Electronic Supplementary Material (ESI) for RSC Advances.
This journal is © The Royal Society of Chemistry 2024

Supporting information

An efficient and practical approach for the synthesis of indoloquinolines and indolo/pyrroloquinoxalines *via* Cu-Catalyzed Ugi-C/Ugi-N-Arylation sequence

Sheiva Iranfar,[a] Morteza Shiri,*[a] Yazdanbakhsh Lotfi Nosood,[b] Zahra Akbari Keley,[a] Zahra Tanbakouchian,[a] Zahra Amini,[a] Ahmed Al-Harrasi,*[b] Faiq H. S. Hussain*[c]

[a.] *Department of Organic Chemistry, Faculty of Chemistry, Alzahra University, Vanak, Tehran, 1993893973, Iran. E-mail: mshiri@alzahra.ac.ir*

[b.] *Natural and Medical Sciences Research Center, University of Nizwa, P. O. Box 33, Postal Code 616, Birkat Al Mauz, Nizwa, Sultanate of Oman. E-mail: aharrasi@unizwa.edu.om*

[c.] *Medical Analysis Department, Applied Science Faculty, Tishk International University, Erbil, Kurdistan Region, Iraq. Email: faiq.hussain@tiu.edu.iq*

Experimental

General

Chemicals and solvents were purchased from Merck, Sigma-Aldrich, and Fluka companies and used without further purification. Melting points were measured with an Electro-thermal 9100 apparatus and are uncorrected. IR spectra were recorded with Shimadzu-IR 460 spectrophotometer. The ^{1}H-NMR of products were recorded on a Bruker 500 and 600 MHz spectrometer. The ^{13}C-NMR of products were recorded on a Bruker 150 MHz spectrometer. High-resolution mass spectrometry (ESI-HRMS) measurements were obtained on an Agilent Q-TOF LC-MS, a Thermo Scientific Advantage and a Thermo Scientific Executive spectrometer.

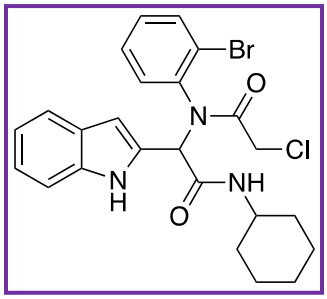
General procedure for Ugi-adduct preparation (5a-p)

The mixture of aromatic aldehyde **1** (2 mmol), aniline **2** (2 mmol), acid **3** (2 mmol) and corresponding isocyanide **4** (2 mmol), was stirred in 10 mL MeOH at room temperature for 72 hours. The reaction progress was monitored by thin-layer-chromatography (TLC). Then, the precipitate was filtered and washed with MeOH and dried. Finally, desired Ugi-4CR products **5a-p** were obtained with 44-82% yield.

General procedure for post-Ugi products preparation (6a-e, 7a-c, 8)

Ugi adduct **5** (1 mmol), was added to a solution containing CuI (10 mol%), L-proline (15 mol%), Cs_2CO_3 (2 equiv., 2 mmol) in 5 mL DMSO. The mixture was stirred at 100 °C for 8 hours. After completion, which was monitored by TLC, the reaction mixture was cooled to room temperature, diluted with saturated brine (20 mL) and was extracted with dichloromethane (3 × 20 mL). The combined organic layers were dried over sodium sulfate and the solvent was evaporated under reduced pressure. Then, the product was purified by column chromatography on silica gel to give pure **6a-e, 7a-c, 8** compounds.

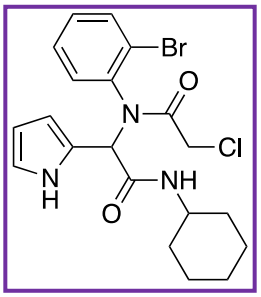
N-(2-Bromophenyl)-2-chloro-N-(2-(cyclohexylamino)-1-(1H-indol-2-yl)-2-oxoethyl)acetamide (5a).



white powder, **mp:** 202-205 °C.
(**Yield:** 82%, **dr:** 48:52).
HRMS (ESI) m/z: Calcd. for $C_{24}H_{25}BrClN_3O_2$ $[M+H]^+$ 502.0897, Found 502.0886.

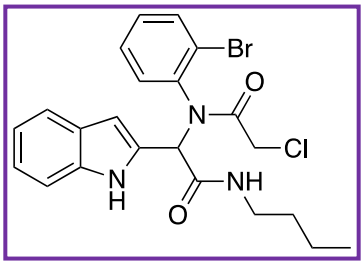
^{1}H NMR (600 MHz, $CDCl_3$) δ: 9.74 (s, $1H_{minor}$), 8.98 (s, $1H_{major}$), 7.77 (d, J = 7.3 Hz, $1H_{major}$), 7.63-7.59 (m, 1H), 7.57 (d, J = 7.9 Hz, $1H_{minor}$), 7.46 (d, J = 7.9 Hz, $1H_{major}$), 7.40-7.36 (m, 1H, $1H_{minor}$), 7.28-7.18 (m, 2H), 7.12-7.07 (m, 1H, $1H_{minor}$), 7.00 (t, J = 7.4 Hz, $1H_{major}$), 6.48 (d, J = 1.2 Hz, $1H_{minor}$), 6.42 (d, J = 1.1 Hz, $1H_{major}$), 6.20 (d, J = 7.9 Hz, $1H_{major}$), 5.92 (s, $1H_{major}$), 5.62 (d, J = 8.0 Hz, $1H_{minor}$), 5.15 (s, $1H_{minor}$), 3.88 (s, $2H_{major}$), 3.82-3.73 (m, 1H, $2H_{minor}$), 1.98-0.95 (m, 10H).
^{13}C NMR (151 MHz, $CDCl_3$) δ: 168.1, 167.3, 167.1, 165.7, 140.9, 137.7, 136.7, 136.4, 133.8, 133.6, 131.9, 131.9, 130.9, 130.8, 130.8, 129.5, 128.8, 128.6, 127.1, 127.0, 124.8, 123.8, 122.9, 122.6, 120.7, 120.0, 119.7, 111.9, 111.2, 106.2, 105.9, 64.9, 60.0, 49.3, 49.1, 43.1, 42.6, 32.9, 32.7, 32.6, 25.4, 25.3, 24.8, 24.8.
FT-IR (KBr): ν_{max}: 743, 790, 1392, 1474, 1552, 1658, 2853, 2928, 3065, 3302 cm^{-1}.

N-(2-Bromophenyl)-2-chloro-N-(2-(cyclohexylamino)-2-oxo-1-(1H-pyrrol-2-yl)ethyl)acetamide (5b).



gray powder, **mp:** 171-173 °C.
(**Yield**: 71%, **dr**: 57:43).
HRMS (ESI) m/z: Calcd for $C_{20}H_{23}BrClN_3O_2$ $[M+H]^+$ 452.0740, Found 452.0757.
^{1}H NMR (600 MHz, $CDCl_3$) δ: 9.70 (s, $1H_{minor}$), 8.89 (d, J = 16.7 Hz, $1H_{major}$), 8.33 (dd, J = 8.2 Hz, J = 1.3 Hz, $1H_{minor}$), 7.61 (dd, J = 8.0 Hz, J = 1.4 Hz, $1H_{major}$), 7.55 (dd, J = 8.0 Hz, J = 1.3 Hz, $1H_{major}$), 7.45 (dd, J = 8.0 Hz, J = 1.3 Hz, $1H_{minor}$), 7.34-7.28 (m, $1H_{major}$, $1H_{minor}$), 7.22 (dd, J = 7.7 Hz, J = 1.5 Hz, $1H_{minor}$), 7.16-7.13 (m, $1H_{minor}$), 7.03-7.01 (m, $1H_{major}$), 6.75 (m, $1H_{major}$), 6.49 (m, $1H_{minor}$), 6.14 (m, 1H), 6.11 (m, $1H_{major}$), 5.91 (m, $1H_{minor}$), 5.85 (s, $1H_{minor}$), 5.68 (d, J = 7.6 Hz, 1H), 5.13 (s, $1H_{major}$), 4.22 (s, 1H), 3.84 (q, J = 14.1 Hz, 1H) 3.79-3.70 (m, 1H), 1.90-1.03 (m, 10H).
^{13}C NMR (151 MHz, $CDCl_3$) δ: 167.6, 166.6, 164.0, 140.2, 134.8, 133.7, 133.4, 132.5, 131.9, 130.9, 130.6, 129.2, 128.4, 126.0, 124.7, 123.9, 121.5, 121.3, 119.7, 119.3, 112.2, 111.7, 107.9, 107.8, 58.6, 48.9, 48.8, 43.2, 43.0, 32.8, 32.6, 25.4, 24.7, 24.6.
FT-IR (KBr): ν_{max}: 722, 750, 793, 1388, 1475, 1570, 1654, 2854, 2928, 3092, 3259, 3342 cm^{-1}.

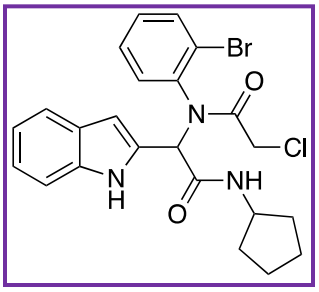
N-(2-Bromophenyl)-N-(2-(butylamino)-1-(1H-indol-2-yl)-2-oxoethyl)-2-chloroacetamide (5c).



white powder, **mp:** 181-183 °C.
(**Yield**: 74%, **dr**: 65:35).
HRMS (ESI) m/z: Calcd for $C_{22}H_{23}BrClN_3O_2$ $[M+H]^+$ 476.0740, Found 476.0730.

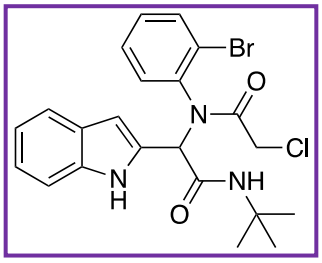
^{1}H NMR (600 MHz, $CDCl_3$) δ: 9.77 (s, $1H_{major}$), 8.98 (s, $1H_{minor}$), 7.79 (d, J = 7.6 Hz, $1H_{major}$), 7.65 (d, J = 7.7 Hz, $1H_{minor}$), 7.61 (d, J = 7.9 Hz, $1H_{major}$), 7.57 (d, J = 7.8 Hz, $1H_{major}$), 7.46 (d, J = 7.8 Hz, $1H_{minor}$), 7.40 (m, 1H, $1H_{major}$), 7.29-7.18 (m, 2H), 7.10 (m, 1H, $1H_{minor}$), 7.00 (t, J = 7.4 Hz, $1H_{minor}$), 6.47 (s, $1H_{major}$), 6.42 (s, $1H_{minor}$), 6.33 (m, $1H_{minor}$), 5.96 (s, $1H_{minor}$), 5.76 (m, $1H_{major}$), 5.14 (s, $1H_{major}$), 3.89 (s, $2H_{major}$), 3.77 (d, J = 14.3 Hz, $2H_{minor}$), 3.31-3.18 (m, 2H), 1.50-1.38 (m, 2H), 1.33-1.21 (m, 2H), 0.88-0.83 (m, 3H).
^{13}C NMR (151 MHz, $CDCl_3$) δ: 168.2, 167.1, 166.6, 141.0, 137.6, 136.7, 136.4, 133.8, 133.6, 131.9, 131.9, 130.9, 130.9, 130.8, 129.6, 128.7, 128.6, 127.1, 127.0, 124.8, 123.8, 123.0, 122.7, 120.7, 120.0, 119.8, 111.9, 111.2, 106.2, 105.9, 65.0, 59.9, 43.1, 42.7, 40.0, 39.8, 31.4, 31.3, 20.0, 13.7.
FT-IR (KBr): ν_{max}: 745, 796, 1395, 1475, 1531, 1663, 2857, 2872, 2931, 2957, 3060, 3296 cm^{-1}.

N-(2-Bromophenyl)-2-chloro-N-(2-(cyclopentylamino)-1-(1H-indol-2-yl)-2-oxoethyl)acetamide (5d).



white powder, **mp:** 168-170 °C.
(**Yield**: 79%, **dr**: 65:35).
HRMS (ESI) m/z: Calcd for $C_{23}H_{23}BrClN_3O_2$ $[M+H]^+$ 488.0740, found 488.0765.
^{1}H NMR (600 MHz, $CDCl_3$) δ: 9.72 (s, $1H_{major}$), 8.96 (s, $1H_{minor}$), 7.72 (d, J = 7.3 Hz, $1H_{major}$), 7.65 (dd, J = 7.9 Hz, J = 1.5 Hz, $1H_{minor}$), 7.60 (dd, J = 8.0 Hz, J = 1.2 Hz, $1H_{major}$), 7.57 (d, J = 7.9 Hz, $1H_{major}$), 7.45 (d, J = 7.9 Hz, $1H_{minor}$), 7.39-7.35 (m, 1H, $1H_{major}$), 7.28-7.17 (m, 2H), 7.12-7.06 (m, 1H, $1H_{minor}$), 6.99 (t, J = 7.3 Hz, $1H_{minor}$), 6.47 (s, $1H_{major}$), 6.42 (s, $1H_{minor}$), 6.36 (d, J = 7.1 Hz, $1H_{minor}$), 5.93 (s, $1H_{minor}$), 5.74 (d, J = 7.18 Hz, $1H_{major}$), 5.19 (s, $1H_{major}$), 4.24-4.16 (m, 1H), 3.88 (s, $2H_{major}$), 3.76 (d, J = 14.2 Hz, $2H_{minor}$), 2.03-1.20 (m, 8H).
^{13}C NMR (151 MHz, $CDCl_3$) δ: 168.1, 167.9, 167.1, 166.2, 140.7, 137.6, 136.7, 136.4, 133.8, 133.6, 132.0, 131.8, 130.9, 130.8, 129.5, 128.6, 127.1, 127.0, 124.9, 123.9, 122.9, 122.6, 120.7, 120.7, 120.0, 119.7, 111.9, 111.2, 106.2, 105.9, 64.5, 59.8, 51.9, 51.9, 50.8, 43.1, 42.7, 33.0, 32.9, 32.8, 32.7, 23.8, 23.7, 23.7.
FT-IR (KBr): ν_{max}: 740, 786, 1344, 1382, 1472, 1492, 1561, 1669, 2869, 2950, 3060, 3083, 3327, 3410 cm^{-1}.

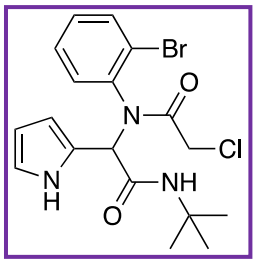
N-(2-Bromophenyl)-N-(2-(tert-butylamino)-1-(1H-indol-2-yl)-2-oxoethyl)-2-chloroacetamide (5e).



white powder, **mp:** 134-136 °C.
(**Yield**: 71%, **dr**: 62:38).
HRMS (ESI) m/z: Calcd for $C_{22}H_{23}BrClN_3O_2$ $[M+H]^+$ 476.0740, Found 476.0746.

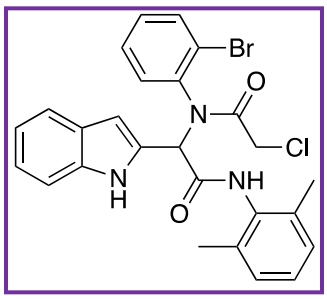
^{1}H NMR (600 MHz, $CDCl_3$) δ: 9.72 (s, $1H_{major}$), 8.87 (s, $1H_{minor}$), 7.73 (dd, J = 7.8 Hz, J = 0.9 Hz, $1H_{major}$), 7.63 (dd, J = 7.9 Hz, J = 1.5 Hz, $1H_{minor}$), 7.59 (dd, J = 8.0 Hz, J = 1.3 Hz, $1H_{major}$), 7.56 (d, J = 7.9 Hz, $1H_{major}$), 7.46 (d, J = 7.9 Hz, $1H_{minor}$), 7.39-7.35 (m, 1H, $1H_{major}$), 7.28-7.19 (m, 1H, $1H_{major}$), 7.16 (d, J = 8.1 Hz, $1H_{minor}$), 7.11-7.06 (m, 1H, $1H_{minor}$), 6.99 (t, J = 7.7 Hz, $1H_{minor}$), 6.46 (d, J = 1.3 Hz, $1H_{major}$), 6.45 (d, J = 0.8 Hz, $1H_{minor}$), 6.20 (s, $1H_{minor}$), 5.87 (s, $1H_{minor}$), 5.62 (s, $1H_{major}$), 5.10 (s, $1H_{major}$), 3.88 (s, $2H_{major}$), 3.75 (d, J = 14.0 Hz, $2H_{minor}$), 1.36 (s, 3H), 1.28 (s, 6H).
^{13}C NMR (151 MHz, $CDCl_3$) δ: 168.0, 167.6, 167.0, 165.6, 140.9, 137.6, 136.7, 136.4, 133.8, 133.5, 132.1, 132.0, 130.9, 130.9, 130.8, 129.5, 128.7, 128.6, 127.1, 126.9, 124.8, 123.9, 122.9, 122.5, 120.7, 120.0, 119.7, 111.8, 111.1, 106.3, 105.8, 65.3, 60.1, 52.0, 52.0, 43.2, 42.6, 28.6, 28.6.
FT-IR (KBr): ν_{max}: 724, 740, 796, 1385, 1453, 1472, 1542, 1670, 2924, 2972, 2999, 3061, 3101, 3323, 3469 cm^{-1}.

N-(2-Bromophenyl)-N-(2-(tert-butylamino)-2-oxo-1-(1H-pyrrol-2-yl)ethyl)-2-chloroacetamide (5f).



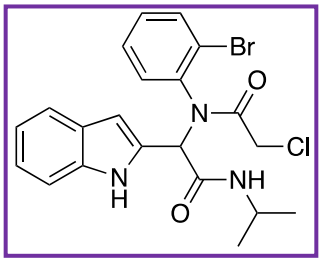
gray powder, **mp:** 179-181 °C.
(**Yield**: 68%, **dr**: 51:49).
HRMS (ESI) m/z: Calcd for $C_{18}H_{21}BrClN_3O_2$ $[M+H]^+$ 426.0584, Found 426.0576.
^{1}H NMR (600 MHz, $CDCl_3$) δ: 9.70 (s, $1H_{minor}$), 8.89 (s, $1H_{major}$), 8.35 (dd, J = 8.3 Hz, J = 1.3 Hz, $1H_{minor}$), 7.65 (dd, J = 7.9 Hz, J = 1.5 Hz, $1H_{major}$), 7.62 (dd, J = 8.0 Hz, J = 1.3 Hz, $1H_{major}$), 7.57 (m, $1H_{major}$), 7.45 (dd, J = 8.0 Hz, J = 1.3 Hz, $1H_{minor}$), 7.36-7.29 (m, $1H_{minor}$, $1H_{minor}$, $1H_{major}$), 7.24 (dd, J = 7.6 Hz, J = 1.5 Hz, $1H_{minor}$), 7.17-7.14 (m, $1H_{minor}$),7.05-7.02 (m, $1H_{minor}$), 6.76 (m, $1H_{major}$), 6.49 (m, $1H_{minor}$), 6.18 (s, $1H_{minor}$), 6.14-6.11 (m, 1H, $1H_{major}$), 5.92 (m, $1H_{minor}$), 5.85 (s, $1H_{minor}$), 5.65 (s, $1H_{major}$), 5.07 (s, $1H_{major}$), 4.24 (s, $1H_{minor}$), 3.86 (q, J = 5.0 Hz, $1H_{major}$), 3.73 (d, J = 13.8 Hz, $1H_{major}$), 3.48 (q, J = 7.0 Hz, $1H_{minor}$), 1.38 (s, 4H), 1.31 (s, 5H).
^{13}C NMR (151 MHz, $CDCl_3$) δ: 168.4, 167.5, 166.7, 166.6, 140.5, 137.6, 133.7, 133.3, 132.5, 132.0, 130.9, 130.6, 130.6, 129.2, 128.4, 126.0, 125.3, 125.0, 123.9, 121.4, 119.6, 119.2, 112.1, 111.6, 107.9, 107.8, 64.0, 59.0, 51.8, 51.7, 43.1, 42.6, 28.6, 28.5.
FT-IR (KBr): ν_{max}: 603, 728, 1366, 1396, 1454, 1471, 1583, 1661, 1691, 2926, 2969, 3106, 3130, 3296, 3369 cm^{-1}.

N-(2-Bromophenyl)-2-chloro-N-(2-((2,6-dimethylphenyl)amino)-1-(1H-indol-2-yl)-2-oxoethyl) acetamide (5g).



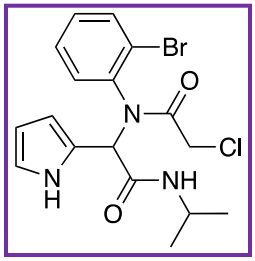
white powder, **mp:** 232-234 °C.
(**Yield**: 73%, **dr**: 69:31).
HRMS (ESI) m/z: Calcd for $C_{26}H_{23}BrClN_3O_2$ $[M+H]^+$ 524.0740, Found 524.0747.
^{1}H NMR (600 MHz, $CDCl_3$) δ: 10.02 (s, $1H_{major}$), 8.97 (s, $1H_{minor}$), 7.96 (dd, J = 7.9 Hz, J = 1.2 Hz, $1H_{major}$), 7.74 (dd, J = 7.8 Hz, J = 0.9 Hz, $1H_{minor}$), 7.67 (s, $1H_{minor}$), 7.64 (dd, J = 8.0 Hz, J = 0.9 Hz, $1H_{major}$), 7.61 (d, J = 7.9 Hz, $1H_{major}$), 7.49 (d, J = 7.9 Hz, $1H_{minor}$), 7.47-7.43 (m, 1H), 7.40 (dd, J = 7.9 Hz, J = 0.8 Hz, $1H_{minor}$), 7.31-7.24 (m, 2H), 7.21 (d, J = 8.16 Hz, $1H_{minor}$), 7.14-7.08 (m, 1H), 7.06-6.99 (m, 3H, $1H_{major}$), 6.93 (s, $1H_{major}$), 6.60 (d, J = 1.3 Hz, $1H_{major}$), 6.52 (d, J = 1.1 Hz, $1H_{minor}$), 6.26 (s, $1H_{minor}$), 5.21(s, $1H_{major}$), 3.92 (q, J = 14.8 Hz, $2H_{major}$), 3.81 (d, J = 14.1 Hz, $2H_{minor}$), 2.20 (s, 4H), 2.13 (s, 2H).
^{13}C NMR (151 MHz, $CDCl_3$) δ: 168.5, 167.2, 167.1, 165.2, 141.5, 137.6, 136.9, 136.5, 135.5, 135.3, 133.9, 133.6, 133.1, 133.0, 132.2, 132.1, 131.0, 130.9, 129.8, 128.7, 128.3, 128.2, 128.1, 127.6, 127.1, 127.0, 124.95, 123.8, 123.3, 122.8, 120.8, 120.3, 119.8, 111.9, 111.2, 106.6, 106.2, 65.9, 59.9, 43.1, 42.5, 18.5, 18.4.
FT-IR (KBr): ν_{max}: 674, 751, 774, 1401, 1431, 1445,1469, 1528, 1648, 1684, 2854, 2924, 3031, 3060, 3088, 3300, 3436 cm^{-1}.

N-(1-(1H-Indol-2-yl)-2-(isopropylamino)-2-oxoethyl)-N-(2-bromophenyl)-2-chloroacetamide (5h).



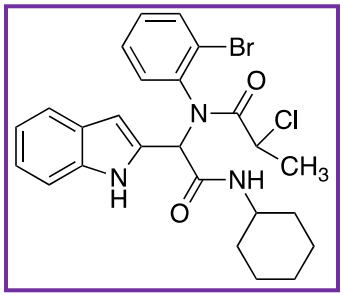
white powder, **mp:** 157-159 °C.
(**Yield**: 73%, **dr**: 70:30).
HRMS (ESI) m/z: Calcd for $C_{21}H_{21}BrClN_3O_2$ $[M+H]^+$ 462.0584, Found 462.0590.
^{1}H NMR (600 MHz, $CDCl_3$) δ: 9.73 (s, $1H_{major}$), 8.92 (s, $1H_{minor}$), 7.77 (d, J = 7.5 Hz, $1H_{major}$), 7.62-7.57 (m, 1H, $1H_{major}$), 7.46 (d, J = 7.8 Hz, $1H_{minor}$), 7.41-7.37 (m, 1H, $1H_{major}$), 7.28-7.21 (m, 2H), 7.18 (d, J = 8.2 Hz, $1H_{minor}$), 7.12-7.07 (m, 1H), 7.00 (t, J = 7.4 Hz, $1H_{minor}$), 6.47 (d, J = 1.0 Hz, $1H_{major}$), 6.44 (d, J = 0.8 Hz, $1H_{minor}$), 6.12 (d, J = 7.6 Hz, $1H_{minor}$), 5.88 (s, $1H_{minor}$), 5.56 (d, J = 7.6 Hz, $1H_{major}$), 5.13 (s, $1H_{major}$), 4.09 (m, 1H), 3.88 (s, $2H_{major}$), 3.76 (d, J = 14.1 Hz, $2H_{minor}$), 1.21-1.04 (m, 6H).
^{13}C NMR (151 MHz, $CDCl_3$) δ: 168.1, 167.3, 167.1, 165.7, 140.9, 137.6, 136.7, 136.4, 133.8, 133.6, 131.9, 131.9, 130.9, 130.9, 130.8, 129.5, 128.7, 128.6, 127.1, 127.0, 124.8, 123.8, 122.9, 122.7, 120.7, 120.0, 119.8, 111.9, 111.2, 106.3, 106.0, 64.9, 60.0, 43.1, 42.6, 42.4, 42.3, 22.6, 22.5, 22.4, 22.3.
FT-IR (KBr): ν_{max}: 742, 789 ,1383, 1425, 1454, 1472, 1556, 1654, 2933, 2978, 3057, 3344 cm^{-1}.

N-(2-Bromophenyl)-2-chloro-N-(2-(isopropylamino)-2-oxo-1-(1H-pyrrol-2-yl)ethyl)acetamide (5i).



white powder, **mp:** 186-188 °C.
(**Yield**: 69%, **dr**: 51:49).
HRMS (ESI) m/z: Calcd for $C_{17}H_{19}BrClN_3O_2$ $[M+H]^+$ 412.0427, Found 412.0419.
^{1}H NMR (600 MHz, $CDCl_3$) δ: 9.71 (s, $1H_{minor}$), 8.92 (s, $1H_{major}$), 8.35 (dd, *J* = 8.3 Hz, *J* = 1.2 Hz, $1H_{minor}$), 7.65 (dd, *J* = 7.9 Hz, *J* = 1.5 Hz, $1H_{minor}$), 7.62 (dd, *J* = 8.0 Hz, *J* = 1.3 Hz, $1H_{major}$), 7.57 (dd, *J* = 8.0 Hz, *J* = 1.3 Hz, $1H_{minor}$), 7.55 (d, *J* = 7.7 Hz, $1H_{minor}$), 7.46 (dd, *J* = 8.0 Hz, *J* = 1.3 Hz, $1H_{minor}$), 7.36-7.30 (m, $1H_{major}$, $1H_{major}$), 7.24 (dd, *J* = 7.6 Hz, *J* = 1.5 Hz, $1H_{major}$), 7.17-7.14 (m, $1H_{minor}$), 7.05-7.02 (m, $1H_{minor}$), 6.77 (m, $1H_{major}$), 6.51 (m, $1H_{minor}$), 6.17-6.12 (m, 1H, $1H_{major}$), 5.93 (m, $1H_{minor}$), 5.88 (s, $1H_{minor}$), 5.65 (d, *J* = 7.2 Hz, 1H), 5.16 (s, $1H_{major}$), 4.24 (s, $1H_{major}$), 4.11-4.03 (m, 1H), 3.86 (q, *J* = 14.5 Hz, 1H), 3.74 (d, *J* = 14.0 Hz, $1H_{minor}$), 1.23-1.09 (m, 6H).
^{13}C NMR (151 MHz, $CDCl_3$) δ: 168.2, 167.6, 166.7, 166.6, 140.4, 137.6, 133.7, 133.4, 132.5, 132.0, 130.9, 130.6, 129.2, 128.5, 128.4, 126.0, 125.2, 124.6, 123.9, 121.5, 121.2, 119.7, 119.3, 112.2, 111.7, 107.9, 63.1, 58.6, 43.2, 43.0, 42.7, 42.1, 42.1, 22.6, 22.5, 22.4.
FT-IR (KBr): ν_{max}: 567, 597, 721 ,1385, 1443, 1471, 1558, 1659, 2933, 2972, 3084, 3281, 3335 cm^{-1}.

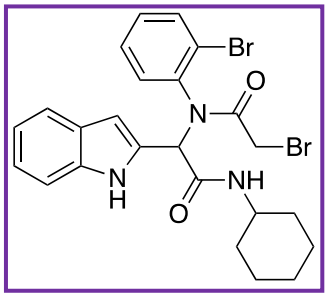
N-(2-Bromophenyl)-2-chloro-N-(2-(cyclohexylamino)-1-(1H-indol-2-yl)-2-oxoethyl) propenamide (5j).



white powder, **mp:** 196-198 °C.
(**Yield**: 73%, **dr**: 36:28:26:10).
HRMS (ESI) m/z: Calcd for $C_{25}H_{27}BrClN_3O_2$ $[M+H]^+$ 516.1053, Found: 516.1079.
^{1}H NMR (600 MHz, $CDCl_3$) δ: 9.86 (s, $1H_{minor}$), 9.70 (s, $1H_{major}$), 9.05 (s, $1H_{minor}$), 8.89 (s, $1H_{minor}$), 7.81 (dd, *J* = 7.9 Hz, *J* = 1.2 Hz, $1H_{minor}$), 7.73 (d, *J* = 7.1 Hz, $1H_{major}$), 7.63 (dd, *J* = 8.0 Hz, *J* = 1.3 Hz, $1H_{minor}$), 7.61-7.57 (m, 1H, $1H_{minor}$), 7.50 (d, *J* = 7.9 Hz, $1H_{minor}$), 7.48-7.35 (m, 2H), 7.32-7.27 (m, $1H_{major}$, $1H_{minor}$, $1H_{minor}$), 7.25-7.19 (m, 1H, $1H_{minor}$), 7.14-7.11 (m, 1H, $1H_{minor}$), 7.03 (t, *J* = 7.9 Hz, $1H_{major}$), 6.50 (s, $1H_{major}$), 6.46 (s, $1H_{minor}$), 6.40 (s, $1H_{minor}$), 6.31 (d, *J* = 7.9 Hz, $1H_{minor}$), 6.26 (d, *J* = 7.9 Hz, $1H_{minor}$), 5.91 (s, $1H_{minor}$), 5.85 (s, $1H_{minor}$), 5.70 (d, *J* = 7.8

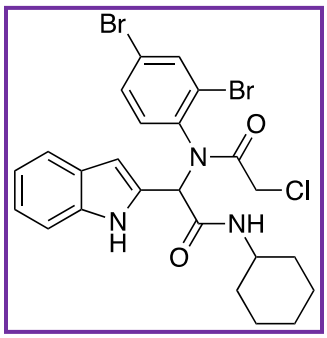
Hz, $1H_{major}$), 5.54 (d, J = 8.1 Hz, $1H_{minor}$), 5.29 (s, $1H_{major}$), 5.05 (s, $1H_{minor}$), 4.23 (q, J = 6.8 Hz, $1H_{minor}$), 4.16 (q, J = 6.7 Hz, $1H_{minor}$), 4.02 (q, J = 6.5 Hz, $1H_{major}$), 3.86-3.75 (m, 1H), 2.01-1.53 (m, 9H), 1.41-0.95 (m, 4H).
^{13}C NMR (151 MHz, $CDCl_3$) δ: 172.2, 170.9, 170.4, 166.9, 165.7, 165.4, 141.8, 140.6, 137.8, 136.8, 136.6, 136.5, 133.9, 133.4, 133.2, 132.0, 131.9, 131.9, 131.5, 130.7, 130.6, 130.5, 130.2, 129.4, 129.2, 128.4, 127.2, 126.9, 124.6, 124.0, 124.0, 122.9, 122.8, 122.5, 120.8, 120.7, 120.7, 120.0, 119.9, 119.7, 112.0, 111.7, 111.2, 111.1, 106.3, 106.2, 106.0, 105.8, 65.7, 63.6, 59.1, 52.3, 51.8, 50.6, 50.1, 49.3, 49.1, 48.9, 32.9, 32.8, 32.7, 32.6, 30.9, 25.4, 25.4, 25.3, 24.8, 24.8, 21.6, 20.9, 20.7.
FT-IR (KBr): ν_{max}: 742, 789, 810, 1394, 1454, 1474, 1534, 1667, 2855, 2926, 3301 cm^{-1}.

2-Bromo-N-(2-bromophenyl)-N-(2-(cyclohexylamino)-1-(1H-indol-2-yl)-2-oxoethyl)acetamide (5k).



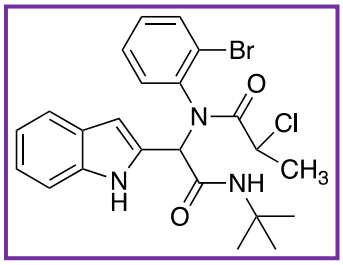
white powder, **mp:** 212-214 °C.
(**Yield**: 79%, **dr**: 65:35).
HRMS (ESI) m/z: Calcd for $C_{24}H_{25}Br_2N_3O_2$ $[M+H]^+$ 546.0392, Found 546.0386.
^{1}H NMR (600 MHz, $CDCl_3$) δ: 9.72 (s, $1H_{major}$), 8.97 (s, $1H_{minor}$), 7.76 (d, J = 7.2 Hz, $1H_{major}$), 7.61-7.57 (m, 1H, $1H_{major}$), 7.47 (d, J = 7.9 Hz, $1H_{minor}$), 7.40-7.35 (m, 1H, $1H_{major}$), 7.29-7.17 (m, 2H), 7.12-7.08 (m, 1H, $1H_{minor}$), 7.00 (t, J = 7.1 Hz, $1H_{minor}$), 6.48 (d, J = 1.3 Hz, $1H_{major}$), 6.45 (d, J = 1.3 Hz, $1H_{minor}$), 6.24 (d, J = 7.9 Hz, $1H_{minor}$), 5.89 (s, $1H_{minor}$), 5.63 (d, J = 7.9 Hz, $1H_{major}$), 5.18 (s, $1H_{major}$), 3.83-3.75 (m, 1H), 3.70 (q, J = 12.7 Hz, $2H_{major}$), 3.53 (d, J = 11.8 Hz, $2H_{minor}$), 1.99-0.96 (m. 10H).
^{13}C NMR (151 MHz, $CDCl_3$) δ: 168.1, 167.4, 167.1, 165.6, 141.3, 138.1, 136.7, 136.4, 133.7, 133.6, 131.9, 131.8, 130.9, 130.8, 130.7, 129.4, 128.9, 128.5, 127.1, 126.9, 124.6, 123.8, 122.9, 122.6, 120.7, 120.0, 119.7, 111.8, 111.2, 106.3, 105.9, 64.6, 59.7, 49.2, 49.0, 32.9, 32.7, 32.7, 32.6, 28.4, 27.8, 25.4, 25.3, 24.8, 24.8.
FT-IR (KBr): ν_{max}: 743, 794, 811, 1386, 1425, 1453, 1473, 1553, 1651, 2853, 2929, 3070, 3303, 3325 cm^{-1}.

2-Chloro-N-(2-(cyclohexylamino)-1-(1H-indol-2-yl)-2-oxoethyl)-N-(2,4-dibromophenyl)acetamide (5l).



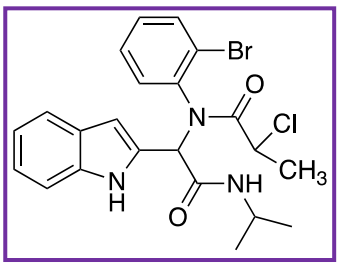
white powder, **mp:** 256-258 °C.
(**Yield**: 65%, **dr**: 69:31).
HRMS (ESI) m/z: Calcd. for $C_{24}H_{24}Br_2ClN_3O_2$ $[M+H]^+$ 580.0002; Found 580.0012.
^{1}H NMR (600 MHz, $CDCl_3$) δ: 9.71 (s, $1H_{major}$), 8.93 (s, $1H_{minor}$), 7.79 (d, *J* = 2.2 Hz, $1H_{major}$), 7.66 (d, *J* = 8.4 Hz, $1H_{major}$), 7.60-7.50 (m, 2H, $1H_{minor}$), 7.43-7.41 (m, $1H_{major}$,$1H_{minor}$), 7.25-7.23 (m, 1H), 7.16-7.12 (m, $1H_{minor}$, $1H_{major}$), 7.05 (t, *J* = 7.1 Hz, $1H_{minor}$), 6.50 (d, *J* = 1.4 Hz, $1H_{major}$), 6.45 (d, *J* = 1.3 Hz, $1H_{minor}$), 6.11 (d, *J* = 8.0 Hz, $1H_{minor}$), 5.92 (s, $1H_{minor}$), 5.62 (d, *J* = 7.9 Hz, $1H_{major}$), 5.13 (s, $1H_{major}$), 3.88 (s, $2H_{major}$), 3.82-3.74 (m, 1H, $2H_{minor}$), 1.99-0.98 (m, 10H).
^{13}C NMR (151 MHz, $CDCl_3$) δ: 168.0, 167.1, 166.9, 165.5, 140.1, 136.9, 136.7, 136.4, 136.2, 136.1, 133.0, 132.7, 132.0, 131.9, 131.5, 128.4, 127.1, 127.0, 125.7, 124.8, 124.1, 123.0, 122.9, 120.8, 120.8, 120.1, 120.0, 111.9, 111.3, 106.5, 106.1, 64.8, 59.7, 49.3, 49.2, 42.9, 42.4, 32.9, 32.7, 32.6, 25.4, 25.3, 24.8, 24.7.
FT-IR (KBr): ν_{max}: 735, 753, 787, 1368, 1387, 1453, 1470, 1559, 1658, 2852, 2926, 3085, 3291 cm^{-1}.

N-(2-Bromophenyl)-N-(2-(tert-butylamino)-1-(1H-indol-2-yl)-2-oxoethyl)-2-chloropropanamide (5m).



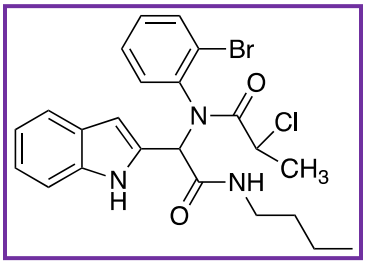
pale pink powder, **mp:** 177-179 °C.
(**Yield**: 44%, **dr**: 40:33:19:8).
HRMS (ESI) m/z: Calcd for $C_{23}H_{25}BrClN_3O_2$ $[M+H]^+$ 490.0897, Found 490.0892.
^{1}H NMR (600 MHz, $CDCl_3$) δ: 9.83 (s, $1H_{major}$), 9.63 (s, $1H_{minor}$), 9.03 (s, $1H_{minor}$), 8.89 (s, $1H_{minor}$), 7.78 (dd, *J* = 7.8 Hz, *J* = 1.1 Hz, $1H_{minor}$), 7.73 (d, *J* = 8.0 Hz, $1H_{minor}$), 7.62-7.59 (m, $1H_{major}$, $1H_{minor}$), 7.58-7.55 (m, $1H_{minor}$, $1H_{minor}$), 7.47 (d, *J* = 7.9 Hz, $1H_{major}$), 7.46-7.29 (m, 2H, $1H_{minor}$), 7.26-7.25 (m, $1H_{minor}$, $1H_{minor}$), 7.23-7.13 (m, 2H), 7.11-7.06 (m, 1H, $1H_{minor}$), 6.99 (t, *J* = 7.1 Hz, $1H_{major}$), 6.47 (m, $1H_{major}$), 6.42 (m, $1H_{minor}$), 6.38 (m, $1H_{minor}$), 5.99 (s, $1H_{major}$), 5.77 (s, $1H_{minor}$), 5.73 (s, $1H_{minor}$), 5.55 (s, $1H_{major}$), 5.30 (s, $1H_{minor}$), 5.00 (s, $1H_{minor}$), 4.21 (q, *J* = 6.8 Hz, $1H_{minor}$), 4.12 (q, *J* = 6.7 Hz, $1H_{minor}$), 4.01 (q, *J* = 6.5 Hz, $1H_{minor}$), 3.98 (q, *J* = 6.6 Hz, $1H_{major}$), 1.68-1.51 (m, 3H), 1.38-1.26 (m, 9H).
^{13}C NMR (151 MHz, $CDCl_3$) δ: 182.0, 172.2, 170.8, 170.2, 167.5, 165.7, 165.5, 141.7, 140.3, 137.5, 136.8, 136.6, 136.4, 133.9, 133.2, 132.0, 131.5, 130.7, 130.5, 130.5, 130.3, 129.3, 129.1, 129.0, 128.4, 127.3, 126.8, 124.8, 124.1, 124.1, 123.4, 122.8, 122.7, 122.4, 121.3, 120.8, 120.7, 120.0, 119.9, 119.9, 119.6, 114.7, 112.4, 111.9, 111.7, 111.2, 106.4, 105.8, 105.7, 66.2, 63.7, 58.7, 52.4, 51.9, 51.9, 51.7, 50.9, 50.6, 50.2, 28.6, 28.5, 21.6, 20.9, 20.6.
FT-IR (KBr): ν_{max}: 736, 764, 788, 1395, 1453, 1474, 1556, 1656, 2926, 2968, 3081, 3336, 3424 cm^{-1}.

N-(1-(1H-Indol-2-yl)-2-(isopropylamino)-2-oxoethyl)-N-(2-bromophenyl)-2-chloropropanamide (5n).



pink powder, **mp:** 203-205 °C.
(**Yield**: 64%, **dr**: 37:27:25:11).
HRMS (ESI) m/z: Calcd for $C_{22}H_{23}BrClN_3O_2$ $[M+H]^+$ 476.0740, Found: 476.0759.
^{1}H NMR (600 MHz, $CDCl_3$) δ: 9.84 (s, $1H_{minor}$), 9.67 (s, $1H_{major}$), 9.04 (s, $1H_{minor}$), 8.91 (s, $1H_{minor}$), 7.79 (dd, J = 7.9 Hz, J = 1.3 Hz, $1H_{minor}$), 7.70 (dd, J = 7.8 Hz, J = 1.0 Hz, $1H_{major}$), 7.61 (dd, J = 8.0 Hz, J = 1.3 Hz, $1H_{minor}$), 7.58 (d, J = 8.0 Hz, 1H), 7.49-7.25 (m, 3H, $1H_{minor}$), 7.23-7.17 (m, 1H, $1H_{minor}$), 7.13-7.08 (m, 1H, $1H_{minor}$, $1H_{minor}$), 7.01(t, J = 7.9 Hz, $1H_{major}$), 6.48 (d, J = 1.5 Hz, $1H_{major}$), 6.45 (d, J = 1.4 Hz, $1H_{minor}$), 6.36 (d, J = 1.2 Hz, $1H_{minor}$), 6.25 (d, J = 7.7 Hz, $1H_{minor}$), 6.20 (d, J = 7.7 Hz, $1H_{minor}$), 5.91 (s, $1H_{minor}$), 5.85 (s, $1H_{minor}$), 5.66 (d, J = 7.6 Hz, $1H_{major}$), 5.49 (d, J = 7.8 Hz, $1H_{minor}$), 5.28 (s, $1H_{major}$), 5.03 (s, $1H_{minor}$), 4.22 (q, J = 6.8 Hz, $1H_{minor}$), 4.16-4.05 (m, 1H, $1H_{minor}$), 4.00 (q, J = 6.6 Hz, $1H_{major}$), 1.68-1.51 (m, 3H), 1.21-1.02 (m, 6H).
^{13}C NMR (151 MHz, $CDCl_3$) δ: 172.2, 170.9, 170.4, 167.1, 165.7, 165.5, 141.7, 140.6, 137.7, 136.8, 136.6, 136.5, 133.9, 133.8, 133.3, 133.3, 132.0, 132.0, 131.9, 131.6, 131.5, 130.7, 130.6, 130.5, 130.2, 129.4, 129.2, 129.1, 128.4, 128.4, 127.2, 127.2, 126.9, 124.7, 124.0, 124.0, 122.9, 122.8, 122.5, 120.8, 120.7, 120.0, 119.9, 119.9, 119.7, 112.0, 111.8, 111.2, 111.2, 106.3, 106.2, 106.0, 105.8, 65.7, 63.5, 60.0, 59.0, 52.3, 51.8, 50.6, 50.1, 42.4, 42.2, 42.1, 22.6, 22.6, 22.5, 22.4, 22.4, 22.3, 21.7, 21.6, 20.9, 20.7.
FT-IR (KBr): ν_{max}: 739, 795, 1391, 1451, 1473, 1520, 1667, 2924, 2957, 2974, 3059, 3303 cm^{-1}.

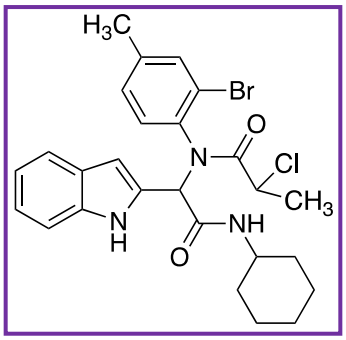
N-(2-Bromophenyl)-N-(2-(butylamino)-1-(1H-indol-2-yl)-2-oxoethyl)-2-chloropropanamide (5o).



white powder, **mp:** 223-225 °C.
(**Yield**: 57%, **dr**: 39:29:23:9).
HRMS (ESI) m/z: Calcd for $C_{23}H_{25}BrClN_3O_2$ $[M+H]^+$ 490.0897, Found: 490.0882.
^{1}H NMR (600 MHz, $CDCl_3$) δ: 9.87 (s, $1H_{minor}$), 9.72 (s, $1H_{major}$), 9.03 (s, $1H_{minor}$), 8.86 (s, $1H_{minor}$), 7.80 (d, J = 7.6 Hz, $1H_{minor}$), 7.74 (d, J = 7.7 Hz, $1H_{major}$), 7.62 (dd, J = 7.9 Hz, J = 0.8 Hz, $1H_{minor}$), 7.59-7.57 (m, 1H, $1H_{minor}$, $1H_{minor}$), 7.48 (d, J = 7.9 Hz, $1H_{minor}$), 7.44-7.34 (m, 2H), 7.30-7.25 (m, $1H_{major}$, $1H_{minor}$), 7.23-7.18 (m, 1H, $1H_{minor}$), 7.12-7.09 (m, 1H, $1H_{minor}$, $1H_{minor}$), 7.02 (t, J = 7.5 Hz, $1H_{major}$), 6.46 (m, $1H_{major}$), 6.36 (m, $1H_{minor}$), 6.30 (m, $1H_{minor}$), 5.87 (s, $1H_{minor}$), 5.82 (m, $1H_{major}$), 5.68 (m, $1H_{minor}$), 5.27 (s, $1H_{major}$), 5.04 (s, $1H_{minor}$), 4.22 (q, J = 6.8 Hz, $1H_{minor}$), 4.15 (q, J = 6.7 Hz, $1H_{minor}$), 4.02 (q, J = 6.5 Hz, $1H_{major}$), 3.82-3.19 (m, 2H), 1.68-1.60 (m, 3H), 1.53-1.21 (m, 4H), 0.89-0.83 (m, 3H).

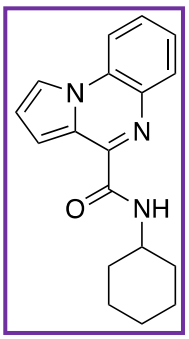
^{13}C NMR (151 MHz, $CDCl_3$) δ: 172.2, 170.9, 170.4, 167.8, 166.6, 166.4, 141.8, 140.7, 137.8, 136.8, 136.6, 136.5, 134.0, 133.4, 133.3, 132.1, 132.0, 131.9, 131.5, 130.7, 130.6, 130.6, 130.2, 129.4, 129.2, 129.2, 128.5, 127.2, 127.1, 126.9, 124.6, 124.0, 123.9, 122.9, 122.8, 122.6, 120.8, 120.7, 120.7, 120.0, 120.0, 119.7, 112.0, 111.7, 111.3, 106.3, 105.9, 105.8, 65.7, 63.6, 59.4, 52.2, 50.6, 50.1, 40.0, 39.9, 39.8, 39.7, 31.4, 31.41, 21.6, 20.9, 20.8, 20.0, 20.0, 13.7.
FT-IR (KBr): ν_{max}: 739, 799, 1394, 1475, 1527, 1666, 2857, 2929, 2958, 3060, 3308 cm^{-1}.

N-(2-Bromo-4-methylphenyl)-2-chloro-N-(2-(cyclohexylamino)-1-(1H-indol-2-yl)-2-oxoethyl) propenamide (5p).



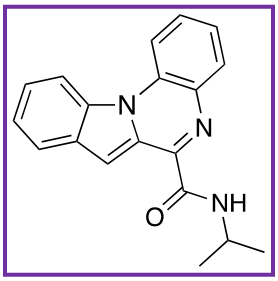
white powder, **mp:** 193-195 °C.
(**Yield**: 82%, **dr**: 38:26:25:11).
HRMS (ESI) m/z: Calcd for $C_{26}H_{29}BrClN_3O_2$ $[M+H]^+$ 530.1210, Found 530.1233.
^{1}H NMR (600 MHz, $CDCl_3$) δ: 9.84 (s, $1H_{minor}$), 9.68 (s, $1H_{major}$), 9.07 (s, $1H_{minor}$), 8.91 (s, $1H_{minor}$), 7.63 (d, J = 8.0 Hz, $1H_{minor}$), 7.58-7.53 (m, 1H), 7.50 (d, J = 7.9 Hz, $1H_{minor}$), 7.47 (d, J = 7.9 Hz, $1H_{minor}$), 7.43 (d, J = 7.5 Hz, $1H_{major}$), 7.39-7.36 (m, 1H), 7.29-7.25 (m, $1H_{minor}$, $1H_{minor}$), 7.23-7.18 (m, 1H, $1H_{minor}$, $1H_{minor}$), 7.14-7.06 (m, 1H, $1H_{major}$, $1H_{minor}$, $1H_{minor}$), 7.02 (t, J = 7.2 Hz, $1H_{major}$), 6.47 (s, $1H_{major}$), 6.43 (s, $1H_{minor}$), 6.36 (s, $1H_{minor}$), 6.32 (d, J = 7.3 Hz, $1H_{minor}$), 6.24 (d, J = 7.9 Hz, $1H_{minor}$), 5.85 (s, $1H_{minor}$), 5.77 (s, $1H_{minor}$), 5.69 (d, J = 7.9 Hz, $1H_{major}$), 5.52 (d, J = 8.1 Hz, $1H_{minor}$), 5.27 (s, $1H_{major}$), 5.02 (s, $1H_{minor}$), 4.24 (q, J = 6.7 Hz, $1H_{minor}$), 4.15 (q, J = 6.7 Hz, $1H_{minor}$), 4.02 (q, J = 6.5 Hz, $1H_{major}$), 3.84-3.72 (m, 1H), 2.35-2.23 (m, 3H), 1.98-1.49 (m, 9H), 1.38-0.93 (m, 4H).
^{13}C NMR (151 MHz, $CDCl_3$) δ: 172.3, 171.0, 170.6, 166.9, 165.7, 165.5, 141.3, 141.2, 137.9, 136.8, 136.6, 136.5, 135.1, 134.2, 133.8, 133.6, 132.1, 132.0, 131.3, 130.8, 130.1, 129.9, 129.7, 129.5, 129.2, 127.2, 127.2, 126.9, 124.1, 123.5, 123.5, 122.8, 122.7, 122.4, 120.7, 120.7, 120.7, 119.9, 119.9, 119.6, 112.0, 111.7, 111.3, 106.3, 105.9, 105.7, 65.7, 63.5, 59.3, 52.3, 51.7, 50.6, 50.1, 49.2, 49.0, 48.9, 32.9, 32.8, 32.7, 32.7, 32.6, 25.4, 25.4, 25.3, 24.8, 24.8, 21.7, 21.6, 20.9, 20.9, 20.9, 20.8, 20.8, 20.8.
FT-IR (KBr): ν_{max}: 742, 794, 1395, 1490, 1531, 1666, 2854, 2927, 3056, 3084, 3312 cm^{-1}.

N-Cyclohexylpyrrolo[1,2-a]quinoxaline-4-carboxamide (6a).



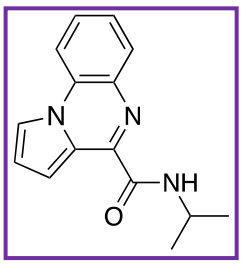
green powder (**Yield**: 79%), **mp:** 103-105 °C.
HRMS (ESI) m/z: Calcd for $C_{18}H_{19}N_3O$ $[M+H]^+$ 294.1606, Found 294.1609.
^{1}H NMR (600 MHz, $CDCl_3$) δ: 8.15 (s, 1H), 8.01 (s, 2H), 7.92 (d, *J* = 3.3 Hz, 1H), 7.88 (d, *J* = 8.2 Hz, 1H), 7.59 (t, *J* = 7.4 Hz, 1H), 7.47 (t, *J* = 7.6 Hz, 1H), 6.99 (d, *J* = 2.7 Hz, 1H), 4.03-3.98 (m, 1H), 2.09-1.25 (m, 10H).
^{13}C NMR (151 MHz, $CDCl_3$) δ: 162.5, 144.3, 130.1, 130.1, 129.1, 128.3, 125.4, 124.0, 115.4, 115.0, 113.9, 111.7, 48.4, 33.0, 25.6, 25.0.
FT-IR (KBr): ν_{max}: 739, 760, 1364, 1379, 1423, 1530, 1647, 2856, 2938, 3124, 3277 cm^{-1}.

N-Isopropylindolo[1,2-a]quinoxaline-6-carboxamide (6b).



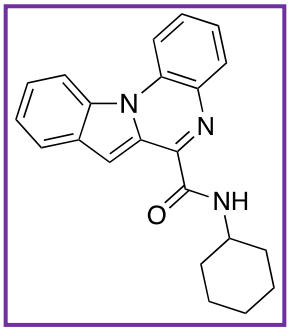
orange powder (**Yield**: 71%), **mp:** 158-160 °C.
HRMS (ESI) m/z: Calcd for $C_{19}H_{17}N_3O$ $[M+H]^+$ 304.1450, Found 304.1441.
^{1}H NMR (600 MHz, $CDCl_3$) δ: 8.55 (d, *J* = 8.0 Hz, 1H), 8.46 (m, 3H), 8.34 (d, *J* = 7.0 Hz, 1H), 8.06 (d, *J* = 7.9 Hz, 1H), 7.73 (m, 1H), 7.65 (t, *J* = 7.5 Hz, 1H), 7.51 (m, 2H), 4.36 (m, 1H), 1.41-1.27 (m, 6H).
^{13}C NMR (151 MHz, $CDCl_3$) δ: 161.8, 145.5, 133.0, 131.2, 130.7, 130.1, 126.6, 124.6, 124.5, 123.9, 123.4, 123.3, 115.0, 114.4, 42.2, 22.5.
FT-IR (KBr): ν_{max}: 746, 1392, 1466, 1515, 1648, 1679, 2926, 2965, 3062, 3308, 3380 cm^{-1}.

N-Isopropylpyrrolo[1,2-a]quinoxaline-4-carboxamide (6c).



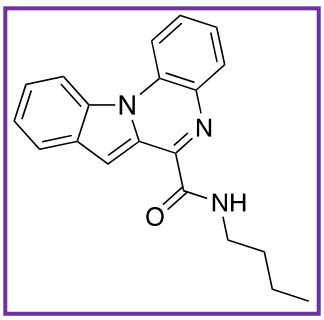
brown powder (**Yield**: 68%), **mp:** 134-136 °C.
HRMS (ESI) m/z: Calcd for $C_{15}H_{15}N_3O$ $[M+H]^+$ 254.1293, Found 254.1298.
^{1}H NMR (600 MHz, $CDCl_3$) δ: 8.18 (s, 1H), 8.06 (d, *J* = 7.6 Hz, 1H), 8.03 (s, 1H), 7.95 (d, *J* = 3.5 Hz, 1H), 7.89 (d, *J* = 8.2 Hz, 1H), 7.59 (t, *J* = 7.3 Hz, 1H), 7.48 (t, *J* = 7.5 Hz, 1H), 7.01 (t, *J* = 3.1 Hz, 1H), 4.32 (m, 1H), 1.36 (d, *J* = 6.6 Hz, 6H).
^{13}C NMR (151 MHz, $CDCl_3$) δ: 162.3, 144.1, 133.3, 129.8, 129.3, 128.2, 125.5, 123.9, 115.6, 115.4, 113.9, 112.2, 41.6, 22.7.
FT-IR (KBr): ν_{max}: 730, 764, 1373, 1421, 1533, 1609, 1648, 2929, 2972, 3053, 3283 cm^{-1}.

N-Cyclohexylindolo[1,2-a]quinoxaline-6-carboxamide (6d).



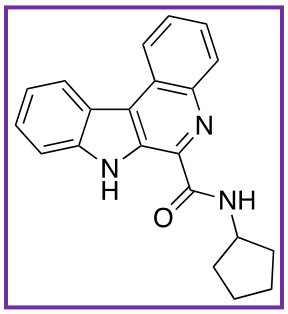
yellow powder (**Yield**: 77%), **mp:** 157-159 °C.
HRMS (ESI) m/z: Calcd for $C_{22}H_{21}N_3O$ $[M+H]^+$ 344.1763, Found 344.1764.
^{1}H NMR (600 MHz, $CDCl_3$) δ: 8.51 (d, *J* = 8.2 Hz, 1H), 8.45 (d, *J* = 8.6 Hz, 1H), 8.31 (s, 1H), 8.18 (d, *J* = 5.8 Hz, 1H), 8.08 (d, *J* = 7.6 Hz, 1H), 8.02 (d, *J* = 8.0 Hz, 1H), 7.83 (d, *J* = 6.5 Hz, 1H), 7.69 (t, *J* = 7.5 Hz, 1H), 7.59 (t, *J* = 7.4 Hz, 1H), 7.46 (m, 1H), 4.04 (m, 1H), 2.12-1.25 (m, 10H).
^{13}C NMR (151 MHz, $CDCl_3$) δ: 162.0, 146.0, 132.7, 131.4, 130.6, 130.3, 129.9, 126.8, 125.2, 124.2, 123.7, 123.0, 117.9, 114.9, 114.4, 105.8, 60.7, 48.7, 33.0, 25.6, 25.0, 14.4.
FT-IR (KBr): ν_{max}: 770, 1395, 1448, 1471, 1535, 1612, 1651, 2853, 2925, 3308 cm^{-1}.

N-Butylindolo[1,2-a]quinoxaline-6-carboxamide (6e).



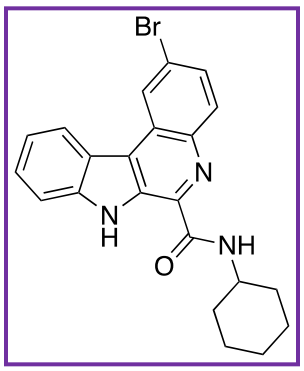
green powder (**Yield**: 75%), **mp:** 205-207 °C.
HRMS (ESI) m/z: Calcd for $C_{20}H_{19}N_3O$ $[M+H]^+$ 318.1606, Found 318.1619.
^{1}H NMR (600 MHz, $CDCl_3$) δ: 8.52 (d, *J* = 8.3 Hz, 1H), 8.45 (m, 2H), 8.37 (s, 1H), 8.15 (d, *J* = 7.8 Hz, 1H), 8.04 (d, *J* = 8.0 Hz, 1H), 7.71 (t, *J* = 7.7 Hz, 1H), 7.61 (t, *J* = 7.5 Hz, 1H), 7.48 (m, 2H), 3.56 (q, *J* = 6.9 Hz, 2H), 1.75-0.99 (m, 7H).
^{13}C NMR (151 MHz, $CDCl_3$) δ: 162.4, 145.7, 132.9, 132.6, 131.4, 130.5, 130.0, 129.9, 126.7, 125.5, 124.4, 123.8, 123.2, 114.9, 114.4, 106.7, 39.5, 31.6, 29.7, 20.3, 13.8.
FT-IR (KBr): ν_{max}: 728, 747, 1395, 1445, 1468, 1535, 1613, 1654, 2857, 2924, 2956, 3066, 3330 cm^{-1}.

N-Cyclopentyl-7H-indolo[2,3-c]quinoline-6-carboxamide (7a).



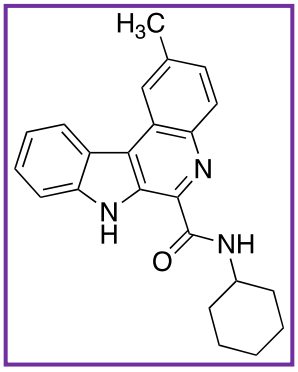
pale orange powder (**Yield**: 77%), **mp:** 164-166 °C.
HRMS (ESI) m/z: Calcd for $C_{21}H_{19}N_3O$ $[M+H]^+$ 330.1606, Found 330.1609.
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.87 (s, 1H), 8.58-8.55 (m, 2H), 8.50 (d, *J* = 8.7 Hz, 1H), 8.45 (d, *J* = 7.7 Hz, 1H), 8.09 (d, *J* = 7.9 Hz, 1H), 7.77 (t, *J* = 6.2 Hz, 1H), 7.71-7.67 (m, 1H), 7.54-7.52 (m, 2H), 4.49 (m, 1H), 2.16-0.88 (m, 8H).
^{13}C NMR (151 MHz, $CDCl_3$) δ: 160.7, 145.3, 131.2, 130.9, 130.9, 130.9, 130.2, 128.9, 128.8, 126.6, 124.8, 124.8, 124.1, 123.6, 115.0, 114.5, 52.0, 32.9, 24.0.
FT-IR (KBr): ν_{max}: 749, 1395, 1469, 1531, 1612, 1648, 2860, 2928, 2958, 3318 cm^{-1}.

2-Bromo-N-cyclohexyl-7H-indolo[2,3-c]quinoline-6-carboxamide (7b).



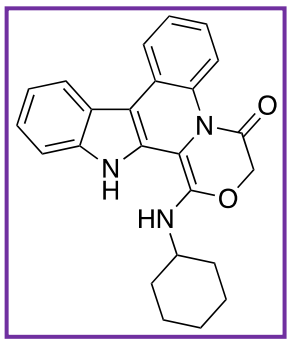
yellow powder (**Yield**: 76%), **mp:** 215-217 °C.
HRMS (ESI) m/z: Calcd for $C_{22}H_{20}BrN_3O$: $[M+H]^+$ 422.0868, Found 422.0860.
^{1}H NMR (600 MHz, $CDCl_3$) δ: 8.67 (s, $1H_{minor}$), 8.55 (s, 1H), 8.49 (s, $1H_{major}$), 8.40 (d, *J* = 8.6 Hz, 1H), 8.35 (d, *J* = 8.7 Hz, 1H), 8.07 (t, *J* = 8.9 Hz, 2H), 7.75-7.69 (m, 1H), 7.60 (t, *J* = 7.8 Hz, 1H), 7.54 (m, 1H), 4.14 (m, 1H), 2.23-1.25 (m, 10H).
^{13}C NMR (151 MHz, $CDCl_3$) δ: 162.3, 149.6, 131.1, 128.3, 128.2, 127.9, 127.8, 127.5, 127.5, 124.4, 121.1, 118.0, 118.0, 114.2, 114.2, 108.3, 49.4, 32.8, 29.7, 25.5, 25.0, 24.9.
FT-IR (KBr): ν_{max}: 777, 813, 1392, 1426, 1447, 1537, 1595, 1612, 1649, 2853, 2928, 3302, 3446 cm^{-1}.

N-Cyclohexyl-2-methyl-7H-indolo[2,3-c]quinoline-6-carboxamide (7c).

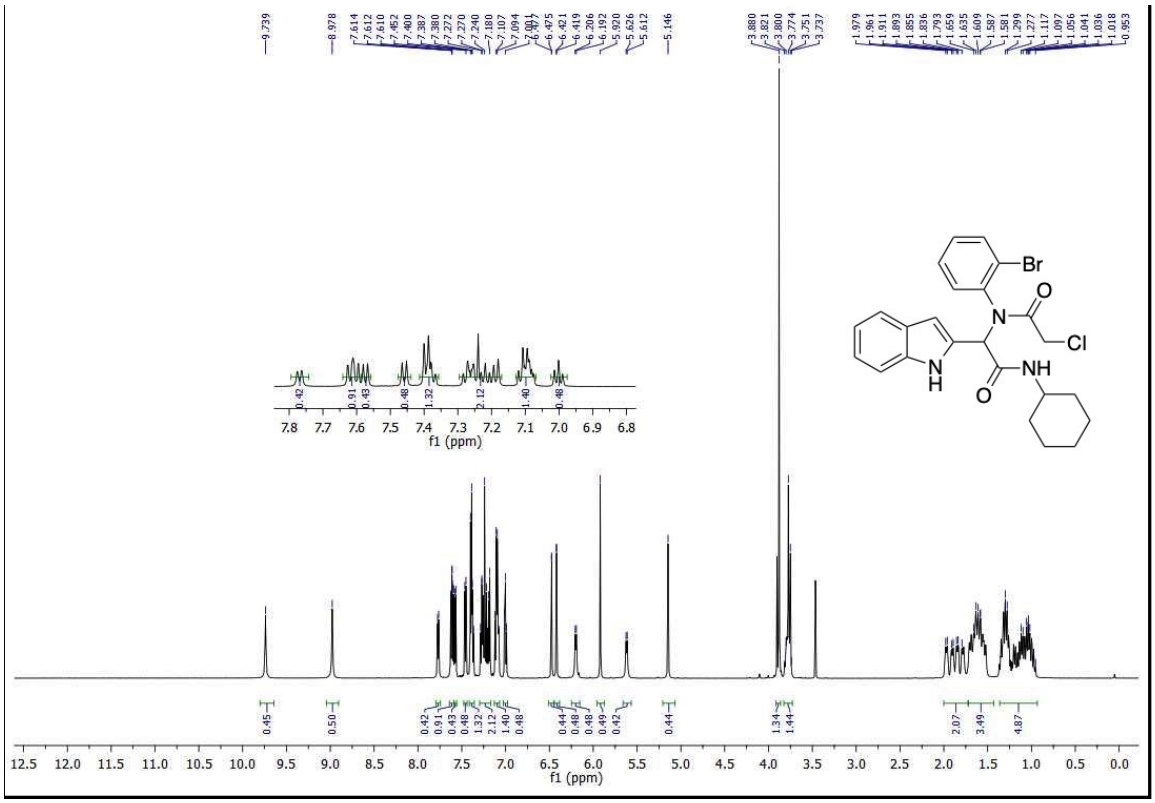


yellow powder (**Yield**: 73%), **mp:** 152-155 °C.
HRMS (ESI) m/z: Calcd for $C_{23}H_{23}N_3O$ $[M+H]^+$ 358.1919, Found 358.1911.
^{1}H NMR (600 MHz, $CDCl_3$) δ: 8.60 (s, 1H), 8.45 (d, *J* = 8.6 Hz, 1H), 8.41 (s, 1H), 8.31 (s, 1H), 8.20 (d, *J* = 7.3 Hz, 1H), 8.04 (d, *J* = 8.1 Hz, 1H), 7.63 (t, *J* = 7.5 Hz, 1H), 7.49 (t, *J* = 7.5 Hz, 1H), 7.30 (d, *J* = 8.0 Hz, 1H), 4.04 (m, 1H), 2.65 (s, 3H), 2.12-1.25 (m, 10H).
^{13}C NMR (151 MHz, $CDCl_3$) δ: 160.7, 144.4, 141.9, 133.1, 131.1, 130.1, 128.8, 126.6, 126.0, 125.8, 123.8, 123.4, 120.7, 115.2, 114.5, 108.0, 49.2, 32.8, 25.5, 25.0, 22.5.
FT-IR (KBr): ν_{max}: 778, 811, 1393, 1447, 1535, 1619, 1648, 2854, 2927, 3307, 3422 cm^{-1}.

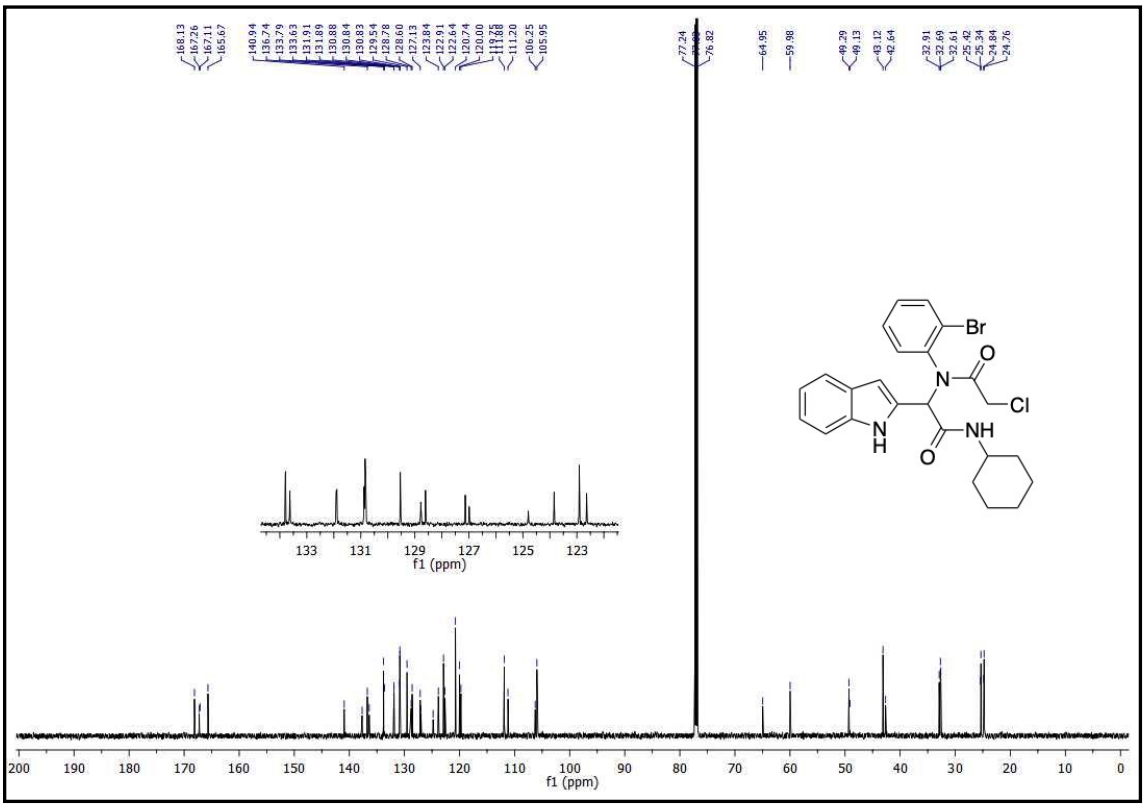
1-(Cyclohexylamino)-14H-indolo[2,3-c][1,4]oxazino[4,3-a]quinolin-4(3H)-one (8).



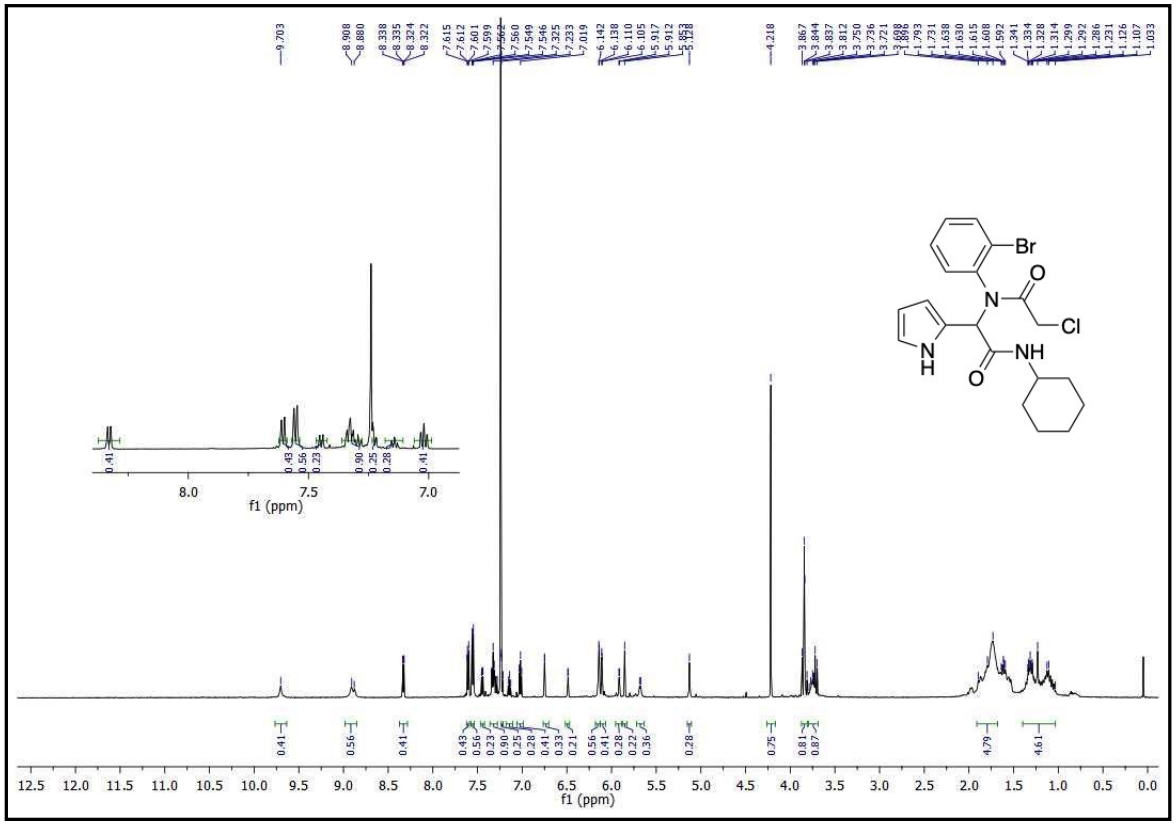
Creamy powder (**Yield**: 81%), **mp:** 232-234 °C.
HRMS (ESI) m/z: Calcd for $C_{24}H_{23}N_3O_2$ $[M+H]^+$ 386.1869, Found 386.1880.
^{1}H NMR (500 MHz, dmso) δ: 9.71 (s, 1H), 8.74 (s, 1H), 7.81-7.66 (m, 4H), 7.45-7.36 (m, 2H), 7.20-7.12 (m, 2H), 5.49 (s, 2H), 3.71 (m, 1H), 1.80-1.12 (m, 10H).
FT-IR (KBr): ν_{max}: 749, 1384, 1456, 1513, 1540, 1633, 2856, 2927, 3287, 3422 cm^{-1}.



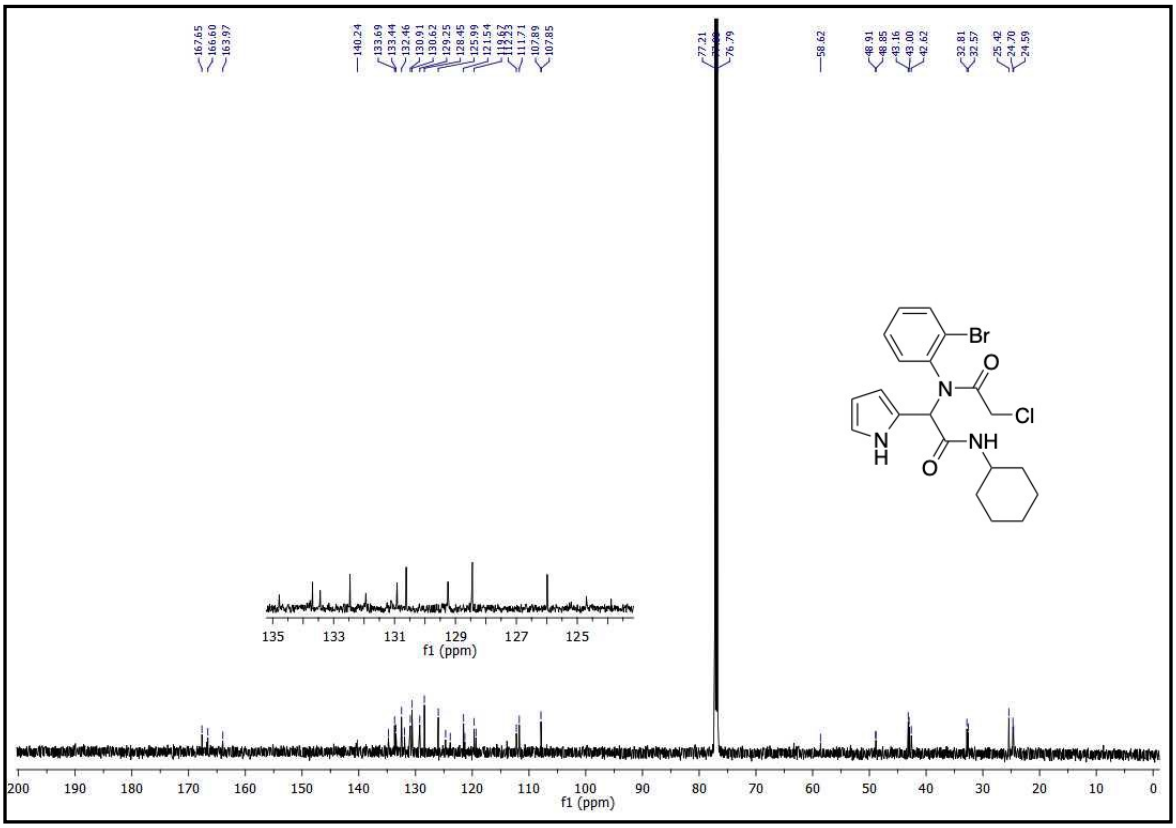
^{1}H-NMR of compound **5a** (600 MHz, $CDCl_3$)



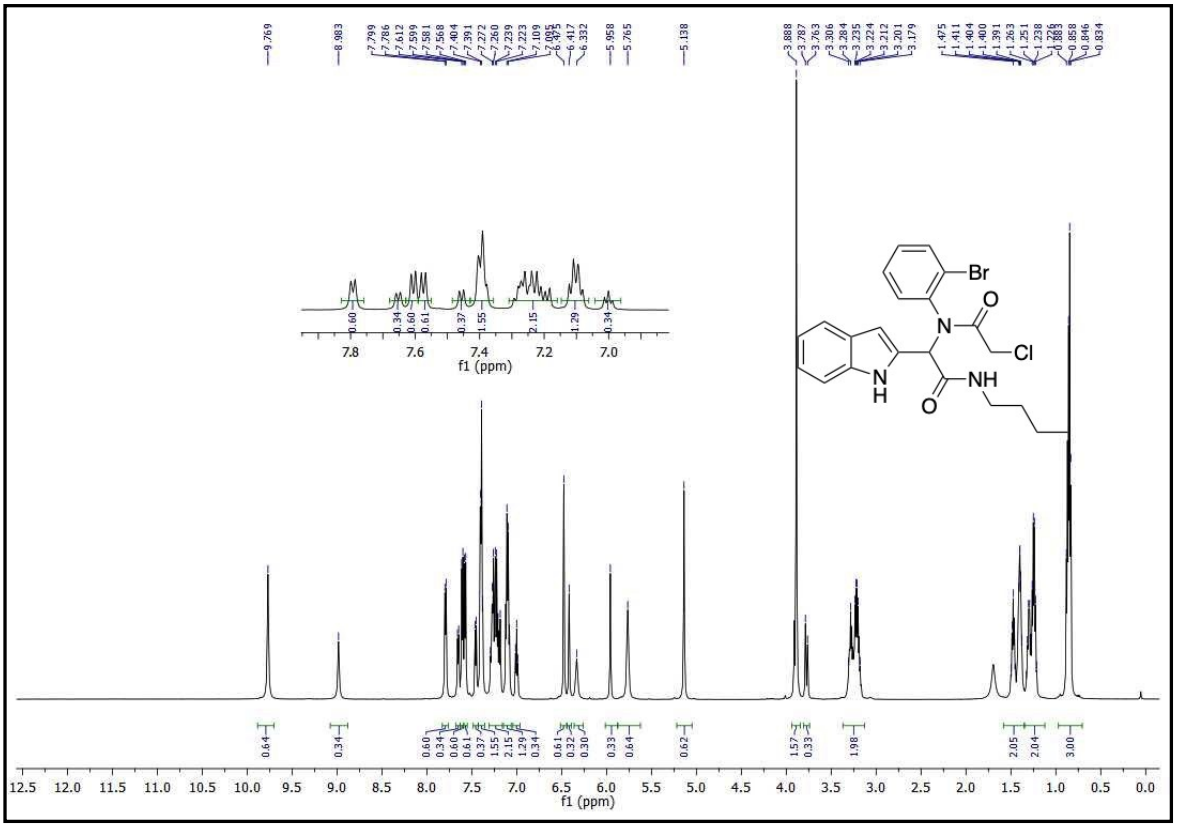
^{13}C-NMR of compound **5a** (151 MHz, $CDCl_3$)



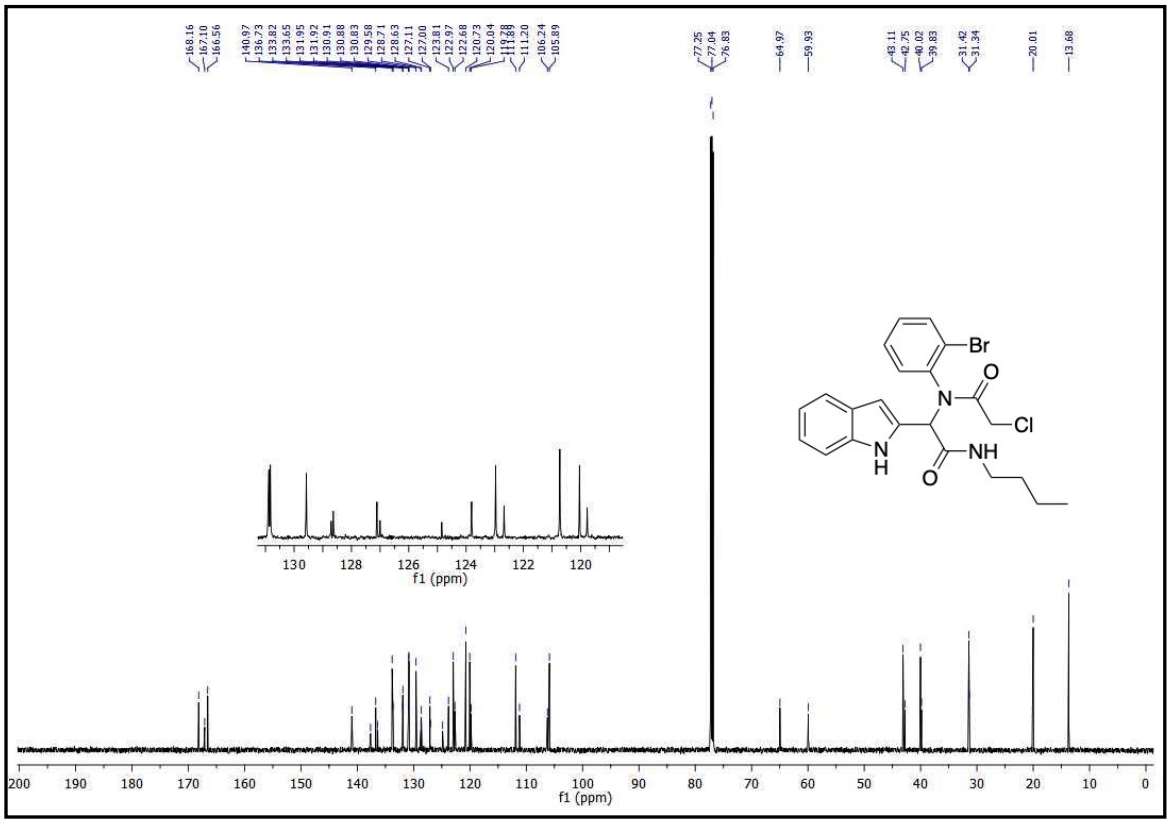
^{1}H-NMR of compound **5b** (600 MHz, $CDCl_3$)



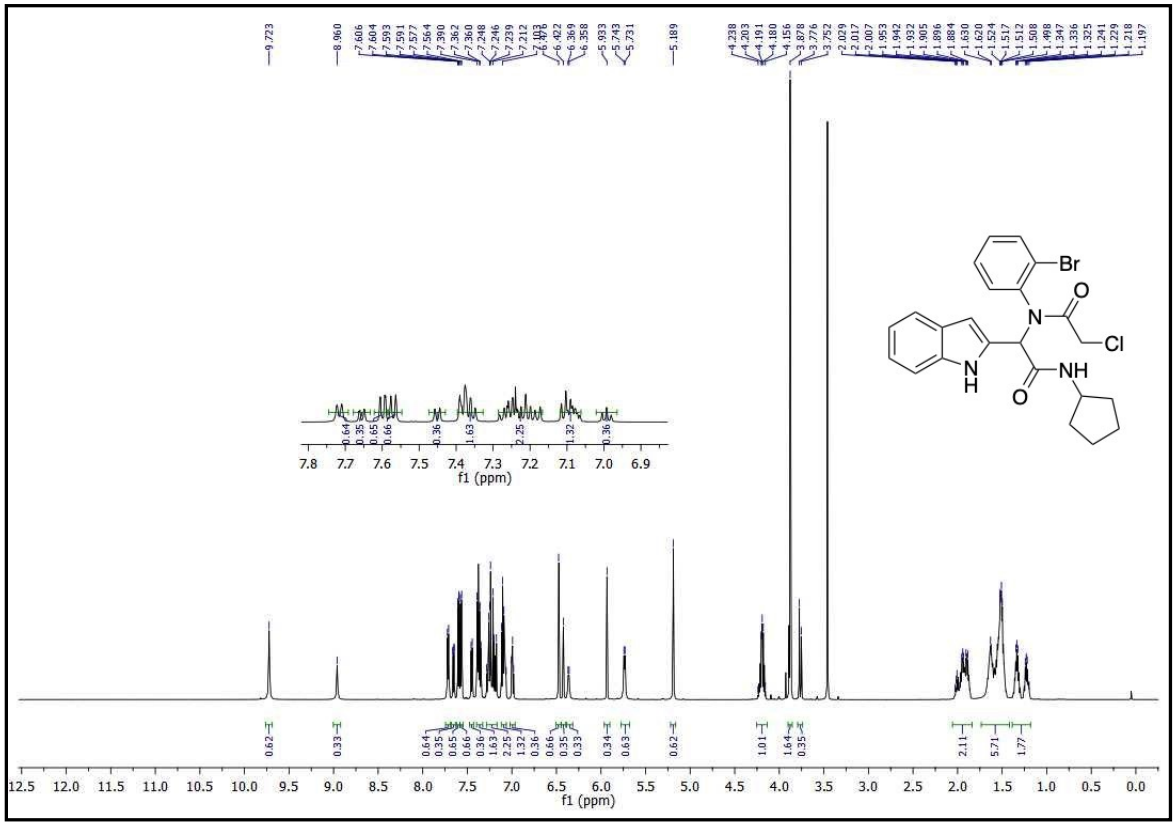
^{13}C-NMR of compound **5b** (151 MHz, $CDCl_3$)



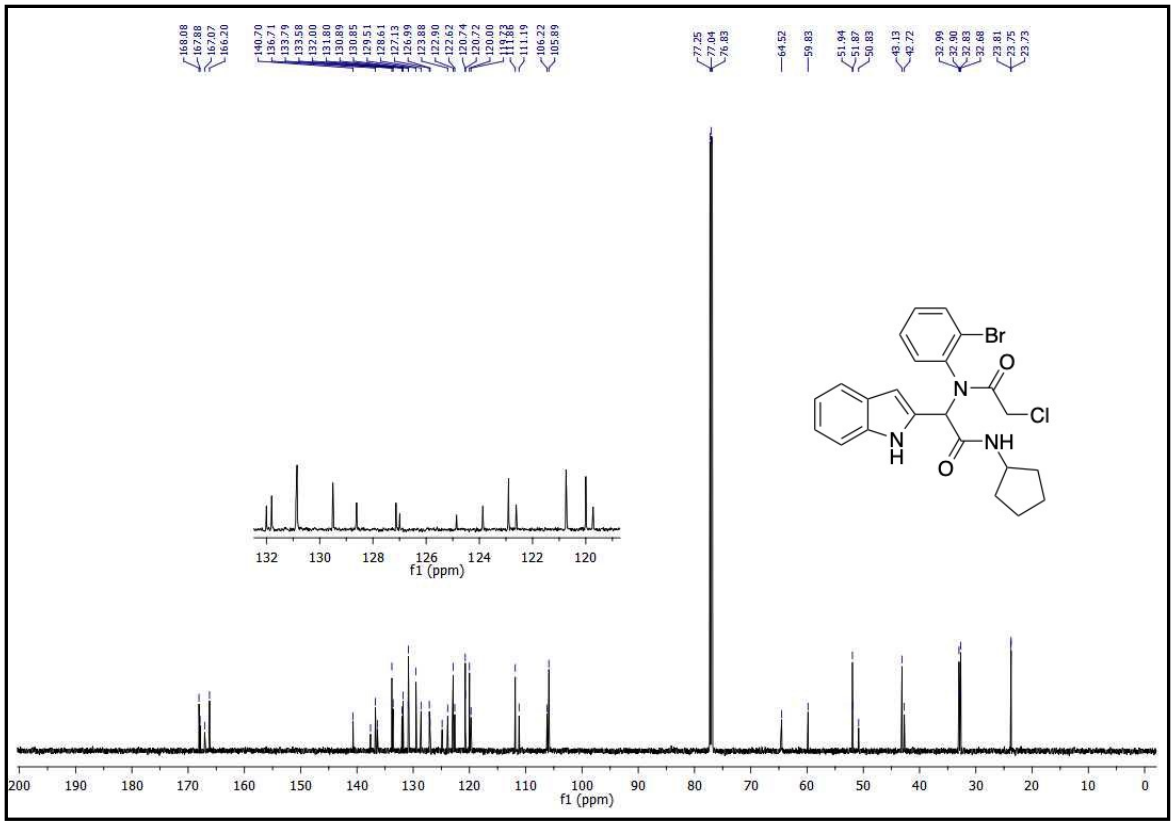
^{1}H-NMR of compound **5c** (600 MHz, $CDCl_3$)



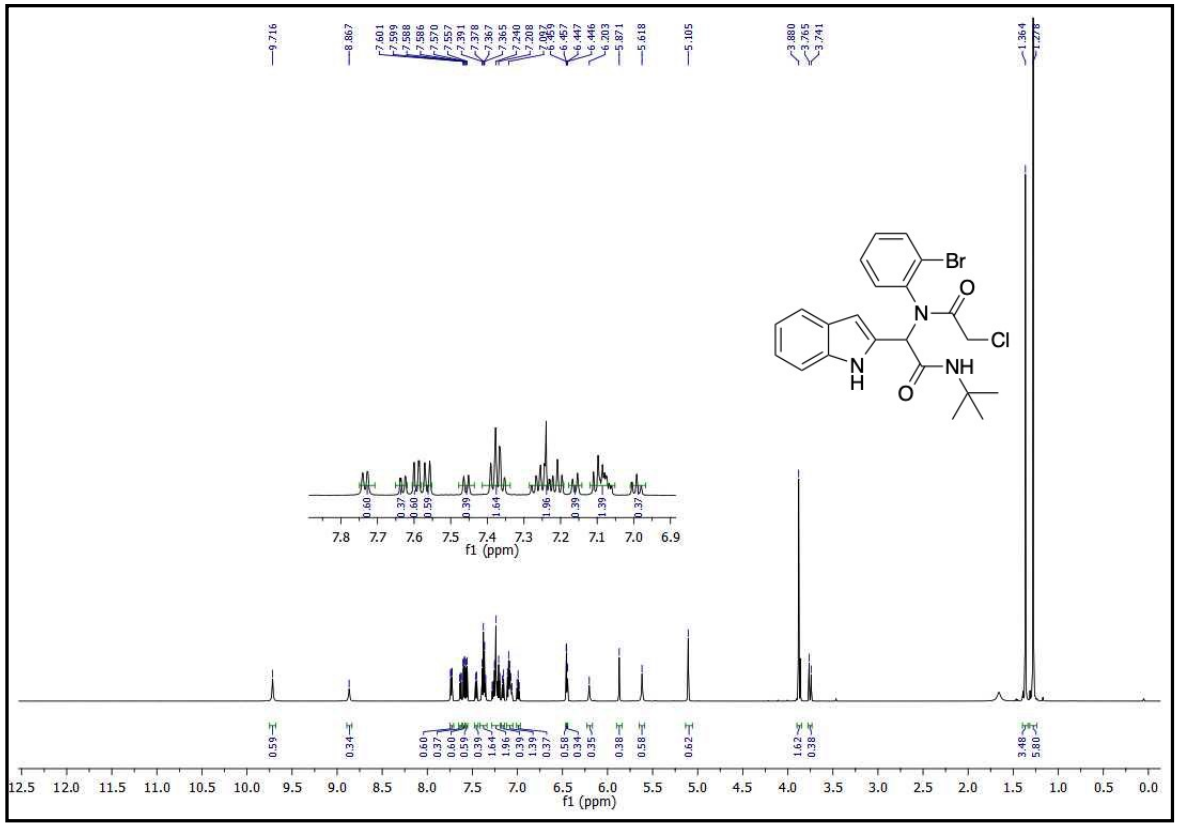
^{13}C-NMR of compound **5c** (151 MHz, $CDCl_3$)



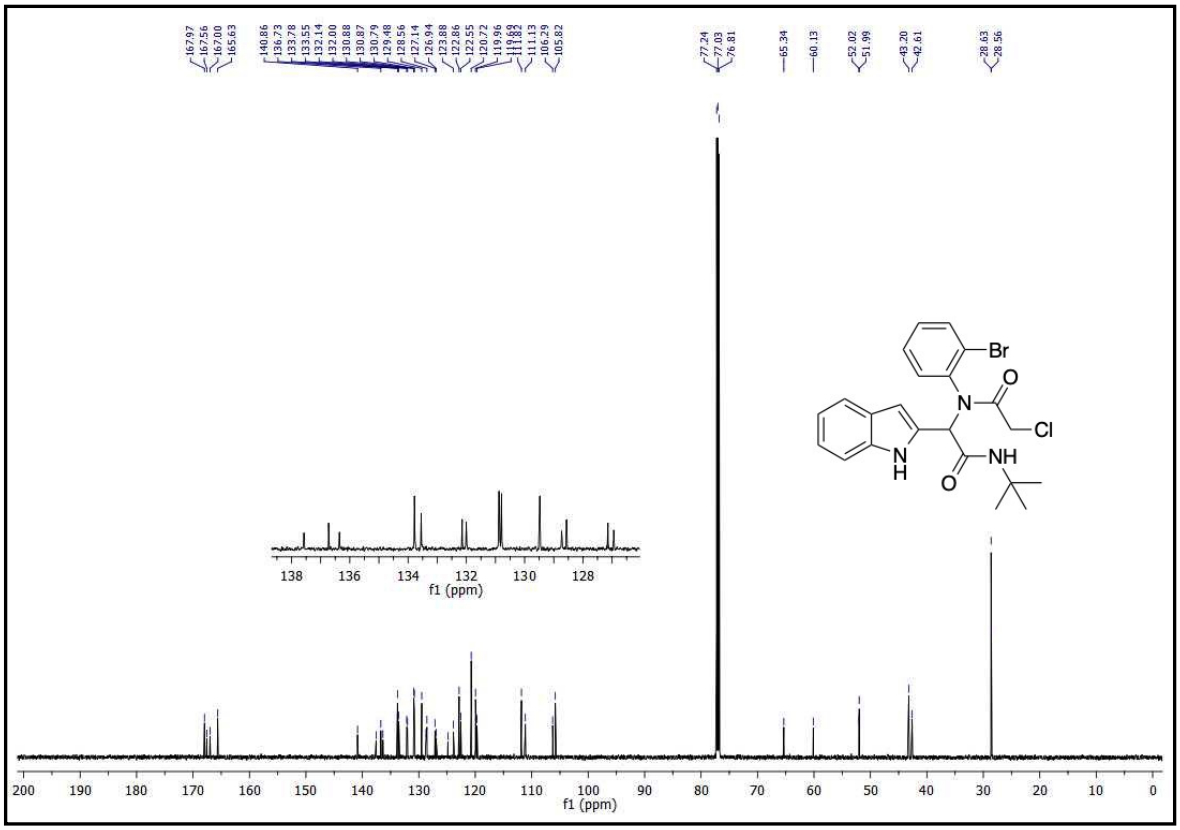
^{1}H-NMR of compound **5d** (600 MHz, $CDCl_3$)



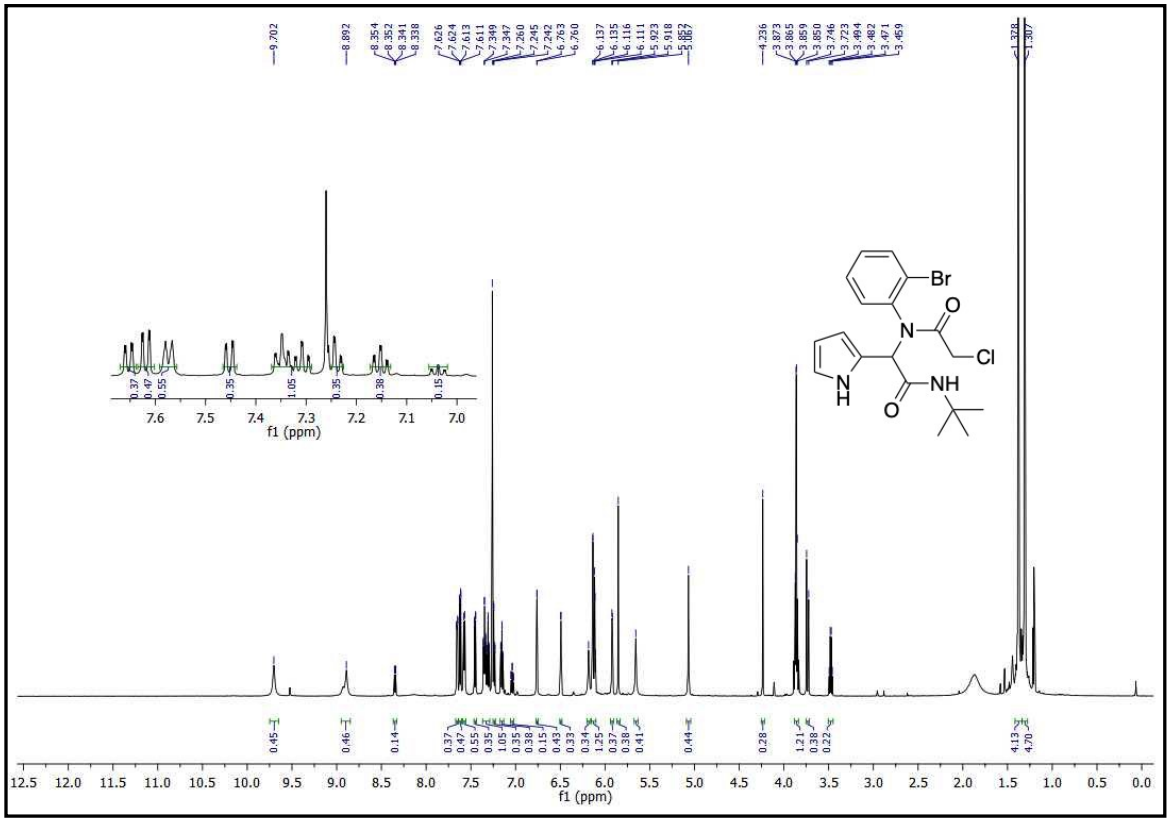
^{13}C-NMR of compound **5d** (151 MHz, $CDCl_3$)



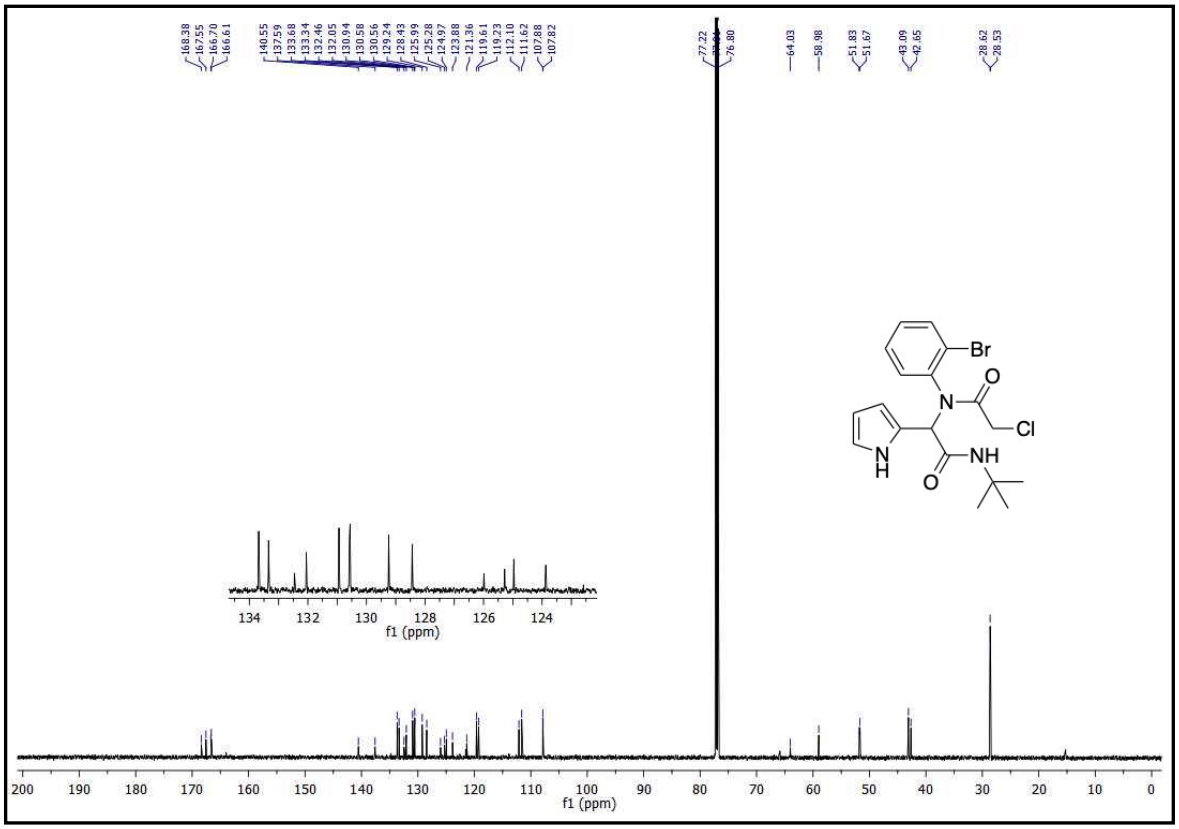
^{1}H-NMR of compound **5e** (600 MHz, $CDCl_3$)



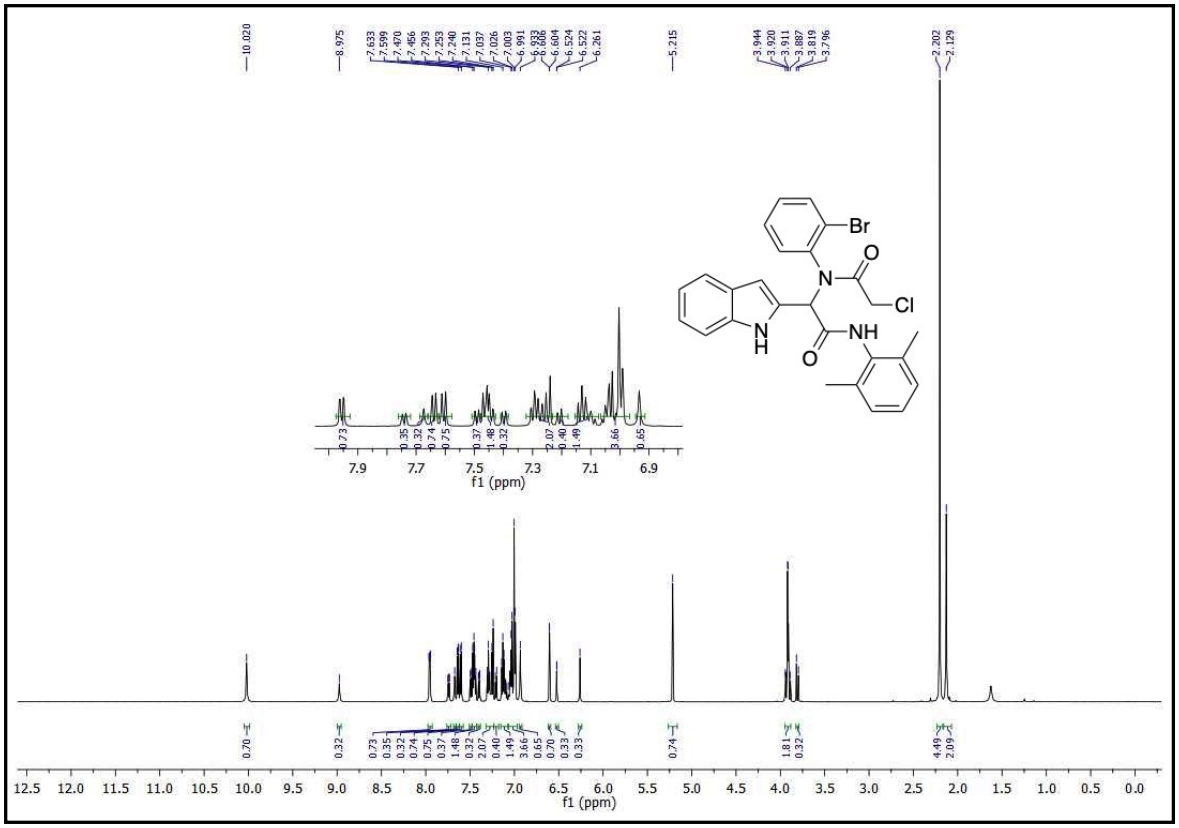
^{13}C-NMR of compound **5e** (151 MHz, $CDCl_3$)



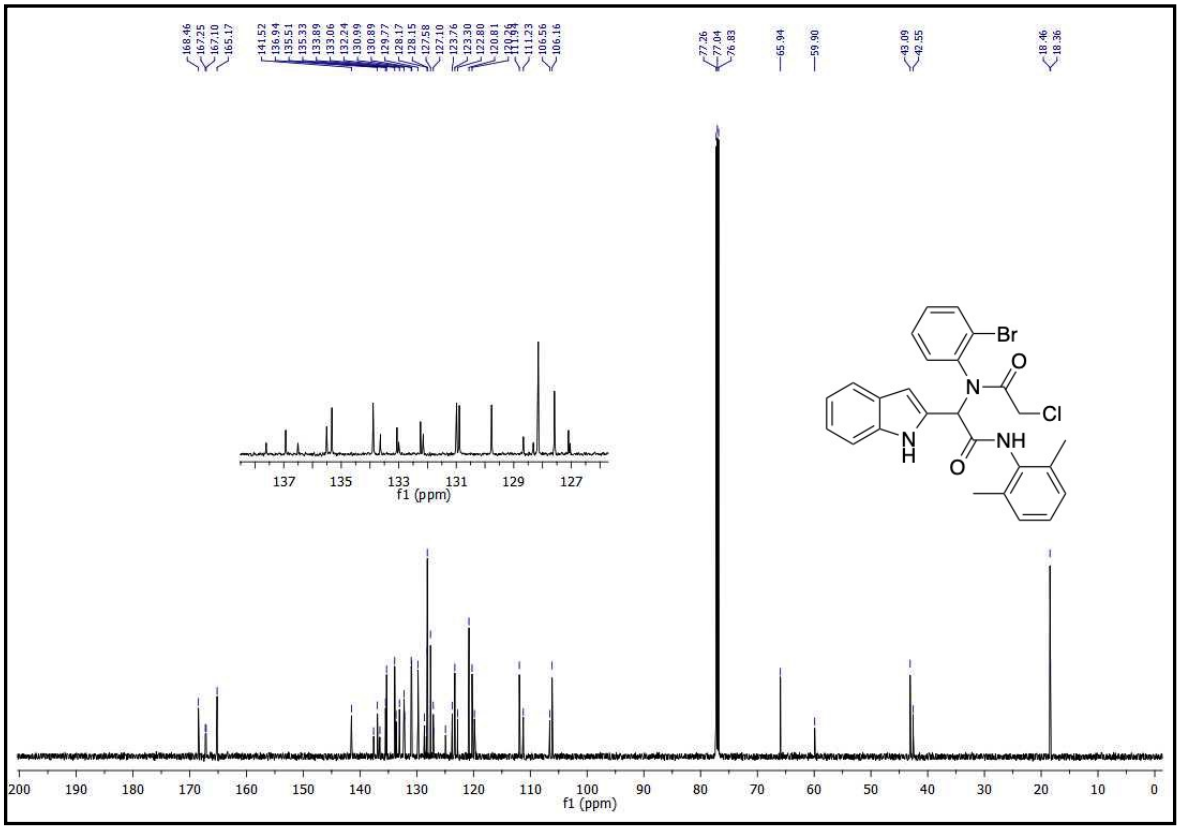
^{1}H-NMR of compound **5f** (600 MHz, $CDCl_3$)



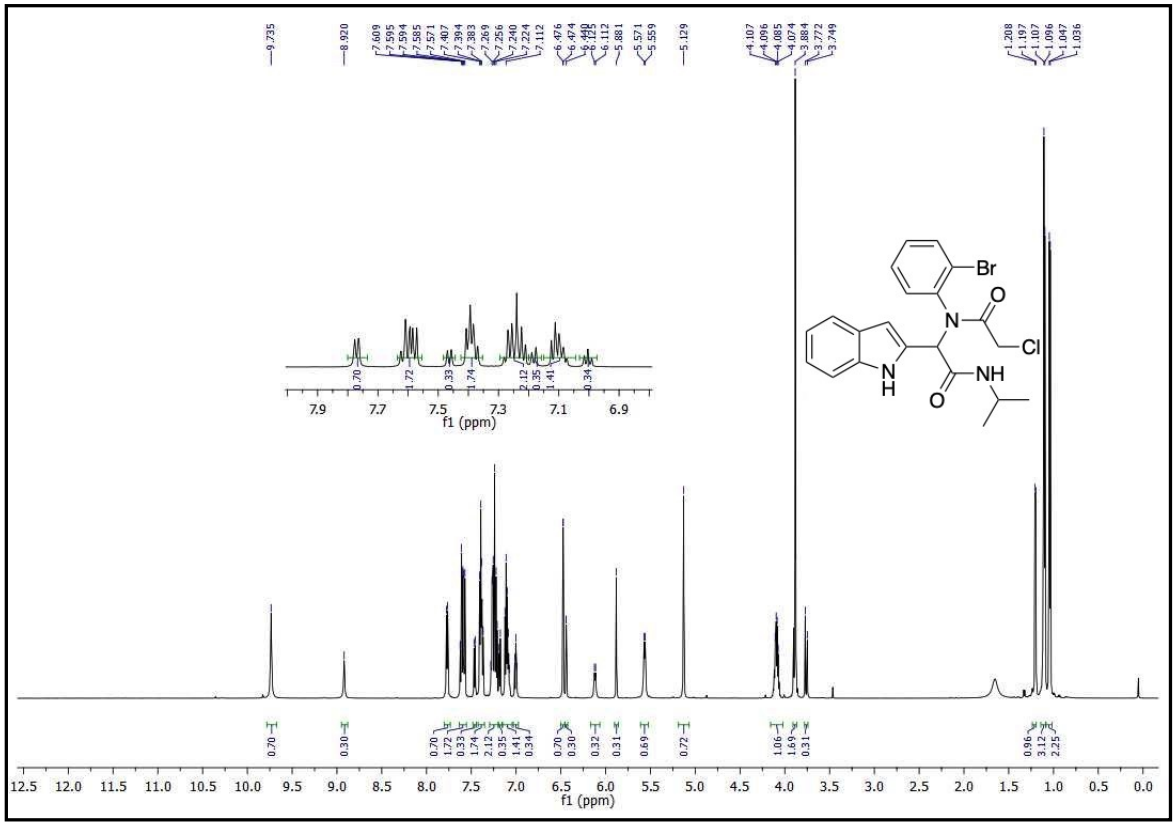
^{13}C-NMR of compound **5f** (151 MHz, $CDCl_3$)



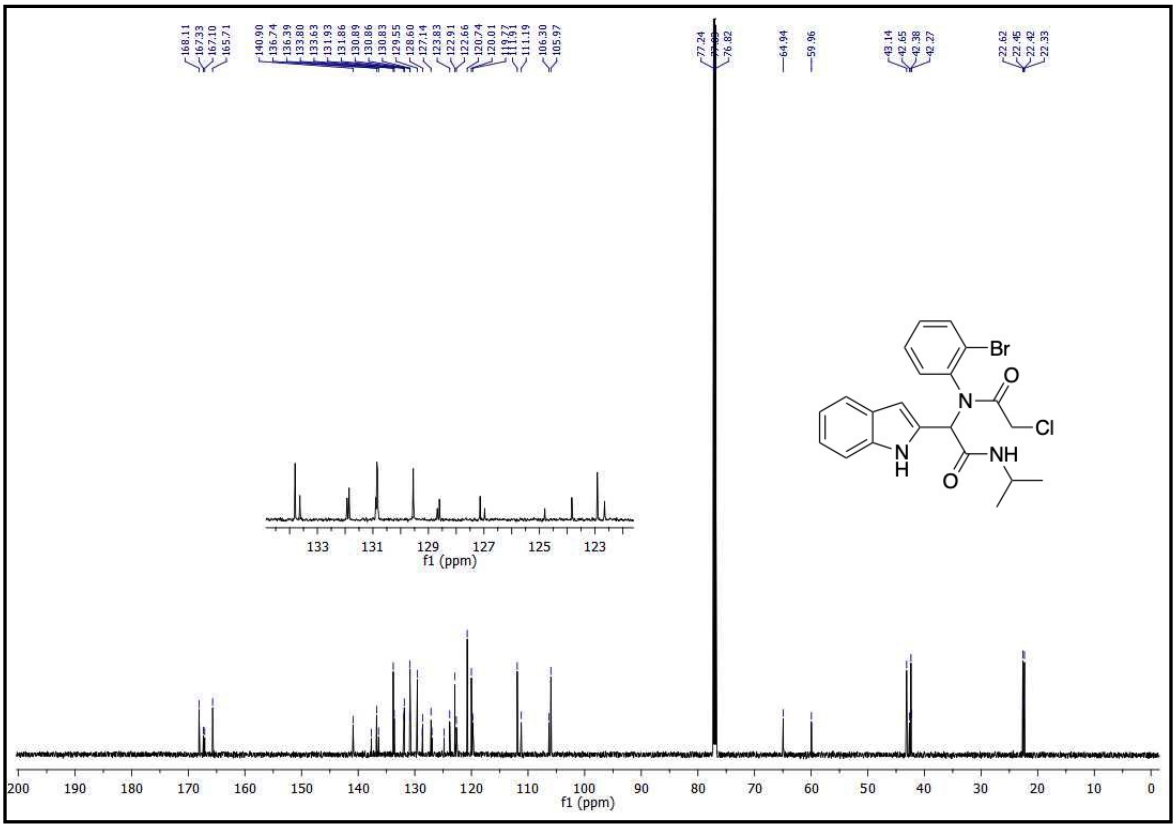
^{1}H-NMR of compound **5g** (600 MHz, $CDCl_3$)



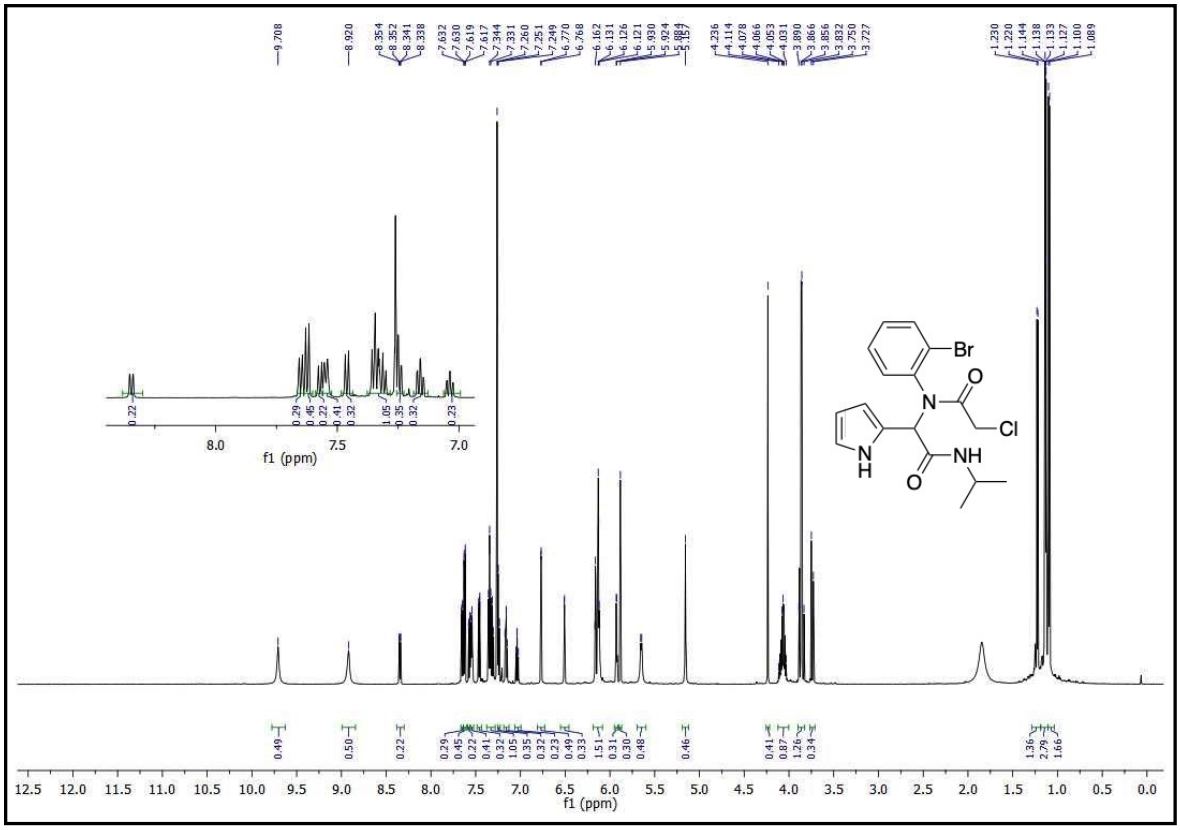
^{13}C-NMR of compound **5g** (151 MHz, $CDCl_3$)



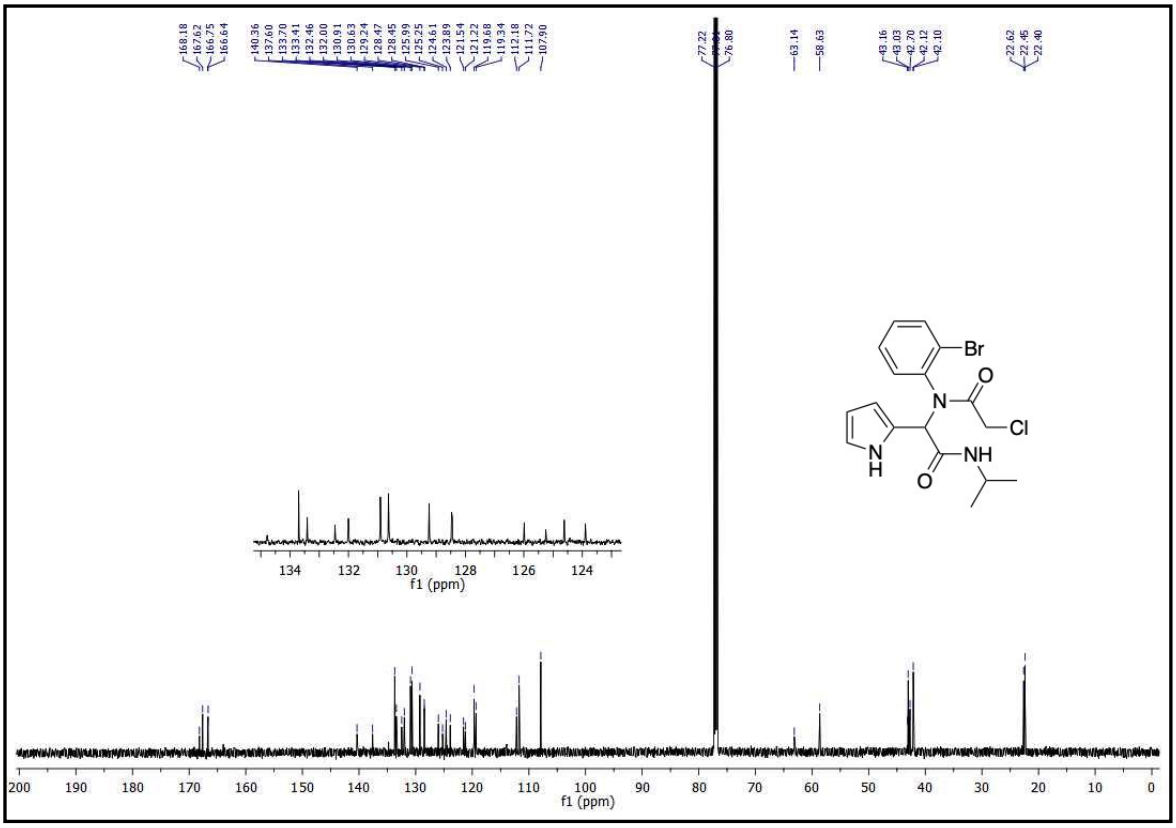
^{1}H-NMR of compound **5h** (600 MHz, $CDCl_3$)



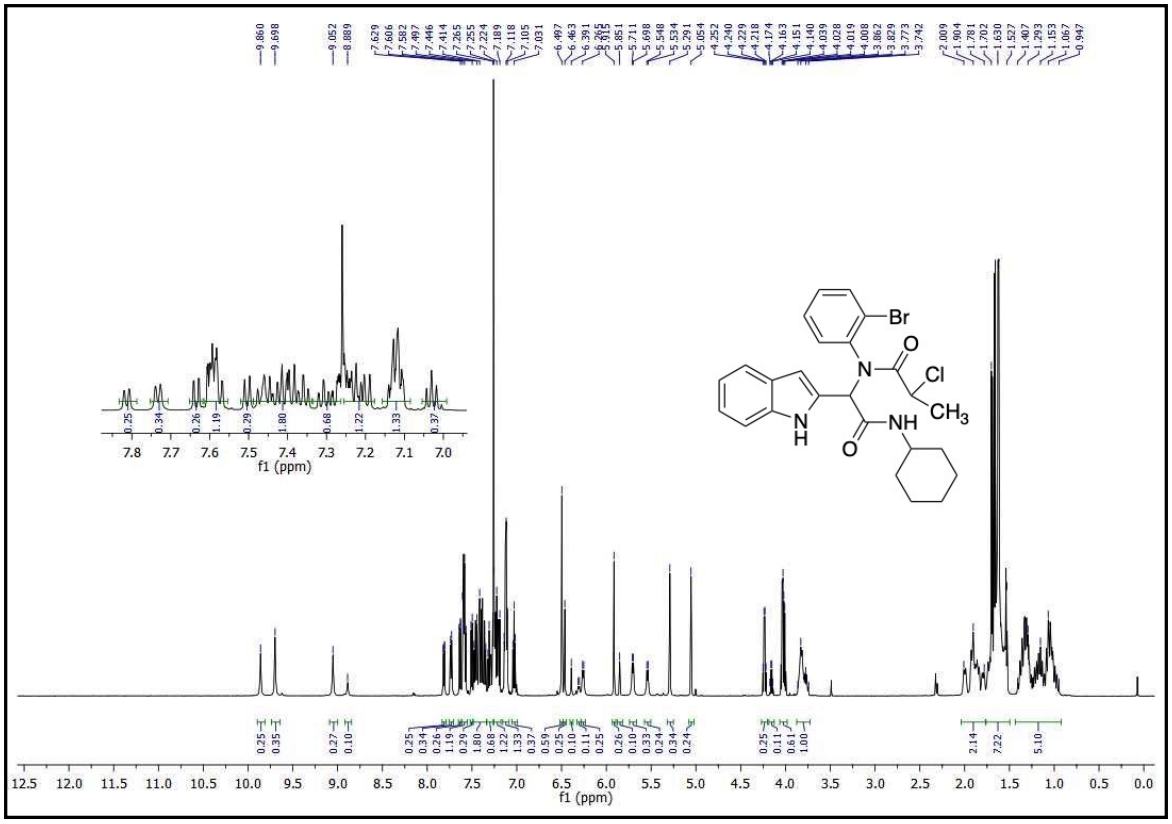
^{13}C-NMR of compound **5h** (151 MHz, $CDCl_3$)



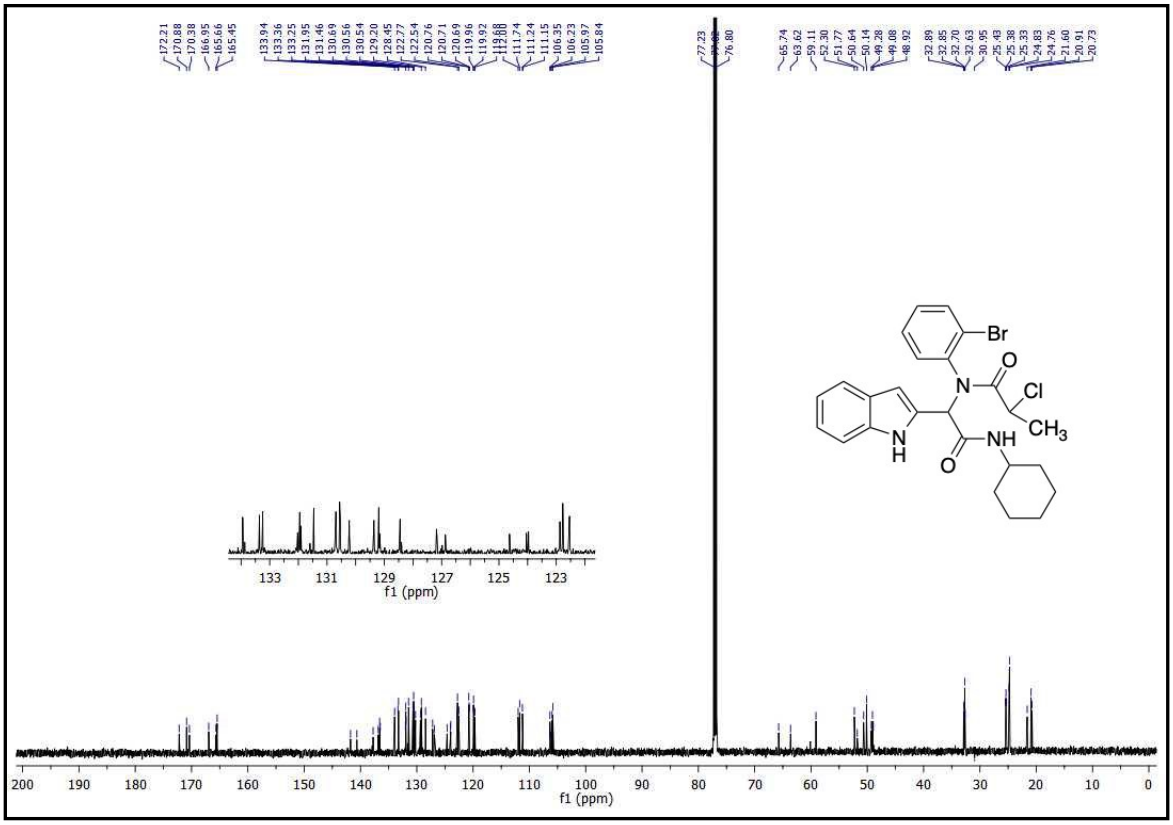
^{1}H-NMR of compound **5i** (600 MHz, $CDCl_3$)



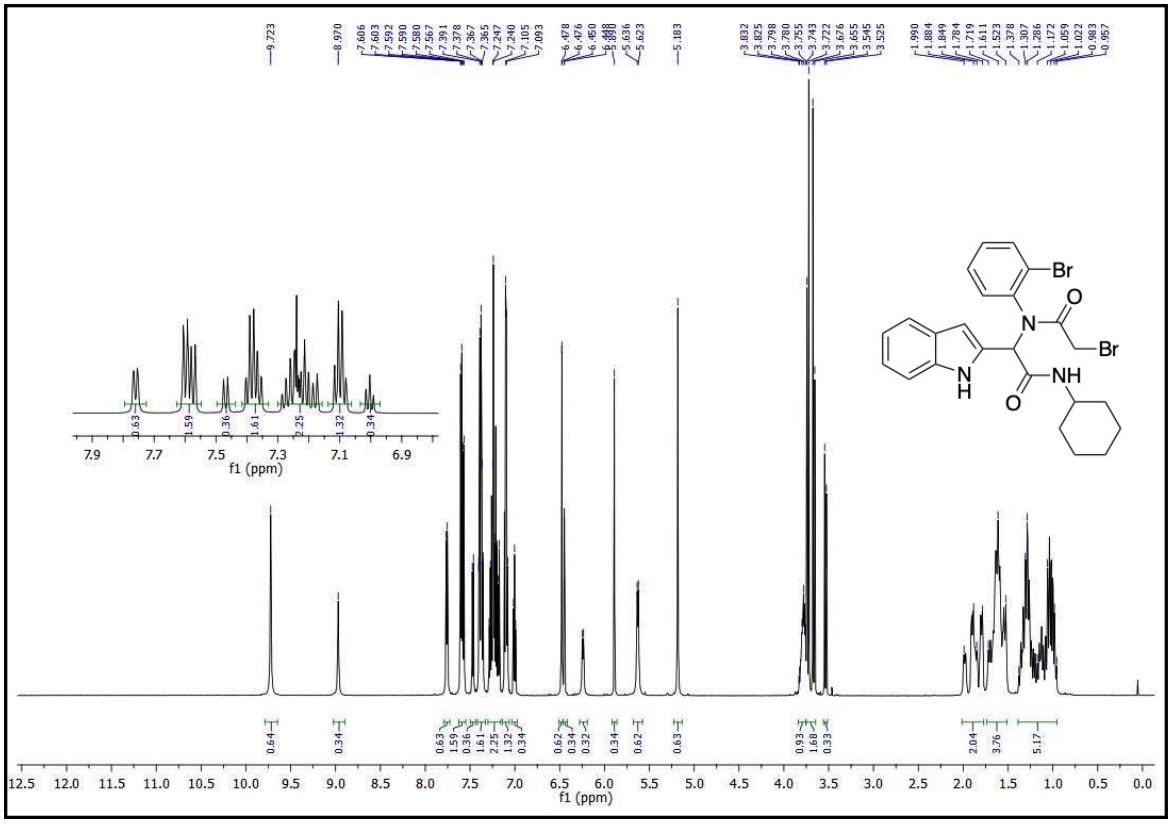
^{13}C-NMR of compound **5i** (151 MHz, $CDCl_3$)



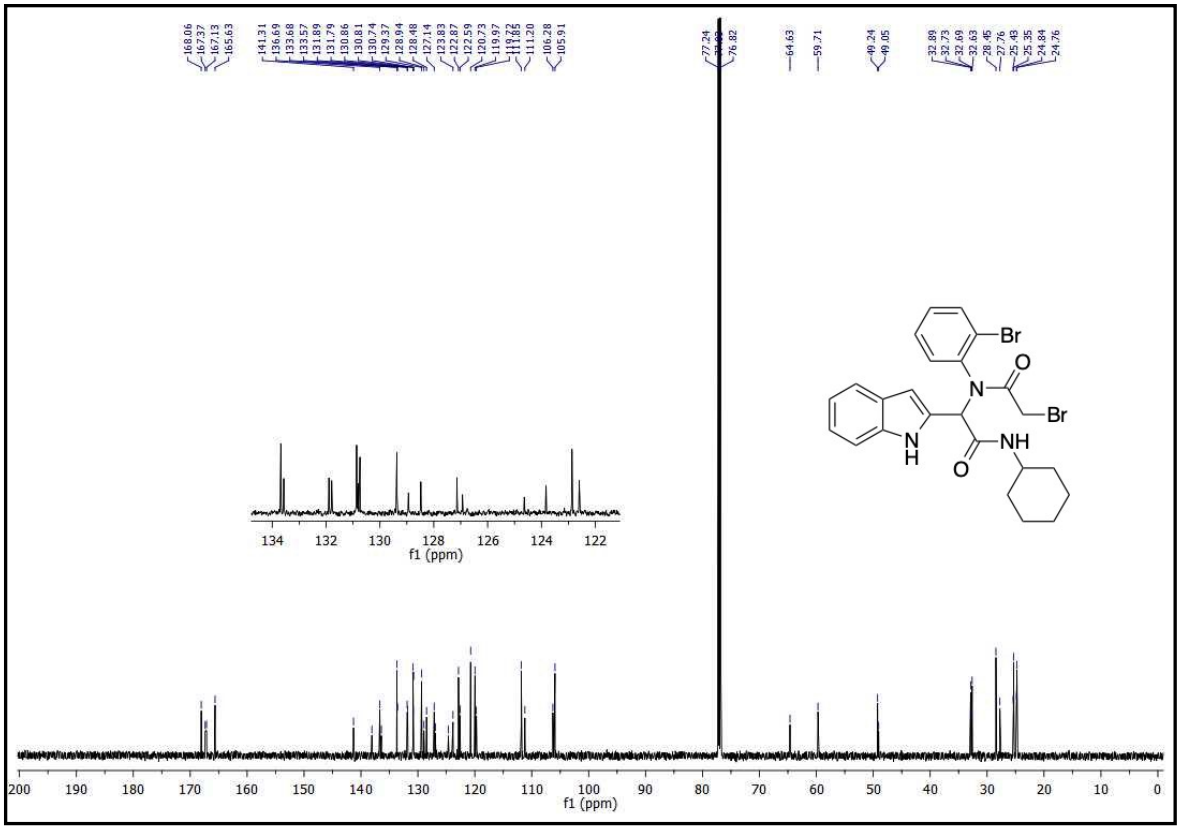
^{1}H-NMR of compound **5j** (600 MHz, $CDCl_3$)



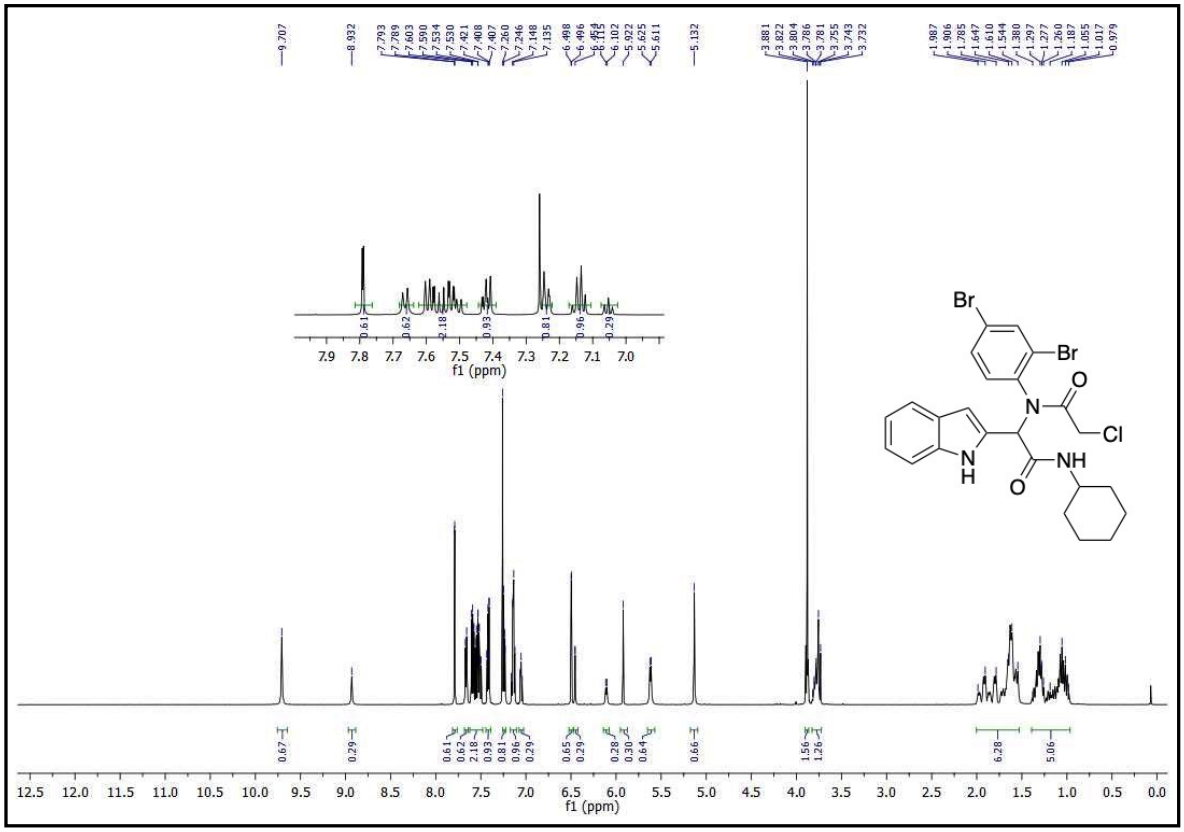
^{13}C-NMR of compound **5j** (151 MHz, $CDCl_3$)



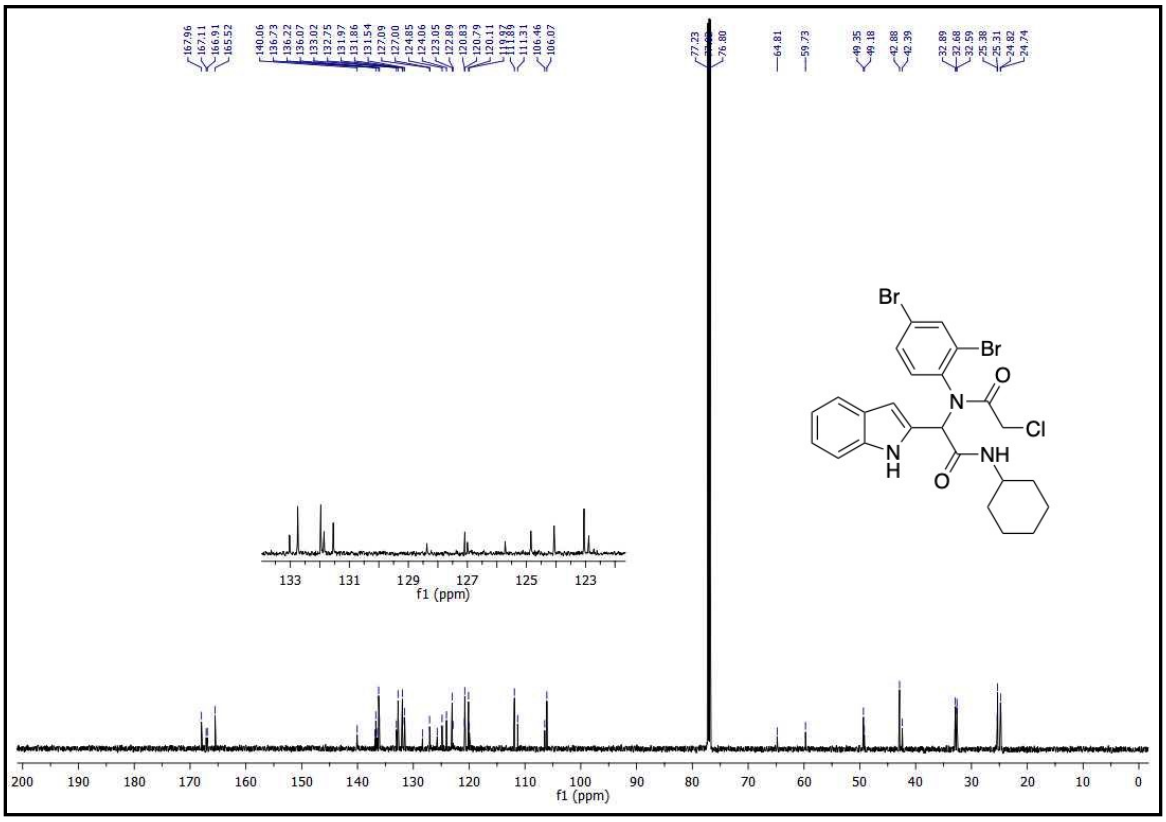
^{1}H-NMR of compound **5k** (600 MHz, $CDCl_3$)



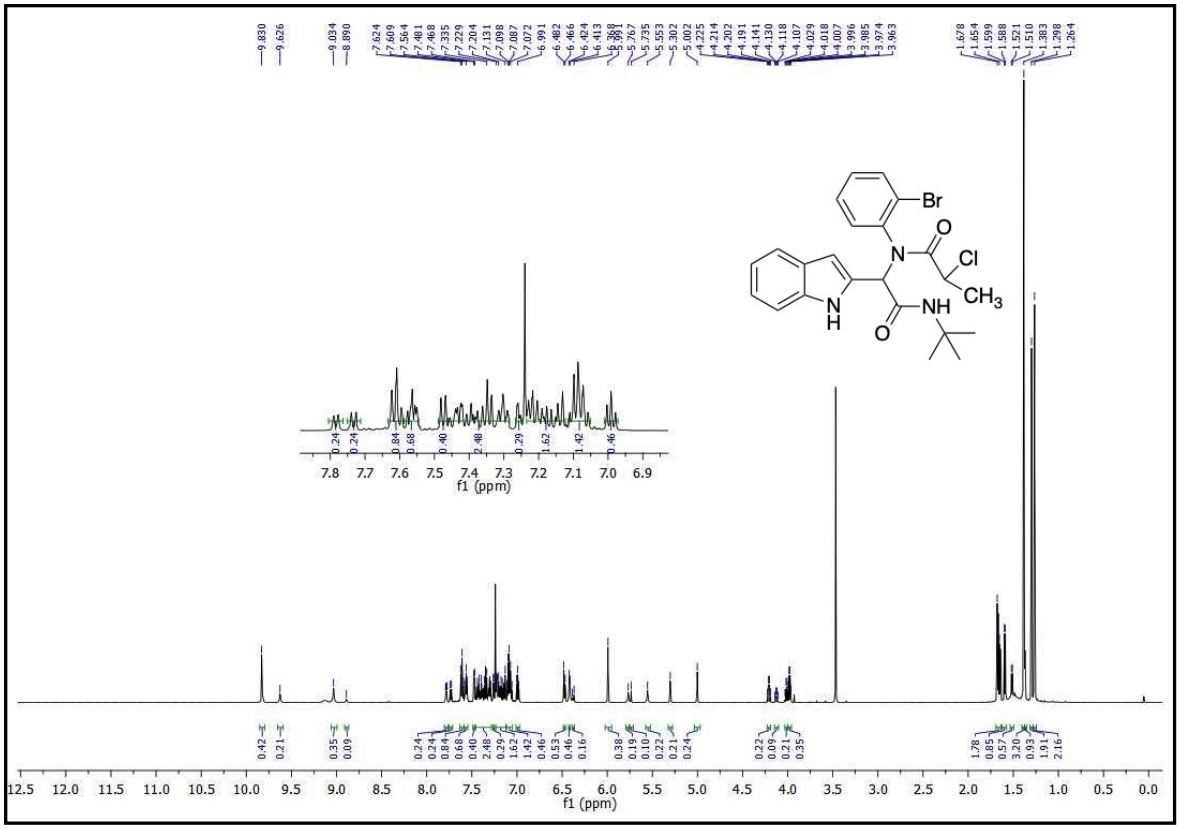
^{13}C-NMR of compound **5k** (151 MHz, $CDCl_3$)



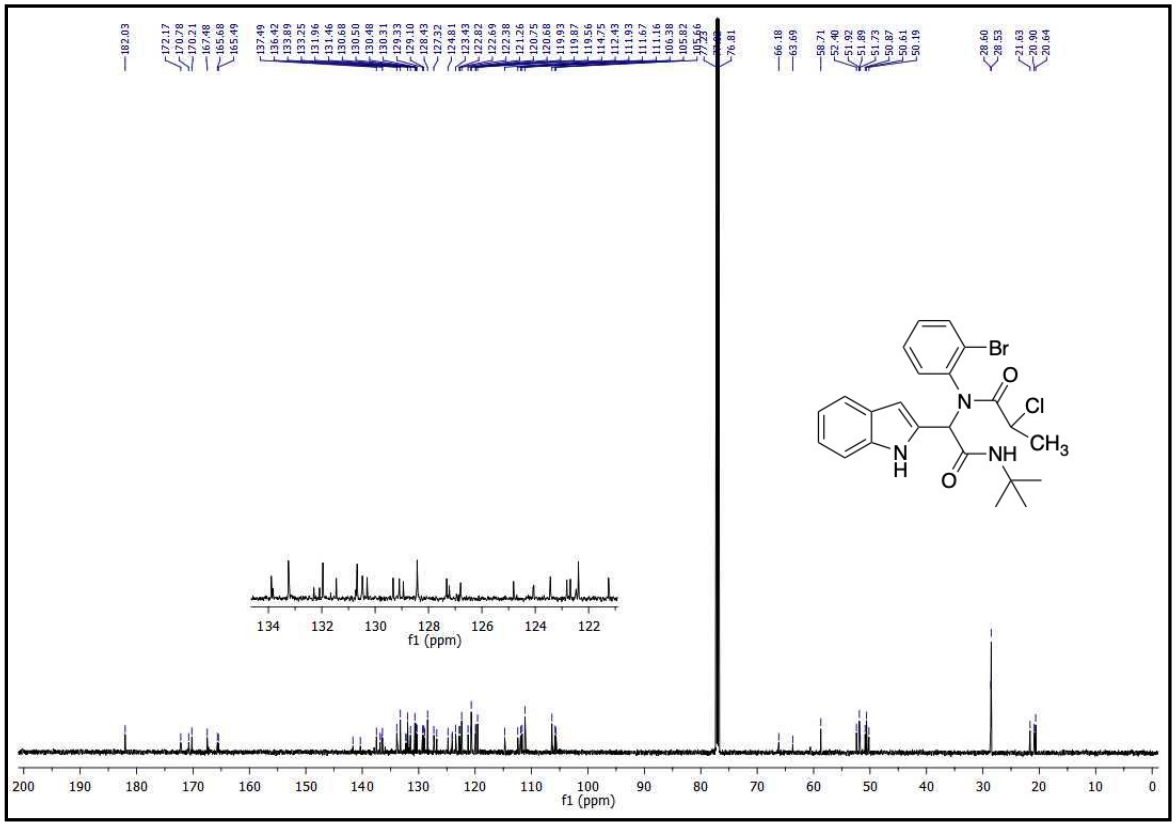
^{1}H-NMR of compound **5l** (600 MHz, $CDCl_3$)



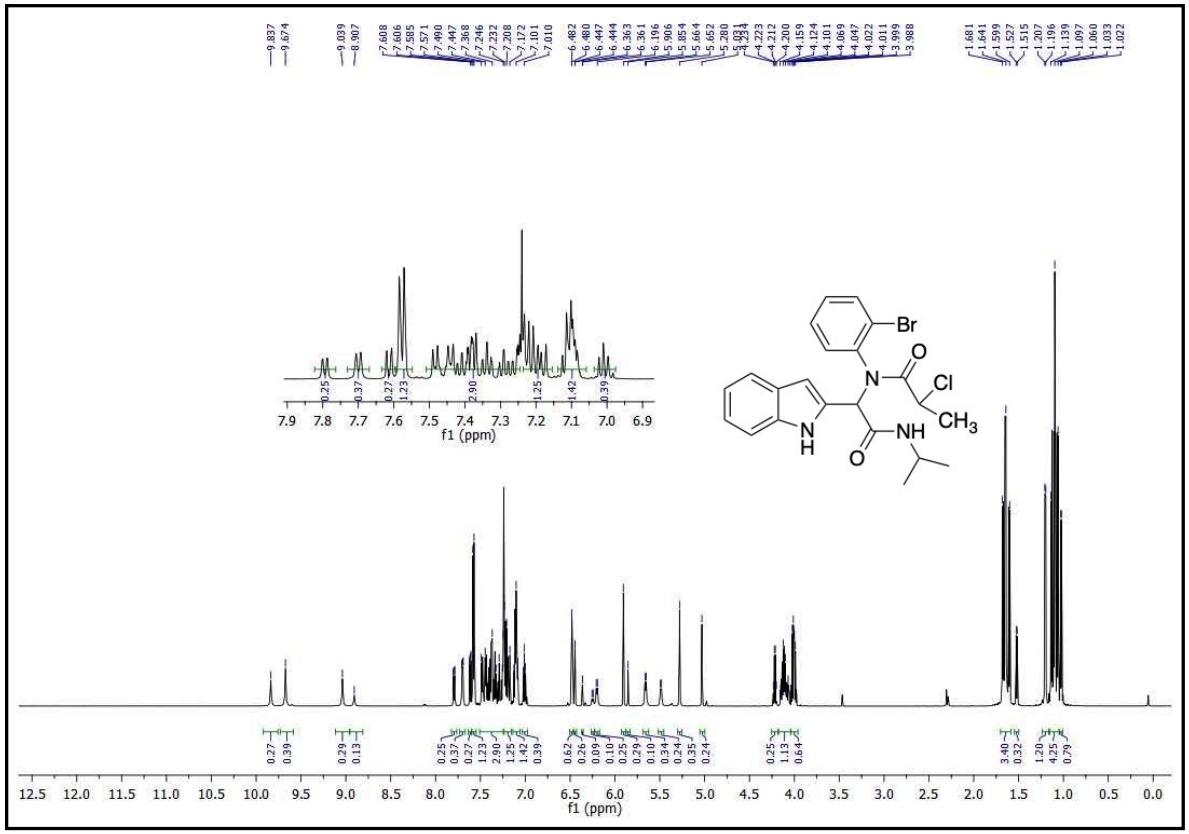
^{13}C-NMR of compound **5l** (151 MHz, $CDCl_3$)



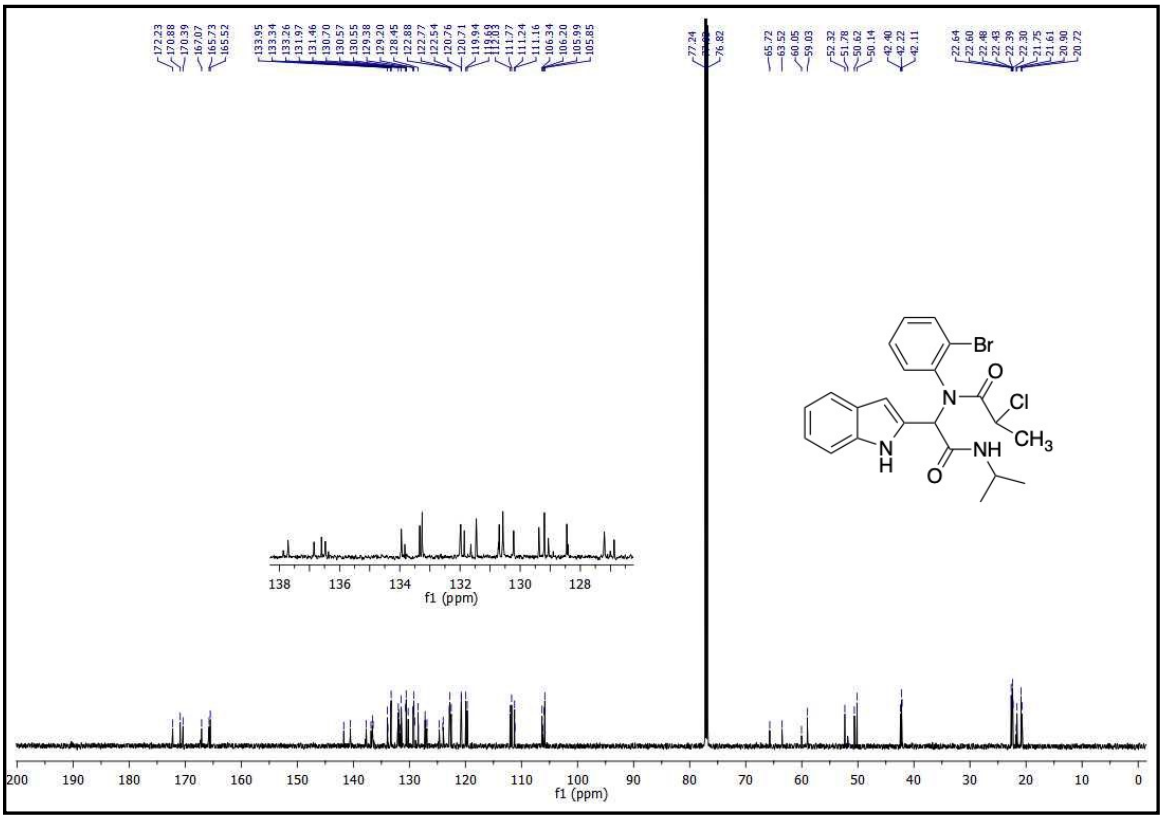
^{1}H-NMR of compound **5m** (600 MHz, $CDCl_3$)



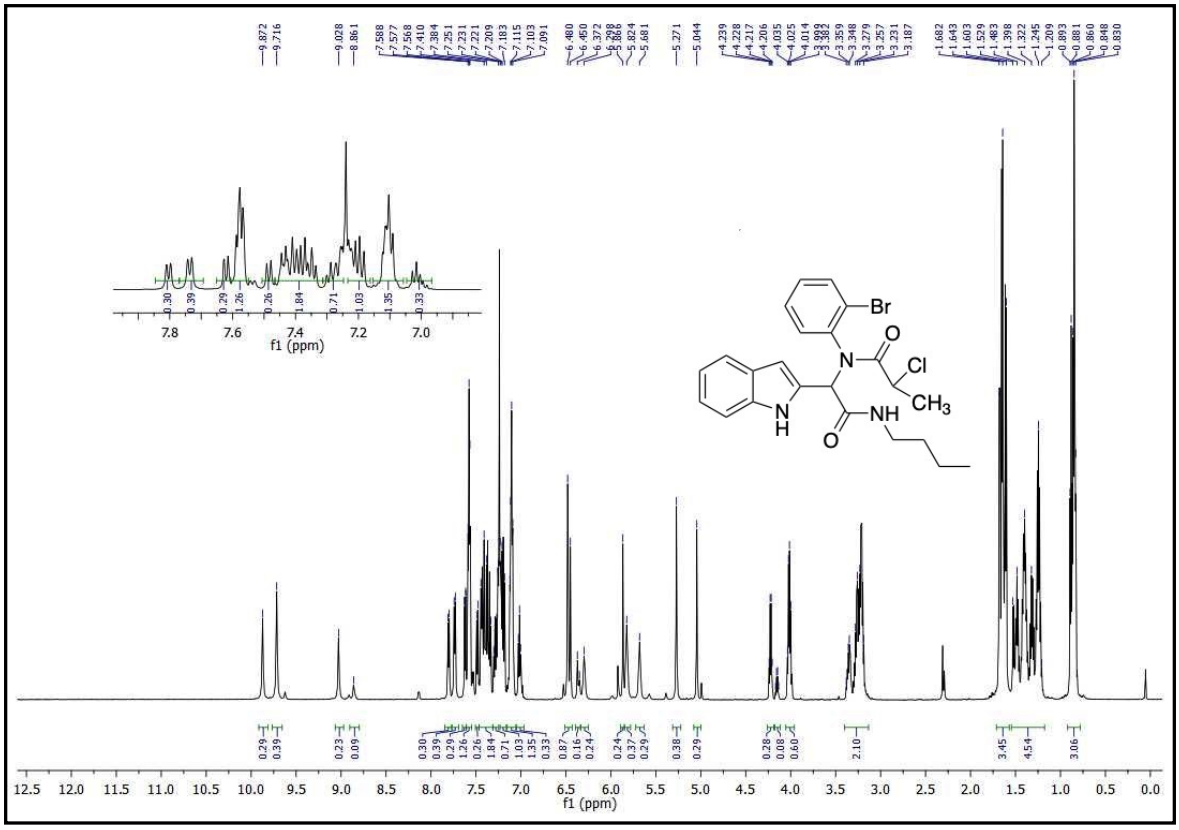
^{13}C-NMR of compound **5m** (151 MHz, $CDCl_3$)



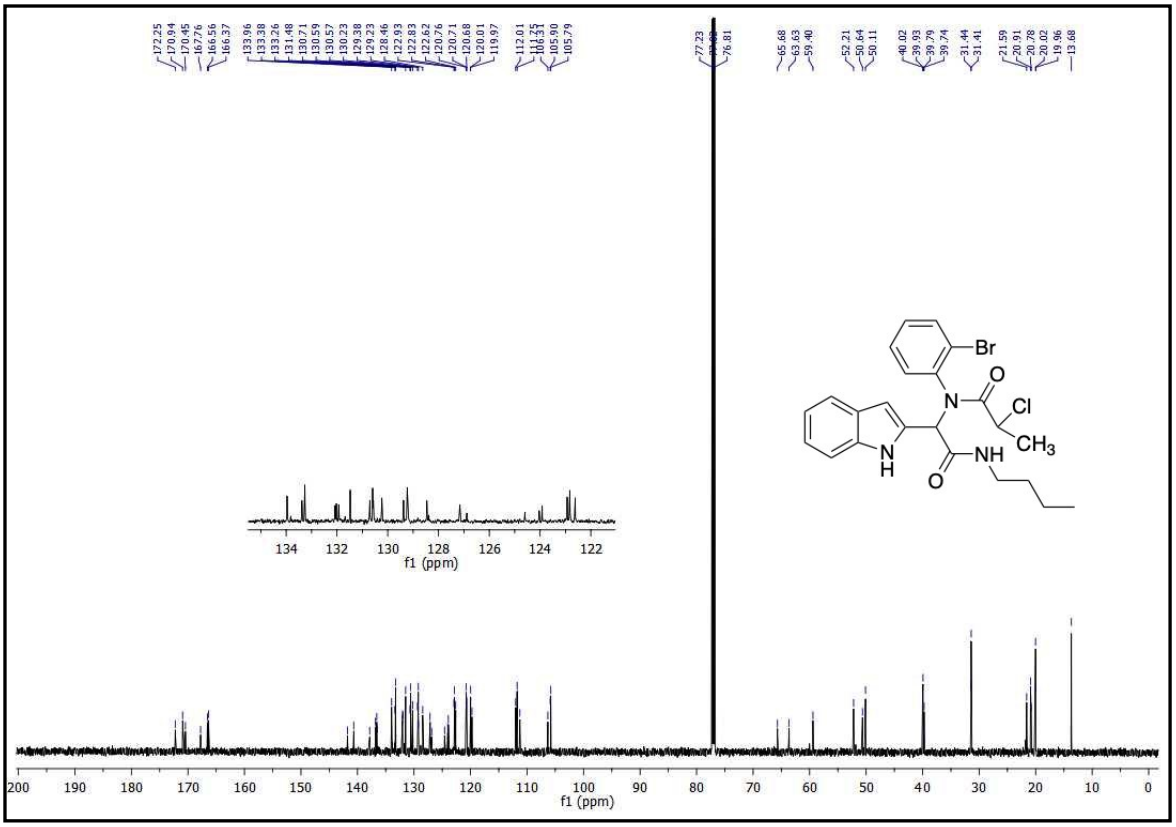
^{1}H-NMR of compound **5n** (600 MHz, $CDCl_3$)



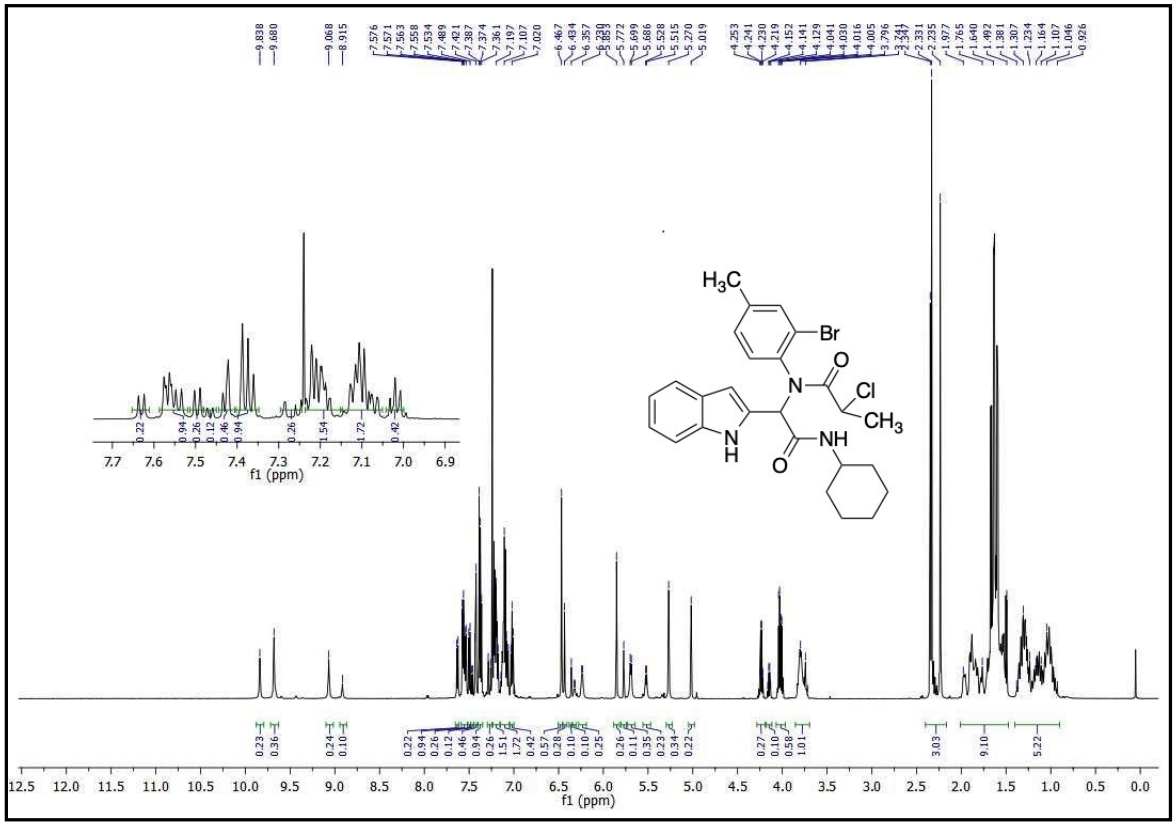
^{13}C-NMR of compound **5n** (151 MHz, $CDCl_3$)



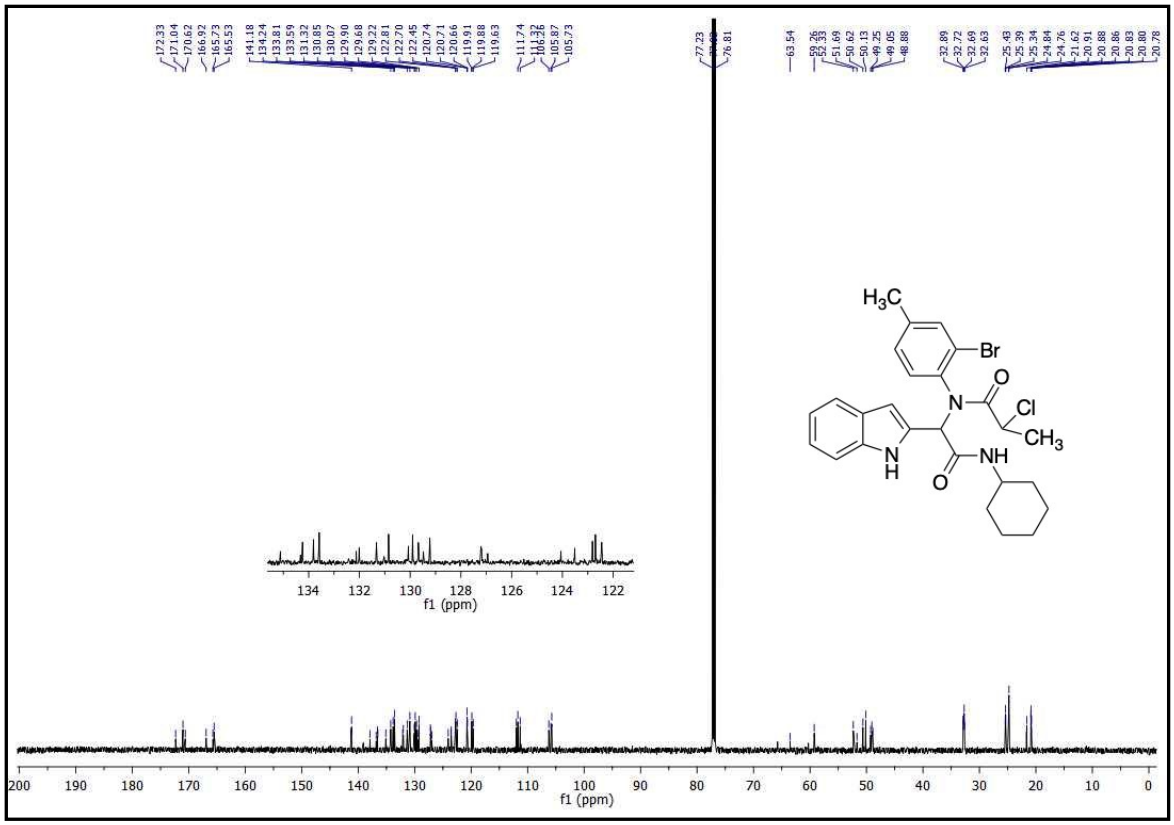
^{1}H-NMR of compound **5o** (600 MHz, $CDCl_3$)



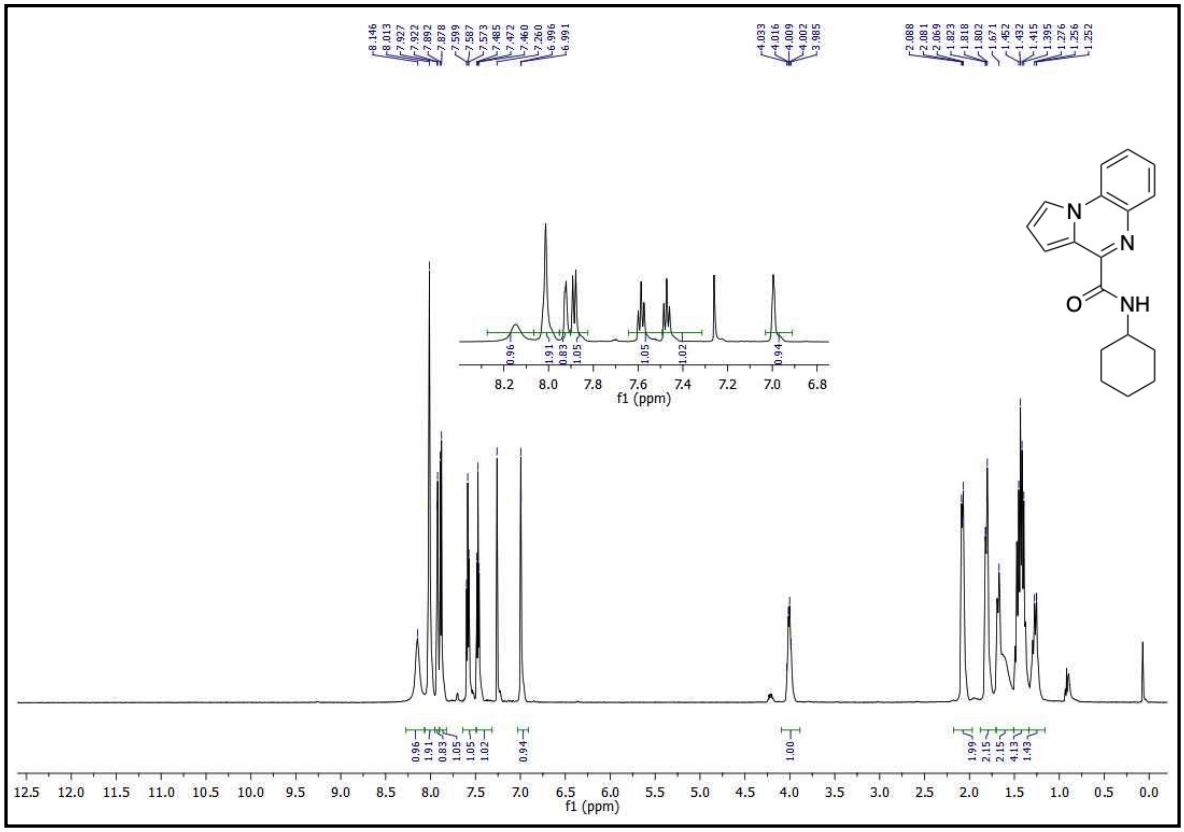
^{13}C-NMR of compound **5o** (151 MHz, $CDCl_3$)



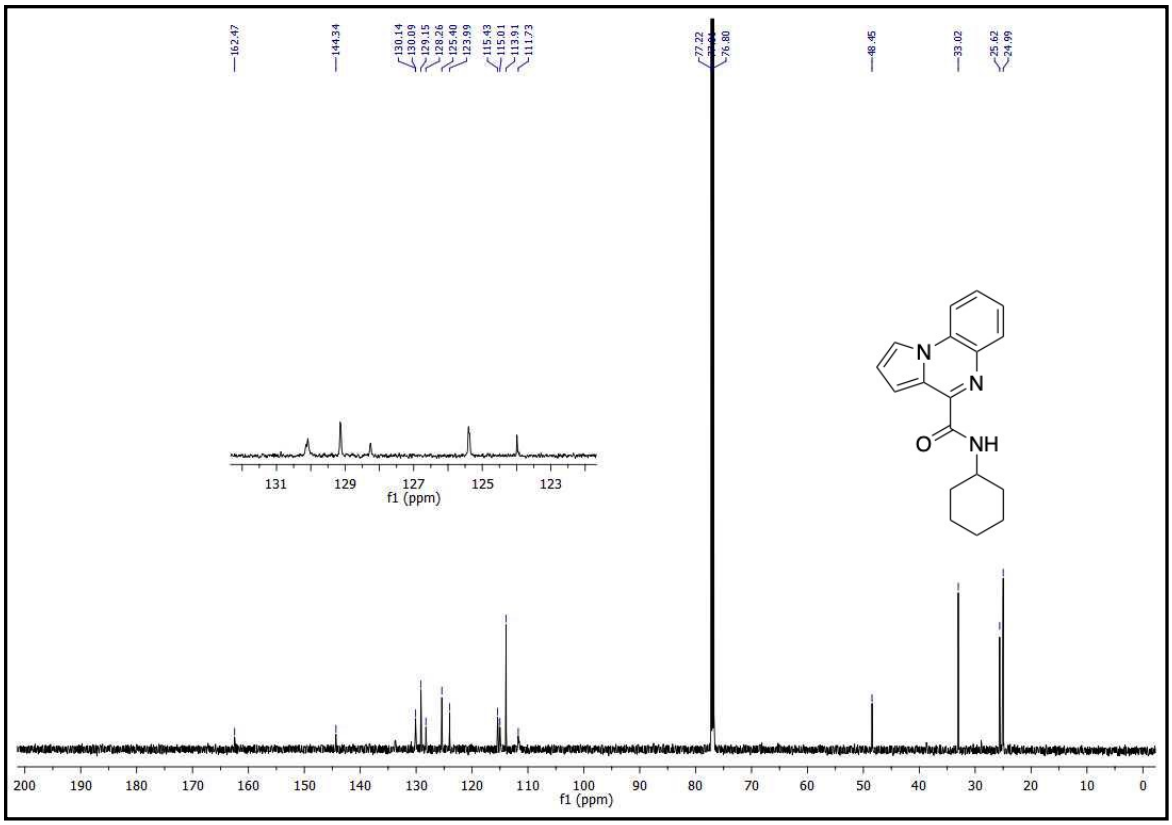
^{1}H-NMR of compound **5p** (600 MHz, $CDCl_3$)



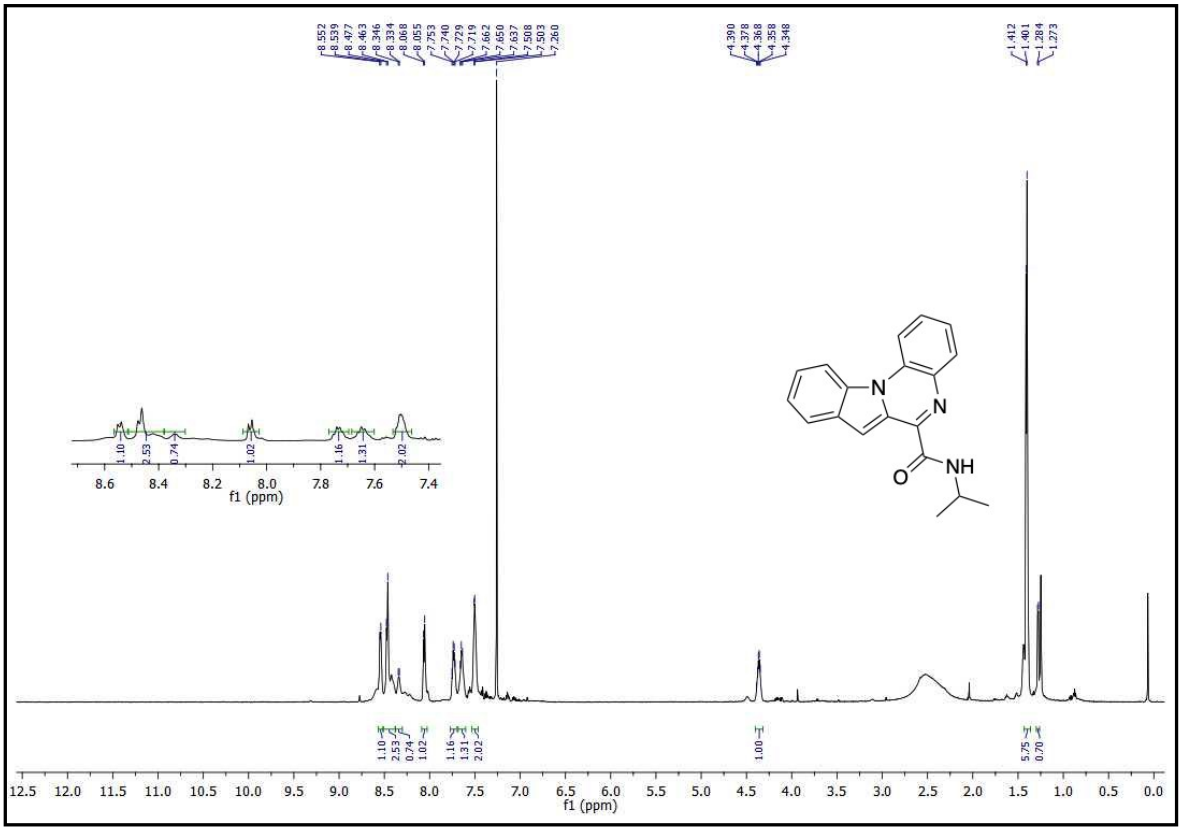
^{13}C-NMR of compound **5p** (151 MHz, $CDCl_3$)



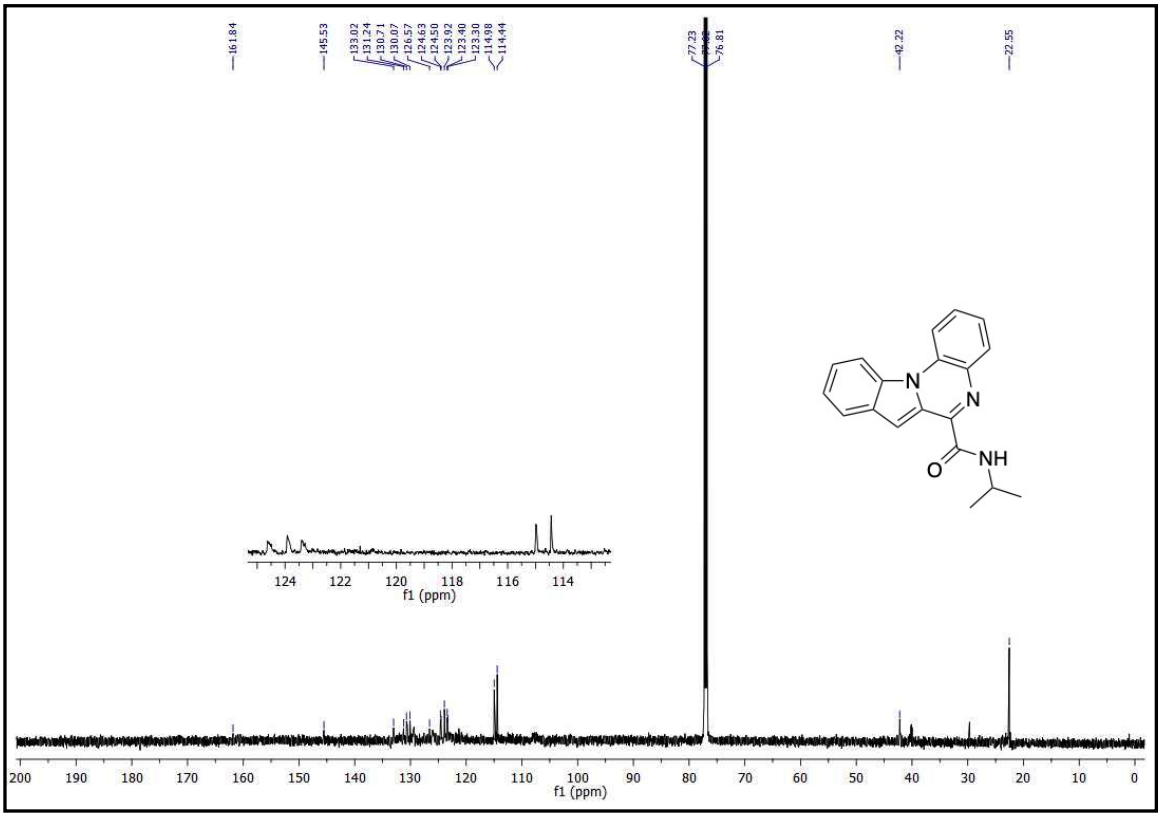
^{1}H-NMR of compound **6a** (600 MHz, $CDCl_3$)



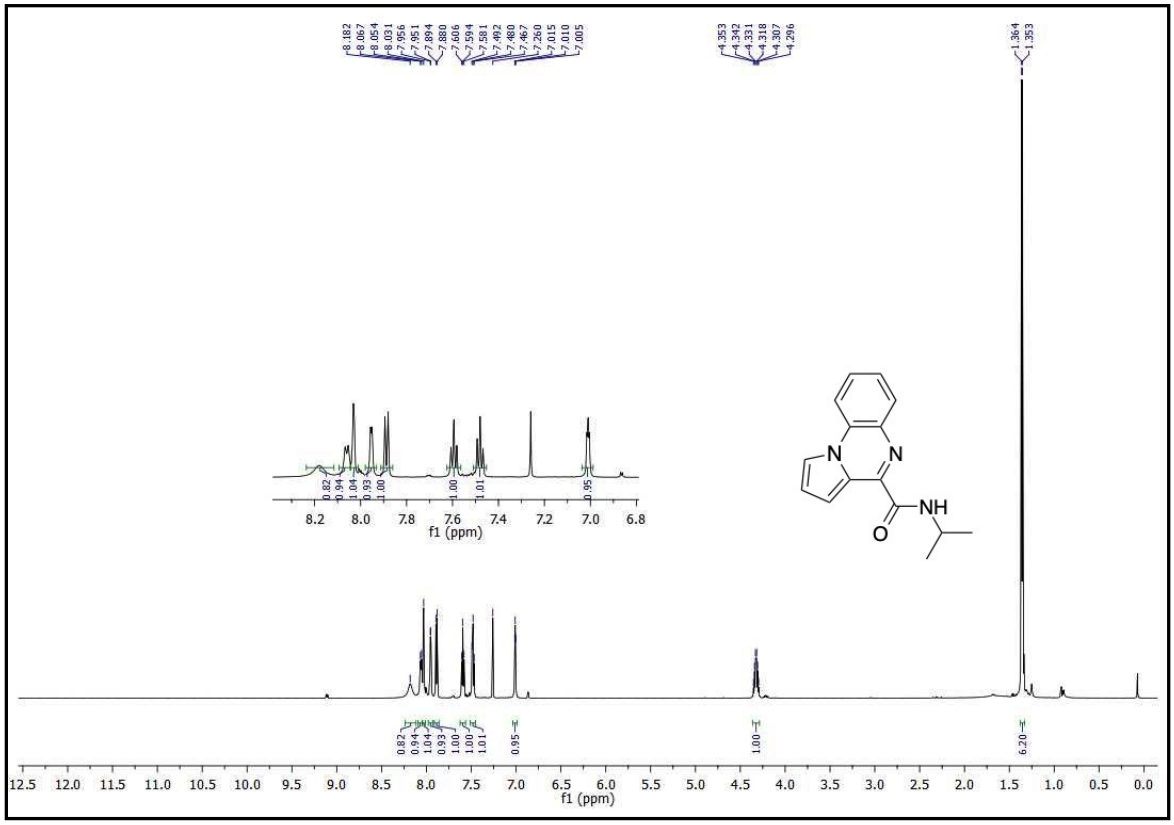
^{13}C-NMR of compound **6a** (151 MHz, $CDCl_3$)



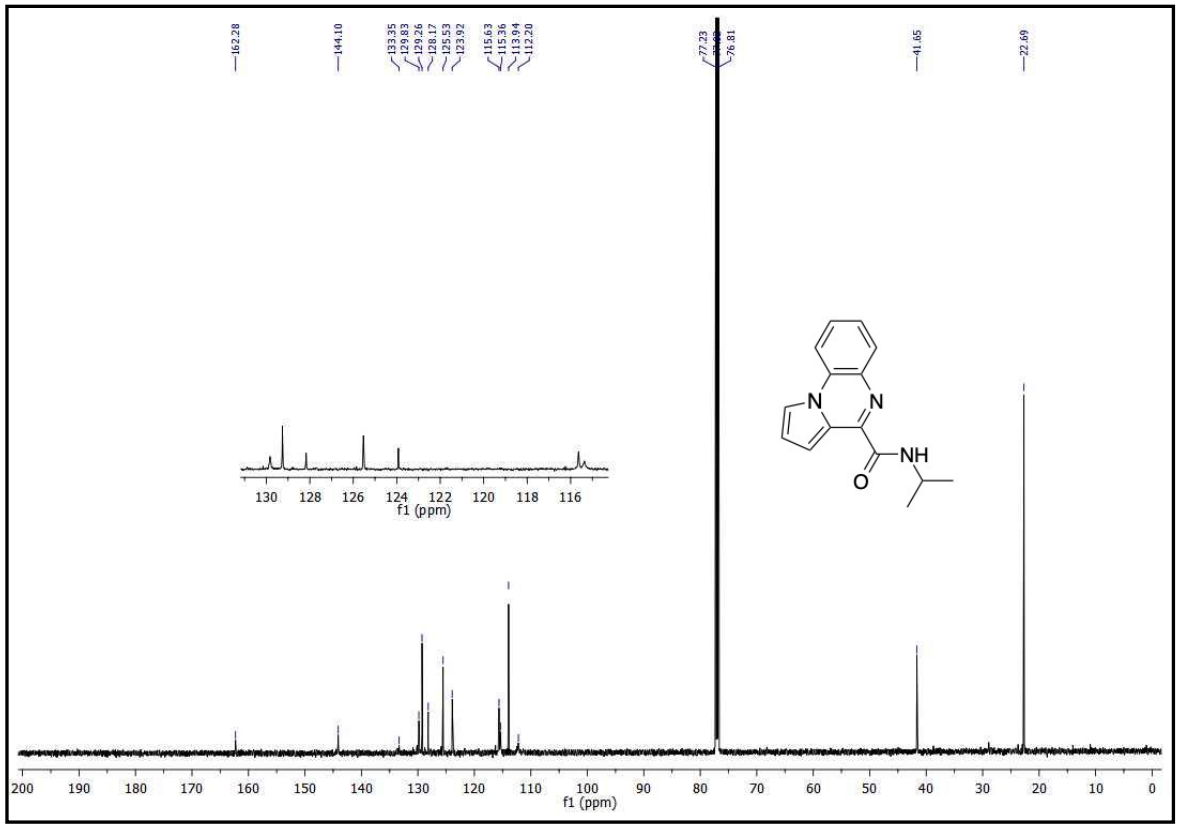
^{1}H-NMR of compound **6b** (600 MHz, $CDCl_3$)



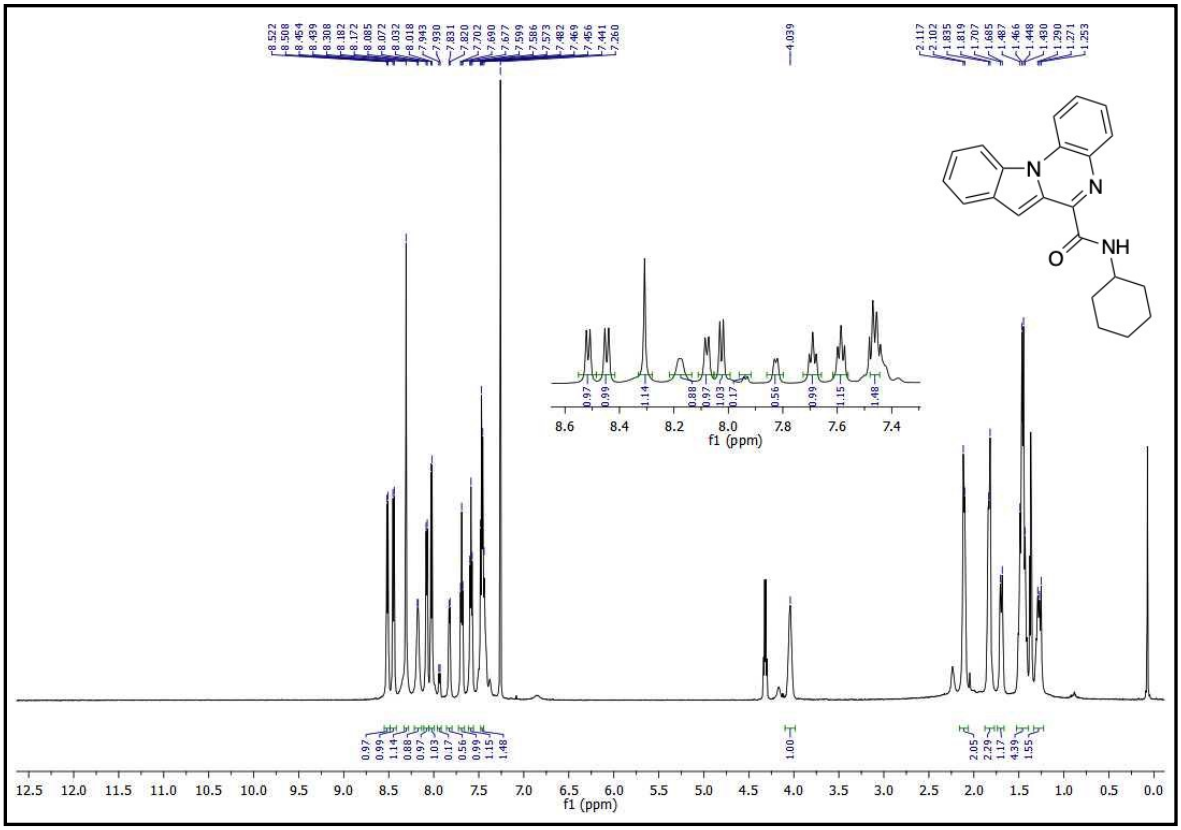
^{13}C-NMR of compound **6b** (151 MHz, $CDCl_3$)



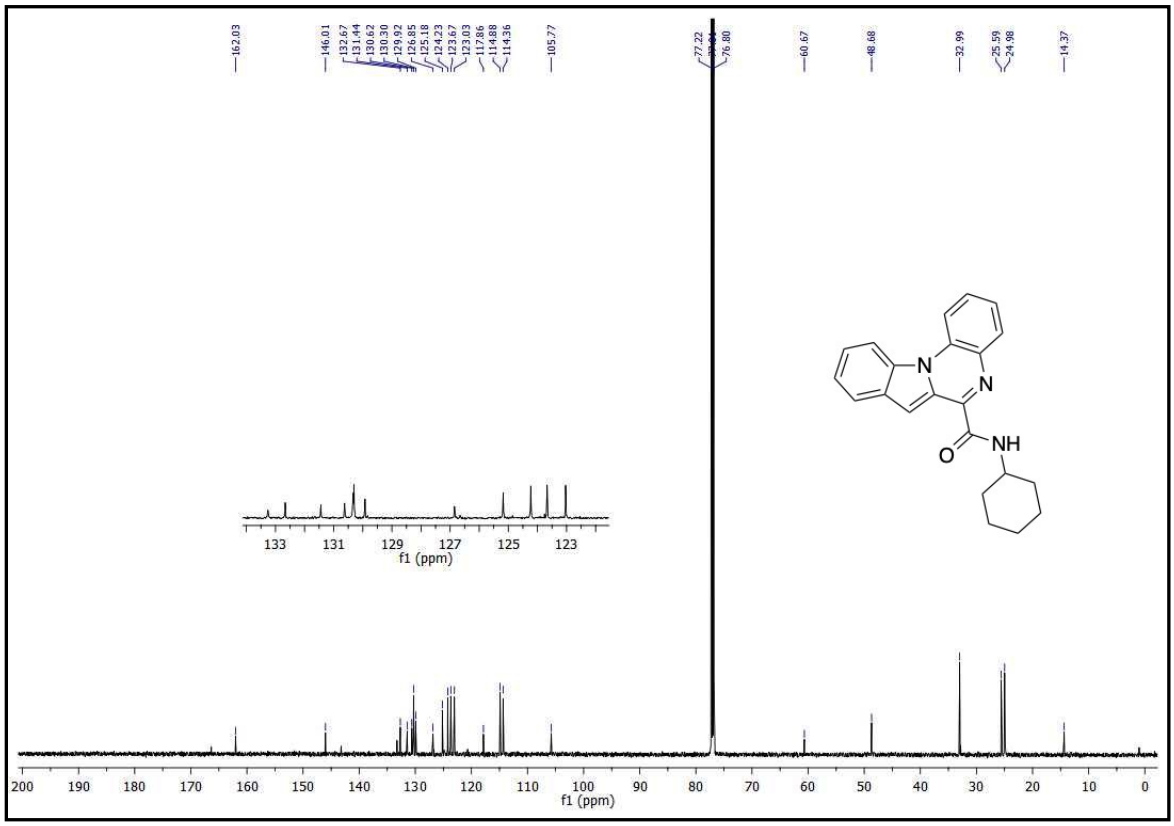
^{1}H-NMR of compound **6c** (600 MHz, $CDCl_3$)



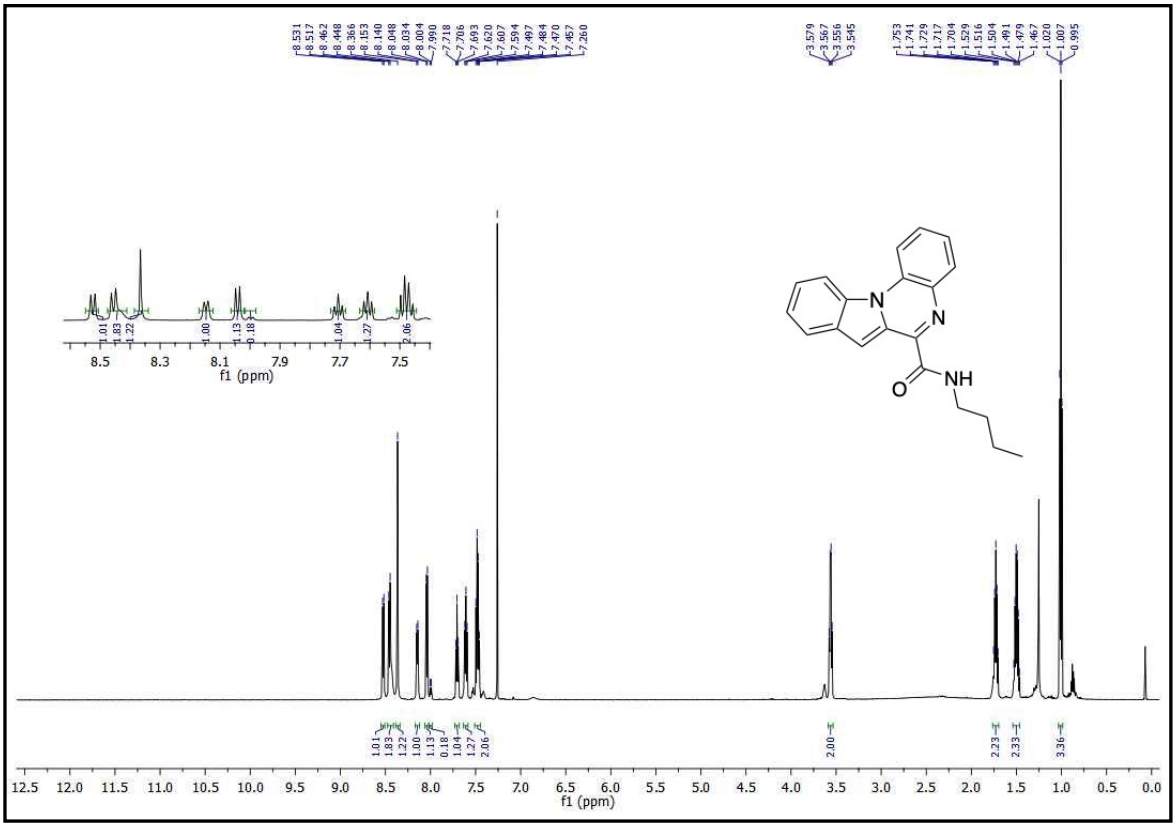
^{13}C-NMR of compound **6c** (151 MHz, $CDCl_3$)



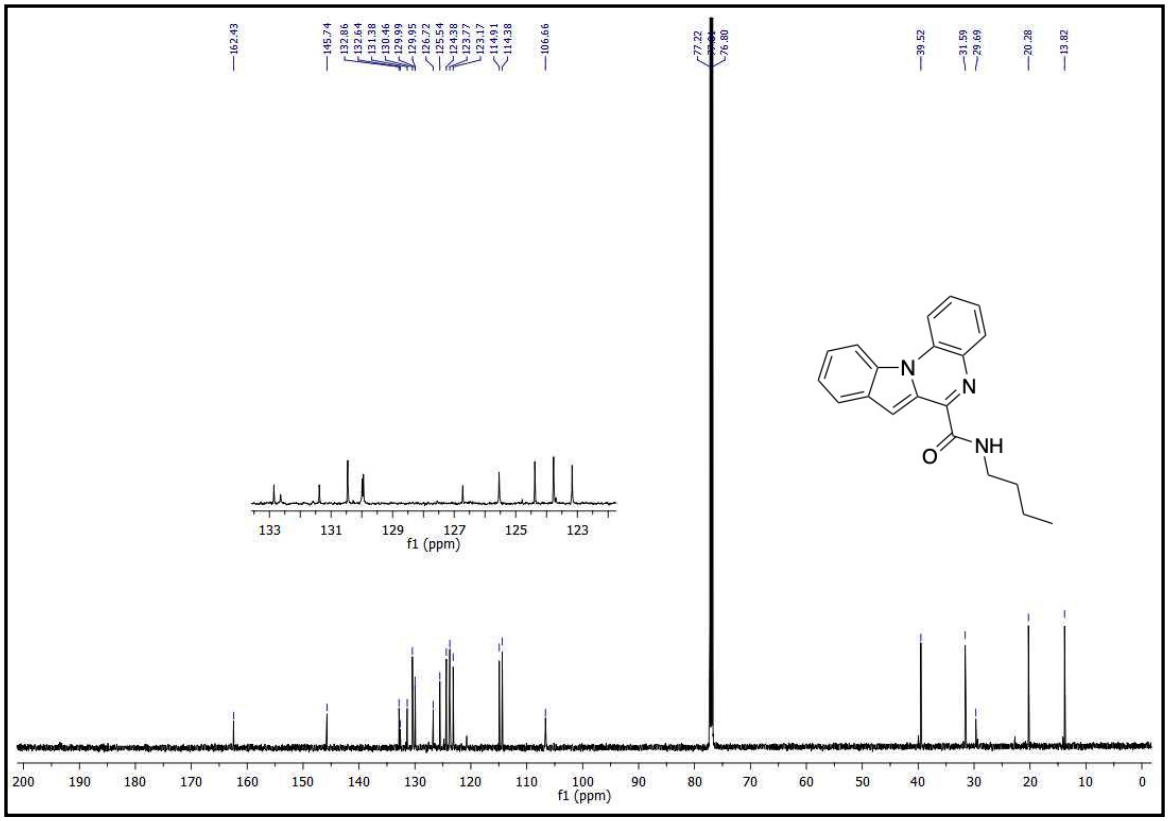
^{1}H-NMR of compound **6d** (600 MHz, $CDCl_3$)



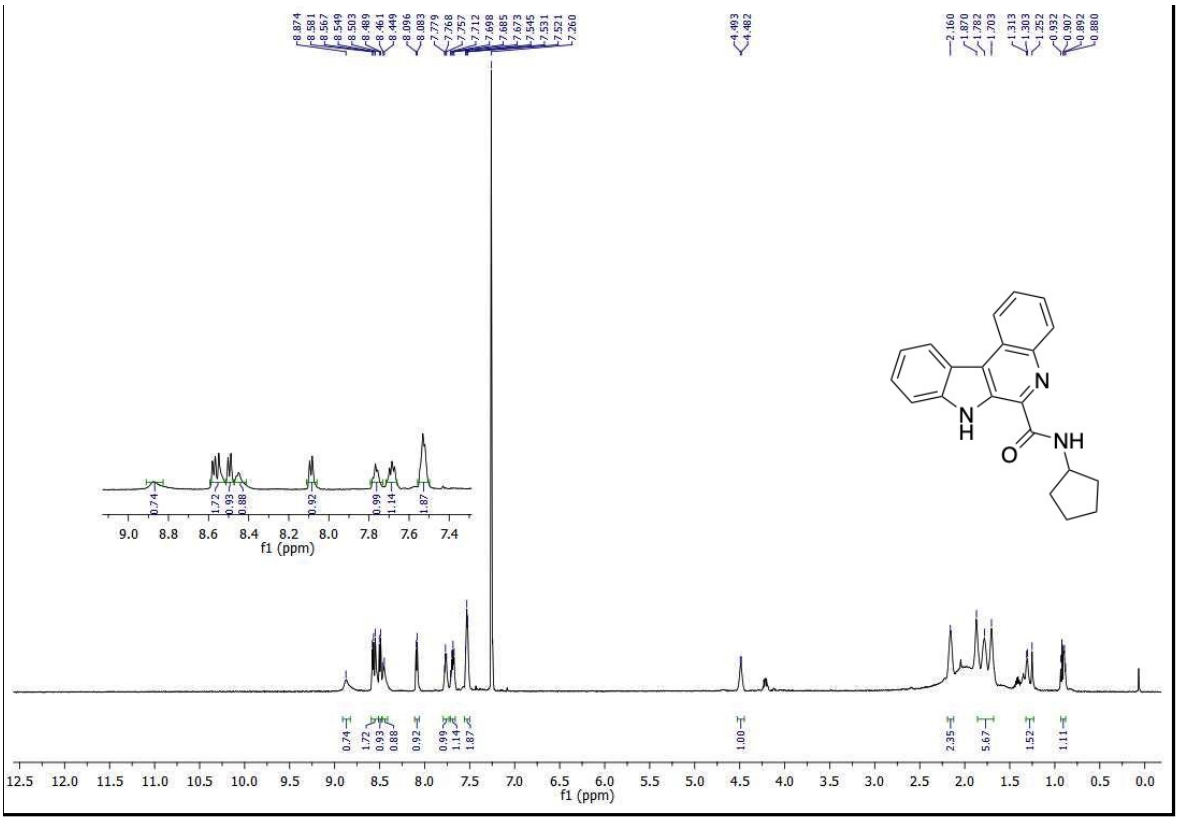
^{13}C-NMR of compound **6d** (151 MHz, $CDCl_3$)



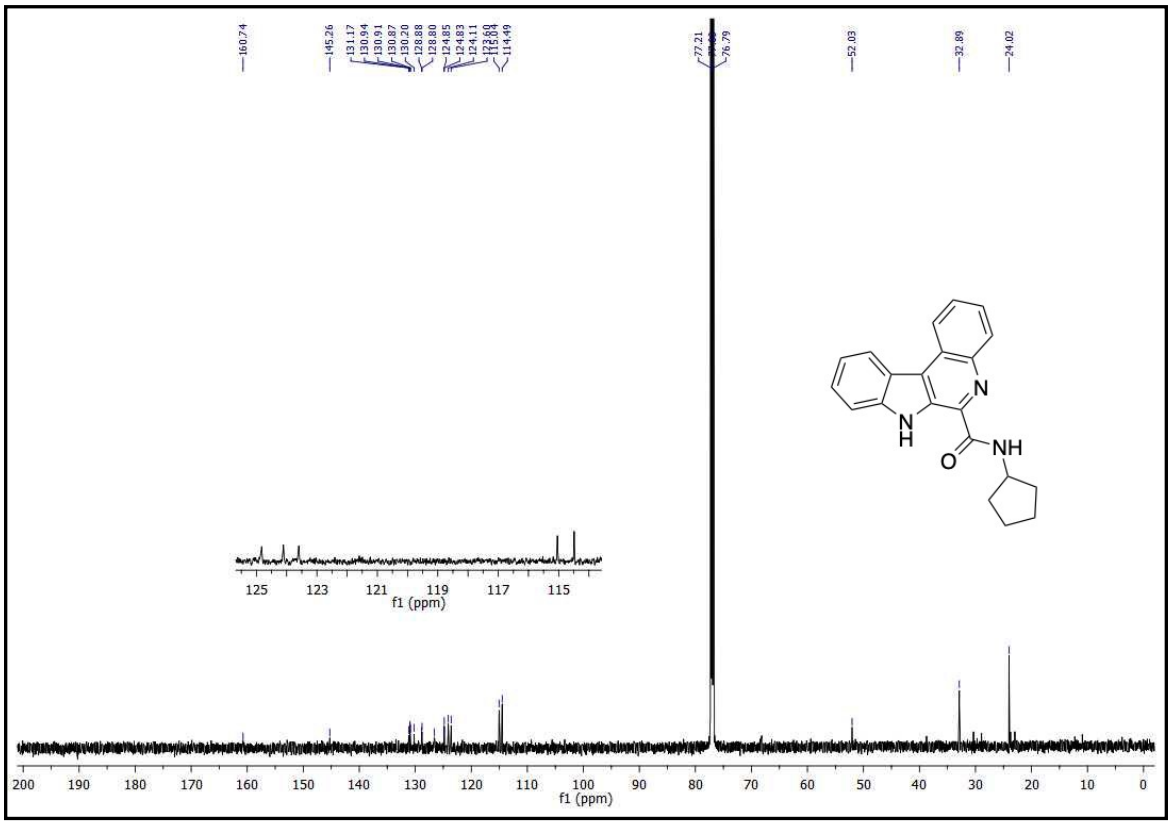
^{1}H-NMR of compound **6e** (600 MHz, $CDCl_3$)



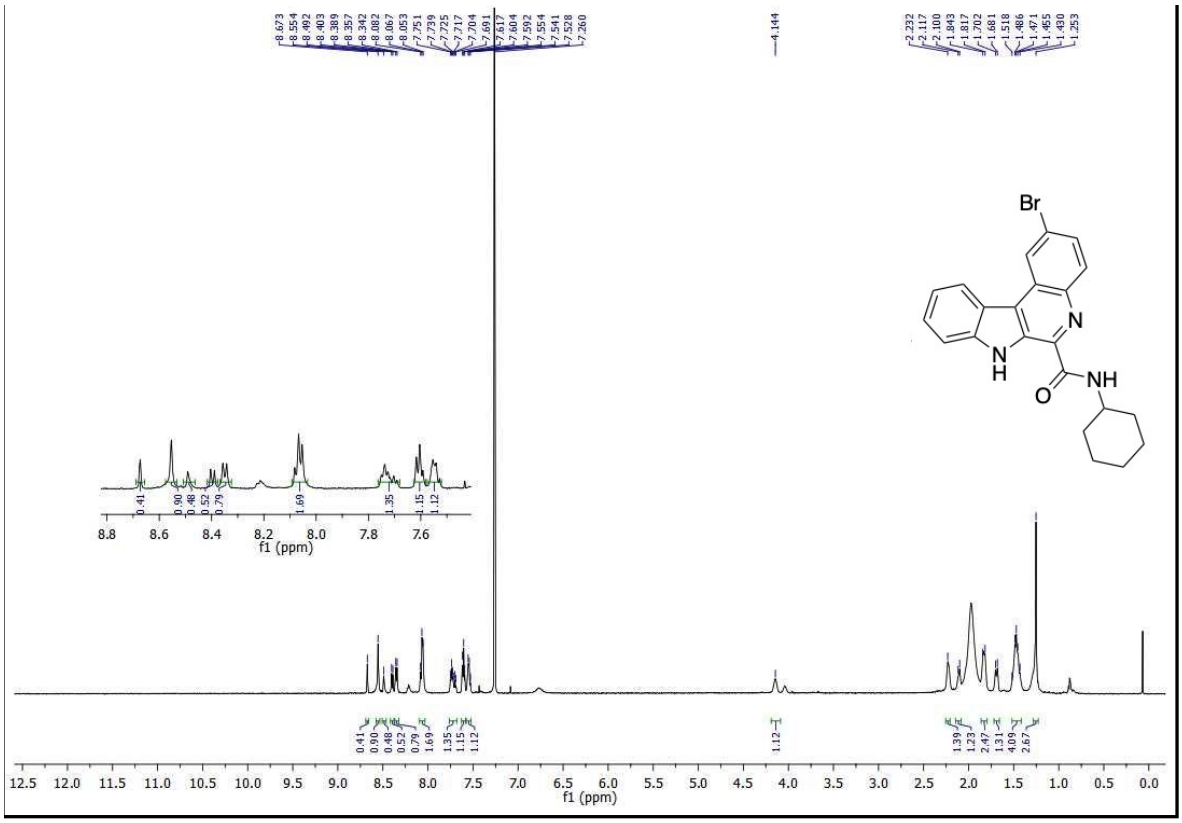
^{13}C-NMR of compound **6e** (151 MHz, $CDCl_3$)



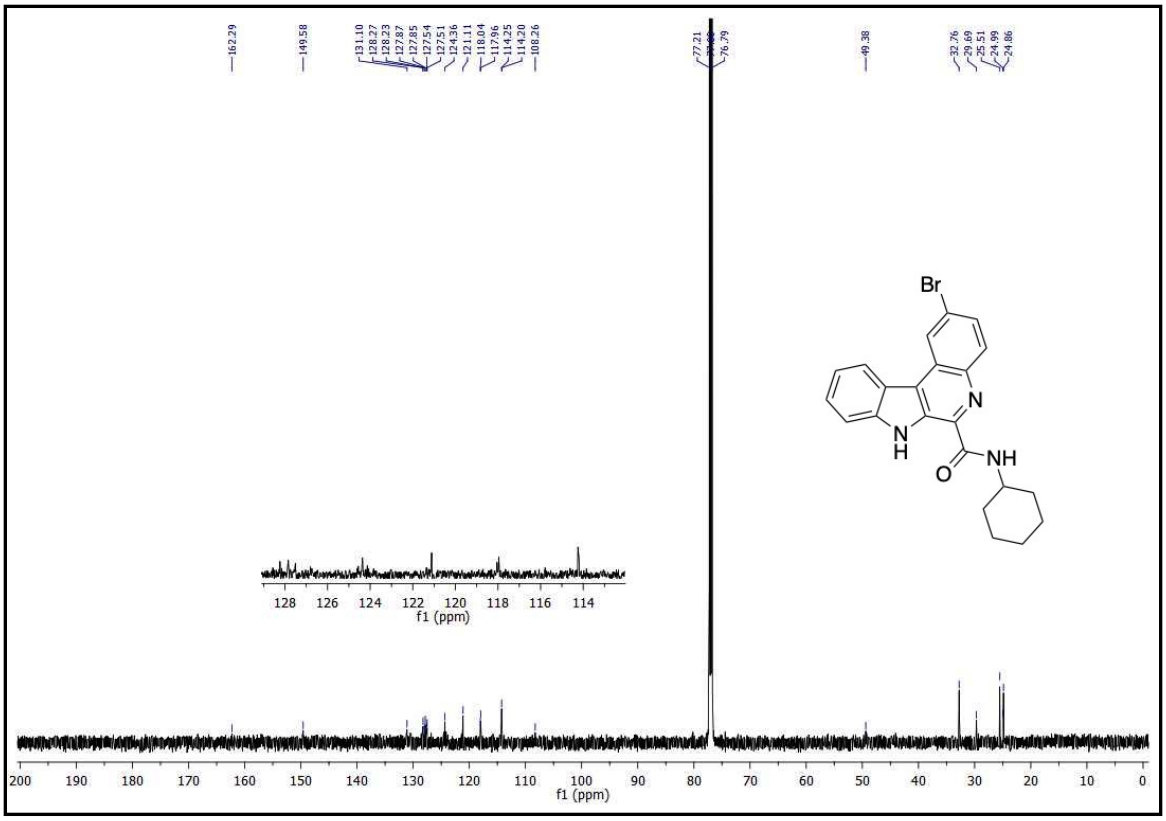
^{1}H-NMR of compound **7a** (600 MHz, $CDCl_3$)



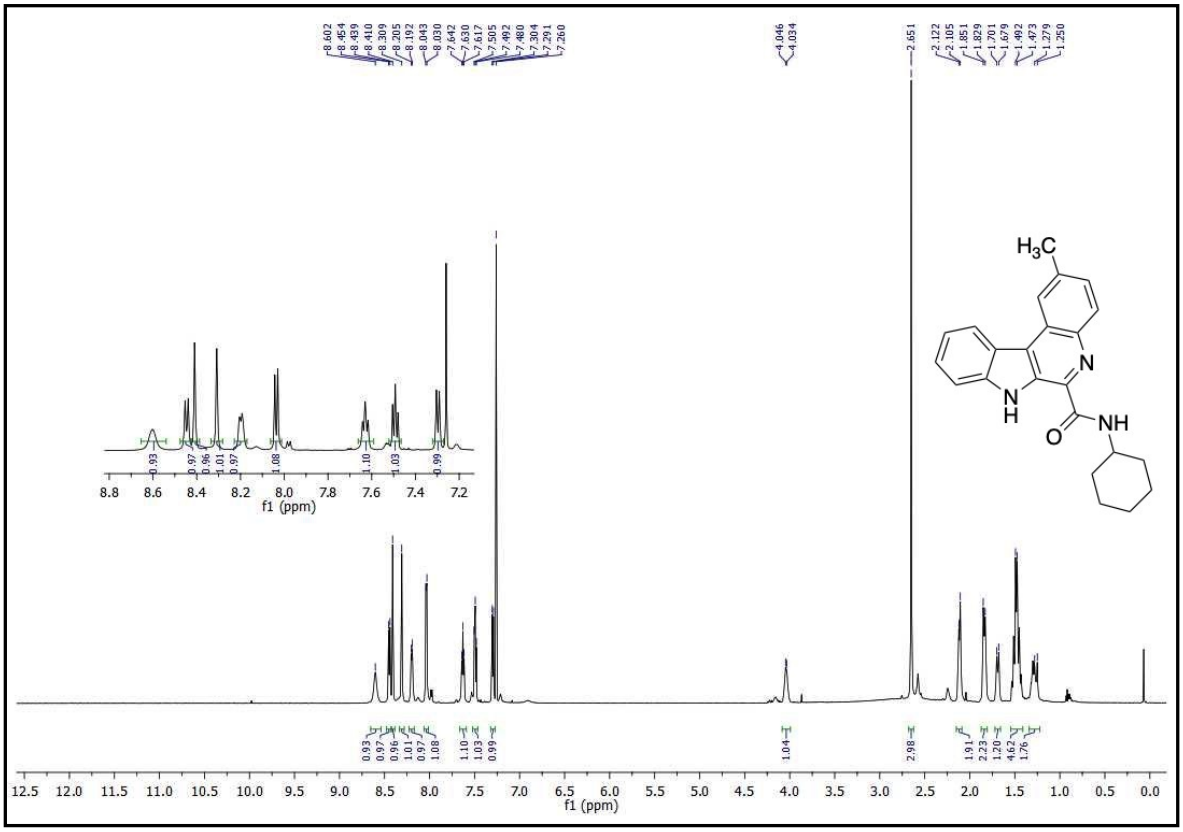
^{13}C-NMR of compound **7a** (151 MHz, $CDCl_3$)



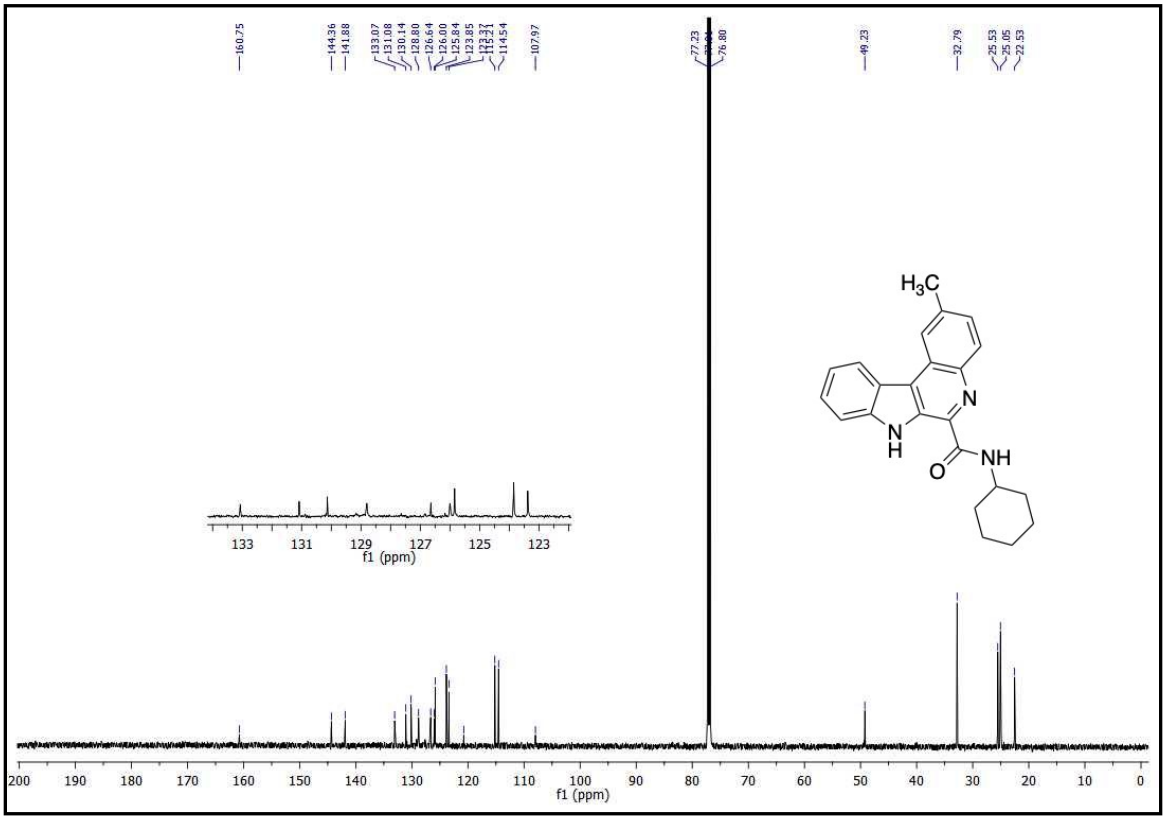
^{1}H-NMR of compound **7b** (600 MHz, $CDCl_3$)



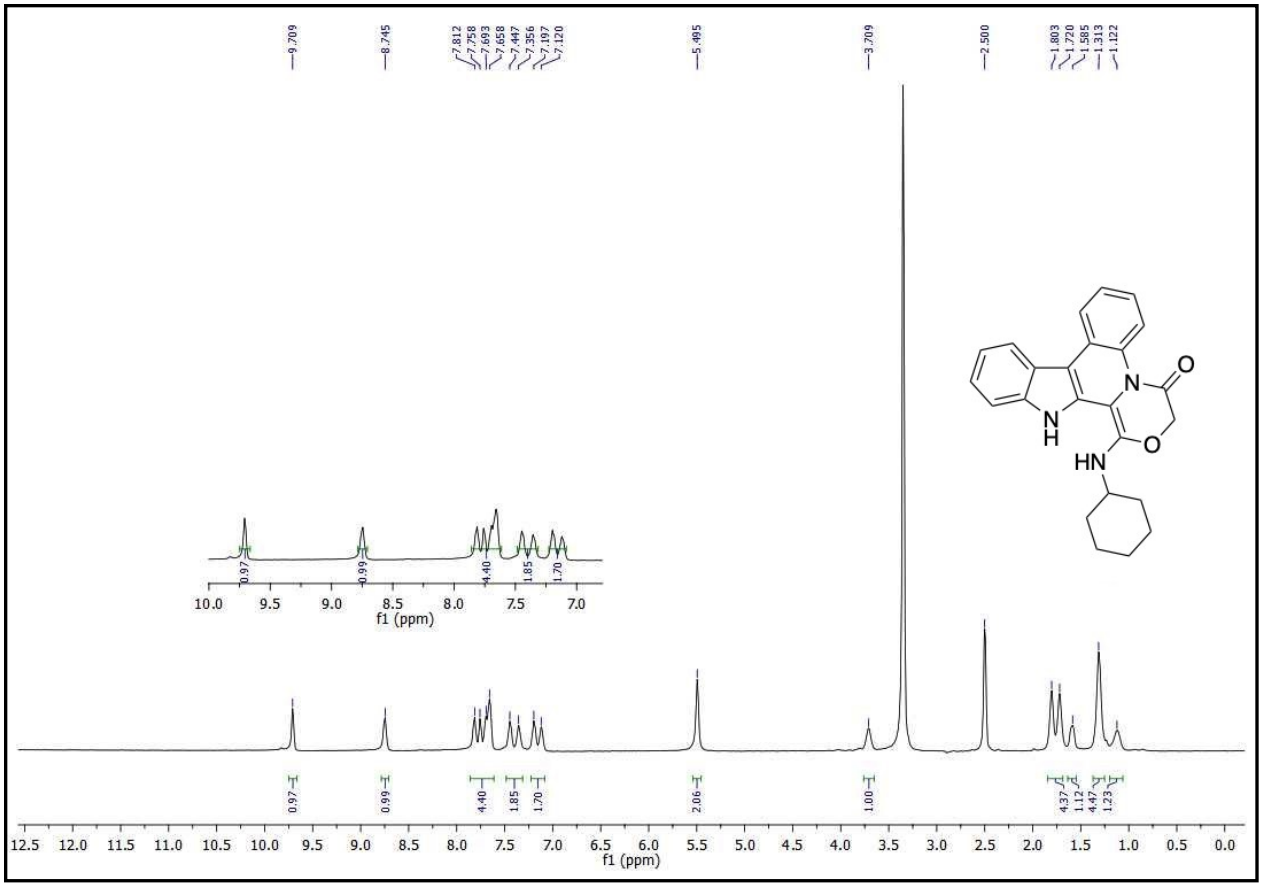
^{13}C-NMR of compound **7b** (151 MHz, $CDCl_3$)



^{1}H-NMR of compound **7c** (600 MHz, $CDCl_3$)



^{13}C-NMR of compound **7c** (151 MHz, $CDCl_3$)



^{1}H-NMR of compound **8** (500 MHz, dmso)