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Supporting Information

Visible-Light-Promoted Metal-Free Approach for the N-H Insertions by Using Donor/Donor Diazo Precursors

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1. Materials and methods

Commercial reagents were used without purification and reactions were run under Ar atmosphere with exclusion of moisture from reagents using standard techniques for manipulating air-sensitive compounds. All reactions, unless noted, were performed in oven-dried glassware with magnetic stirring under an inert atmosphere of dry argon.

^{1}H NMR spectra (500 MHz/300 MHz), ^{13}C NMR spectra (126 MHz/75 MHz) and ^{19}F NMR spectra (282 MHz) were recorded using Bruker Avance 500 spectrometer with $CDCl_3$, CD_3OD or DMSO-d_6 as solvent. NMR spectra were calibrated using the solvent residual signals ($CDCl_3$: δ ^{1}H = 7.26, δ ^{13}C = 77.16; CD_3OD: δ ^{1}H = 3.34, δ ^{13}C = 49.86; DMSO-d_6: δ ^{1}H = 2.50, δ ^{13}C = 39.52). The following abbreviations were used to describe peak splitting patterns when appropriate: s = singlet, d = doublet, t = triplet, q = quartet, dd = doublet of doublet, m = multiplet.

Thin layer chromatography (TLC) was performed using MilliporeSigma glass TLC plates (silica gel 60 coated with F_{254}, 250 μm) and spots were visualized using UV light (254 nm). SiliaFlash® P60 silica gel (particle size: 40-63 μm, pore size: 60 Å) was used for flash column chromatography. A hexane /EtOAc solvent system was used as mobile phase and commercial silica cartridges (12-80 g, Grace®) as stationary phase.

High-resolution mass spectra (HRMS) were recorded on an Agilent MSD-Trap-XCT or Q-Tof micro mass spectrometer. High resolution mass spectra (ESI) were recorded on a Thermo Fisher Scientific Q-Exactive-GC.

Ultraviolet-visible absorption experiments were performed using an Agilent Cray 100 spectrophotometer. FT-IR spectra were recorded on NEXUS FT-IR Spectrometer (Nicolet, America) at room temperature. All samples were measured between 4000 and 500 cm^{-1} with a resolution of 4 cm^{-1} and accumulated 32 scans.

Kessil lamps were purchased from Tansoole, with precise wavelengths (427 nm).

Amines, 1,5-Diazabicyclo[4.3.0]-5-nonene (DBN) were purchased from Bide Pharm, Tansoole, Fisher, TCI or Energy Chemical and used without further purification. Anhydrous DCM (Water≤50 ppm(by K.F.), 99.9%, SafeDry, with molecular sieves, Safeseal) were purchased from Tansoole. PhF (Purity: 99%) were purchased from Tansoole.

2. Setup for photochemical reactions

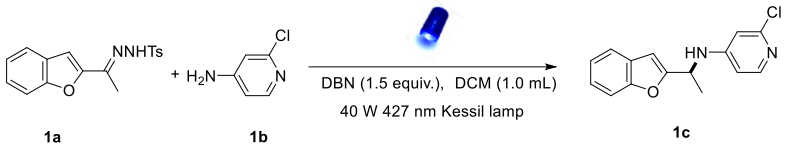
The reaction setup is depicted in **Fig. S1**. The reaction setup consists of commercially available Kessil lamp which was purchased from Tansoole, with precise wavelengths (427 nm), cooling of the setup was performed by two commercially available fans to keep the temperature around 30 ℃. Magnetic stirring was performed at 500 rpm.

Fig. S1 Kessil reaction setup. reaction was performed under room temperature controlled by fans.

3. Optimization of reaction conditions

Screening of reaction conditions

Control experiments

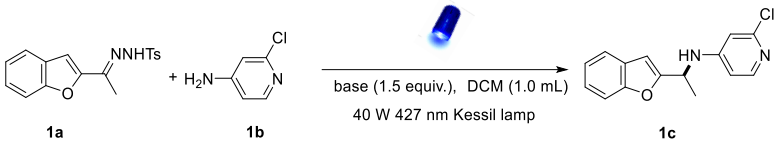


1a **1b** **1c**

Entry	Controlled parameter	Yield [%][a,b]
1	Standard conditions	77
2	No light	n.d.
3	No base	n.d.

a. Reaction conditions: **1a** (0.2 mmol, 1.0 equiv.), **1b** (1.0 mmol, 5 equiv.), DBN (0.3 mmol, 1.5 equiv.), DCM (2.0 mL), room temperature (r.t.), 6 h. **b.** Yields were determined by ^{1}H NMR using 1,3,5-trimethoxybenzene as the internal standard. n.d. = not detected.

Screening of bases

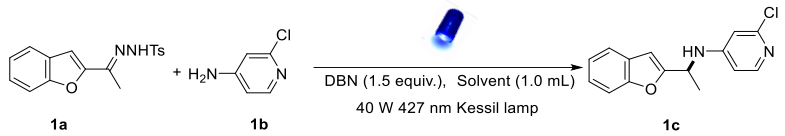


1a **1b** **1c**

Entry	Base	Yield [%][a]
1	TBD	60
2	DBN	77
3	Cs_2CO_3	20
4	DBU	67
5	K_2CO_3	29
6	K_2PO_4	29

a. Reaction conditions: **1a** (0.2 mmol, 1.0 equiv.), **1b** (1.0 mmol, 5.0 equiv.), DBN (0.3 mmol, 1.5 equiv.), DCM (2.0 mL), room temperature (r.t.), 6 h. **b.** Yields were determined by ^{1}H NMR using 1,3,5-trimethoxybenzene as the internal standard.

Screening of solvents

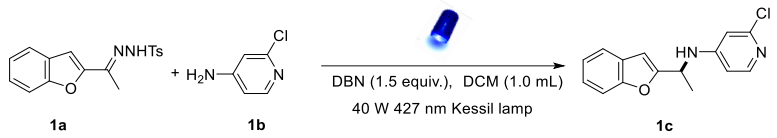


1a 1b 1c

Entry	Solvents	Yield [%][a,b]
1	ACN	42
2	DCM	77
3	EA	57
4	THF	36
5	2-Me THF	44

a. Reaction conditions: **1a** (0.2 mmol, 1.0 equiv.), **1b** (1.0 mmol, 5.0 equiv.), DBN (0.3 mmol, 1.5 equiv.), DCM (2.0 mL), room temperature (r.t.), 6 h. **b.** Yields were determined by ^{1}H NMR using 1,3,5-trimethoxybenzene as the internal standard.

Screening the ratio between the reagents

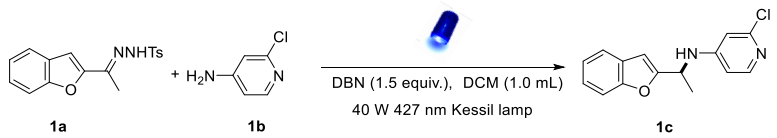


1a 1b 1c

Entry	1a (equiv.)	1b (equiv.)	Base (equiv.)	Yield [%][a]
1	1.0	5.0	1.5	70
2	1.0	5.0	3.0	58
3	1.0	3.0	1.5	60
4	1.0	1.0	3.0	45

a. The yield was determined by ^{1}H NMR using the 1,3,5-trimethoxybenzene as the internal standard.

Condition optimization of 2-Me-THF as solvent



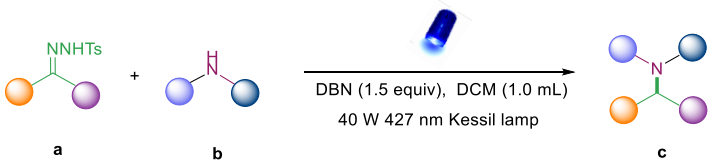
1a 1b 1c

Entry	Base	1b (eq.)	Time(h)	Yield (%)
1	DBN 1.5 eq.	5	16	44
2	DBN 1.5 eq.	3	16	26
3	DBU 1.5 eq.	5	16	41
4	DBN 1.5 eq.	10	16	63
5	DBN 1.5 eq.	10	10	60
6	DBN 1.5 eq.	10	4	61
7	DBN 3.0 eq.	10	16	34

a. The yield was determined by ^{1}H NMR using the 1,3,5-trimethoxybenzene as the internal standard.

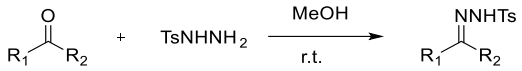
4. General procedures for synthesizing products and starting material

General procedure A for the synthesis of amines



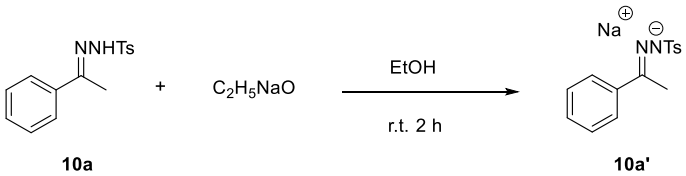
A dry 5 mL Schlenk tube containing a stirring bar was charged with 0.2 mmol of *N*-tosylhydrazone (1.0 equiv.), 1.0 mmol of arylamine (5.0 equiv.). After purging the flask for three times under vacuum and three times under argon, it was charged with 0.3 mmol of DBN (1.5 equiv.), DCM (2.0 mL), successively. The reaction was kept for 6 h under 40 W Kessil lamp reaction setup (the progress can be monitored *via* TLC). Then, the resulting mixture underwent an aqueous workup (using distilled water; or brine in case of slurry phase separation) and was extracted three times with ethyl acetate. The combined organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated *in vacuo*. Products were purified *via* Flash chromatography chromatography with ethyl acetate and hexane as solvents.

General procedure B for the synthesis of *N*-tosylhydrazones



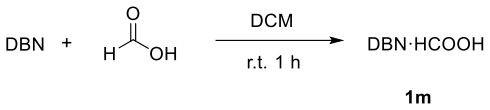
N-tosylhydrazones were prepared according a reported procedure.[1] To a stirred solution of tosylhydrazide (10 mmol) in MeOH (10 mL) at room temperature, ketone (1.0 equiv.) was added dropwise (or portionwise if solid). The reaction was completed within 0.1-3 h. After that, the solvent was removed directly under reduced pressure, and further purified by recrystallization or *via* silica gel chromatography (hexane : EtOAc, 2:1).

Synthesis method of the *N*-tosylhydrazone anion 10a′



Sodium ethanol (75 mg, 1.1 mol) was added to ethanol (2.0 mL), *N*-tosylhydrazone (288 mg, 1.0 mol) was added, and the mixture was stirred for 2 h.[2] The ethanol was removed under reduced pressure at room temperature to obtain a free-flowing white powder, yield: 98%.

Synthesis method of DBN· HCOOH



Formic acid (38 µl, 1.0 mmol) was added to dichloromethane (2.0 mL) and cooled externally. DBN (121 µl, 1.0 mmol) was added. After stirring the mixture for 1 h, the dichloromethane was removed under reduced pressure at room temperature to obtain DBN formate in clear solid form, yield: 99%.

5. Mechanistic investigations

Ultraviolet-Visible Absorption Experiments

Ultraviolet-visible absorption experiments were performed using an Agilent Cary 100 spectrophotometer. In each experiment, different samples were dissolved in DCM and placed in 1.0 cm quartz cuvettes. The concentration of each component was 2×10^{-4} M.

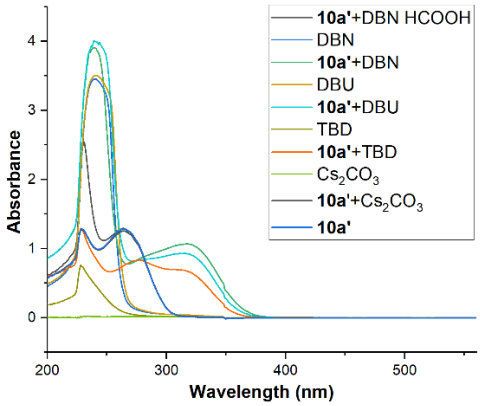


Fig. S2 UV-Vis absorption spectra.

Job's Plot Experiments

Keeping the total concentration of *N*-tosylhydrazone **10a** anion and DBN constant, **10a′**:DBN solutions of 10:0, 9:1, 8:2, 7:3, 6:4, 5:5, 4:6, 3:7, 2:8, 1:9 and 0:10 were prepared and analysed by UV absorption spectroscopy in turn to obtain Job's plot, the intersection of the two curves being the complex rate of **10a′** and DBN.

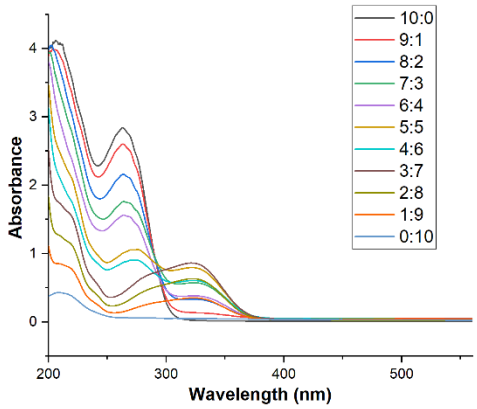


Fig. S3 Job's plots for the binding of **10a′** with DBN.

^{1}H NMR Titration Experiments

N-tosylhydrazone (1.0 equiv., 2.0 mmol) and sodium ethoxide (1.5 equiv., 3.0 mmol) were added to the round bottom flask, 4 ml water was added, stirred overnight, and the corresponding *N*-tosylhydrazone

10a anions were obtained by filtration. And then, solutions containing equal molar concentrations of **10a′** (0.50 M in DMSO-d_6) and DBU (0.50 M in DMSO-d_6) were prepared and then mixed to cover acceptor/donor ratio from 0%, 10%, 20% to 100% donor.[3]

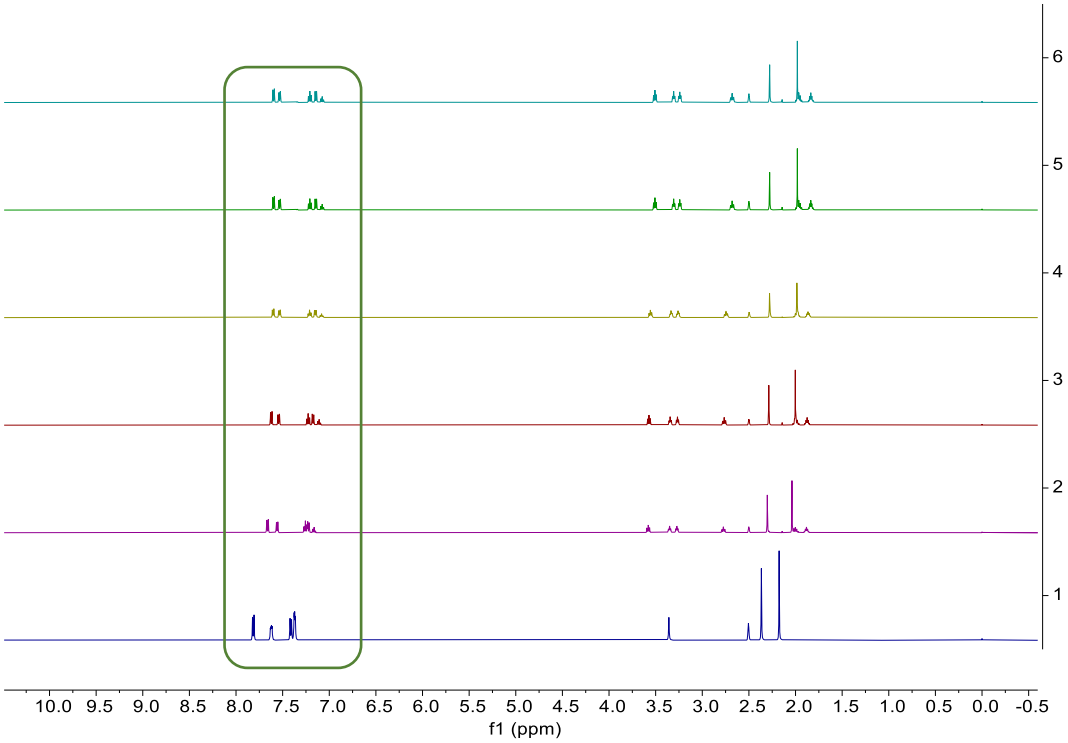
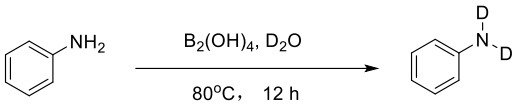
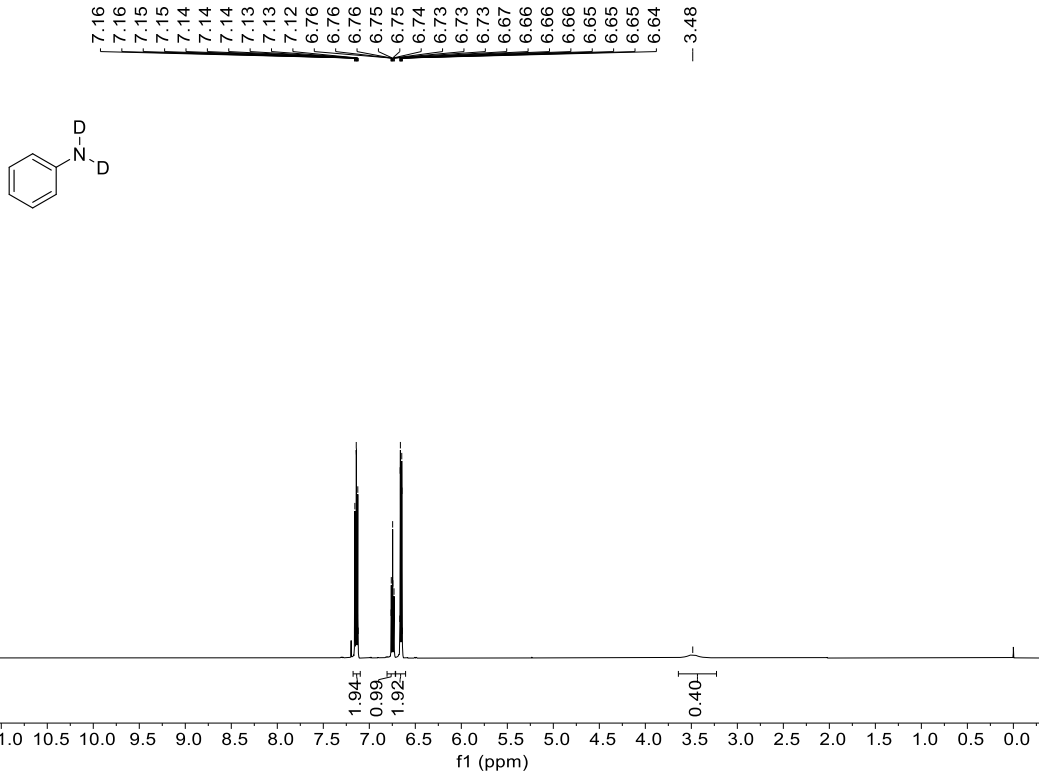


Fig. S4 Titration of DBN into **10a′**.

Synthesis method of the Aniline-*d*$_2$



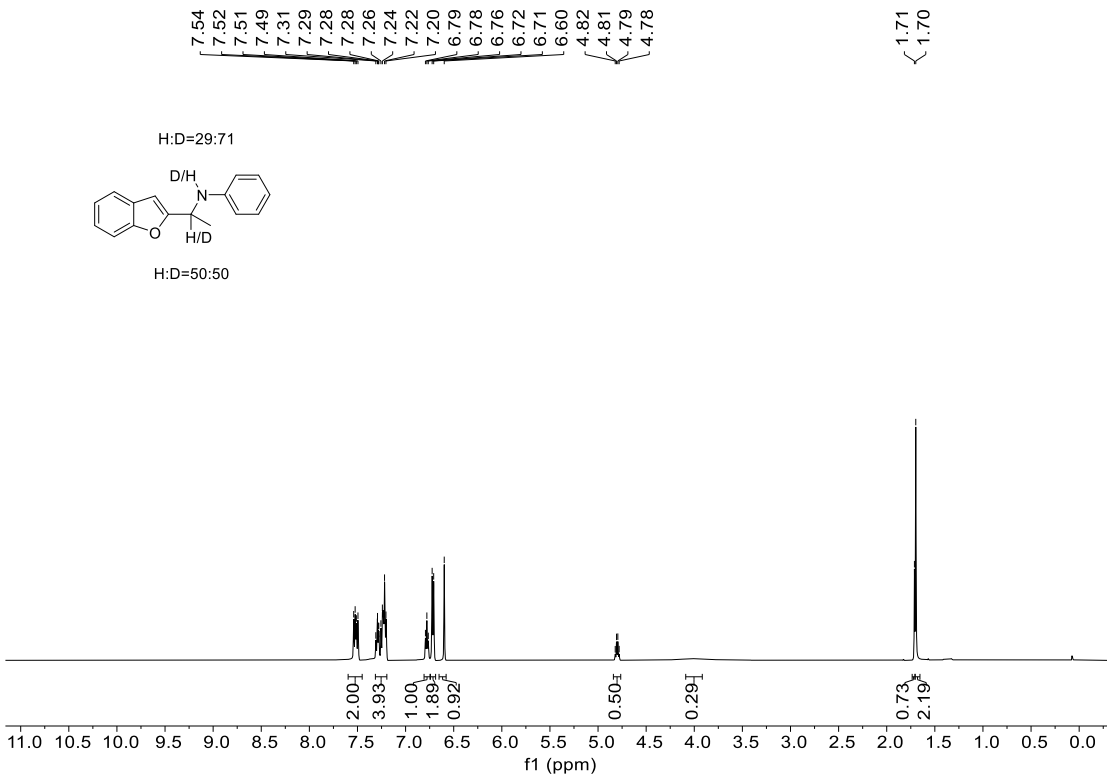
Aniline-*d*$_2$ were prepared according a reported procedure.[4] Nitrobenzene (2.0 mmol), $B_2(OH)_4$ (0.5 equiv.), D_2O (2.0 mL) was taken and stirred at 80 ℃ for 8 h. After that, the product was extracted with DCM and spin-dried to a yellow oil, yield: 99%. After ^{1}H NMR analysis we observed the proton to deuterium ratio is **(H:D) - 1:5.**



^{1}H NMR spectrum in $CDCl_3$.

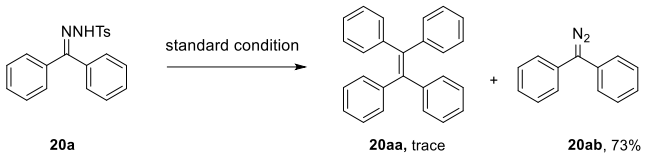
labelling experiments

***N*-(1-(benzofuran-2-yl)ethyl-1-*d*)aniline-*d* (25c′)**: Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane:EtOAc, 5:1) to give the title compound as a white solid (yield: 64%). After ^{1}H NMR analysis we observed the proton to deuterium ratio is **(H:D) - 29:71/50:50**.



^{1}H NMR spectrum in $CDCl_3$.

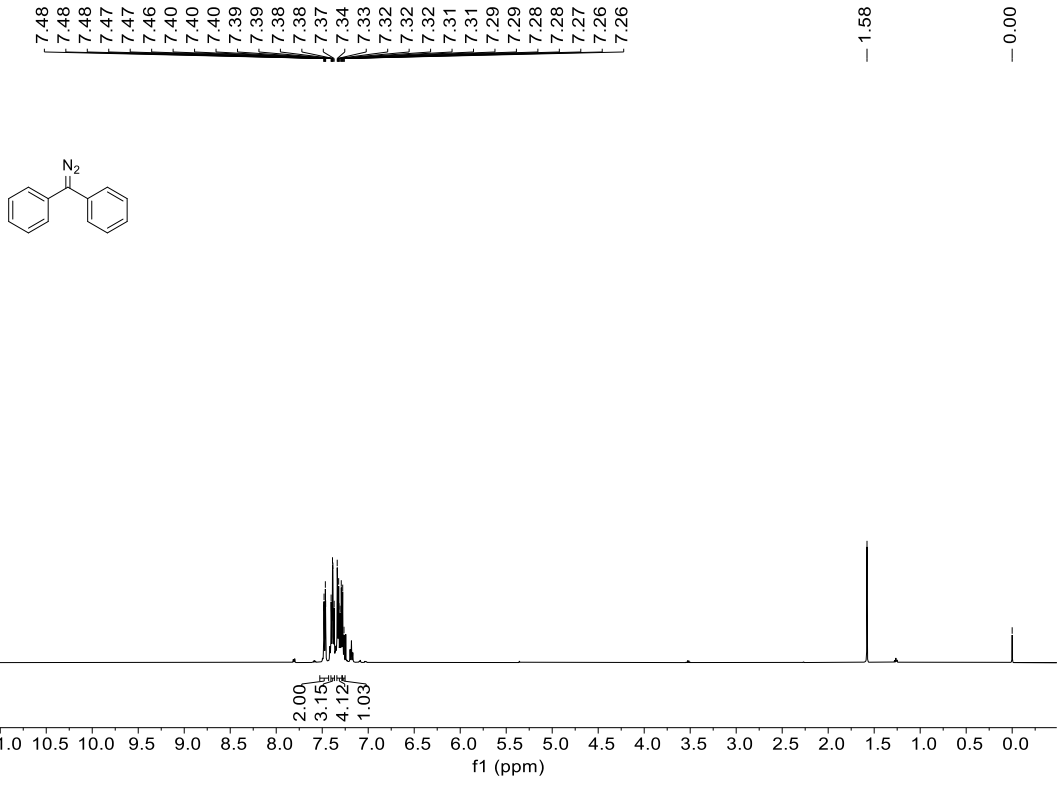
Carbene trapping experiments



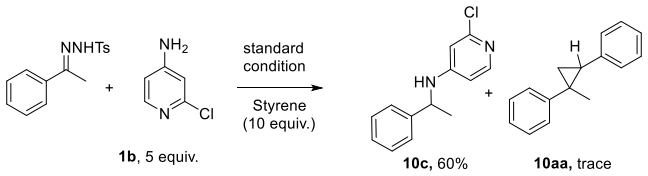
A dry 5 mL Schlenk tube containing a stirring bar was charged with 0.2 mmol of *N*-tosylhydrazone **20a** (1.0 equiv.). After purging the flask for three times under vacuum and three times under argon, it was charged with 0.3 mmol of DBN (1.5 equiv.), DCM (2.0 mL), successively. The reaction was kept for 6 h under 40 W Kessil lamp reaction setup (the progress can be monitored *via* TLC). Then, the resulting mixture underwent an aqueous workup (using distilled water; or brine in case of slurry phase separation) and was extracted three times with ethyl acetate. The combined organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated *in vacuo*. product was purified by column chromatography (hexane:EtOAc, 45:1) to give the title compound as a black red oily liquid (yield: 73%).

From the crude ^{1}H NMR only the diazo compound (**20ab**) was observed.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.53 – 7.43 (m, 2H), 7.41 – 7.37 (m, 3H), 7.34 – 7.29 (m, 4H), 7.27 (d, *J* = 6.9 Hz, 1H).

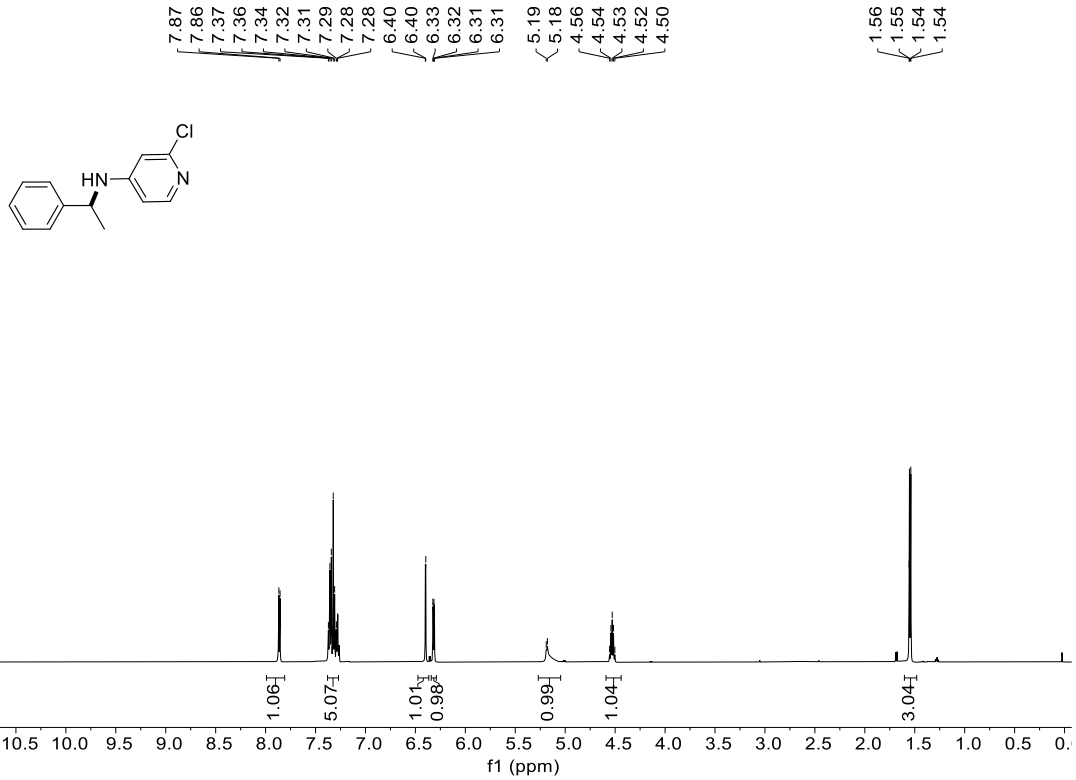


^{1}H NMR spectrum in $CDCl_3$.



A dry 5 mL Schlenk tube containing a stirring bar was charged with 0.2 mmol of *N*-tosylhydrazone **10a** (1.0 equiv.), 1.0 mmol of arylamine **1b** (5.0 equiv.), 2.0 mmol styrene (10 equiv.). After purging the flask for three times under vacuum and three times under argon, it was charged with 0.3 mmol of DBN (1.5 equiv.), DCM (2.0 mL), successively. The reaction was kept for 6 h under 40 W Kessil lamp reaction setup (the progress can be monitored *via* TLC). Then, the resulting mixture underwent an aqueous workup (using distilled water; or brine in case of slurry phase separation) and was extracted three times with ethyl acetate. The combined organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated *in vacuo*. Product was purified by column chromatography (hexane:EtOAc, 3:1) to give the title compound as a pale yellow oily liquid (yield: 66%).

From the crude ^{1}H NMR only the formation of the N-H insertion product (**10c**) was observed.



^{1}H NMR spectrum in $CDCl_3$.

6. The Application of the C-N bond formation

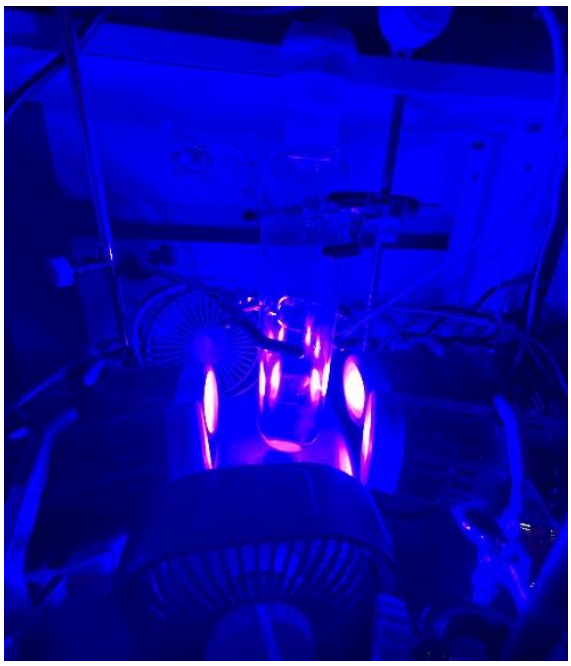
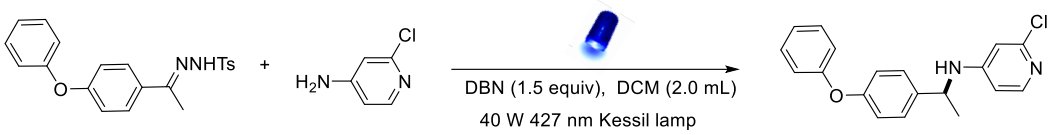


Fig. S5 Photochemical reaction setup using 427 nm Kessil Lamps.

Synthesis of 12c in gram scale:

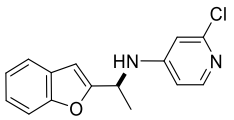


12a **1b** **12c**

Following the general procedure A, the reaction with **12a** (3.0 g, 8.0 mmol), **1b** (5.1 g, 40 mmol), DBN (2.2 mL, 1.5 equiv.), DCM (60 mL) under Ar for 32 h at r.t. afforded **12c** as yellow oil (2.1 g, 81% yield).

7. Characterization data for products and synthesized substrates

Characterization data for synthesized aminate



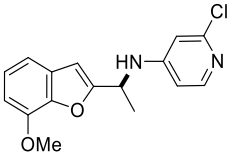
***N*-(1-(benzofuran-2-yl)ethyl)-2-chloropyridin-4-amine (1c)**: Prepared according to the general procedure A. Following the workup, the product was purified by column chromatography (hexane : EtOAc, 3:1) to give the title compound as a white solid (yield: 77%).

FT-IR: *v* (cm^{-1}): 3430, 3243, 2980, 1593, 1508, 1474, 1453, 1346, 1265, 1253, 1164, 983, 809, 734, 702, 614.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.94 (d, *J* = 5.8 Hz, 1H), 7.51 (d, *J* = 7.6 Hz, 1H), 7.44 (d, *J* = 8.1 Hz, 1H), 7.32 – 7.24 (m, 1H), 7.21 (t, *J* = 7.5 Hz, 1H), 6.55 (s, 1H), 6.52 (d, *J* = 2.1 Hz, 1H), 6.42 (dd, *J* = 5.8, 2.1 Hz, 1H), 5.11 – 4.23 (m, 2H), 1.67 (d, *J* = 6.4 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 159.6, 157.0, 155.6, 153.6, 151.6, 129.5, 125.7, 124.8, 122.5, 112.6, 109.6, 108.3, 104.1, 48.3, 22.3.

ESI HRMS: calcd. for $C_{15}H_{13}ClN_2O$ $[M+H]^+$: 273.0789, found: 273.0793.



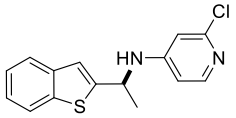
2-chloro-*N*-(1-(7-methoxybenzofuran-2-yl)ethyl)pyridin-4-amine (2c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 3:1) to give the title compound as a white solid (yield: 70%).

FT-IR: *v* (cm^{-1}): 3433, 3130, 2984, 1590, 1515, 1471, 1450, 1439, 1363, 1264, 1249, 1159, 1072, 981, 819, 747, 702, 614.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.92 (d, *J* = 5.8 Hz, 1H), 7.19 – 7.06 (m, 2H), 6.79 (d, *J* = 7.7 Hz, 1H), 6.57 – 6.47 (m, 2H), 6.39 (dd, *J* = 5.8, 2.2 Hz, 1H), 4.91 (s, 1H), 4.77 (p, *J* = 6.7 Hz, 1H), 4.00 (s, 3H), 1.67 (d, *J* = 6.8 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 159.3, 155.6, 153.6, 150.8, 146.6, 145.5, 131.1, 125.1, 114.8, 109.1, 108.3, 107.88, 104.5, 57.4, 48.3, 22.1.

ESI HRMS: calcd. for $C_{16}H_{15}ClN_2O_2$ $[M+H]^+$: 303.0895, found: 303.0878.



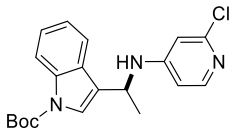
***N*-(1-(benzo[b]thiophen-2-yl)ethyl)-2-chloropyridin-4-amine (3c)**: Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 1:1) to give the title compound as a yellow oily liquid (yield: 61%).

FT-IR: *v* (cm^{-1}): 3411, 3227, 3030, 2987, 2943, 1688, 1599, 1514, 1434, 1342, 1285, 1199, 1118, 1012, 973, 751, 699.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.92 (d, *J* = 5.8 Hz, 1H), 7.77 (d, *J* = 8.0 Hz, 1H), 7.69 (d, *J* = 7.3 Hz, 1H), 7.39 – 7.28 (m, 2H), 7.18 (s, 1H), 6.51 (d, *J* = 2.2 Hz, 1H), 6.42 (dd, *J* = 5.9, 2.2 Hz, 1H), 4.96 (d, *J* = 6.5 Hz, 1H), 4.92 – 4.83 (m, 1H), 1.70 (d, *J* = 6.6 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 155.7, 153.5, 150.7, 149.6, 141.0, 140.5, 125.9, 125.8, 124.9, 123.9, 121.7, 109.1, 108.5, 50.7, 25.4.

ESI HRMS: calcd. for $C_{15}H_{13}ClN_2S$ $[M+H]^+$: 289.0561, found: 289.0574.



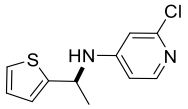
***tert*-butyl-3-(1-((2-chloropyridin-4-yl)amino)ethyl)-1*H*-indole-1-carboxylate (4c)**: Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 4:3) to give the title compound as a white solid (yield: 56%).

FT-IR: *v* (cm^{-1}): 3377, 3054, 3021, 2975, 2931, 1705, 1598, 1560, 1503, 1457, 1388, 1317, 1284, 1223, 1157, 1080, 1054, 979, 882, 746, 721, 655, 608.

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.14 (d, *J* = 8.1 Hz, 1H), 7.90 (d, *J* = 5.8 Hz, 1H), 7.53 (d, *J* = 7.8 Hz, 1H), 7.49 (s, 1H), 7.38 – 7.31 (m, 1H), 7.29 – 7.22 (m, 1H), 6.48 (d, *J* = 2.1 Hz, 1H), 6.37 (dd, *J* = 5.8, 2.2 Hz, 1H), 4.82 (dt, *J* = 15.3, 6.8 Hz, 2H), 1.66 (s, 12H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 155.8, 153.6, 150.8, 137.3, 129.9, 126.3, 124.3, 124.2, 123.9, 123.6, 120.5, 117.0, 109.0, 108.0, 85.6, 46.8, 29.6, 22.7.

ESI HRMS: calcd. for $C_{20}H_{22}ClN_3O_2$ $[M+H]^+$: 372.1473, found: 372.1468.



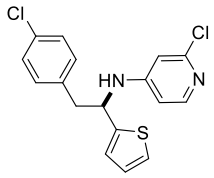
2-chloro-*N*-(1-(thiophen-2-yl)ethyl)pyridin-4-amine (5c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 2:1) to give the title compound as a brown solid (yield: 39%).

FT-IR: *v* (cm^{-1}): 3392, 3112, 3095, 3067, 1683, 1601, 1529, 1418, 1359, 1247, 1203, 1107, 1079, 1046, 1007, 983, 824, 791, 652.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.92 (d, *J* = 5.8 Hz, 1H), 7.21 (dd, *J* = 4.8, 1.5 Hz, 1H), 7.06 – 6.91 (m, 2H), 6.48 (d, *J* = 2.1 Hz, 1H), 6.38 (dd, *J* = 5.8, 2.2 Hz, 1H), 4.84 (dt, *J* = 12.0, 6.7 Hz, 2H), 1.64 (d, *J* = 5.2 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 155.6, 153.6, 150.8, 148.8, 128.4, 125.8, 125.2, 109.1, 108.3, 50.0, 25.5.

ESI HRMS: calcd. for $C_{11}H_{11}ClN_2S$ $[M+H]^+$: 239.0404, found: 239.0395.



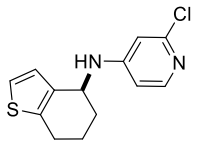
2-chloro-*N*-(2-(4-chlorophenyl)-1-(thiophen-2-yl)ethyl)pyridin-4-amine (6c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 2:1) to give the title compound as a yellow oily liquid (yield: 50%).

FT-IR: *v* (cm^{-1}): 3543, 3025, 2988, 1689, 1657, 1591, 1489, 1452, 1418, 1342, 1286, 1249, 1091, 1056, 824, 753, 694, 513.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.89 (d, *J* = 5.8 Hz, 1H), 7.26 (d, *J* = 4.3 Hz, 1H), 7.24 (s, 1H), 7.22 (dd, *J* = 5.1, 1.2 Hz, 1H), 7.02 (d, *J* = 8.4 Hz, 2H), 6.93 (dd, *J* = 5.1, 3.5 Hz, 1H), 6.82 (d, *J* = 3.6 Hz, 1H), 6.44 (d, *J* = 2.2 Hz, 1H), 6.34 (dd, *J* = 5.8, 2.2 Hz, 1H), 4.91 (q, *J* = 6.7 Hz, 1H), 4.86 (d, *J* = 6.5 Hz, 1H), 3.18 (d, *J* = 6.7 Hz, 2H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 155.6, 153.4, 150.6, 146.4, 136.1, 134.6, 132.0, 130.3, 128.5, 126.2, 126.2, 109.2, 108.6, 55.7, 45.1.

ESI HRMS: calcd. for $C_{17}H_{14}Cl_2N_2S$ $[M+H]^+$: 349.0328, found: 349.0333.



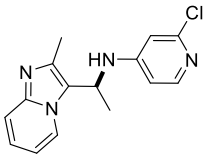
2-chloro-*N*-(4,5,6,7-tetrahydrobenzo[b]thiophen-4-yl)pyridin-4-amine (7c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 2:1) to give the title compound as a white solid (yield: 63%).

FT-IR: *v* (cm^{-1}): 3248, 3116, 3065, 2988, 2935, 1592, 1449, 1409, 1353, 1240, 1185, 1161, 1099, 1012, 978, 946, 753, 690.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.89 (d, *J* = 5.8 Hz, 1H), 7.09 (d, *J* = 5.2 Hz, 1H), 6.87 (d, *J* = 5.2 Hz, 1H), 6.51 (d, *J* = 2.2 Hz, 1H), 6.40 (dd, *J* = 5.8, 2.2 Hz, 1H), 4.71 (d, *J* = 8.1 Hz, 1H), 4.67 – 4.58 (m, 1H), 2.90 – 2.81 (m, 1H), 2.76 (dt, *J* = 16.7, 6.1 Hz, 1H), 2.00 (td, *J* = 10.6, 10.1, 3.9 Hz, 1H), 1.96 – 1.84 (m, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 155.7, 153.8, 150.8, 140.7, 136.3, 128.0, 124.5, 108.8, 107.6, 49.3, 30.0, 26.2, 21.8.

ESI HRMS: calcd. for $C_{13}H_{13}ClN_2S$ $[M+H]^+$: 265.0561, found: 265.0562.

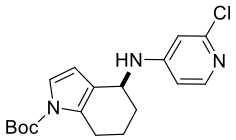


2-chloro-*N*-(1-(2-methylimidazo[1,2-a]pyridin-3-yl)ethyl)pyridin-4-amine (8c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 3:1) to give the title compound as a white solid (yield: 55%).

^{1}H NMR (500 MHz, CD_3OD) δ 8.39 (d, *J* = 5.8 Hz, 1H), 7.74 (d, *J* = 5.9 Hz, 1H), 7.46 (d, *J* = 9.0 Hz, 1H), 7.26 (t, *J* = 8.5 Hz, 1H), 6.93 (t, *J* = 6.9 Hz, 1H), 6.42 (d, *J* = 8.4 Hz, 2H), 5.11 (q, *J* = 7.0 Hz, 1H), 4.95 (s, 1H), 2.49 (s, 3H), 1.70 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (126 MHz, CD_3OD) δ 156.7, 152.4, 149.6, 145.6, 140.3, 126.0, 125.4, 120.9, 117.1, 113.8, 108.3, 107.2, 45.2, 19.3, 13.7.

ESI HRMS: calcd. for $C_{15}H_{15}ClN_4$ $[M+H]^+$: 287.1058, found: 287.1063.



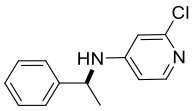
***tert*-butyl-4-((2-chloropyridin-4-yl)amino)-4,5,6,7-tetrahydro-1*H*-indole-1-carboxylate (9c)**: Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 3:1) to give the title compound as a white solid (yield: 56%).

FT-IR: *v* (cm^{-1}): 3246, 3004, 2939, 2916, 1733, 1591, 1498, 1455, 1429, 1369, 1334, 1242, 1142, 1128, 1071, 1015, 850, 823, 730, 616.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.93 (d, *J* = 5.7 Hz, 1H), 7.16 (d, *J* = 3.4 Hz, 1H), 6.51 (d, *J* = 2.2 Hz, 1H), 6.39 (dd, *J* = 5.9, 2.2 Hz, 1H), 6.08 (d, *J* = 3.4 Hz, 1H), 4.51 (s, 2H), 2.93 (d, *J* = 17.7 Hz, 1H), 2.79 (d, *J* = 17.9 Hz, 1H), 1.95 – 1.76 (m, 4H), 1.59 (s, 9H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 155.8, 153.8, 150.8, 150.7, 133.1, 123.4, 121.8, 110.9, 108.8, 107.6, 85.2, 48.1, 29.8, 29.5, 25.8, 21.1.

ESI HRMS: calcd. for $C_{18}H_{22}ClN_3O_2$ [M+H]: 348.1473, found: 348.1582.



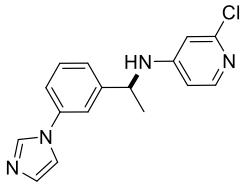
2-chloro-*N*-(1-(p-tolyl)ethyl)pyridin-4-amine (10c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 3:1) to give the title compound as a pale yellow oily liquid (yield: 66%).

FT-IR: *v* (cm^{-1}): 3405, 3117, 3068, 2984, 2887, 1599, 1567, 1429, 1334, 1308, 1281, 1243, 1109, 1001, 982, 827, 754, 693.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.86 (d, *J* = 5.8 Hz, 1H), 7.32 (td, *J* = 15.8, 14.9, 6.6 Hz, 5H), 6.40 (d, *J* = 2.2 Hz, 1H), 6.32 (dd, *J* = 5.8, 2.2 Hz, 1H), 5.18 (d, *J* = 5.9 Hz, 1H), 4.53 (p, *J* = 6.6 Hz, 1H), 1.55 (dd, *J* = 7.0, 1.6 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 154.6, 151.9, 149.1, 143.1, 129.0, 127.5, 125.7, 107.8, 106.9, 52.8, 24.3.

ESI HRMS: calcd. for $C_{13}H_{13}ClN_2$ $[M+H]^+$: 233.0840, found: 233.0839.



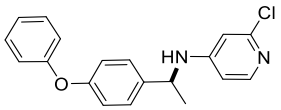
***N*-(1-(3-(1*H*-pyrrol-1-yl)phenyl)ethyl)-2-chloropyridin-4-amine (11c)**: Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 3:1) to give the title compound as pale yellow oily liquid (yield: 61%).

FT-IR: *v* (cm^{-1}): 3242, 3124, 2975, 1595, 1508, 1456, 1405, 1376, 1343, 1209, 1057, 981, 817, 730, 657.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.89 (d, *J* = 5.8 Hz, 1H), 7.84 (s, 1H), 7.43 (d, *J* = 8.5 Hz, 2H), 7.37 (d, *J* = 8.6 Hz, 2H), 7.28 (d, *J* = 1.4 Hz, 1H), 7.21 (s, 1H), 6.38 (d, *J* = 2.2 Hz, 1H), 6.32 (dd, *J* = 5.8, 2.2 Hz, 1H), 5.20 (d, *J* = 5.6 Hz, 1H), 4.58 (p, *J* = 6.6 Hz, 1H), 1.58 (d, *J* = 6.8 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 155.7, 153.5, 150.7, 144.1, 138.0, 131.9, 130.0, 128.6, 128.4, 123.5, 122.9, 119.7, 109.2, 108.4, 53.7, 25.9.

ESI HRMS: calcd. for $C_{17}H_{16}ClN_3$ $[M+H]^+$: 298.1106, found:298.1009.



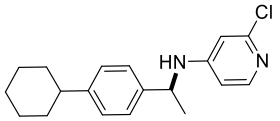
2-chloro-*N*-(1-(4-phenoxyphenyl)ethyl)pyridin-4-amine (12c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 2:1) to give the title compound as a white solid (yield: 90%).

FT-IR: *v* (cm^{-1}): 3416, 3114, 3045, 2967, 1591, 1504, 1487, 1454, 1406, 1375, 1267, 1233, 1125, 1074, 823, 735, 691.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.86 (d, *J* = 5.8 Hz, 1H), 7.34 – 7.30 (m, 2H), 7.24 (d, *J* = 8.6 Hz, 2H), 7.10 (t, *J* = 7.4 Hz, 1H), 6.98 (dd, *J* = 20.3, 8.1 Hz, 4H), 6.37 (d, *J* = 2.2 Hz, 1H), 6.30 (dd, *J* = 5.8, 2.2 Hz, 1H), 5.06 (d, *J* = 5.9 Hz, 1H), 4.56 – 4.42 (m, 1H), 1.52 (d, *J* = 6.8 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 158.4, 158.1, 156.0, 153.4, 150.6, 139.2, 131.3, 128.5, 124.9, 120.7, 120.5, 120.3, 109.2, 108.4, 53.7, 25.8.

ESI HRMS: calcd. for $C_{19}H_{17}ClN_2O$ $[M+H]^+$: 325.1102, found: 325.1113.

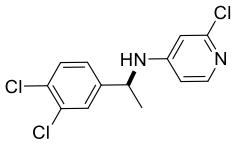


2-chloro-*N*-(1-(4-cyclohexylphenyl)ethyl)pyridin-4-amine (13c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 2:1) to give the title compound as a white solid (yield: 80%).

^{1}H NMR (300 MHz, DMSO-d_6) δ 7.75 (d, *J* = 5.8 Hz, 1H), 7.41 (d, *J* = 7.1 Hz, 1H), 7.25 (d, *J* = 7.9 Hz, 2H), 7.16 (d, *J* = 8.1 Hz, 2H), 6.43 (s, 2H), 4.55 (p, *J* = 6.8 Hz, 1H), 2.41 (s, 1H), 1.75 (d, *J* = 9.0 Hz, 5H), 1.45 – 1.17 (m, 8H).

^{13}C NMR (75 MHz, DMSO-d_6) δ 155.6, 151.3, 149.3, 146.6, 142.1, 127.3, 126.2, 51.4, 43.9, 34.4, 34.4, 26.8, 26.1, 24.3.

ESI HRMS: calcd. for $C_{19}H_{23}ClN_2$ $[M+H]^+$: 315.1623, found: 315.1648.



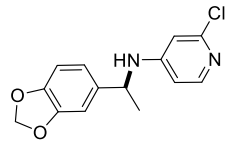
2-chloro-*N*-(1-(3,4-dichlorophenyl)ethyl)pyridin-4-amine (14c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 3:1) to give the title compound as a white solid (yield: 39%).

FT-IR: *v* (cm^{-1}): 3128, 3074, 2972, 2893, 2778, 1593, 1500, 1485, 1439, 1404, 1319, 1128, 1105, 1074, 908, 810, 729, 642.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.90 (d, *J* = 5.8 Hz, 1H), 7.47 – 7.32 (m, 2H), 7.15 (dd, *J* = 8.3, 2.2 Hz, 1H), 6.36 (d, *J* = 2.2 Hz, 1H), 6.29 (dd, *J* = 5.8, 2.2 Hz, 1H), 4.93 (d, *J* = 5.2 Hz, 1H), 4.48 (p, *J* = 6.6 Hz, 1H), 1.53 (d, *J* = 6.8 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 155.6, 153.4, 150.7, 144.9, 134.6, 133.0, 132.5, 129.0, 126.5, 109.2, 108.5, 53.5, 25.8.

ESI HRMS: calcd. for $C_{13}H_{11}Cl_3N_2$ $[M+H]^+$: 301.0061, found: 301.0046.



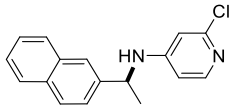
***N*-(1-(benzo[d][1,3]dioxol-5-yl)ethyl)-2-chloropyridin-4-amine (15c)**: Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 2:1) to give the title compound as a pale yellow oily liquid (yield: 75%).

FT-IR: *v* (cm^{-1}): 3128, 3074, 2972, 2833, 1593, 1500, 1485, 1438, 1401, 1375, 1346, 1319, 1265, 1192, 1155, 810, 729, 702.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.85 (d, *J* = 6.9 Hz, 1H), 6.75 (s, 3H), 6.36 (d, *J* = 2.1 Hz, 1H), 6.29 (dd, *J* = 5.8, 2.2 Hz, 1H), 5.93 (d, *J* = 5.3 Hz, 2H), 4.96 (s, 1H), 4.41 (s, 1H), 1.48 (d, *J* = 6.7 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 155.9, 153.3, 151.3, 149.6, 148.5, 138.6, 120.3, 110.0, 109.0, 108.4, 107.3, 102.6, 53.2, 26.0.

ESI HRMS: calcd. for $C_{14}H_{13}$ ClN_2O_2 $[M+H]^+$: 277.0738, found: 277.0741.



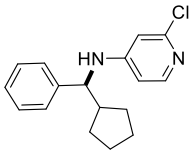
2-chloro-*N*-(1-(naphthalen-2-yl)ethyl)pyridin-4-amine (16c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 2:1) to give the title compound as a white solid (yield: 87%).

FT-IR: *v* (cm^{-1}): 3402, 3026, 2951, 2874, 1605, 1540, 1454, 1328, 1253, 1215, 1177, 1075, 1027, 910, 872, 745, 696.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.86 – 7.75 (m, 4H), 7.72 (s, 1H), 7.51 – 7.42 (m, 2H), 7.39 (dd, *J* = 8.5, 1.8 Hz, 1H), 6.41 (d, *J* = 2.1 Hz, 1H), 6.31 (dd, *J* = 5.8, 2.2 Hz, 1H), 5.04 (d, *J* = 5.8 Hz, 1H), 4.64 (p, *J* = 6.6 Hz, 1H), 1.58 (d, *J* = 6.7 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 156.0, 153.4, 150.7, 141.9, 134.9, 134.3, 130.4, 129.3, 129.2, 127.9, 127.4, 125.6, 125.33, 109.2, 108.5, 54.4, 25.8.

ESI HRMS: calcd. for $C_{17}H_{15}ClN_2$: $[M+H]^+$ 283.0997, found: 283.1001.



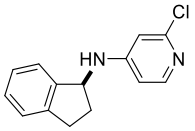
2-chloro-*N*-(cyclopentyl(4-fluorophenyl)methyl)pyridin-4-amine (17c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 3:1) to give the title compound as a yellow solid (yield: 36%).

FT-IR: *v* (cm^{-1}): 3352, 3117, 3052, 2999, 2934, 2865, 1603, 1520, 1462, 1411, 1370, 1178, 1122, 1091, 1014, 992, 838, 751, 697.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.82 (d, *J* = 5.9 Hz, 1H), 7.35 – 7.26 (m, 5H), 6.44 (s, 1H), 6.35 (d, *J* = 6.9 Hz, 1H), 5.33 (s, 1H), 4.09 (dd, *J* = 8.8, 6.1 Hz, 1H), 2.22 (h, *J* = 8.4 Hz, 1H), 1.93 (d, *J* = 7.7 Hz, 1H), 1.70 – 1.58 (m, 3H), 1.46 – 1.37 (m, 2H), 1.30 – 1.22 (m, 2H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 156.5, 156.5, 149.6, 143.0, 130.1, 129.0, 128.2, 109.1, 108.5, 64.0, 48.6, 31.5, 26.6

ESI HRMS: calcd. for $C_{17}H_{19}ClN_2$ $[M+H]^+$: 287.1310, found: 287.1310.



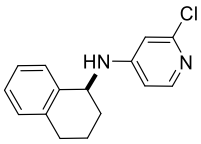
2-chloro-*N*-(2,3-dihydro-1*H*-inden-1-yl)pyridin-4-amine (18c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 3:1) to give the title compound as a pale yellow solid (yield: 50%).

FT-IR: *v* (cm^{-1}): 3246, 3118, 3020, 2924, 1593, 1513, 1475, 1454, 1411, 1349, 1327, 1274, 1238, 1154, 1130, 1073, 976, 826, 747.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.95 (d, *J* = 5.8 Hz, 1H), 7.35 – 7.26 (m, 3H), 7.26 – 7.20 (m, 1H), 6.57 (d, *J* = 2.2 Hz, 1H), 6.45 (dd, *J* = 5.9, 2.2 Hz, 1H), 5.01 (q, *J* = 7.1 Hz, 1H), 4.69 (d, *J* = 7.7 Hz, 1H), 3.05 (ddd, *J* = 16.1, 8.6, 4.5 Hz, 1H), 2.93 (dt, *J* = 15.9, 7.8 Hz, 1H), 2.70 – 2.52 (m, 1H), 2.04 – 1.85 (m, 1H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 156.4, 153.5, 150.5, 145.0, 144.0, 130.0, 128.4, 126.6, 125.5, 108.9, 107.9, 59.2, 34.8, 31.7.

ESI HRMS: calcd. for $C_{14}H_{13}ClN_2$ $[M+H]^+$: 245.0840, found: 245.0833.



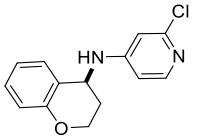
2-chloro-*N*-(1,2,3,4-tetrahydronaphthalen-1-yl)pyridin-4-amine (19c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 3:1) to give the title compound as a yellow solid (yield: 39%).

FT-IR: *v* (cm^{-1}): 3211, 3114, 3064, 2934, 2864, 1590, 1510, 1493, 1448, 1352, 1268, 1073, 984, 745, 637, 555.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.91 (d, *J* = 5.8 Hz, 1H), 7.27 – 7.12 (m, 4H), 6.52 (d, *J* = 2.2 Hz, 1H), 6.40 (dd, *J* = 5.8, 2.2 Hz, 1H), 4.69 (s, 1H), 4.65 (s, 1H), 2.95 – 2.69 (m, 2H), 1.99 (dd, *J* = 8.6, 4.1 Hz, 2H), 1.90 – 1.79 (m, 2H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 155.8, 153.8, 150.8, 139.1, 137.5, 130.82, 130.4, 129.2, 127.8, 108.7, 107.5, 51.9, 30.5, 30.0, 20.8.

ESI HRMS: calcd. for $C_{15}H_{15}ClN_2$ $[M+H]^+$: 259.0997, found: 259.1009.



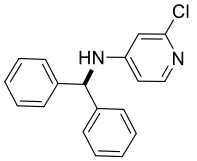
2-chloro-*N*-(chroman-4-yl)pyridin-4-amine (20c): Prepared according to the general procedure A. ollowing workup, the product was purified by column chromatography (hexane : EtOAc, 3:1) to give the title compound as a pale yellow oily liquid (yield: 56%).

FT-IR: *v* (cm^{-1}): 3233, 2961, 1590, 1567, 1501, 1452, 1405, 1314, 1268, 1223, 1105, 1073, 907, 820, 754, 702.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.91 (d, *J* = 5.8 Hz, 1H), 7.26 – 7.18 (m, 2H), 6.92 (t, *J* = 7.5 Hz, 1H), 6.87 (d, *J* = 8.7 Hz, 1H), 6.55 (d, *J* = 2.1 Hz, 1H), 6.43 (dd, *J* = 5.8, 2.2 Hz, 1H), 4.88 (d, *J* = 7.0 Hz, 1H), 4.64 (d, *J* = 7.1 Hz, 1H), 4.27 (t, *J* = 3.9 Hz, 1H), 4.21 – 4.14 (m, 1H), 2.30 – 2.14 (m, 1H), 2.16 – 2.04 (m, 1H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 156.5, 155.2, 153.8, 150.9, 131.3, 131.2, 122.77, 122.4, 118.9, 108.8, 107.6, 63.9, 47.7, 29.1.

ESI HRMS: calcd. for $C_{14}H_{13}$ ClN_2O $[M+H]^+$: 261.0789, found: 261.0786.



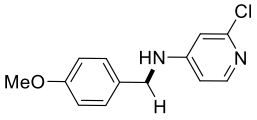
***N*-benzhydryl-2-chloropyridin-4-amine (21c)**: Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 3:1) to give the title compound as a white solid (yield: 60%).

FT-IR: *v* (cm^{-1}): 3469, 3291, 3026, 2852, 1597, 1564, 1498, 1450, 1398, 1327, 1300, 1278, 1256, 1227, 1177, 1130, 1095, 1028, 980, 941, 906, 822, 759, 697.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.87 (d, *J* = 5.8 Hz, 1H), 7.37 – 7.27 (m, 10H), 6.40 (s, 1H), 6.31 (dd, *J* = 5.8, 2.2 Hz, 1H), 5.56 (d, *J* = 5.1 Hz, 1H), 4.94 (d, *J* = 5.1 Hz, 1H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 155.8, 153.5, 150.8, 142.3, 130.6, 129.4, 128.7, 109.3, 108.7, 63.3.

ESI HRMS: calcd. for $C_{18}H_{15}ClN_2$ $[M+H]^+$: 295.0997, found: 295.0972.



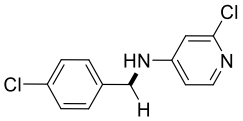
2-chloro-*N*-(4-methoxybenzyl)pyridin-4-amine (22c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 2:1) to give the title compound as a pale yellow oily liquid (yield: 51%).

FT-IR: *v* (cm^{-1}): 3379, 3126, 3028, 2958, 2928, 1597, 1499, 1453, 1323, 1301, 1249, 1173, 1130, 1073, 1025, 923, 849, 713.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.92 (d, *J* = 5.8 Hz, 1H), 7.23 (d, *J* = 8.6 Hz, 2H), 6.89 (d, *J* = 8.6 Hz, 2H), 6.48 (d, *J* = 2.2 Hz, 1H), 6.38 (dd, *J* = 5.8, 2.2 Hz, 1H), 4.81 (s, 1H), 4.27 (d, *J* = 5.3 Hz, 2H), 3.81 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 160.7, 156.7, 153.66, 150.6, 130.6, 130.2, 115.8, 108.8, 107.1, 56.8, 47.9.

ESI HRMS: calcd. for $C_{13}H_{13}ClN_2O[M+H]^+$: 249.0789, found: 249.0775.



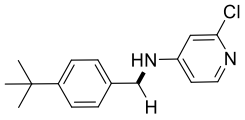
2-chloro-*N*-(4-chlorobenzyl)pyridin-4-amine (23c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 2:1) to give the title compound as a white solid (yield: 40%).

FT-IR: *v* (cm^{-1}): 3259, 3117, 3021, 2964, 2866, 1597, 1518, 1445, 1360, 1329, 1253, 1131, 1074, 980, 846, 817, 709.

^{1}H NMR (300 MHz, $CDCl_3$) δ 7.94 (d, *J* = 5.8 Hz, 1H), 7.34 (d, *J* = 8.4 Hz, 2H), 7.28 – 7.19 (m, 2H), 6.48 (d, *J* = 2.2 Hz, 1H), 6.40 (dd, *J* = 5.8, 2.2 Hz, 1H), 5.02 (t, *J* = 5.7 Hz, 1H), 4.35 (d, *J* = 5.6 Hz, 2H).

^{13}C NMR (75 MHz, $CDCl_3$) δ 155.2, 152.1, 149.2, 135.7, 133.6, 129.1, 128.5, 107.4, 106.5, 46.3.

ESI HRMS: calcd. for $C_{12}H_{10}Cl_2N_2$ $[M+H]^+$: 253.0294, found: 253.0309.



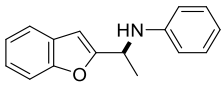
***N*-(4-(*tert*-butyl)benzyl)-2-chloropyridin-4-amine (24c)**: Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 2:1) to give the title compound as a pale yellow oily liquid (yield: 67%).

FT-IR: *v* (cm^{-1}): 3248, 3119, 3072, 3012, 2917, 2871, 1596, 1488, 1405, 1331, 1300, 1259, 1113, 1090, 980, 823, 792, 659.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.93 (d, *J* = 5.8 Hz, 1H), 7.39 (d, *J* = 8.3 Hz, 2H), 7.25 (d, *J* = 8.3 Hz, 2H), 6.50 (d, *J* = 2.2 Hz, 1H), 6.39 (dd, *J* = 5.8, 2.2 Hz, 1H), 4.81 (s, 1H), 4.31 (d, *J* = 5.4 Hz, 2H), 1.32 (s, 9H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 156.7, 153.6, 152.4, 150.7, 135.6, 128.7, 127.3, 108.8, 107.7, 48.1, 36.0, 32.8.

ESI HRMS: calcd. for $C_{16}H_{19}ClN_2$ $[M+H]^+$: 275.1310, found: 275.1326.



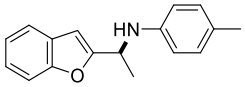
***N*-(1-(benzofuran-2-yl)ethyl)aniline (25c)**: Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 5:1) to give the title compound as a pale yellow oily liquid (yield: 67%).

FT-IR: *v* (cm^{-1}): 3408, 3052, 2975, 2928, 1602, 1564, 1504, 1453, 1374, 1315, 1251, 1010, 805, 743, 690.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.45 (dd, *J* = 13.9, 8.2 Hz, 2H), 7.22 (t, *J* = 7.0 Hz, 1H), 7.19 – 7.11 (m, 3H), 6.71 (t, *J* = 7.3 Hz, 1H), 6.65 (s, 1H), 6.64 (s, 1H), 6.53 (s, 1H), 4.73 (q, *J* = 6.8 Hz, 1H), 3.96 (s, 1H), 1.64 (d, *J* = 6.7 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 160.1, 154.8, 146.8, 129.3, 128.5, 123.7, 122.7, 120.8, 118.1, 113.6, 111.1, 102.2, 47.9, 21.1.

ESI HRMS: calcd. for $C_{16}H_{15}NO$ $[M+H]^+$: 238.1226, found: 238.1239.



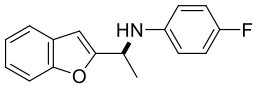
***N*-(1-(benzofuran-2-yl)ethyl)-4-methylaniline (26c)**: Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 3:1) to give the title compound as a pale yellow oily liquid (yield: 60%).

FT-IR: *v* (cm^{-1}): 3408, 3019, 2975, 2922, 2867, 1617, 1518, 1453, 1317, 1298, 1251, 1183, 1129, 1010, 923, 806, 749, 703.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.44 (dd, *J* = 14.5, 9.0 Hz, 2H), 7.23 – 7.13 (m, 2H), 6.95 (d, *J* = 6.1 Hz, 2H), 6.57 (d, *J* = 8.4 Hz, 2H), 6.52 (s, 1H), 4.70 (q, *J* = 6.8 Hz, 1H), 3.84 (s, 1H), 2.21 (s, 3H), 1.63 (d, *J* = 6.7 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 161.8, 156.2, 145.9, 131.2, 129.9, 128.7, 125.1, 124.0, 122.2, 115.2, 112.5, 103.5, 49.6, 22.6, 21.8.

ESI HRMS: calcd. for $C_{17}H_{17}NO$ $[M+H]^+$: 252.1383, found: 252.1375.



***N*-(1-(benzofuran-2-yl)ethyl)-4-fluoroaniline (27c)**: Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 3:1) to give the title compound as a pale yellow oily liquid (yield: 57%).

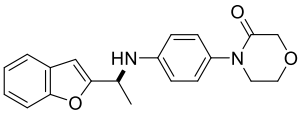
FT-IR: *v* (cm^{-1}): 3399, 3252, 3160, 3110, 3023, 3003, 2981, 2930, 2874, 1602, 1539, 1453, 1373, 1250, 1209, 1157, 1093, 1014, 823, 750, 699.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.48 (dd, *J* = 19.1, 7.8 Hz, 2H), 7.28 – 7.16 (m, 2H), 6.88 (t, *J* = 8.7 Hz, 2H), 6.60 (dd, *J* = 9.0, 4.4 Hz, 2H), 6.54 (s, 1H), 4.68 (q, *J* = 6.8 Hz, 1H), 3.89 (s, 1H), 1.66 (d, *J* = 6.7 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 161.4, 157.5 (d, *J* = 235.7 Hz), 156.2, 144.6 (d, *J* = 2.2 Hz), 129.8, 125.2, 124.7 (d, *J* = 135.9 Hz), 122.3, 117.1 (d, *J* = 22.4 Hz), 116.0 (d, *J* = 7.3 Hz), 112.5, 103.6, 49.9, 22.6.

^{19}F NMR (282 MHz, $CDCl_3$) δ -127.26.

ESI HRMS: calcd. for $C_{16}H_{14}FNO$ $[M+Na]^+$: 278.0952, found: 278.0948.



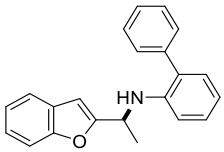
(2-butyl-2-(3,4-dichlorophenyl)cyclopropane-1,1-diyl)dibenzene (28c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 1:2) to give the title compound as a yellow solid (yield: 42%).

FT-IR: *v* (cm^{-1}): 3394, 3163, 3019, 2996, 2975, 1645, 1609, 1518, 1453, 1426, 1348,1313, 1260, 1243, 1159, 1126, 1099, 1011, 945, 815, 757, 687.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.48 (d, *J* = 7.6 Hz, 1H), 7.43 (d, *J* = 8.8 Hz, 1H), 7.26 – 7.16 (m, 2H), 7.07 (d, *J* = 8.7 Hz, 2H), 6.67 (d, *J* = 8.7 Hz, 2H), 6.56 (s, 1H), 4.71 (q, *J* = 6.7 Hz, 1H), 4.30 (s, 2H), 3.98 (t, *J* = 5.1 Hz, 2H), 3.66 (t, *J* = 4.8 Hz, 2H), 1.65 (d, *J* = 6.7 Hz, 3H), 1.26 (s, 1H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 169.8, 164.0, 161.0, 157.5, 129.7, 128.1, 125.3, 123.7, 121.8, 115.5, 112.5, 103.9, 70.0, 64.8, 51.6, 48.7, 23.1.

ESI HRMS: calcd. for $C_{20}H_{20}N_2O_3$ $[M+H]^+$: 337.1547, found: 337.1553.



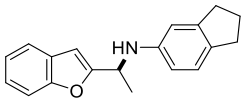
***N*-(1-(benzofuran-2-yl)ethyl)-[1,1'-biphenyl]-2-amine (29c)**: Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 6:1) to give the title compound as a pale yellow solid (yield: 51%).

FT-IR: *v* (cm^{-1}): 3405, 3239, 3126, 2980, 1591, 1554, 1507, 1453, 1377, 1336, 1250, 1163, 1075, 750, 740, 705.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.46 (d, *J* = 4.8 Hz, 5H), 7.41 (d, *J* = 8.1 Hz, 1H), 7.36 (t, *J* = 5.0 Hz, 1H), 7.21 (t, *J* = 7.0 Hz, 1H), 7.18 – 7.13 (m, 2H), 7.10 (d, *J* = 7.5 Hz, 1H), 6.77 (t, *J* = 7.4 Hz, 1H), 6.72 (d, *J* = 8.2 Hz, 1H), 6.49 (s, 1H), 4.73 (q, *J* = 6.7 Hz, 1H), 4.32 (s, 1H), 1.53 (d, *J* = 6.8 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 160.2, 154.9, 143.7, 139.4, 130.5, 129.5, 129.1, 128.7, 128.5, 128.1, 127.4, 123.7, 122.7, 120.9, 117.8, 111.9, 111.1, 102.1, 48.1, 21.2.

ESI HRMS: calcd. for $C_{22}H_{19}NO$ $[M+H]^+$: 314.1539, found: 314.1551.



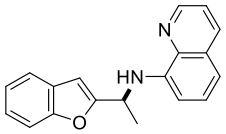
***N*-(1-(benzofuran-2-yl)ethyl)-2,3-dihydro-1*H*-inden-5-amine (30c)**: Prepared according to the procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 4:1) to give the title compound as a pale yellow oily liquid (yield: 56%).

FT-IR: *v* (cm^{-1}): 3406, 2933, 2842, 1614, 1584, 1497, 1454, 1373, 1332, 1298, 1250, 1163, 882, 803, 750, 740, 698.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.44 (dd, *J* = 13.9, 7.9 Hz, 2H), 7.19 (dt, *J* = 25.4, 7.2 Hz, 2H), 6.99 (d, *J* = 8.0 Hz, 1H), 6.54 (d, *J* = 9.7 Hz, 2H), 6.46 (d, *J* = 8.1 Hz, 1H), 4.70 (q, *J* = 6.8 Hz, 1H), 3.84 (s, 1H), 2.78 (q, *J* = 7.8 Hz, 4H), 2.00 (p, *J* = 7.4 Hz, 2H), 1.62 (d, *J* = 6.8 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 162.0, 156.3, 147.0, 146.9, 135.2, 130.0, 126.2, 125.1, 124.1, 122.3, 113.4, 112.5, 111.2, 103.5, 49.7, 34.6, 33.4, 27.1, 22.7.

ESI HRMS: calcd. for $C_{19}H_{19}NO$ $[M+Na]^+$: 300.1359, found: 300.1347.



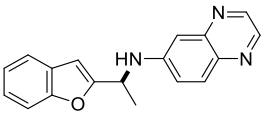
***N*-(1-(benzofuran-2-yl)ethyl)quinolin-8-amine (31c)**: Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 3:1) to give the title compound as a brown solid (yield: 69%).

FT-IR: *v* (cm^{-1}): 3401, 3005, 2991, 2873, 1597, 1523, 1465, 1378, 1324, 1268, 1220, 1184, 1107, 1029, 979, 862, 750, 662.

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.75 (d, *J* = 2.5 Hz, 1H), 8.07 (d, *J* = 8.2 Hz, 1H), 7.44 (dd, *J* = 7.6, 3.7 Hz, 2H), 7.38 (dd, *J* = 8.2, 4.2 Hz, 1H), 7.31 (d, *J* = 7.9 Hz, 1H), 7.21 (d, *J* = 9.6 Hz, 1H), 7.16 (t, *J* = 7.4 Hz, 1H), 7.06 (d, *J* = 8.1 Hz, 1H), 6.69 (d, *J* = 6.6 Hz, 1H), 6.57 (s, 2H), 4.92 (t, *J* = 6.6 Hz, 1H), 1.81 (d, *J* = 6.8 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 161.6, 156.3, 148.4, 144.7, 139.5, 137.7, 130.1, 130.0, 129.1, 125.0, 124.0, 122.9, 122.2, 116.1, 112.6, 107.5, 103.4, 49.0, 22.6.

ESI HRMS: calcd. For $C_{19}H_{16}N_2O$ $[M+H]^+$: 289.1335, found: 289.1348.



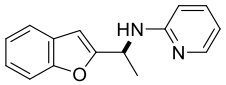
***N*-(1-(benzofuran-2-yl)ethyl)quinoxalin-6-amine (32c)**: Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (EtOAc) to give the title compound as a brown oily liquid (yield: 49%).

FT-IR: *v* (cm^{-1}): 3386, 3181, 3105, 2968, 2899, 1592, 1503, 1486, 1406, 1345, 1325, 1289, 1215, 1157, 1008, 981, 750, 624.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.92 (d, *J* = 5.8 Hz, 1H), 7.50 (d, *J* = 6.6 Hz, 1H), 7.44 (d, *J* = 8.2 Hz, 1H), 7.33 – 7.14 (m, 2H), 6.54 (s, 1H), 6.52 (d, *J* = 2.1 Hz, 1H), 6.42 (dd, *J* = 5.8, 2.2 Hz, 1H), 4.92 (s, 1H), 4.76 (p, *J* = 6.9 Hz, 1H), 1.66 (dd, *J* = 6.8, 1.2 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 157.7, 154.9, 154.3, 152.2, 149.3, 128.0, 124.3, 123.0, 121.1, 111.2, 107.7, 106.9, 102.7, 46.9, 20.4.

ESI HRMS: calcd. for $C_{18}H_{15}N_3O$ $[M+H]^+$: 367.1674, found: 367.1658.

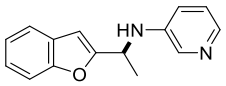


***N*-(1-(benzofuran-2-yl)ethyl)pyridin-4-amine (33c)**: Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (EtOAc) to give the title compound as a yellow solid (yield: 34%).

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.11 (d, *J* = 5.0 Hz, 1H), 7.48 (d, *J* = 7.7 Hz, 1H), 7.43 (d, *J* = 8.4 Hz, 1H), 7.41 – 7.37 (m, 1H), 7.25 – 7.16 (m, 2H), 6.62 – 6.57 (m, 1H), 6.55 (s, 1H), 6.41 (d, *J* = 8.4 Hz, 1H), 5.23 – 5.11 (m, 1H), 4.90 (s, 1H), 1.66 (d, *J* = 6.8 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 159.8, 157.6, 154.8, 148.1, 137.6, 128.4, 123.8, 122.7, 120.8, 113.6, 111.1, 107.5, 102.0, 45.9, 20.7.

ESI HRMS: calcd. for $C_{15}H_{14}N_2O$ $[M+Na]^+$: 261.0998, found: 261.1009.



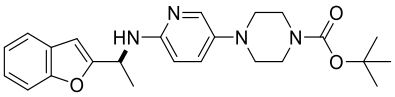
***N*-(1-(benzofuran-2-yl)ethyl)pyridin-3-amine (34c)**: Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (EtOAc) to give the title compound as a pale yellow solid (yield: 72%).

FT-IR: *v* (cm^{-1}): 3232, 3159, 3102, 3041, 2987, 2941, 1584, 1535, 1482, 1449, 1419, 1376, 1257, 1246, 1008, 810, 746, 729, 709.

^{1}H NMR(500 MHz, $CDCl_3$) δ 8.09 (s, 1H), 7.96 (d, *J* = 4.7 Hz, 1H), 7.48 (d, *J* = 6.9 Hz, 1H), 7.43 (d, *J* = 9.2 Hz, 1H), 7.29 – 7.22 (m, 1H), 7.22 – 7.14 (m, 1H), 7.04 (dd, *J* = 8.3, 4.6 Hz, 1H), 6.90 (dd, *J* = 8.3, 1.6 Hz, 1H), 6.54 (t, *J* = 0.9 Hz, 1H), 4.73 (p, *J* = 6.8 Hz, 1H), 4.12 (d, *J* = 7.2 Hz, 1H), 1.67 (d, *J* = 6.8 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 160.4, 156.2, 144.2, 140.8, 138.1, 129.6, 125.4, 125.1, 124.2, 122.3, 120.7, 112.5, 103.9, 48.9, 22.4.

ESI HRMS: calcd. for $C_{15}H_{14}N_2O$ $[M+H]^+$: 239.1179, found: 239.1167.



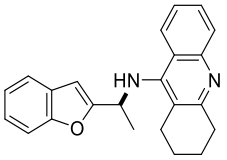
***tert*-butyl-4-(6-((1-(benzofuran-2-yl)ethyl)amino)pyridin-3-yl)piperazine-1-carboxylate (35c)**: Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 1:2) to give the title compound as a white solid (yield: 42%).

FT-IR: *v* (cm^{-1}): 3223, 2974, 2864, 2833, 1654, 1618, 1473, 1454, 1398, 1261, 1238, 1165, 1153, 1115, 912, 818, 806, 774, 748, 711, 638, 607.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.82 (d, *J* = 3.8 Hz, 1H), 7.47 (d, *J* = 7.7 Hz, 1H), 7.43 (d, *J* = 10.7 Hz, 1H), 7.25 – 7.21 (m, 1H), 7.21 – 7.10 (m, 2H), 6.54 (s, 1H), 6.41 (d, *J* = 8.2 Hz, 1H), 5.08 (t, *J* = 7.1 Hz, 1H), 4.68 (s, 1H), 3.55 (t, *J* = 5.1 Hz, 4H), 2.93 (t, *J* = 5.0 Hz, 4H), 1.65 (d, *J* = 6.8 Hz, 3H), 1.47 (s, 9H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 161.5, 156.2, 156.1, 154.5, 141.5, 139.1, 130.9, 129.8, 125.1, 124.1, 122.2, 112.5, 109.3, 103.4, 56.5, 52.5, 47.8, 29.9, 22.2.

ESI HRMS: calcd. for $C_{24}H_{30}N_4O_3$ $[M+H]^+$: 445.2210, found: 445.2196.



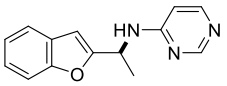
***N*-(1-(benzofuran-2-yl)ethyl)-1,2,3,4-tetrahydroacridin-9-amine (36c)**: Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : hexane, 2:1) to give the title compound as a pale yellow oily liquid (yield: 37%).

FT-IR: *v* (cm^{-1}): 3416, 3156, 3091, 3018, 2897, 1956, 1860, 1809, 1744, 1623, 1592, 1503, 1486, 1406, 1325, 1289, 1165, 1107, 924, 806, 709, 511.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.97 (dd, *J* = 20.1, 7.9 Hz, 2H), 7.58 (ddd, *J* = 8.3, 6.8, 1.4 Hz, 1H), 7.51 – 7.42 (m, 2H), 7.39 (ddd, *J* = 8.2, 6.8, 1.3 Hz, 1H), 7.27 – 7.24 (m, 1H), 7.19 (td, *J* = 7.5, 1.1 Hz, 1H), 6.45 (s, 1H), 4.99 (dd, *J* = 10.2, 6.7 Hz, 1H), 4.20 (d, *J* = 10.8 Hz, 1H), 3.06 (dq, *J* = 6.3, 2.9 Hz, 2H), 2.77 – 2.68 (m, 1H), 2.62 – 2.53 (m, 1H), 1.91 – 1.79 (m, 3H), 1.73 (d, *J* = 6.8 Hz, 4H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 160.8, 160.3, 156.2, 150.5, 148.7, 130.2, 130.0, 129.5, 125.9, 125.6, 124.3, 123.9, 122.7, 122.4, 120.3, 112.5, 103.8, 54.0, 35.4, 26.1, 24.3, 24.1, 22.6.

ESI HRMS: calcd. for $C_{23}H_{22}N_2O$ $[M+H]^+$: 343.1805, found: 343.1816.



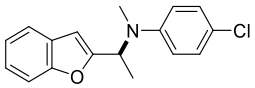
***N*-(1-(benzofuran-2-yl)ethyl)pyrimidin-4-amine (37c)**: Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (EtOAc) to give the title compound as a pale yellow oily liquid (yield: 44%).

FT-IR: *v* (cm^{-1}): 3243, 2955, 2924, 2871, 1594, 1500, 1454, 1377, 1298, 1253, 926, 808, 751, 740, 705.

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.60 (s, 1H), 8.16 (d, *J* = 6.0 Hz, 1H), 7.50 (d, *J* = 6.8 Hz, 1H), 7.43 (d, *J* = 7.0 Hz, 1H), 7.25 (t, *J* = 7.7 Hz, 1H), 7.20 (t, *J* = 7.4 Hz, 1H), 6.57 (s, 1H), 6.37 (d, *J* = 5.9 Hz, 1H), 5.70 (s, 1H), 5.27 (s, 1H), 1.68 (d, *J* = 6.8 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 162.6, 160.0, 159.5, 156.8, 156.2, 129.5, 125.6, 124.3, 122.4, 112.6, 106.0, 103.9, 46.5, 21.5.

ESI HRMS: calcd. for $C_{14}H_{13}N_3O$ $[M+H]^+$: 240.1131, found: 240.1144.



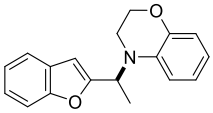
***N*-(1-(benzofuran-2-yl)ethyl)-4-chloro-*N*-methylaniline (38c)**: Prepared according to the general A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 6:1) to give the title compound as a pale yellow solid (yield: 51%).

FT-IR: *v* (cm^{-1}): 3114, 2983, 2923, 2827, 1591, 1495, 1474, 1455, 1431, 1377, 1257, 1212, 938, 825, 810, 748.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.51 (d, *J* = 7.7 Hz, 1H), 7.43 (d, *J* = 9.0 Hz, 1H), 7.23 (d, *J* = 8.3 Hz, 1H), 7.22 – 7.15 (m, 3H), 6.80 (d, *J* = 9.0 Hz, 2H), 6.55 (s, 1H), 5.21 – 5.07 (m, 1H), 2.72 (s, 3H), 1.58 (d, *J* = 6.9 Hz, 3H).

^{13}C NMR (75 MHz, $CDCl_3$) δ 158.3, 154.9, 148.3, 129.0, 128.2, 124.1, 122.8, 122.3, 120.8, 115.0, 111.3, 103.8, 52.9, 32.1, 15.2.

ESI HRMS: calcd. for $C_{17}H_{16}ClNO$ $[M+Na]^+$: 308.0813, found: 308.0834.



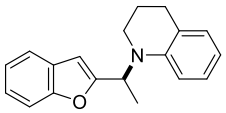
4-(1-(benzofuran-2-yl)ethyl)-3,4-dihydro-2*H*-benzo[b][1,4]oxazine (39c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 6:1) to give the title compound as a white solid (yield: 71%).

FT-IR: *v* (cm^{-1}): 3373, 3110, 3061, 2978, 2886, 2842, 1602, 1500, 1452, 1369, 1334, 1307, 1253, 1211, 1187, 1075, 1022, 931, 812, 760, 744.

^{1}H NMR (300 MHz, $CDCl_3$) δ 7.51 (d, *J* = 7.5 Hz, 1H), 7.43 (d, *J* = 8.7 Hz, 1H), 7.22 (p, *J* = 7.3 Hz, 2H), 6.97 – 6.76 (m, 3H), 6.75 – 6.53 (m, 2H), 5.23 (q, *J* = 6.9 Hz, 1H), 4.16 (s, 2H), 3.41 – 3.22 (m, 1H), 3.11 (dd, *J* = 8.2, 4.1 Hz, 1H), 1.61 (d, *J* = 6.9 Hz, 3H).

^{13}C NMR (75 MHz, $CDCl_3$) δ 157.6, 154.9, 144.5, 134.3, 128.1, 124.2, 122.8, 121.6, 120.8, 118.0, 116.8, 112.5, 111.3, 104.4, 64.8, 50.3, 40.8, 14.4.

ESI HRMS: calcd. for $C_{18}H_{17}NO_2$ $[M+H]^+$: 318.1175, found: 318.1169.



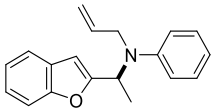
1-(1-(benzofuran-2-yl)ethyl)-1,2,3,4-tetrahydroquinoline (40c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 5:1) to give the title compound as a red solid (yield: 57%).

FT-IR: *v* (cm^{-1}): 3218, 3165, 3047, 3001, 2983, 1905, 1745, 1611, 1493, 1435, 1385, 1329, 1218, 1129, 1043, 985, 841, 746, 667.

^{1}H NMR (300 MHz, $CDCl_3$) δ 7.61 – 7.37 (m, 2H), 7.34 – 7.12 (m, 2H), 7.07 (t, *J* = 7.8 Hz, 1H), 6.99 (d, *J* = 7.4 Hz, 1H), 6.81 (d, *J* = 8.3 Hz, 1H), 6.71 – 6.49 (m, 2H), 5.25 (q, *J* = 6.9 Hz, 1H), 3.32 – 3.15 (m, 1H), 3.07 (dt, *J* = 11.1, 5.3 Hz, 1H), 2.76 (t, *J* = 6.4 Hz, 2H), 1.97 – 1.76 (m, 2H), 1.61 (s, 3H).

^{13}C NMR (75 MHz, $CDCl_3$) δ 158.7, 154.9, 145.0, 129.4, 128.3, 127.2, 123.9, 123.5, 122.7, 120.7, 116.26, 111.2, 111.0, 103.8, 50.7, 42.6, 28.4, 22.3, 15.0.

ESI HRMS: calcd. for $C_{19}H_{19}NO$ $[M+H]^+$: 278.1539, found: 278.1552.

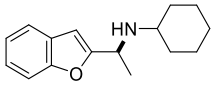


(1-(3,4-dimethylphenyl)-2-methylcyclopropane-1,2-diyl)dibenzene (41c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 5:1) to give the title compound as a pale yellow oily liquid (yield: 62%).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.49 (d, *J* = 7.0 Hz, 1H), 7.42 (d, *J* = 8.1 Hz, 1H), 7.25 – 7.12 (m, 4H), 6.87 (d, *J* = 8.2 Hz, 2H), 6.75 (t, *J* = 7.3 Hz, 1H), 6.53 (s, 1H), 5.95 – 5.68 (m, 1H), 5.34 – 5.16 (m, 2H), 5.08 (d, *J* = 10.4 Hz, 1H), 3.89 (t, *J* = 5.1 Hz, 2H), 1.64 (d, *J* = 6.9 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 158.8, 154.9, 148.7, 136.2, 129.1, 128.3, 123.9, 122.7, 120.7, 117.4, 115.8, 113.9, 111.2, 103.7, 51.9, 49.1, 16.7.

ESI HRMS: calcd. for $C_{19}H_{19}NO$ $[M+H]^+$: 278.1539, found: 278.1505.



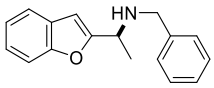
***N*-(1-(benzofuran-2-yl)ethyl)cyclohexanamine (42c)**: Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 5:1) to give the title compound as a pale yellow oily liquid (yield: 52%).

FT-IR: *v* (cm^{-1}): 3415, 3118, 3064, 2927, 2852, 1598, 1453, 1369, 1297, 1253, 1165, 1126, 1100, 978, 847, 750, 691.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.52 (d, *J* = 7.5 Hz, 1H), 7.45 (d, *J* = 8.0 Hz, 1H), 7.22 (dd, *J* = 14.0, 7.5 Hz, 2H), 6.52 (s, 1H), 4.14 (q, *J* = 6.8 Hz, 1H), 2.41 (s, 1H), 2.00 (d, *J* = 11.3 Hz, 1H), 1.69 (d, *J* = 26.5 Hz, 3H), 1.49 (d, *J* = 6.7 Hz, 3H), 1.37 – 0.97 (m, 6H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 161.1, 154.67, 128.5, 123.5, 122.6, 120.7, 111.1, 102.1, 54.0, 48.5, 34.2, 33.0, 26.1, 25.1, 24.9, 21.4.

ESI HRMS: calcd. for $C_{16}H_{21}NO$ $[M+H]^+$: 244.1696, found: 244.1694.



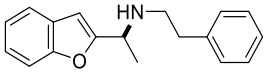
1-(benzofuran-2-yl)-*N*-benzylethan-1-amine (43c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 5:1) to give the title compound as a pale yellow oily liquid (yield: 54%).

FT-IR: *v* (cm^{-1}): 3405, 3118, 3059, 2954, 2913, 1935, 1841, 1597, 1503, 1425, 1376, 1284, 1241, 1152, 1009, 982, 864, 753, 687.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.56 – 7.51 (m, 1H), 7.48 – 7.44 (m, 1H), 7.35 – 7.29 (m, 4H), 7.25 – 7.18 (m, 3H), 6.55 (s, 1H), 4.00 (q, *J* = 6.8 Hz, 1H), 3.80 (d, *J* = 13.1 Hz, 1H), 3.69 (d, *J* = 13.1 Hz, 1H), 1.52 (dd, *J* = 6.8, 0.9 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 160.6, 154.8, 140.1, 128.5, 128.4, 128.2, 127.0, 123.7, 122.6, 120.7, 111.2, 102.6, 51.3, 51.0, 20.7.

ESI HRMS: calcd. for $C_{17}H_{17}NO$ $[M+H]^+$: 252.1383, found: 252.1399.



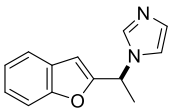
1-(benzofuran-2-yl)-*N*-phenethylethan-1-amine (44c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 5:1) to give the title compound as a pale yellow oily liquid (yield: 63%).

FT-IR: *v* (cm^{-1}): 3416, 3156, 3091, 3018, 2897, 1956, 1860, 1809, 1744, 1623, 1592, 1503, 1486, 1406, 1325, 1289, 1165, 1107, 924, 806, 709, 511.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.50 (d, *J* = 7.6 Hz, 1H), 7.43 (d, *J* = 8.0 Hz, 1H), 7.26 (q, *J* = 6.6 Hz, 3H), 7.22 – 7.14 (m, 4H), 6.49 (s, 1H), 4.00 (q, *J* = 6.7 Hz, 1H), 2.89 – 2.77 (m, 4H), 1.99 (s, 1H), 1.49 (d, *J* = 6.8 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 161.7, 156.1, 141.2, 130.1, 129.9, 129.8, 127.7, 125.1, 124.0, 122.1, 112.6, 103.9, 53.2, 49.9, 37.7, 21.8.

ESI HRMS: calcd. for $C_{18}H_{19}NO$ $[M+H]^+$: 266.1539, found: 266.1551.

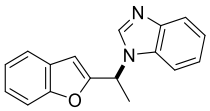


1-(1-(benzofuran-2-yl)ethyl)-1*H*-imidazole (45c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 5:1) to give the title compound as a pale yellow oily liquid (yield: 51%).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.69 – 7.65 (m, 1H), 7.55 (d, *J* = 7.6 Hz, 1H), 7.45 (d, *J* = 8.2 Hz, 1H), 7.32 – 7.28 (m, 1H), 7.25 (t, *J* = 7.5 Hz, 1H), 7.10 (d, *J* = 1.2 Hz, 1H), 7.05 (d, *J* = 1.3 Hz, 1H), 6.60 (d, *J* = 1.0 Hz, 1H), 5.51 (q, *J* = 7.1 Hz, 1H), 1.95 (d, *J* = 7.1 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 155.8, 154.9, 136.1, 129.5, 127.6, 124.8, 123.1, 121.2, 117.5, 111.4, 103.8, 50.9, 20.0.

ESI HRMS: calcd. for $C_{13}H_{12}N_2O$ $[M+H]^+$: 213.1022, found: 213.1031.



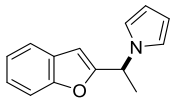
1-(1-(benzofuran-2-yl)ethyl)-1*H*-benzo[d]imidazole (46c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 5:1) to give the title compound as a pale yellow oily liquid (yield: 54%).

FT-IR: *v* (cm^{-1}): 3112, 3067, 2980, 2936, 2908, 1945, 1781, 1613, 1491, 1454, 1363, 1325, 1254, 1172, 1105, 1043, 1006, 978, 861, 749, 627.

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.27 (s, 1H), 7.93 (s, 1H), 7.55 (d, *J* = 7.7 Hz, 1H), 7.52 – 7.44 (m, 1H), 7.41 (d, *J* = 8.1 Hz, 1H), 7.35 – 7.20 (m, 4H), 6.69 (s, 1H), 5.82 (t, *J* = 7.0 Hz, 1H), 2.07 (t, *J* = 6.4 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 156.4, 156.0, 144.1, 143.2, 134.4, 129.0, 126.4, 125.0, 124.7, 124.5, 122.8, 121.6, 112.9, 112.0, 106.0, 51.3, 20.4.

ESI HRMS: calcd. for $C_{17}H_{14}N_2O$ $[M+H]^+$: 263.1197, found: 263.1184.



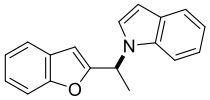
1-(1-(benzofuran-2-yl)ethyl)-1*H*-pyrrole (47c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 5:1) to give the title compound as a pale yellow oily liquid (yield: 45%).

FT-IR: *v* (cm^{-1}): 3113, 3101, 2986, 2969, 1596, 1512, 1485, 1386, 1321, 1267, 1190, 1163, 1132, 1019, 1001, 976, 908, 862, 738, 642, 565.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.50 (ddd, *J* = 7.6, 1.4, 0.7 Hz, 1H), 7.42 (dq, *J* = 8.2, 0.9 Hz, 1H), 7.29 – 7.14 (m, 2H), 6.81 (t, *J* = 2.2 Hz, 2H), 6.48 (t, *J* = 1.0 Hz, 1H), 6.19 (t, *J* = 2.2 Hz, 2H), 5.40 (qd, *J* = 7.1, 1.0 Hz, 1H), 1.90 (d, *J* = 7.1 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 159.2, 156.3, 129.4, 125.8, 124.3, 122.5, 120.8, 112.8, 109.8, 104.5, 54.2, 21.5.

ESI HRMS: calcd. for $C_{14}H_{13}NO$ $[M+H]^+$: 212.1070, found: 212.1077.

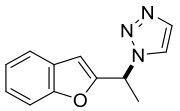


1-(1-(benzofuran-2-yl)ethyl)-1*H*-indole (48c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 5:1) to give the title compound as a pale yellow oily liquid (yield: 38%).

^{1}H NMR (500 MHz, DMSO-d_6) δ 7.62 (t, *J* = 8.0 Hz, 2H), 7.56 (d, *J* = 7.9 Hz, 1H), 7.50 – 7.46 (m, 2H), 7.28 – 7.19 (m, 2H), 7.13 (ddd, *J* = 8.2, 7.0, 1.2 Hz, 1H), 7.08 – 6.99 (m, 1H), 6.87 (s, 1H), 6.50 (d, *J* = 3.3 Hz, 1H), 6.11 (q, *J* = 6.8 Hz, 1H), 1.93 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (126 MHz, DMSO-d_6) δ 159.4, 156. 1, 137.4, 130.1, 129.6, 127.8, 126.3, 124.9, 123.2, 123.1, 122.4, 121.2, 113.0, 112.0, 105.4, 103.5, 50.4, 20.5.

ESI HRMS: calcd. for $C_{18}H_{15}NO$ $[M+H]^+$: 262.1226, found: 262.1204.



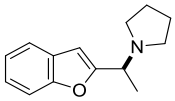
1-(1-(benzofuran-2-yl)ethyl)-1*H*-1,2,3-triazole (49c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 5:1) to give the title compound as a pale yellow oily liquid (yield: 55%).

FT-IR: *v* (cm^{-1}): 3115, 3056, 2991, 2941, 1603, 1586, 1502, 1453, 1380, 1301, 1200, 1175, 1139, 1108, 1092, 1007, 988, 935, 815, 751, 680.

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.19 (s, 1H), 7.98 (s, 1H), 7.56 (dd, *J* = 7.2, 1.2 Hz, 1H), 7.44 (dd, *J* = 8.2, 0.9 Hz, 1H), 7.35 – 7.20 (m, 2H), 6.74 (s, 1H), 5.76 (q, *J* = 7.1 Hz, 1H), 2.02 (d, *J* = 7.1 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 156.4, 155.5, 153.2, 143.6, 129.0, 126.5, 124.7, 122.9, 112.9, 106.3, 55.2, 20.4.

ESI HRMS: calcd. for $C_{12}H_{11}N_3O$ $[M+H]^+$: 214.0975, found: 214.0968.



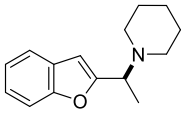
1-(1-(benzofuran-2-yl)ethyl)pyrrolidine (50c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 5:1) to give the title compound as a pale yellow oily liquid (yield: 50%).

FT-IR: ν (cm-1): 3125, 3084, 3001, 2986, 1598, 1523, 1451, 1352, 1306, 1265, 1186, 1129, 1083, 1067, 952, 924, 830, 753, 695.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.60 – 7.33 (m, 2H), 7.29 – 7.19 (m, 2H), 6.56 (s, 1H), 3.68 (q, *J* = 6.8 Hz, 1H), 2.78 – 2.65 (m, 2H), 2.56 (d, *J* = 8.7 Hz, 2H), 1.81 (s, 4H), 1.57 (d, *J* = 6.7 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 159.8, 154.7, 128.3, 123. 7, 122.6, 120.7, 111.3, 102.9, 57.4, 51.77, 23.4, 19.2.

ESI HRMS: calcd. for $C_{14}H_{17}NO$ $[M+H]^+$: 216.1383, found: 216.1399.



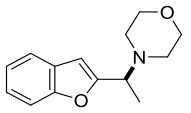
1-(1-(benzofuran-2-yl)ethyl)piperidine (51c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 5:1) to give the title compound as a pale yellow oily liquid (yield: 42%).

FT-IR: *v* (cm^{-1}): 3229, 3171, 3102, 3052, 2893, 1594, 1525, 1500, 1472, 1419, 1376, 1360, 1257, 1168, 1108, 971, 865, 810, 741, 641, 613, 596.

^{1}H NMR (300 MHz, $CDCl_3$) δ 7.61 – 7.39 (m, 2H), 7.34 – 7.15 (m, 2H), 6.51 (s, 1H), 3.83 (q, *J* = 7.0 Hz, 1H), 2.49 (dtd, *J* = 21.8, 11.0, 5.2 Hz, 4H), 1.68 – 1.53 (m, 4H), 1.50 (d, *J* = 7.0 Hz, 3H), 1.38 (p, *J* = 6.1 Hz, 2H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 154.6, 128.2, 123.6, 122.5, 120.6, 111.3, 103.9, 58.4, 50.8, 26.2, 24.5, 15.8.

ESI HRMS: calcd. for $C_{15}H_{19}NO$ $[M+H]^+$: 230.1539, found: 230.1521.



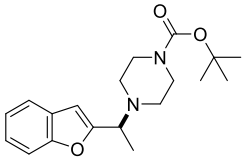
4-(1-(benzofuran-2-yl)ethyl)morpholine (52c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 5:1) to give the title compound as a pale yellow oily liquid (yield: 45%).

FT-IR: *v* (cm^{-1}): 3165, 3112, 3091, 2998, 2905, 1568, 1541, 1496, 1427, 1410, 1354, 1339, 1262, 1189, 1098, 969, 874, 806, 735, 649, 636, 571.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.55 (dd, *J* = 7.4, 1.0 Hz, 1H), 7.50 (dd, *J* = 8.1, 0.9 Hz, 1H), 7.30 – 7.26 (m, 1H), 7.23 (td, *J* = 7.4, 1.2 Hz, 1H), 6.57 (s, 1H), 3.81 (q, *J* = 6.9 Hz, 1H), 3.75 (t, *J* = 4.7 Hz, 4H), 2.58 (q, *J* = 4.5 Hz, 4H), 1.53 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 159.6, 156.2, 129.5, 125.3, 124.1, 122.1, 112.7, 105.6, 68.6, 59.7, 51.7, 17.2.

ESI HRMS: calcd. for $C_{14}H_{17}NO_2$ $[M+H]^+$: 232.1332, found: 232.1329.



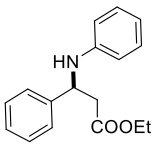
***tert*-butyl 4-(1-(benzofuran-2-yl)ethyl)piperazine-1-carboxylate (53c)**: Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 5:1) to give the title compound as a pale yellow oily liquid (yield: 52%).

FT-IR: *v* (cm^{-1}): 3363, 3110, 3058, 2976, 2933, 2860, 2818, 1695, 1580, 1453, 1364, 1301, 1248, 1174, 1128, 1005, 952, 863, 759, 663.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.57 – 7.52 (m, 1H), 7.49 (d, *J* = 7.8 Hz, 1H), 7.29 – 7.21 (m, 2H), 6.55 (s, 1H), 3.89 (q, *J* = 6.9 Hz, 1H), 3.47 (t, *J* = 5.2 Hz, 4H), 2.49 (s, 4H), 1.53 (d, *J* = 6.9 Hz, 3H), 1.45 (s, 9H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 158.1, 154.7, 154.6, 128.1, 123.9, 122. 7, 120.7, 111.3, 104.1, 57.9, 49.5, 28.4, 15.7.

ESI HRMS: calcd. for $C_{19}H_{26}N_2O_3$ $[M+H]^+$: 331.2016, found: 331.2001.

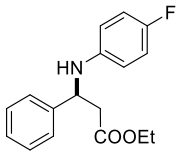


ethyl 3-phenyl-3-(phenylamino)propanoate (54c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 10:1) to give the title compound as a white solid (yield: 50%).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.38 (d, *J* = 7.1 Hz, 2H), 7.32 (t, *J* = 7.6 Hz, 2H), 7.26 – 7.22 (m, 1H), 7.10 (dd, *J* = 8.6, 7.3 Hz, 2H), 6.67 (t, *J* = 7.3 Hz, 1H), 6.56 (d, *J* = 7.6 Hz, 2H), 4.89 – 4.77 (m, 1H), 4.57 (s, 1H), 4.10 (dd, *J* = 7.1, 3.4 Hz, 2H), 2.83 – 2.76 (m, 2H), 1.19 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 172.6, 148.2, 143.6, 130.6, 130.2, 128.9, 127.7, 119.2, 115.1, 62.2, 56.4, 44.4, 15.6.

ESI HRMS: calcd. for $C_{17}H_{19}NO_2$ $[M+H]^+$: 270.1489, found: 270.1472.



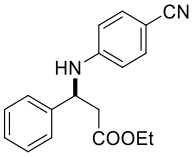
ethyl 3-((4-fluorophenyl)amino)-3-phenylpropanoate (55c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 10:1) to give the title compound as a white solid (yield: 59%).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.38 – 7.30 (m, 4H), 7.27 – 7.23 (m, 1H), 6.80 (t, *J* = 8.8 Hz, 2H), 6.49 (dd, *J* = 9.0, 4.4 Hz, 2H), 4.76 (dd, *J* = 7.9, 5.4 Hz, 1H), 4.50 (s, 1H), 4.11 (qd, *J* = 7.2, 1.3 Hz, 2H), 2.85 – 2.72 (m, 2H), 1.20 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 172.6, 157.4 (d, *J* = 235.6 Hz), 144.6 (d, *J* = 1.9 Hz), 143.5, 129.6 (d, *J* = 160.5 Hz)., 129.0, 127.7, 117.0 (d, *J* = 22.3 Hz), 116.1 (d, *J* = 7.5 Hz), 62.3, 57.1, 44.4, 15.6.

^{19}F NMR (282 MHz, $CDCl_3$) δ -127.55.

ESI HRMS: calcd. for $C_{17}H_{18}FNO_2$ $[M+H]^+$: 288.1394, found: 288.1379.

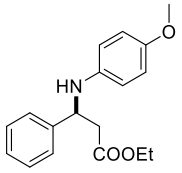


ethyl 3-((4-cyanophenyl)amino)-3-phenylpropanoate (56c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 7:1) to give the title compound as a yellow solid (yield: 51%).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.38 – 7.27 (m, 7H), 6.52 (d, *J* = 8.7 Hz, 2H), 5.26 (d, *J* = 6.5 Hz, 1H), 4.85 (dd, *J* = 12.3, 7.1 Hz, 1H), 4.11 (q, *J* = 7.2, 6.6 Hz, 2H), 2.86 (dd, *J* = 15.0, 5.1 Hz, 1H), 2.83 – 2.75 (m, 1H), 1.18 (t, *J* = 7.2 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 172.3, 151.4, 142.1, 135.1, 130.5, 129.4, 127.5, 121.7, 114.6, 101.0, 62.5, 55.8, 43.9, 15.5.

ESI HRMS: calcd. for $C_{18}H_{18}N_2O_2$ $[M+H]^+$: 295.1441, found: 295.1455.

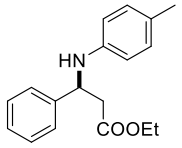


ethyl 3-((4-methoxyphenyl)amino)-3-phenylpropanoate (57c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 10:1) to give the title compound as a white solid (yield: 35%).

^{1}H NMR(500 MHz, $CDCl_3$) δ 7.36 (d, *J* = 7.2 Hz, 2H), 7.34 – 7.27 (m, 2H), 7.22 (d, *J* = 7.3 Hz, 1H), 6.69 (d, *J* = 8.9 Hz, 2H), 6.52 (d, *J* = 8.9 Hz, 2H), 4.74 (t, *J* = 6.7 Hz, 1H), 4.10 (qd, *J* = 7.2, 2.1 Hz, 2H), 3.69 (s, 3H), 2.82 – 2.72 (m, 2H), 1.19 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 172.7, 153.7, 143.9, 142.4, 130.2, 128.8, 127.8, 116.6, 116.2, 62.2, 57.4, 57.1, 44.4, 15.6.

ESI HRMS: calcd. for $C_{18}H_{21}NO_3$ $[M+H]^+$: 300.1594, found: 300.1608.

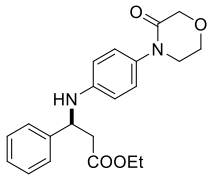


ethyl 3-phenyl-3-(p-tolylamino)propanoate (58c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 10:1) to give the title compound as a white solid (yield: 59%).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.37 (d, *J* = 7.0 Hz, 2H), 7.31 (t, *J* = 7.6 Hz, 2H), 7.23 (t, *J* = 7.3 Hz, 1H), 6.90 (d, *J* = 7.7 Hz, 2H), 6.48 (d, *J* = 8.5 Hz, 2H), 4.82 – 4.76 (m, 1H), 4.43 (s, 1H), 4.17 – 4.02 (m, 2H), 2.78 (dd, *J* = 6.7, 2.6 Hz, 2H), 2.18 (s, 3H), 1.18 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 172.6, 156.1, 145.6, 143.7, 143.3, 141,4, 131.1, 130.2, 128.8, 128.4, 127.7, 115.3, 62.2, 56.7, 44.4, 21.8, 15.6.

ESI HRMS: calcd. for $C_{18}H_{21}NO_2$ $[M+H]^+$: 284.1645, found: 284.1659.



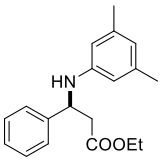
ethyl 3-((4-(3-oxomorpholino)phenyl)amino)-3-phenylpropanoate (59c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 5:1) to give the title compound as a white solid (yield: 51%).

FT-IR: *v* (cm^{-1}): 3379, 3059, 2986, 2936, 2870, 1714, 1646, 1611, 1521, 1493, 1480, 1373, 1344, 1289,1274, 1258, 1225, 1123, 1098, 1016, 923, 864, 820, 761, 723, 700.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.40 – 7.34 (m, 2H), 7.32 (t, *J* = 7.6 Hz, 2H), 7.24 (d, *J* = 7.3 Hz, 1H), 7.06 – 6.94 (m, 2H), 6.60 – 6.51 (m, 2H), 4.92 – 4.63 (m, 2H), 4.28 (s, 2H), 4.19 – 4.04 (m, 2H), 3.96 (t, *J* = 5.1 Hz, 2H), 3.62 (td, *J* = 4.8, 2.2 Hz, 2H), 2.84 – 2.69 (m, 2H), 1.19 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 172.5, 168.3, 147.4, 143.3, 132.8, 130.3, 129.0, 128.0, 127.7, 115.4, 70.0, 65.6, 62.3, 56.5, 51.6, 44.3, 15.6, 15.6.

ESI HRMS: calcd. for $C_{21}H_{24}N_2O_2$ $[M+H]^+$: 369.1809, found: 369.1822.

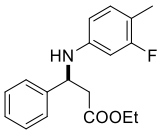


ethyl 3-((3,5-dimethylphenyl)amino)-3-phenylpropanoate (60c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 10:1) to give the title compound as a white solid (yield: 63%).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.36 (d, *J* = 8.1 Hz, 2H), 7.31 (t, *J* = 7.7 Hz, 2H), 7.24 – 7.21 (m, 1H), 6.33 (s, 1H), 6.21 (s, 2H), 4.82 (t, *J* = 6.8 Hz, 1H), 4.42 (s, 1H), 4.08 (qd, *J* = 7.1, 4.3 Hz, 2H), 2.78 (d, *J* = 6.2 Hz, 2H), 2.16 (s, 6H), 1.17 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 172.6, 148.4, 143.9, 140.2, 130.2, 128.8, 127.7, 121.3, 113.0, 62.2, 56.3, 44.3, 22.9, 15.6.

ESI HRMS: calcd. for $C_{19}H_{23}NO_2$ $[M+H]^+$: 298.1802, found: 298.1808.



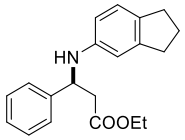
ethyl 3-((3-fluoro-4-methylphenyl)amino)-3-phenylpropanoate (61c): Prepared according to the general procedure A e. Following workup, the product was purified by column chromatography (hexane : EtOAc, 10:1) to give the title compound as a white solid (yield: 61%).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.44 – 7.28 (m, 4H), 7.23 (t, *J* = 7.1 Hz, 1H), 6.86 (t, *J* = 8.5 Hz, 1H), 6.26 (d, *J* = 8.2 Hz, 1H), 6.22 (d, *J* = 12.1 Hz, 1H), 4.88 – 4.70 (m, 1H), 4.58 (s, 1H), 4.10 (qd, *J* = 7.1, 1.8 Hz, 2H), 2.85 – 2.69 (m, 2H), 2.08 (s, 3H), 1.18 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 172.5, 163.4 (d, *J* = 242.1 Hz), 147.9 (d, *J* = 10.5 Hz), 143.3, 133.0 (d, *J* = 7.0 Hz), 130.3, 129.0, 127.6, 114.7 (d, *J* = 17.7 Hz), 110.8 (d, *J* = 2.8 Hz), 102.1 (d, *J* = 26.3 Hz), 62.3, 56.6, 44.2, 15.3 (d, *J* = 67.2 Hz).

^{19}F NMR (282 MHz, $CDCl_3$) δ -116.80.

ESI HRMS: calcd. for $C_{18}H_{20}FNO_2$ $[M+H]^+$: 302.1551, found: 302.1569.



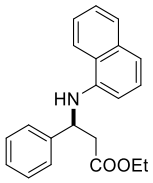
ethyl 3-((2,3-dihydro-1*H*-inden-5-yl)amino)-3-phenylpropanoate (62c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 10:1) to give the title compound as a white solid (yield: 60%).

FT-IR: *v* (cm^{-1}): 3384, 3007, 2919, 2846, 1708, 1612, 1585, 1509, 1492, 1460, 1376, 1355, 1297, 1280, 1226, 1188, 1171, 1098, 1015, 807, 757, 722, 701.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.41 (d, *J* = 6.9 Hz, 2H), 7.34 (t, *J* = 7.6 Hz, 2H), 7.28 – 7.24 (m, 1H), 6.97 (d, *J* = 8.0 Hz, 1H), 6.50 (d, *J* = 2.3 Hz, 1H), 6.39 (dd, *J* = 8.1, 2.3 Hz, 1H), 4.83 (t, *J* = 6.8 Hz, 1H), 4.45 (s, 1H), 4.19 – 4.04 (m, 2H), 2.84 – 2.72 (m, 6H), 2.01 (p, *J* = 7.4 Hz, 2H), 1.21 (t, *J* = 7.2 Hz, 3H).

^{13}C NM (126 MHz, $CDCl_3$) δ 172.7, 147.0, 146.8, 144.0, 134.9, 130.2, 128.8, 127.7, 126.1, 113.4, 111.4, 62.2, 56.9, 44.4, 34.6, 33.4, 27.1, 15.6.

ESI HRMS: calcd. for $C_{20}H_{23}NO_2$ $[M+H]^+$: 310.1802, found: 310.1791.

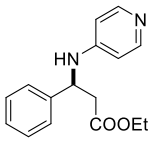


ethyl 3-(naphthalen-1-ylamino)-3-phenylpropanoate (63c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 10:1) to give the title compound as a white solid (yield: 38%).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.98 (d, *J* = 7.7 Hz, 1H), 7.88 – 7.71 (m, 1H), 7.51 – 7.39 (m, 4H), 7.31 (t, *J* = 7.4 Hz, 2H), 7.25 – 7.22 (m, 1H), 7.17 (d, *J* = 6.6 Hz, 2H), 6.37 (dd, *J* = 6.6, 2.0 Hz, 1H), 5.63 (s, 1H), 5.04 – 4.94 (m, 1H), 4.11 (qq, *J* = 7.4, 3.7 Hz, 2H), 3.03 – 2.85 (m, 2H), 1.18 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 172.9, 143.3, 143.2, 135.7, 130.3, 130.1, 129.0, 127.9, 127.7, 127.2, 126.3, 125.0, 121.5, 119.1, 107.6, 62.4, 56.6, 44.4, 15.6.

ESI HRMS: calcd. for $C_{21}H_{21}NO_2$ $[M+H]^+$: 320.1645, found: 320.1632.



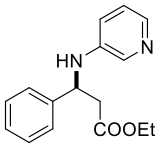
ethyl 3-phenyl-3-(37 yridine-4-ylamino)propanoate (64c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 5:1) to give the title compound as a white solid (yield: 38%).

FT-IR: *v* (cm^{-1}): 3234, 3131, 2982, 1729, 1599, 1521, 1493, 1453, 1373, 1348, 1295, 1260, 1216, 1172, 1113, 1091, 1173, 1020, 990, 811, 761, 700.

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.12 (d, *J* = 6.5 Hz, 2H), 7.36 – 7.26 (m, 5H), 6.45 – 6.35 (m, 2H), 5.36 (s, 1H), 4.87 (td, *J* = 7.0, 5.3 Hz, 1H), 4.10 (q, *J* = 7.0 Hz, 2H), 2.92 – 2.72 (m, 2H), 1.17 (t, *J* = 7.2 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 170.3, 151.9, 149.3, 140.1, 128.5, 127.2, 125.6, 108.0, 60.6, 53.4, 41.8, 13.6.

ESI HRMS: calcd. for $C_{16}H_{18}N_2O_2$ $[M+H]^+$: 271.1441, found: 271.1448.

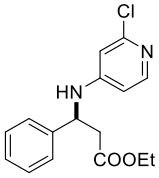


ethyl 3-phenyl-3-(37 yridine-3-ylamino)propanoate (65c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 5:1) to give the title compound as a white solid (yield: 52%).

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.06 (d, *J* = 2.9 Hz, 1H), 7.89 (d, *J* = 4.8 Hz, 1H), 7.37 – 7.29 (m, 4H), 7.24 (d, *J* = 8.7 Hz, 1H), 7.01 (dd, *J* = 8.4, 4.8 Hz, 1H), 6.81 (dd, *J* = 8.4, 1.7 Hz, 1H), 4.92 (s, 1H), 4.80 (t, *J* = 6.6 Hz, 1H), 4.12 (q, *J* = 7.1 Hz, 2H), 2.88 – 2.75 (m, 2H), 1.19 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 172.4, 144.7, 142.4, 139.9, 137.9, 130.39, 129.2, 127.6, 125.5, 121.6, 62.4, 56.1, 44.2, 15.6.

ESI HRMS: calcd. for $C_{16}H_{18}N_2O_{22}$ $[M+H]^+$: 271.1441, found: 271.1456.



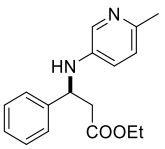
ethyl 3-((2-chloropyridin-4-yl)amino)-3-phenylpropanoate (66c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 5:1) to give the title compound as a white solid (yield: 59%).

FT-IR: *v* (cm^{-1}): 3245, 3135, 2982, 1728, 1593, 1509, 1452, 1405, 1374, 1344, 1296, 1266, 1174, 1131, 1097, 1074, 1027, 982, 823, 761, 699.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.88 (d, *J* = 5.8 Hz, 1H), 7.43 – 7.19 (m, 5H), 6.42 (d, *J* = 2.2 Hz, 1H), 6.34 (dd, *J* = 5.9, 2.2 Hz, 1H), 5.63 (d, *J* = 6.8 Hz, 1H), 4.90 – 4.80 (m, 1H), 4.10 (q, *J* = 7.1 Hz, 2H), 2.92 – 2.74 (m, 2H), 1.17 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 172.2, 155.7, 153.5, 150.7, 141.5, 130.5, 129.47, 127.4, 109.3, 108.6, 62.5, 55.3, 43.5, 15.5.

ESI HRMS: calcd. for $C_{16}H_{17}N_2O_2$ $[M+H]^+$: 305.1051, found: 305.1058.



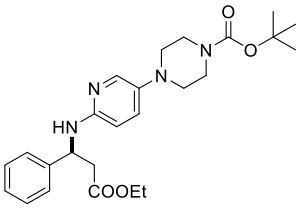
ethyl 3-((6-methylpyridin-3-yl)amino)-3-phenylpropanoate (67c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 5:1) to give the title compound as a white solid (yield: 58%).

FT-IR: *v* (cm^{-1}): 3251, 3121, 2981, 1728, 1602, 1579, 1499, 1453, 1373, 1351, 1294, 1232, 1172, 1096, 1073, 1023, 822, 760, 700.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.92 (d, J = 2.9 Hz, 1H), 7.41 – 7.28 (m, 4H), 7.27 – 7.18 (m, 1H), 6.84 (d, J = 8.4 Hz, 1H), 6.73 (dd, J = 8.4, 2.9 Hz, 1H), 4.78 (dd, J = 8.1, 5.3 Hz, 1H), 4.61 (s, 1H), 4.11 (q, J = 7.1 Hz, 2H), 2.90 – 2.71 (m, 2H), 2.37 (s, 3H), 1.19 (t, J = 7.1 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 172.5, 148.7, 142.9, 142.0, 137.4, 130.3, 129.1, 127.6, 124.5, 122.3, 62.3, 56.5, 44.3, 24.5, 15.6.

ESI HRMS: calcd. for $C_{17}H_{20}N_2O_2$ $[M+H]^+$: 285.1598, found: 285.1587.

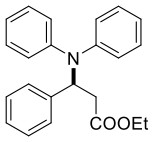


***tert*-butyl 4-(6-((3-ethoxy-3-oxo-1-phenylpropyl)amino) pyridine-3-yl)piperazine-1-carboxylate (68c)**: Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 5:1) to give the title compound as a white solid (yield: 42%).

^{1}H NM (500 MHz, $CDCl_3$) δ 7.76 (d, *J* = 2.8 Hz, 1H), 7.38 (d, *J* = 7.5 Hz, 2H), 7.32 (t, *J* = 7.5 Hz, 2H), 7.23 (d, *J* = 7.1 Hz, 1H), 7.11 (dd, *J* = 9.0, 2.9 Hz, 1H), 6.33 (d, *J* = 8.9 Hz, 1H), 5.35 (s, 1H), 5.13 (d, *J* = 6.8 Hz, 1H), 4.08 (q, *J* = 7.1 Hz, 2H), 3.54 (t, *J* = 5.1 Hz, 4H), 2.96 – 2.75 (m, 6H), 1.47 (s, 9H), 1.16 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 172.5, 156.1, 141.4, 130.1, 128.9, 127.8, 109.3, 62.2, 54.79, 52.5, 43.8, 29.9, 15.5.

ESI HRMS: calcd. for $C_{25}H_{34}N_4O_{44}$ $[M+H]^+$: 455.2653, found: 455.2657.



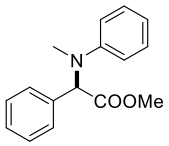
ethyl 3-(diphenylamino)-3-phenylpropanoate (69c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 15:1) to give the title compound as a yellow oil liquid (yield: 50%).

FT-IR: *v* (cm^{-1}): 2981, 1730, 1588, 1493, 1450, 1371, 1346, 1261, 1220, 1187, 1151, 1094, 1048, 1030, 871, 746, 695.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.26 – 7.18 (m, 9H), 6.95 (tt, *J* = 7.3, 1.1 Hz, 2H), 6.86 (dd, *J* = 8.8, 1.1 Hz, 4H), 5.89 (t, *J* = 7.5 Hz, 1H), 4.04 (q, *J* = 7.1 Hz, 2H), 3.08 – 2.90 (m, 2H), 1.12 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 172.8, 147.8, 142.2, 130.1, 129.8, 128.9, 128.8, 124.5, 123.8, 62.1, 60.0, 39.4, 15.5.

ESI HRMS: calcd. for $C_{23}H_{23}NO_2$ $[M+H]^+$: 346.1802, found: 346.1793.

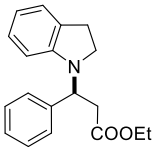


ethyl 3-(methyl(phenyl)amino)-3-phenylpropanoate (70c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 10:1) to give the title compound as a white solid (yield: 84%).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.42 – 7.30 (m, 3H), 7.30 – 7.23 (m, 4H), 6.87 (d, *J* = 7.9 Hz, 2H), 6.80 (t, *J* = 7.3 Hz, 1H), 5.67 (s, 1H), 3.77 (s, 3H), 2.79 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 173.8, 151.3, 137.3, 130.8, 130.1, 129.9, 129.6, 119.6, 114.9, 67.2, 53.5, 35.9.

ESI HRMS: calcd. for $C_{16}H_{17}NO_2$ $[M+H]^+$: 284.1645, found: 284.1659.



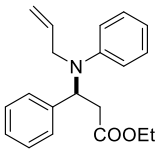
ethyl 3-(indolin-1-yl)-3-phenylpropanoate (71c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 10:1) to give the title compound as a yellow oil liquid (yield: 44%).

FT-IR: *v* (cm^{-1}): 3028, 2980, 2848, 1730, 1605, 1487, 1473, 1454, 1390, 1371, 1330, 1299, 1253, 1156, 1138, 1025, 952, 871, 842, 742, 698.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.35 – 7.28 (m, 4H), 7.28 – 7.23 (m, 1H), 7.09 – 6.97 (m, 2H), 6.68 – 6.54 (m, 2H), 5.28 (t, *J* = 7.7 Hz, 1H), 4.07 (q, *J* = 7.1 Hz, 2H), 3.40 (ddd, *J* = 9.3, 8.4, 7.3 Hz, 1H), 3.14 (ddd, *J* = 9.8, 8.5, 7.4 Hz, 1H), 3.04 – 2.93 (m, 2H), 2.93 – 2.82 (m, 2H), 1.15 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 173.0, 152.2, 140.4, 131.1, 129.9, 129.0, 129.0, 128.7, 126.0, 118.7, 108.5, 62.1, 57.2, 48.5, 37.5, 29.6, 15.5.

ESI HRMS: calcd. for $C_{19}H_{21}NO_2$ $[M+H]^+$: 296.1645, found: 296.1650.

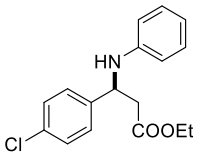


ethyl 3-(allyl(phenyl)amino)-3-phenylpropanoate (72c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 10:1) to give the title compound as a white solid (yield: 46%).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.35 – 7.22 (m, 7H), 6.92 (d, *J* = 7.9 Hz, 2H), 6.80 – 6.72 (m, 1H), 5.76 – 5.56 (m, 2H), 5.14 – 4.96 (m, 2H), 4.07 (qd, *J* = 7.1, 2.0 Hz, 2H), 3.71 – 3.65 (m, 2H), 3.01 (dd, *J* = 7.5, 0.9 Hz, 2H), 1.17 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 173.0, 150.0, 141.3, 137.1, 130.5, 129.9, 128.9, 128.7, 119.3, 117.6, 116.4, 62.2, 60.4, 50.1, 38.6, 15.5.

ESI HRMS: calcd. for $C_{20}H_{23}NO_2$ $[M+H]^+$: 310.1802, found: 310.1821.

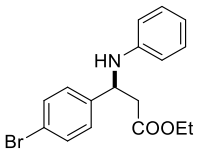


2-(thiophen-2-yl)ethyl-4-(2-methyl-10',11'-dihydrospiro[cyclopropane-1,5'
dibenzo[a,d][7]annulen]-2-yl)benzoate (73c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 10:1) to give the title compound as a yellow oil liquid (yield: 66%).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.29 (q, *J* = 8.6 Hz, 4H), 7.10 (dd, *J* = 8.5, 7.3 Hz, 2H), 6.68 (t, *J* = 7.3 Hz, 1H), 6.52 (dd, *J* = 8.7, 1.1 Hz, 2H), 4.83 – 4.73 (m, 1H), 4.59 (s, 1H), 4.10 (qd, *J* = 7.1, 2.5 Hz, 2H), 2.81 – 2.71 (m, 2H), 1.19 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 172.3, 148.0, 142.2, 134.5, 130.6, 130.4, 129.2, 119.5, 115.1, 62.4, 55.85, 44.2, 15.6.

ESI HRMS: calcd. for $C_{17}H_{18}ClNO_2$ $[M+H]^+$: 304.1099, found: 304.1092.

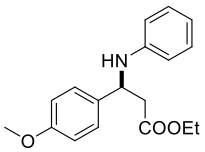


ethyl 3-(4-bromophenyl)-3-(phenylamino)propanoate (74c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 10:1) to give the title compound as a white solid (yield: 72%).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.49 – 7.42 (m, 2H), 7.31 – 7.23 (m, 2H), 7.16 – 7.06 (m, 2H), 6.70 (tt, *J* = 7.4, 1.1 Hz, 1H), 6.60 – 6.44 (m, 2H), 4.84 – 4.75 (m, 1H), 4.61 (s, 1H), 4.12 (qd, *J* = 7.1, 2.6 Hz, 2H), 2.84 – 2.73 (m, 2H), 1.21 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 172.3, 147.9, 142.8, 133.3, 131.2, 129.5, 122.6, 119.5, 115.1, 62.4, 55.9, 44.1, 15.6.

ESI HRMS: calcd. for $C_{17}H_{18}BrNO_2$ $[M+H]^+$: 348.0594, found: 348.0607.

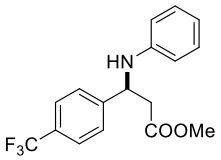


ethyl 3-(4-methoxyphenyl)-3-(phenylamino)propanoate (75c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 10:1) to give the title compound as a white solid (yield: 44%).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.35 – 7.26 (m, 2H), 7.10 (dd, *J* = 8.6, 7.3 Hz, 2H), 6.85 (d, *J* = 8.7 Hz, 2H), 6.66 (tt, *J* = 7.3, 1.1 Hz, 1H), 6.55 (dd, *J* = 8.7, 1.1 Hz, 2H), 4.78 (t, *J* = 6.7 Hz, 1H), 4.51 (s, 1H), 4.09 (qq, *J* = 6.9, 3.6 Hz, 2H), 3.77 (s, 3H), 2.77 (d, *J* = 6.7 Hz, 2H), 1.19 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 172.7, 160.3, 148.3, 135.6, 130.6, 128.8, 119.1, 115.5, 115.1, 62.2, 56.7, 55.9, 44.4, 15.6.

ESI HRMS: calcd. for $C_{18}H_{21}NO_3$ $[M+H]^+$: 300.1594, found: 300.1583.

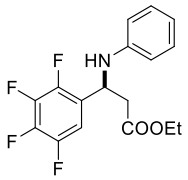


methyl 3-(phenylamino)-3-(4-(trifluoromethyl)phenyl)propanoate (76c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 10:1) to give the title compound as a white solid (yield: 41%).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.58 (d, *J* = 8.0 Hz, 2H), 7.51 (s, 2H), 7.11 (dd, *J* = 8.6, 7.3 Hz, 2H), 6.69 (tt, *J* = 7.3, 1.1 Hz, 1H), 6.52 (dd, *J* = 8.8, 1.1 Hz, 2H), 4.91 – 4.86 (m, 1H), 4.63 (s, 1H), 3.65 (s, 3H), 2.88 – 2.75 (m, 2H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 172.6, δ 147.8 (d, *J* = 6.5 Hz), 130.7, 128.1, 127.26 (q, *J* = 3.7 Hz), 126.8, 124.4, 119.7, 115.1, 56.0, 53.5, 43.8.

ESI HRMS: calcd. for $C_{17}H_{16}F_3NO_2$ $[M+H]^+$: 324.1206, found: 324.1193.



ethyl 3-(phenylamino)-3-(2,3,4,5-tetrafluorophenyl)propanoate (77c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 10:1) to give the title compound as a white solid (yield: 47%).

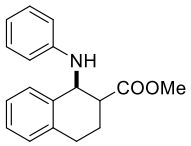
FT-IR: *v* (cm^{-1}): 3371, 3070, 2984, 2939, 1714, 1602, 1520, 1419, 1379, 1348, 1295, 1240, 1180, 1123, 1073, 1020, 953, 860, 832, 757, 716, 578.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.20 – 7.09 (m, 2H), 7.03 (dddd, *J* = 10.5, 8.3, 6.2, 2.5 Hz, 1H), 6.73 (tt, *J* = 7.4, 1.1 Hz, 1H), 6.52 (dd, *J* = 8.7, 1.1 Hz, 2H), 5.07 (s, 1H), 4.73 (s, 1H), 4.12 (q, *J* = 7.1 Hz, 2H), 2.93 – 2.73 (m, 2H), 1.21 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 171.8, 147.0, 141.6, 139.8, 130.8, 120.2, 114.9, 110.7, 110.6, 110.6, 110.5, 62.6, 50.0, 41.9, 15.5.

^{19}F NMR (282 MHz, $CDCl_3$) δ -138.16, -144.86, -154.89, -156.44.

ESI HRMS: calcd. for $C_{17}H_{15}F_4NO_2$ $[M+H]^+$: 342.1112, found: 342.1121.



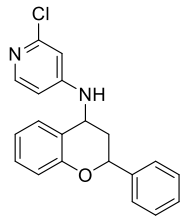
methyl 1-(phenylamino)-1,2,3,4-tetrahydronaphthalene-2-carboxylate (78c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 7:1) to give the title compound as a yellow solid (yield: 54%, dr =2:3).

FT-IR: *v* (cm^{-1}): 3391, 3021, 2949, 1728, 1599, 1498, 1451, 1434, 1373, 1310, 1277, 1251, 1220, 1170, 1115, 1087, 1068, 993, 868, 748, 692.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.39 (d, *J* = 7.3 Hz, 1H), 7.21 – 7.14 (m, 3H), 7.10 (d, *J* = 7.0 Hz, 2H), 6.76 – 6.69 (m, 2H), 6.67 (dd, *J* = 8.7, 1.1 Hz, 1H), 5.04 (dd, *J* = 28.9, 5.7 Hz, 1H), 3.93 (s, 1H), 3.63 (s, 2H), 3.57 (s, 1H), 2.98 (td, *J* = 7.0, 4.7 Hz, 1H), 2.95 – 2.77 (m, 2H), 2.20 – 2.07 (m, 2H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 176.0, 175.1, 149.0, 148.6, 139.1, 138.5, 137.6, 136.8, 130.9, 130.8, 130.4, 130.3, 130.2, 129.9, 128.8, 128.8, 127.9, 127.8, 119.4, 119.1, 115.2, 114.5, 54.9, 54.7, 53.3, 53.04, 46.5, 46.1, 29.1, 28.6, 24.8, 22.9.

ESI HRMS: calcd. for $C_{18}H_{19}NO_2$ $[M+H]^+$: 282.1489, found: 282.1496.



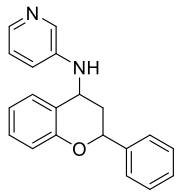
2-chloro-*N*-(2-phenylchroman-4-yl)pyridin-4-amine (79c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 2:1) to give the title compound as a white solid (yield: 36%, dr =2:3).

FT-IR: *v* (cm^{-1}): 3393, 3245, 3036, 2923, 1592, 1485, 1452, 1402, 1267, 1227, 1094, 1074, 904, 816, 756, 725, 698.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.91 (dd, *J* = 15.6, 5.8 Hz, 1H), 7.46 – 7.38 (m, 4H), 7.38 – 7.31 (m, 1H), 7.31 (s, 1H), 7.28 (s, 1H), 7.26 – 7.19 (m, 1H), 6.98 (dd, *J* = 15.7, 7.7 Hz, 2H), 6.55 (d, *J* = 2.4 Hz, 1H), 6.45 (dd, *J* = 5.8, 2.2 Hz, 1H), 5.10 (dd, *J* = 11.7, 2.2 Hz, 1H), 4.78 – 4.58 (m, 1H), 2.35 (d, *J* = 14.1 Hz, 1H), 2.24 – 2.08 (m, 1H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 156.7, 156.5, 156.3, 154.8, 153.8, 150.9, 150.9, 141.7, 141.5, 131.8, 131.5, 131.0, 130.2, 130.2, 129.8, 129.8, 128.7, 127.6, 127.4, 123.9, 122.7, 122.7, 121.8, 119.2, 118.9, 108.9, 107.6, 49.6, 48.3, 37.8, 36.5.

ESI HRMS: calcd. for $C_{20}H_{17}ClN_2O$ $[M+H]^+$:337.1002, found: 337.0992.



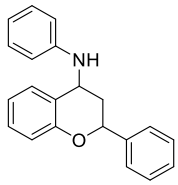
***N*-(2-phenylchroman-4-yl)pyridin-3-amine (80c)**: Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 2:1) to give the title compound as a white solid (yield: 41%, dr =2:3).

FT-IR: *v* (cm^{-1}): 3233, 3038, 2958, 2925, 1580, 1530, 1481, 1454, 1418, 1298, 1227, 1150, 1099, 1074, 1057, 899, 758, 697.

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.11 (d, *J* = 13.2 Hz, 1H), 7.99 (d, *J* = 12.2 Hz, 1H), 7.44 – 7.37 (m, 4H), 7.35 – 7.30 (m, 2H), 7.15 (d, *J* = 4.5 Hz, 1H), 7.02 – 6.94 (m, 3H), 5.16 (d, *J* = 9.5 Hz, 1H), 4.62 (s, 1H), 4.30 (s, 1H), 2.61 (dd, *J* = 13.5, 5.8 Hz, 1H), 2.37 (dt, *J* = 14.0, 2.2 Hz, 1H), 2.23 – 2.15 (m, 1H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 156.6, 156.6, 142.0, 141.9, 140.1, 140.0, 137.3, 137.0, 131.8, 131.2, 130.7, 130.1, 130.1, 129.7, 129.6, 128.8, 127.6, 127.4, 125.6, 125.0, 122.9, 122.6, 122.5, 121.0, 120.3, 119.1, 118.7, 50.3, 48.7, 38.1, 36.5.

ESI HRMS: calcd. for $C_{20}H_{18}N_2O$ $[M+H]^+$:303.1492, found: 303.1494.

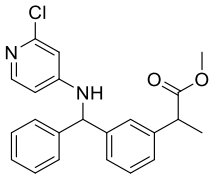


***N*,2-diphenylchroman-4-amine (81c)**: Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 5:1) to give the title compound as a white solid (yield: 39%, dr =2:3).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.42 (d, *J* = 7.1 Hz, 2H), 7.37 (t, *J* = 7.4 Hz, 2H), 7.32 (dd, *J* = 7.5, 2.6 Hz, 2H), 7.25 – 7.21 (m, 3H), 6.97 (dd, *J* = 17.7, 7.8 Hz, 2H), 6.73 (d, *J* = 29.2 Hz, 3H), 5.18 (d, *J* = 9.6 Hz, 1H), 4.63 (s, 1H), 4.11 (s, 1H), 2.44 (d, *J* = 13.9 Hz, 1H), 2.30 – 2.06 (m, 1H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 156.7, 142.3, 132.0, 131.0, 130.0, 129.5, 127.7, 122.4, 118.9, 114.2, 36.8.

ESI HRMS: calcd. for $C_{21}H_{19}NO$ $[M+H]^+$:302.1439, found: 302.1547.



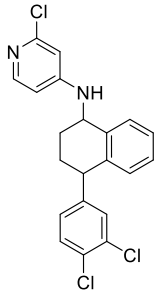
methyl 2-(3-(((2-chloropyridin-4-yl)amino)(phenyl)methyl)phenyl)propanoate (82c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 10:1) to give the title compound as a white solid (yield: 54%).

FT-IR: *v* (cm^{-1}): 3230, 2979, 1731, 1592, 1495, 1451, 1401, 1376, 1331, 1265, 1231, 1196, 1169, 1131, 1073, 1028, 981, 908, 823, 735, 699.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.87 (d, *J* = 5.8 Hz, 1H), 7.35 (t, *J* = 7.2 Hz, 2H), 7.29 (q, *J* = 6.9, 6.4 Hz, 4H), 7.25 (s, 1H), 7.22 (s, 1H), 7.15 (d, *J* = 7.7 Hz, 1H), 6.40 (d, *J* = 2.1 Hz, 1H), 6.33 (dd, *J* = 5.8, 2.2 Hz, 1H), 5.55 (d, *J* = 5.1 Hz, 1H), 5.03 (d, *J* = 5.0 Hz, 1H), 3.70 (q, *J* = 7.2 Hz, 1H), 3.61 (d, *J* = 8.7 Hz, 3H), 1.46 (dd, *J* = 7.2, 2.7 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 176.2, 155.8, 153.3, 150.6, 142.8, 142.6, 142.1, 130.8, 130.5, 129.5, 128.8, 128.1, 127.6, 109.3, 108.7, 63.2, 53.5, 46.8, 20.0.

ESI HRMS: calcd. for $C_{22}H_{21}ClN_2O_2$ $[M+H]^+$:381.1364, found: 381.1349.



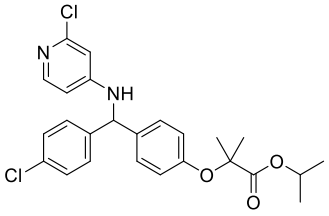
2-chloro-*N*-(4-(3,4-dichlorophenyl)-1,2,3,4-tetrahydronaphthalen-1-yl) pyridin-4-amine (83c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 10:1) to give the title compound as a white solid (yield: 57%).

FT-IR: *v* (cm^{-1}): 3421, 3230, 3116, 3061, 3020, 2935, 2860, 1594, 1504, 1467, 1397, 1320, 1236, 1129, 1101, 1073, 1028, 982, 819, 762, 743, 616.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.95 (d, *J* = 5.6 Hz, 1H), 7.37 (t, *J* = 7.8 Hz, 2H), 7.27 (d, *J* = 7.6 Hz, 1H), 7.21 (t, *J* = 7.5 Hz, 1H), 7.13 (d, *J* = 2.1 Hz, 1H), 6.93 – 6.85 (m, 2H), 6.57 (s, 1H), 6.46 (d, *J* = 3.7 Hz, 1H), 4.79 (s, 2H), 4.18 (s, 1H), 2.34 – 2.22 (m, 1H), 2.19 – 2.04 (m, 1H), 1.92 – 1.78 (m, 2H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 156.0, 153.6, 150.6, 147.9, 139.9, 138.2, 133.9, 132.0, 131.9, 131.8, 130.0, 129.7, 129.5, 128.9, 108.7, 107.6, 52.1, 45.7, 30.8, 27.7.

ESI HRMS: calcd. for $C_{21}H_{17}Cl_3N_2$ $[M+H]^+$:403.0530, found: 403.0528.



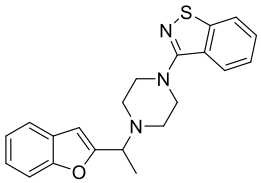
isopropyl 2-(4-((4-chlorophenyl)((2-chloropyridin-4-yl)amino)methyl)phenoxy)acetate (84c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 10:1) to give the title compound as a white solid (yield: 68%).

FT-IR: *v* (cm^{-1}): 3234, 2983, 1725, 1593, 1504, 1490, 1466, 1405, 1384, 1333, 1283, 1235, 1177, 1148, 1101, 1075, 1013, 981, 822, 734.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.86 (d, J = 5.8 Hz, 1H), 7.31 (d, J = 8.5 Hz, 2H), 7.21 (d, J = 8.5 Hz, 2H), 7.09 (d, J = 8.6 Hz, 2H), 6.80 (d, J = 8.7 Hz, 2H), 6.37 (d, J = 2.1 Hz, 1H), 6.31 (dd, J = 5.8, 2.1 Hz, 1H), 5.48 (d, J = 5.0 Hz, 1H), 5.11 – 5.02 (m, 1H), 5.00 (t, J = 4.4 Hz, 1H), 1.58 (s, 6H), 1.19 (dd, J = 6.2, 2.3 Hz, 6H).

^{13}C NMR (75 MHz, $CDCl_3$) δ 173.4, 155.5, 154.2, 151.9, 149.1, 139.3, 133.7, 133.6, 129.2, 128.6, 128.3, 119.1, 107.9, 107.2, 69.1, 60.6, 25.4, 25.4, 21.6.

ESI HRMS: calcd. for $C_{25}H_{24}Cl_2N_2O_3$ $[M+H]^+$:473.1393, found: 473.1391.

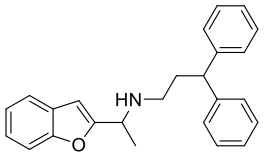


3-(4-(1-(benzofuran-2-yl)ethyl)piperazin-1-yl)benzo[d]isothiazole (85c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 10:1) to give the title compound as a white solid (yield: 51%).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.85 (d, *J* = 8.2 Hz, 1H), 7.78 (d, *J* = 8.1 Hz, 1H), 7.55 (d, *J* = 6.7 Hz, 1H), 7.50 (d, *J* = 9.0 Hz, 1H), 7.45 – 7.41 (m, 1H), 7.34 – 7.29 (m, 1H), 7.27 (d, *J* = 7.3 Hz, 1H), 7.23 – 7.19 (m, 1H), 6.60 (d, *J* = 5.7 Hz, 1H), 3.97 (q, *J* = 6.9 Hz, 1H), 3.66 – 3.51 (m, 4H), 2.86 (dt, *J* = 10.5, 5.6 Hz, 2H), 2.79 (dt, *J* = 10.9, 5.5 Hz, 2H), 1.59 (d, *J* = 7.0 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 163.8, 154.8, 152.7, 128.1, 127.5, 123.9, 122.8, 122.7, 120.5, 111.3, 111.2, 104.3, 101.8, 64.2, 58.0, 50.2, 49.5, 21.5, 16.0.

ESI HRMS: calcd. for $C_{21}H_{21}N_3OS$ $[M+H]^+$:364.1478, found: 364.1472.



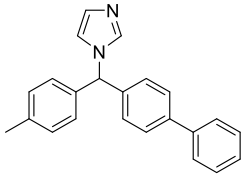
***N*-(1-(benzofuran-2-yl)ethyl)-3,3-diphenylpropan-1-amine (86c)**: Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 10:1) to give the title compound as a white solid (yield: 56%).

FT-IR: ν (cm^{-1}): 3026, 2929, 1599, 1493, 1452, 1371, 1299, 1253, 1154, 1119, 1030, 1007, 938, 882, 810, 738, 697.

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.54 – 7.50 (m, 1H), 7.46 (d, J = 8.1 Hz, 1H), 7.28 – 7.20 (m, 10H), 7.20 – 7.10 (m, 2H), 6.45 (s, 1H), 4.01 (t, J = 7.8 Hz, 1H), 3.93 (q, J = 6.8 Hz, 1H), 2.66 – 2.47 (m, 2H), 2.34 – 2.22 (m, 2H), 1.48 (d, J = 6.8 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 161.7, 156.1, 146.2, 146.0, 129.9, 129.79, 129.3, 129.2, 127.6, 125.1, 124.0, 122.1, 112.5, 103.8, 53.1, 50.4, 47.0, 37.2, 21.8.

ESI HRMS: calcd. for $C_{25}H_{25}NO$ $[M+H]^+$: 356.2009, found: 356.2015.



1-([1,1'-biphenyl]-4-yl(p-tolyl)methyl)-1*H*-imidazole (87c): Prepared according to the general procedure A. Following workup, the product was purified by column chromatography (hexane : EtOAc, 1:1) to give the title compound as a clear oily liquid (yield: 52%).

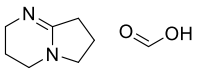
FT-IR: ν (cm^{-1}): 3126, 3107, 2984, 2923, 2817, 1604, 1597, 1509, 1446, 1382, 1349, 1300, 1268, 1241, 1198, 1129, 1067, 1022, 989, 961, 857, 823, 753.

^{1}H NMR (500 MHz, DMSO-d_6) δ 7.67 (t, J = 8.3 Hz, 5H), 7.46 (t, J = 7.7 Hz, 2H), 7.37 (t, J = 7.4 Hz, 1H), 7.21 (dd, J = 8.1, 5.5 Hz, 4H), 7.14 – 7.07 (m, 3H), 6.98 (s, 1H), 6.87 (s, 1H), 2.31 (s, 3H).

^{13}C NMR (126 MHz, DMSO-d_6) δ 140.2, 140.0, 139.8, 137.8, 137.7, 137.4, 129.8, 129.4, 129.2, 128.8, 128.3, 128.1, 127.4, 127.2, 119.7, 63.3, 21.1.

ESI HRMS: calcd. for $C_{23}H_{20}N_2$ $[M+H]^+$: 325.1699, found: 325.1713.

Characterization data for the formic acid

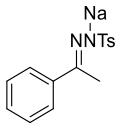


1,5-Diazabicyclo[4.3.0]non-5-ene formic acid salt (**1m**): Following the synthesis method of DBN·HCOOH, it was obtained as a white solid by recrystallization (isolated yield: 80%)

^{1}H NMR (500 MHz, DMSO-d_6) δ 8.47 (s, 1H), 3.58 (t, *J* = 7.1 Hz, 2H), 3.37 (t, *J* = 5.9 Hz, 2H), 3.28 (t, *J* = 5.8 Hz, 2H), 2.02 (p, *J* = 7.6 Hz, 2H), 1.89 (p, *J* = 5.9 Hz, 2H).

^{13}C NMR (126 MHz, DMSO-d_6) δ 166.3, 164.1, 53.2, 42.4, 37.9, 30.0, 18.9, 18.8.

Characterization data for the *N*-tosylhydrazone anion

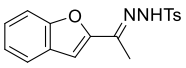


sodium (E)-2-(1-phenylethylidene)-1-tosylhydrazin-1-ide (10a′): Following the synthesis method of the *N*-tosylhydrazone anion **10a′**, it was obtained as a white solid by recrystallization (isolated yield: 98%).

^{1}H NMR (500 MHz, DMSO-d_6) δ 7.75 (d, *J* = 8.2 Hz, 2H), 7.65 – 7.57 (m, 2H), 7.39 – 7.24 (m, 5H), 2.34 (s, 3H), 2.12 (s, 3H).

^{13}C NMR (126 MHz, DMSO-d_6) δ 142.5, 138.8, 129.6, 128.8, 128.6, 127.8, 125.9, 21.4, 14.2.

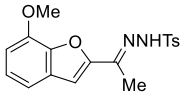
Characterization data for the *N*-tosylhydrazones



***N*'-(1-(benzofuran-2-yl)ethylidene)-4-methylbenzenesulfonohydrazide (1a):** Following the general procedure B, it was obtained as a white solid by recrystallization (isolated yield: 80%). **1a** was known in the published literature.[5]

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.95 (d, *J* = 8.4 Hz, 2H), 7.73 (s, 1H), 7.62 – 7.43 (m, 2H), 7.38 – 7.30 (m, 3H), 7.23 (ddd, *J* = 8.1, 7.3, 1.0 Hz, 1H), 7.05 (d, *J* = 1.0 Hz, 1H), 2.41 (s, 3H), 2.18 (s, 3H).

ESI HRMS: calculated for $C_{17}H_{16}N_2O_3S$ [M+Na]$^+$: 351.0779, found: 351.0763.

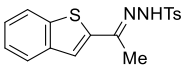


***N*'-(1-(7-methoxybenzofuran-2-yl)ethylidene)-4-methylbenzenesulfonohydrazide (2a)**: Following the general procedure B, it was obtained as a yellow solid by recrystallization (isolated yield: 99%).

^{1}H NMR (500 MHz, DMSO-d_6) δ 10.79 (s, 1H), 7.81 (d, *J* = 8.0 Hz, 2H), 7.40 (d, *J* = 8.3 Hz, 2H), 7.24 (s, 1H), 7.21 – 7.12 (m, 2H), 6.95 (dd, *J* = 7.7, 1.2 Hz, 1H), 3.93 (s, 3H), 2.35 (s, 3H), 2.19 (s, 3H).

^{13}C NMR (126 MHz, DMSO-d_6) *δ* 152.9, 144.9, 144.6, 143.6, 143.5, 136.2, 129.6, 129.4, 127.4, 124.1, 113.7, 108.2, 107.4, 55.8, 21.0, 13.9.

ESI HRMS: calculated for $C_{18}H_{18}N_2O_4S$ [M+Na]$^+$: 381.0885, found: 381.0876.

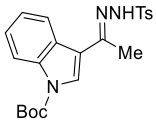


***N'*-(1-(benzo[b]thiophen-2-yl)ethylidene)-4-methylbenzenesulfonohydrazide (3a):** Following the general procedure B, it was obtained as a white solid by recrystallization (isolated yield: 75%).

^{1}H NMR (500 MHz, DMSO-d_6) δ 10.73 (s, 1H), 7.96 – 7.89 (m, 1H), 7.82 (td, *J* = 8.1, 1.8 Hz, 3H), 7.75 (d, *J* = 0.8 Hz, 1H), 7.44 (d, *J* = 7.9 Hz, 2H), 7.40 – 7.28 (m, 2H), 2.38 (s, 3H), 2.26 (s, 3H).

^{13}C NMR (151 MHz, DMSO-d_6) δ 149.9, 144.0, 143.3, 140.0, 139.9, 136.4, 129.9, 128.1, 126.3, 125.1, 125.0, 124.8, 122.8, 21.5, 14.6.

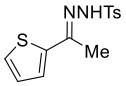
ESI HRMS: calculated for $C_{17}H_{16}N_2O_2S_2$ [M + H]$^+$: 345.0726, found: 345.0764.



***tert*-butyl 3-(1-(2-tosylhydrazono)ethyl)-1*H*-indole-1-carboxylate (4a):** Following the general procedure B, it was obtained as a yellow solid by recrystallization (isolated yield: 78%).

^{1}H NMR (500 MHz, DMSO-d_6) δ 10.56 (s, 1H), 8.10 – 8.02 (m, 2H), 8.01 (s, 1H), 7.87 (d, *J* = 8.2 Hz, 2H), 7.42 (d, *J* = 8.1 Hz, 2H), 7.35 (m, 1H), 7.25 (td, *J* = 7.6, 7.2, 1.0 Hz, 1H), 2.36 (s, 3H), 2.23 (s, 3H), 1.63 (s, 9H).

ESI HRMS: calculated for $C_{22}H_{25}N_3O_4S$ [M+H]$^+$: 428.1639, found: 428.1656.

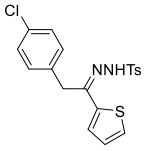


4-methyl-*N'*-(1-(thiophen-2-yl)ethylidene)benzenesulfonohydrazide (5a): Following the general procedure B, it was obtained as a white solid by recrystallization (isolated yield: 80%).**5a** was known in the published literature.[6]

^{1}H NMR (500 MHz, DMSO-d_6) δ 10.48 (s, 1H), 7.85 – 7.75 (m, 2H), 7.51 (dd, *J* = 5.1, 1.1 Hz, 1H), 7.43 – 7.37 (m, 2H), 7.36 (dd, *J* = 3.7, 1.2 Hz, 1H), 2.35 (s, 3H), 2.18 (s, 3H).

^{13}C NMR (126 MHz, DMSO-d_6) δ 150.19, 143.88, 143.01, 136.46, 129.83, 129.12, 128.16, 127.95, 21.47, 14.97.

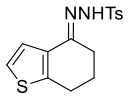
ESI HRMS: calculated for $C_{13}H_{14}N_2O_2S_2$ [M + H]$^+$: 295.0569, found: 295.0583.



***N'*-(2-(4-chlorophenyl)-1-(thiophen-2-yl)ethylidene)-4-methylbenzenesulfonohydrazide (6a):** Following the general procedure B, it was obtained as a yellow solid by recrystallization (isolated yield: 92%).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.74 – 7.69 (m, 2H), 7.42 (s, 1H), 7.34 (dd, *J* = 5.1, 1.1 Hz, 1H), 7.28 (d, *J* = 7.9 Hz, 2H), 7.18 (dd, *J* = 3.7, 1.1 Hz, 1H), 7.16 – 7.12 (m, 2H), 6.96 (dd, *J* = 5.1, 3.7 Hz, 1H), 6.94 – 6.87 (m, 2H), 3.93 (s, 2H), 2.43 (s, 3H).

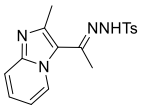
ESI HRMS: calculated for $C_{19}H_{17}ClN_2O_2S_2$ [M + H]$^+$: 405.0493, found: 405.0465.



***N'*-(6,7-dihydrobenzo[b]thiophen-4(5*H*)-ylidene)-4-methylbenzenesulfonohydrazide (7a):** Following the general procedure B, it was obtained as a yellow solid by recrystallization (isolated yield: 77%). **7a** was known in the published literature.[7]

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.90 (d, *J* = 8.3 Hz, 2H), 7.51 (s, 1H), 7.32 (dd, *J* = 8.3, 6.7 Hz, 3H), 7.01 (d, *J* = 5.3 Hz, 1H), 2.81 (t, *J* = 6.1 Hz, 2H), 2.43 (s, 2H), 2.41 (s, 3H), 2.05 – 1.93 (m, 2H).

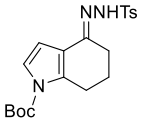
ESI HRMS: calculated for $C_{15}H_{16}N_2O_2S_2$ [M + H]$^+$: 321.0726, found: 321.0741.



4-methyl-*N'*-(1-(2-methylimidazo[1,2-a]pyridin-3-yl)ethylidene)benzenesulfonohydrazide (8a): Following the general procedure B, it was obtained as a yellow solid by recrystallization (isolated yield: 77%). **8a** was known in the published literature.[8]

^{1}H NMR (500 MHz, DMSO-d_6) δ 10.70 (s, 1H), 8.94 (d, *J* = 6.9 Hz, 1H), 7.86 (d, *J* = 8.0 Hz, 2H), 7.70 (d, *J* = 8.2 Hz, 1H), 7.54 (d, *J* = 9.0 Hz, 1H), 7.44 (s, 1H), 7.35 – 7.31 (m, 1H), 6.92 (t, *J* = 6.9 Hz, 1H), 2.50 (s, 3H), 2.35 (d, *J* = 12.7 Hz, 6H).

ESI HRMS: calculated for $C_{17}H_{18}N_4O_2S$ [M + H]$^+$: 343.1223, found: 343.1246.

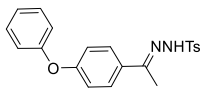


***Tert*-butyl-4-(2-tosylhydrazono)-4,5,6,7-tetrahydro-1*H*-indole-1-carboxylate (9a):** Following the general procedure B, it was obtained as a white solid by recrystallization (isolated yield: 80%).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.88 (d, *J* = 8.3 Hz, 2H), 7.29 (d, *J* = 8.1 Hz, 2H), 7.10 (d, *J* = 3.5 Hz, 1H), 6.45 (d, *J* = 3.5 Hz, 1H), 2.95 (t, *J* = 6.2 Hz, 2H), 2.40 (s, 3H), 2.37 (t, *J* = 6.5 Hz, 2H), 1.98 – 1.92 (m, 2H), 1.57 (s, 9H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 148.5, 143.5, 134.9, 129.5, 129.0, 127.8, 127.7, 120.7, 120.6, 106.6, 83.8, 27.5, 23.3, 23.2, 21.7, 21.1.

ESI HRMS: calculated for $C_{20}H_{25}N_3O_4S$ [M + H]$^+$: 404.1639, found: 404.1621.

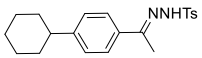


4-methyl-*N'*-(1-(4-phenoxyphenyl)ethylidene)benzenesulfonohydrazide (12a): Following the general procedure B, it was obtained as a yellow solid by recrystallization (isolated yield: 72%).

^{1}H NMR (500 MHz, DMSO-d_6) δ 10.64 (s, 1H), 8.02 – 7.95 (m, 2H), 7.84 – 7.76 (m, 2H), 7.55 (ddd, *J* = 9.8, 6.3, 2.0 Hz, 4H), 7.32 (tt, *J* = 7.3, 1.1 Hz, 1H), 7.22 – 7.16 (m, 2H), 7.15 – 7.08 (m, 2H), 2.50 (s, 3H), 2.32 (s, 3H).

^{13}C NMR (126 MHz, DMSO-d_6) δ 158.38, 156.48, 153.13, 143.77, 136.70, 132.89, 130.61, 129.93, 128.32, 128.07, 124.40, 119.57, 118.41, 21.47, 14.70.

ESI HRMS: calcd. for $C_{21}H_{20}FN_2O_3S$[M+Na]$^+$: 381.1273, found: 381.1269.

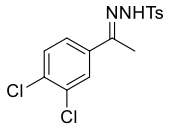


***N'*-(1-(4-cyclohexylphenyl)ethylidene)-4-methylbenzenesulfonohydrazide (13a):** Following the general procedure B, it was obtained as a yellow solid by recrystallization (isolated yield: 86%).

^{1}H NMR (500 MHz, DMSO-d_6) δ 10.43 (s, 1H), 7.84 – 7.75 (m, 2H), 7.55 – 7.48 (m, 2H), 7.44 – 7.34 (m, 2H), 7.25 – 7.15 (m, 2H), 2.49 (d, J = 1.9 Hz, 1H), 2.35 (s, 3H), 2.14 (s, 3H), 1.82 – 1.71 (m, 4H), 1.70 – 1.64 (m, 1H), 1.43 – 1.28 (m, 4H), 1.26 – 1.15 (m, 1H).

^{13}C NMR (126 MHz, DMSO-d_6) δ 153.2, 149.0, 143.3, 136.3, 135.1, 129.4, 127.6, 126.6, 126.0, 43.5, 33.8, 26.3, 25.6, 21.0, 14.3.

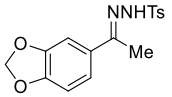
ESI HRMS: calcd. for $C_{21}H_{26}N_2O_2S$ $[M+H]^+$: 371.1793, found: 371.1771.



***N'*-(1-(3,4-dichlorophenyl)ethylidene)-4-methylbenzenesulfonohydrazide (14a)**: Following the general procedure B, it was obtained as a white solid by recrystallization (isolated yield: 86%). **14a** was known in the published literature.[9]

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.95 – 7.85 (m, 3H), 7.70 (d, *J* = 2.1 Hz, 1H), 7.47 (dd, *J* = 8.5, 2.1 Hz, 1H), 7.40 (d, *J* = 8.5 Hz, 1H), 7.36 – 7.30 (m, 2H), 2.43 (s, 3H), 2.12 (s, 3H).

ESI HRMS: calculated for $C_{15}H_{14}Cl_2N_2O_2S$ $[M+Na]^+$: 379.0051, found: 379.0038.

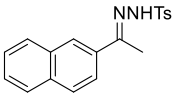


***N'*-(1-(benzo[d][1,3]dioxol-5-yl)ethylidene)-4-methylbenzenesulfonohydrazide (15a):** Following the general procedure B, it was obtained as a white solid by recrystallization (isolated yield: 78%).

^{1}H NMR (500 MHz, $CDCl_3$) δ 8.00 (s, 1H), 7.91 (d, *J* = 8.3 Hz, 2H), 7.31 (d, *J* = 8.1 Hz, 2H), 7.07 (dd, *J* = 8.2, 1.8 Hz, 1H), 6.74 (d, *J* = 8.2 Hz, 1H), 5.96 (s, 2H), 2.41 (s, 3H), 2.11 (s, 3H).

^{13}C NMR (151 MHz, DMSO-d_6) δ 153.4, 148.9, 148.0, 143.8, 136.7, 132.1, 129.9, 128.1, 121.2, 108.3, 106.0, 101.8, 21.5, 14.8.

ESI HRMS: calculated for $C_{16}H_{16}N_2O_4S$ $[M + H]^+$: 333.0904, found: 333.0917.

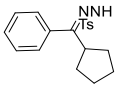


4-methyl-*N'*-(1-(naphthalen-2-yl)ethylidene)benzenesulfonohydrazide (16a): Following the general procedure B, it was obtained as a white solid by recrystallization (isolated yield: 95%). **16a** was known in the published literature.[10]

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.92 (d, *J* = 8.4 Hz, 3H), 7.86 – 7.77 (m, 3H), 7.49 – 7.39 (m, 2H), 7.38 – 7.30 (m, 4H), 2.46 (s, 3H), 2.31 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 154.1, 143.7, 136.0, 135.0, 133.4, 129.8, 129.2, 129.0, 128.0, 127.8, 126.0, 125.7, 125.5, 125.0, 124.5, 21.2, 17.7.

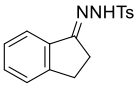
ESI HRMS: calculated for $C_{19}H_{18}N_2O_2S$ $[M+H]^+$: 339.1167, found: 339.1154.



***N'*-(cyclopentyl(phenyl)methylene)-4-methylbenzenesulfonohydrazide (17a):** Following the general procedure B, it was obtained as a white solid by recrystallization (isolated yield: 95%). **17a** was known in the published literature.[11]

^{1}H NMR (500 MHz, DMSO-d_6) δ 9.82 (s, 1H), 7.72 (d, *J* = 8.3 Hz, 2H), 7.46 – 7.40 (m, 3H), 7.38 (d, *J* = 8.1 Hz, 2H), 7.26 – 7.18 (m, 2H), 3.72 (s, 3H), 2.38 (s, 3H), 2.01 – 1.44 (m, 9H).

ESI HRMS: calculated for $C_{19}H_{22}N_2O_2S$ $[M+Na]^+$: 365.1294, found: 365.1305.

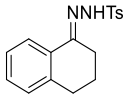


***N'*-(2,3-dihydro-1*H*-inden-1-ylidene)-4-methylbenzenesulfonohydrazide (18a):** Following the general procedure B, it was obtained as a white solid by recrystallization (isolated yield: 78%).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.93 (s, 2H), 7.70 (d, *J* = 7.7 Hz, 1H), 7.34 – 7.29 (m, 3H), 7.28 – 7.20 (m, 2H), 3.08 – 2.99 (m, 2H), 2.73 – 2.63 (m, 2H), 2.40 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 161.9, 147.9, 143.6, 136.6, 135.0, 130.4, 129.1, 127.6, 126.5, 124.9, 121.7, 27.9, 26.2, 21.1.

ESI HRMS: calculated for $C_{16}H_{16}N_2O_2S$ $[M + H]^+$: 301,1005, found: 301.1018.

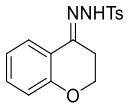


***N'*-(3,4-Dihydronaphthalen-1(2*H*)-ylidene)-4-methylbenzenesulfonohydrazide (19a) :** Following the general procedure B, it was obtained as a white solid by recrystallization (isolated yield: 90%).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.98 (d, *J* = 6.6 Hz, 1H), 7.93 (d, *J* = 8.3 Hz, 2H), 7.76 (s, 1H), 7.32 (d, *J* = 8.0 Hz, 2H), 7.26 – 7.17 (m, 2H), 7.09 (d, *J* = 8.0 Hz, 1H), 2.77 – 2.69 (m, 2H), 2.47 (t, *J* = 6.6 Hz, 2H), 2.41 (s, 3H), 1.89 (m, 2H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 143.7, 139.3, 135.0, 131.0, 129.1, 129.1, 127.9, 127.7, 126.0, 124.6, 28.8, 24.9, 21.2, 20.9.

ESI HRMS: calculated for $C_{17}H_{18}N_2O_2S$ $[M + H]^+$: 315.1163, found: 315.1181.

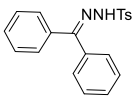


***N'*-(chroman-4-ylidene)-4-methylbenzenesulfonohydrazide (20a):** Following the general procedure B, it was obtained as a white solid by recrystallization (isolated yield: 95%).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.94 – 7.84 (m, 3H), 7.33 (d, *J* = 8.1 Hz, 2H), 7.26 – 7.21 (m, 1H), 6.93 (ddd, *J* = 8.2, 7.2, 1.2 Hz, 1H), 6.84 (dd, *J* = 8.2, 1.2 Hz, 1H), 4.21 (t, *J* = 6.2 Hz, 2H), 2.68 (t, *J* = 6.2 Hz, 2H), 2.42 (s, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 156.7, 147.5, 143.9, 134.7, 131.1, 129.2, 127.7, 124.5, 121.1, 119.2, 117.1, 64.0, 24.6, 21.2.

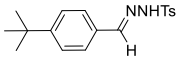
ESI HRMS: calculated for $C_{16}H_{16}N_2O_3S$ $[M + H]^+$: 317.0954, found: 317.0945.



***N'*-(diphenylmethylene)-4-methylbenzenesulfonohydrazide (21a):** Following the general procedure B, it was obtained as a white solid by recrystallization (isolated yield: 81%). **21a** was known in the published literature.[12]

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.86 (d, J = 8.3 Hz, 2H), 7.56 (s, 1H), 7.53 – 7.50 (m, 3H), 7.46 – 7.42 (m, 2H), 7.36 – 7.32 (m, 3H), 7.31 – 7.27 (m, 2H), 7.15 – 7.10 (m, 2H), 2.43 (s, 3H).

ESI HRMS: calcd. for $C_{20}H_{18}N_2O_2S$ $[M+Na]^+$: 373.0987, found: 373.0969.

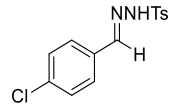


***N'*-(4-(*tert*-butyl)benzylidene)-4-methylbenzenesulfonohydrazide (23a):** Following the general procedure B, it was obtained as a white solid by recrystallization (isolated yield: 71%).

^{1}H NMR (500 MHz, Chloroform-*d*) δ 8.23 (s, 1H), 7.87 (d, J = 8.4 Hz, 2H), 7.76 (s, 1H), 7.50 (d, J = 8.5 Hz, 2H), 7.42 – 7.35 (m, 2H), 7.29 (d, J = 8.6 Hz, 2H), 2.39 (d, J = 2.0 Hz, 3H), 1.30 (d, J = 0.9 Hz, 9H).

^{13}C NMR (151 MHz, DMSO-d_6) δ 153.4, 147.4, 143.9, 136.6, 131.5, 130.1, 127.7, 127.0, 126.1, 35.0, 31.4, 21.5.

ESI HRMS: calcd. for $C_{18}H_{22}N_2O_2S$ $[M+Na]^+$: 331.1475, found: 331.1471.

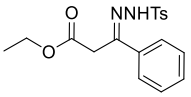


***N'*-(4-chlorobenzylidene)-4-methylbenzenesulfonohydrazide (24a):** Following the general procedure B, Following the general procedure, was obtained as a white solid (isolated yield: 88%).

^{1}H NMR (500 MHz, DMSO-d_6) δ 11.57 (s, 1H), 7.91 (s, 1H), 7.82 – 7.73 (m, 2H), 7.62 – 7.53 (m, 2H), 7.47 – 7.41 (m, 2H), 7.39 (d, J = 8.0 Hz, 2H), 2.33 (s, 3H).

^{13}C NMR (126 MHz, DMSO-d_6) δ 146.12, 143.97, 136.57, 135.01, 133.05, 130.15, 129.33, 128.83, 127.69, 21.45.

ESI HRMS: calculated for $C_{14}H_{13}ClN_2O_2S$ $[M + H]^+$: 309.0459, found: 309.0456.

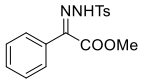


Ethyl 3-phenyl-3-(2-tosylhydrazono)propanoate (54a): Following the general procedure B, it was obtained as a white solid purified by chromatography (isolated yield: 79%).

^{1}H NMR (500 MHz, $CDCl_3$) δ 9.23 (s, 1H), 7.92 (d, J = 8.3 Hz, 2H), 7.76 – 7.65 (m, 2H), 7.38 – 7.34 (m, 3H), 7.33 – 7.28 (m, 2H), 4.15 (q, J = 7.2 Hz, 2H), 3.78 (s, 2H), 2.40 (s, 3H), 1.23 (t, J = 7.1 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 168.6, 148.3, 143.6, 135.5, 135.1, 129.6, 129.1, 128.1, 127.7, 125.9, 62.0, 34.8, 21.1, 13.5.

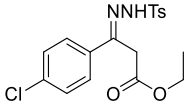
ESI HRMS: calculated for $C_{18}H_{20}N_2O_4S$ $[M + H]^+$: 361.1217, found: 361,1224.



methyl (Z)-2-phenyl-2-(2-tosylhydrazineylidene)acetate (70a): Following the general procedure B, it was obtained as a white solid purified by chromatography (isolated yield: 85%). **70a** was known in the published literature.[13]

^{1}H NMR (500 MHz, Chloroform-*d*) δ 11.58 (s, 1H), 7.87 (d, *J* = 8.3 Hz, 2H), 7.51 – 7.48 (m, 2H), 7.38 – 7.29 (m, 5H), 3.87 (s, 3H), 2.42 (s, 3H).

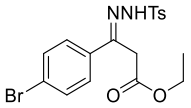
ESI HRMS: calculated for $C_{16}H_{16}N_2O_4S$ [M + Na]$^+$: 355.0723, found: 355.0729.



ethyl -3-(4-chlorophenyl)-3-(2-tosylhydrazineylidene)propanoate (73a): Following the general procedure B, it was obtained as a white solid purified by chromatography (isolated yield: 87%). **73a** was known in the published literature.[14]

^{1}H NMR (500 MHz, $CDCl_3$) δ 9.23 (s, H), 7.92 – 7.87 (m, 2H), 7.66 – 7.59 (m, 2H), 7.40 – 7.28 (m, 4H), 4.15 (q, *J* = 7.1 Hz, 2H), 3.74 (s, 2H), 2.40 (s, 3H), 1.22 (t, *J* = 7.1 Hz, 3H).

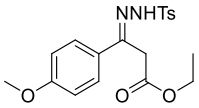
ESI HRMS: calculated for $C_{18}H_{19}ClN_2O_4S$ [M + H]$^+$: 395.0827, found: 395.0841.



ethyl -3-(4-bromophenyl)-3-(2-tosylhydrazineylidene)propanoate (74a): Following the general procedure B, it was obtained as a white solid purified by chromatography (isolated yield: 89%). **74a** was known in the published literature.[15]

^{1}H NMR (500 MHz, $CDCl_3$) δ 9.23 (s, 1H), 7.90 (d, *J* = 8.4 Hz, 2H), 7.56 (d, *J* = 8.7 Hz, 2H), 7.48 (d, *J* = 8.7 Hz, 2H), 7.31 (d, *J* = 7.7 Hz, 2H), 4.15 (q, *J* = 7.1 Hz, 2H), 3.74 (s, 2H), 2.41 (s, 3H), 1.23 (t, *J* = 7.1 Hz, 3H).

ESI HRMS: calculated for $C_{18}H_{19}BrN_2O_4S$ [M + H]$^+$: 395.0827, found: 395.0845.

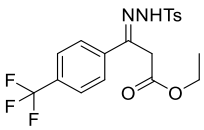


ethyl -3-(4-methoxyphenyl)-3-(2-tosylhydrazineylidene)propanoate (75a): Following the general procedure B, it was obtained as a white solid purified by chromatography (isolated yield: 82%).

^{1}H NMR (500 MHz, CDCl3) δ 9.23 (s, H), 7.92 – 7.87 (m, 2H), 7.66 – 7.59 (m, 2H), 7.40 – 7.28 (m, 4H), 4.15 (q, J = 7.1 Hz, 2H), 3.74 (s, 2H), 2.40 (s, 3H), 1.22 (t, J = 7.1 Hz, 3H).

^{13}C NMR (151 MHz, DMSO-d_6) δ 168.4, 160.8, 149.0, 143.9, 136.7, 130.0, 129.6, 128.1, 128.0, 128.0, 114.2, 61.1, 55.7, 33.7, 21.5, 14.5.

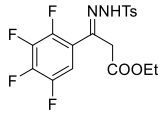
ESI HRMS: calculated for $C_{19}H_{22}N_2O_5S$ [M + Na]$^+$: 413.1142, found:413.1169.



ethyl -3-(2-tosylhydrazineylidene)-3-(4-(trifluoromethyl)phenyl)propanoate (76a): Following the general procedure B, it was obtained as a white solid purified by chromatography (isolated yield: 87%). **76a** was known in the published literature.[16]

^{1}H NMR (500 MHz, CDCl3) δ 9.23 (s, H), 7.92 – 7.87 (m, 2H), 7.66 – 7.59 (m, 2H), 7.40 – 7.28 (m, 4H), 4.15 (q, J = 7.1 Hz, 2H), 3.74 (s, 2H), 2.40 (s, 3H), 1.22 (t, J = 7.1 Hz, 3H).

ESI HRMS: calculated for $C_{19}H_{19}F_3N_2O_4S$ [M + Na]$^+$: 451.0910, found: 451.0928.

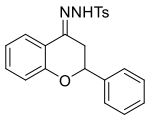


ethyl -3-(2,3,4,5-tetrafluorophenyl)-3-(2-tosylhydrazineylidene)propanoate(77a): Following the general procedure B, it was obtained as a white solid purified by chromatography (isolated yield: 80%).

^{1}H NMR (500 MHz, DMSO-d_6) δ 11.42 (s, 1H), 7.76 (d, *J* = 7.9 Hz, 2H), 7.41 (d, *J* = 7.8 Hz, 2H), 7.38 – 7.29 (m, 1H), 4.04 (q, *J* = 7.1 Hz, 2H), 3.84 (s, 2H), 2.38 (d, *J* = 6.0 Hz, 3H), 1.10 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (126 MHz, DMSO-d_6) δ 167.57, 147.08 (d, *J* = 80.9 Hz), 144.18, 142.68, 136.41, 130.01 (d, *J* = 16.6 Hz), 128.14, 127.84, 122.58, 110.83 (d, *J* = 20.6 Hz), 61.28, 35.97, 21.44, 14.26.

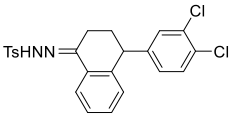
ESI HRMS: calculated for $C_{18}H_{18}F_4N_2O_4S$ [M + H]$^+$: 433.0840, found: 433.0831.



4-methyl-*N'*-(2-phenylchroman-4-ylidene)benzenesulfonohydrazide-4-methyl-N'-(2-phenylchroman-4-ylidene)benzenesulfonohydrazide (79a): Following the general procedure B, it was obtained as a white solid (isolated yield: 55%). **79a** was known in the published literature.[17]

^{1}H NMR (500 MHz, CDCl$_3$) δ 7.99 – 7.71 (m, 3H), 7.49 (s, 1H), 7.45 – 7.34 (m, 5H), 7.32 (d, *J* = 8.1 Hz, 3H), 7.03 – 6.88 (m, 2H), 5.11 – 4.99 (m, 1H), 3.02 (dd, *J* = 16.5, 3.1 Hz, 1H), 2.59 (dd, *J* = 16.5, 12.4 Hz, 1H), 2.42 (s, 3H).

ESI HRMS: calculated for $C_{22}H_{20}N_2O_3S$ [M + H]$^+$: 393.1267, found: 393,1279.

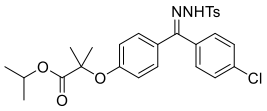


***N'*-(4-(3,4-dichlorophenyl)-3,4-dihydronaphthalen-1(2H)-ylidene)-4 methylbenzenesulfonohydrazide (83a)**: Following the general procedure B, was obtained as a white solid (isolated yield: 89%).

^{1}H NMR (500 MHz, $CDCl_3$) *δ* 8.07 (dd, *J* = 7.3, 2.0 Hz, 1H), 7.95 – 7.90 (m, 3H) 7.14 – 7.30 (m, 3H), 7.29 – 7.26 (m, 1H). 7.24 – 7.22 (m, 1H), 7.11 (d, *J* = 2.1 Hz, 1H), 6.84 (dd, *J* = 7.2, 1.9 Hz, 1H), 6.80 (dd, *J* = 8.3, 2.1 Hz, 1H), 4.05 (dd, *J* = 7.2, 4.3 Hz, 1H), 2.51 – 2.44 (m, 1H), 2.43 (s, 3H), 2.41 – 2.34 (m, 1H), 2.23 – 2.16 (m, 1H), 2.08 – 2.02 (m, 1H).

^{13}C NMR (126 MHz, DMSO-d_6) *δ* 145.0, 143.4, 140.6, 136.2, 131.8, 131.1, 130.6, 130.3, 129.7, 129.5, 129.1, 128.8, 128.6, 127.6, 127.0, 124.3, 42.7, 28.6, 23.3, 21.0.

ESI HRMS: calcd. for $C_{23}H_{20}Cl_2N_2O_2S$ [M+H]$^+$: 459.0701, found: 459.0712.

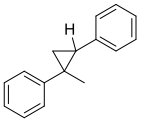


isopropyl 2-(4-((4-chlorophenyl)(2-tosylhydrazono)methyl)phenoxy)-2-methylpropanoate (84a): Following the general procedure B, was obtained as a white solid (isolated yield: 40%).

^{1}H NMR (300 MHz, $CDCl_3$) δ 7.84 (d, J = 8.0 Hz, 1H), 7.82 – 7.75 (m, 2H), 7.49 (d, J = 8.4 Hz, 1H), 7.36 (d, J = 8.5 Hz, 3H), 7.31 (d, J = 5.9 Hz, 1H), 7.27 (d, J = 3.0 Hz, 2H), 7.07 (d, J = 8.4 Hz, 1H), 6.72 (d, J = 8.9 Hz, 1H), 5.21 – 4.91 (m, 1H), 2.44 (d, J = 5.5 Hz, 6H), 2.05 – 2.00 (m, 3H), 1.58 (s, 3H), 1.19 (d, J = 6.3 Hz, 3H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 173.5, 157.5, 153.0, 144.8, 144.4, 136.4, 135.5, 133.3, 130.2, 130.1, 130.0, 129.8, 129.7, 129.7, 128.7, 128.4, 128.1, 118.1, 79.3, 69.3, 25.4, 21.8, 21.8, 21.9.

ESI HRMS: calcd. for $C_{27}H_{29}N_2ClO_5S$ $[M+Na]^+$: 529.1564, found: 529.1578.



(1-methylcyclopropane-1,2-diyl)dibenzene (10aa): *N*-tosylhydrazone (0.2 mmol), styrene (5.0 equiv.), DBN (1.5 equiv.), and DCM (2.0 mL) irradiated with 427nm 40W Kessil lamp at room temperature for 16 h. Following workup, the product was purified by column chromatography (hexane : EtOAc, 20:1) to give the title compound as a colorless oil (yield: 61%, dr =1:1).

^{1}H NMR (500 MHz, $CDCl_3$) δ 7.41 – 7.27 (m, 4H), 7.25 – 7.20 (m, 1H), 7.17 – 7.09 (m, 1H), 7.10 – 6.95 (m, 3H), 6.76 – 6.71 (m, 1H), 2.41 (dd, J = 8.8, 6.4 Hz, 1H), 1.54 (s, 1H), 1.45 (dd, J = 8.8, 5.1 Hz, 1H), 1.29 – 1.22 (m, 1H), 1.12 (s, 2H).

^{13}C NMR (126 MHz, Chloroform-*d*) δ 147.97, 142.44, 140.00, 139.24, 130.00, 129.30, 128.49, 128.21, 128.02, 127.63, 127.60, 127.04, 126.13, 126.00, 125.85, 125.18, 31.53, 31.25, 29.75, 27.07, 21.13, 19.81, 18.78.

ESI HRMS: calcd. for $C_{16}H_{16}$ $[M+Na]^+$: 232.1417, found: 232.1419.

8. References

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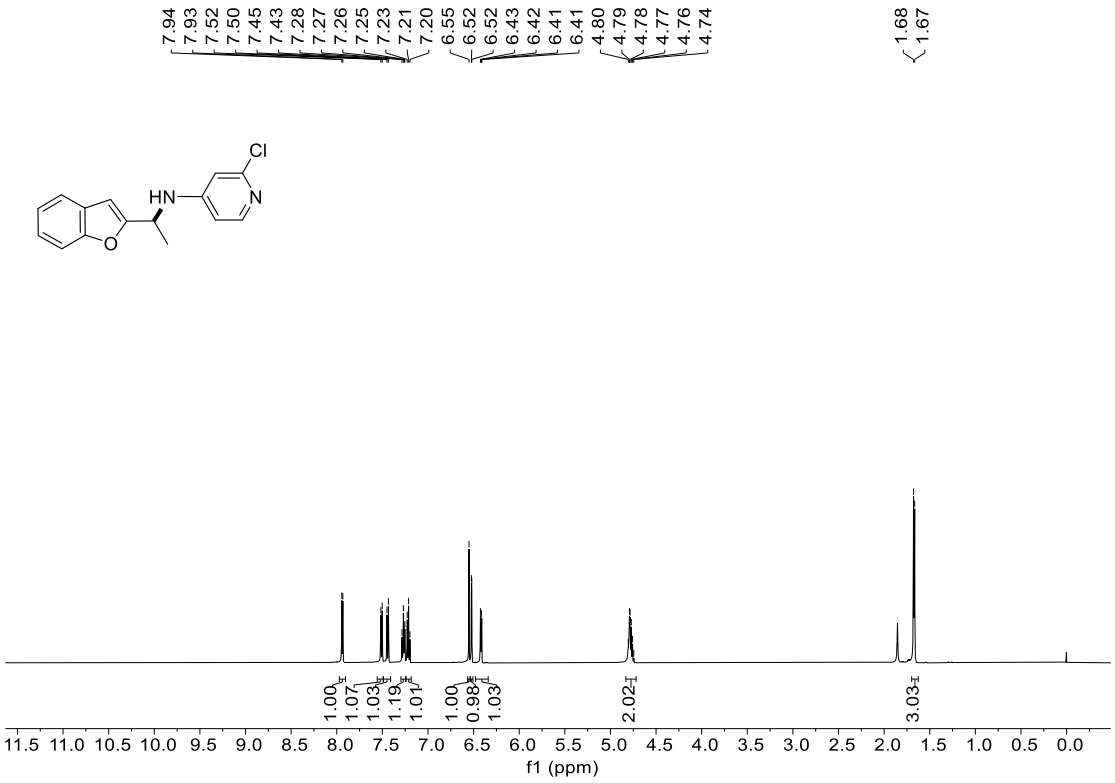
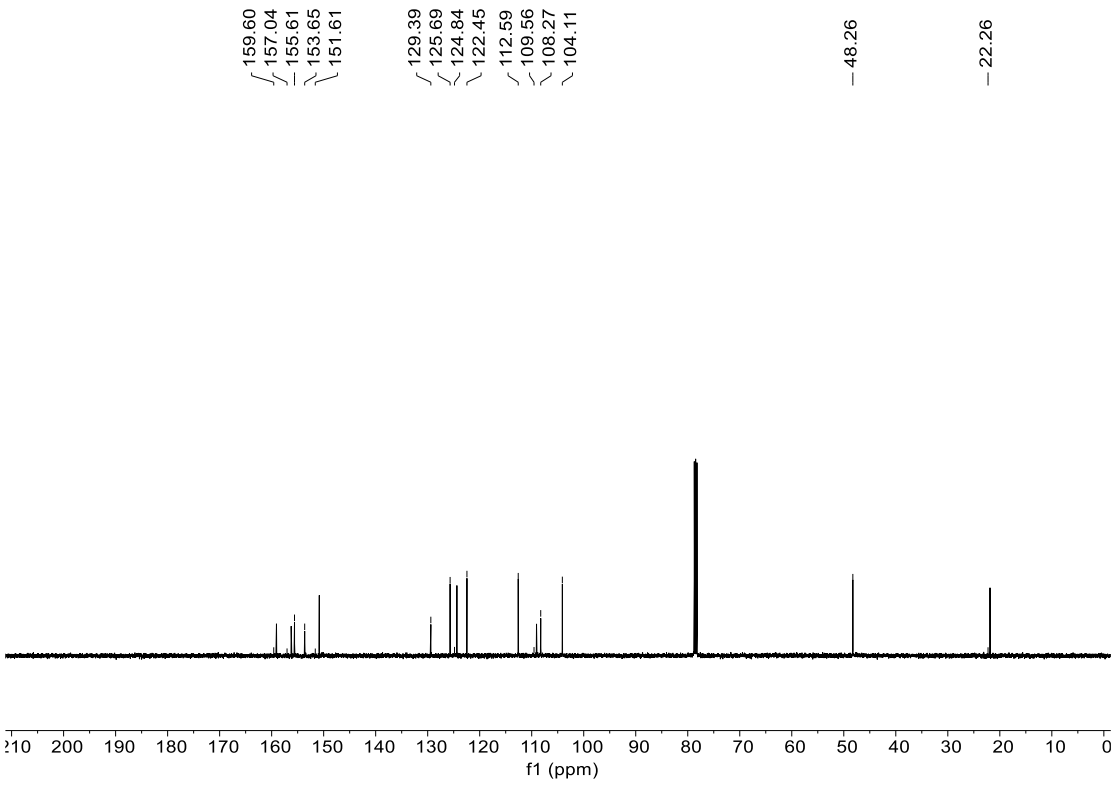
9. NMR spectra of products and synthesized substrates

***N*-(1-(benzofuran-2-yl)ethyl)-2-chloropyridin-4-amine (1c)**:

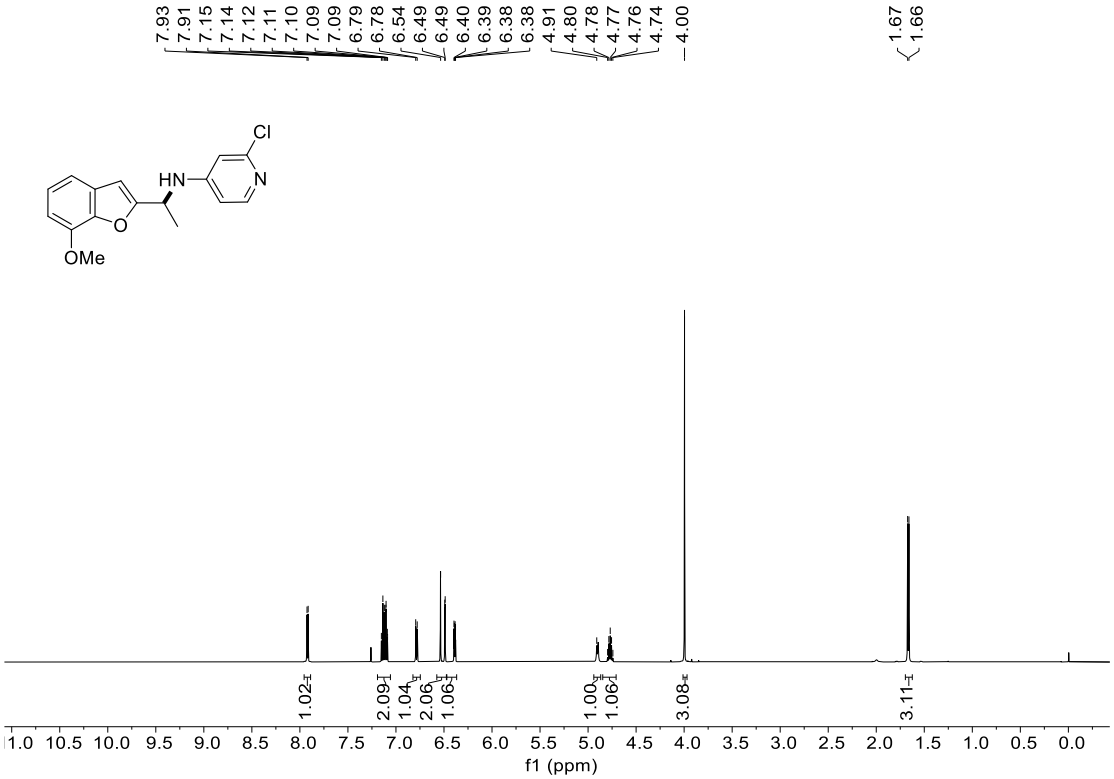


^{1}H NMR spectrum in $CDCl_3$.

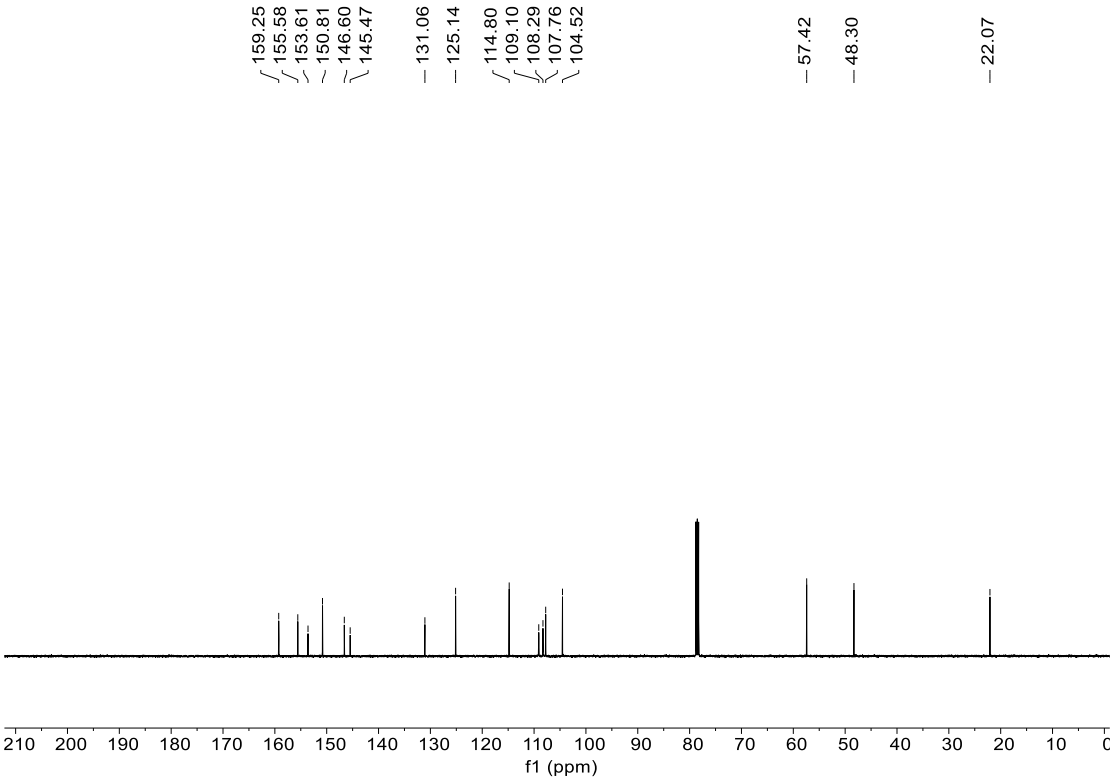


^{13}C NMR spectrum in $CDCl_3$.

2-chloro-*N*-(1-(7-methoxybenzofuran-2-yl)ethyl)pyridin-4-amine (2c):

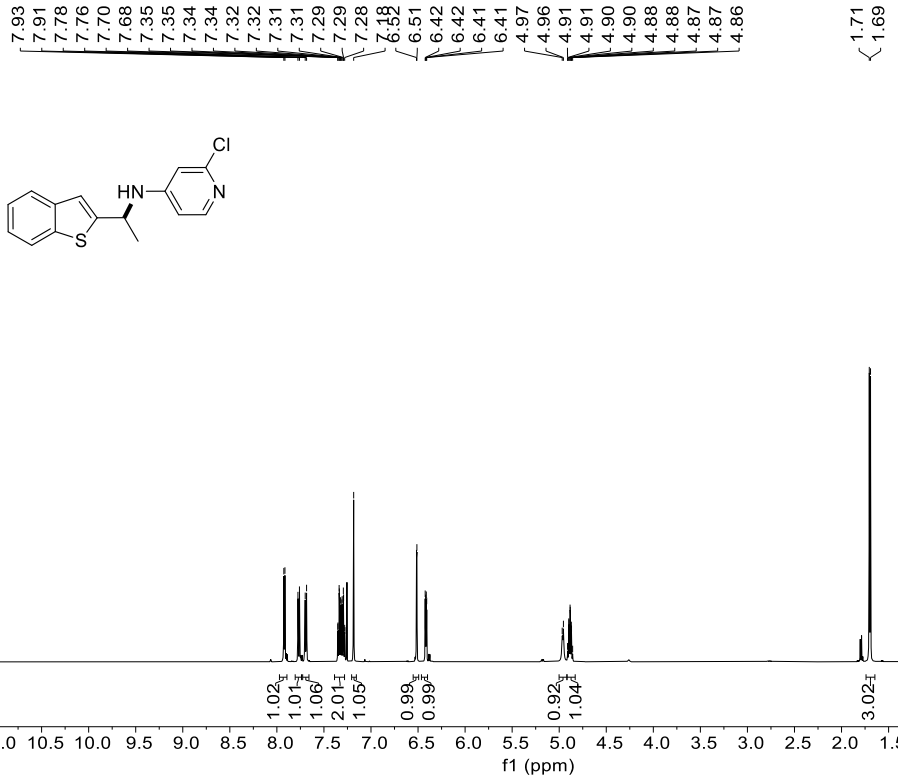


^{1}H NMR spectrum in $CDCl_3$.

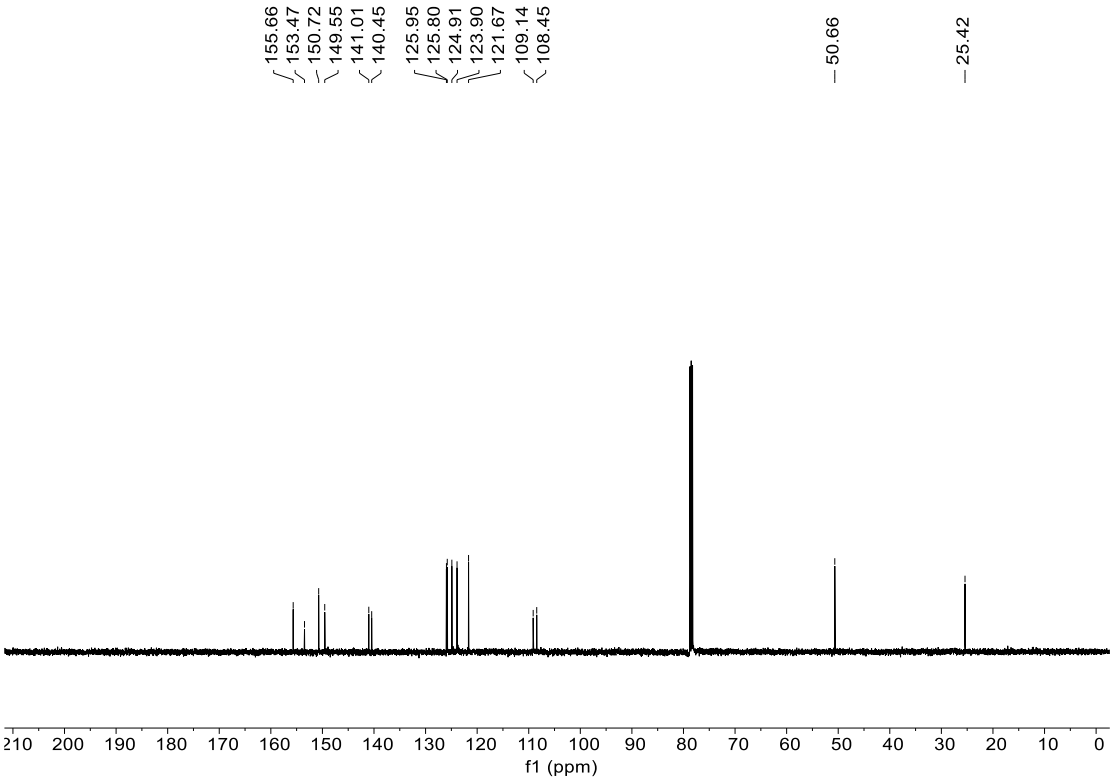


^{13}C NMR spectrum in $CDCl_3$.

***N*-(1-(benzo[b]thiophen-2-yl)ethyl)-2-chloropyridin-4-amine (3c)**:

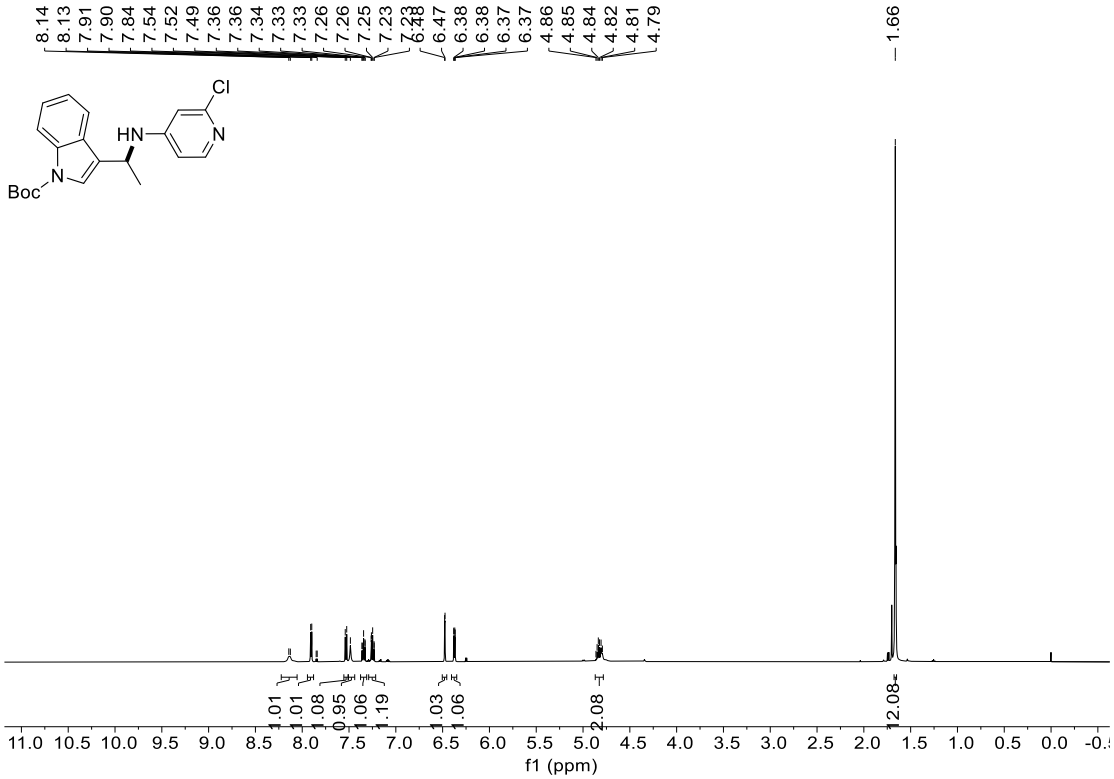


^{1}H NMR spectrum in $CDCl_3$.

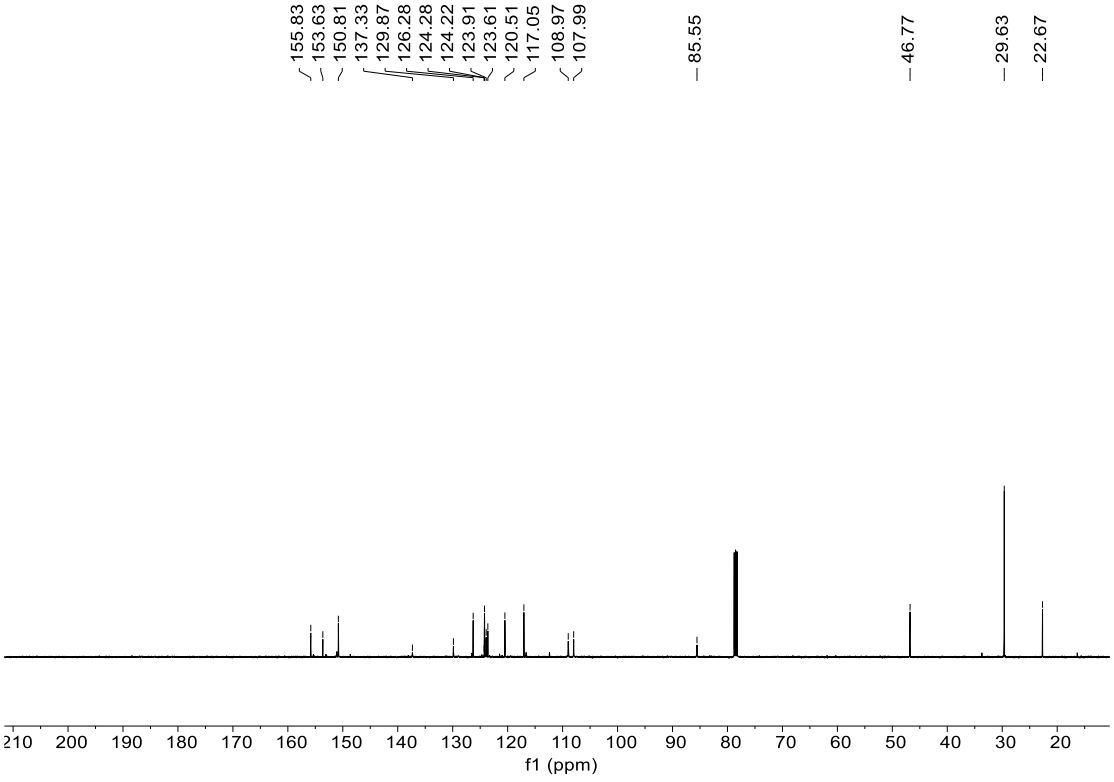


^{13}C NMR spectrum in $CDCl_3$.

***tert*-butyl-3-(1-((2-chloropyridin-4-yl)amino)ethyl)-1*H*-indole-1-carboxylate (4c)**:

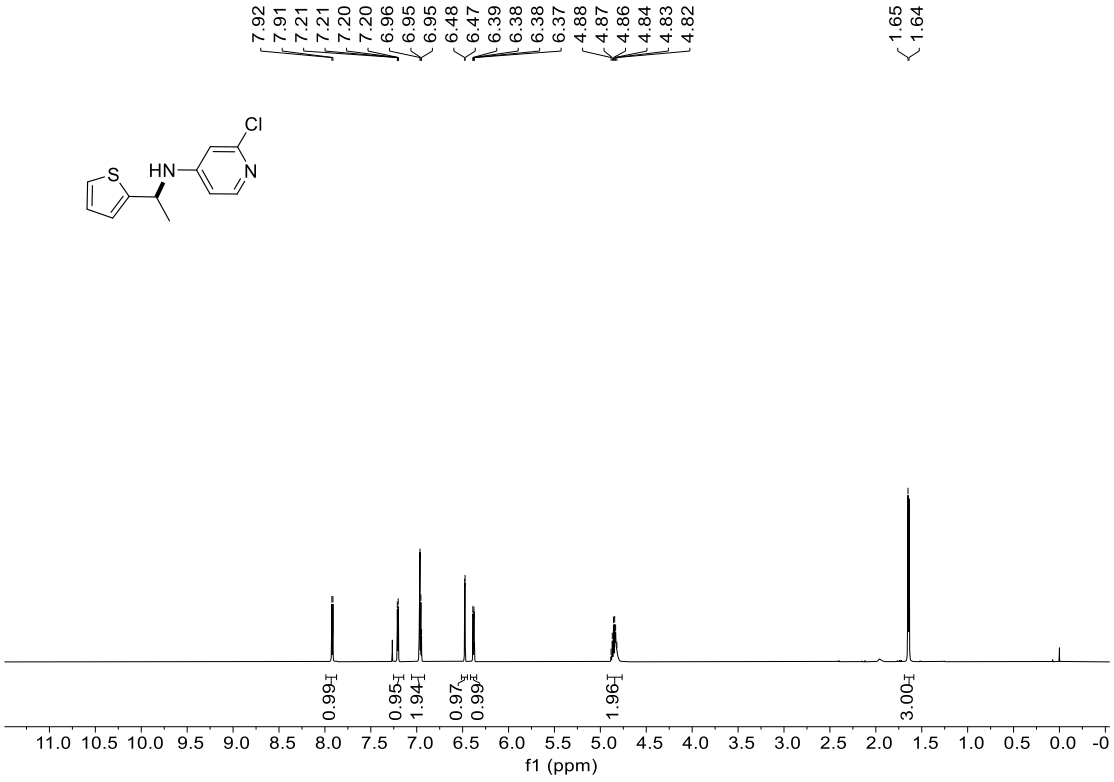


^{1}H NMR spectrum in $CDCl_3$.

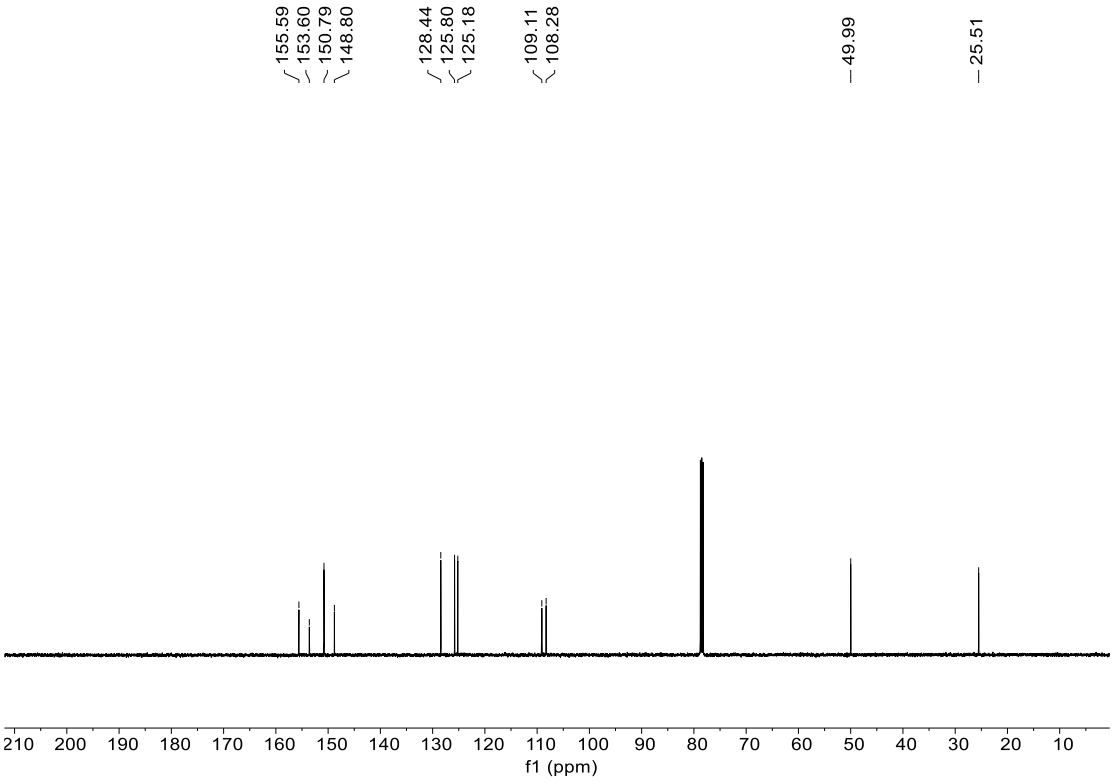


^{13}C NMR spectrum in $CDCl_3$.

2-chloro-*N*-(1-(thiophen-2-yl)ethyl)pyridin-4-amine (5c):

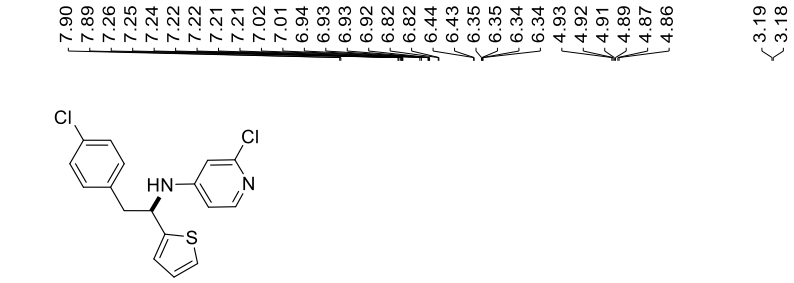


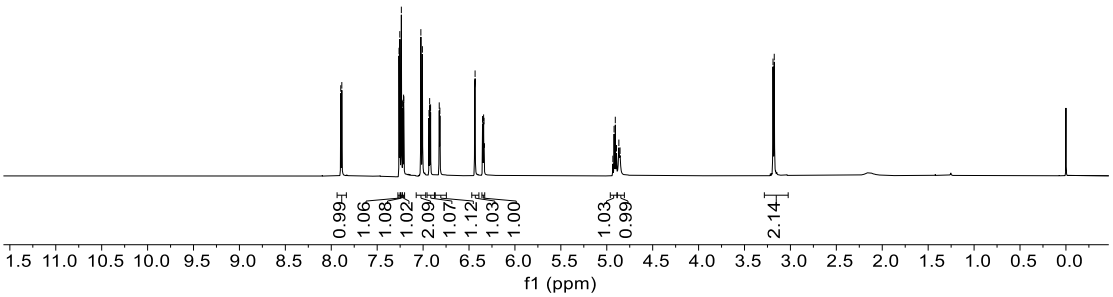
^{1}H NMR spectrum in $CDCl_3$.



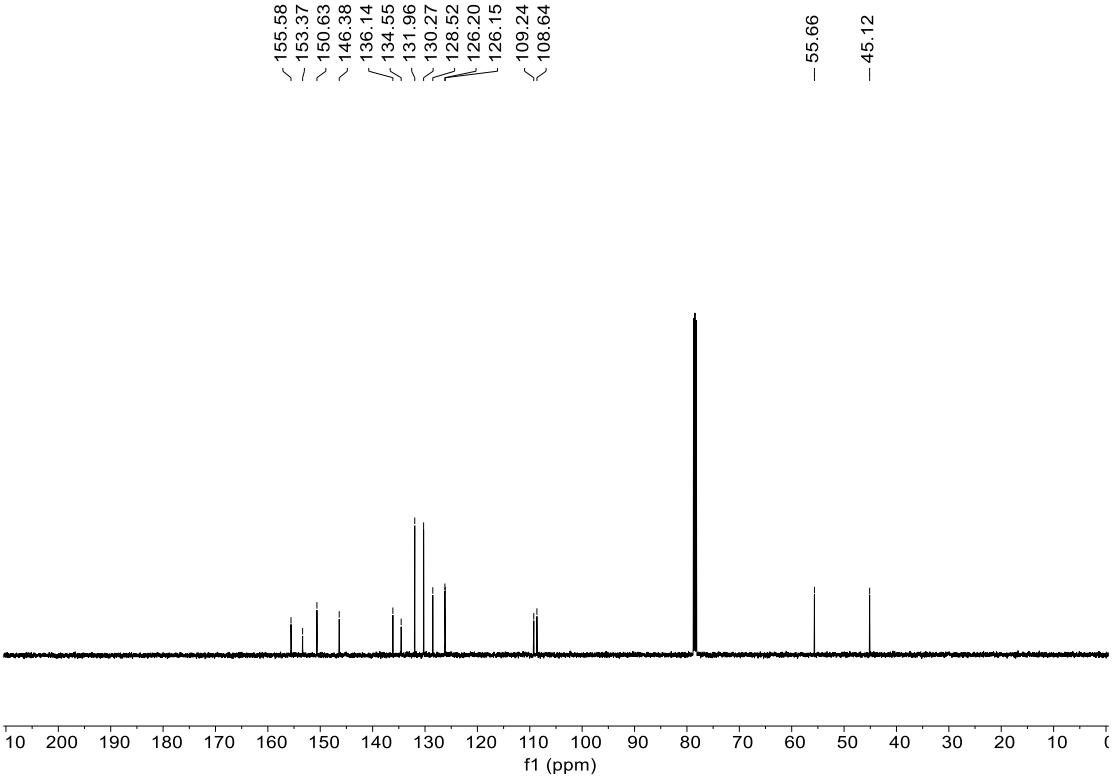
^{13}C NMR spectrum in $CDCl_3$.

2-chloro-*N*-(2-(4-chlorophenyl)-1-(thiophen-2-yl)ethyl)pyridin-4-amine (6c):



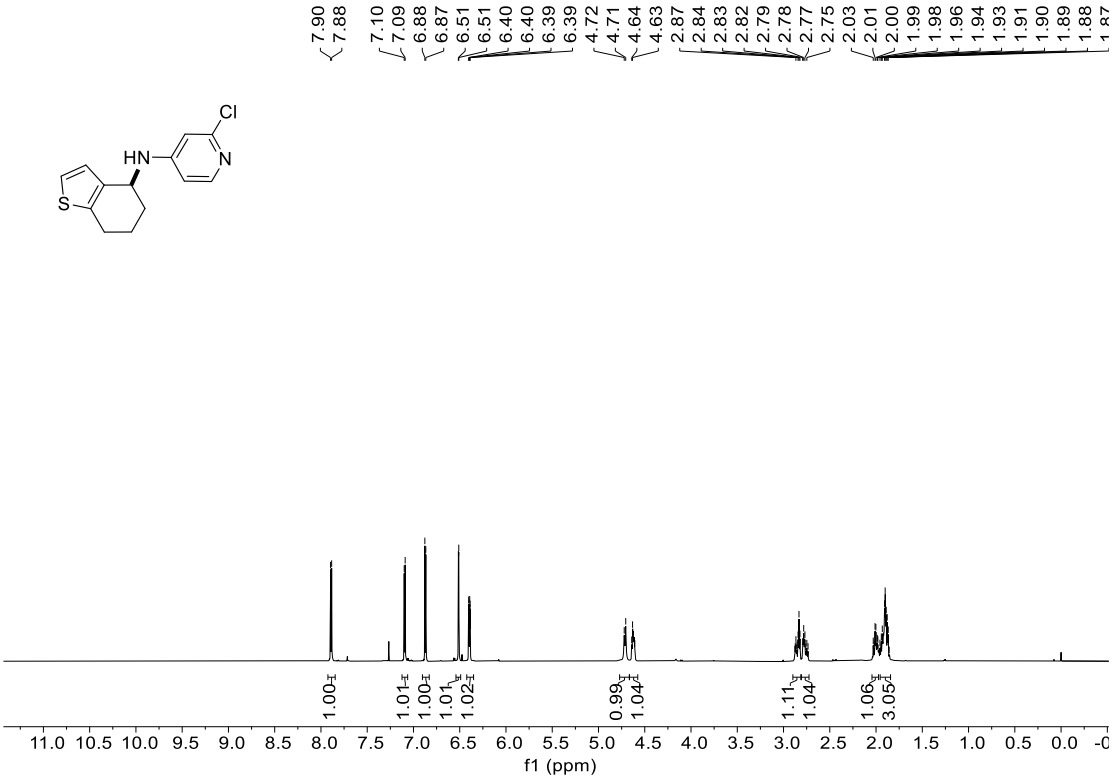


^{1}H NMR spectrum in $CDCl_3$.

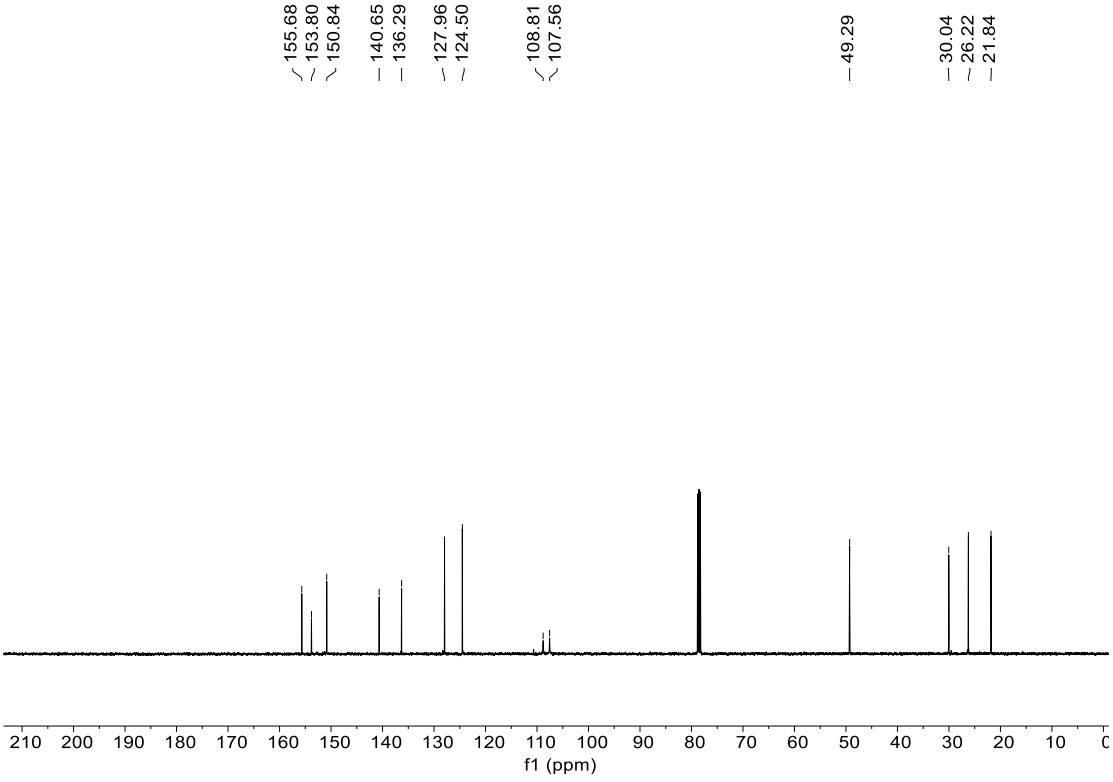


^{13}C NMR spectrum in $CDCl_3$.

2-chloro-*N*-(4,5,6,7-tetrahydrobenzo[b]thiophen-4-yl)pyridin-4-amine (7c):

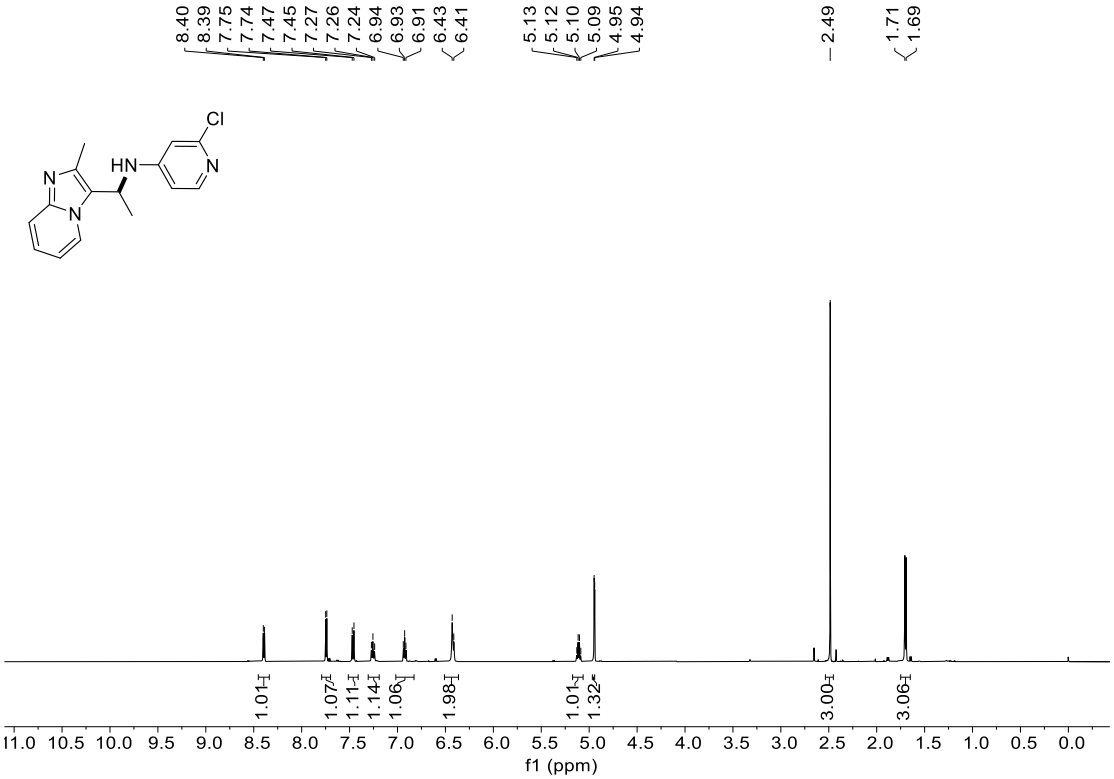


^{1}H NMR spectrum in $CDCl_3$.

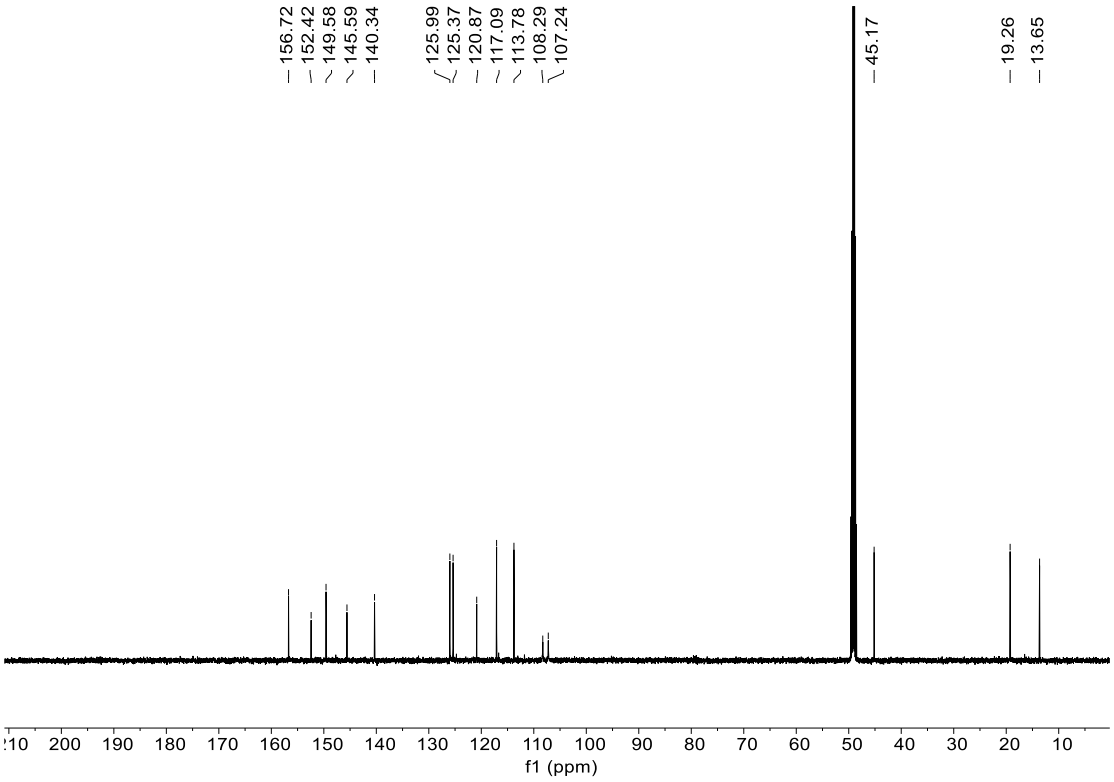


^{13}C NMR spectrum in $CDCl_3$.

2-chloro-*N*-(1-(2-methylimidazo[1,2-a]pyridin-3-yl)ethyl)pyridin-4-amine (8c):

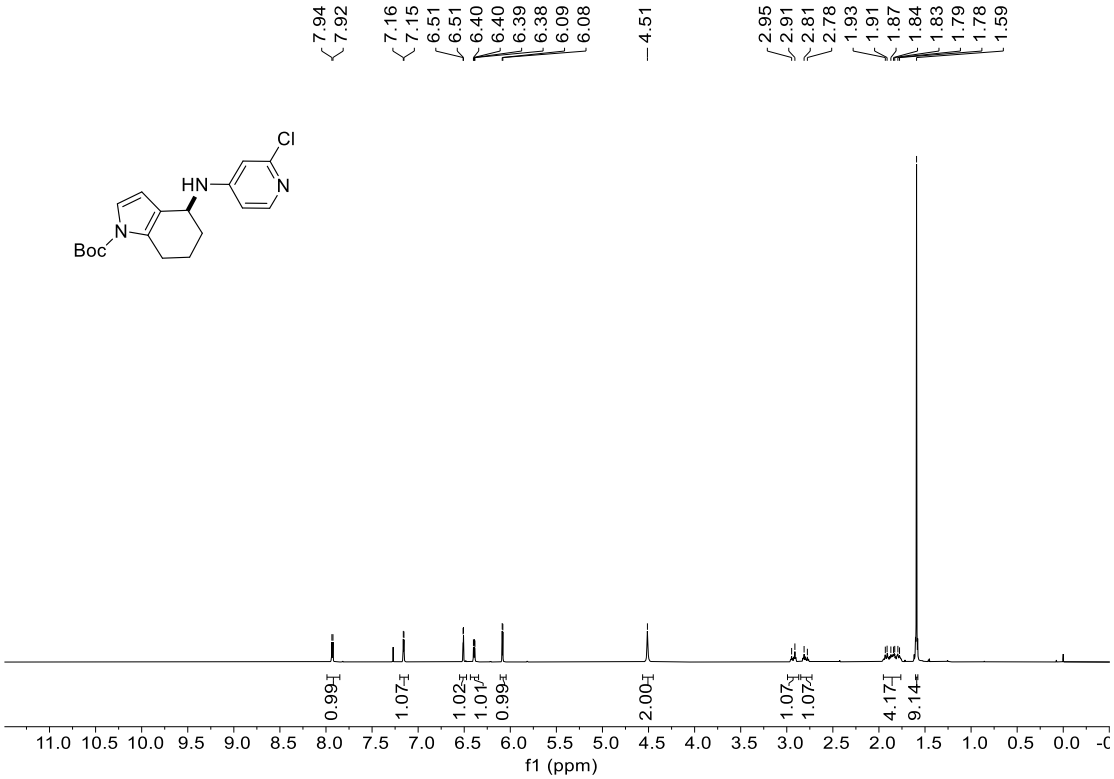


^{1}H NMR spectrum in CD_3OD.

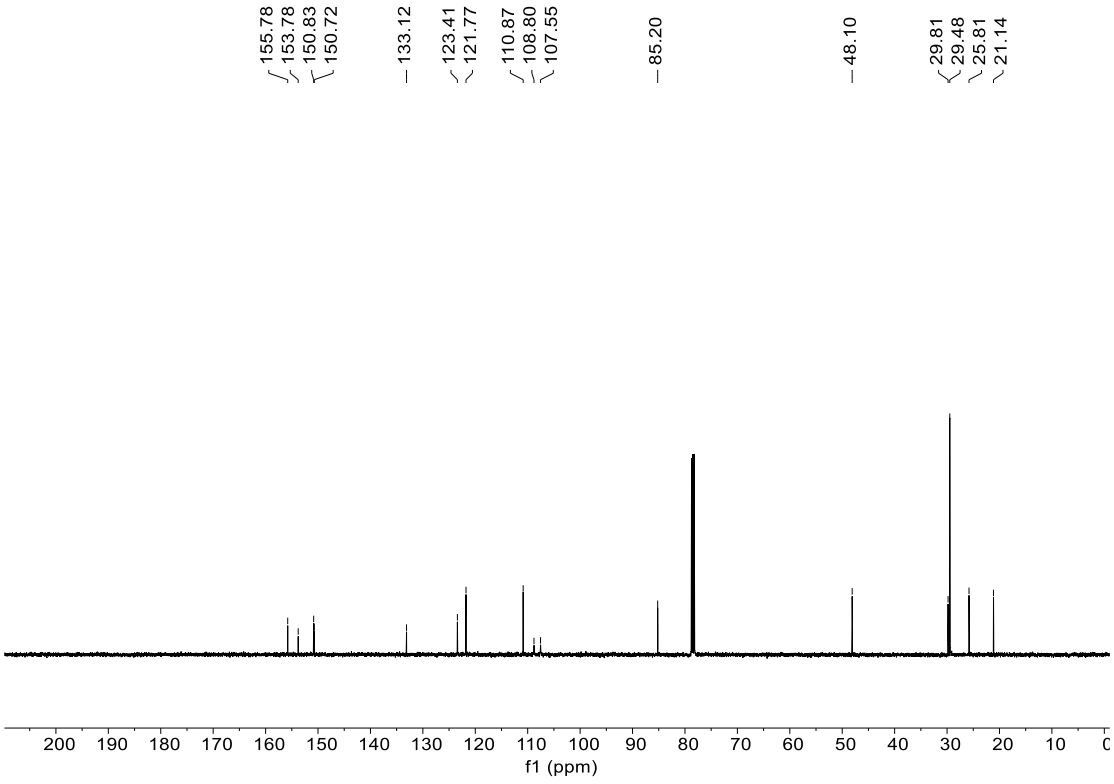


^{13}C NMR spectrum in CD_3OD.

***tert*-butyl-4-((2-chloropyridin-4-yl)amino)-4,5,6,7-tetrahydro-1*H*-indole-1-carboxylate (9c)**:

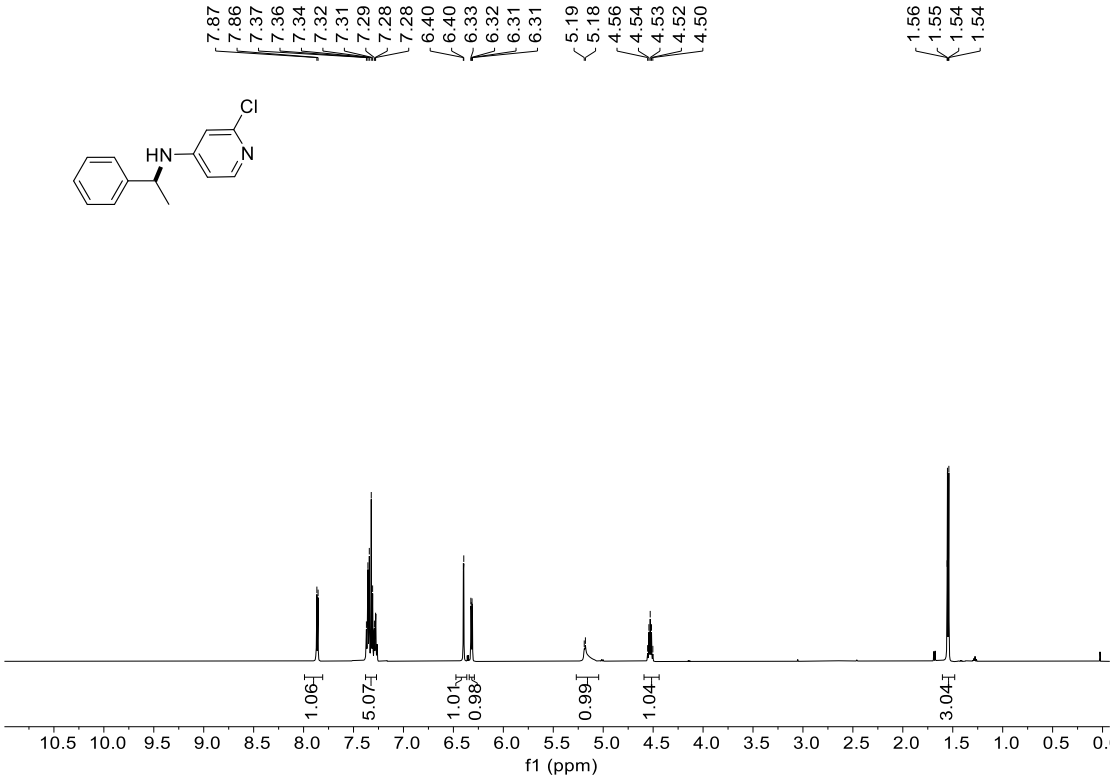


^{1}H NMR spectrum in $CDCl_3$.

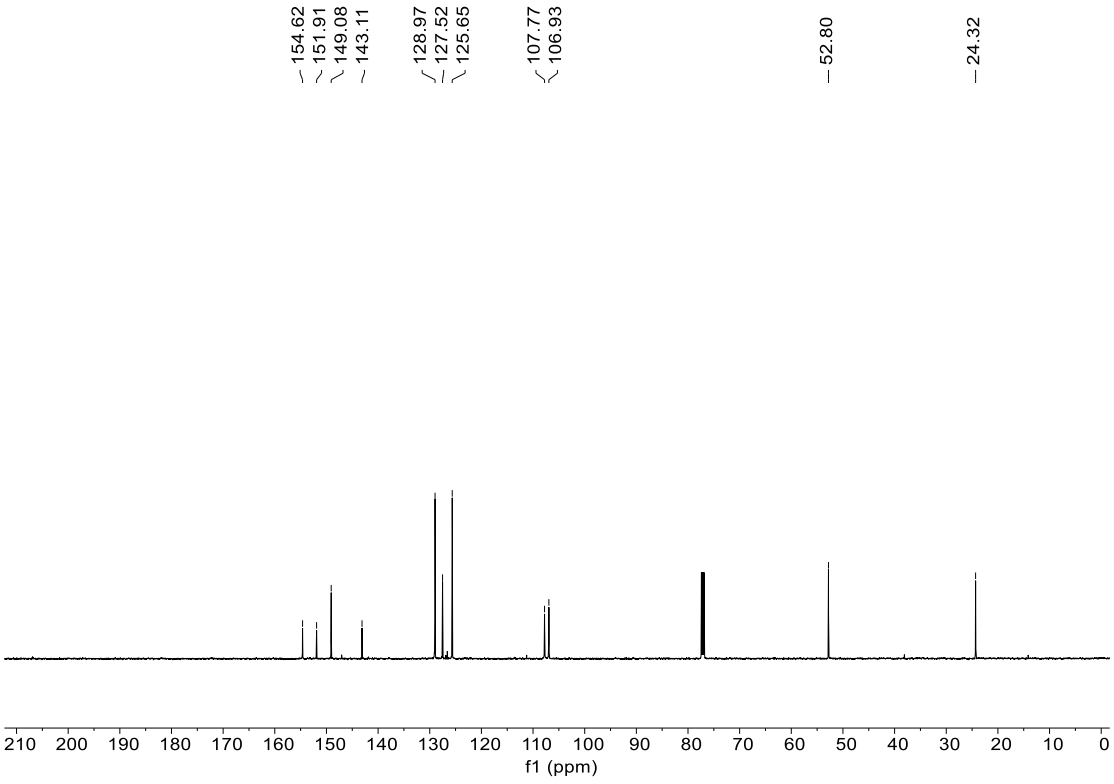


^{13}C NMR spectrum in $CDCl_3$.

2-chloro-*N*-(1-(p-tolyl)ethyl)pyridin-4-amine (10c):

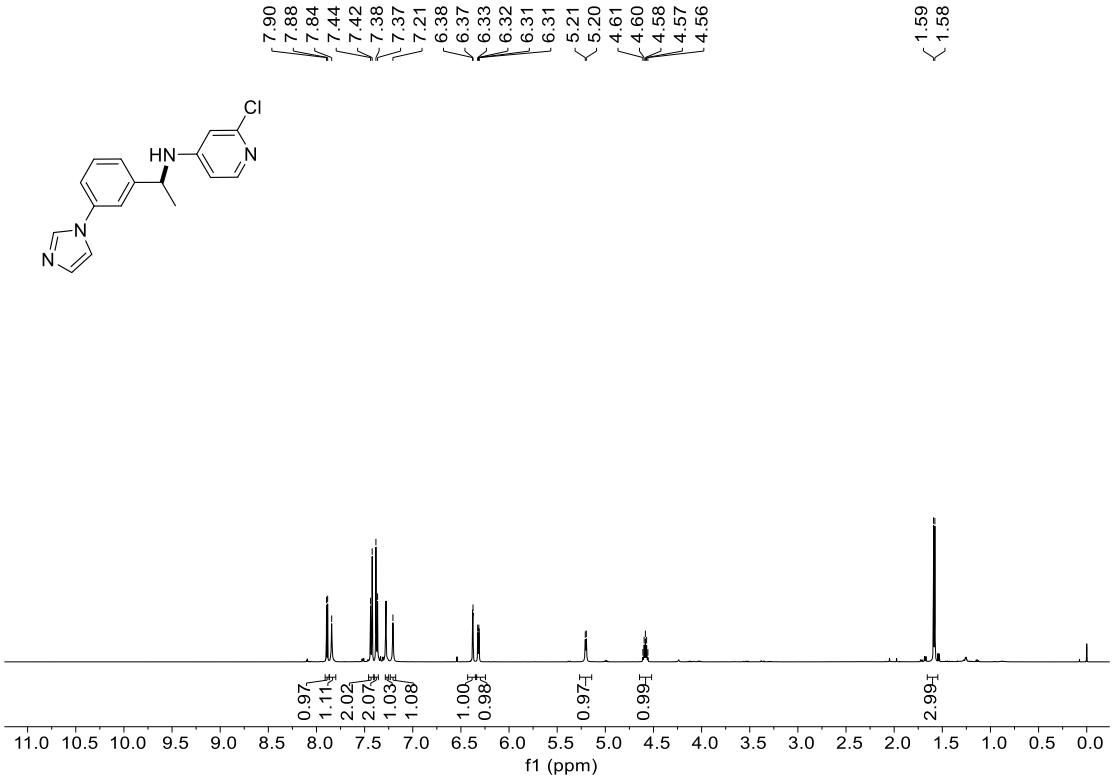


^{1}H NMR spectrum in $CDCl_3$.

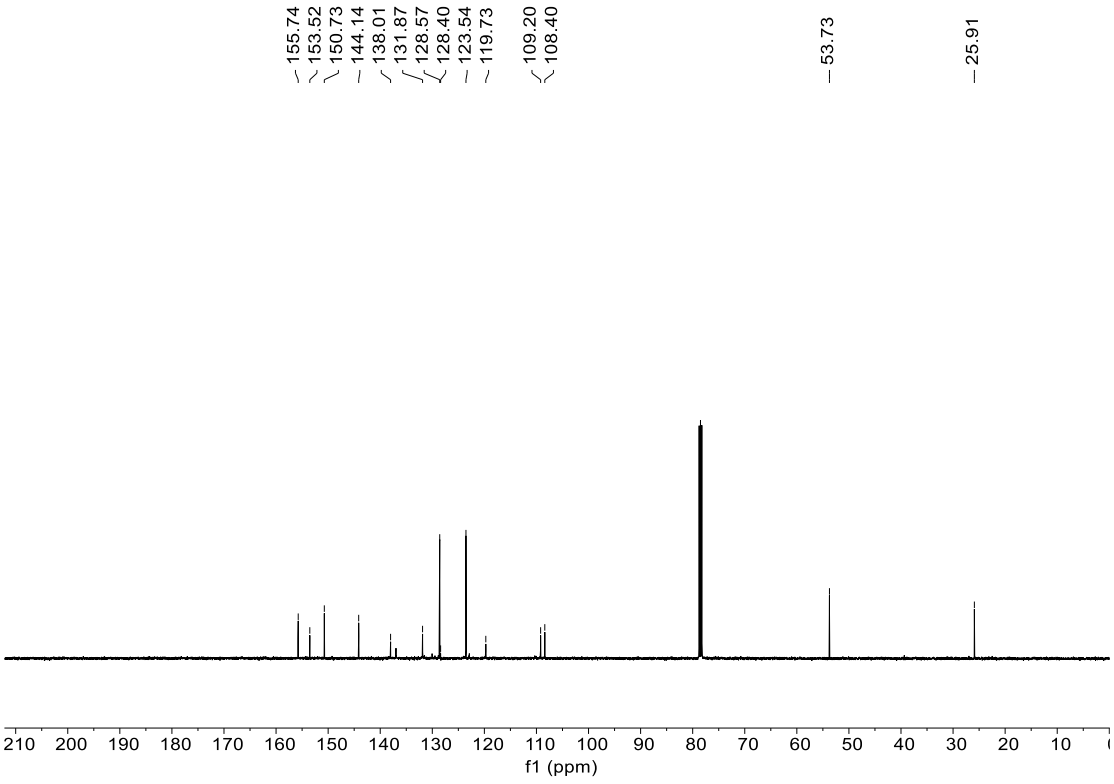


^{13}C NMR spectrum in $CDCl_3$.

***N*-(1-(3-(1*H*-pyrrol-1-yl)phenyl)ethyl)-2-chloropyridin-4-amine (11c)**:

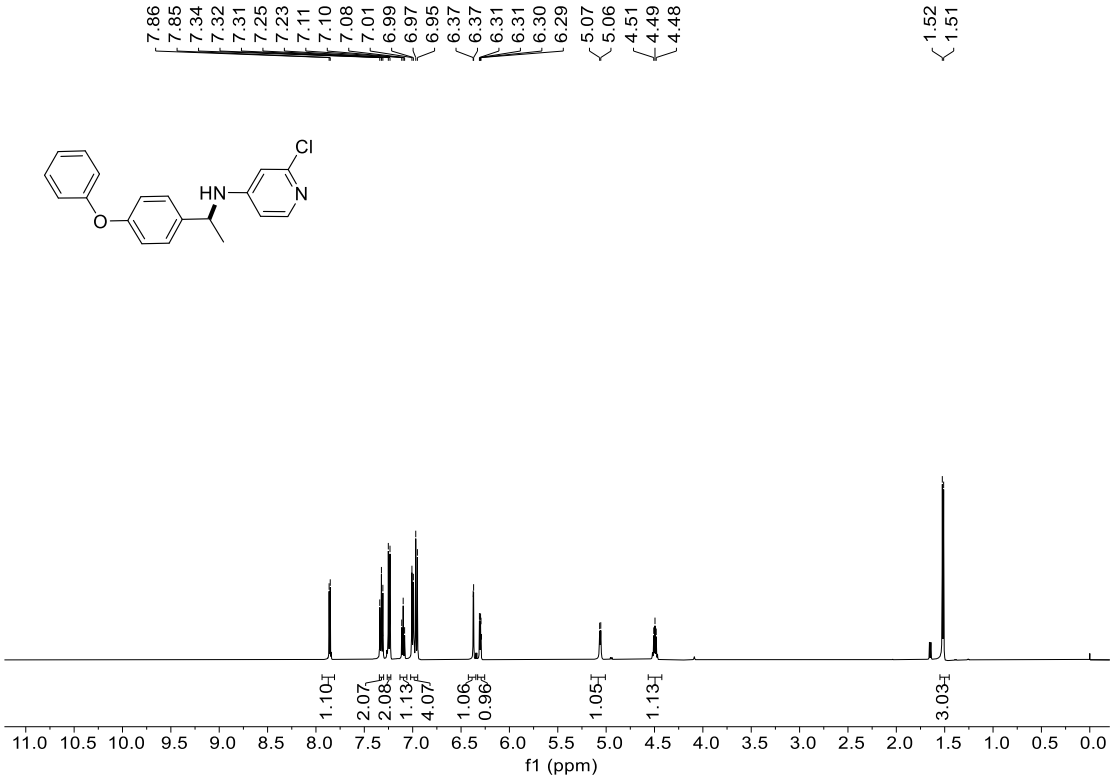


^{1}H NMR spectrum in $CDCl_3$.

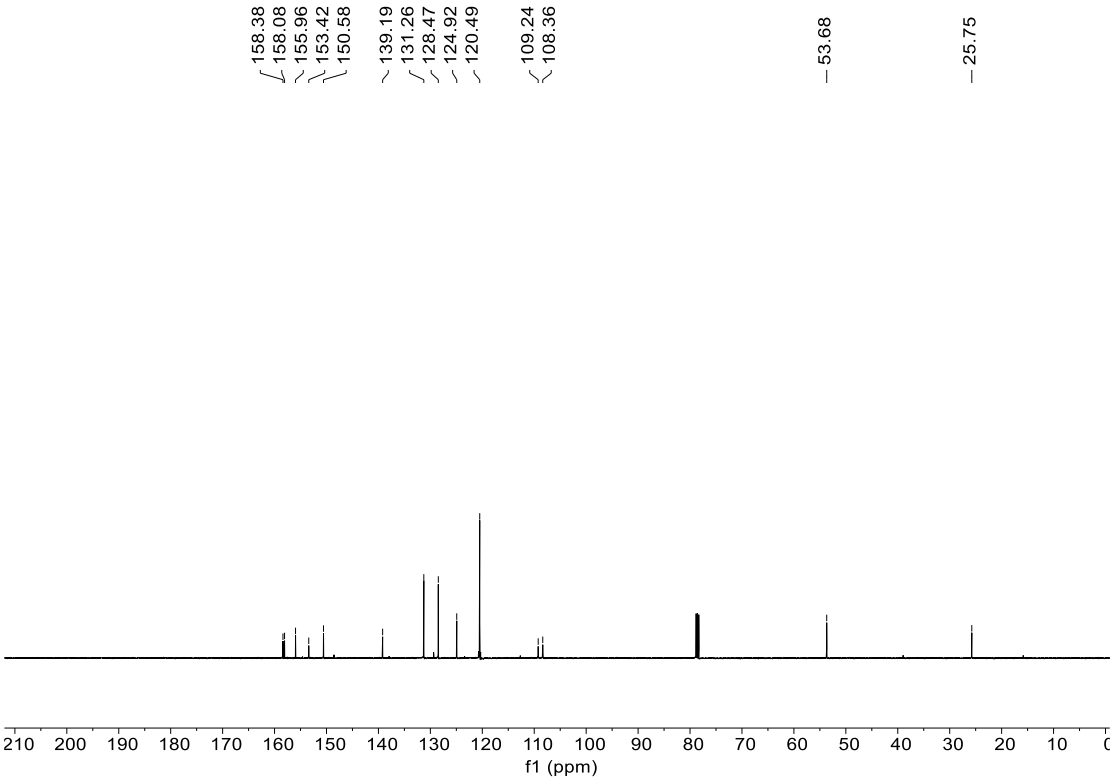


^{13}C NMR spectrum in $CDCl_3$.

2-chloro-*N*-(1-(4-phenoxyphenyl)ethyl)pyridin-4-amine (12c):

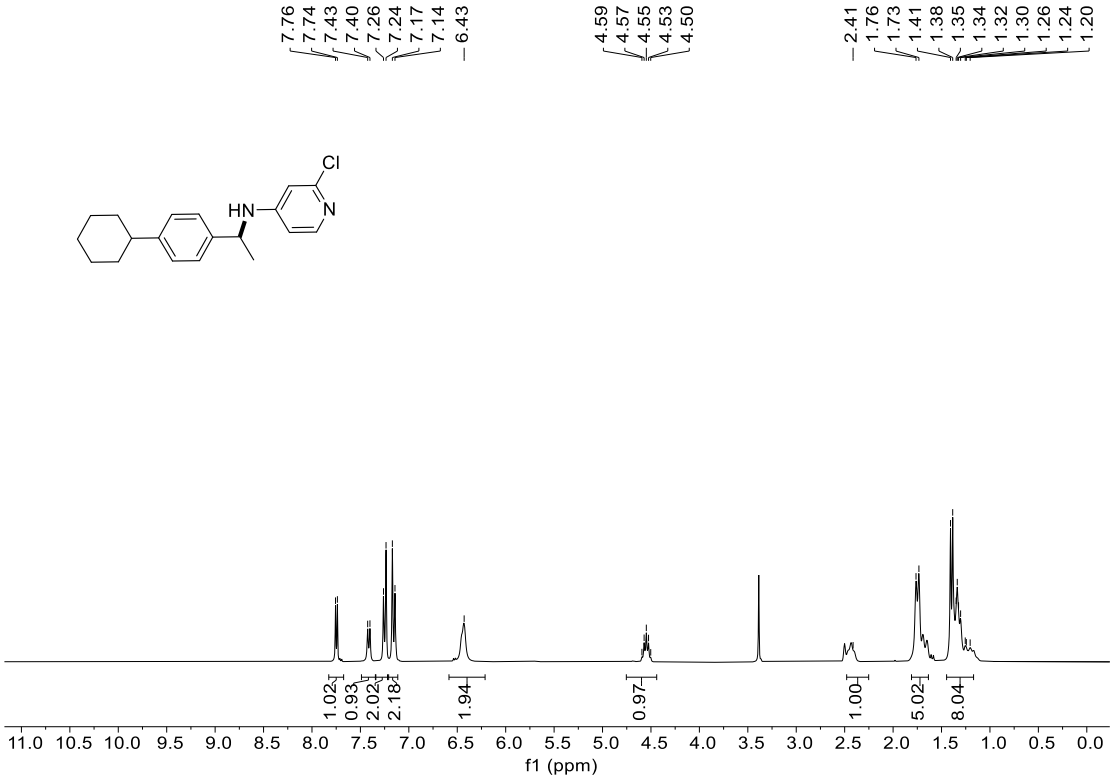


^{1}H NMR spectrum in $CDCl_3$.

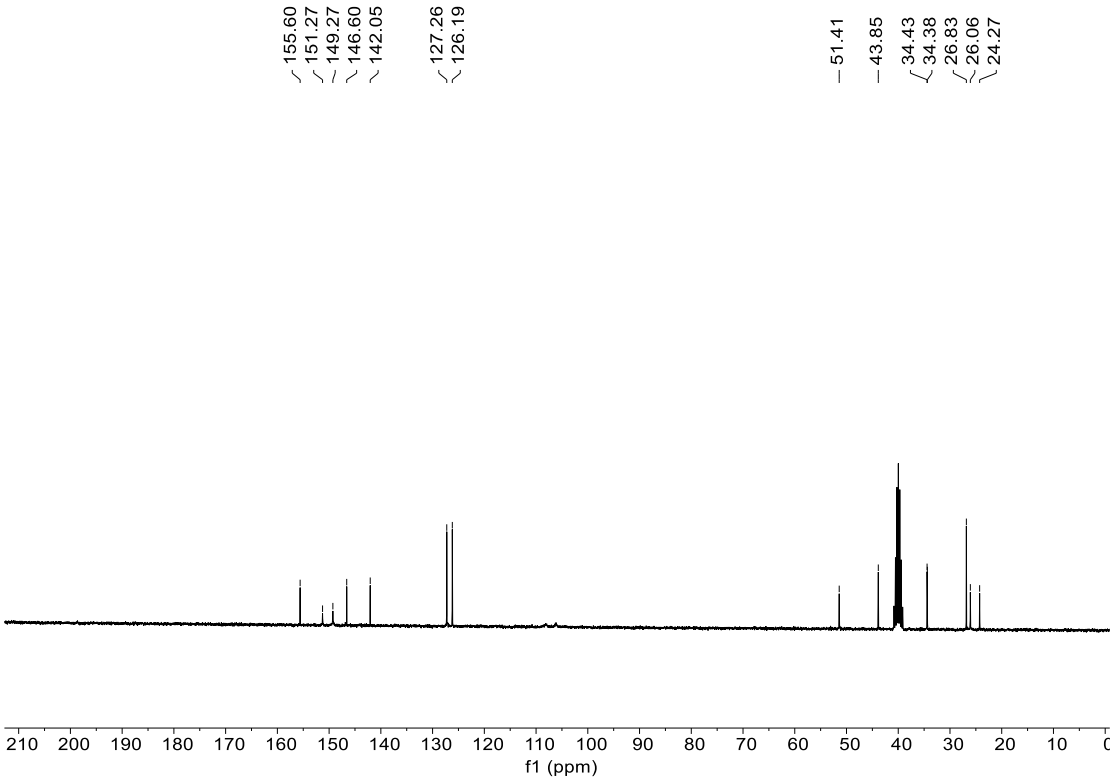


^{13}C NMR spectrum in $CDCl_3$.

2-chloro-*N*-(1-(4-cyclohexylphenyl)ethyl)pyridin-4-amine (13c):

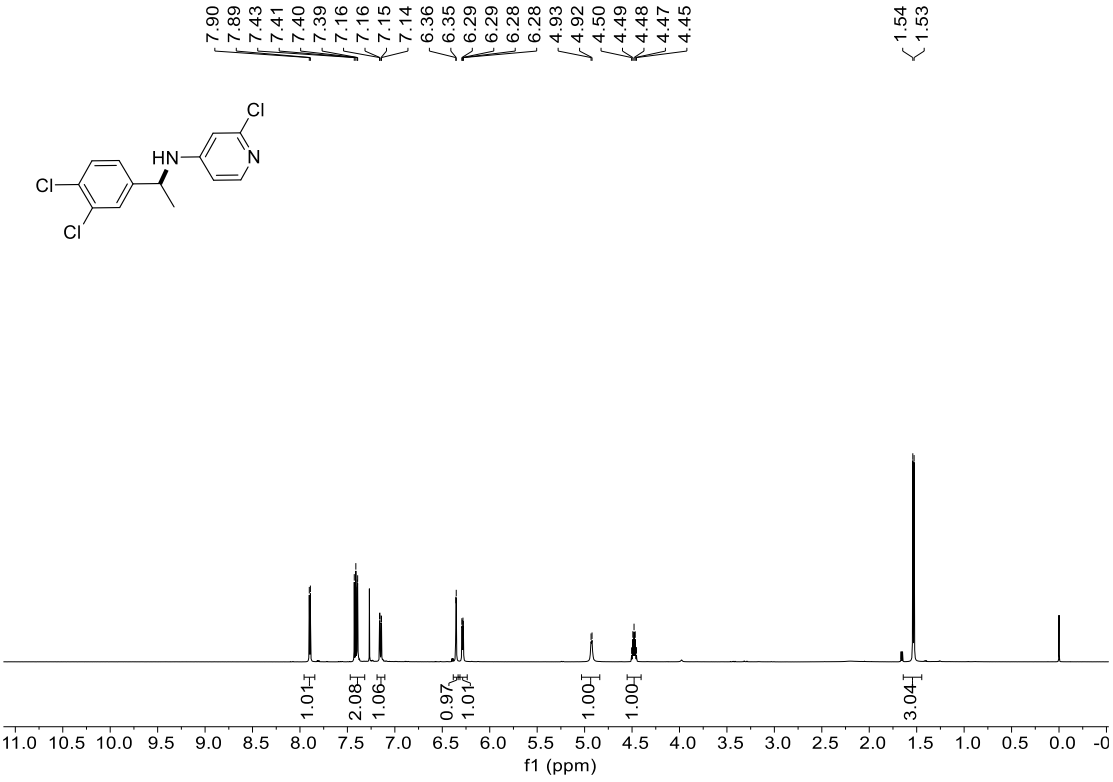


^{1}H NMR spectrum in DMSO-d_6

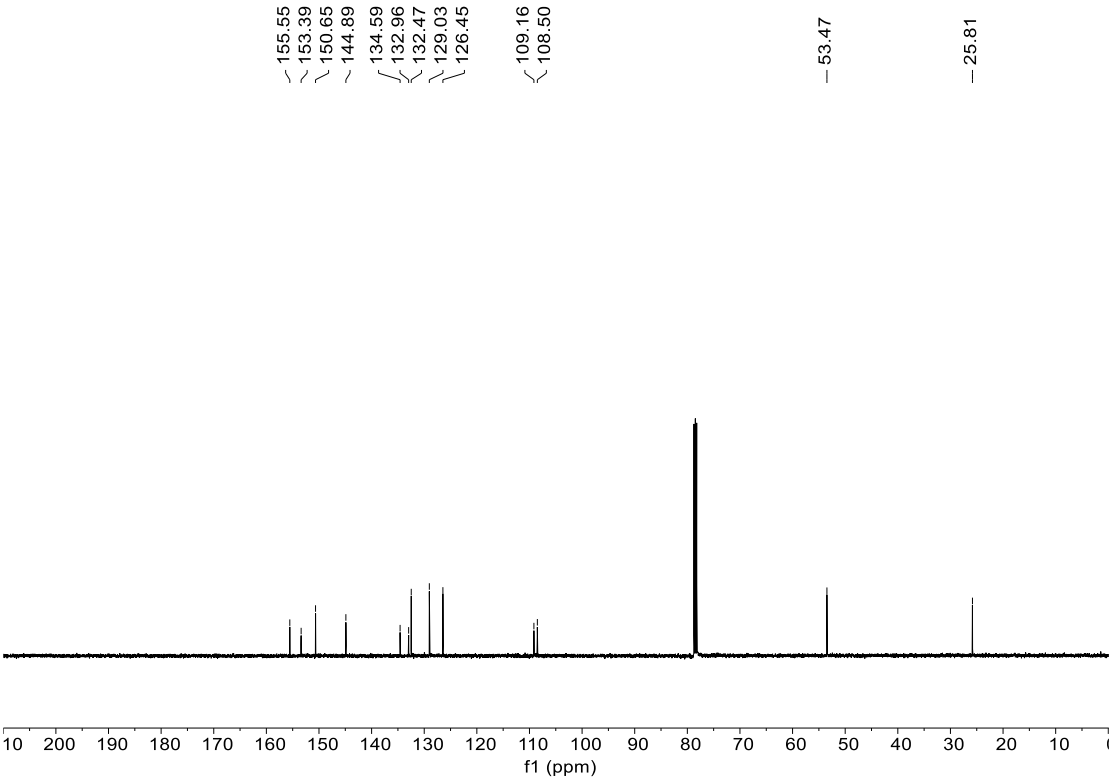


^{13}C NMR spectrum in DMSO-d_6.

2-chloro-*N*-(1-(3,4-dichlorophenyl)ethyl)pyridin-4-amine (14c):

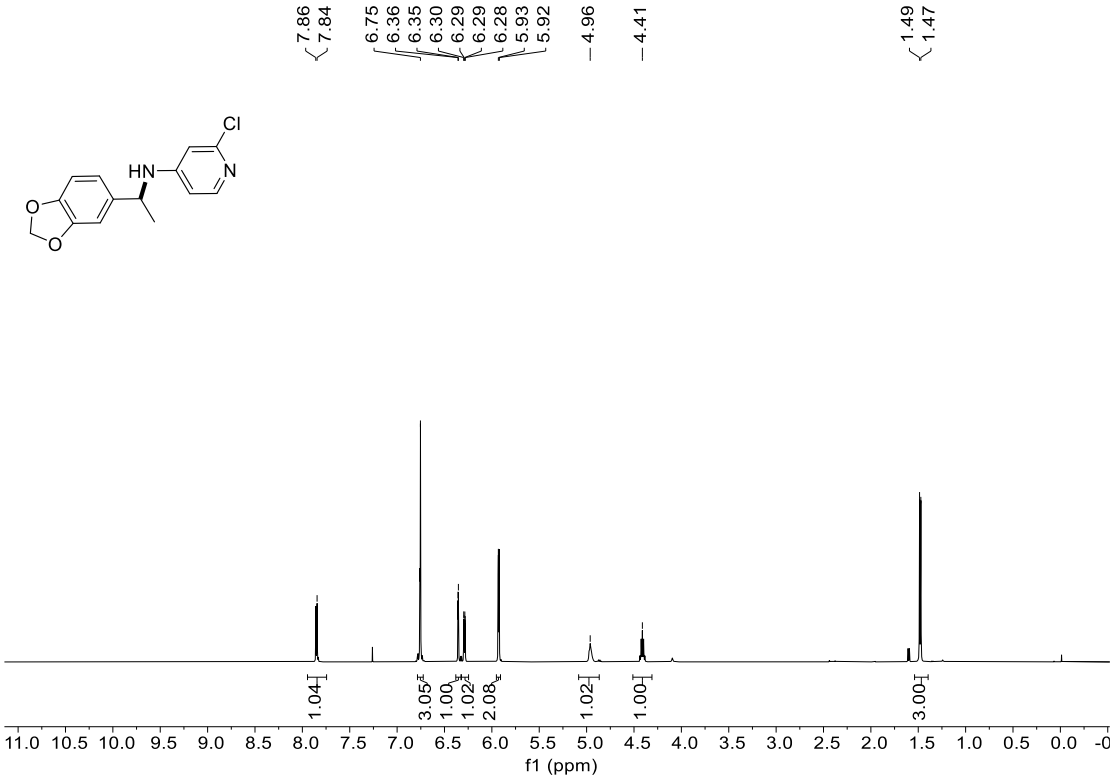


^{1}H NMR spectrum in $CDCl_3$.

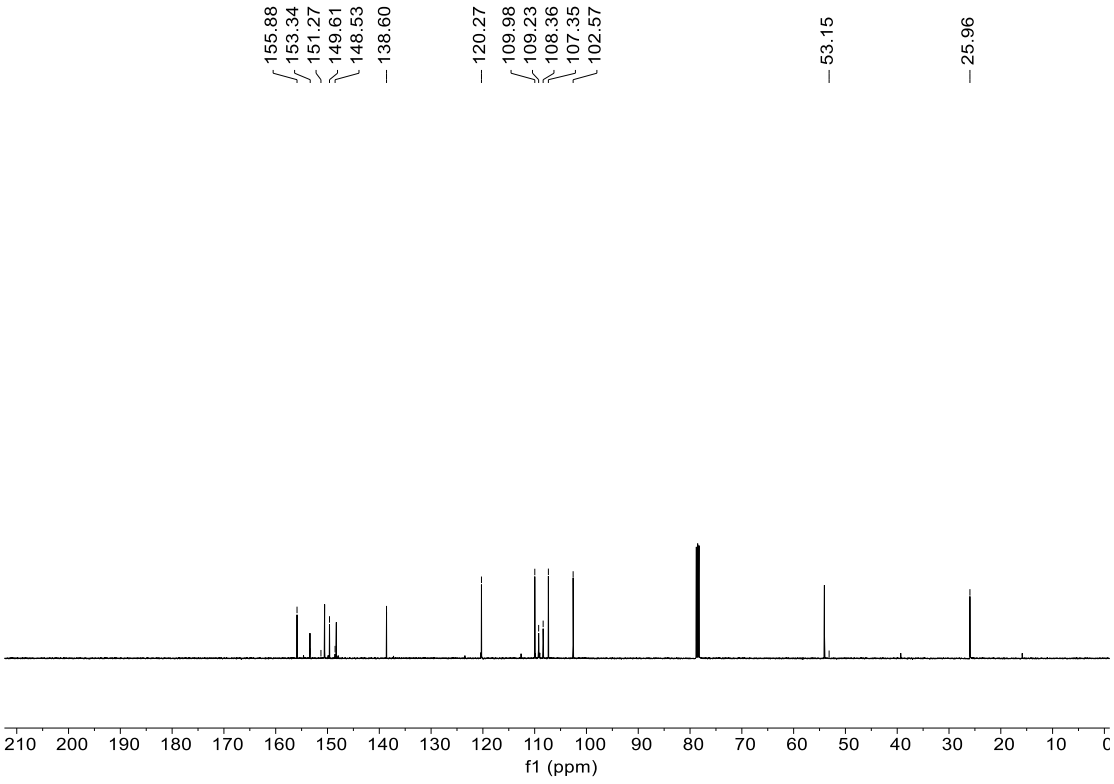


^{13}C NMR spectrum in $CDCl_3$.

***N*-(1-(benzo[d][1,3]dioxol-5-yl)ethyl)-2-chloropyridin-4-amine (15c)**:

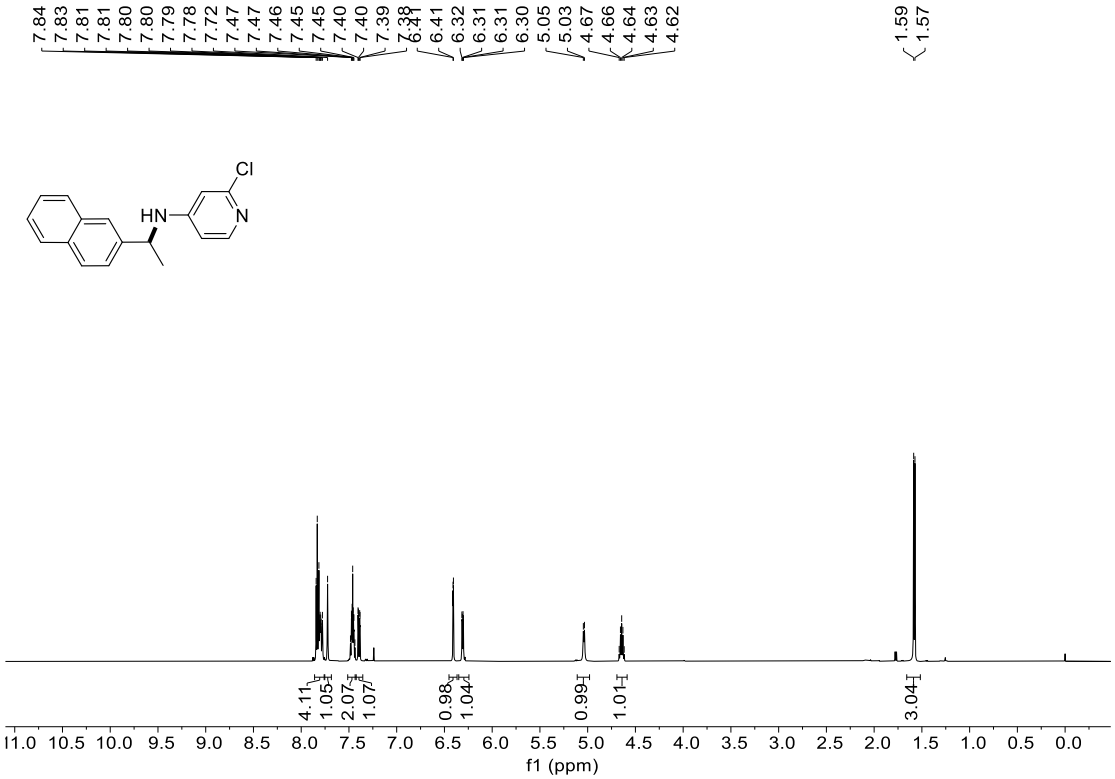


^{1}H NMR spectrum in $CDCl_3$.

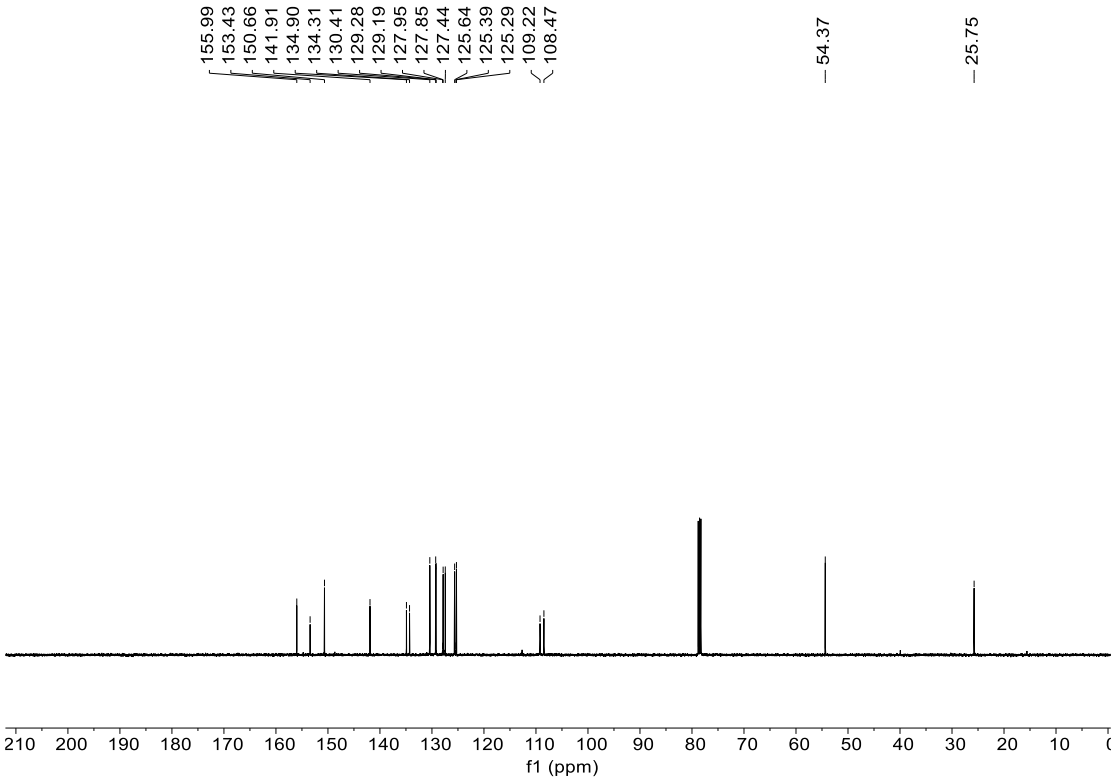


^{13}C NMR spectrum in $CDCl_3$.

2-chloro-*N*-(1-(naphthalen-2-yl)ethyl)pyridin-4-amine (16c):

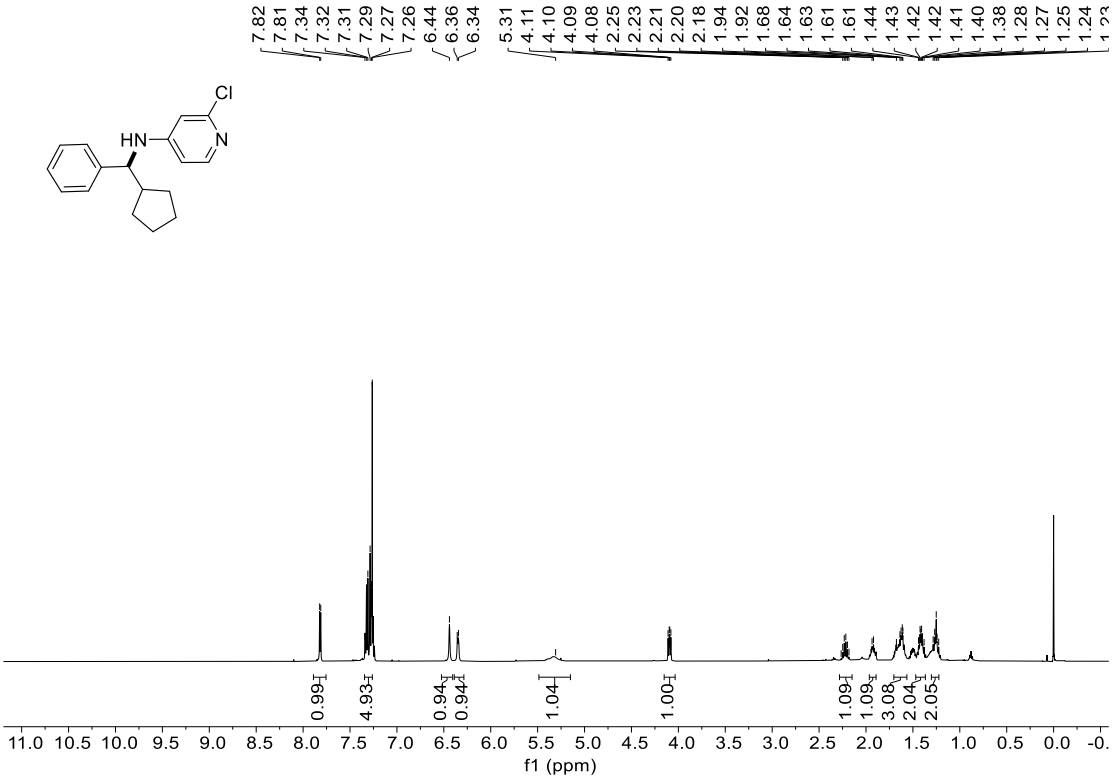


^{1}H NMR spectrum in $CDCl_3$.

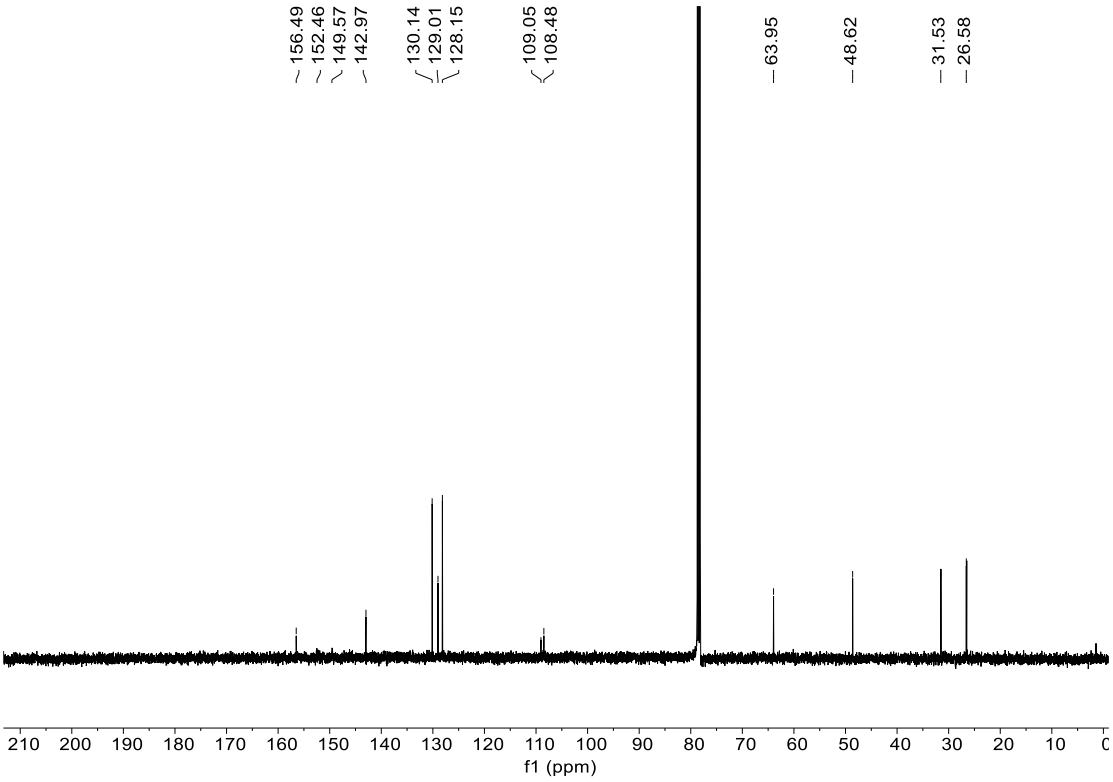


^{13}C NMR spectrum in $CDCl_3$.

2-chloro-*N*-(cyclopentyl(4-fluorophenyl)methyl)pyridin-4-amine (17c):

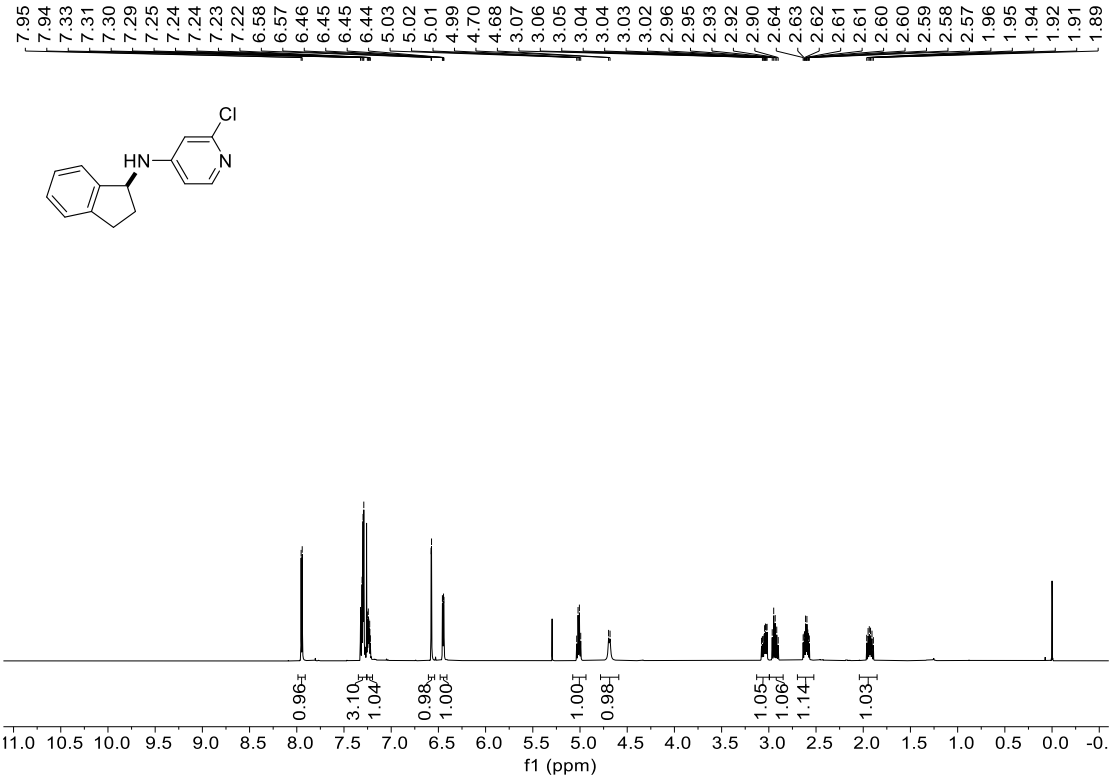


^{1}H NMR spectrum in $CDCl_3$.

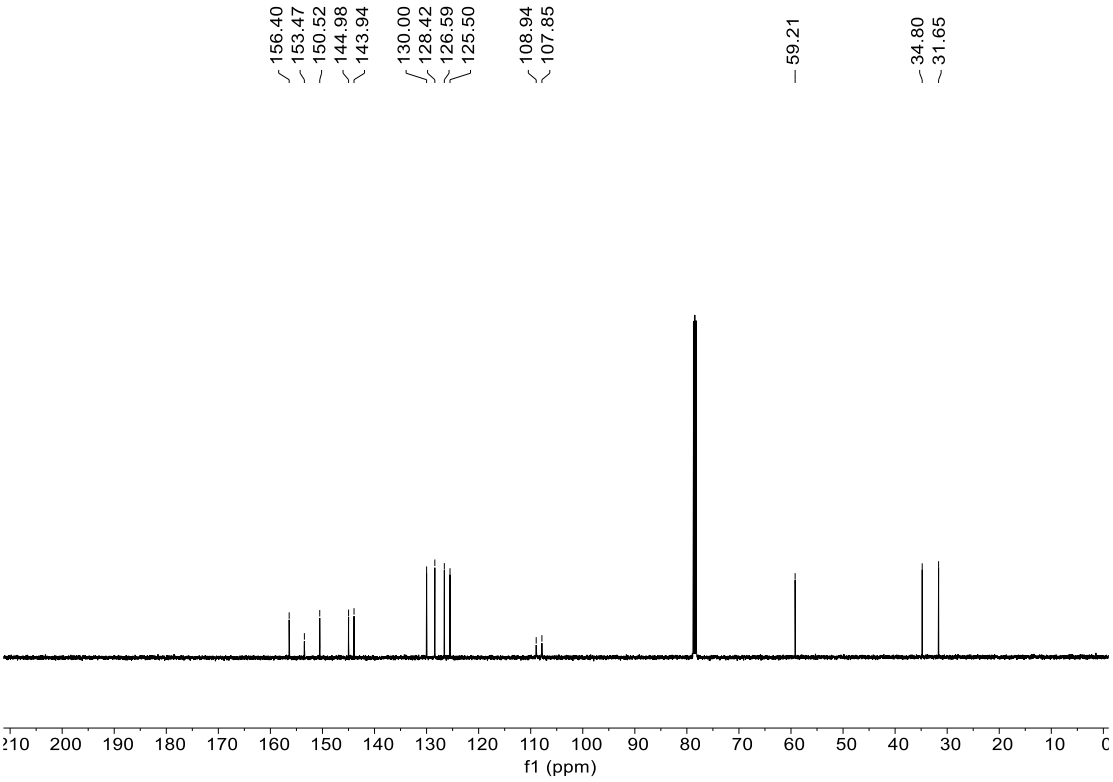


^{13}C NMR spectrum in $CDCl_3$.

2-chloro-*N*-(2,3-dihydro-1*H*-inden-1-yl)pyridin-4-amine (18c):

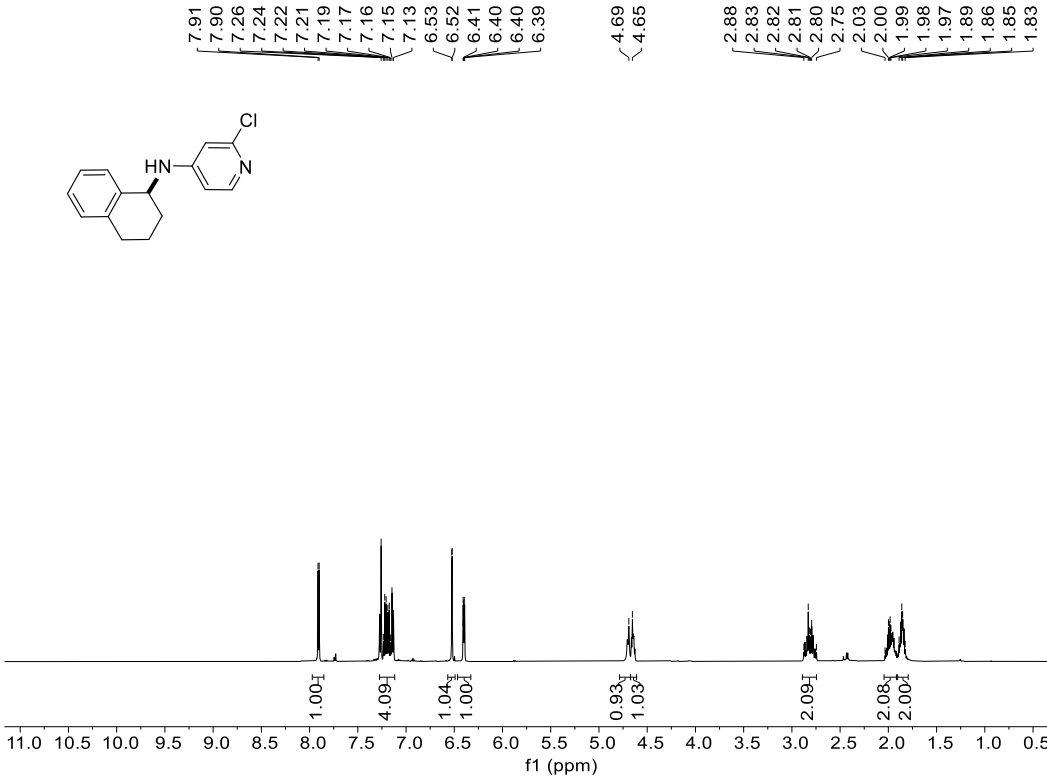


^{1}H NMR spectrum in $CDCl_3$.

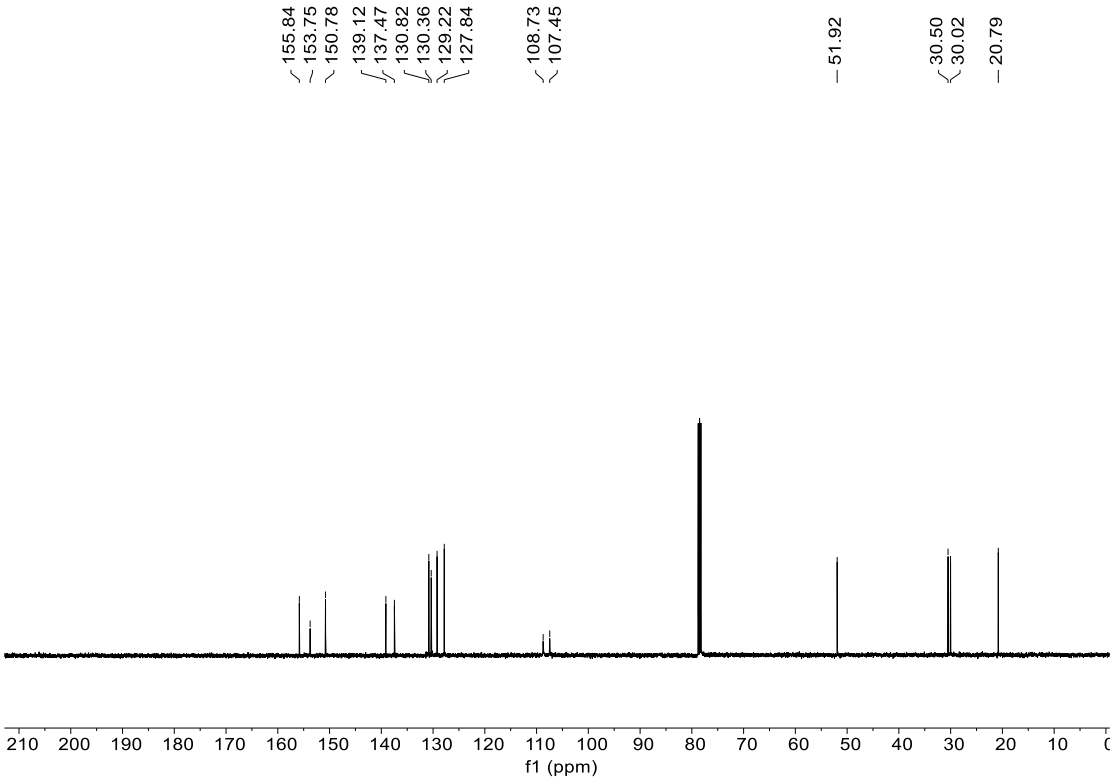


^{13}C NMR spectrum in $CDCl_3$.

2-chloro-*N*-(1,2,3,4-tetrahydronaphthalen-1-yl)pyridin-4-amine (19c):

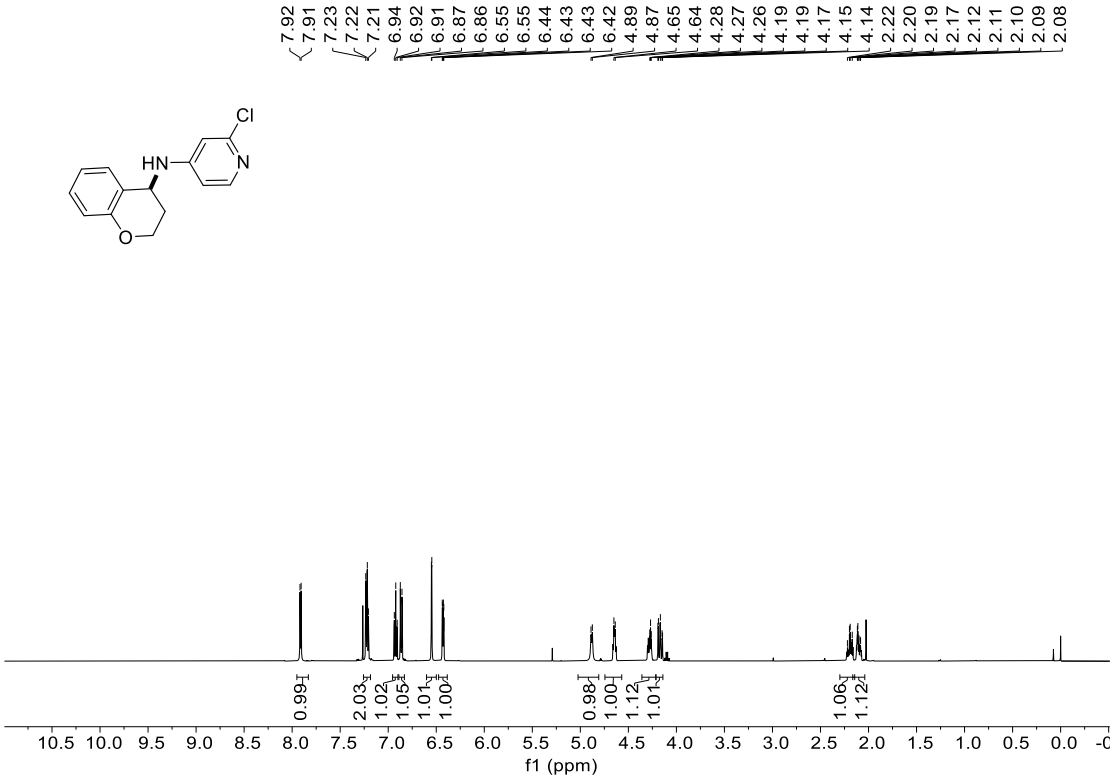


^{1}H NMR spectrum in $CDCl_3$.

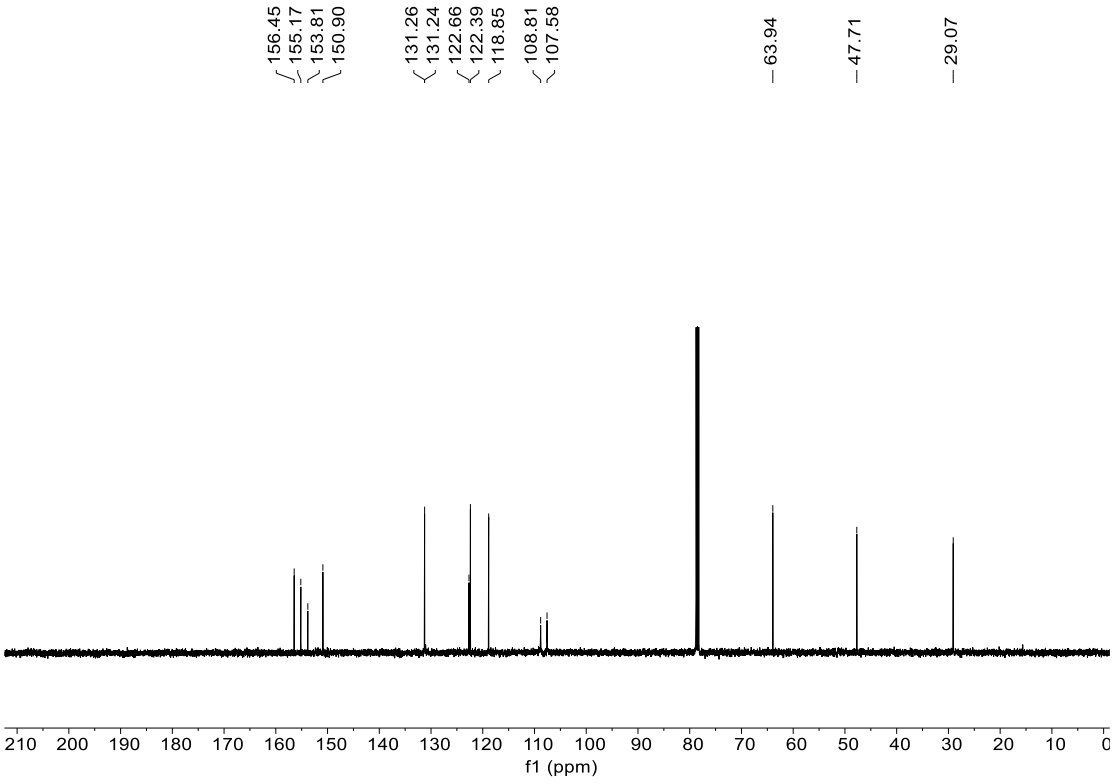


^{13}C NMR spectrum in $CDCl_3$.

2-chloro-*N*-(chroman-4-yl)pyridin-4-amine (20c):

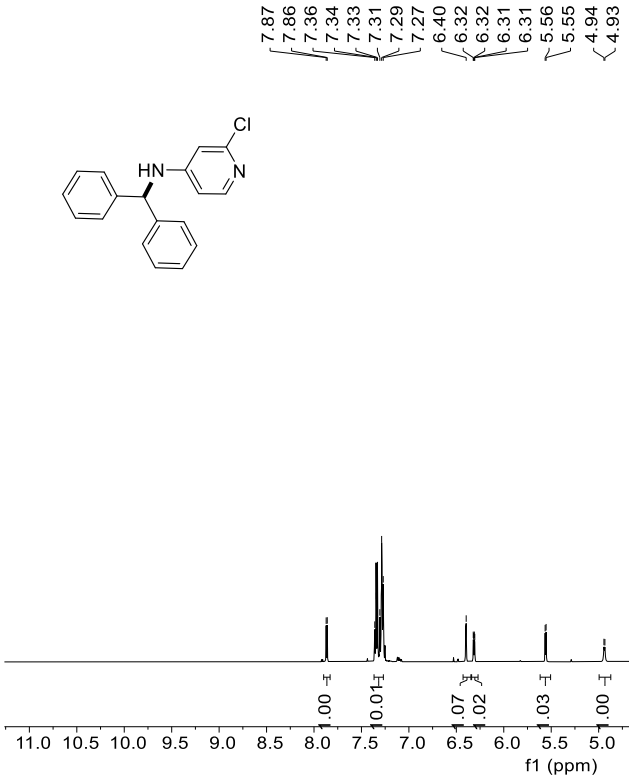


^{1}H NMR spectrum in $CDCl_3$.

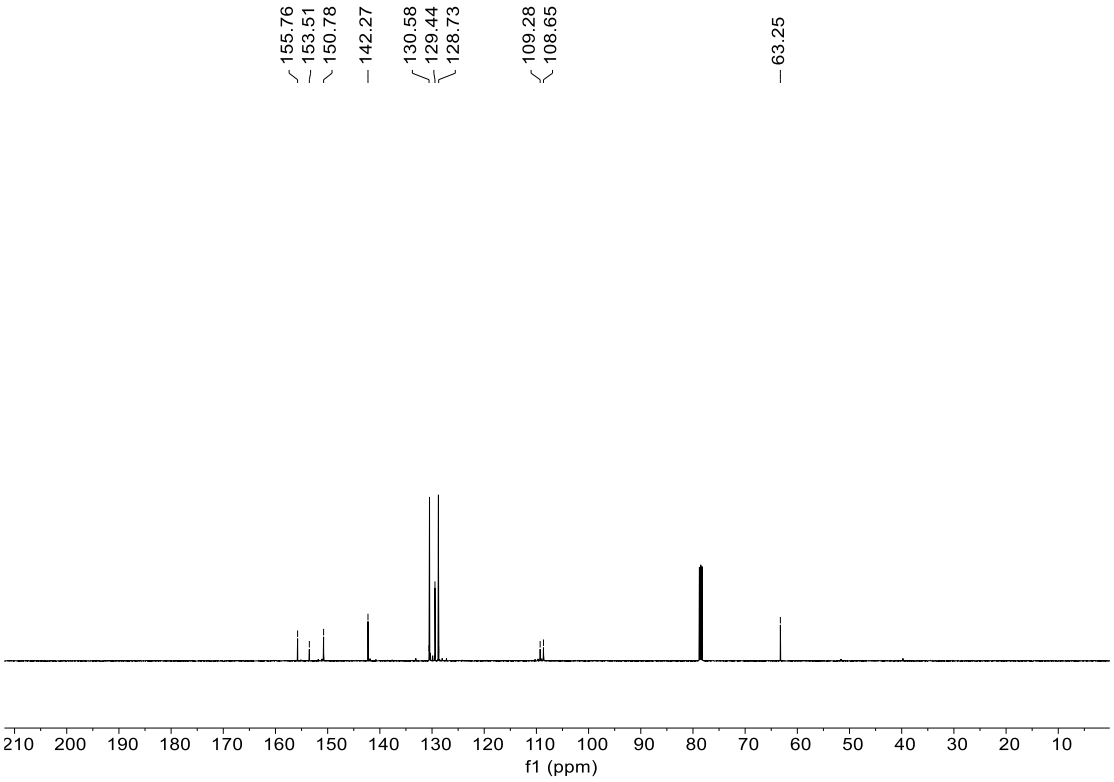


^{13}C NMR spectrum in $CDCl_3$.

***N*-benzhydryl-2-chloropyridin-4-amine (21c)**:

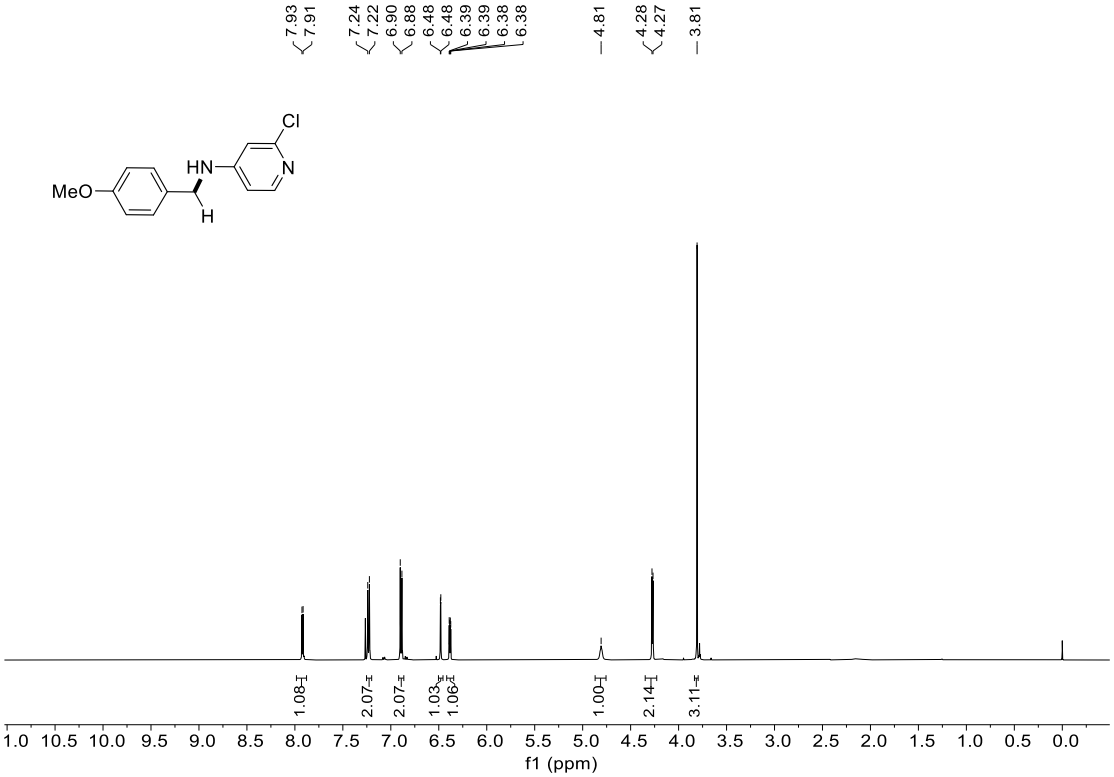


^{1}H NMR spectrum in $CDCl_3$.

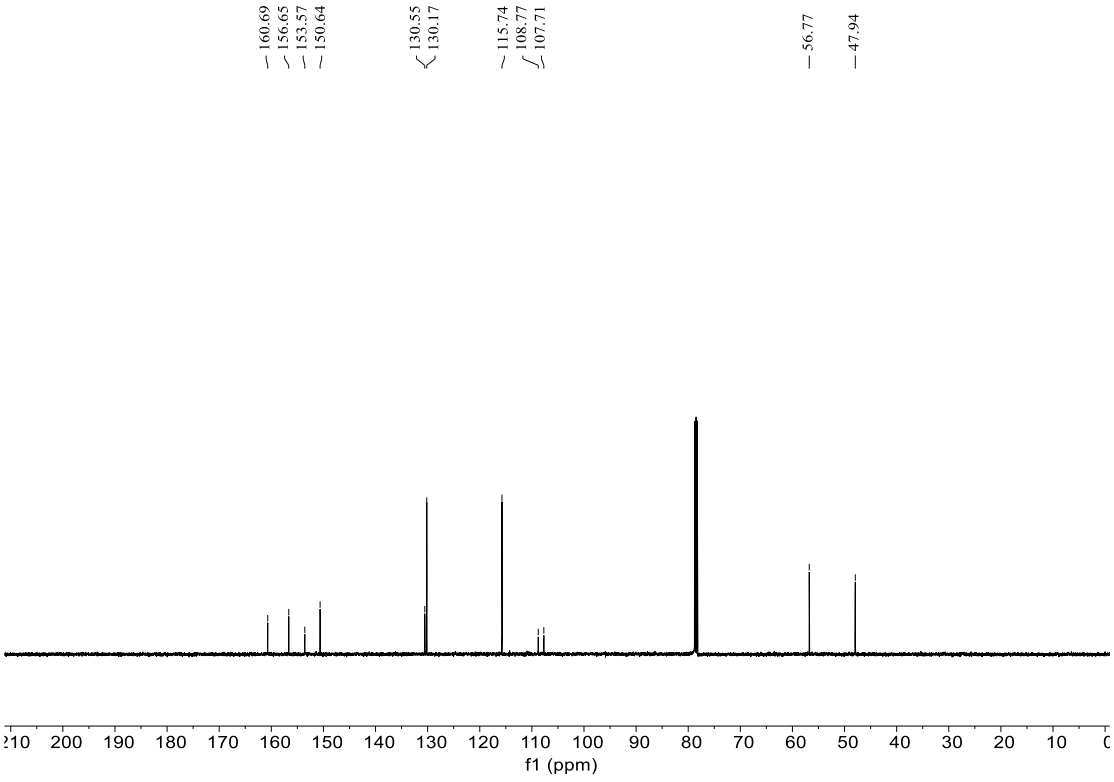


^{13}C NMR spectrum in $CDCl_3$.

2-chloro-*N*-(4-methoxybenzyl)pyridin-4-amine (22c):

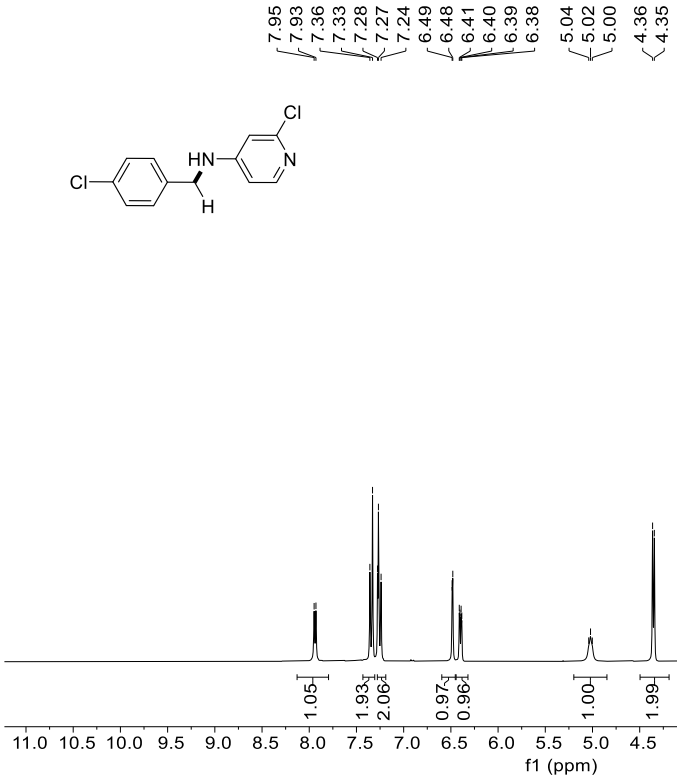


^{1}H NMR spectrum in $CDCl_3$.

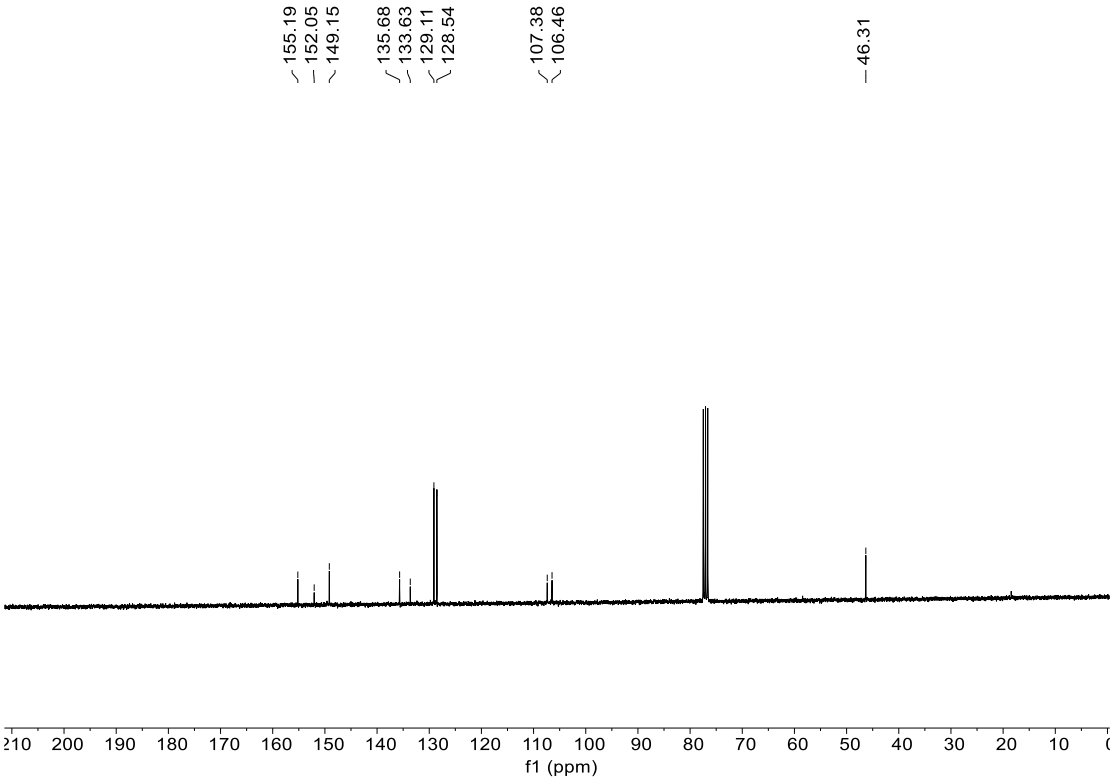


^{13}C NMR spectrum in $CDCl_3$.

2-chloro-*N*-(4-chlorobenzyl)pyridin-4-amine (23c):

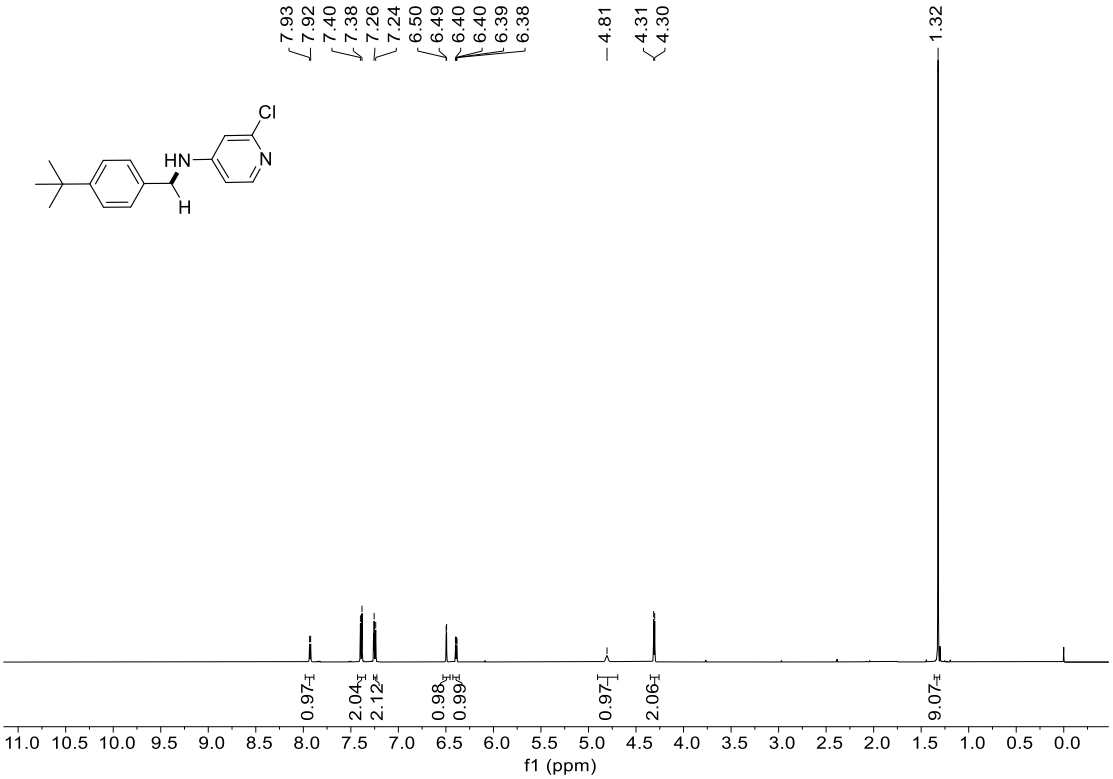


^{1}H NMR spectrum in $CDCl_3$.

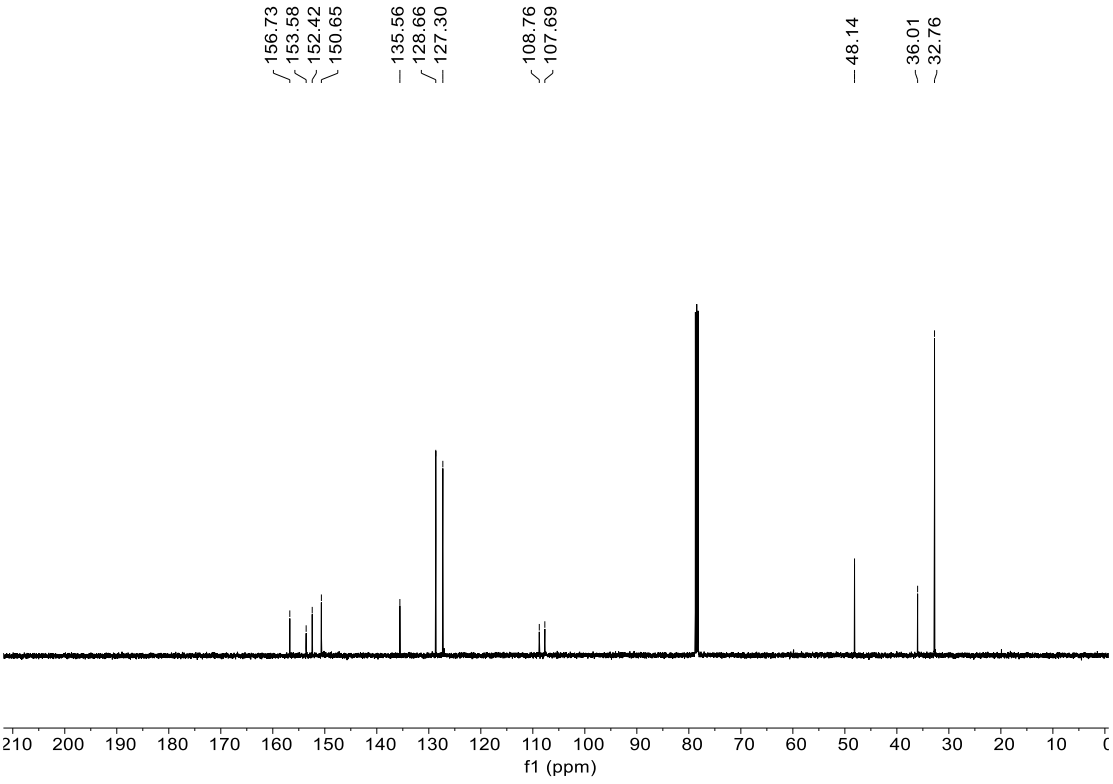


^{13}C NMR spectrum in $CDCl_3$.

2-(1-methyl-2,2-diphenylcyclopropyl)benzofuran (24c):

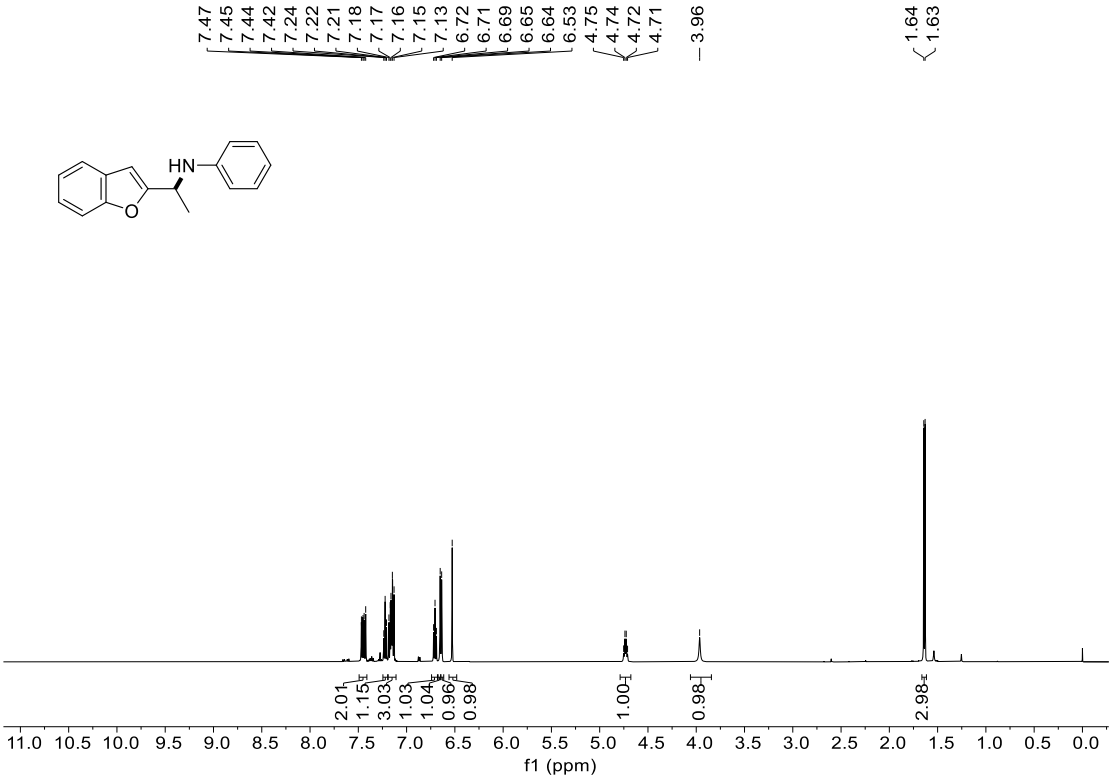


^{1}H NMR spectrum in $CDCl_3$.

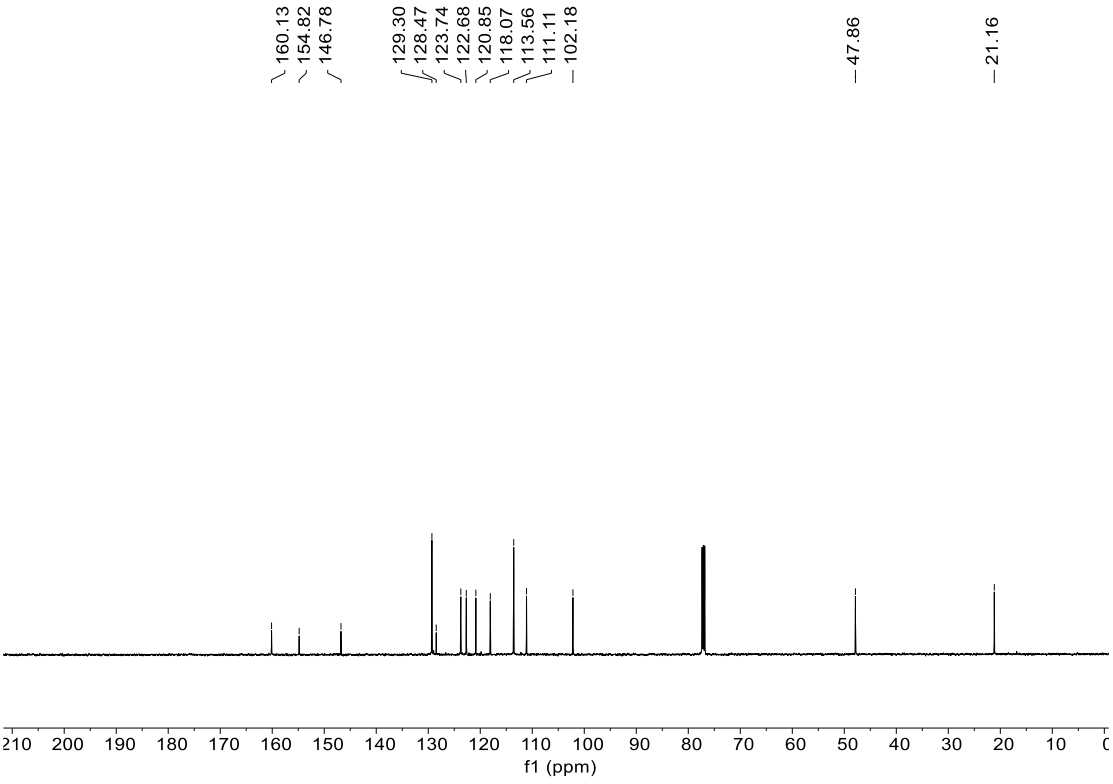


^{13}C NMR spectrum in $CDCl_3$.

***N*-(1-(benzofuran-2-yl)ethyl)aniline (25c)**:

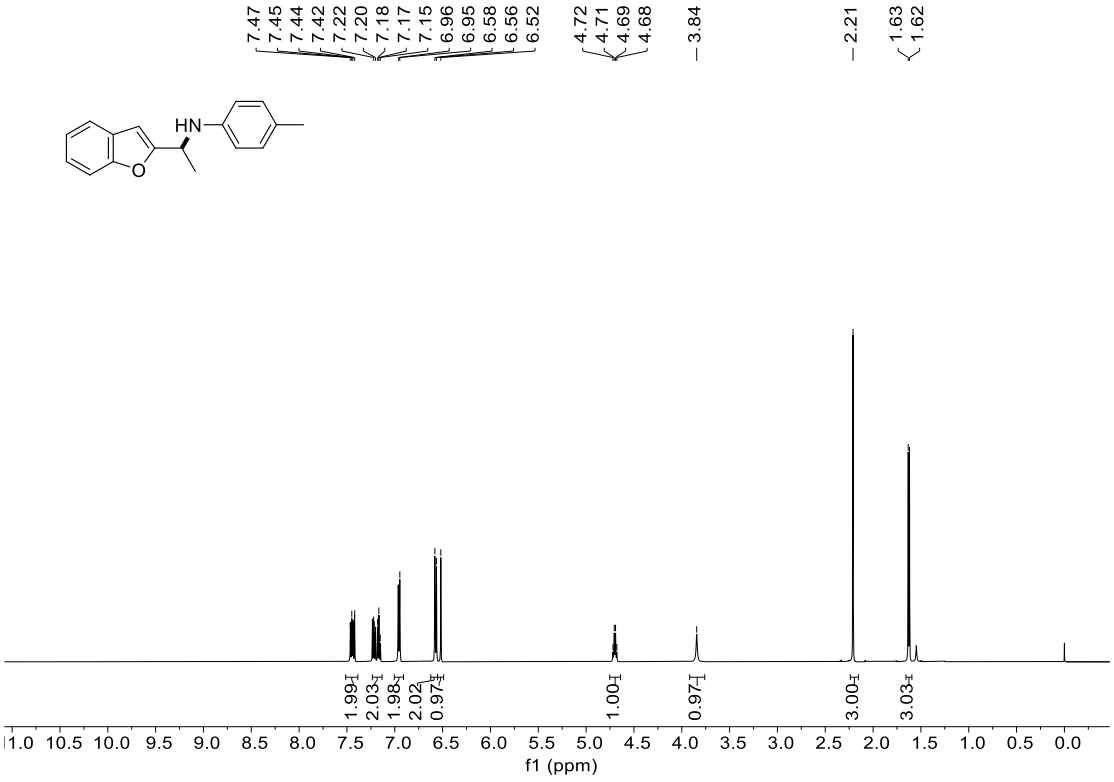


^{1}H NMR spectrum in $CDCl_3$.

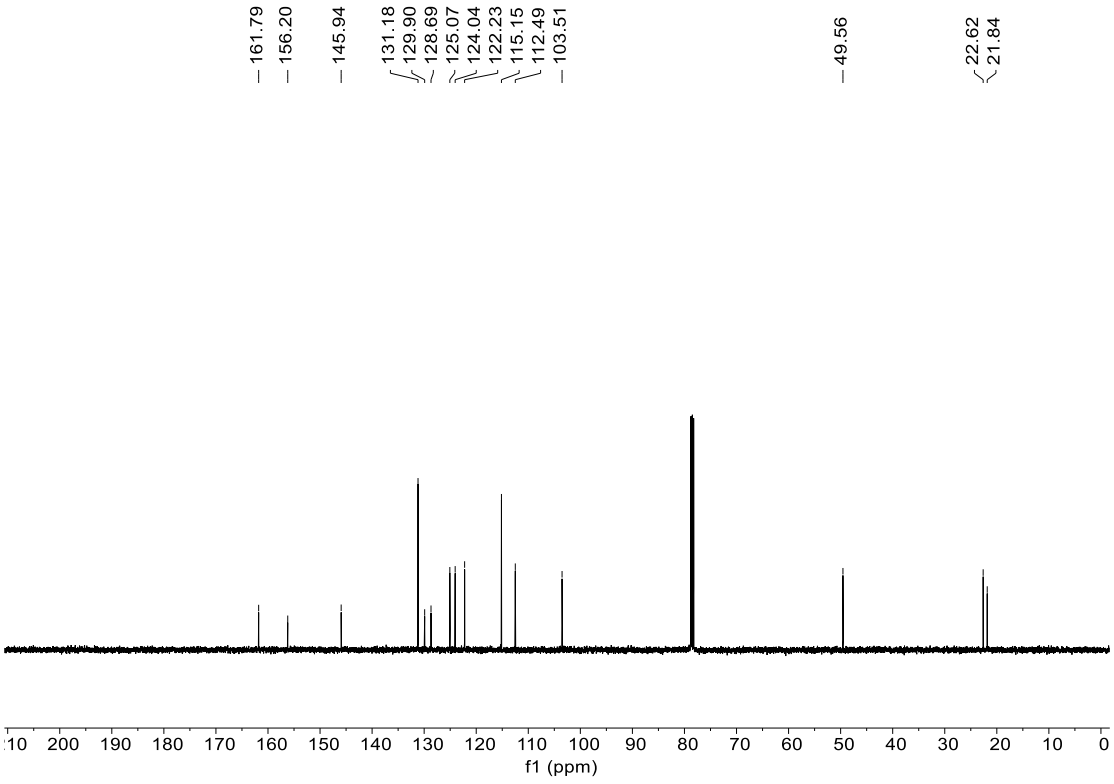


^{13}C NMR spectrum in $CDCl_3$.

***N*-(1-(benzofuran-2-yl)ethyl)-4-methylaniline (26c)**:

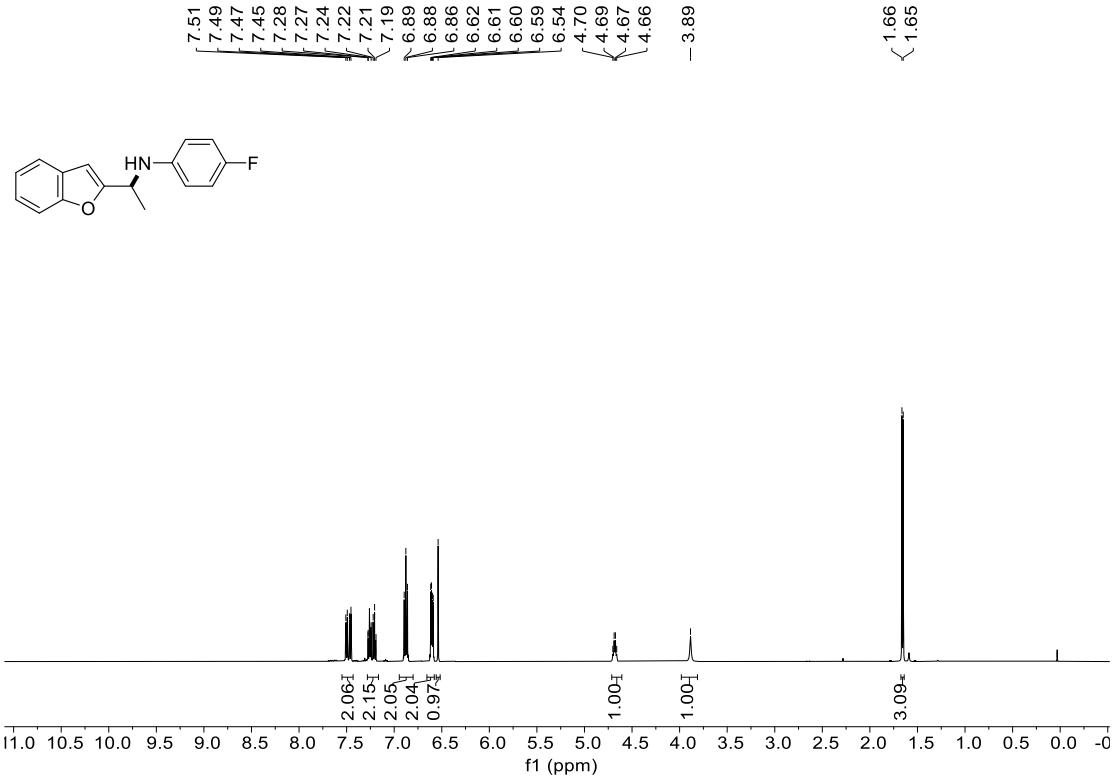


^{1}H NMR spectrum in $CDCl_3$.

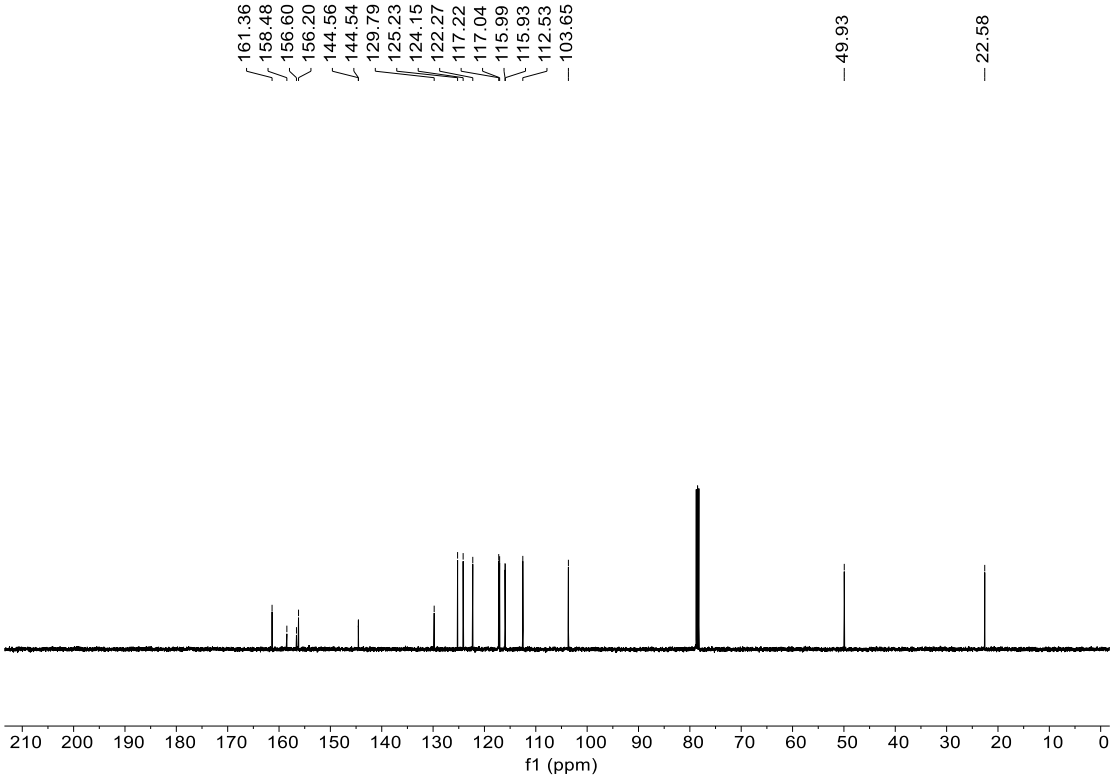


^{13}C NMR spectrum in $CDCl_3$.

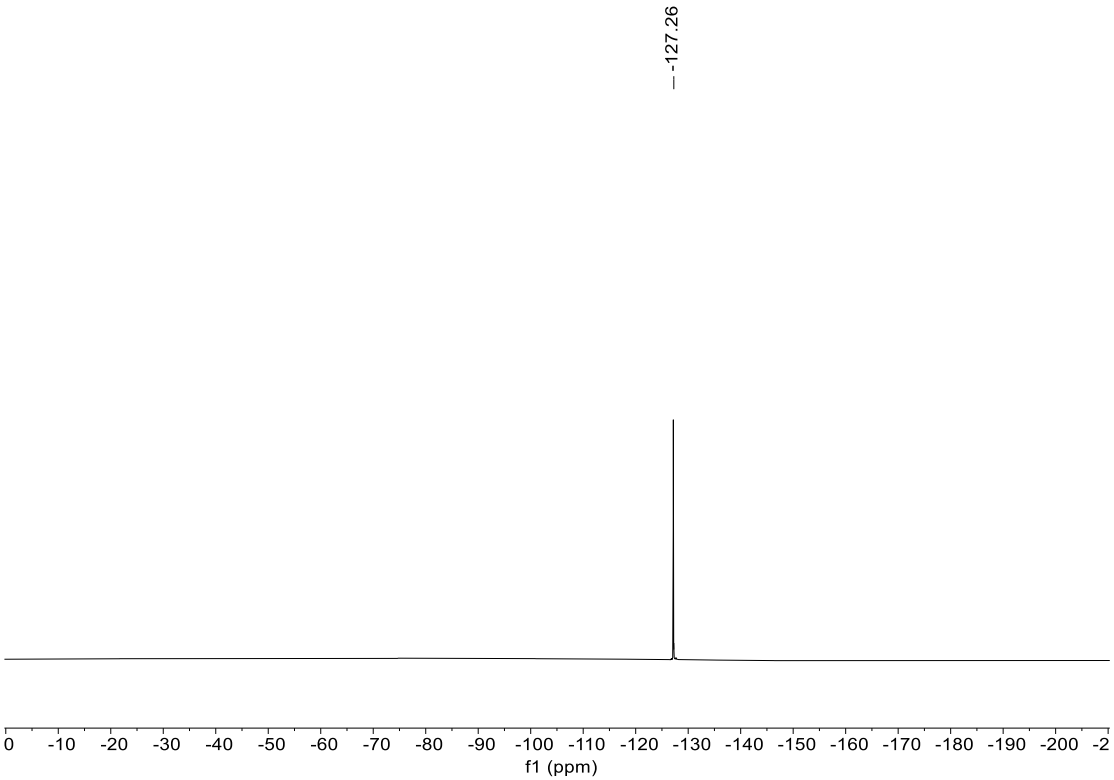
***N*-(1-(benzofuran-2-yl)ethyl)-4-fluoroaniline (27c)**:



^{1}H NMR spectrum in $CDCl_3$.

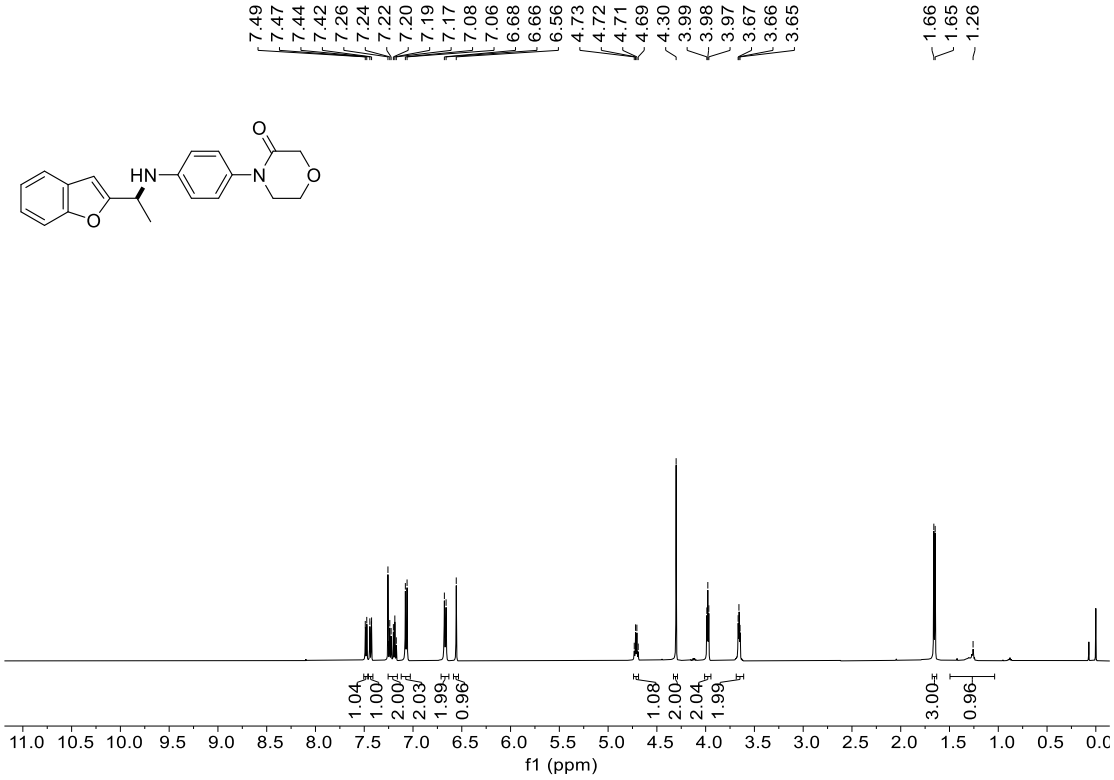


^{13}C NMR spectrum in $CDCl_3$.

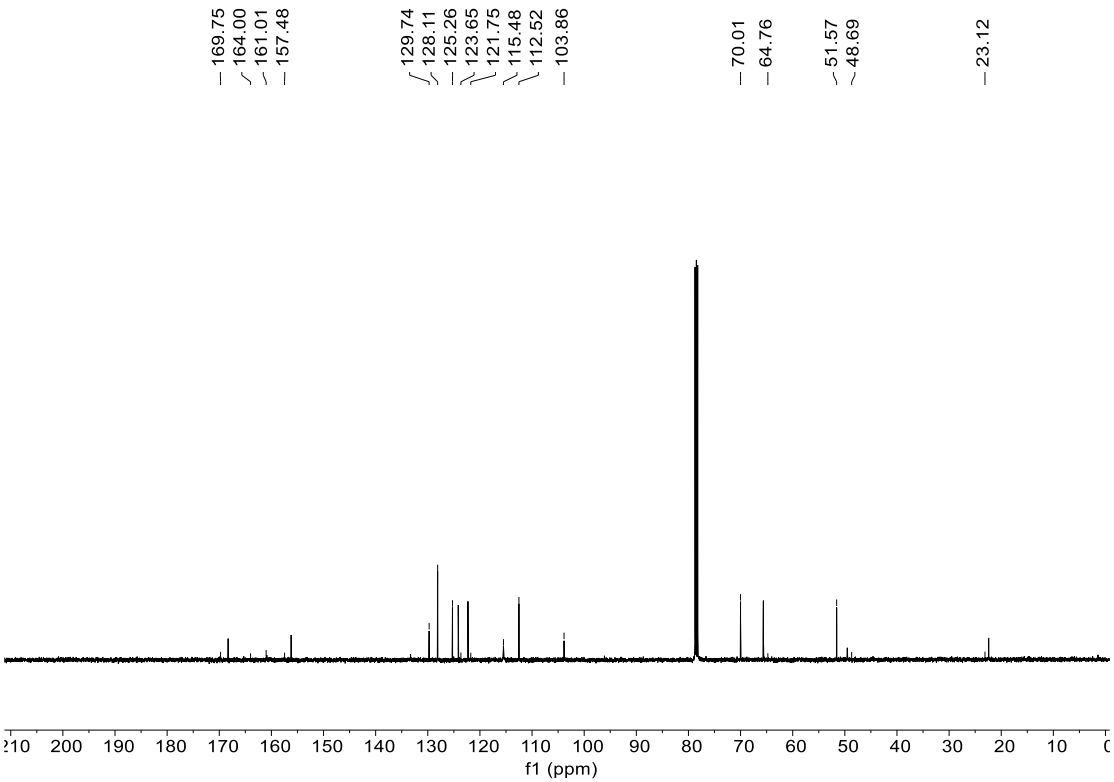


^{19}F NMR spectrum in $CDCl_3$.

4-(4-((1-(benzofuran-2-yl)ethyl)amino)phenyl)morpholin-3-one (28c):

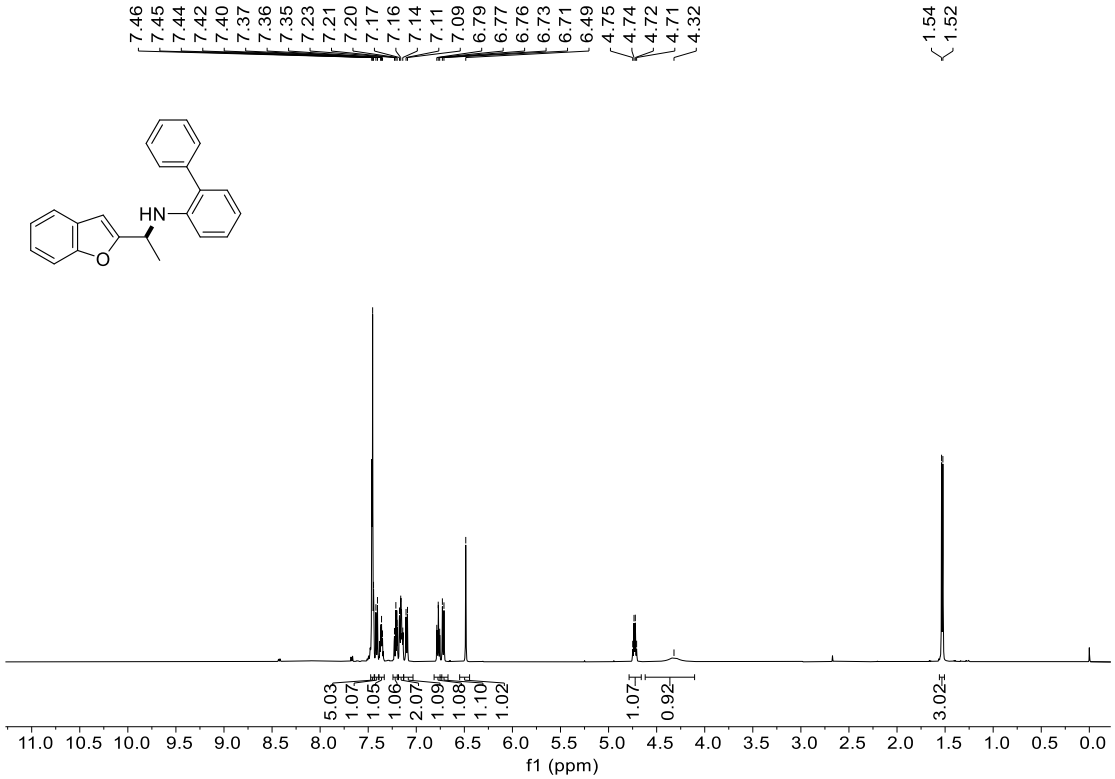


^{1}H NMR spectrum in $CDCl_3$.

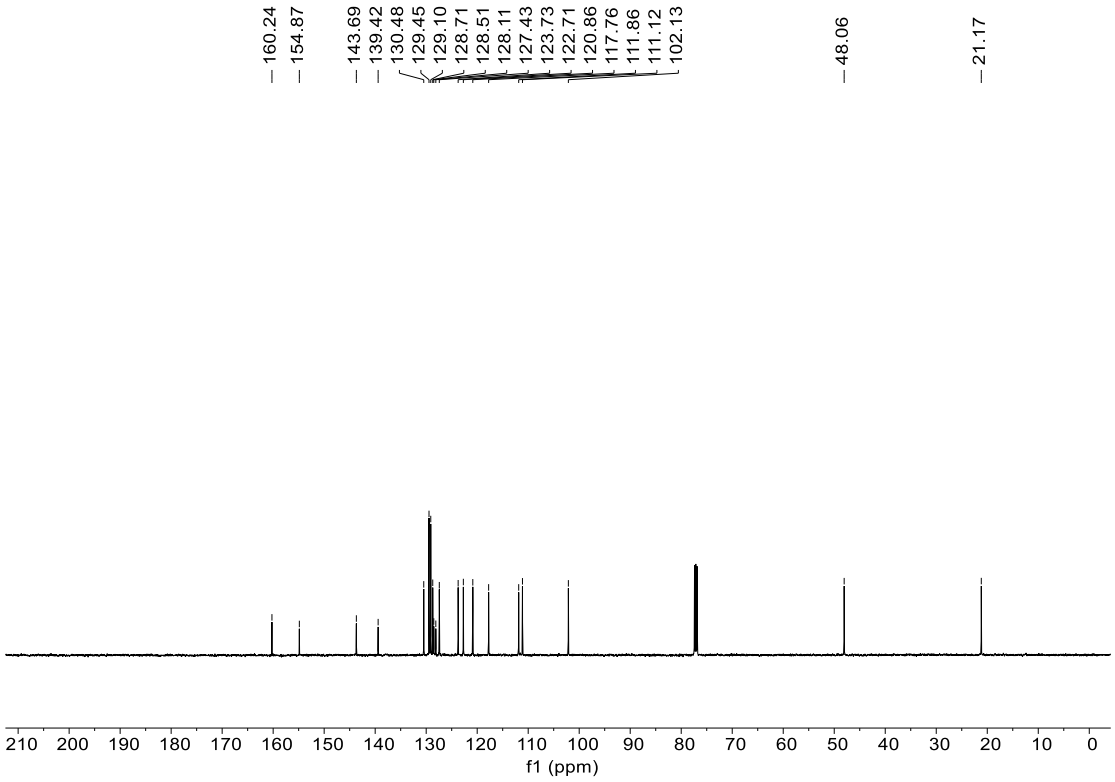


^{13}C NMR spectrum in $CDCl_3$.

***N*-(1-(benzofuran-2-yl)ethyl)-[1,1'-biphenyl]-2-amine (29c)**:

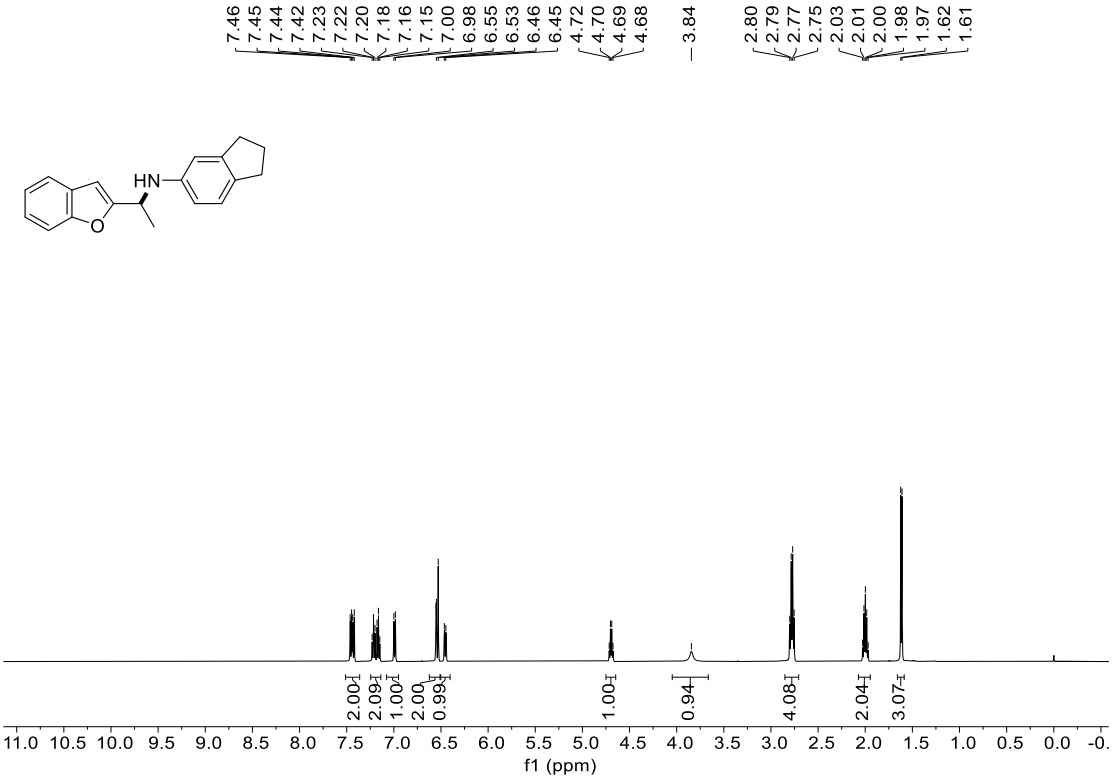


^{1}H NMR spectrum in $CDCl_3$.

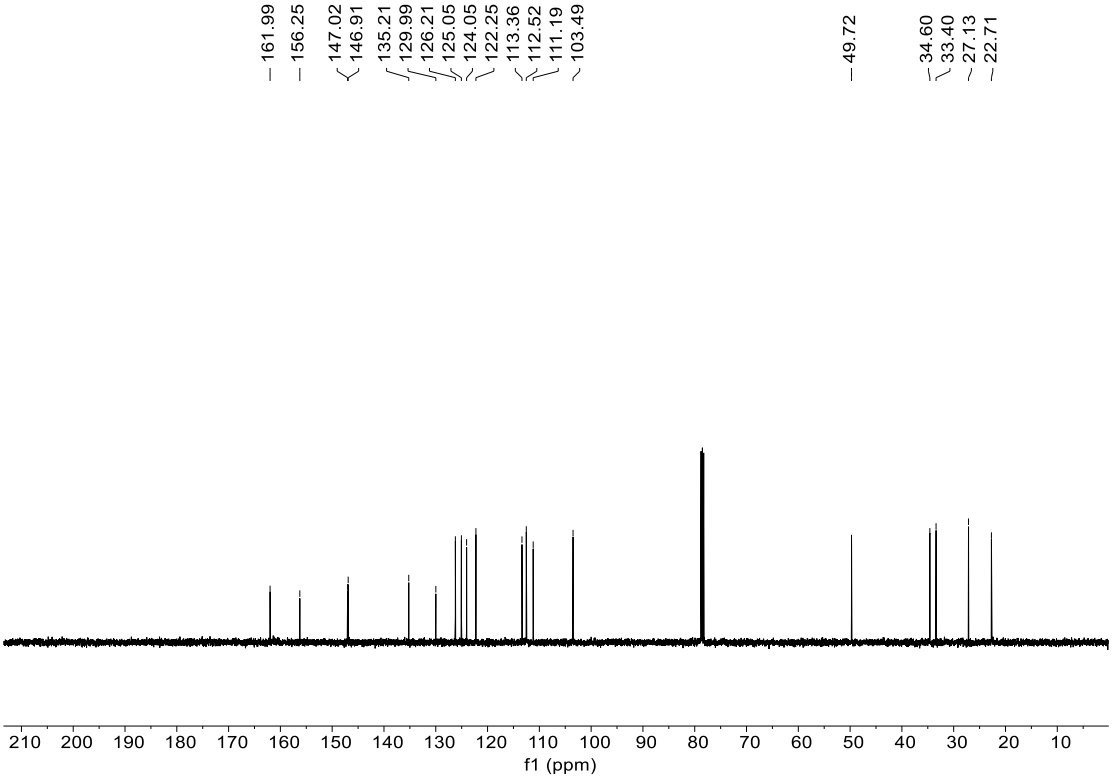


^{13}C NMR spectrum in $CDCl_3$.

***N*-(1-(benzofuran-2-yl)ethyl)-2,3-dihydro-1*H*-inden-5-amine (30c)**:

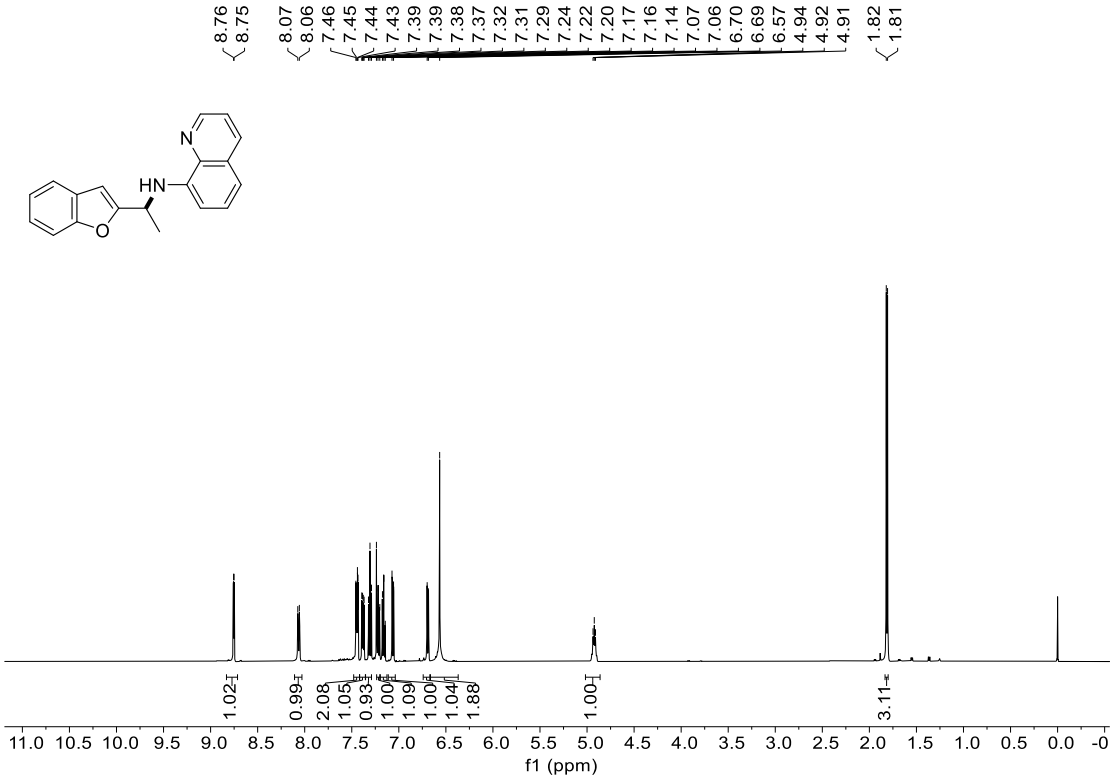


^{1}H NMR spectrum in $CDCl_3$.

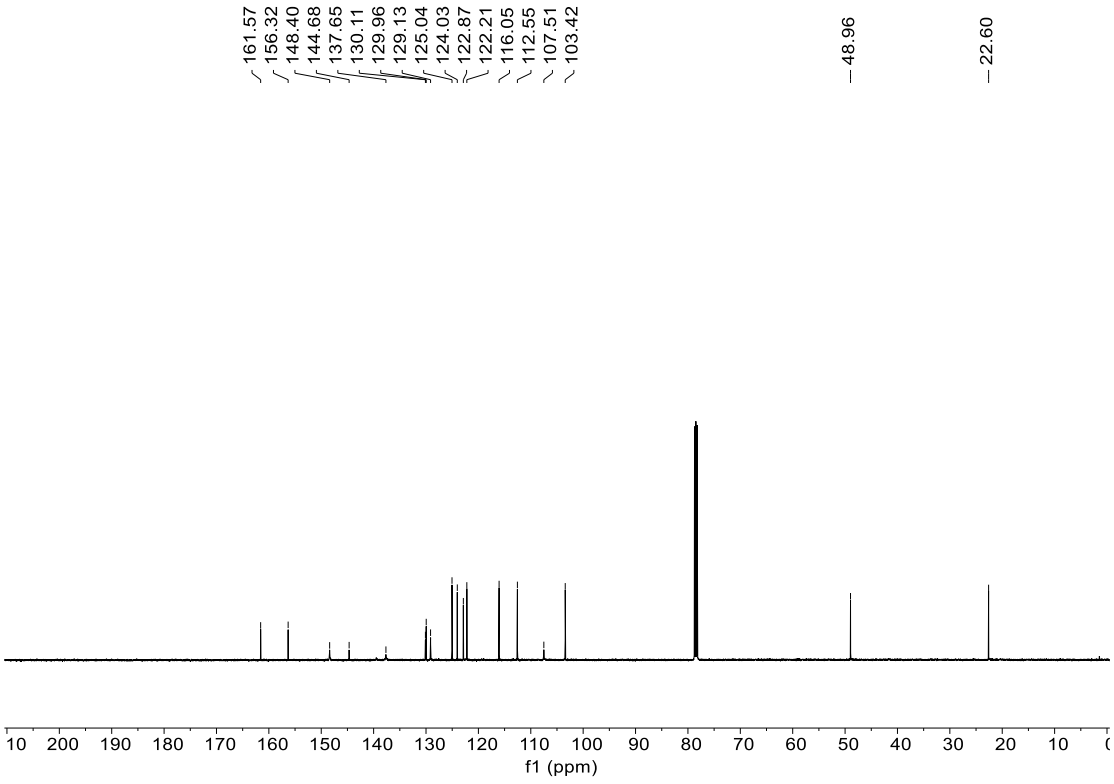


^{13}C NMR spectrum in $CDCl_3$.

***N*-(1-(benzofuran-2-yl)ethyl)quinolin-8-amine (31c)**:

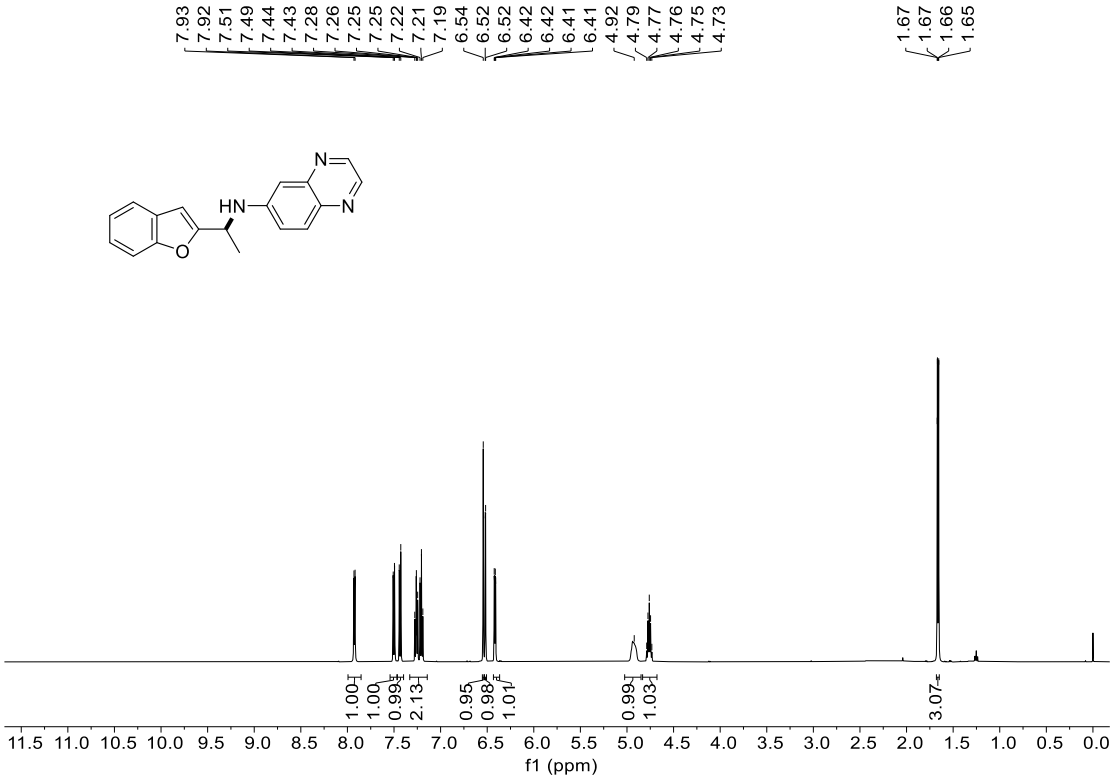


^{1}H NMR spectrum in $CDCl_3$.

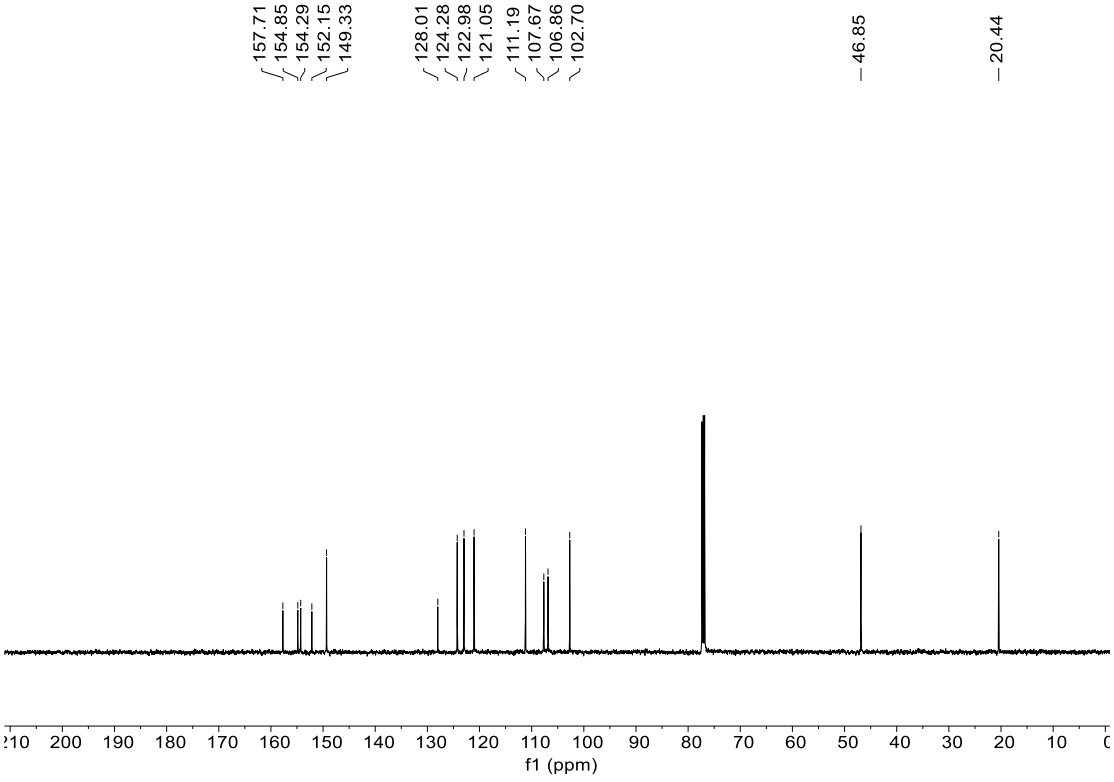


^{13}C NMR spectrum in $CDCl_3$.

***N*-(1-(benzofuran-2-yl)ethyl)quinoxalin-6-amine (32c)**:

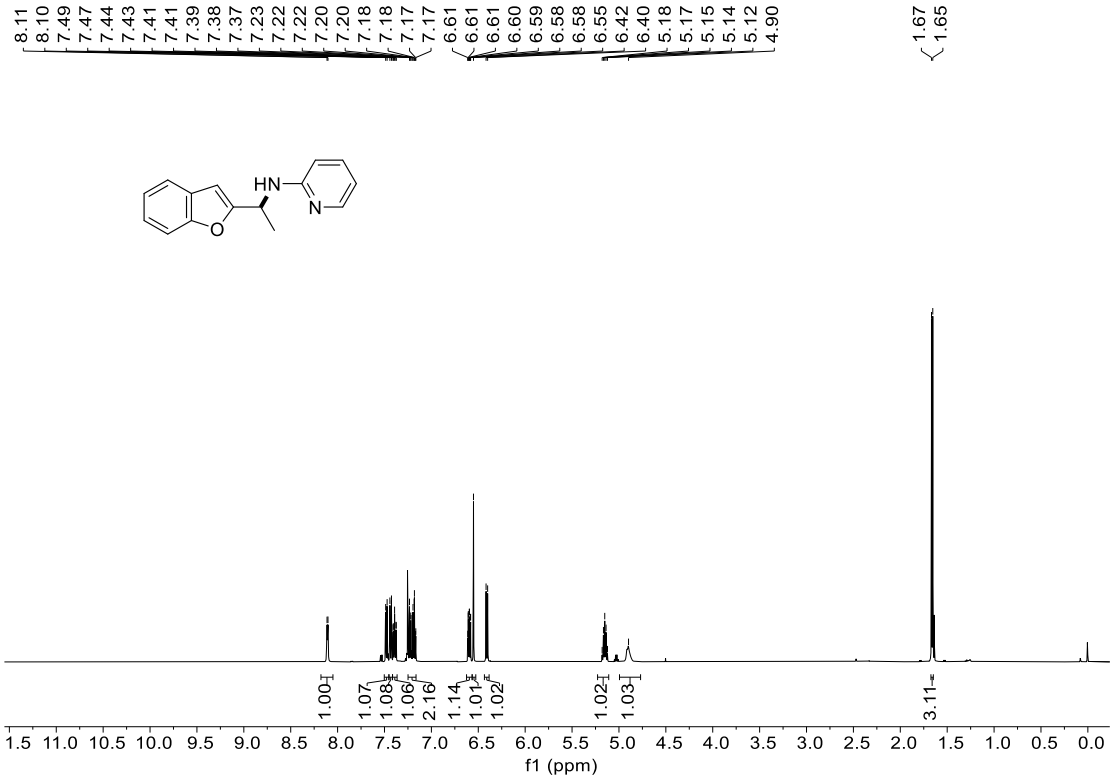


^{1}H NMR spectrum in $CDCl_3$.

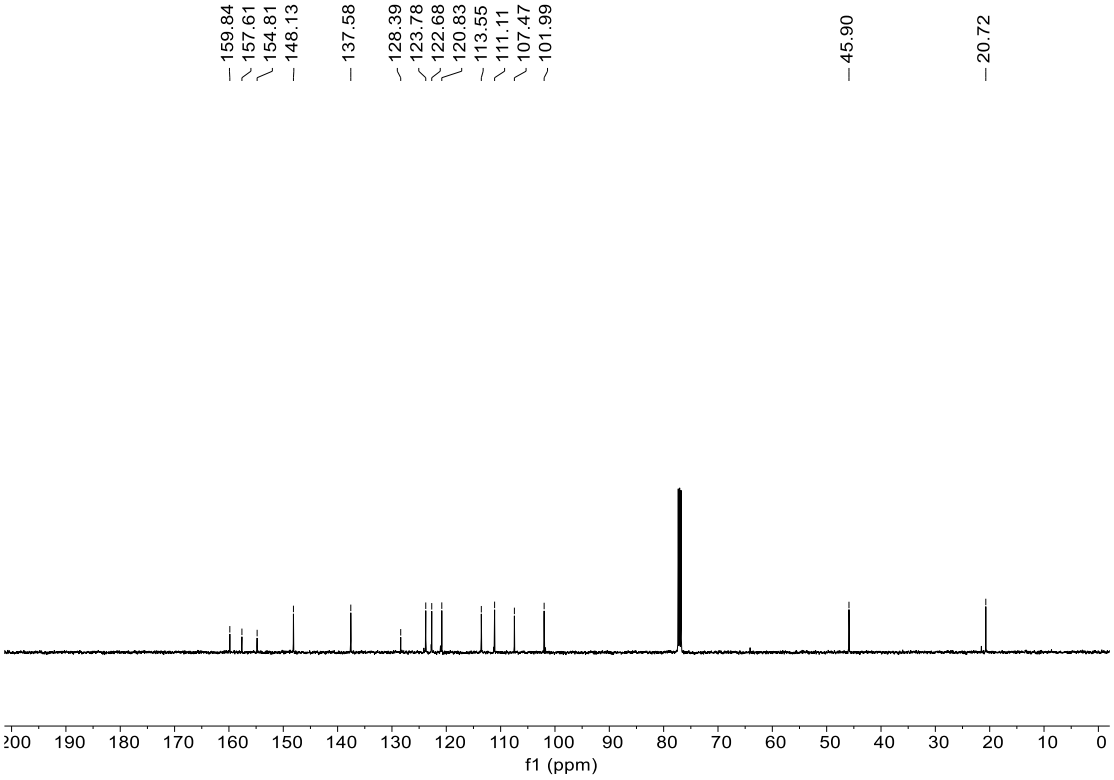


^{13}C NMR spectrum in $CDCl_3$.

***N*-(1-(benzofuran-2-yl)ethyl)pyridin-4-amine (33c)**:

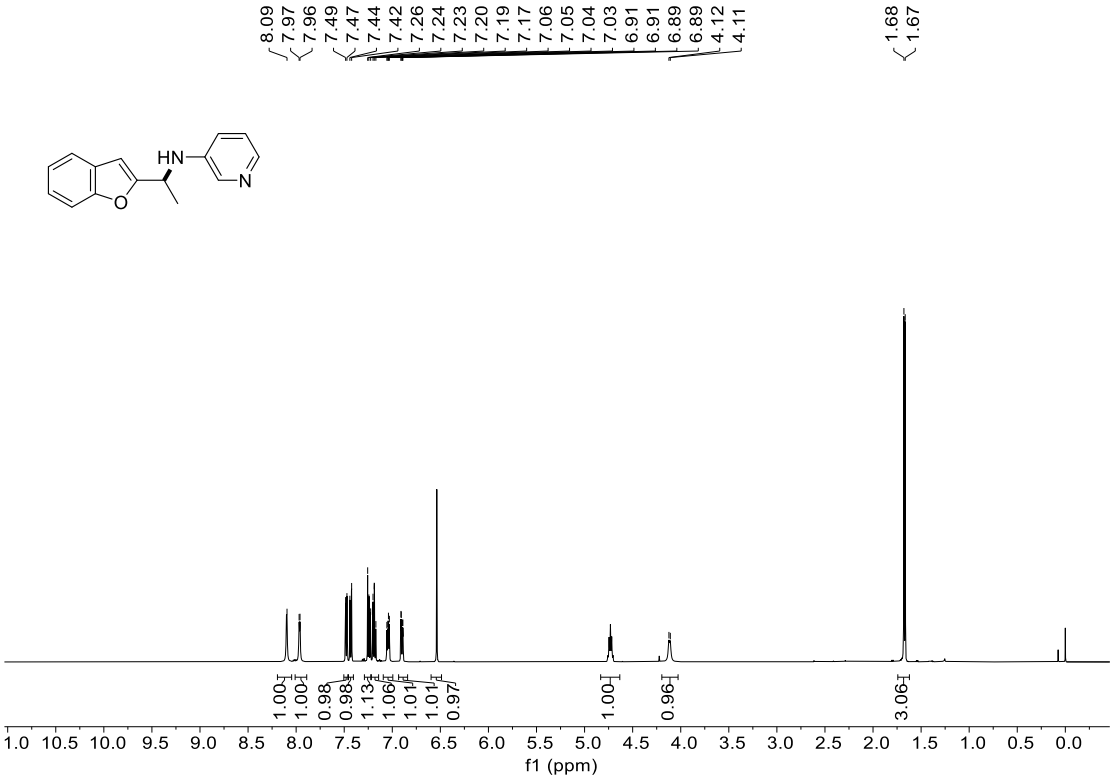


^{1}H NMR spectrum in $CDCl_3$.

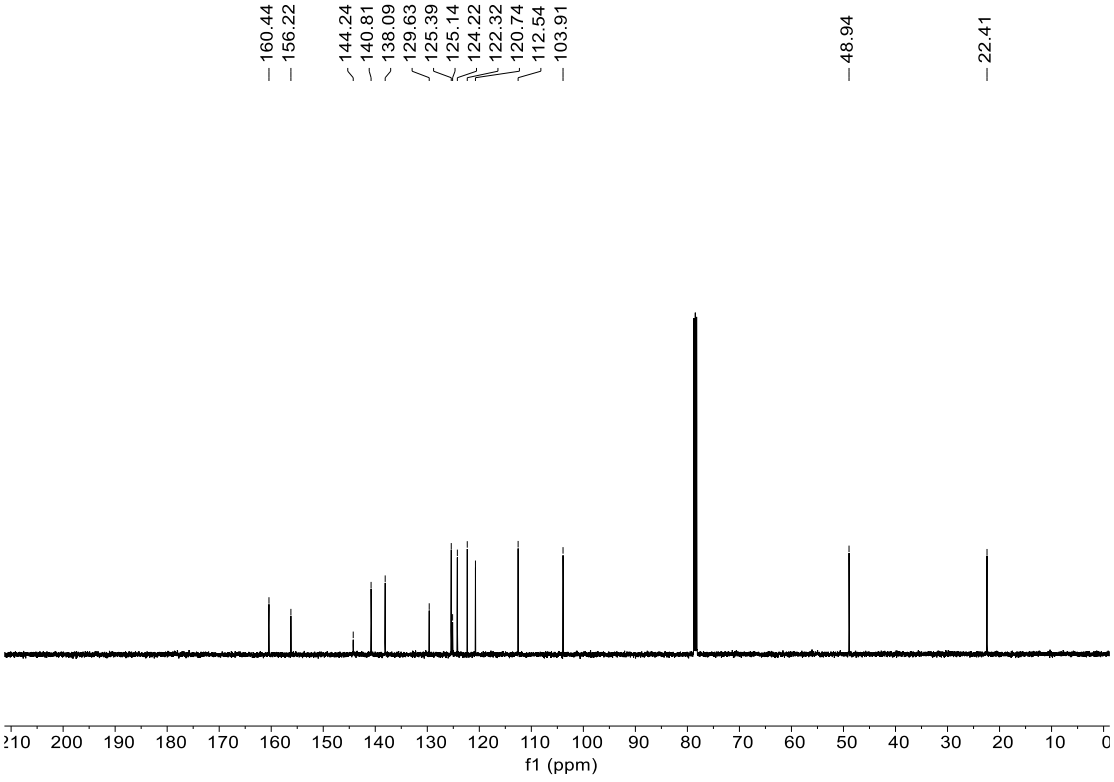


^{13}C NMR spectrum in $CDCl_3$.

***N*-(1-(benzofuran-2-yl)ethyl)pyridin-3-amine (34c)**:

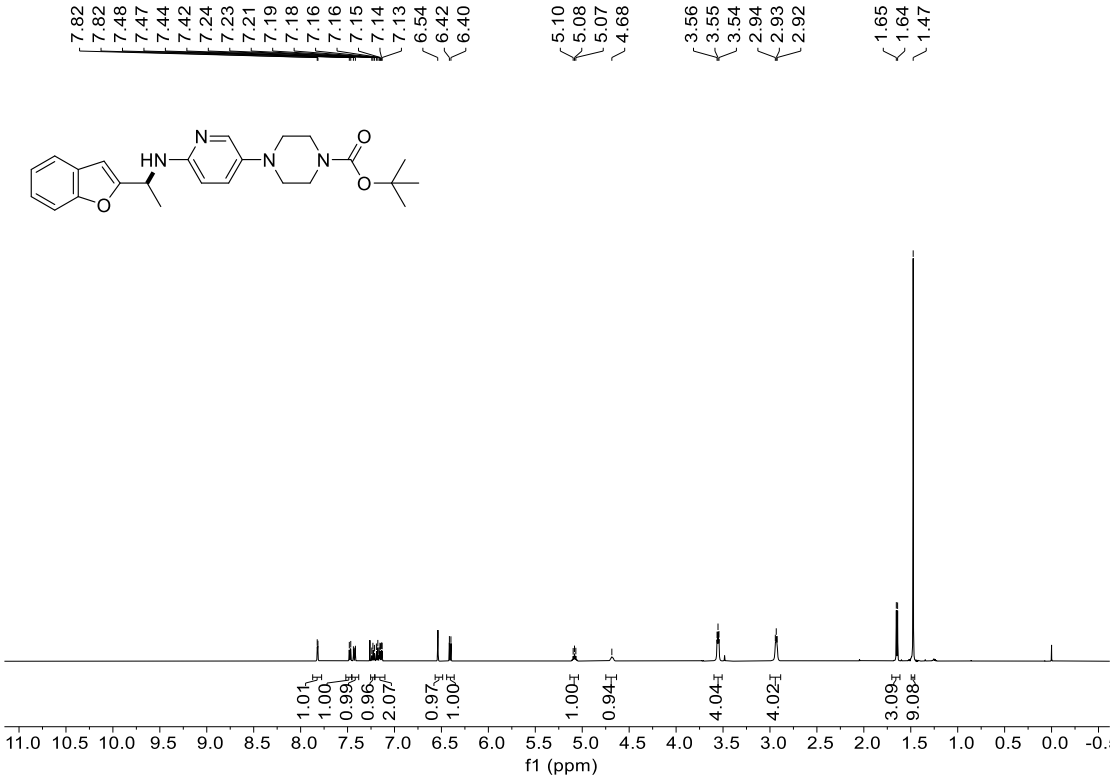


^{1}H NMR spectrum in $CDCl_3$.

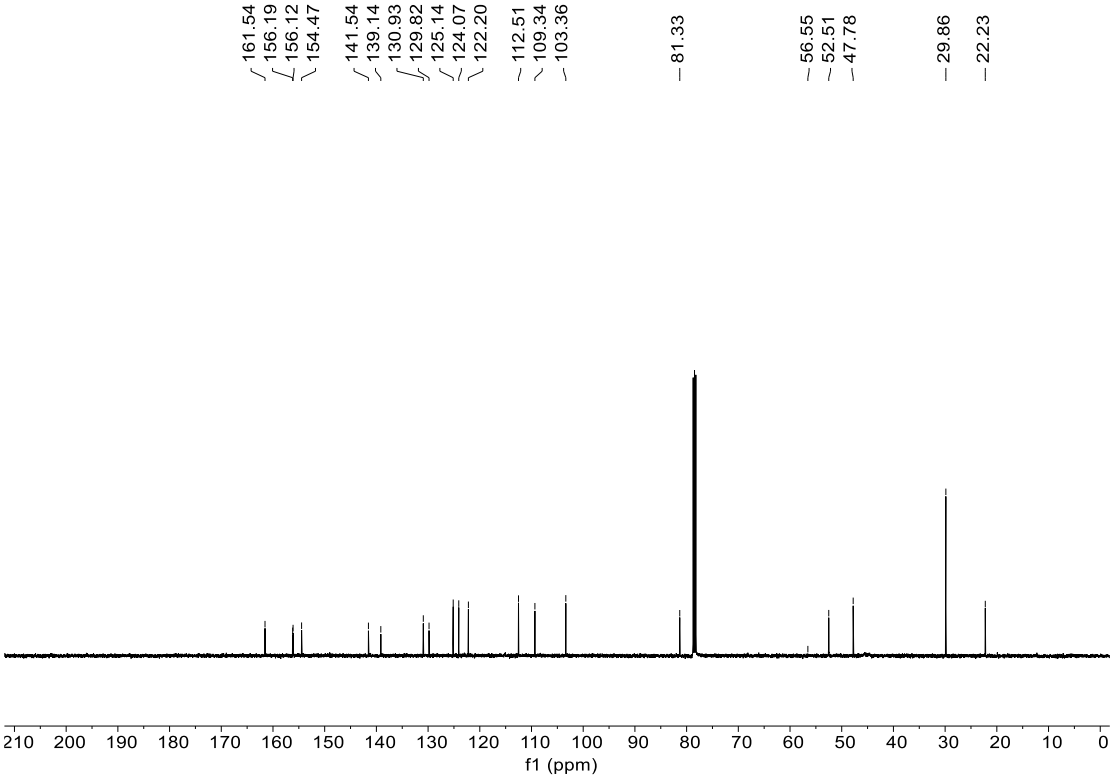


^{13}C NMR spectrum in $CDCl_3$.

***tert*-butyl-4-(6-((1-(benzofuran-2-yl)ethyl)amino)pyridin-3-yl)piperazine-1-carboxylate (35c)**:

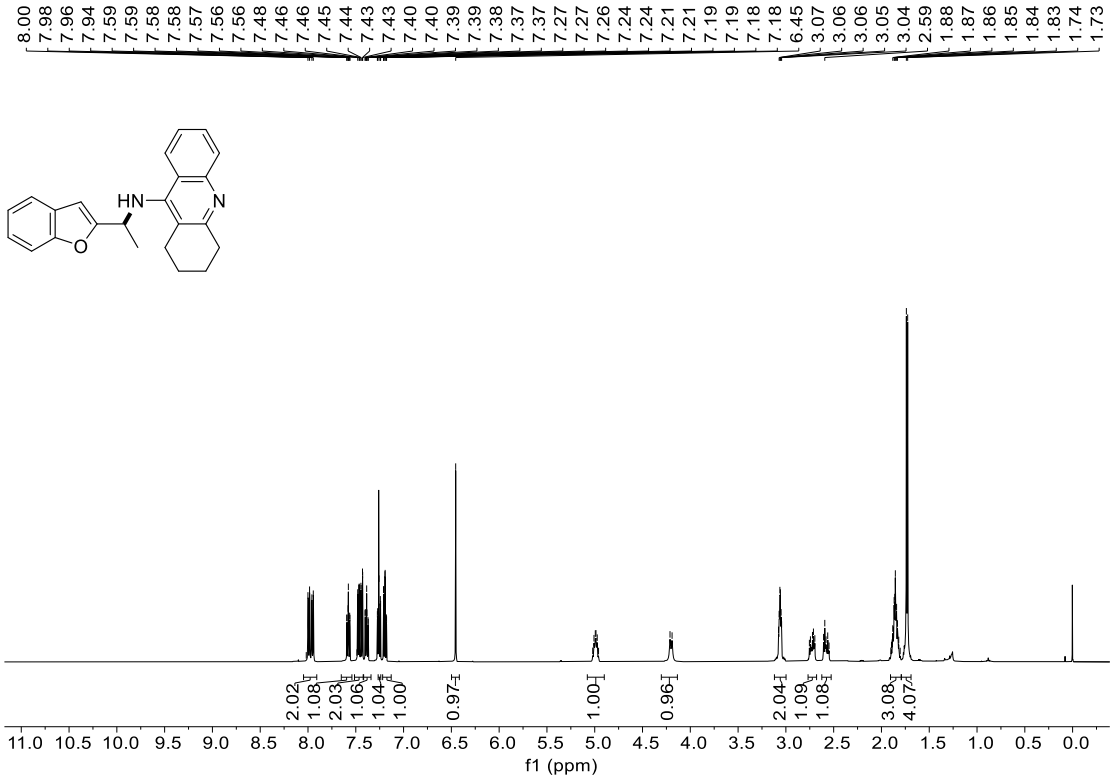


^{1}H NMR spectrum in $CDCl_3$.

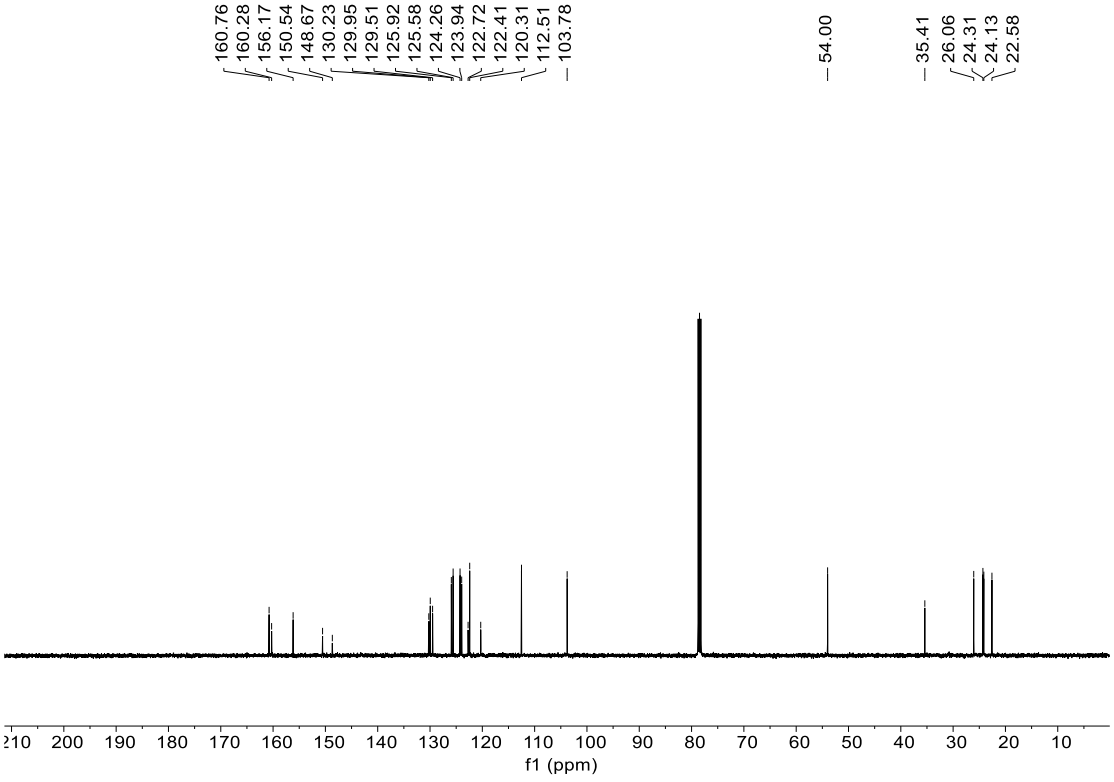


^{13}C NMR spectrum in $CDCl_3$.

***N*-(1-(benzofuran-2-yl)ethyl)-1,2,3,4-tetrahydroacridin-9-amine (36c)**:

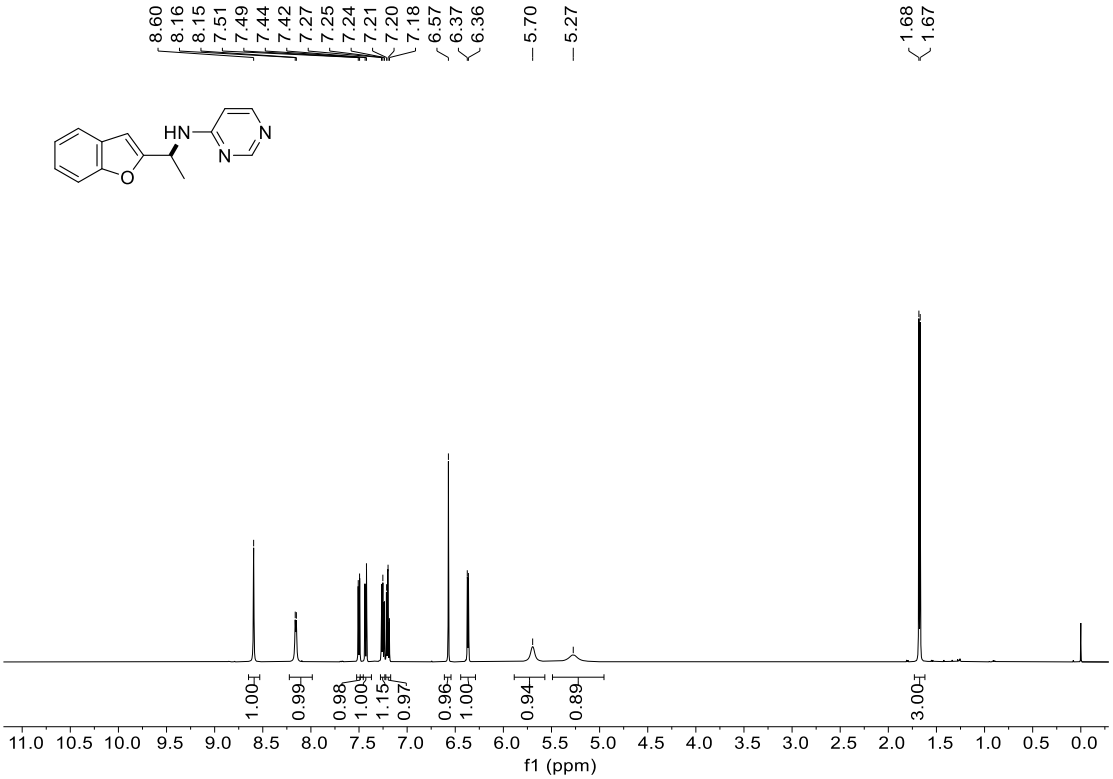


^{1}H NMR spectrum in $CDCl_3$.

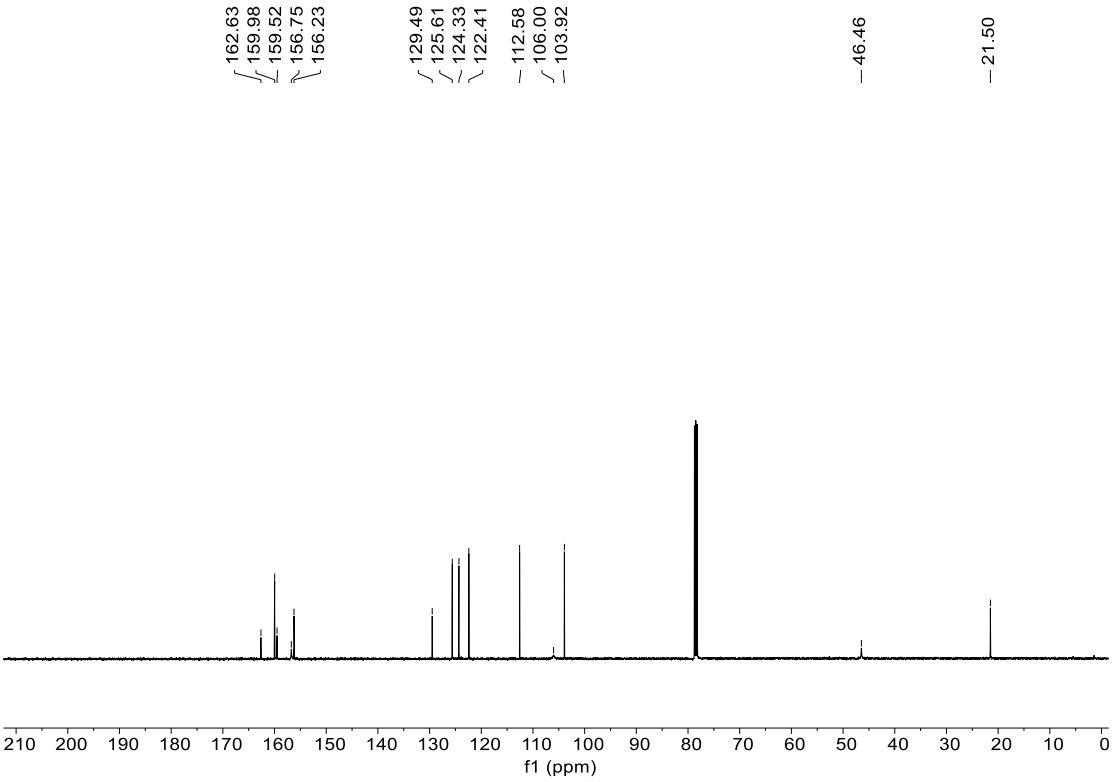


^{13}C NMR spectrum in $CDCl_3$.

***N*-(1-(benzofuran-2-yl)ethyl)pyrimidin-4-amine(37c)**:

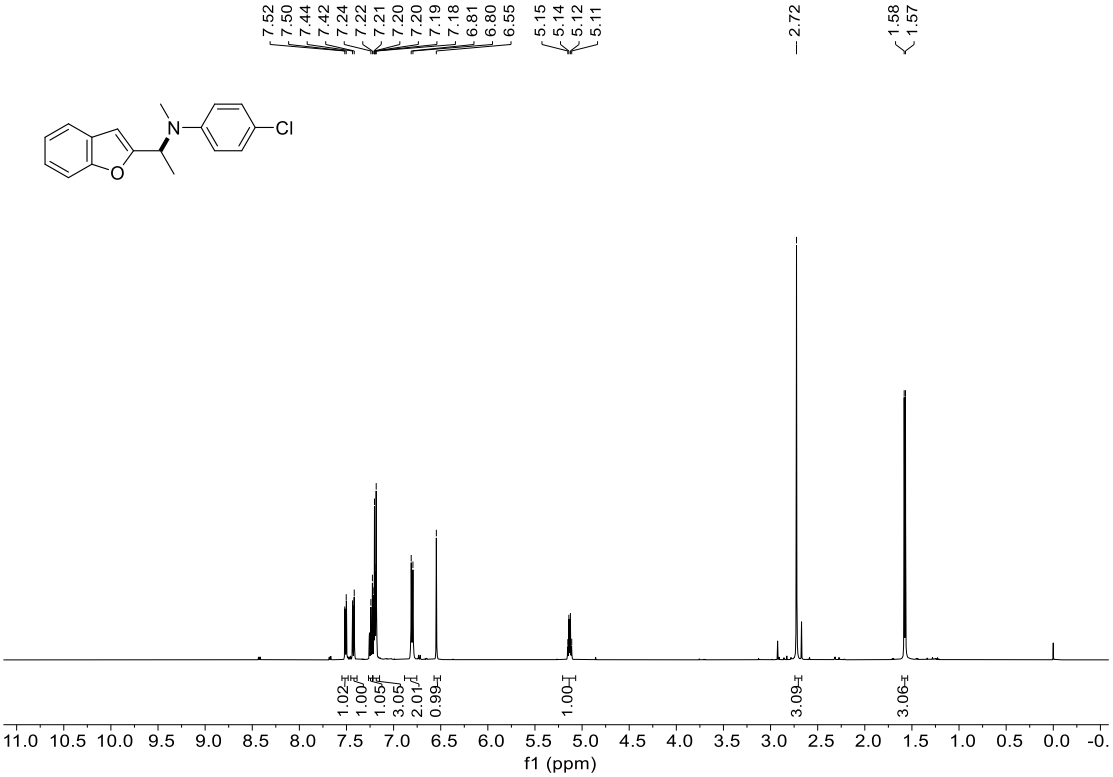


^{1}H NMR spectrum in $CDCl_3$.

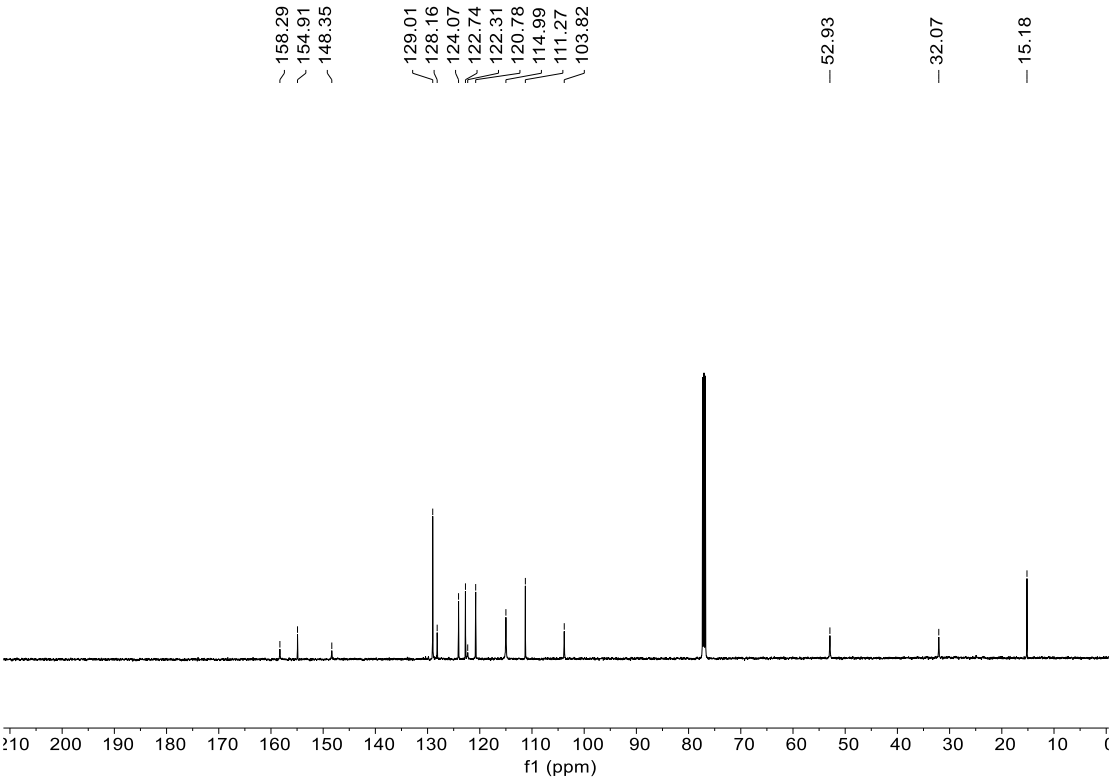


^{13}C NMR spectrum in $CDCl_3$.

***N*-(1-(benzofuran-2-yl)ethyl)-4-chloro-N-methylaniline (38c)**:

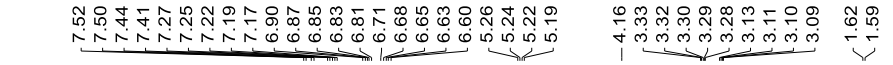


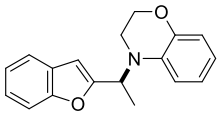
^{1}H NMR spectrum in $CDCl_3$.

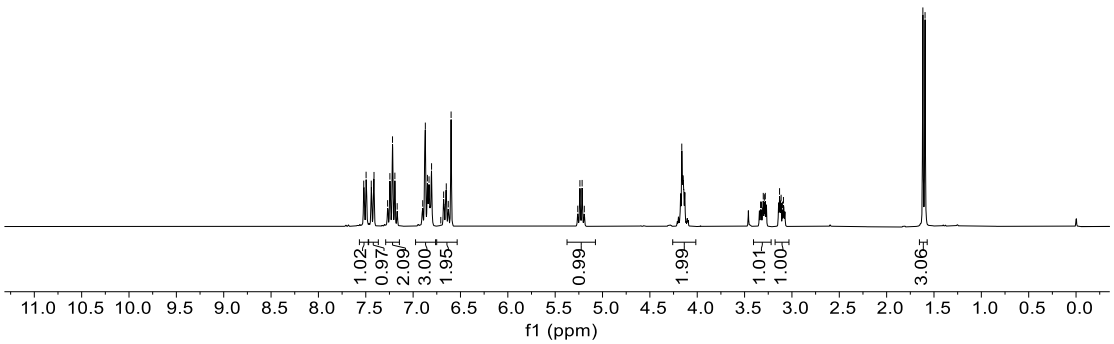


^{13}C NMR spectrum in $CDCl_3$.

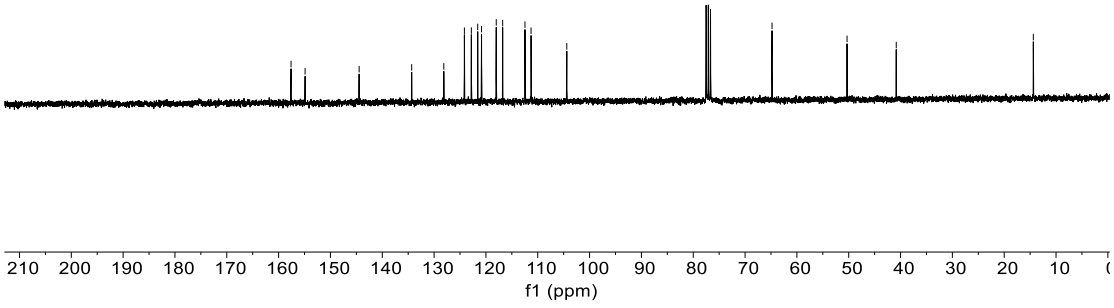
4-(1-(benzofuran-2-yl)ethyl)-3,4-dihydro-2*H*-benzo[b][1,4]oxazine (39c):





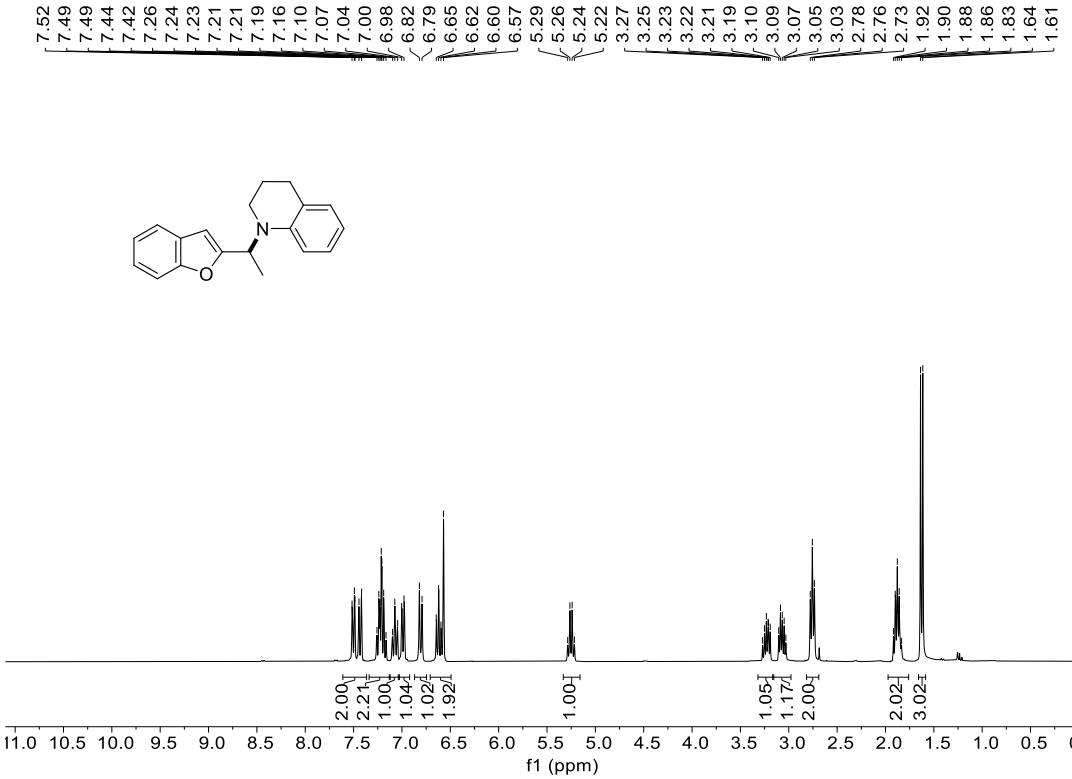


^{1}H NMR spectrum in $CDCl_3$.

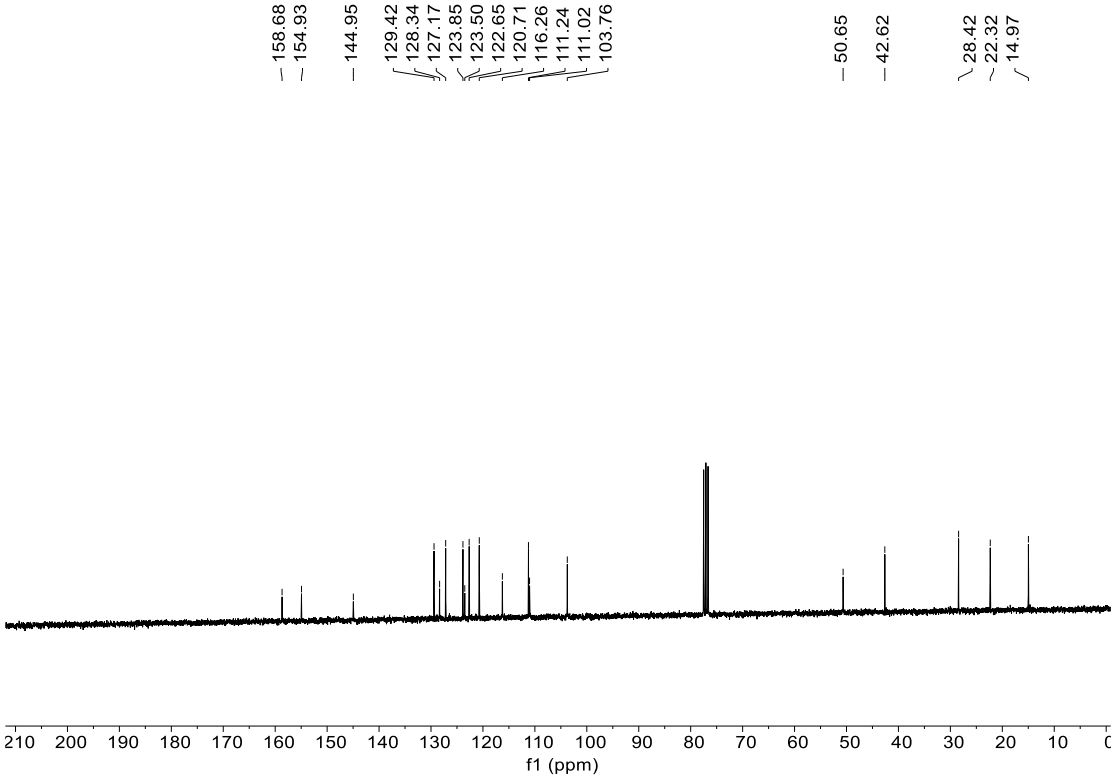


^{13}C NMR spectrum in $CDCl_3$.

1-(1-(benzofuran-2-yl)ethyl)-1,2,3,4-tetrahydroquinoline (40c):

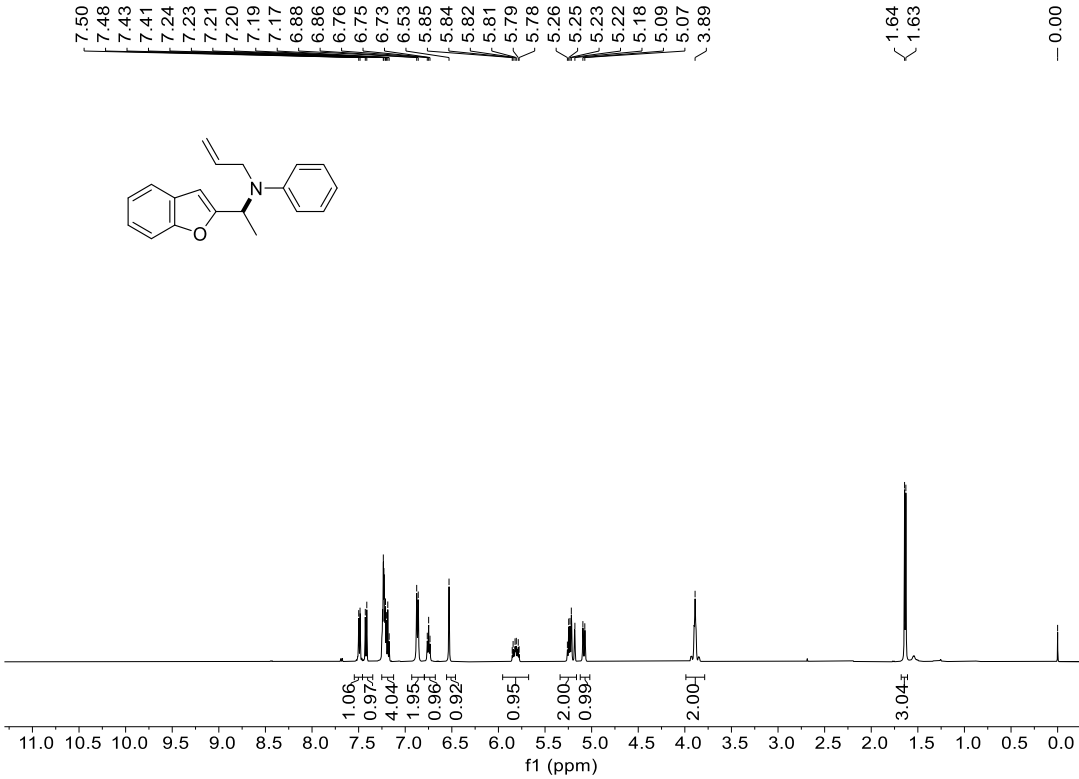


^{1}H NMR spectrum in $CDCl_3$.

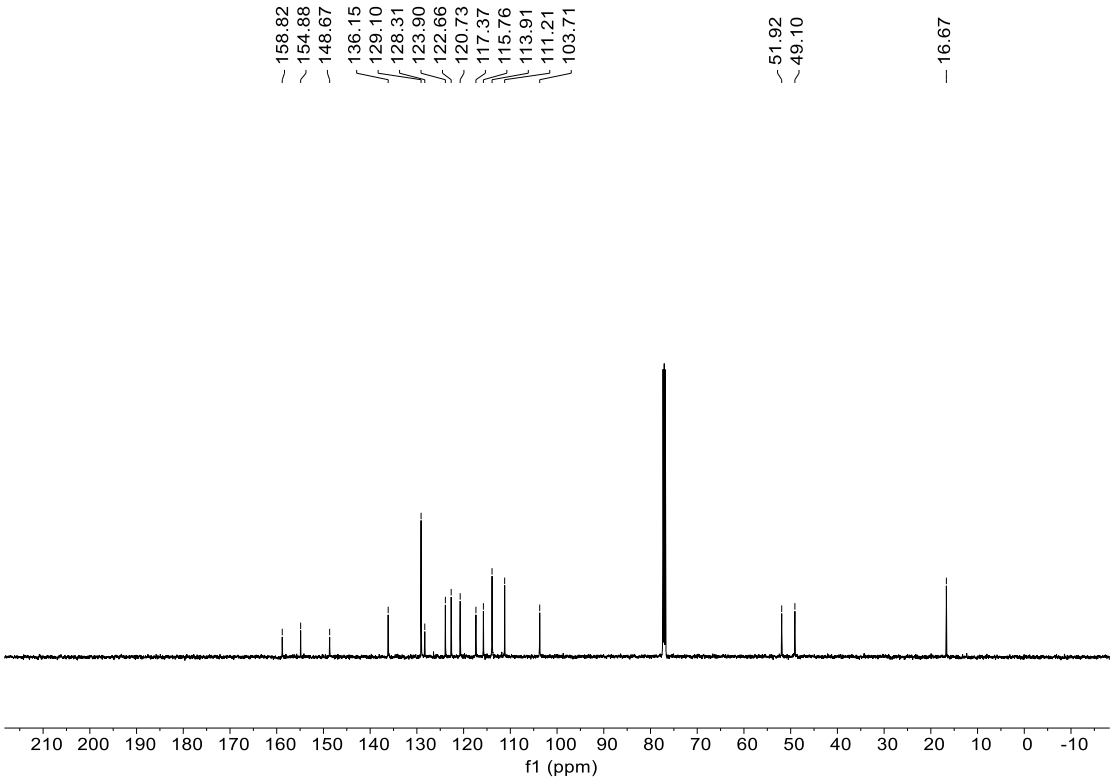


^{13}C NMR spectrum in $CDCl_3$.

***N*-allyl-*N*-(1-(benzofuran-2-yl)ethyl)aniline (41c)**:

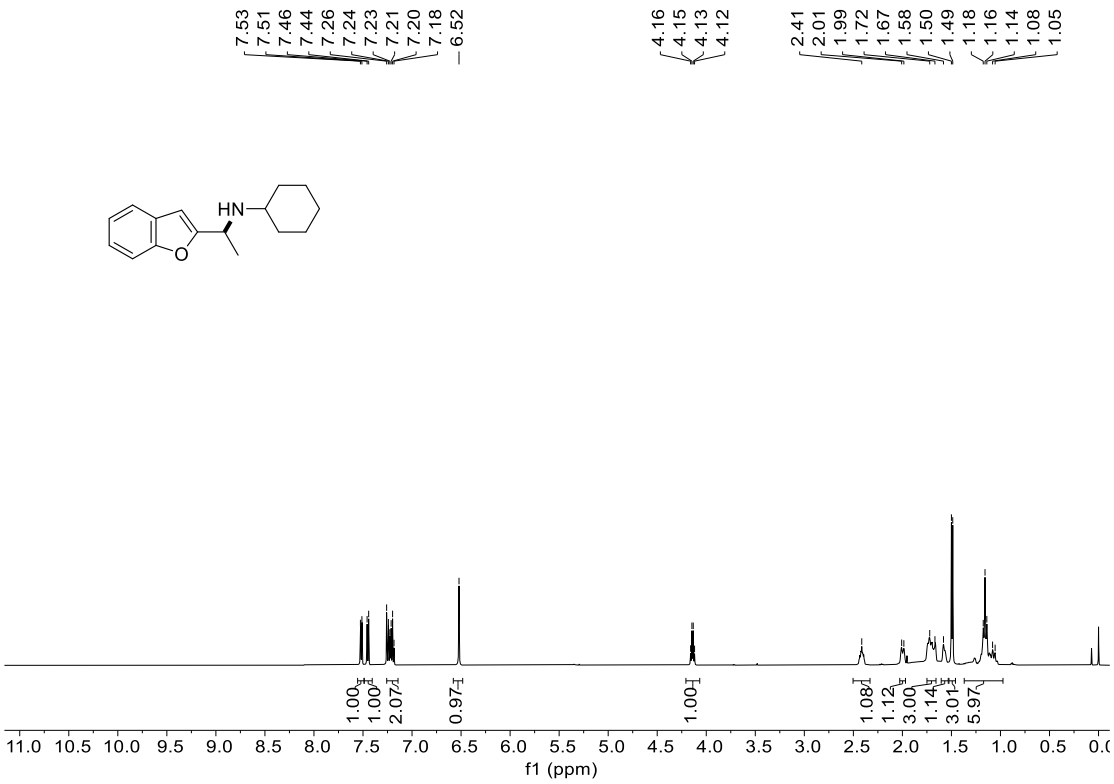


^{1}H NMR spectrum in $CDCl_3$.

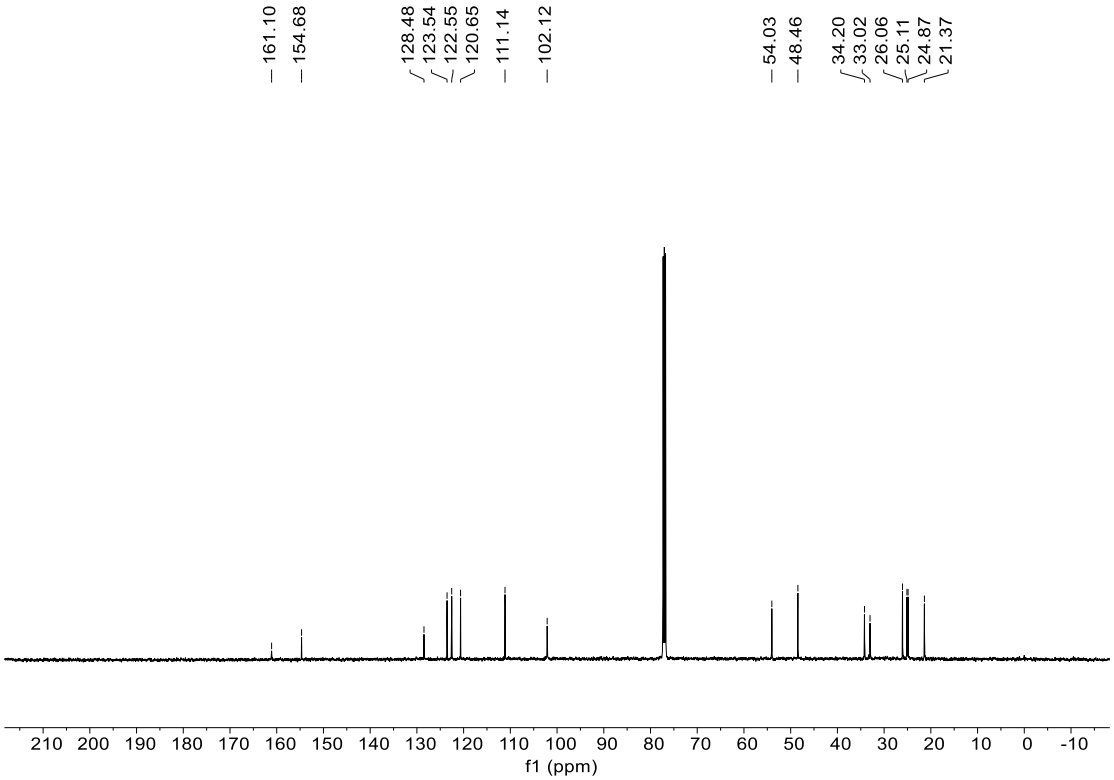


^{13}C NMR spectrum in $CDCl_3$.

***N*-(1-(benzofuran-2-yl)ethyl)cyclohexanamine (42c):**

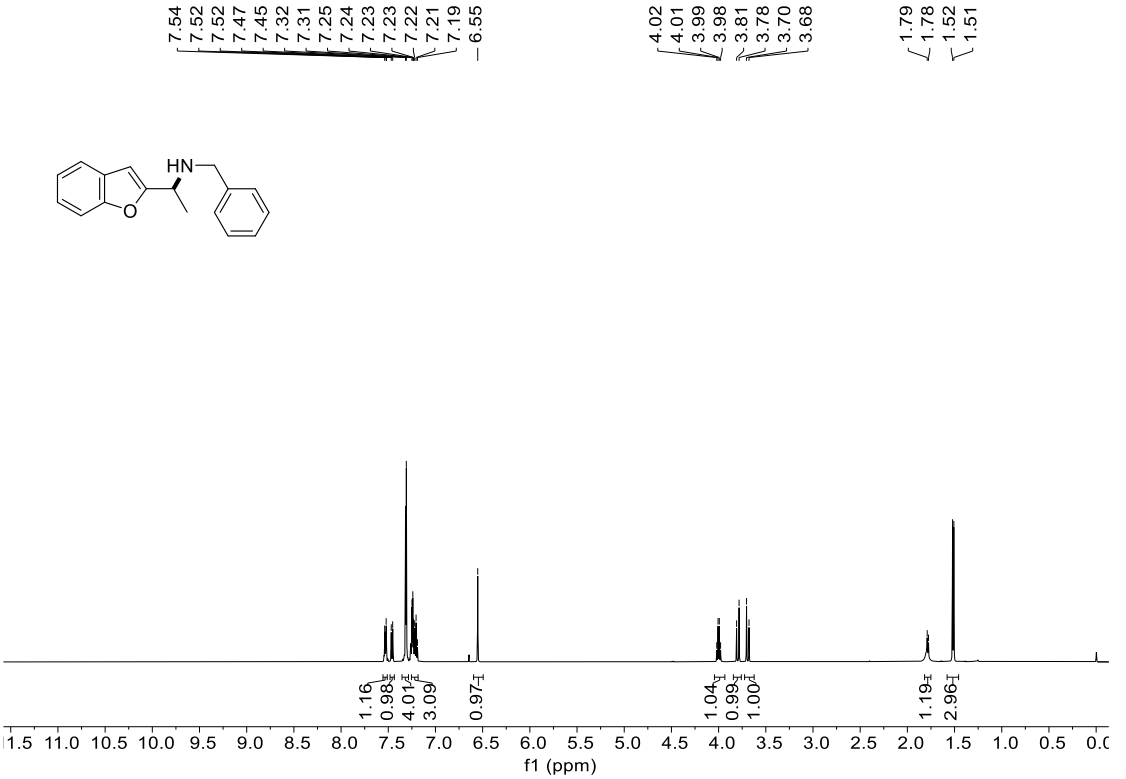


^{1}H NMR spectrum in $CDCl_3$.

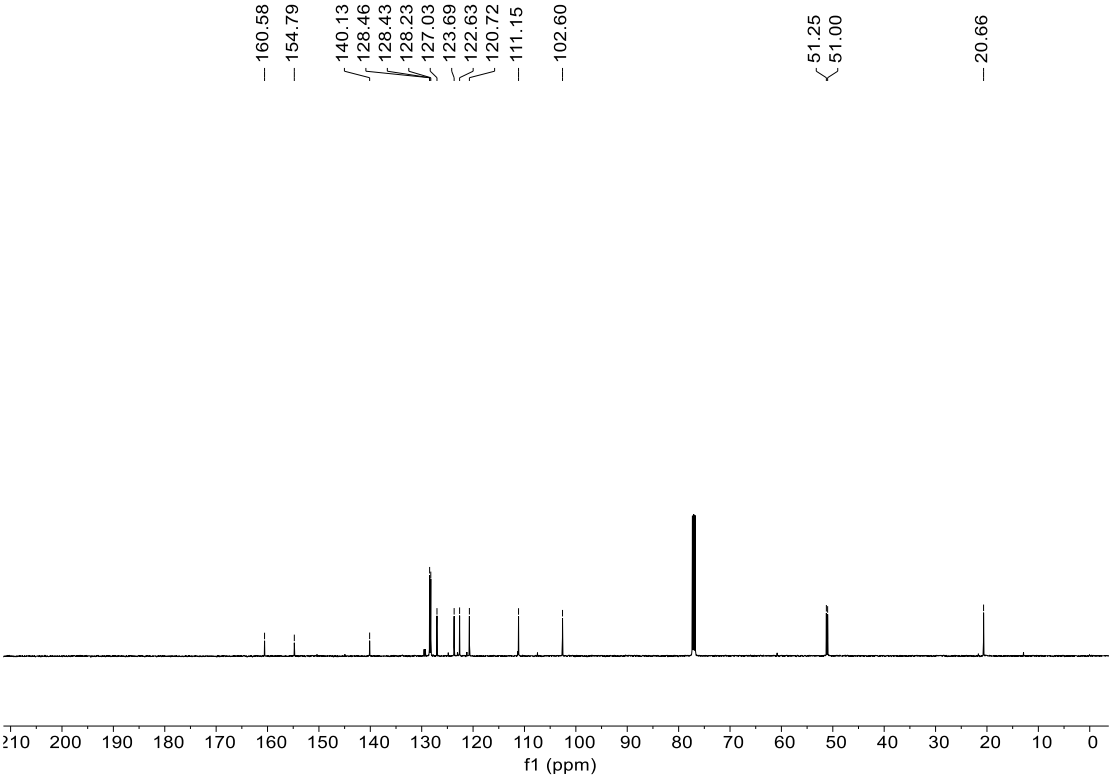


^{13}C NMR spectrum in $CDCl_3$.

1-(benzofuran-2-yl)-*N*-benzylethan-1-amine (43c):

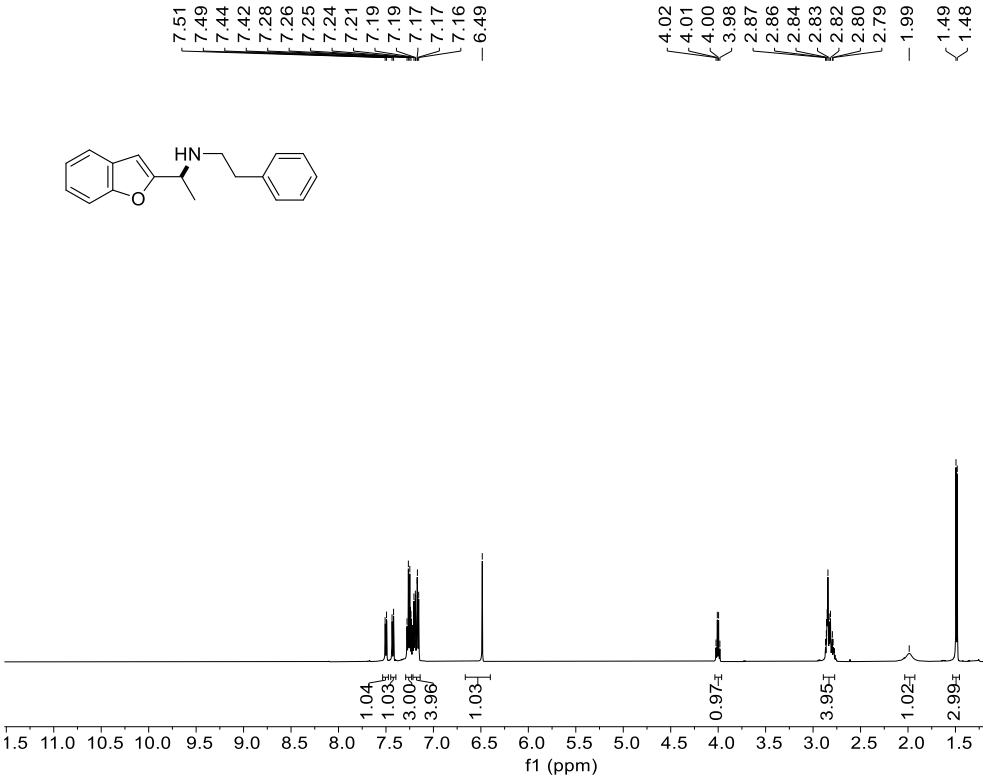


^{1}H NMR spectrum in $CDCl_3$.

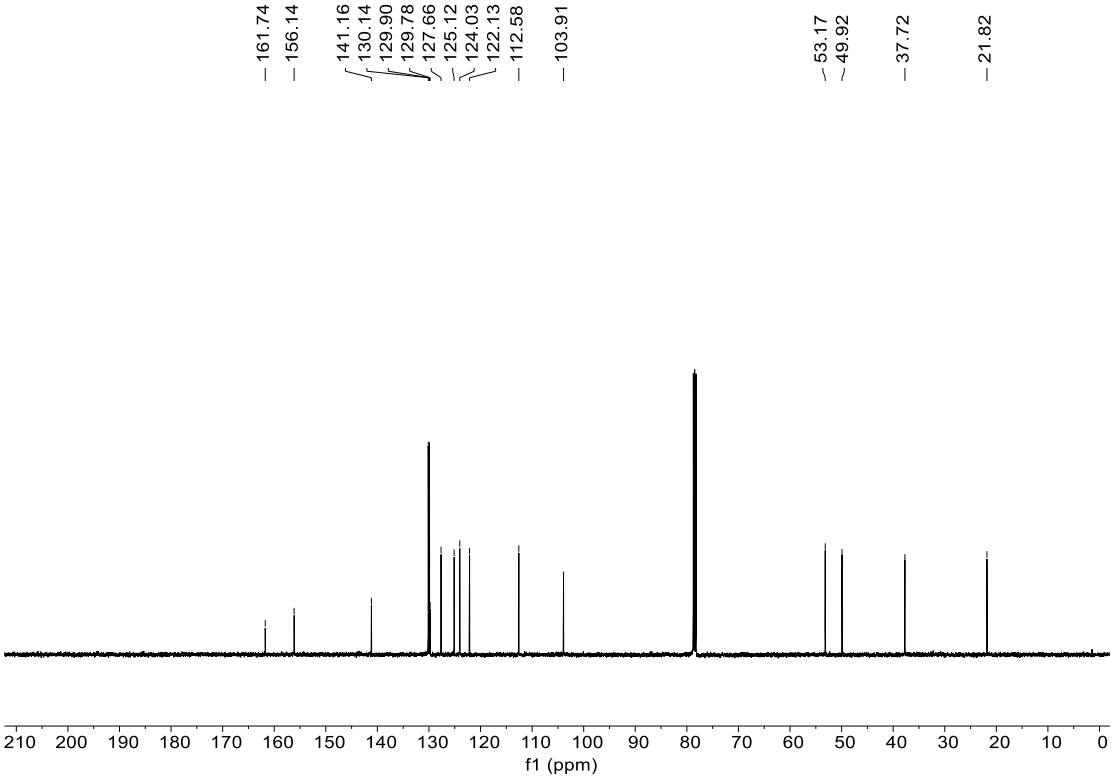


^{13}C NMR spectrum in $CDCl_3$.

1-(benzofuran-2-yl)-*N*-phenethylethan-1-amine (44c):

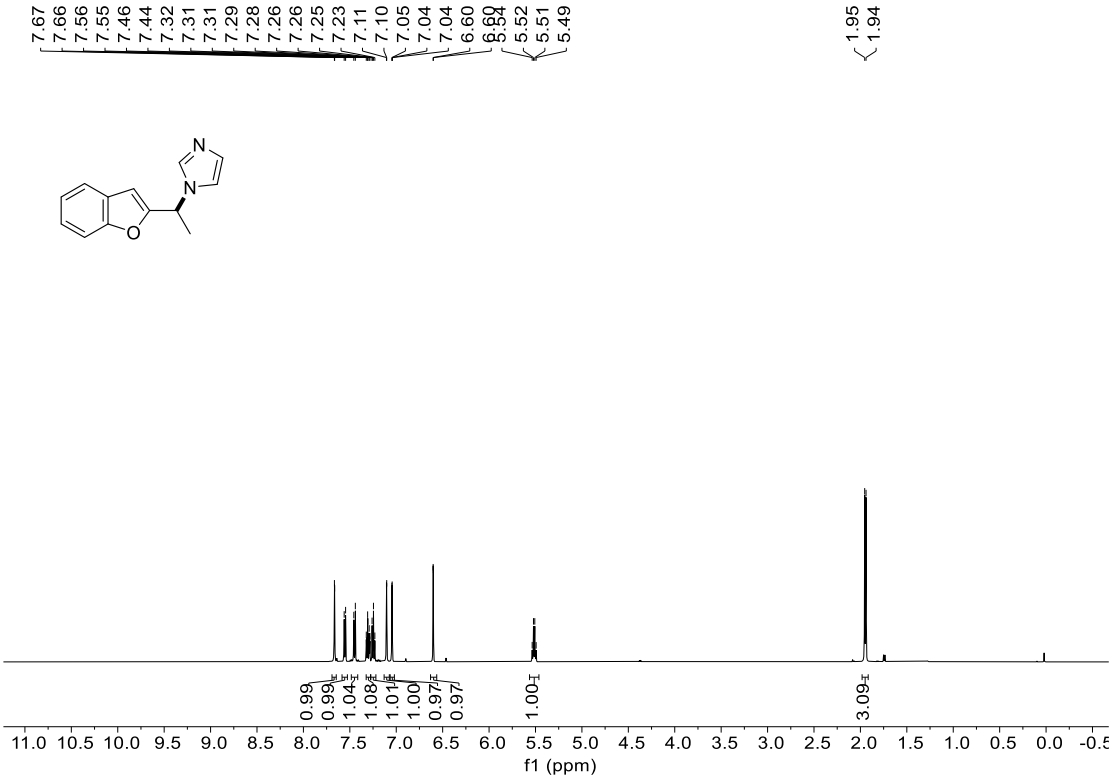


^{1}H NMR spectrum in $CDCl_3$.

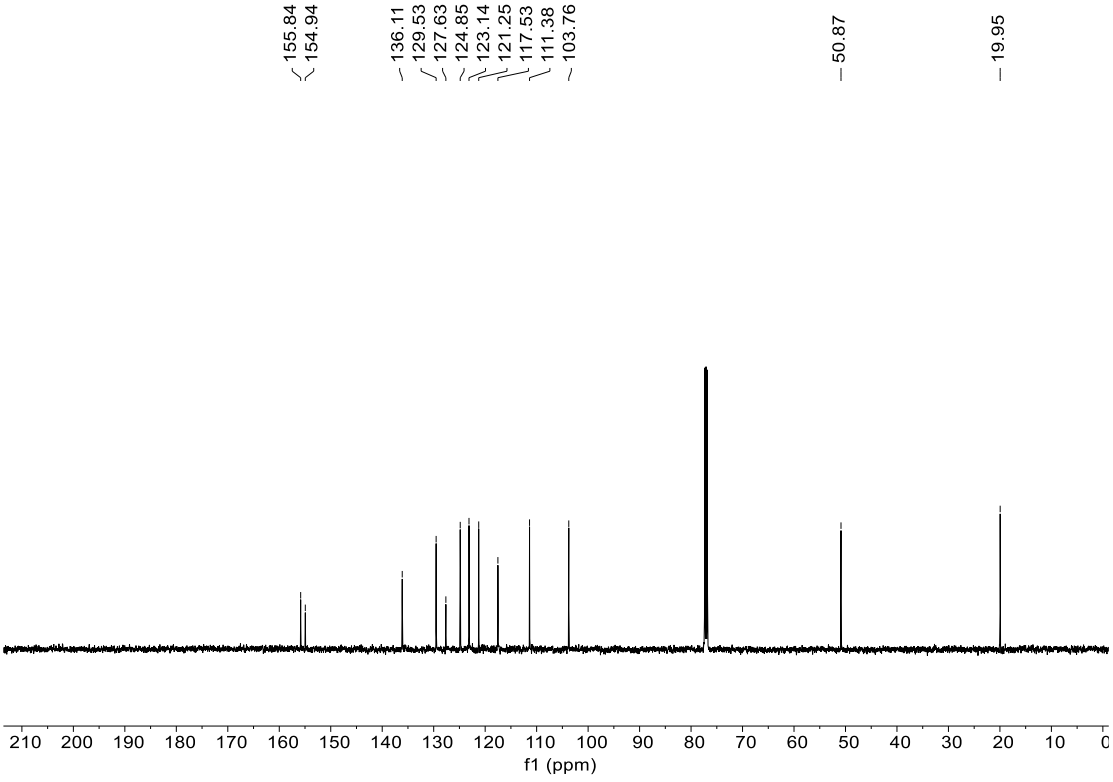


^{13}C NMR spectrum in $CDCl_3$.

1-(1-(benzofuran-2-yl)ethyl)-1*H*-imidazole (45c):

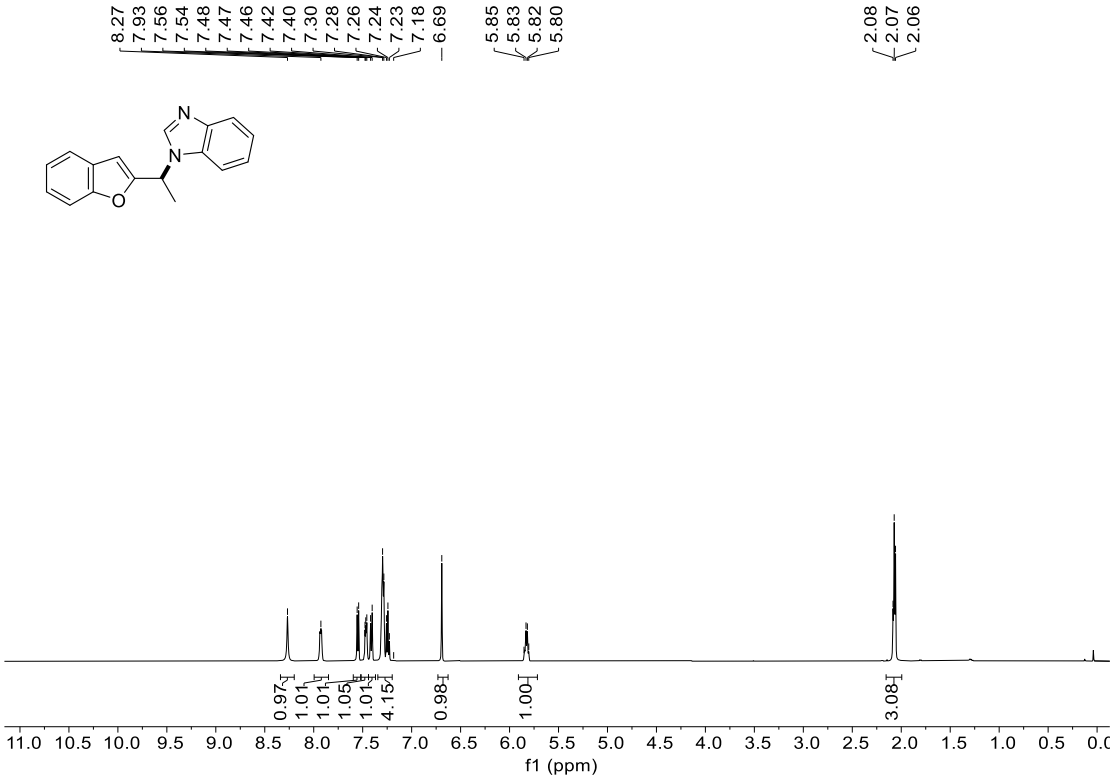


^{1}H NMR spectrum in $CDCl_3$.

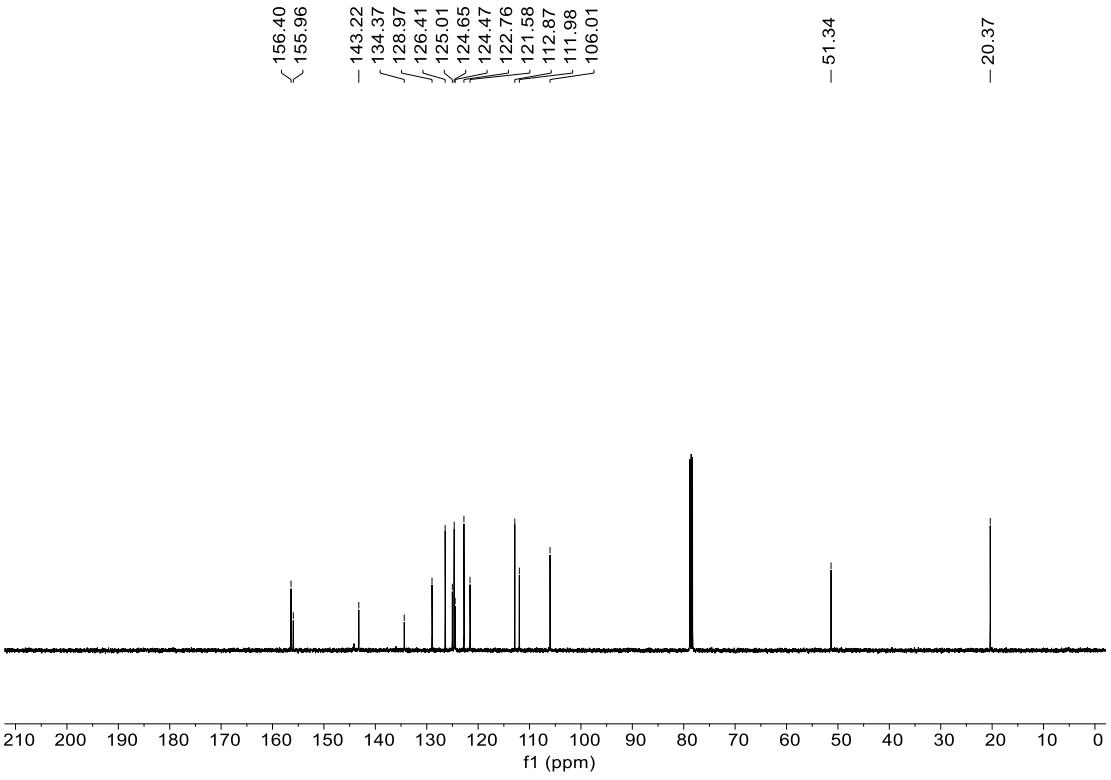


^{13}C NMR spectrum in $CDCl_3$.

1-(1-(benzofuran-2-yl)ethyl)-1*H*-benzo[d]imidazole (46c):

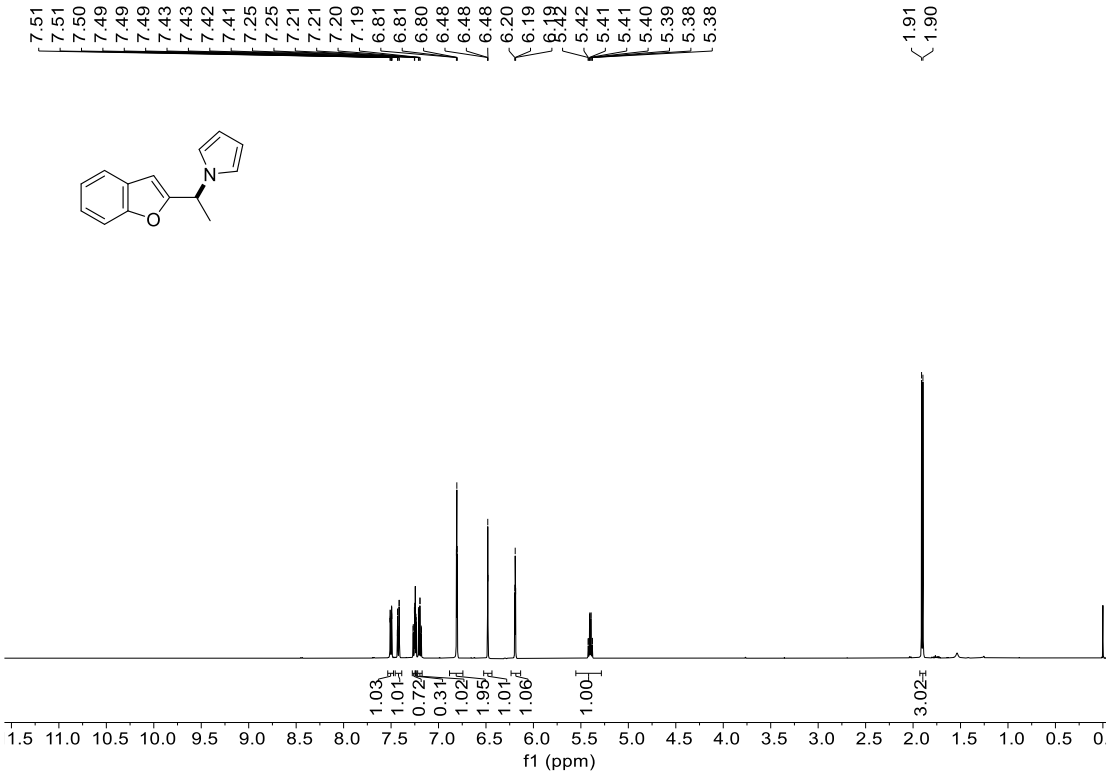


^{1}H NMR spectrum in $CDCl_3$.

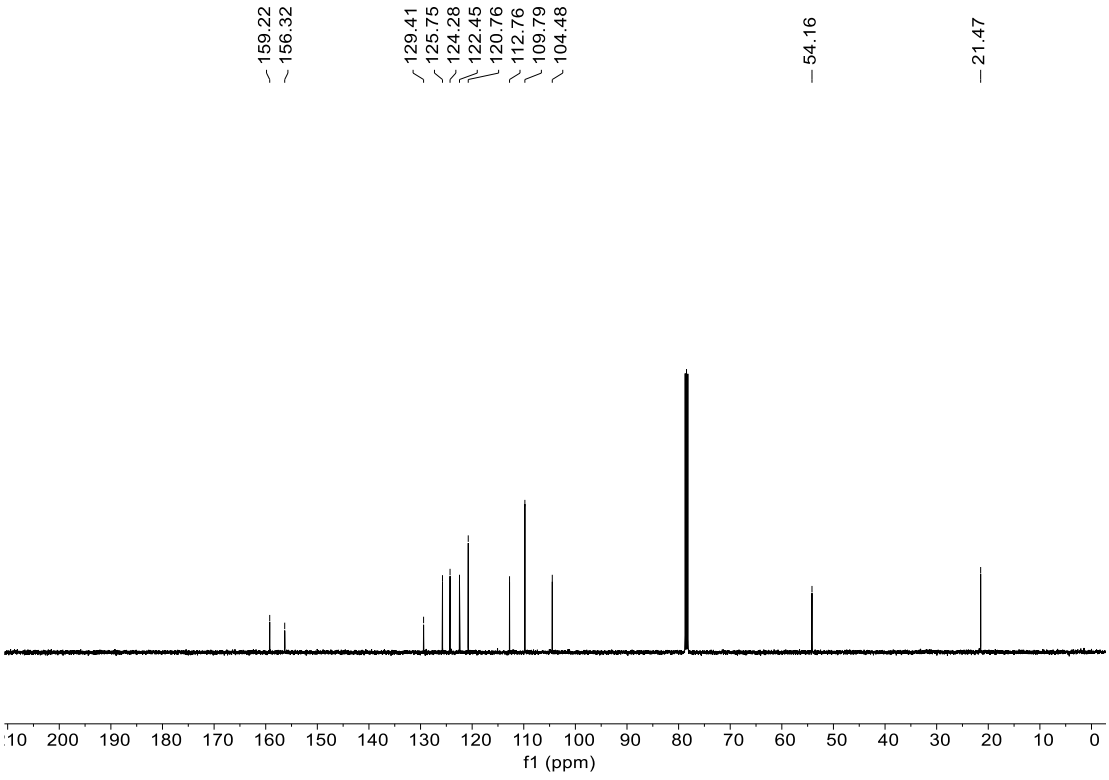


^{13}C NMR spectrum in $CDCl_3$.

1-(1-(benzofuran-2-yl)ethyl)-1*H*-pyrrole (47c):

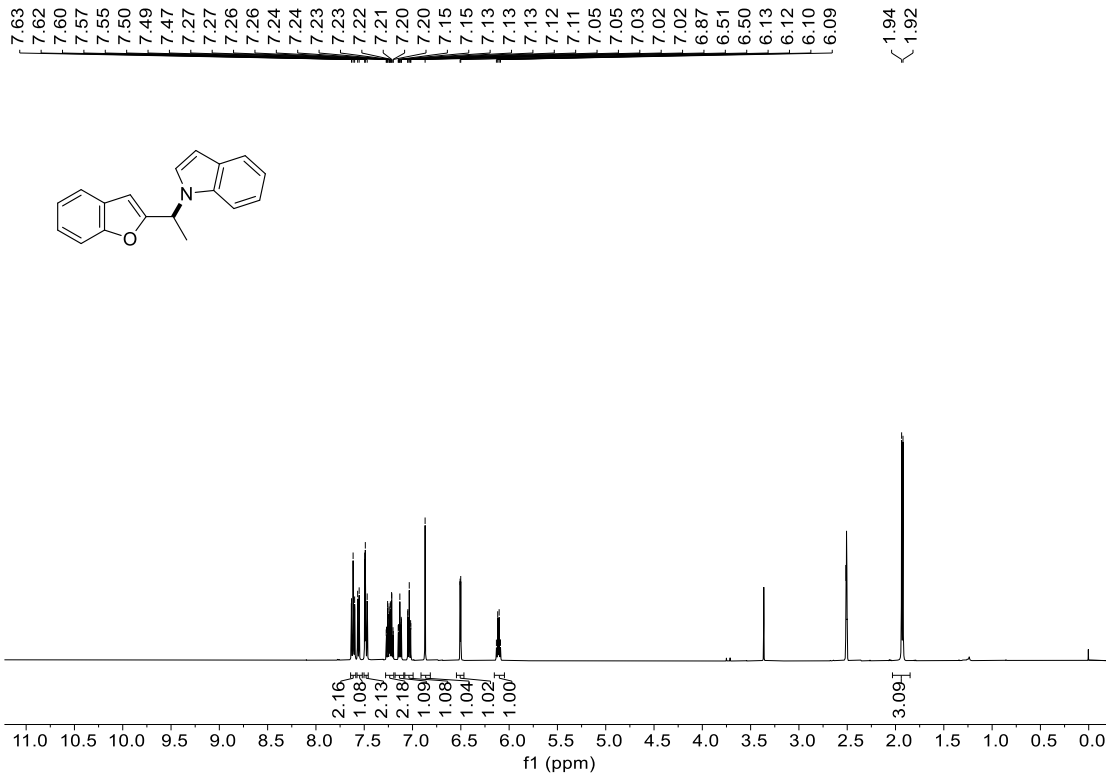


^{1}H NMR spectrum in $CDCl_3$.

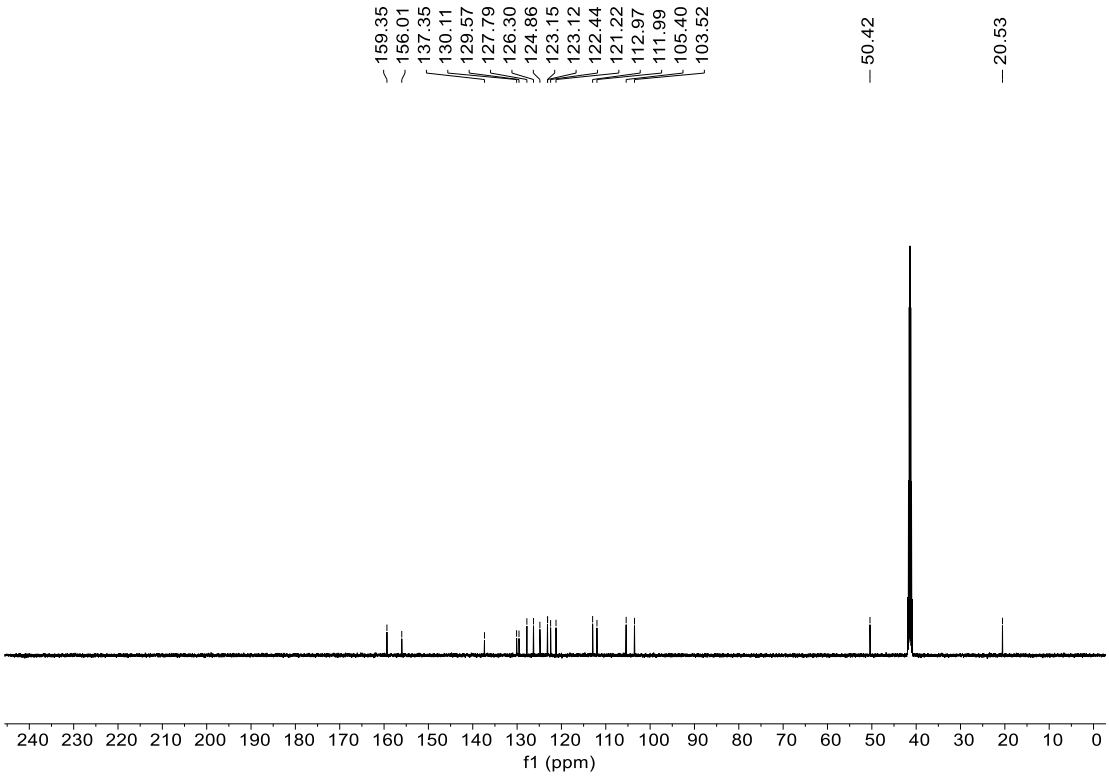


^{13}C NMR spectrum in $CDCl_3$.

1-(1-(benzofuran-2-yl)ethyl)-1*H*-indole (48c):

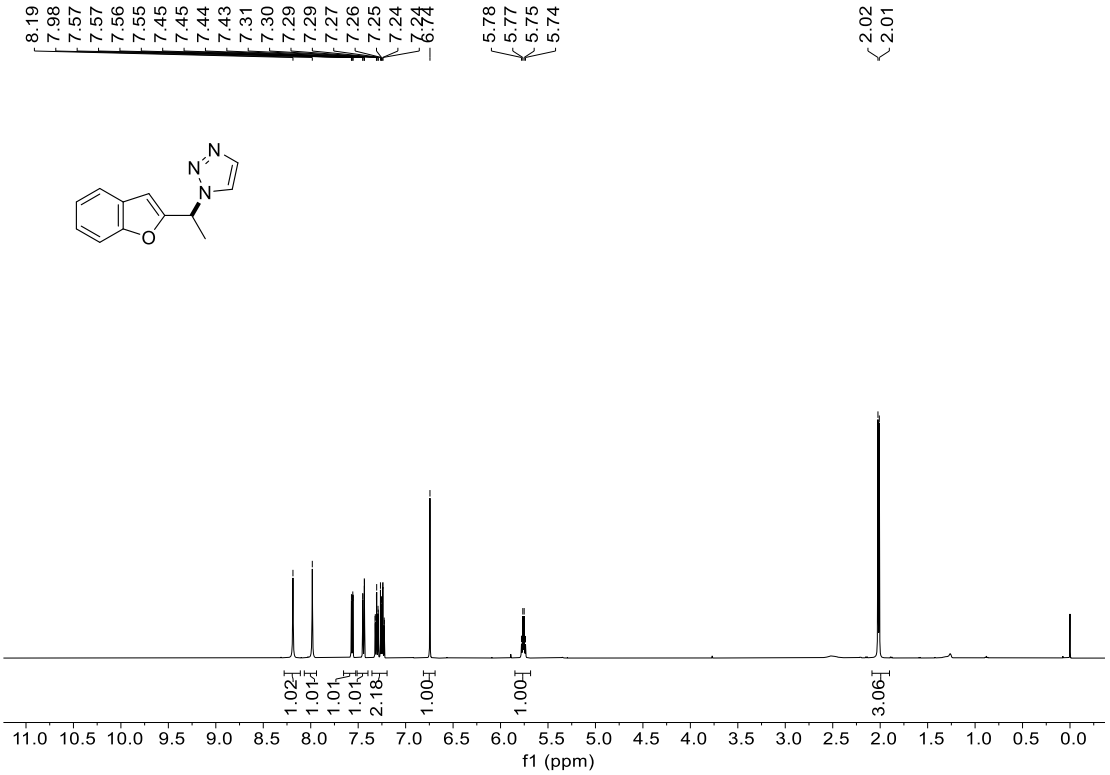


^{1}H NMR spectrum in DMSO-d_6.

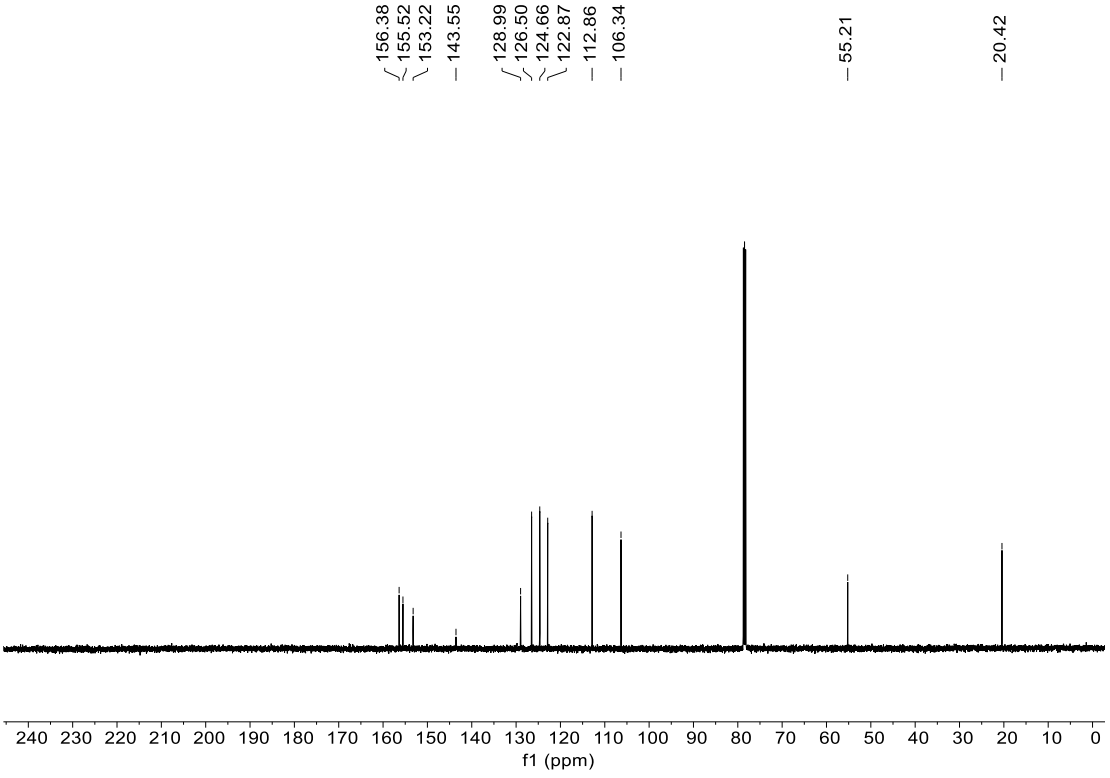


^{13}C NMR spectrum in DMSO-d_6.

1-(1-(benzofuran-2-yl)ethyl)-1*H*-1,2,3-triazole (49c):

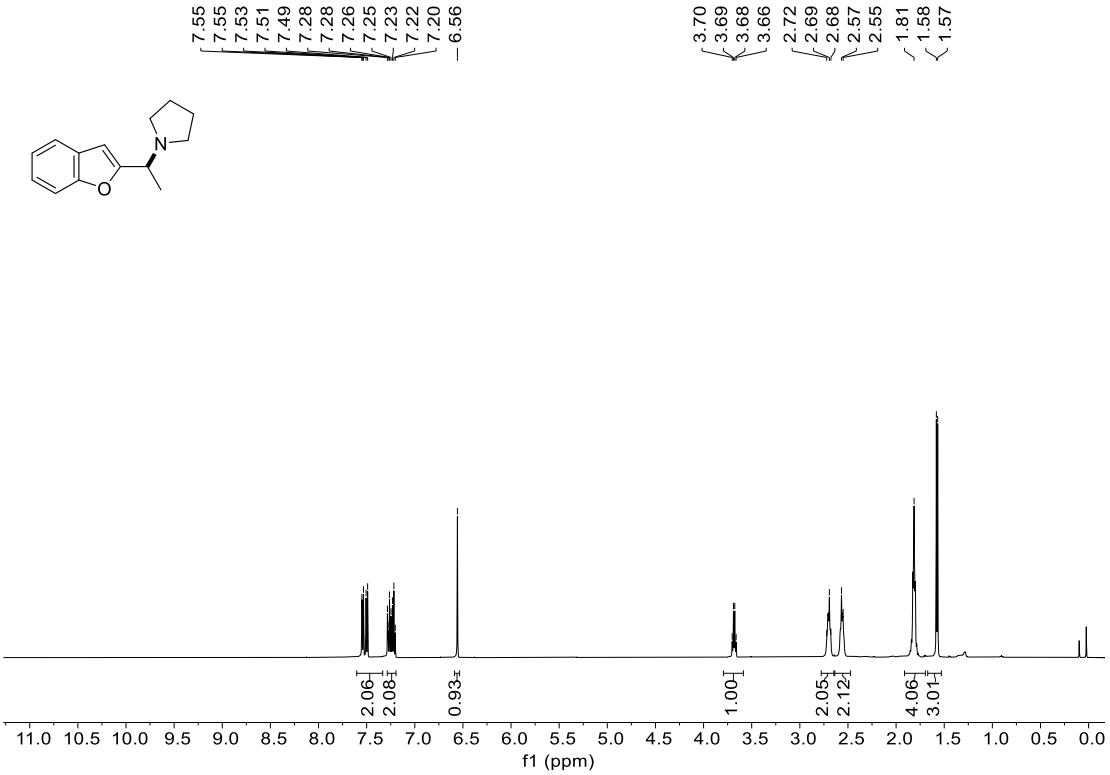


^{1}H NMR spectrum in $CDCl_3$.

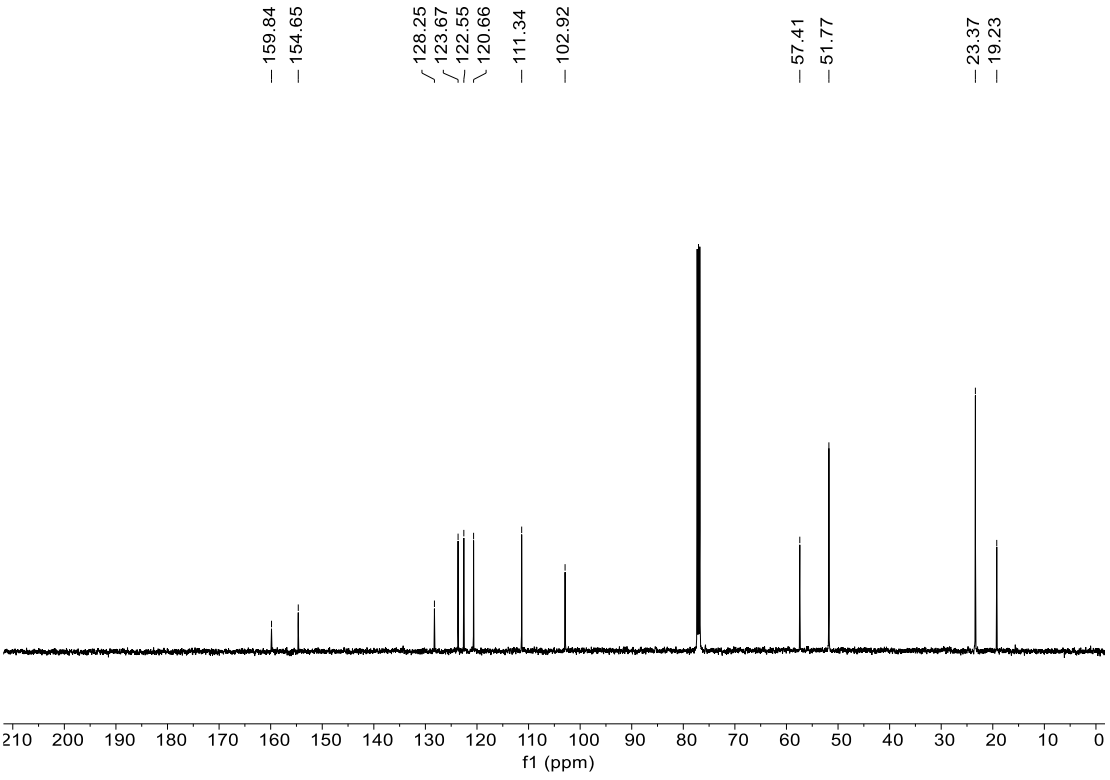


^{13}C NMR spectrum in $CDCl_3$.

1-(1-(benzofuran-2-yl)ethyl)pyrrolidine (50c):

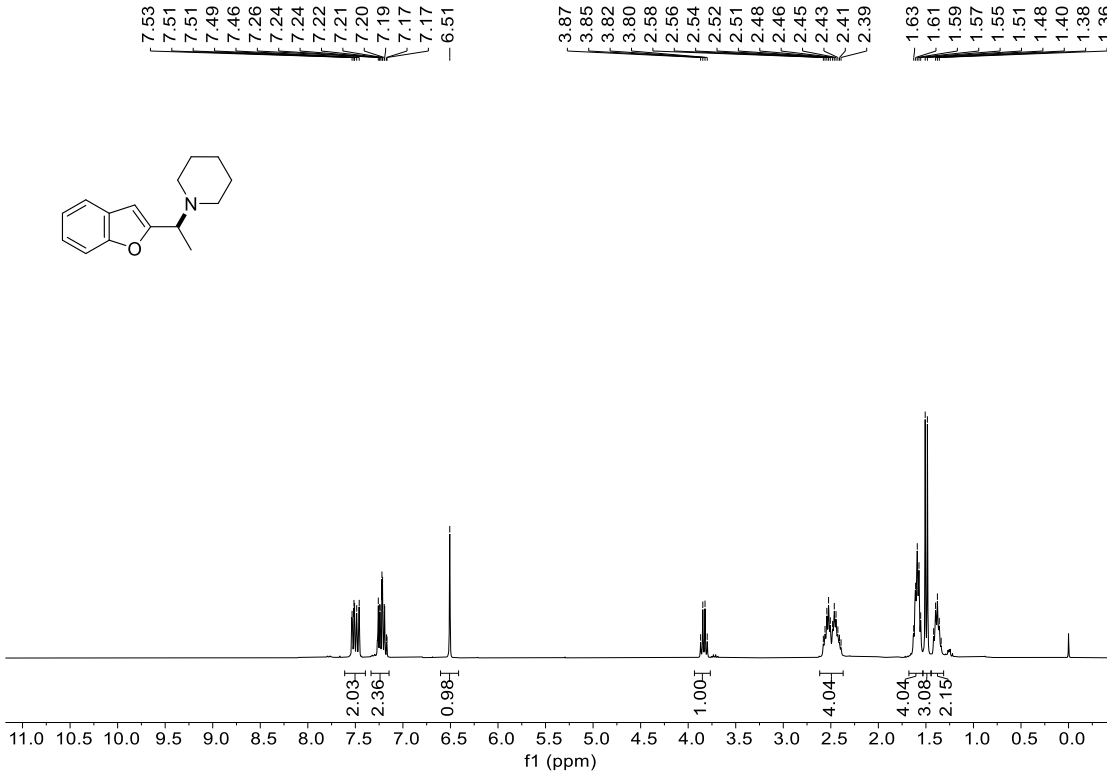


^{1}H NMR spectrum in $CDCl_3$.

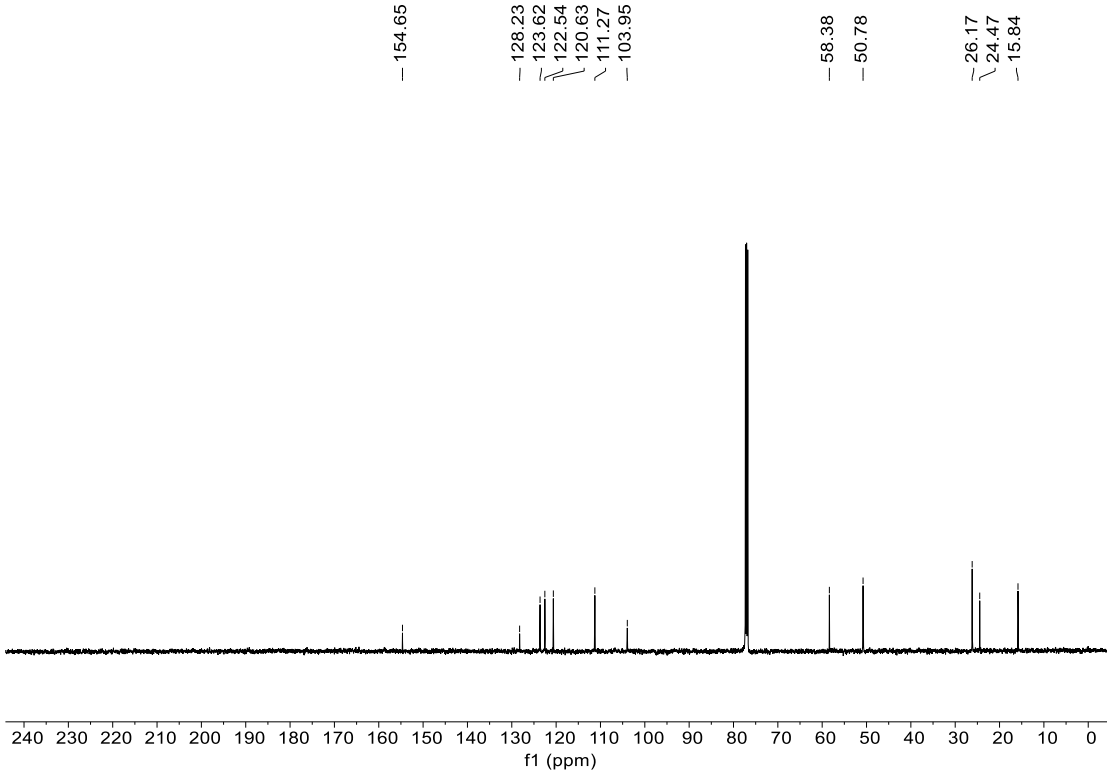


^{13}C NMR spectrum in $CDCl_3$.

1-(1-(benzofuran-2-yl)ethyl)piperidine (51c):

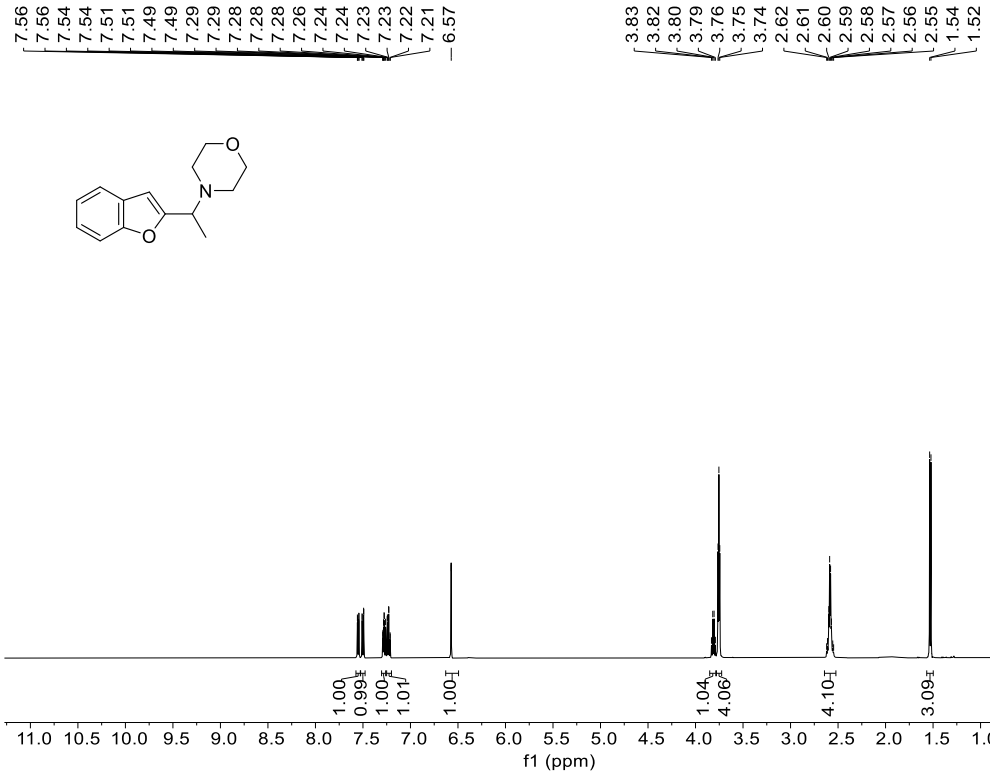


^{1}H NMR spectrum in $CDCl_3$.

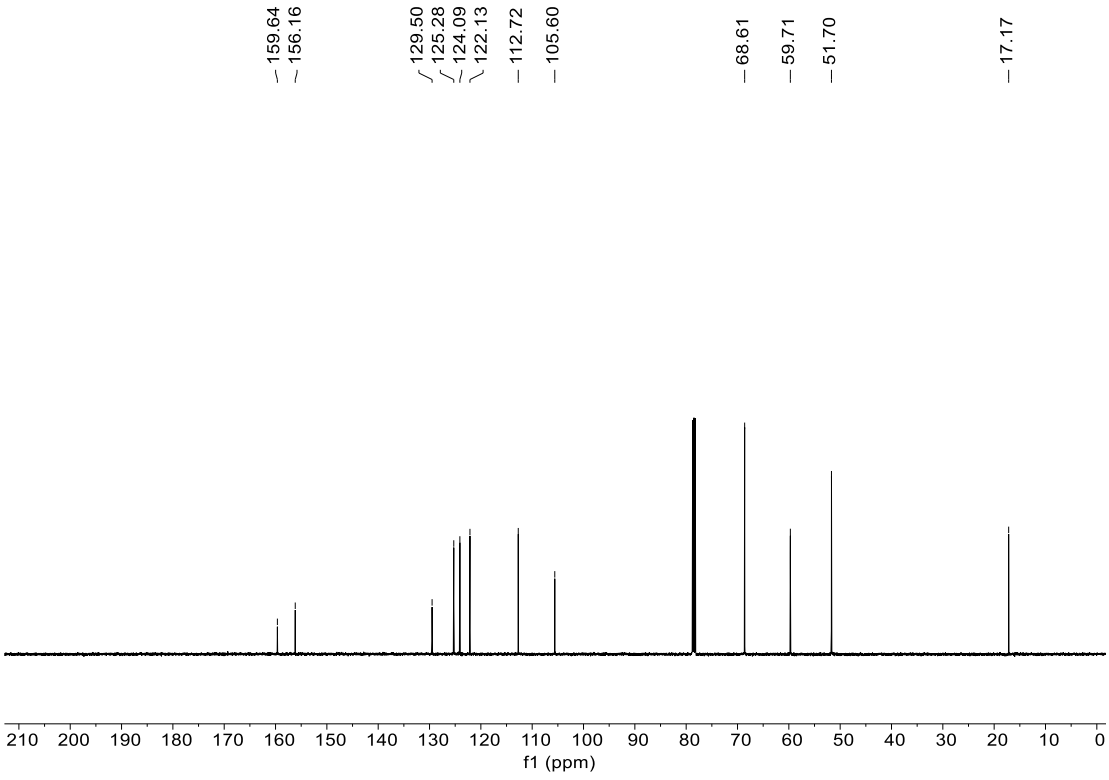


^{13}C NMR spectrum in $CDCl_3$.

4-(1-(benzofuran-2-yl)ethyl)morpholine (52c):

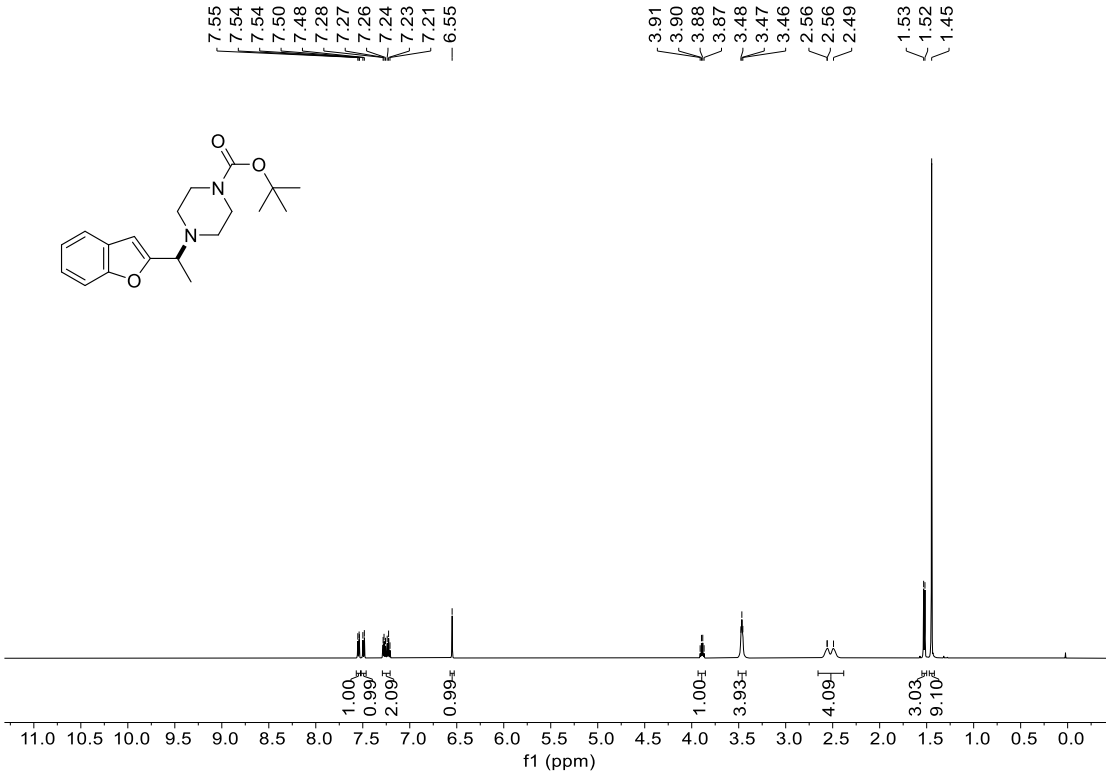


^{1}H NMR spectrum in $CDCl_3$.

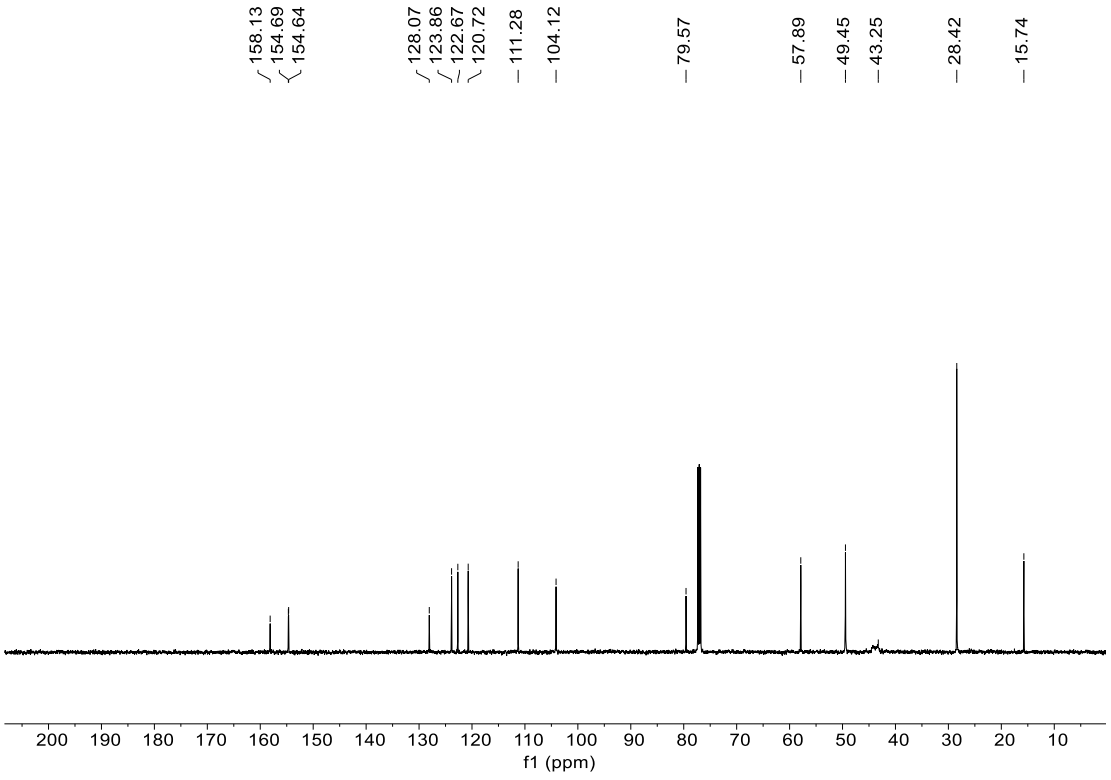


^{13}C NMR spectrum in $CDCl_3$.

***tert*-butyl 4-(1-(benzofuran-2-yl)ethyl)piperazine-1-carboxylate (53c)**:

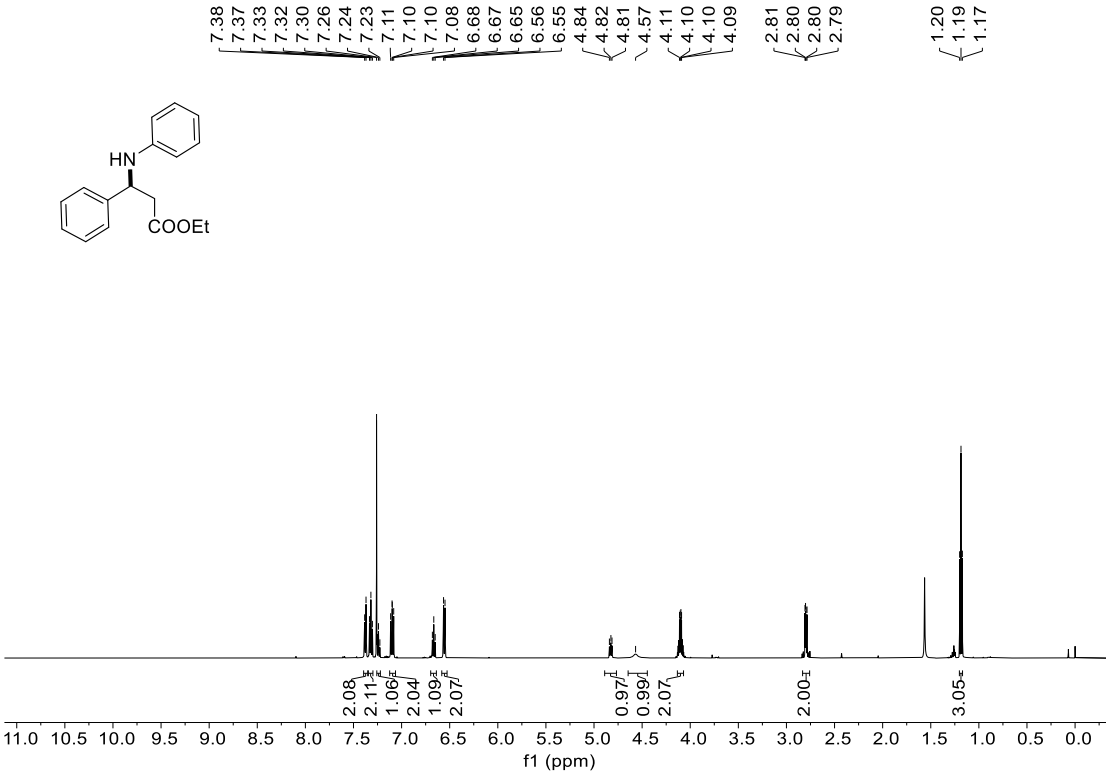


^{1}H NMR spectrum in $CDCl_3$.

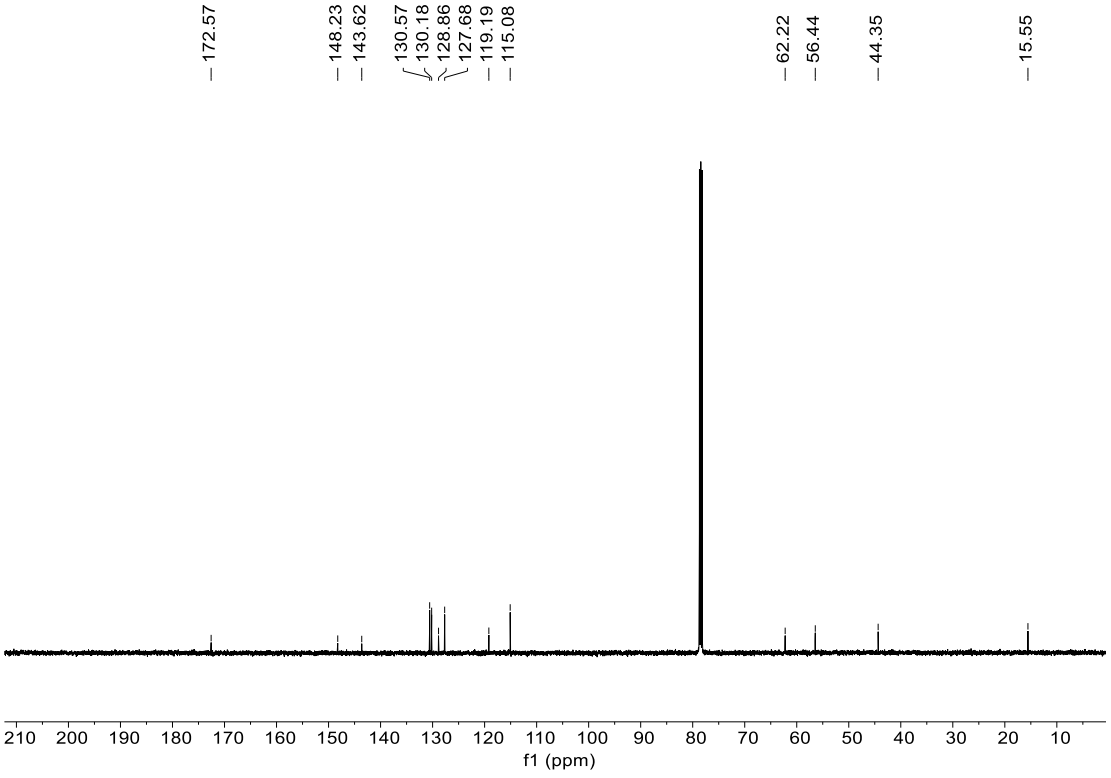


^{13}C NMR spectrum in $CDCl_3$.

ethyl 3-phenyl-3-(phenylamino)propanoate (54c):

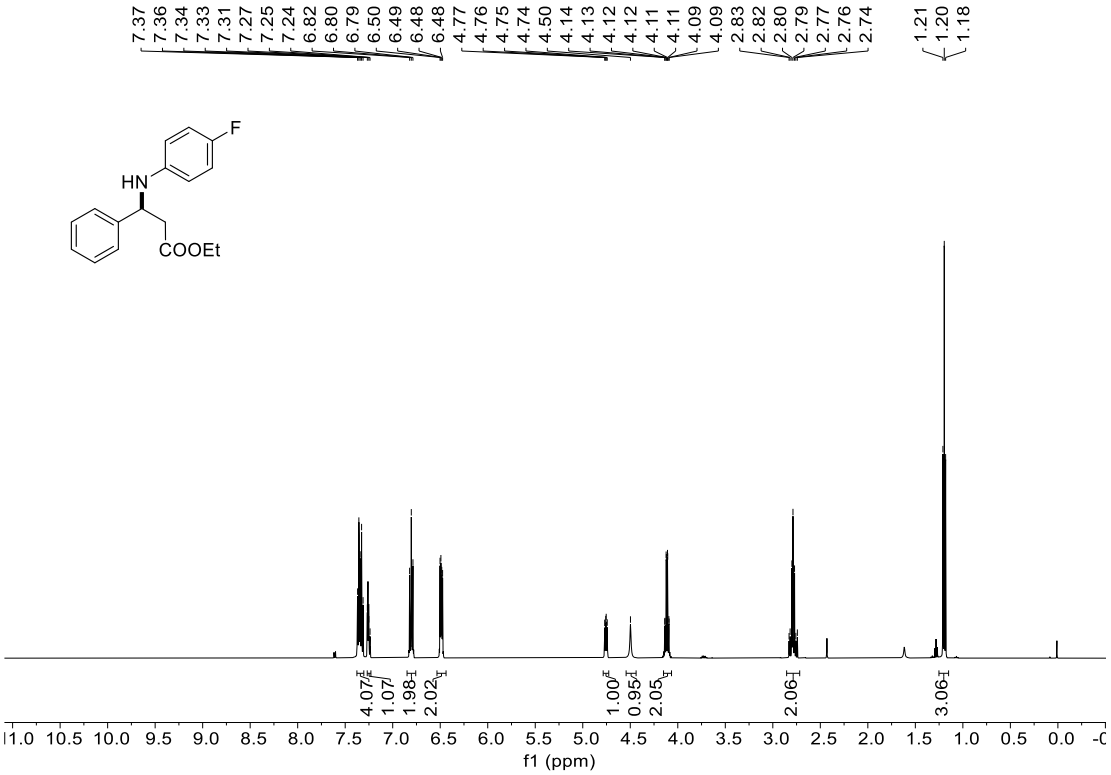


^{1}H NMR spectrum in $CDCl_3$.

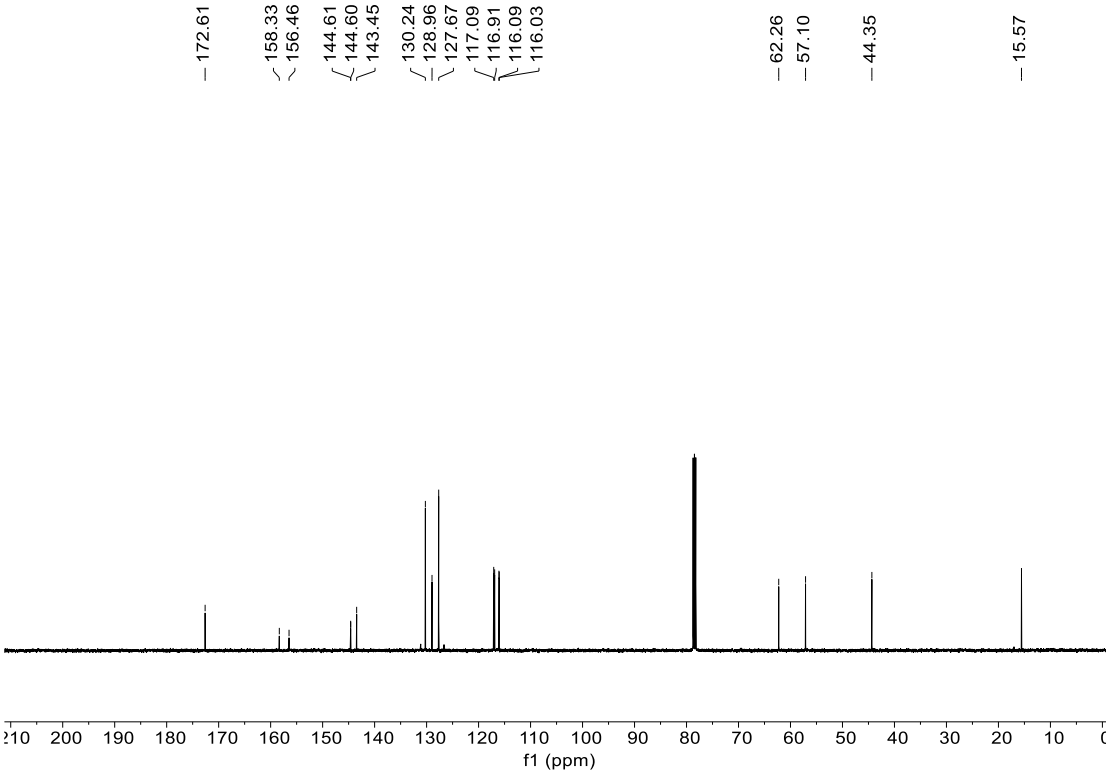


^{13}C NMR spectrum in $CDCl_3$.

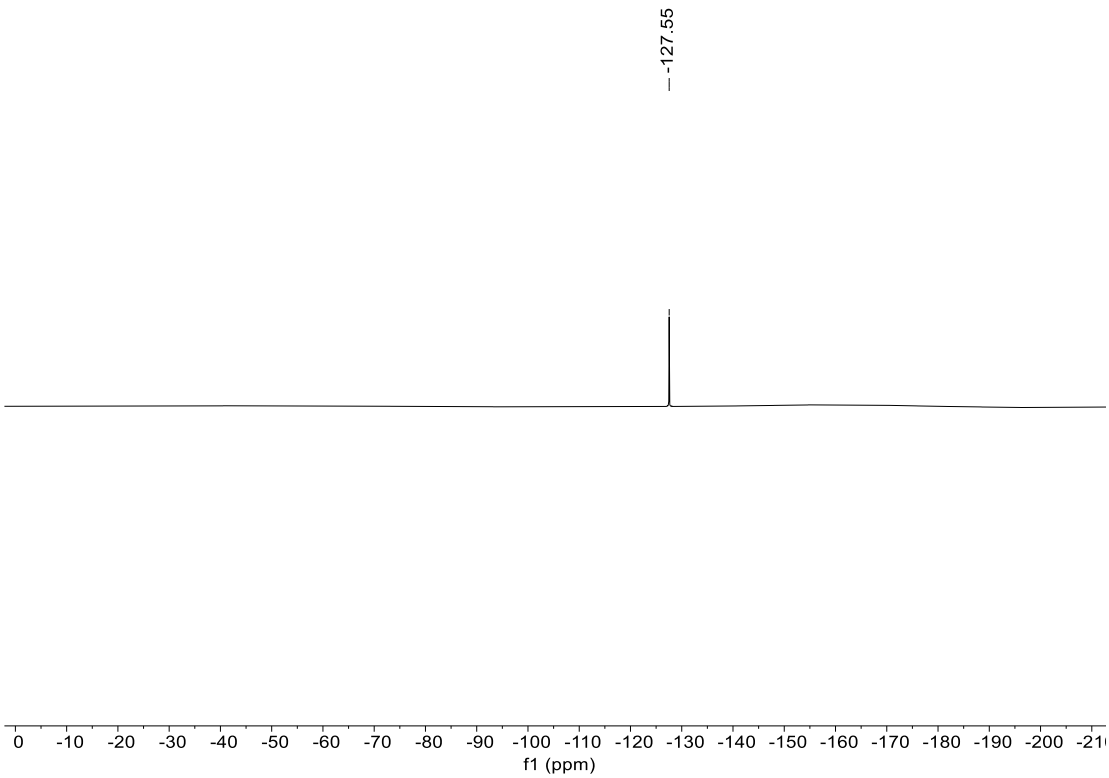
ethyl 3-((4-fluorophenyl)amino)-3-phenylpropanoate (55c):



^{1}H NMR spectrum in $CDCl_3$.

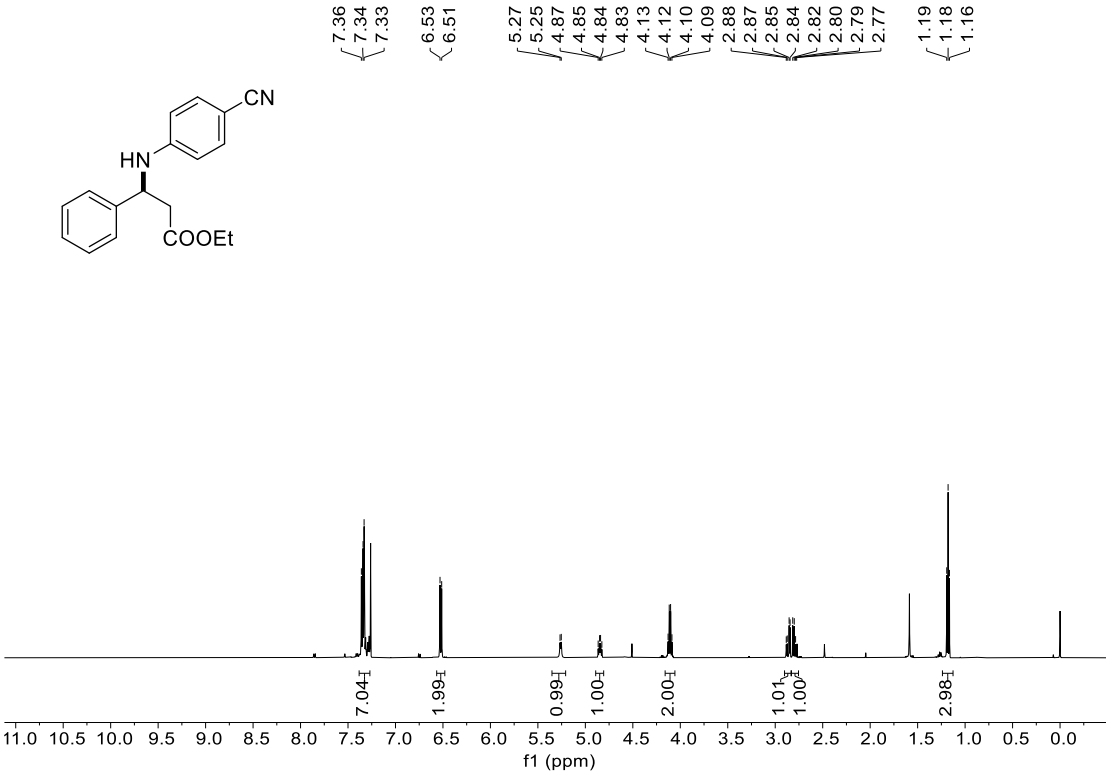


^{13}C NMR spectrum in $CDCl_3$.

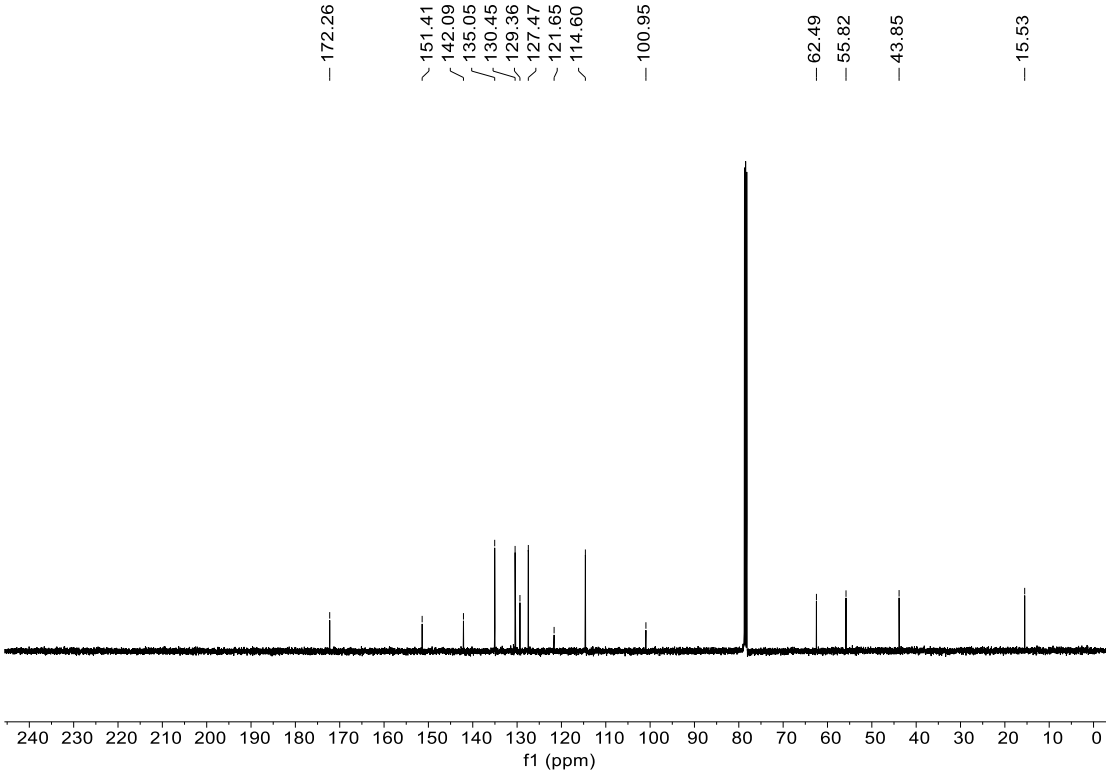


^{19}F spectrum in $CDCl_3$.

ethyl 3-((4-cyanophenyl)amino)-3-phenylpropanoate (56c):

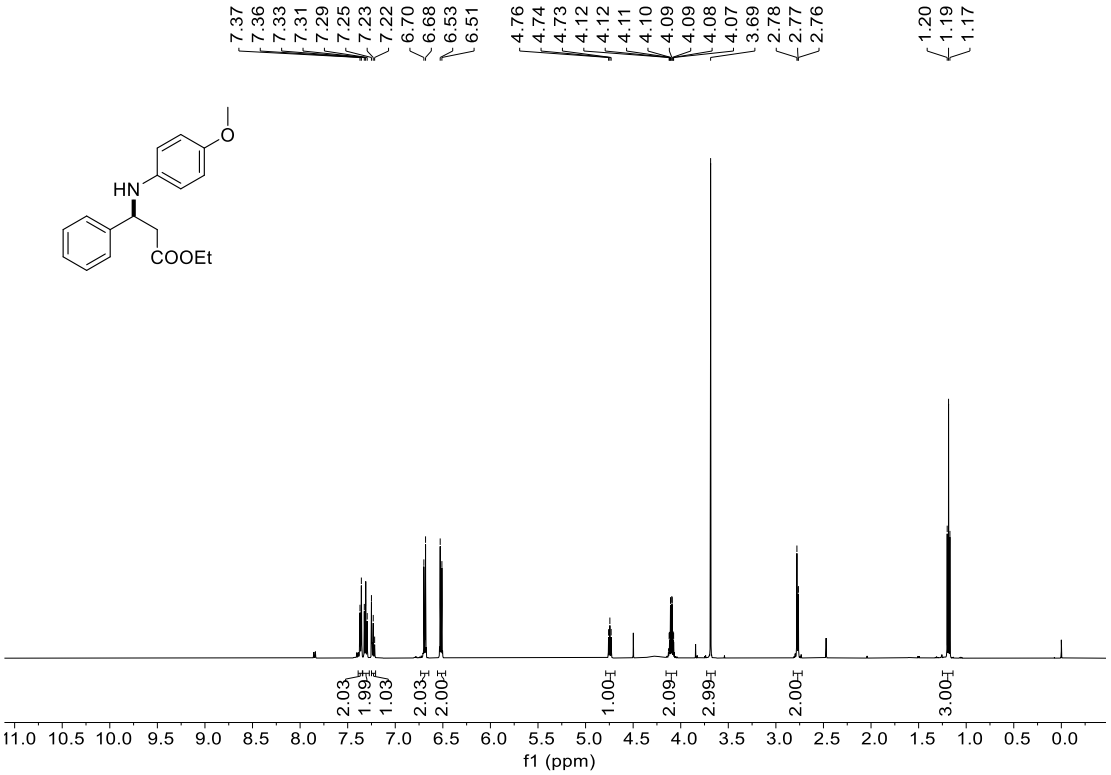


^{1}H NMR spectrum in $CDCl_3$.

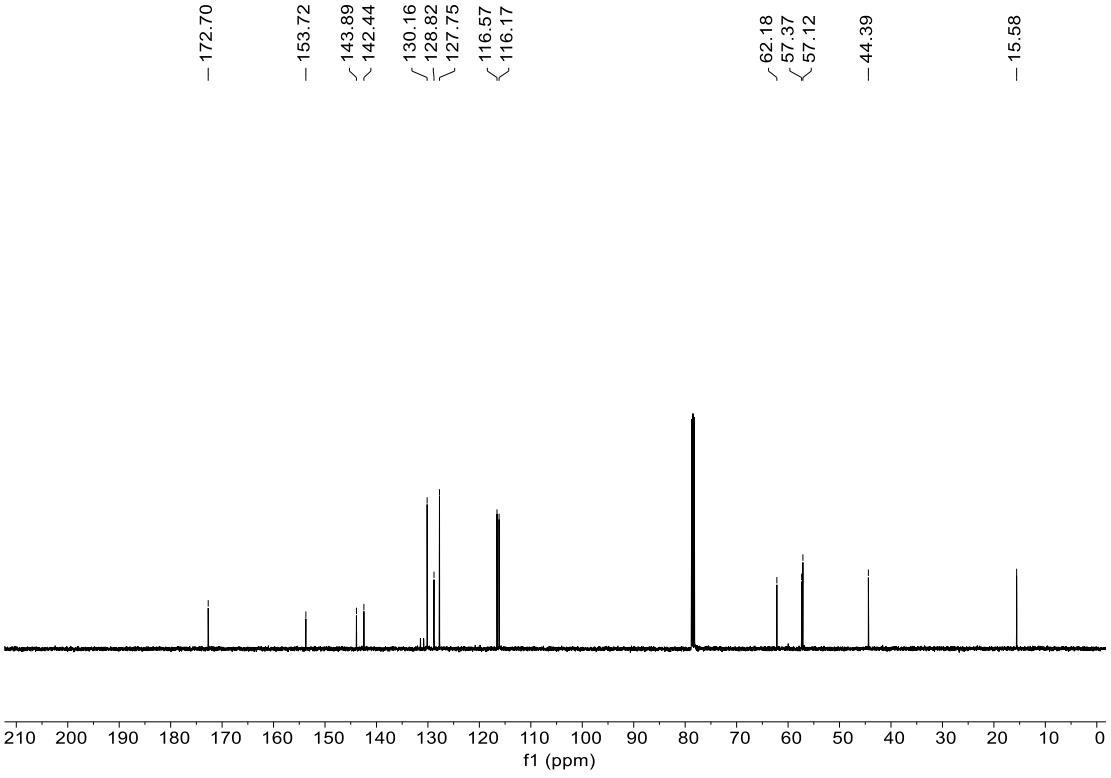


^{13}C NMR spectrum in $CDCl_3$.

ethyl 3-((4-methoxyphenyl)amino)-3-phenylpropanoate (57c):

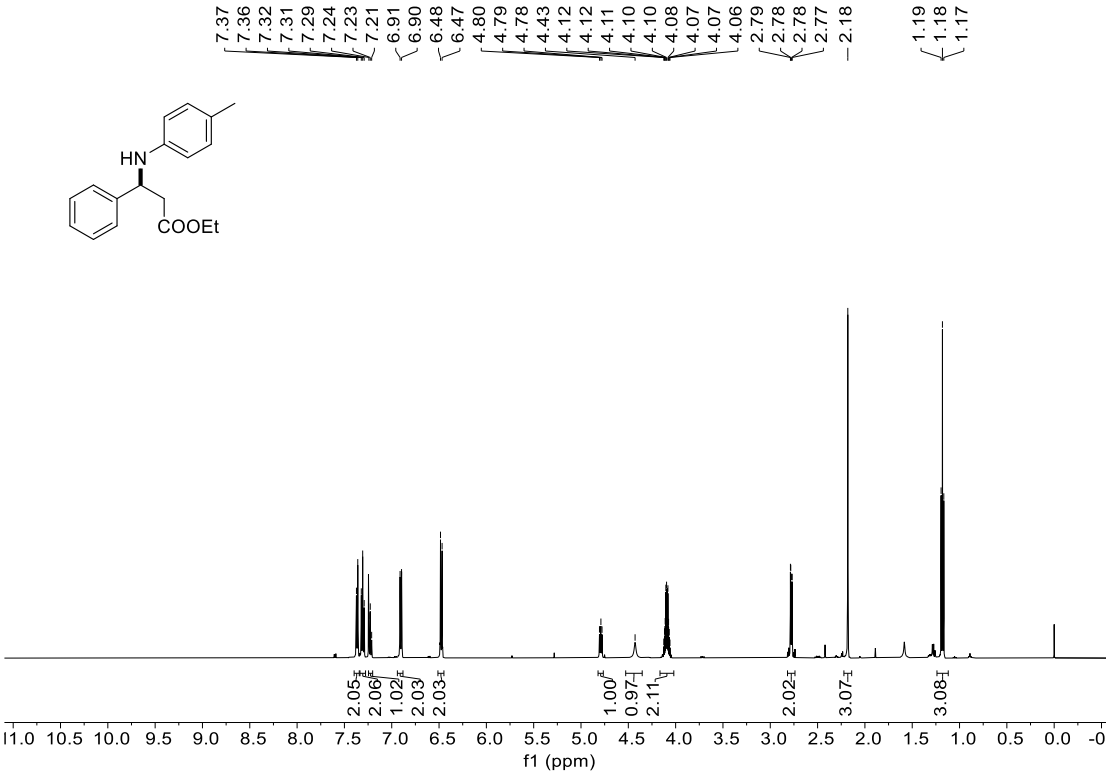


^{1}H NMR spectrum in $CDCl_3$.

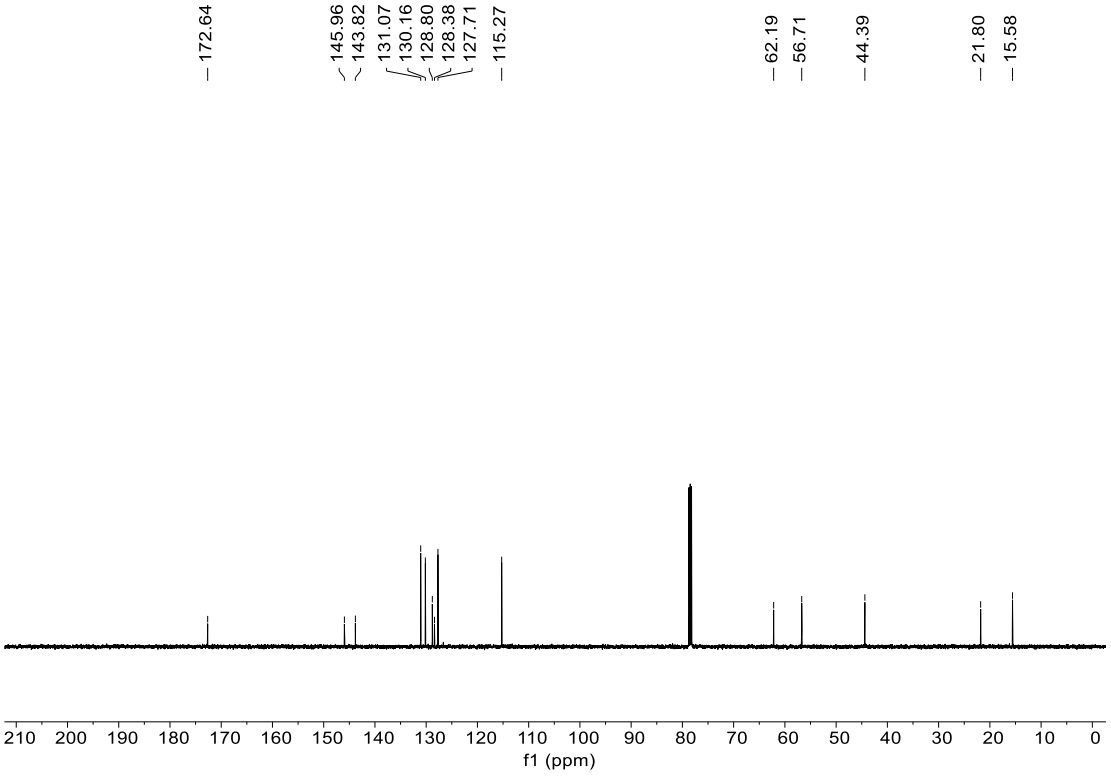


^{13}C NMR spectrum in $CDCl_3$.

ethyl 3-phenyl-3-(p-tolylamino)propanoate (58c):

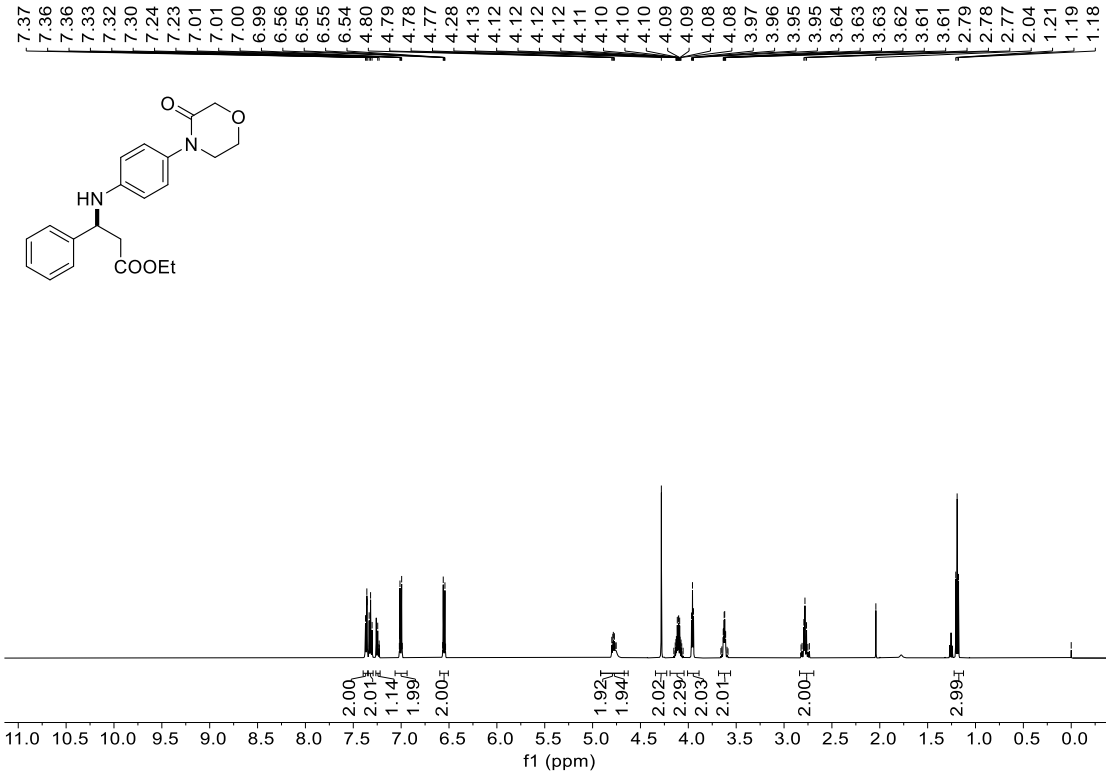


^{1}H NMR spectrum in $CDCl_3$.

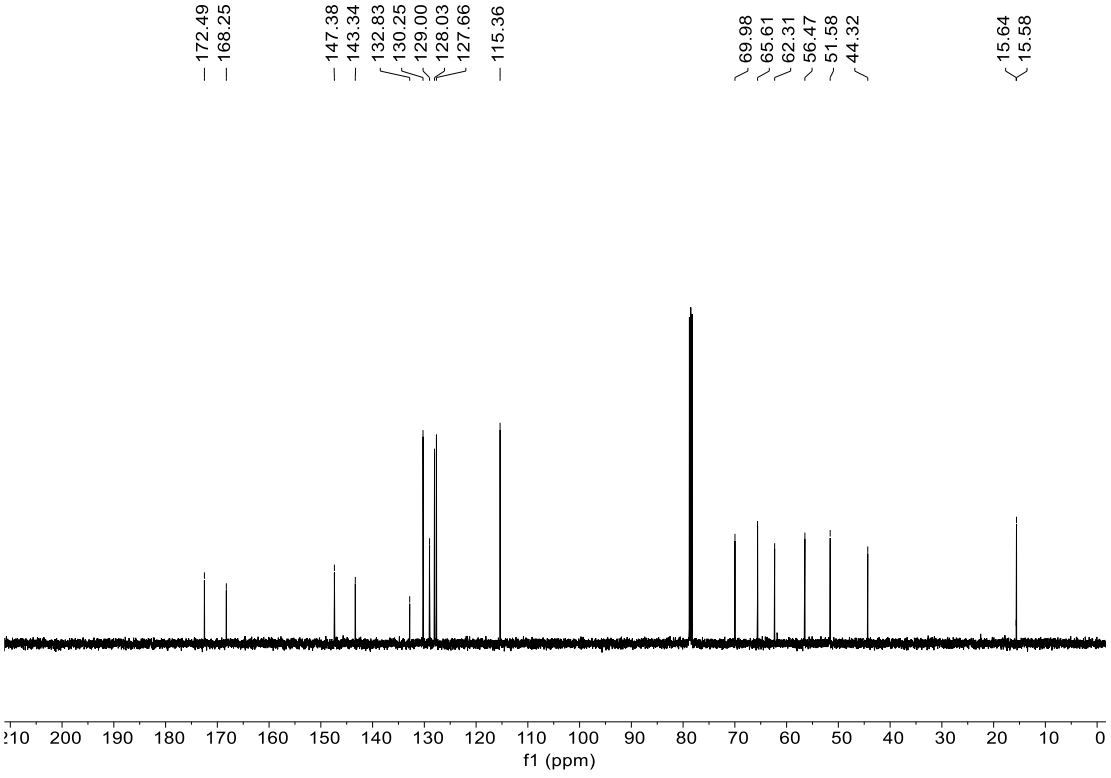


^{13}C NMR spectrum in $CDCl_3$.

thyl 3-((4-(3-oxomorpholino)phenyl)amino)-3-phenylpropanoate (59c):

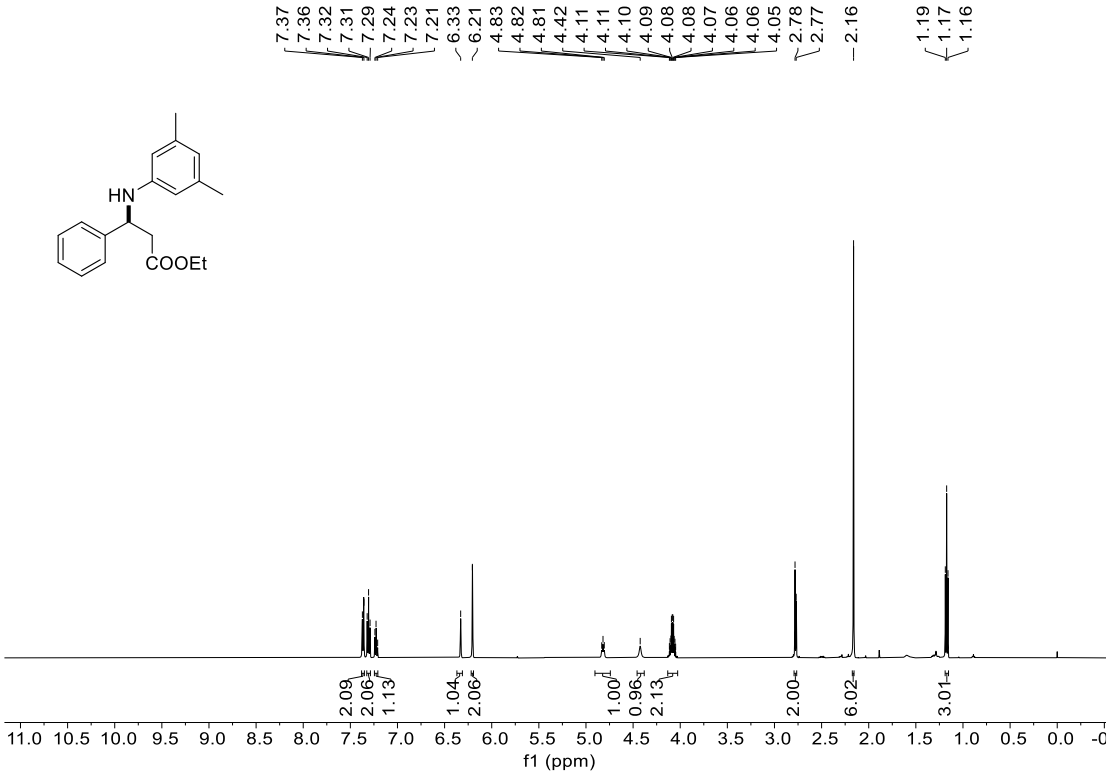


^{1}H NMR spectrum in $CDCl_3$.

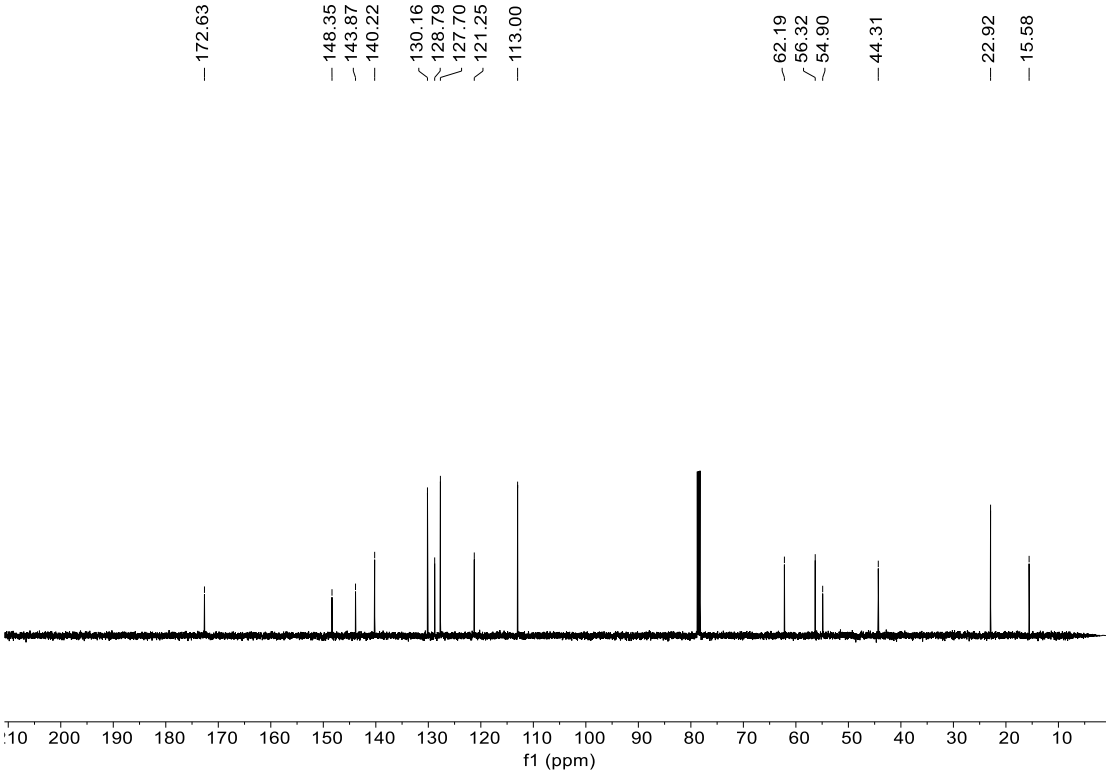


^{13}C NMR spectrum in $CDCl_3$.

ethyl 3-((3,5-dimethylphenyl)amino)-3-phenylpropanoate(60c):

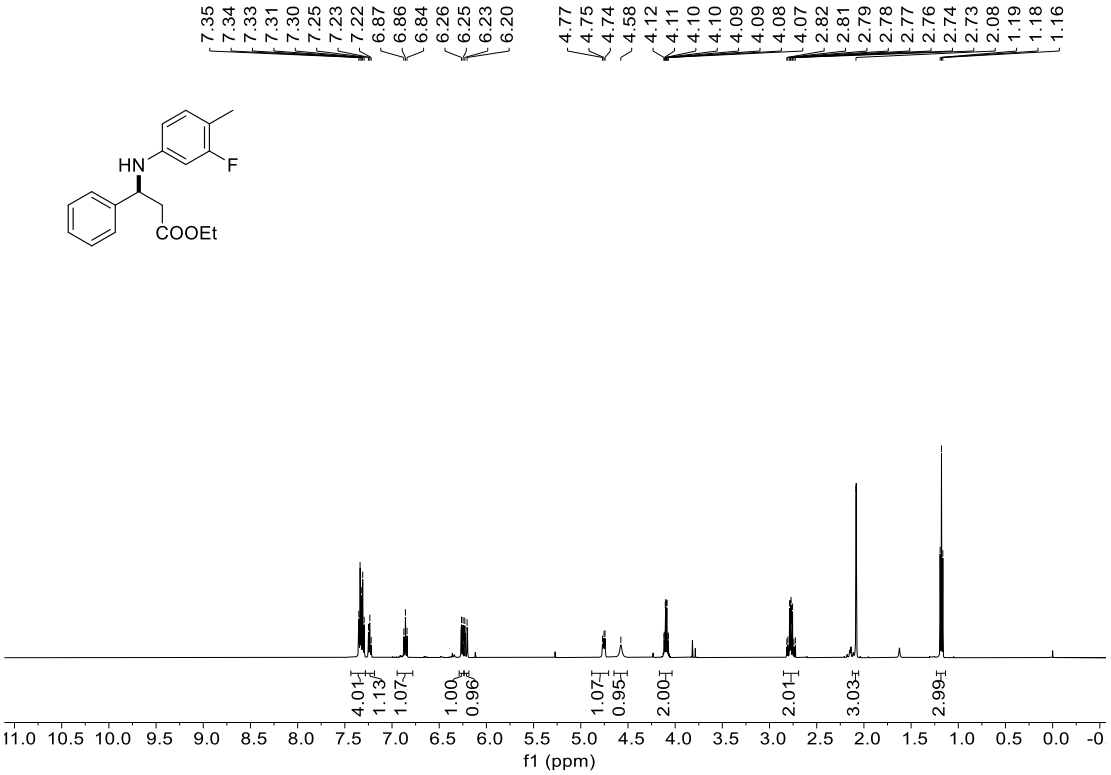


^{1}H NMR spectrum in $CDCl_3$.

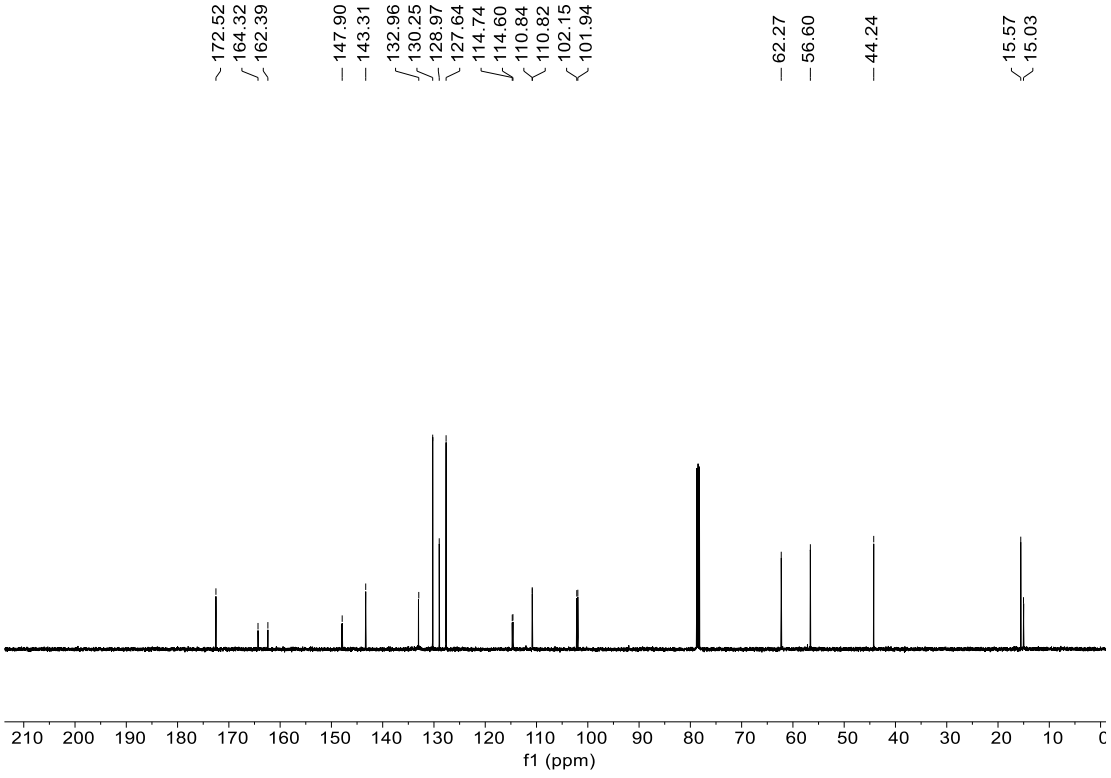


^{13}C NMR spectrum in $CDCl_3$.

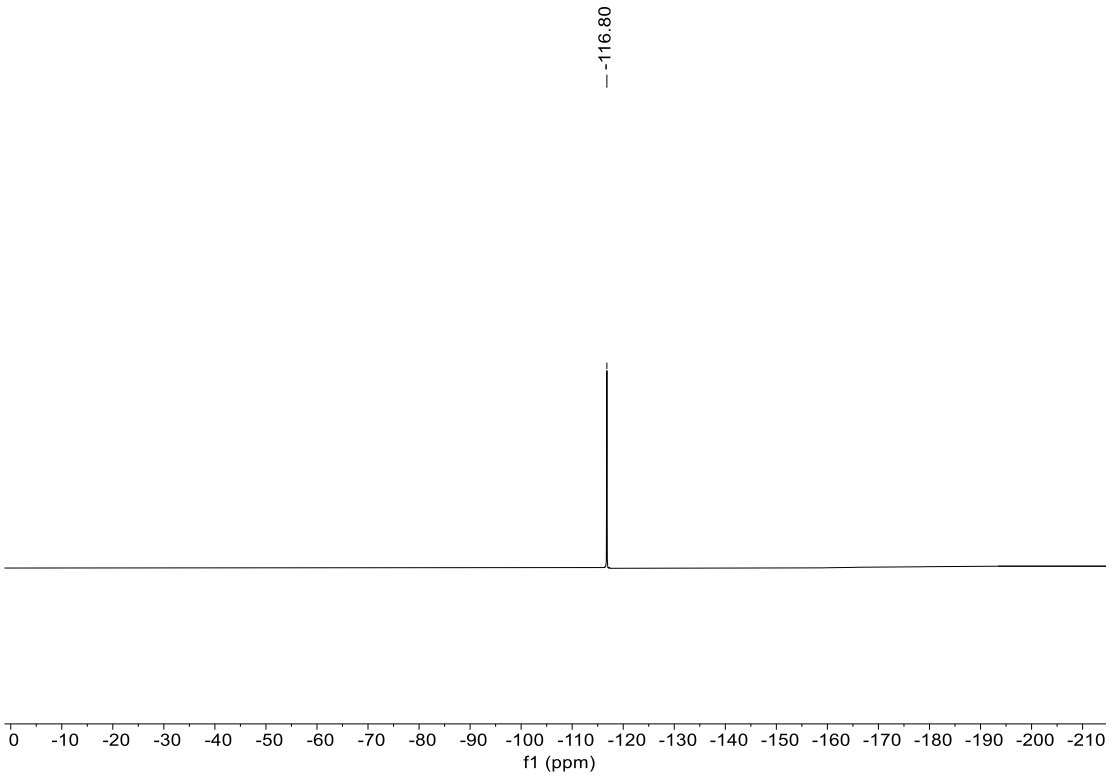
ethyl 3-((3-fluoro-4-methylphenyl)amino)-3-phenylpropanoate (61c):



^{1}H NMR spectrum in $CDCl_3$.

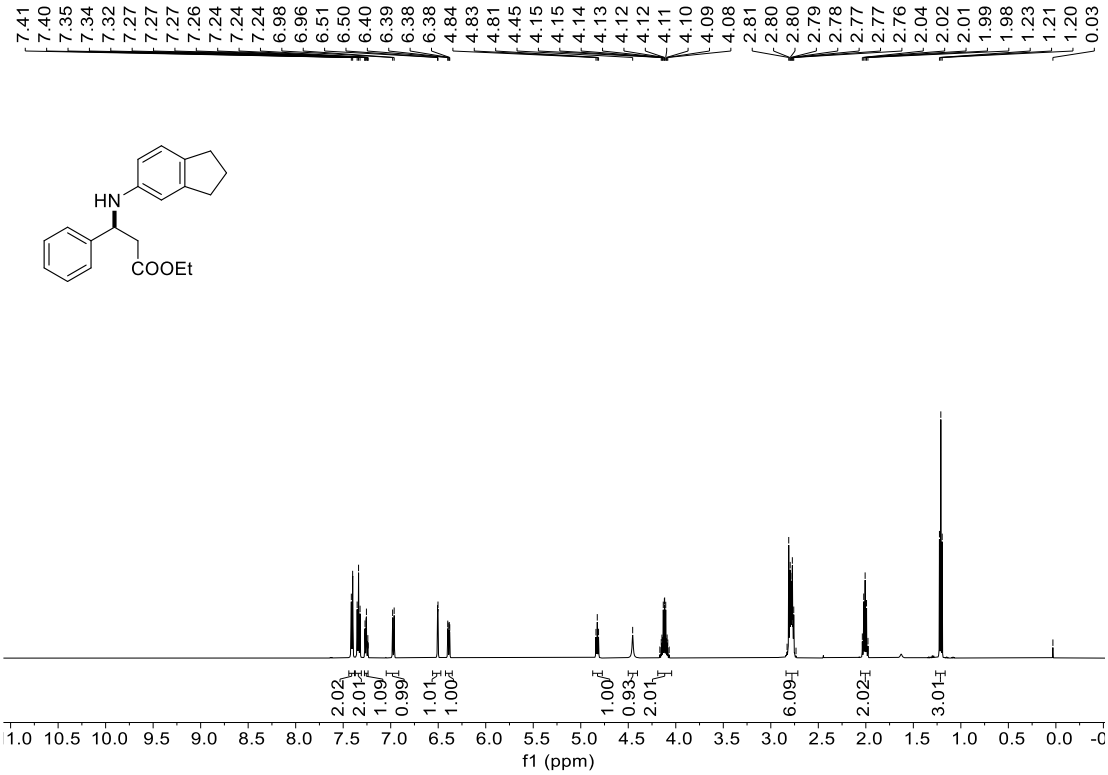


^{13}C NMR spectrum in $CDCl_3$

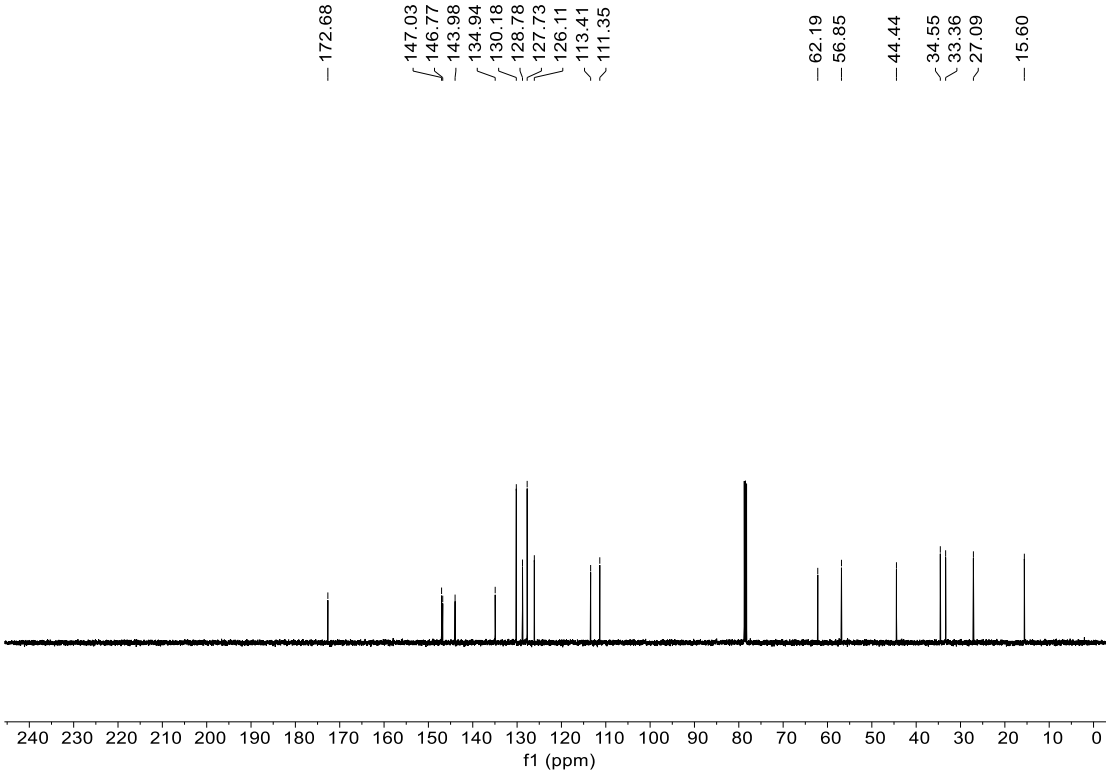


^{19}F NMR spectrum in $CDCl_3$.

ethyl 3-((2,3-dihydro-1*H*-inden-5-yl)amino)-3-phenylpropanoate (62c):

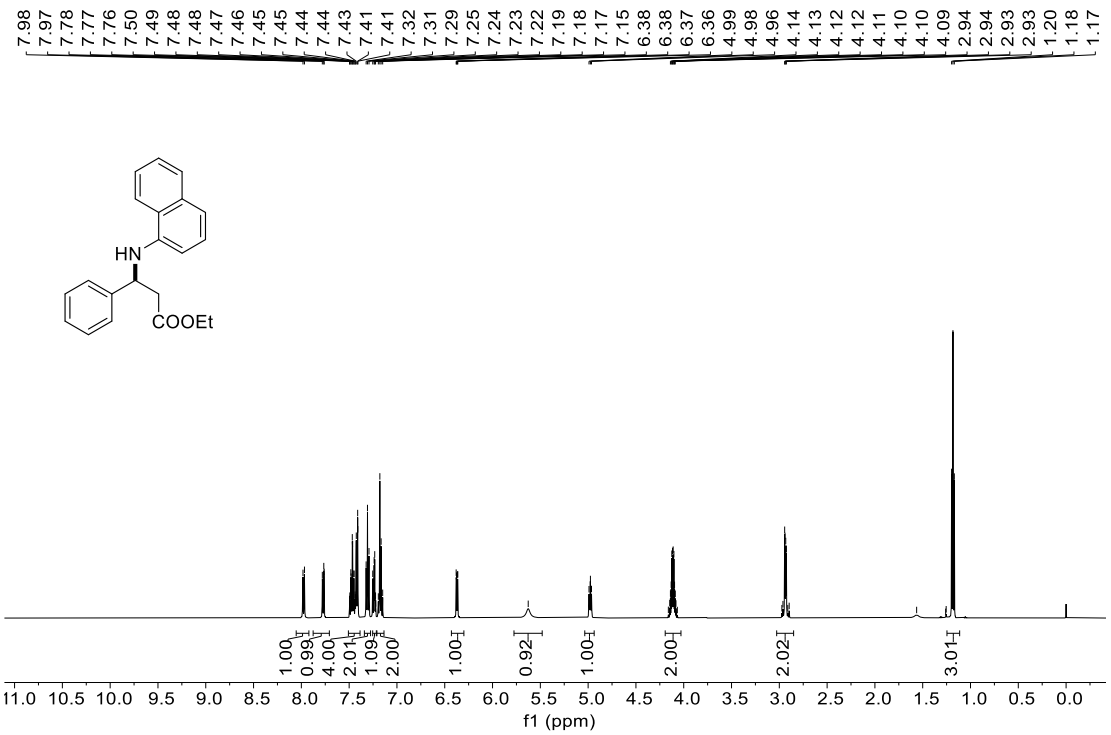


^{1}H NMR spectrum in $CDCl_3$.

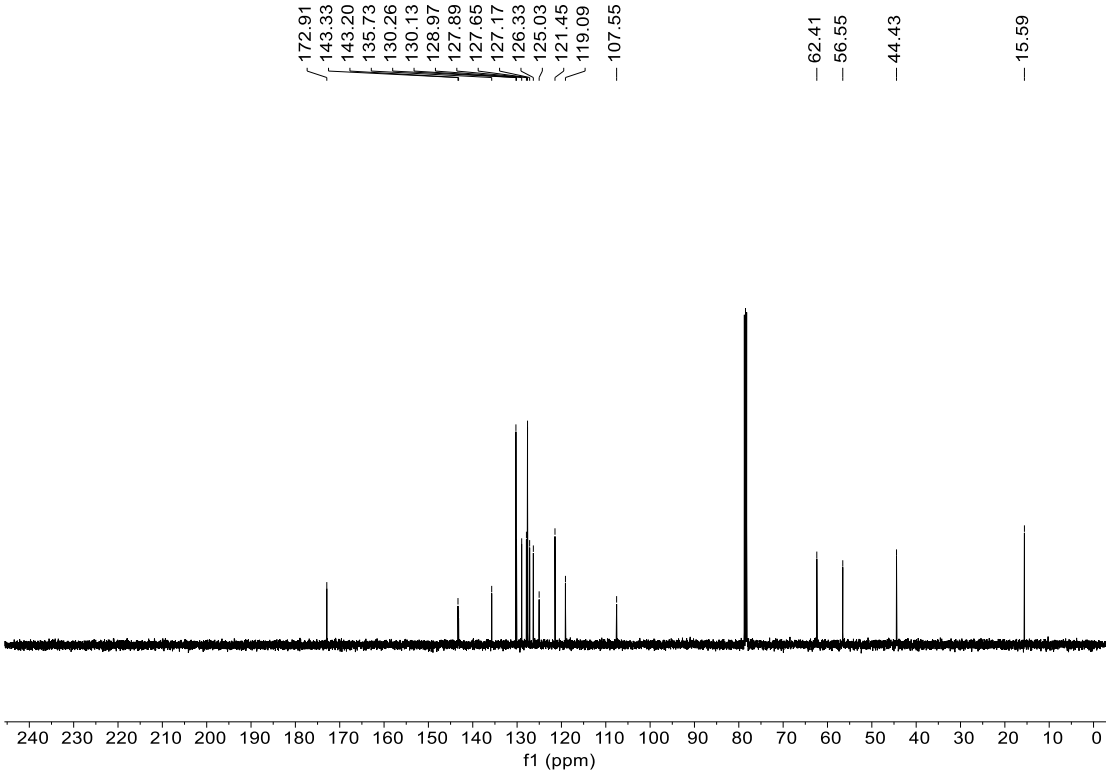


^{13}C NMR spectrum in $CDCl_3$.

ethyl 3-(naphthalen-1-ylamino)-3-phenylpropanoate (63c):

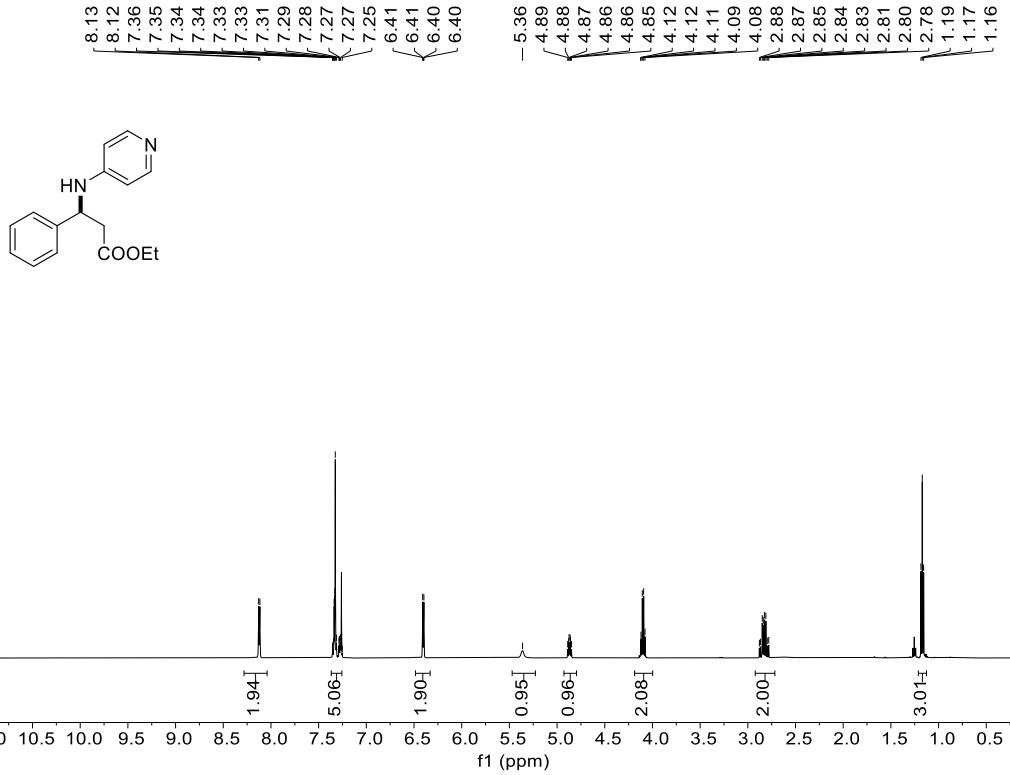


^{1}H NMR spectrum in $CDCl_3$.

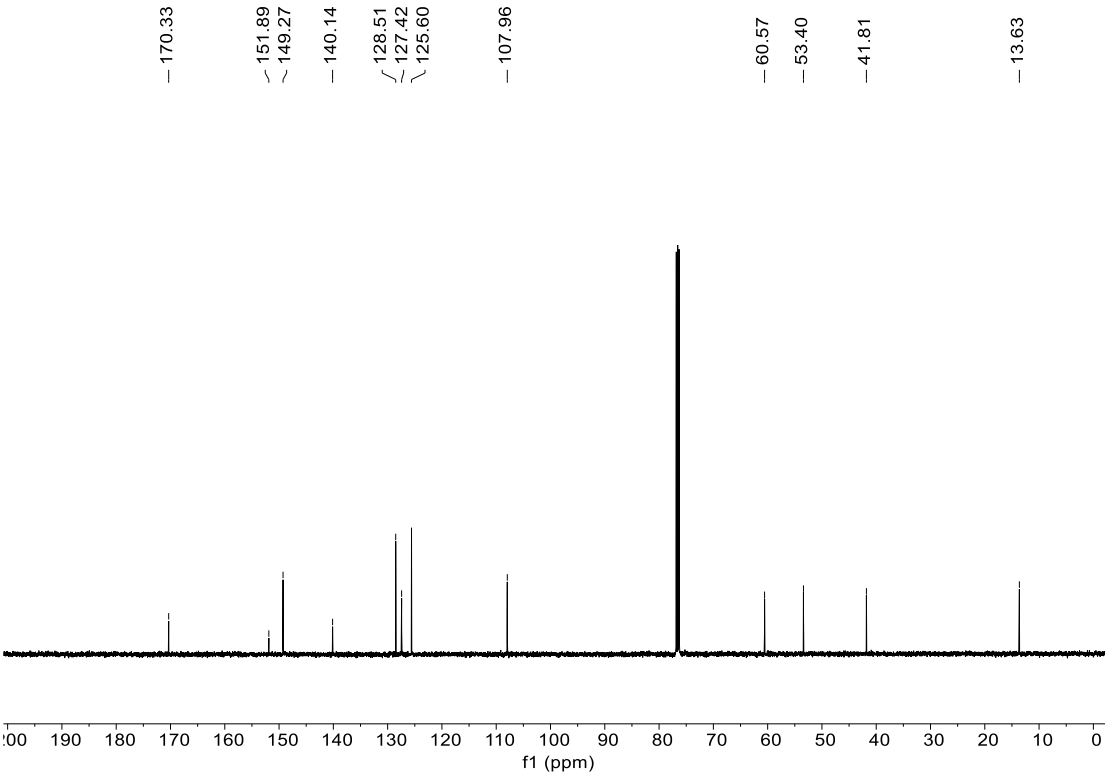


^{13}C NMR spectrum in $CDCl_3$.

ethyl 3-phenyl-3-(pyridin-4-ylamino)propanoate (64c):

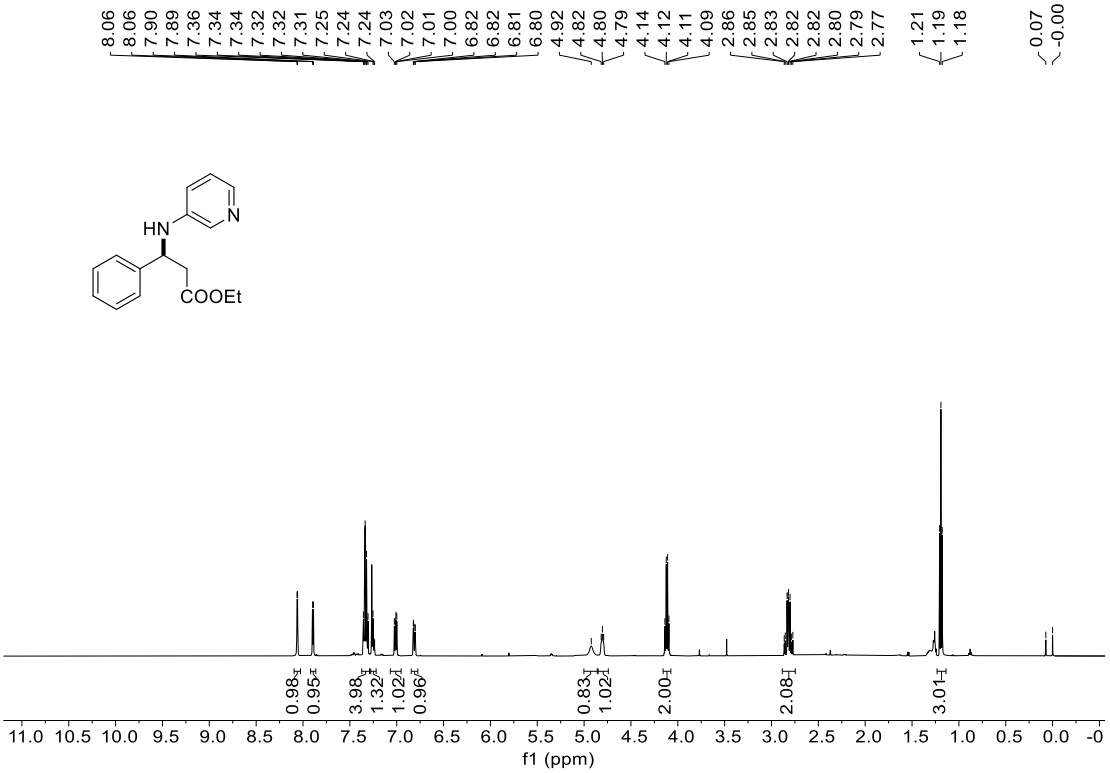


^{1}H NMR spectrum in $CDCl_3$.

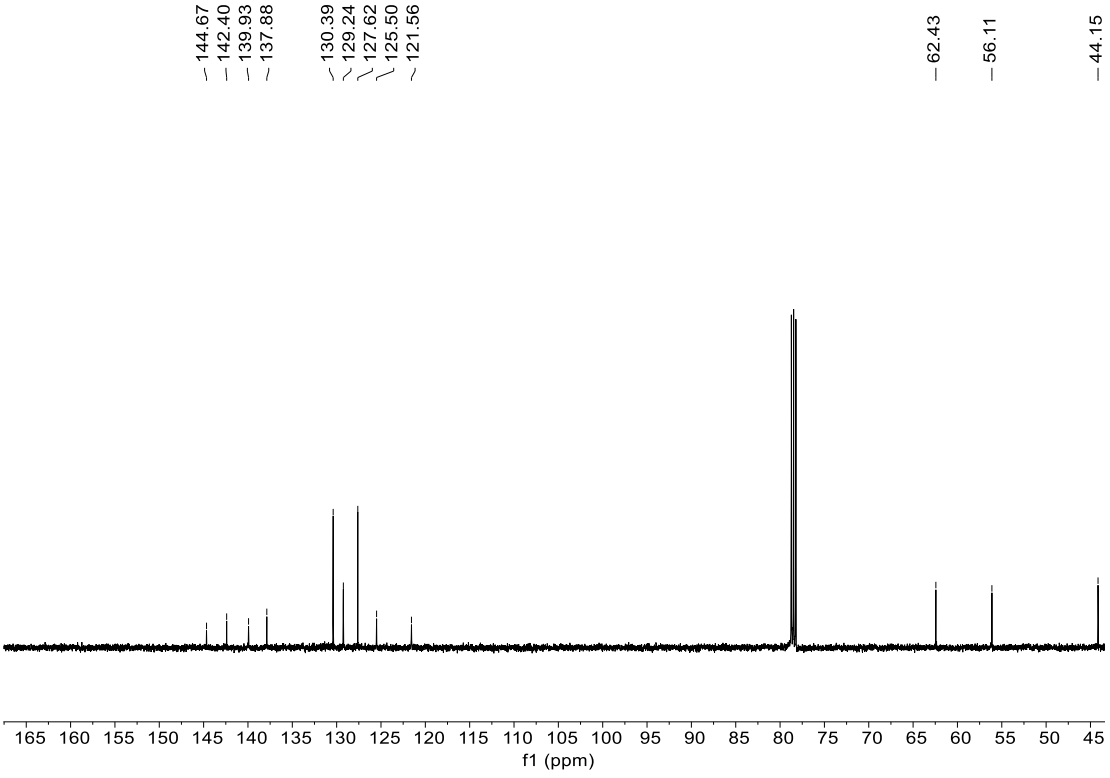


^{13}C NMR spectrum in $CDCl_3$.

ethyl 3-phenyl-3-(pyridin-3-ylamino)propanoate (65c):

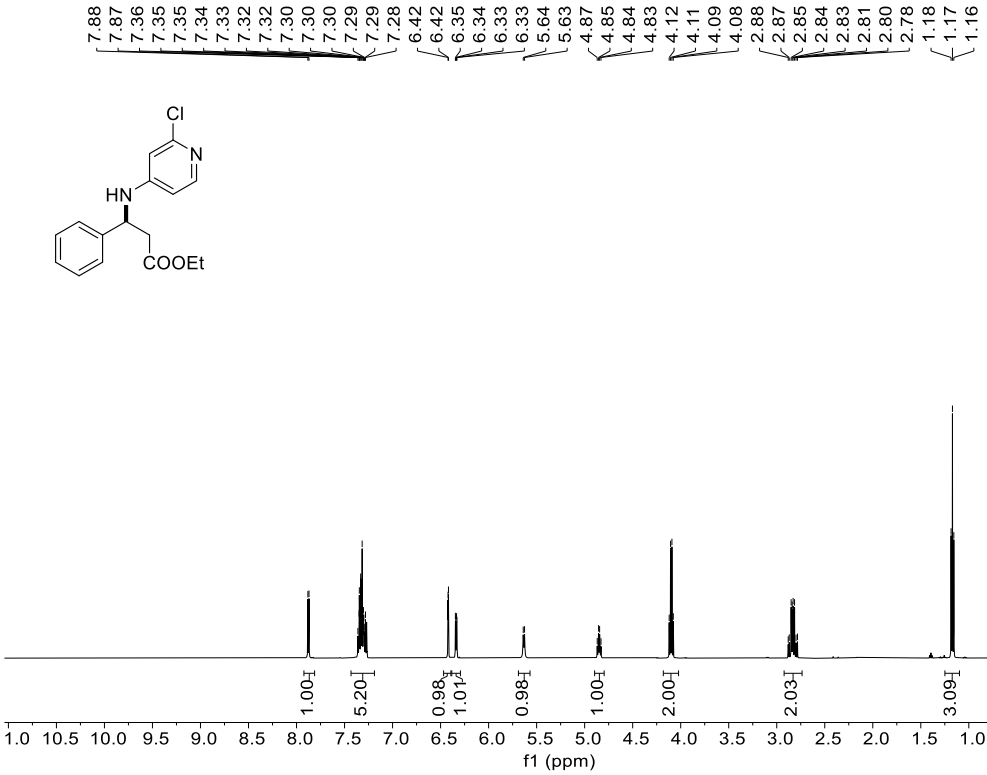


^{1}H NMR spectrum in $CDCl_3$.

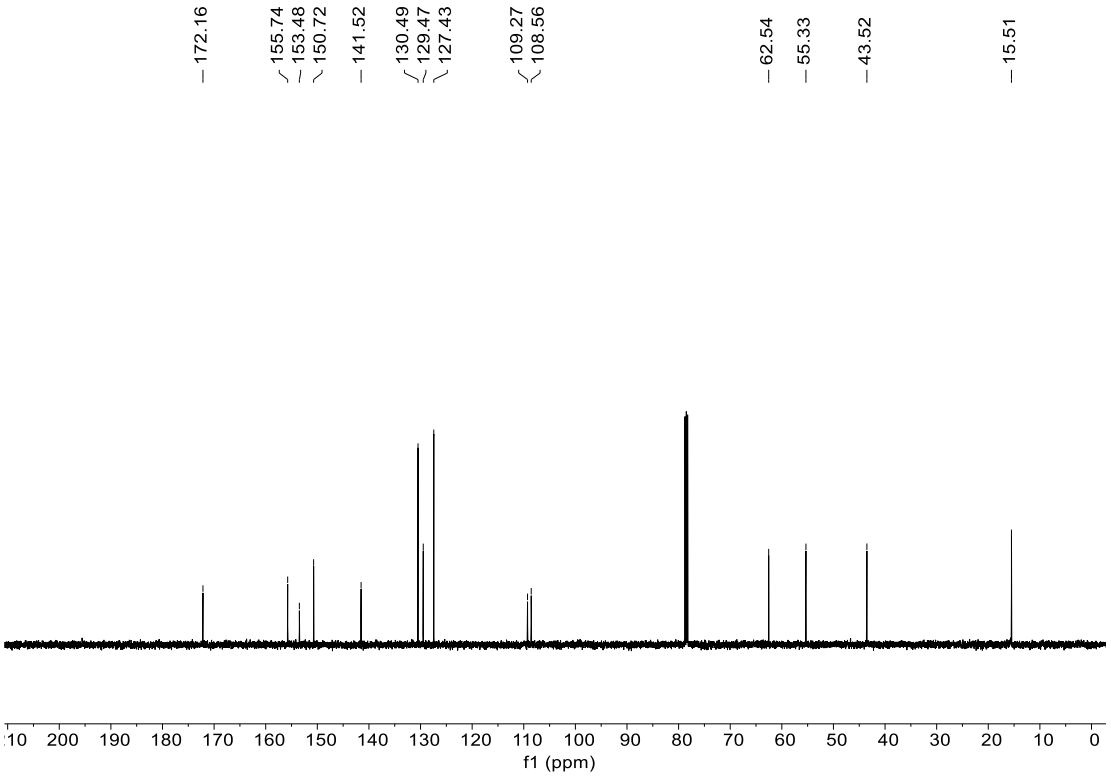


^{13}C NMR spectrum in $CDCl_3$.

ethyl 3-((2-chloropyridin-4-yl)amino)-3-phenylpropanoate (66c):

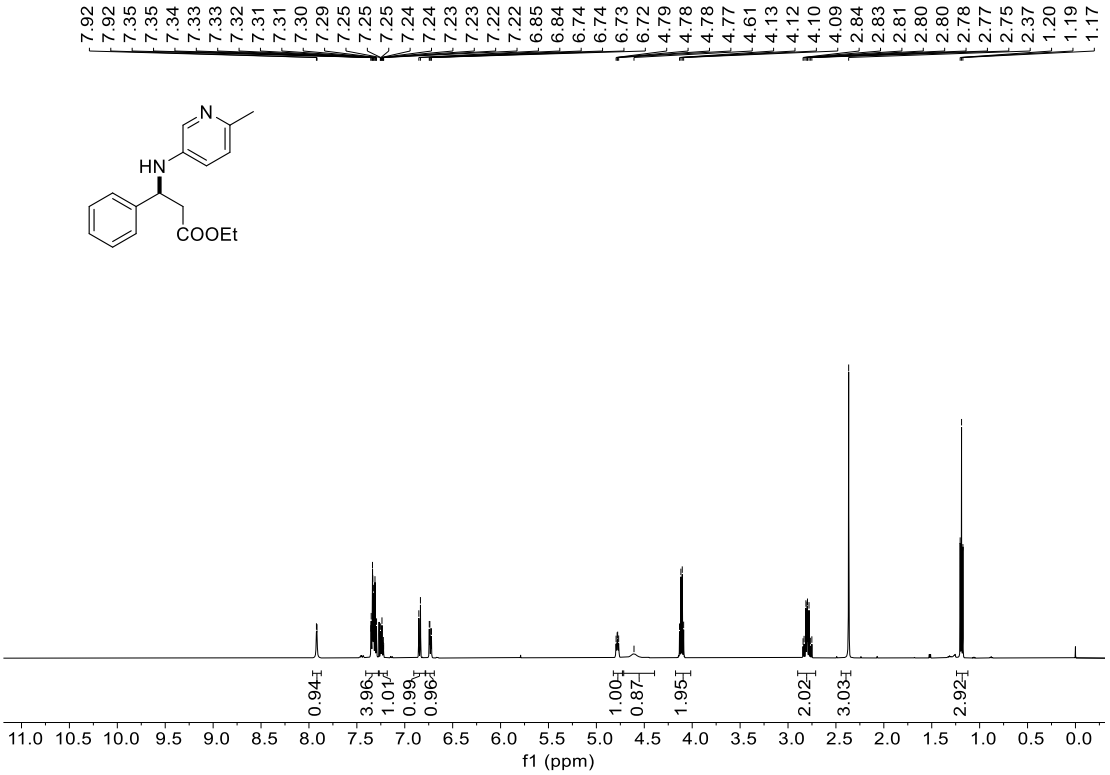


^{1}H NMR spectrum in $CDCl_3$.

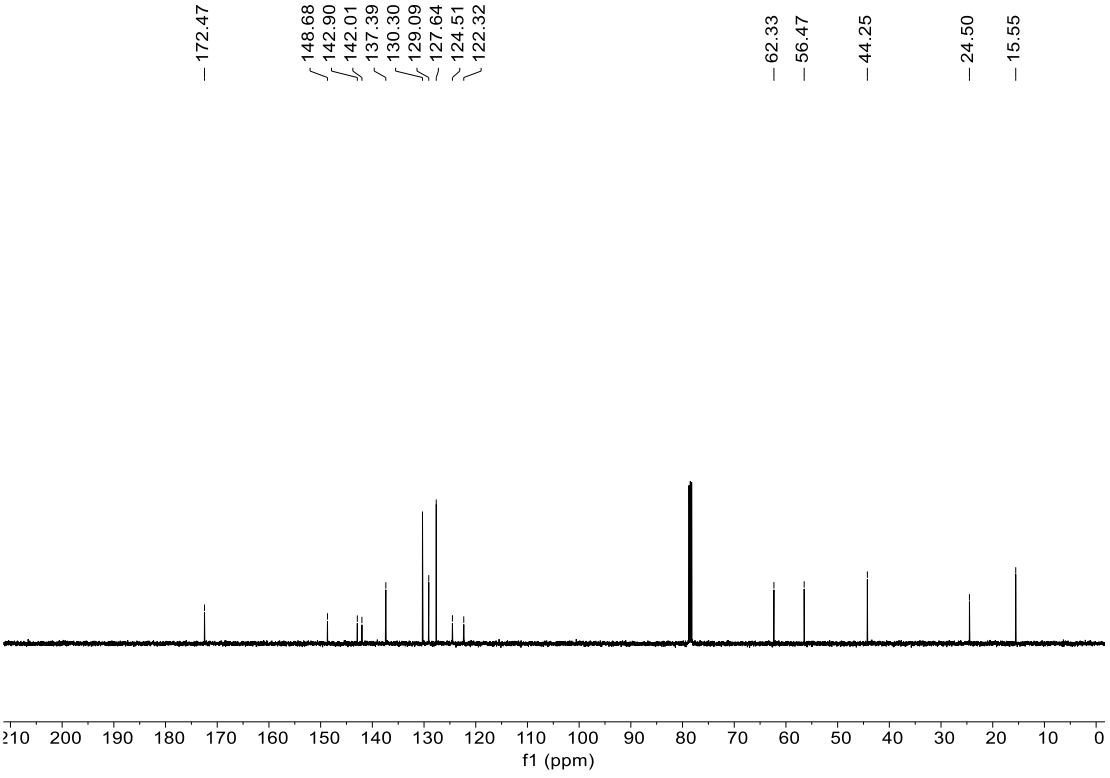


^{13}C NMR spectrum in $CDCl_3$.

ethyl 3-((6-methylpyridin-3-yl)amino)-3-phenylpropanoate (67c)：

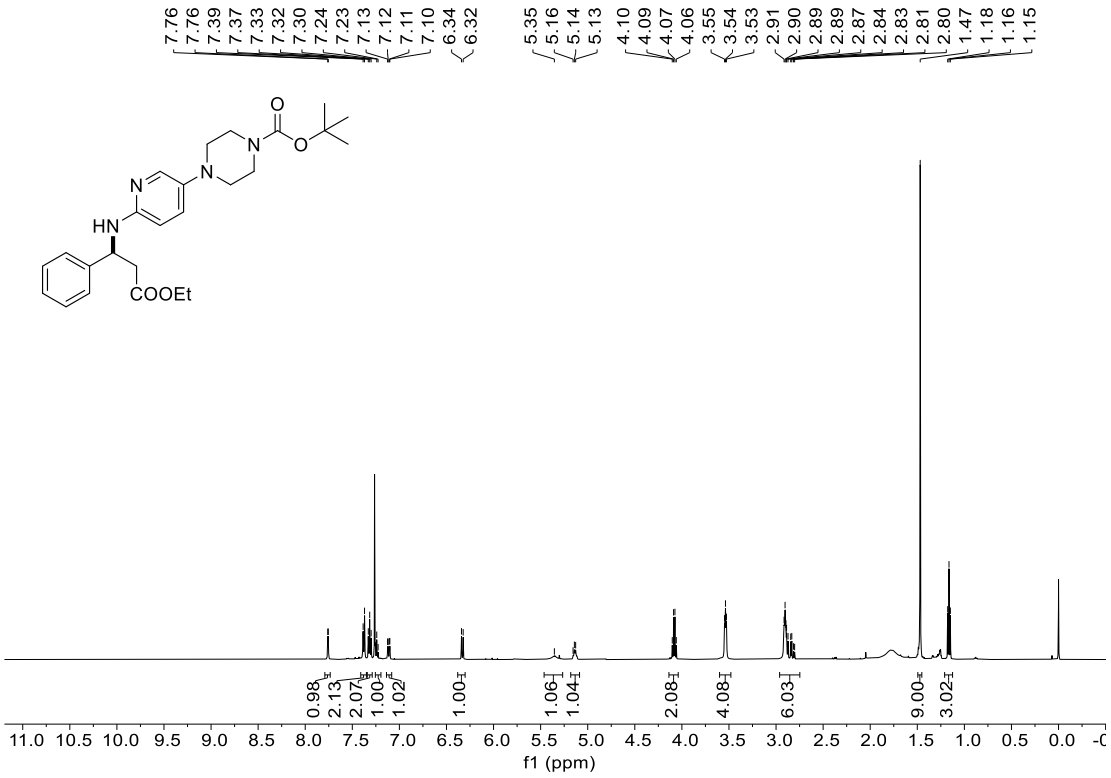


^{1}H NMR spectrum in $CDCl_3$.

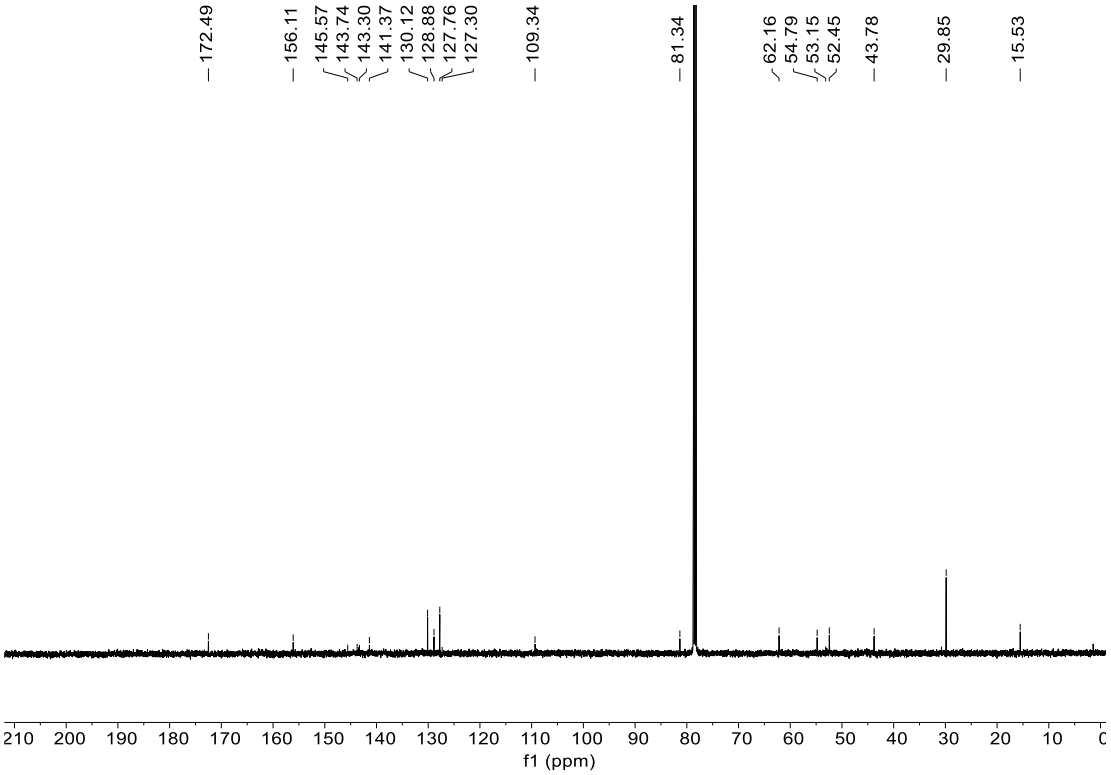


^{13}C NMR spectrum in $CDCl_3$.

***tert*-butyl 4-(6-((3-ethoxy-3-oxo-1-phenylpropyl)amino)pyridin-3-yl)piperazine-1-carboxylate (68c)**:

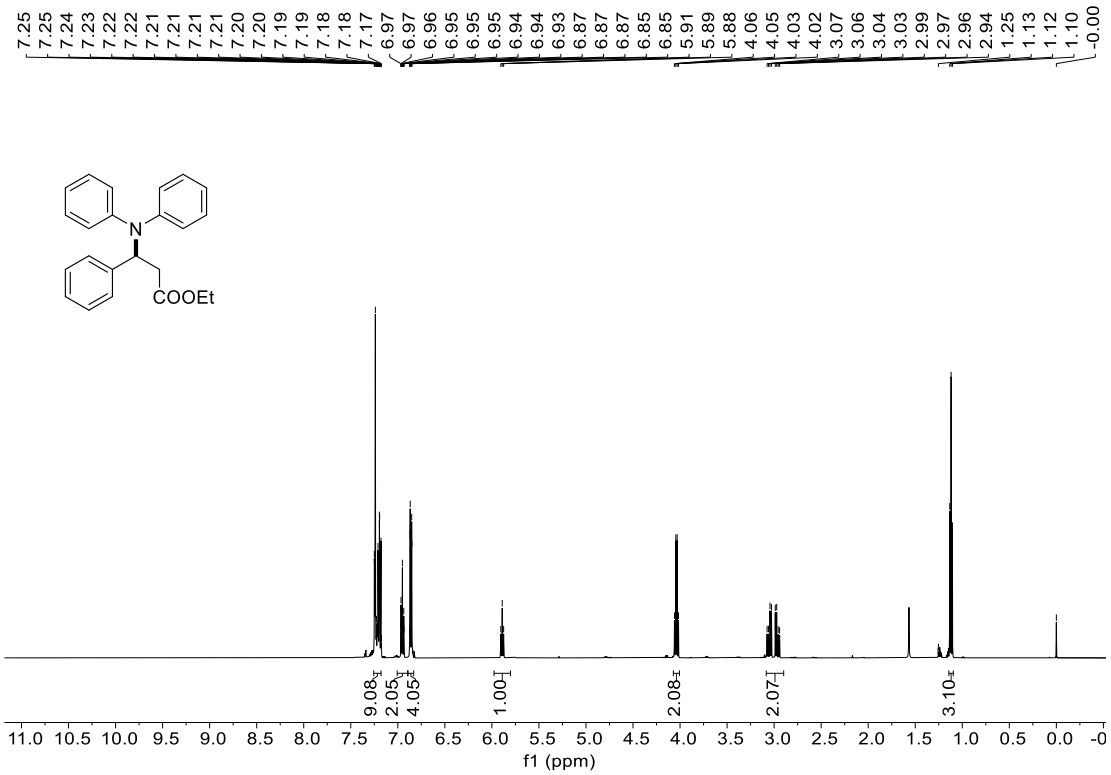


^{1}H NMR spectrum in $CDCl_3$.

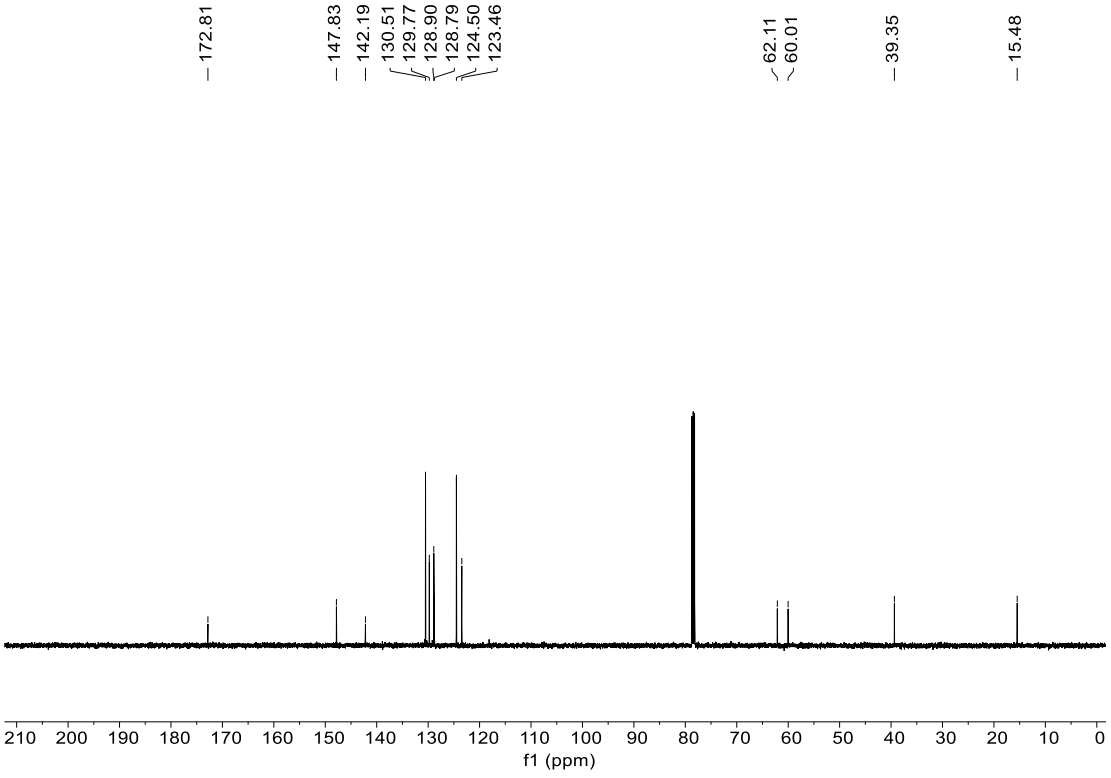


^{13}C NMR spectrum in $CDCl_3$.

ethyl 3-(diphenylamino)-3-phenylpropanoate (69c):

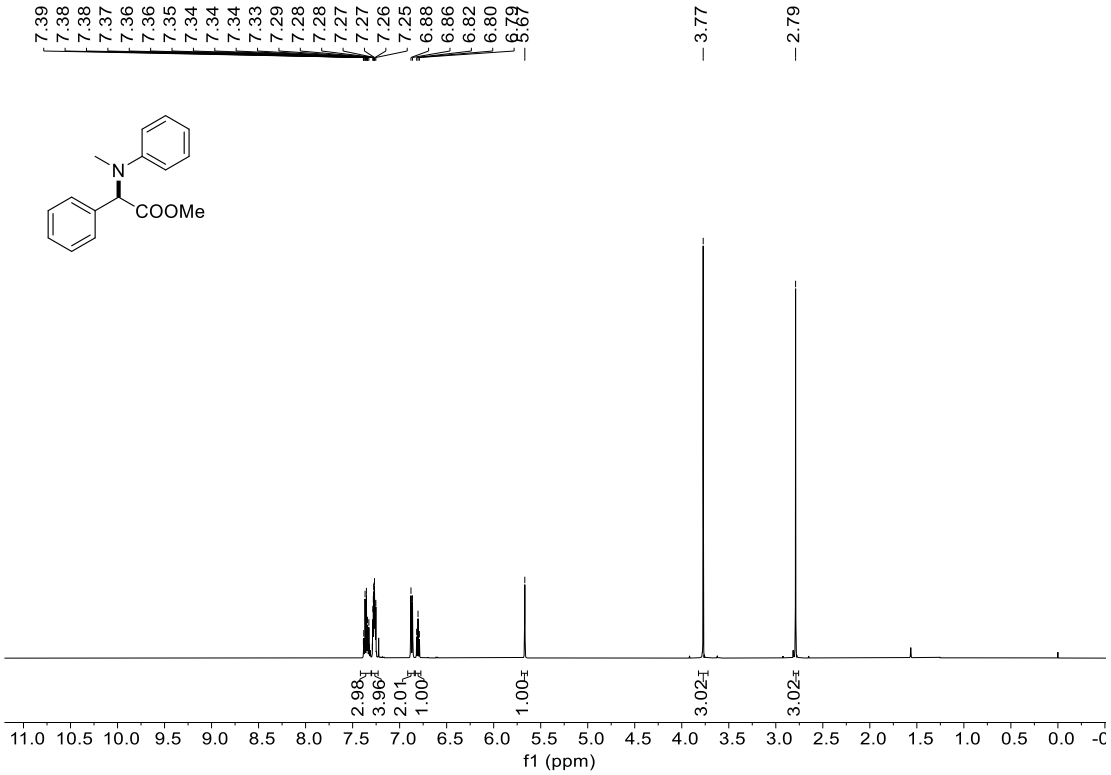


^{1}H NMR spectrum in $CDCl_3$.

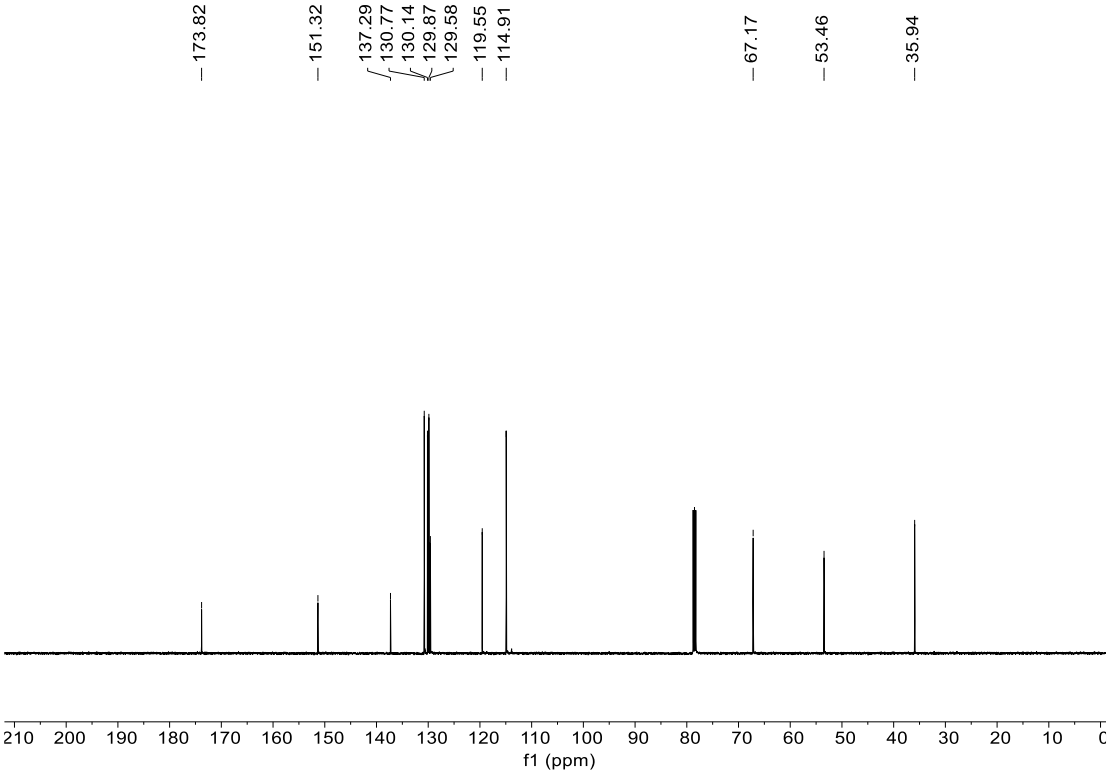


^{13}C NMR spectrum in $CDCl_3$.

methyl 2-(methyl(phenyl)amino)-2-phenylacetate (70c):

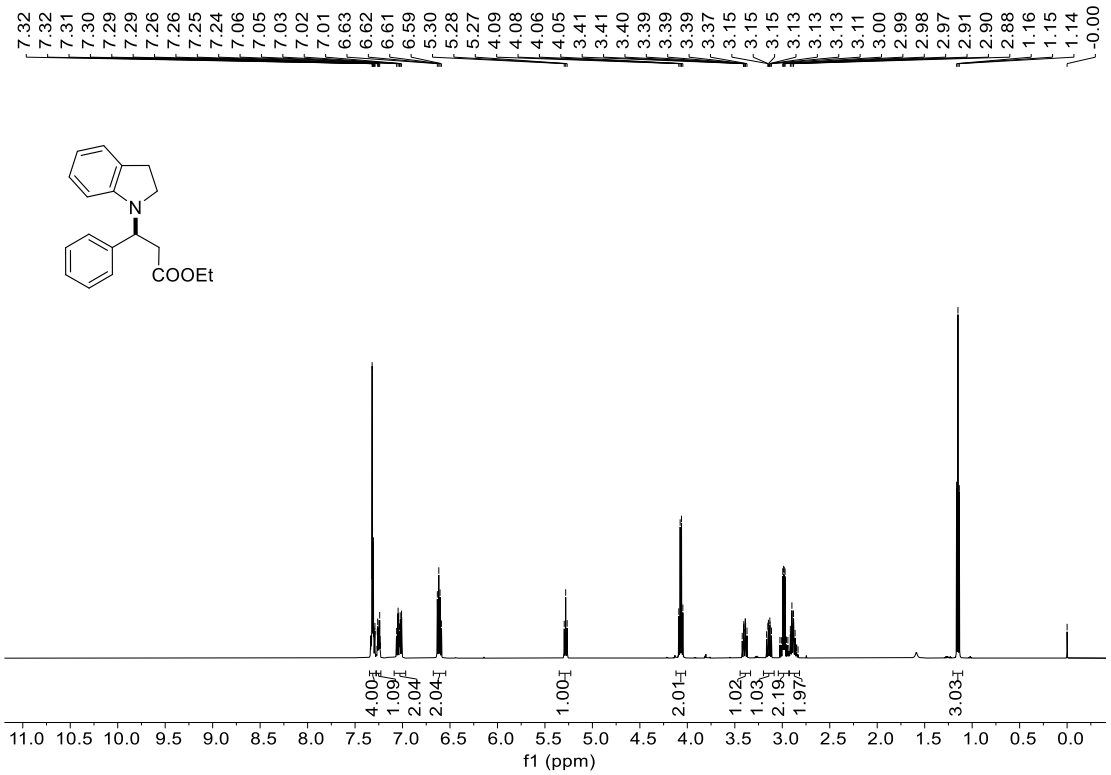


^{1}H NMR spectrum in $CDCl_3$.

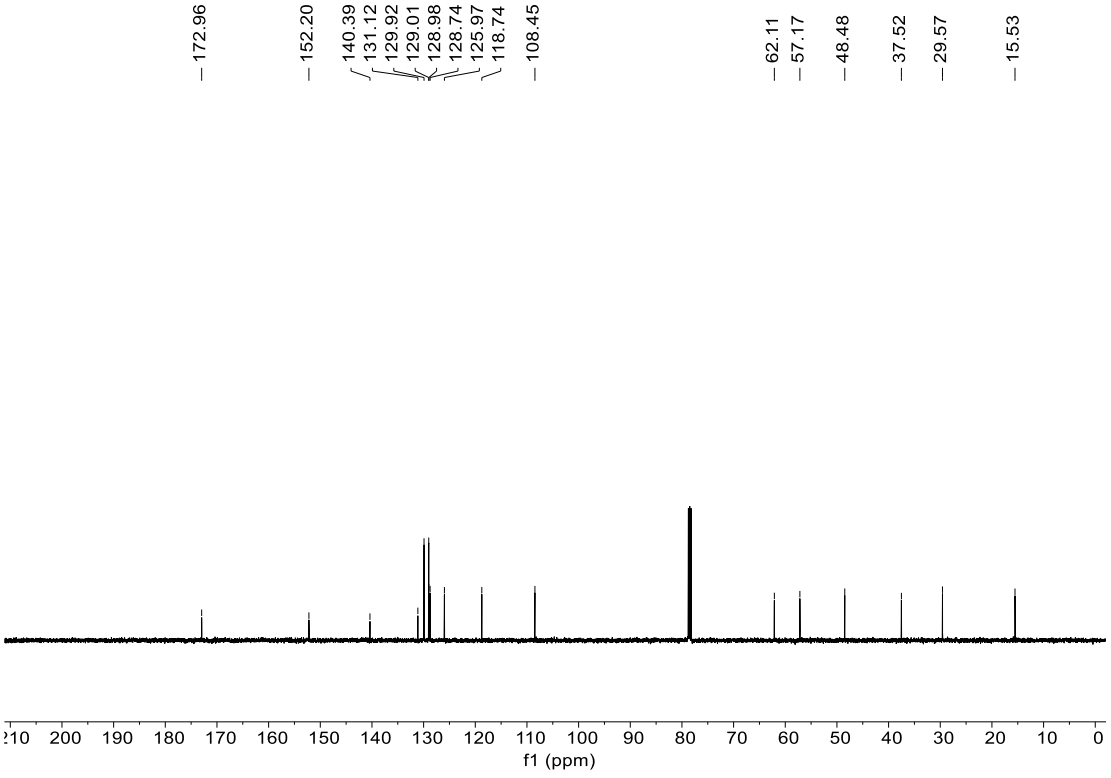


^{13}C NMR spectrum in $CDCl_3$.

ethyl 3-(indolin-1-yl)-3-phenylpropanoate (71c):

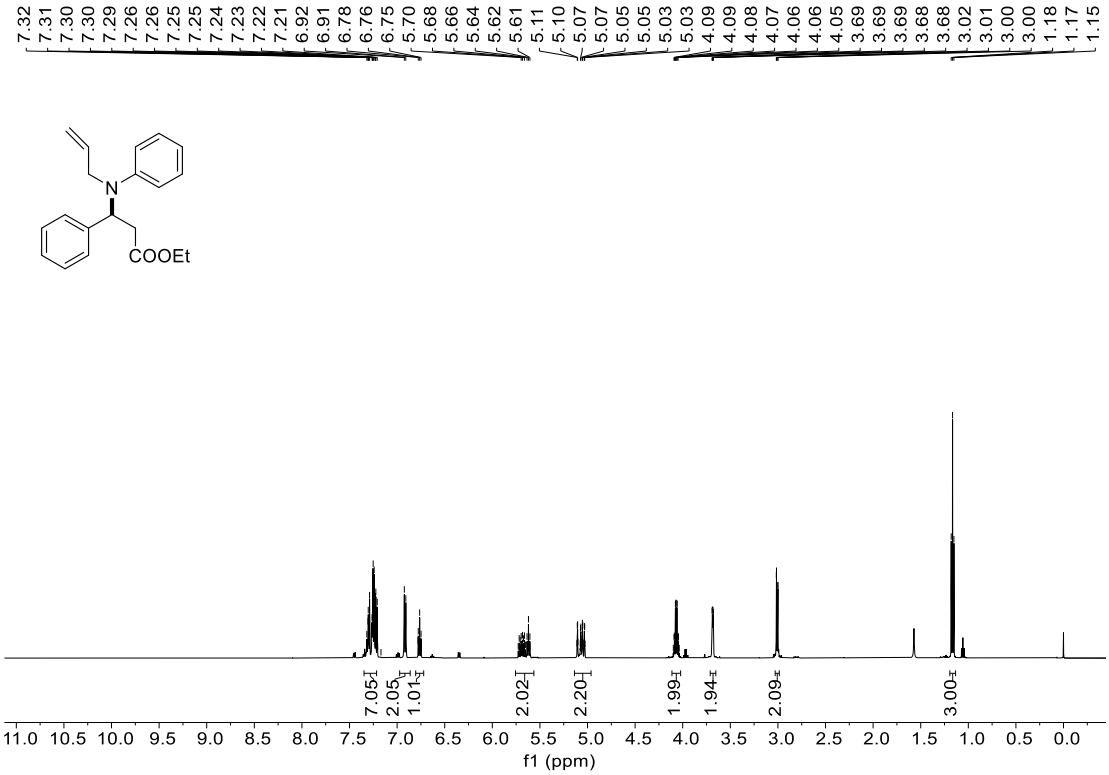


^{1}H NMR spectrum in $CDCl_3$.

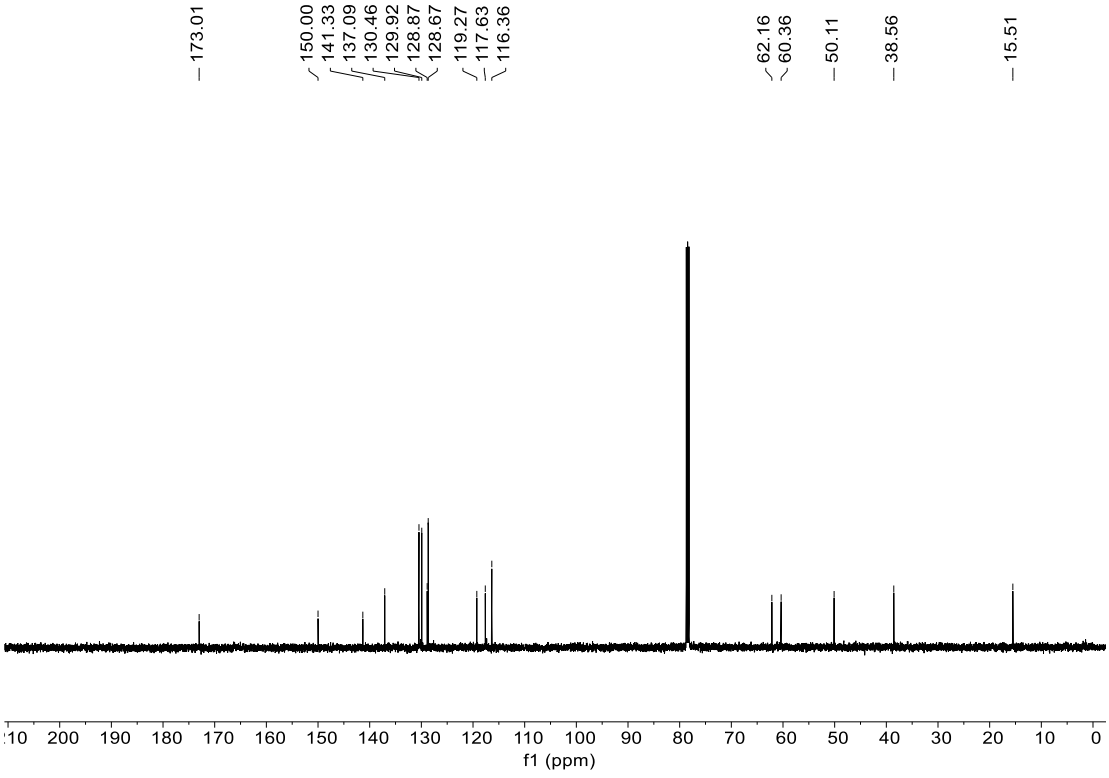


^{13}C NMR spectrum in $CDCl_3$.

ethyl 3-(allyl(phenyl)amino)-3-phenylpropanoate (72c):

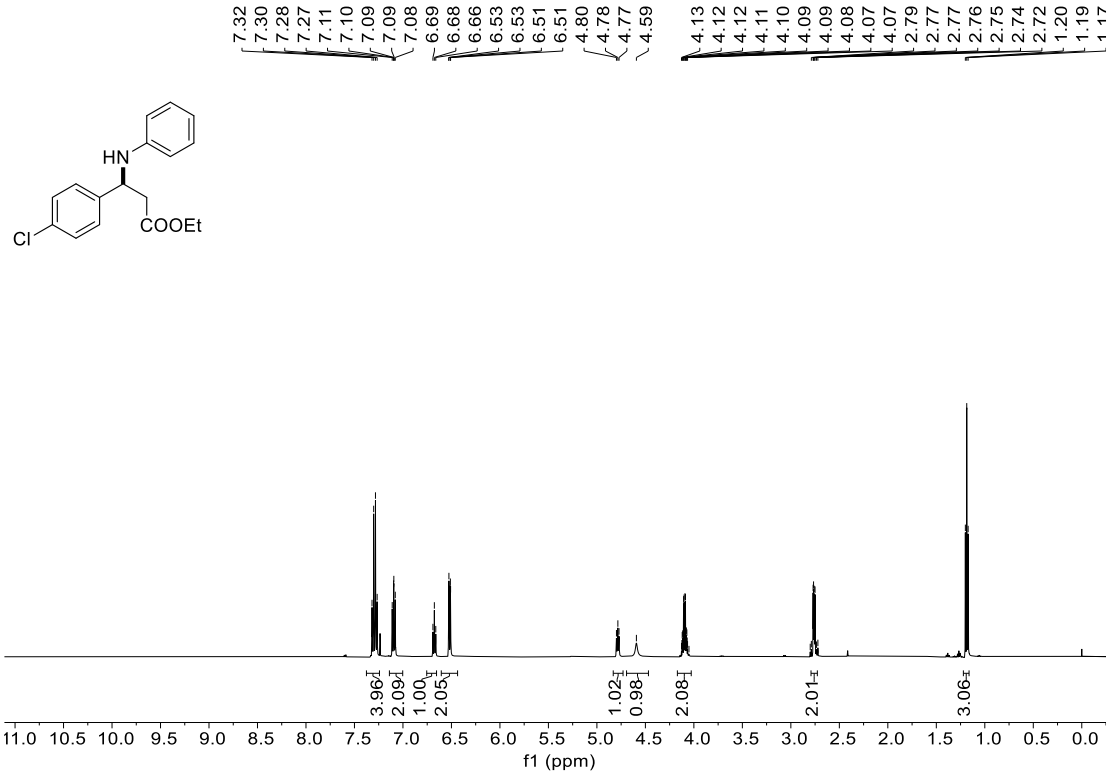


^{1}H NMR spectrum in $CDCl_3$.

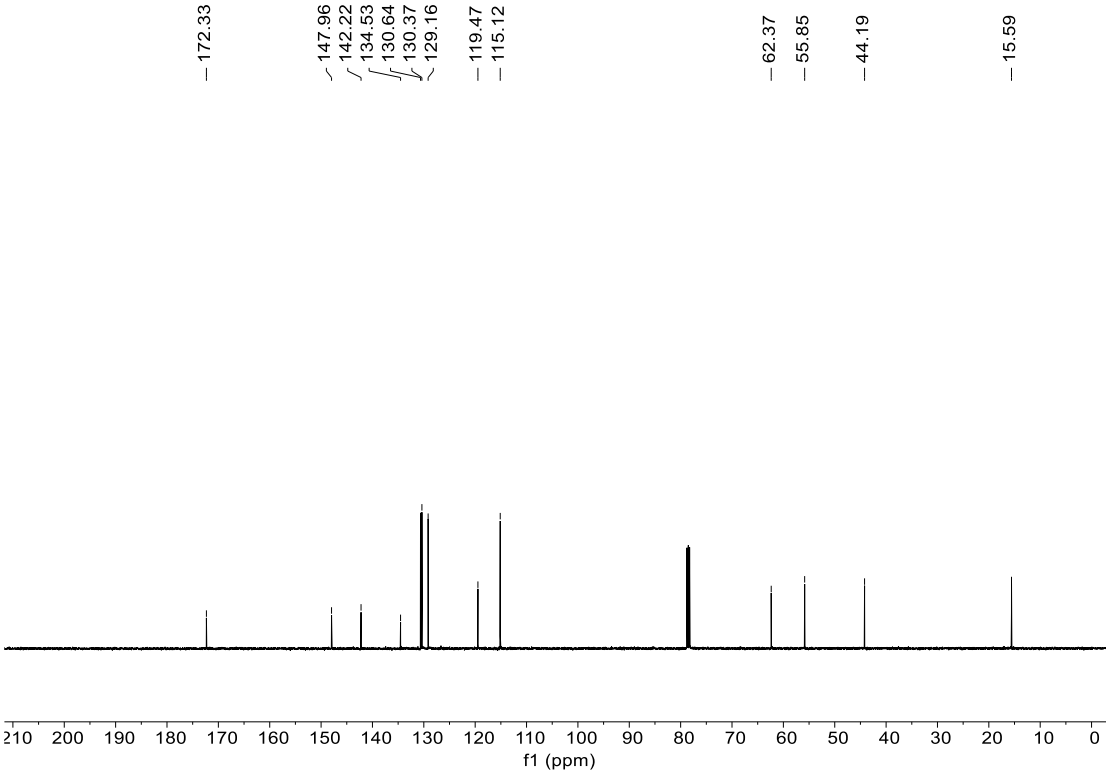


^{13}C NMR spectrum in $CDCl_3$.

ethyl 3-(4-chlorophenyl)-3-(phenylamino)propanoate (73c):

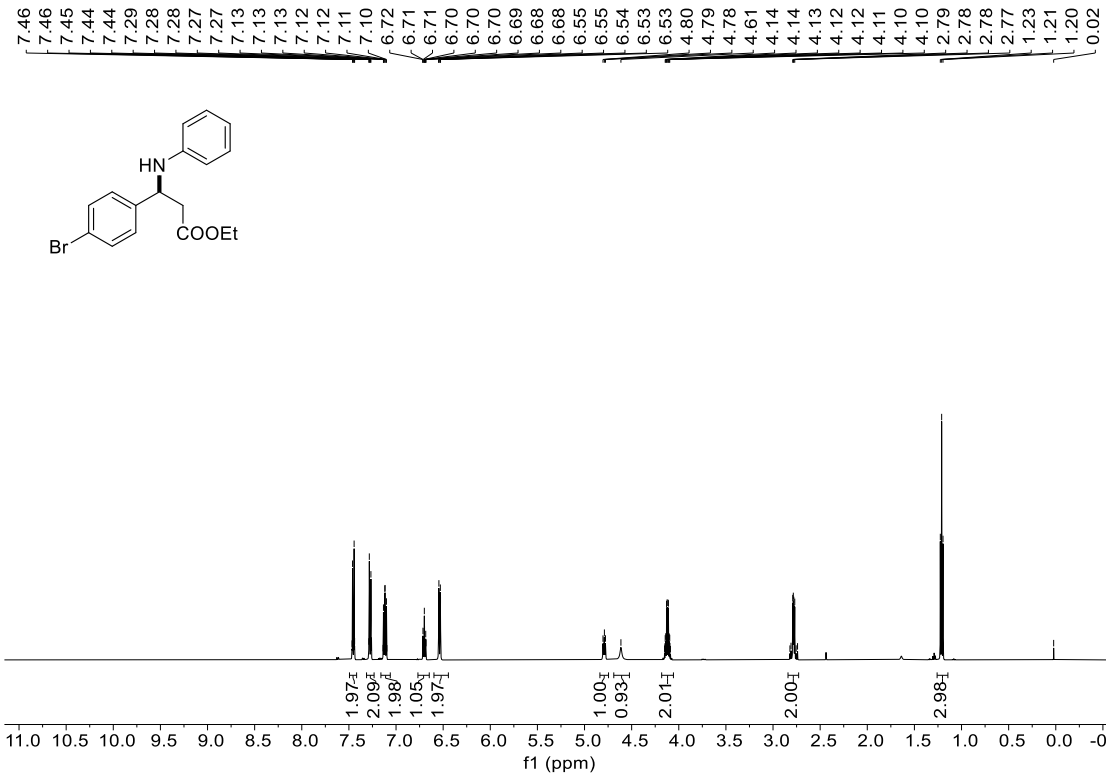


^{1}H NMR spectrum in $CDCl_3$.

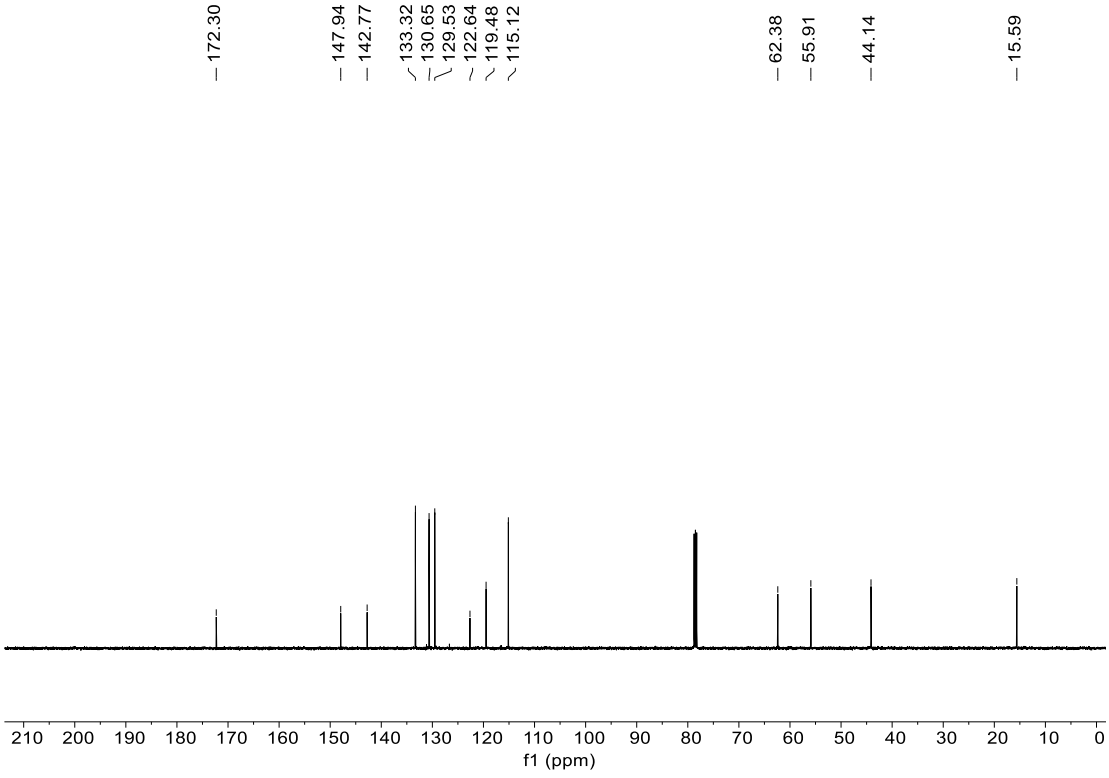


^{13}C NMR spectrum in $CDCl_3$.

ethyl 3-(4-bromophenyl)-3-(phenylamino)propanoate (74c):

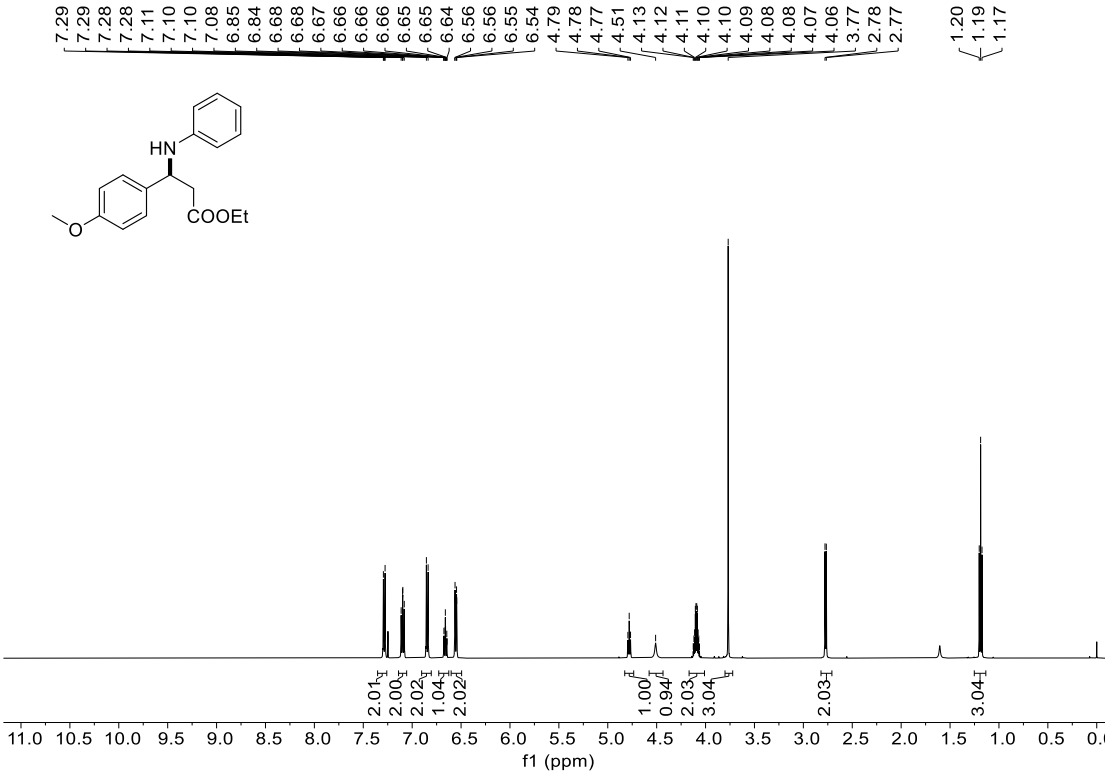


^{1}H NMR spectrum in $CDCl_3$.

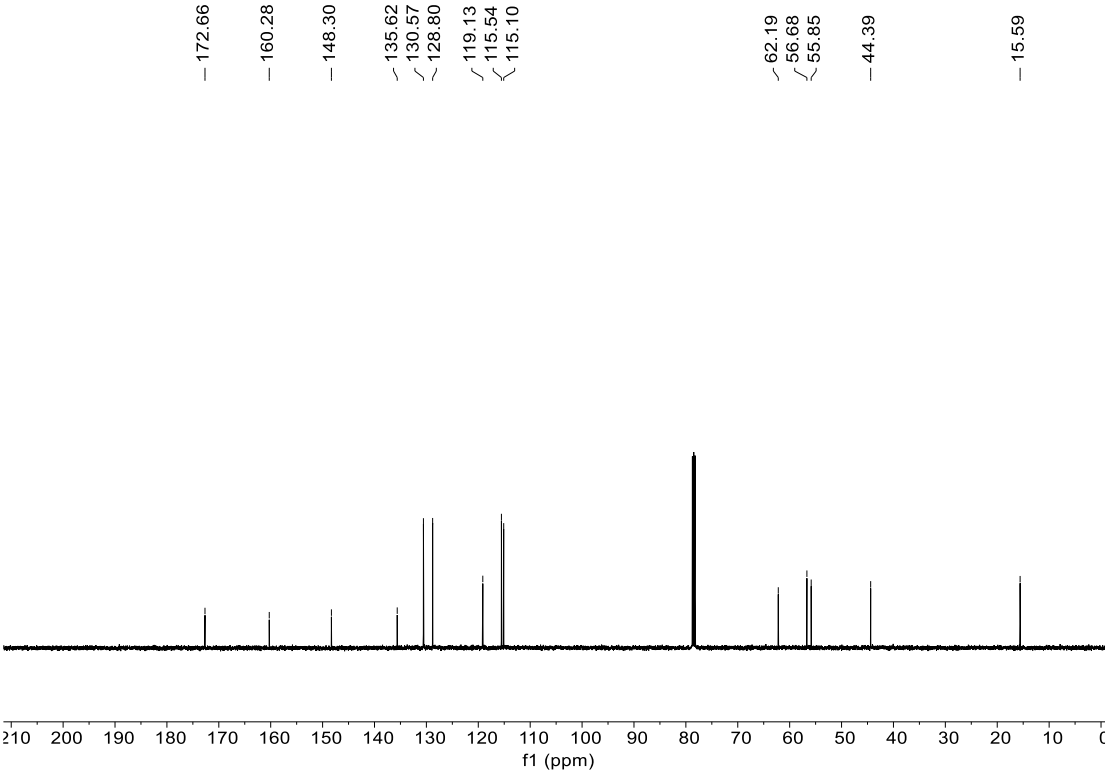


^{13}C NMR spectrum in $CDCl_3$.

ethyl 3-(4-methoxyphenyl)-3-(phenylamino)propanoate (75c)：

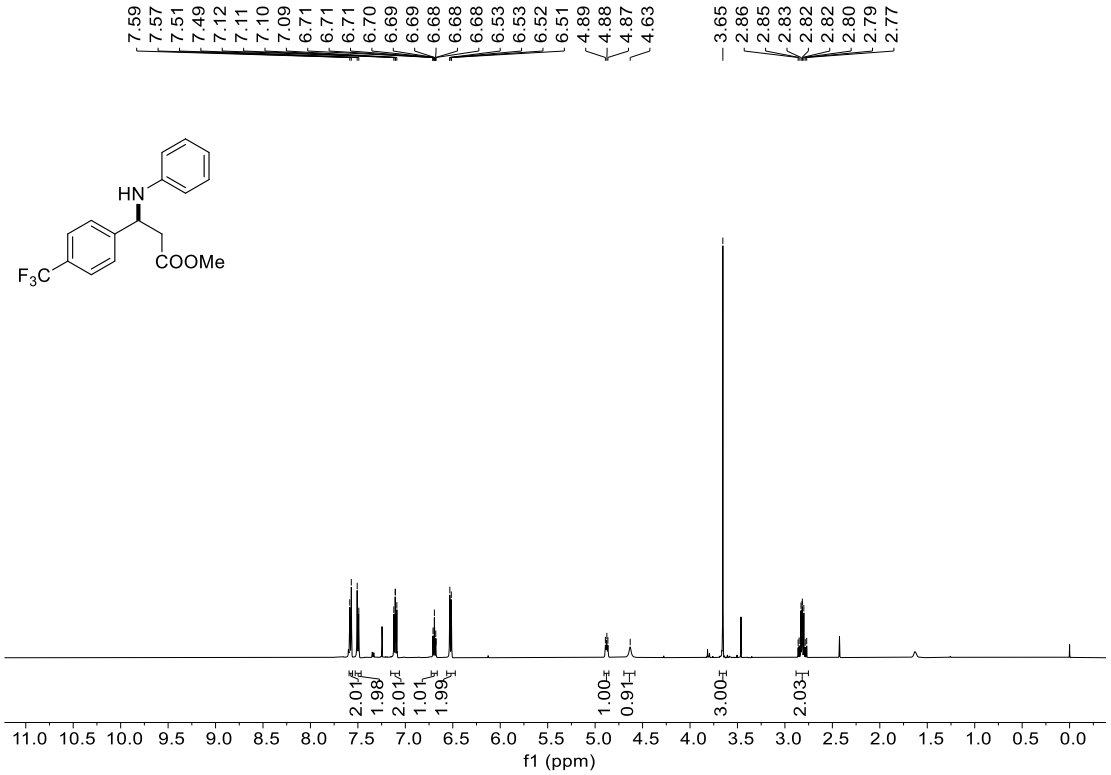


^{1}H NMR spectrum in $CDCl_3$.

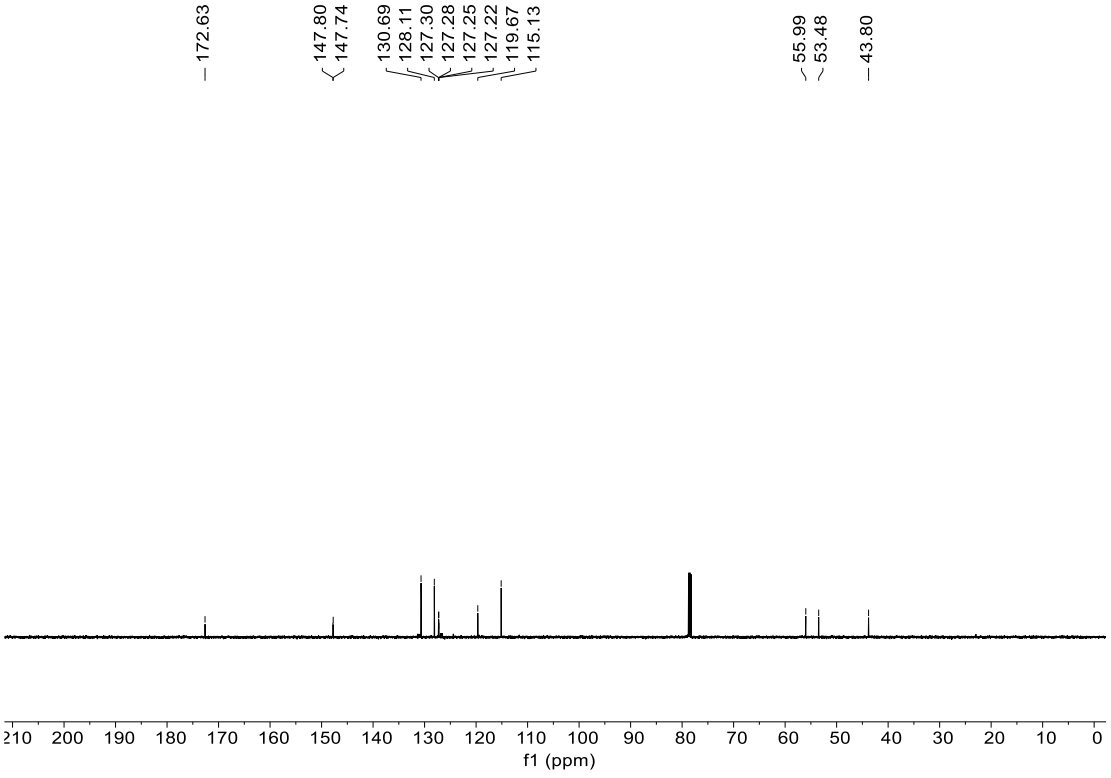


^{13}C NMR spectrum in $CDCl_3$.

methyl 3-(phenylamino)-3-(4-(trifluoromethyl)phenyl)propanoate (76c):

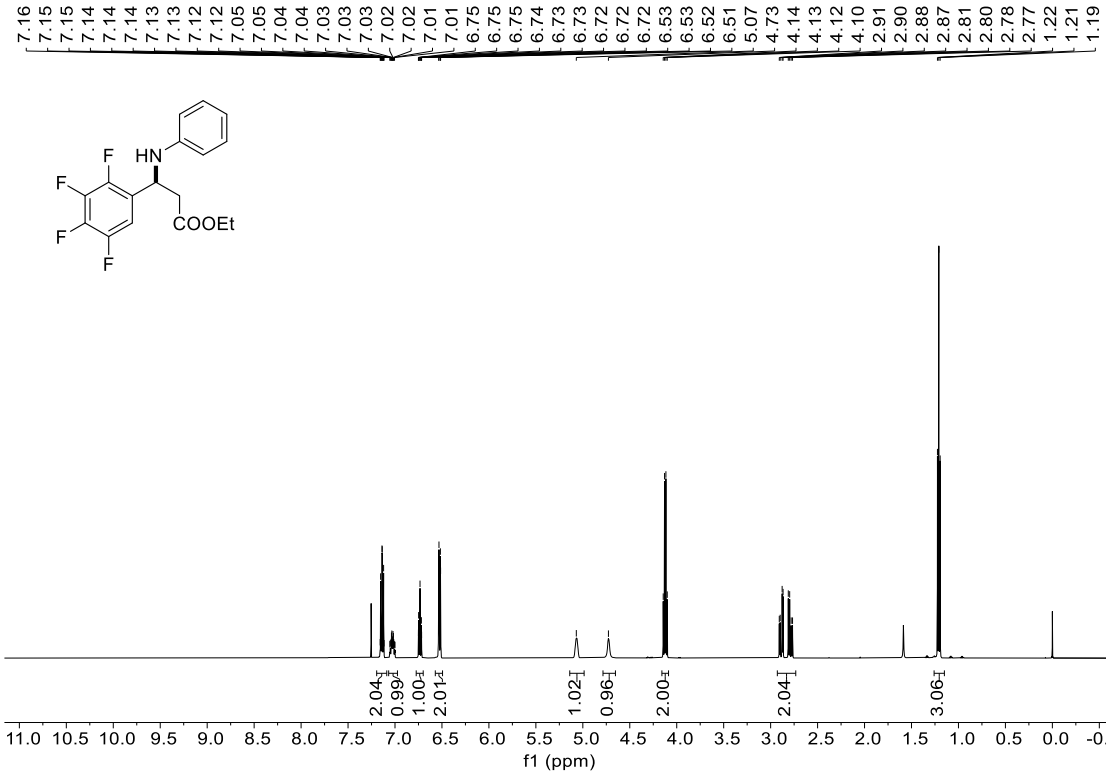


^{1}H NMR spectrum in $CDCl_3$.

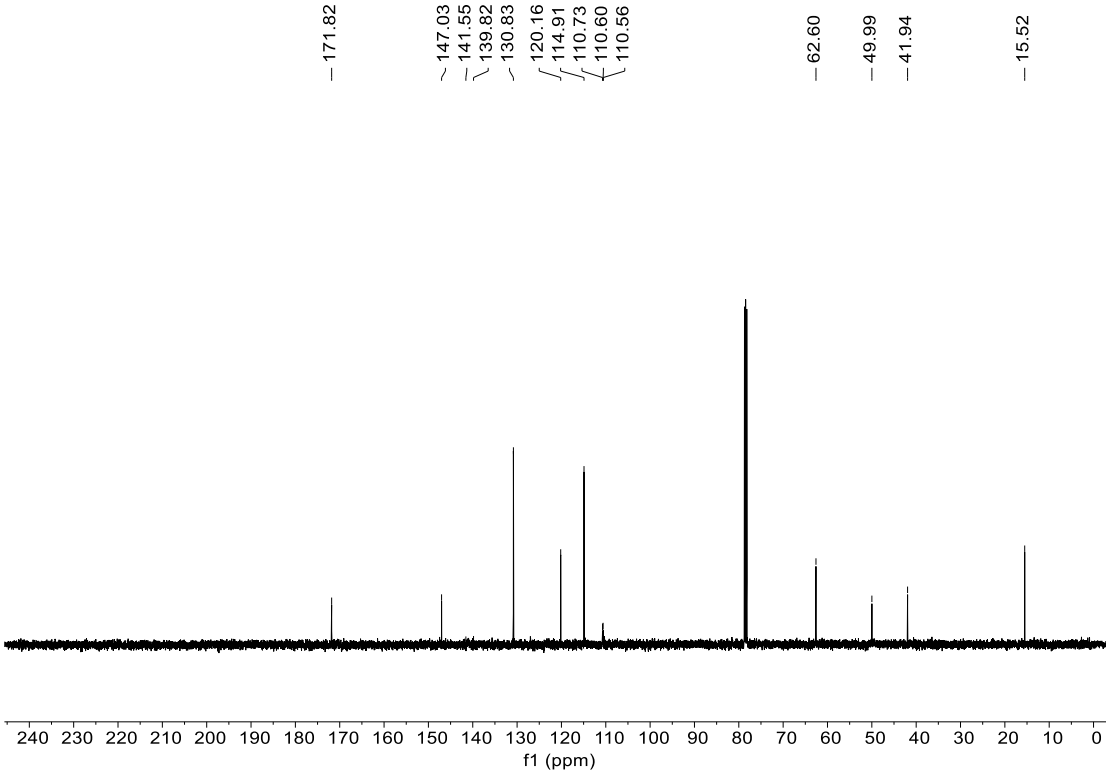


^{13}C NMR spectrum in $CDCl_3$.

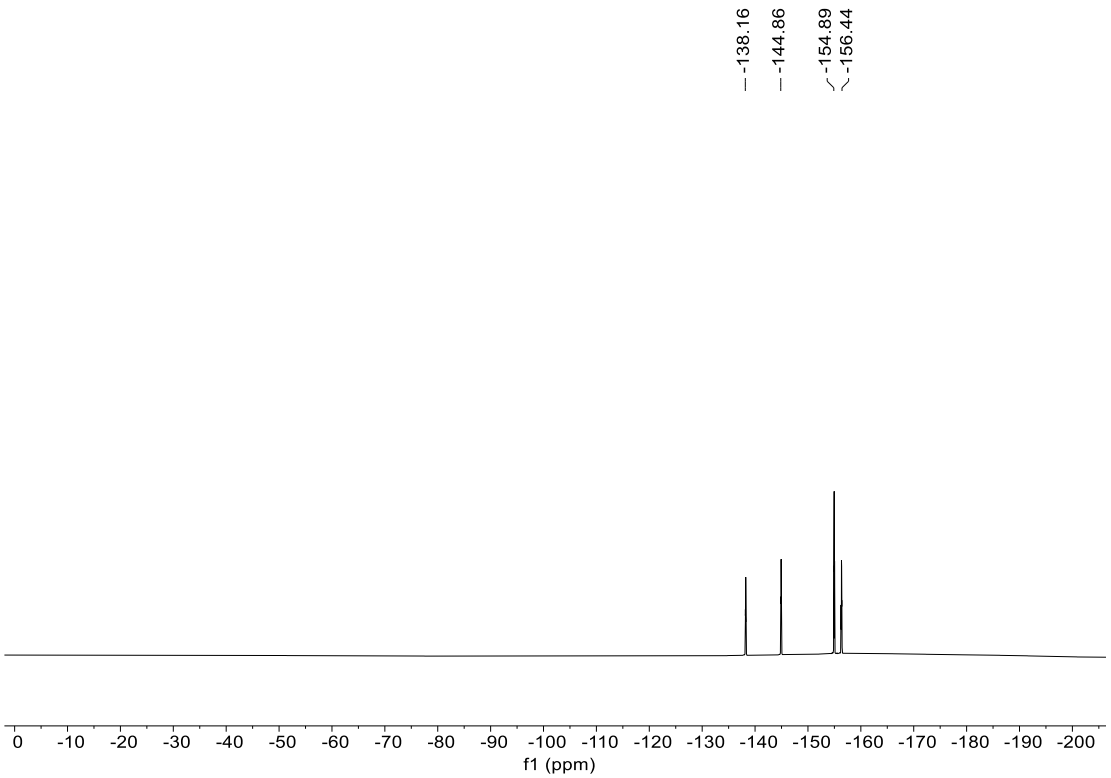
ethyl 3-(phenylamino)-3-(2,3,4,5-tetrafluorophenyl)propanoate (77c):



^{1}H NMR spectrum in $CDCl_3$.

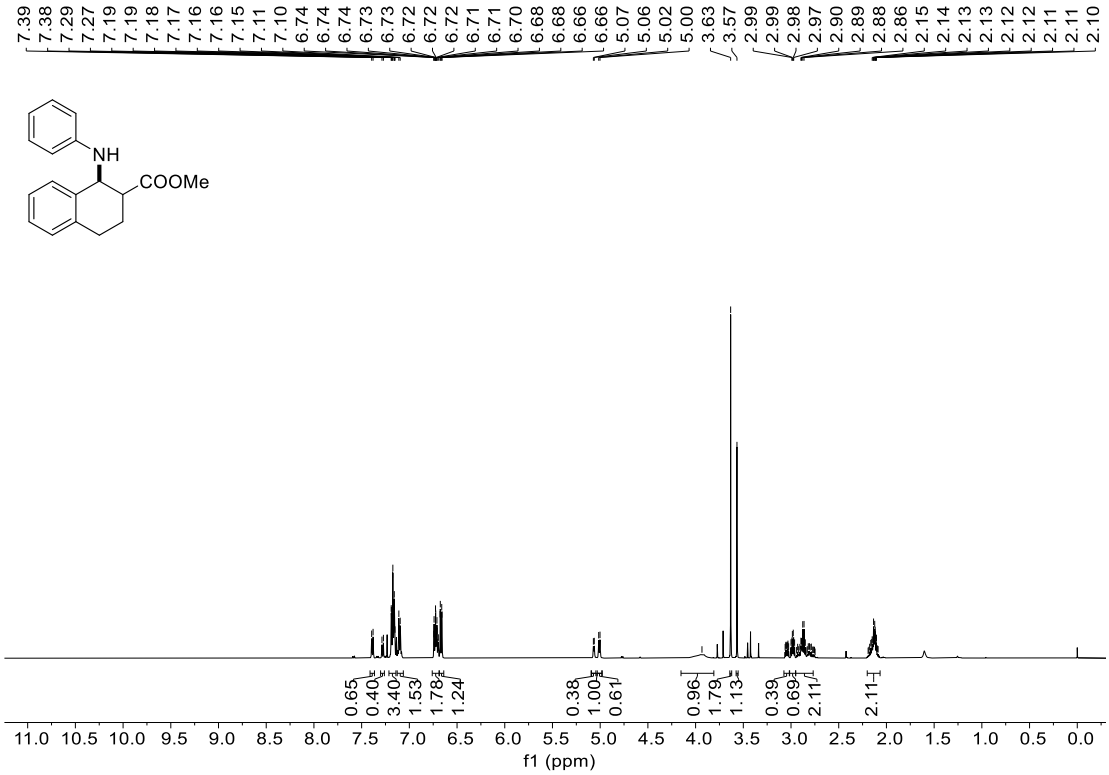


^{13}C NMR spectrum in $CDCl_3$.

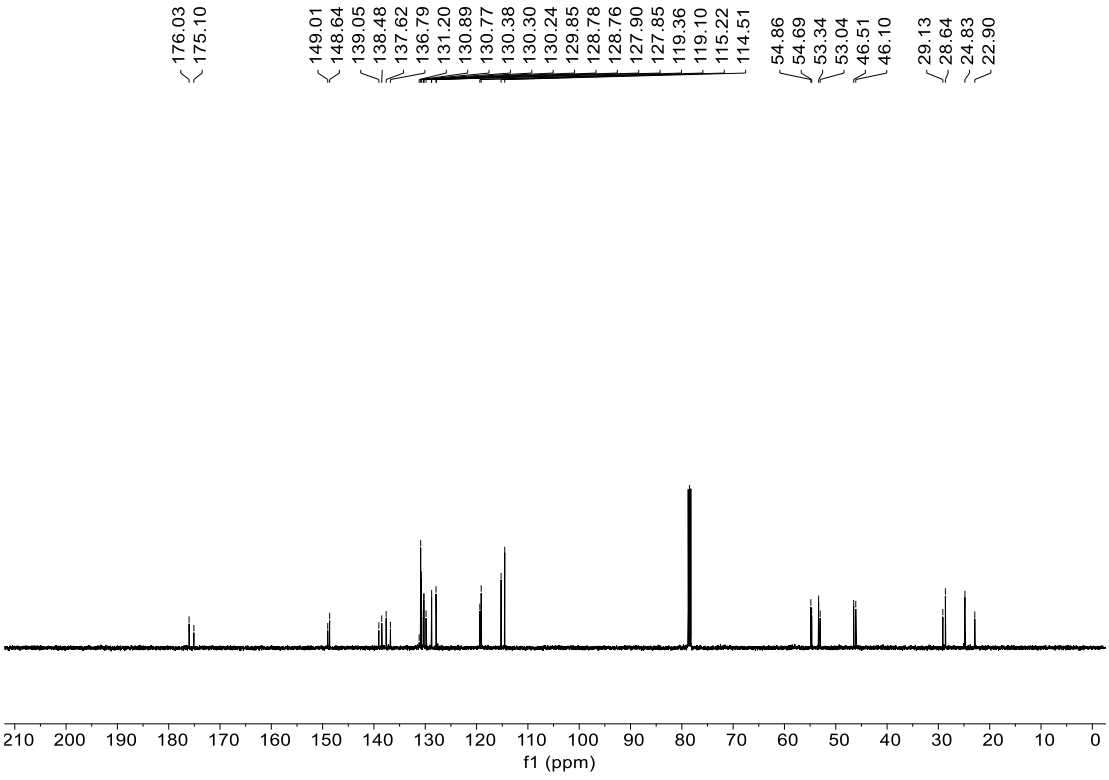


^{19}F NMR spectrum in $CDCl_3$.

methyl 1-(phenylamino)-1,2,3,4-tetrahydronaphthalene-2-carboxylate (78c):

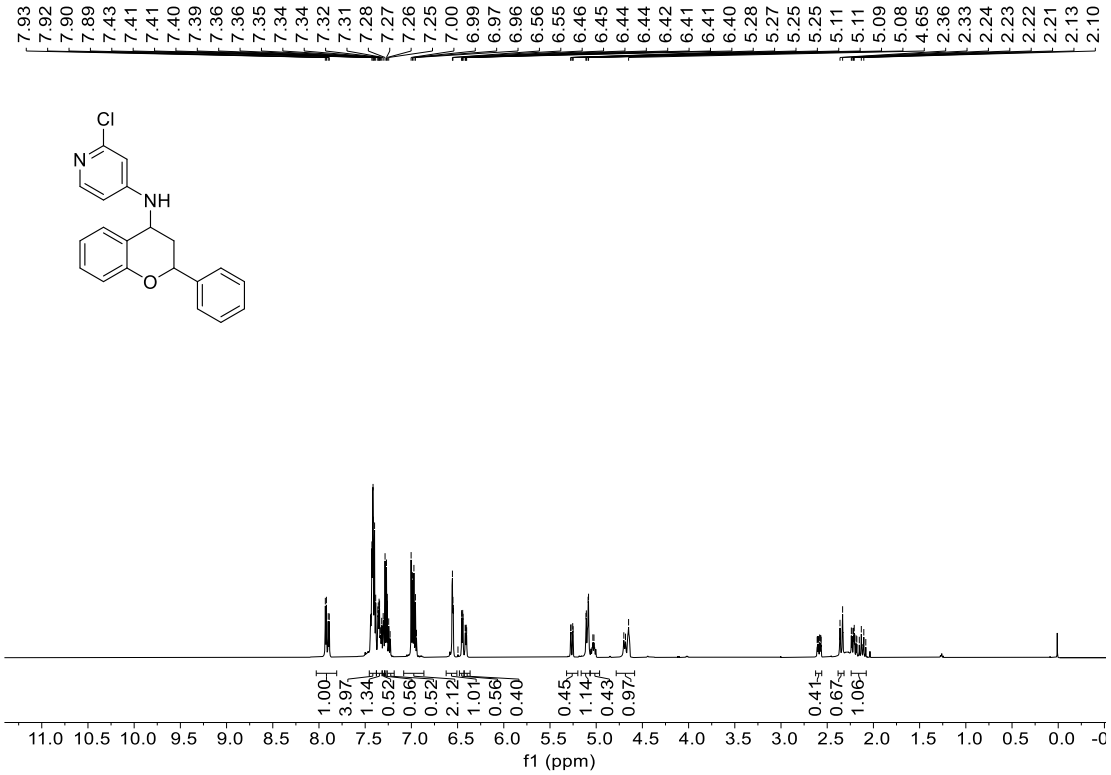


^{1}H NMR spectrum in $CDCl_3$.

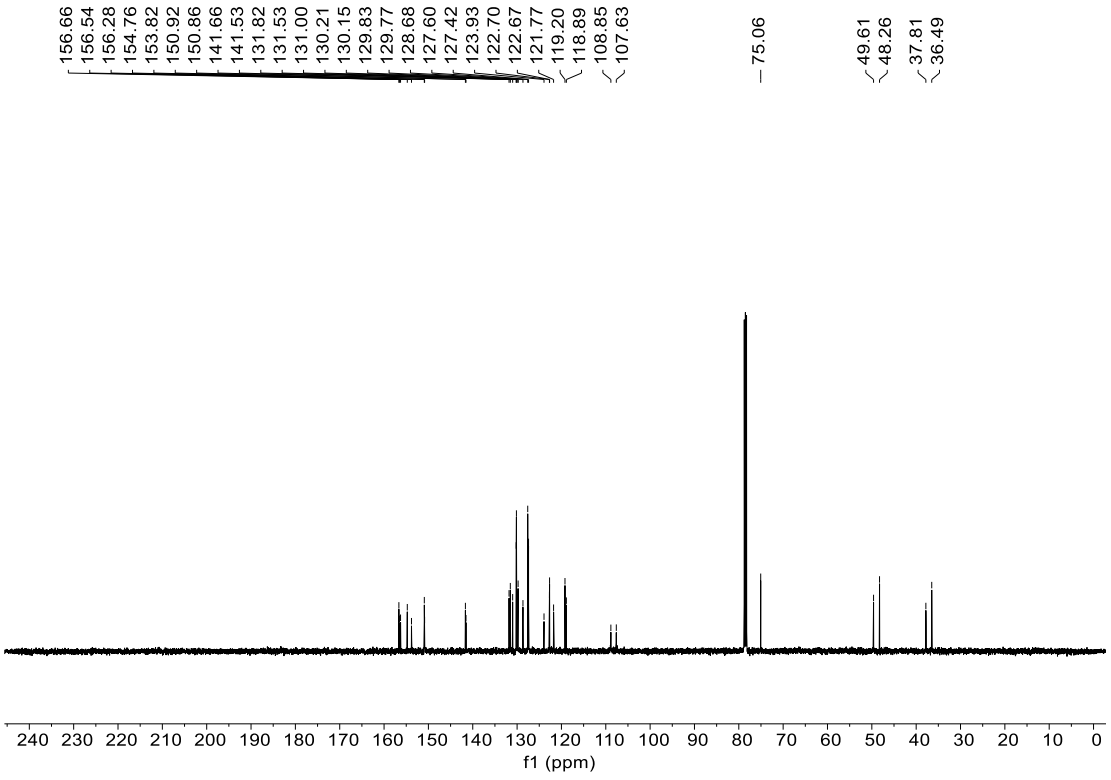


^{13}C NMR spectrum in $CDCl_3$.

2-chloro-*N*-(2-phenylchroman-4-yl)pyridin-4-amine (79c):

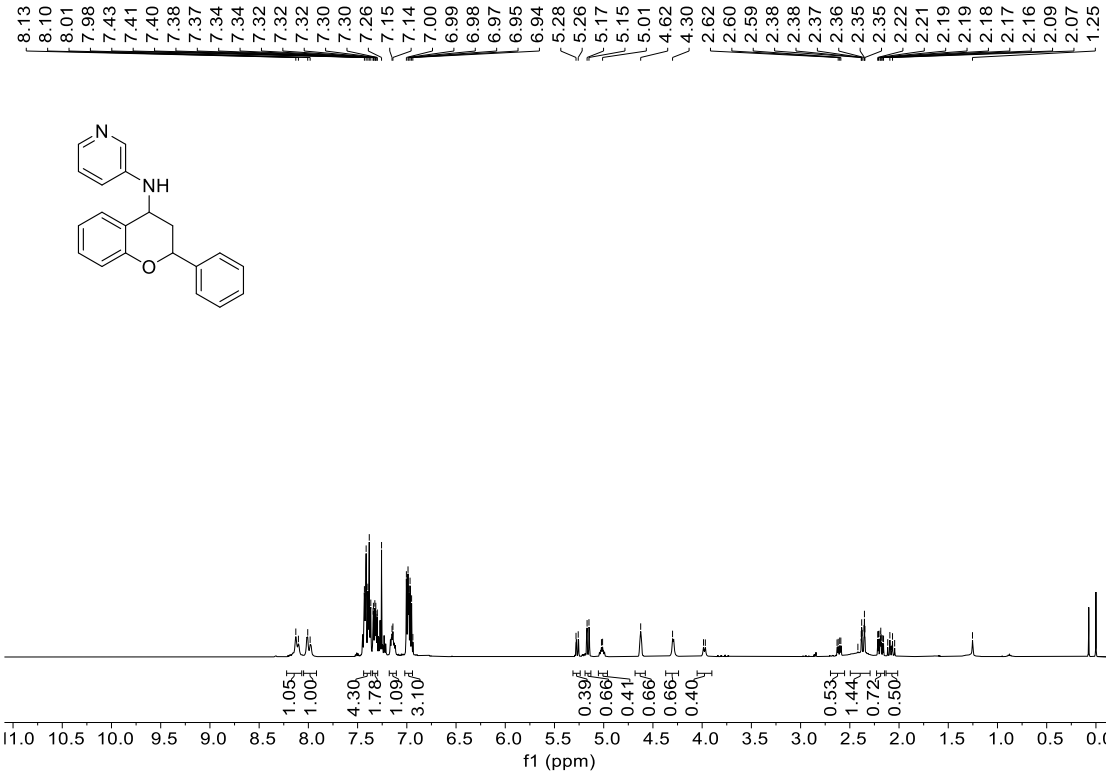


^{1}H NMR spectrum in $CDCl_3$.

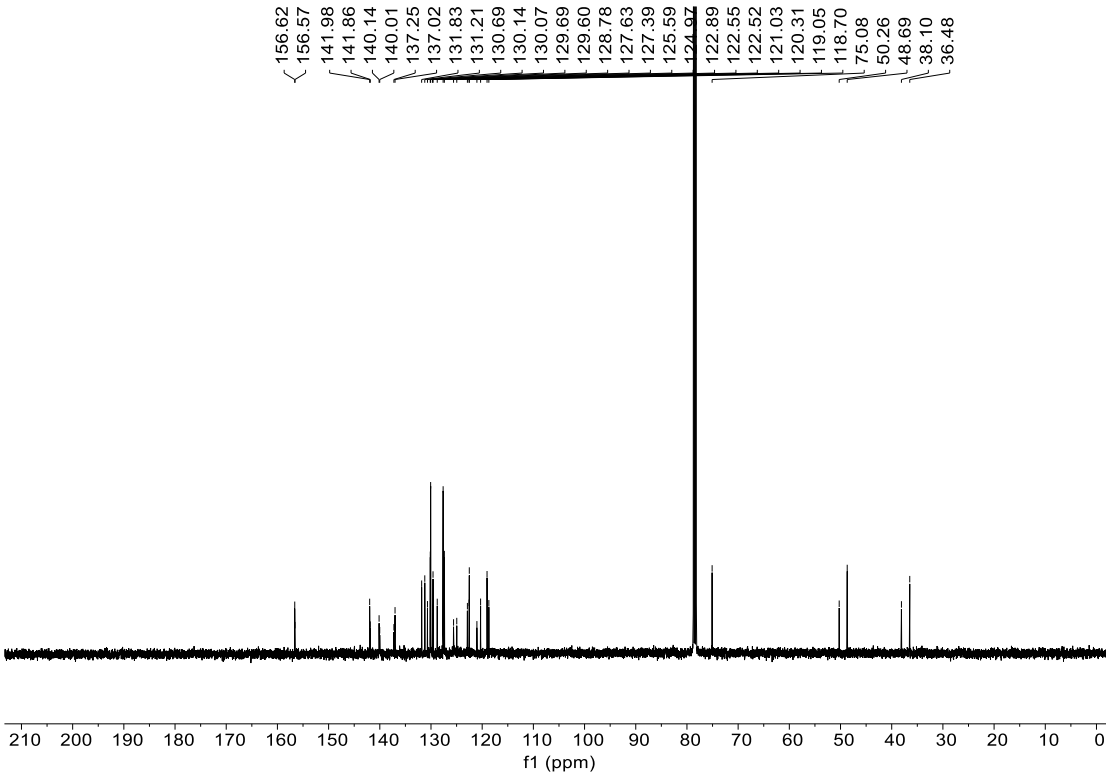


^{13}C NMR spectrum in $CDCl_3$.

***N*-(2-phenylchroman-4-yl)pyridin-3-amine (80c)**:

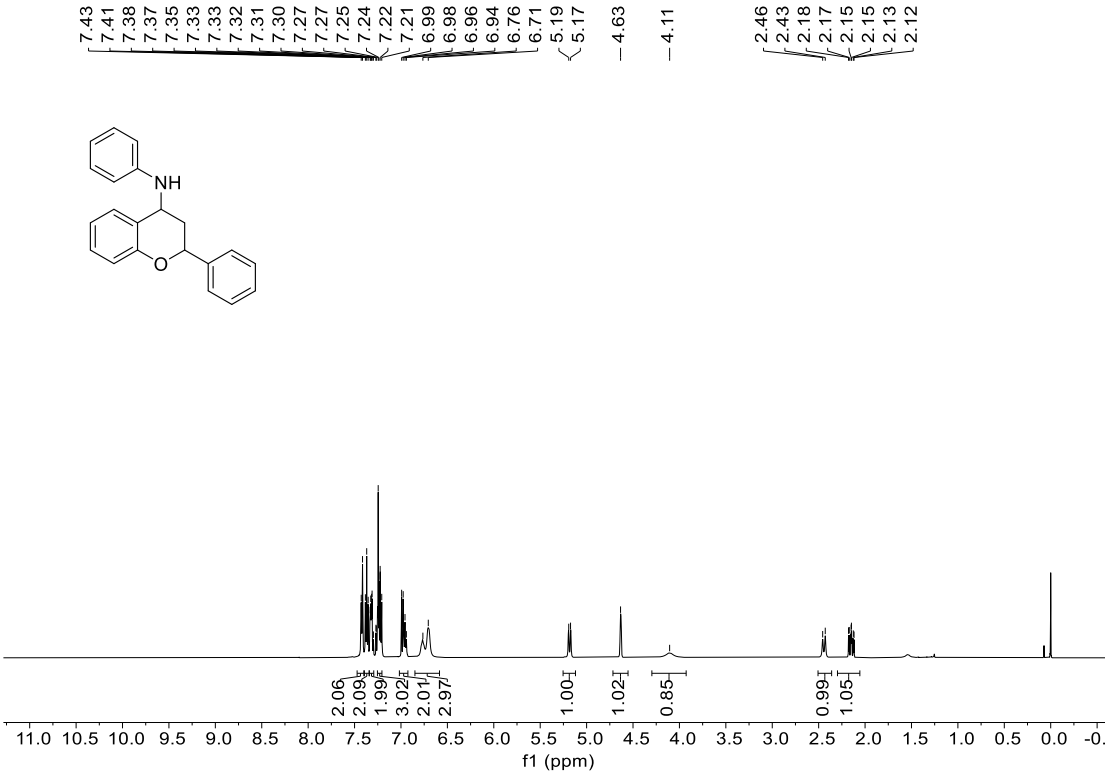


^{1}H NMR spectrum in $CDCl_3$.

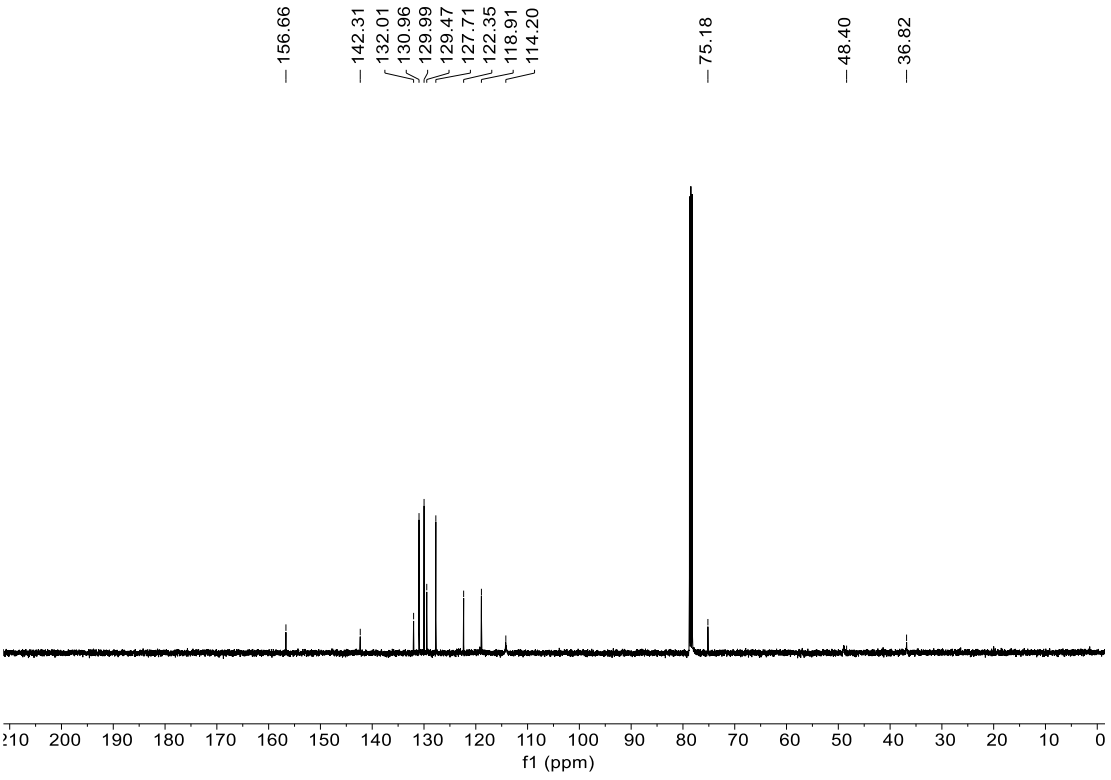


^{13}C NMR spectrum in $CDCl_3$.

***N*,2-diphenylchroman-4-amine (81c)**:

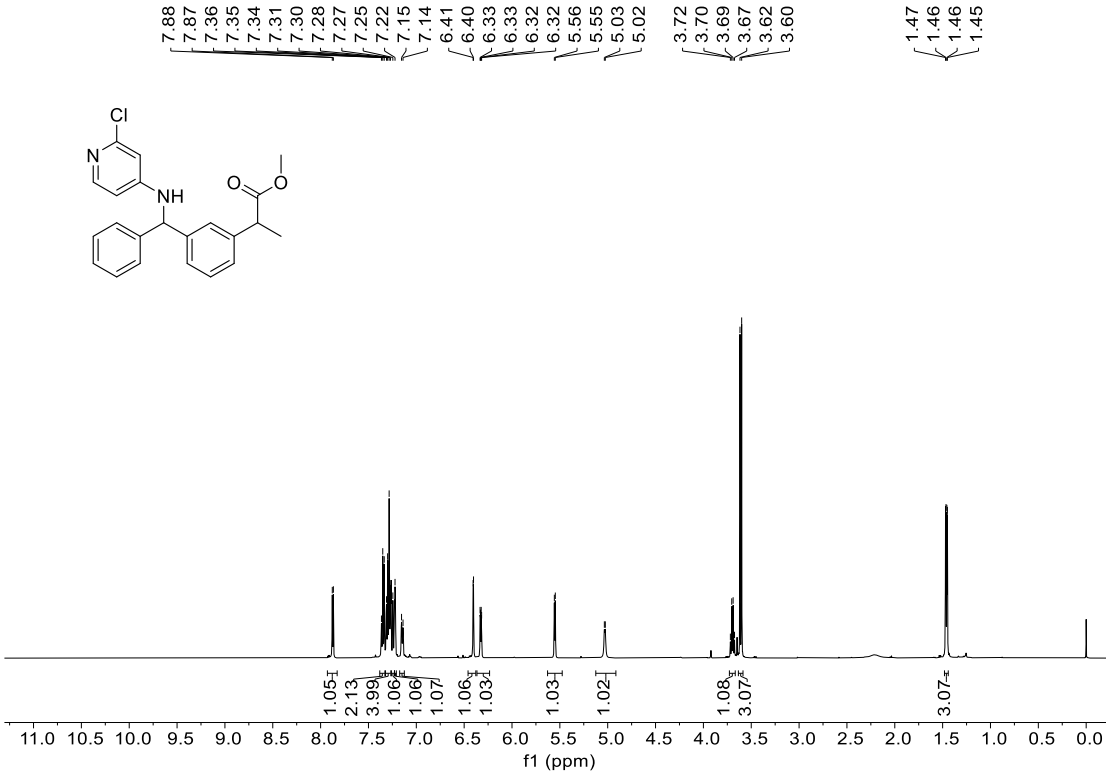


^{1}H NMR spectrum in $CDCl_3$.

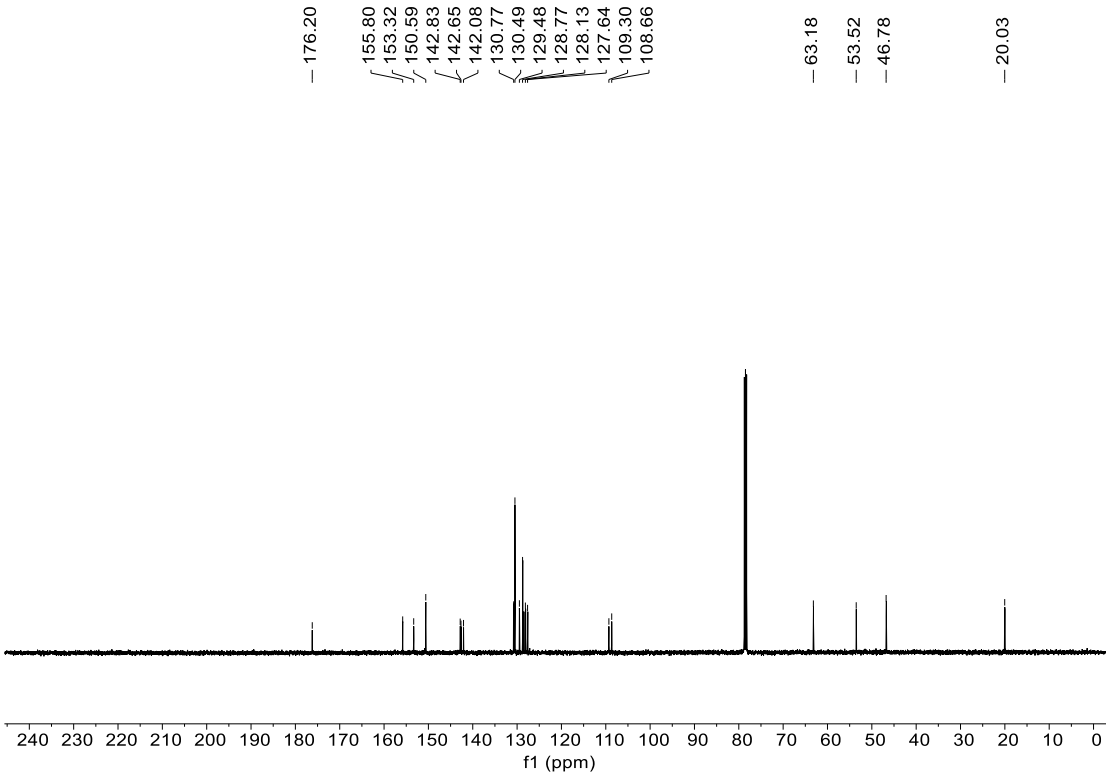


^{13}C NMR spectrum in $CDCl_3$.

methyl 2-(3-(((2-chloropyridin-4-yl)amino)(phenyl)methyl)phenyl)propanoate (82c):

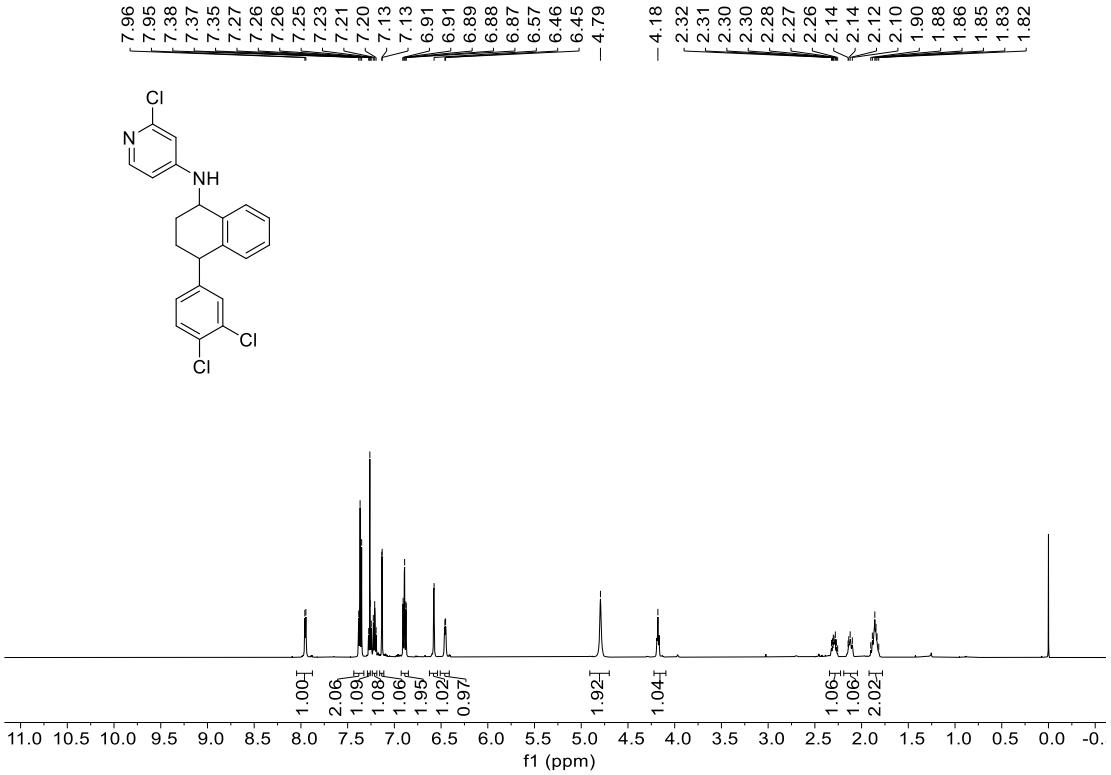


^{1}H NMR spectrum in $CDCl_3$.

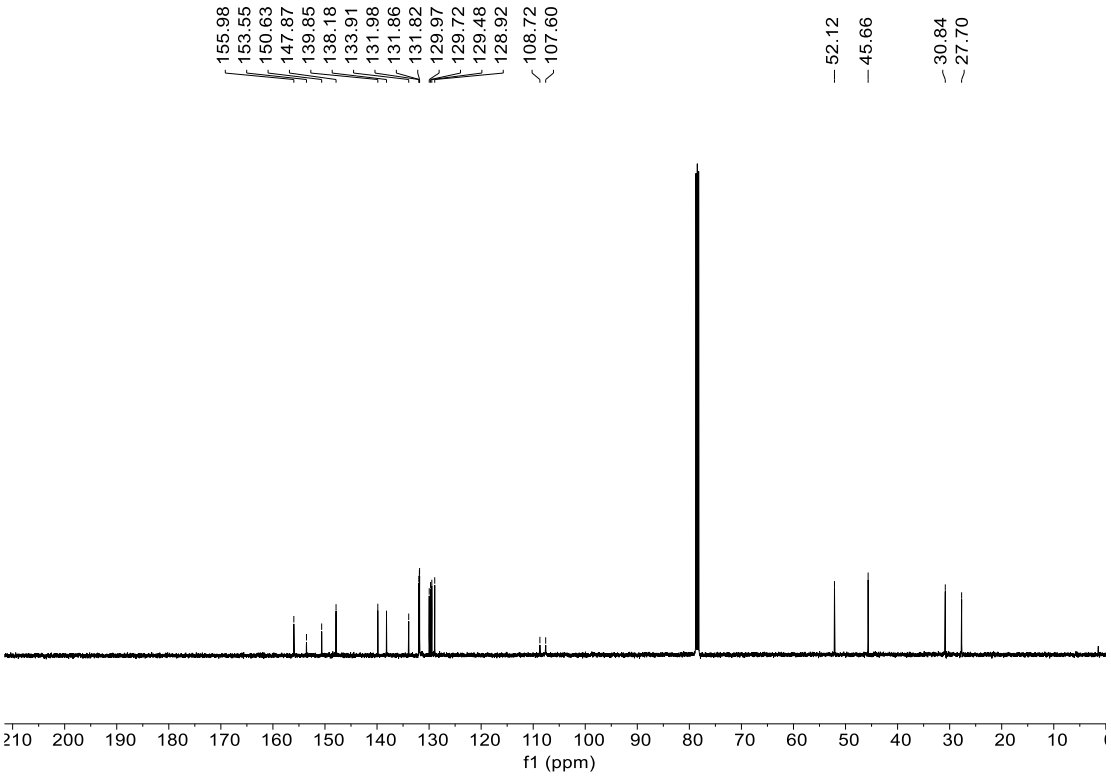


^{13}C NMR spectrum in $CDCl_3$.

2-chloro-*N*-(4-(3,4-dichlorophenyl)-1,2,3,4-tetrahydronaphthalen-1-yl)pyridin-4-amine (83c):

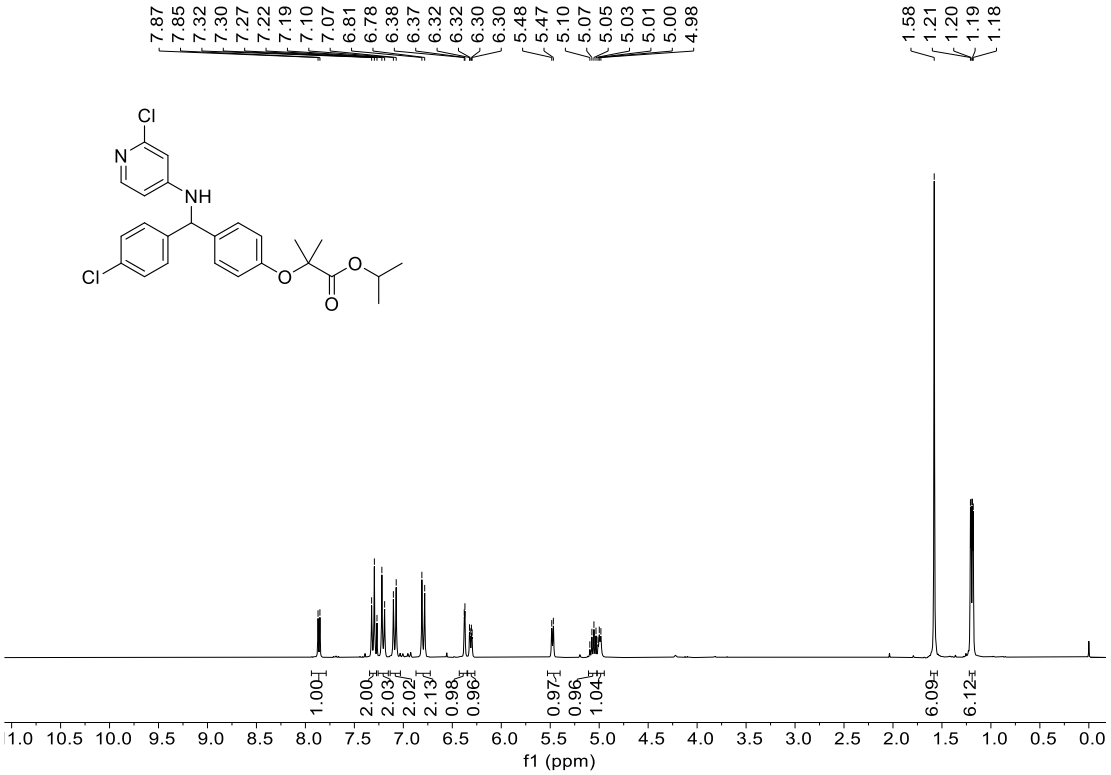


^{1}H NMR spectrum in $CDCl_3$.

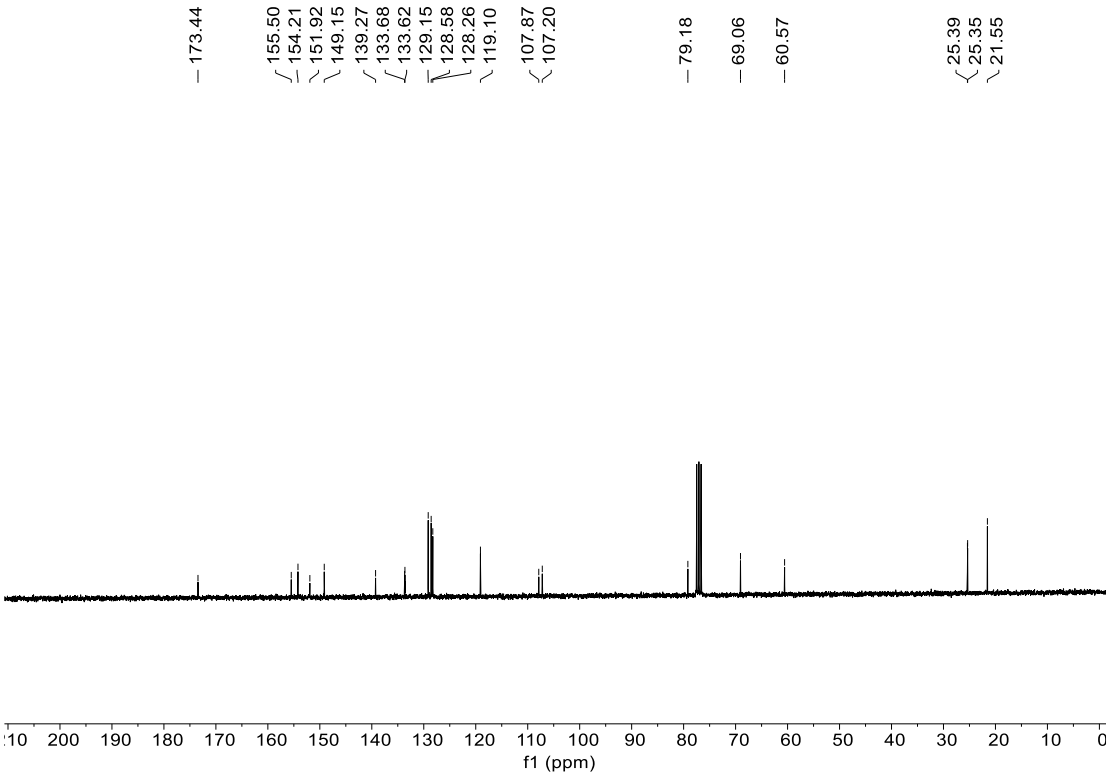


^{13}C NMR spectrum in $CDCl_3$.

isopropyl 2-(4-((4-chlorophenyl)((2-chloropyridin-4-yl)amino)methyl)phenoxy)acetate (84c):

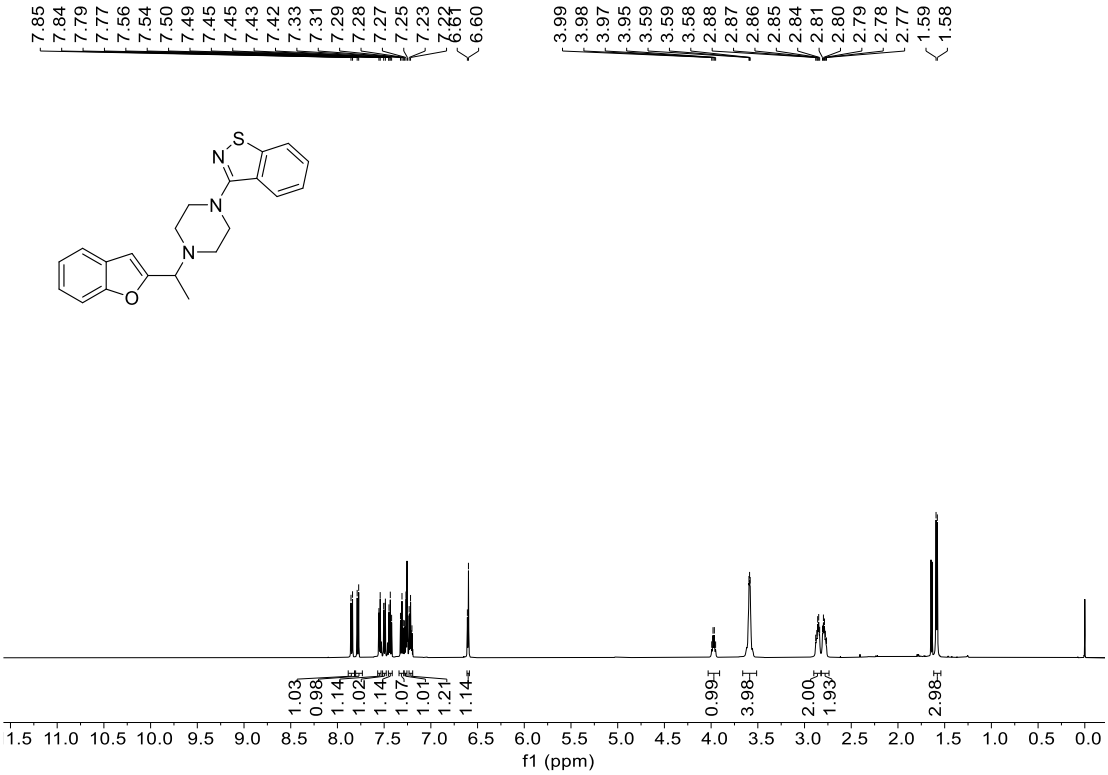


^{1}H NMR spectrum in $CDCl_3$.

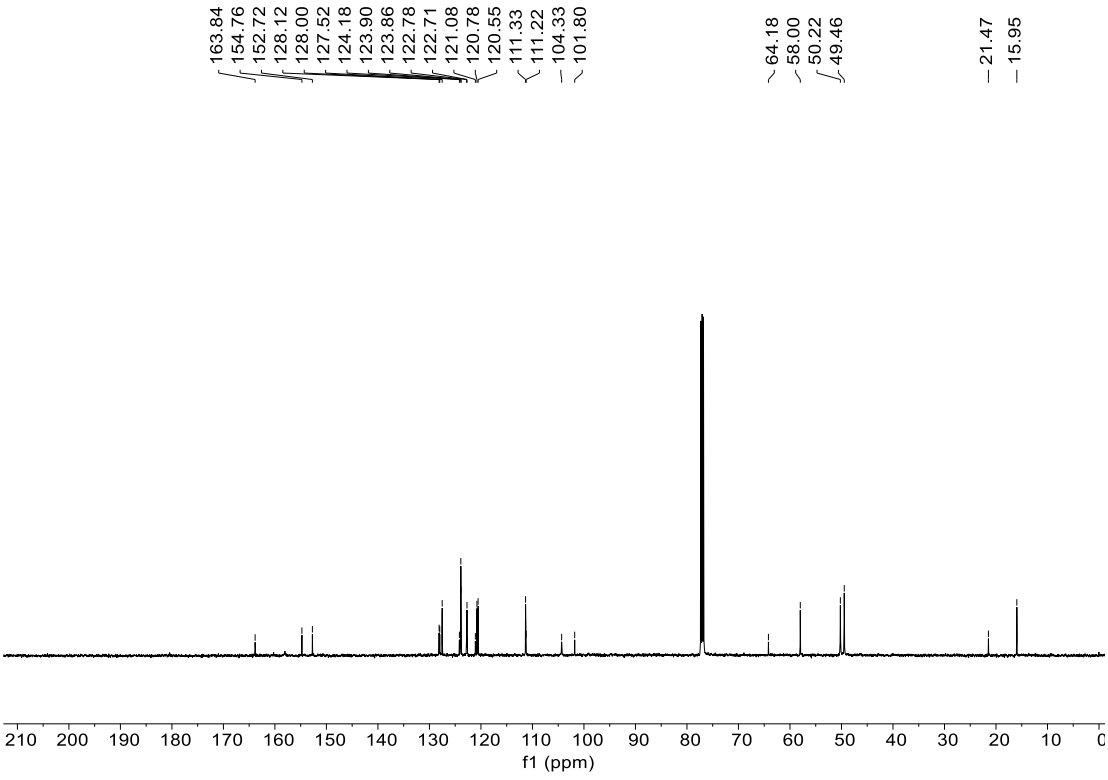


^{13}C NMR spectrum in $CDCl_3$.

3-(4-(1-(benzofuran-2-yl)ethyl)piperazin-1-yl)benzo[d]isothiazole (85c):

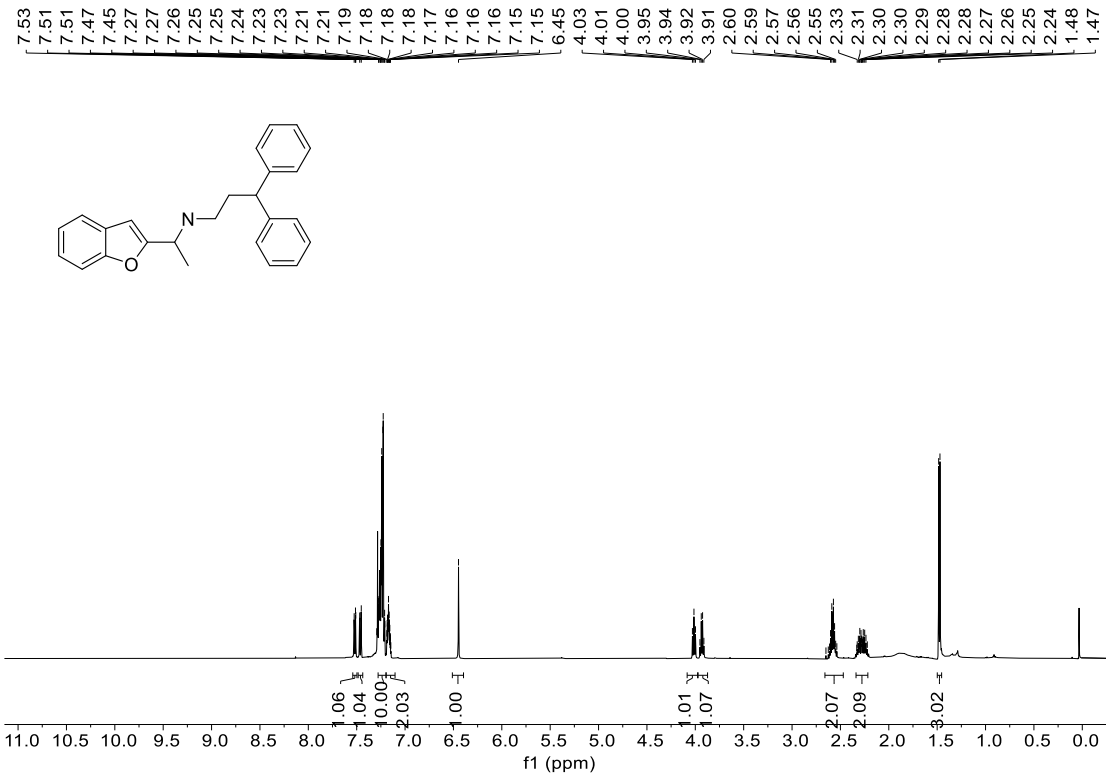


^{1}H NMR spectrum in $CDCl_3$.

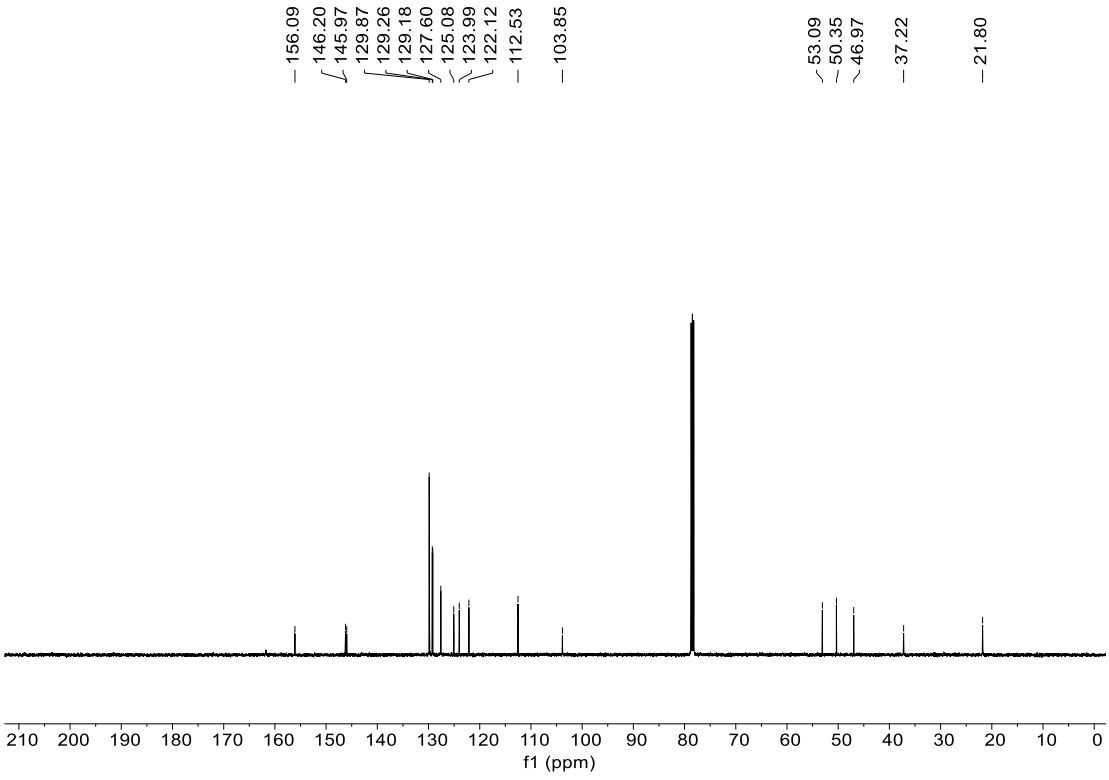


^{13}C NMR spectrum in $CDCl_3$.

***N*-(1-(benzofuran-2-yl)ethyl)-3,3-diphenylpropan-1-amine (86c)**:

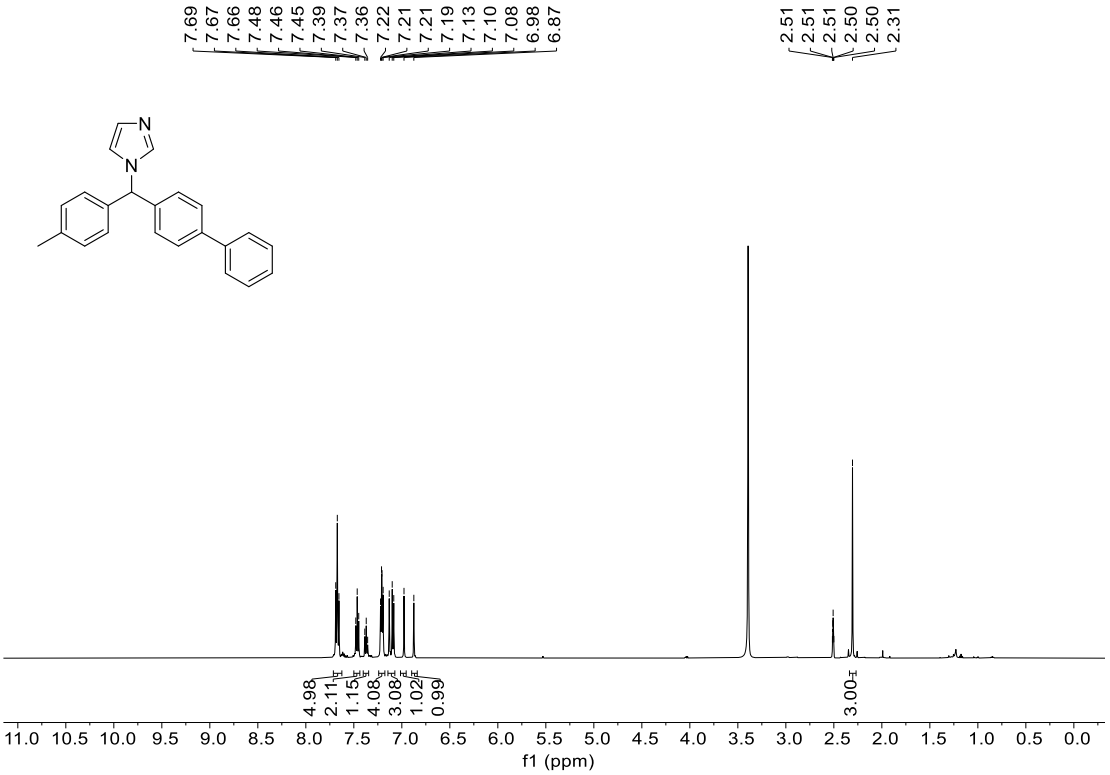


^{1}H NMR spectrum in $CDCl_3$.

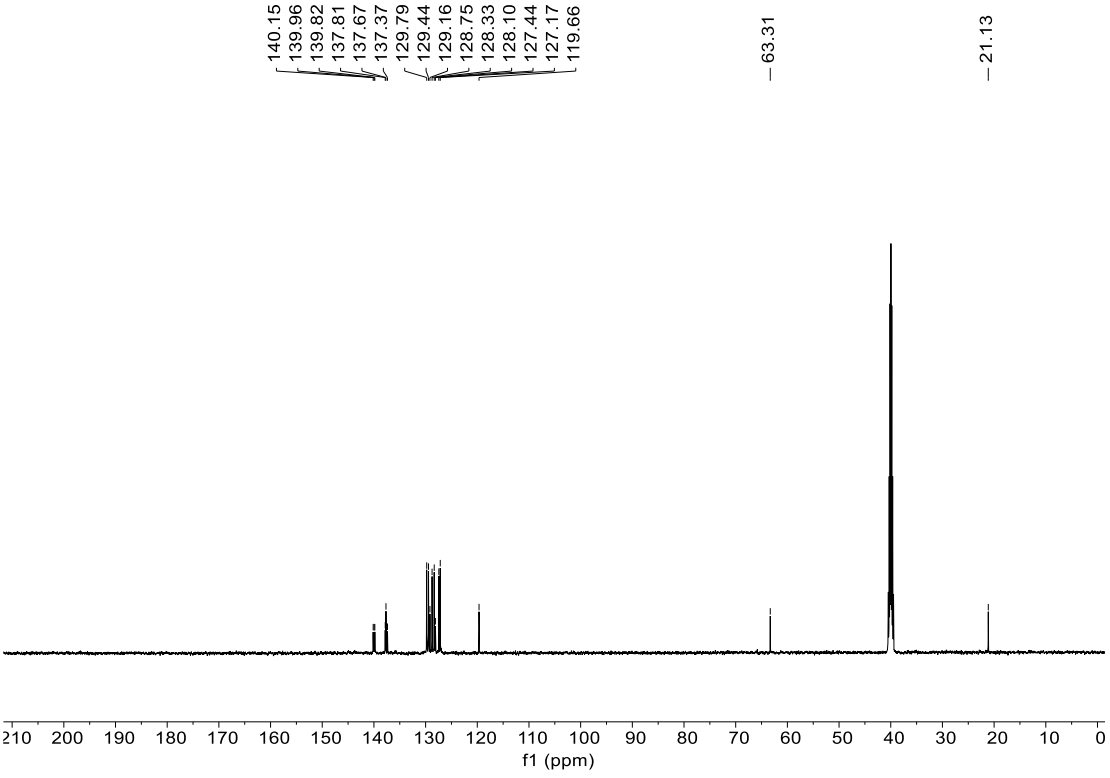


^{13}C NMR spectrum in $CDCl_3$.

1-([1,1'-biphenyl]-4-yl(p-tolyl)methyl)-1*H*-imidazole (87c):

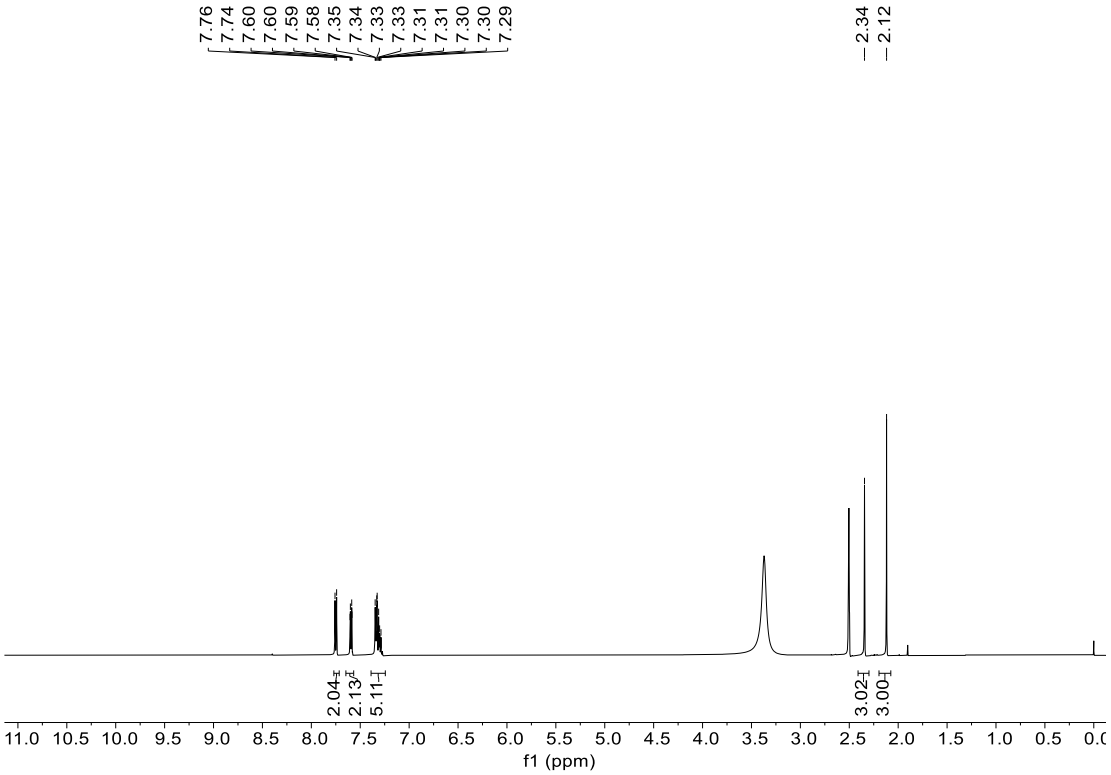


^{1}H NMR spectrum in DMSO-d_6.

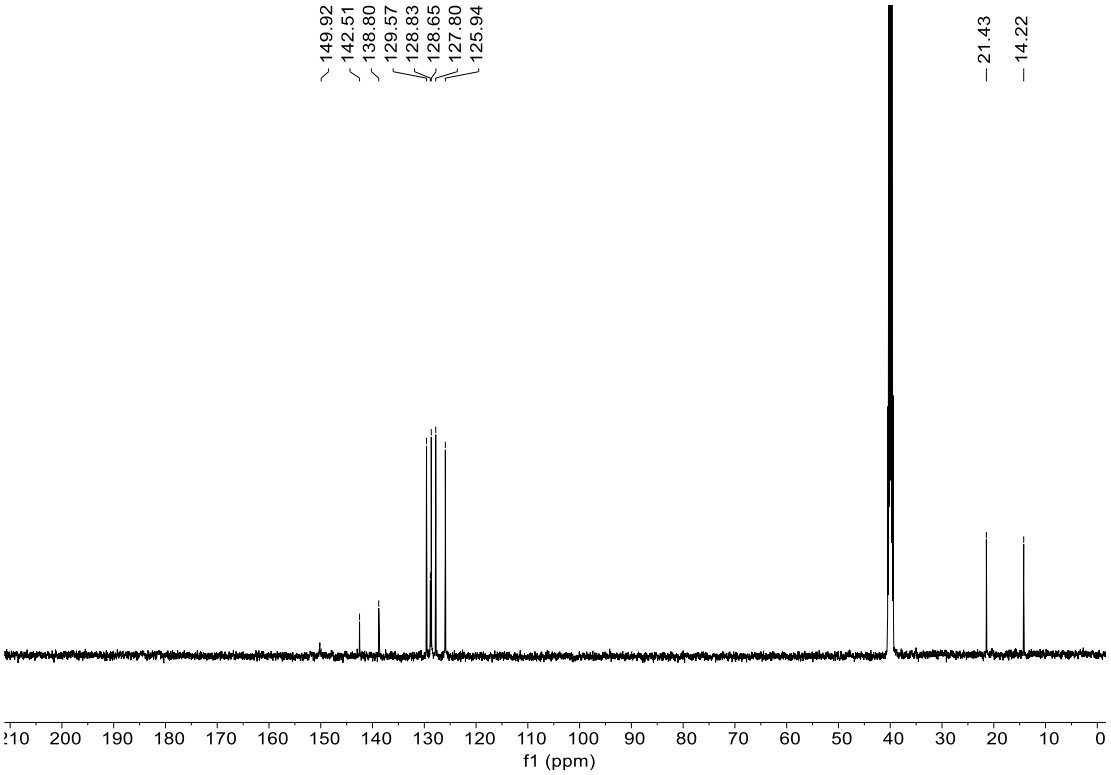


^{13}C NMR spectrum in DMSO-d_6.

sodium (E)-2-(1-phenylethylidene)-1-tosylhydrazin-1-ide (10a′):

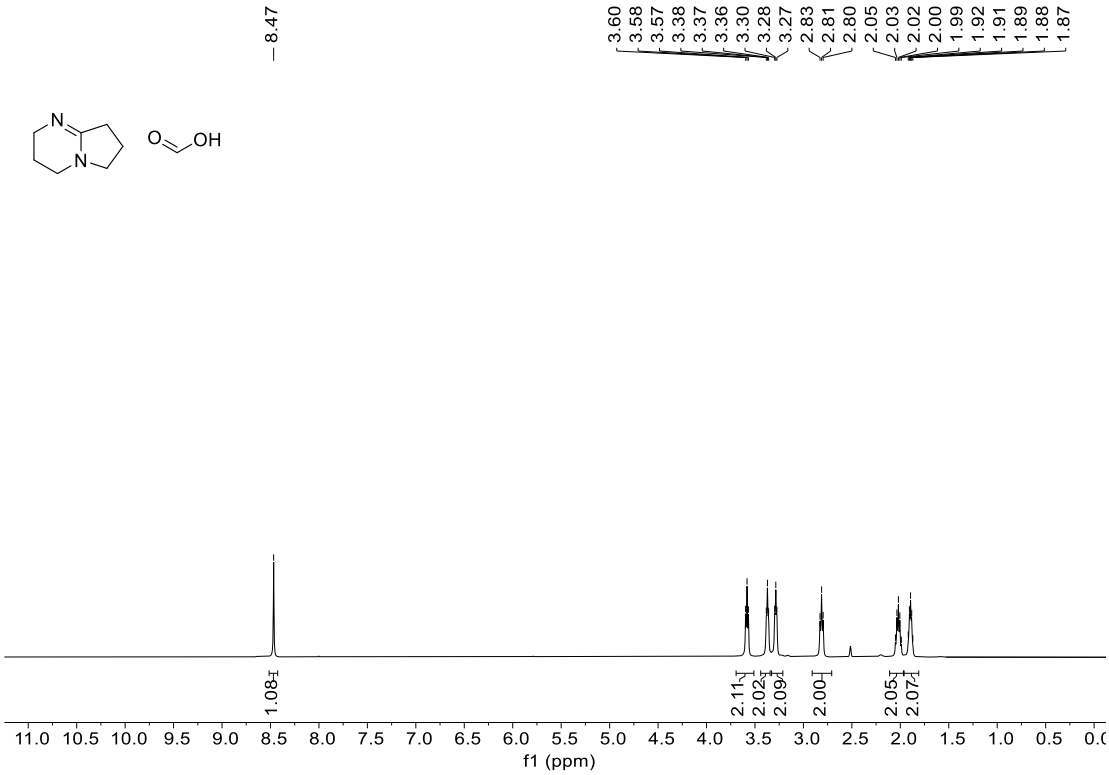


^{1}H NMR spectrum in DMSO-d_6.

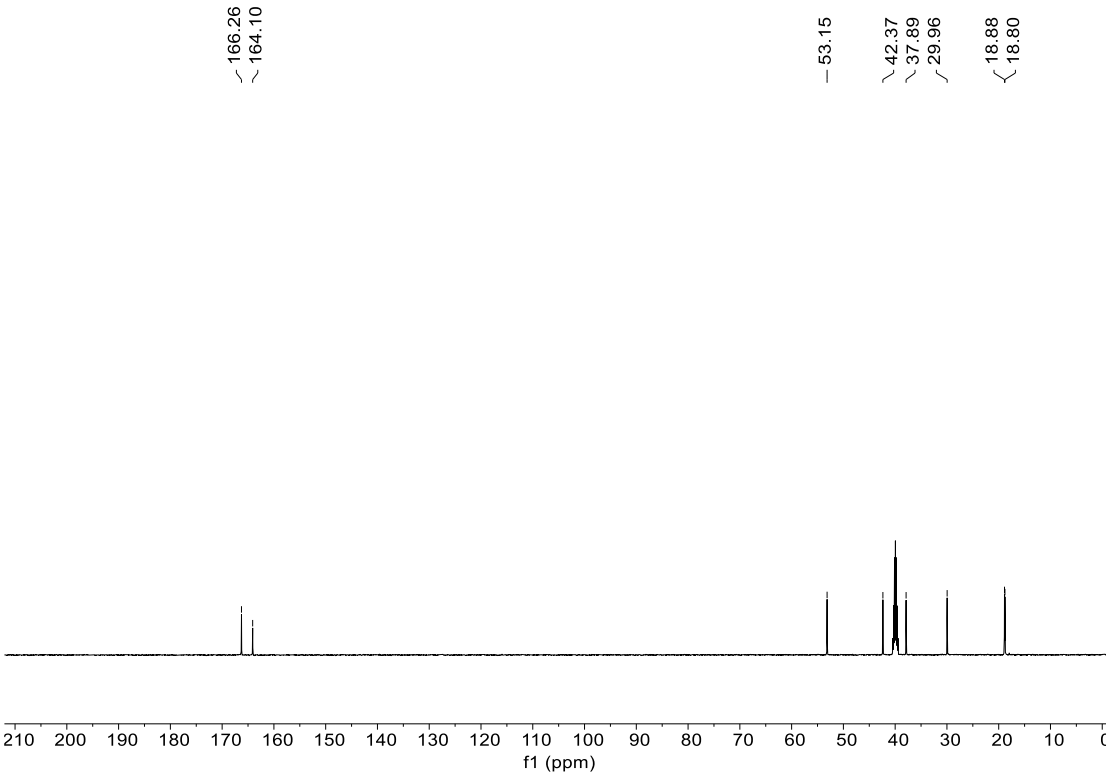


^{13}C NMR spectrum in DMSO-d_6.

1,5-Diazabicyclo[4.3.0]non-5-ene formic acid salt (1m):

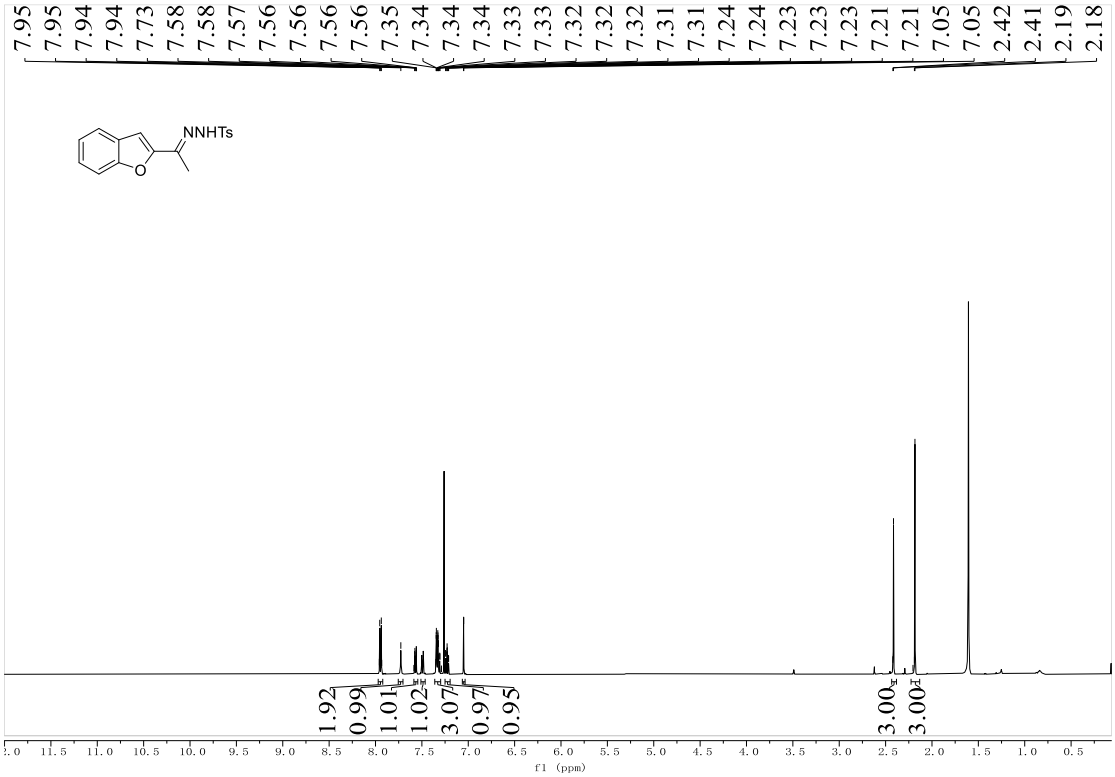


^{1}H NMR spectrum in DMSO-d_6.



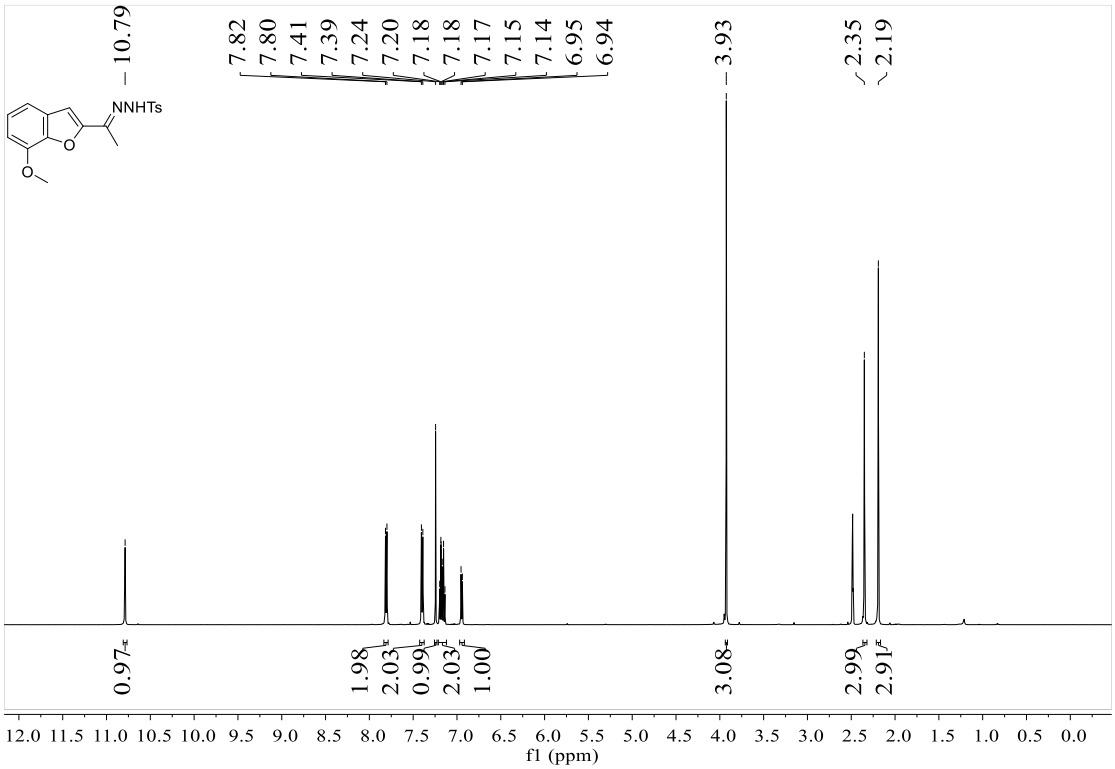
^{13}C NMR spectrum in DMSO-d_6.

***N*'-(1-(benzofuran-2-yl)ethylidene)-4-methylbenzenesulfonohydrazide(1a):**

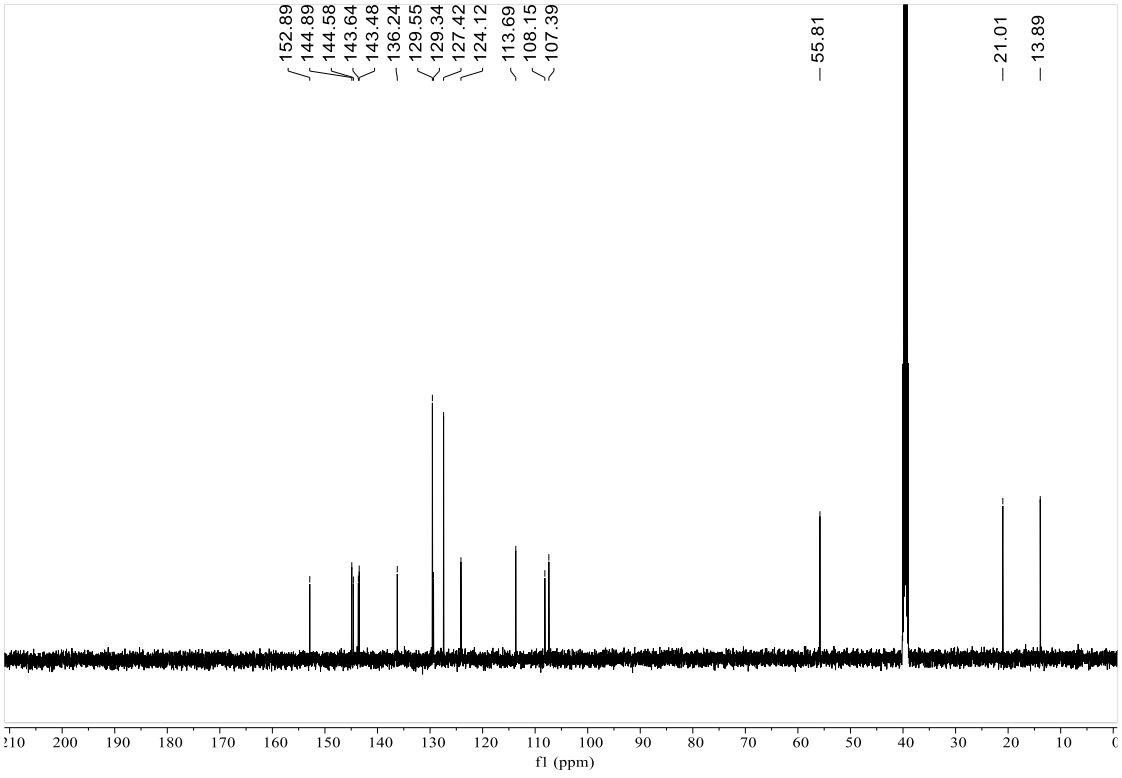


^{1}H NMR spectrum in $CDCl_3$.

***N'*-(1-(7-methoxybenzofuran-2-yl)ethylidene)-4-methylbenzenesulfonohydrazide(2a)**:

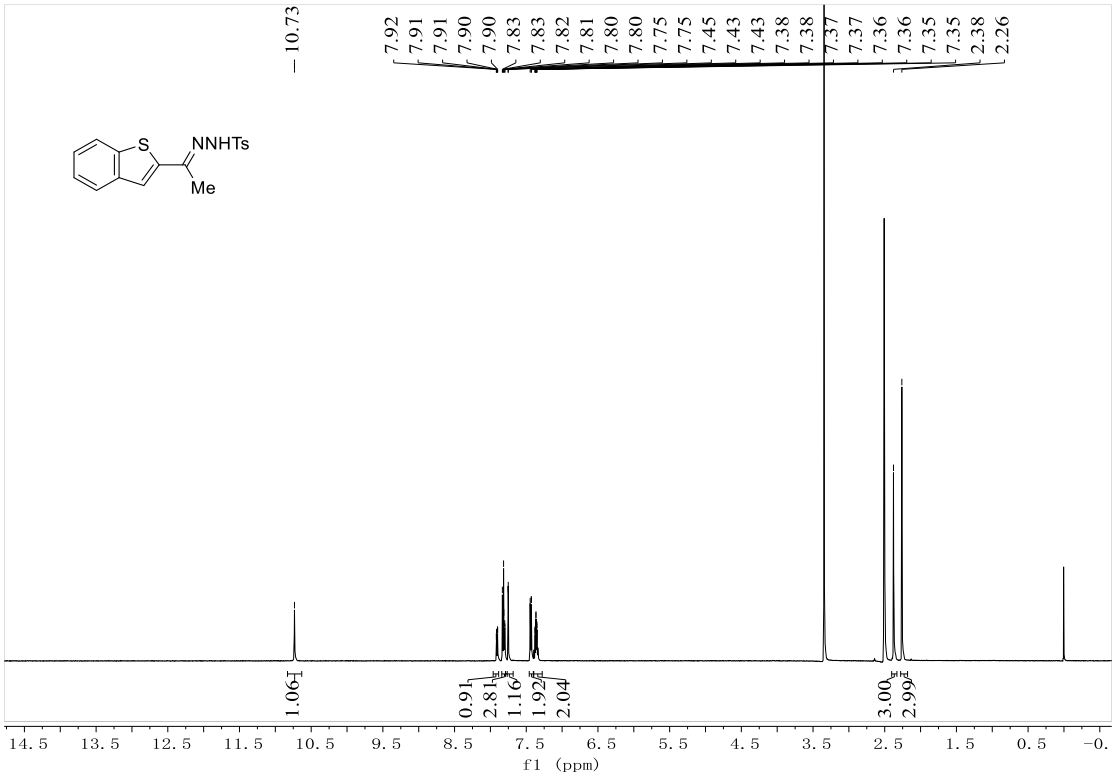


^{1}H NMR spectrum in DMSO-d_6.

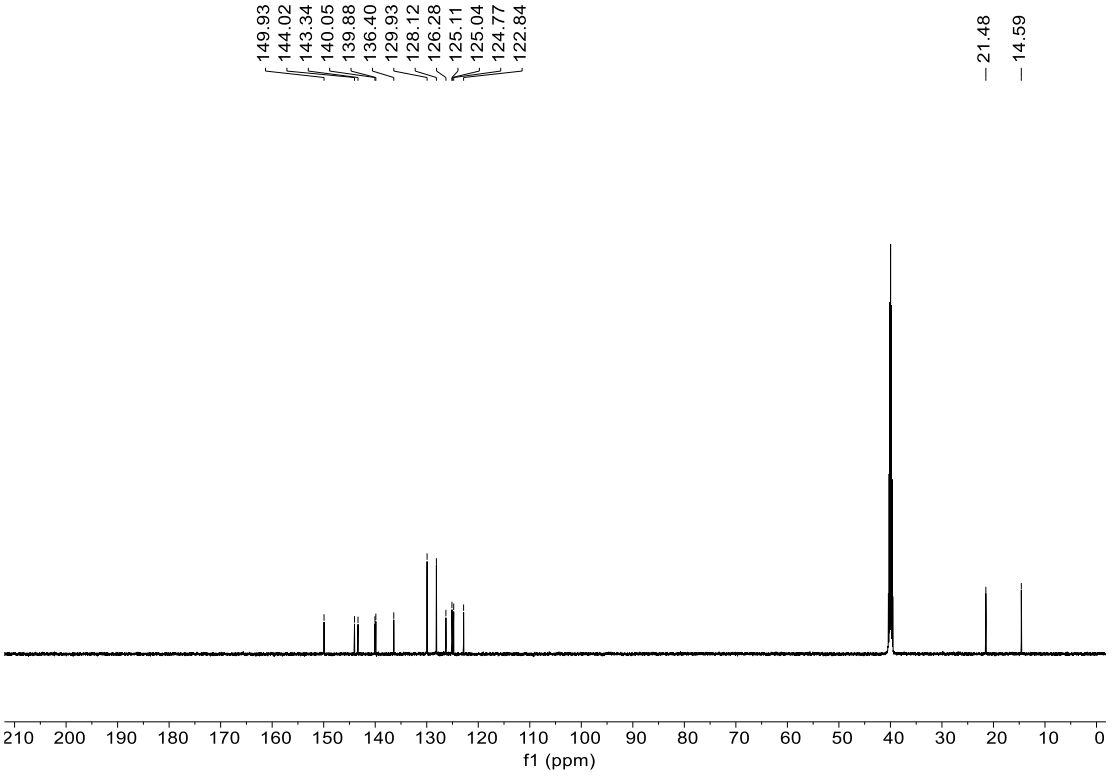


^{13}C NMR spectrum in DMSO-d_6.

***N'*-(1-(benzo[b]thiophen-2-yl)ethylidene)-4-methylbenzenesulfonohydrazide (3a):**

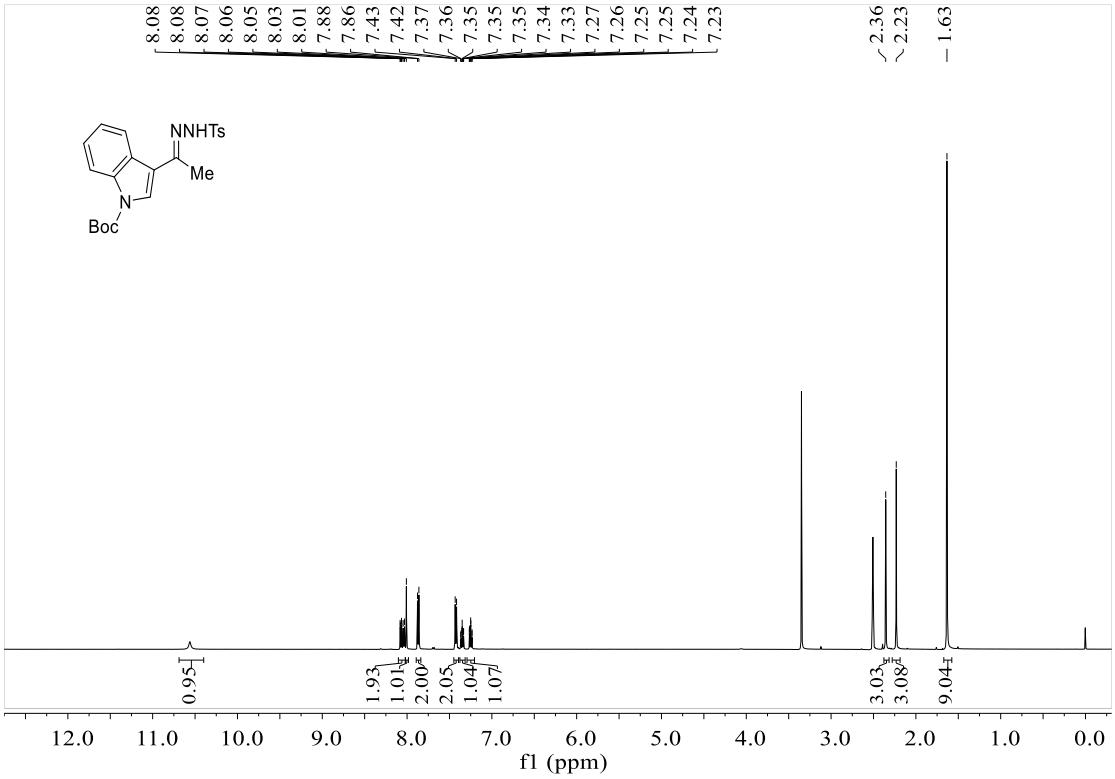


^{1}H NMR spectrum in DMSO-d$_{6}$.



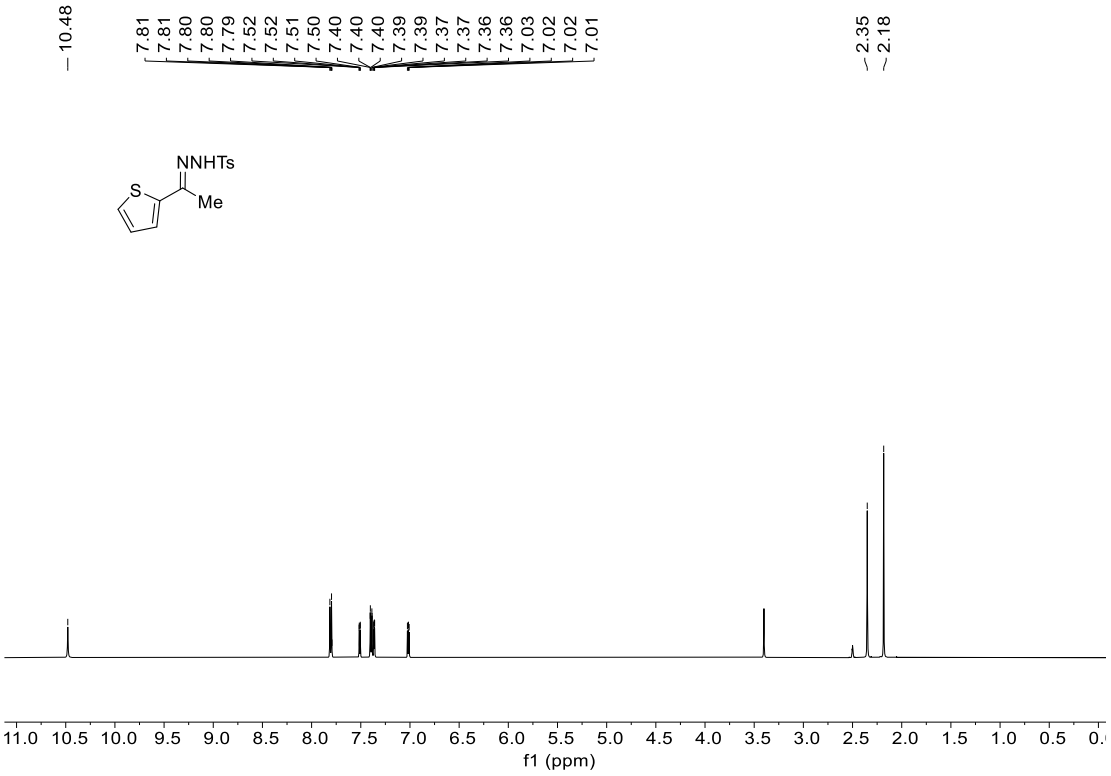
^{13}C NMR spectrum in DMSO-d$_{6}$.

***tert*-butyl 3-(1-(2-tosylhydrazono)ethyl)-1*H*-indole-1-carboxylate (4a):**

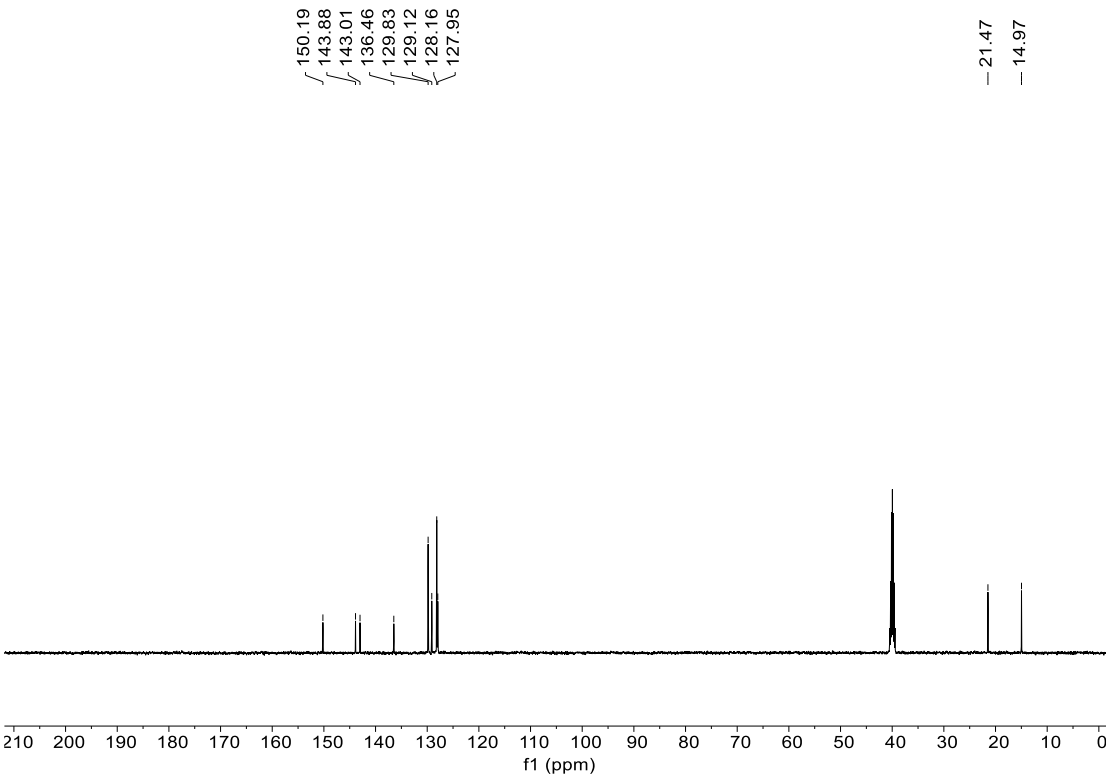


^{1}H NMR spectrum in DMSO-d$_{6}$.

4-methyl-*N′*-(1-(thiophen-2-yl)ethylidene)benzenesulfonohydrazide (5a):

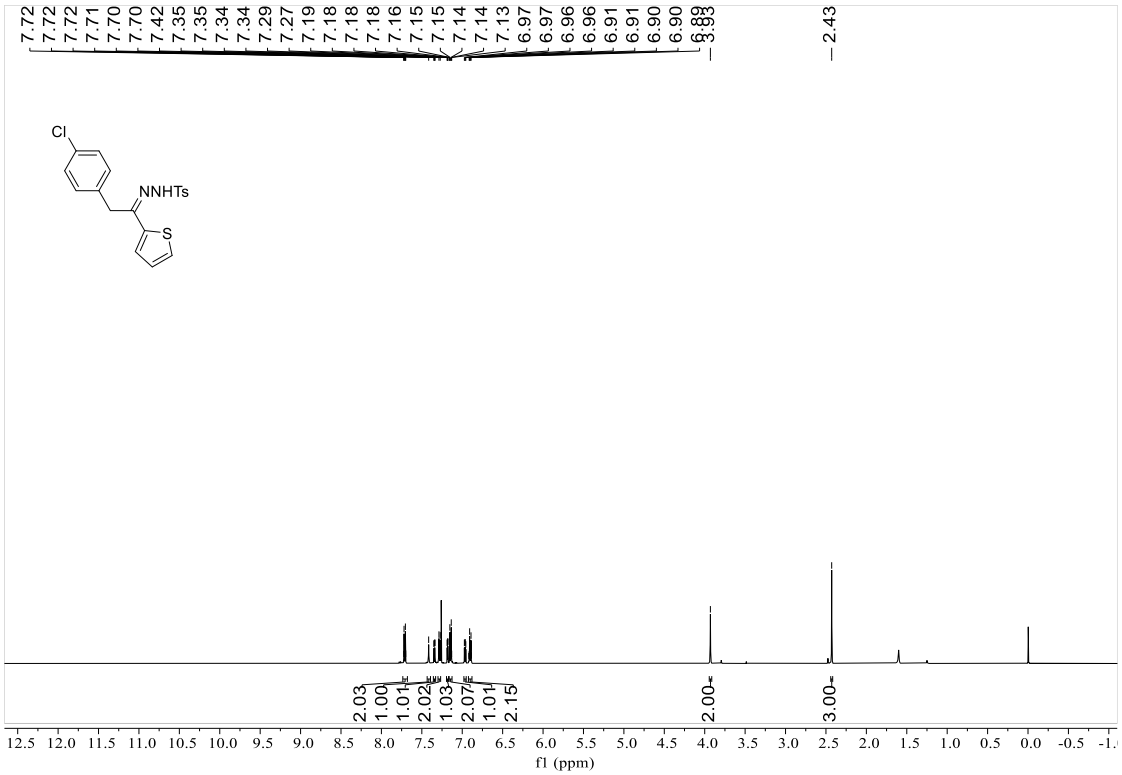


^{1}H NMR spectrum in DMSO-d_6.



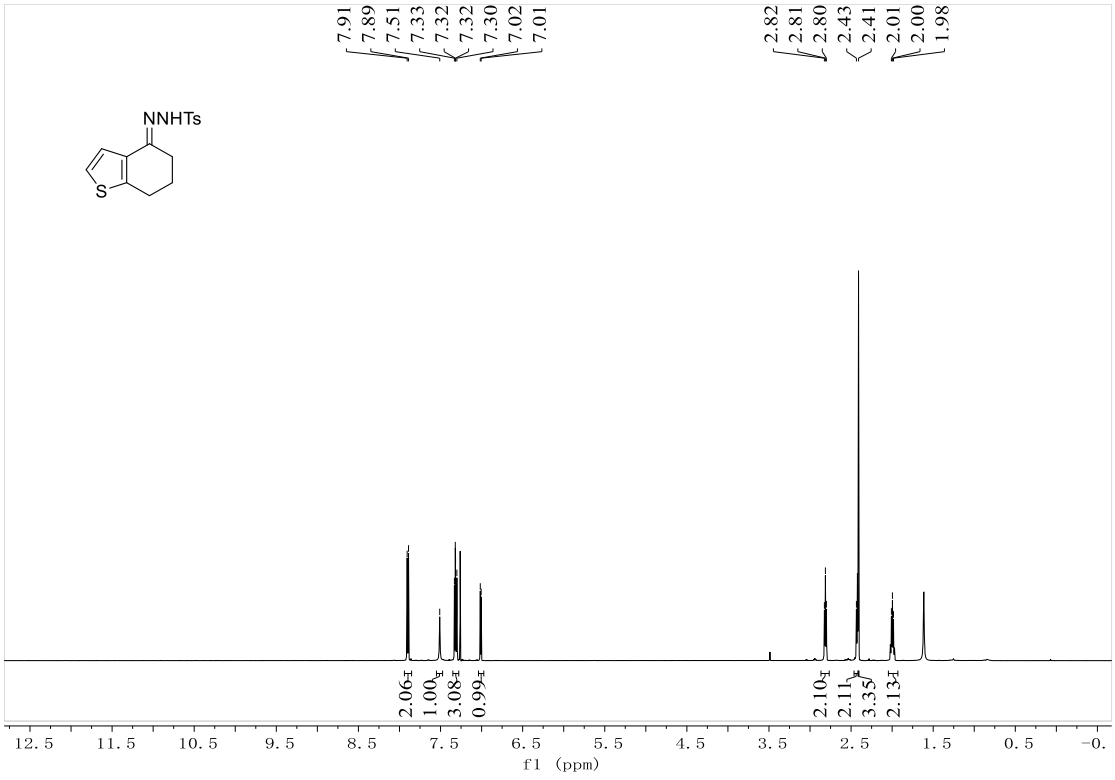
^{13}C NMR spectrum in DMSO-d_6.

***N'*-(2-(4-chlorophenyl)-1-(thiophen-2-yl)ethylidene)-4-methylbenzenesulfonohydrazide (6a):**



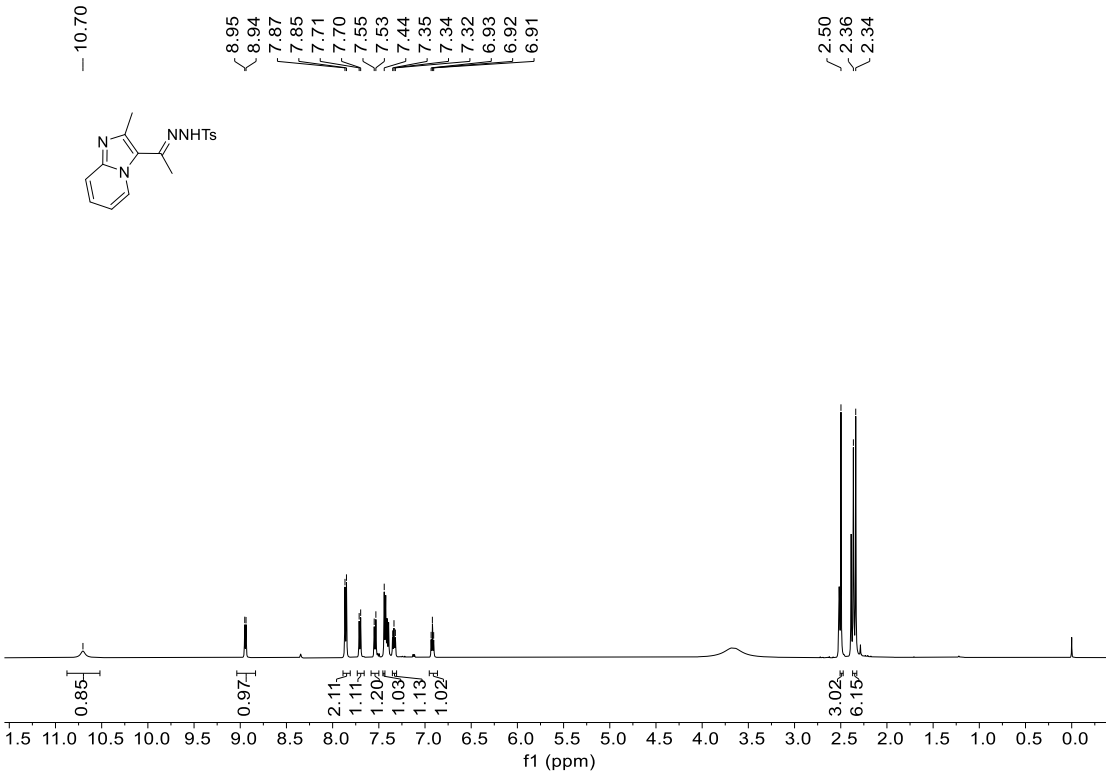
^{1}H NMR spectrum in $CDCl_3$.

***N'*-(6,7-dihydrobenzo[b]thiophen-4(5*H*)-ylidene)-4-methylbenzenesulfonohydrazide (7a):**



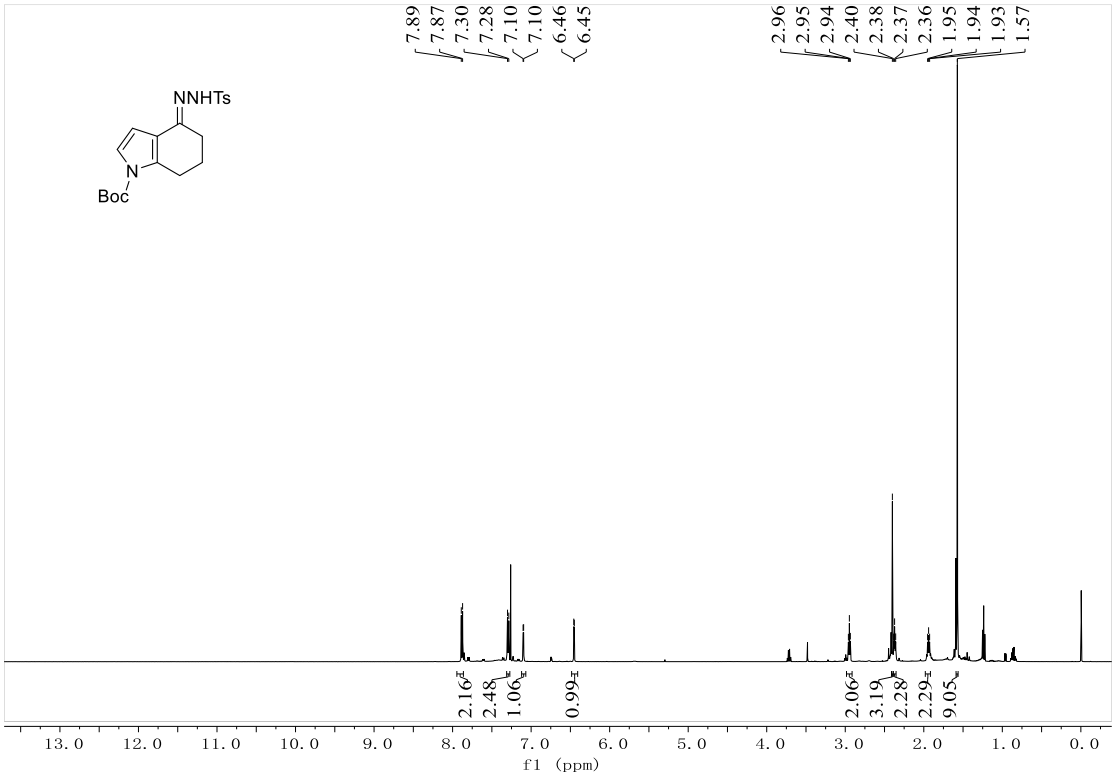
^{1}H NMR spectrum in $CDCl_3$.

4-methyl-*N'*-(1-(2-methylimidazo[1,2-a]pyridin-3-yl)ethylidene)benzenesulfonohydrazide (8a):

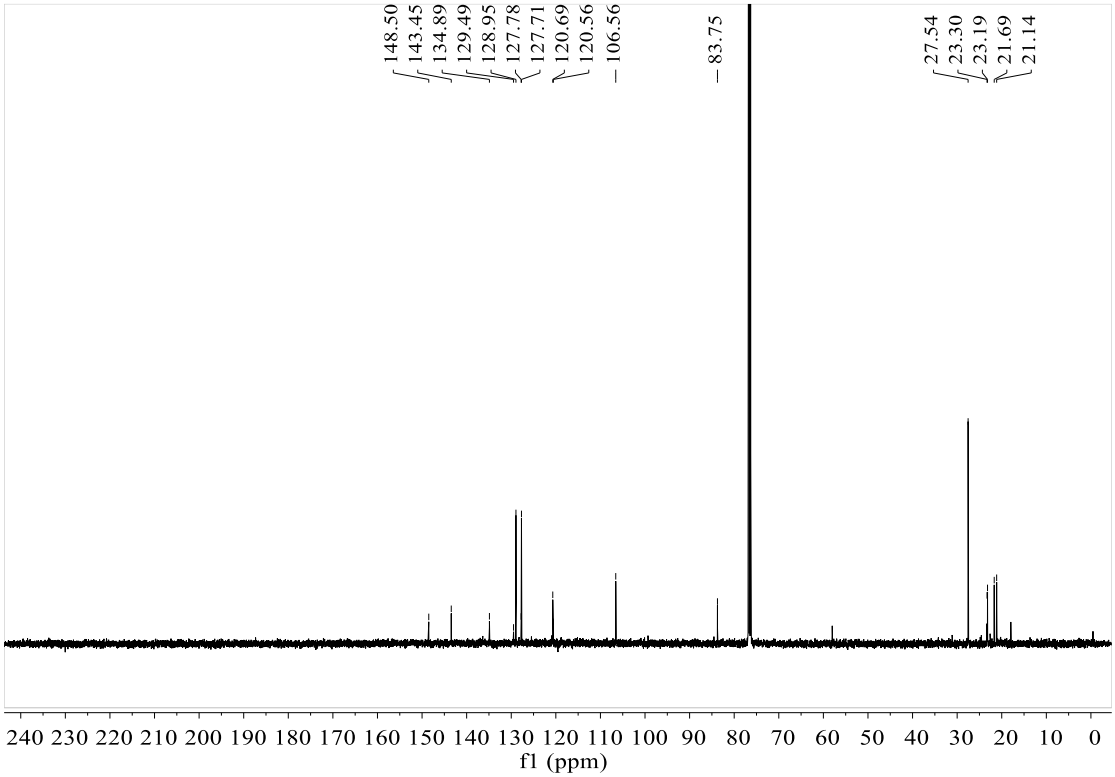


^{1}H NMR spectrum in DMSO-d_6.

***Tert*-butyl-4-(2-tosylhydrazono)-4,5,6,7-tetrahydro-1*H*-indole-1-carboxylate (9a):**

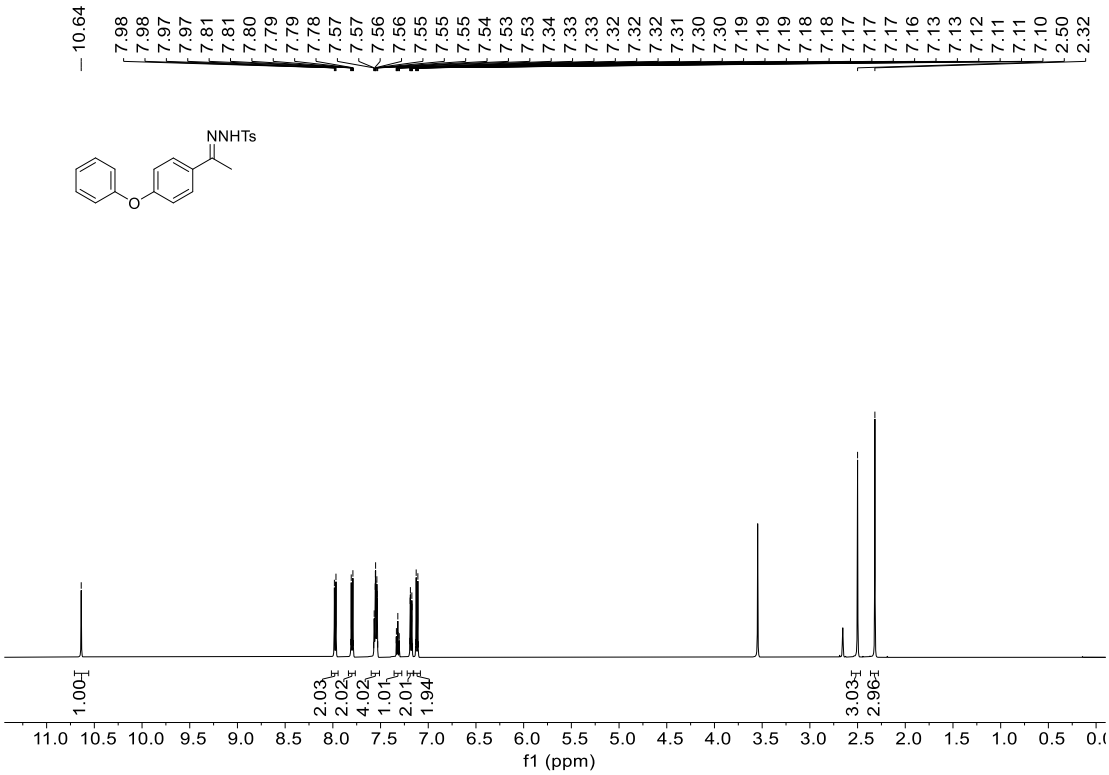


^{1}H NMR spectrum in $CDCl_3$.



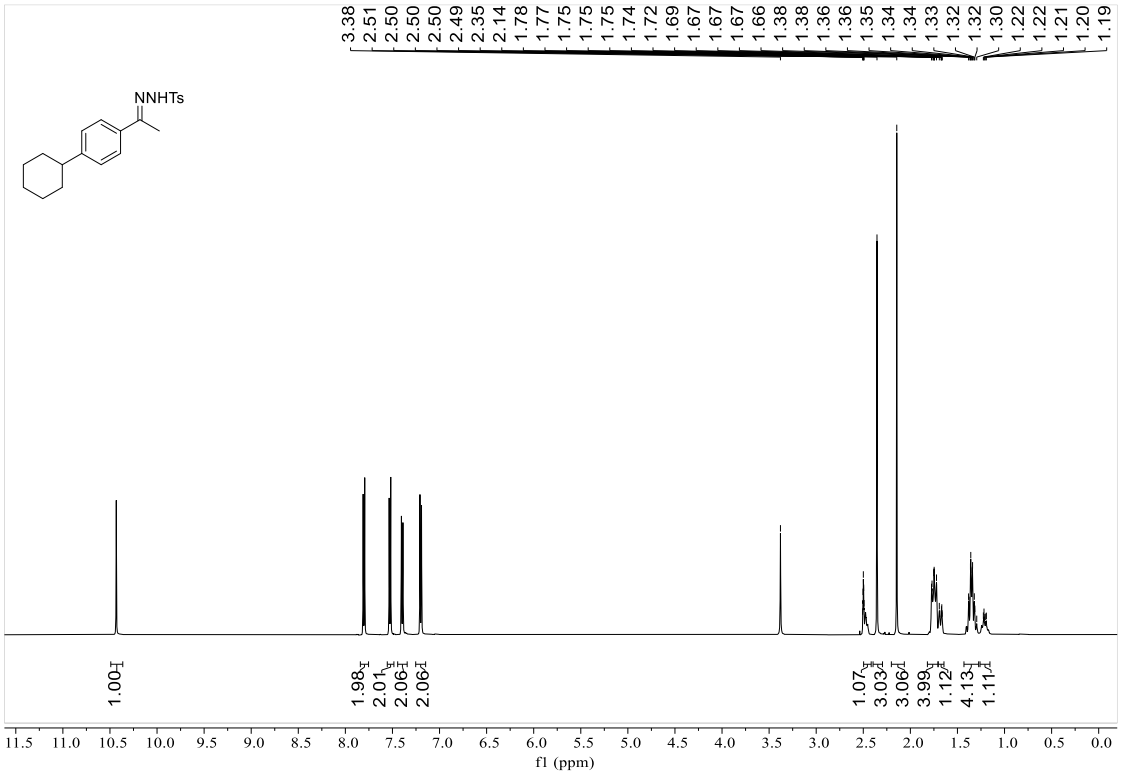
^{13}C NMR spectrum in $CDCl_3$.

4-methyl-*N*'-(1-(4-phenoxyphenyl)ethylidene)benzenesulfonohydrazide(12a):

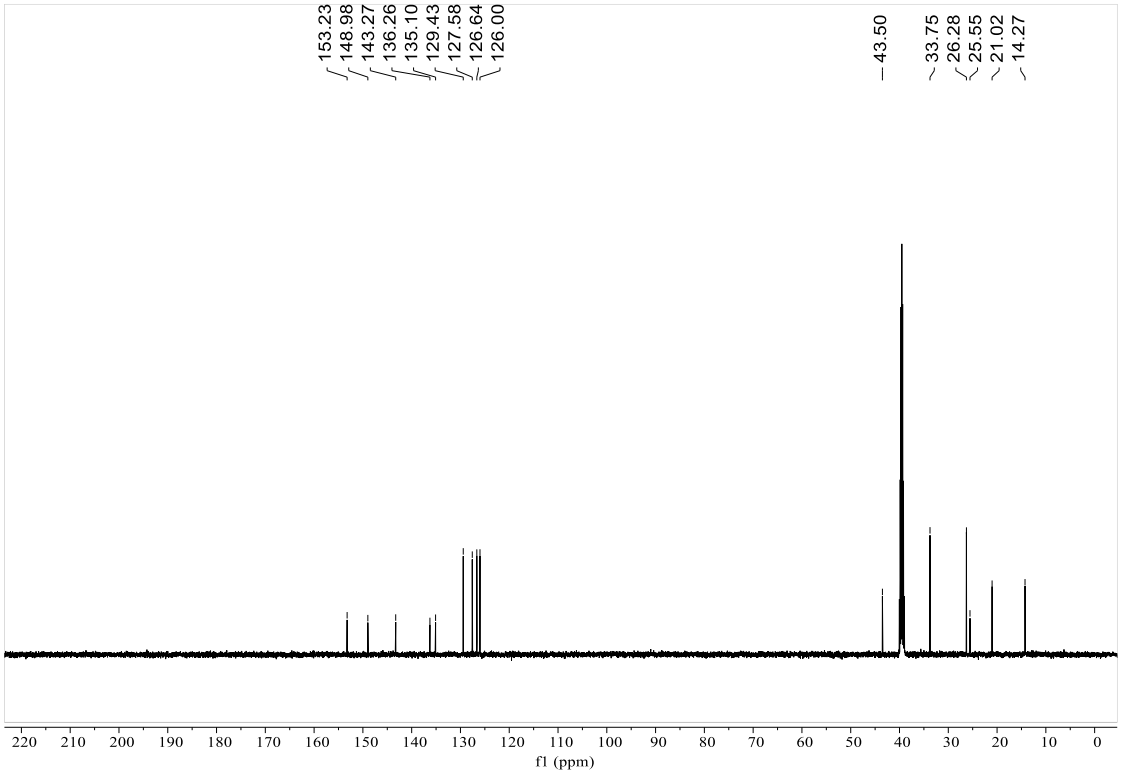


^{1}H NMR spectrum in DMSO-$d_{6.}$

***N*'-(1-(4-cyclohexylphenyl)ethylidene)-4-methylbenzenesulfonohydrazide(13a):**

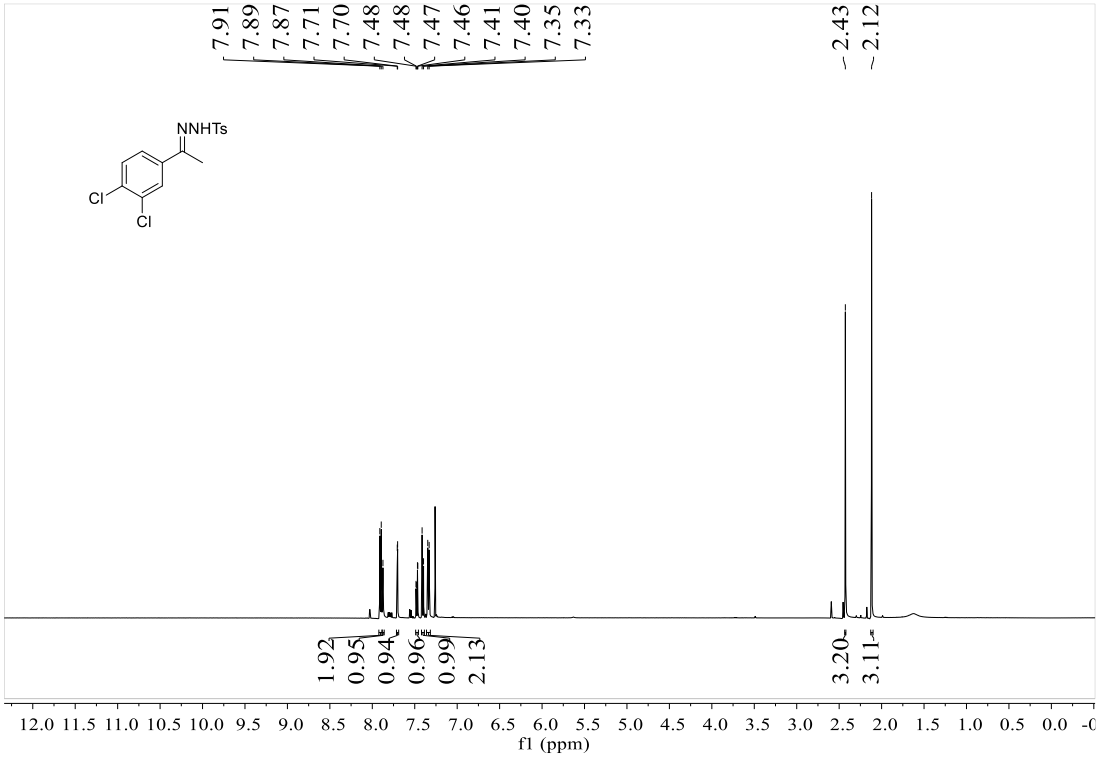


^{1}H NMR spectrum in DMSO-d_6.



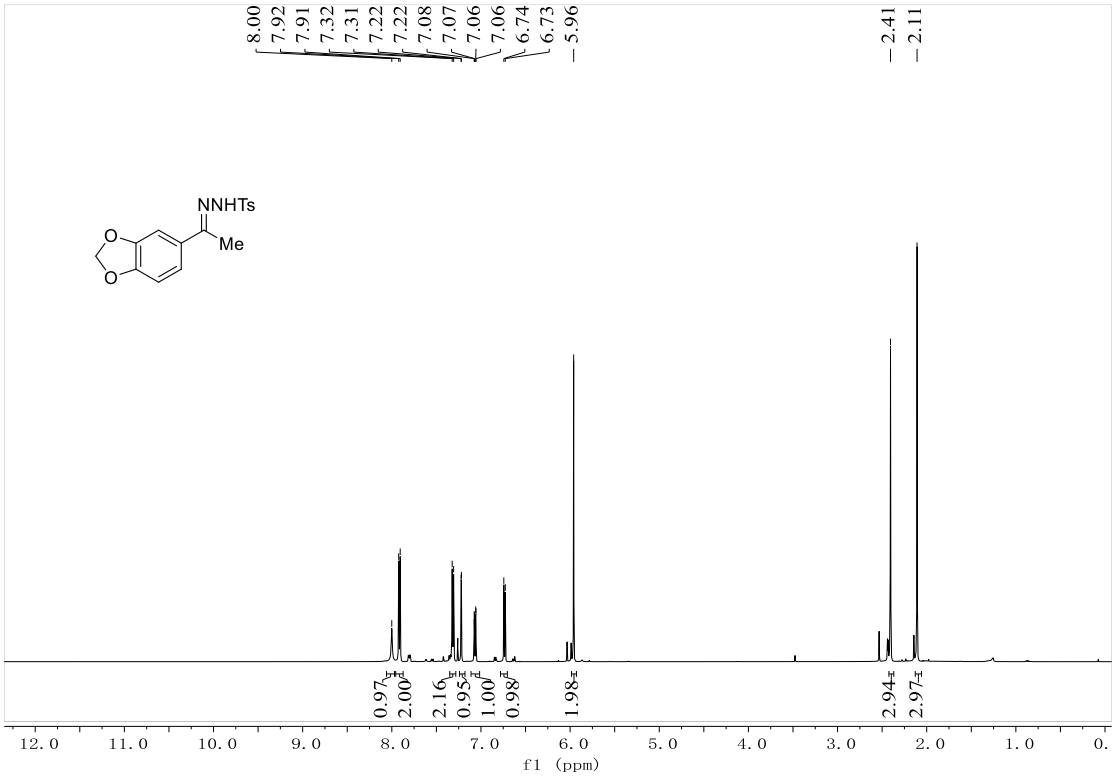
^{13}C NMR spectrum in DMSO-d_6.

***N'*-(1-(3,4-dichlorophenyl)ethylidene)-4-methylbenzenesulfonohydrazide(14a)**:

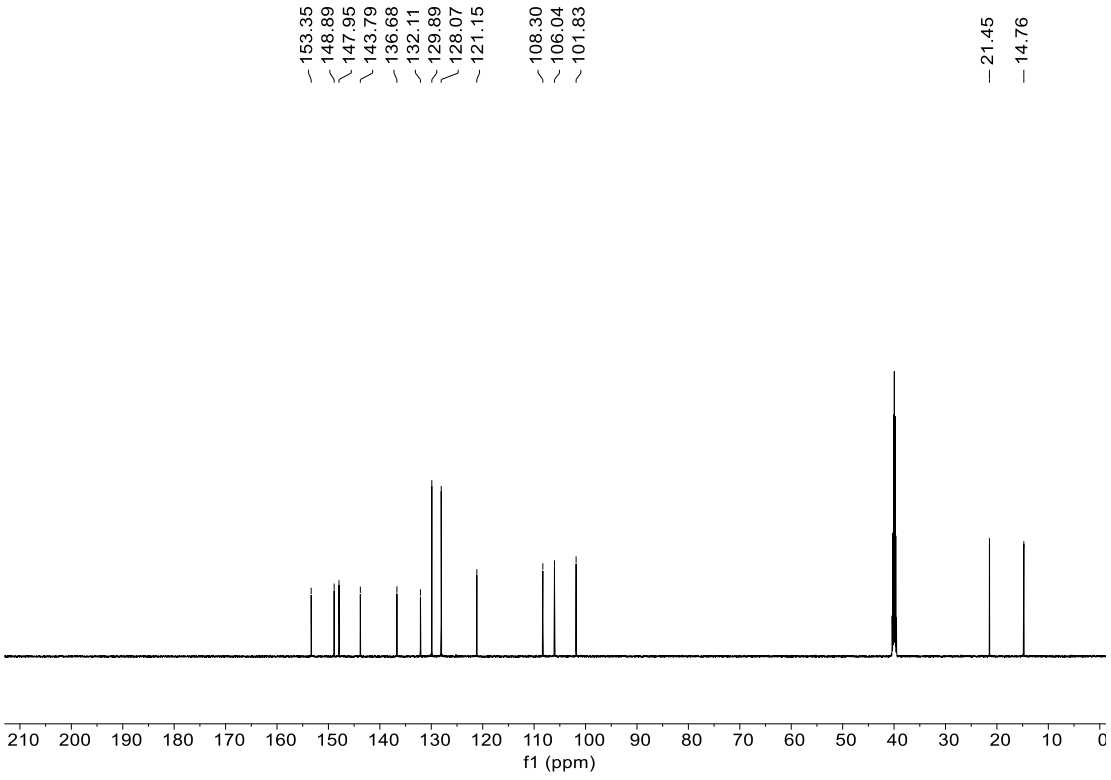


^{1}H NMR spectrum in $CDCl_3$.

***N'*-(1-(benzo[d][1,3]dioxol-5-yl)ethylidene)-4-methylbenzenesulfonohydrazide (15a):**

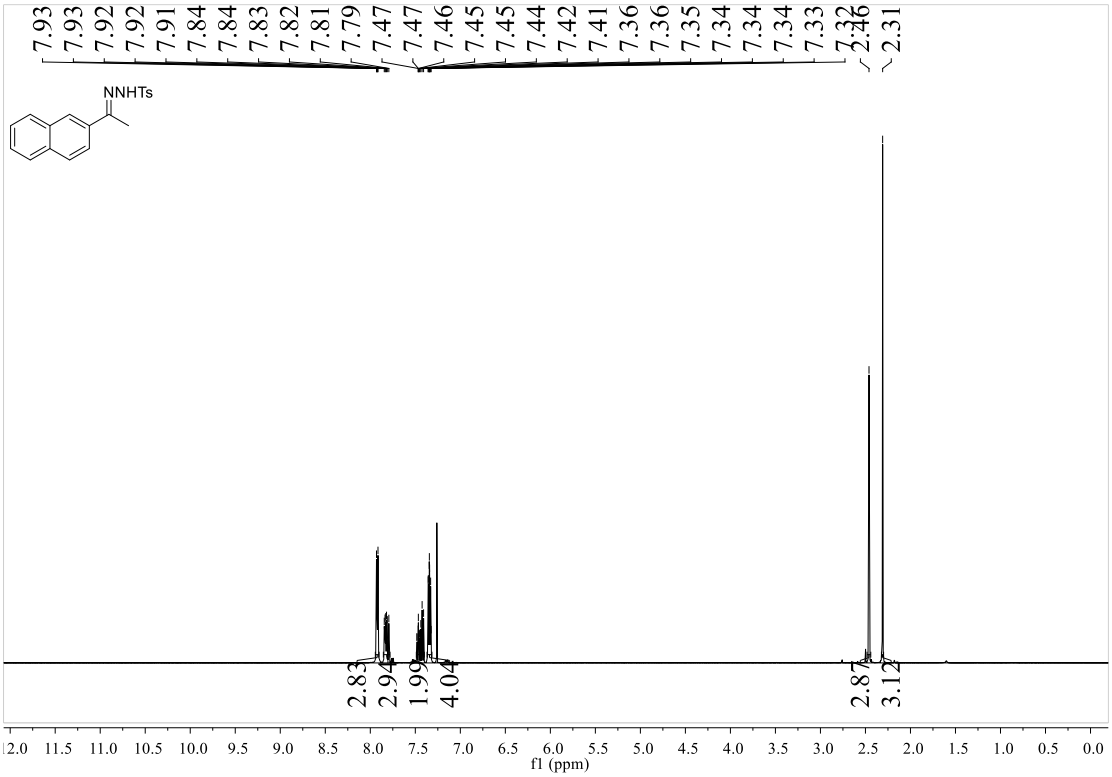


^{1}H NMR spectrum in $CDCl_3$.

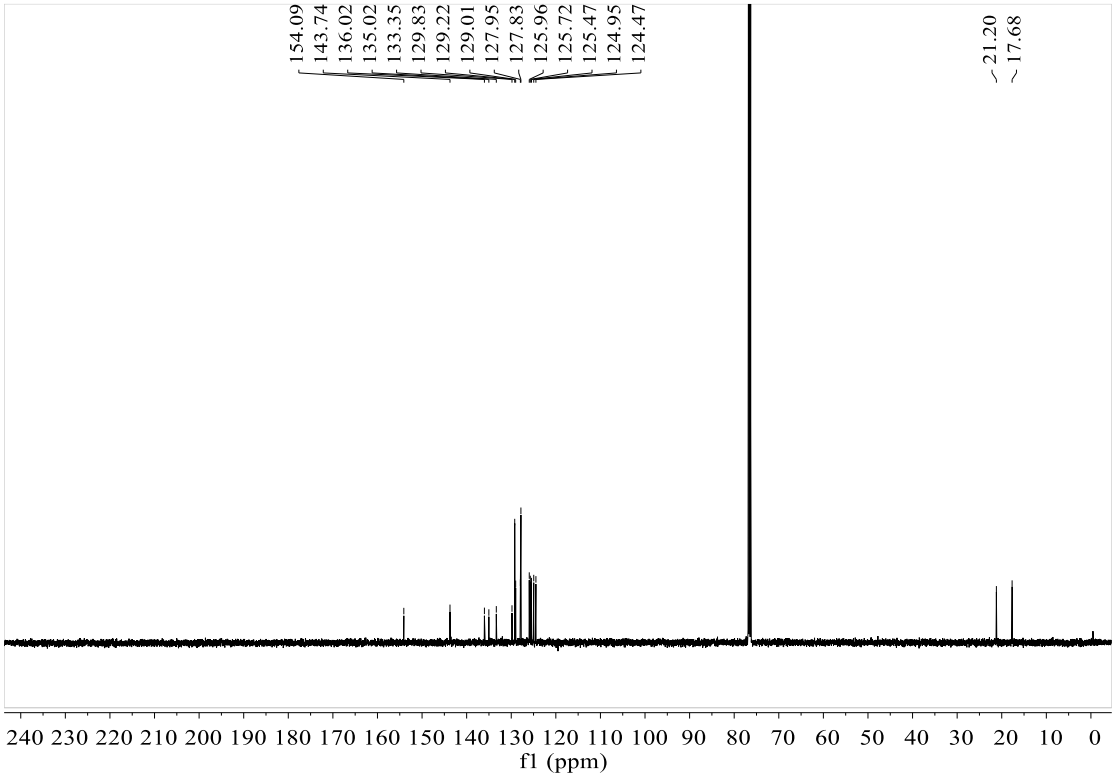


^{13}C NMR spectrum in DMSO-$D_{6.}$

4-methyl-*N'*-(1-(naphthalen-2-yl)ethylidene)benzenesulfonohydrazide(16a):

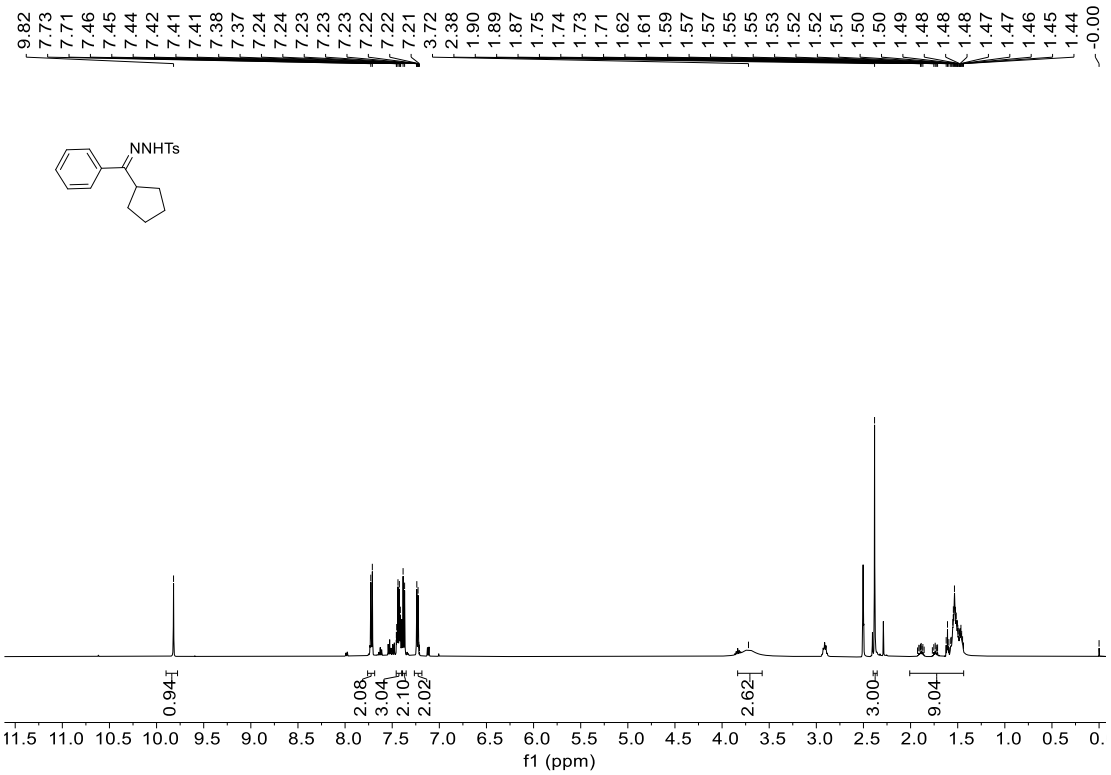


^{1}H NMR spectrum in $CDCl_3$.



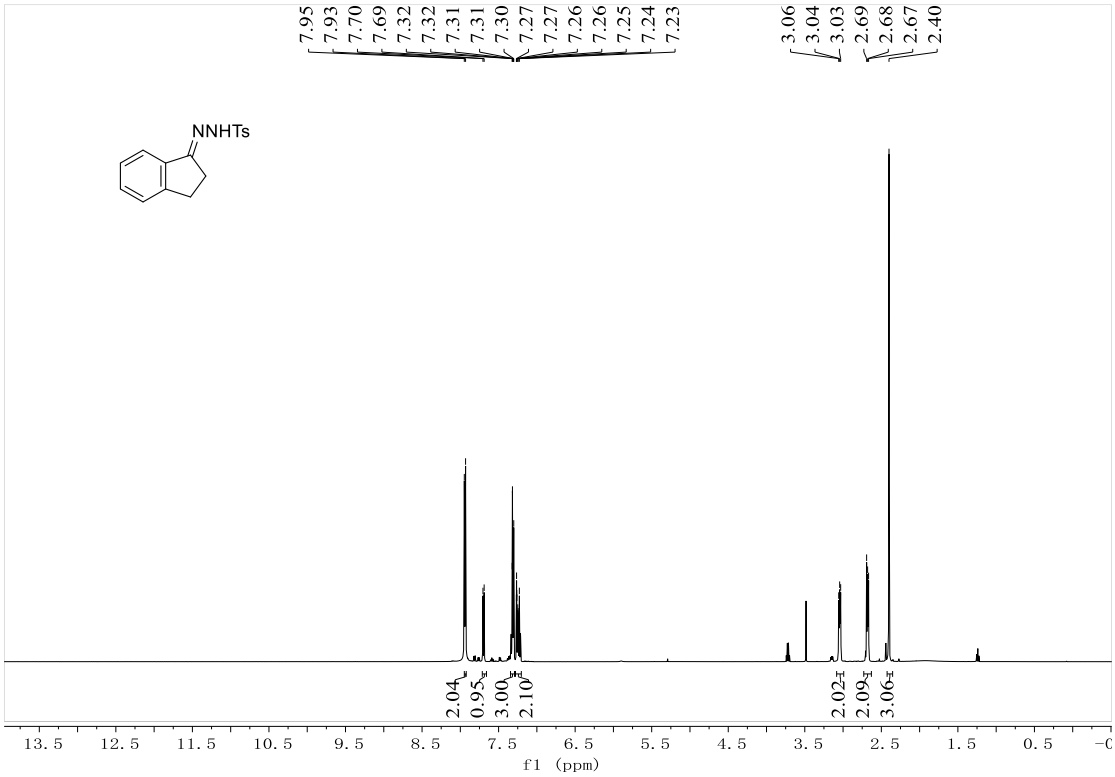
^{13}C NMR spectrum in $CDCl_3$.

***N'*-(cyclopentyl(phenyl)methylene)-4-methylbenzenesulfonohydrazide (17a):**

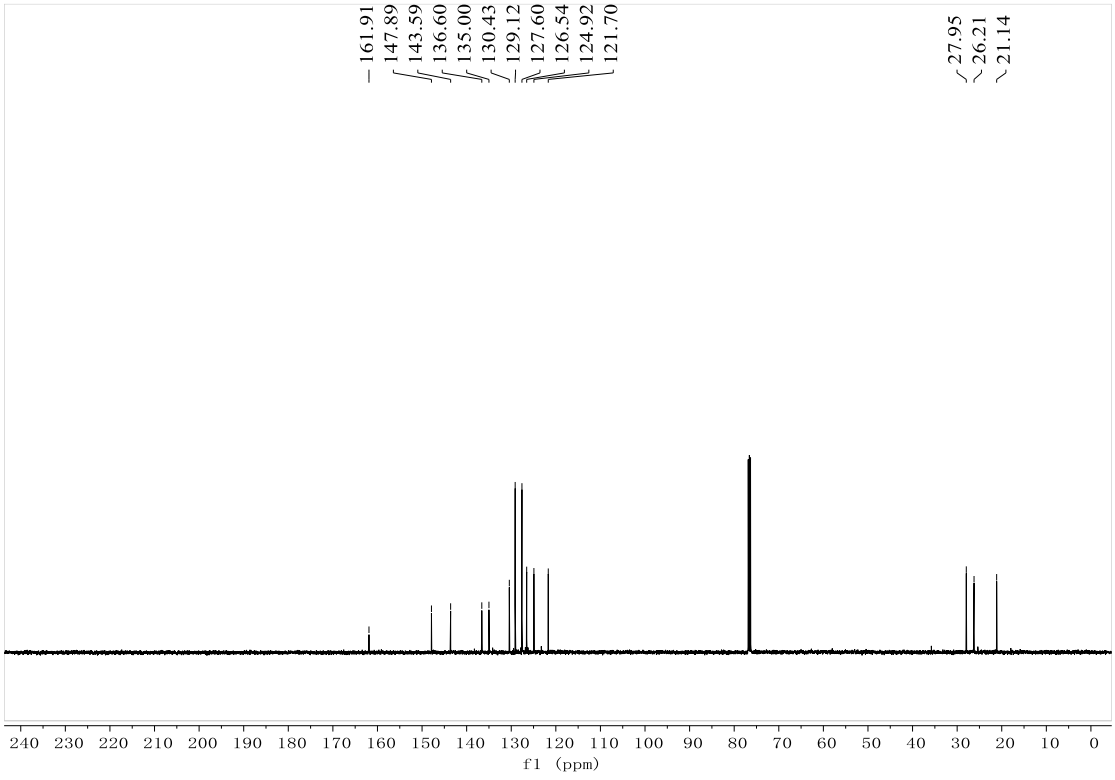


^{1}H NMR spectrum in DMSO-D$_{6.}$

***N'*-(2,3-dihydro-1*H*-inden-1-ylidene)-4-methylbenzenesulfonohydrazide (18a):**

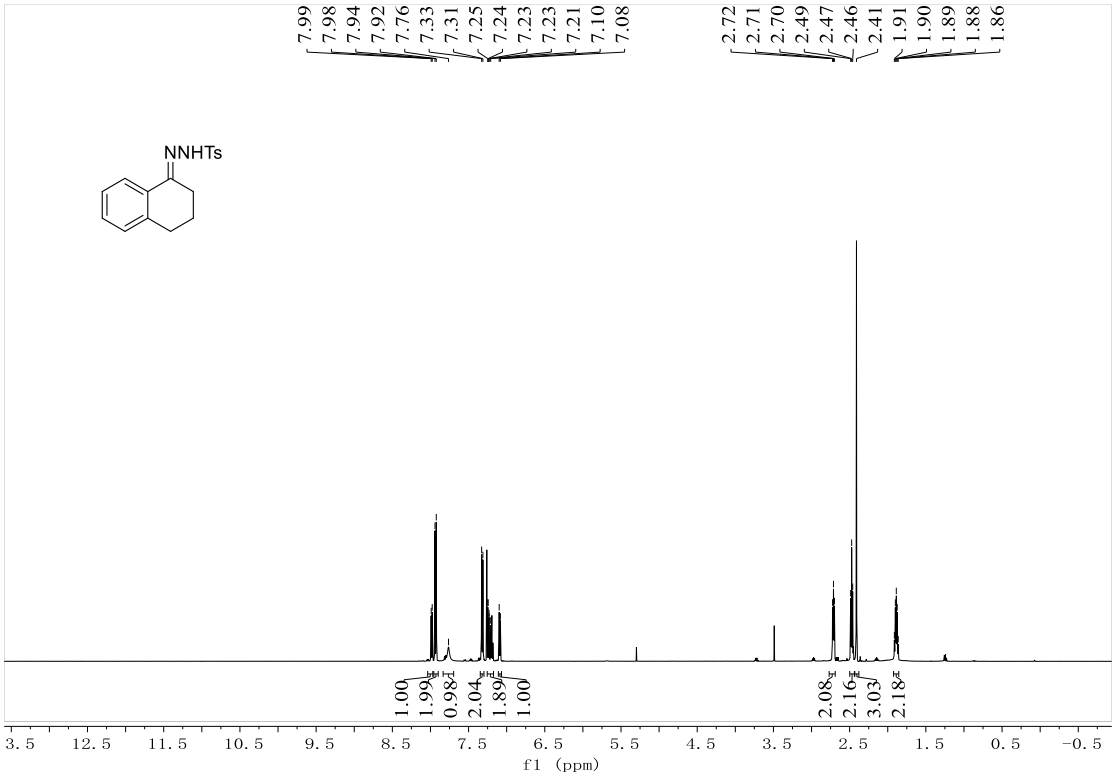


^{1}H NMR spectrum in $CDCl_3$.

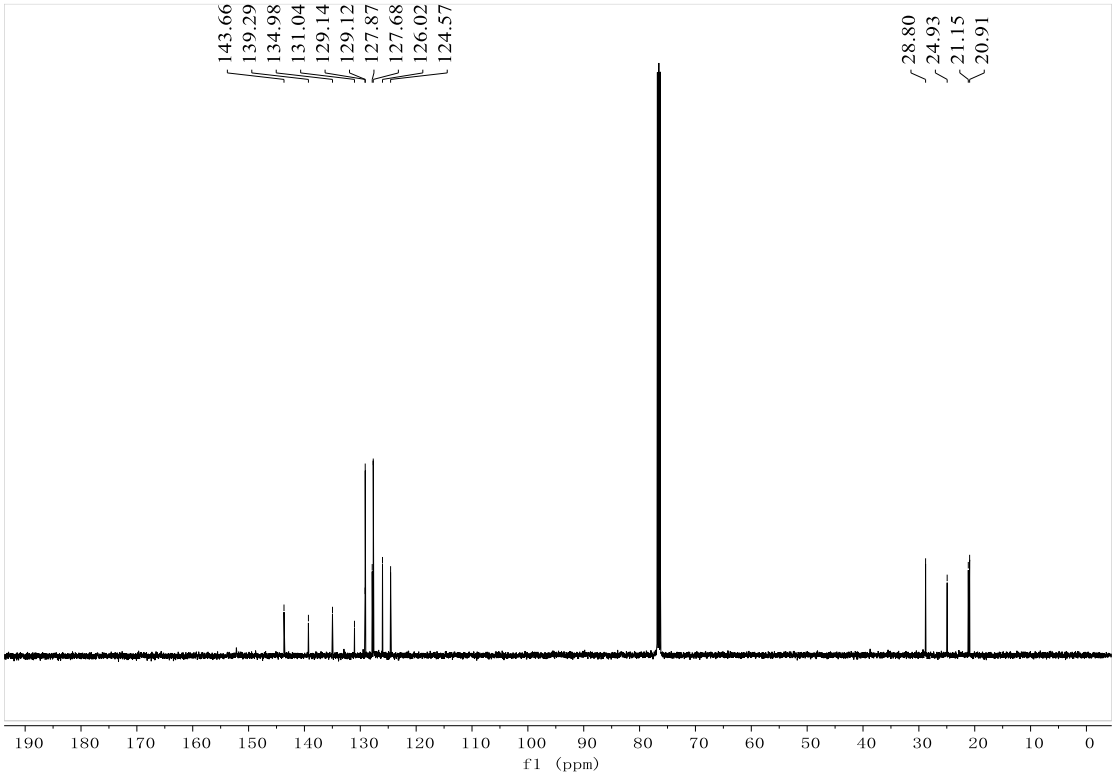


^{13}C NMR spectrum in $CDCl_3$.

***N'*-(3,4-Dihydronaphthalen-1(2*H*)-ylidene)-4-methylbenzenesulfonohydrazide (19a)：**

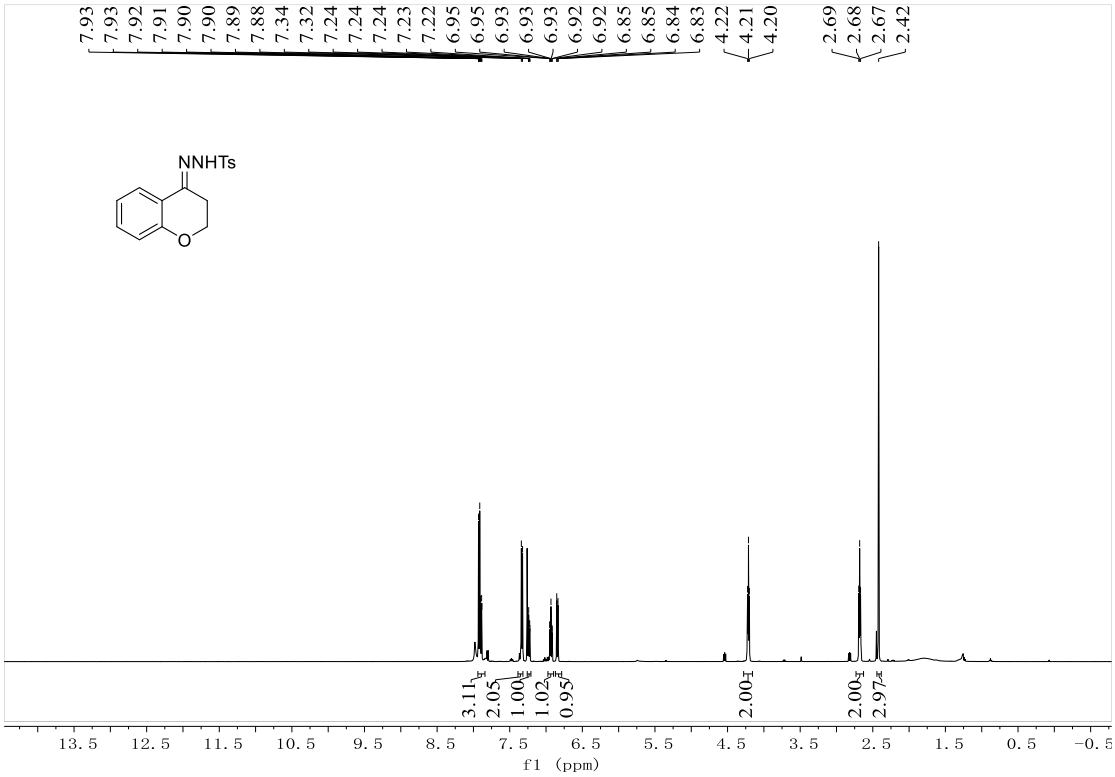


^{1}H NMR spectrum in $CDCl_3$.

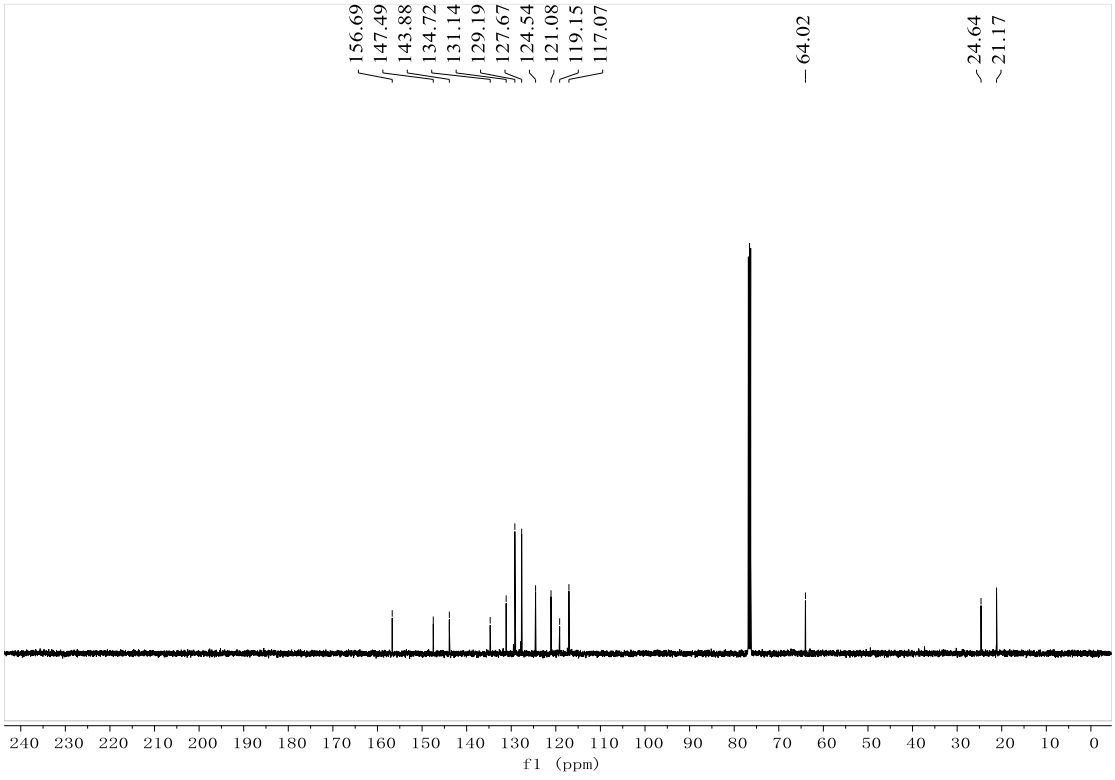


^{13}C NMR spectrum in $CDCl_3$.

***N'*-(chroman-4-ylidene)-4-methylbenzenesulfonohydrazide (20a):**

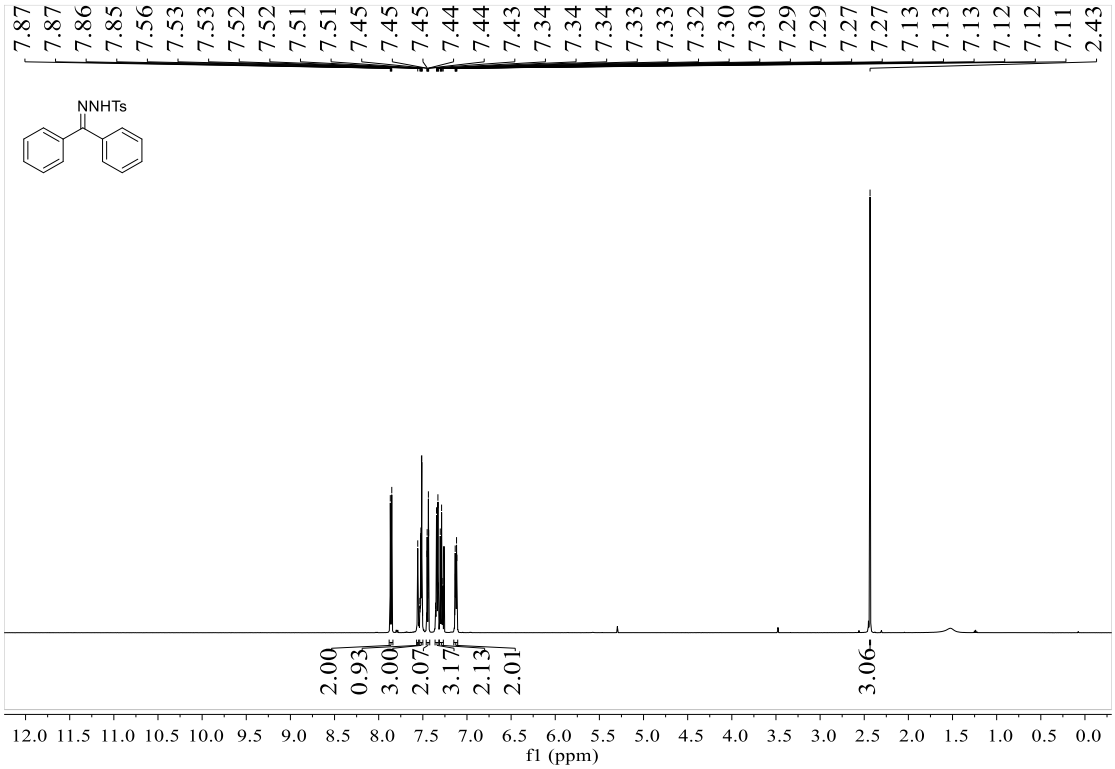


^{1}H NMR spectrum in $CDCl_3$.



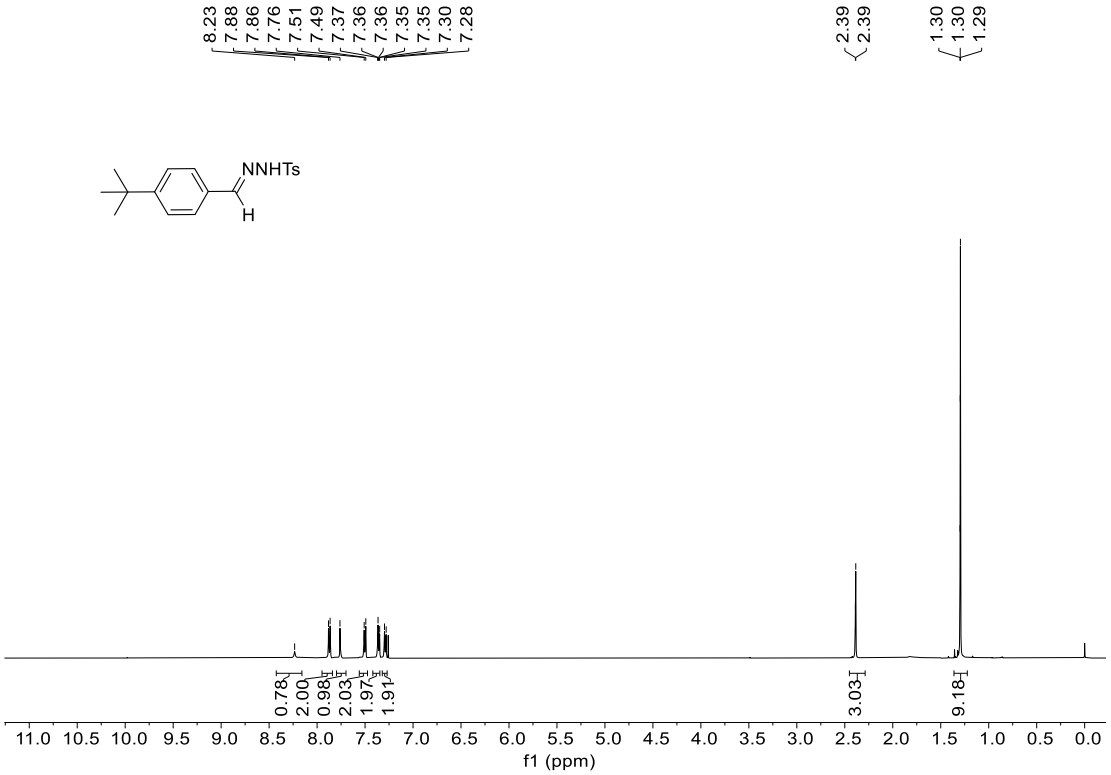
^{13}C NMR spectrum in $CDCl_3$.

***N'*-(diphenylmethylene)-4-methylbenzenesulfonohydrazide(21a)**:

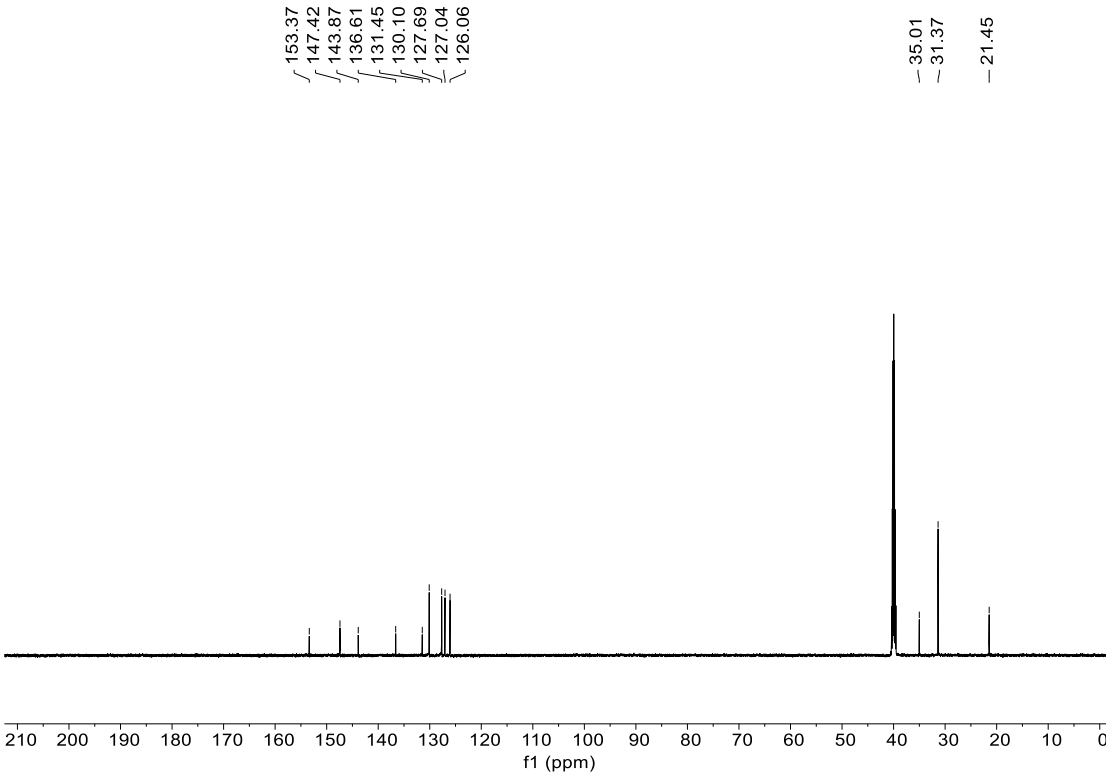


^{1}H NMR spectrum in $CDCl_3$.

***N'*-(4-(*tert*-butyl)benzylidene)-4-methylbenzenesulfonohydrazide (23a):**

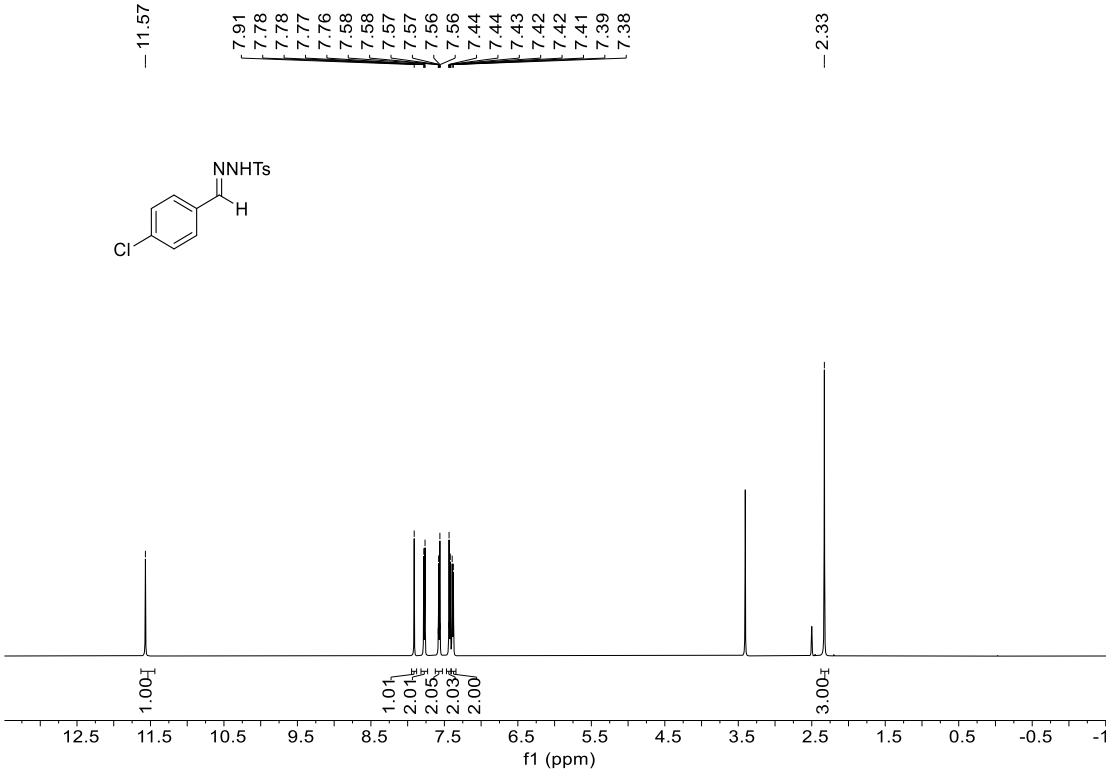


^{1}H NMR spectrum in $CDCl_{3}$.

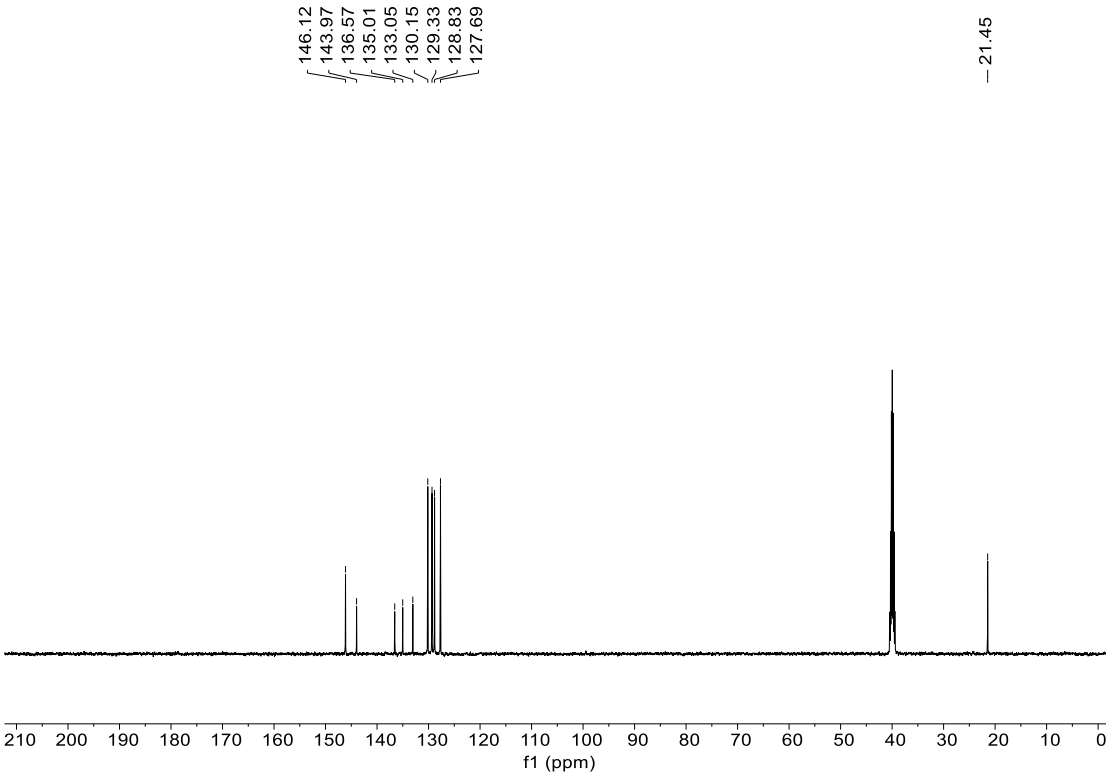


^{13}C NMR spectrum in DDMSO-D_{6}.

***N'*-(4-chlorobenzylidene)-4-methylbenzenesulfonohydrazide (24a):**

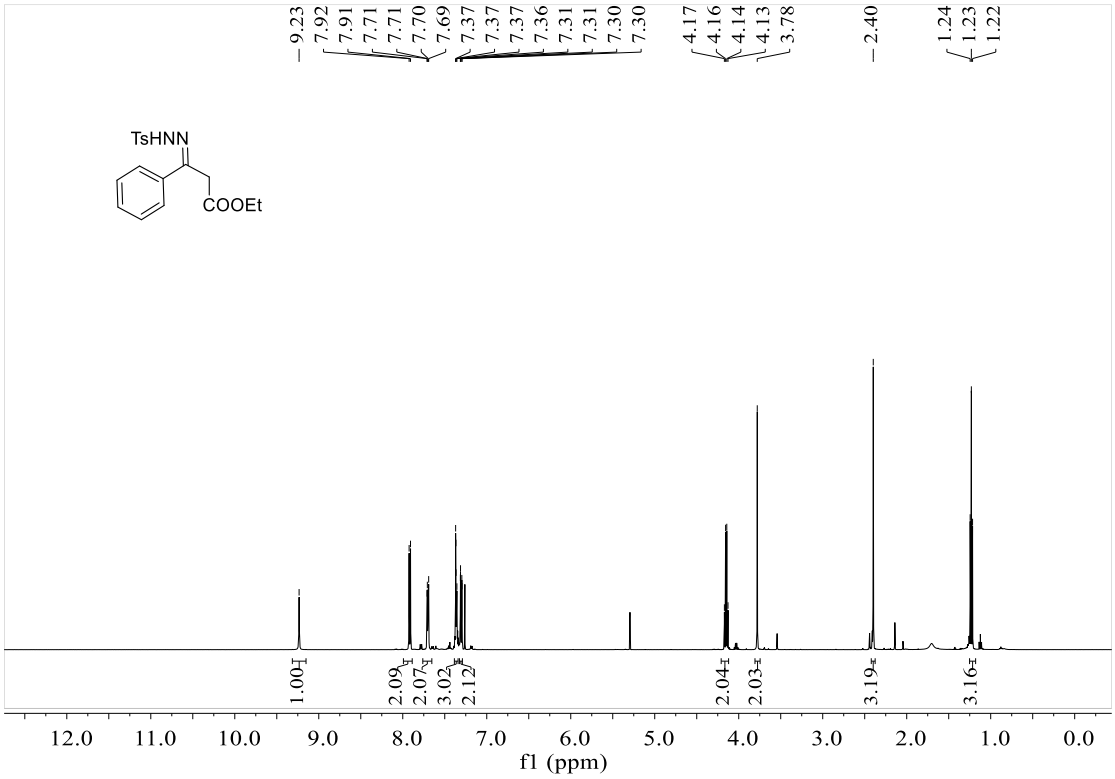


^{1}H NMR spectrum in DMSO-$d_{6.}$

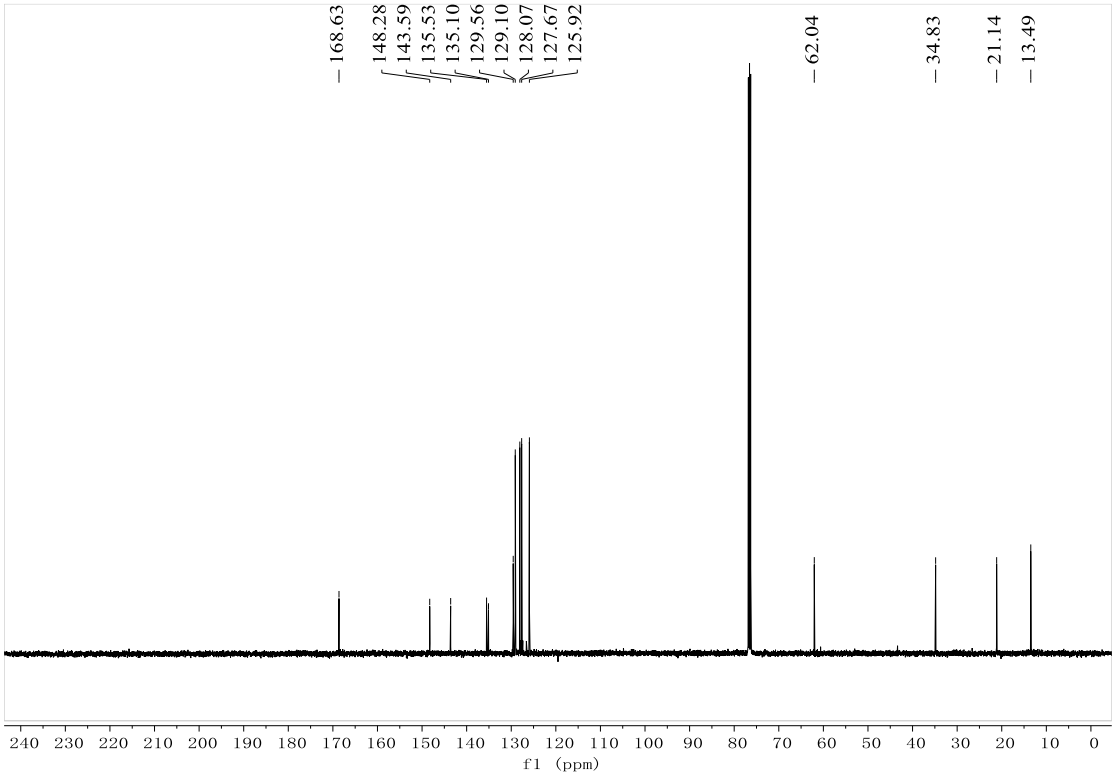


^{13}C NMR spectrum in DMSO-$d_{6.}$

Ethyl 3-phenyl-3-(2-tosylhydrazono)propanoate (54a):

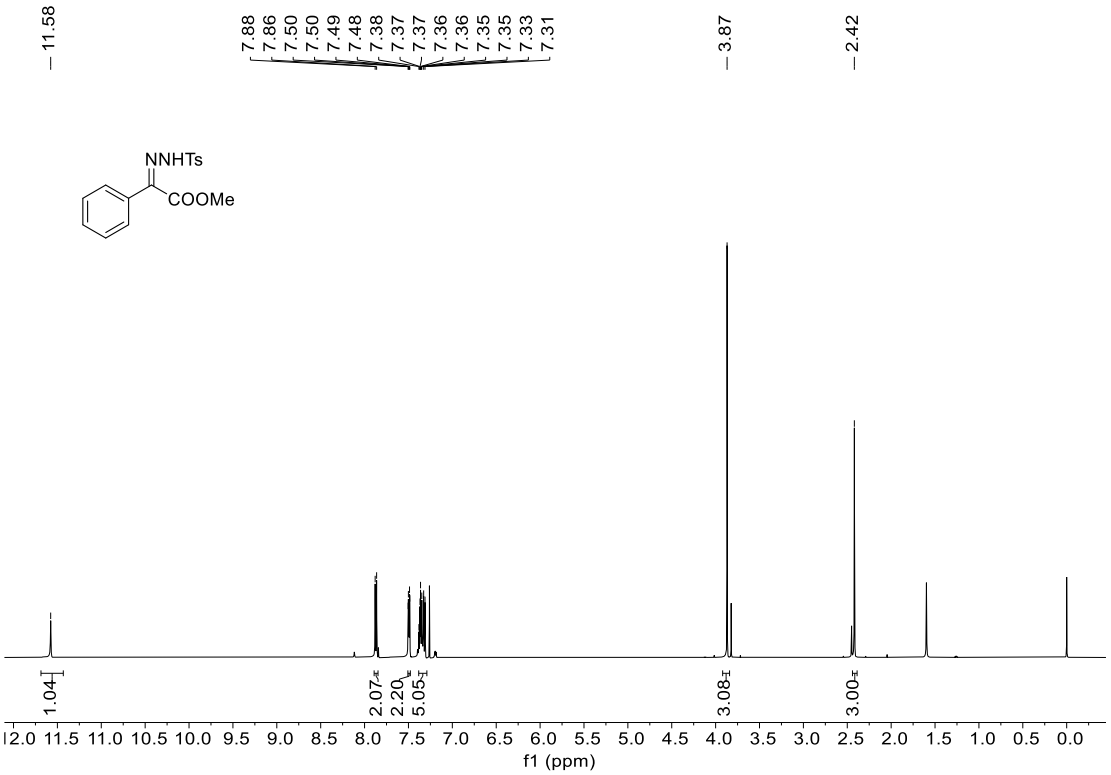


^{1}H NMR spectrum in $CDCl_3$.



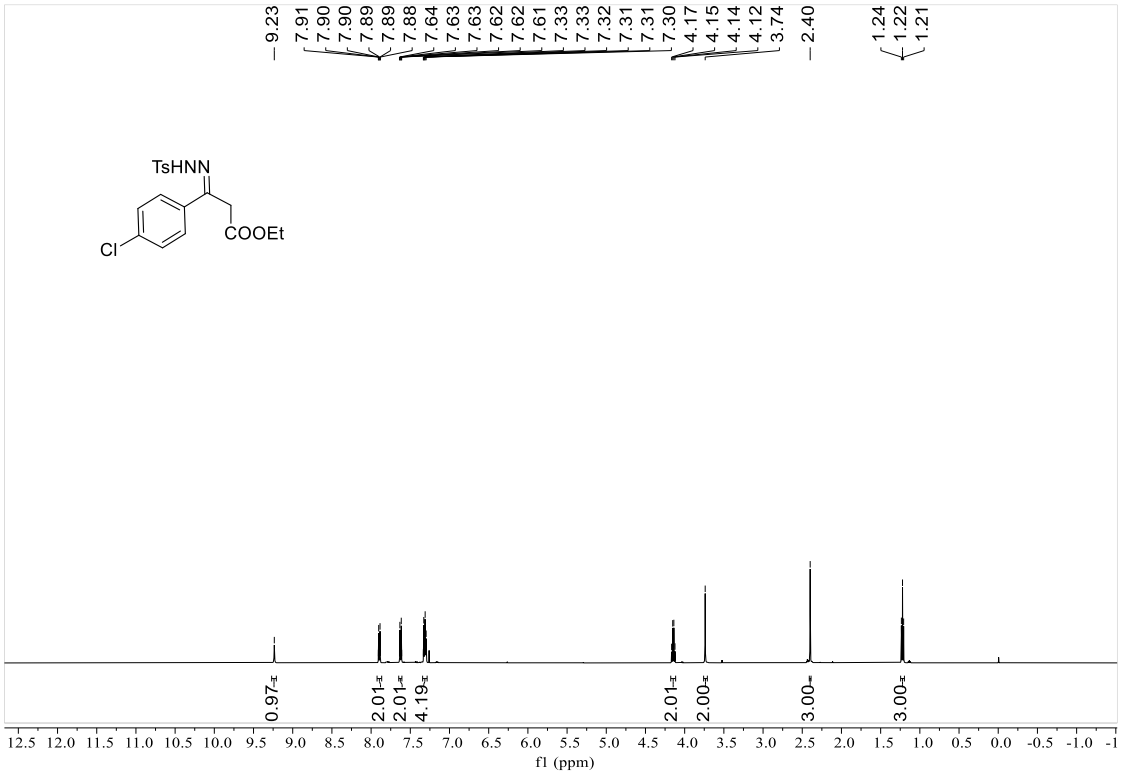
^{13}C NMR spectrum in $CDCl_3$.

methyl (Z)-2-phenyl-2-(2-tosylhydrazineylidene)acetate (70a):



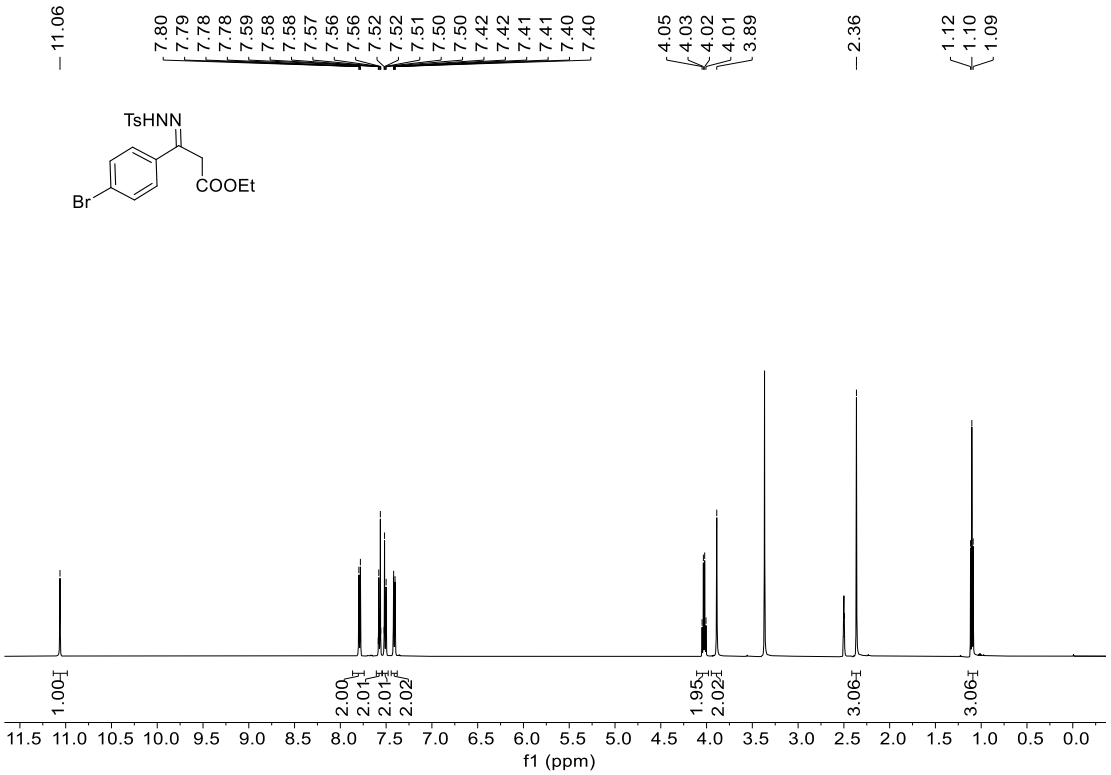
^{1}H NMR spectrum in $CDCl_3$.

ethyl (Z)-3-(4-chlorophenyl)-3-(2-tosylhydrazineylidene)propanoate (73a):

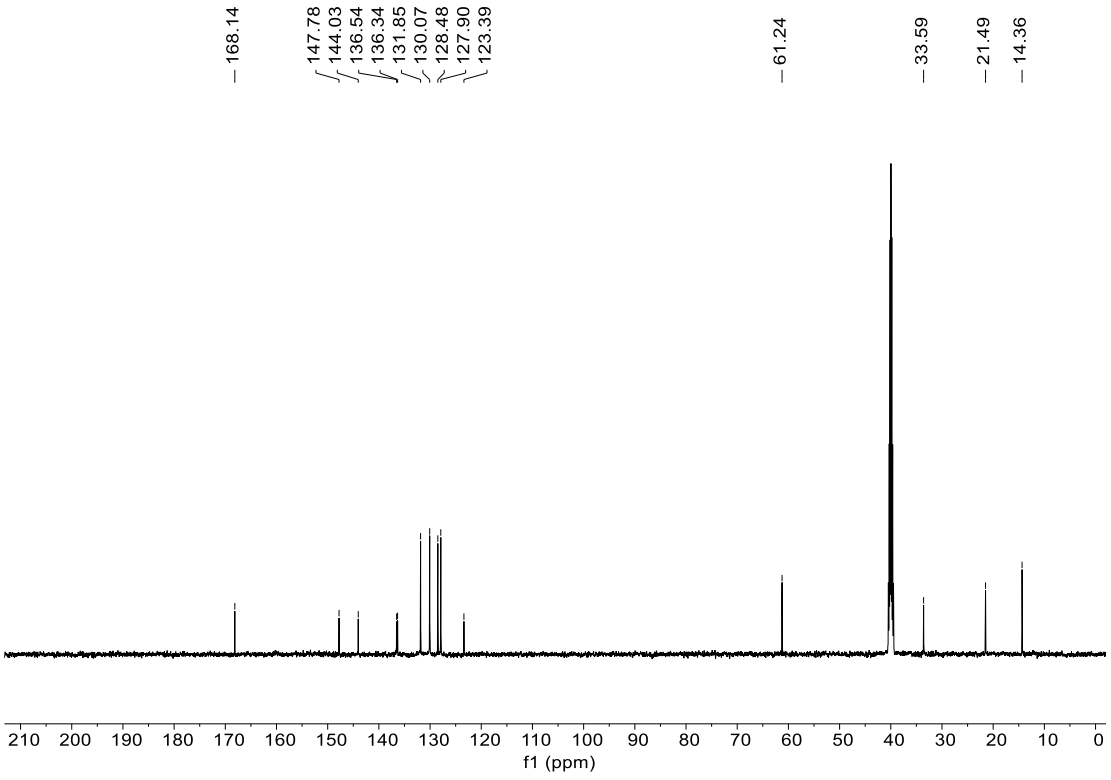


^{1}H NMR spectrum in $CDCl_3$.

ethyl -3-(4-bromophenyl)-3-(2-tosylhydrazineylidene)propanoate (74a):

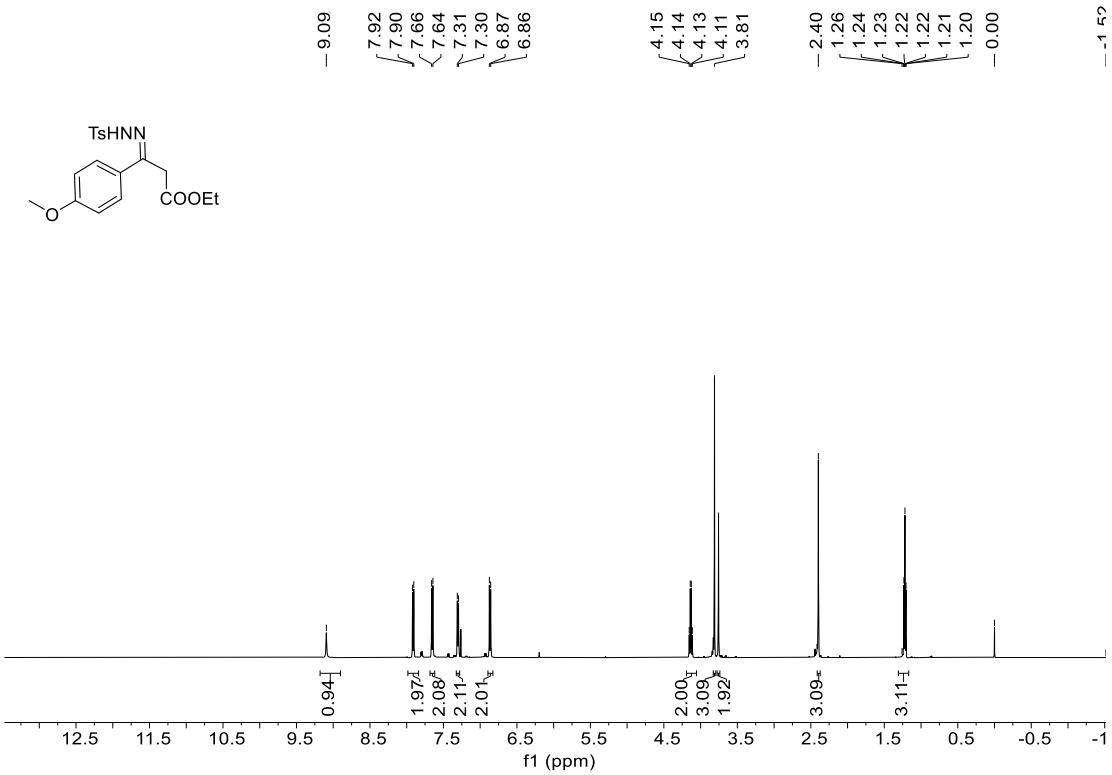


^{1}H NMR spectrum in DMSO-$d_{6.}$

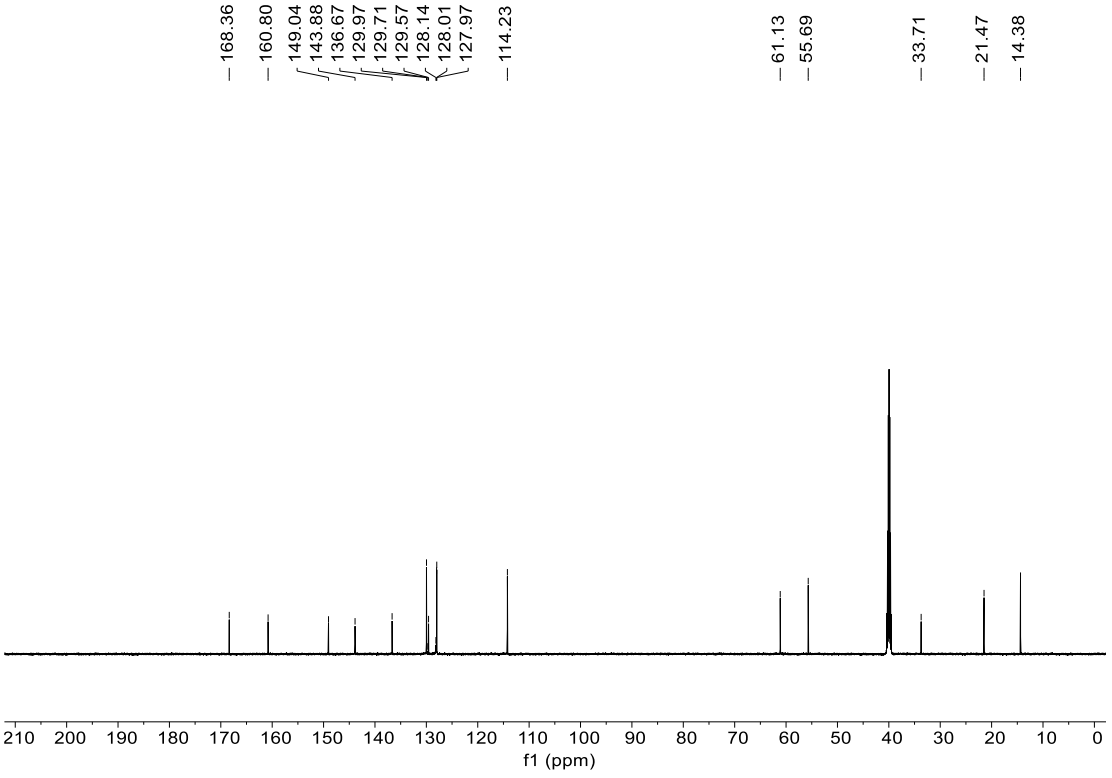


^{13}C NMR spectrum in DMSO-$d_{6.}$

ethyl -3-(4-methoxyphenyl)-3-(2-tosylhydrazineylidene)propanoate (75a):

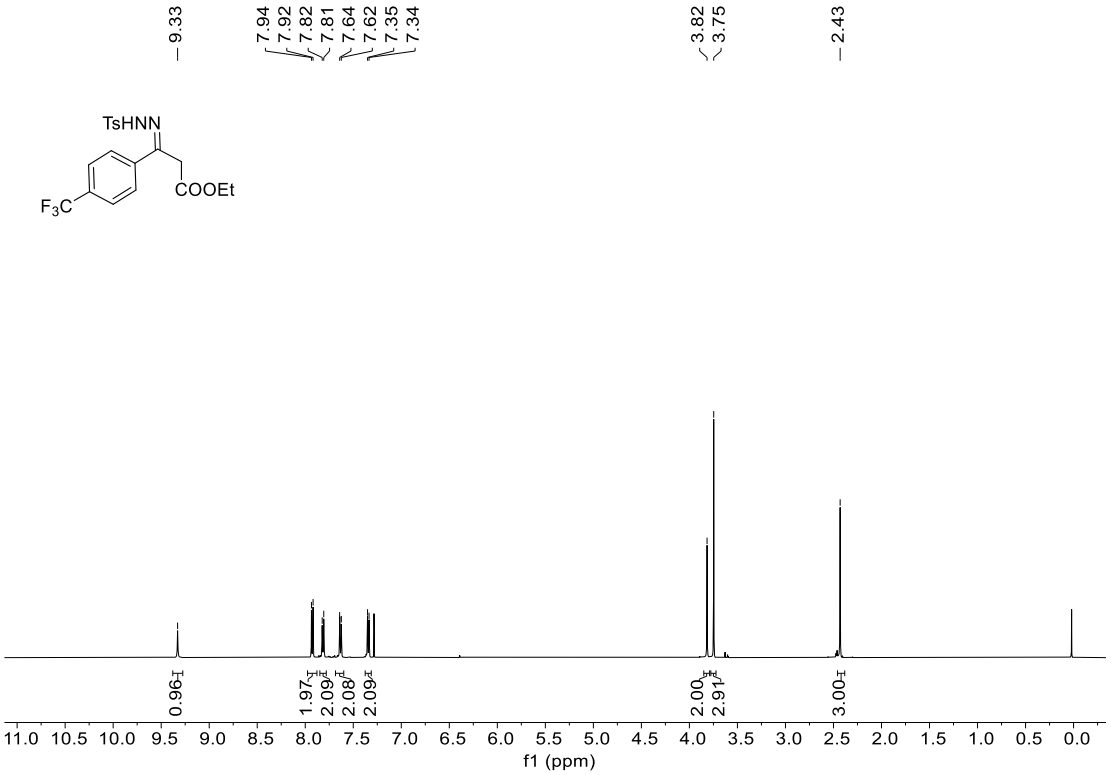


^{1}H NMR spectrum in $CDCl_3$.



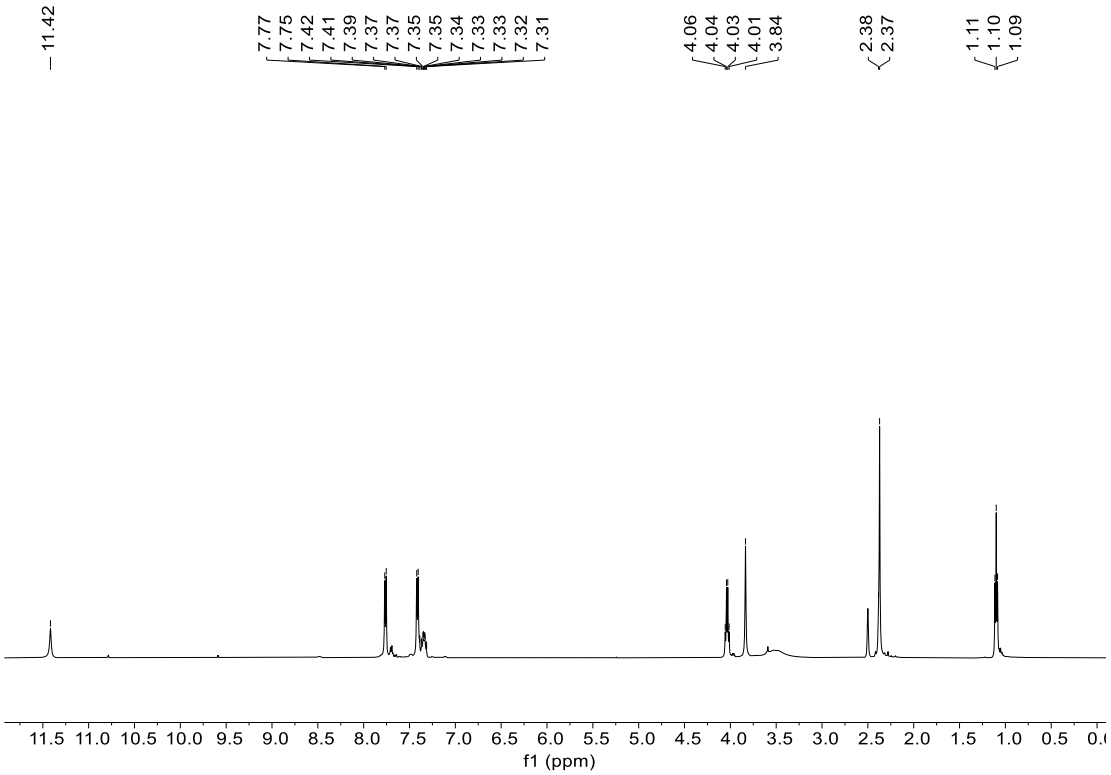
^{13}C NMR spectrum in DMSO-D_6.

ethyl -3-(2-tosylhydrazineylidene)-3-(4-(trifluoromethyl)phenyl)propanoate (76a):

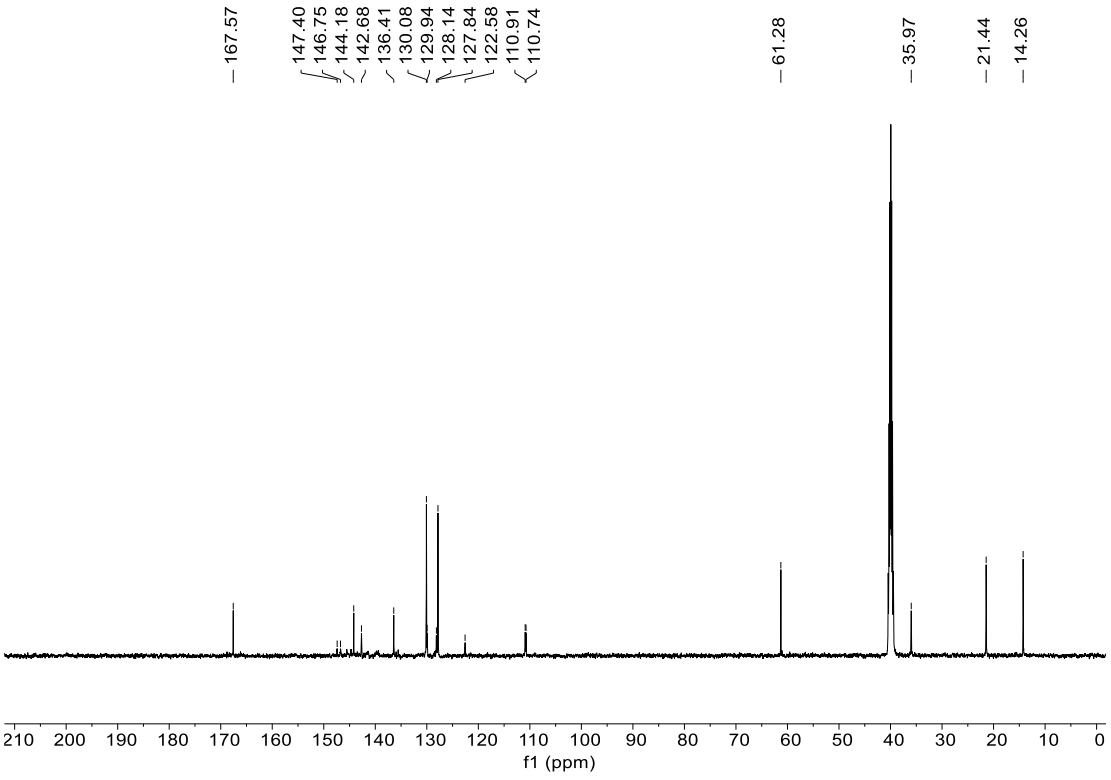


^{1}H NMR spectrum in $CDCl_{3.}$

ethyl -3-(2,3,4,5-tetrafluorophenyl)-3-(2-tosylhydrazineylidene)propanoate (77a):

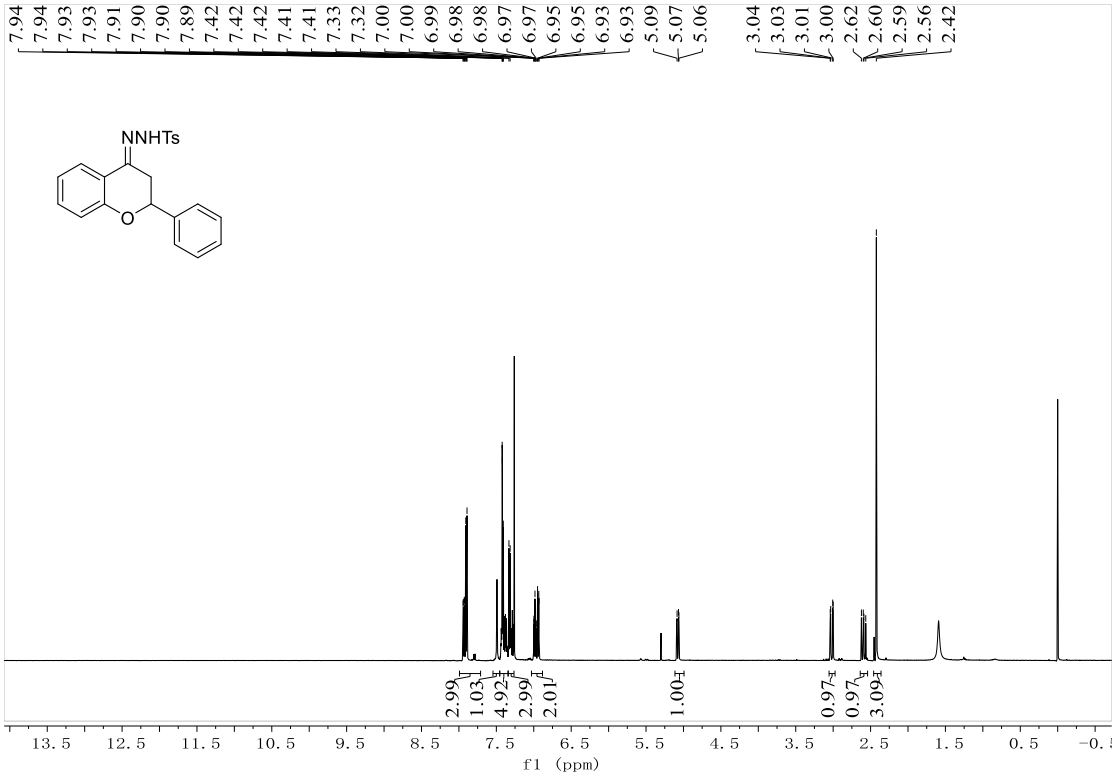


^{1}H NMR spectrum in DMSO-$d_{6.}$



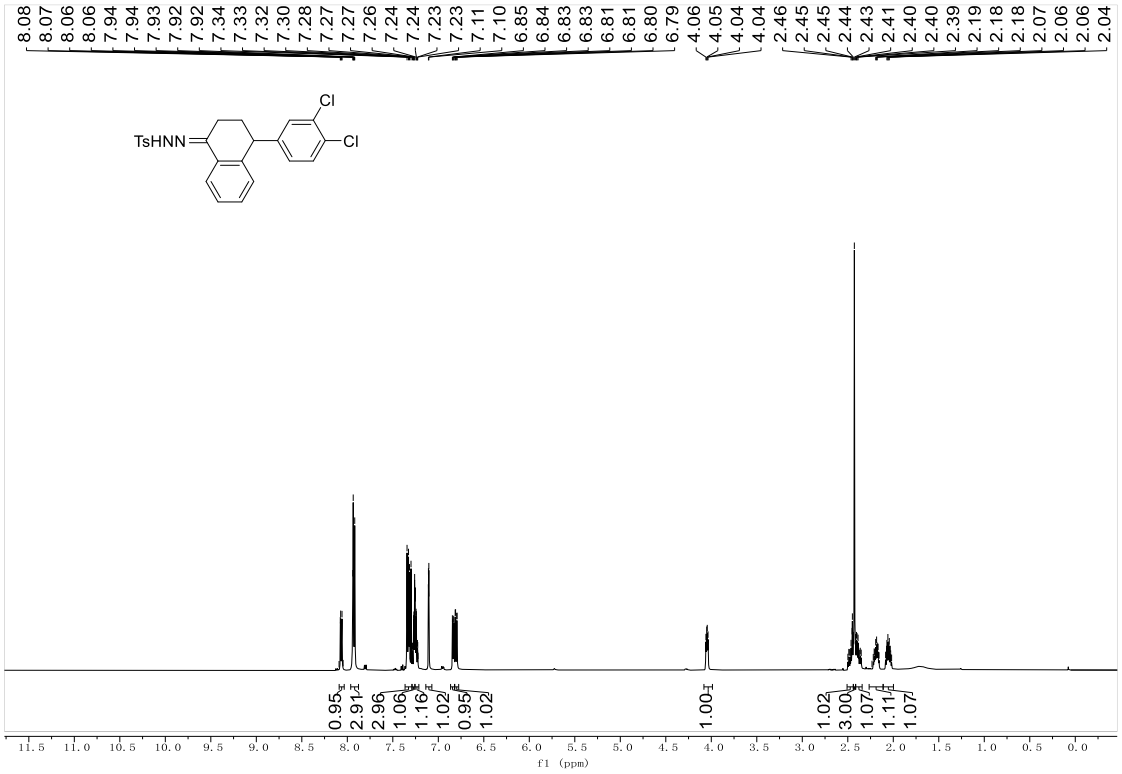
^{13}C NMR spectrum in DMSO-$d_{6.}$

4-methyl-*N'*-(2-phenylchroman-4-ylidene)benzenesulfonohydrazide-4-methyl-N'-(2-phenylchroman-4-ylidene)benzenesulfonohydrazide (79a):

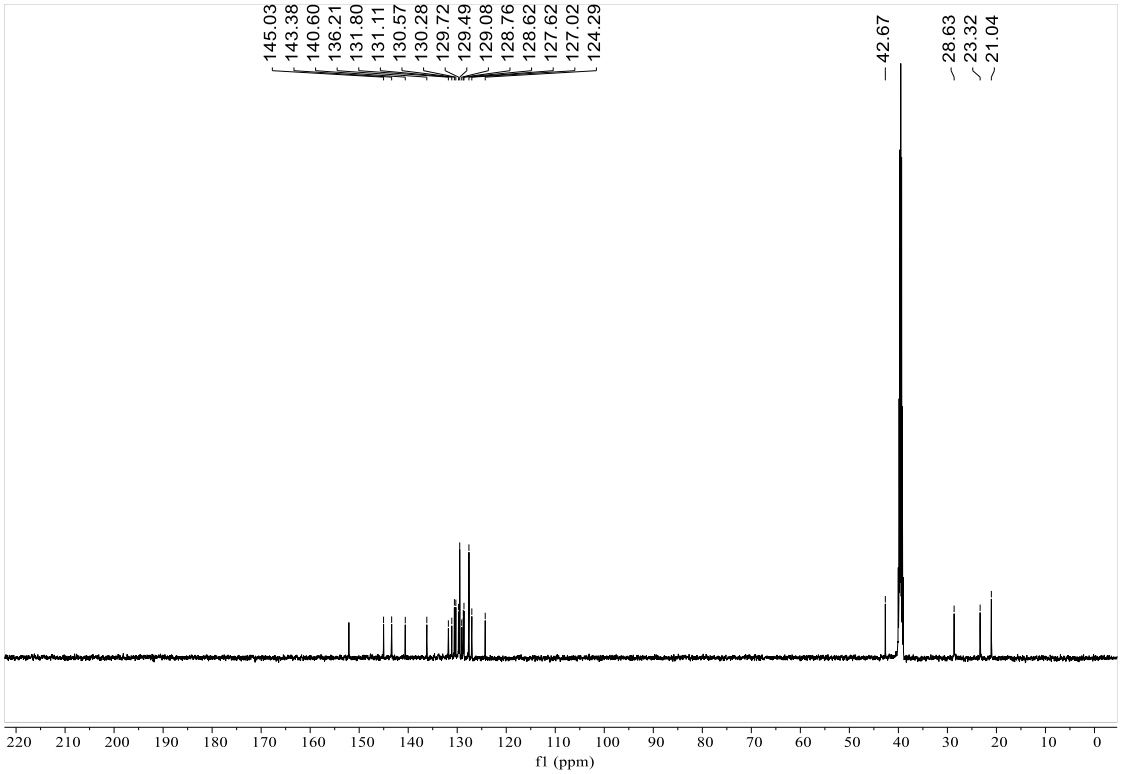


^{1}H NMR spectrum in $CDCl_3$.

***N*'-(4-(3,4-dichlorophenyl)-3,4-dihydronaphthalen-1(2H)-ylidene)-4-methylbenzenesulfonohydrazide (83a)**:

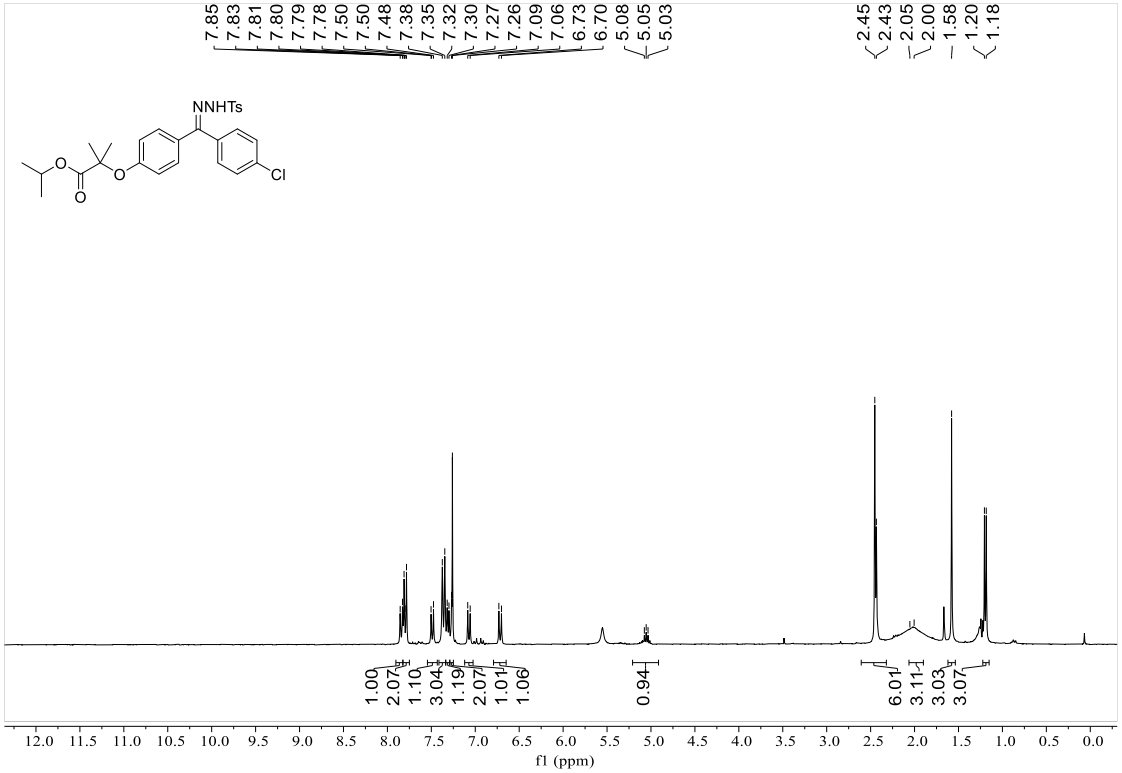


^{1}H NMR spectrum in $CDCl_3$.

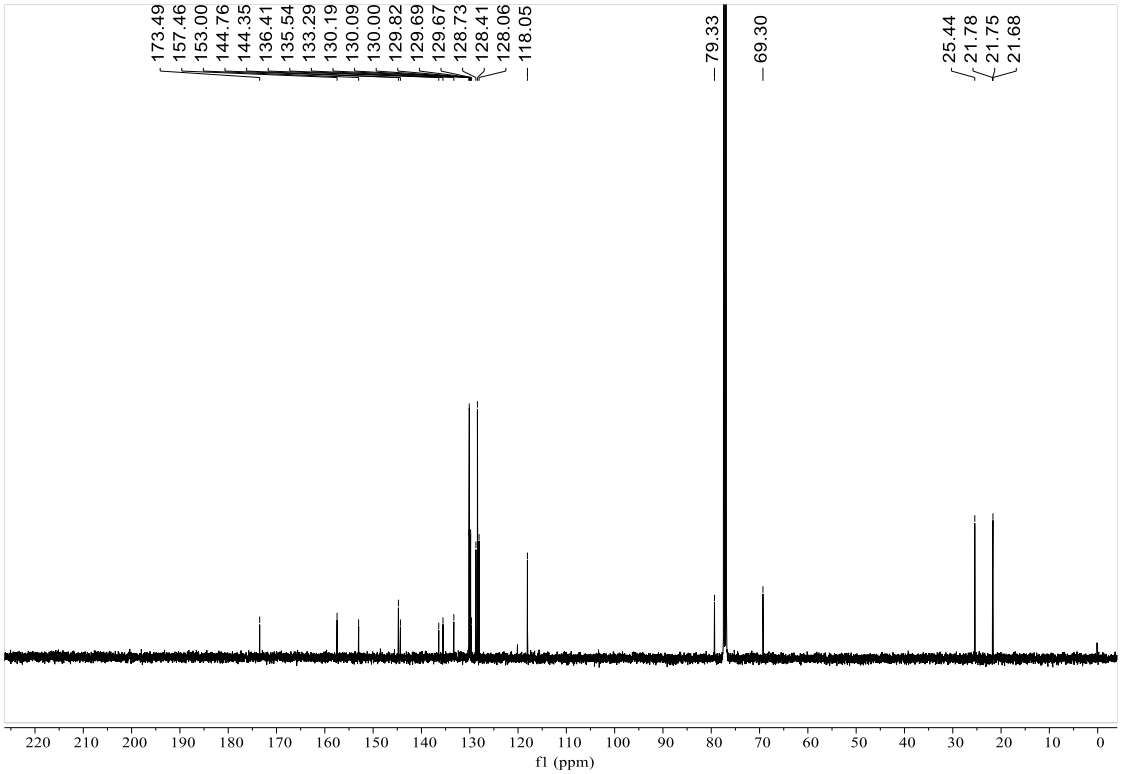


^{13}C NMR spectrum in DMSO-d_6.

isopropyl 2-(4-((4-chlorophenyl)(2-tosylhydrazono)methyl)phenoxy)-2-methylpropanoate (84a):

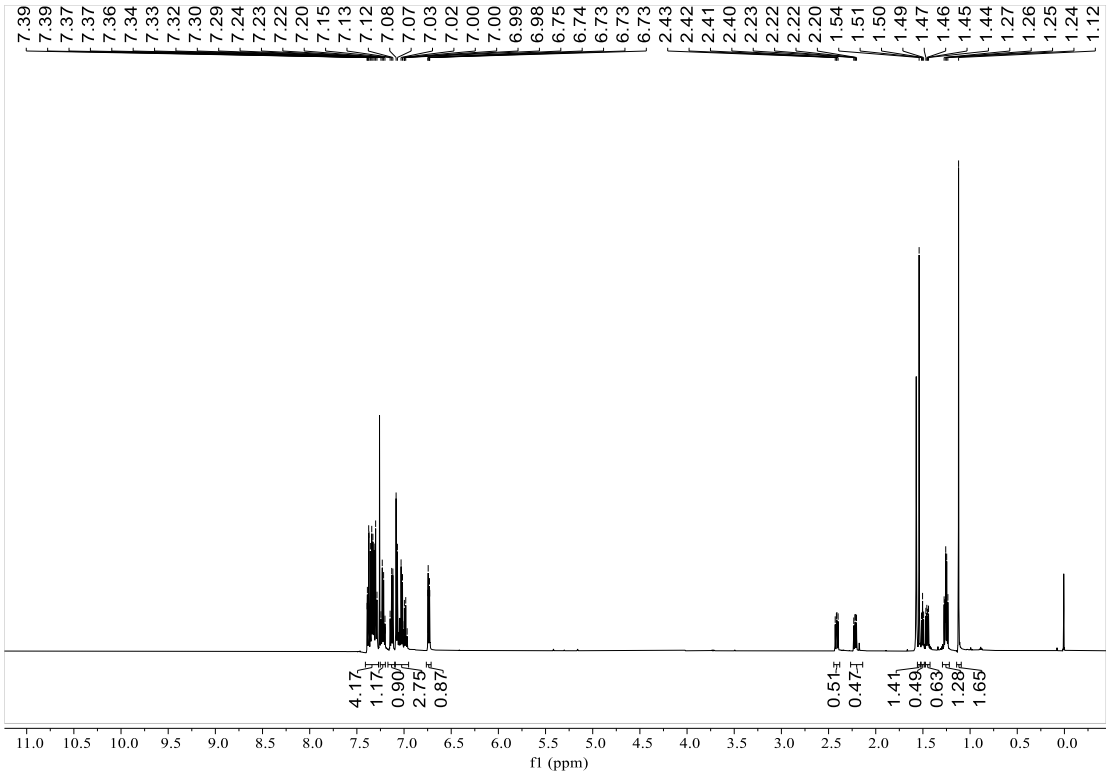


^{1}H NMR spectrum in $CDCl_3$.

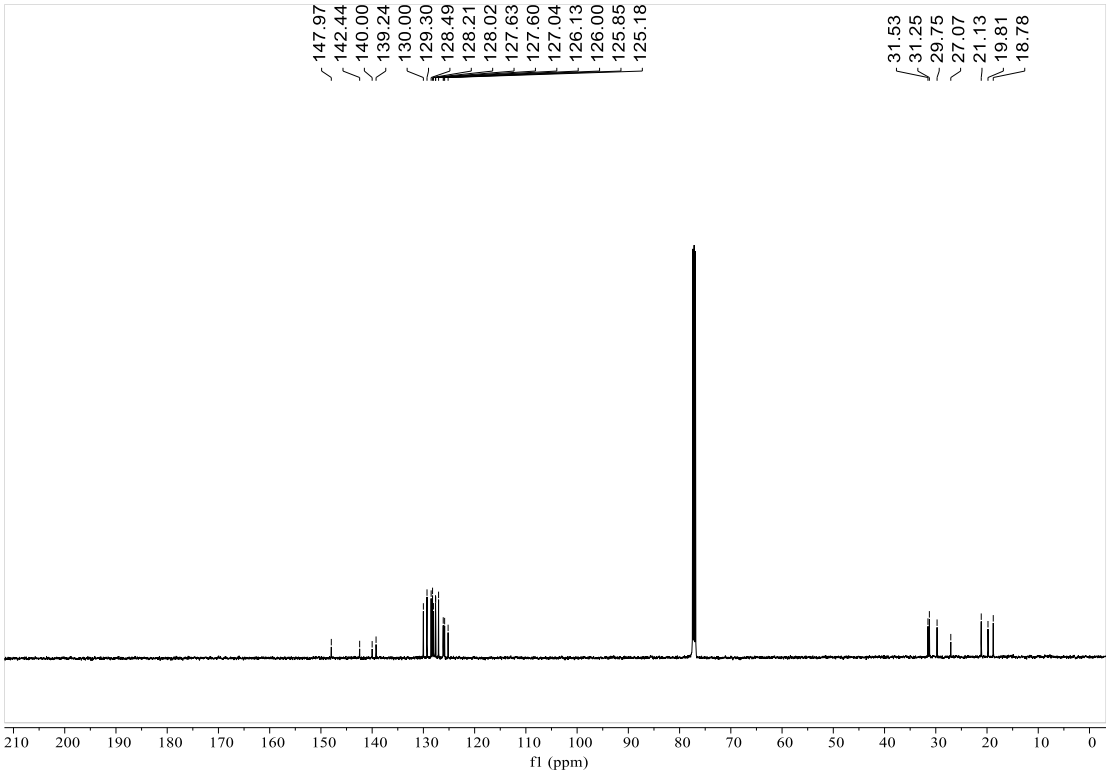


^{13}C NMR spectrum in $CDCl_3$.

(1-methylcyclopropane-1,2-diyl)dibenzene (10aa):



^{1}H NMR spectrum in $CDCl_3$.



^{13}C NMR spectrum in $CDCl_3$.