

Supporting Information

Palladium-catalyzed conversion of phenols into tetrahydroacridines

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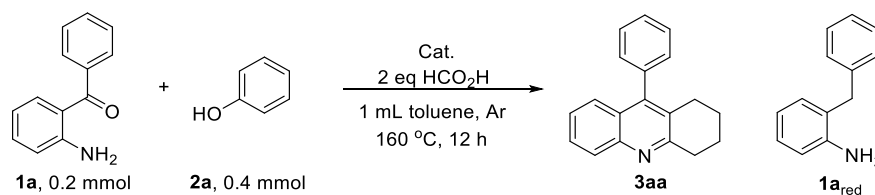
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I. General Information

All reagents were purchased from commercial sources and used without further purification unless otherwise stated. All reactions were monitored by thin-layer chromatography (TLC). All reactions were carried out in argon atmosphere unless otherwise stated. Column chromatography was performed on silica gel (200-300 mesh) and visualized with ultraviolet light. Ethyl acetate and petroleum ether were used as eluents (unless otherwise stated). ^1H , ^{13}C NMR and ^{19}F NMR spectra were recorded on 400 MHz and 600 MHz NMR spectrometers in CDCl_3 (unless otherwise stated) at room temperature. The chemical shifts are referenced to internal TMS. HRMS analyses were made by Lanzhou University by means of ESI. Melting points were measured on micro melting point apparatus and uncorrected. All solvents were purified and dried by standard techniques.

II. Optimization of the Reaction Conditions

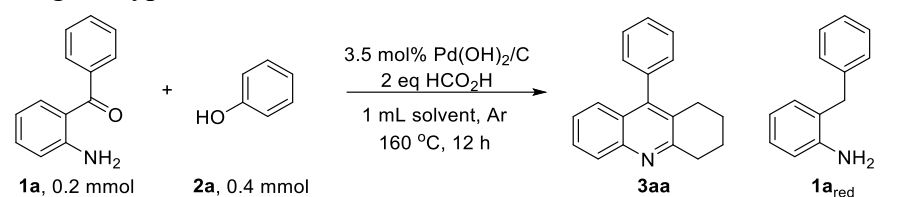
1) Optimizing the type and the amount of catalysts^a



Entry	Catalyst	3aa /% ^b	1a_{red} /% ^b
1	10 wt% Pd/C	15	50
2	5 wt% Pd(OH) ₂ /C	4	67
3	10 wt% Pd(OH) ₂ /C	38	26
4	20 wt% Pd(OH) ₂ /C	29	30
5	Pd(OAc) ₂	trace	19
6	PdCl ₂	n.p.	n.p.
7	3.5 mol%10 wt% Pd/C	12	49
8	10 mol%10 wt% Pd/C	3	65
9	1.75 mol%10 wt% Pd(OH) ₂ /C	24	30
10	3.5 mol%10 wt% Pd(OH)₂/C	42	13
11	10 mol%10 wt% Pd(OH) ₂ /C	25	28

[a] General conditions: **1a** (0.2 mmol), **2a** (0.4 mmol), catalyst (7 mol%), HCO_2H (2 equiv.) in toluene (1 mL) were stirred at 160 °C in the pre-heated oil bath for 12 h under Ar atmosphere. **1a_{red}** represented that the carbonyl group of **1a** was reduced to methylene. [b] Yields were determined by ^1H NMR with dibromomethane as internal standard.

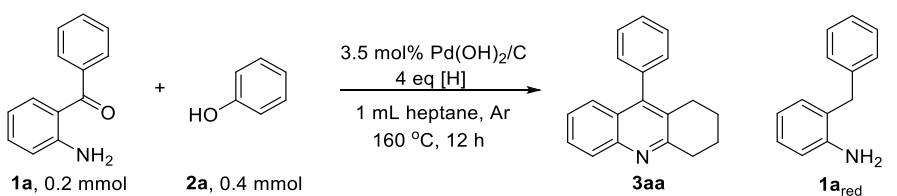
2) Screening the type of solvents^a



Entry ^a	Solvent	3aa /% ^b	1a _{red} /% ^b
1	toluene	42	20
2	1,4-dioxane	6	
3	<i>t</i> -BuOH	2	50
4	DMSO	n.p.	
5	heptane	43	22
6	cyclohexane	42	20
7	H ₂ O	trace	79
8	<i>m</i> -xylene	34	20
9	<i>p</i> -xylene	2	27

[a] General conditions: **1a** (0.2 mmol), **2a** (0.4 mmol), 10 wt% Pd(OH)₂/C (3.5 mol%), HCO₂H (2 equiv.) in solvent (1 mL) were stirred at 160 °C in the pre-heated oil bath for 12 h under Ar atmosphere. **1a_{red}** represented that the carbonyl group of **1a** was reduced to methylene. [b] Yields were determined by ¹H NMR with dibromomethane as internal standard.

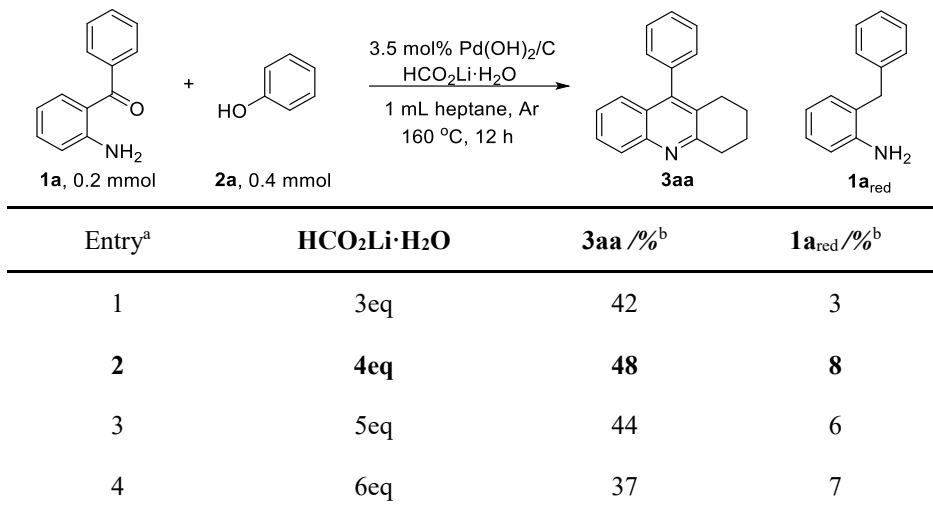
3) Screening the type of hydrogen sources^a



Entry ^a	H	3aa /% ^b	1a _{red} /% ^b
1	HCO ₂ H (2eq)	43	22
2	HCO ₂ Na	12	3
3	HCO₂Li·H₂O	48	8
4	HCO ₂ Cs	trace	4
5	HCO ₂ K	6	6
6	HCO ₂ NH ₄ (2eq)	40	18

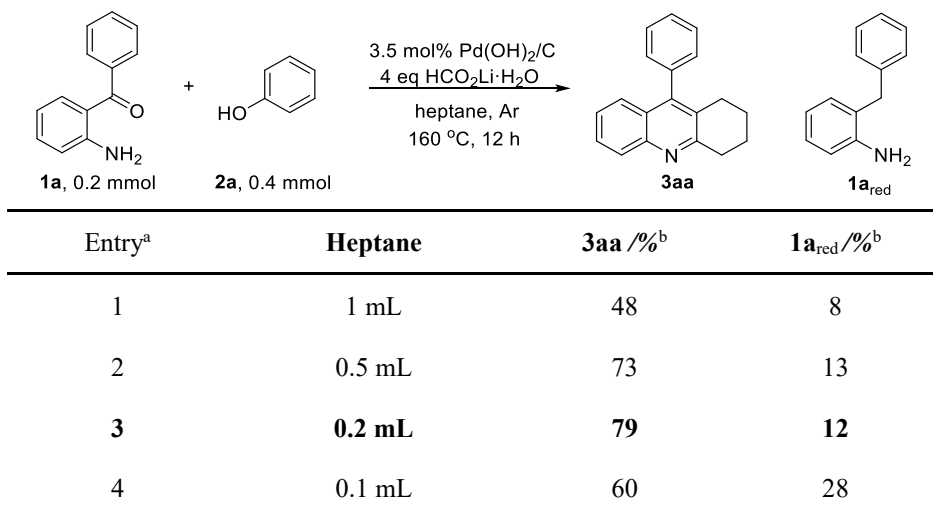
[a] General conditions: **1a** (0.2 mmol), **2a** (0.4 mmol), 10 wt% Pd(OH)₂/C (3.5 mol%), H source in heptane (1 mL) were stirred at 160 °C in the pre-heated oil bath for 12 h under Ar atmosphere. **1a_{red}** represented that the carbonyl group of **1a** was reduced to methylene. [b] Yields were determined by ¹H NMR with dibromomethane as internal standard.

4) Screening the amount of $\text{HCO}_2\text{Li}\cdot\text{H}_2\text{O}^{\text{a}}$



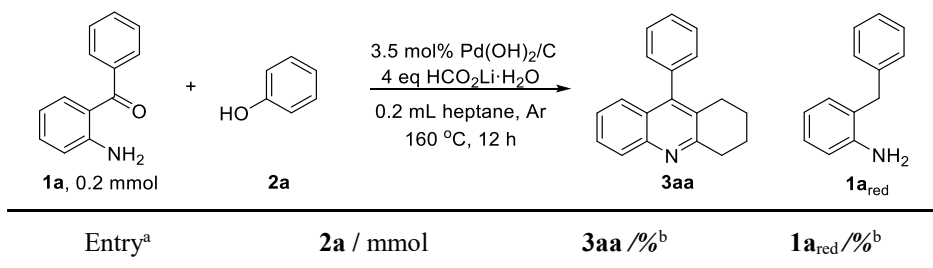
[a] General conditions: **1a** (0.2 mmol), **2a** (0.4 mmol), 10 wt% $\text{Pd}(\text{OH})_2/\text{C}$ (3.5 mol%), $\text{HCO}_2\text{Li}\cdot\text{H}_2\text{O}$ (x equiv.) in heptane (1 mL) were stirred at 160 °C in the pre-heated oil bath for 12 h under Ar atmosphere. **1a_{red}** represented that the carbonyl group of **1a** was reduced to methylene. [b] Yields were determined by ^1H NMR with dibromomethane as internal standard.

5) Screening the amount of heptane^a



[a] General conditions: **1a** (0.2 mmol), **2a** (0.4 mmol), 10 wt% $\text{Pd}(\text{OH})_2/\text{C}$ (3.5 mol%), $\text{HCO}_2\text{Li}\cdot\text{H}_2\text{O}$ (4 equiv.) in heptane (x mL) were stirred at 160 °C in the pre-heated oil bath for 12 h under Ar atmosphere. **1a_{red}** represented that the carbonyl group of **1a** was reduced to methylene. [b] Yields were determined by ^1H NMR with dibromomethane as internal standard.

6) Screening the amount of **2a**^a



1	0.3	68	12
2	0.4	79	12
3	0.5	83	6
4	0.6	93	4

[a] General conditions: **1a** (0.2 mmol), **2a** (x mmol), 10 wt% Pd(OH)₂/C (3.5 mol%), HCO₂Li·H₂O (4 equiv.) in heptane (0.2 mL) were stirred at 160 °C in the pre-heated oil bath for 12 h under Ar atmosphere. **1a_{red}** represented that the carbonyl group of **1a** was reduced to methylene. [b] Yields were determined by ¹H NMR with dibromomethane as internal standard.

7) Changing the condition^a

Reaction scheme: **1a** (0.2 mmol) + **2a** (0.6 mmol) $\xrightarrow[0.2 \text{ mL heptane, Ar, } 160 \text{ }^\circ\text{C, } 12 \text{ h}]{3.5 \text{ mol\% Pd(OH)}_2/\text{C, } 4 \text{ eq HCO}_2\text{Li}\cdot\text{H}_2\text{O}}$ **3aa** + **1a_{red}**

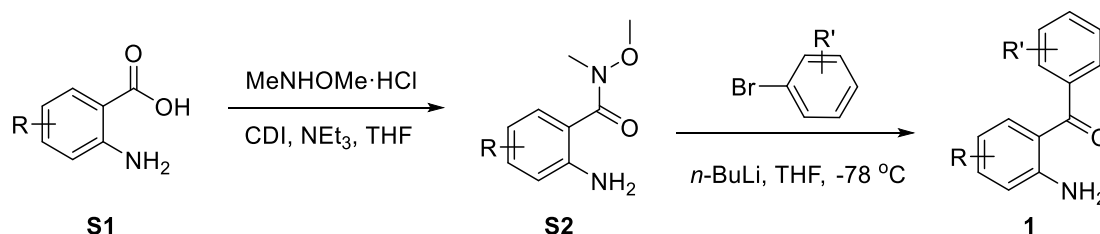
Entry ^a	Condition	3aa /% ^b	1a_{red} /% ^b
1		93	4
2	2 mol% Pd(OH) ₂ /C	73	3
3	150 °C	91	5
4	8 h	83	4
5	24 h	93	3

[a] General conditions: **1a** (0.2 mmol), **2a** (0.6 mmol), 10 wt% Pd(OH)₂/C (3.5 mol%), HCO₂Li·H₂O (4 equiv.) in heptane (0.2 mL) were stirred at 160 °C in the pre-heated oil bath for 12 h under Ar atmosphere. **1a_{red}** represented that the carbonyl group of **1a** was reduced to methylene. [b] Yields were determined by ¹H NMR with dibromomethane as internal standard.

III. General Procedures for Preparation and the Analytical Data of the

2-Aminoarylketones

Method A:



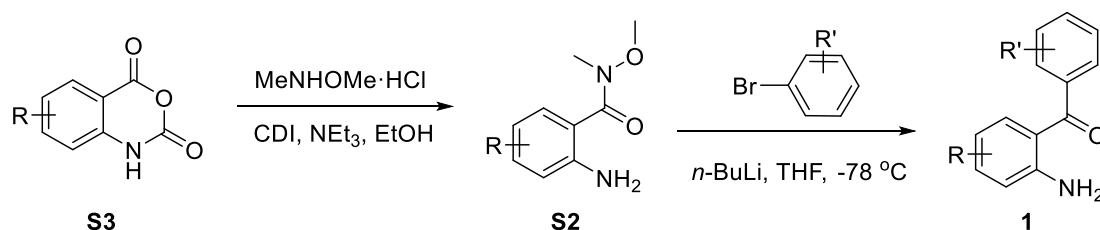
Step 1: To a stirred solution of 2-aminobenzoic acid **S1** (10.0 mmol) in anhydrous THF (40 mL) was added 1,1'-carbonyldiimidazole CDI (10.0 mmol) at 0 °C under argon atmosphere. The reaction mixture was allowed to warm to room temperature and stirred for 2 h, then a suspension of N,O-dimethylhydroxylamine hydrochloride (10.0 mmol) and Et₃N (10.0 mmol) in THF (10 mL) was added,

and the reaction mixture was stirred overnight. When the reaction was completed as determined by TLC, the volatile solvent was removed under reduced pressure. The residue was poured into water (100 mL). The pH was adjusted to neutral with 5% NaOH solution. The mixture was extracted with ethyl acetate (3 × 50 mL). The combined organic layers were washed with brine, dried over anhydrous Na₂SO₄, filtered, and concentrated in vacuo. The residue was purified by flash column chromatography to yield Weinreb amide **S2**.

Step 2: Weinreb amide **S2** (5.0 mmol) and the corresponding aryl bromide (5.0 mmol) were dissolved in anhydrous THF (30 mL). This solution was cooled to -78 °C, remain at that temperature with stirring and *n*-butyl lithium hexane solution (1.6 N, 10.0 mmol) was added dropwise over 1 h. After the dropwise addition, the 1 N HCl (10 mL) was added. The mixture was extracted with ethyl acetate (3 × 20 mL). The combined organic layers were washed with brine, dried over anhydrous Na₂SO₄, filtered, and concentrated in vacuo. The residue was purified by flash column chromatography to yield the desired 2-aminoaryl ketones **1**.

1n^[1] were synthesized according to **method A**.

Method B:

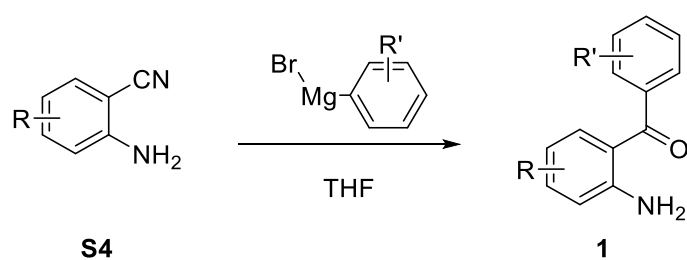


Step 1: To a solution of *N,O*-dimethylhydroxylamine hydrochloride (21.0 mmol, 1.5 equiv.) in EtOH (10 mL) was added NEt₃ (21.0 mmol, 1.5 equiv.) and after stirred at rt for 10 min, **S3** (14.0 mmol) was added in portions. The reaction system was then heated and refluxed for 1.5 h and poured onto an equal volume of ice and saturated Na₂CO₃. To remove ethanol by rotary evaporation, and the resulting aqueous mixture was extracted with ethyl acetate (3 × 20 mL). The combined organic layers were washed with brine, dried over anhydrous Na₂SO₄, filtered, and concentrated in vacuo. The residue was purified by flash column chromatography to yield Weinreb amide **S2**.

Step 2: The method was the same as the Step 2 of method A to yield the desired 2-aminoaryl ketones **1**.

1c^[1], **1h**, **1j**, **1l**^[2] were synthesized according to **method B**.

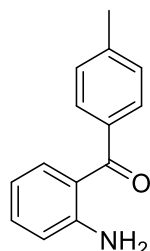
Method C:



Grignard reagents (4 equiv.) were added slowly to a solution of the 2-cyanoaniline **S4** (7.0 mmol) in anhydrous THF (20 mL) at -80 °C. After 12 hours of stirring at room temperature, the reaction mixture

was poured onto ice, then added 4 N HCl (20 mL) and stirred for 30 min. The mixture finally was added Na₂CO₃ aqueous solution to pH>10, and then extracted with ether (3 × 20 mL). The organic layers were dried over anhydrous Na₂SO₄, filtered, and concentrated. The residue was purified by column chromatography on silica gel.

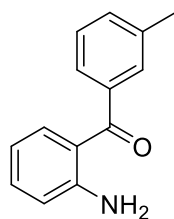
1b^[1], **1e**^[3], **1i**^[1], **1f**^[1], **1g**^[4], **1m**^[1], **1o**, **1p**^[1], **1r**, **1s** were synthesized according to **method C**.



(2-Aminophenyl)(p-tolyl)methanone 1b

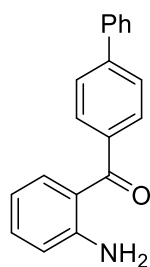
¹H NMR (400 MHz, CDCl₃) δ 7.56 (d, *J* = 8.1 Hz, 2H), 7.46 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.31 – 7.21 (m, 3H), 6.73 (d, *J* = 8.2 Hz, 1H), 6.60 (t, *J* = 7.5 Hz, 1H), 5.99 (s, 2H), 2.42 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 199.0, 150.8, 141.8, 137.4, 134.5, 134.1, 129.6, 128.9, 118.7, 117.1, 115.6, 21.7.



(2-Aminophenyl)(m-tolyl)methanone 1c

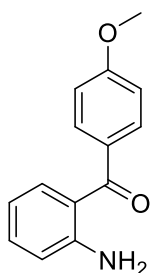
¹H NMR (400 MHz, CDCl₃) δ 7.48 – 7.41 (m, 2H), 7.44 – 7.37 (m, 1H), 7.36 – 7.31 (m, 2H), 7.32 – 7.22 (m, 1H), 6.72 (dd, *J* = 8.3, 1.1 Hz, 1H), 6.59 (ddd, *J* = 8.1, 7.0, 1.2 Hz, 1H), 6.08 (s, 2H), 2.40 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 199.4, 151.0, 140.2, 138.0, 134.7, 134.3, 131.9, 129.7, 128.0, 126.4, 118.4, 117.1, 115.6, 21.5.



[1,1'-Biphenyl]-4-yl(2-aminophenyl)methanone 1e

¹H NMR (400 MHz, CDCl₃) δ 7.79 – 7.60 (m, 6H), 7.52 (dt, *J* = 8.1, 1.5 Hz, 1H), 7.50 – 7.44 (m, 2H), 7.43 – 7.36 (m, 1H), 7.30 (ddd, *J* = 8.6, 7.1, 1.6 Hz, 1H), 6.74 (dd, *J* = 8.3, 1.1 Hz, 1H), 6.63 (ddd, *J* = 8.1, 7.0, 1.1 Hz, 1H), 6.07 (s, 2H).

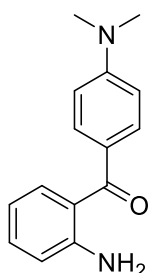
¹³C NMR (101 MHz, CDCl₃) δ 198.8, 151.0, 144.1, 140.3, 138.9, 134.6, 134.3, 130.0, 129.1, 128.1, 127.4, 126.9, 118.5, 117.2, 115.7.



(2-Aminophenyl)(4-methoxyphenyl)methanone 1f

^1H NMR (400 MHz, CDCl_3) δ 7.68 (d, $J = 8.7$ Hz, 2H), 7.46 (d, $J = 7.4$ Hz, 1H), 7.27 (d, $J = 6.7$ Hz, 1H), 6.95 (d, $J = 8.7$ Hz, 2H), 6.73 (d, $J = 8.2$ Hz, 1H), 6.62 (t, $J = 7.5$ Hz, 1H), 5.85 (s, 2H), 3.87 (s, 3H).

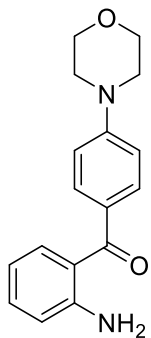
^{13}C NMR (101 MHz, CDCl_3) δ 197.9, 162.5, 150.5, 134.1, 133.8, 132.5, 131.9, 119.1, 117.1, 115.7, 113.5, 55.6.



(2-Aminophenyl)(4-(dimethylamino)phenyl)methanone 1g

^1H NMR (400 MHz, CDCl_3) δ 7.74 – 7.66 (m, 2H), 7.47 (dd, $J = 7.9, 1.6$ Hz, 1H), 7.28 – 7.22 (m, 1H), 6.76 – 6.59 (m, 4H), 5.56 (s, 2H), 3.06 (s, 6H).

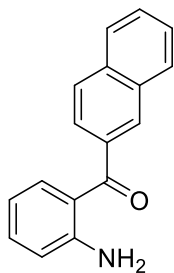
^{13}C NMR (101 MHz, CDCl_3) δ 197.2, 153.0, 149.7, 133.5, 132.9, 132.4, 126.8, 120.5, 117.0, 115.8, 110.7, 40.2.



(2-Aminophenyl)(4-morpholinophenyl)methanone 1h

^1H NMR (400 MHz, CDCl_3) δ 7.73 – 7.62 (m, 2H), 7.47 (dd, $J = 7.9, 1.6$ Hz, 1H), 7.31 – 7.21 (m, 1H), 6.94 – 6.85 (m, 2H), 6.73 (dd, $J = 8.2, 1.1$ Hz, 1H), 6.63 (ddd, $J = 8.1, 7.1, 1.2$ Hz, 1H), 5.75 (s, 2H), 3.94 – 3.78 (m, 4H), 3.36 – 3.23 (m, 4H).

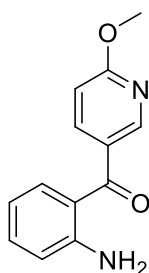
^{13}C NMR (101 MHz, CDCl_3) δ 197.5, 153.6, 150.2, 133.8, 133.4, 131.9, 130.2, 119.6, 117.0, 115.7, 113.5, 66.8, 48.0.



(2-Aminophenyl)(naphthalen-2-yl)methanone 1i

$^1\text{H NMR}$ (600 MHz, CDCl_3) δ 8.11 (s, 1H), 7.90 (q, $J = 7.5, 6.7$ Hz, 3H), 7.77 (dd, $J = 8.4, 1.7$ Hz, 1H), 7.55 (dq, $J = 24.6, 8.4, 7.8$ Hz, 3H), 7.34 – 7.28 (m, 1H), 6.76 (d, $J = 8.4$ Hz, 1H), 6.61 (t, $J = 7.6$ Hz, 1H), 6.08 (s, 2H).

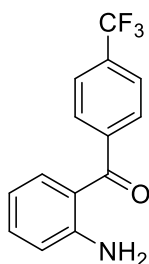
$^{13}\text{C NMR}$ (151 MHz, CDCl_3) δ 199.0, 151.1, 137.5, 134.8, 134.7, 134.3, 132.5, 130.2, 129.2, 128.1, 127.9, 127.8, 126.8, 125.9, 118.7, 117.2, 115.8.



(2-Aminophenyl)(6-methoxypyridin-3-yl)methanone 1j

$^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.50 (dd, $J = 2.4, 0.7$ Hz, 1H), 7.93 (dd, $J = 8.6, 2.4$ Hz, 1H), 7.46 (dd, $J = 8.1, 1.6$ Hz, 1H), 7.30 (ddd, $J = 8.4, 7.1, 1.6$ Hz, 1H), 6.82 (dd, $J = 8.6, 0.8$ Hz, 1H), 6.74 (dd, $J = 8.3, 1.1$ Hz, 1H), 6.63 (ddd, $J = 8.1, 7.1, 1.1$ Hz, 1H), 6.00 (s, 2H), 4.01 (s, 3H).

$^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 196.1, 165.9, 150.8, 149.5, 139.8, 134.4, 133.9, 129.2, 118.4, 117.2, 115.9, 110.7, 54.0.

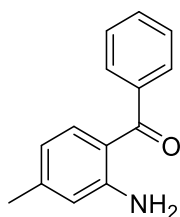


(2-Aminophenyl)(4-(trifluoromethyl)phenyl)methanone 1l

$^1\text{H NMR}$ (600 MHz, CDCl_3) δ 7.72 (s, 4H), 7.35 (dd, $J = 8.1, 1.5$ Hz, 1H), 7.31 (ddd, $J = 8.5, 7.1, 1.6$ Hz, 1H), 6.75 (dd, $J = 8.3, 1.2$ Hz, 1H), 6.60 (ddd, $J = 8.2, 7.0, 1.2$ Hz, 1H), 6.21 (s, 2H).

$^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 197.8, 151.4, 143.6, 135.0, 134.5, 132.6 (q, $J = 32.6$ Hz), 129.3, 125.3 (q, $J = 3.8$ Hz), 123.9 (q, $J = 272.6$ Hz), 117.4, 117.3, 115.8.

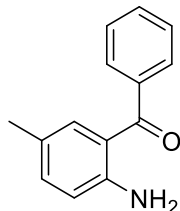
$^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -62.84 (s).



(2-Amino-4-methylphenyl)(phenyl)methanone 1m

$^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.65 – 7.58 (m, 2H), 7.53 – 7.47 (m, 1H), 7.44 (dd, J = 8.1, 6.5 Hz, 2H), 7.33 (d, J = 8.2 Hz, 1H), 6.54 (s, 1H), 6.41 (dd, J = 8.2, 1.6 Hz, 1H), 6.11 (s, 2H), 2.28 (s, 3H).

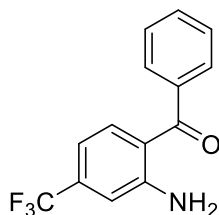
$^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 198.8, 151.3, 145.5, 140.5, 134.9, 130.9, 129.1, 128.2, 117.2, 117.1, 116.1, 21.8.



(2-Amino-5-methylphenyl)(phenyl)methanone 1n

$^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.67 – 7.61 (m, 2H), 7.56 – 7.49 (m, 1H), 7.46 (tt, J = 6.6, 1.1 Hz, 2H), 7.23 (d, J = 2.1 Hz, 1H), 7.12 (dd, J = 8.4, 2.1 Hz, 1H), 6.67 (d, J = 8.3 Hz, 1H), 5.91 (s, 2H), 2.17 (s, 3H).

$^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 199.2, 148.9, 140.3, 135.5, 134.2, 131.1, 129.3, 128.2, 124.7, 118.4, 117.3, 20.4.

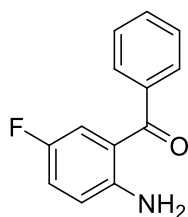


(2-Amino-4-(trifluoromethyl)phenyl)(phenyl)methanone 1o

$^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.68 – 7.62 (m, 2H), 7.59 – 7.52 (m, 2H), 7.51 – 7.44 (m, 2H), 6.98 (d, J = 1.8 Hz, 1H), 6.81 (dd, J = 8.4, 1.8 Hz, 1H), 6.18 (s, 2H).

$^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 198.6, 150.6, 139.4, 135.4 (q, J = 32.4 Hz), 135.1, 131.9, 129.4, 128.4, 123.6 (q, J = 273.0 Hz), 120.2, 113.9 (q, J = 4.0 Hz), 111.7 (q, J = 3.6 Hz).

$^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -63.87 (s).

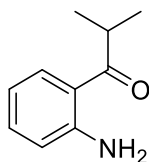


(2-Amino-5-fluorophenyl)(phenyl)methanone 1p

$^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.68 – 7.60 (m, 2H), 7.58 – 7.51 (m, 1H), 7.51 – 7.43 (m, 2H), 7.14 (dd, J = 9.6, 3.0 Hz, 1H), 7.06 (ddd, J = 9.0, 7.7, 3.0 Hz, 1H), 6.69 (dd, J = 9.0, 4.5 Hz, 1H), 5.92 (s, 2H).

$^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 198.1 (d, J = 2.4 Hz), 153.3 (d, J = 234.8 Hz), 147.5, 139.5, 131.6, 129.2, 128.4, 122.3 (d, J = 23.5 Hz), 119.1 (d, J = 22.6 Hz), 118.3 (d, J = 7.0 Hz), 117.9 (d, J = 5.5 Hz).

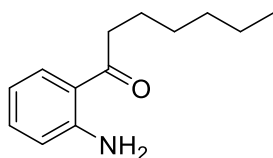
$^{19}\text{F NMR}$ (376 MHz, CDCl_3) δ -128.36 – -128.42 (m).



1-(2-Aminophenyl)-2-methylpropan-1-one 1r

$^1\text{H NMR}$ (400 MHz, CDCl_3) δ 7.81 – 7.73 (m, 1H), 7.25 (td, $J = 7.5, 1.5$ Hz, 1H), 6.65 (td, $J = 7.3, 6.9, 1.1$ Hz, 2H), 6.29 (s, 2H), 3.59 (hept, $J = 6.8$ Hz, 1H), 1.20 (d, $J = 6.8$ Hz, 6H).

$^{13}\text{C NMR}$ (101 MHz, CDCl_3) δ 207.2, 151.0, 134.2, 131.1, 117.7, 117.0, 115.8, 35.4, 19.7.



1-(2-Aminophenyl)heptan-1-one 1s

$^1\text{H NMR}$ (600 MHz, CDCl_3) δ 7.78 – 7.72 (m, 1H), 7.28 – 7.21 (m, 1H), 6.64 (d, $J = 7.7$ Hz, 2H), 6.27 (s, 2H), 2.96 – 2.88 (m, 2H), 1.71 (p, $J = 7.8$ Hz, 2H), 1.41 – 1.27 (m, 6H), 0.89 (td, $J = 7.0, 2.0$ Hz, 3H).

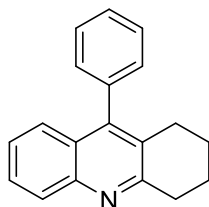
$^{13}\text{C NMR}$ (151 MHz, CDCl_3) δ 203.3, 150.5, 134.2, 131.3, 118.2, 117.5, 115.8, 39.5, 31.8, 29.3, 25.1, 22.7, 14.2.

IV. General procedure for the Synthesis of 1,2,3,4-Tetrahydroacridine

Derivatives

An oven-dried microwave reacting tube (10.0 mL) was charged with a magnetic stir-bar, $\text{Pd}(\text{OH})_2/\text{C}$ (10 wt%, 10.0 mg, 3.5 mol% based on Pd contents, vacuum drying under reduced pressure for six hours), $\text{HCO}_2\text{Li}\cdot\text{H}_2\text{O}$ (56.0 mg, 0.8 mmol, 4 equiv.), 2-aminoarylketone (0.2 mmol) and phenol (0.6 mmol) were added. The tube was sealed with rubber plug and after three cycles of evacuation/backfilling sequence with argon, heptane (0.2 mL) was added. Replace the rubber plug with an aluminum cover having a teflon pad. The tube was stirred at 160 °C in the pre-heated oil bath for 12 h. After completion, the reaction mixture was cooled to room temperature, diluted with EtOAc and filtered through the pad of celite. The filtrate was concentrated in vacuo and the resulting residue was purified via the column chromatography.

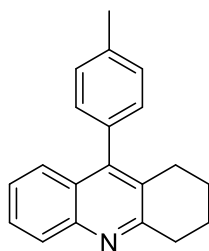
V. Analytical Data of the 1,2,3,4-Tetrahydroacridine Derivatives



9-Phenyl-1,2,3,4-tetrahydroacridine 3aa^[5]

$^1\text{H NMR}$ (400 MHz, CDCl_3) δ 8.02 (d, $J = 8.4$ Hz, 1H), 7.59 (ddd, $J = 8.4, 5.7, 2.5$ Hz, 1H), 7.54 – 7.42 (m, 3H), 7.34 – 7.27 (m, 2H), 7.25 – 7.19 (m, 2H), 3.20 (t, $J = 6.6$ Hz, 2H), 2.60 (t, $J = 6.5$ Hz, 2H), 2.00 – 1.91 (m, 2H), 1.82 – 1.74 (m, 2H).

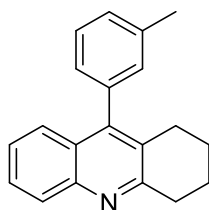
^{13}C NMR (101 MHz, CDCl_3) δ 159.2, 146.6, 146.4, 137.2, 129.2, 128.7, 128.46, 128.45, 128.43, 127.8, 126.8, 125.9, 125.5, 34.4, 28.2, 23.1, 23.0.



9-(*p*-Tolyl)-1,2,3,4-tetrahydroacridine 3ba^[6]

^1H NMR (600 MHz, CDCl_3) δ 8.01 (d, $J = 8.4$ Hz, 1H), 7.57 (t, $J = 7.6$ Hz, 1H), 7.35 (d, $J = 8.4$ Hz, 1H), 7.33 – 7.26 (m, 3H), 7.11 (d, $J = 7.7$ Hz, 2H), 3.19 (t, $J = 6.6$ Hz, 2H), 2.61 (t, $J = 6.5$ Hz, 2H), 2.45 (s, 3H), 1.99 – 1.90 (m, 2H), 1.81 – 1.73 (m, 2H).

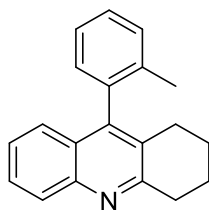
^{13}C NMR (151 MHz, CDCl_3) δ 159.2, 146.7, 146.5, 137.5, 134.2, 129.4, 129.2, 128.6, 128.5, 128.3, 127.0, 126.0, 125.4, 34.4, 28.2, 23.2, 23.1, 21.4.



9-(*m*-Tolyl)-1,2,3,4-tetrahydroacridine 3ca^[7]

^1H NMR (400 MHz, CDCl_3) δ 8.01 (d, $J = 8.4$ Hz, 1H), 7.59 (td, $J = 6.2, 3.0$ Hz, 1H), 7.40 (t, $J = 7.5$ Hz, 1H), 7.36 – 7.29 (m, 2H), 7.29 – 7.25 (m, 1H), 7.03 (d, $J = 8.8$ Hz, 2H), 3.20 (t, $J = 6.6$ Hz, 2H), 2.61 (t, $J = 6.5$ Hz, 2H), 2.43 (s, 3H), 2.01 – 1.91 (m, 2H), 1.85 – 1.74 (m, 2H).

^{13}C NMR (101 MHz, CDCl_3) δ 159.2, 146.9, 146.5, 138.4, 137.2, 129.8, 128.61, 128.56, 128.5, 128.4, 126.9, 126.3, 126.0, 125.4, 34.4, 28.2, 23.2, 23.1, 21.7.



9-(*o*-Tolyl)-1,2,3,4-tetrahydroacridine 3da

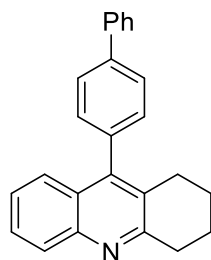
Brown solid; m.p. 112-113 °C;

IR (KBr): 3060, 2926, 2867, 1574, 1484, 1457, 1377, 1147, 1020, 917, 839, 761, 727, 419 cm^{-1} .

^1H NMR (600 MHz, CDCl_3) δ 8.03 (d, $J = 8.4$ Hz, 1H), 7.61 (t, $J = 7.6$ Hz, 1H), 7.41 – 7.35 (m, 2H), 7.35 – 7.35 (m, 2H), 7.18 (d, $J = 8.4$ Hz, 1H), 7.06 (d, $J = 7.4$ Hz, 1H), 3.21 (t, $J = 6.6$ Hz, 2H), 2.59 (dt, $J = 17.3, 6.6$ Hz, 1H), 2.39 (dt, $J = 17.2, 6.4$ Hz, 1H), 2.01 – 1.94 (m, 2H), 1.91 (s, 3H), 1.85 – 1.74 (m, 2H).

^{13}C NMR (151 MHz, CDCl_3) δ 159.4, 146.44, 146.35, 136.7, 135.9, 130.4, 129.0, 128.62, 128.58, 128.55, 128.2, 126.5, 126.3, 125.7, 125.5, 34.4, 27.8, 23.11, 23.09, 19.7.

HRMS (ESI): calcd. for $C_{20}H_{20}N^+$ ($[M+H]^+$): 274.1590, found: 274.1591.



9-([1,1'-Biphenyl]-4-yl)-1,2,3,4-tetrahydroacridine 3ea

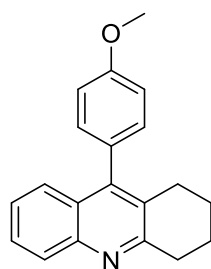
Brown solid; m.p. 203-204 °C;

IR (KBr): 3057, 3028, 2929, 2865, 1573, 1485, 1456, 1378, 1355, 1009, 848, 763, 734, 696 cm^{-1} .

1H NMR (400 MHz, $CDCl_3$) δ 8.03 (d, $J = 8.3$ Hz, 1H), 7.77 – 7.71 (m, 2H), 7.71 – 7.66 (m, 2H), 7.60 (ddd, $J = 8.3, 6.8, 1.4$ Hz, 1H), 7.48 (t, $J = 7.6$ Hz, 2H), 7.43 – 7.35 (m, 2H), 7.35 – 7.27 (m, 3H), 3.21 (t, $J = 6.6$ Hz, 2H), 2.66 (t, $J = 6.5$ Hz, 2H), 2.02 – 1.92 (m, 2H), 1.85 – 1.75 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 159.2, 146.4, 146.3, 140.7, 136.2, 129.7, 129.0, 128.6, 128.52, 128.47, 127.6, 127.4, 127.2, 126.8, 125.9, 125.5, 34.4, 28.2, 23.1, 23.0.

HRMS (ESI): calcd. for $C_{25}H_{22}N^+$ ($[M+H]^+$): 336.1747, found: 336.1758.



9-(4-Methoxyphenyl)-1,2,3,4-tetrahydroacridine 3fa

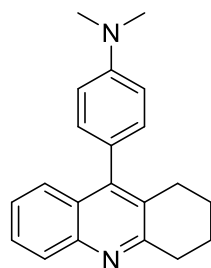
Brown solid; m.p. 174-175 °C;

IR (KBr): 2927, 2858, 2839, 1609, 1514, 1491, 1458, 1287, 1246, 1174, 1032, 842, 763, 576 cm^{-1} .

1H NMR (600 MHz, $CDCl_3$) δ 8.01 (d, $J = 8.4$ Hz, 1H), 7.58 (t, $J = 7.6$ Hz, 1H), 7.37 (d, $J = 8.4$ Hz, 1H), 7.30 (t, $J = 7.6$ Hz, 1H), 7.15 (d, $J = 8.1$ Hz, 2H), 7.04 (d, $J = 8.1$ Hz, 2H), 3.88 (s, 3H), 3.19 (t, $J = 6.6$ Hz, 2H), 2.62 (t, $J = 6.5$ Hz, 2H), 1.99 – 1.91 (m, 2H), 1.81 – 1.73 (m, 2H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 159.2, 159.1, 146.43, 146.40, 130.4, 129.2, 128.9, 128.5, 128.4, 127.1, 125.9, 125.4, 114.1, 55.4, 34.3, 28.2, 23.2, 23.0.

HRMS (ESI): calcd. for $C_{20}H_{20}NO^+$ ($[M+H]^+$): 290.1539, found: 290.1546.



N,N-dimethyl-4-(1,2,3,4-tetrahydroacridin-9-yl)aniline 3ga

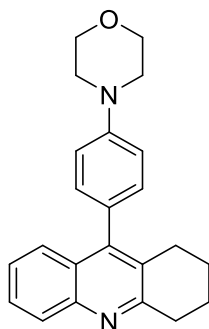
Brown solid; m.p. 213-214 °C;

IR (KBr): 2951, 2926, 2869, 1735, 1610, 1525, 1491, 1454, 1355, 1229, 1020, 824, 798, 764 cm⁻¹.

¹H NMR (600 MHz, CDCl₃) δ 7.99 (d, *J* = 8.5 Hz, 1H), 7.57 (t, *J* = 7.5 Hz, 1H), 7.47 (d, *J* = 8.4 Hz, 1H), 7.29 (t, *J* = 7.5 Hz, 1H), 7.10 (d, *J* = 8.4 Hz, 2H), 6.85 (d, *J* = 8.4 Hz, 2H), 3.18 (t, *J* = 6.6 Hz, 2H), 3.04 (s, 6H), 2.67 (t, *J* = 6.5 Hz, 2H), 1.99 – 1.92 (m, 2H), 1.81 – 1.75 (m, 2H).

¹³C NMR (151 MHz, CDCl₃) δ 159.2, 150.0, 147.1, 146.6, 130.2, 129.0, 128.5, 128.2, 127.5, 126.3, 125.2, 124.7, 112.3, 40.6, 34.4, 28.3, 23.3, 23.1.

HRMS (ESI): calcd. for C₂₁H₂₃N₂⁺ ([M+H]⁺): 303.1856, found: 303.1864.



4-(4-(1,2,3,4-Tetrahydroacridin-9-yl)phenyl)morpholine 3ha

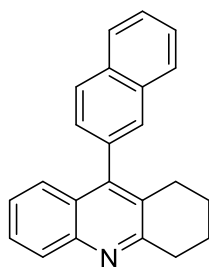
Brown solid; m.p. 222-223 °C;

IR (KBr): 2952, 2927, 2857, 1610, 1518, 1490, 1450, 1378, 1235, 1123, 928, 828, 764, 625 cm⁻¹.

¹H NMR (400 MHz, CDCl₃) δ 8.00 (d, *J* = 8.4 Hz, 1H), 7.58 (t, *J* = 7.6 Hz, 1H), 7.41 (d, *J* = 8.3 Hz, 1H), 7.30 (t, *J* = 7.6 Hz, 1H), 7.18 – 7.11 (m, 2H), 7.09 – 6.99 (m, 2H), 3.91 (t, *J* = 4.7 Hz, 4H), 3.27 (t, *J* = 4.8 Hz, 4H), 3.19 (t, *J* = 6.6 Hz, 2H), 2.64 (t, *J* = 6.5 Hz, 2H), 2.02 – 1.90 (m, 2H), 1.84 – 1.73 (m, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 159.2, 150.7, 146.6, 146.5, 130.3, 128.9, 128.5, 128.4, 128.3, 127.2, 126.1, 125.3, 115.4, 67.1, 49.1, 34.4, 28.3, 23.2, 23.1.

HRMS (ESI): calcd. for C₂₃H₂₅N₂O⁺ ([M+H]⁺): 345.1961, found: 345.1968.



9-(Naphthalen-2-yl)-1,2,3,4-tetrahydroacridine 3ia

Brown solid; m.p. 156-157 °C;

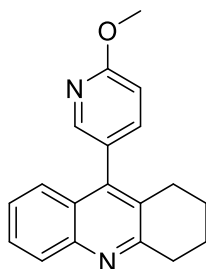
IR (KBr): 3055, 2929, 2864, 2841, 1572, 1494, 1457, 1431, 1398, 1354, 1269, 1166, 1019, 860, 812, 761, 746, 481 cm⁻¹.

¹H NMR (400 MHz, CDCl₃) δ 8.05 (d, *J* = 8.4 Hz, 1H), 7.98 (d, *J* = 8.4 Hz, 1H), 7.96 – 7.90 (m, 1H), 7.88 – 7.82 (m, 1H), 7.71 (s, 1H), 7.59 (ddd, *J* = 8.4, 6.6, 1.6 Hz, 1H), 7.54 (td, *J* = 6.4, 6.0, 3.3 Hz, 2H), 7.34 (dd, *J* = 8.4, 1.6 Hz, 2H), 7.30 – 7.24 (m, 1H), 3.23 (t, *J* = 6.6 Hz, 2H), 2.63 (q, *J* = 6.2 Hz, 2H), 2.02 – 1.90 (m, 2H), 1.82 – 1.71 (m, 2H).

¹³C NMR (101 MHz, CDCl₃) δ 159.3, 146.51, 146.50, 134.8, 133.5, 132.9, 128.8, 128.6, 128.52, 128.46,

128.24, 128.15, 128.0, 127.3, 126.9, 126.7, 126.5, 126.0, 125.6, 34.4, 28.3, 23.2, 23.1.

HRMS (ESI): calcd. for $C_{23}H_{20}N^+$ ($[M+H]^+$): 310.1590, found: 310.1600.



9-(6-Methoxypyridin-3-yl)-1,2,3,4-tetrahydroacridine 3ja

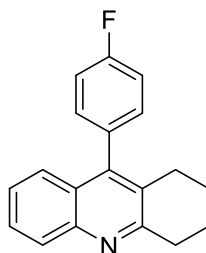
Brown solid; m.p. 127-128 °C;

IR (KBr): 2933, 2864, 1603, 1562, 1502, 1486, 1368, 1284, 1249, 1126, 1026, 837, 763, 603 cm^{-1} .

1H NMR (600 MHz, $CDCl_3$) δ 8.07 (s, 1H), 8.02 (d, $J = 8.4$ Hz, 1H), 7.61 (t, $J = 7.3$ Hz, 1H), 7.48 (d, $J = 8.4$ Hz, 1H), 7.39 – 7.31 (m, 2H), 6.92 (d, $J = 8.4$ Hz, 1H), 4.03 (s, 3H), 3.20 (t, $J = 6.8$ Hz, 2H), 2.75 – 2.55 (m, 2H), 2.01 – 1.90 (m, 2H), 1.86 – 1.75 (m, 2H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 163.9, 159.2, 146.9, 146.5, 142.8, 139.8, 129.4, 128.7, 128.6, 127.0, 125.8, 125.7, 125.4, 111.0, 53.7, 34.3, 28.3, 23.1, 22.9.

HRMS (ESI): calcd. for $C_{19}H_{19}N_2O^+$ ($[M+H]^+$): 291.1492, found: 291.1500.

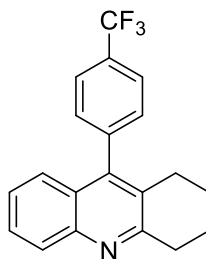


9-(4-Fluorophenyl)-1,2,3,4-tetrahydroacridine 3ka^[8]

1H NMR (400 MHz, $CDCl_3$) δ 8.02 (d, $J = 8.4$ Hz, 1H), 7.60 (ddd, $J = 8.4, 6.1, 2.1$ Hz, 1H), 7.36 – 7.27 (m, 2H), 7.25 – 7.15 (m, 4H), 3.19 (t, $J = 6.6$ Hz, 2H), 2.59 (t, $J = 6.5$ Hz, 2H), 2.01 – 1.90 (m, 2H), 1.84 – 1.73 (m, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 162.5 (d, $J = 246.9$ Hz), 159.2, 146.4, 145.5, 133.0 (d, $J = 3.5$ Hz), 130.9 (d, $J = 8.0$ Hz), 128.7, 128.6, 128.5, 126.8, 125.62, 125.60, 115.8 (d, $J = 21.4$ Hz), 34.4, 28.2, 23.1, 23.0.

^{19}F NMR (376 MHz, $CDCl_3$) δ -114.18 – -114.26 (m).



9-(4-(Trifluoromethyl)phenyl)-1,2,3,4-tetrahydroacridine 3la

Brown solid; m.p. 192-193 °C;

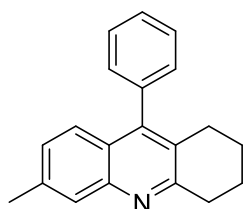
IR (KBr): 2948, 2907, 2840, 1576, 1493, 1323, 1167, 1122, 1066, 1020, 856, 763, 628 cm^{-1} .

^1H NMR (400 MHz, CDCl_3) δ 8.04 (d, $J = 8.4$ Hz, 1H), 7.79 (d, $J = 8.0$ Hz, 2H), 7.61 (t, $J = 7.2$ Hz, 1H), 7.38 (d, $J = 7.9$ Hz, 2H), 7.33 (t, $J = 7.5$ Hz, 1H), 7.22 (d, $J = 8.2$ Hz, 1H), 3.21 (t, $J = 6.6$ Hz, 2H), 2.57 (t, $J = 6.5$ Hz, 2H), 2.03 – 1.92 (m, 2H), 1.85 – 1.75 (m, 2H).

^{13}C NMR (101 MHz, CDCl_3) δ 159.3, 146.4, 145.0, 141.2, 130.3 (q, $J = 32.5$ Hz), 129.8, 128.7, 128.3, 126.2, 125.9, 125.8 (q, $J = 3.7$ Hz), 125.4, 124.3 (q, $J = 272.2$ Hz), 34.3, 28.2, 23.0, 22.9.

^{19}F NMR (376 MHz, CDCl_3) δ -62.51 (s).

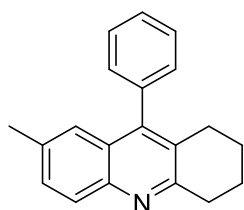
HRMS (ESI): calcd. for $\text{C}_{20}\text{H}_{17}\text{F}_3\text{N}^+$ ($[\text{M}+\text{H}]^+$): 328.1308, found: 328.1316.



6-Methyl-9-phenyl-1,2,3,4-tetrahydroacridine 3ma^[9]

^1H NMR (400 MHz, CDCl_3) δ 7.80 (s, 1H), 7.55 – 7.40 (m, 3H), 7.25 – 7.17 (m, 3H), 7.13 (d, $J = 8.4$ Hz, 1H), 3.18 (t, $J = 6.6$ Hz, 2H), 2.58 (t, $J = 6.5$ Hz, 2H), 2.50 (s, 3H), 2.00 – 1.89 (m, 2H), 1.82 – 1.72 (m, 2H).

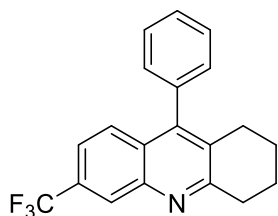
^{13}C NMR (101 MHz, CDCl_3) δ 159.0, 146.6, 146.4, 138.5, 137.4, 129.2, 128.6, 127.74, 127.71, 127.52, 127.51, 125.6, 124.8, 34.4, 28.1, 23.2, 23.1, 21.8.



7-Methyl-9-phenyl-1,2,3,4-tetrahydroacridine 3na^[10]

^1H NMR (400 MHz, CDCl_3) δ 7.91 (d, $J = 8.6$ Hz, 1H), 7.55 – 7.38 (m, 4H), 7.22 (d, $J = 7.0$ Hz, 2H), 7.05 (s, 1H), 3.17 (t, $J = 6.6$ Hz, 2H), 2.57 (t, $J = 6.5$ Hz, 2H), 2.35 (s, 3H), 2.01 – 1.89 (m, 2H), 1.83 – 1.70 (m, 2H).

^{13}C NMR (101 MHz, CDCl_3) δ 158.1, 146.0, 145.0, 137.5, 135.2, 130.7, 129.2, 128.7, 128.4, 128.2, 127.7, 126.7, 124.6, 34.3, 28.2, 23.2, 23.1, 21.8.



9-Phenyl-6-(trifluoromethyl)-1,2,3,4-tetrahydroacridine 3oa

Brown solid; m.p. 69-70 °C;

IR (KBr): 2929, 2866, 2841, 1577, 1491, 1441, 1331, 1286, 1207, 1169, 1126, 1062, 934, 904, 837, 819, 754, 702 cm^{-1} .

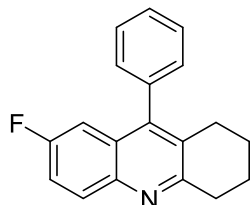
^1H NMR (400 MHz, CDCl_3) δ 8.34 (s, 1H), 7.58 – 7.41 (m, 5H), 7.22 (d, $J = 6.6$ Hz, 2H), 3.22 (t, $J =$

6.6 Hz, 2H), 2.64 (t, $J = 6.5$ Hz, 2H), 2.03 – 1.94 (m, 2H), 1.86 – 1.76 (m, 2H).

^{13}C NMR (101 MHz, CDCl_3) δ 161.0, 146.6, 145.3, 136.5, 130.8, 130.2 (q, $J = 32.4$ Hz), 129.1, 128.9, 128.3, 128.2, 127.2, 126.4 (q, $J = 4.4$ Hz), 124.3 (q, $J = 272.4$ Hz), 121.0 (q, $J = 3.1$ Hz), 34.4, 28.3, 22.9, 22.8.

^{19}F NMR (376 MHz, CDCl_3) δ -62.59 (s).

HRMS (ESI): calcd. for $\text{C}_{20}\text{H}_{17}\text{F}_3\text{N}^+$ ($[\text{M}+\text{H}]^+$): 328.1308, found: 328.1315.

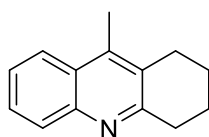


7-Fluoro-9-phenyl-1,2,3,4-tetrahydroacridine 3pa^[10]

^1H NMR (400 MHz, CDCl_3) δ 8.00 (dd, $J = 9.2, 5.5$ Hz, 1H), 7.56 – 7.44 (m, 3H), 7.36 (ddd, $J = 9.2, 8.1, 2.9$ Hz, 1H), 7.24 – 7.18 (m, 2H), 6.92 (dd, $J = 10.2, 2.9$ Hz, 1H), 3.18 (t, $J = 6.6$ Hz, 2H), 2.60 (t, $J = 6.5$ Hz, 2H), 2.01 – 1.91 (m, 2H), 1.83 – 1.73 (m, 2H).

^{13}C NMR (101 MHz, CDCl_3) δ 160.0 (d, $J = 245.5$ Hz), 158.5 (d, $J = 2.6$ Hz), 146.1 (d, $J = 5.6$ Hz), 143.5, 136.8, 130.9 (d, $J = 9.2$ Hz), 129.3, 129.1, 128.9, 128.1, 127.5 (d, $J = 9.3$ Hz), 118.6 (d, $J = 25.9$ Hz), 109.1 (d, $J = 22.8$ Hz), 34.2, 28.2, 23.0, 23.0.

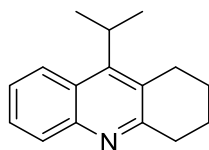
^{19}F NMR (376 MHz, CDCl_3) δ -114.44 – -114.51 (m).



9-Methyl-1,2,3,4-tetrahydroacridine 3qa^[5]

^1H NMR (600 MHz, CDCl_3) δ 7.96 (t, $J = 7.6$ Hz, 2H), 7.59 (t, $J = 7.7$ Hz, 1H), 7.44 (t, $J = 7.6$ Hz, 1H), 3.11 (t, $J = 5.7$ Hz, 3H), 2.88 (t, $J = 5.6$ Hz, 3H), 2.53 (s, 3H), 1.97 – 1.88 (m, 4H).

^{13}C NMR (151 MHz, CDCl_3) δ 158.7, 146.0, 141.3, 129.1, 128.8, 128.2, 127.0, 125.3, 123.4, 34.6, 27.2, 23.3, 22.9, 13.6.



9-Isopropyl-1,2,3,4-tetrahydroacridine 3ra

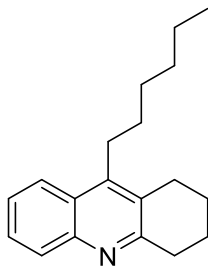
Brown oil;

IR (KBr): 2931, 2869, 1566, 1495, 1455, 1401, 928, 759 cm^{-1} .

^1H NMR (400 MHz, CDCl_3) δ 8.18 (d, $J = 8.6$ Hz, 1H), 7.98 (d, $J = 8.3$ Hz, 1H), 7.56 (t, $J = 7.6$ Hz, 1H), 7.40 (t, $J = 7.7$ Hz, 1H), 3.78 (s, 1H), 3.13 (t, $J = 6.3$ Hz, 2H), 2.97 (t, $J = 6.0$ Hz, 2H), 1.99 – 1.84 (m, 4H), 1.53 (d, $J = 7.3$ Hz, 6H).

^{13}C NMR (101 MHz, CDCl_3) δ 159.0, 150.3, 147.1, 129.7, 127.7, 125.7, 125.1, 124.4, 34.8, 28.3, 27.3, 23.5, 22.6, 21.6.

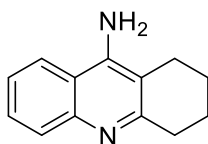
HRMS (ESI): calcd. for $\text{C}_{16}\text{H}_{20}\text{N}^+$ ($[\text{M}+\text{H}]^+$): 226.1590, found: 226.1591.



9-Hexyl-1,2,3,4-tetrahydroacridine 3sa^[11]

¹H NMR (600 MHz, CDCl₃) δ 7.95 (dd, *J* = 19.2, 8.4 Hz, 2H), 7.58 (t, *J* = 7.6 Hz, 1H), 7.44 (t, *J* = 7.6 Hz, 1H), 3.12 (t, *J* = 6.2 Hz, 2H), 2.99 (t, *J* = 8.2 Hz, 2H), 2.92 (t, *J* = 6.2 Hz, 2H), 1.99 – 1.86 (m, 4H), 1.63 – 1.54 (m, 2H), 1.54 – 1.45 (m, 2H), 1.41 – 1.29 (m, 4H), 0.91 (t, *J* = 6.8 Hz, 3H).

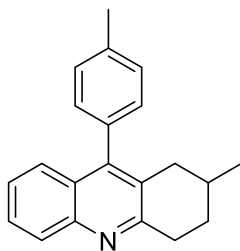
¹³C NMR (151 MHz, CDCl₃) δ 159.0, 146.6, 145.8, 129.3, 128.13, 128.10, 126.4, 125.4, 123.4, 34.7, 31.8, 30.1, 29.8, 27.8, 26.5, 23.4, 23.0, 22.8, 14.2.



1,2,3,4-Tetrahydroacridin-9-amine 3ta^[12]

¹H NMR (400 MHz, CDCl₃) δ 7.89 (d, *J* = 8.4 Hz, 1H), 7.75 (d, *J* = 8.4 Hz, 1H), 7.60 – 7.52 (m, 1H), 7.36 (t, *J* = 7.6 Hz, 1H), 4.96 (s, 2H), 3.02 (t, *J* = 6.0 Hz, 2H), 2.58 (t, *J* = 6.0 Hz, 2H), 1.98 – 1.86 (m, 4H).

¹³C NMR (101 MHz, CDCl₃) δ 158.0, 147.4, 145.6, 129.0, 127.9, 124.2, 120.1, 117.0, 110.4, 33.5, 23.7, 22.8 (2C).



2-Methyl-9-(*p*-tolyl)-1,2,3,4-tetrahydroacridine 3bb

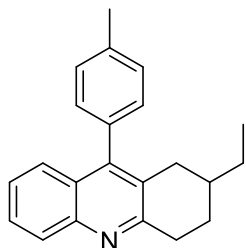
Brown solid; m.p. 131-132 °C;

IR (KBr): 3060, 2951, 2925, 2868, 1576, 1492, 1455, 1376, 1356, 1022, 814, 762 cm⁻¹.

¹H NMR (400 MHz, CDCl₃) δ 8.01 (d, *J* = 8.4 Hz, 1H), 7.59 (ddd, *J* = 8.3, 6.5, 1.8 Hz, 1H), 7.38 – 7.27 (m, 4H), 7.12 (ddd, *J* = 8.4, 6.6, 2.2 Hz, 2H), 3.35 – 3.24 (m, 1H), 3.24 – 3.10 (m, 1H), 2.68 (ddd, *J* = 17.0, 4.8, 2.0 Hz, 1H), 2.47 (s, 3H), 2.29 – 2.18 (m, 1H), 2.09 – 1.99 (m, 1H), 1.94 – 1.81 (m, 1H), 1.64 – 1.50 (m, 1H), 1.01 (d, *J* = 6.6 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 158.9, 146.7, 146.4, 137.5, 134.1, 129.5, 129.4, 129.2, 129.1, 128.44, 128.42, 128.2, 126.9, 126.0, 125.4, 36.6, 34.0, 31.3, 29.4, 22.0, 21.5.

HRMS (ESI): calcd. for C₂₁H₂₂N⁺ ([M+H]⁺): 288.1747, found: 288.1749.



2-Ethyl-9-(*p*-tolyl)-1,2,3,4-tetrahydroacridine 3bc

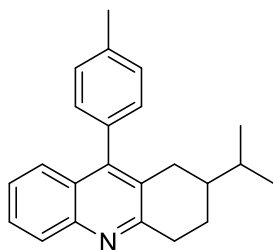
Brown solid; m.p. 87-88 °C;

IR (KBr): 3060, 2957, 2925, 2872, 1575, 1492, 1457, 1378, 1356, 1215, 1021, 762 cm⁻¹.

¹H NMR (600 MHz, CDCl₃) δ 8.01 (d, *J* = 8.4 Hz, 1H), 7.58 (t, *J* = 7.5 Hz, 1H), 7.36 – 7.27 (m, 4H), 7.11 (t, *J* = 8.2 Hz, 2H), 3.33 – 3.25 (m, 1H), 3.20 – 3.10 (m, 1H), 2.70 (ddd, *J* = 17.0, 4.9, 1.9 Hz, 1H), 2.46 (s, 3H), 2.26 (dd, *J* = 16.9, 10.5 Hz, 1H), 2.16 – 2.08 (m, 1H), 1.69 – 1.60 (m, 1H), 1.59 – 1.49 (m, 1H), 1.40 – 1.27 (m, 2H), 0.89 (t, *J* = 7.5 Hz, 3H).

¹³C NMR (151 MHz, CDCl₃) δ 159.2, 146.8, 146.5, 137.5, 134.1, 129.42, 129.35, 129.2, 129.1, 128.5, 128.4, 128.2, 127.0, 126.0, 125.3, 36.0, 34.5, 33.9, 28.9, 28.5, 21.5, 11.6.

HRMS (ESI): calcd. for C₂₂H₂₄N⁺ ([M+H]⁺): 302.1903, found: 302.1906.



2-Isopropyl-9-(*p*-tolyl)-1,2,3,4-tetrahydroacridine 3bd

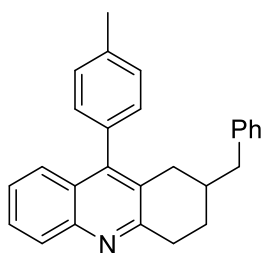
Brown solid; m.p. 94-95 °C;

IR (KBr): 3059, 2955, 2927, 2869, 1573, 1492, 1459, 1380, 1020, 762 cm⁻¹.

¹H NMR (600 MHz, CDCl₃) δ 8.00 (d, *J* = 8.5 Hz, 1H), 7.58 (t, *J* = 7.0 Hz, 1H), 7.36 – 7.27 (m, 4H), 7.11 (d, *J* = 7.7 Hz, 2H), 3.35 – 3.26 (m, 1H), 3.19 – 3.08 (m, 1H), 2.68 – 2.60 (m, 1H), 2.47 (s, 3H), 2.40 – 2.32 (m, 1H), 2.12 – 2.04 (m, 1H), 1.65 – 1.49 (m, 3H), 0.87 (dd, *J* = 18.2, 6.4 Hz, 6H).

¹³C NMR (151 MHz, CDCl₃) δ 159.4, 146.9, 146.5, 137.5, 134.2, 129.5, 129.4, 129.2, 129.1, 128.57, 128.55, 128.4, 127.0, 126.0, 125.4, 40.8, 34.3, 32.0, 25.9, 21.5, 20.2, 19.5.

HRMS (ESI): calcd. for C₂₃H₂₆N⁺ ([M+H]⁺): 316.2060, found: 316.2062.



2-Benzyl-9-(*p*-tolyl)-1,2,3,4-tetrahydroacridine 3be

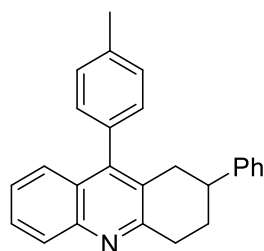
White solid; m.p. 110-111 °C;

IR (KBr): 3059, 3025, 2925, 1574, 1492, 1453, 1357, 1021, 763, 700 cm^{-1} .

^1H NMR (400 MHz, CDCl_3) δ 8.00 (d, $J = 7.9$ Hz, 1H), 7.59 (ddd, $J = 8.3, 6.6, 1.7$ Hz, 1H), 7.38 – 7.30 (m, 4H), 7.29 – 7.23 (m, 3H), 7.21 – 7.16 (m, 1H), 7.14 – 7.08 (m, 4H), 3.34 – 3.22 (m, 1H), 3.14 – 3.02 (m, 1H), 2.80 – 2.68 (m, 2H), 2.51 – 2.35 (m, 5H), 2.10 – 1.98 (m, 2H), 1.63 – 1.50 (m, 1H).

^{13}C NMR (101 MHz, CDCl_3) δ 158.9, 146.9, 146.5, 140.5, 137.6, 134.0, 129.42, 129.39, 129.3, 129.2, 129.1, 128.51, 128.48, 128.4, 127.8, 126.9, 126.07, 126.06, 125.5, 42.4, 36.3, 34.9, 33.6, 28.0, 21.5.

HRMS (ESI): calcd. for $\text{C}_{27}\text{H}_{26}\text{N}^+$ ($[\text{M}+\text{H}]^+$): 364.2060, found: 364.2065.



2-Phenyl-9-(*p*-tolyl)-1,2,3,4-tetrahydroacridine 3bf

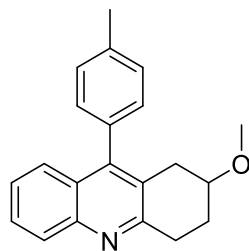
White solid; m.p. 173-174 $^{\circ}\text{C}$;

IR (KBr): 3059, 3027, 2952, 2927, 1574, 1492, 1454, 1377, 1021, 762, 699 cm^{-1} .

^1H NMR (400 MHz, CDCl_3) δ 8.03 (d, $J = 8.4$ Hz, 1H), 7.62 (ddd, $J = 8.4, 6.4, 1.9$ Hz, 1H), 7.38 – 7.24 (m, 6H), 7.24 – 7.17 (m, 3H), 7.10 (t, $J = 8.4$ Hz, 2H), 3.46 – 3.26 (m, 2H), 3.07 – 2.96 (m, 1H), 2.95 – 2.86 (m, 1H), 2.81 – 2.70 (m, 1H), 2.42 (s, 3H), 2.33 – 2.24 (m, 1H), 2.22 – 2.08 (m, 1H).

^{13}C NMR (101 MHz, CDCl_3) δ 158.3, 147.1, 146.6, 146.1, 137.6, 133.8, 129.6, 129.5, 129.1, 128.9, 128.7, 128.6, 128.5, 128.0, 127.03, 126.96, 126.5, 126.1, 125.6, 41.0, 36.3, 34.5, 30.3, 21.4.

HRMS (ESI): calcd. for $\text{C}_{26}\text{H}_{24}\text{N}^+$ ($[\text{M}+\text{H}]^+$): 350.1903, found: 350.1906.



2-Methoxy-9-(*p*-tolyl)-1,2,3,4-tetrahydroacridine 3bg

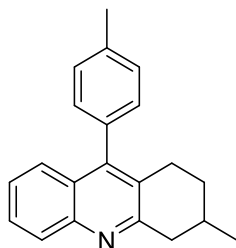
Brown solid; m.p. 101-102 $^{\circ}\text{C}$;

IR (KBr): 3059, 3026, 2926, 2823, 1577, 1492, 1457, 1355, 1188, 1097, 763 cm^{-1} .

^1H NMR (600 MHz, CDCl_3) δ 8.01 (d, $J = 8.5$ Hz, 1H), 7.60 (t, $J = 7.6$ Hz, 1H), 7.37 (d, $J = 8.2$ Hz, 1H), 7.34 – 7.28 (m, 3H), 7.12 (dd, $J = 23.3, 7.0$ Hz, 2H), 3.73 – 3.66 (m, 1H), 3.39 – 3.30 (m, 4H), 3.20 – 3.12 (m, 1H), 2.89 – 2.82 (m, 1H), 2.75 – 2.68 (m, 1H), 2.46 (s, 3H), 2.24 – 2.16 (m, 1H), 2.11 – 2.01 (m, 1H).

^{13}C NMR (151 MHz, CDCl_3) δ 158.1, 147.6, 146.6, 137.7, 133.8, 129.6, 129.4, 129.2, 129.0, 128.64, 128.55, 126.9, 126.0, 125.9, 125.5, 75.1, 56.0, 33.7, 30.9, 27.2, 21.4.

HRMS (ESI): calcd. for $\text{C}_{21}\text{H}_{22}\text{NO}^+$ ($[\text{M}+\text{H}]^+$): 304.1696, found: 304.1697.



3-Methyl-9-(*p*-tolyl)-1,2,3,4-tetrahydroacridine 3bh'

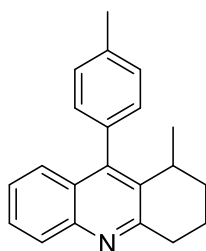
Brown solid; m.p. 125-126 °C;

IR (KBr): 3059, 2949, 2925, 2868, 1575, 1492, 1454, 1354, 1022, 813, 762 cm⁻¹.

¹H NMR (400 MHz, CDCl₃) δ 8.01 (d, *J* = 8.4 Hz, 1H), 7.59 (ddd, *J* = 8.4, 6.5, 1.7 Hz, 1H), 7.38 – 7.27 (m, 4H), 7.16 – 7.07 (m, 2H), 3.35 – 3.24 (m, 1H), 2.84 – 2.72 (m, 1H), 2.72 – 2.54 (m, 2H), 2.46 (s, 3H), 2.11 – 1.96 (m, 1H), 1.93 – 1.82 (m, 1H), 1.45 – 1.32 (m, 1H), 1.13 (d, *J* = 6.6 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 159.1, 146.6, 146.5, 137.5, 134.2, 129.43, 129.39, 129.2, 129.0, 128.5, 128.4, 128.0, 126.9, 126.0, 125.4, 43.0, 31.3, 29.3, 27.8, 22.0, 21.5.

HRMS (ESI): calcd. for C₂₁H₂₂N⁺ ([M+H]⁺): 288.1747, found: 288.1748.



1-Methyl-9-(*p*-tolyl)-1,2,3,4-tetrahydroacridine 3bh''

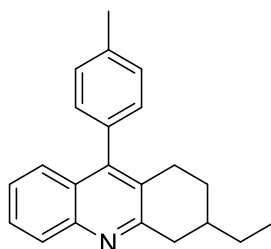
Brown solid; m.p. 99-100 °C;

IR (KBr): 3060, 2928, 2869, 1573, 1491, 1456, 1396, 1355, 1021, 821, 762 cm⁻¹.

¹H NMR (400 MHz, CDCl₃) δ 7.99 (d, *J* = 8.4 Hz, 1H), 7.59 (ddd, *J* = 8.4, 5.3, 3.0 Hz, 1H), 7.37 – 7.27 (m, 4H), 7.23 – 7.18 (m, 1H), 7.15 – 7.10 (m, 1H), 3.36 – 3.24 (m, 1H), 3.23 – 3.04 (m, 2H), 2.48 (s, 3H), 2.19 – 2.04 (m, 1H), 1.99 – 1.83 (m, 2H), 1.78 – 1.67 (m, 1H), 0.98 (d, *J* = 7.1 Hz, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 158.8, 146.6, 146.4, 137.5, 133.89, 133.85, 130.3, 129.4, 129.1, 128.9, 128.5, 128.4, 127.3, 126.2, 125.3, 33.8, 29.9, 29.8, 21.9, 21.5, 17.9.

HRMS (ESI): calcd. for C₂₁H₂₂N⁺ ([M+H]⁺): 288.1747, found: 288.1748.



3-Ethyl-9-(*p*-tolyl)-1,2,3,4-tetrahydroacridine 3bi'

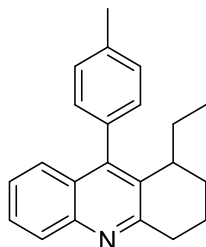
Brown solid; m.p. 100-101 °C;

IR (KBr): 2957, 2925, 2871, 1736, 1575, 1492, 1458, 1378, 1355, 1021, 806, 762 cm⁻¹.

^1H NMR (400 MHz, CDCl_3) δ 8.01 (d, $J = 8.5$ Hz, 1H), 7.58 (t, $J = 7.2$ Hz, 1H), 7.38 – 7.27 (m, 4H), 7.12 (t, $J = 5.9$ Hz, 2H), 3.36 (dd, $J = 17.3, 3.2$ Hz, 1H), 2.77 (dd, $J = 17.3, 10.9$ Hz, 1H), 2.72 – 2.54 (m, 2H), 2.46 (s, 3H), 1.98 – 1.74 (m, 2H), 1.54 – 1.31 (m, 3H), 1.01 (t, $J = 7.5$ Hz, 3H).

^{13}C NMR (101 MHz, CDCl_3) δ 159.2, 146.53, 146.51, 137.5, 134.2, 129.41, 129.37, 129.2, 129.0, 128.5, 128.4, 128.3, 126.9, 126.0, 125.4, 40.8, 36.0, 29.3, 29.2, 27.7, 21.5, 11.6.

HRMS (ESI): calcd. for $\text{C}_{22}\text{H}_{24}\text{N}^+$ ($[\text{M}+\text{H}]^+$): 302.1903, found: 302.1904.



1-Ethyl-9-(*p*-tolyl)-1,2,3,4-tetrahydroacridine 3bi

Brown solid; m.p. 123-124 °C;

IR (KBr): 2955, 2926, 2869, 1737, 1572, 1491, 1458, 1377, 1020, 762 cm^{-1} .

^1H NMR (400 MHz, CDCl_3) δ 7.99 (d, $J = 8.4$ Hz, 1H), 7.59 (ddd, $J = 8.4, 5.6, 2.7$ Hz, 1H), 7.36 – 7.28 (m, 4H), 7.20 (d, $J = 7.3$ Hz, 1H), 7.10 (d, $J = 7.2$ Hz, 1H), 3.34 – 3.22 (m, 1H), 3.18 – 3.04 (m, 1H), 2.92 – 2.83 (m, 1H), 2.47 (s, 3H), 2.12 – 1.98 (m, 1H), 1.98 – 1.85 (m, 2H), 1.77 – 1.67 (m, 1H), 1.48 – 1.29 (m, 2H), 0.62 (t, $J = 7.4$ Hz, 3H).

^{13}C NMR (101 MHz, CDCl_3) δ 159.0, 146.6, 146.4, 137.4, 134.0, 133.8, 130.2, 129.4, 129.2, 128.9, 128.44, 128.37, 127.4, 126.3, 125.3, 36.5, 33.6, 27.8, 24.6, 21.5, 17.8, 12.2.

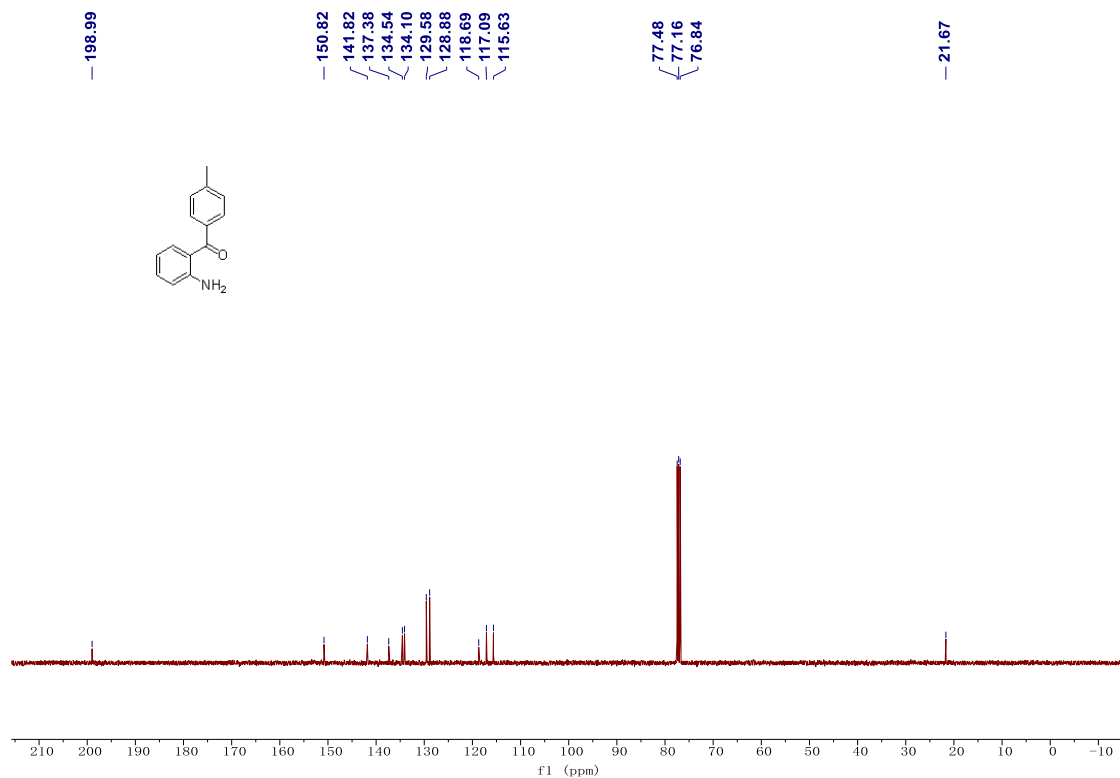
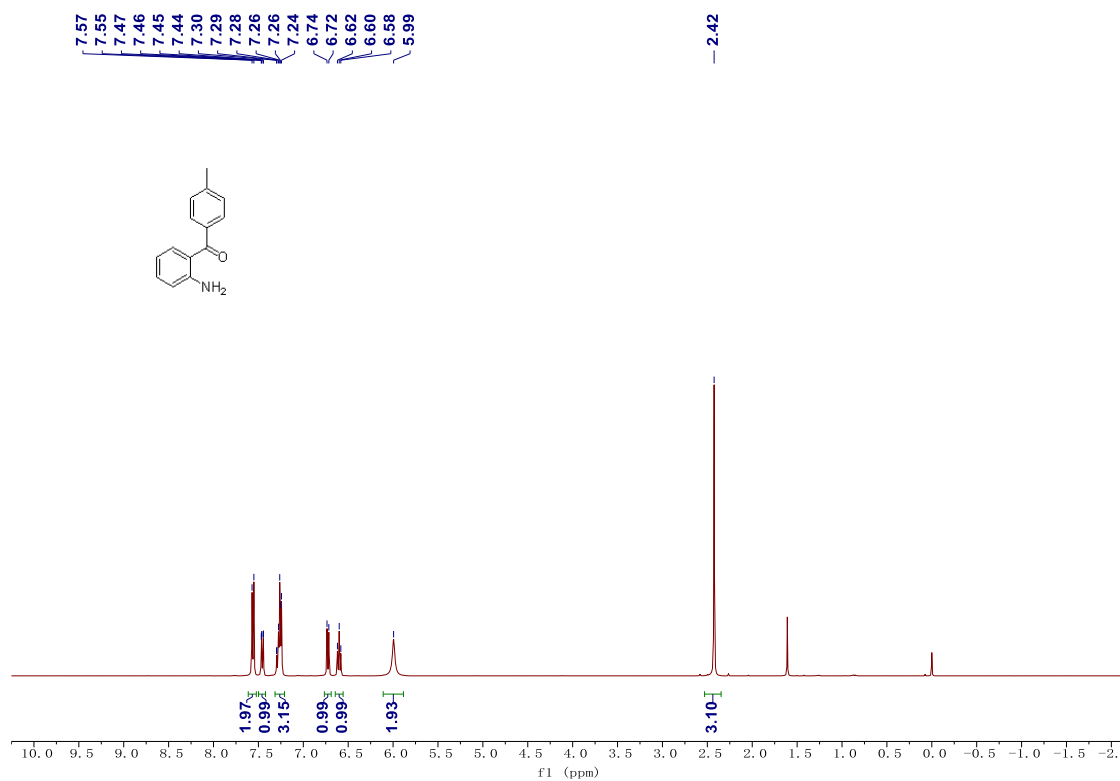
HRMS (ESI): calcd. for $\text{C}_{22}\text{H}_{24}\text{N}^+$ ($[\text{M}+\text{H}]^+$): 302.1903, found: 302.1904.

VI. References

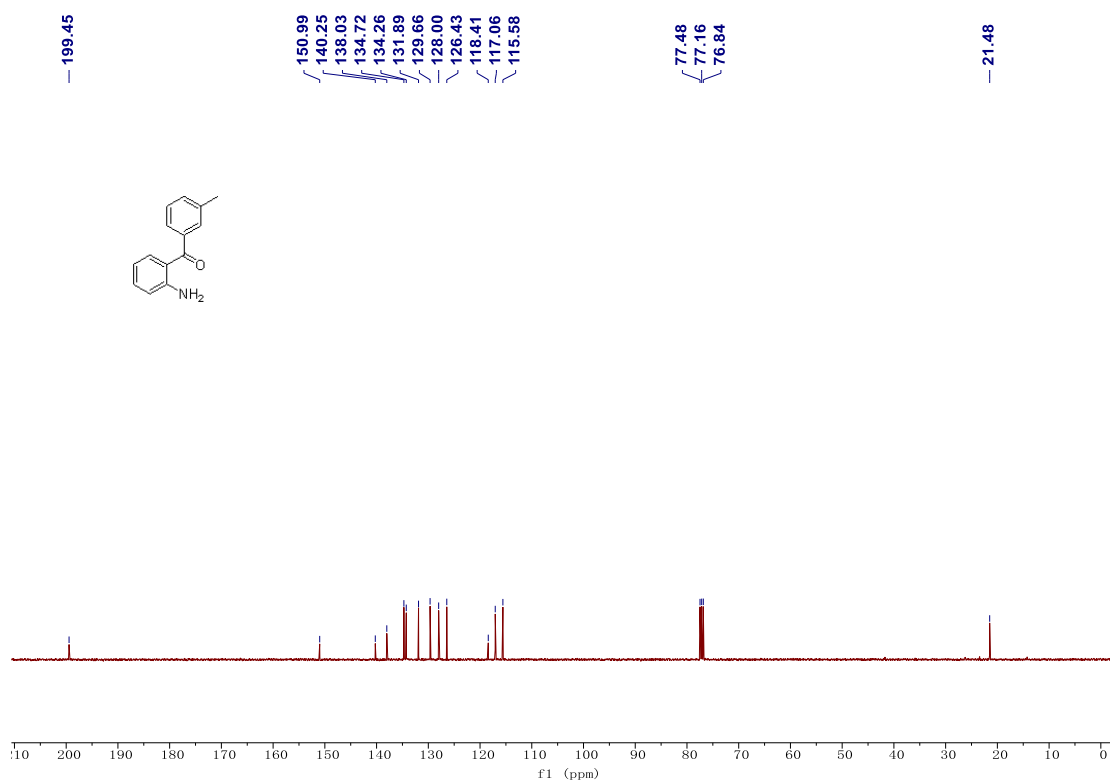
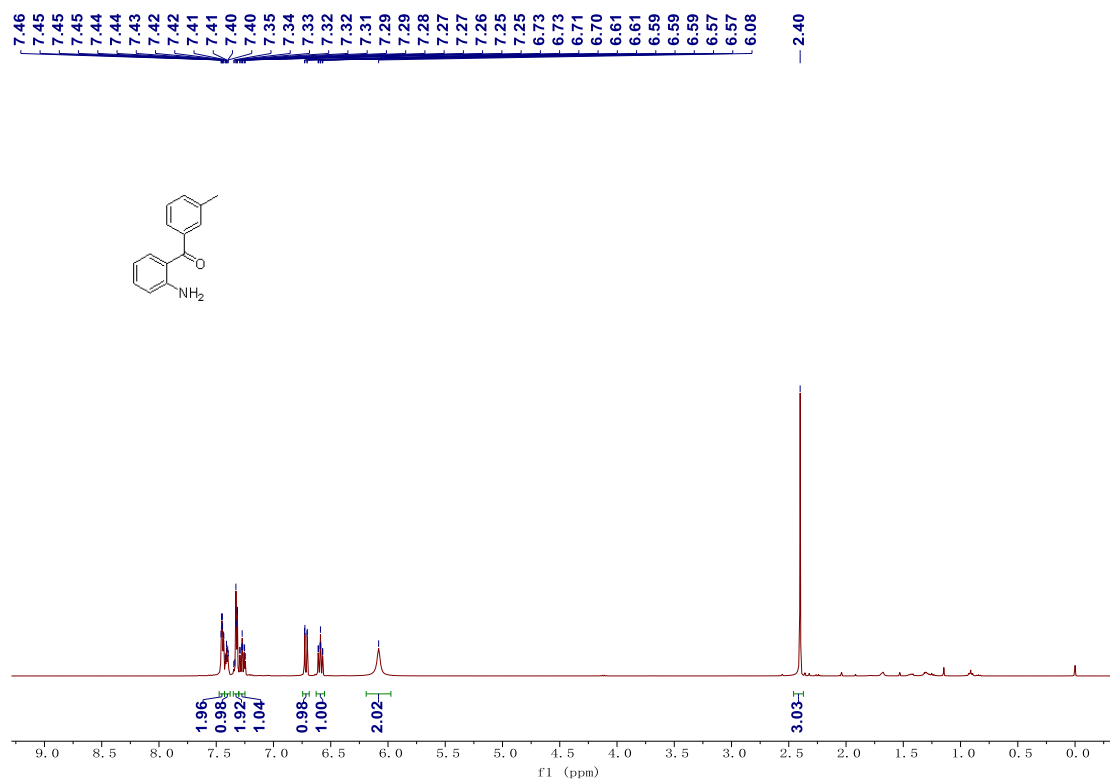
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VII. Copies of ^1H , ^{13}C and ^{19}F NMR Spectra

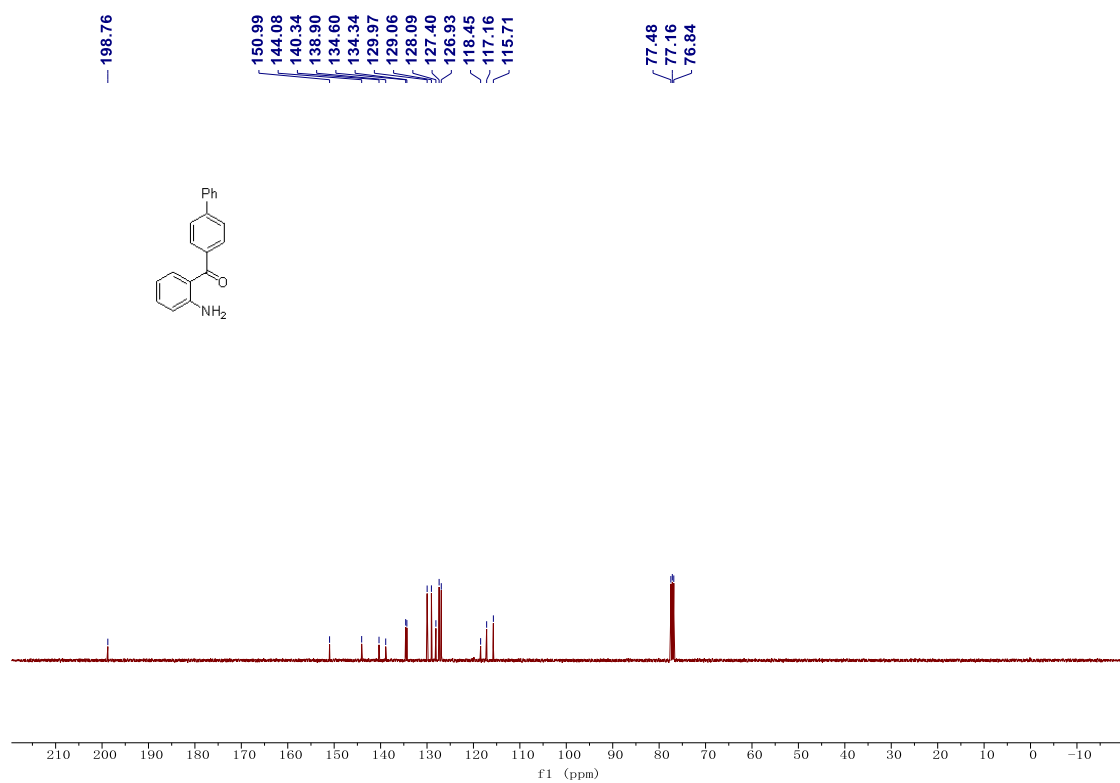
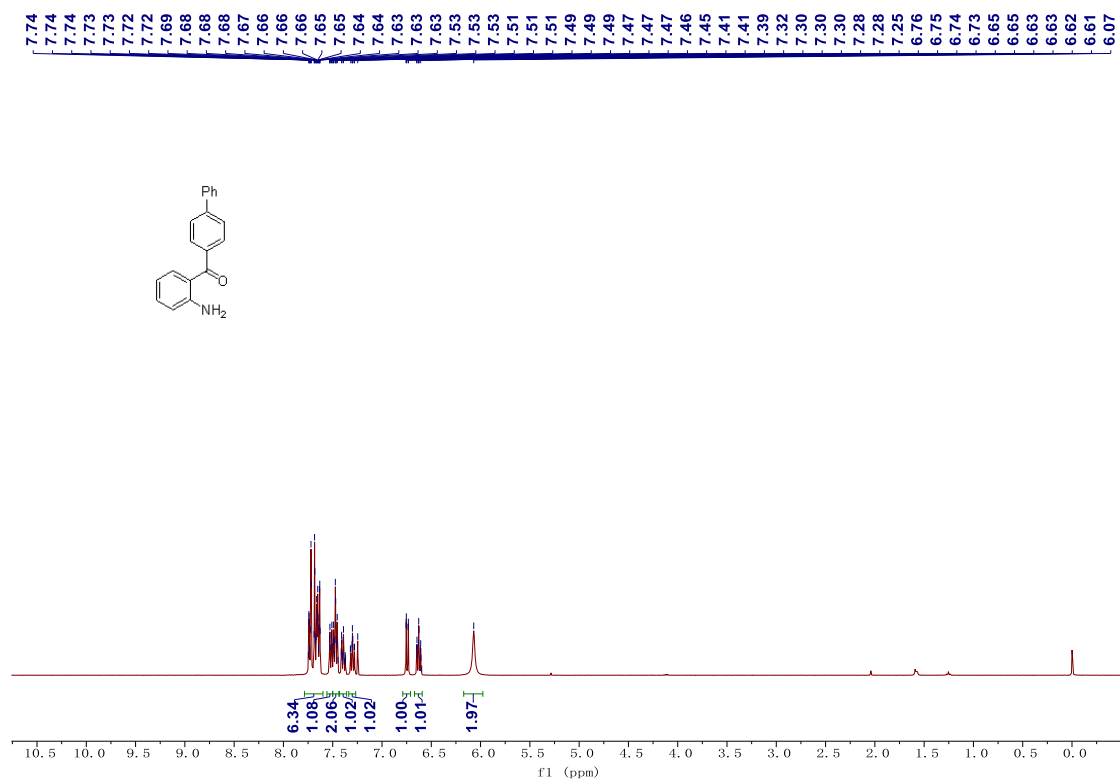
^1H and ^{13}C NMR spectra of compound (2-aminophenyl)(*p*-tolyl)methanone **1b**



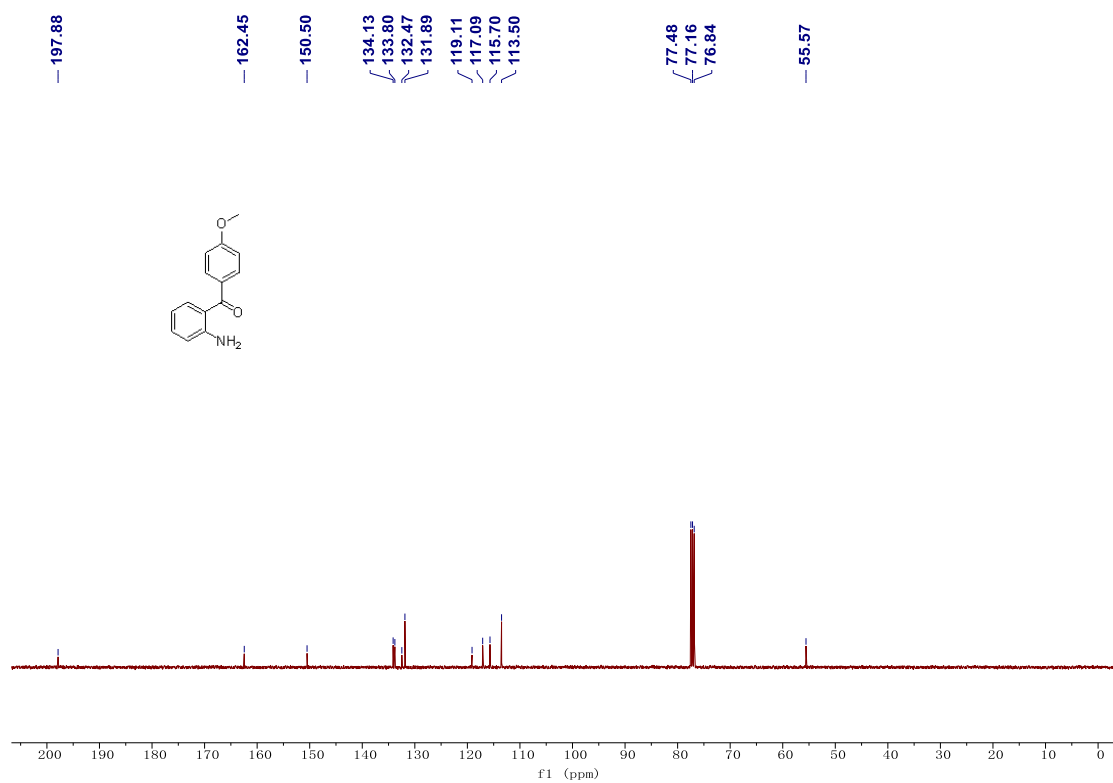
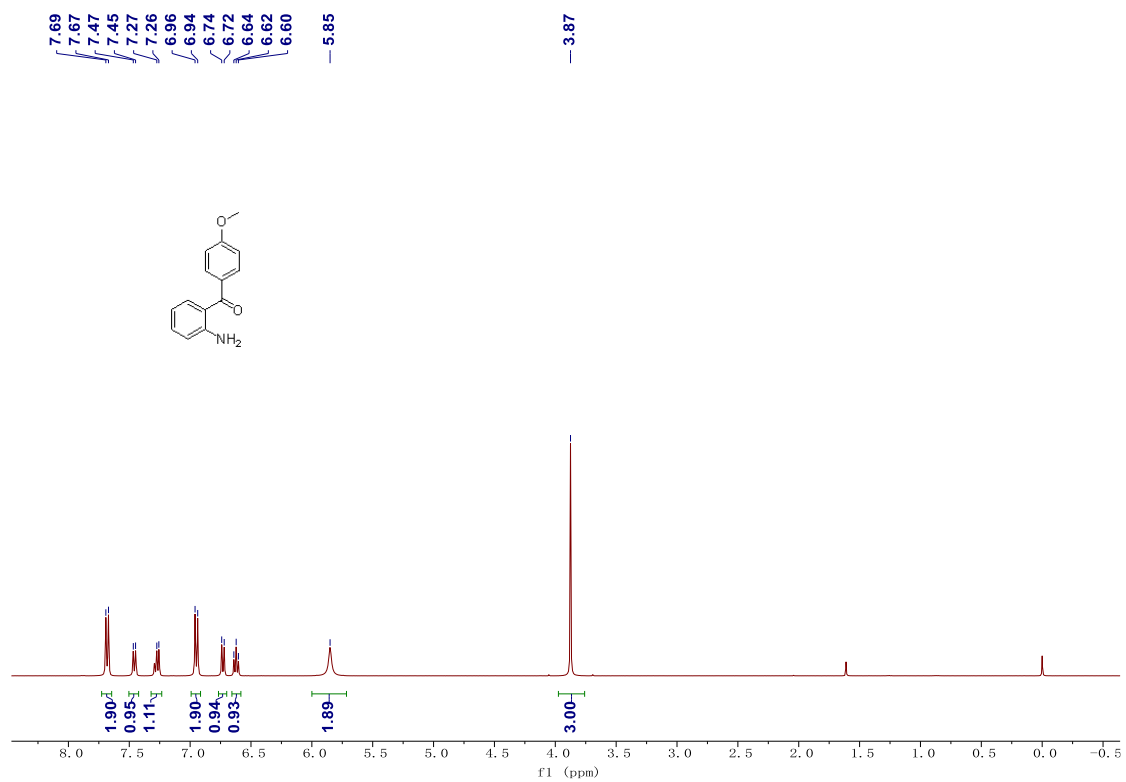
¹H and ¹³C NMR spectra of compound (2-aminophenyl)(*m*-tolyl)methanone **1c**



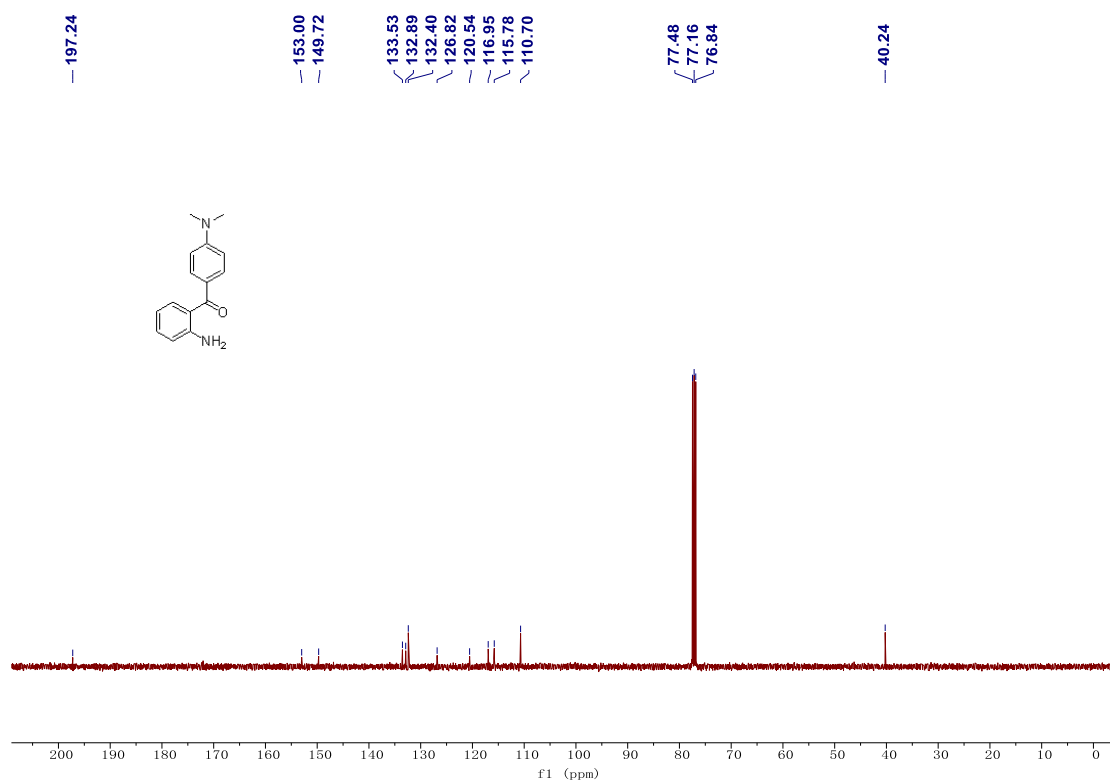
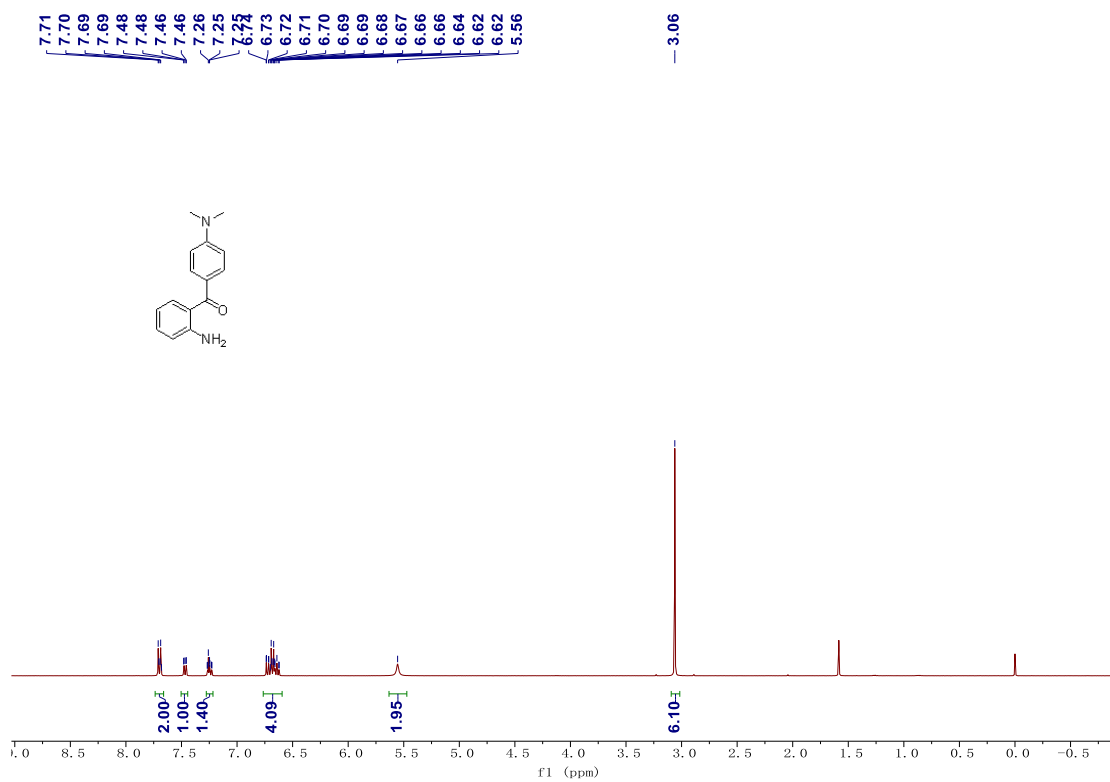
^1H and ^{13}C NMR spectra of compound [1,1'-biphenyl]-4-yl(2-aminophenyl)methanone **1e**



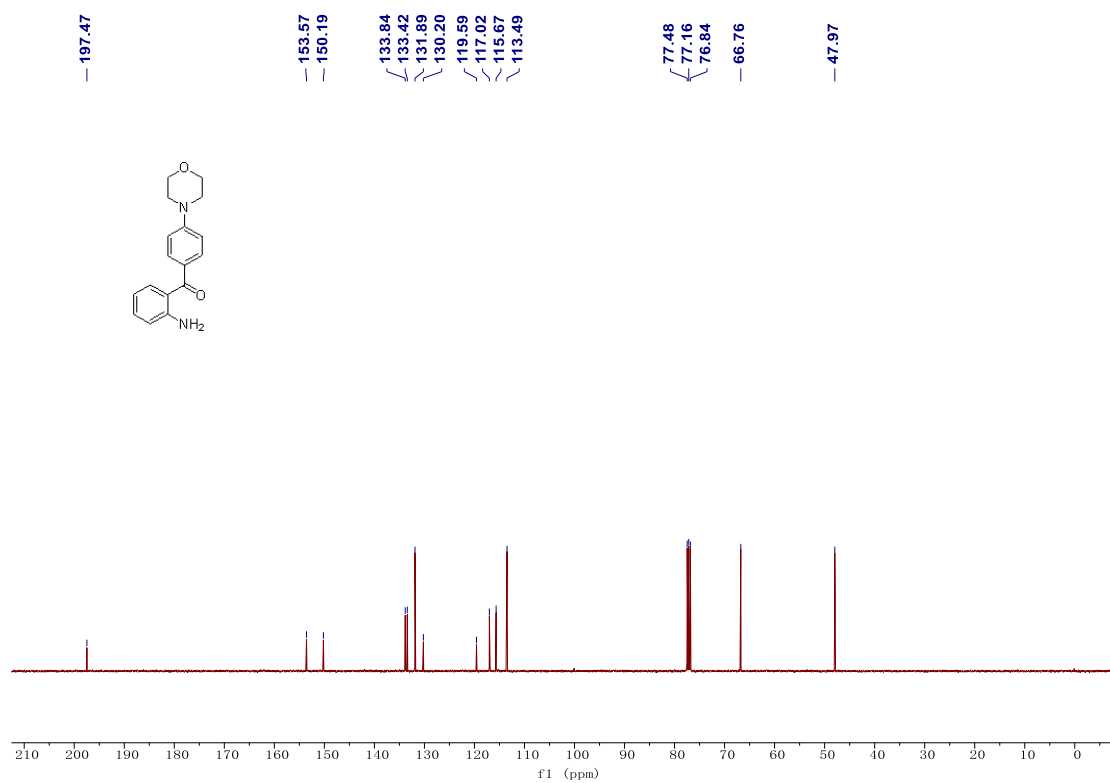
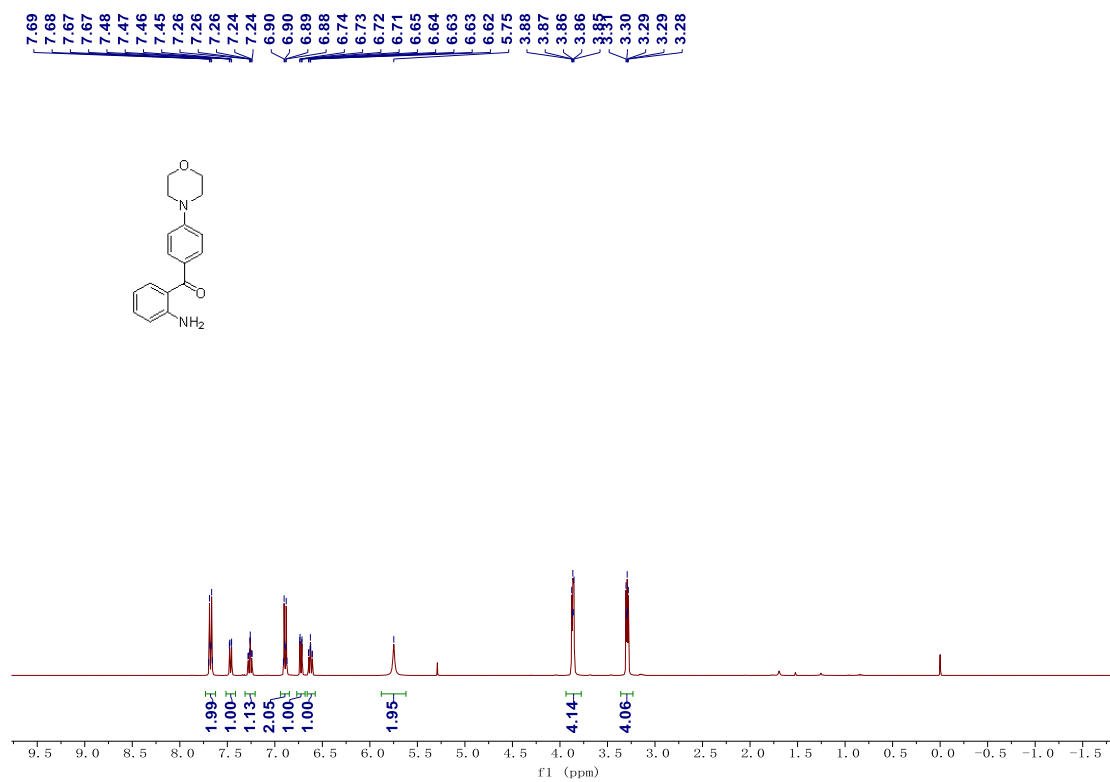
^1H and ^{13}C NMR spectra of compound (2-aminophenyl)(4-methoxyphenyl)methanone **1f**



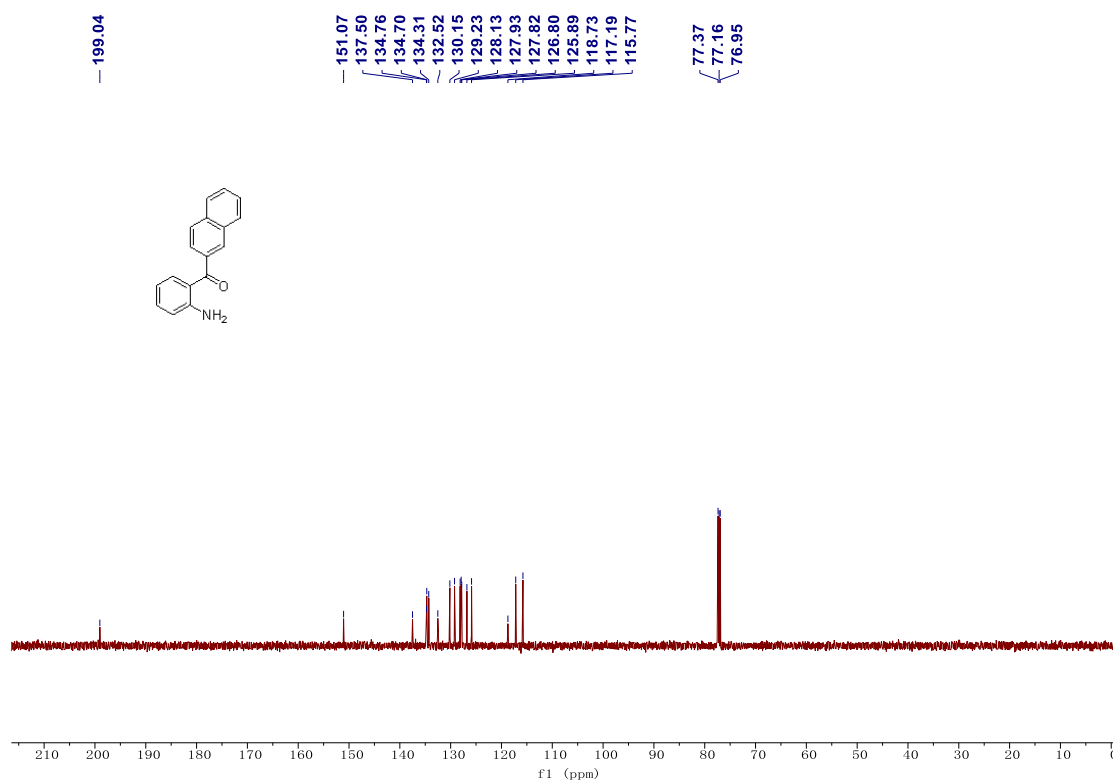
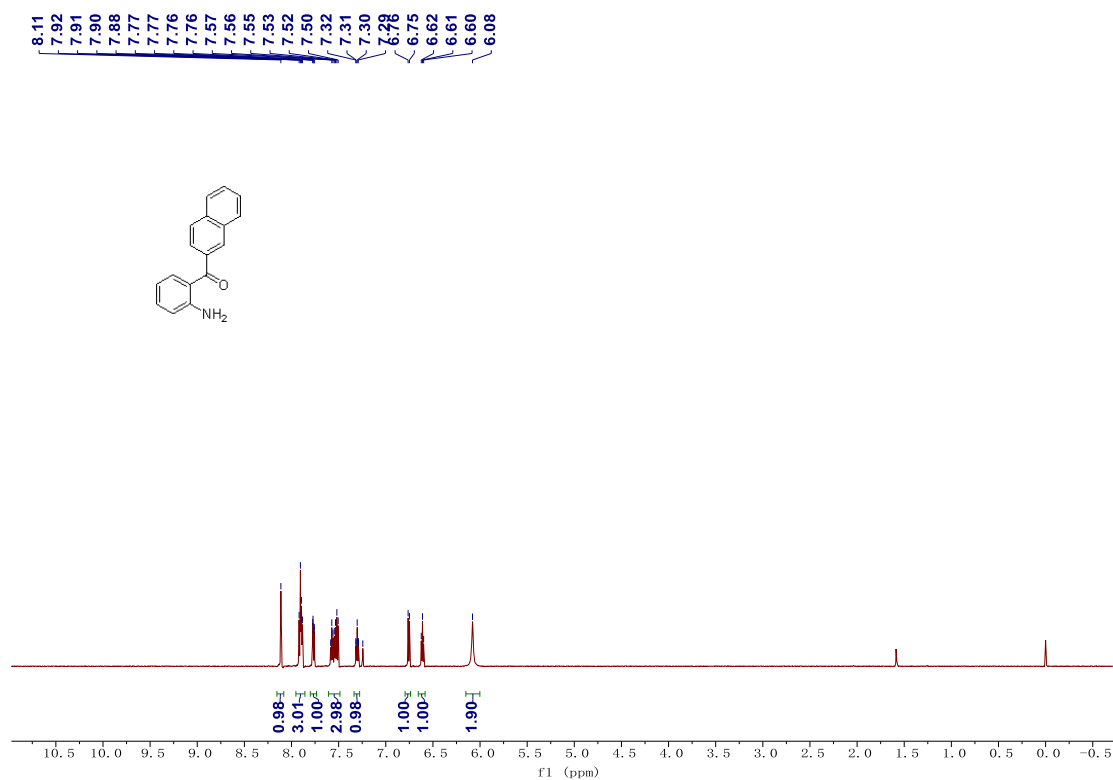
^1H and ^{13}C NMR spectra of compound (2-aminophenyl)(4-methoxyphenyl)methanone **1g**



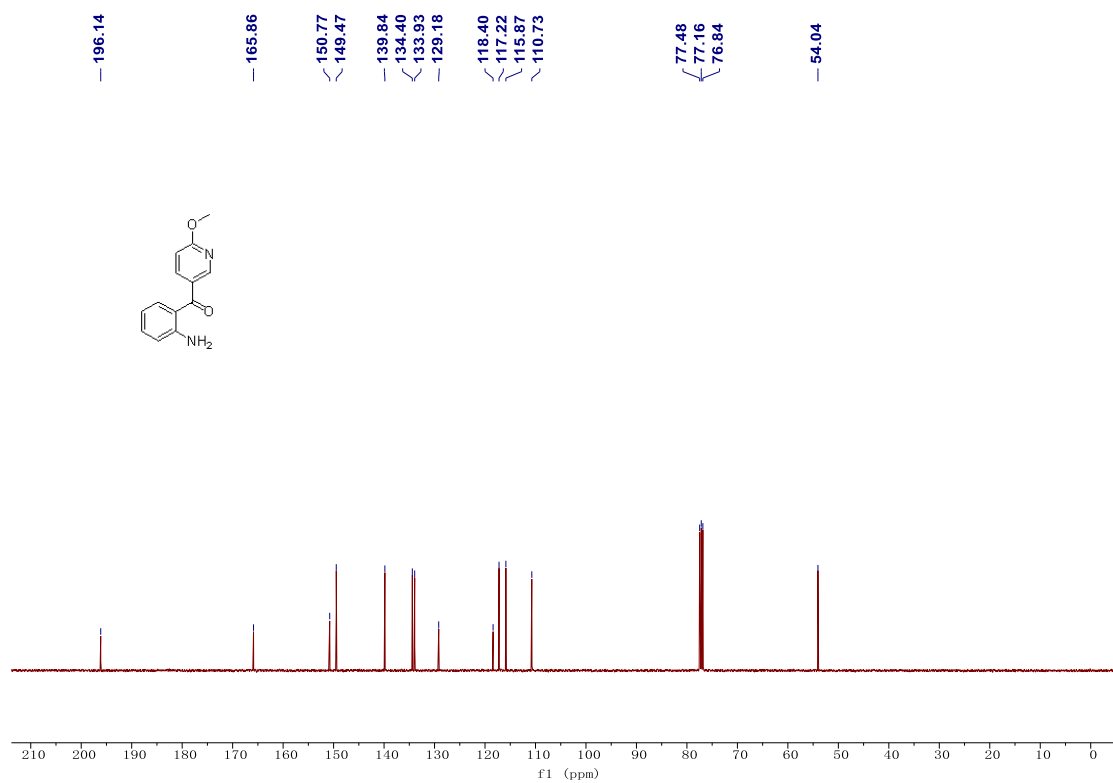
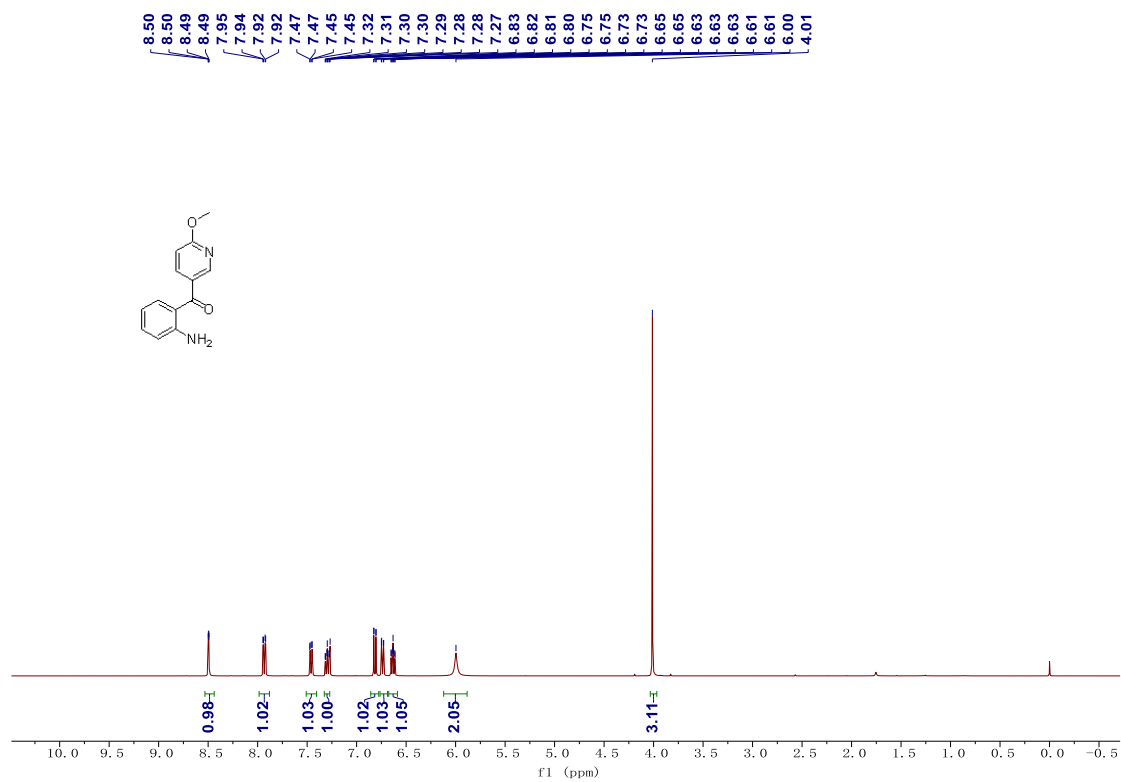
^1H and ^{13}C NMR spectra of compound (2-aminophenyl)(4-morpholinophenyl)methanone **1h**



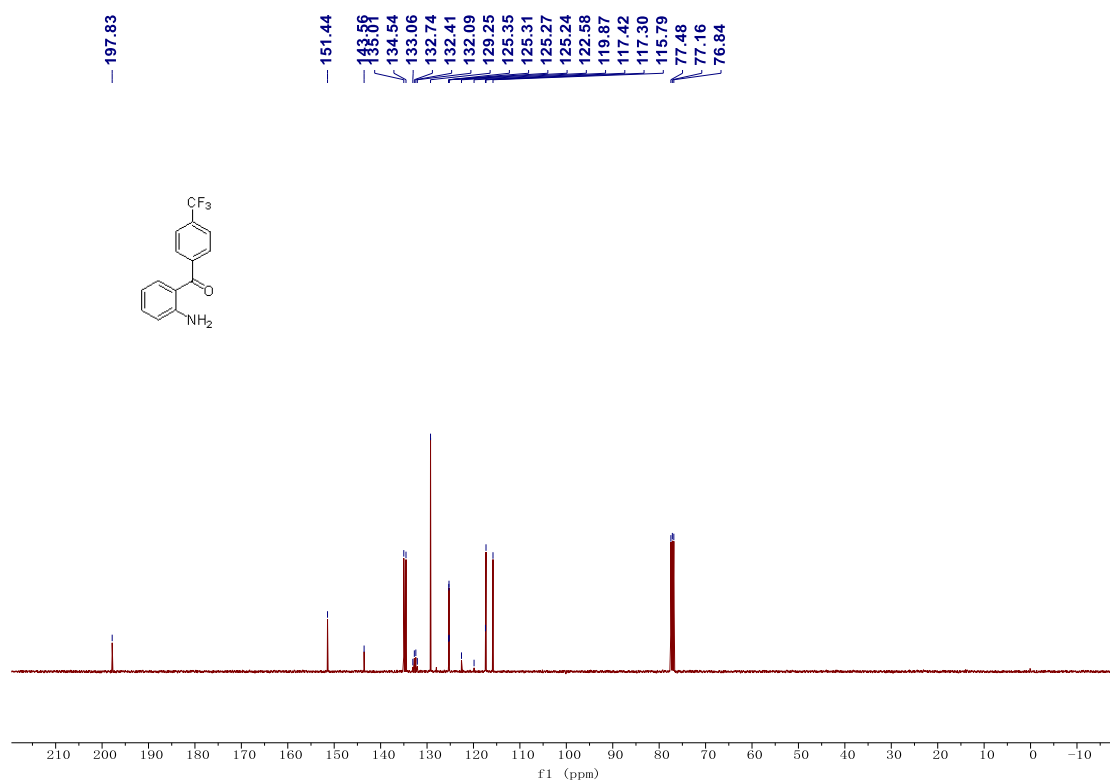
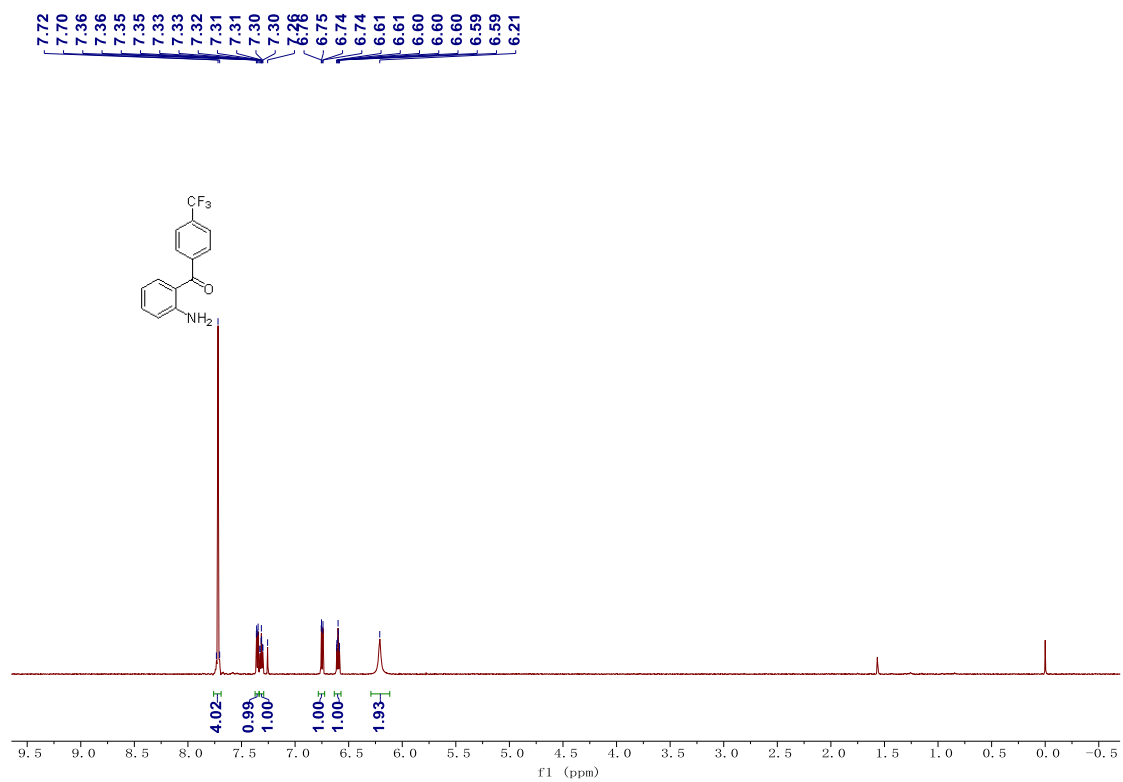
¹H and ¹³C NMR spectra of compound (2-aminophenyl)(naphthalen-2-yl)methanone **1i**

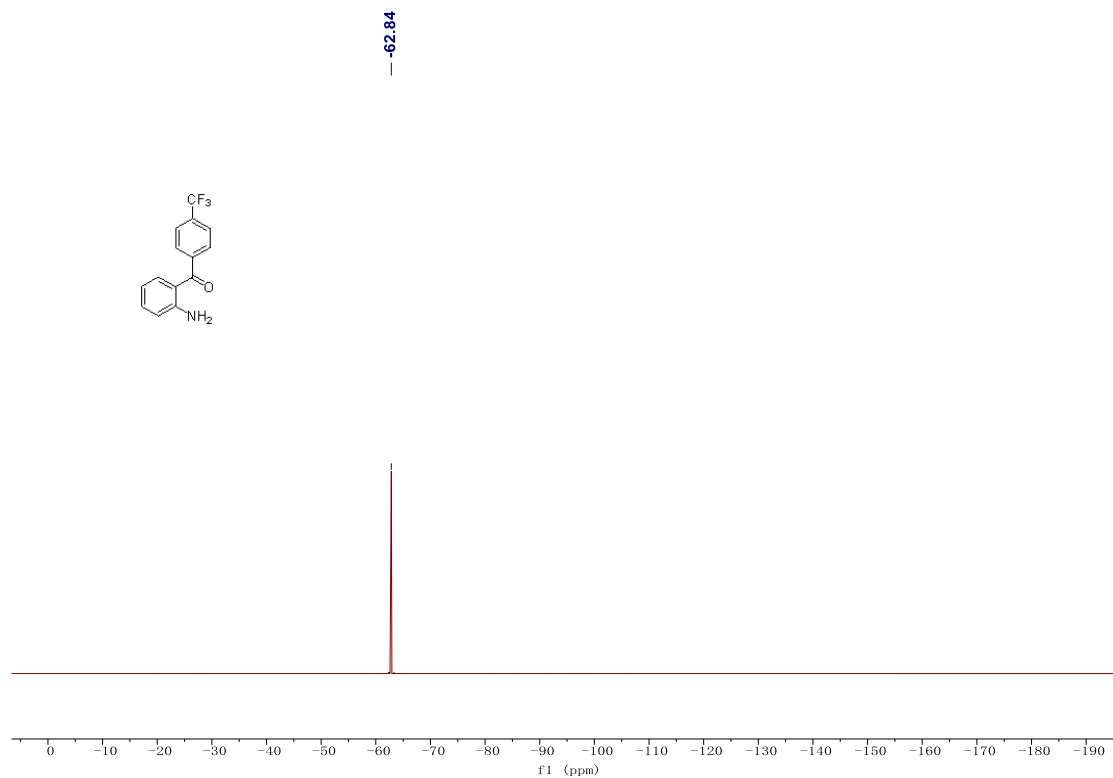


^1H and ^{13}C NMR spectra of compound (2-aminophenyl)(6-methoxypyridin-3-yl)methanone **1j**

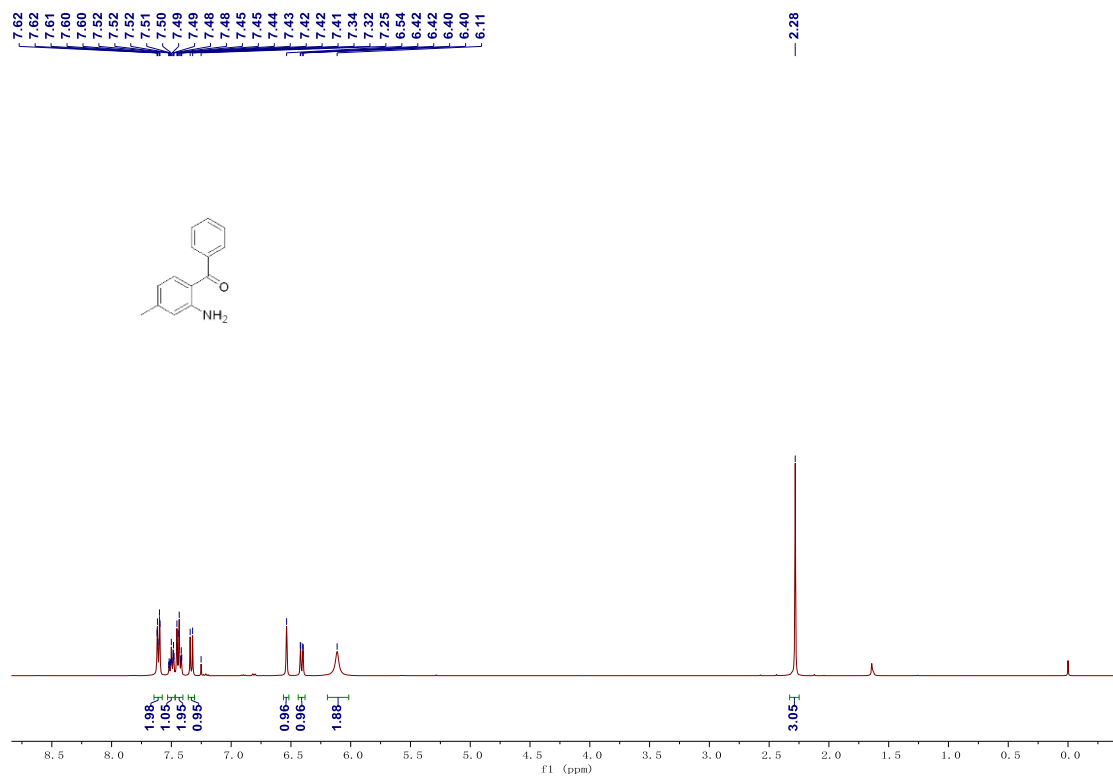


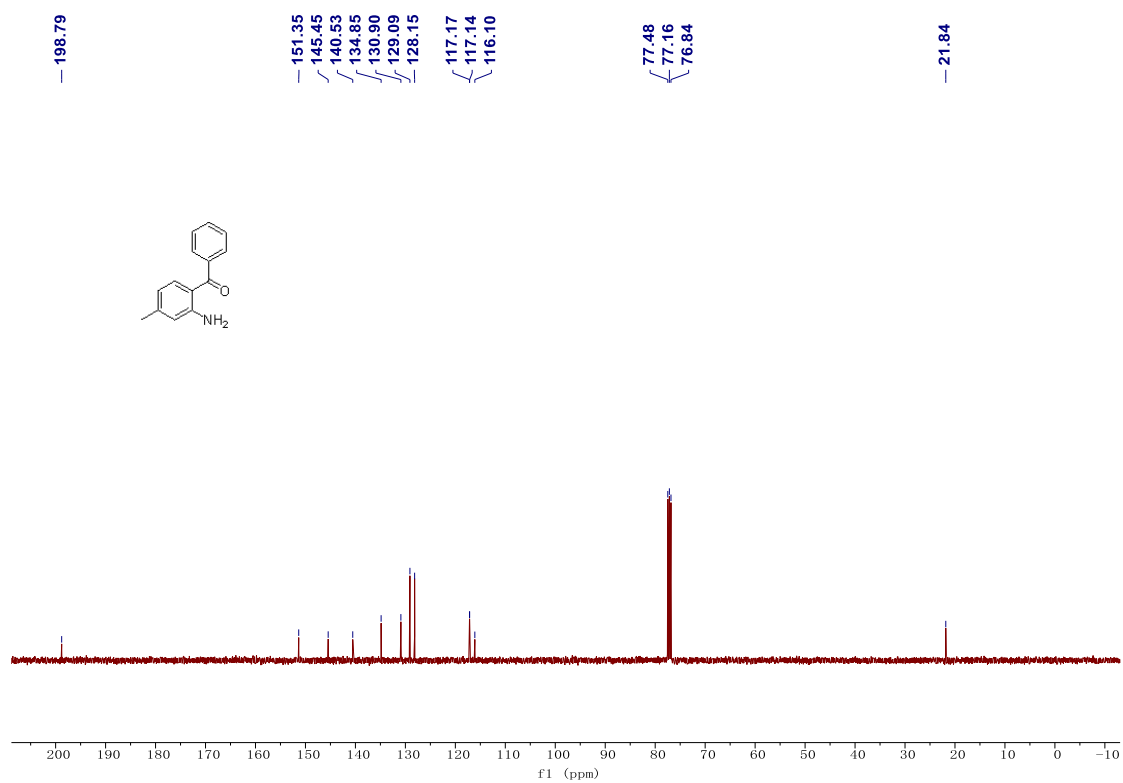
^1H , ^{13}C and ^{19}F NMR spectra of compound (2-aminophenyl)(4-(trifluoromethyl)phenyl)methanone **11**



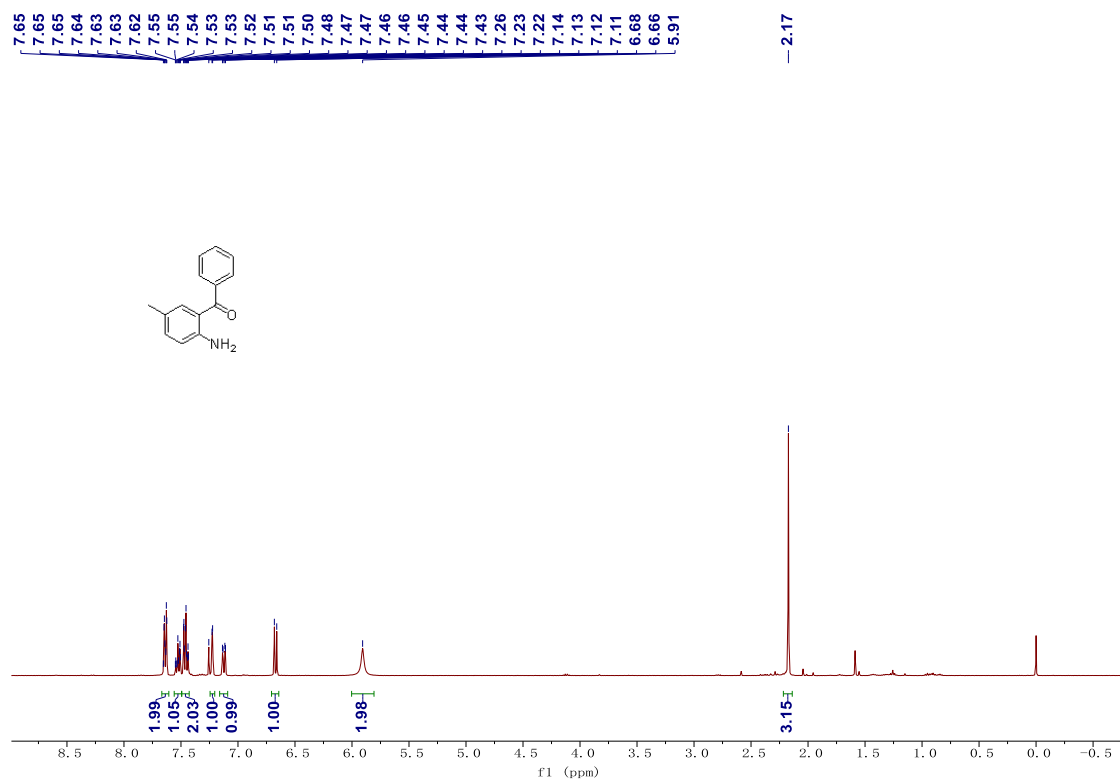


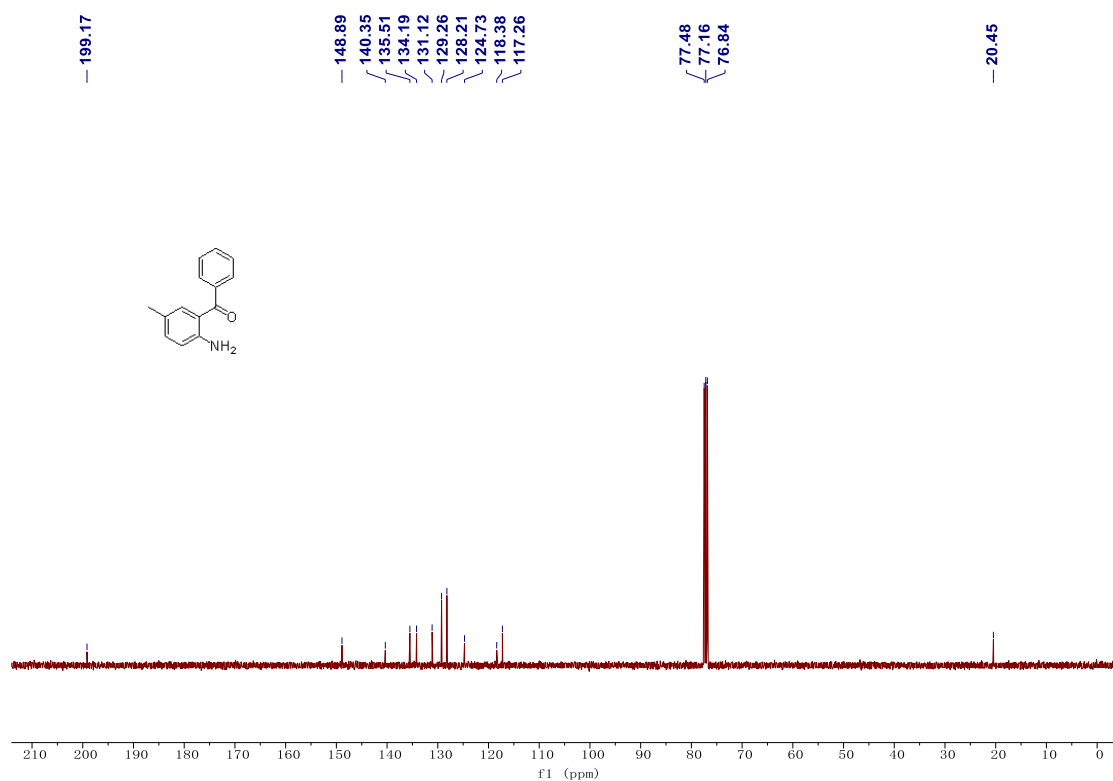
¹H and ¹³C NMR spectra of compound (2-amino-4-methylphenyl)(phenyl)methanone 1m



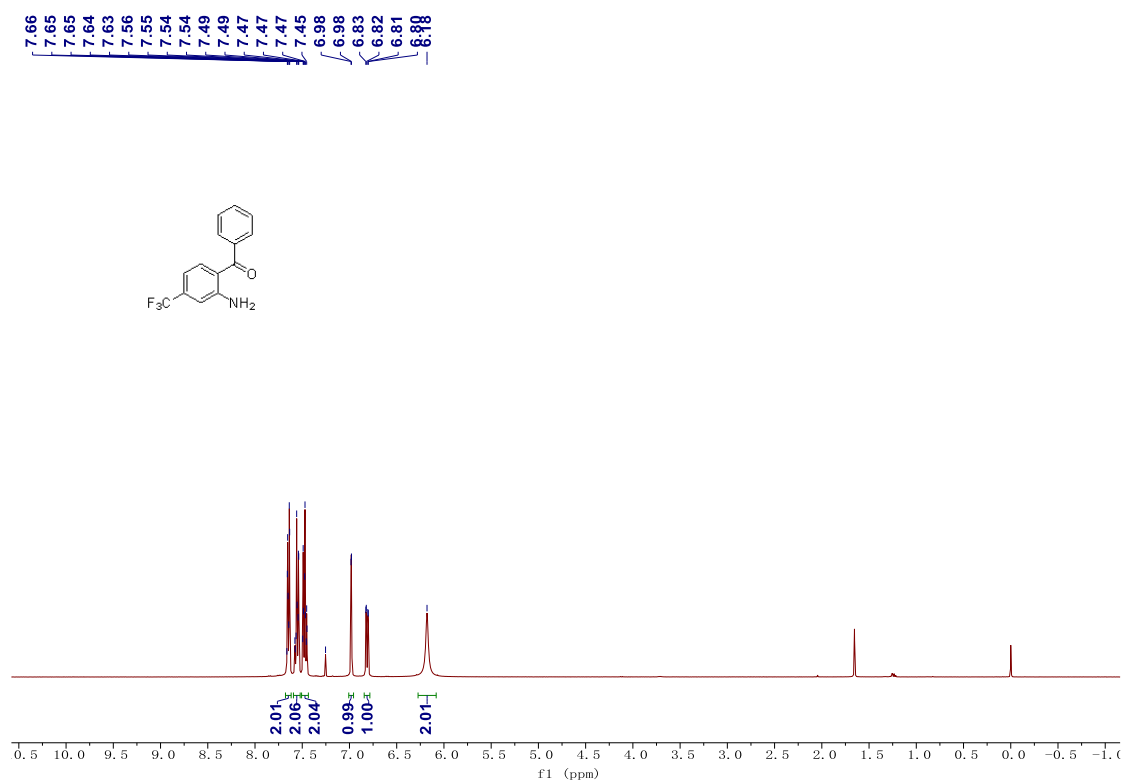


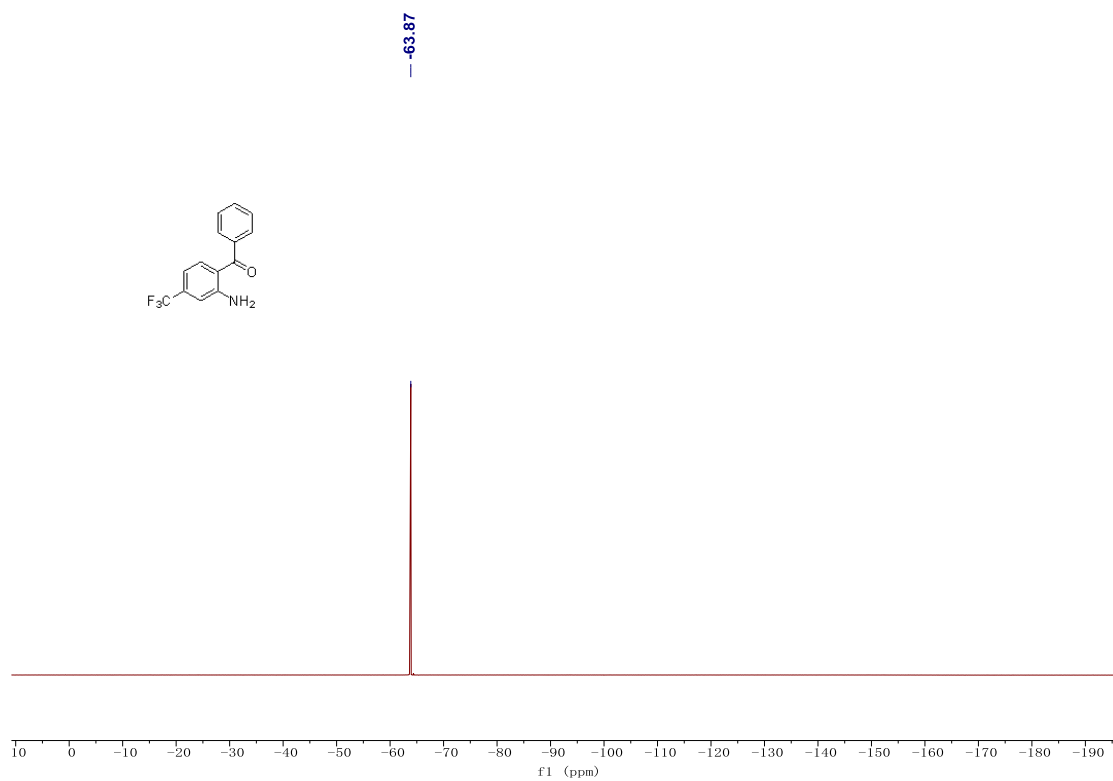
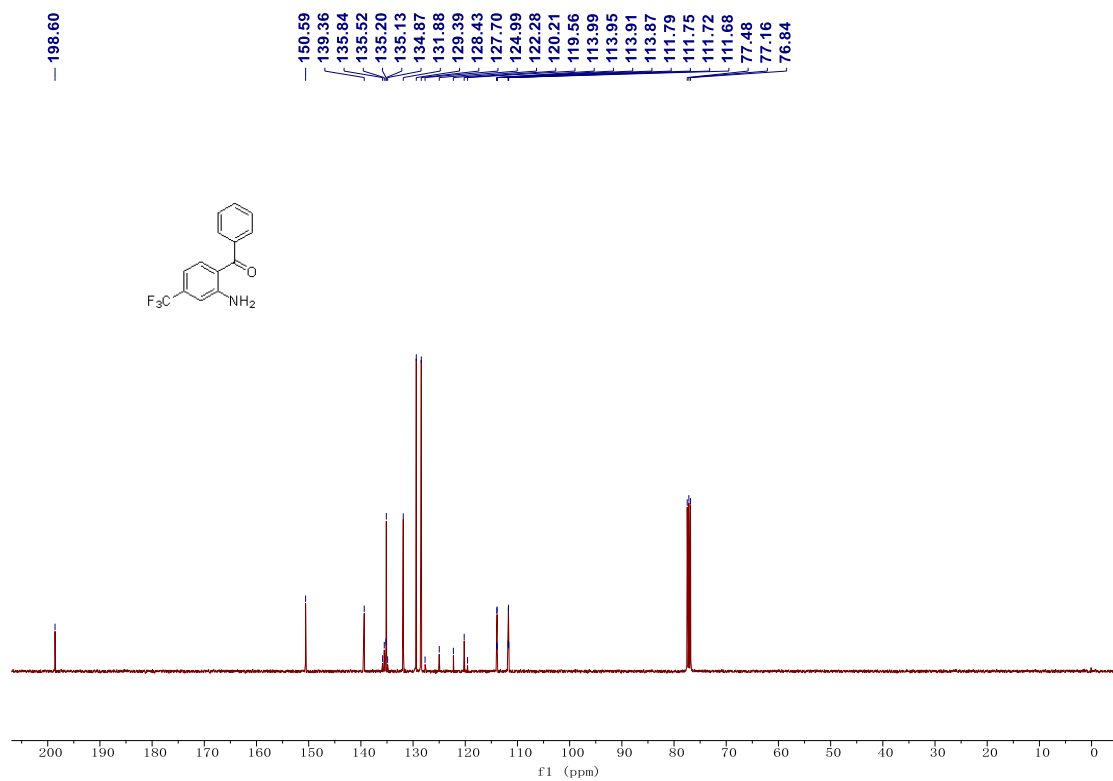
^1H and ^{13}C NMR spectra of compound (2-amino-5-methylphenyl)(phenyl)methanone **1n**



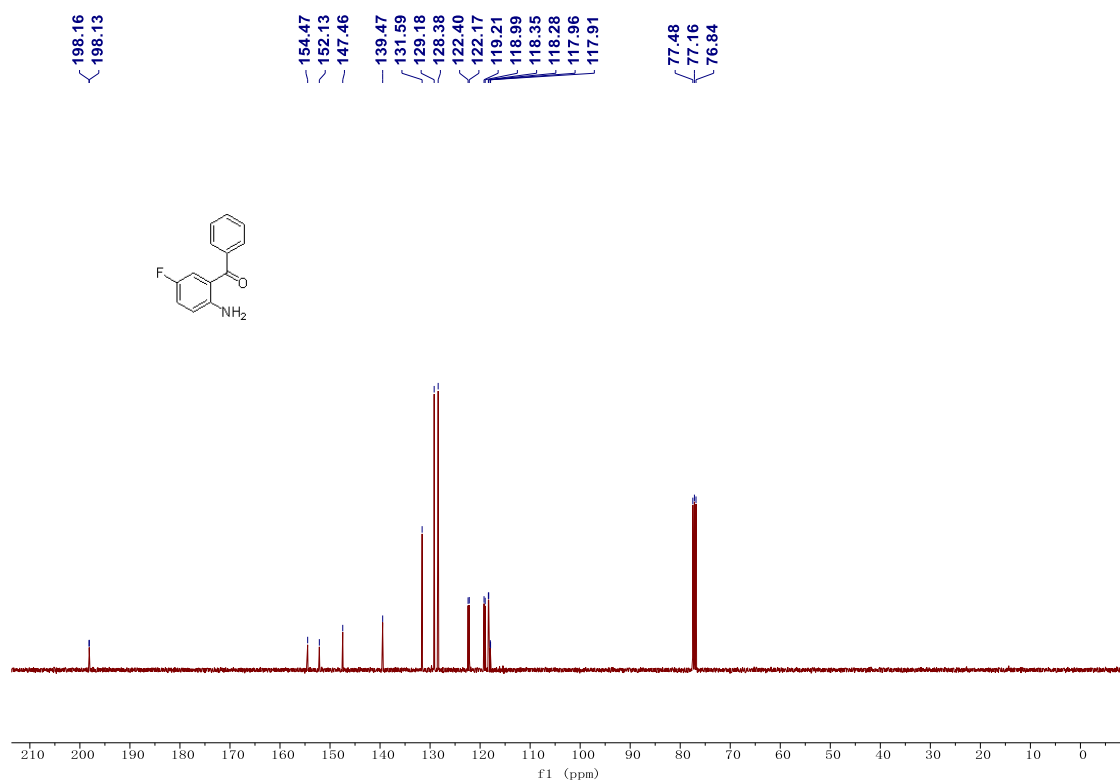
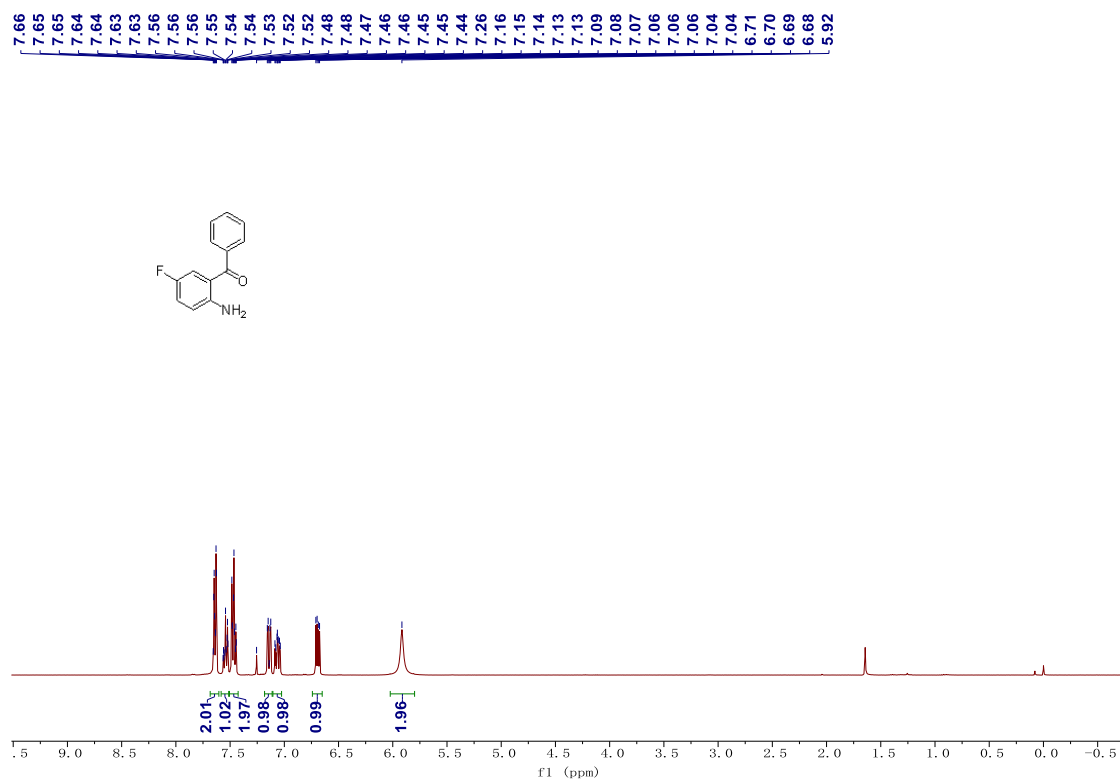


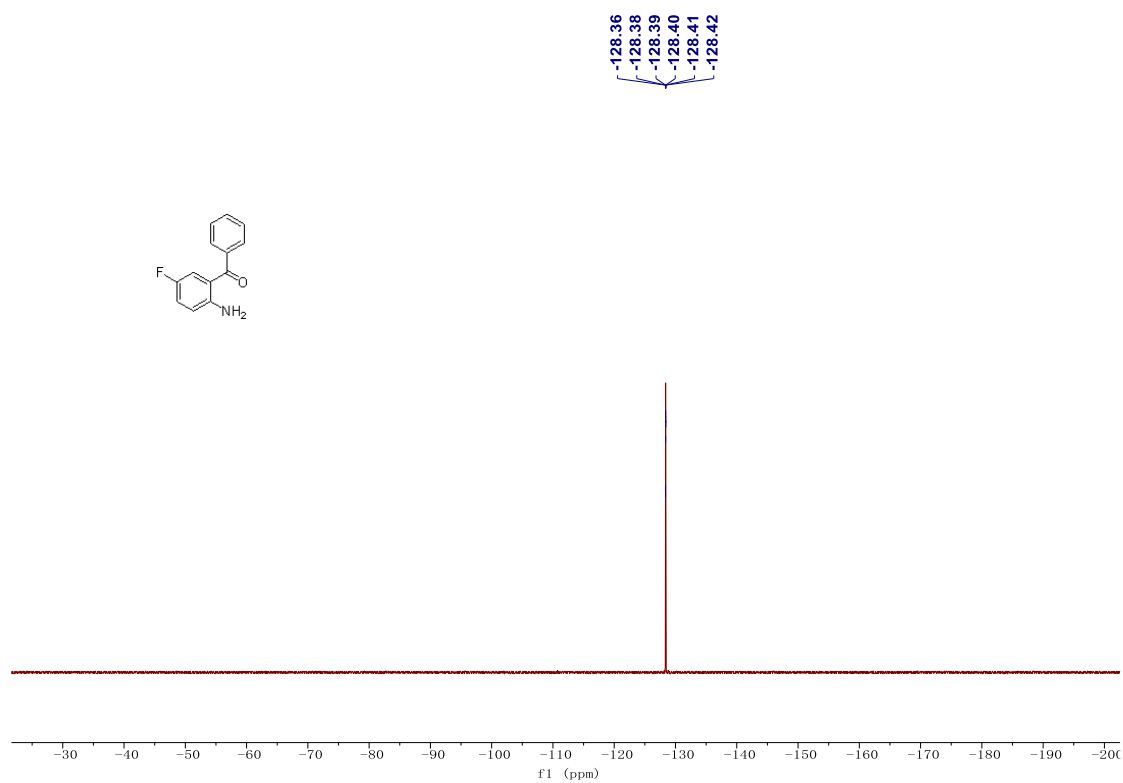
¹H, ¹³C and ¹⁹F NMR spectra of compound (2-amino-4-(trifluoromethyl)phenyl)(phenyl)methanone **1o**



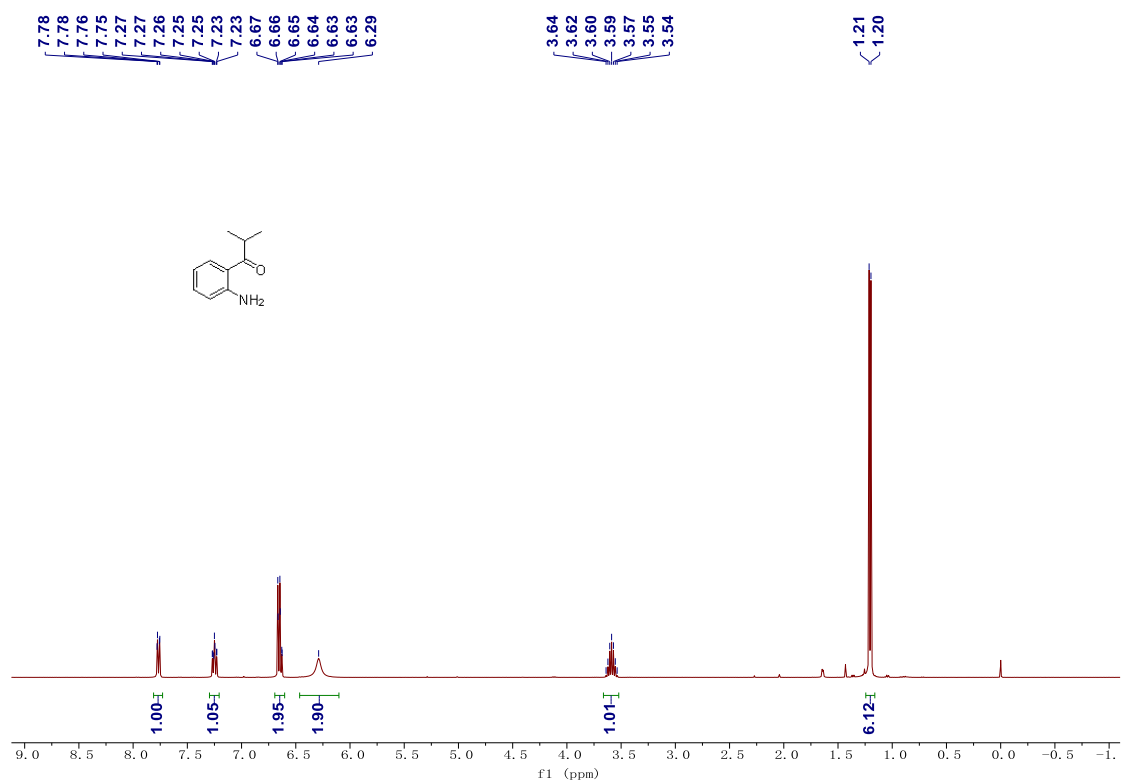


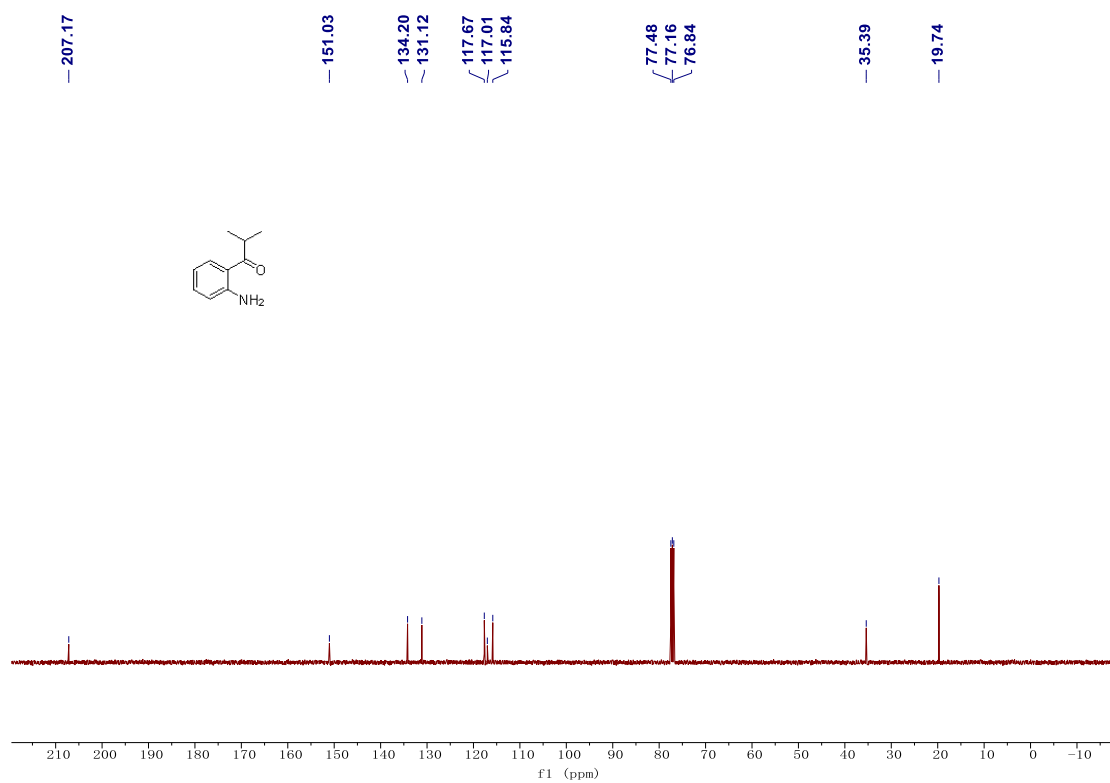
^1H , ^{13}C and ^{19}F NMR spectra of compound (2-amino-5-fluorophenyl)(phenyl)methanone **1p**



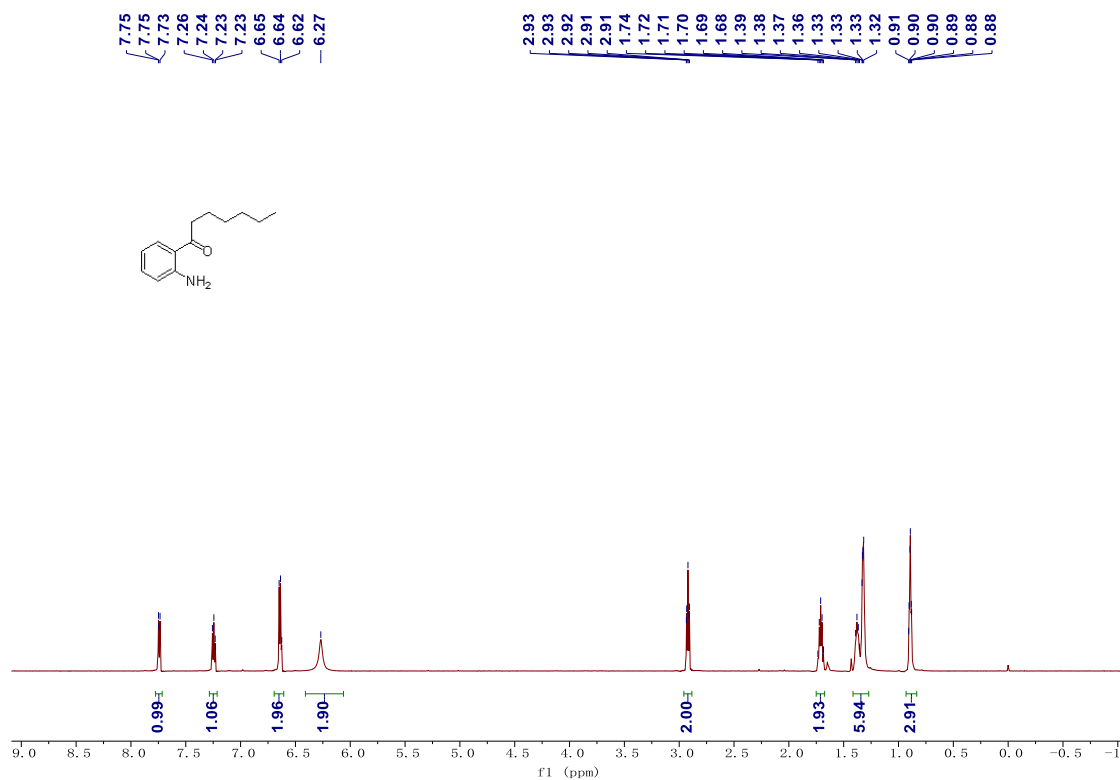


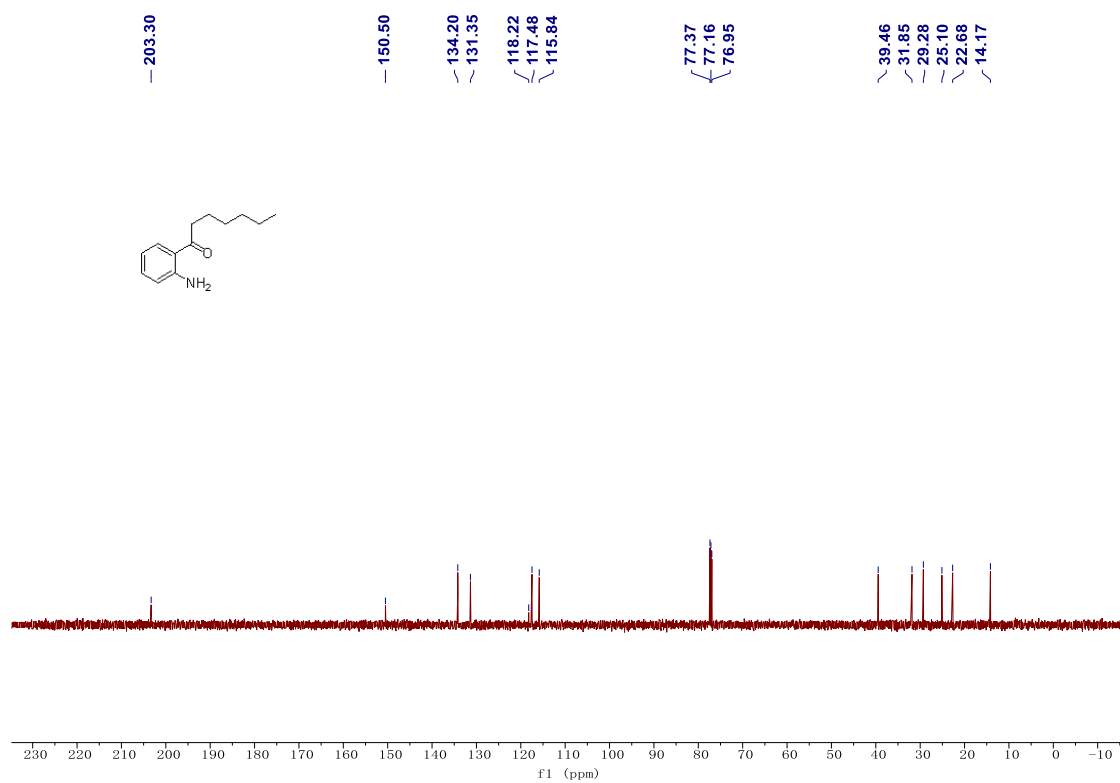
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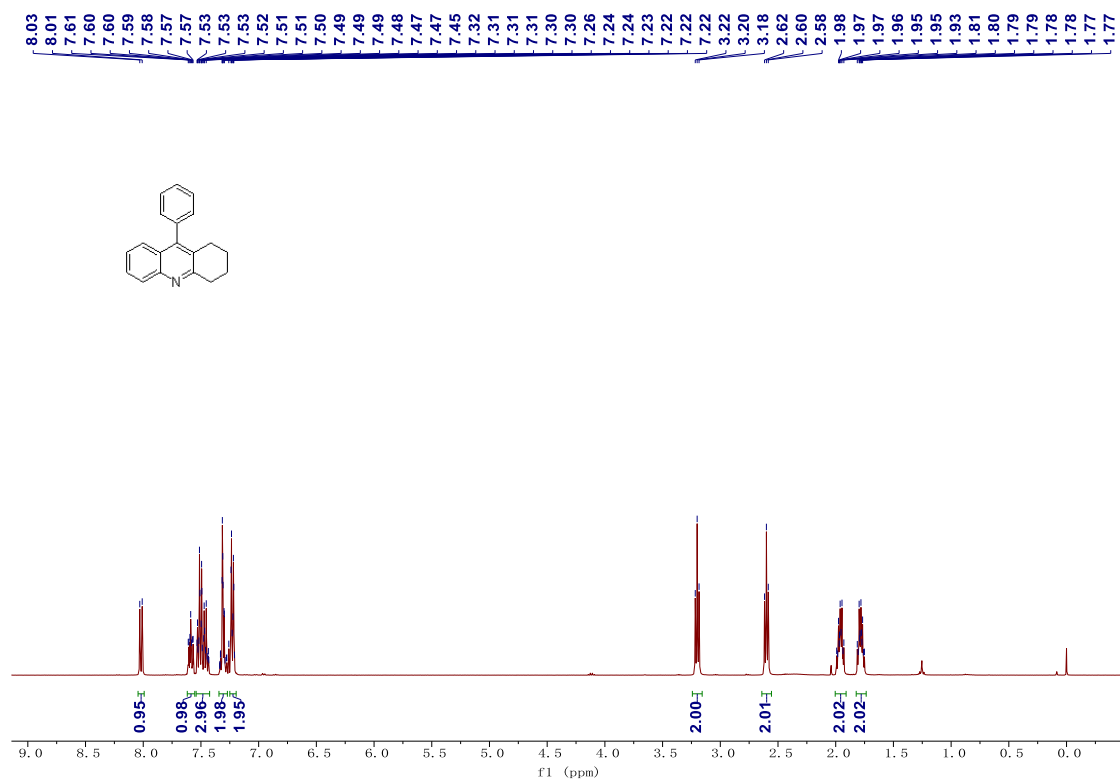


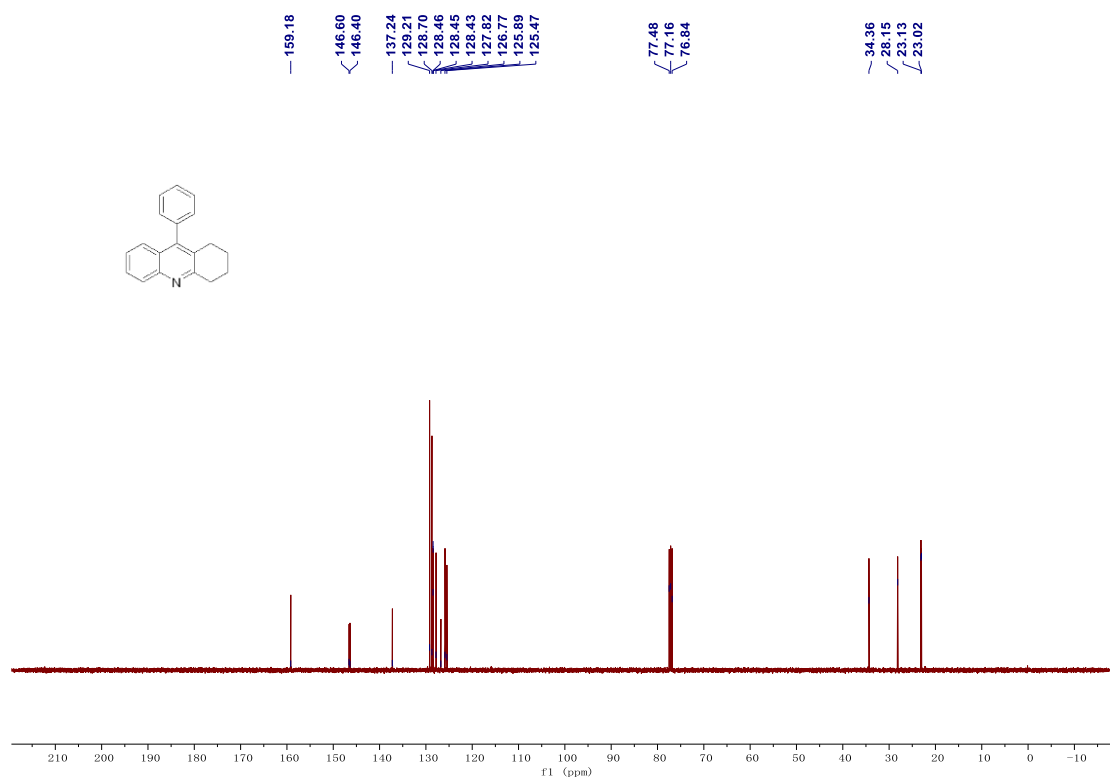
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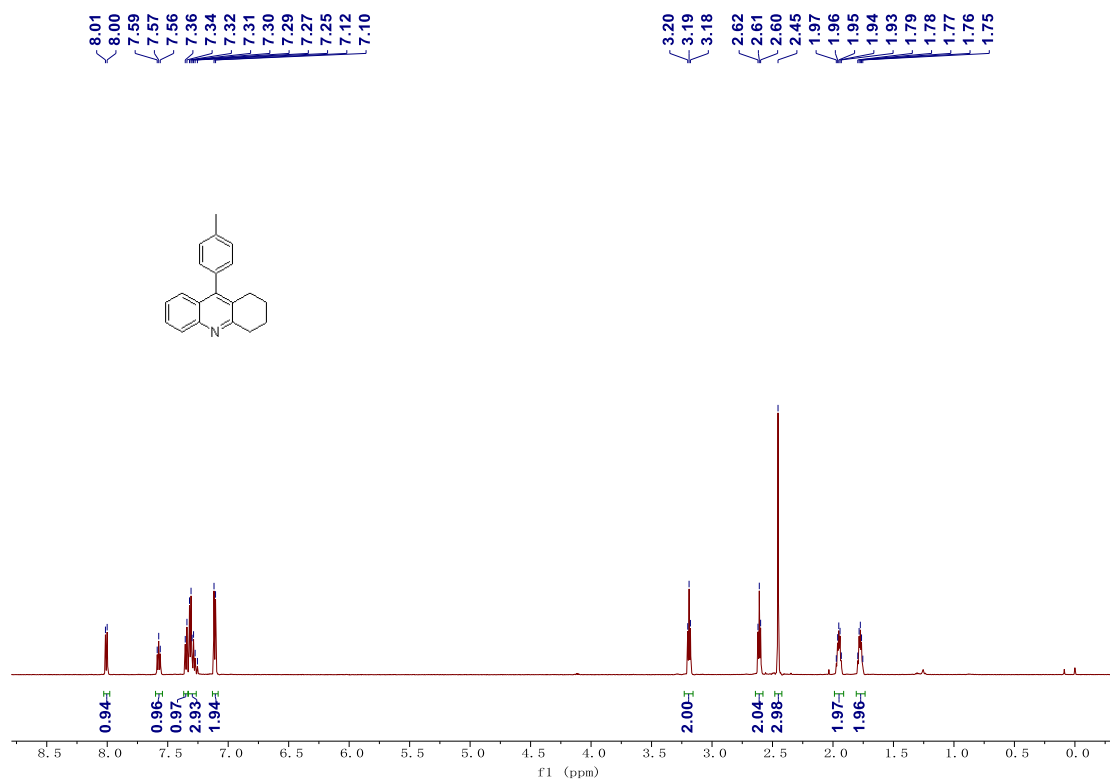


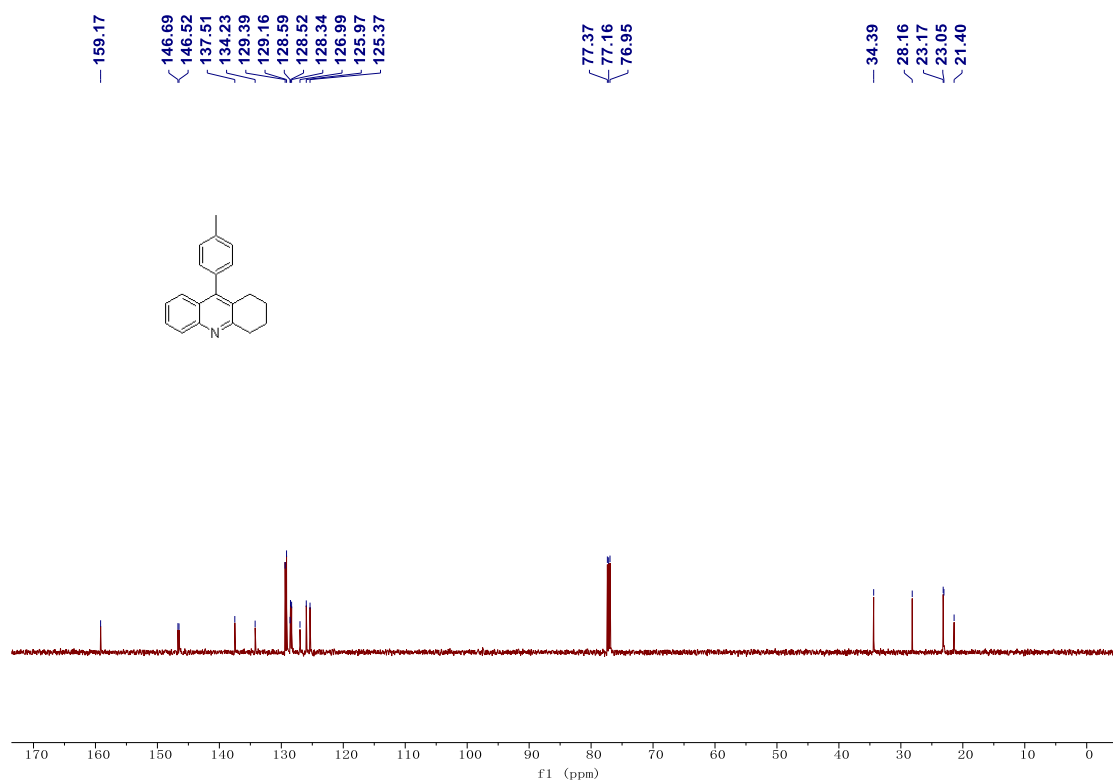
¹H and ¹³C NMR spectra of compound 9-phenyl-1,2,3,4-tetrahydroacridine 3aa



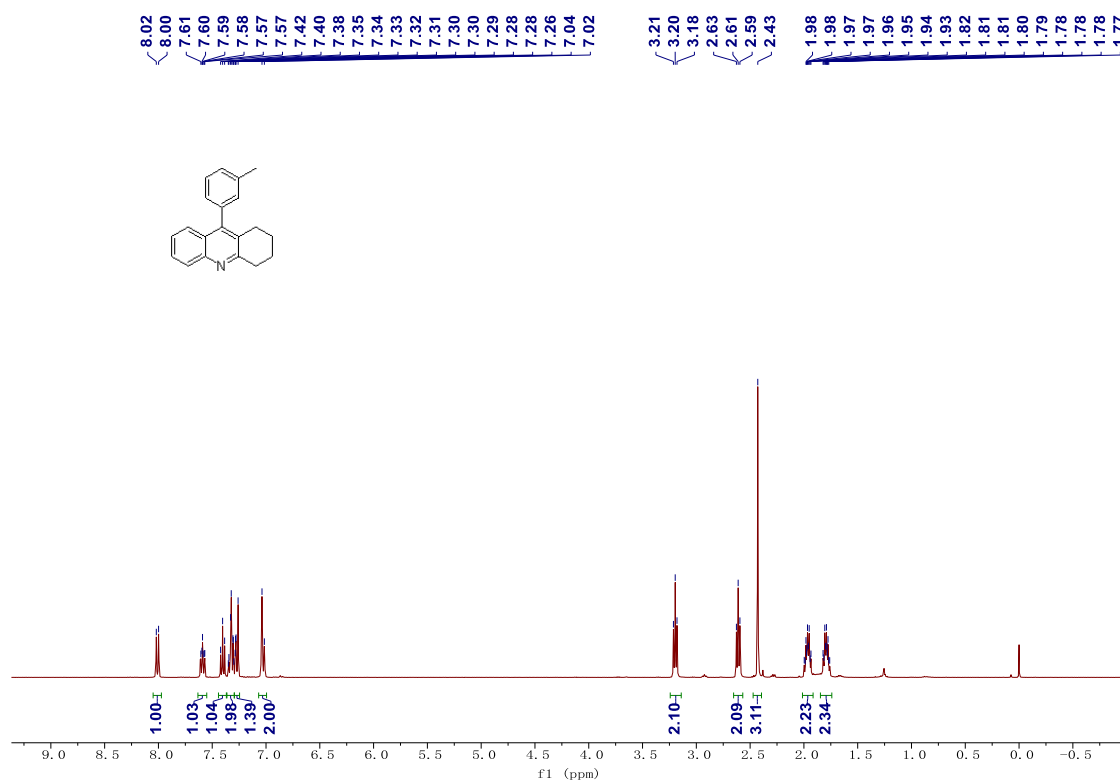


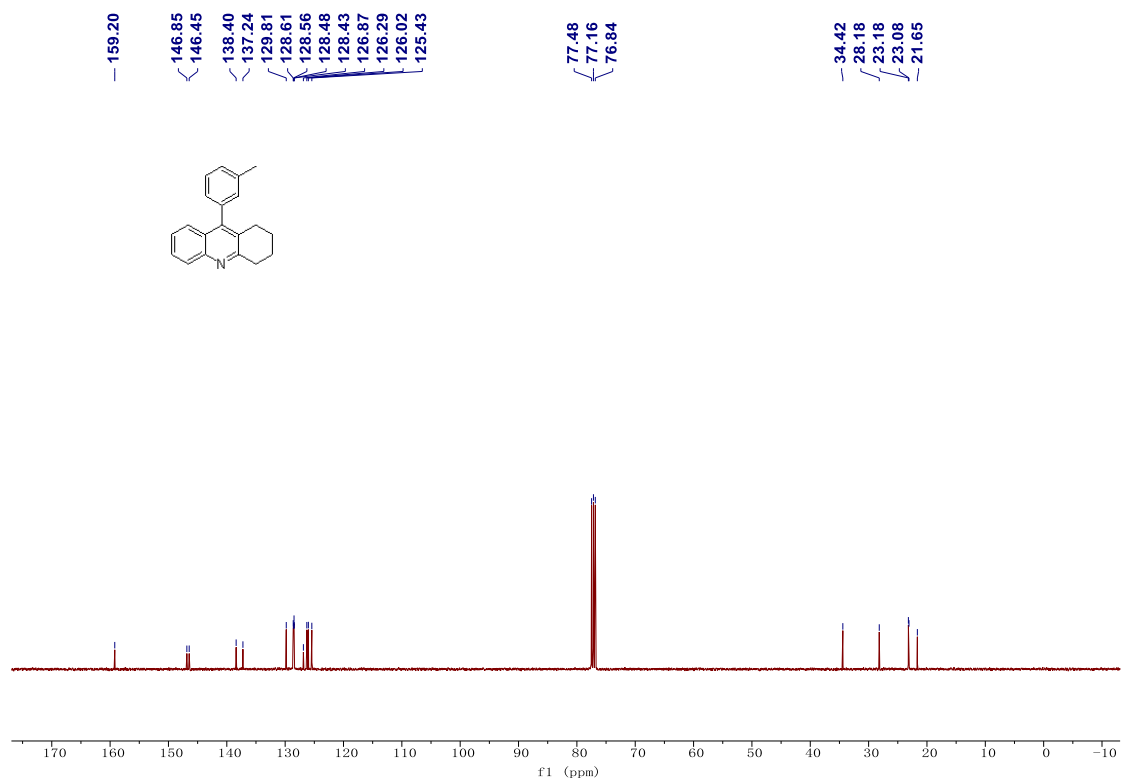
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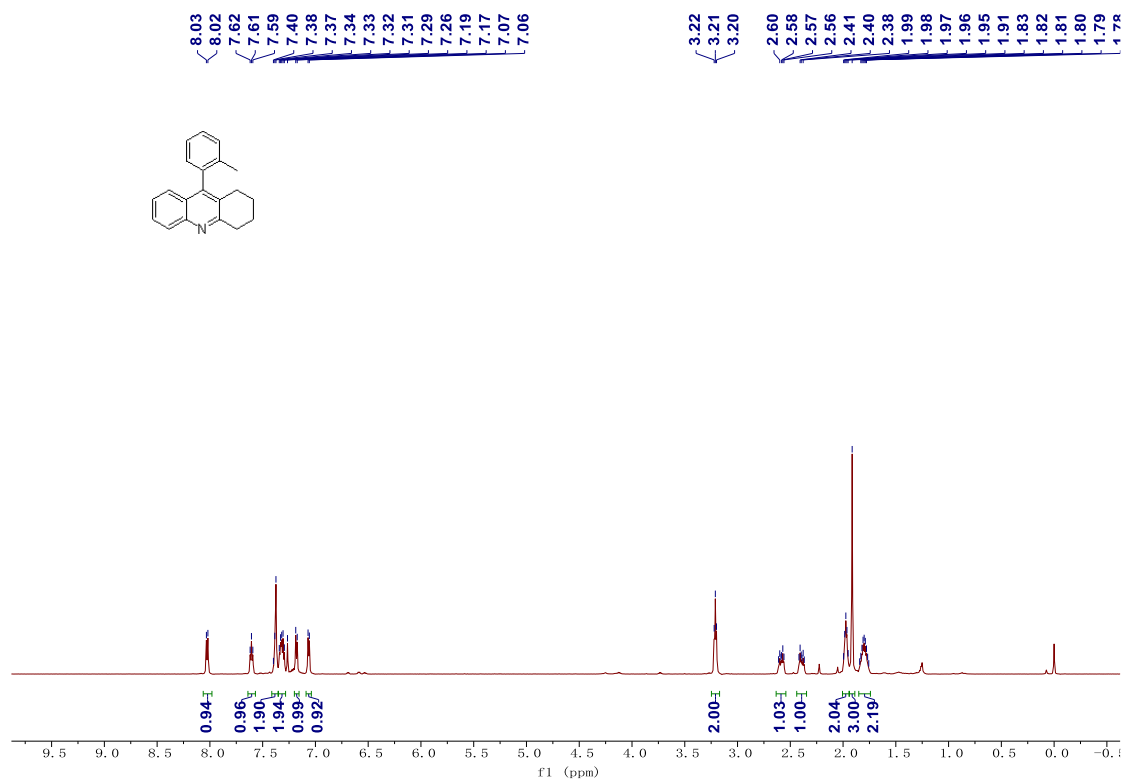


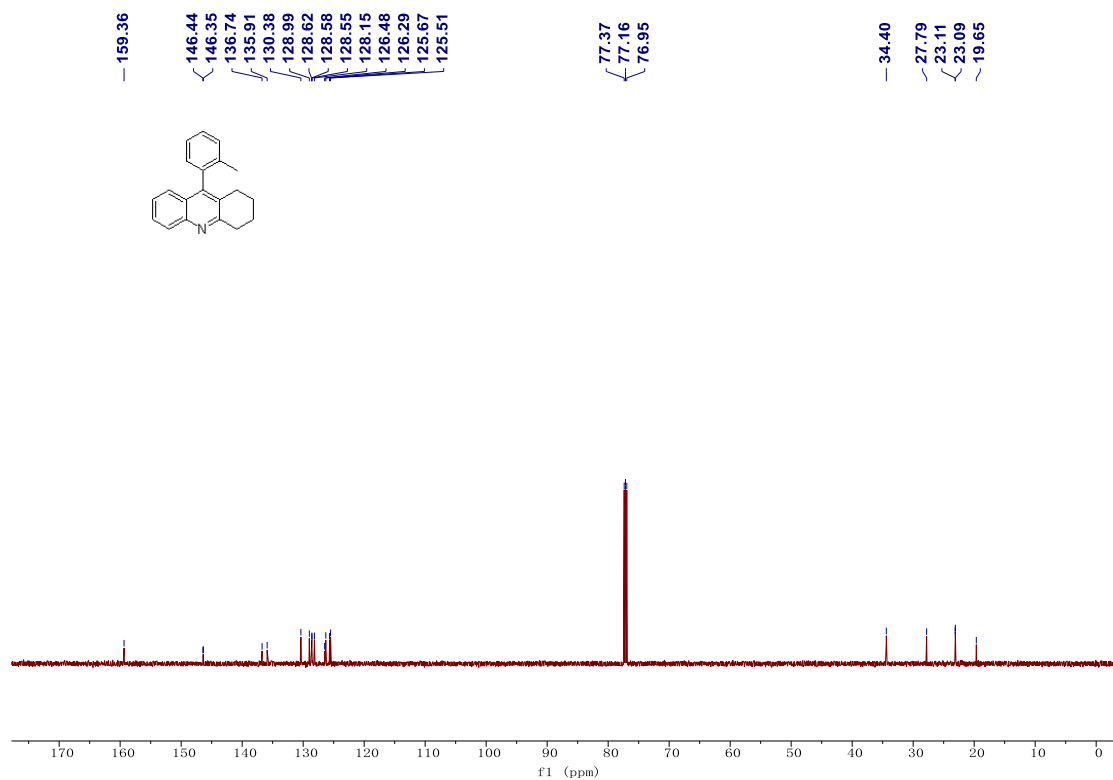
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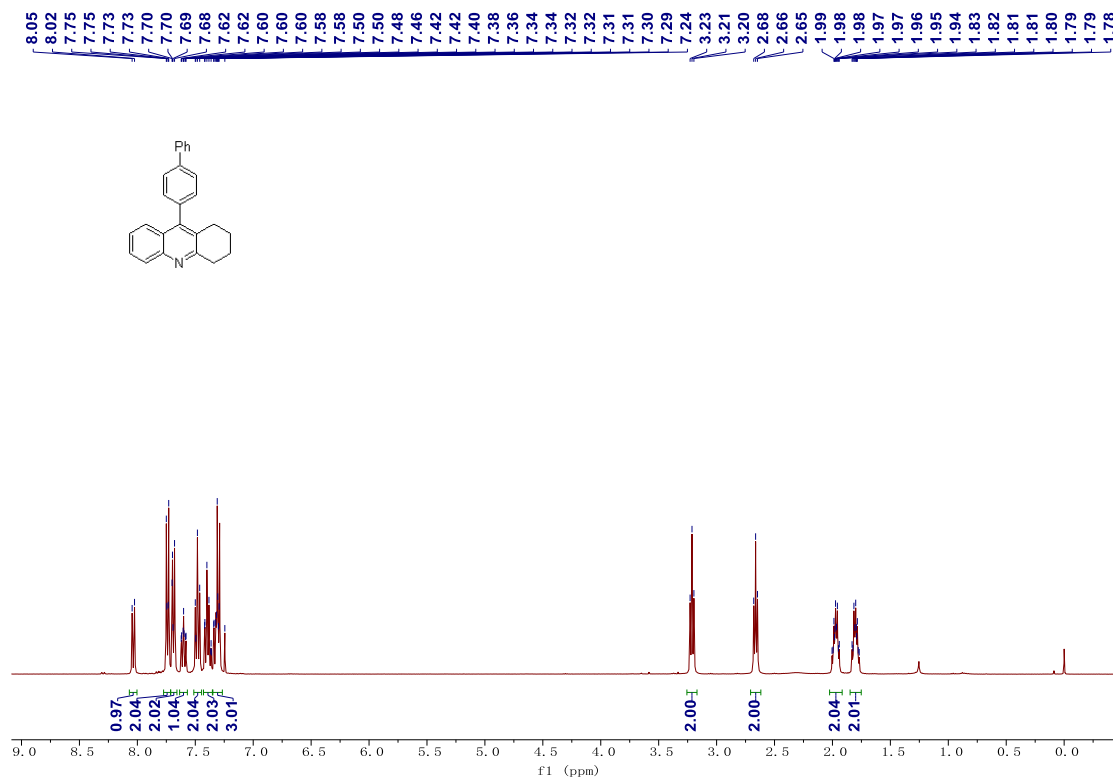


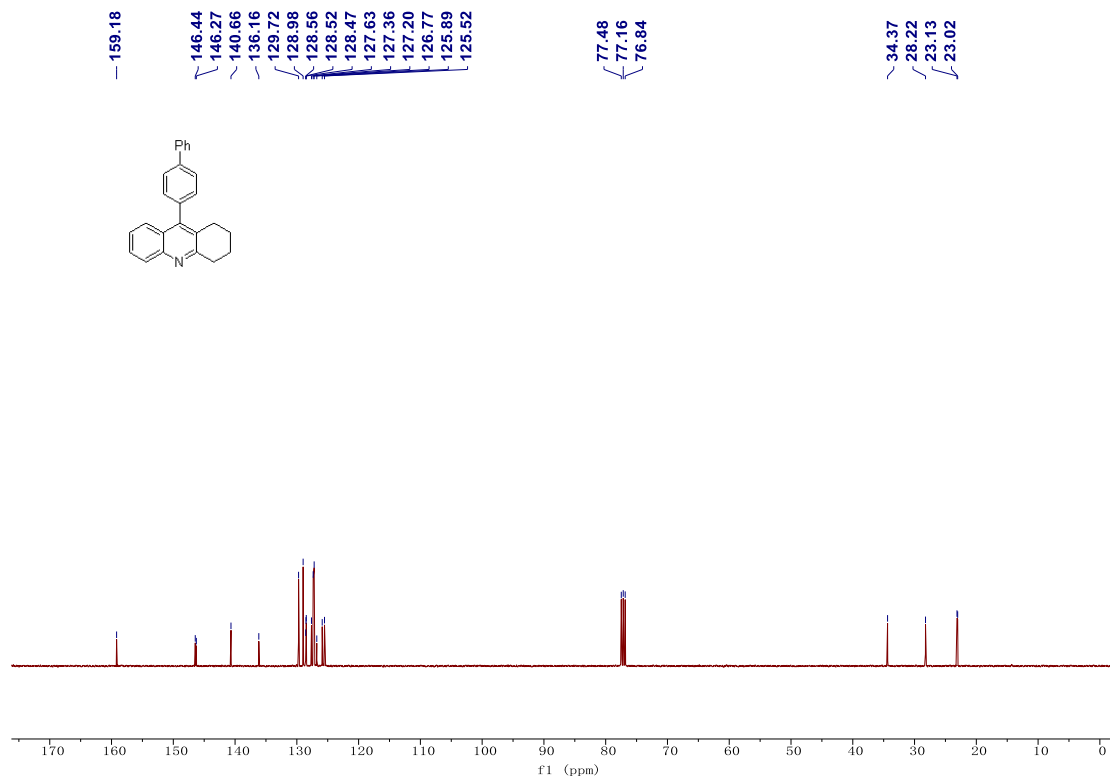
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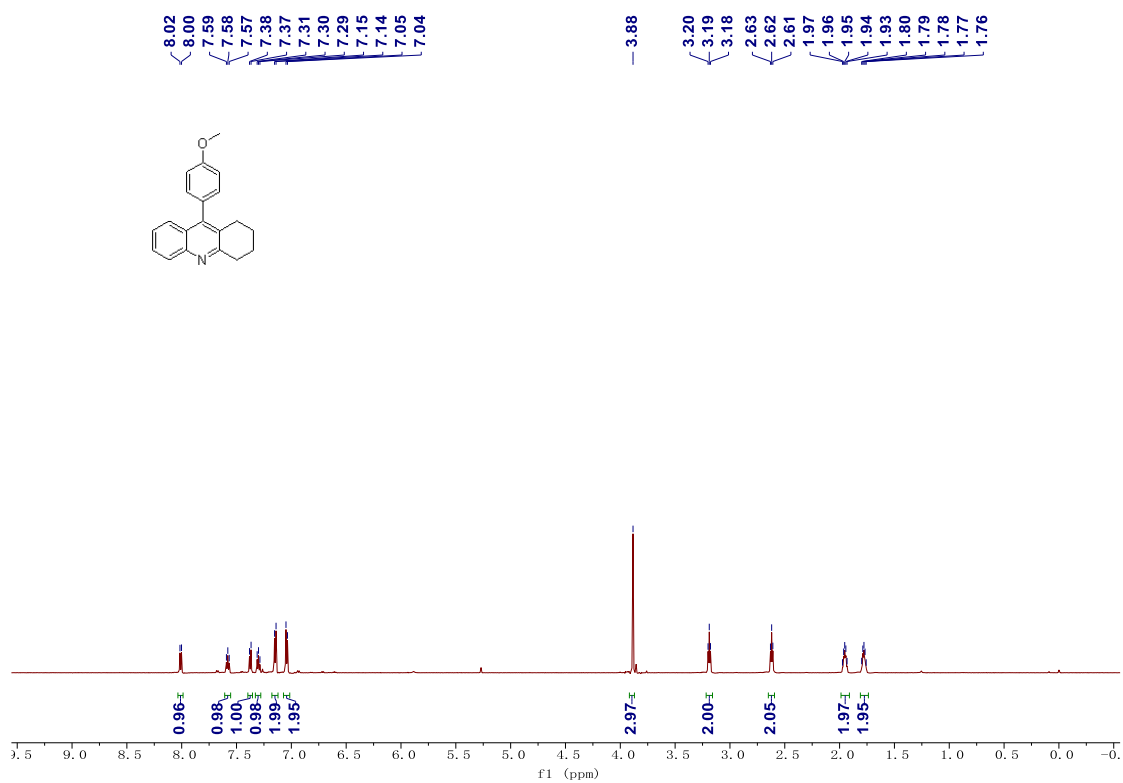


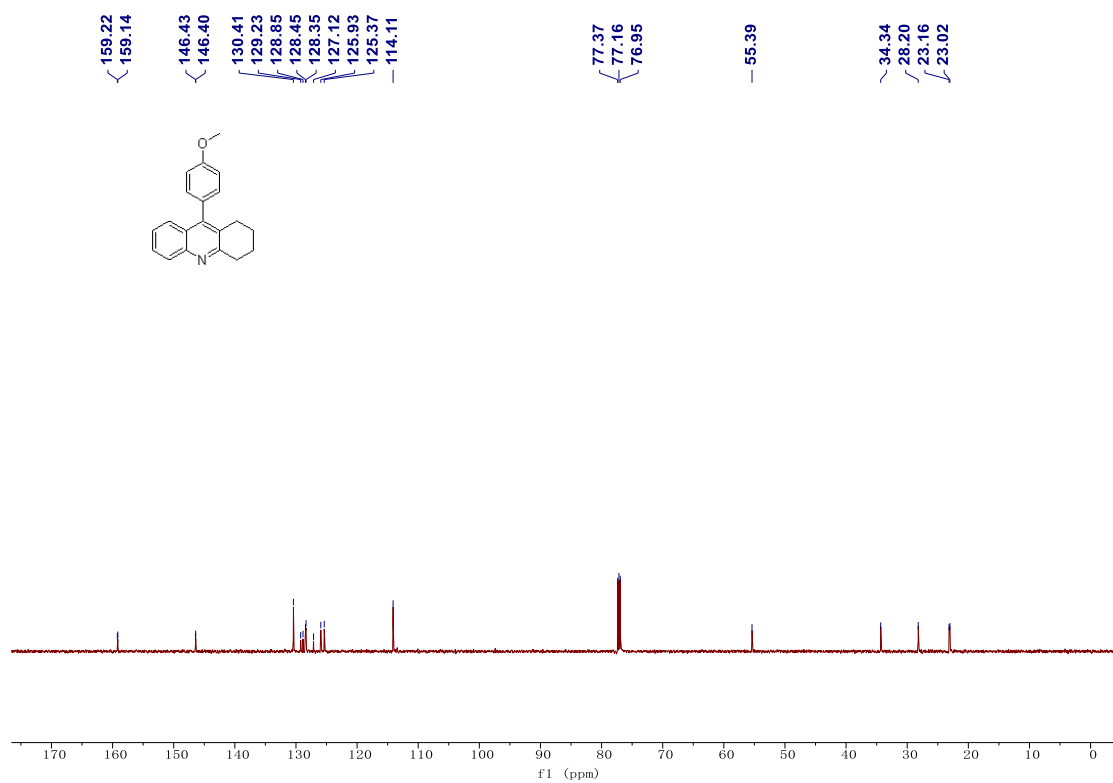
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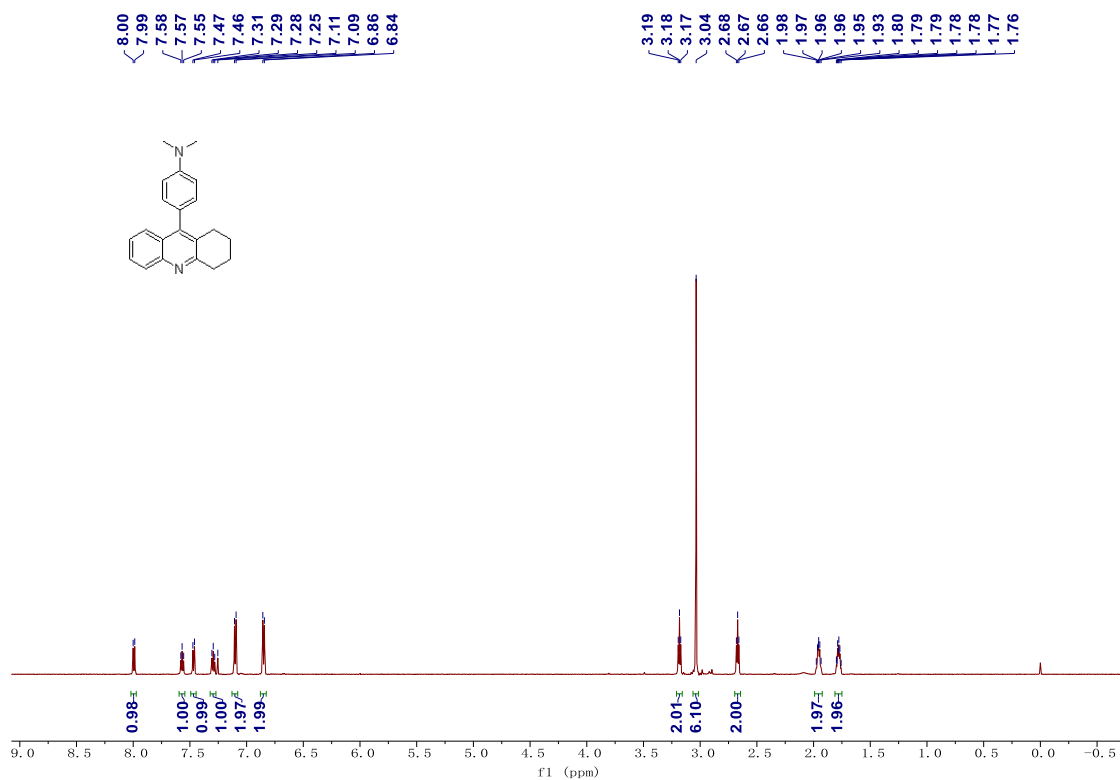


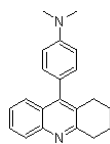
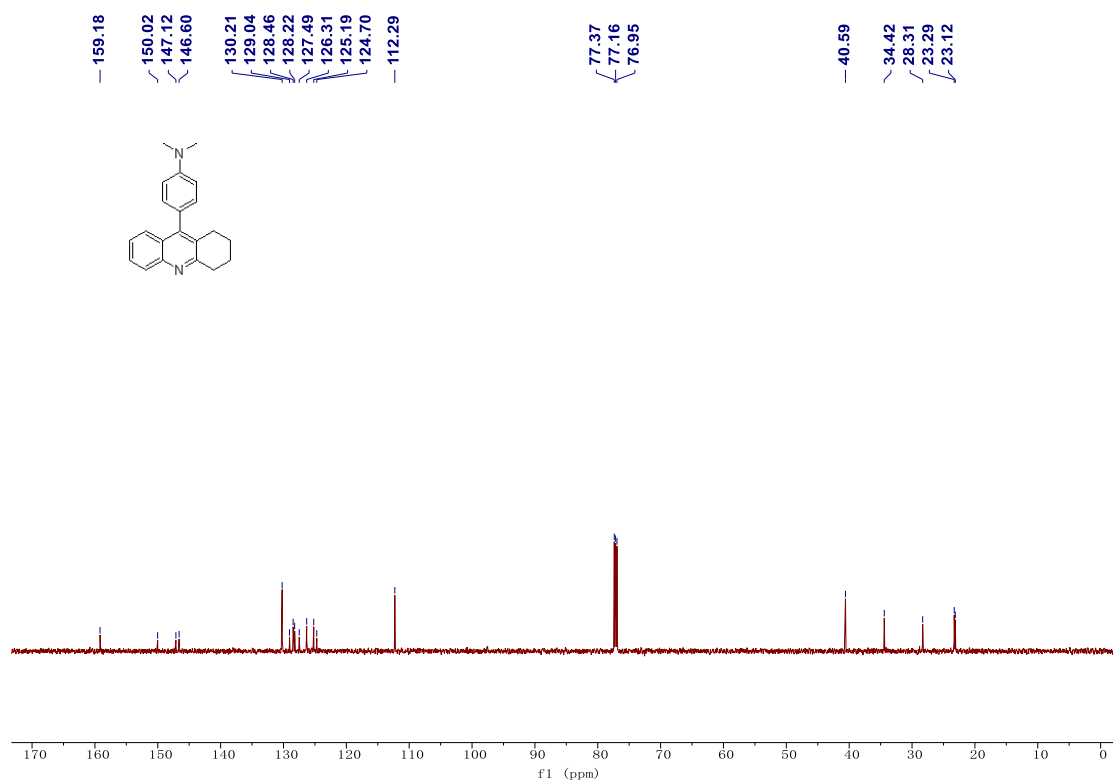
¹H and ¹³C NMR spectra of compound 9-(4-methoxyphenyl)-1,2,3,4-tetrahydroacridine 3fa



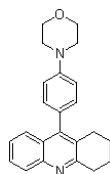
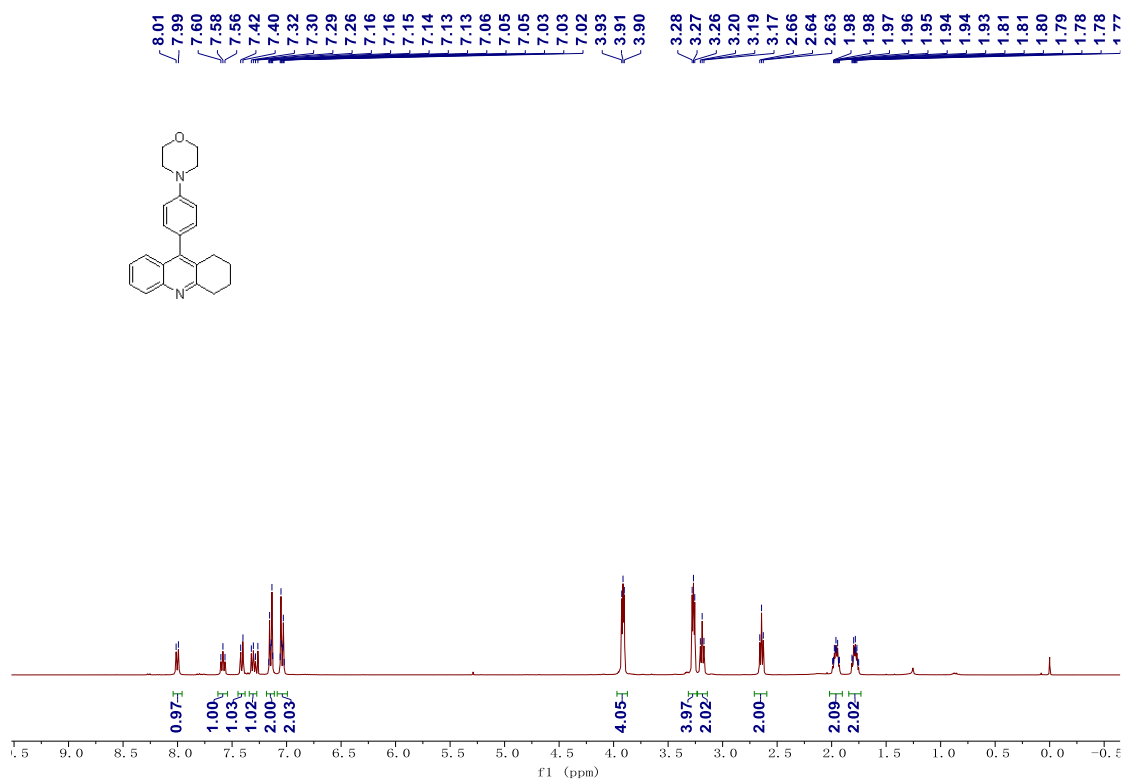


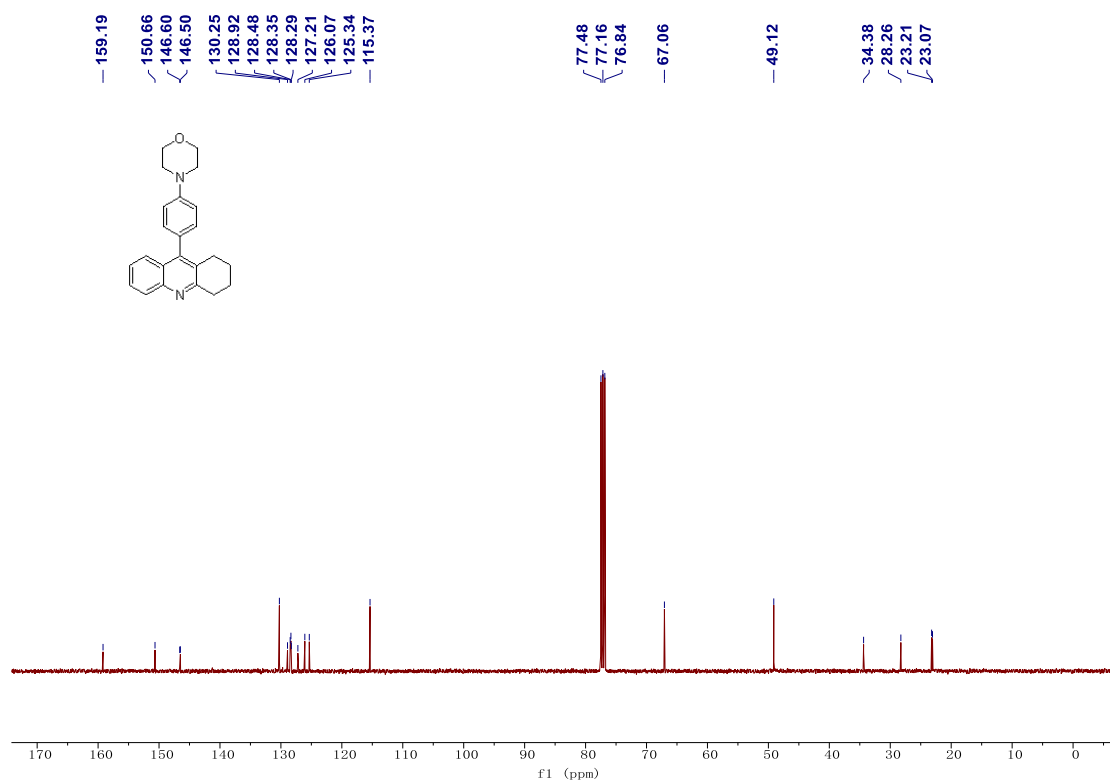
¹H and ¹³C NMR spectra of compound **N,N-dimethyl-4-(1,2,3,4-tetrahydroacridin-9-yl)aniline 3a**



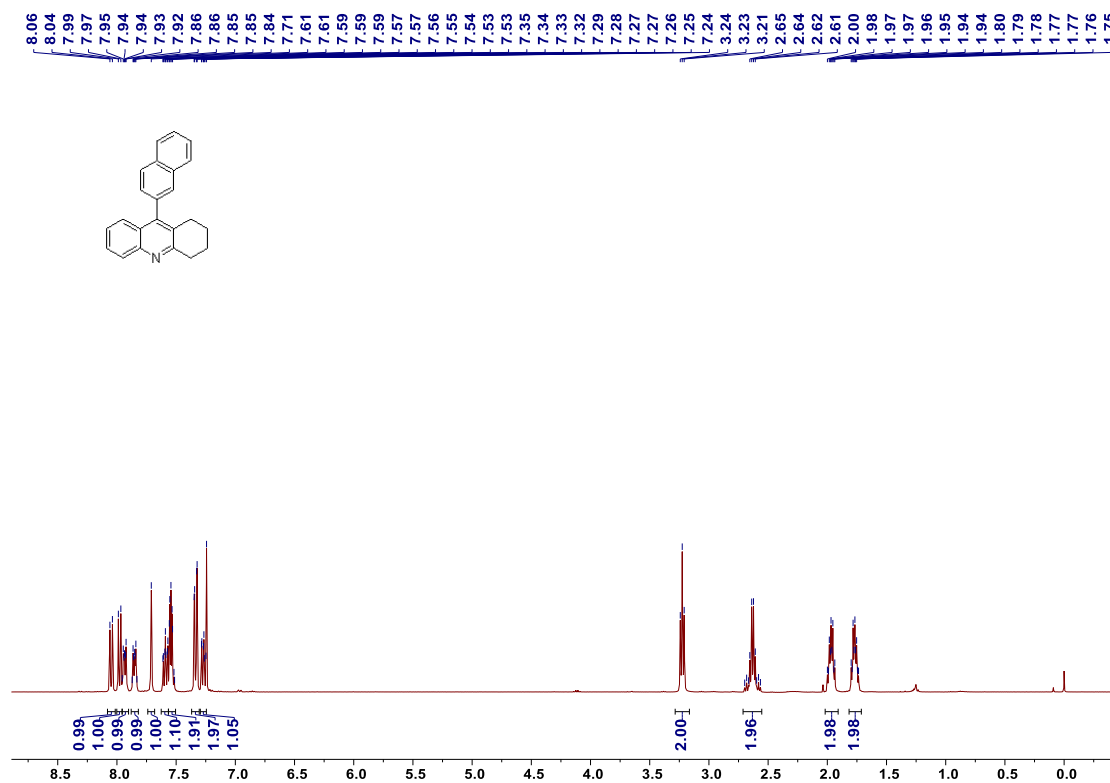


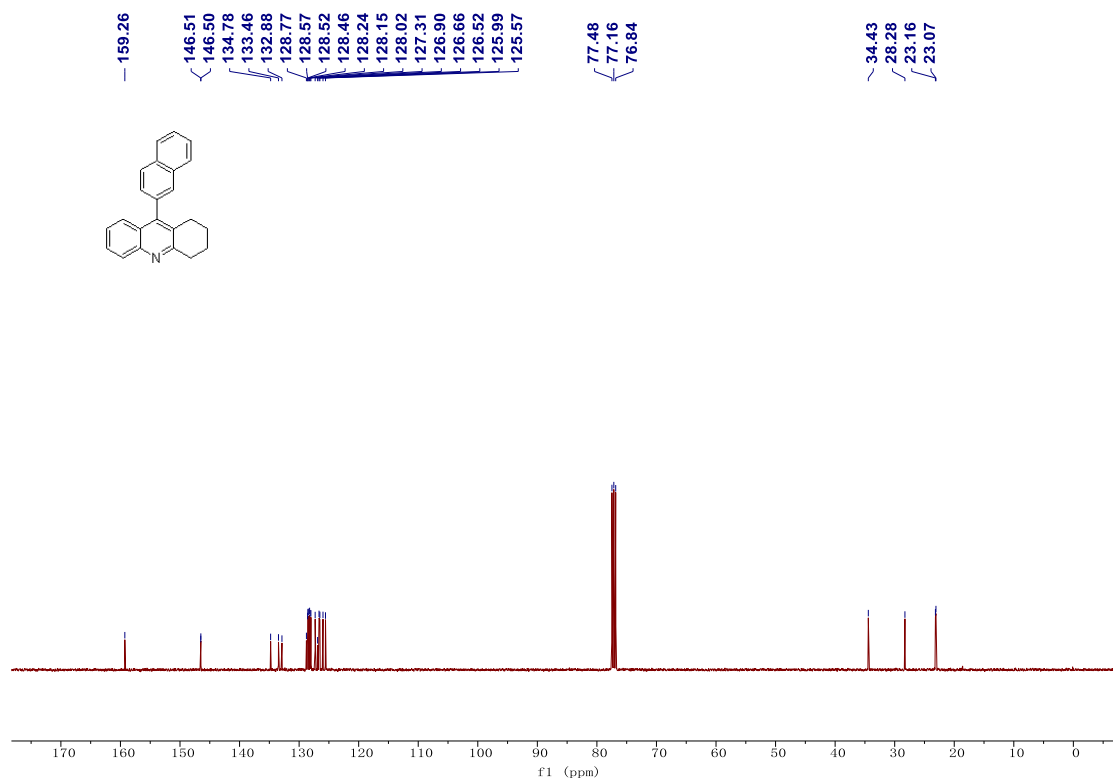
¹H and ¹³C NMR spectra of compound 4-(4-(1,2,3,4-tetrahydroacridin-9-yl)phenyl)morpholine 3ha



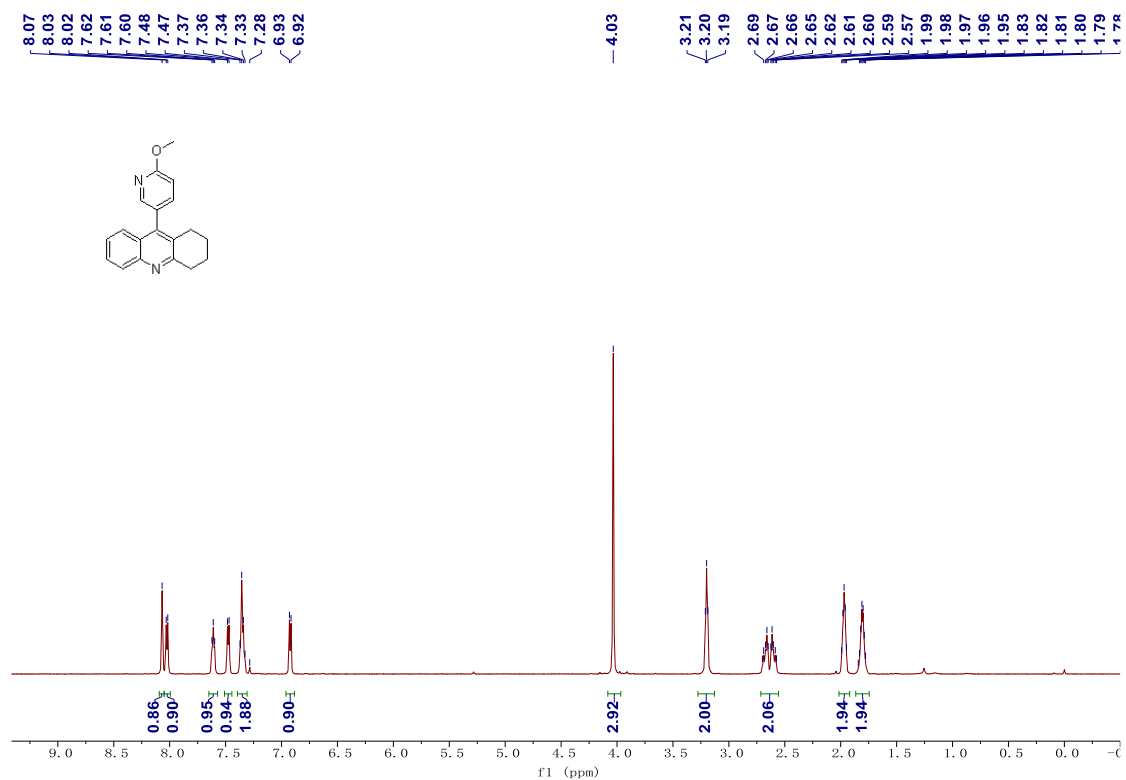


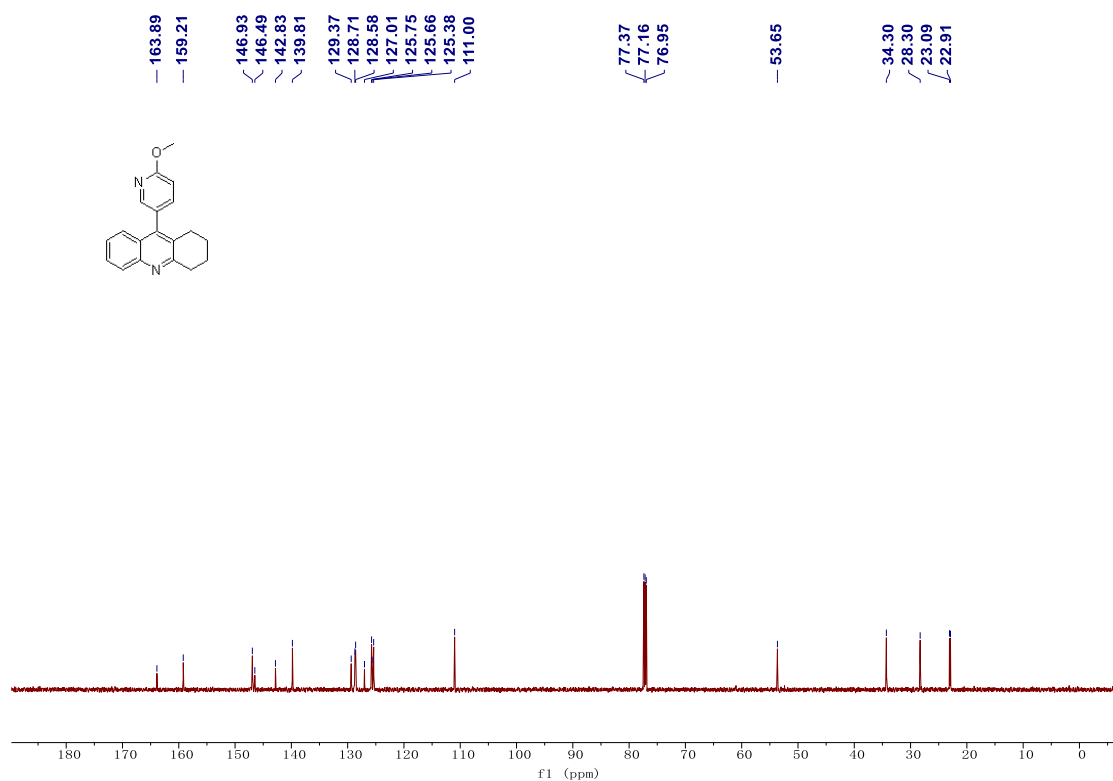
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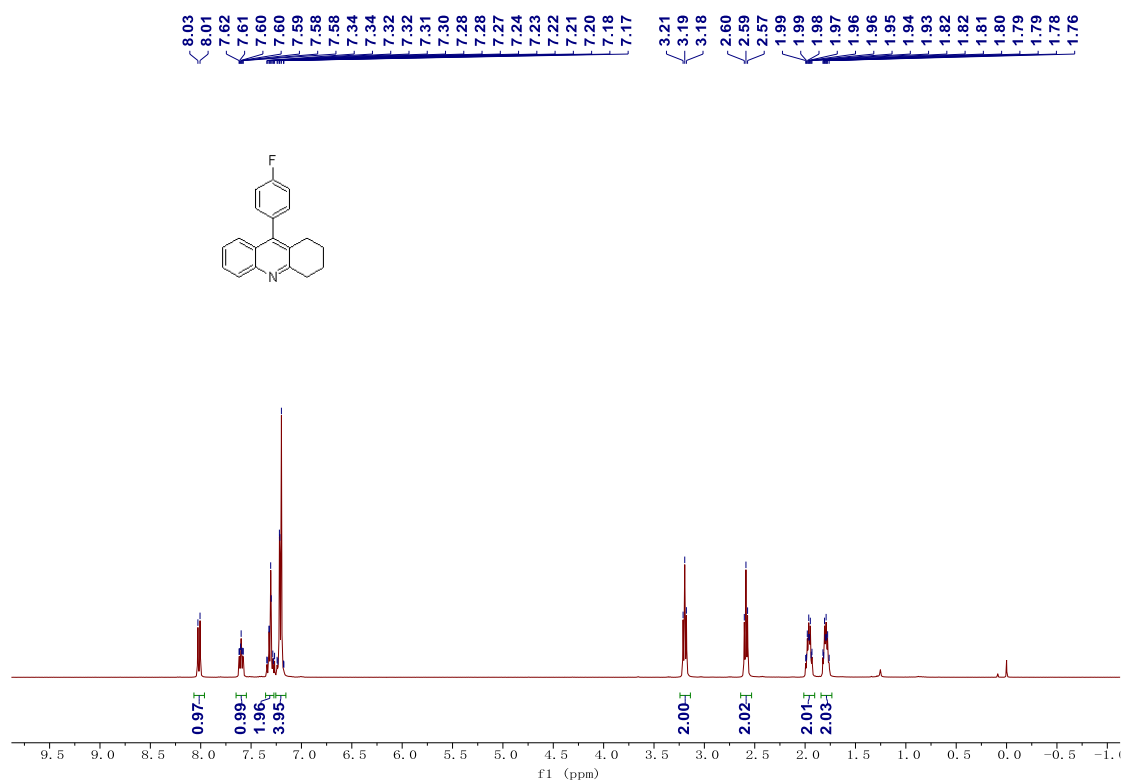


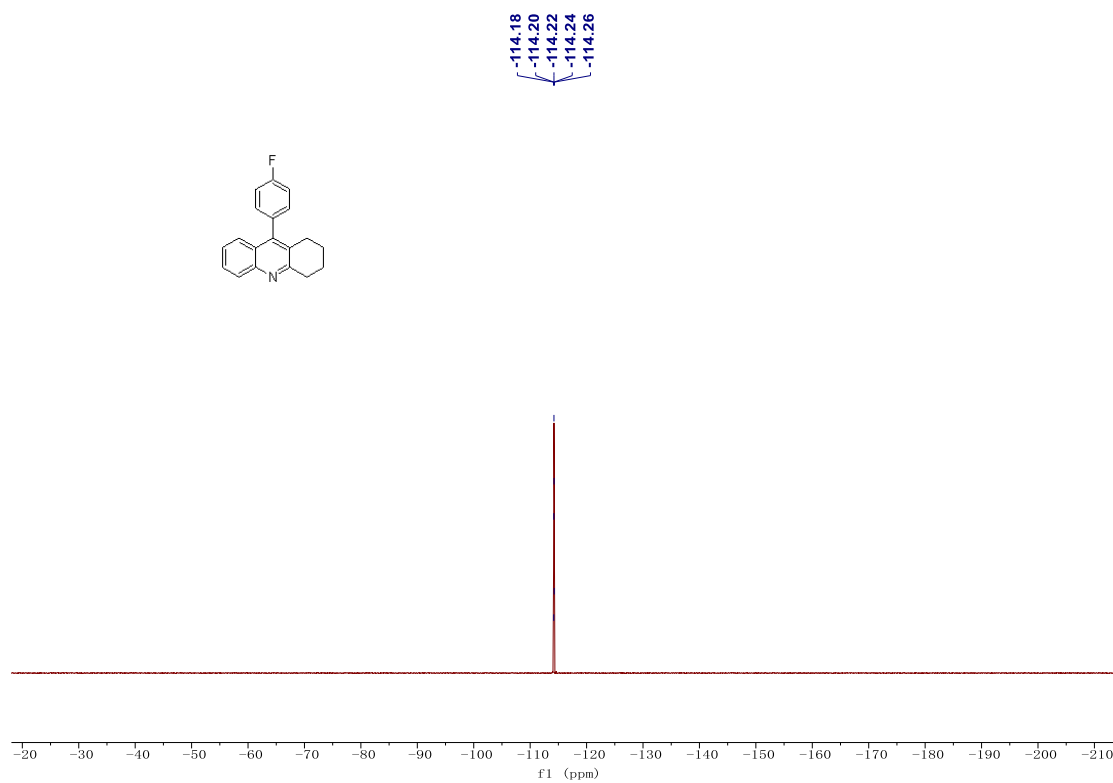
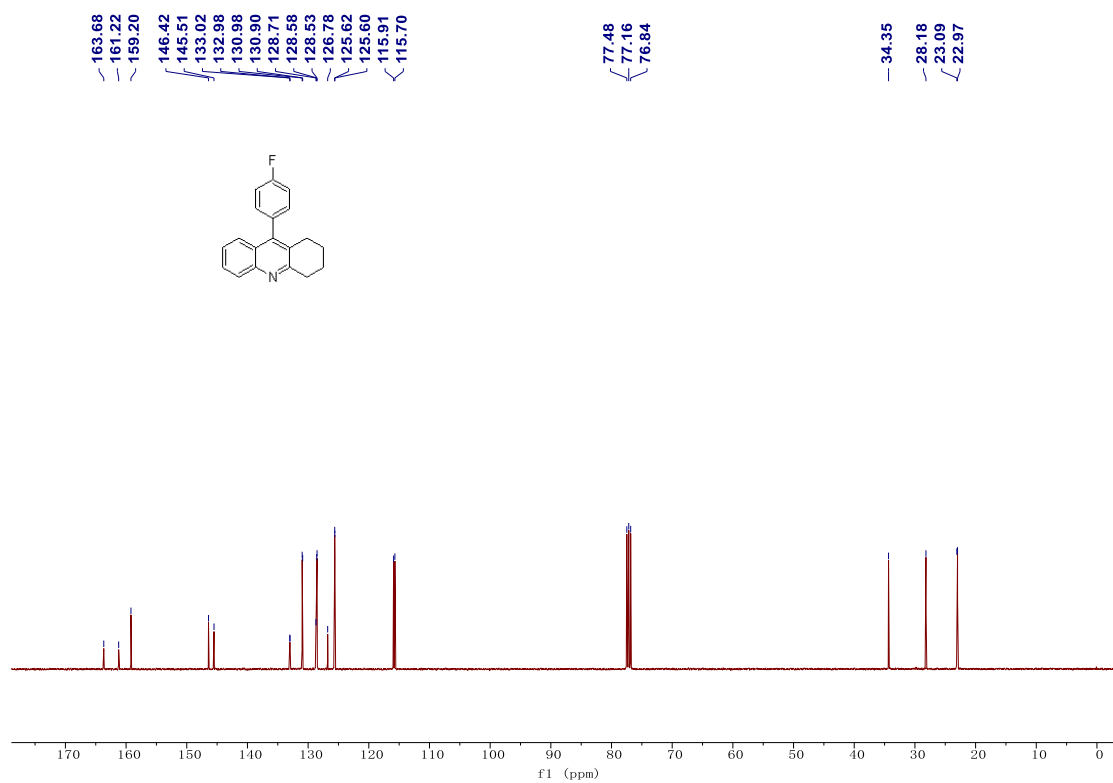
¹H and ¹³C NMR spectra of compound 9-(6-methoxypyridin-3-yl)-1,2,3,4-tetrahydroacridine 3ja





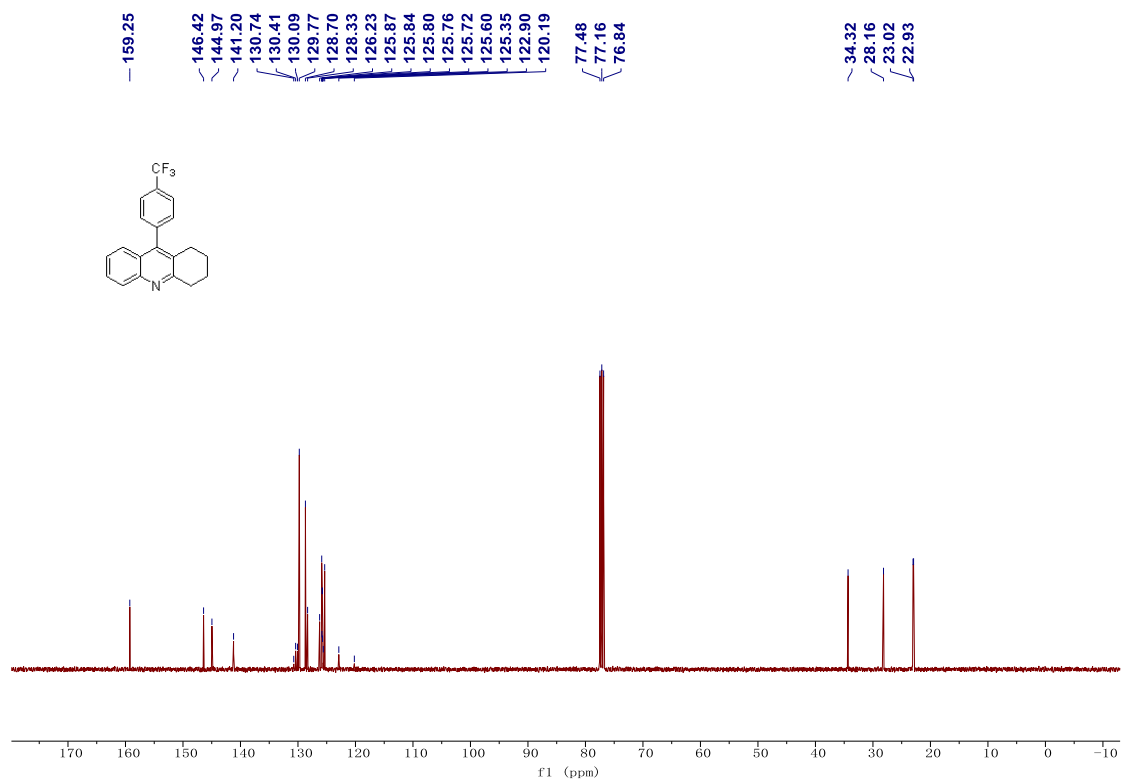
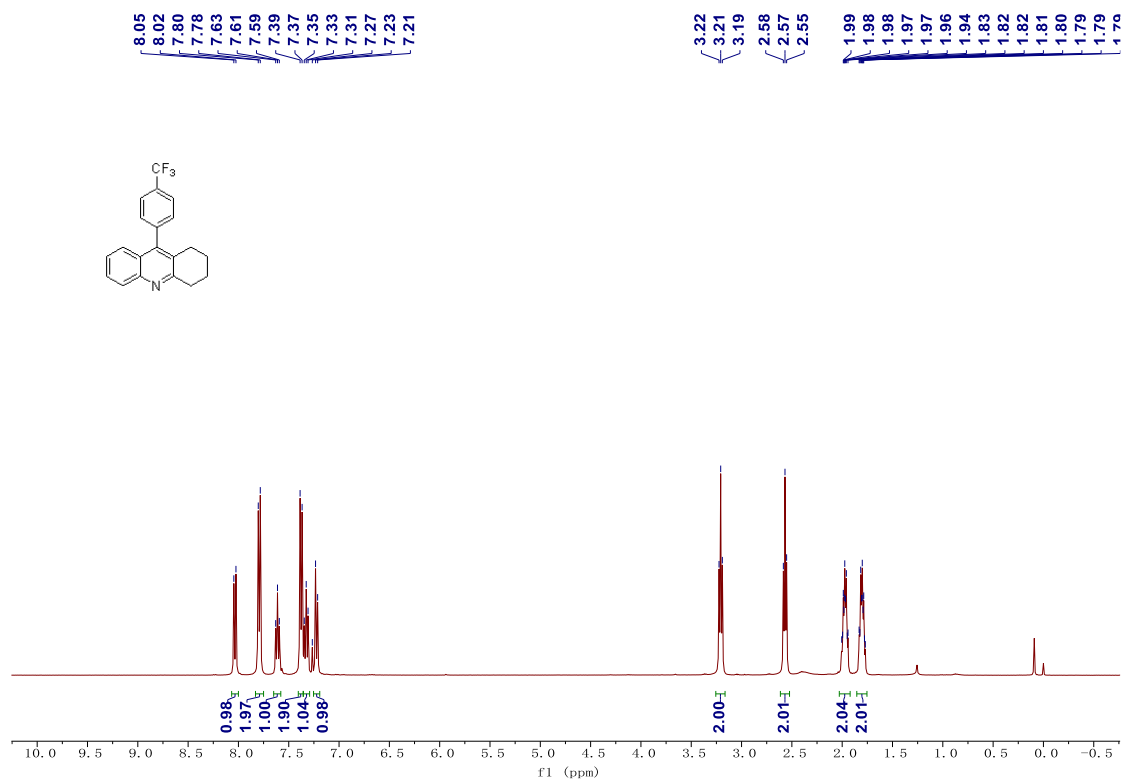
^1H , ^{13}C and ^{19}F NMR spectra of compound **9-(4-fluorophenyl)-1,2,3,4-tetrahydroacridine 3ka**

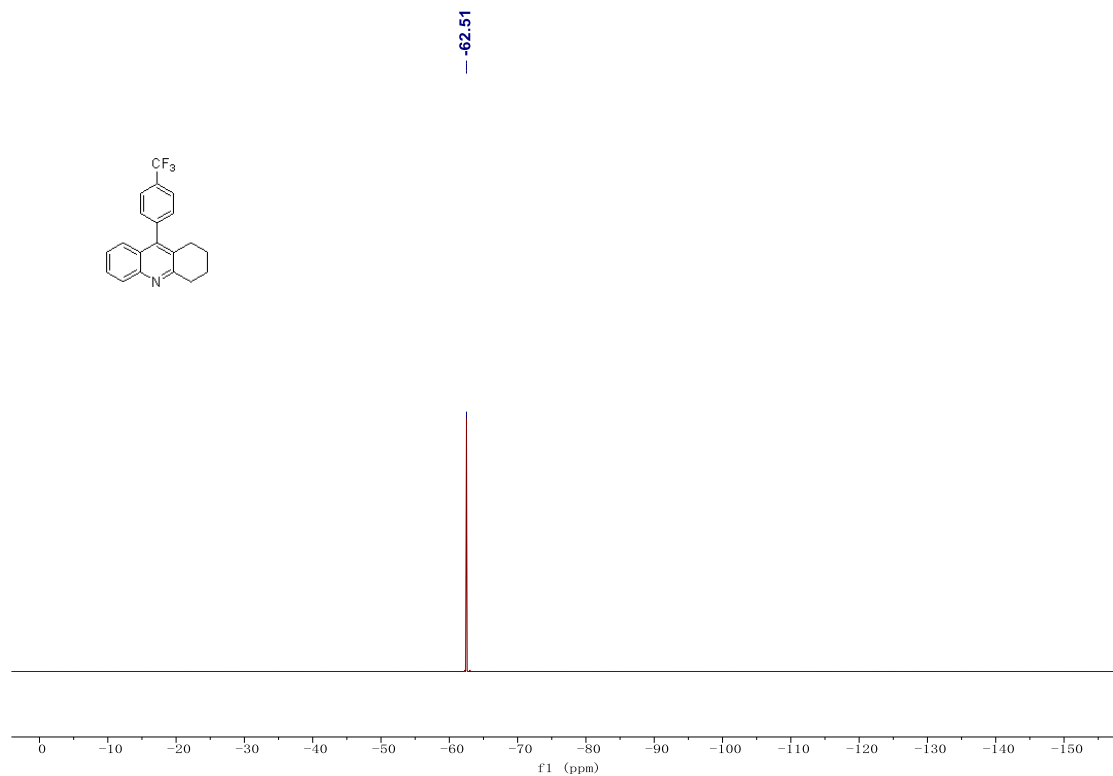




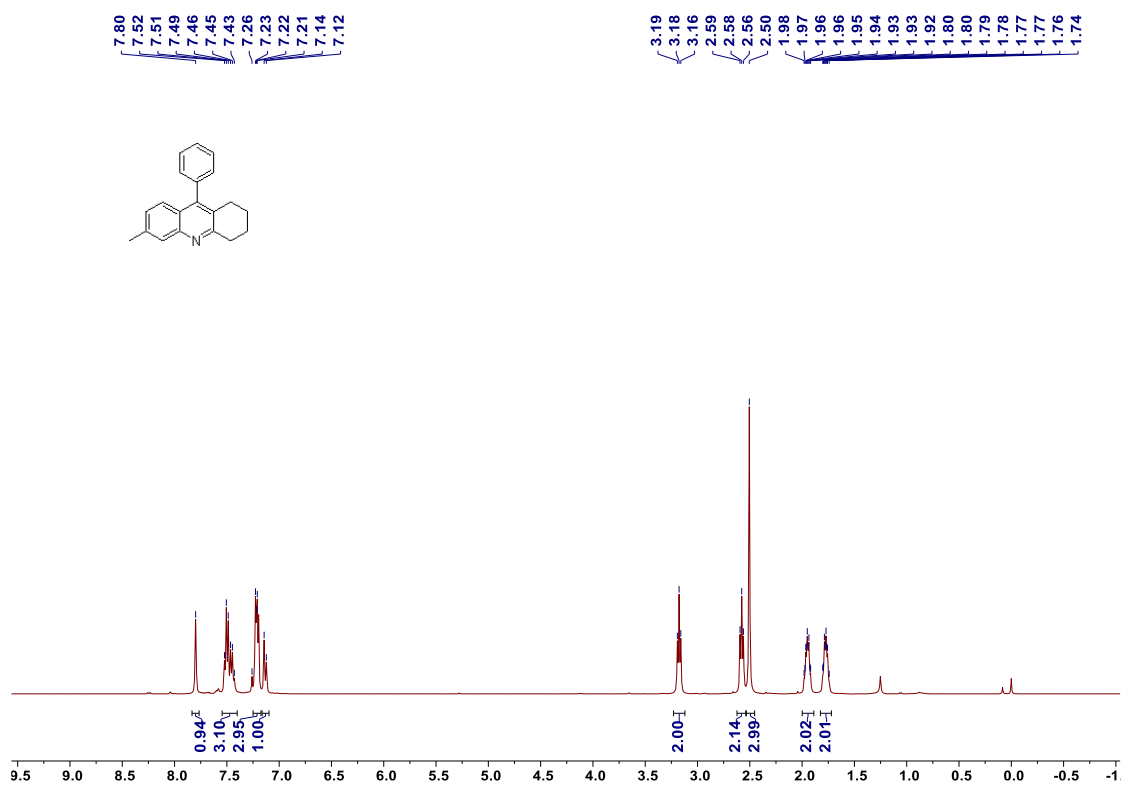
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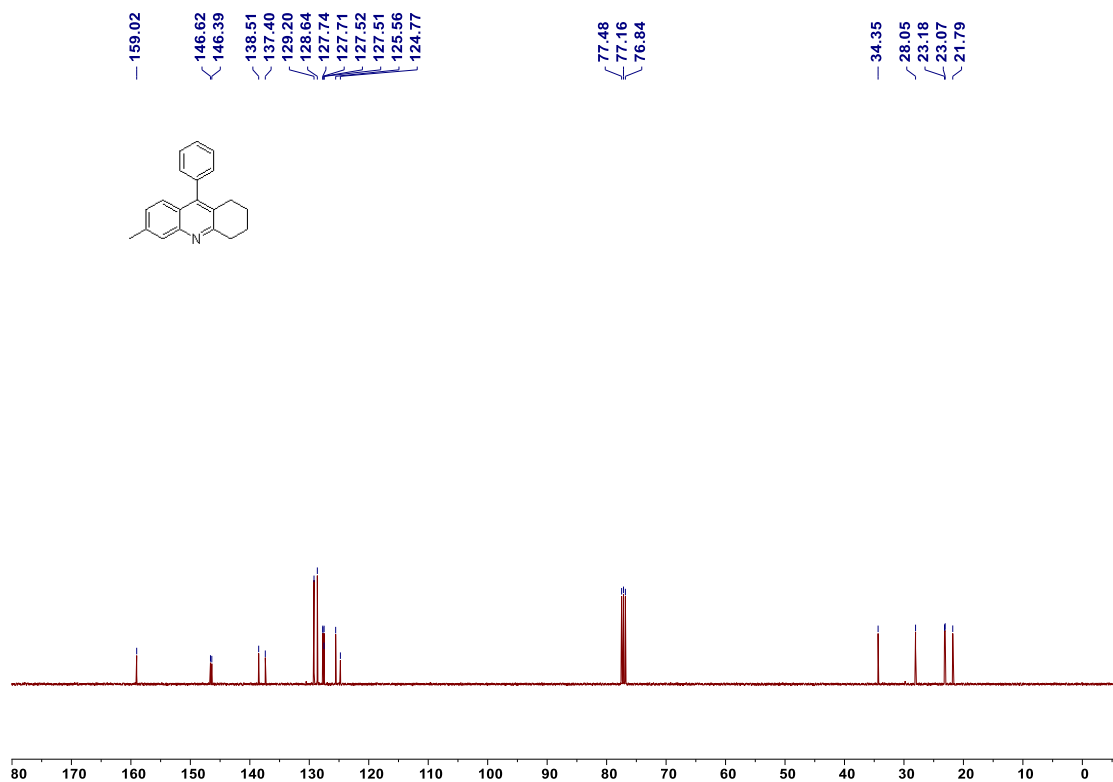
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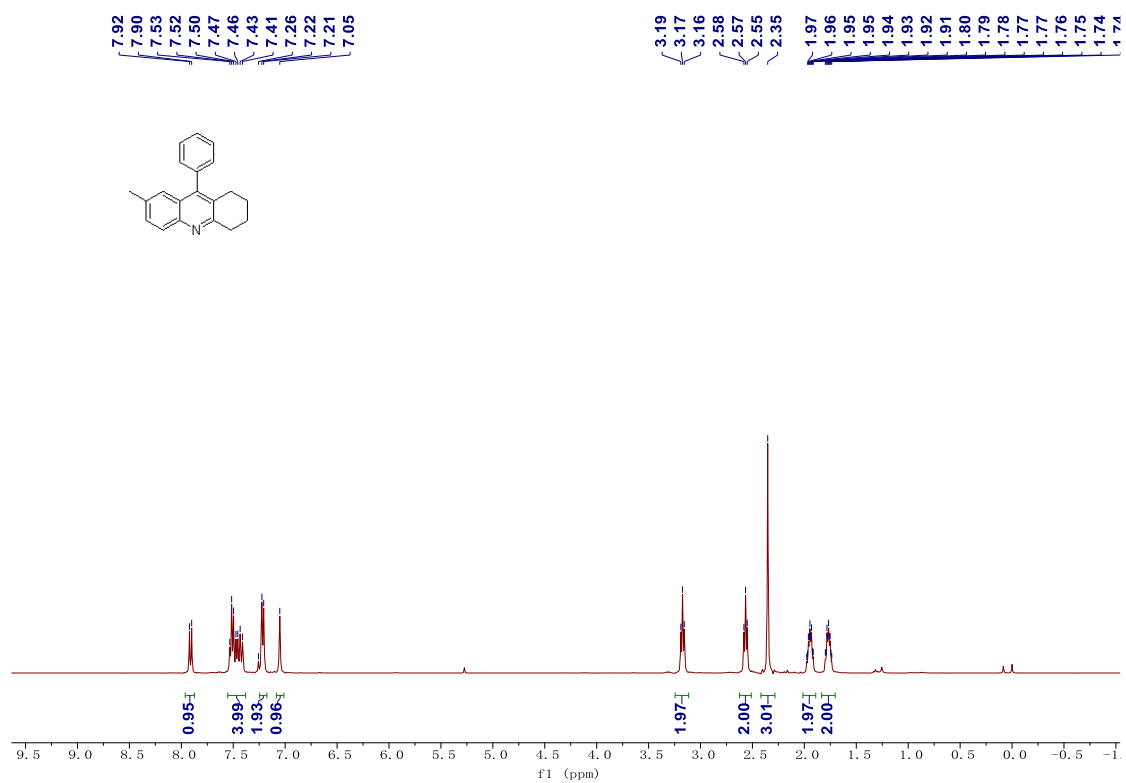


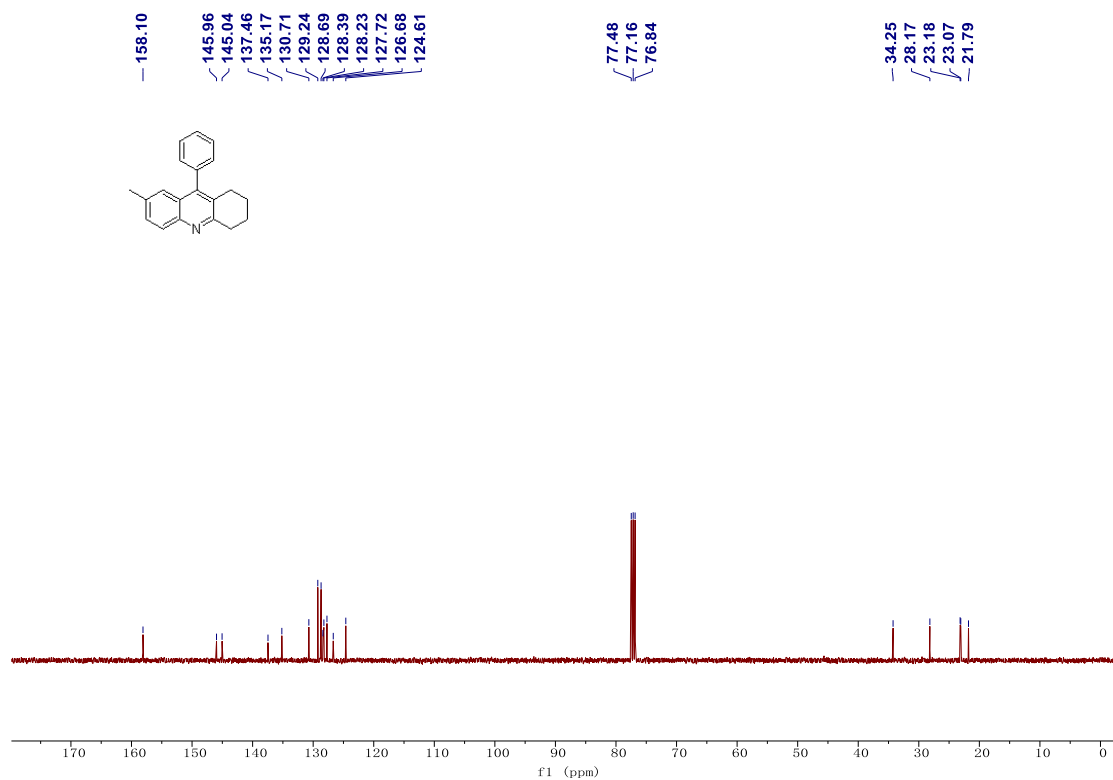
¹H and ¹³C NMR spectra of compound 6-methyl-9-phenyl-1,2,3,4-tetrahydroacridine 3ma



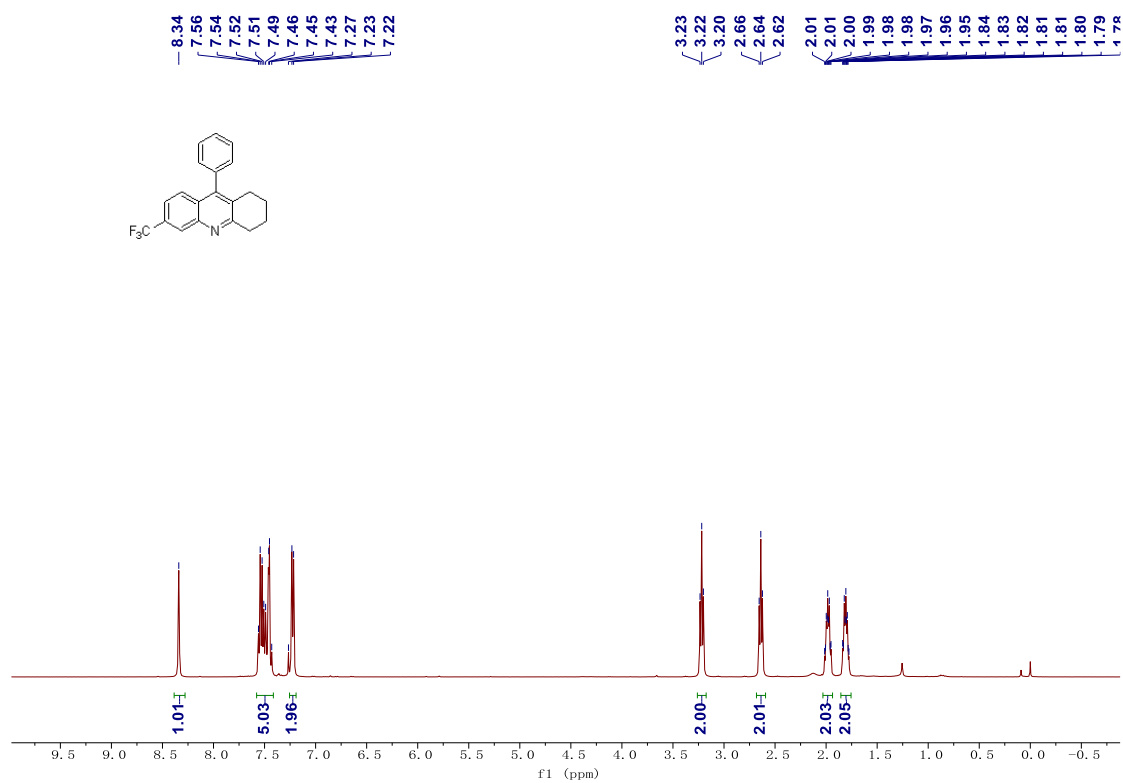


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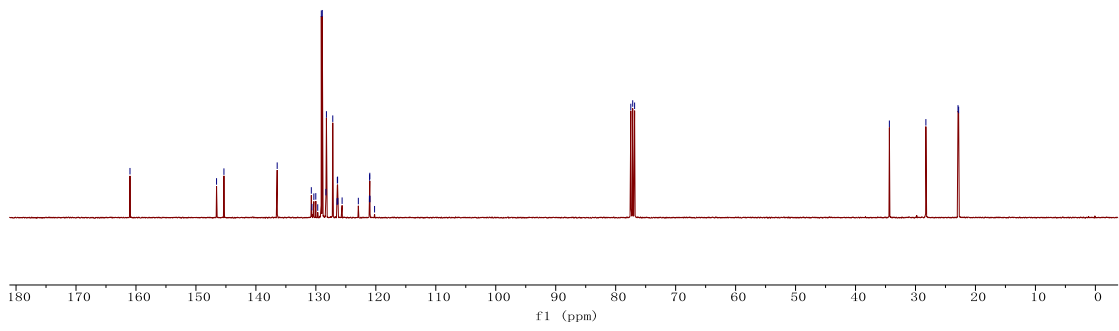
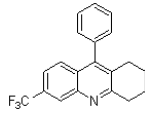




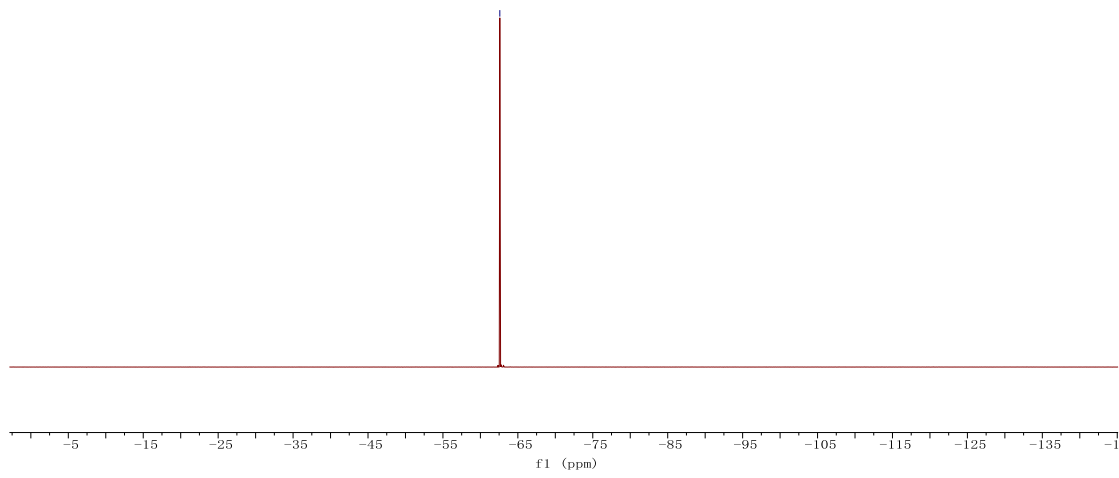
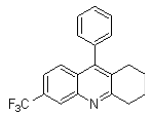
^1H , ^{13}C and ^{19}F NMR spectra of compound **9-phenyl-6-(trifluoromethyl)-1,2,3,4-tetrahydroacridine 30a**



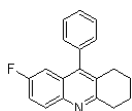
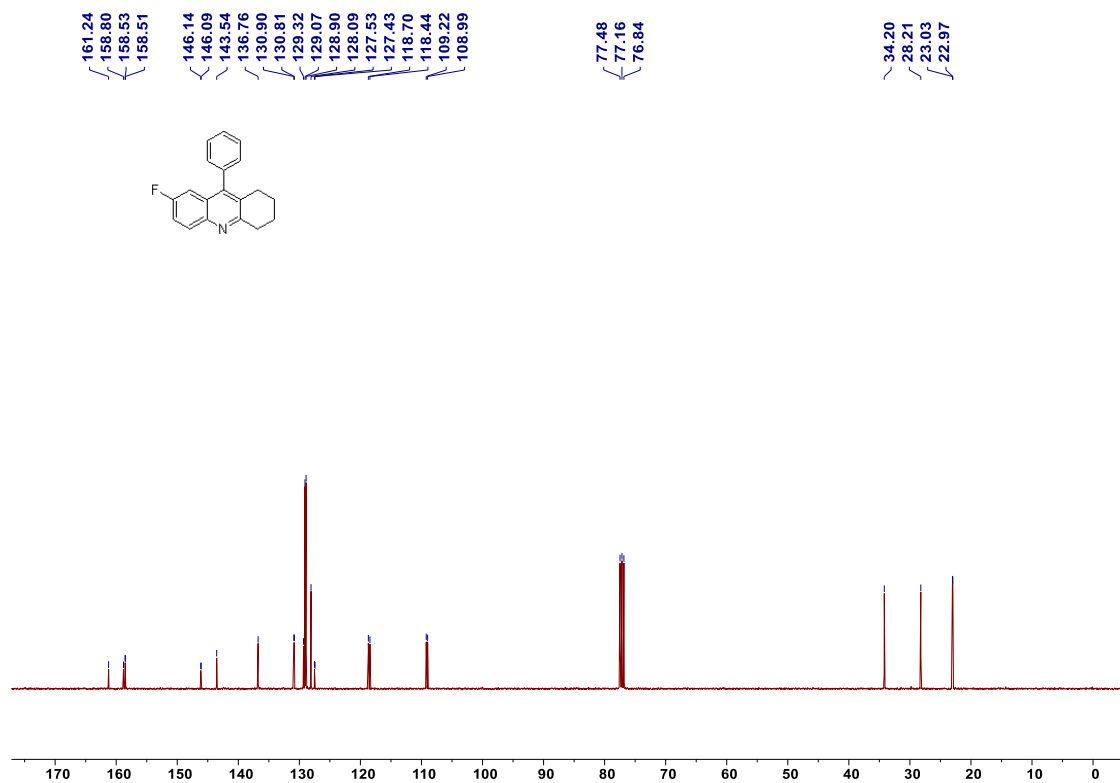
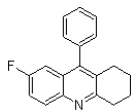
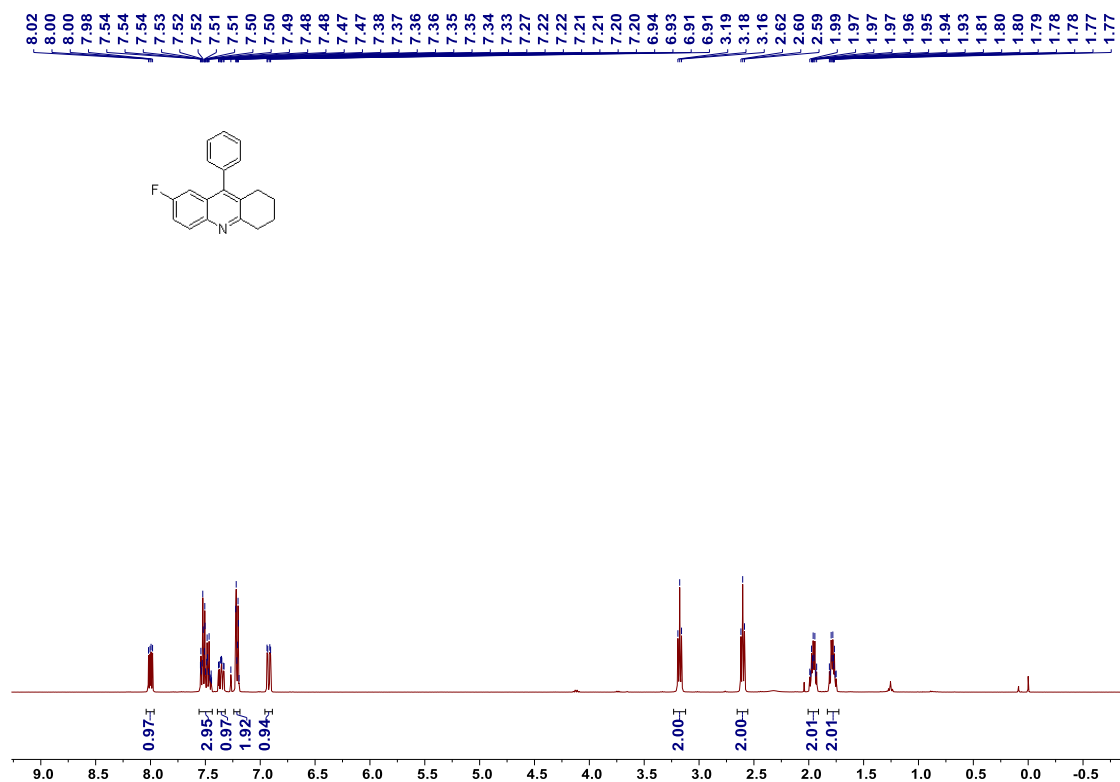
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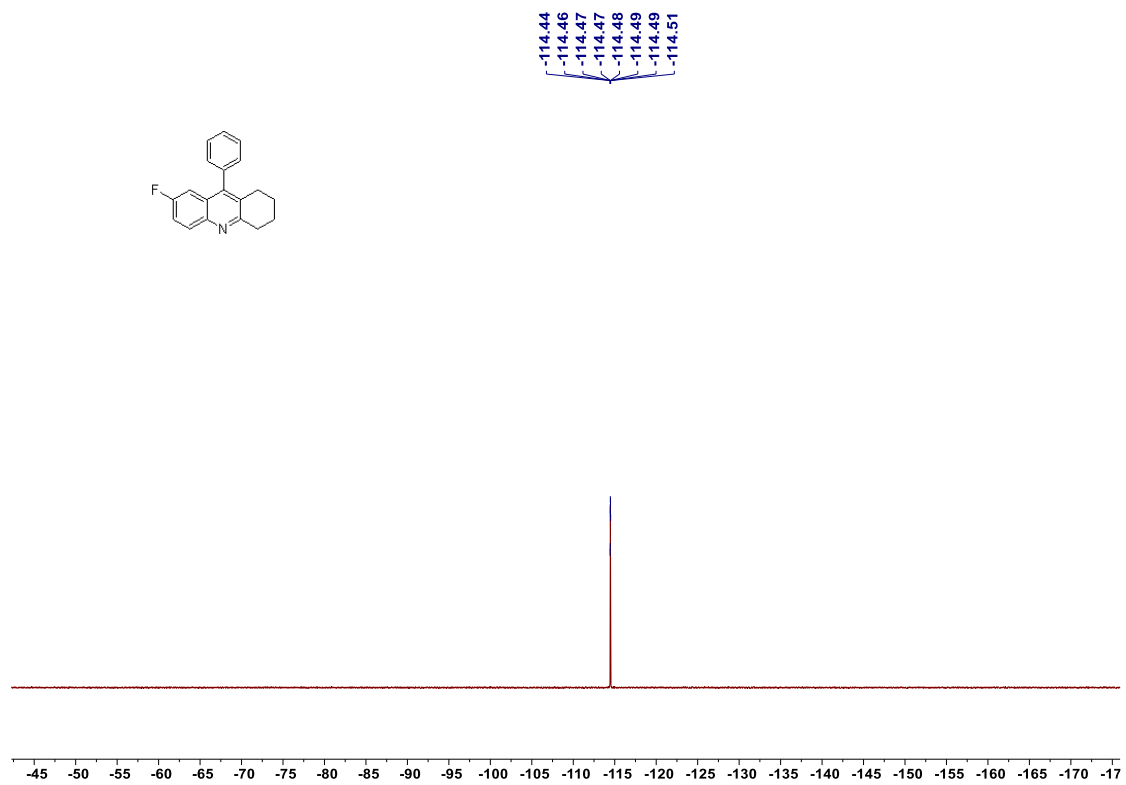


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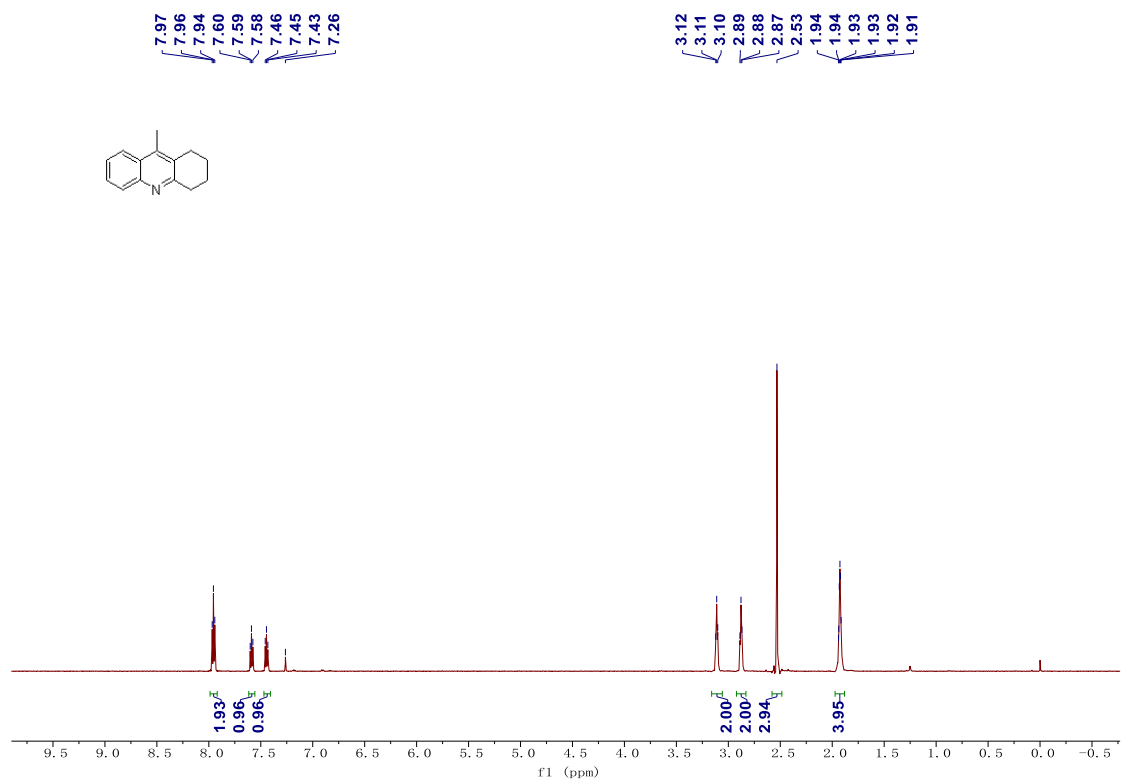


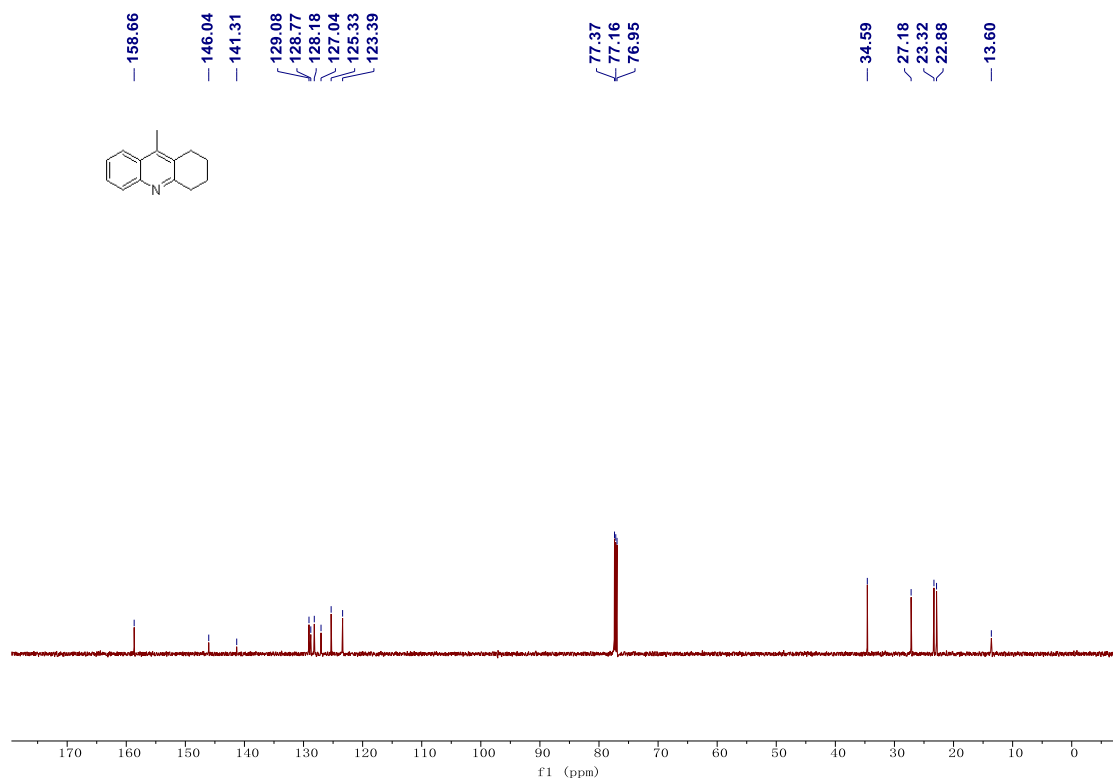
^1H , ^{13}C and ^{19}F NMR spectra of compound **7-fluoro-9-phenyl-1,2,3,4-tetrahydroacridine 3pa**



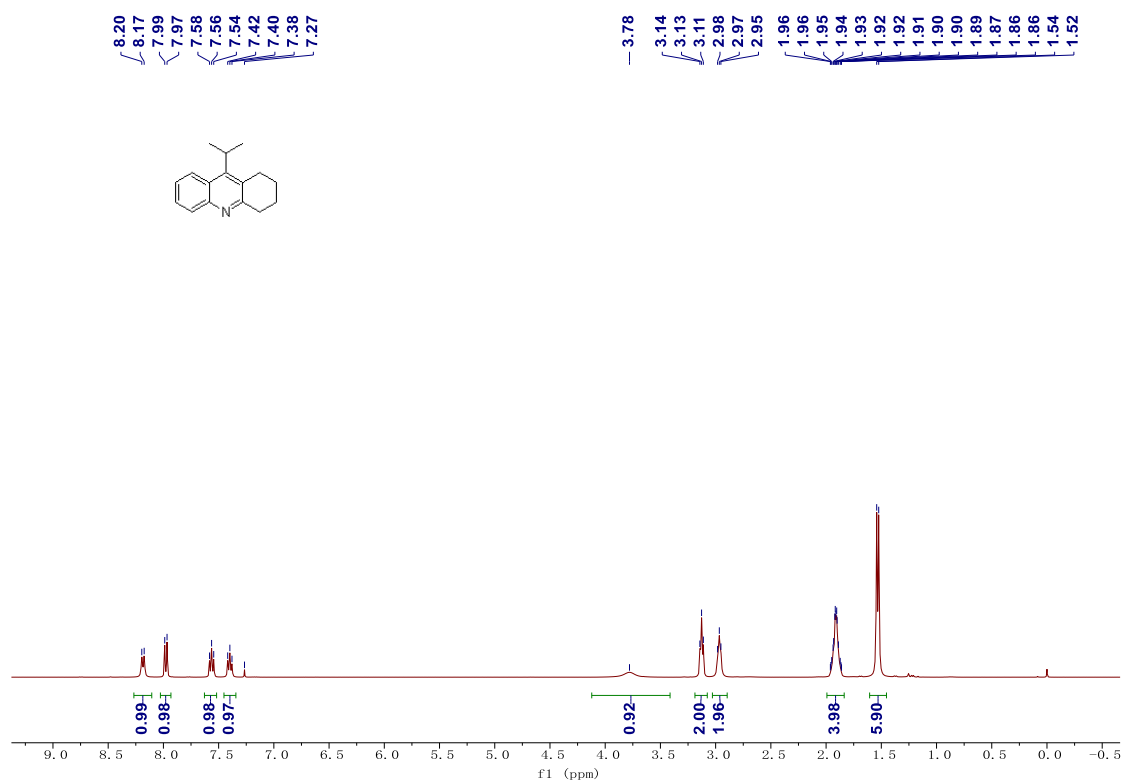


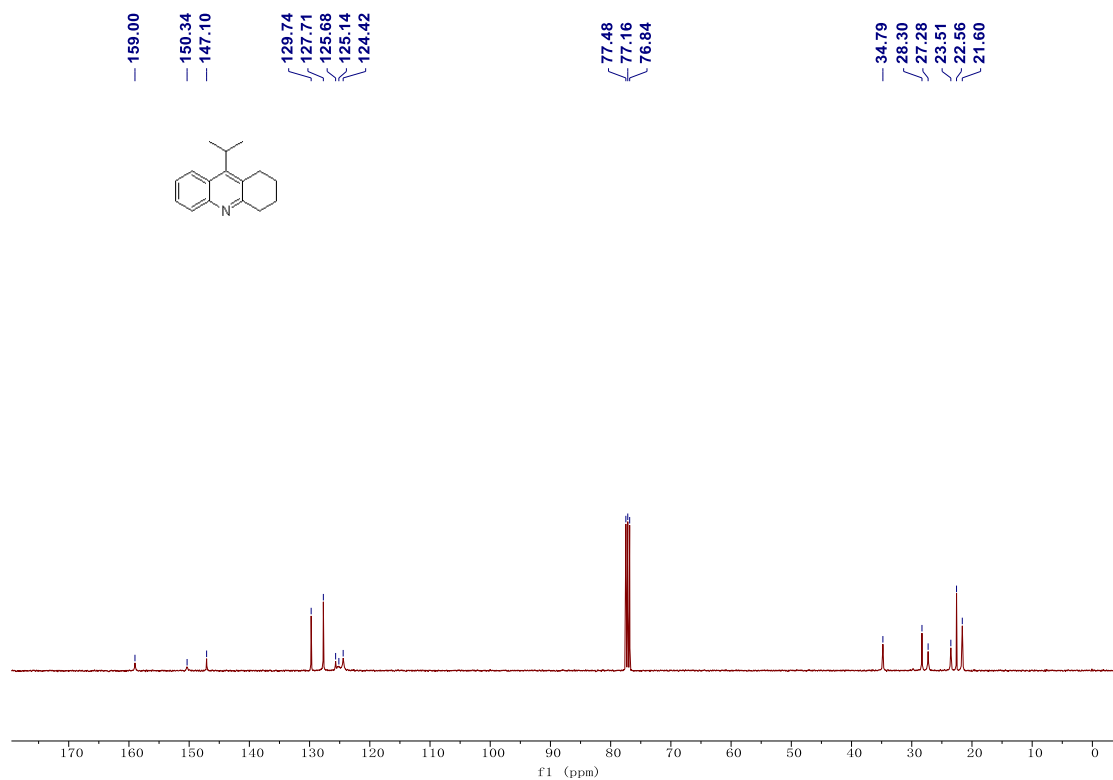
¹H and ¹³C NMR spectra of compound 9-methyl-1,2,3,4-tetrahydroacridine 3qa



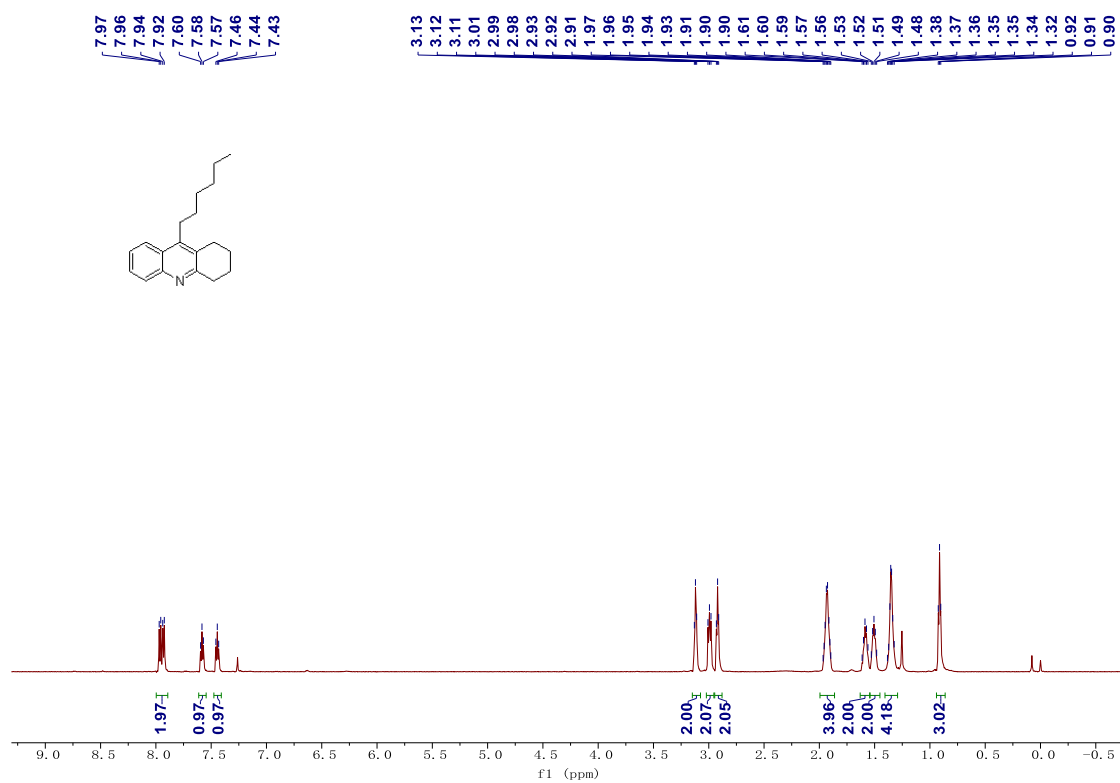


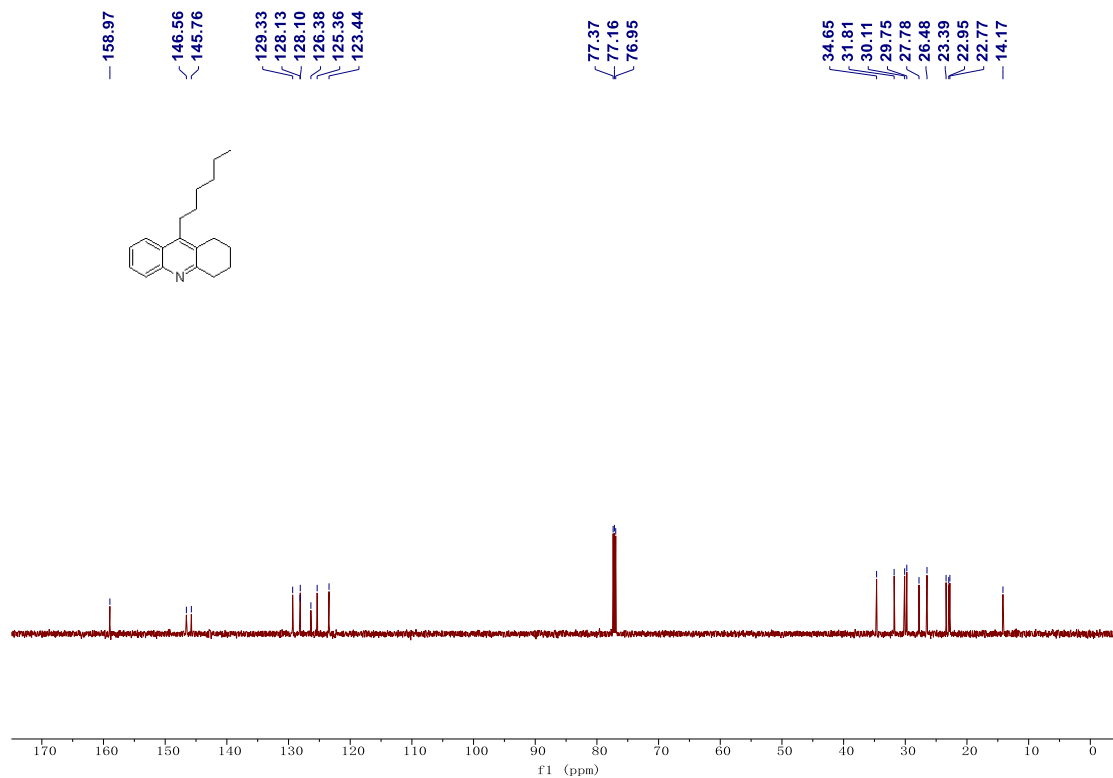
¹H and ¹³C NMR spectra of compound 9-isopropyl-1,2,3,4-tetrahydroacridine 3ra



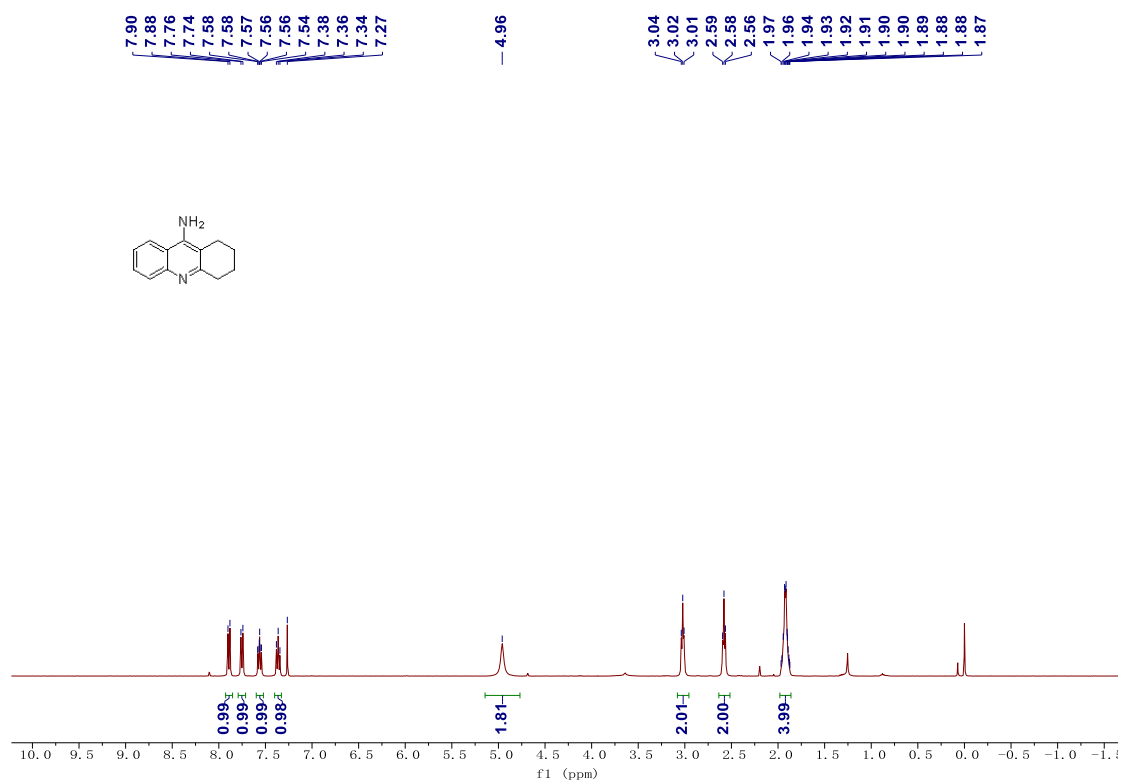


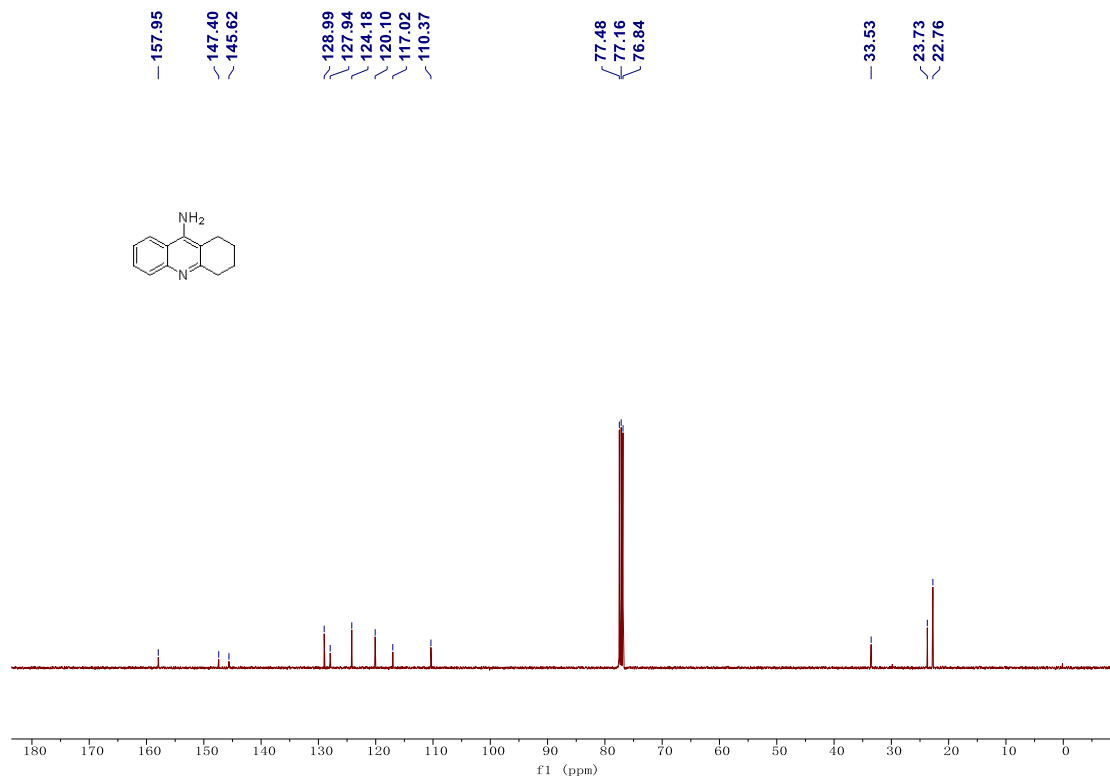
¹H and ¹³C NMR spectra of compound 9-hexyl-1,2,3,4-tetrahydroacridine 3sa



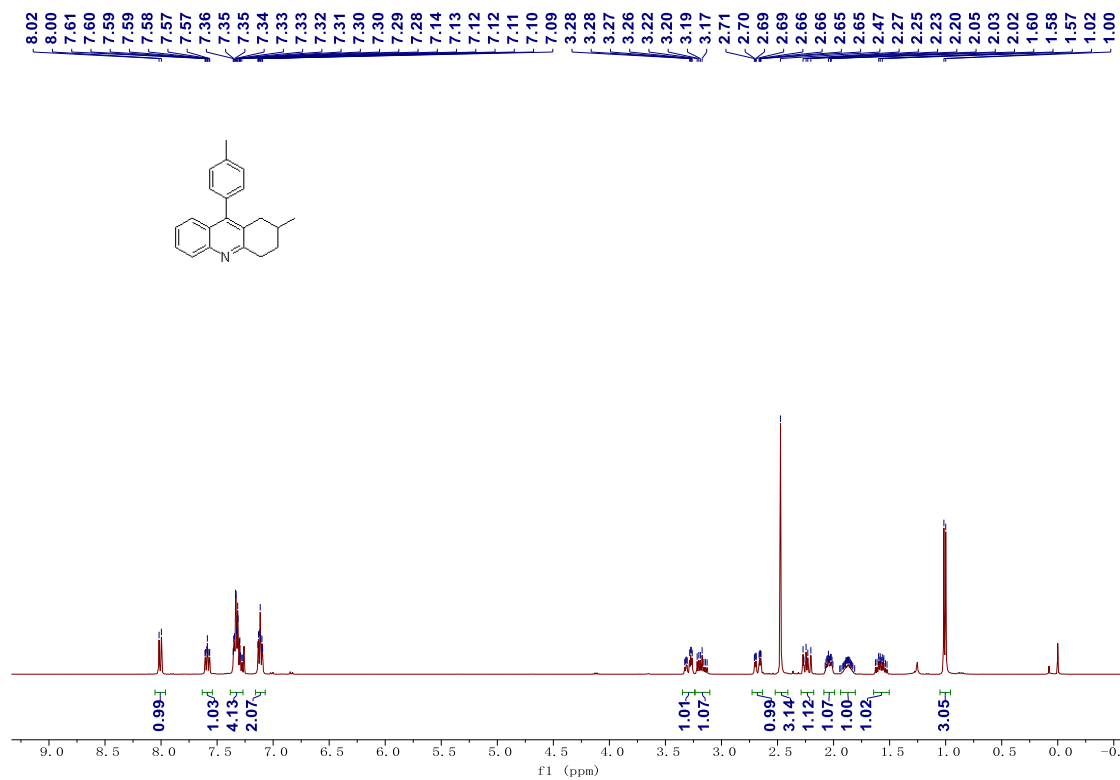


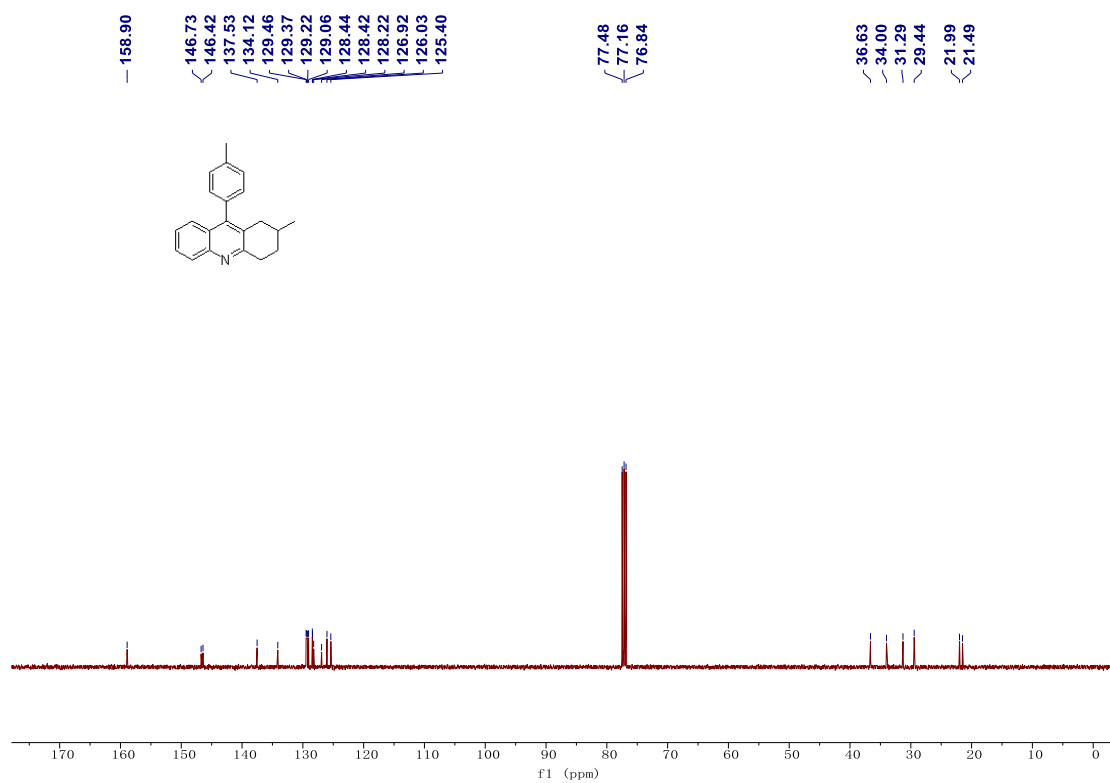
¹H and ¹³C NMR spectra of compound 1,2,3,4-tetrahydroacridin-9-amine 3ta



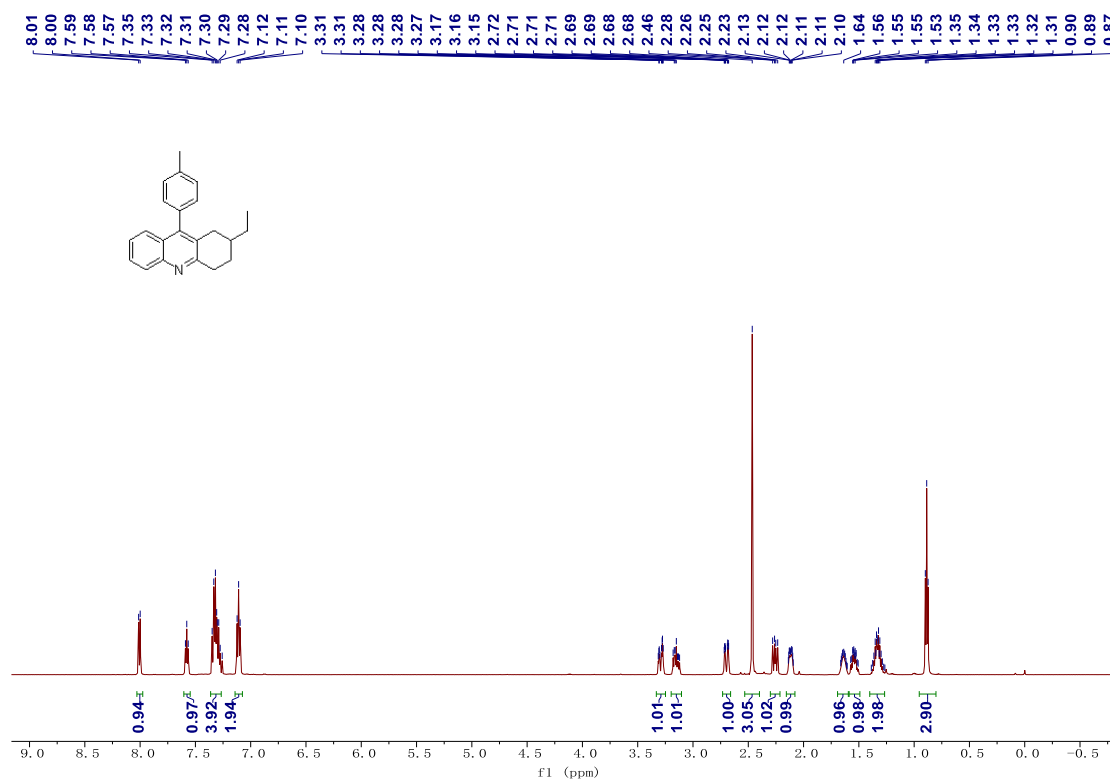


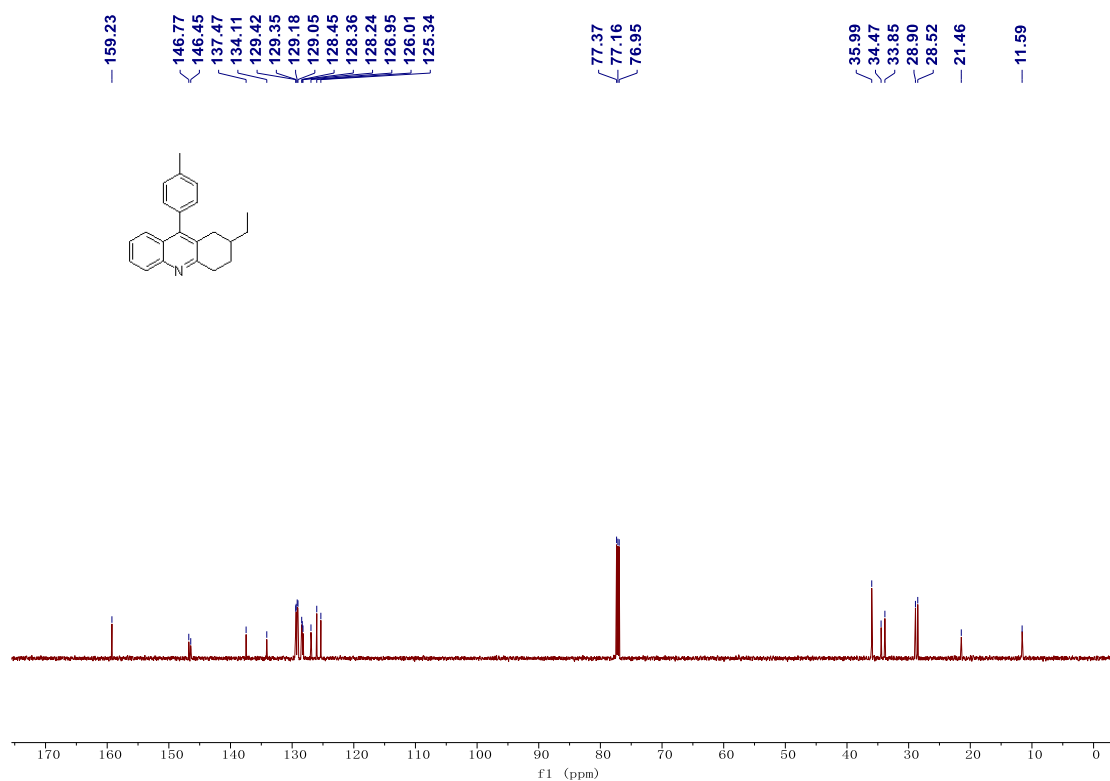
¹H and ¹³C NMR spectra of compound 2-methyl-9-(p-tyl)-1,2,3,4-tetrahydroacridine 3bb



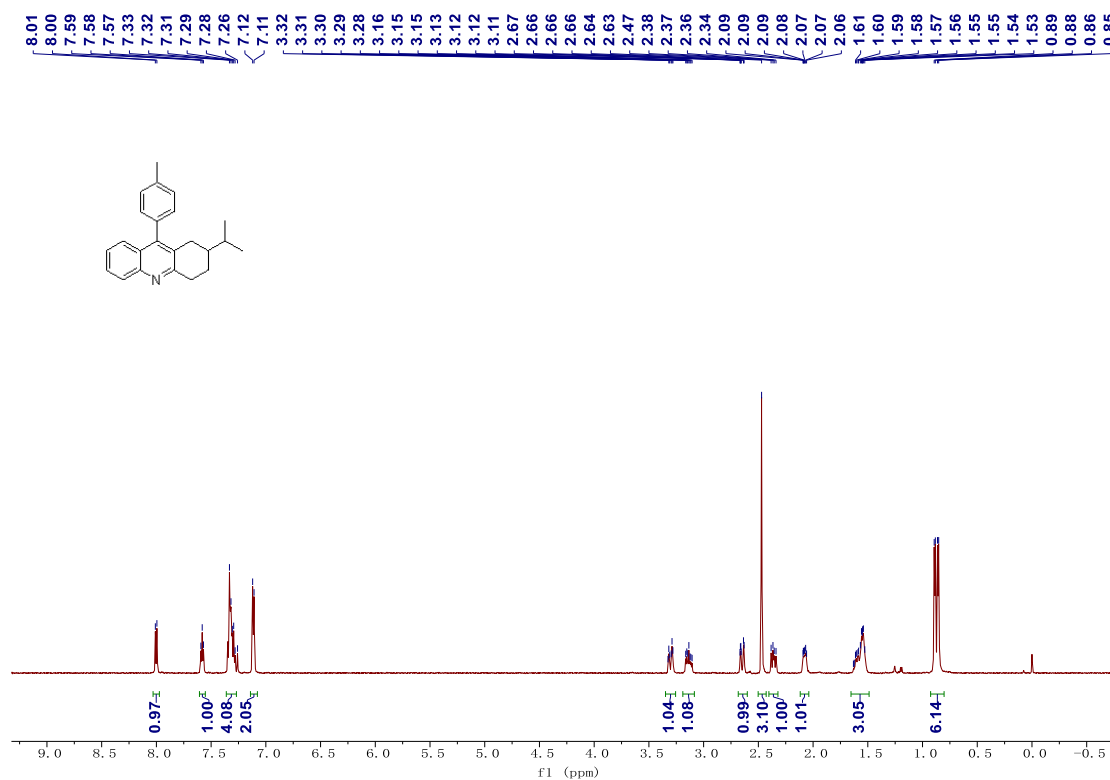


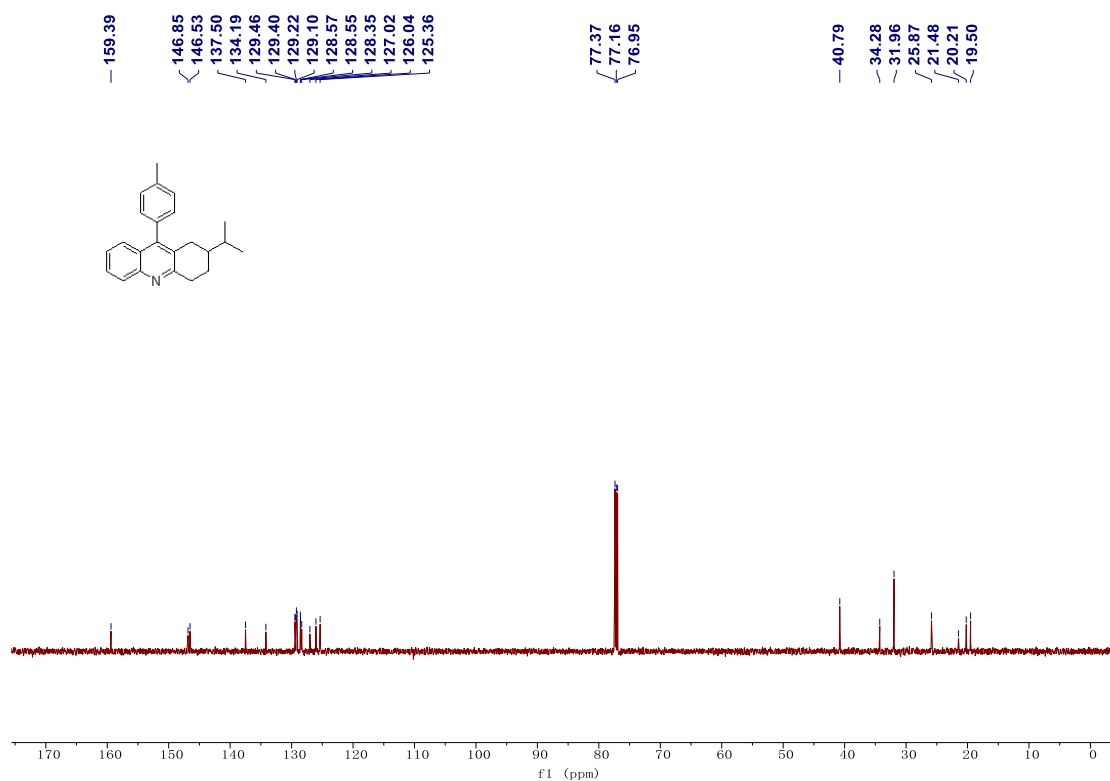
¹H and ¹³C NMR spectra of compound 2-ethyl-9-(p-tolyl)-1,2,3,4-tetrahydroacridine 3bc



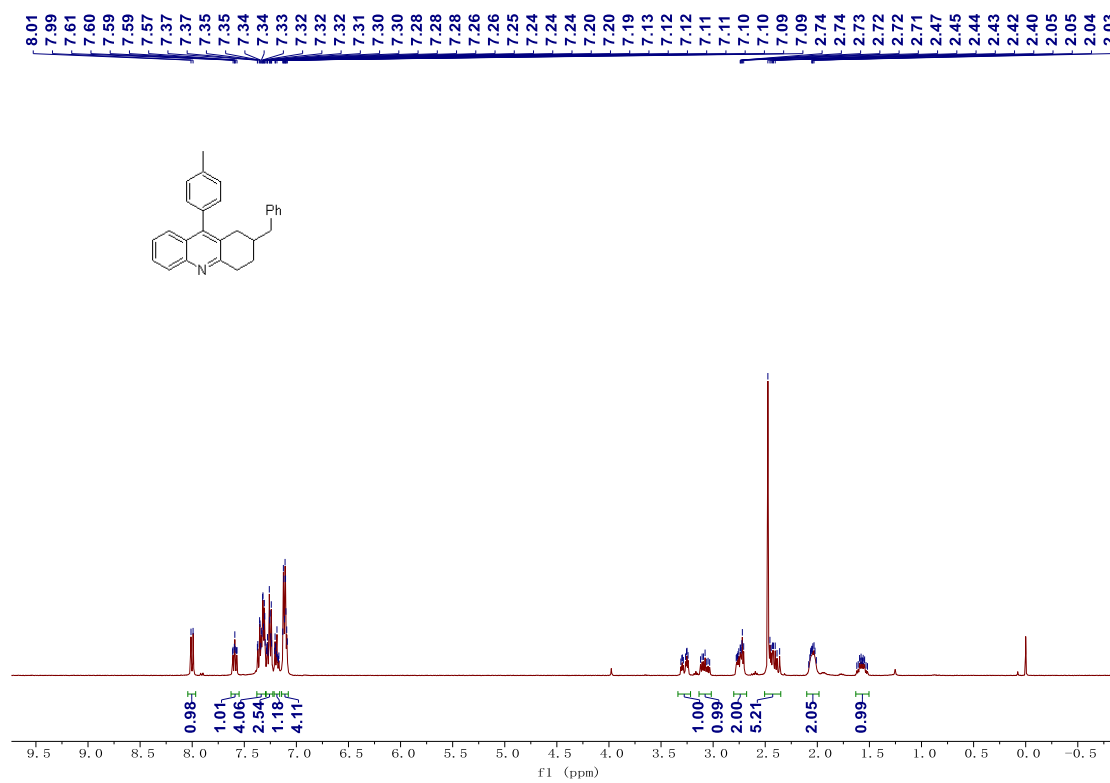


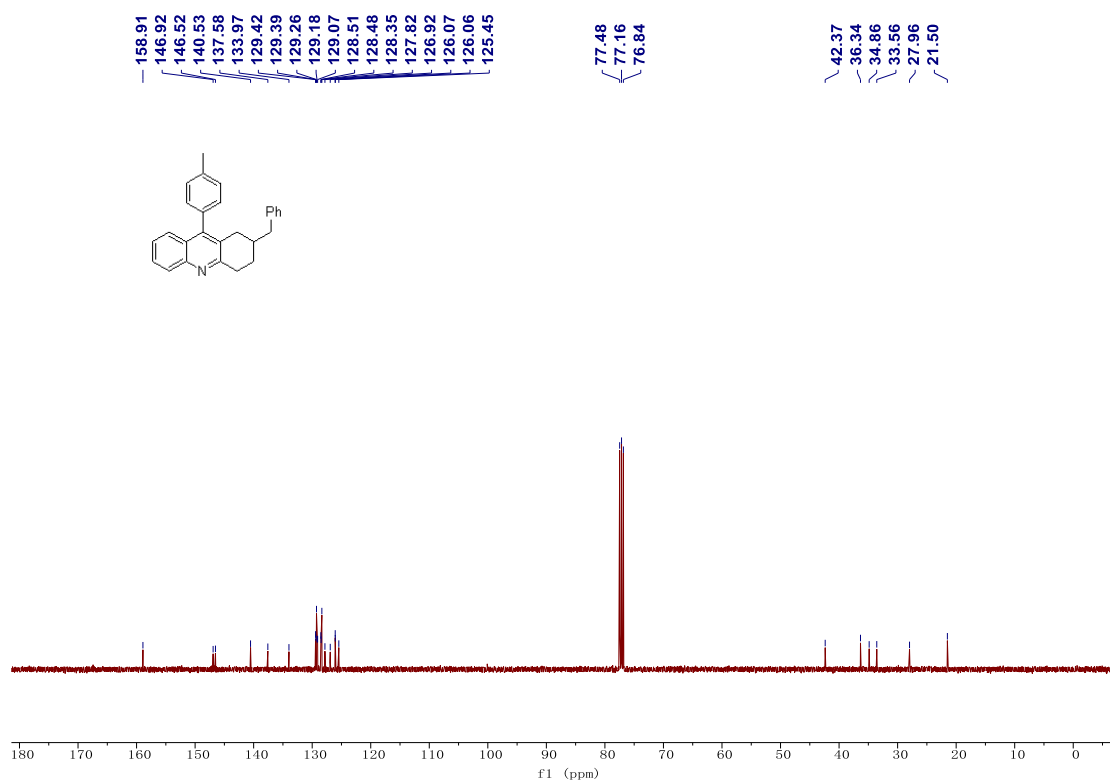
¹H and ¹³C NMR spectra of compound 2-isopropyl-9-(*p*-tolyl)-1,2,3,4-tetrahydroacridine 3bd



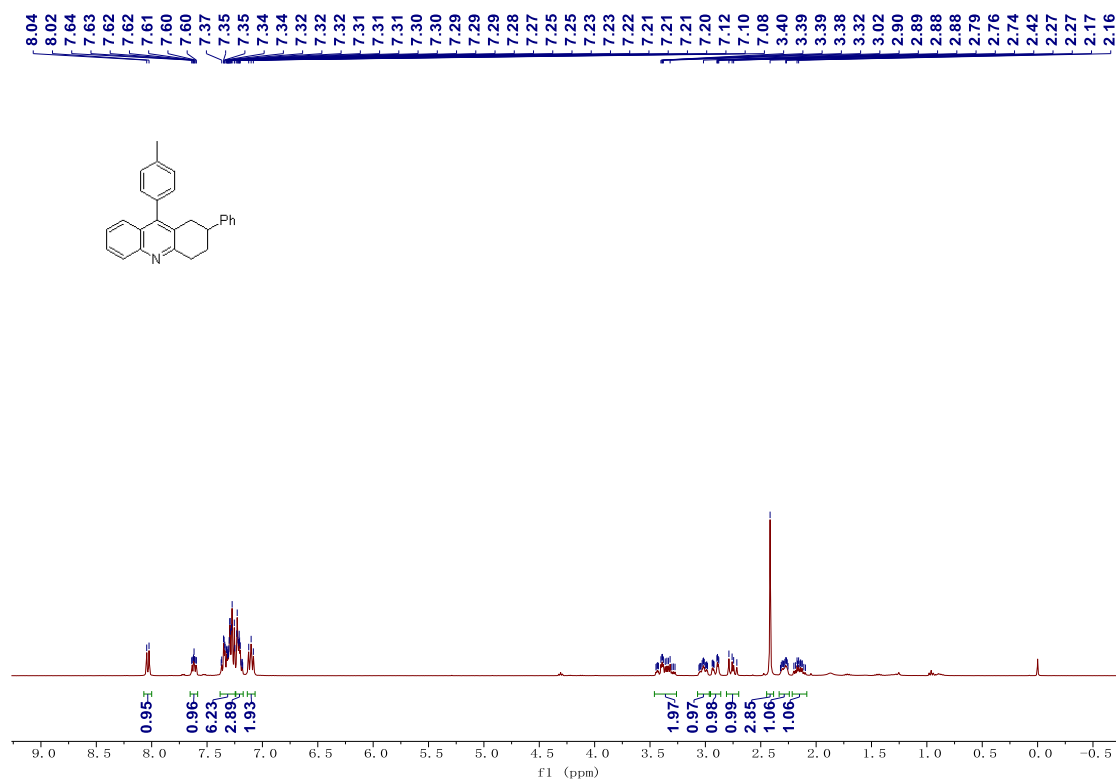


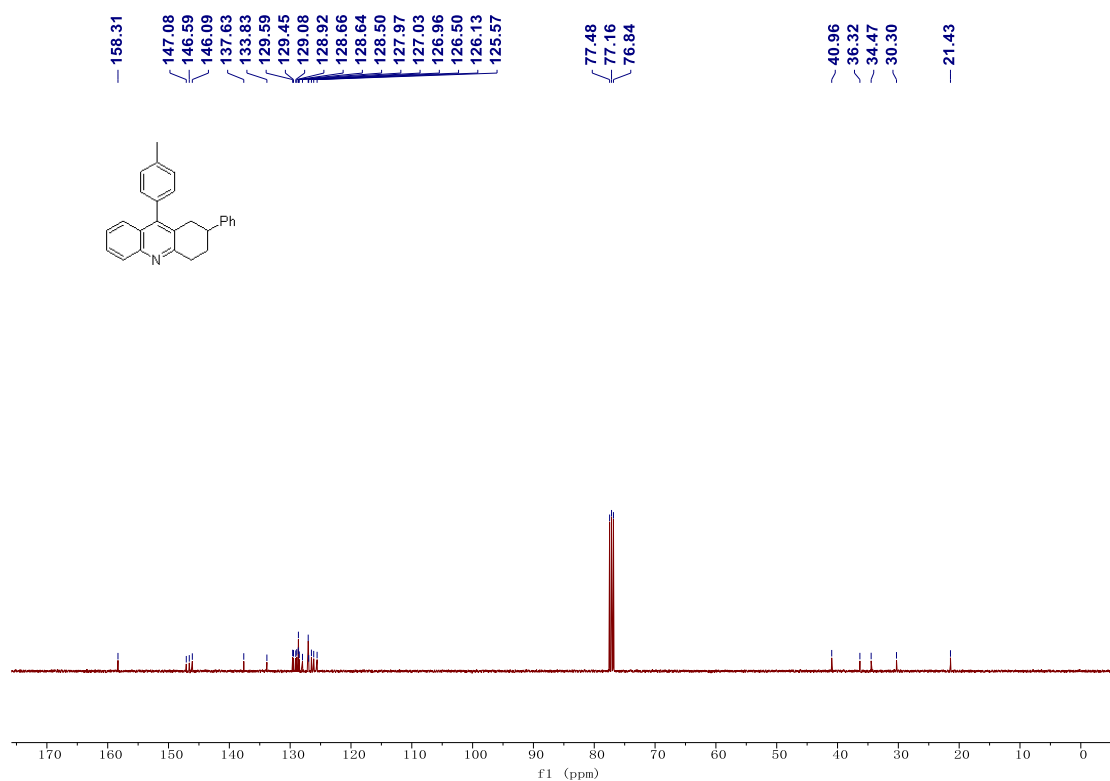
¹H and ¹³C NMR spectra of compound 2-benzyl-9-(*p*-tolyl)-1,2,3,4-tetrahydroacridine 3be



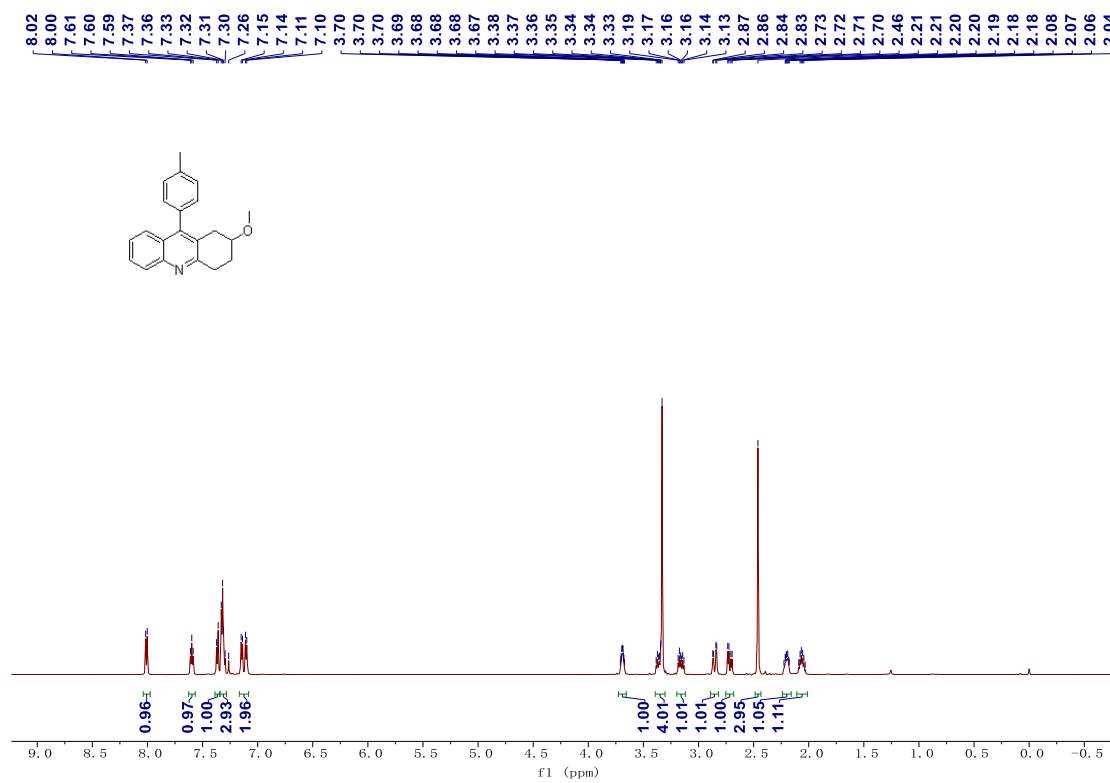


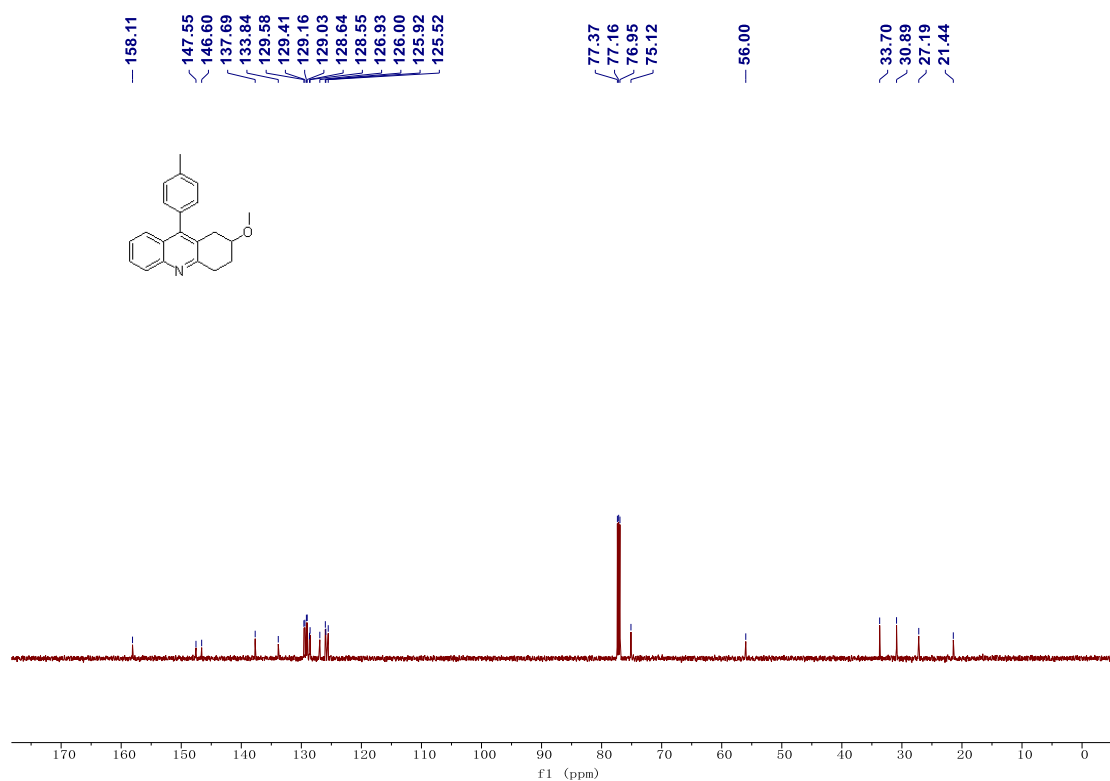
¹H and ¹³C NMR spectra of compound **2-phenyl-9-(*p*-tolyl)-1,2,3,4-tetrahydroacridine 3bf**



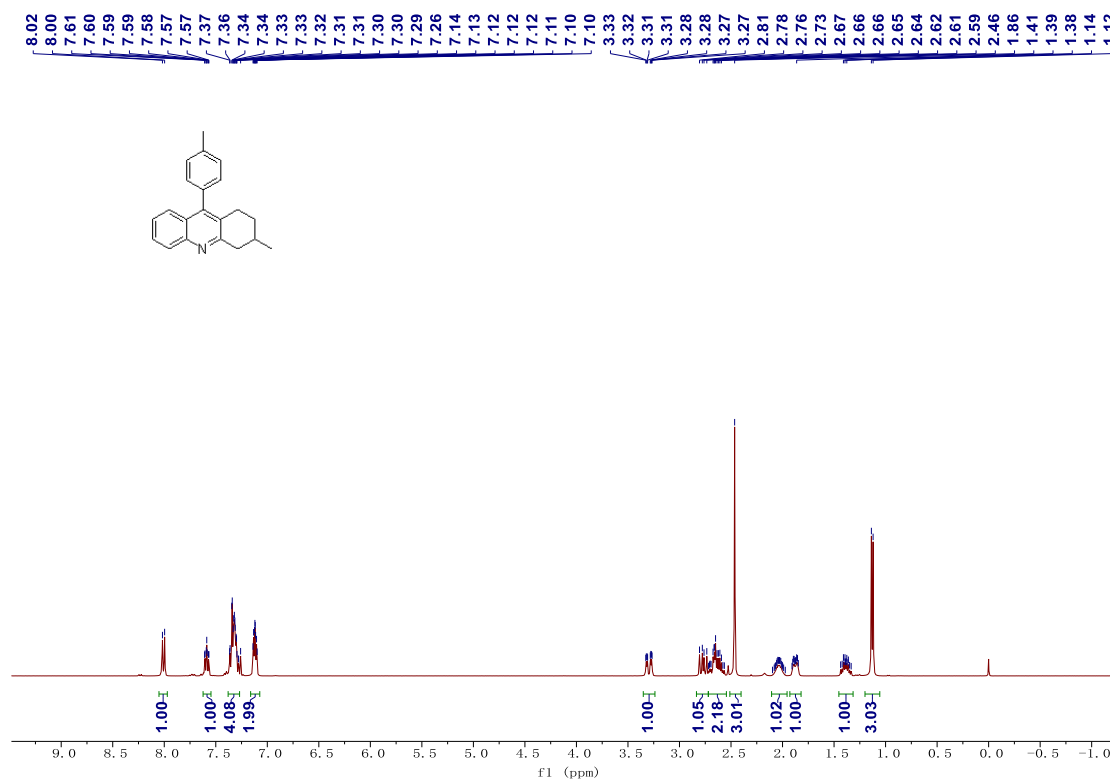


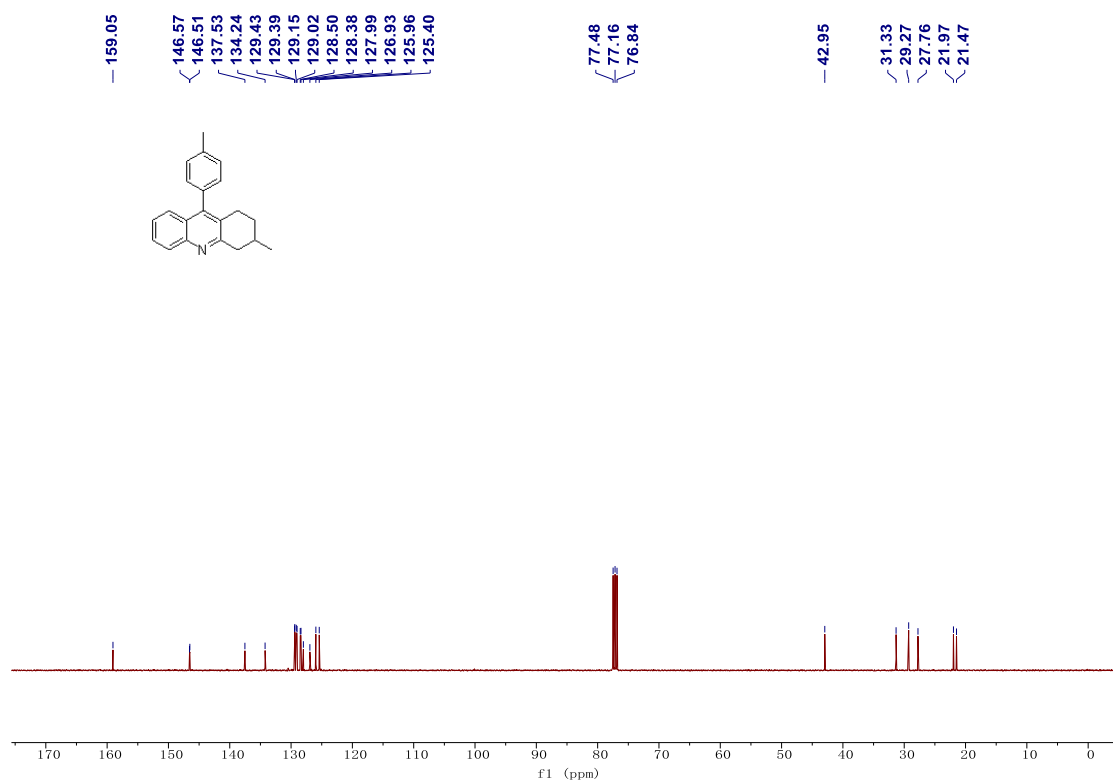
¹H and ¹³C NMR spectra of compound 2-methoxy-9-(*p*-tolyl)-1,2,3,4-tetrahydroacridine 3bg



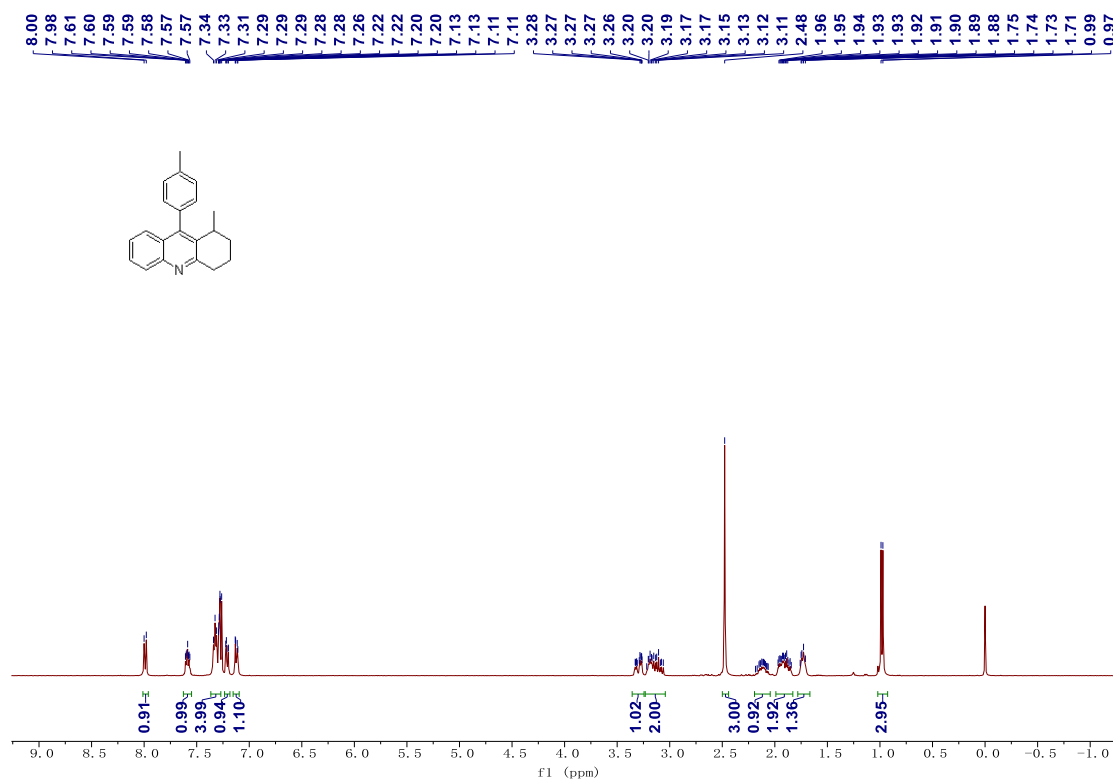


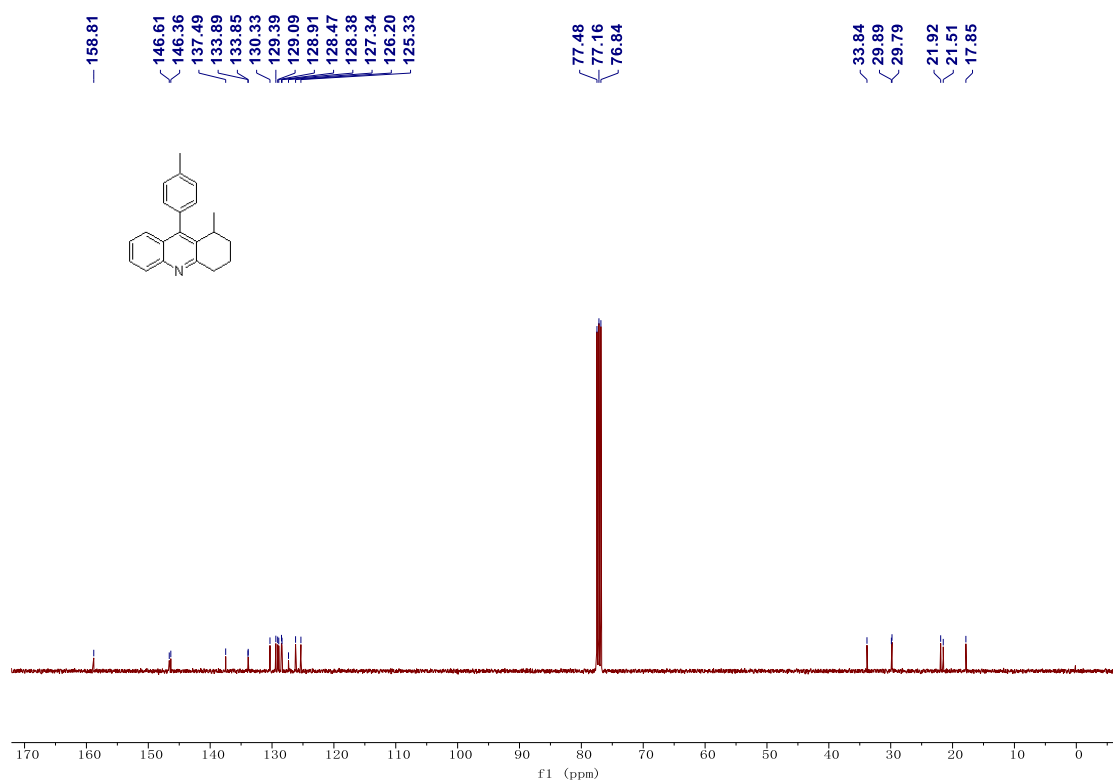
¹H and ¹³C NMR spectra of compound 3-methyl-9-(*p*-tolyl)-1,2,3,4-tetrahydroacridine 3bh'



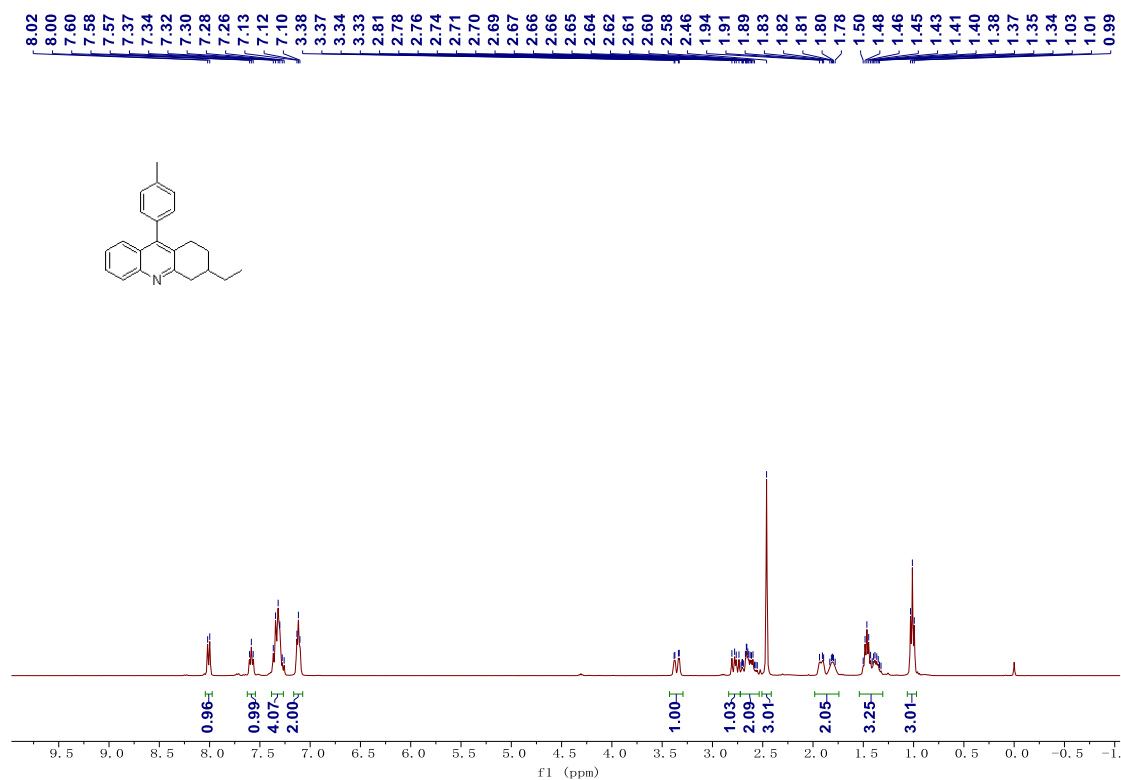


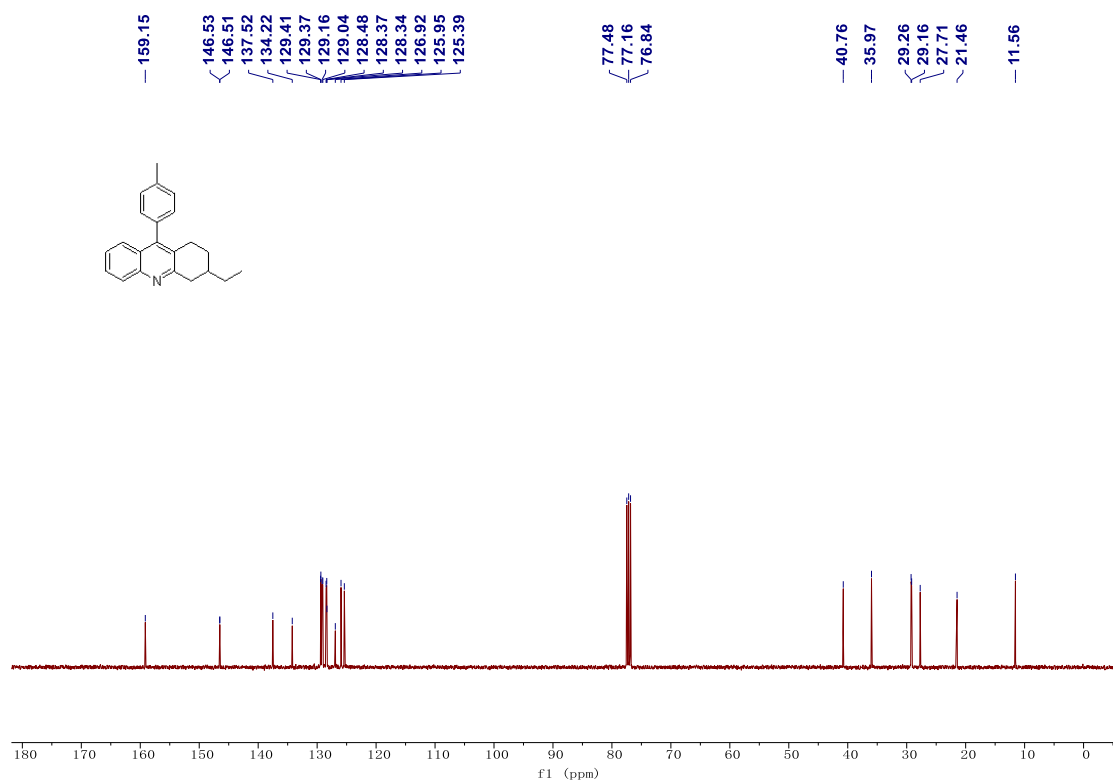
¹H and ¹³C NMR spectra of compound **1-methyl-9-(*p*-tolyl)-1,2,3,4-tetrahydroacridine 3bh**





¹H and ¹³C NMR spectra of compound 3-ethyl-9-(*p*-tolyl)-1,2,3,4-tetrahydroacridine 3bi'





¹H and ¹³C NMR spectra of compound 1-ethyl-9-(*p*-tolyl)-1,2,3,4-tetrahydroacridine 3bi”

