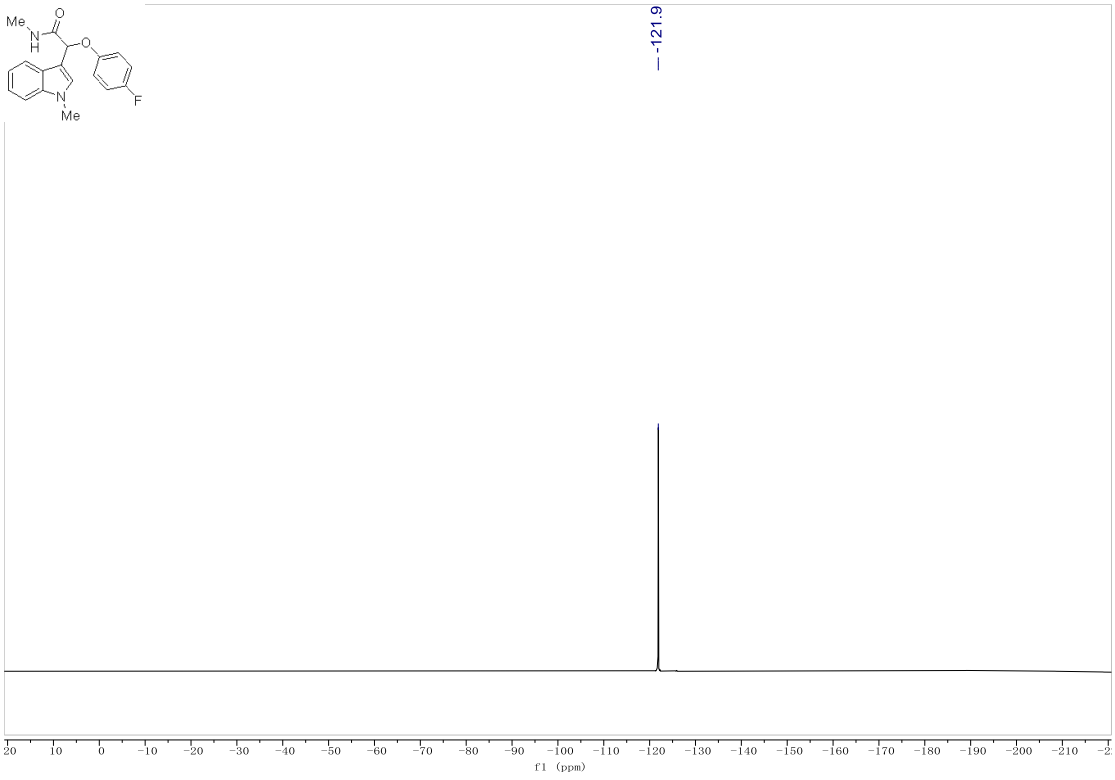




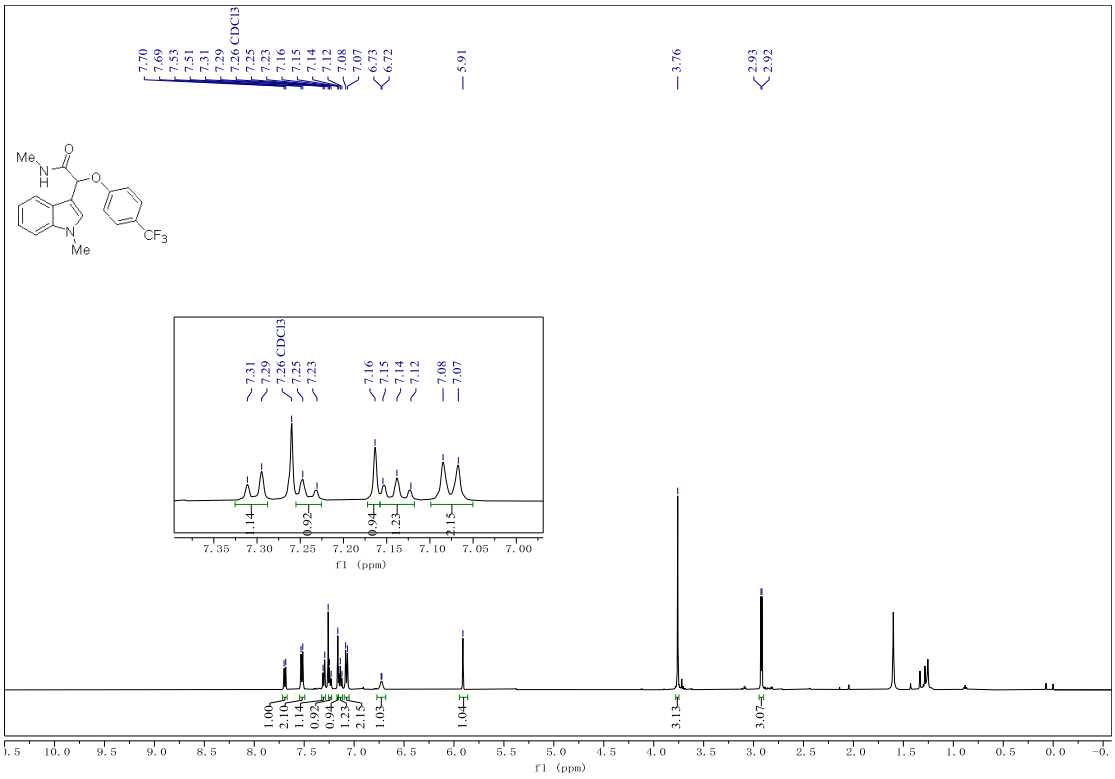
2-(4-fluorophenoxy)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (6d)

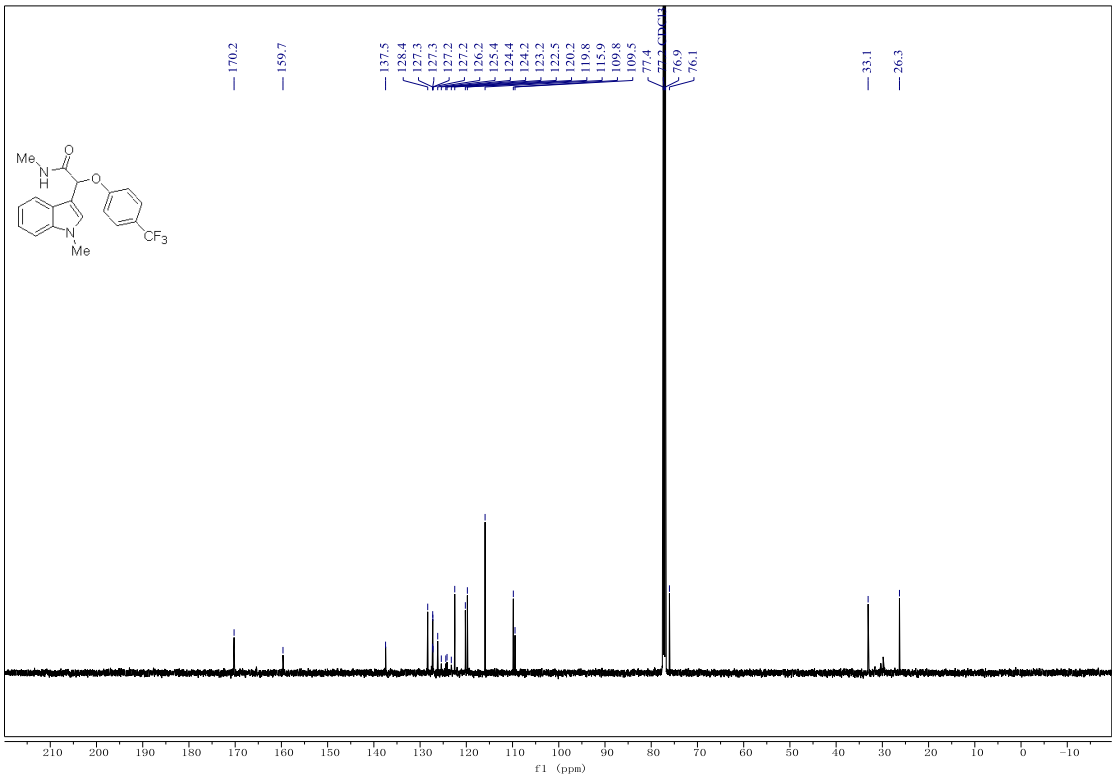


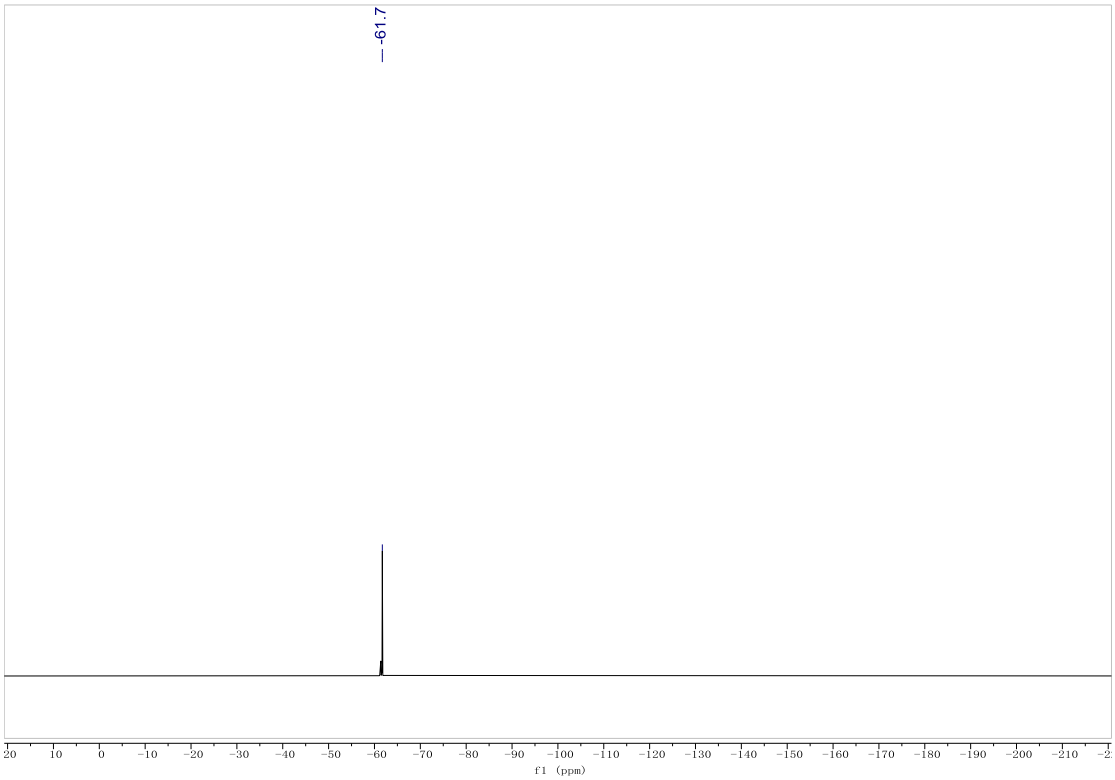

Me
N
H
O
O
F
N
Me
170.8
159.0
157.1
153.4
137.4
128.4
126.3
122.3
120.0
119.9
117.5
117.4
116.2
116.1
109.9
109.7
77.4
77.2 CDCl3
76.9
76.8
33.0
26.2
f1 (ppm)


Me
N
H
O
O
F
N
Me
-121.9
f1 (ppm)

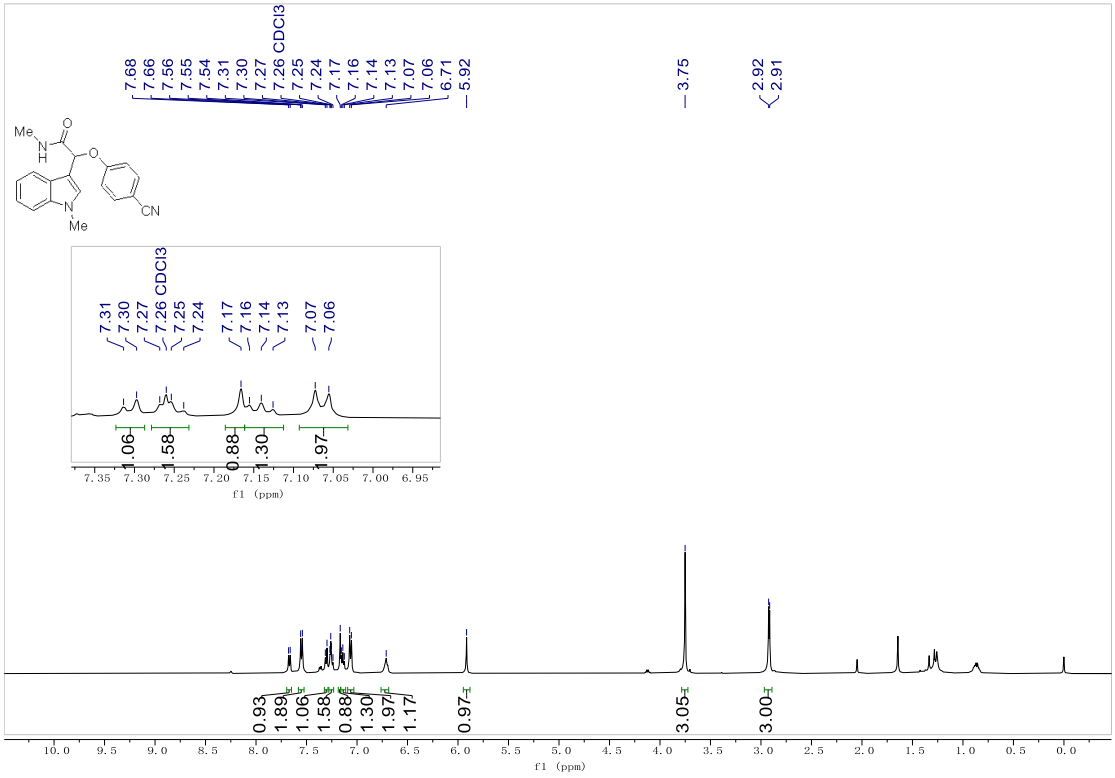
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(4-(trifluoromethyl)phenoxy)acetamide (6e)**

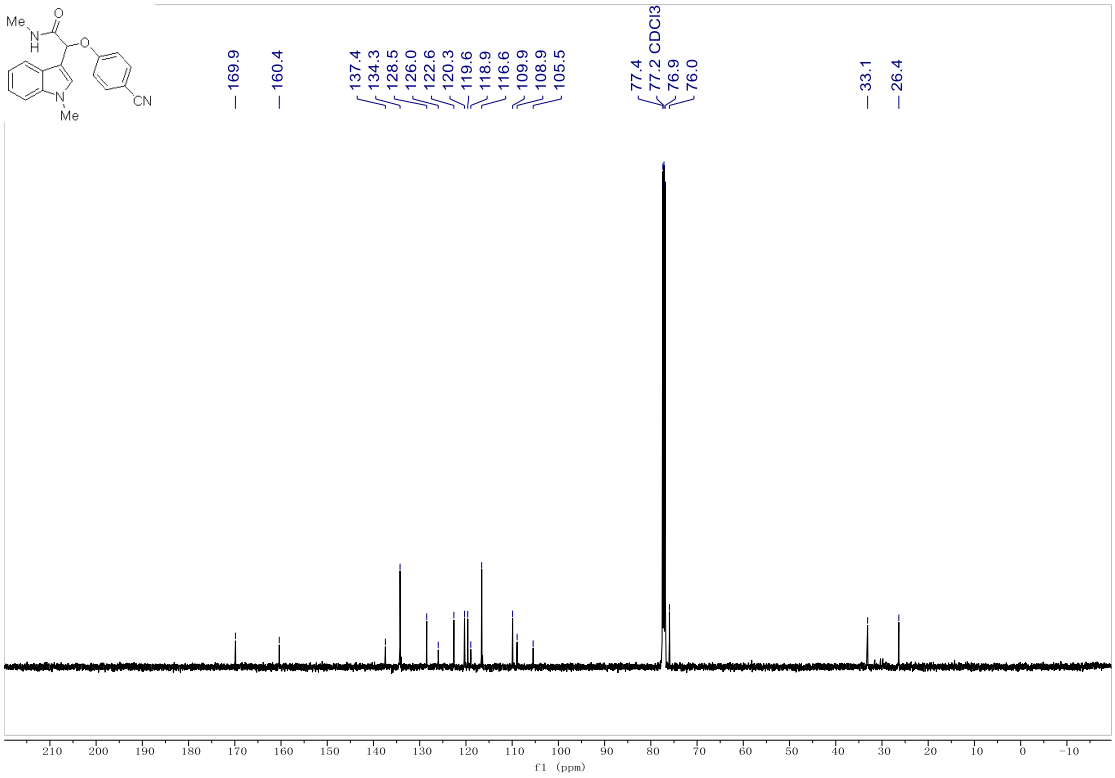




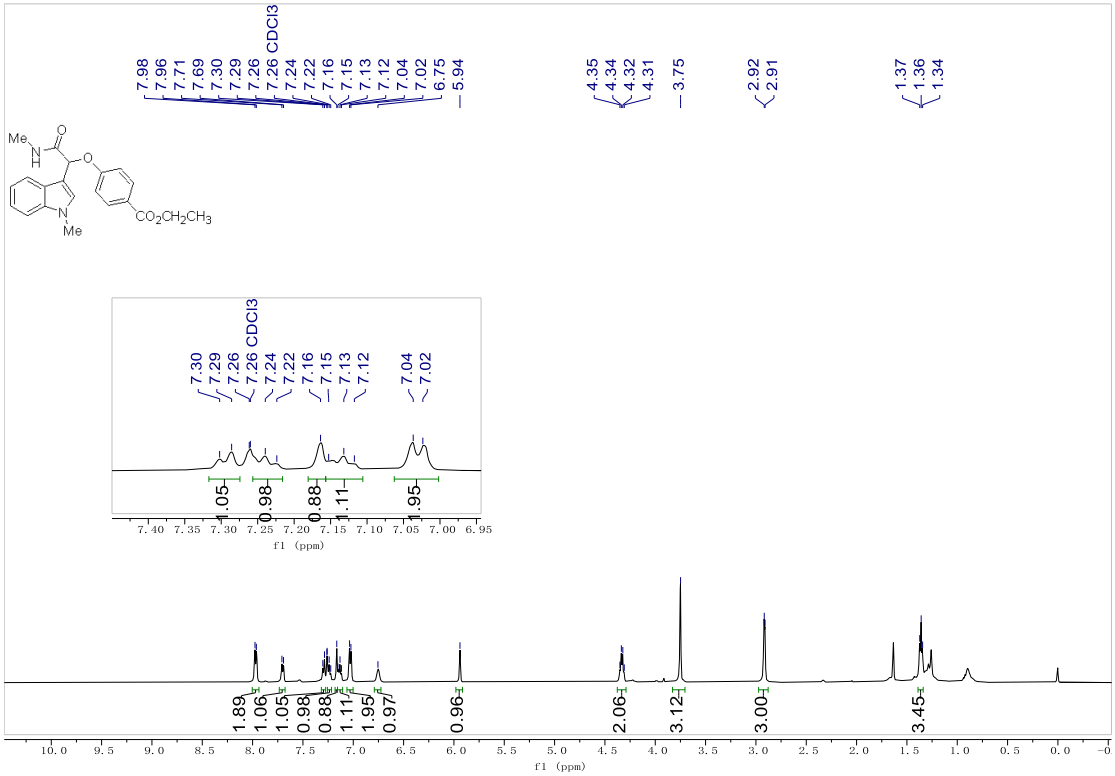


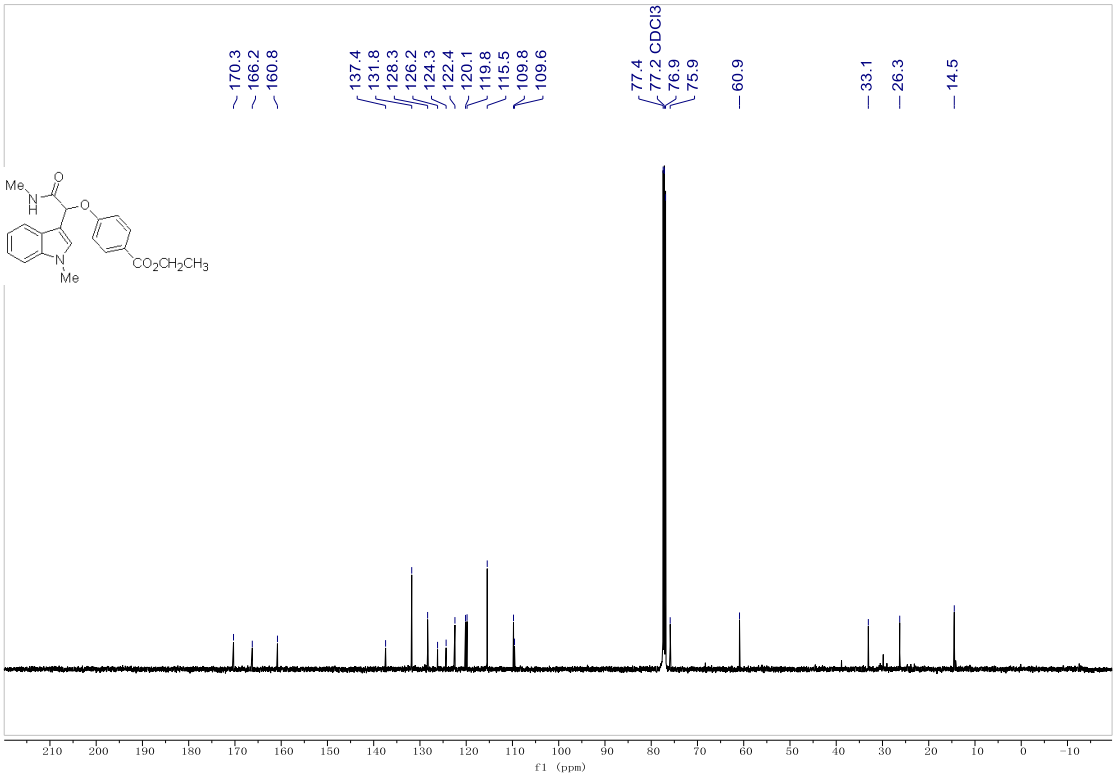
2-(4-cyanophenoxy)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (6f)



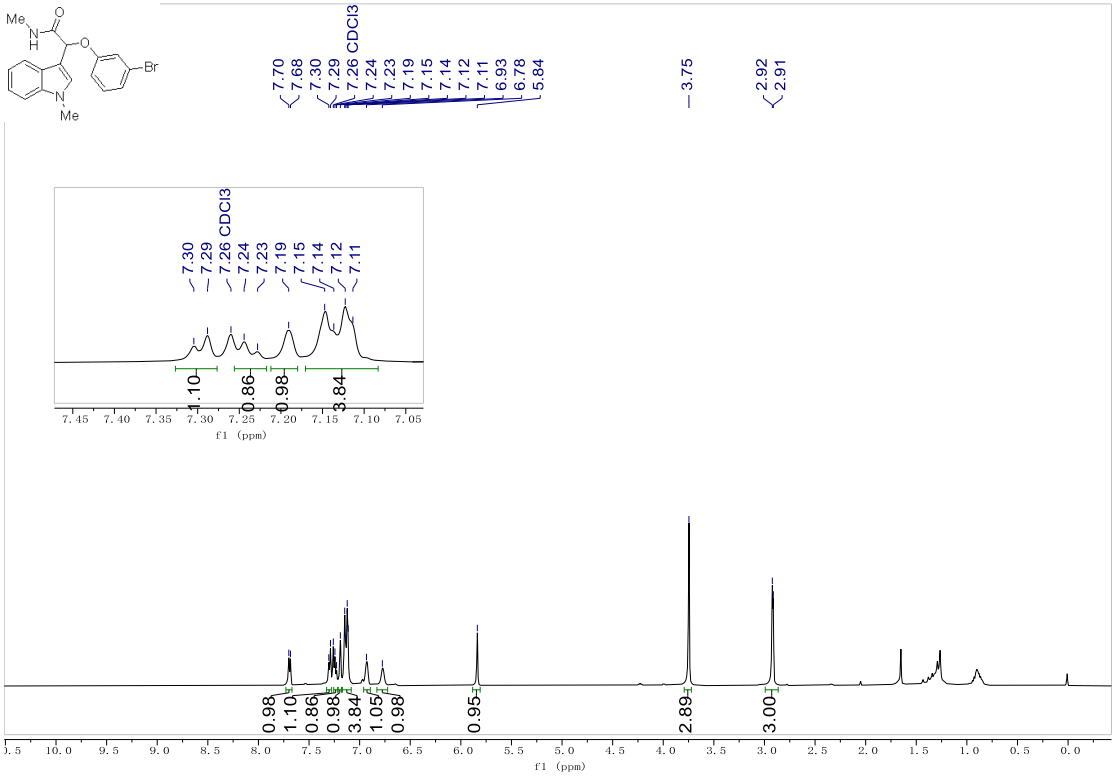


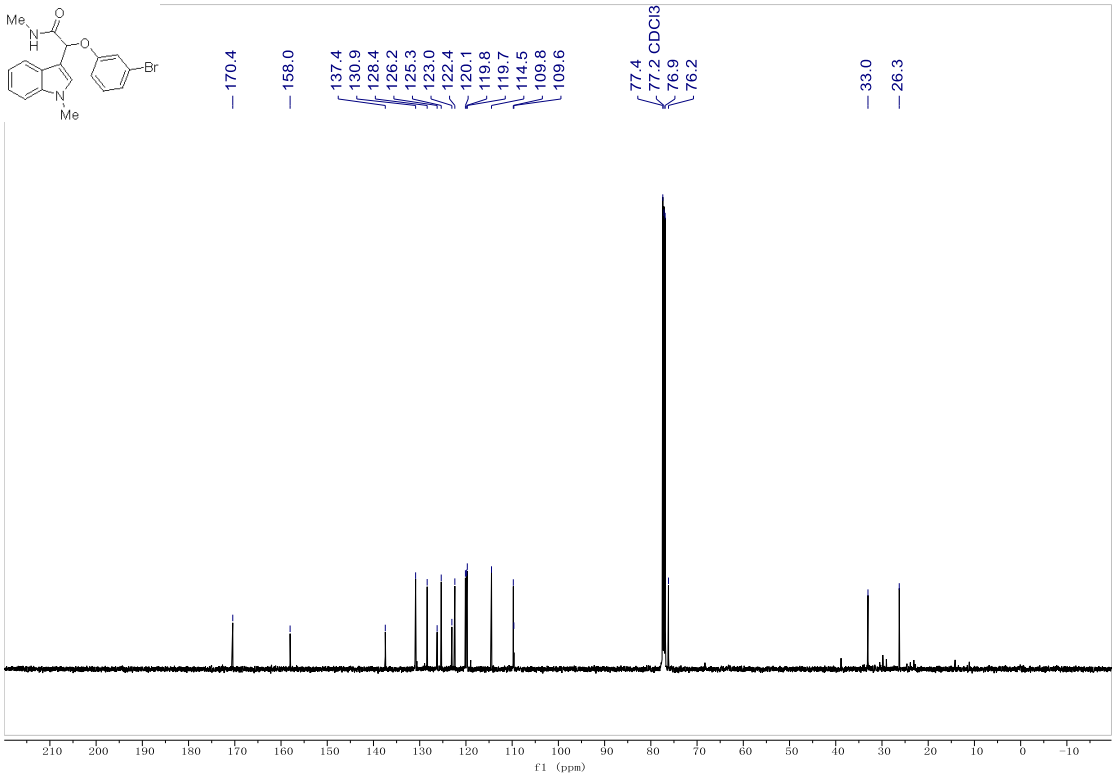
ethyl 4-(1-(1-methyl-1*H*-indol-3-yl)-2-(methylamino)-2-oxoethoxy)benzoate (6g)



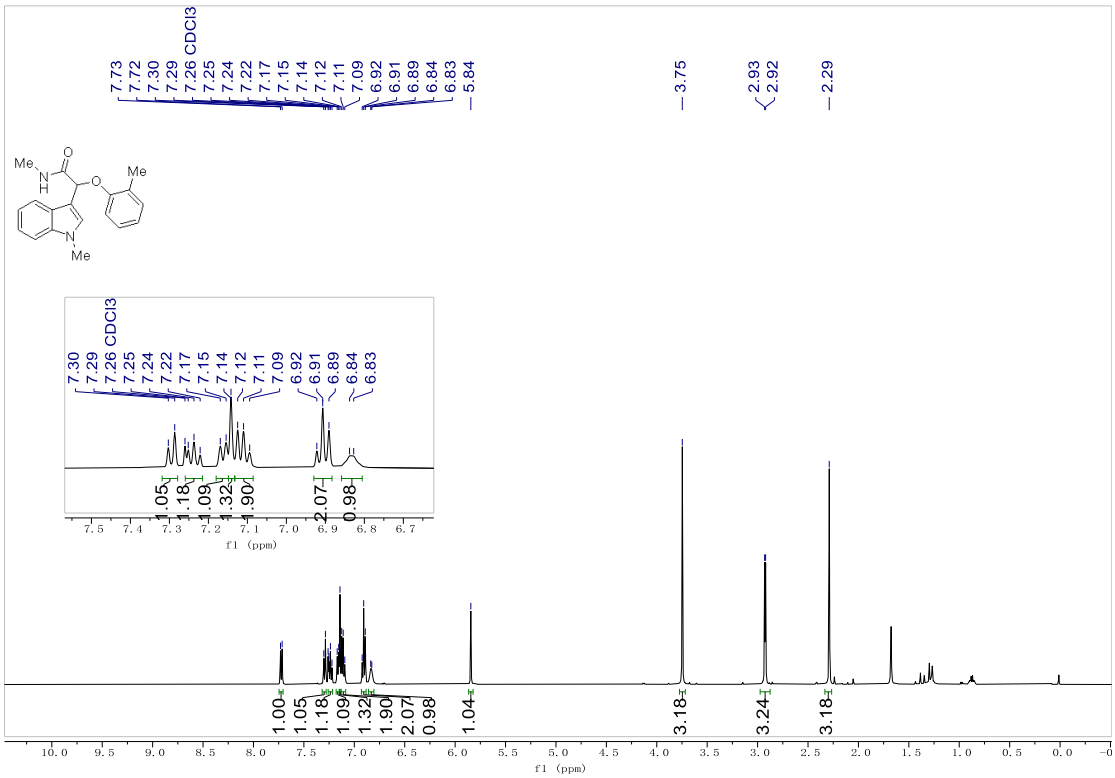


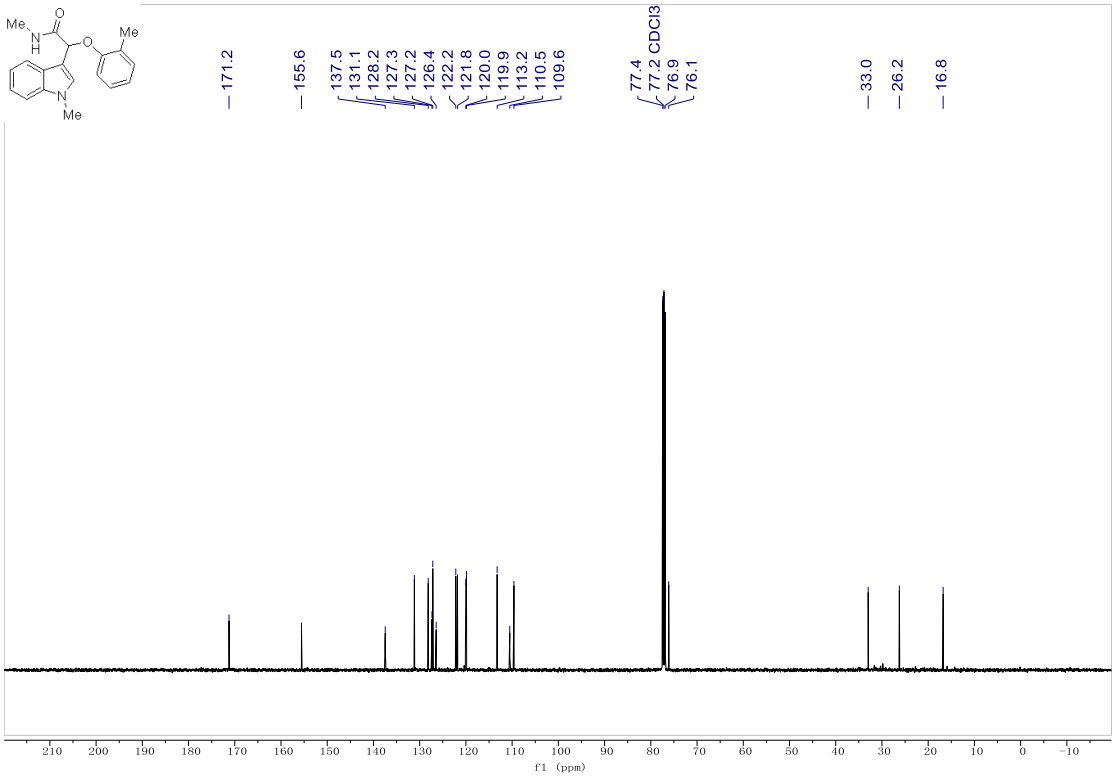
2-(3-bromophenoxy)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (6h)



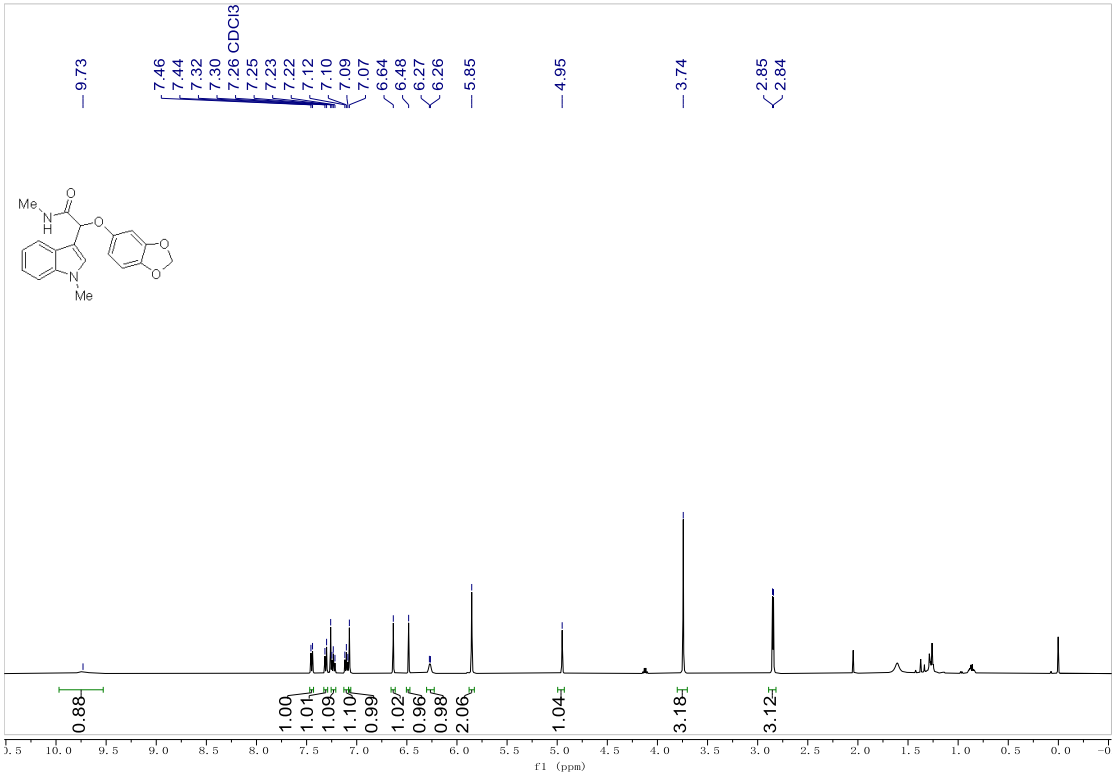


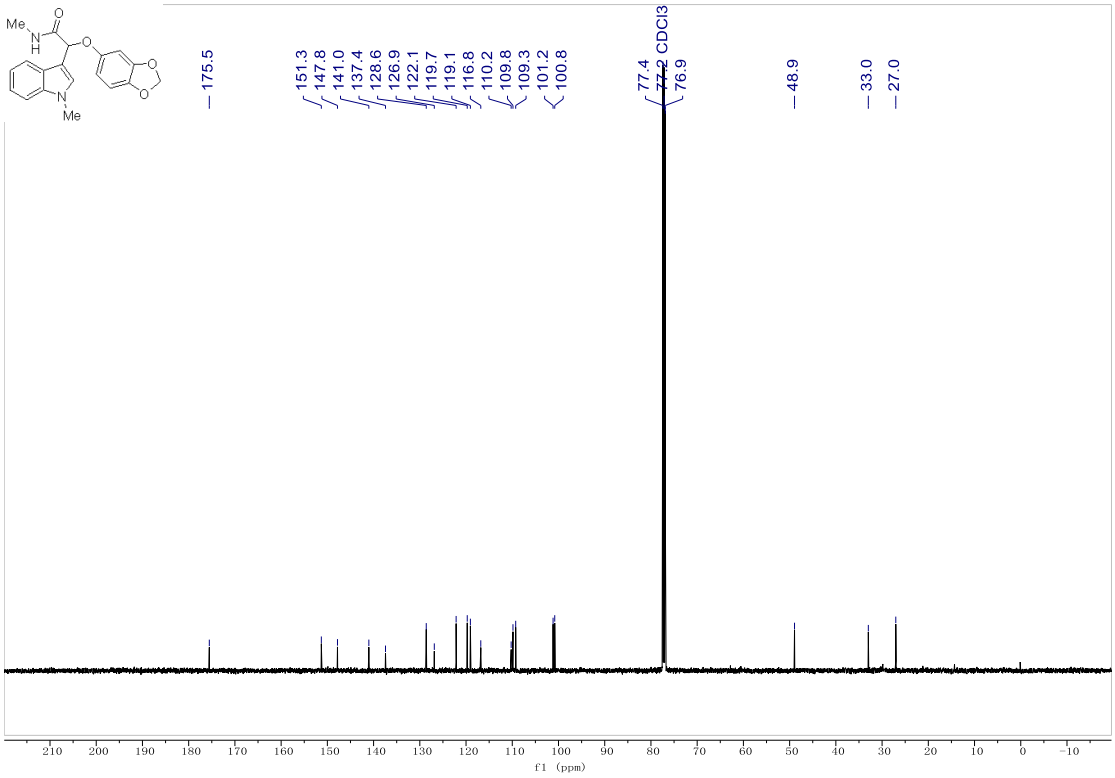
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(*o*-tolyloxy)acetamide (6i)**



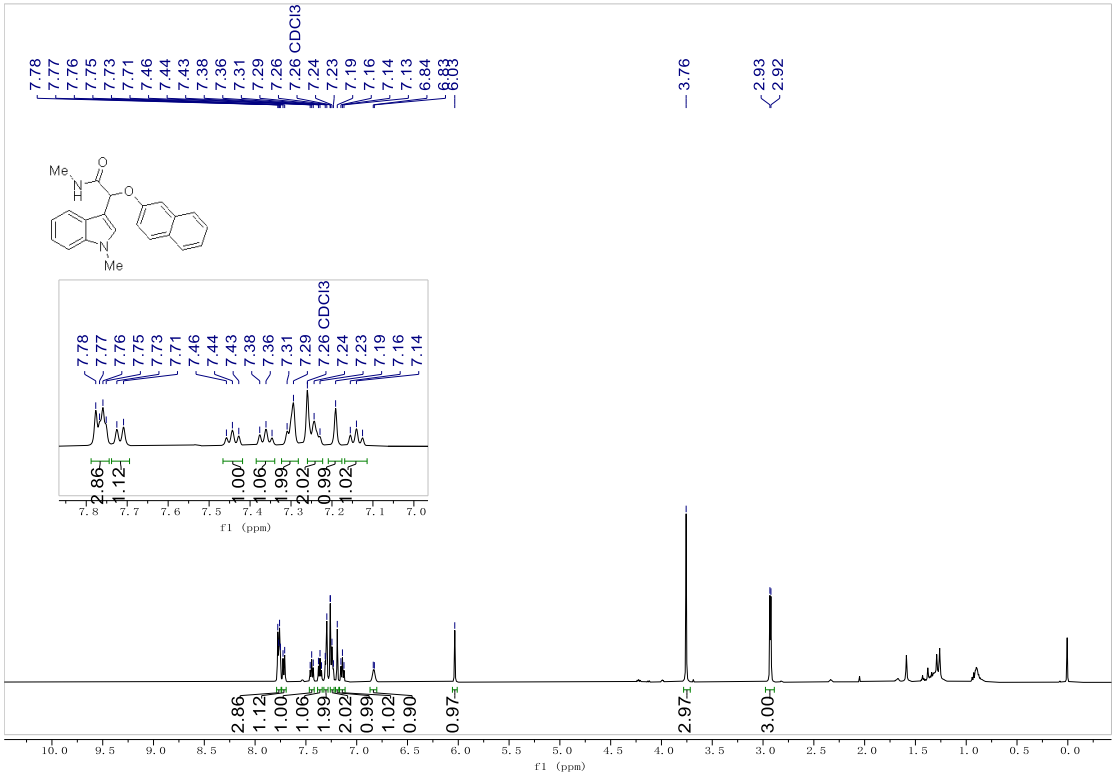


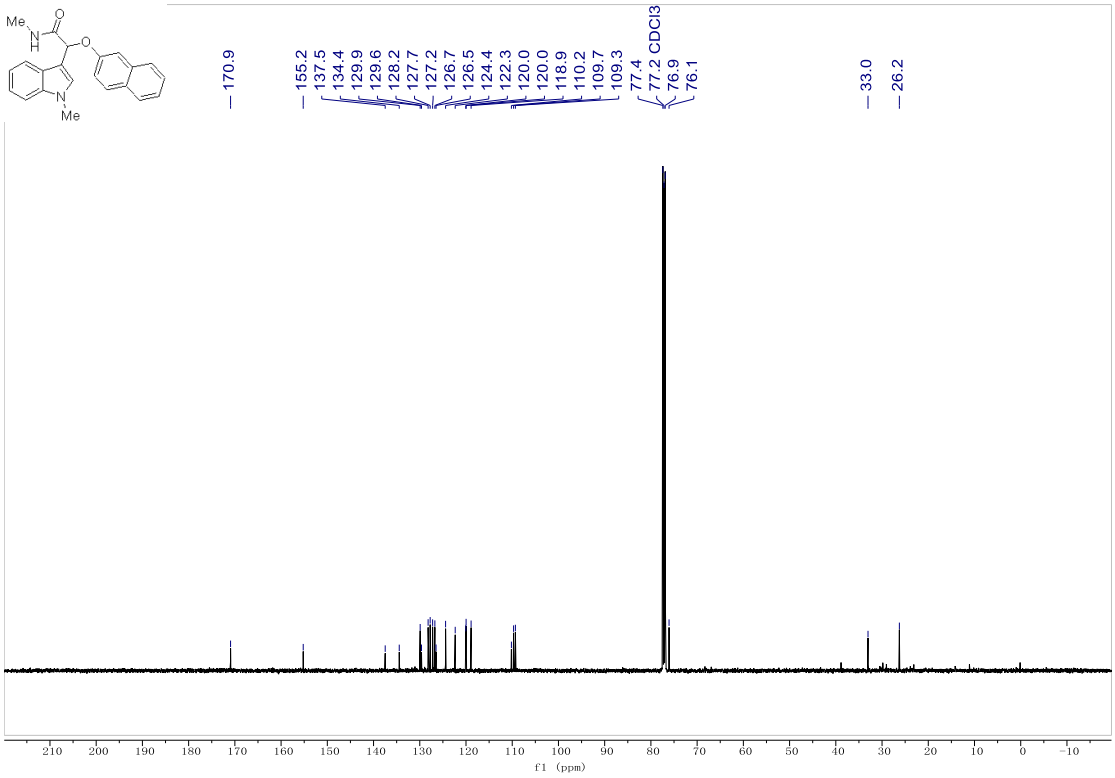
2-(benzo[d][1,3]dioxol-5-yloxy)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (6j)



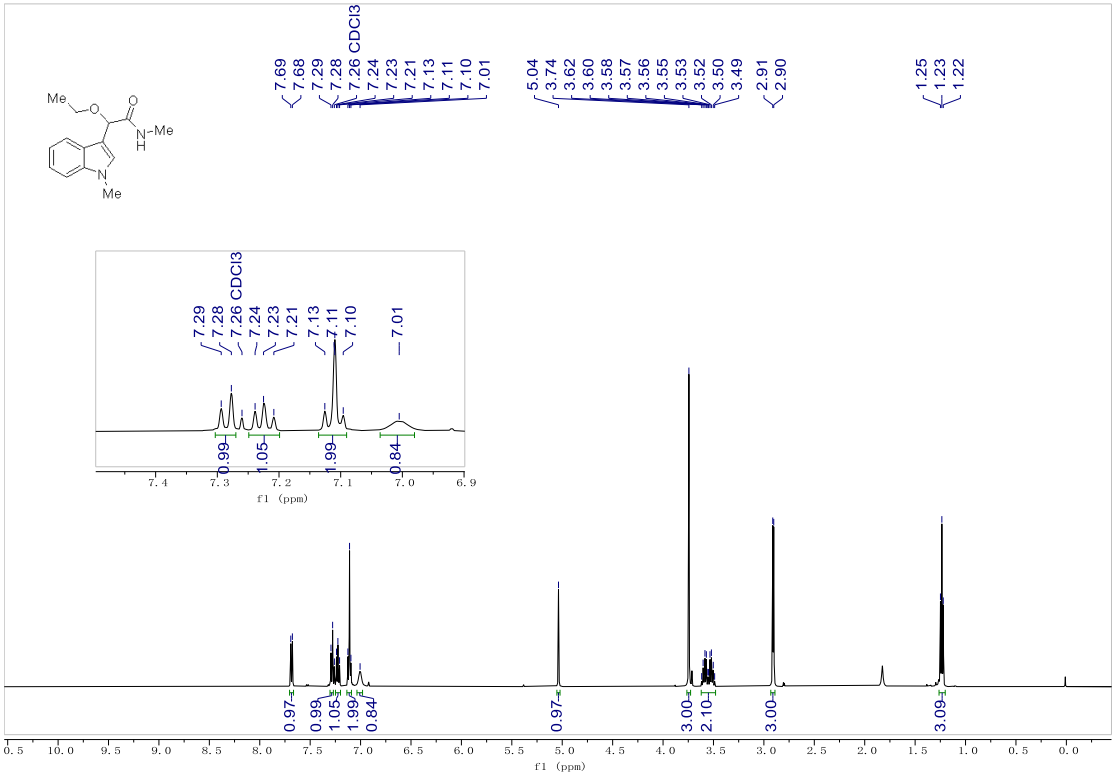


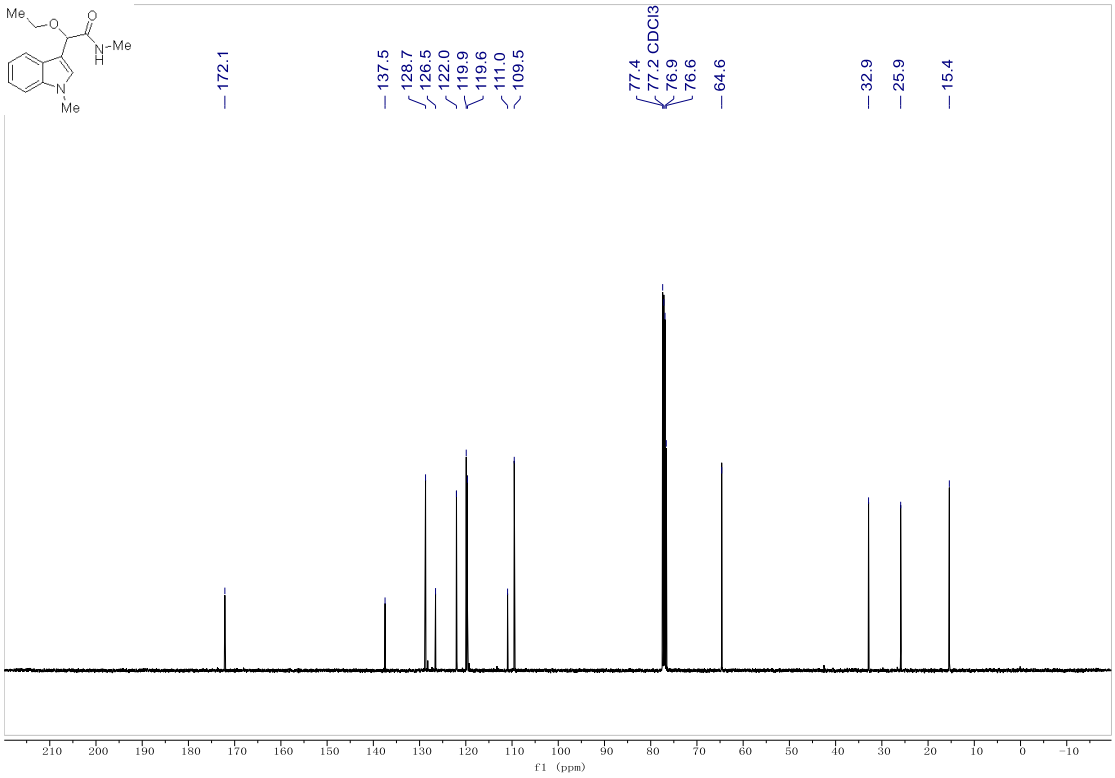
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(naphthalen-2-yloxy) acetamide (6k)**



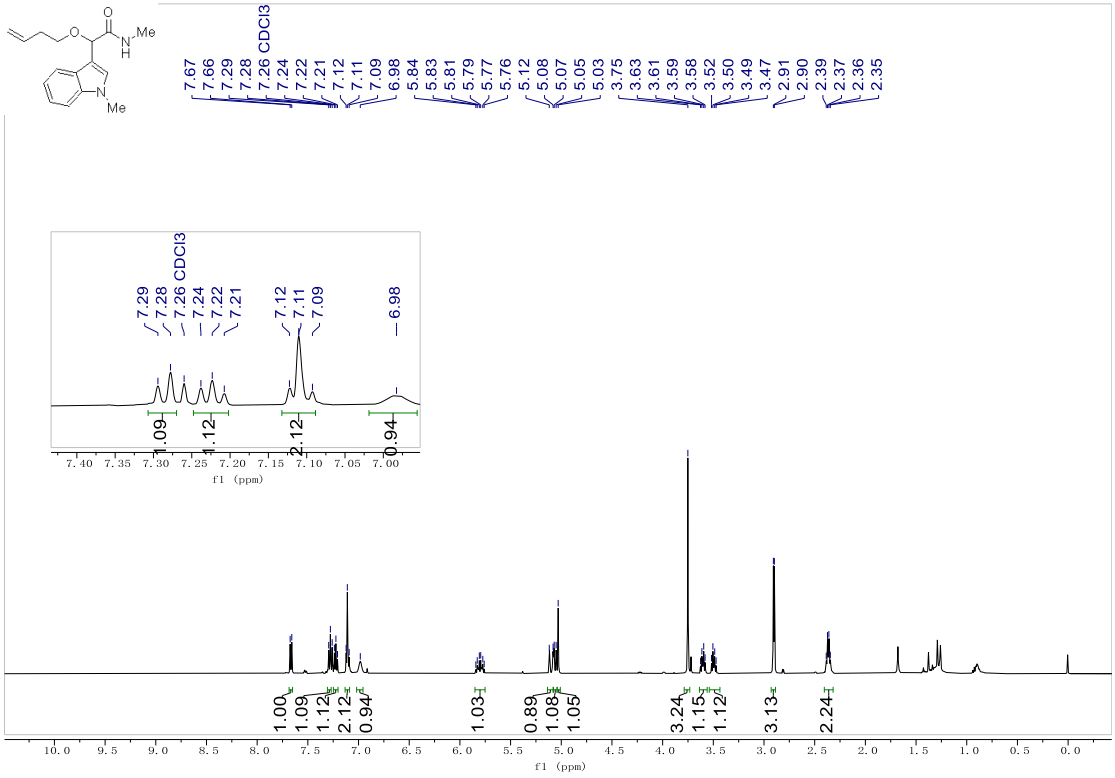


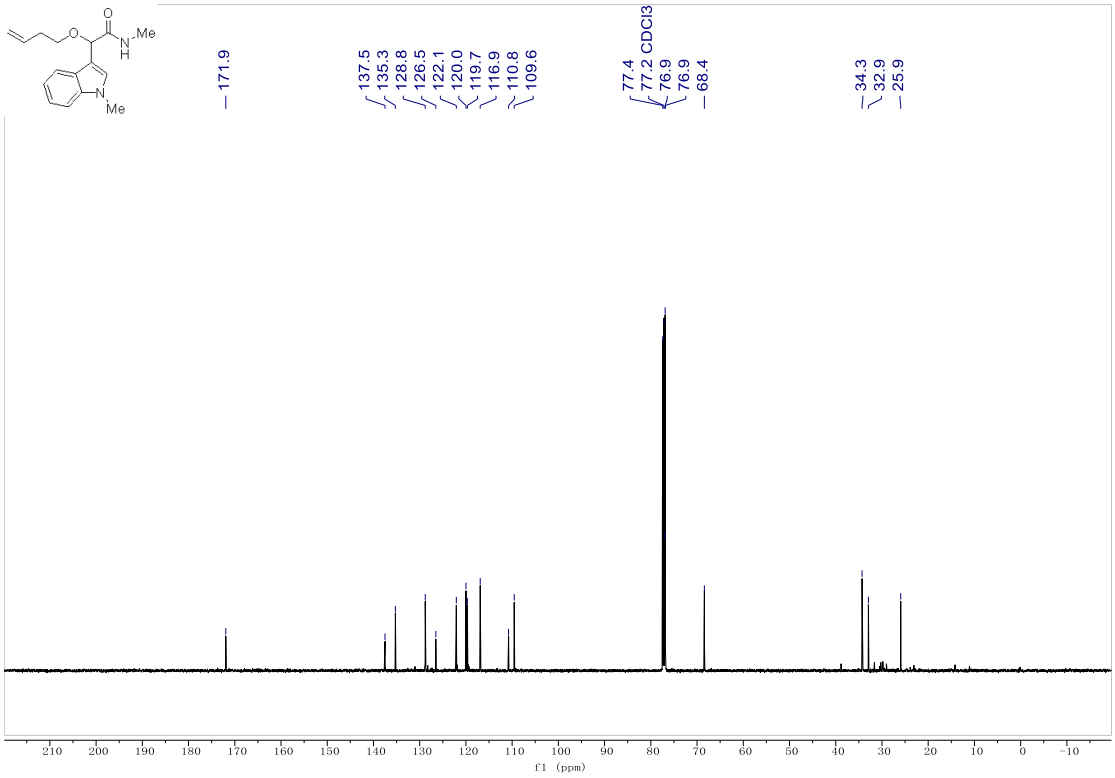
2-ethoxy-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (6l)



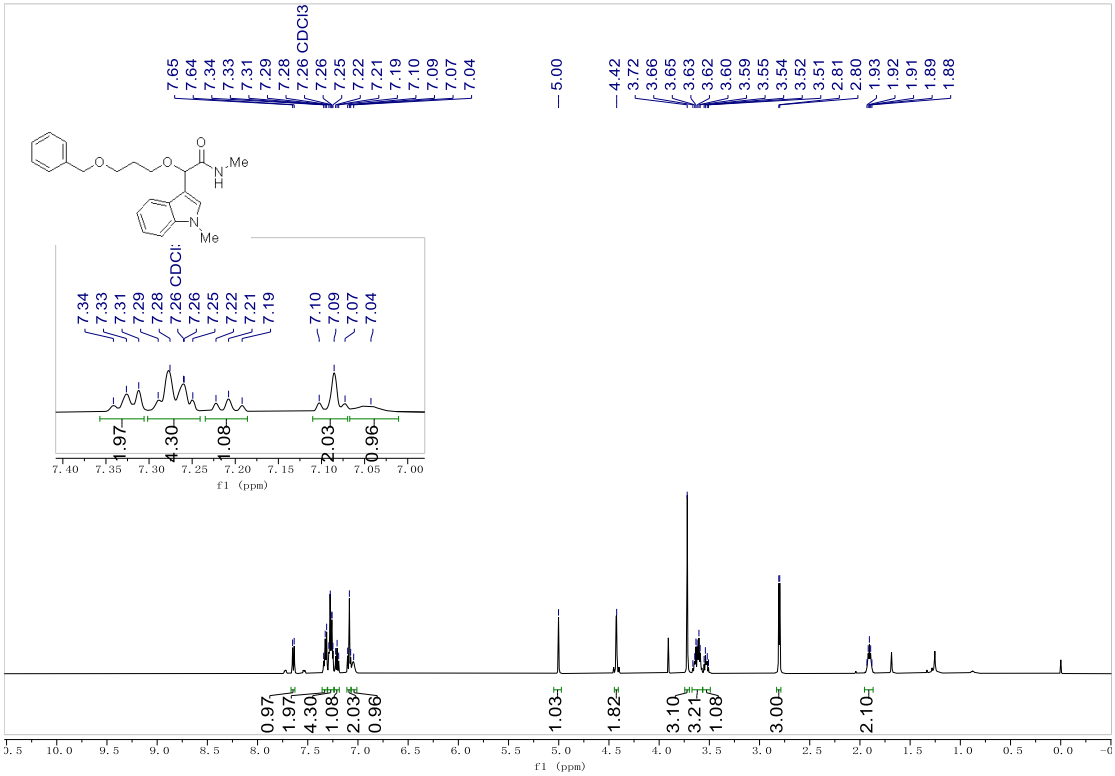


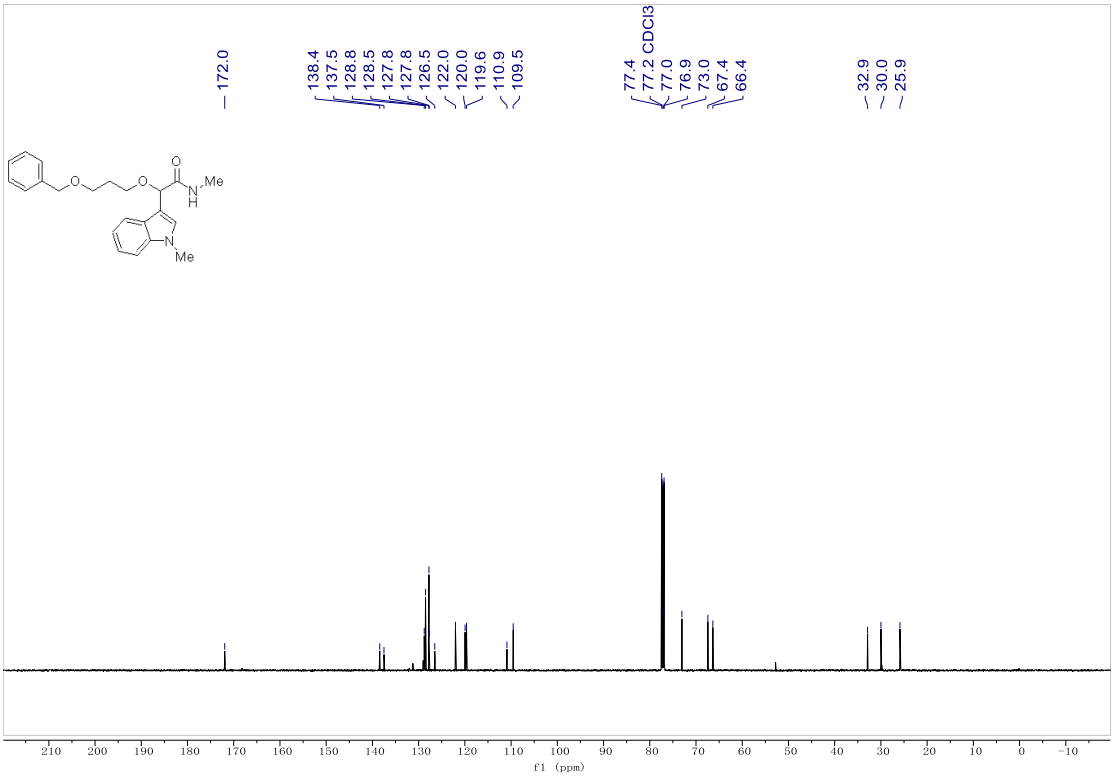
2-(but-3-en-1-yloxy)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (6m)



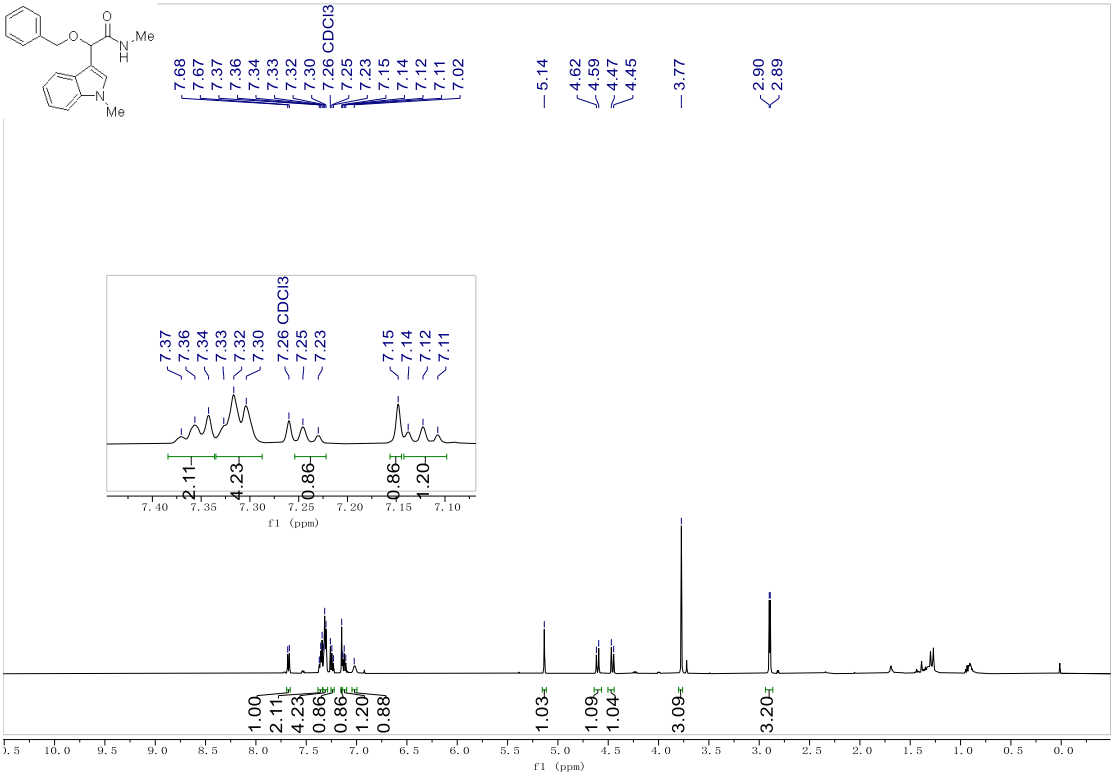


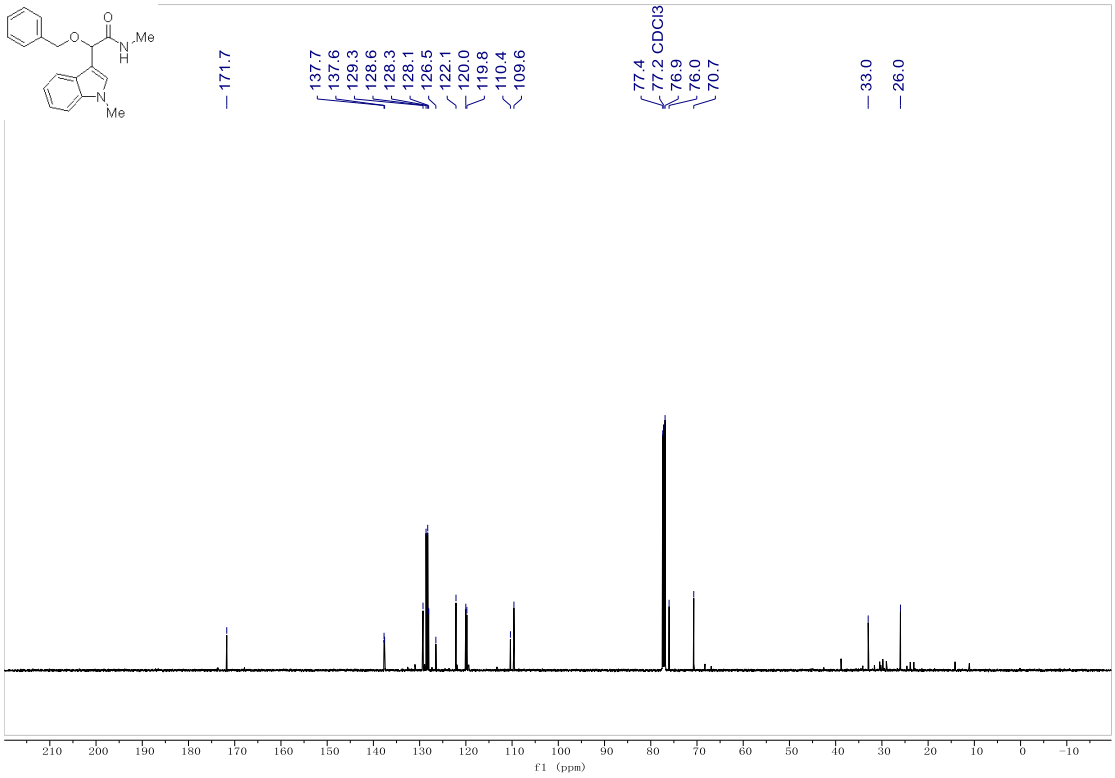
2-(3-(benzyloxy)propoxy)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (6n)



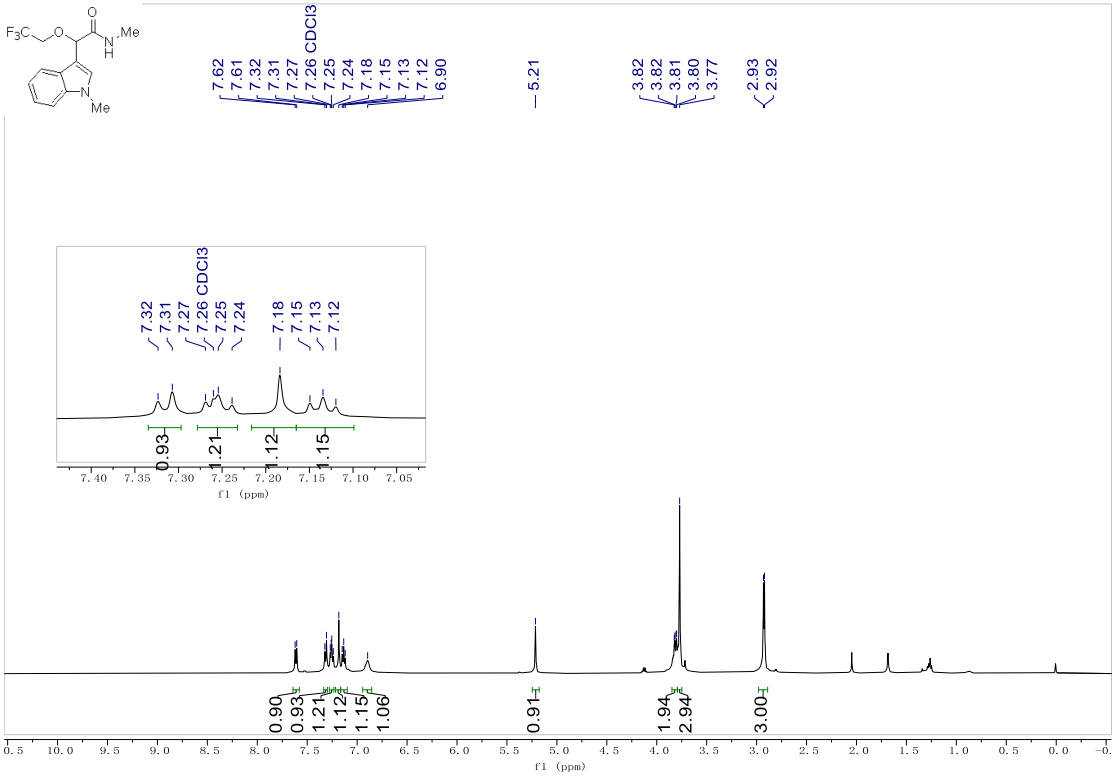


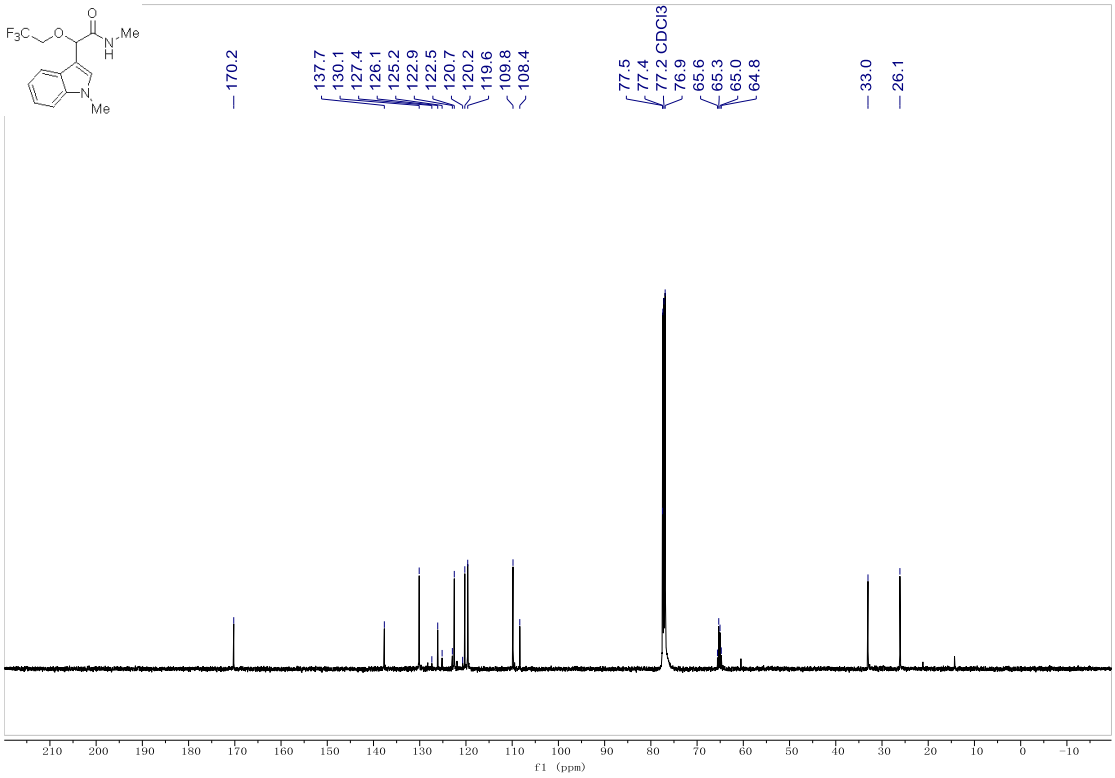
2-(benzyloxy)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (6o)

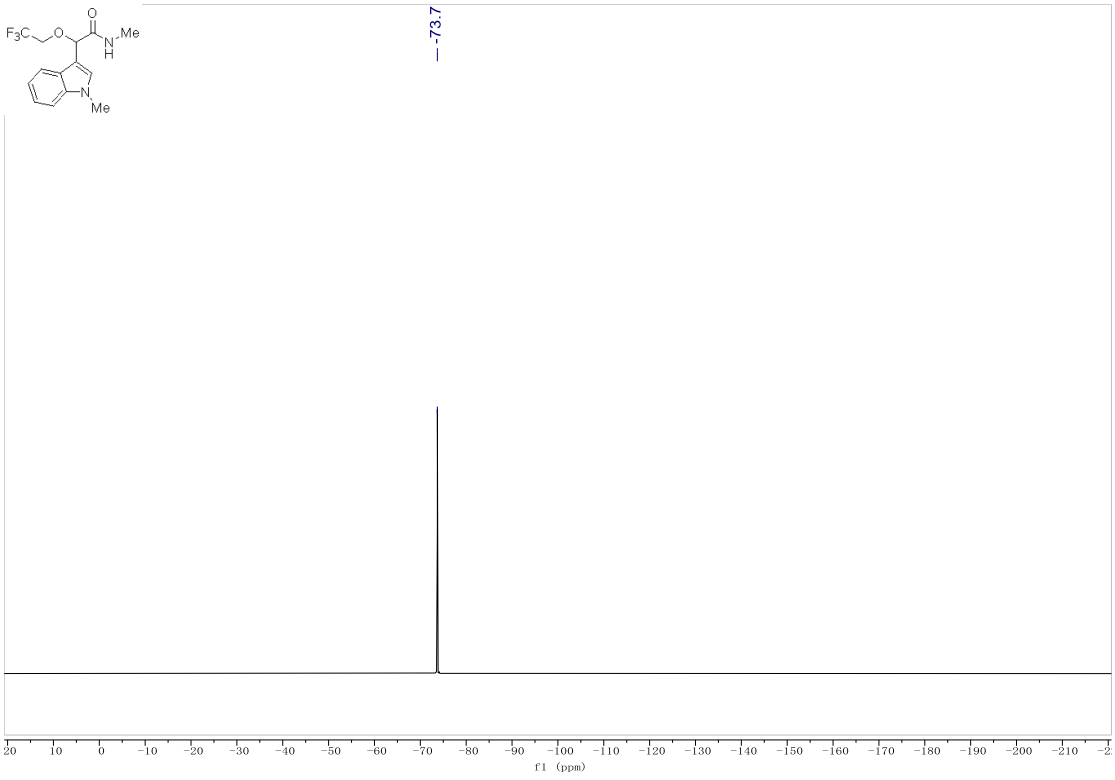




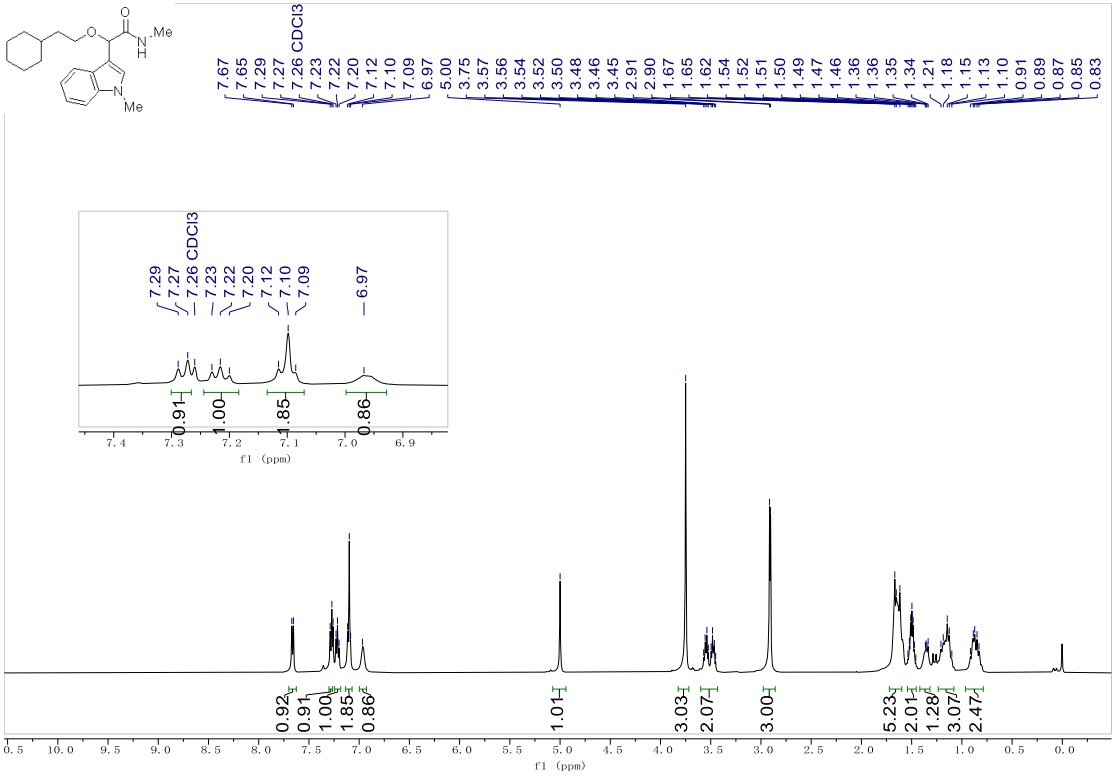
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(2,2,2-trifluoroethoxy)acetamide (6p)**

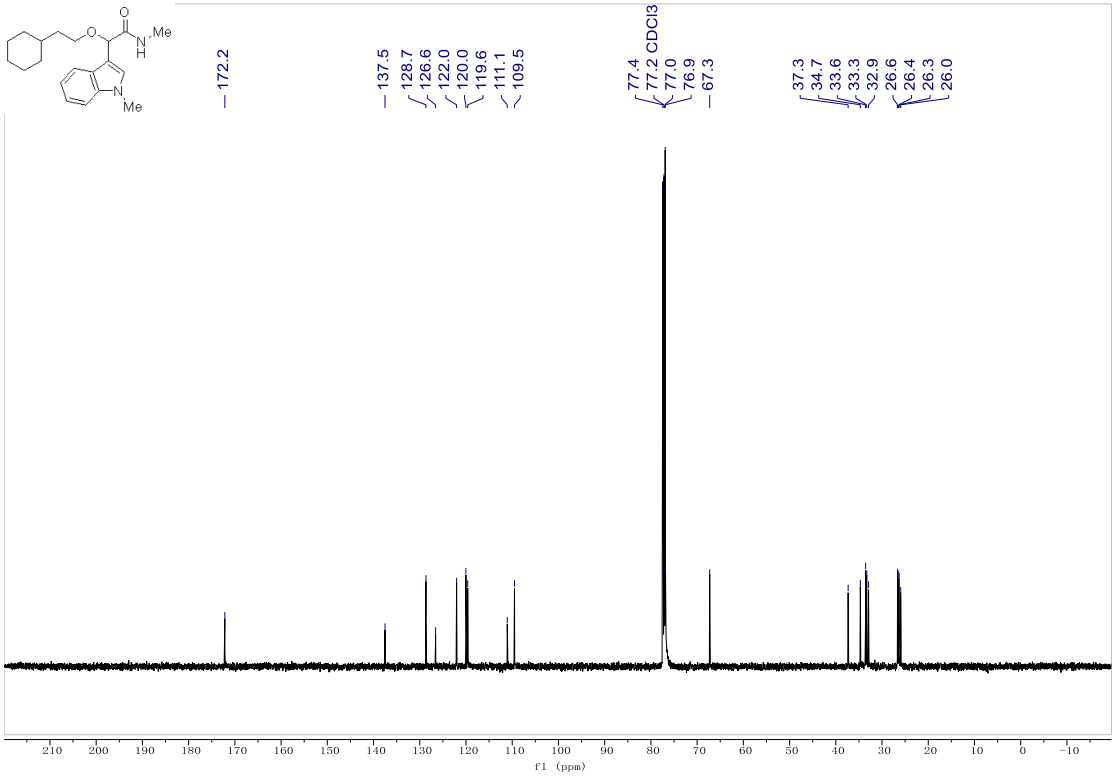



F3C
O
N
H
Me
Me
170.2
137.7
130.1
127.4
126.1
125.2
122.9
122.5
120.7
120.2
119.6
109.8
108.4
77.5
77.4
77.2 CDCl3
76.9
65.6
65.3
65.0
64.8
33.0
26.1
f1 (ppm)

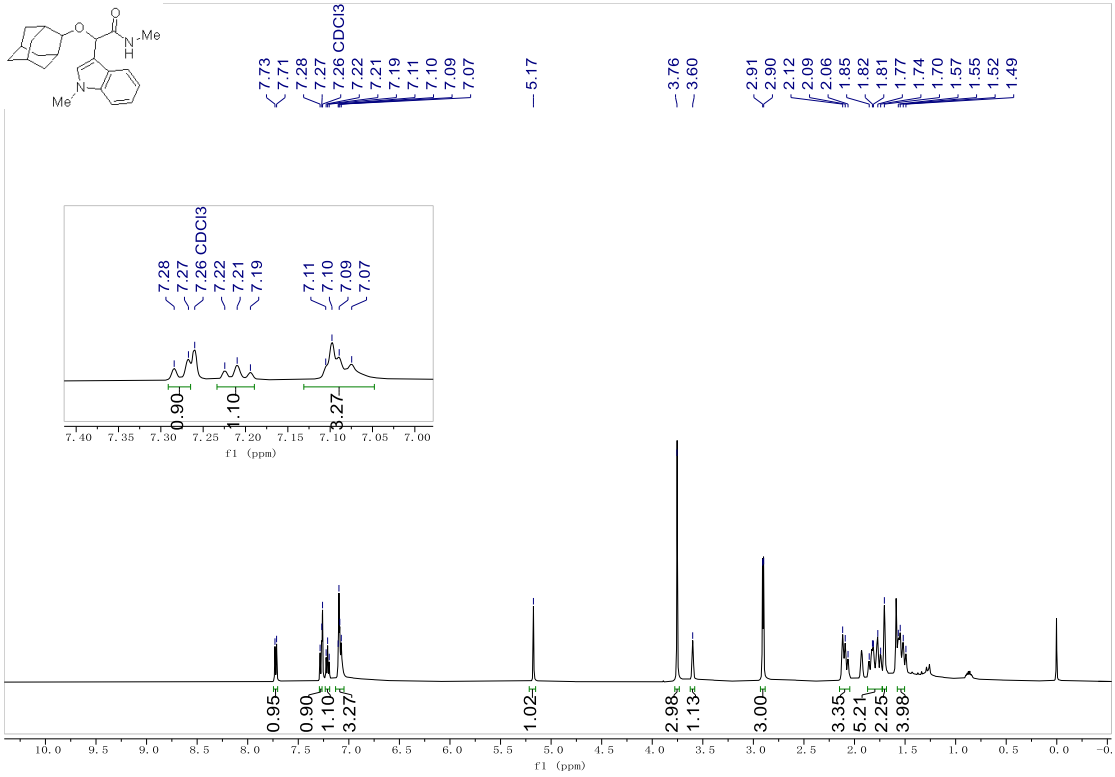

F3C
O
N
H
Me
Me
-73.7
f1 (ppm)

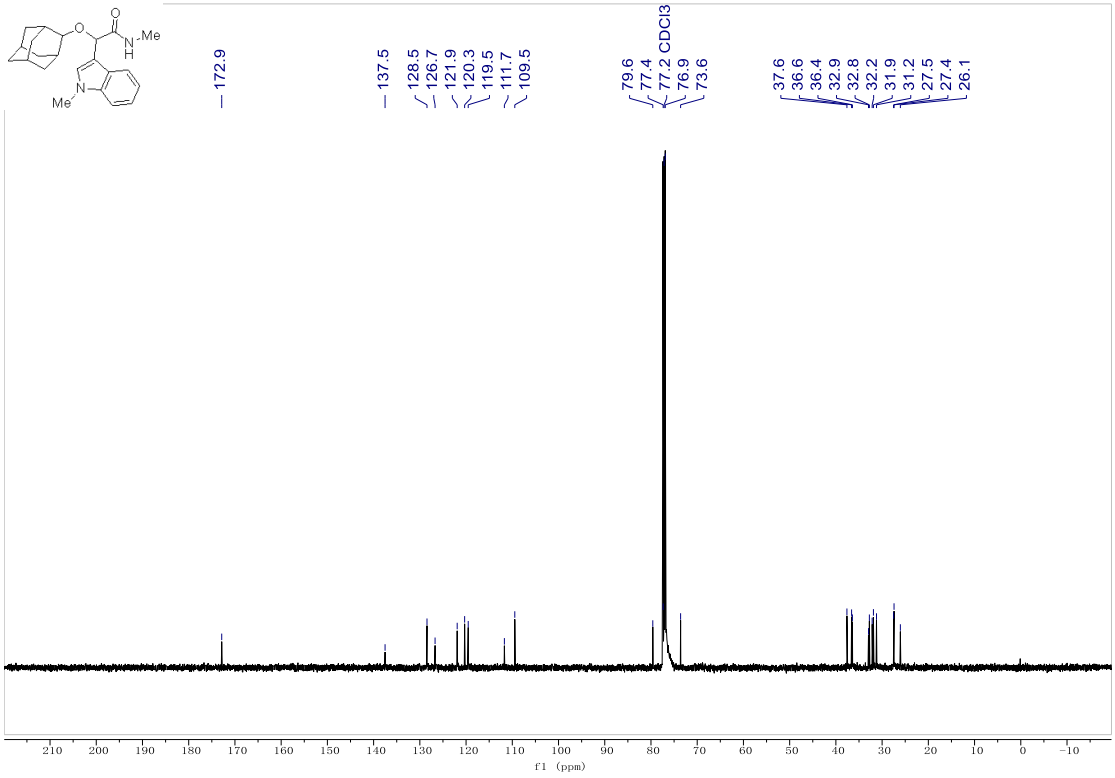
2-(2-cyclohexylethoxy)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl) acetamide (6q)



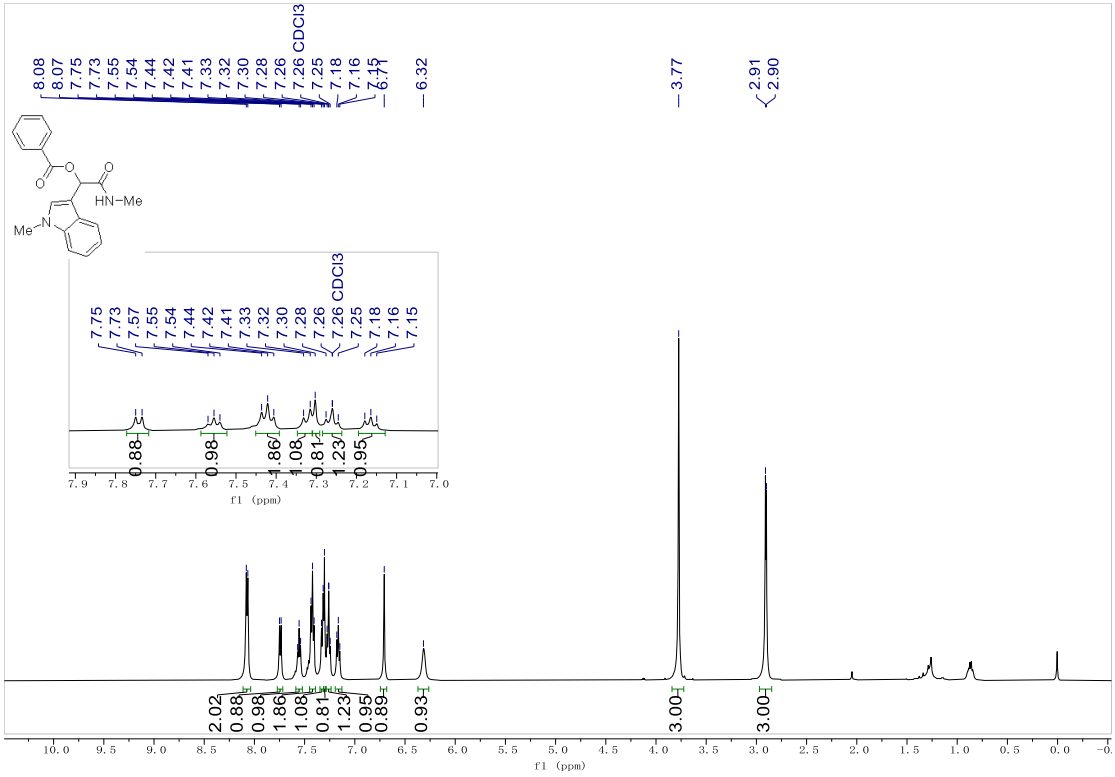


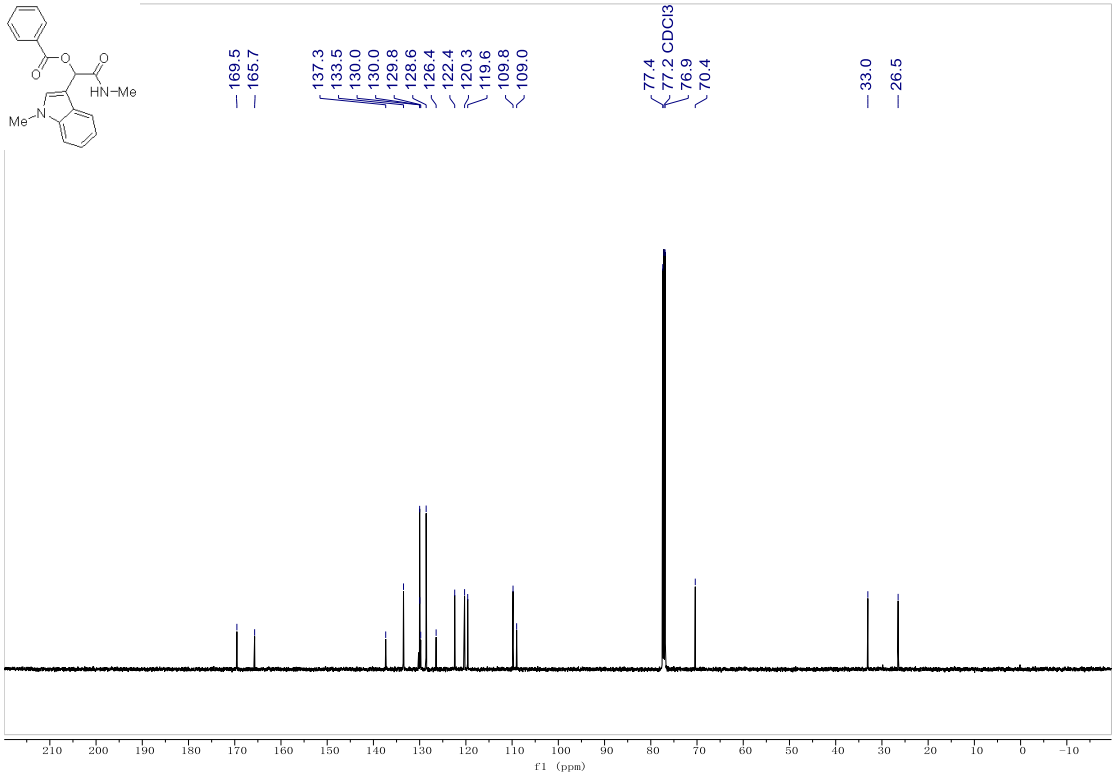
2-(((1r,3r,5r,7r)-adamantan-2-yl)oxy)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (6r)



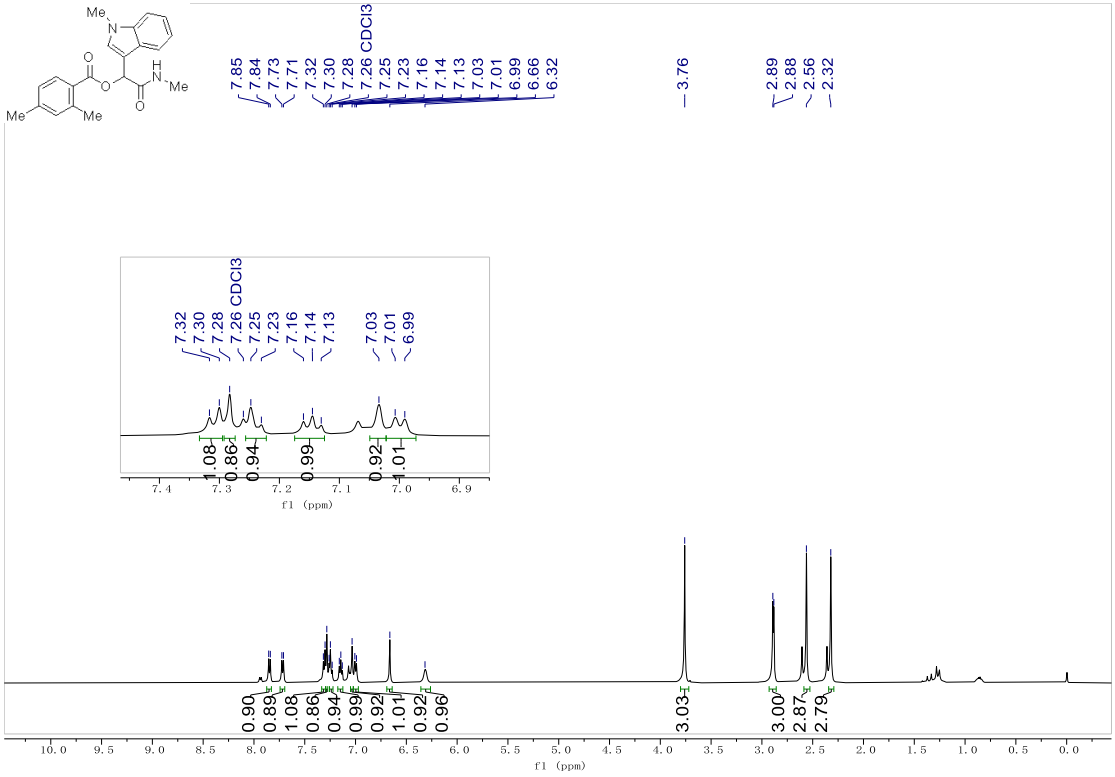


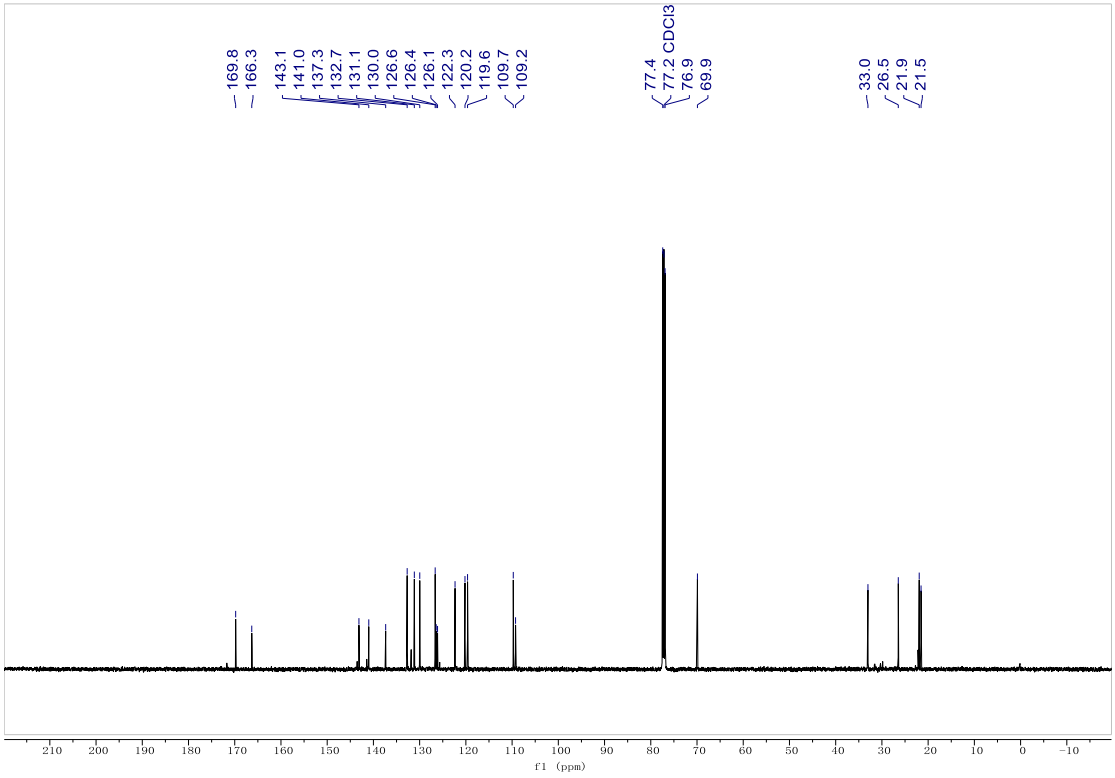
1-(1-methyl-1*H*-indol-3-yl)-2-(methylamino)-2-oxoethyl benzoate (7a)



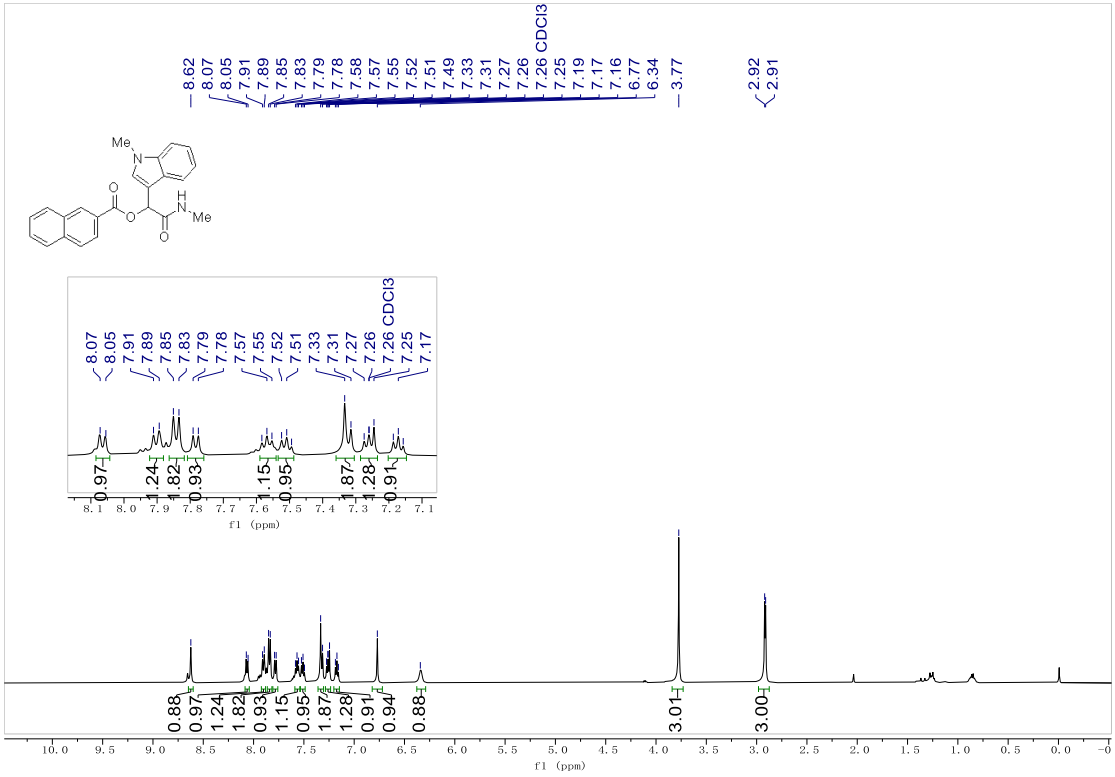


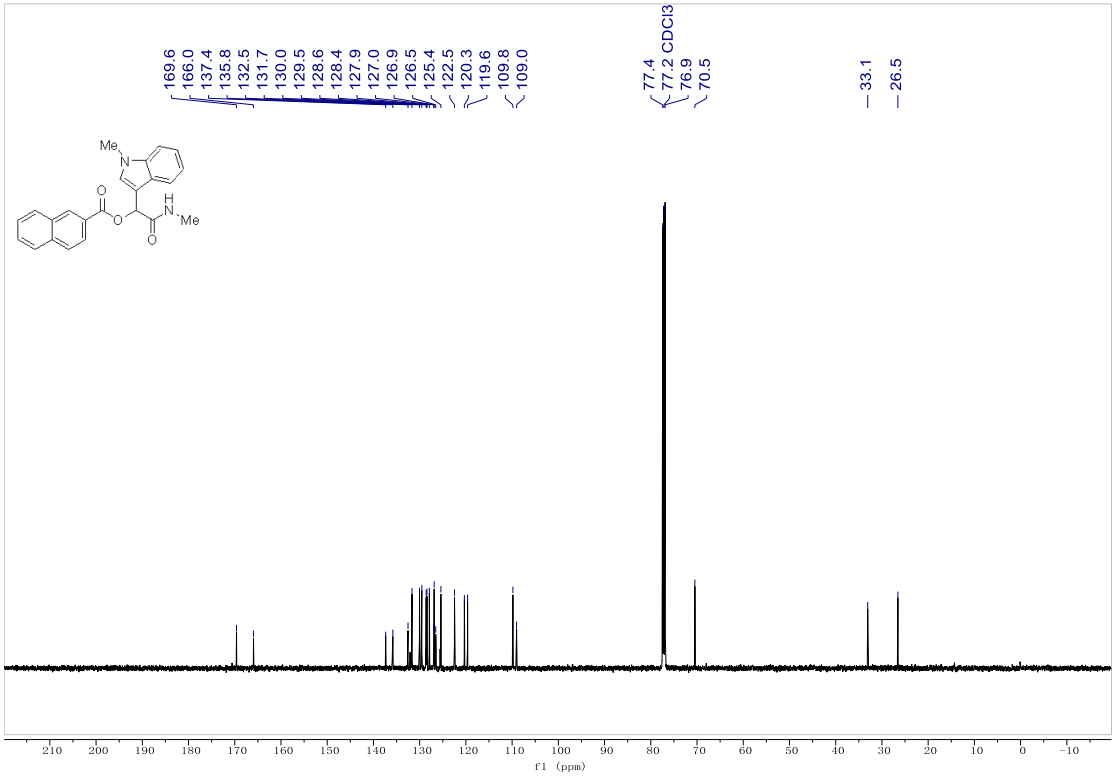
1-(1-methyl-1*H*-indol-3-yl)-2-(methylamino)-2-oxoethyl 2,4-dimethylbenzoate (7b)



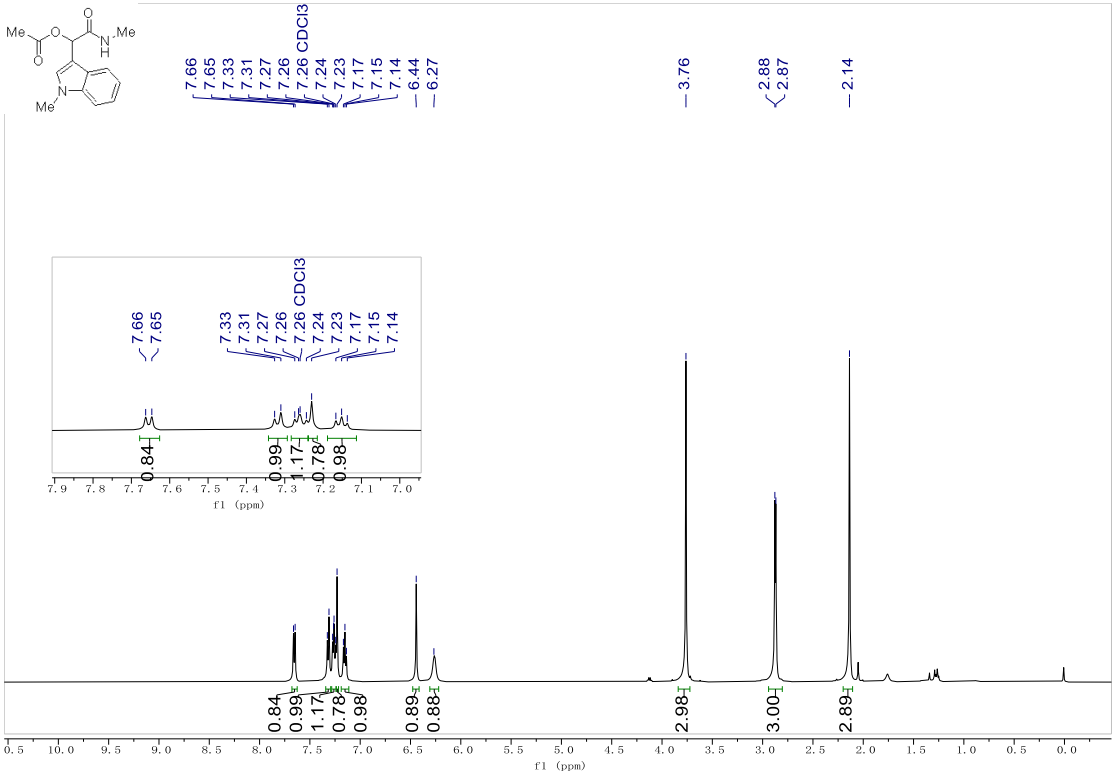


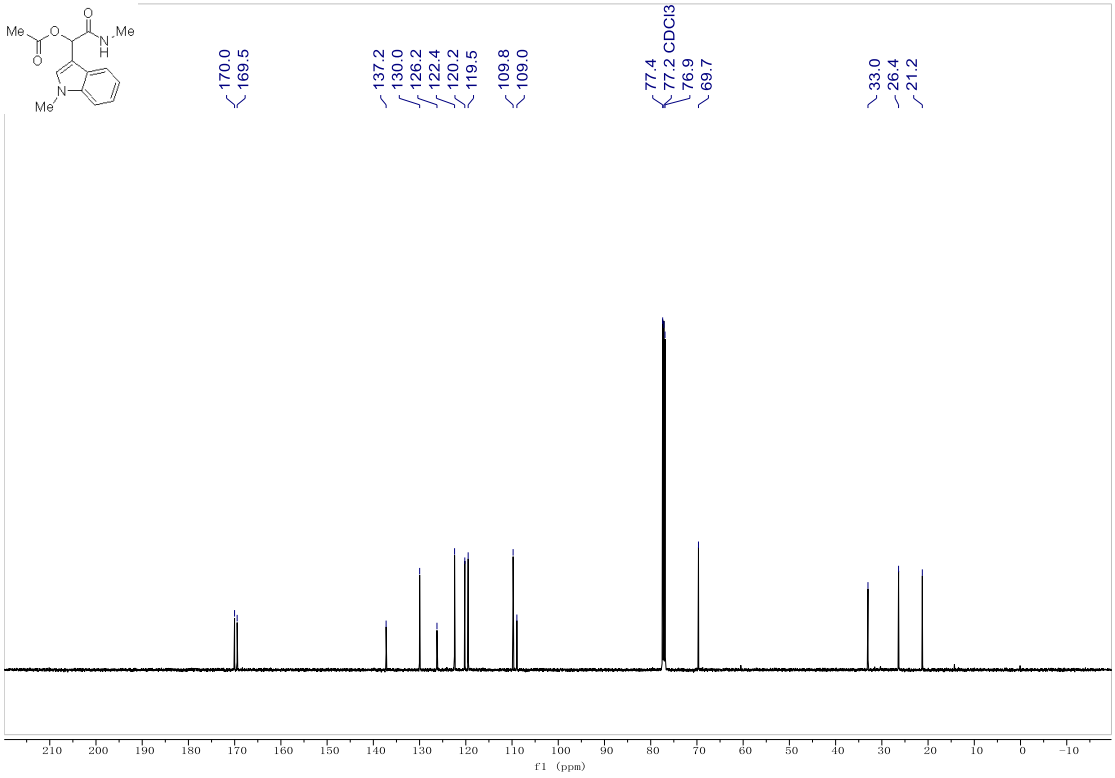
1-(1-methyl-1*H*-indol-3-yl)-2-(methylamino)-2-oxoethyl 2-naphthoate (7c)



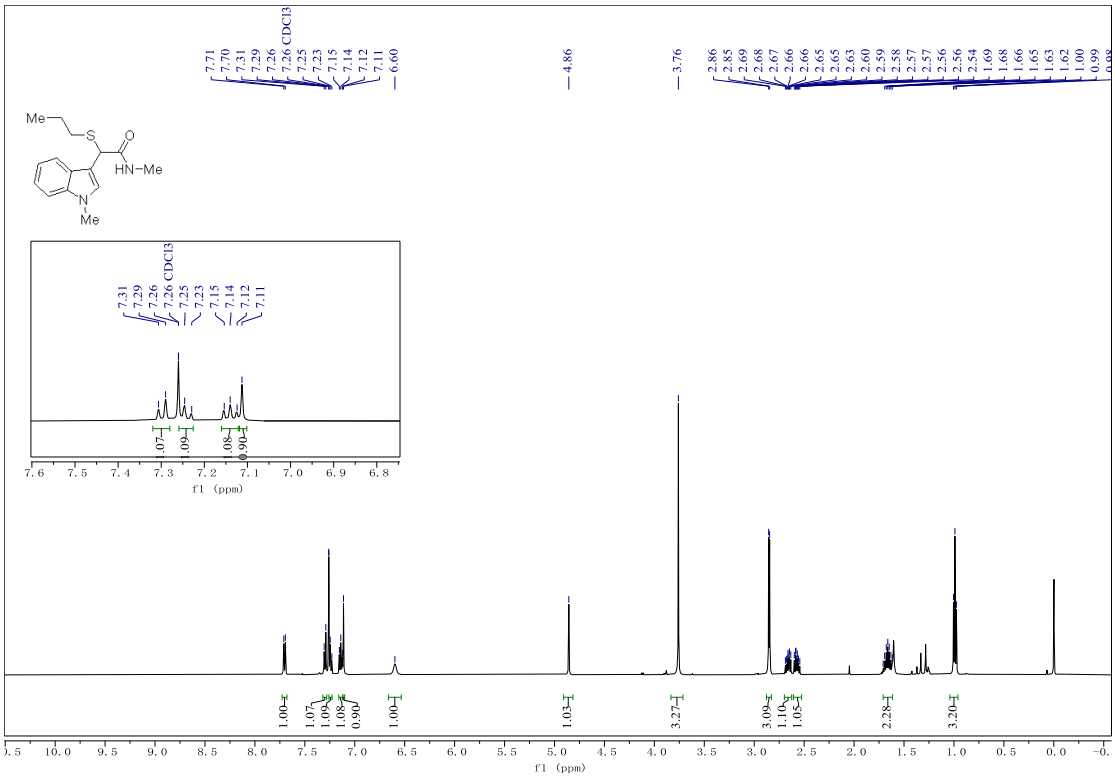


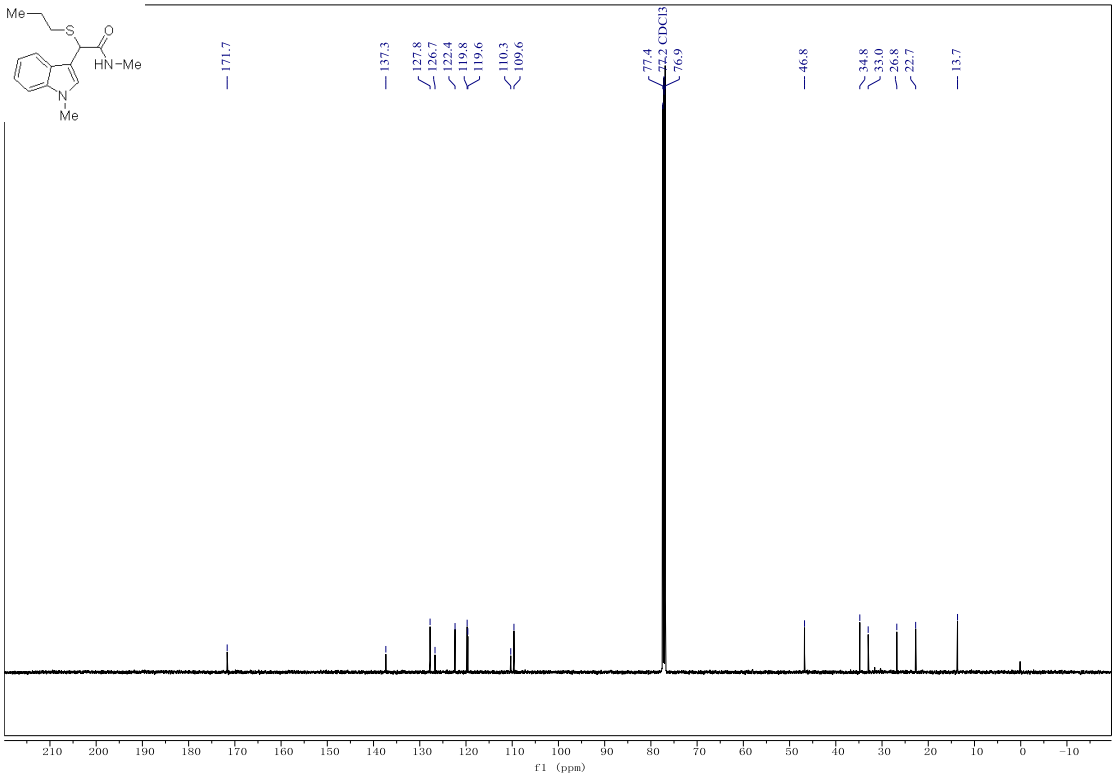
1-(1-methyl-1H-indol-3-yl)-2-(methylamino)-2-oxoethyl acetate (7d)



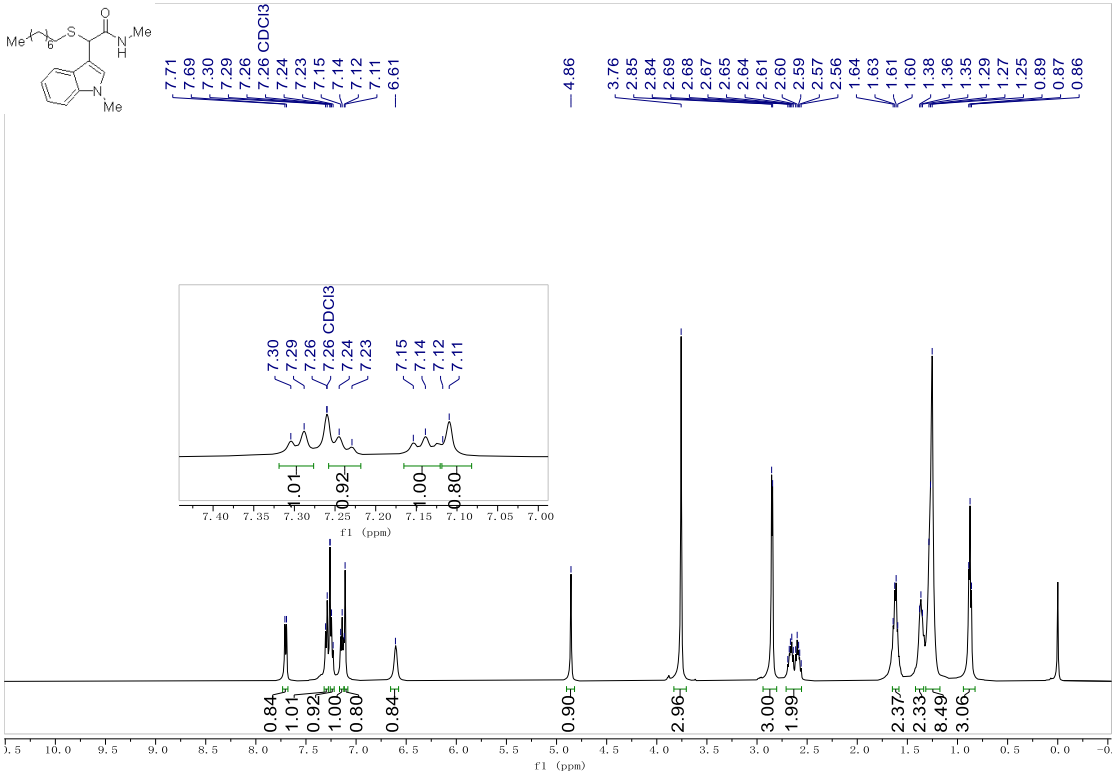


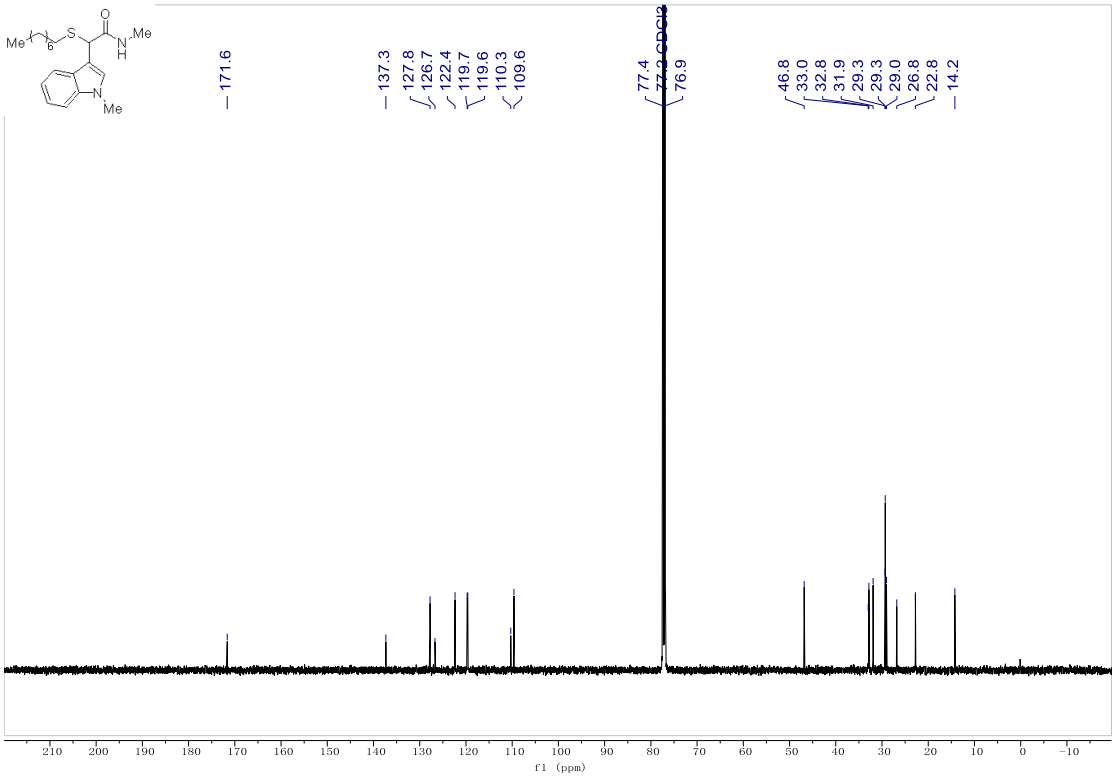
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(propylthio)acetamide (8a)**



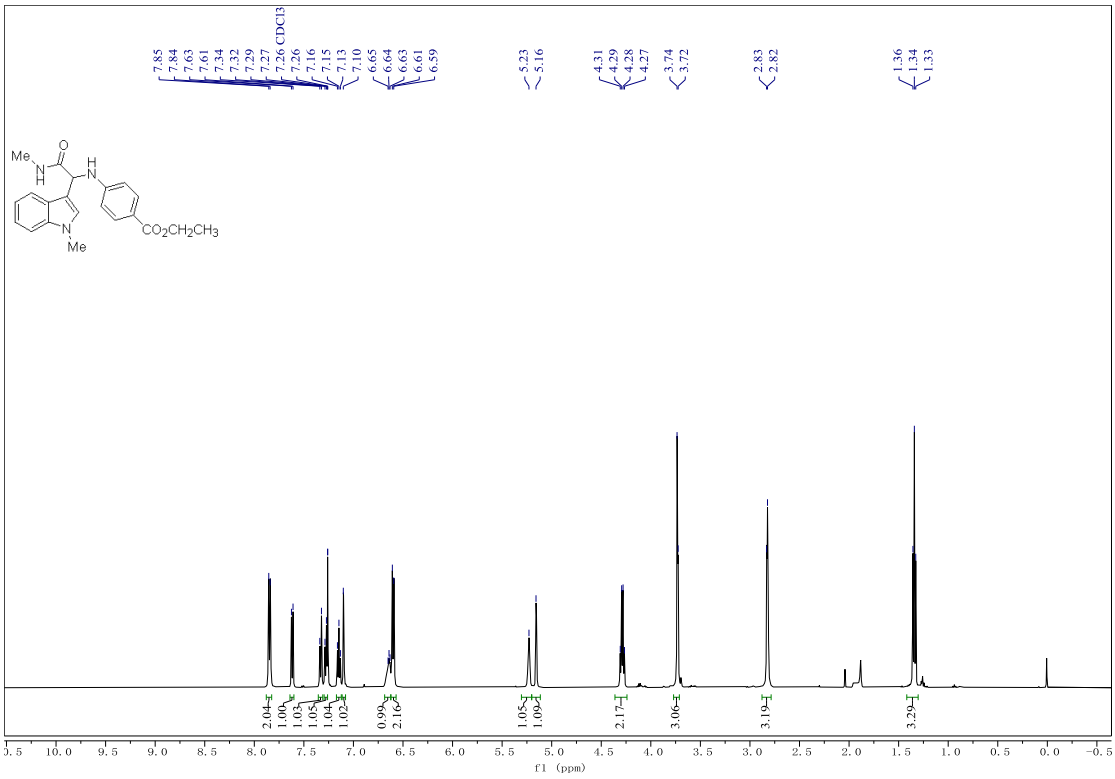


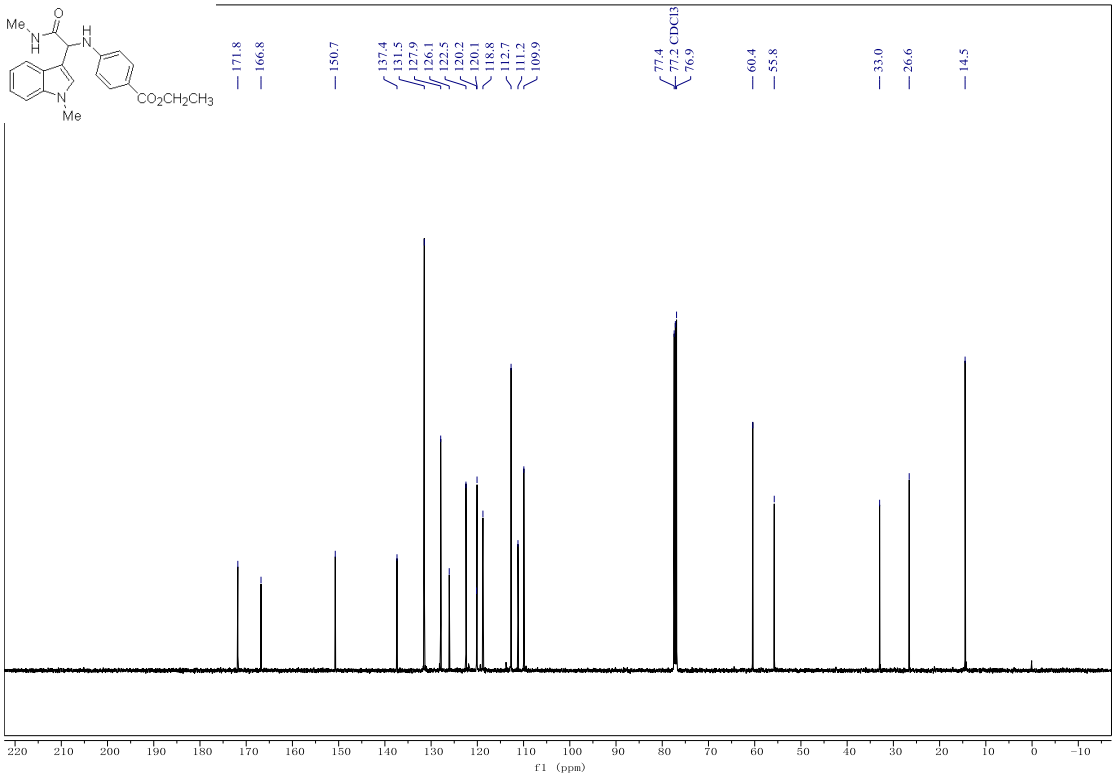
***N*-methyl-2-(1-methyl-1*H*-indol-3-yl)-2-(octylthio)acetamide (8b)**



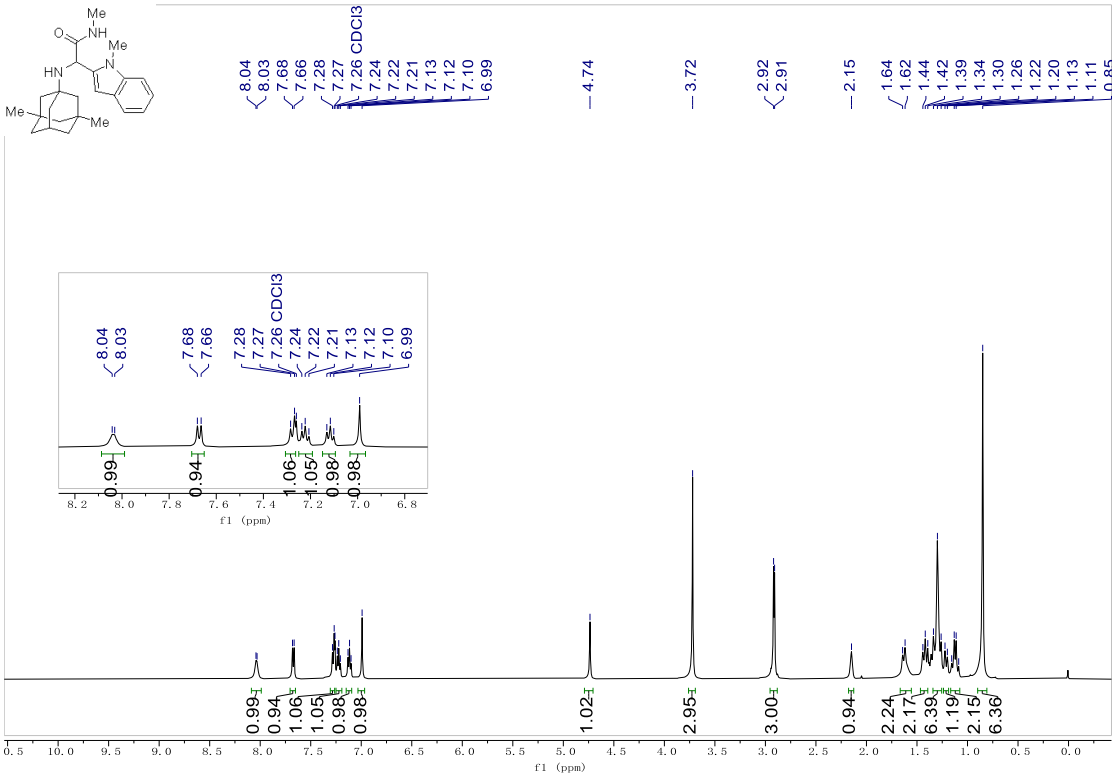


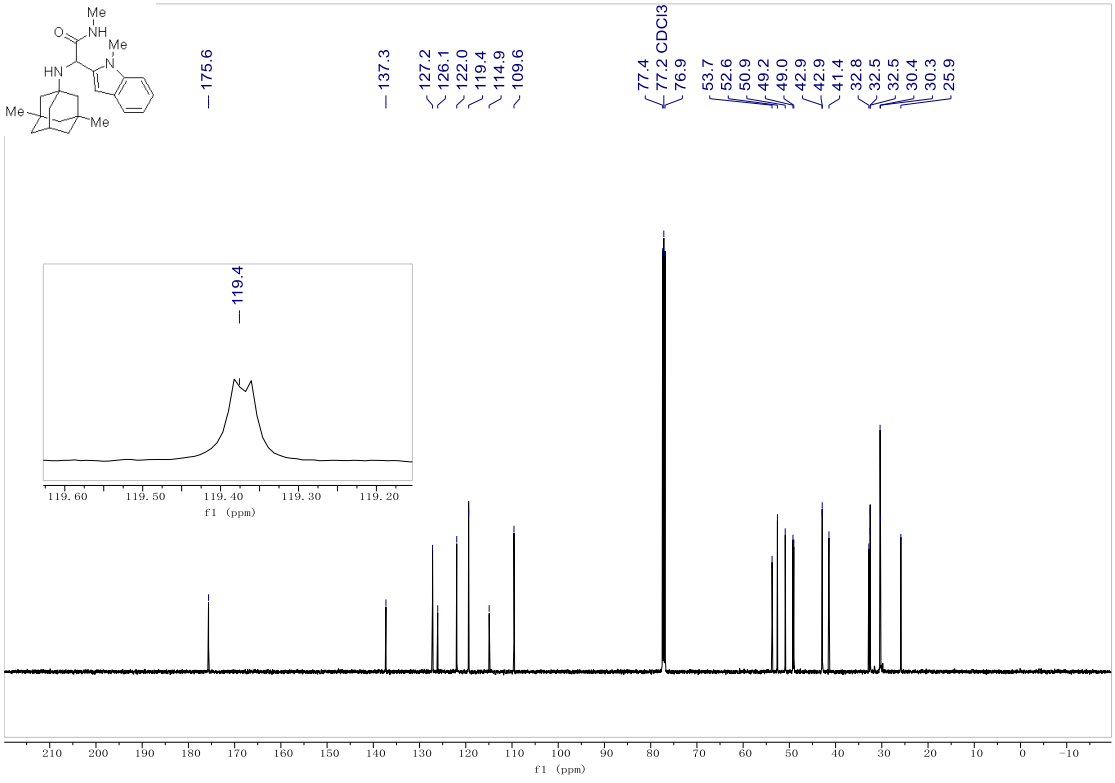
Ethyl-4-((1-(1-methyl-1*H*-indol-3-yl)-2-(methylamino) -2-oxoethyl)amino)benzoate (10a)



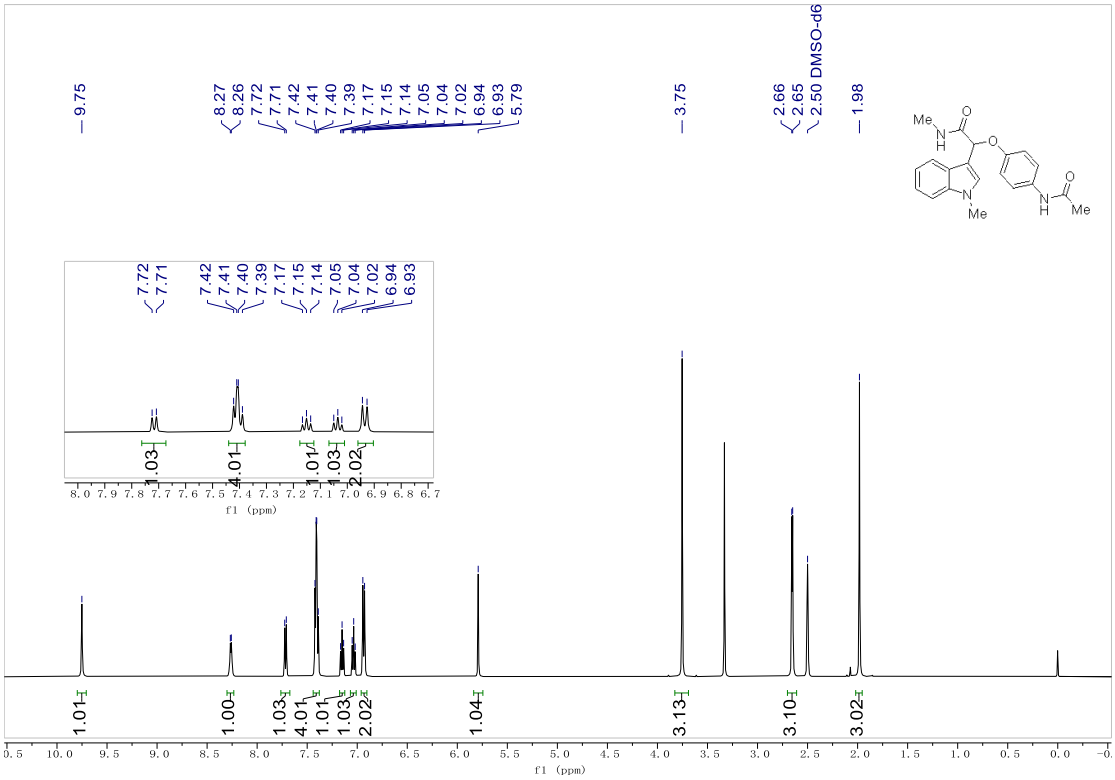


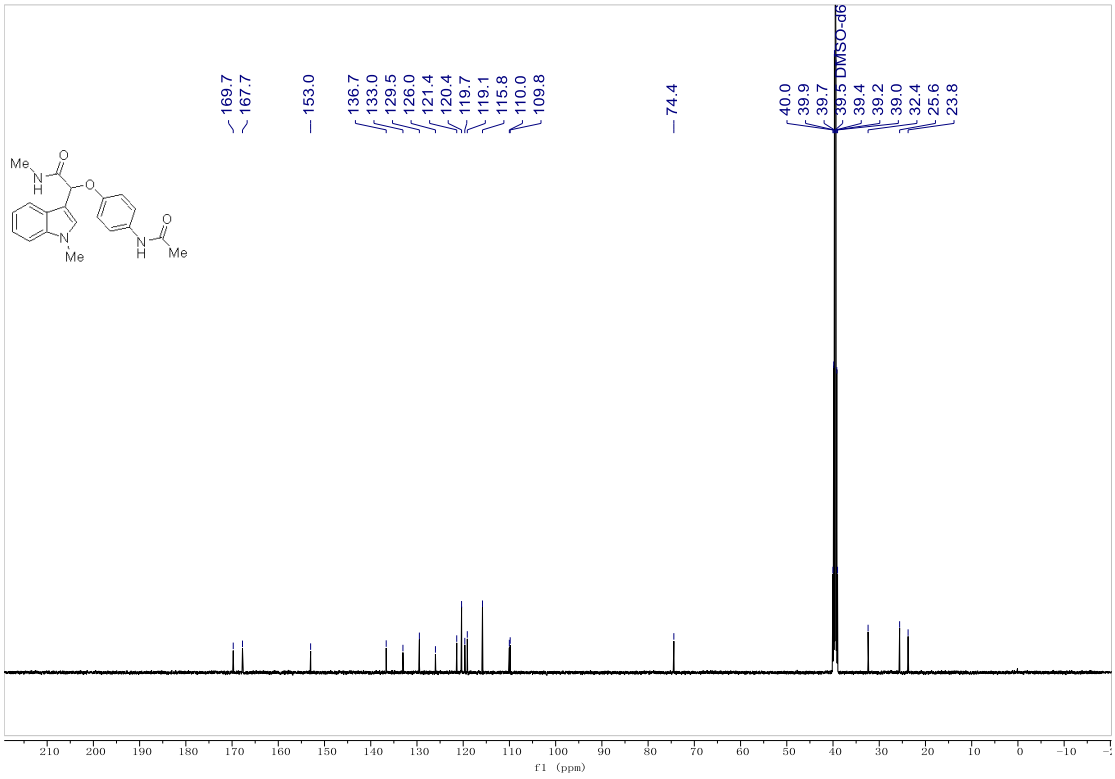
2-(((1r,3R,5S,7r)-3,5-dimethyladamantan-1-yl)amino)-*N*-methyl-2-(1-methyl-1*H*-indol-2-yl)acetamide（10b）



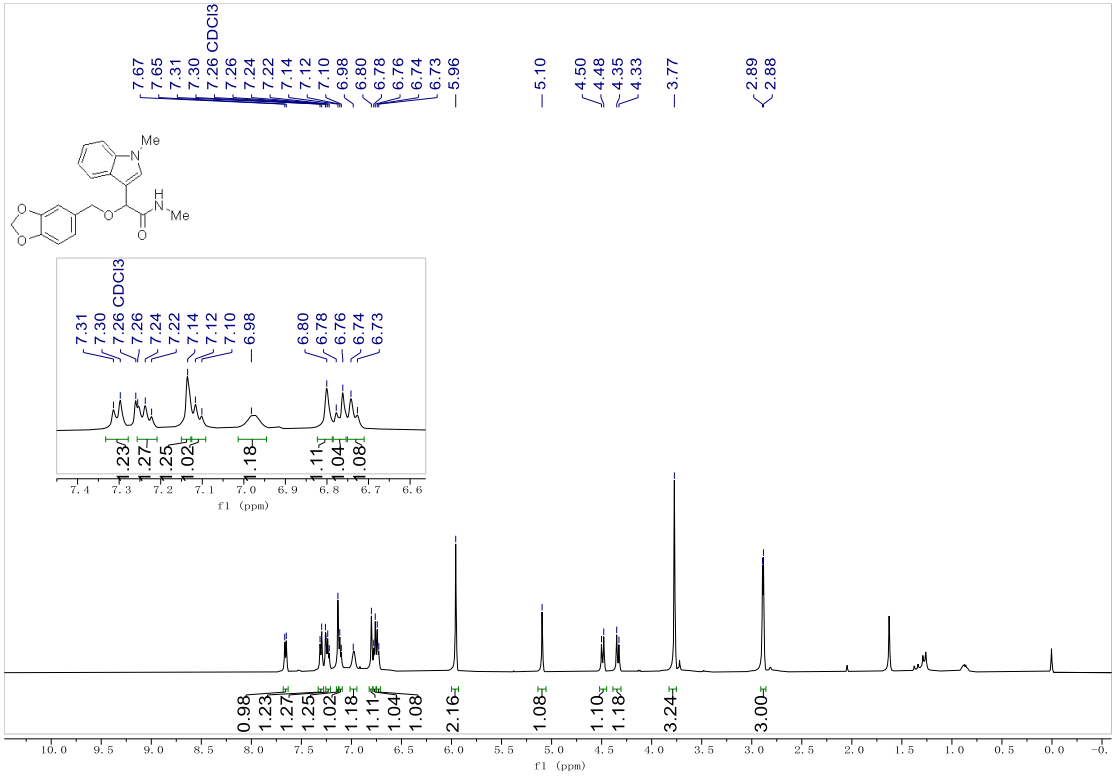


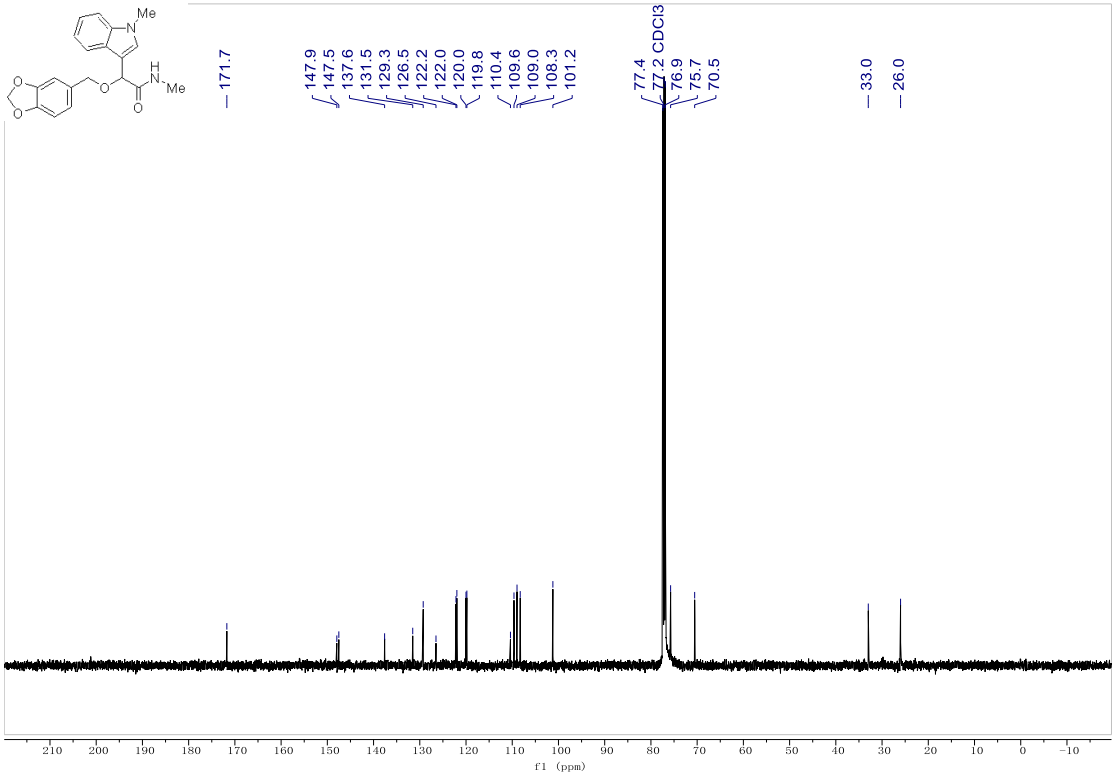
2-(4-acetamidophenoxy)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (10c)



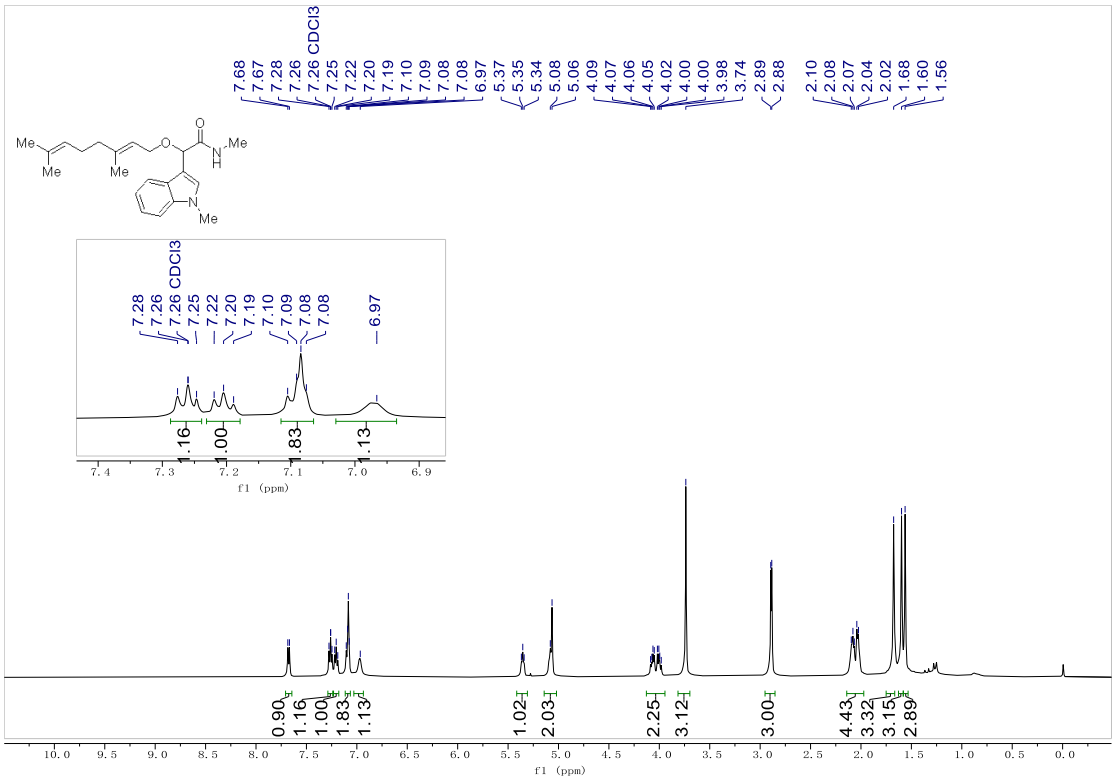


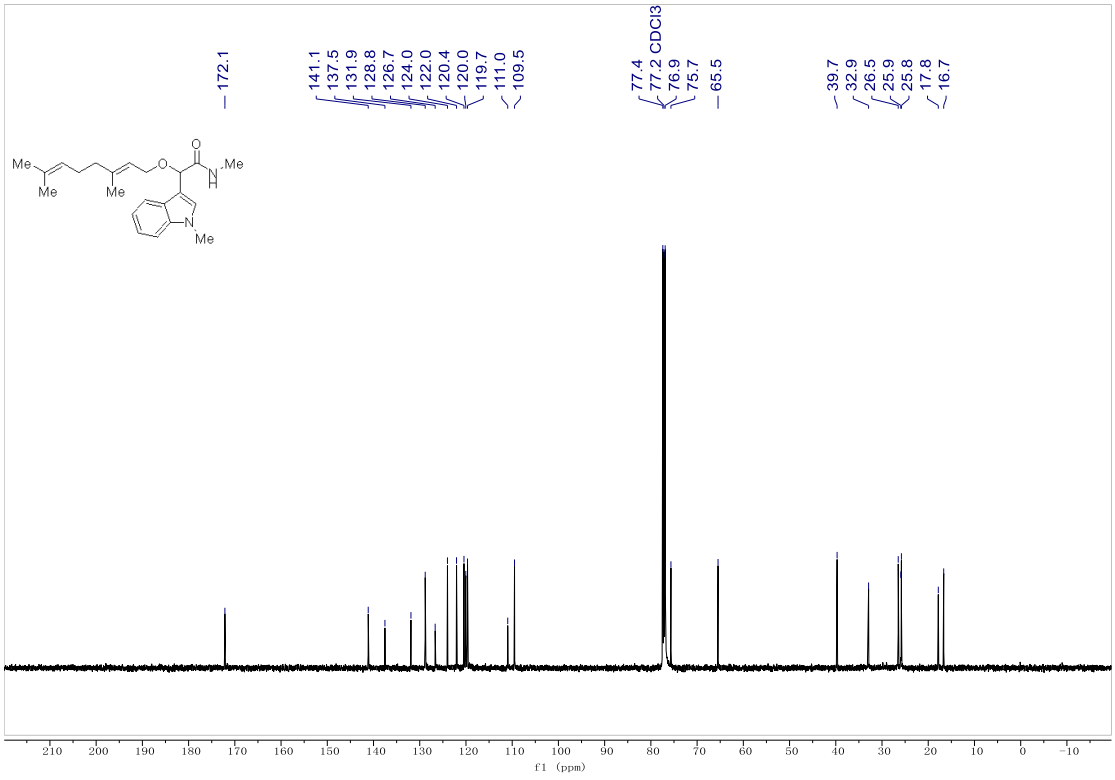
2-(benzo[d][1,3]dioxol-5-ylmethoxy)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (10d)



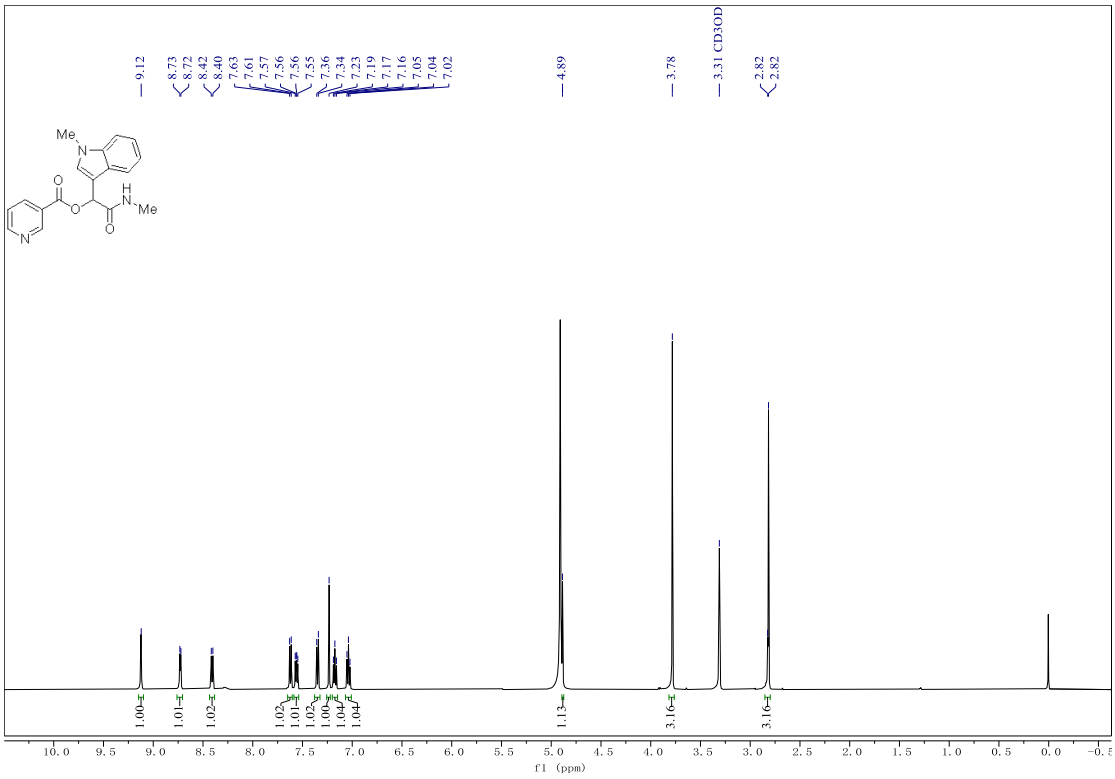


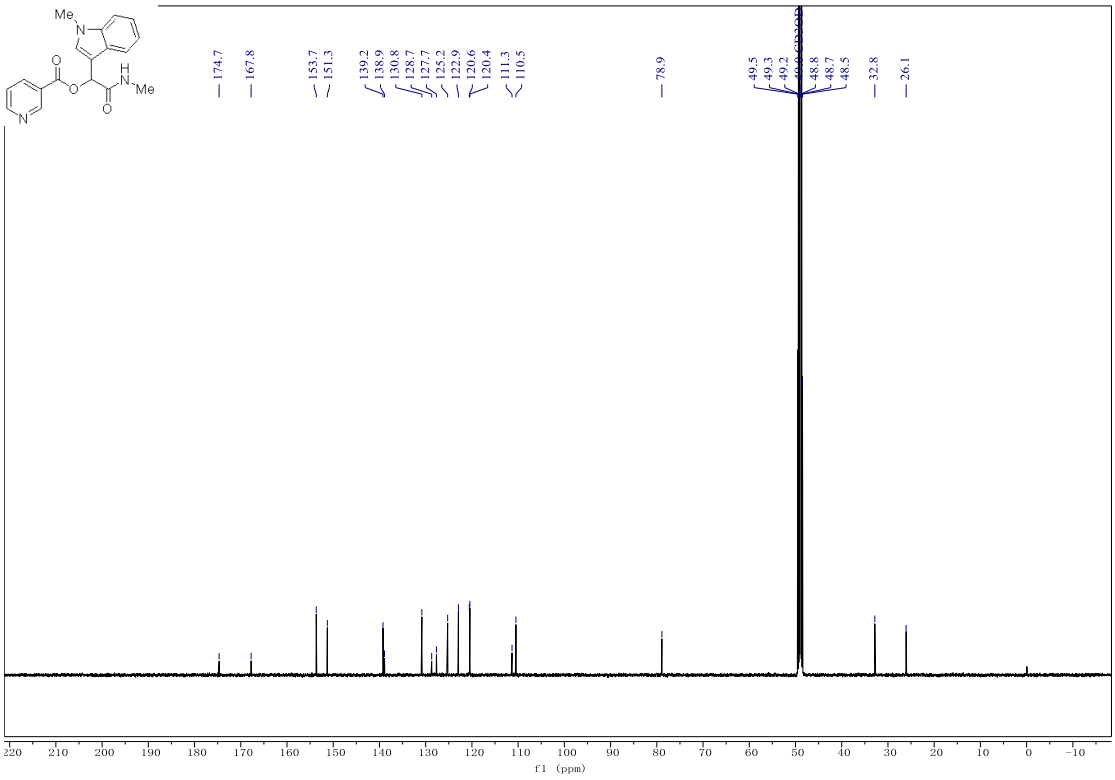
(*E*)-2-((3,7-dimethylocta-2,6-dien-1-yl)oxy)-*N*-methyl-2-(1-methyl-1*H*-indol-3-yl)acetamide (10e)



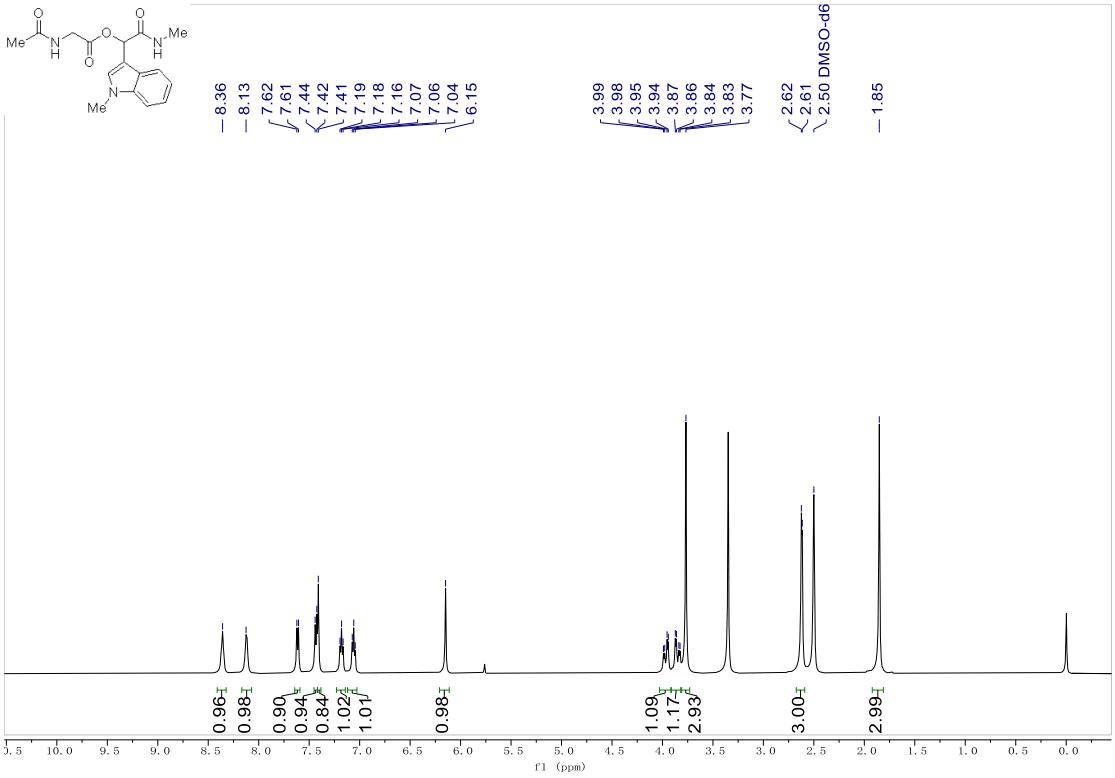


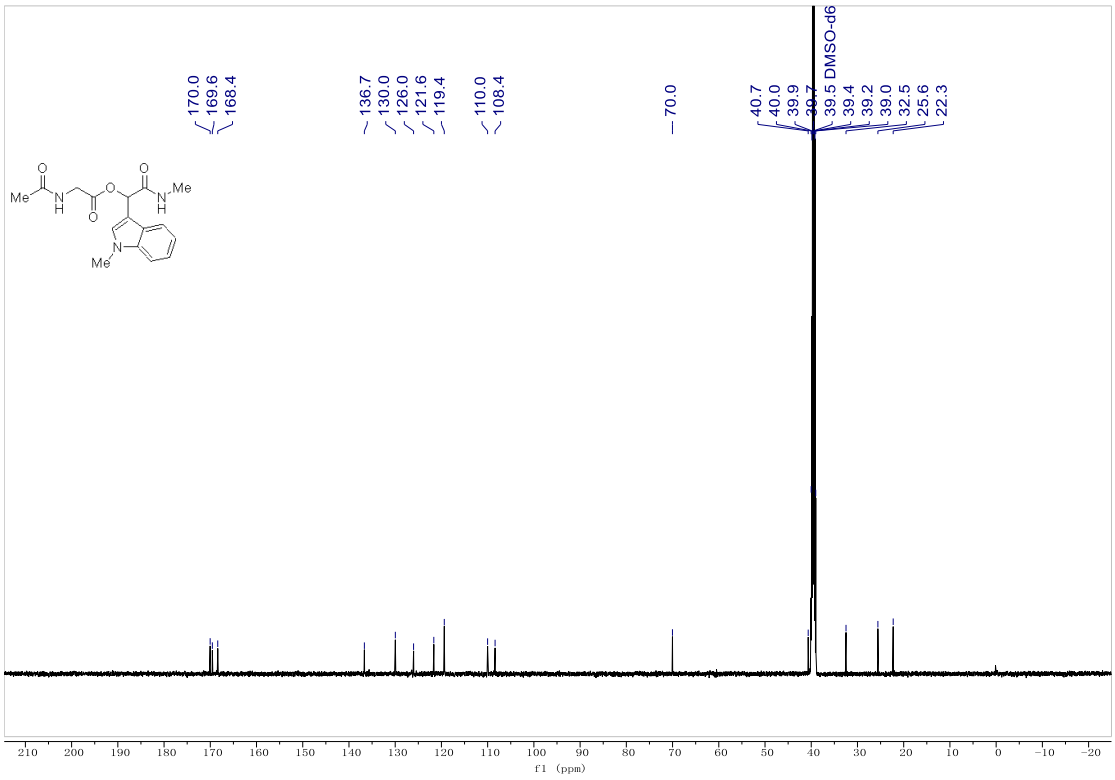
1-(1-methyl-1*H*-indol-3-yl)-2-(methylamino)-2-oxoethyl nicotinate (10f)



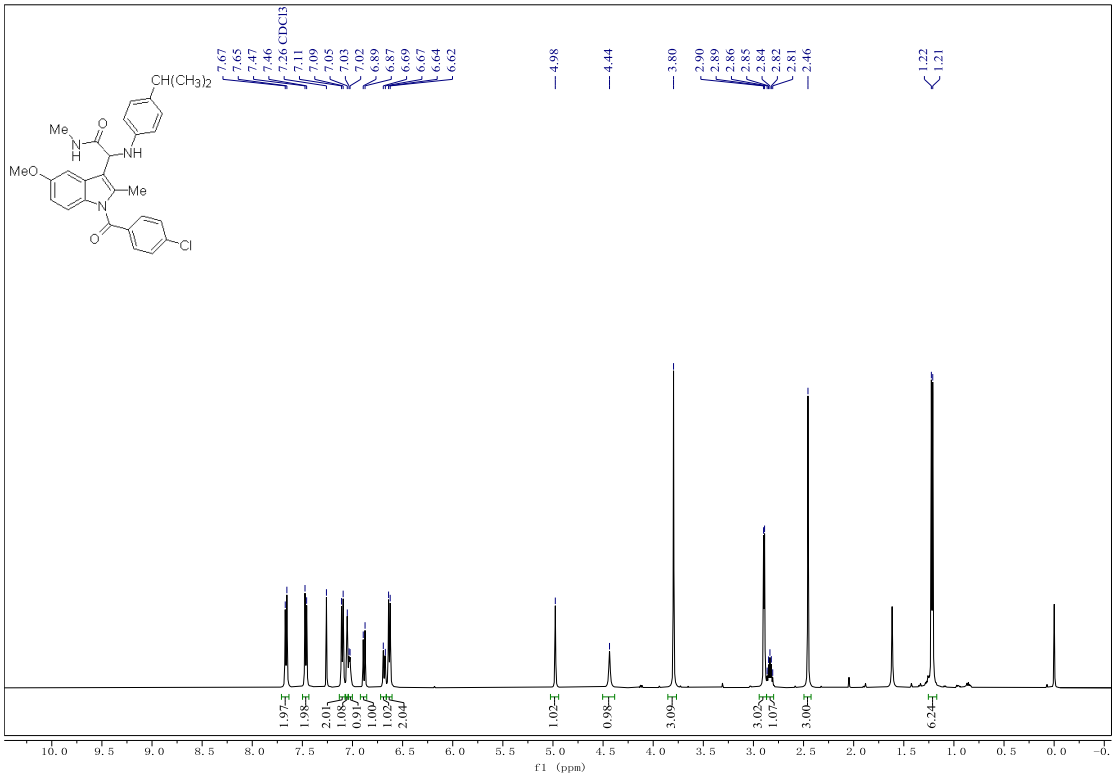


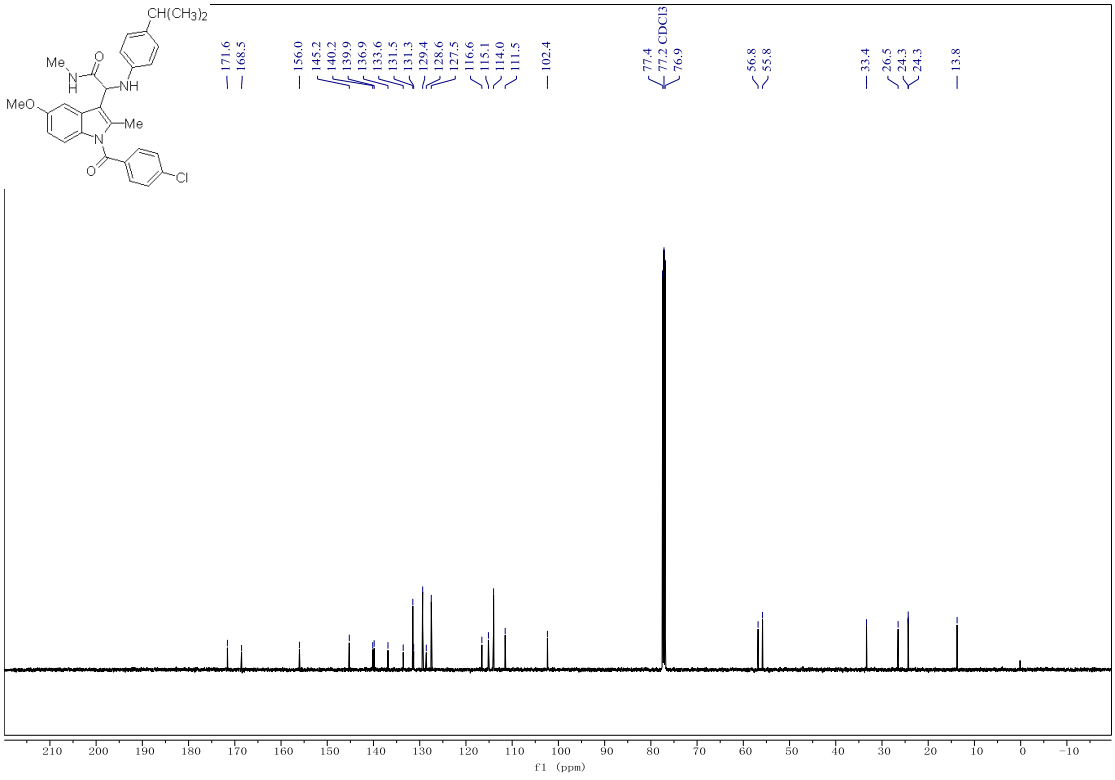
1-(1-methyl-1*H*-indol-3-yl)-2-(methylamino)-2-oxoethyl acetylglycinate (10g)



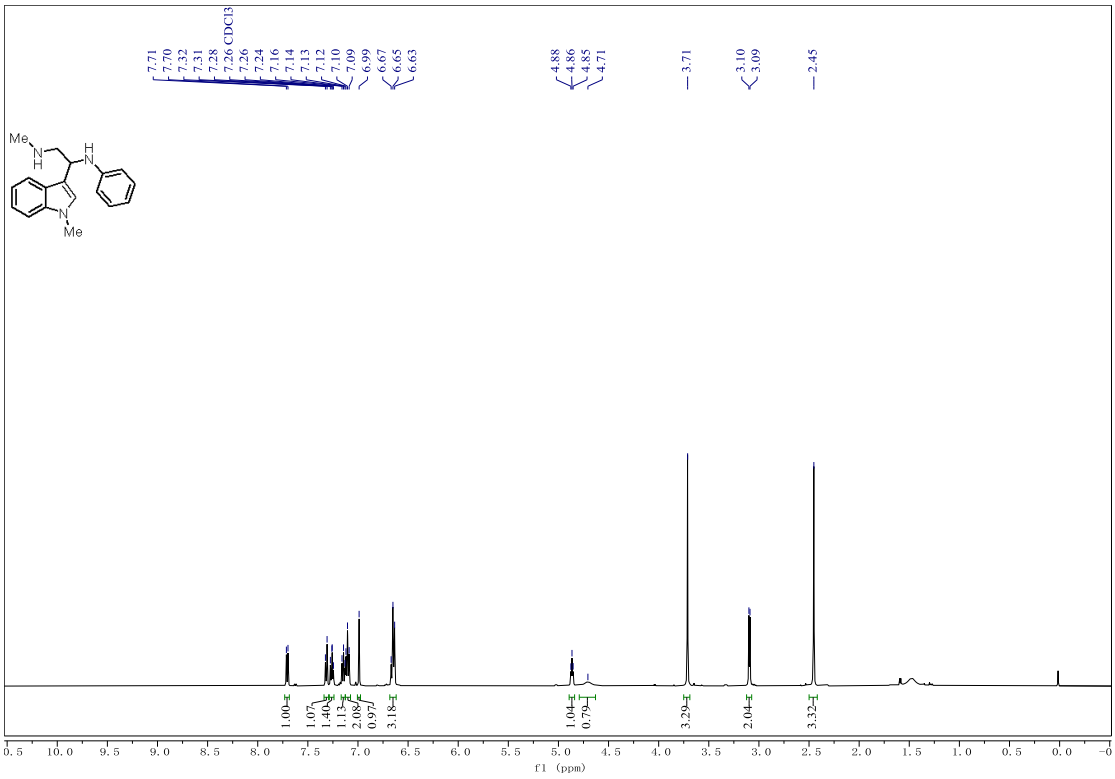


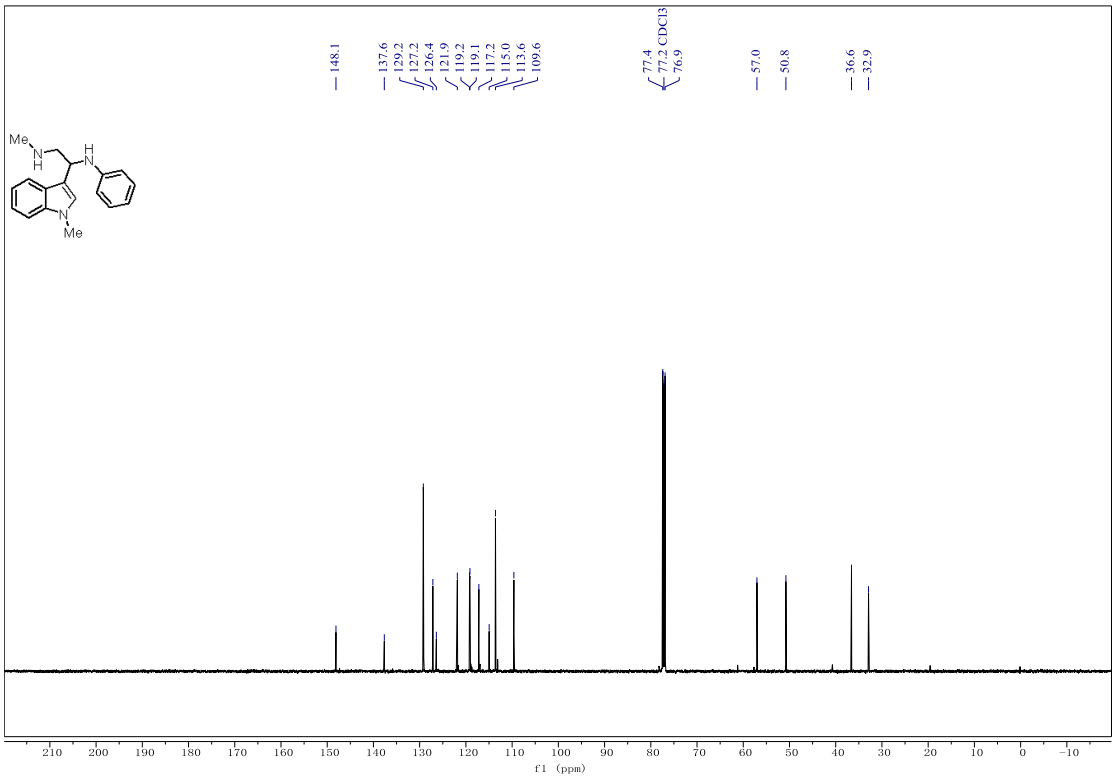
2-(1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1*H*-indol-3-yl)-2-((4-*iso*propylphenyl)amino)-*N*-methylacetamide (10h)



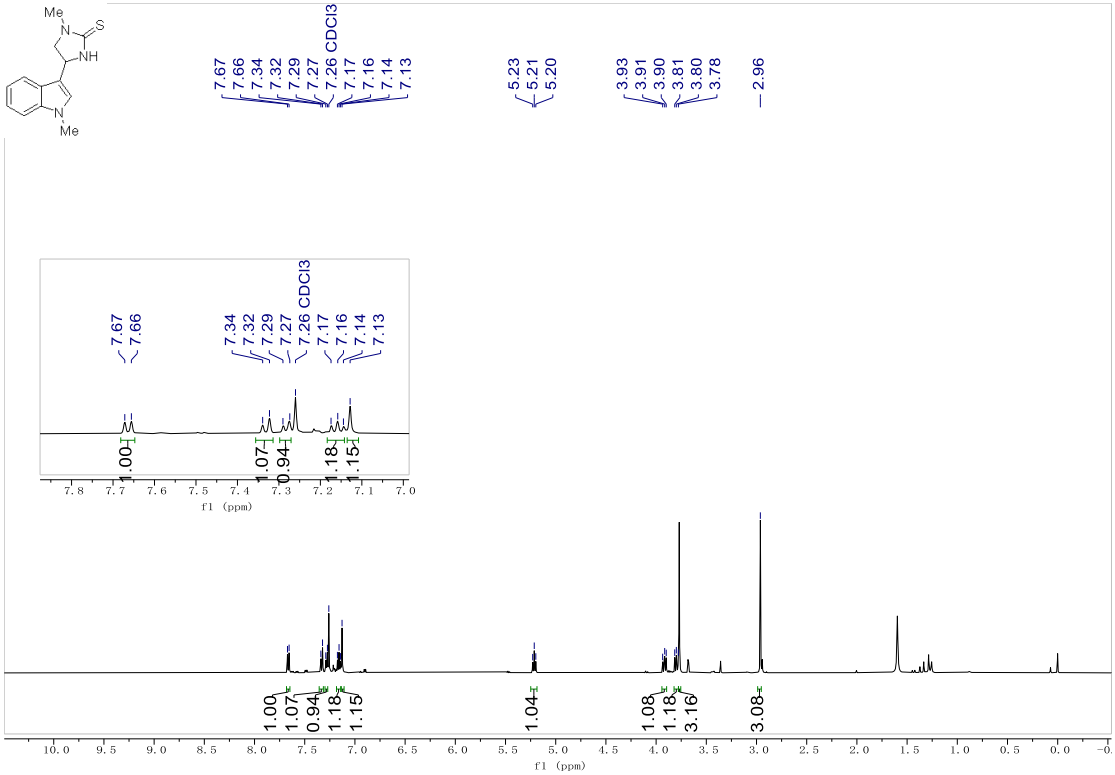


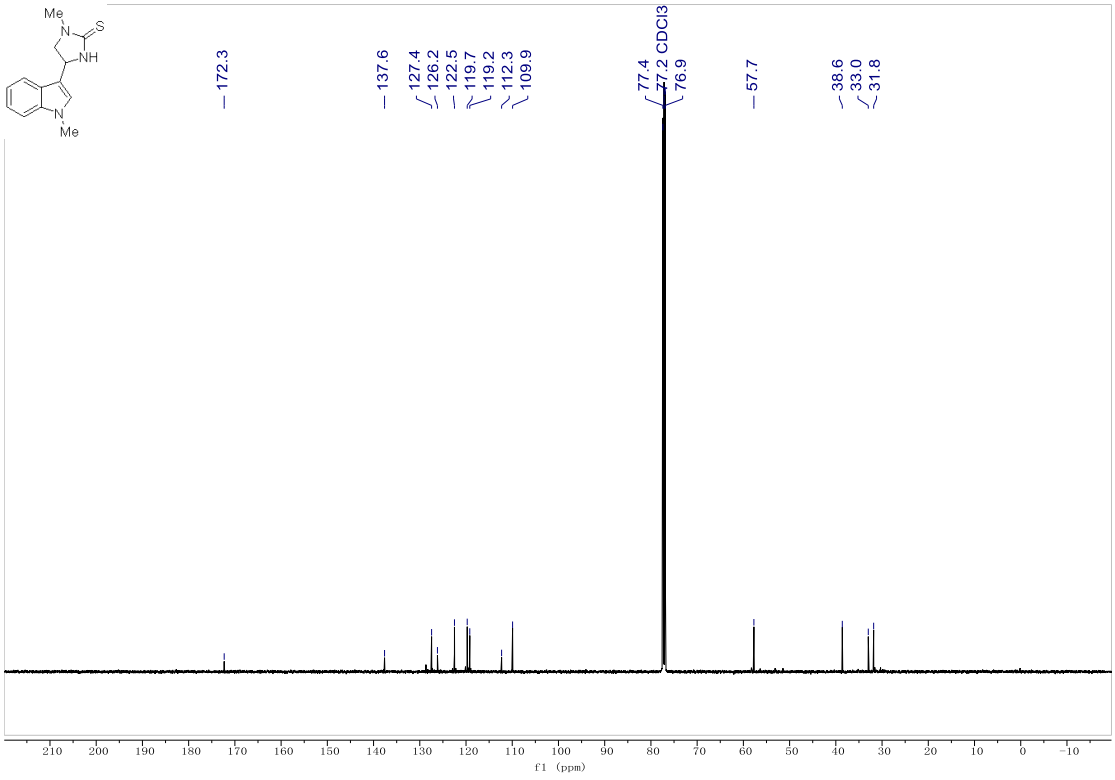
N^2-methyl-1-(1-methyl-1*H*-indol-3-yl)-N^1-phenylethane-1,2-diamine (11)





1-methyl-4-(1-methyl-1*H*-indol-3-yl)imidazolidine-2-thione (12)





1-methyl-3-(1-methyl-2,3-diphenylimidazolidin-4-yl)-1*H*-indole (13)