

Supporting Information

Highly Selective Synthesis of 6-Glyoxylamido-Quinoline Derivatives via Palladium-Catalyzed Aminocarbonylation

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Contents

X-ray Crystallographic Data of compound 2c	1.
X-ray Crystallographic Data of compound 2n	5.
X-ray Crystallographic Data of compound 3g	11.
Characterization of the synthesized compounds	16.
¹ H and ¹³ C NMR spectra of the synthesized compounds	31.

X-ray Crystallography

Computing details

For all compounds, data collection: Bruker Instrument Service vV6.2.6; cell refinement: *APEX3* v2017.3-0 (Bruker AXS); data reduction: *SAINT* V8.38A (Bruker AXS Inc., 2017). Program(s) used to solve structure: *SHELXT* 2014/5 (Sheldrick, 2014) for **(2c)**, **(3g)**; *SHELXT* 2018/2 (Sheldrick, 2018) for **(2n)**. Program(s) used to refine structure: *SHELXL*2018/3 (Sheldrick, 2018) for **(2c)**, **(2n)**; *SHELXL*2016/6 (Sheldrick, 2016) for **(3g)**. For all compounds, molecular graphics: *Mercury*; software used to prepare material for publication: *WinGX*, *publCIF*.

X-ray Crystallographic Data of compound 2c

Crystal data

$C_{16}H_{18}N_2O$	$F(000) = 272$
$M_r = 254.32$	$D_x = 1.276 \text{ Mg m}^{-3}$
Monoclinic, $P2_1$	Mo $K\alpha$ radiation, $\lambda = 0.71073 \text{ \AA}$
$a = 5.1616 (4) \text{ \AA}$	Cell parameters from 232 reflections
$b = 6.6616 (5) \text{ \AA}$	$\theta = 3.2\text{--}22.2^\circ$
$c = 19.2655 (14) \text{ \AA}$	$\mu = 0.08 \text{ mm}^{-1}$
$\beta = 92.600 (3)^\circ$	$T = 294 \text{ K}$
$V = 661.75 (9) \text{ \AA}^3$	Plate, colourless
$Z = 2$	$0.30 \times 0.17 \times 0.10 \text{ mm}$

Data collection

Bruker D8 VENTURE diffractometer	2725 independent reflections
Radiation source: microfocus sealed tube, INCOATEC $I\mu S$ 3.0	2250 reflections with $I > 2\sigma(I)$
Multilayer mirror INCOATEC monochromator	$R_{\text{int}} = 0.038$
Detector resolution: $7.3910 \text{ pixels mm}^{-1}$	$\theta_{\text{max}} = 26.4^\circ$, $\theta_{\text{min}} = 3.2^\circ$
ω and π scan	$h = -6 \rightarrow 6$
Absorption correction: multi-scan <i>SADABS</i> 2016/2 - Bruker AXS area detector scaling and absorption correction	$k = -8 \rightarrow 8$
$T_{\text{min}} = 0.91$, $T_{\text{max}} = 0.99$	$l = -24 \rightarrow 23$
7476 measured reflections	

Refinement

Refinement on F^2	Hydrogen site location: mixed
Least-squares matrix: full	H atoms treated by a mixture of independent and constrained refinement
$R[F^2 > 2\sigma(F^2)] = 0.052$	$w = 1/[\sigma^2(F_o^2) + (0.0736P)^2]$ where $P = (F_o^2 + 2F_c^2)/3$
$wR(F^2) = 0.141$	$(\Delta/\sigma)_{\max} < 0.001$
$S = 1.15$	$\Delta_{\max} = 0.51 \text{ e } \text{\AA}^{-3}$
2725 reflections	$\Delta_{\min} = -0.60 \text{ e } \text{\AA}^{-3}$
176 parameters	Extinction correction: <i>SHELXL2018/3</i> (Sheldrick 2018)
2 restraints	Extinction coefficient: 0.16 (2)
Primary atom site location: structure-invariant direct methods	Absolute structure: Flack x determined using 783 quotients $[(I+)-(I-)]/[(I+)+(I-)]$ (Parsons, Flack and Wagner, Acta Cryst. B69 (2013) 249-259).
Secondary atom site location: difference Fourier map	Absolute structure parameter: 0.4 (9)

Special details

Geometry. All esds (except the esd in the dihedral angle between two l.s. planes) are estimated using the full covariance matrix. The cell esds are taken into account individually in the estimation of esds in distances, angles and torsion angles; correlations between esds in cell parameters are only used when they are defined by crystal symmetry. An approximate (isotropic) treatment of cell esds is used for estimating esds involving l.s. planes.

Geometric parameters (\AA , $^\circ$) for (2c)

C2—C3	1.359 (5)	C11—N10	1.458 (4)
C2—C1A	1.411 (5)	C11—C16	1.511 (5)
C2—H2	0.93	C11—C12	1.513 (5)
C1A—N1	1.375 (4)	C11—H11	0.98
C1A—C5A	1.407 (4)	C12—C13	1.521 (5)
C3—C4	1.412 (5)	C12—H12A	0.97
C3—H3	0.93	C12—H12B	0.97
C4—C5	1.371 (5)	C13—C14	1.506 (7)
C4—C10	1.491 (5)	C13—H13A	0.97
C5—C5A	1.410 (5)	C13—H13B	0.97
C5—H5	0.93	C14—C15	1.504 (7)
C6—C7	1.356 (5)	C14—H14A	0.97

C6—C5A	1.412 (5)	C14—H14B	0.97
C6—H6	0.93	C15—C16	1.518 (6)
C7—C8	1.399 (6)	C15—H15A	0.97
C7—H7	0.93	C15—H15B	0.97
C8—N1	1.305 (5)	C16—H16A	0.97
C8—H8	0.93	C16—H16B	0.97
C10—O10	1.235 (4)	N10—H10	0.862 (14)
C10—N10	1.338 (4)		
C3—C2—C1A	120.7 (3)	C12—C11—H11	107.9
C3—C2—H2	119.6	C11—C12—C13	110.8 (3)
C1A—C2—H2	119.6	C11—C12—H12A	109.5
N1—C1A—C5A	122.7 (3)	C13—C12—H12A	109.5
N1—C1A—C2	118.5 (3)	C11—C12—H12B	109.5
C5A—C1A—C2	118.8 (3)	C13—C12—H12B	109.5
C2—C3—C4	121.1 (3)	H12A—C12—H12B	108.1
C2—C3—H3	119.4	C14—C13—C12	111.6 (3)
C4—C3—H3	119.4	C14—C13—H13A	109.3
C5—C4—C3	118.8 (3)	C12—C13—H13A	109.3
C5—C4—C10	119.1 (3)	C14—C13—H13B	109.3
C3—C4—C10	122.1 (3)	C12—C13—H13B	109.3
C4—C5—C5A	121.4 (3)	H13A—C13—H13B	108.0
C4—C5—H5	119.3	C15—C14—C13	112.0 (4)
C5A—C5—H5	119.3	C15—C14—H14A	109.2
C7—C6—C5A	119.4 (3)	C13—C14—H14A	109.2
C7—C6—H6	120.3	C15—C14—H14B	109.2
C5A—C6—H6	120.3	C13—C14—H14B	109.2
C1A—C5A—C5	119.2 (3)	H14A—C14—H14B	107.9
C1A—C5A—C6	117.4 (3)	C14—C15—C16	111.1 (4)
C5—C5A—C6	123.4 (3)	C14—C15—H15A	109.4
C6—C7—C8	118.8 (4)	C16—C15—H15A	109.4
C6—C7—H7	120.6	C14—C15—H15B	109.4
C8—C7—H7	120.6	C16—C15—H15B	109.4
N1—C8—C7	124.9 (4)	H15A—C15—H15B	108.0
N1—C8—H8	117.6	C11—C16—C15	111.6 (3)

C7—C8—H8	117.6	C11—C16—H16A	109.3
O10—C10—N10	122.4 (3)	C15—C16—H16A	109.3
O10—C10—C4	121.0 (3)	C11—C16—H16B	109.3
N10—C10—C4	116.6 (3)	C15—C16—H16B	109.3
N10—C11—C16	111.5 (3)	H16A—C16—H16B	108.0
N10—C11—C12	110.2 (3)	C8—N1—C1A	116.8 (3)
C16—C11—C12	111.2 (3)	C10—N10—C11	123.5 (3)
N10—C11—H11	107.9	C10—N10—H10	119 (3)
C16—C11—H11	107.9	C11—N10—H10	117 (3)
C3—C2—C1A—N1	-178.6 (3)	C3—C4—C10—O10	149.1 (4)
C3—C2—C1A—C5A	1.6 (5)	C5—C4—C10—N10	153.3 (3)
C1A—C2—C3—C4	-1.3 (6)	C3—C4—C10—N10	-29.8 (5)
C2—C3—C4—C5	-0.6 (5)	N10—C11—C12—C13	-179.5 (3)
C2—C3—C4—C10	-177.5 (3)	C16—C11—C12—C13	-55.4 (4)
C3—C4—C5—C5A	2.2 (5)	C11—C12—C13—C14	54.9 (5)
C10—C4—C5—C5A	179.2 (3)	C12—C13—C14—C15	-54.8 (5)
N1—C1A—C5A—C5	-179.8 (3)	C13—C14—C15—C16	54.4 (5)
C2—C1A—C5A—C5	-0.1 (5)	N10—C11—C16—C15	179.1 (4)
N1—C1A—C5A—C6	-1.2 (4)	C12—C11—C16—C15	55.7 (5)
C2—C1A—C5A—C6	178.6 (3)	C14—C15—C16—C11	-54.9 (5)
C4—C5—C5A—C1A	-1.9 (5)	C7—C8—N1—C1A	0.9 (6)
C4—C5—C5A—C6	179.5 (3)	C5A—C1A—N1—C8	0.6 (5)
C7—C6—C5A—C1A	0.2 (5)	C2—C1A—N1—C8	-179.1 (3)
C7—C6—C5A—C5	178.8 (3)	O10—C10—N10—C11	-0.3 (5)
C5A—C6—C7—C8	1.2 (6)	C4—C10—N10—C11	178.6 (3)
C6—C7—C8—N1	-1.8 (7)	C16—C11—N10—C10	91.8 (4)
C5—C4—C10—O10	-27.8 (5)	C12—C11—N10—C10	-144.2 (3)

Hydrogen-bond geometry (\AA , $^\circ$) for (2c)

$D-H\cdots A$	$D-H$	$H\cdots A$	$D\cdots A$	$D-H\cdots A$
N10—H10 \cdots O10 ⁱ	0.86 (1)	2.19 (2)	3.020 (4)	161 (4)

Symmetry code: (i) $x+1, y, z$.

X-ray Crystallographic Data of compound 2n

Crystal data

$2(\text{C}_{16}\text{H}_{12}\text{N}_2\text{O})$	$Z = 4$
$M_r = 248.28$	$F(000) = 520$
Triclinic, $P\bar{1}$	$D_x = 1.325 \text{ Mg m}^{-3}$
$a = 5.1930 (4) \text{ \AA}$	Mo $K\alpha$ radiation, $\lambda = 0.71073 \text{ \AA}$
$b = 9.0314 (7) \text{ \AA}$	Cell parameters from 2891 reflections
$c = 27.180 (2) \text{ \AA}$	$\theta = 3.1\text{--}22.6^\circ$
$\alpha = 98.887 (4)^\circ$	$\mu = 0.09 \text{ mm}^{-1}$
$\beta = 93.763 (4)^\circ$	$T = 294 \text{ K}$
$\gamma = 97.281 (4)^\circ$	Plate, colourless
$V = 1244.55 (17) \text{ \AA}^3$	$0.38 \times 0.19 \times 0.04 \text{ mm}$

Data collection

Bruker D8 VENTURE diffractometer	4550 independent reflections
Radiation source: microfocus sealed tube, INCOATEC I μ S 3.0	3249 reflections with $I > 2\sigma(I)$
Multilayer mirror INCOATEC monochromator	$R_{\text{int}} = 0.140$
Detector resolution: $7.3910 \text{ pixels mm}^{-1}$	$\theta_{\text{max}} = 25.4^\circ$, $\theta_{\text{min}} = 2.3^\circ$
ω and π scan	$h = -6 \rightarrow 6$
Absorption correction: multi-scan SADABS2016/2 - Bruker AXS area detector scaling and absorption correction	$k = -10 \rightarrow 10$
$T_{\text{min}} = 0.74$, $T_{\text{max}} = 1.00$	$l = -32 \rightarrow 32$
41129 measured reflections	

Refinement

Refinement on F^2	Secondary atom site location: difference Fourier map
Least-squares matrix: full	Hydrogen site location: mixed
$R[F^2 > 2\sigma(F^2)] = 0.099$	H atoms treated by a mixture of independent and constrained refinement
$wR(F^2) = 0.208$	$w = 1/[\sigma^2(F_o^2) + (0.0442P)^2 + 2.1465P]$ where $P = (F_o^2 + 2F_c^2)/3$
$S = 1.17$	$(\Delta/\sigma)_{\text{max}} < 0.001$

4550 reflections	$\Delta\rho_{\max} = 0.26 \text{ e } \text{\AA}^{-3}$
350 parameters	$\Delta\rho_{\min} = -0.22 \text{ e } \text{\AA}^{-3}$
2 restraints	Extinction correction: <i>SHELXL2018/3</i> (Sheldrick 2018)
Primary atom site location: structure-invariant direct methods	Extinction coefficient: 0.0066 (17)

Special details

Geometry. All esds (except the esd in the dihedral angle between two l.s. planes) are estimated using the full covariance matrix. The cell esds are taken into account individually in the estimation of esds in distances, angles and torsion angles; correlations between esds in cell parameters are only used when they are defined by crystal symmetry. An approximate (isotropic) treatment of cell esds is used for estimating esds involving l.s. planes.

Geometric parameters (\AA , $^\circ$) for (2n)

C2—C3	1.363 (6)	C50—N60	1.349 (5)
C2—C1A	1.418 (6)	C50—C54	1.490 (6)
C2—H2	0.93	C52—C53	1.350 (6)
C1A—N1	1.363 (6)	C52—C51A	1.419 (6)
C1A—C5A	1.405 (6)	C52—H52	0.93
C3—C4	1.411 (6)	C51A—N51	1.361 (6)
C3—H3	0.93	C51A—C55A	1.417 (6)
C4—C5	1.362 (6)	C53—C54	1.430 (6)
C4—C10	1.486 (6)	C53—H53	0.93
C5—C5A	1.412 (6)	C54—C55	1.352 (6)
C5—H5	0.93	C55—C55A	1.406 (6)
C6—C7	1.352 (6)	C55—H55	0.93
C6—C5A	1.404 (6)	C56—C57	1.353 (6)
C6—H6	0.93	C56—C55A	1.409 (6)
C7—C8	1.395 (7)	C56—H56	0.93
C7—H7	0.93	C57—C58	1.397 (7)
C8—N1	1.317 (6)	C57—H57	0.93
C8—H8	0.93	C58—N51	1.313 (6)
C10—O10	1.230 (5)	C58—H58	0.93
C10—N10	1.352 (5)	C61—C62	1.370 (6)
C11—C12	1.381 (6)	C61—C66	1.387 (6)
C11—C16	1.385 (6)	C61—N60	1.429 (5)

C11—N10	1.420 (5)	C62—C63	1.385 (7)
C12—C13	1.378 (6)	C62—H62	0.93
C12—H12	0.93	C63—C64	1.368 (7)
C13—C14	1.361 (7)	C63—H63	0.93
C13—H13	0.93	C64—C65	1.370 (7)
C14—C15	1.381 (7)	C64—H64	0.93
C14—H14	0.93	C65—C66	1.381 (6)
C15—C16	1.388 (6)	C65—H65	0.93
C15—H15	0.93	C66—H66	0.93
C16—H16	0.93	N10—H10	0.861 (19)
C50—O50	1.217 (5)	N60—H60	0.86 (2)
C3—C2—C1A	120.1 (4)	C53—C52—H52	119.9
C3—C2—H2	120.0	C51A—C52—H52	119.9
C1A—C2—H2	120.0	N51—C51A—C55A	122.7 (4)
N1—C1A—C5A	122.9 (4)	N51—C51A—C52	117.9 (4)
N1—C1A—C2	117.7 (4)	C55A—C51A—C52	119.3 (4)
C5A—C1A—C2	119.4 (4)	C52—C53—C54	121.1 (4)
C2—C3—C4	121.1 (4)	C52—C53—H53	119.4
C2—C3—H3	119.5	C54—C53—H53	119.4
C4—C3—H3	119.5	C55—C54—C53	118.7 (4)
C5—C4—C3	119.0 (4)	C55—C54—C50	124.3 (4)
C5—C4—C10	123.9 (4)	C53—C54—C50	116.9 (4)
C3—C4—C10	117.0 (4)	C54—C55—C55A	122.3 (4)
C4—C5—C5A	121.9 (4)	C54—C55—H55	118.8
C4—C5—H5	119.1	C55A—C55—H55	118.8
C5A—C5—H5	119.1	C57—C56—C55A	118.9 (4)
C7—C6—C5A	119.4 (5)	C57—C56—H56	120.6
C7—C6—H6	120.3	C55A—C56—H56	120.6
C5A—C6—H6	120.3	C55—C55A—C56	123.9 (4)
C6—C5A—C1A	117.4 (4)	C55—C55A—C51A	118.3 (4)
C6—C5A—C5	124.1 (4)	C56—C55A—C51A	117.8 (4)
C1A—C5A—C5	118.5 (4)	C56—C57—C58	119.1 (5)
C6—C7—C8	119.4 (5)	C56—C57—H57	120.4
C6—C7—H7	120.3	C58—C57—H57	120.4

C8—C7—H7	120.3	N51—C58—C57	125.1 (5)
N1—C8—C7	123.9 (4)	N51—C58—H58	117.5
N1—C8—H8	118.1	C57—C58—H58	117.5
C7—C8—H8	118.1	C62—C61—C66	120.2 (4)
O10—C10—N10	123.4 (4)	C62—C61—N60	122.0 (4)
O10—C10—C4	120.2 (4)	C66—C61—N60	117.8 (4)
N10—C10—C4	116.3 (3)	C61—C62—C63	118.9 (5)
C12—C11—C16	120.0 (4)	C61—C62—H62	120.6
C12—C11—N10	122.1 (4)	C63—C62—H62	120.6
C16—C11—N10	117.8 (4)	C64—C63—C62	121.7 (5)
C13—C12—C11	118.9 (4)	C64—C63—H63	119.2
C13—C12—H12	120.6	C62—C63—H63	119.2
C11—C12—H12	120.6	C63—C64—C65	118.9 (5)
C14—C13—C12	122.1 (5)	C63—C64—H64	120.5
C14—C13—H13	118.9	C65—C64—H64	120.5
C12—C13—H13	118.9	C64—C65—C66	120.7 (5)
C13—C14—C15	119.1 (4)	C64—C65—H65	119.6
C13—C14—H14	120.5	C66—C65—H65	119.6
C15—C14—H14	120.5	C65—C66—C61	119.5 (4)
C14—C15—C16	120.2 (4)	C65—C66—H66	120.2
C14—C15—H15	119.9	C61—C66—H66	120.2
C16—C15—H15	119.9	C8—N1—C1A	117.1 (4)
C11—C16—C15	119.7 (4)	C10—N10—C11	125.3 (3)
C11—C16—H16	120.1	C10—N10—H10	120 (3)
C15—C16—H16	120.1	C11—N10—H10	114 (3)
O50—C50—N60	123.8 (4)	C58—N51—C51A	116.5 (4)
O50—C50—C54	120.9 (4)	C50—N60—C61	125.1 (3)
N60—C50—C54	115.2 (3)	C50—N60—H60	121 (3)
C53—C52—C51A	120.2 (4)	C61—N60—H60	112 (3)
C3—C2—C1A—N1	-178.7 (4)	O50—C50—C54—C53	-35.0 (6)
C3—C2—C1A—C5A	1.7 (6)	N60—C50—C54—C53	143.3 (4)
C1A—C2—C3—C4	-1.8 (7)	C53—C54—C55—C55A	0.1 (6)
C2—C3—C4—C5	0.7 (6)	C50—C54—C55—C55A	-177.7 (4)

C2—C3—C4—C10	179.1 (4)	C54—C55—C55A—C56	179.6 (4)
C3—C4—C5—C5A	0.5 (6)	C54—C55—C55A—C51A	-0.9 (6)
C10—C4—C5—C5A	-177.8 (4)	C57—C56—C55A—C55	179.1 (5)
C7—C6—C5A—C1A	-0.8 (7)	C57—C56—C55A—C51A	-0.4 (7)
C7—C6—C5A—C5	-179.9 (5)	N51—C51A—C55A—C55	-179.0 (4)
N1—C1A—C5A—C6	0.8 (7)	C52—C51A—C55A—C55	0.3 (6)
C2—C1A—C5A—C6	-179.6 (4)	N51—C51A—C55A—C56	0.5 (7)
N1—C1A—C5A—C5	179.9 (4)	C52—C51A—C55A—C56	179.8 (4)
C2—C1A—C5A—C5	-0.5 (6)	C55A—C56—C57—C58	0.0 (8)
C4—C5—C5A—C6	178.5 (4)	C56—C57—C58—N51	0.4 (9)
C4—C5—C5A—C1A	-0.6 (6)	C66—C61—C62—C63	-2.8 (7)
C5A—C6—C7—C8	0.3 (8)	N60—C61—C62—C63	179.8 (4)
C6—C7—C8—N1	0.3 (8)	C61—C62—C63—C64	1.2 (8)
C5—C4—C10—O10	143.7 (5)	C62—C63—C64—C65	0.6 (8)
C3—C4—C10—O10	-34.7 (6)	C63—C64—C65—C66	-0.7 (8)
C5—C4—C10—N10	-37.5 (6)	C64—C65—C66—C61	-0.9 (7)
C3—C4—C10—N10	144.1 (4)	C62—C61—C66—C65	2.7 (6)
C16—C11—C12—C13	-1.8 (7)	N60—C61—C66—C65	-179.8 (4)
N10—C11—C12—C13	-179.9 (4)	C7—C8—N1—C1A	-0.4 (8)
C11—C12—C13—C14	0.1 (7)	C5A—C1A—N1—C8	-0.2 (7)
C12—C13—C14—C15	1.1 (7)	C2—C1A—N1—C8	-179.8 (4)
C13—C14—C15—C16	-0.6 (7)	O10—C10—N10—C11	1.3 (7)
C12—C11—C16—C15	2.2 (6)	C4—C10—N10—C11	-177.5 (4)
N10—C11—C16—C15	-179.6 (4)	C12—C11—N10—C10	-38.8 (6)
C14—C15—C16—C11	-1.0 (7)	C16—C11—N10—C10	143.1 (4)
C53—C52—C51A—N51	-179.6 (4)	C57—C58—N51—C51A	-0.3 (8)
C53—C52—C51A—C55A	1.1 (7)	C55A—C51A—N51—C58	-0.2 (7)
C51A—C52—C53—	-1.9 (7)	C52—C51A—N51—	-179.4 (5)

C54		C58	
C52—C53—C54—C55	1.3 (7)	O50—C50—N60—C61	1.1 (7)
C52—C53—C54—C50	179.3 (4)	C54—C50—N60—C61	-177.2 (4)
O50—C50—C54—C55	142.8 (5)	C62—C61—N60—C50	-38.9 (6)
N60—C50—C54—C55	-38.9 (6)	C66—C61—N60—C50	143.7 (4)

Hydrogen-bond geometry (\AA , $^\circ$) for (2n)

$D-H\cdots A$	$D-H$	$H\cdots A$	$D\cdots A$	$D-H\cdots A$
C8—H8 \cdots N51 ⁱ	0.93	2.66	3.557 (6)	162
N10—H10 \cdots O10 ⁱⁱ	0.86 (2)	2.18 (3)	2.999 (4)	160 (5)
N60—H60 \cdots O50 ⁱⁱⁱ	0.86 (2)	2.19 (2)	3.020 (4)	162 (5)

Symmetry codes: (i) $-x+1, -y+1, -z+1$; (ii) $x-1, y, z$; (iii) $x+1, y, z$.

X-ray Crystallographic Data of compound 3g

Crystal data

$C_{21}H_{28}N_2O_2$	$Z = 2$
$M_r = 340.45$	$F(000) = 368$
Triclinic, $P\bar{1}$	$D_x = 1.190 \text{ Mg m}^{-3}$
$a = 5.2074 (9) \text{ \AA}$	Mo $K\alpha$ radiation, $\lambda = 0.71073 \text{ \AA}$
$b = 11.0289 (18) \text{ \AA}$	Cell parameters from 223 reflections
$c = 16.859 (3) \text{ \AA}$	$\theta = 2.5\text{--}21.1^\circ$
$\alpha = 79.495 (10)^\circ$	$\mu = 0.08 \text{ mm}^{-1}$
$\beta = 86.693 (11)^\circ$	$T = 300 \text{ K}$
$\gamma = 89.903 (9)^\circ$	Rod, colourless
$V = 950.4 (3) \text{ \AA}^3$	$0.54 \times 0.17 \times 0.07 \text{ mm}$

Data collection

Bruker D8 VENTURE diffractometer	3507 independent reflections
Radiation source: microfocus sealed tube, INCOATEC I μ S 3.0	2145 reflections with $I > 2\sigma(I)$
Multilayer mirror INCOATEC monochromator	$R_{\text{int}} = 0.193$
Detector resolution: 7.3910 pixels mm^{-1}	$\theta_{\text{max}} = 25.7^\circ$, $\theta_{\text{min}} = 2.4^\circ$
ω and π scan	$h = -6 \rightarrow 6$
Absorption correction: multi-scan SADABS2016/2 - Bruker AXS area detector scaling and absorption correction	$k = -13 \rightarrow 13$
$T_{\text{min}} = 0.42$, $T_{\text{max}} = 0.99$	$l = -20 \rightarrow 20$
20248 measured reflections	

Refinement

Refinement on F^2	Secondary atom site location: difference Fourier map
Least-squares matrix: full	Hydrogen site location: mixed
$R[F^2 > 2\sigma(F^2)] = 0.143$	H atoms treated by a mixture of independent and constrained refinement
$wR(F^2) = 0.359$	$w = 1/[\sigma^2(F_o^2) + (0.198P)^2 + 0.0785P]$ where $P = (F_o^2 + 2F_c^2)/3$
$S = 1.13$	$(\Delta/\sigma)_{\text{max}} < 0.001$
3507 reflections	$\Delta\rho_{\text{max}} = 0.73 \text{ e \AA}^{-3}$

232 parameters	$\Delta\rho_{\min} = -0.59 \text{ e } \text{\AA}^{-3}$
1 restraint	Extinction correction: <i>SHELXL2018/3</i> (Sheldrick 2018)
Primary atom site location: structure-invariant direct methods	Extinction coefficient: 0.55 (8)

Special details

Geometry. All esds (except the esd in the dihedral angle between two l.s. planes) are estimated using the full covariance matrix. The cell esds are taken into account individually in the estimation of esds in distances, angles and torsion angles; correlations between esds in cell parameters are only used when they are defined by crystal symmetry. An approximate (isotropic) treatment of cell esds is used for estimating esds involving l.s. planes.

Geometric parameters (\AA , $^\circ$) for (3g)

C2—N1	1.323 (6)	C62—H62A	0.97
C2—C3	1.384 (7)	C62—H62B	0.97
C2—H2	0.93	C63—C64	1.506 (6)
C3—C4	1.348 (7)	C63—H63A	0.97
C3—H3	0.93	C63—H63B	0.97
C4—C4A	1.415 (6)	C64—C65	1.509 (6)
C4—H4	0.93	C64—H64A	0.97
C5—C6	1.363 (6)	C64—H64B	0.97
C5—C4A	1.421 (6)	C65—C66	1.513 (6)
C5—H5	0.93	C65—H65A	0.97
C4A—C8A	1.400 (6)	C65—H65B	0.97
C6—C7	1.405 (6)	C66—C67	1.498 (7)
C6—C10	1.479 (6)	C66—H66A	0.97
C7—C8	1.356 (7)	C66—H66B	0.97
C7—H7	0.93	C67—C68	1.511 (6)
C8—C8A	1.409 (6)	C67—H67A	0.97
C8—H8	0.93	C67—H67B	0.97
C8A—N1	1.369 (6)	C68—C69	1.503 (7)
C10—O10	1.216 (5)	C68—H68A	0.97
C10—C11	1.536 (6)	C68—H68B	0.97
C11—O11	1.216 (5)	C69—C70	1.507 (7)
C11—N10	1.317 (5)	C69—H69A	0.97
C61—N10	1.465 (5)	C69—H69B	0.97

C61—C62	1.488 (6)	C70—H70A	0.96
C61—H61A	0.97	C70—H70B	0.96
C61—H61B	0.97	C70—H70C	0.96
C62—C63	1.511 (6)	N10—H10	0.85 (2)
N1—C2—C3	125.1 (4)	C62—C63—H63B	108.6
N1—C2—H2	117.5	H63A—C63—H63B	107.5
C3—C2—H2	117.5	C63—C64—C65	114.9 (4)
C4—C3—C2	119.3 (5)	C63—C64—H64A	108.5
C4—C3—H3	120.4	C65—C64—H64A	108.5
C2—C3—H3	120.4	C63—C64—H64B	108.5
C3—C4—C4A	118.9 (4)	C65—C64—H64B	108.5
C3—C4—H4	120.6	H64A—C64—H64B	107.5
C4A—C4—H4	120.6	C64—C65—C66	115.6 (4)
C6—C5—C4A	120.5 (4)	C64—C65—H65A	108.4
C6—C5—H5	119.7	C66—C65—H65A	108.4
C4A—C5—H5	119.7	C64—C65—H65B	108.4
C8A—C4A—C4	117.9 (4)	C66—C65—H65B	108.4
C8A—C4A—C5	119.0 (4)	H65A—C65—H65B	107.4
C4—C4A—C5	123.1 (4)	C67—C66—C65	114.9 (4)
C5—C6—C7	119.6 (4)	C67—C66—H66A	108.5
C5—C6—C10	119.5 (4)	C65—C66—H66A	108.5
C7—C6—C10	120.8 (4)	C67—C66—H66B	108.5
C8—C7—C6	121.1 (4)	C65—C66—H66B	108.5
C8—C7—H7	119.4	H66A—C66—H66B	107.5
C6—C7—H7	119.4	C66—C67—C68	115.8 (4)
C7—C8—C8A	120.2 (4)	C66—C67—H67A	108.3
C7—C8—H8	119.9	C68—C67—H67A	108.3
C8A—C8—H8	119.9	C66—C67—H67B	108.3
N1—C8A—C4A	122.7 (4)	C68—C67—H67B	108.3
N1—C8A—C8	117.9 (4)	H67A—C67—H67B	107.4
C4A—C8A—C8	119.4 (4)	C69—C68—C67	115.2 (4)
O10—C10—C6	123.5 (4)	C69—C68—H68A	108.5
O10—C10—C11	118.7 (4)	C67—C68—H68A	108.5
C6—C10—C11	117.6 (3)	C69—C68—H68B	108.5

O11—C11—N10	124.9 (4)	C67—C68—H68B	108.5
O11—C11—C10	118.8 (3)	H68A—C68—H68B	107.5
N10—C11—C10	116.4 (3)	C68—C69—C70	114.7 (4)
N10—C61—C62	113.7 (4)	C68—C69—H69A	108.6
N10—C61—H61A	108.8	C70—C69—H69A	108.6
C62—C61—H61A	108.8	C68—C69—H69B	108.6
N10—C61—H61B	108.8	C70—C69—H69B	108.6
C62—C61—H61B	108.8	H69A—C69—H69B	107.6
H61A—C61—H61B	107.7	C69—C70—H70A	109.5
C61—C62—C63	112.5 (4)	C69—C70—H70B	109.5
C61—C62—H62A	109.1	H70A—C70—H70B	109.5
C63—C62—H62A	109.1	C69—C70—H70C	109.5
C61—C62—H62B	109.1	H70A—C70—H70C	109.5
C63—C62—H62B	109.1	H70B—C70—H70C	109.5
H62A—C62—H62B	107.8	C2—N1—C8A	116.1 (4)
C64—C63—C62	114.8 (4)	C11—N10—C61	120.2 (4)
C64—C63—H63A	108.6	C11—N10—H10	119 (4)
C62—C63—H63A	108.6	C61—N10—H10	120 (4)
C64—C63—H63B	108.6		
N1—C2—C3—C4	-0.4 (8)	C7—C6—C10—C11	-28.4 (6)
C2—C3—C4—C4A	-0.7 (8)	O10—C10—C11—O11	138.8 (5)
C3—C4—C4A—C8A	0.8 (7)	C6—C10—C11—O11	-35.9 (6)
C3—C4—C4A—C5	-178.3 (4)	O10—C10—C11—N10	-40.2 (6)
C6—C5—C4A—C8A	-2.1 (7)	C6—C10—C11—N10	145.0 (4)
C6—C5—C4A—C4	177.0 (4)	N10—C61—C62—C63	178.5 (4)
C4A—C5—C6—C7	3.3 (7)	C61—C62—C63—C64	-179.8 (4)
C4A—C5—C6—C10	-177.2 (4)	C62—C63—C64—C65	-179.3 (4)
C5—C6—C7—C8	-1.7 (8)	C63—C64—C65—C66	-176.9 (4)
C10—C6—C7—C8	178.7 (5)	C64—C65—C66—C67	179.8 (4)
C6—C7—C8—C8A	-1.0 (9)	C65—C66—C67—C68	-178.4 (4)
C4—C4A—C8A—N1	0.1 (7)	C66—C67—C68—C69	-179.9 (4)
C5—C4A—C8A—N1	179.3 (4)	C67—C68—C69—C70	-179.2 (5)
C4—C4A—C8A—C8	-179.8 (5)	C3—C2—N1—C8A	1.3 (8)
C5—C4A—C8A—C8	-0.6 (7)	C4A—C8A—N1—C2	-1.1 (7)

C7—C8—C8A—N1	-177.7 (5)	C8—C8A—N1—C2	178.8 (5)
C7—C8—C8A—C4A	2.1 (8)	O11—C11—N10—C61	-1.4 (7)
C5—C6—C10—O10	-22.4 (7)	C10—C11—N10—C61	177.6 (4)
C7—C6—C10—O10	157.2 (5)	C62—C61—N10—C11	163.3 (4)
C5—C6—C10—C11	152.1 (4)		

Hydrogen-bond geometry (\AA , $^\circ$) for (3g)

$D-H\cdots A$	$D-H$	$H\cdots A$	$D\cdots A$	$D-H\cdots A$
N10—H10 \cdots O11 ⁱ	0.85 (2)	2.24 (3)	3.046 (5)	159 (5)

Symmetry code: (i) $x-1, y, z$.

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Characterization of the synthesized compounds

N-(*tert*-Butyl)-quinoline-6-carboxamide (**2a**)

Yield: 44 mg (8%); brown solid, m.p: 139-141 °C, R_f (80 % CHCl₃, 20 % EtOAc) 0.25; IR (KBr, ν (cm⁻¹)): 3336 *m*, 2978 *w*, 2963 *w*, 1649 *vs*, 1637 *vs*, 1621 *m*, 1543 *m*, 800 *m*. ¹H NMR (500 MHz, CDCl₃) δ 8.94 (H_{Ar}, *d*, *J* = 3.7 Hz, 1H), 8.20 (H_{Ar}, *s*, 1H), 8.16 (H_{Ar}, *d*, *J* = 8.2 Hz, 1H), 8.08 (H_{Ar}, *d*, *J* = 8.7 Hz, 1H), 7.98 (H_{Ar}, *d*, *J* = 8.7 Hz, 1H), 7.42 (H_{Ar}, *dd*, *J* = 8.2, 4.2 Hz, 1H), 6.26 (NH, *br s*, 1H), 1.51 (C(CH₃)₃, *s*, 9H). ¹³C NMR (126 MHz, CDCl₃) δ 166.3 (CO), 151.7, 149.1, 136.9, 133.8, 129.8, 127.51, 127.2, 127.1, 121.8, 51.9 (C(CH₃)₃), 28.9 (C(CH₃)₃). MS (EI): *m/z* (rel. int, %): 228 (25, [M⁺]), 156 (100), 128 (35), 101 (8), 77 (6), 51 (4).

N-(*tert*-Butyl)-2-oxo-2-(quinolin-6-yl)acetamide (**3a**)

Yield: 159 mg (62%); pale-yellow solid, m.p: 119-122 °C, R_f (85 % CHCl₃, 15 % EtOAc) 0.55. IR (KBr, ν (cm⁻¹)): 3201 *w*, 3042 *w*, 2993 *w*, 2969 *m*, 2928 *w*, 2869 *w*, 1688 *vs*, 1664 *vs*, 1622 *s*, 1574 *m*, 1565 *m*, 1459 *m*, 1435 *m*, 1364 *m*, 1241 *w*, 1226 *s*, 1171 *s*, 845 *m*. ¹H NMR (500 MHz, CDCl₃) δ 9.19 (H_{Ar}, *s*, 1H), 9.00 (H_{Ar}, *dd*, *J* = 4, 1.5 Hz, 1H), 8.40 (H_{Ar}, *dd*, *J* = 8.9, 1.2 Hz, 1H), 8.30 (H_{Ar}, *d*, *J* = 8.2 Hz, 1H), 8.14 (H_{Ar}, *d*, *J* = 8.9 Hz, 1H), 7.47 (H_{Ar}, *dd*, *J* = 8.2, 4.2 Hz, 1H), 7.11 (NH, *br s*, 1H), 1.49 (C(CH₃)₃, *s*, 9H). ¹³C NMR (126 MHz, CDCl₃) δ 187.4 (CO), 160.9 (CO), 153.2, 150.5, 138.1, 134.7, 131.1, 129.9, 129.3, 127.3, 122.0, 51.8, 28.4. MS (EI): *m/z* (rel. int, %): 256 (1, [M⁺]), 200 (12), 156 (100), 128 (38), 101 (10), 77 (5), 57 (35).

Piperidin-1-yl-(quinolin-6-yl)methanone (**2b**)

Yield: 39 mg (16%); light oil, R_f (70 % CHCl₃, 30 % EtOAc) 0.25; IR (KBr, ν (cm⁻¹)): 3456 *m/br*, 2938 *w*, 2855 *w*, 1630 *s*, 1620 *s*, 1475 *m*, 1442 *m*, 1275 *m*, 854 *m*. ¹H NMR (500 MHz, CDCl₃) δ 8.91 (H_{Ar}, *dd*, *J* = 3.5, 1 Hz, 1H), 8.15 (H_{Ar}, *d*, *J* = 8.3 Hz, 1H), 8.10 (H_{Ar}, *d*, *J* = 8.6 Hz, 1H), 7.85 (H_{Ar}, *s*, 1H), 7.68 (H_{Ar}, *d*, *J* = 8.6 Hz, 1H), 7.40 (H_{Ar}, *dd*, *J* = 8.2, 4.2 Hz, 1H), 3.73 ((CH₂)_{piperidinyl}, *br s*, 2H), 3.34 ((CH₂)_{piperidinyl}, *br s*, 2H), 1.66 (((CH₂)₂)_{piperidinyl}, *br s*, 4H), 1.50 ((CH₂)_{piperidinyl}, *br s*, 2H). ¹³C NMR (126 MHz, CDCl₃) δ 169.5 (CO), 151.4, 148.2, 136.5, 134.7, 129.7, 127.8, 127.8, 126.5, 121.8, 48.8, 43.2 (CH₂)_{piperidinyl}, 26.5 (CH₂)_{piperidinyl}, 25.6 (CH₂)_{piperidinyl}, 24.5 ((CH₂)₂)_{piperidinyl}. MS (EI): *m/z* (rel. int, %): 240 (52, [M⁺]), 239 (100), 207 (2), 156 (7), 128 (56), 101 (20), 44 (4).

1-(Piperidin-1-yl)-2-(quinolin-6-yl)ethane-1,2-dione (**3b**)

Yield: 220 mg (82%); orange waxy solid, R_f (70 % CHCl₃, 30 % EtOAc) 0.45; IR (KBr, ν (cm⁻¹)): 2944 *w*, 2866 *w*, 2847 *w*, 1673 *vs*, 1641 *vs*, 1621 *s*, 1446 *w*, 1321 *m*, 1294 *m*, 1246 *m*, 1171 *m*, 1121 *m*, 976 *w*, 841 *m*. ¹H NMR (500 MHz, CDCl₃) δ 9.06 (H_{Ar}, *dd*, *J* = 4.3, 1.7 Hz, 1H), 8.48 (H_{Ar}, *d*, *J* = 1.5 Hz, 1H), 8.34 (H_{Ar}, *d*, *J* = 8.3 Hz, 1H), 8.29 (H_{Ar}, *dd*, *J* = 8.8, 1.8 Hz, 1H), 8.25 (H_{Ar}, *d*, *J* = 8.8 Hz, 1H), 7.55 (H_{Ar}, *dd*, *J* = 8.3, 4.3 Hz, 1H), 3.77 ((CH₂)_{piperidinyl},

m, 2H), 3.37 – 3.33 ((CH₂)_{piperidinyl}, *t*, *J* = 5.5 Hz, 2H), 1.76 – 1.72 (((CH₂)₂)_{piperidinyl}, *m*, 4H), 1.59–1.74 ((CH₂)_{piperidinyl}, *m*, 2H). ¹³C NMR (126 MHz, CDCl₃) δ 191.1 (CO), 165.1 (CO), 153.0, 150.3, 138.2, 132.4, 131.3, 130.4, 127.8, 127.6, 122.3, 47.2 (CH₂)_{piperidinyl}, 42.4 (CH₂)_{piperidinyl}, 26.3 (CH₂)_{piperidinyl}, 25.5 (CH₂)_{piperidinyl}, 24.4 (CH₂)_{piperidinyl}. MS (EI): *m/z* (rel. int, %): 268 (7, [M⁺]), 240 (47), 207 (5), 156 (85), 128 (47), 112 (100), 101 (21), 69 (72) 41 (35).

N-Cyclohexylquinoline-6-carboxamide (**2c**)

Yield: 33 mg (13%); colorless crystals, m.p: 213–215 °C, *R*_f (95 % CHCl₃, 5 % EtOAc) 0.25; IR (KBr, ν (cm⁻¹)): 3195 *w*, 3037 *w*, 2923 *m*, 2853 *w*, 1684 *s*, 1657 *vs*, 1622 *m*, 1559 *w*, 1173 *m*, 803 *m*. ¹H NMR (500 MHz, CDCl₃) δ 8.96 (H_{Ar}, *dd*, *J* = 4.2, 1.5 Hz, 1H), 8.29 (H_{Ar}, *d*, *J* = 1.7 Hz, 1H), 8.22 (H_{Ar}, *d*, *J* = 7.6 Hz, 1H), 8.14 (H_{Ar}, *d*, *J* = 8.8 Hz, 1H), 8.05 (H_{Ar}, *dd*, *J* = 8.8, 1.9 Hz, 1H), 7.46 (H_{Ar}, *dd*, *J* = 8.3, 4.2 Hz, 1H), 6.36 (NH, *d*, *J* = 7.4 Hz, 1H), 4.04 (CH_{Cy}, *tdt*, *J* = 11.7, 8.0, 3.9 Hz, 1H), 2.08 ((CH₂)_{Cy}, *dd*, *J* = 12.2, 3.1 Hz, 2H), 1.81 – 1.76 ((CH₂)_{Cy}, *dt*, *J* = 14.0, 3.5 Hz, 2H), 1.70 – 1.65 ((-H_bCH_a-)_{Cy}, *dt*, *J* = 13.0, 3.5 Hz, 1H), 1.50 – 1.39 ((CH₂)_{Cy}, *m*, 2H), 1.35 – 1.16 ((-H_bCH_a-CH₂)_{Cy}, *m*, 3H). ¹³C NMR (126 MHz, CDCl₃) δ 165.9 (CO), 151.6, 148.9, 137.3, 133.1, 129.6, 127.6, 127.5, 127.4, 121.9, 49.1, 33.2 (2×(CH₂)_{Cy}), 25.6, 25.0 (2×(CH₂)_{Cy}). MS (EI): *m/z* (rel. int, %) : 254 (40, [M⁺]), 173 (65), 156 (100), 128 (58), 101 (20), 40 (11).

N-Cyclohexyl-2-oxo-2-(quinolin-6-yl)acetamide (**3c**)

Yield: 184 mg (65%); pale-yellow waxy solid, *R*_f (95 % CHCl₃, 5 % EtOAc) 0.40; IR (KBr, ν (cm⁻¹)): 3195 *w*, 3037 *w*, 2923 *m*, 2853 *w*, 1684 *s*, 1657 *vs*, 1622 *m*, 1559 *w*, 1173 *m*, 803 *m*. ¹H NMR (500 MHz, CDCl₃) δ 9.24 (H_{Ar}, *d*, *J* = 1.7 Hz, 1H), 9.03 (H_{Ar}, *dd*, *J* = 4.2, 1.6 Hz, 1H), 8.43 (H_{Ar}, *dd*, *J* = 8.9, 1.9 Hz, 1H), 8.33 (H_{Ar}, *d*, *J* = 7.7 Hz, 1H), 8.17 (H_{Ar}, *d*, *J* = 8.9 Hz, 1H), 7.51 (H_{Ar}, *dd*, *J* = 8.3, 4.3 Hz, 1H), 7.15 (NH, *d*, *J* = 7.3 Hz, 1H), 3.94 – 3.86 (CH_{Cy}, *m*, 1H), 2.03 ((CH₂)_{Cy}, *dd*, *J* = 12.5, 3.4 Hz, 2H), 1.85 – 1.75 ((CH₂)_{Cy}, *m*, 2H), 1.71 – 1.64 ((-H_aCH_b-)_{Cy}, *m*, 1H), 1.50 – 1.38 ((CH₂)_{Cy}, *m*, 2H), 1.38 – 1.18 ((-H_bCH_a-CH₂)_{Cy}, *m*, 3H). ¹³C NMR (126 MHz, CDCl₃) δ 187.0 (CO), 160.7 (CO), 153.0, 150.3, 138.4, 134.8, 131.2, 129.7, 129.3, 127.4, 122.0, 48.7, 32.7 (2×(CH₂)_{Cy}), 25.4, 24.8 (2×(CH₂)_{Cy}). MS (EI): *m/z* (rel. int, %): 282 (13, [M⁺]), 156 (100), 129 (68), 101 (14), 83 (30), 55 (26).

Pyrrolidin-1-yl(quinolin-6-yl)methanone (**2d**)

Yield: 57 mg (25%); brownish oil, *R*_f (70 % CHCl₃, 30 % EtOAc, 5 % MeOH) 0.60; IR (KBr, ν (cm⁻¹)): 3449 *w/br*, 2973 *w*, 2877 *m*, 1628 *vs*, 1612 *vs*, 1588 *m*, 1468 *m*, 1435 *m*, 847 *m*. ¹H NMR (500 MHz, CDCl₃) δ 8.94 (H_{Ar}, *dd*, *J* = 4.2, 1.6 Hz, 1H), 8.18 (H_{Ar}, *d*, *J* = 7.9 Hz, 1H), 8.12 (H_{Ar}, *d*, *J* = 8.7 Hz, 1H), 7.99 (H_{Ar}, *d*, *J* = 1.5 Hz, 1H), 7.83 (H_{Ar}, *dd*, *J* = 8.7, 1.8 Hz, 1H), 7.43 (H_{Ar}, *dd*, *J* = 8.3, 4.2 Hz, 1H), 3.69 ((CH₂)_{Pyr}, *t*, *J* = 7.0 Hz, 2H), 3.46 ((CH₂)_{Pyr}, *t*, *J* = 6.6 Hz, 2H), 2.03 – 1.94 ((CH₂)_{Pyr}, *qt*, 2H), 1.93 – 1.83 ((CH₂)_{Pyr}, *qt*, 2H). ¹³C NMR (126 MHz, CDCl₃) δ 169.0

(CO), 151.5, 148.4, 136.7, 135.3, 129.6, 128.0, 127.6, 127.0, 121.8, 49.7 ($\underline{\text{CH}_2}_{\text{Pyr}}$), 46.4 ($\underline{\text{CH}_2}_{\text{Pyr}}$), 26.4 ($\underline{\text{CH}_2}_{\text{Pyr}}$), 24.5 ($\underline{\text{CH}_2}_{\text{Pyr}}$). MS (EI): m/z (rel. int, %): 226 (58, $[\text{M}^+]$), 197 (19), 156 (100), 128 (56), 101 (25), 77 (11), 51 (6).

1-(Pyrrolidin-1-yl)-2-(quinolin-6-yl)ethane-1,2-dione (3d)

Yield: 143 mg (56%); brownish waxy solid, R_f (70 % CHCl_3 , 30 % EtOAc, 5 % MeOH) 0.40; IR (KBr, ν (cm^{-1})): 2964 *w*, 1635 *s*, 1623 *s*, 1457 *w*, 1262 *s*, 1098 *m*, 1019 *m*, 803 *m*. ^1H NMR (500 MHz, CDCl_3) δ 9.08 (H_{Ar} , *dd*, $J = 4.2, 1.3$ Hz, 1H), 8.61 (H_{Ar} , *s*, 1H), 8.44 – 8.33 (H_{Ar} , *t*, $J = 10$ Hz, 2H), 8.28 (H_{Ar} , *d*, $J = 8.9$ Hz, 1H), 7.57 (H_{Ar} , *dd*, $J = 8.3, 4.3$ Hz, 1H), 3.74 ($(\underline{\text{CH}_2})_{\text{Pyr}}$, *t*, $J = 6.7$ Hz, 1H), 3.53 ($(\underline{\text{CH}_2})_{\text{Pyr}}$, *t*, $J = 6.4$ Hz, 1H), 2.07 – 1.94 ($((\underline{\text{CH}_2})_2)_{\text{Pyr}}$, *m*, 4H). ^{13}C NMR (126 MHz, CDCl_3) δ 190.3 (CO), 164.2 (CO), 152.2, 149.4, 139.1, 132.9, 131.3, 129.7, 128.6, 127.7, 122.2, 46.9 ($\underline{\text{CH}_2}_{\text{Pyr}}$), 45.6 ($\underline{\text{CH}_2}_{\text{Pyr}}$), 26.0 ($\underline{\text{CH}_2}_{\text{Pyr}}$), 24.0 ($\underline{\text{CH}_2}_{\text{Pyr}}$). MS (EI): m/z (rel. int, %): 254 (5, $[\text{M}^+]$), 226 (44), 156 (100), 128 (50), 98 (100), 77 (11), 55 (75).

(4-Methylpiperazin-1-yl)(quinolin-6-yl)methanone (2e)

Yield: 202 mg (79%); light orange oil, R_f (40 % CHCl_3 , 40 % EtOAc, 20 % MeOH) 0.30; IR (KBr, ν (cm^{-1})): 3447 *m/br*, 2956 *w*, 2862 *m*, 2853 *w*, 1635 *m*, 1629 *vs*, 1620 *vs*, 1472 *m*, 1261 *m*, 803 *m*. ^1H NMR (500 MHz, CDCl_3) δ 9.00 (H_{Ar} , *dd*, $J = 4.2, 1.6$ Hz, 1H), 8.22 (H_{Ar} , *d*, $J = 7.9$ Hz, 1H), 8.17 (H_{Ar} , *d*, $J = 8.6$ Hz, 1H), 7.94 (H_{Ar} , *d*, $J = 1.6$ Hz, 1H), 7.75 (H_{Ar} , *dd*, $J = 8.6, 1.8$ Hz, 1H), 7.49 (H_{Ar} , *dd*, $J = 8.3, 4.2$ Hz, 1H), 3.97 ($(\underline{\text{CH}_2})_{\text{Pyz}}$, *br s*, 2H), 3.63 ($(\underline{\text{CH}_2})_{\text{Pyz}}$, *br s*, 2H), 2.67 ($(\underline{\text{CH}_2})_{\text{Pyz}}$, *br s*, 2H), 2.55 ($(\underline{\text{CH}_2})_{\text{Pyz}}$, *br s*, 2H), 2.46 (N-CH₃, *s*, 3H). ^{13}C NMR (126 MHz, CDCl_3) δ 169.7 (CO), 151.7, 148.5, 136.48, 133.5, 130.1, 127.8, 127.8, 127.1, 122.00, 54.7 (*br*, $(\underline{\text{CH}_2})_{\text{Pyz}}$), 54.7 (*br*, $(\underline{\text{CH}_2})_{\text{Pyz}}$), 47.1 (*br*, $\underline{\text{CH}_2}_{\text{Pyz}}$), 45.5 (N-CH₃), 41.6 (*br*, $(\underline{\text{CH}_2})_{\text{Pyz}}$). MS (EI): m/z (rel. int, %): 255 (5, $[\text{M}^+]$), 198 (32), 156 (15), 128 (19), 99 (20), 83 (39), 70 (100), 56 (26).

1-(4-Methylpiperazin-1-yl)-2-(quinolin-6-yl)ethane-1,2-dione (3e)

Yield: 187 mg (66%); pale yellow solid, m.p: 140-142 °C, R_f (33 % CHCl_3 , 33 % EtOAc, 33 % MeOH) 0.50; IR (KBr, ν (cm^{-1})): 2059 *w*, 2801 *w*, 1670 *s*, 1636 *vs*, 1621 *m*, 1447 *m*, 1219 *m*, 1176 *m*, 1120 *m*, 830 *w*, 785 *w*. ^1H NMR (500 MHz, CDCl_3) δ 9.06 (H_{Ar} , *dd*, $J = 4.2, 1.6$ Hz, 1H), 8.47 (H_{Ar} , *d*, $J = 1.6$ Hz, 1H), 8.31 (H_{Ar} , *d*, $J = 7.5$ Hz, 1H), 8.27 (H_{Ar} , *dd*, $J = 8.8, 1.9$ Hz, 1H), 8.21 (H_{Ar} , *d*, $J = 8.8$ Hz, 1H), 7.53 (H_{Ar} , *dd*, $J = 8.3, 4.2$ Hz, 1H), 3.89 ($(\underline{\text{CH}_2})_{\text{Pyz}}$, *br s*, 2H), 3.47 ($(\underline{\text{CH}_2})_{\text{Pyz}}$, *t*, $J = 5.0$ Hz, 2H), 2.60 ($(\underline{\text{CH}_2})_{\text{Pyz}}$, *br s*, 2H), 2.46 ($(\underline{\text{CH}_2})_{\text{Pyz}}$, *br s*, 2H), 2.37 (N-CH₃, *s*, 3H). ^{13}C NMR (126 MHz, CDCl_3) δ 190.7 (CO), 165.1 (CO), 153.5, 150.8, 137.8, 132.7, 130.9, 130.9, 127.5, 127.5, 122.3, 54.98 ($\underline{\text{CH}_2})_{\text{Pyz}}$, 54.4 ($\underline{\text{CH}_2})_{\text{Pyz}}$, 45.9 (N-CH₃), 45.8 ($\underline{\text{CH}_2})_{\text{Pyz}}$, 41.2 ($\underline{\text{CH}_2})_{\text{Pyz}}$. MS (EI): m/z (rel. int, %): 283 (49, $[\text{M}^+]$), 156 (43), 128 (28), 99 (100), 70 (57), 56 (35), 42 (17).

N-Cyclopentylquinoline-6-carboxamide (**2f**)

Yield: 175 mg (73%); yellow solid, m.p: 180-182 °C, R_f (70 % CHCl₃, 30 % EtOAc, 2 % MeOH) 0.30; IR (KBr, ν (cm⁻¹)): 3251 *m*, 3075 *w*, 2959 *w*, 2868 *w*, 1641 *w*, 1629 *s*, 1616 *m*, 1554 *m*, 802 *m*. ¹H NMR (500 MHz, CDCl₃) δ 8.92 (H_{Ar}, *dd*, *J* = 4.1, 1.4 Hz, 1H), 8.25 (H_{Ar}, *d*, *J* = 1.4 Hz, 1H), 8.14 (H_{Ar}, *d*, *J* = 8.1 Hz, 1H), 8.08 (H_{Ar}, *d*, *J* = 8.8 Hz, 1H), 8.02 (H_{Ar}, *dd*, *J* = 8.8, 1.8 Hz, 1H), 7.41 (H_{Ar}, *dd*, *J* = 8.3, 4.2 Hz, 1H), 6.64 (NH, *d*, *J* = 6.6 Hz, 1H), 4.48 – 4.39 ((-CH-)Cp, *m*, 1H), 2.16 – 2.03 ((CH₂)Cp, *m*, 2H), 1.80 – 1.69 ((CH₂)Cp, *m*, 2H), 1.69 – 1.60 ((CH₂)Cp, *m*, 2H), 1.60 – 1.49 ((CH₂)Cp, *m*, 2H). ¹³C NMR (126 MHz, CDCl₃) δ 166.5 (CO), 151.6, 148.9, 137.1, 132.9, 129.6, 127.5, 127.5, 127.4, 121.8, 52.0 (-CH-)Cp, 33.2 (2×(CH₂)Cp), 23.9 (2×(CH₂)Cp). MS (EI): *m/z* (rel. int, %): 240 (44, [M⁺]), 173 (45), 156 (100), 128 (61), 101 (22), 77 (10), 40 (10).

N-Cyclopentyl-2-oxo-2-(quinolin-6-yl)acetamide (**3f**)

Yield: 145 mg (54%); light oil, R_f (70 % CHCl₃, 30 % EtOAc, 5 % MeOH) 0.40; IR (KBr, ν (cm⁻¹)): 3292 *m*, 3063 *w*, 2956 *w*, 2870 *w*, 1684 *w*, 1675 *vs*, 1649 *vs*, 1621 *w*, 1539 *w*, 1169 *m*, 810 *w*. ¹H NMR (500 MHz, CDCl₃) δ 9.32 (H_{Ar}, *d*, *J* = 1.5 Hz, 1H), 9.07 (H_{Ar}, *dd*, *J* = 4.3, 1.6 Hz, 1H), 8.49 (H_{Ar}, *dd*, *J* = 8.9, 1.8 Hz, 1H), 8.42 (H_{Ar}, *d*, *J* = 8.2 Hz, 1H), 8.27 (H_{Ar}, *d*, *J* = 8.9 Hz, 1H), 7.57 (H_{Ar}, *dd*, *J* = 8.2, 4.3 Hz, 1H), 7.18 (NH, *d*, *J* = 4.8 Hz, 1H), 4.40 – 4.31 ((-CH-)Cp, *m*, 1H), 2.13 ((CH₂)Cp, *td*, *J* = 12.8, 7.1 Hz, 2H), 1.80 ((CH₂)Cp, *dt*, *J* = 17.3, 9.0 Hz, 2H), 1.76 – 1.66 ((CH₂)Cp, *m*, 2H), 1.59 (*dt*, *J* = 20.3, 7.1 Hz, 2H). ¹³C NMR (126 MHz, CDCl₃) δ 186.2 (CO), 160.7 (CO), 150.1, 141.6, 134.7, 132.3, 131.3, 127.7, 127.4, 127.3, 121.8, 51.5 (-CH-)Cp, 33.0 (2×(CH₂)Cp), 23.8 (2×(CH₂)Cp). MS (EI): *m/z* (rel. int, %): 268 (19, [M⁺]), 156 (100), 129 (52), 101 (15), 77 (7), 69 (30), 41 (14).

N-Decylquinoline-6-carboxamide (**2g**)

Yield: 178 mg (57%); pale yellow crystals, m.p: 76-78 °C, R_f (90 % CHCl₃, 10 % EtOAc) 0.30; IR (KBr, ν (cm⁻¹)): 3320 *s*, 2922 *s*, 2850 *m*, 1637 *vs*, 1617 *m*, 1534 *vs*, 1494 *s*, 845 *s*. ¹H NMR (500 MHz, CDCl₃) δ 8.99 – 8.94 (H_{Ar}, *dd*, *J* = 3.5, 1.0 Hz, 1H), 8.30 (H_{Ar}, *s*, 1H), 8.20 (H_{Ar}, *d*, *J* = 8.2 Hz, 1H), 8.13 (H_{Ar}, *d*, *J* = 8.8 Hz, 1H), 8.05 (H_{Ar}, *dd*, *J* = 8.8, 1.6 Hz, 1H), 7.45 (H_{Ar}, *dd*, *J* = 8.3, 4.2 Hz, 1H), 6.58 (NH, *br s*, 1H), 3.51 ((CH₂)Hex, *qd*, *J* = 6.8 Hz, 2H), 1.70 – 1.61 ((CH₂)Hex, *m*, 2H), 1.44 – 1.19 (7×(CH₂)Hex, *m*, 14H), 0.88 ((CH₃)Hex, *t*, *J* = 6.8 Hz, 3H). ¹³C NMR (126 MHz, CDCl₃) δ 166.9 (CO), 151.9, 149.2, 137.0, 132.8, 129.9, 127.6, 127.5, 127.1, 121.9, 40.4 (CH₂)Hex, 31.9 (CH₂)Hex, 29.7 (CH₂)Hex, 29.6 (2×(CH₂)Hex), 29.4 (CH₂)Hex, 29.3 (CH₂)Hex, 27.1 (CH₂)Hex, 22.7 (CH₂)Hex, 14.1 (CH₃)Hex. MS (EI): *m/z* (rel. int, %): MS *m/z* (rel. int.): 312 (12, [M⁺]), 269 (2), 227 (14), 199 (10), 156 (100).

N-Decyl-2-oxo-2-(quinolin-6-yl)acetamide (3g)

Yield: 275 mg (81%); pale yellow solid, m.p: 89-92 °C, R_f (90 % CHCl₃, 10 % EtOAc) 0.50; IR (KBr, ν (cm⁻¹)): 3313 *m*, 2954 *w*, 2919 *s*, 2850 *s*, 1689 *m*, 1643 *vs*, 1617 *m*, 1572 *w*, 1527 *m*, 1474 *w*, 1461 *w*, 1170 *m*, 1120 *m*, 921 *w*, 817 *m*, 800 *w*. ¹H NMR (500 MHz, CDCl₃) δ 9.16 (H_{Ar}, *d*, *J* = 1.7 Hz, 1H), 8.98 (H_{Ar}, *dd*, *J* = 4.2, 1.6 Hz, 1H), 8.37 (H_{Ar}, *dd*, *J* = 8.9, 1.8 Hz, 1H), 8.25 (H_{Ar}, *d*, *J* = 8.2 Hz, 1H), 8.10 (H_{Ar}, *d*, *J* = 8.9 Hz, 1H), 7.43 (H_{Ar}, *dd*, *J* = 8.3, 4.2 Hz, 1H), 7.41 (NH, *br s*, 1H), 3.40 ((CH₂)_{Hex}, *qd*, *J* = 6.9 Hz, 2H), 1.68 – 1.53 ((CH₂)_{Hex}, *qt*, 2H), 1.33 – 1.16 ((CH₂)_{Hex}, *m*, 14H), 0.84 ((CH₃)_{Hex}, *t*, *J* = 6.9 Hz, 3H). ¹³C NMR (126 MHz, CDCl₃) δ 186.9 (CO), 161.7 (CO), 153.2, 150.5, 138.1, 134.7, 131.1, 129.9, 129.0, 127.328, 122.0, 39.6 (CH₂)_{Hex}, 31.9 (CH₂)_{Hex}, 29.6 (CH₂)_{Hex}, 29.5 (CH₂)_{Hex}, 29.3 (CH₂)_{Hex}, 29.3 (CH₂)_{Hex}, 29.2 (CH₂)_{Hex}, 26.9 (CH₂)_{Hex}, 22.7 (CH₂)_{Hex}, 14.1 (CH₃)_{Hex}. MS (EI): *m/z* (rel. int, %): 340 (7, [M⁺]), 156 (100), 129 (23), 101(7), 43 (9).

Methyl (quinoline-6-carbonyl)glycinate (2h)

Yield: 194 mg (80%); yellow solid, m.p: 125-127 °C, R_f (70 % CHCl₃, 30 % EtOAc) 0.30; IR (KBr, ν (cm⁻¹)): 3277 *m/br*, 2920 *w*, 1751 *vs*, 1749 *vs*, 1650 *s*, 1622 *m*, 1541 *s*, 1498 *m*, 1205 *s*, 838 *m*. ¹H NMR (500 MHz, CDCl₃) δ 8.98 (H_{Ar}, *dd*, *J* = 4.2, 1.7 Hz, 1H), 8.33 (H_{Ar}, *d*, *J* = 1.6 Hz, 1H), 8.21 (H_{Ar}, *dd*, *J* = 8.3, 0.9 Hz, 1H), 8.12 (H_{Ar}, *d*, *J* = 8.8 Hz, 1H), 8.08 (H_{Ar}, *dd*, *J* = 8.8, 1.9 Hz, 1H), 7.46 (H_{Ar}, *dd*, *J* = 8.3, 4.3 Hz, 1H), 7.25 (NH, *br s*, 1H), 4.31 ((CH₂)_{Gly}, *d*, *J* = 5.2 Hz, 2H), 3.82 (O-CH₃, *s*, 3H). ¹³C NMR (126 MHz, CDCl₃) δ 170.6 (CO), 166.9 (CO), 151.8, 149.0, 137.4, 131.7, 129.7, 127.9, 127.5, 127.3, 122.0, 52.6 (CH₂)_{Gly}, 41.9 (O-CH₃). MS (EI): *m/z* (rel. int, %): 244 (25, [M⁺]), 207 (13) 185 (16), 156 (100), 128 (46), 101(18), 40 (45).

Methyl (2-oxo-2-(quinolin-6-yl)acetyl)glycinate (3h)

Yield: 155 mg (57%); pale yellow solid, m.p: 77-80 °C, R_f (90 % CHCl₃, 10 % EtOAc, 1 % MeOH) 0.30; IR (KBr, ν (cm⁻¹)): 3428 *m/br*, 3031 *w*, 2923 *w*, 2919 *w*, 2844 *w*, 1757 *vs*, 1680 *w*, 1652 *m*, 1454 *w*, 1215 *m*, 1174 *s*, 1119 *s*, 921 *w*, 851 *w*. ¹H NMR (500 MHz, CDCl₃) δ 9.00 (H_{Ar}, *s*, 1H), 8.91 (H_{Ar}, *dd*, *J* = 4.0, 1.0 Hz, 1H), 8.28 (H_{Ar}, *dd*, *J* = 8.9, 1.3 Hz, 1H), 8.18 (H_{Ar}, *d*, *J* = 8.2 Hz, 1H), 8.06 (NH, *br s*, 1H), 8.02 (H_{Ar}, *d*, *J* = 8.9 Hz, 1H), 7.38 (H_{Ar}, *dd*, *J* = 8.2, 4.2 Hz, 1H), 4.18 ((CH₂)_{Gly}, *d*, *J* = 5.8 Hz, 2H), 3.73 ((OCH₃)_{Gly}, *s*, 3H). ¹³C NMR (126 MHz, CDCl₃) δ 186.0 (CO), 169.4 (CO), 162.1 (CO), 153.3, 150.4, 138.1, 134.6, 130.7, 129.8, 128.8, 127.2, 122.0, 52.5 (CH₂)_{Gly}, 41.1 (O-CH₃). MS (EI): *m/z* (rel. int, %): 272 (10, [M⁺]), 156 (100), 128 (50), 101(18).

Methyl (quinoline-6-carbonyl)-L-alaninate (2i)

Yield: 178 mg (69%); yellow waxy solid, R_f (70 % CHCl₃, 30 % EtOAc, 2 % MeOH) 0.20; IR (KBr, ν (cm⁻¹)): 3266 *m/br*, 2953 *w*, 1751 *vs*, 1658 *s*, 1539 *s*, 1501 *s*, 1300 *m*, 1050 *m*, 843 *m*. ¹H NMR (500 MHz, CDCl₃) δ 8.95

(H_{Ar}, *dd*, *J* = 4.2, 1.5 Hz, 1H), 8.30 (H_{Ar}, *d*, *J* = 1.5 Hz, 1H), 8.18 (H_{Ar}, *dd*, *J* = 8.2, 0.9 Hz, 1H), 8.09 (H_{Ar}, *d*, *J* = 8.8 Hz, 1H), 8.06 (H_{Ar}, *dd*, *J* = 8.8, 1.8 Hz, 1H), 7.43 (H_{Ar}, *dd*, *J* = 8.3, 4.2 Hz, 1H), 7.26 (NH, *s*, 1H), 4.85 ((-CH-)_{Ala}, *p*, *J* = 7.2 Hz, 1H), 3.80 ((OCH₃)_{Ala}, *s*, 3H), 1.56 ((CH₃)_{Ala}, *d*, *J* = 7.2 Hz, 3H). ¹³C NMR (126 MHz, CDCl₃) δ 173.7 (CO), 166.3 (CO), 151.8, 149.0, 137.3, 131.8, 129.6, 127.9, 127.5, 127.4, 121.9, 52.7 (-CH-)_{Ala}, 48.7 (OCH₃)_{Ala}, 18.4 (CH₃)_{Ala}. MS (EI): *m/z* (rel. int, %): 258 (6, [M⁺]), 199 (42), 156 (100), 128 (40), 101(16), 77 (7), 44 (14), 40 (16).

Methyl (2-oxo-2-(quinolin-6-yl)acetyl)-L-alaninate (3i)

Yield: 86 mg (30%); light oil, R_f (70 % CHCl₃, 30 % EtOAc) 0.30; IR (KBr, ν (cm⁻¹)): 3369 *w/br*, 2956 *w*, 1745 *s*, 1667 *vs*, 1620 *m*, 1522 *m*, 1458 *m*, 1215 *m*, 1172 *s*, 850 *w*. ¹H NMR (500 MHz, CDCl₃): δ 9.17 (H_{Ar}, *dd*, *J* = 7.0, 1.9 Hz, 1H), 9.08 – 8.97 (H_{Ar}, *m*, 1H), 8.48 – 8.36 (H_{Ar}, *m*, 1H), 8.32 (H_{Ar}, *t*, *J* = 6.1 Hz, 1H), 8.16 (H_{Ar}, *dd*, *J* = 10.1, 5.5 Hz, 1H), 7.78 (NH, *s*, 1H), 7.51 (H_{Ar}, *d*, *J* = 10.8 Hz, 1H), 4.72 ((-CH-)_{Ala}, *pd*, *J* = 7.3, 1.5 Hz, 1H), 3.82 ((CH₃)_{Ala}, *d*, *J* = 2.2 Hz, 3H), 1.57 ((OCH₃)_{Ala}, *dd*, *J* = 7.1, 2.2 Hz, 3H). ¹³C NMR (126 MHz, CDCl₃): δ 185.9 (CO), 172.4 (CO), 161.1 (CO), 153.1, 150.3, 138.4, 134.7, 130.9, 129.8, 129.1, 127.3, 122.1, 52.7 (-CH-)_{Ala}, 48.3 (OCH₃)_{Ala}, 18.0 (CH₃)_{Ala}. MS (EI): *m/z* (rel. int, %): 286 (7, [M⁺]), 199 (42), 156 (100), 128 (44), 101(18), 77 (7), 44 (6), 40 (6).

Methyl (quinoline-6-carbonyl)-L-valinate (2j)

Yield: 135 mg (47%); pale yellow solid, m.p: 99-101 °C, R_f (85 % CHCl₃, 15 % EtOAc) 0.20; IR (KBr, ν (cm⁻¹)): 3447 *s/br*, 2965 *m*, 1743 *vs*, 1653 *vs*, 1647 *s*, 1539 *s*, 1497 *m*, 1202 *m*, 1050 *m*, 801 *m*. ¹H NMR (500 MHz, CDCl₃) δ 8.94 (H_{Ar}, *dd*, *J* = 4.1, 1.5 Hz, 1H), 8.27 (H_{Ar}, *d*, *J* = 1.4 Hz, 1H), 8.16 (H_{Ar}, *d*, *J* = 8.2 Hz, 1H), 8.09 (H_{Ar}, *d*, *J* = 8.8 Hz, 1H), 8.05 (H_{Ar}, *dd*, *J* = 8.8, 1.8 Hz, 1H), 7.41 (H_{Ar}, *dd*, *J* = 8.3, 4.2 Hz, 1H), 7.03 (H_{Ar}, *d*, *J* = 8.4 Hz, 1H), 4.81 ((-CH-)_{Val}, *dd*, *J* = 8.6, 5.1 Hz, 1H), 3.77 ((OCH₃)_{Val}, *s*, 3H), 2.30 ((CH(CH₃)_{a,b})_{Val}, *m*, 1H), 1.03 (((CH₃)_b-CH(CH₃)_a)_{Val}, *d*, *J* = 6.5 Hz, 3H), 1.00 (((CH₃)_b-CH(CH₃)_a)_{Val}, *d*, *J* = 7.0 Hz, 3H). ¹³C NMR (126 MHz, CDCl₃) δ 172.7 (CO), 166.8 (CO), 152.0, 149.3, 137.0, 132.0, 129.9, 127.8, 127.5, 127.2, 121.9, 57.7 (OCH₃)_{Val}, 52.3 (-CH-)_{Val}, 31.6 (CH(CH₃)_{a,b})_{Val}, 19.1 ((CH₃)_b-CH(CH₃)_a)_{Val}, 18.1 ((CH₃)_b-CH(CH₃)_a)_{Val}. MS (EI): *m/z* (rel. int, %): 286 (4, [M⁺]), 172 (20), 156 (100), 128 (35), 101(13).

Methyl (2-oxo-2-(quinolin-6-yl)acetyl)-L-valinate (3j) (Title compound not completely separated from byproduct).

Yield: 73 mg (23%); light oil, R_f (85 % CHCl₃, 15 % EtOAc) 0.30; IR (KBr, ν (cm⁻¹)): 3401 *w/br*, 2965 *w*, 1743 *s*, 1669 *vs*, 1620 *m*, 1540 *m*, 1459 *w*, 1436 *w*, 1274 *w*, 1211 *w*, 1169 *m*, 813 *w*. ¹H NMR (500 MHz, CDCl₃) δ 9.24 (NH, *d*, *J* = 1.5 Hz, 1H), 9.06 (H_{Ar}, *dd*, *J* = 4.2, 1.4 Hz, 1H), 8.47 (H_{Ar}, *dd*, *J* = 8.9, 1.8 Hz, 1H), 8.38 (H_{Ar}, *d*, *J* = 8.2 Hz, 1H), 8.23 (H_{Ar}, *d*, *J* = 8.9 Hz, 1H), 7.69 (H_{Ar}, *d*, *J* = 8.8 Hz, 1H), 7.55 (H_{Ar}, *dd*, *J* = 8.3, 4.3 Hz, 1H), 4.66 ((-CH-)_{Val}, *dd*, *J* = 9.0, 5.0 Hz, 1H), 3.82 ((OCH₃)_{Val}, *s*, 3H), 2.41 – 2.28 ((CH(CH₃)_{a,b})_{Val}, *m*, 1H), 1.06 (((CH₃)_b-

CH(CH₃)_a)_{Val}, *d*, *J* = 6.9 Hz, 3H), 1.03 (((CH₃)_bCH(CH₃)_a)_{Val}, *d*, *J* = 6.9 Hz, 3H). ¹³C NMR (126 MHz, CDCl₃) δ 185.9 (CO), 171.4 (CO), 161.3 (CO), 152.9, 140.0, 138.8, 134.8, 131.2, 129.6, 129.5, 127.4, 122.1, 57.5 (OCH₃)_{Val}, 52.4 (-*CH-)_{Val}, 31.5 (CH(CH₃)_{a,b})_{Val}, 19.1 ((CH₃)_b-CH(CH₃)_a)_{Val}, 17.8 ((CH₃)_b-CH(CH₃)_a)_{Val}. MS (EI): *m/z* (rel. int, %): 314 (14, [M⁺]), 277 (16), 207 (8), 156 (100), 128 (40), 101(14).

Methyl (L)-2-phenyl-2-(quinoline-6-carboxamido)acetate (2k)

Yield: 118 mg (37%); light oil, *R_f* (85 % CHCl₃, 15 % EtOAc) 0.20; IR (KBr, ν (cm⁻¹)): 3247 *w/br*, 2954 *w*, 1741 *vs*, 1641 *s*, 1621 *m*, 1540 *s*, 1501 *m*, 1318 *m*, 1095 *m*, 739 *m*. ¹H NMR (500 MHz, CDCl₃) δ 8.93 (H_{Ar}, *dd*, *J* = 4.1, 1.4 Hz, 1H), 8.31 (H_{Ar}, *s*, 1H), 8.14 (H_{Ar}, *d*, *J* = 8.2 Hz, 1H), 8.09 – 8.05 (H_{Ar}, *m*, 2H), 7.62 (NH, *d*, *J* = 6.8 Hz, 1H), 7.47 (H_{Ar}, *d*, *J* = 7.1 Hz, 2H), 7.41 (H_{Ar}, *dd*, *J* = 8.3, 4.2 Hz, 1H), 7.38-7.43 (H_{Ar}, *m*, 3H), 5.84 ((- *CH-)_{Phe}, *d*, *J* = 7.0 Hz, 1H), 3.77 ((OCH₃)_{Phe}, *s*, 3H). ¹³C NMR (126 MHz, CDCl₃) δ 171.5 (CO), 166.1 (CO), 152.1, 149.4, 137.0, 136.4, 131.5, 129.9, 129.1 (2×C_{Ar}), 128.7, 128.0, 127.5 (2×C_{Ar}), 127.4, 127.3, 121.9, 57.1 (OCH₃)_{Phe}, 53.0 (-*CH-)_{Phe}. MS (EI): *m/z* (rel. int, %): 320 (4, [M⁺]), 281 (10), 261 (35), 207 (26), 191 (4), 156 (100), 128 (43), 101(14), 77(10), 44 (33).

Methyl (L)-2-(2-oxo-2-(quinolin-6-yl)acetamido)-2-phenylacetate (3k)

Yield: 112 mg (32%); pale yellow solid, m.p: 133-136 °C, *R_f* (85 % CHCl₃, 15 % EtOAc) 0.40 ; IR (KBr, ν (cm⁻¹)): 3393 *m*, 3028*w*, 2958 *w*, 2847 *w*, 1746 *vs*, 1667 *vs*, 1620 *m*, 1522 *m*, 1169 *s*, 812 *w*, 700 *m*. ¹H NMR (500 MHz, CDCl₃) δ 9.23 (H_{Ar}, *d*, *J* = 1.7 Hz, 1H), 9.06 (H_{Ar}, *dd*, *J* = 4.2, 1.4 Hz, 1H), 8.47 (H_{Ar}, *dd*, *J* = 8.9, 1.9 Hz, 1H), 8.36 (H_{Ar}, *d*, *J* = 8.1 Hz, 1H), 8.23 (H_{Ar}, *d*, *J* = 8.9 Hz, 1H), 8.17 (NH, *d*, *J* = 7.0 Hz, 1H), 7.54 (*dd*, *J* = 8.3, 4.3 Hz, 1H), 7.49 – 7.38 (*m*, 5H), 5.67 ((- *CH-)_{Phenylglycine}, *d*, *J* = 7.2 Hz, 1H), 3.82 ((OCH₃)_{Phenylglycine}, *s*, 3H). ¹³C NMR (126 MHz, CDCl₃) δ 185.4 (CO), 170.4 (CO), 160.6 (CO), 152.5, 149.5, 139.3, 135.5, 134.8, 131.2, 129.7, 129.6, 129.2 (2×C_{Ar}), 129.0 (2×C_{Ar}), 127.4 (2×C_{Ar}), 122.1, 56.7 (OCH₃)_{Phe}, 53.1 (-*CH-)_{Phe}. MS (EI): *m/z* (rel. int, %): 348 (1, [M⁺]), 289 (13), 281 (32), 253 (14), 207 (82), 191 (11), 156 (87), 128 (44), 44 (100).

Methyl (quinoline-6-carbonyl)-L-prolinate (2l)

Yield: 199 mg (70%); light yellowish oil, *R_f* (80 % CHCl₃, 20 % EtOAc, 1 % MeOH) 0.20; ((80:20) Mixture of *trans*–*cis* Amide Rotamers); IR (KBr, ν (cm⁻¹)): 3447 *w/br*, 2954 *w*, 1743 *s*, 1633 *vs*, 1614 *s*, 1594 *w*, 1465 *m*, 1433 *m*, 1414 *m*, 1175 *m*, 850 *w*. ¹H NMR (500 MHz, CDCl₃) (*Data for Major Rotamer*) δ 8.90 (H_{Ar}, *d*, *J* = 4.0 Hz, 1H), 8.15 (H_{Ar}, *d*, *J* = 8.2 Hz, 1H), 8.09 (H_{Ar}, *d*, *J* = 8.7 Hz, 1H), 8.02 (H_{Ar}, *s*, 1H), 7.85 (H_{Ar}, *dd*, *J* = 8.5, 1.5 Hz, 1H), 7.40 (H_{Ar}, *dd*, *J* = 8.3, 4.2 Hz, 1H), 4.67 ((- *CH-)_{Pro}, *dd*, *J* = 8.3, 5.1 Hz, 1H), 3.74 ((OCH₃)_{Pro}, *s*, 3H), 3.65 ((-CH_a-)_{Pro}, *m*, 1H), 3.55 – 3.49 ((-CH_b-)_{Pro}, *m*, 1H), 2.26 – 2.33 ((-CH_{a'}-)_{Pro}, *m*, 1H), 1.95–2.09 ((-CH₂-)_{Pro}, *m*, 2H), 1.88 – 1.85 ((-CH_{b'}-)_{Pro}, *m*, 1H). ¹³C NMR (126 MHz, CDCl₃) (*Data for Major Rotamer*) δ 172.6 (CO), 168.9 (CO), 151.5, 148.4,

136.8, 134.3, 129.5, 128.0, 127.5, 127.3, 121.8, 59.2 ($-\text{CH}_2-$)_{Pro}, 52.3 (OCH_3)_{Pro}, 50.00 ($-\text{CH}_2-$)_{Pro}, 29.4 ($-\text{CH}_2-$)_{Pro}, 25.4 ($-\text{CH}_2-$)_{Pro}.

^1H NMR (500 MHz, CDCl_3) (Data for Minor Rotamer) δ 8.90 (H_{Ar} , br s, overlapped, 1H), 8.10 (H_{Ar} , br s, overlapped, 1H), 8.05 (H_{Ar} , br s, overlapped, 1H), 7.80 (H_{Ar} , br s, 1H), 7.66 (H_{Ar} , d, $J = 8.5$ Hz, 1H), 7.38 (H_{Ar} , br s, overlapped, 1H), 4.34 ($(-\text{CH}_2-)$ _{Pro}, d, $J = 6.5$ Hz, 1H), 3.77–3.79 ($(-\text{H}_a\text{CH}_b-)$ _{Pro}, m, 2H), 3.44 ((OCH_3) _{Pro}, s, 3H), 2.18–2.23 ($(-\text{CH}_a-)$ _{Pro}, m, 1H), 1.95 – 2.09 ($(-\text{CH}_b-)$ _{Pro} + $(-\text{CH}_2-)$ _{Pro}, m, overlapped, 3H). ^{13}C NMR (126 MHz, CDCl_3) (Data for Minor Rotamer) δ 172.7 (CO), 169.7 (CO), 151.3, 148.1, 136.6, 135.0, 129.8, 128.1, 127.7, 127.4, 126.2, 61.4 ($-\text{CH}_2-$)_{Pro}, 52.1 ($-\text{OCH}_3-$)_{Pro}, 46.7 ($-\text{CH}_2-$)_{Pro}, 31.4 ($-\text{CH}_2-$)_{Pro}, 22.7 ($-\text{CH}_2-$)_{Pro}. MS (EI): m/z (rel. int, %) : 284 (8, $[\text{M}^+]$), 225 (37), 207 (18), 156 (100), 128 (37), 101(14), 44 (25).

Methyl (2-oxo-2-(quinolin-6-yl)acetyl)-L-prolinate (31), ((52:48) Mixture of trans–cis Amide Rotamers).

Yield: 235 mg (75%); brownish oil, R_f (80 % CHCl_3 , 20 % EtOAc, 2 % MeOH) 0.40; IR (KBr, ν (cm^{-1})): 3447 w/br, 2984 w, 2957 w, 2883 w, 1744 s, 1684 m, 1643 vs, 1621 m, 1447 m, 1360 w, 1323 w, 1170 m, 1118 w, 805 w.

^1H NMR (500 MHz, CDCl_3) (Data for Major Rotamer) δ 8.98 (H_{Ar} , br s, 1H), 8.63 (H_{Ar} , d, $J = 1.7$ Hz, 1H), 8.30 (H_{Ar} , d, $J = 9.0$ Hz, 1H), 8.26 (H_{Ar} , dd, $J = 4.6, 2.3$ Hz, 1H), 8.15 (H_{Ar} , d, $J = 8.8$ Hz, 1H), 7.46 (H_{Ar} , dd, $J = 8.2, 4.2$ Hz, 1H), 4.67 ($(-\text{CH}_2-)$ _{Pro}, dd, $J = 8.9, 4.1$ Hz, 1H), 3.81 ((OCH_3) _{Pro}, s, 3H), 3.55 – 3.62 ($(-\text{CH}_a-)$ _{Pro}, m, 1H), 3.47 – 3.53 ($(-\text{CH}_b-)$ _{Pro}, m, 1H), 2.31 – 2.36 ($(-\text{CH}_a-)$ _{Pro}, m, 1H), 2.13 – 2.21 ($(-\text{CH}_b-)$ _{Pro}, m, 1H), 1.93 – 2.01 ($(-\text{CH}_2-)$ _{Pro}, m, 2H). ^{13}C NMR (126 MHz, CDCl_3) (Data for Major Rotamer) δ 190.4 (CO), 171.8 (CO), 165.0 (CO), 153.3, 150.6, 138.2, 133.8, 130.7, 130.5, 127.6, 127.6, 122.2, 58.4 ($-\text{CH}_2-$)_{Pro}, 52.6 ($-\text{OCH}_3-$)_{Pro}, 47.3 ($-\text{CH}_2-$)_{Pro}, 29.1 ($-\text{CH}_2-$)_{Pro}, 24.7 ($-\text{CH}_2-$)_{Pro}.

^1H NMR (500 MHz, CDCl_3) (Data for Minor Rotamer) δ 8.95 (H_{Ar} , br s, 1H), 8.63 (H_{Ar} , s, 1H), 8.28 (H_{Ar} , d, $J = 4.3$ Hz, 1H), 8.25 (H_{Ar} , dd, $J = 9.0, 2.0$ Hz, 1H), 8.12 (H_{Ar} , d, $J = 8.9$ Hz, 1H), 7.43 (H_{Ar} , d, $J = 4.3$ Hz, 1H), 4.79 ($(-\text{CH}_2-)$ _{Pro}, dd, $J = 8.5, 3.8$ Hz, 1H), 3.82 – 3.88 ($(-\text{CH}_a-)$ _{Pro}, m, 1H), 3.67 – 3.74 ($(-\text{CH}_b-)$ _{Pro}, m, 1H), 3.41 ((OCH_3) _{Pro}, s, 3H), 2.24 – 2.30 ($(-\text{CH}_a-)$ _{Pro}, m, 1H), 2.02 – 2.09 ($(-\text{CH}_b-)$ _{Pro}, m, 1H), 1.82 – 1.92 ($(-\text{CH}_2-)$ _{Pro}, m, 2H). ^{13}C NMR (126 MHz, CDCl_3) (Data for Minor Rotamer) δ 189.4 (CO), 172.1 (CO), 164.0 (CO), 152.9, 150.2, 138.1, 133.5, 131.1, 129.8, 128.5, 127.3, 122.0, 59.4 ($-\text{CH}_2-$)_{Pro}, 52.5 ($-\text{OCH}_3-$)_{Pro}, 46.8 ($-\text{CH}_2-$)_{Pro}, 31.0 ($-\text{CH}_2-$)_{Pro}, 22.5 ($-\text{CH}_2-$)_{Pro}. MS (EI): m/z (rel. int, %) : 312 (1, $[\text{M}^+]$), 284 (20), 207 (10), 156 (88), 128 (100), 101(15), 44 (25).

Methyl (quinoline-6-carbonyl)-(L/D)-serinate (2m)

Yield: 228 mg (83%); white solid, m.p: 174–177 °C, R_f (70 % CHCl_3 , 30 % EtOAc, 2 % MeOH) 0.20; IR (KBr, ν (cm^{-1})): 3449 w/br, 3280 m, 3180 w/br, 2954 vw, 1740 s, 1642 vs, 1632 m, 1540 m, 1233 w, 1074 m, 1414 m, 847 w.

^1H NMR (500 MHz, $\text{DMSO}-d_6$) δ 9.01 (H_{Ar} , dd, $J = 4.2, 1.6$ Hz, 1H), 8.87 (H_{Ar} , d, $J = 7.4$ Hz, 1H), 8.59 (NH, d, J

= 1.7 Hz, 1H), 8.51 (H_{Ar}, *d*, *J* = 7.7 Hz, 1H), 8.22 (H_{Ar}, *dd*, *J* = 8.8, 1.9 Hz, 1H), 8.11 (H_{Ar}, *d*, *J* = 8.8 Hz, 1H), 7.63 (H_{Ar}, *dd*, *J* = 8.3, 4.2 Hz, 1H), 5.14 ((-OH)_{Ser}, *t*, *J* = 6.1 Hz, 1H), 4.63 ((-CH₂-)_{Ser}, *qd*, *J* = 5.0 Hz, 1H), 3.79-3.93 ((-H_bCH_a-)_{Ser}, *m*, 2H), 3.69 ((OCH₃)_{Ser}, *s*, 3H). ¹³C NMR (126 MHz, DMSO-d₆) δ 171.5 (CO), 166.6 (CO), 152.7, 149.2, 137.6, 132.0, 129.5, 128.8, 128.3, 127.5, 122.7, 61.5 (-CH₂-)_{Ser}, 56.3 (-CH₂-OH)_{Ser}, 52.4 (OCH₃)_{Ser}. MS (EI): *m/z* (rel. int, %): 274 (1, [M⁺]), 256 (20), 224 (10), 197 (20), 156 (100), 128 (50), 101(16), 51 (5).

Methyl (2-oxo-2-(quinolin-6-yl)acetyl)-(L/D)-serinate (3m)

Yield: 91 mg (30%); orange solid, m.p: 144-146 °C, R_f (70 % CHCl₃, 30 % EtOAc, 2 % MeOH) 0.40; IR (KBr, ν (cm⁻¹)): 3398 *w*, 3199 *w/br*, 2968 *w*, 1744 *s*, 1684 *w*, 1656 *vs*, 1617 *m*, 1516 *m*, 1464 *w*, 1431 *w*, 1325 *m*, 1223 *m*, 1171 *m*, 1084 *m*, 815 *m*. ¹H NMR (500 MHz, CDCl₃) δ 9.14 (NH, *d*, *J* = 1.6 Hz, 1H), 9.06 (H_{Ar}, *dd*, *J* = 4.3, 1.6 Hz, 1H), 8.39 – 8.34 (H_{Ar}, *m*, 2H), 8.18 (H_{Ar}, *d*, *J* = 8.9 Hz, 1H), 8.10 (H_{Ar}, *d*, *J* = 7.7 Hz, 1H), 7.57 (H_{Ar}, *dd*, *J* = 8.3, 4.4 Hz, 1H), 4.81 ((-CH₂-)_{Ser}, *dt*, *J* = 7.4, 3.5 Hz, 1H), 4.21 ((-H_bCH_a-)_{Ser}, *dd*, *J* = 11.5, 3.7 Hz, 1H), 4.12 ((-H_bCH_a-)_{Ser}, *dd*, *J* = 11.5, 3.4 Hz, 1H), 3.87 ((OCH₃)_{Ser}, *s*, 3H). ¹³C NMR (126 MHz, CDCl₃) δ 185.6 (CO), 170.1 (CO), 161.6, 152.6, 149.5, 139.2, 134.7, 131.1, 129.5, 129.2, 127.4, 122.1, 62.69 (-CH₂-OH)_{Ser}, 54.8 (OCH₃)_{Ser}, 53.0 (-CH₂-)_{Ser}. MS (EI): *m/z* (rel. int, %): 302 (1, [M⁺]), 241 (6), 207 (13), 183 (54), 156 (100), 128 (36), 101(10), 59 (6).

N-Phenylquinoline-6-carboxamide (2n)

Yield: 169 mg (68%); pale yellow solid, m.p: 155-156 °C, R_f (85 % CHCl₃, 15 % EtOAc, 1 % MeOH) 0.25; IR (KBr, ν (cm⁻¹)): 3315 *w/br*, 3180 *w/br*, 1652 *vs*, 1598 *m*, 1529 *m*, 1497 *w*, 1444 *w*, 1330 *w*, 1112 *w*, 889 *m*, 757 *m*. ¹H NMR (500 MHz, CDCl₃) δ 8.96 (H_{Ar}, *d*, *J* = 3.8 Hz, 1H), 8.53 (H_{Ar}, *s*, 1H), 8.31 (H_{Ar}, *s*, 1H), 8.11 (NH, *s*, 1H), 8.09 (H_{Ar}, *s*, 2H), 7.71 (H_{Ar}, *d*, *J* = 7.9 Hz, 2H), 7.42 (H_{Ar}, *dd*, *J* = 8.2, 4.2 Hz, 1H), 7.37 (H_{Ar}, *t*, *J* = 7.7 Hz, 2H), 7.17 (H_{Ar}, *t*, *J* = 7.4 Hz, 1H). ¹³C NMR (126 MHz, CDCl₃) δ 165.43(CO), 152.11, 149.30, 137.92, 136.97, 132.91, 130.05, 129.13 (2×C_{Ar}), 127.87, 127.51, 127.18, 124.84, 122.00, 120.54 (2×C_{Ar}). MS (EI): *m/z* (rel. int, %) : 248 (38, [M⁺]), 156 (100), 128 (52), 101 (20), 77 (10).

N-Benzylquinoline-6-carboxamide (2o)

Yield: 34 mg (13%); orange solid, m.p: 124-126 °C, R_f (85 % CHCl₃, 15 % EtOAc, 1 % MeOH) 0.20; IR (KBr, ν (cm⁻¹)): 3291 *m*, 1638 *vs*, 1558 *s*, 1545 *s*, 1496 *s*, 1416 *m*, 1326 *m*, 1313 *w*, 908 *m*, 858 *m*, 720 *m*. ¹H NMR (500 MHz, CDCl₃) δ 8.98 (H_{Ar}, *dd*, *J* = 4.2, 1.6 Hz, 1H), 8.34 (H_{Ar}, *d*, *J* = 1.6 Hz, 1H), 8.21 (H_{Ar}, *d*, *J* = 7.8 Hz, 1H), 8.14 (H_{Ar}, *d*, *J* = 8.8 Hz, 1H), 8.08 (H_{Ar}, *dd*, *J* = 8.8, 1.9 Hz, 1H), 7.46 (*dd*, *J* = 8.3, 4.2 Hz, 1H), 7.39 (H_{Ar}, *q*, *J* = 7.9 Hz, 4H), 7.35 – 7.30 (H_{Ar}, *m*, 1H), 6.82 (NH, *br s*, 1H), 4.72 ((CH₂)_{Bn}, *d*, *J* = 5.6 Hz, 2H). ¹³C NMR (126 MHz, CDCl₃) δ 173.3 (CO), 166.8, 152.0, 149.4, 138.0, 137.0, 132.3, 130.0, 128.9, 128.9, 128.0 (2×C_{Ar}), 128.0 (2×C_{Ar}), 127.8,

127.6, 127.1, 122.0, 44.4 ($\underline{\text{CH}_2}_{\text{Bn}}$). MS (EI): m/z (rel. int, %): 262 (45, $[\text{M}^+]$), 207 (46), 156 (60), 128 (40), 101 (14), 73 (15), 44 (100).

N-Benzyl-2-oxo-2-(quinolin-6-yl)acetamide (**3o**)

Yield: 206 mg (71%); pale yellow solid, m.p: 109-111 °C, R_f (85 % CHCl_3 , 15 % EtOAc, 1 % MeOH) 0.30; IR (KBr, ν (cm^{-1})): 3331 *w*, 3078 *w*, 2953 *w*, 1683 *w*, 1652 *vs*, 1618 *w*, 1535 *w*, 1460 *w*, 1455 *w*, 1241 *w*, 1176 *m*, 843 *m*. ^1H NMR (500 MHz, CDCl_3) δ 9.28 (H_{Ar} , *d*, J = 1.6 Hz, 1H), 9.04 (H_{Ar} , *d*, J = 4.0 Hz, 1H), 8.45 (H_{Ar} , *dd*, J = 8.9, 1.8 Hz, 1H), 8.36 (H_{Ar} , *d*, J = 8.2 Hz, 1H), 8.20 (H_{Ar} , *d*, J = 8.9 Hz, 1H), 7.67 (NH, *br s*, 1H), 7.53 (H_{Ar} , *dd*, J = 8.3, 4.3 Hz, 1H), 7.42 – 7.36 (H_{Ar} , *m*, 4H), 7.34 (H_{Ar} , *dd*, J = 11.6, 3.1 Hz, 1H), 7.31 – 7.19 (H_{Ar} , *m*, 1H), 4.63 ($(\underline{\text{CH}_2})_{\text{Bn}}$, *d*, J = 6.1 Hz, 2H). ^{13}C NMR (126 MHz, CDCl_3) δ 186.4 (CO), 161.4 (CO), 152.9, 150.1, 138.7, 137.0, 134.9, 131.2, 129.7, 129.4, 128.9, 128.6, 127.9, 127.4, 127.2, 122.1, 43.6 ($\underline{\text{CH}_2})_{\text{Bn}}$. MS (EI): m/z (rel. int, %): 290 (2, $[\text{M}^+]$), 207 (10), 156 (100), 128 (47), 101 (15), 91 (36), 44 (17).

N-(Pyridin-2-ylmethyl)quinoline-6-carboxamide (**2p**)

Yield: 95 mg (36%); brownish waxy solid, R_f (80 % CHCl_3 , 20 % EtOAc, 2 % MeOH) 0.20; IR (KBr, ν (cm^{-1})): 3471 *m/br*, 1660 *vs*, 1654 *vs*, 1595 *m*, 1539 *s*, 1533 *m*, 1495 *m*, 1306 *m*, 850 *w*, 785 *m*, 668 *s*. ^1H NMR (500 MHz, CDCl_3) δ 8.96 (H_{Ar} , *dd*, J = 4.2, 1.6 Hz, 1H), 8.57 (H_{Ar} , *d*, J = 4.8 Hz, 1H), 8.41 (H_{Ar} , *d*, J = 1.4 Hz, 1H), 8.23 (H_{Ar} , *dd*, J = 8.3, 1.2 Hz, 1H), 8.18 – 8.12 (H_{Ar} , *m*, 2H), 8.07 (NH, *br s*, 1H), 7.70 (H_{Ar} , *td*, J = 7.7, 1.7 Hz, 1H), 7.45 (H_{Ar} , *dd*, J = 8.3, 4.2 Hz, 1H), 7.37 (H_{Ar} , *d*, J = 7.8 Hz, 1H), 7.27 – 7.19 (H_{Ar} , *m*, 1H), 4.82 ($(\underline{\text{CH}_2})_{\text{Pico}}$, *d*, J = 4.9 Hz, 2H). ^{13}C NMR (126 MHz, CDCl_3) δ 166.7 (CO), 156.1, 151.9, 149.3, 149.0, 137.1, 137.0, 132.2, 129.9, 127.9, 127.6, 127.3, 122.6, 122.4, 121.9, 44.9 ($\underline{\text{CH}_2})_{\text{Pico}}$. MS (EI): m/z (rel. int, %): 263 (16, $[\text{M}^+]$), 207 (30), 156 (19), 128 (36), 107 (100), 109 (14), 44 (37), 40 (35).

2-Oxo-*N*-(pyridin-2-ylmethyl)-2-(quinolin-6-yl)acetamide (**3p**)

Yield: 114 mg (39%); green solid, m.p: 129-131 °C, R_f (80 % CHCl_3 , 20 % EtOAc, 2 % MeOH) 0.30; IR (KBr, ν (cm^{-1})): 3180 *w*, 3095 *w*, 2943 *w*, 1679 *m*, 1659 *vs*, 1616 *m*, 1572 *m*, 1509 *w*, 1437 *w*, 1234 *w*, 1171 *m*, 922 *w*, 783 *m*. ^1H NMR (500 MHz, CDCl_3) δ 9.19 (NH, *d*, J = 1.7 Hz, 1H), 9.02 (H_{Ar} , *dd*, J = 4.2, 1.6 Hz, 1H), 8.59 (H_{Ar} , *d*, J = 4.8 Hz, 1H), 8.43 (H_{Ar} , *dd*, J = 8.9, 1.8 Hz, 2H), 8.31 (H_{Ar} , *d*, J = 8.2 Hz, 1H), 8.15 (H_{Ar} , *d*, J = 8.9 Hz, 1H), 7.71 (H_{Ar} , *td*, J = 7.7, 1.7 Hz, 1H), 7.49 (H_{Ar} , *dd*, J = 8.3, 4.3 Hz, 1H), 7.35 (H_{Ar} , *d*, J = 7.8 Hz, 1H), 7.25 (H_{Ar} , *dd*, J = 7.2, 5.2 Hz, 1H), 4.75 ($(\underline{\text{CH}_2})_{\text{Pico}}$, *d*, J = 5.4 Hz, 2H). ^{13}C NMR (126 MHz, CDCl_3) δ 186.5 (CO), 161.9 (CO), 155.4, 153.2, 150.4, 149.2, 138.3, 137.1, 134.7, 131.1, 129.9, 129.2, 127.3, 122.8, 122.1, 122.0, 44.3 ($\underline{\text{CH}_2})_{\text{Pico}}$. MS (EI): m/z (rel. int, %): 291 (1, $[\text{M}^+]$), 248 (26), 207 (32), 156 (43), 135 (100), 128 (43), 101 (18), 92 (94), 65 (20), 44 (32), 40 (28).

N-(Pyridin-3-ylmethyl)-quinoline-6-carboxamide (**2q**)

Yield: 159 mg (60%); pale yellow waxy solid, R_f (80 % CHCl₃, 20 % EtOAc, 1 % MeOH) 0.20; IR (KBr, ν (cm⁻¹)): 3243 *w/br*, 3060 *w*, 2925 *w*, 1647 *vs*, 1622 *w*, 1558 *m*, 1430 *w*, 1309 *m*, 824 *w*. ¹H NMR (500 MHz, CDCl₃) δ 8.92 (H_{Ar}, *dd*, J = 4.2, 1.6 Hz, 1H), 8.56 (H_{Ar}, *s*, 1H), 8.46 (H_{Ar}, *d*, J = 4.4 Hz, 1H), 8.35 (H_{Ar}, *d*, J = 1.6 Hz, 1H), 8.14 – 8.03 (H_{Ar}, *m*, 3H), 7.82 (NH, *br s*, 1H), 7.75 (H_{Ar}, *d*, J = 7.9 Hz, 1H), 7.40 (H_{Ar}, *dd*, J = 8.3, 4.2 Hz, 1H), 7.25 (H_{Ar}, *dd*, J = 7.8, 4.9 Hz, 1H), 4.67 ((CH₂)_{Pico}, *d*, J = 5.8 Hz, 1H). ¹³C NMR (126 MHz, CDCl₃) δ 167.1 (CO), 152.0, 149.3, 148.8, 148.4, 137.1, 136.4, 134.4, 131.9, 129.9, 128.0, 127.5, 127.3, 123.9, 122.0, 41.6 ((CH₂)_{Pico}). MS (EI): m/z (rel. int, %): 263 (77, [M⁺]), 246 (15), 207 (81), 191(10), 156 (100), 128 (83), 101 (28), 73 (25), 44 (75), 40 (73).

2-Oxo-*N*-(pyridin-3-ylmethyl)-2-(quinolin-6-yl)acetamide (**3q**)

Yield: 178 mg (61%); pale yellow solid, m.p: 132-135 °C, R_f (80 % CHCl₃, 20 % EtOAc, 5 % MeOH) 0.30; IR (KBr, ν (cm⁻¹)): 3218 *w*, 1656 *m*, 1654 *vs*, 1619 *w*, 1576 *w*, 1526 *w*, 1426 *w*, 1256 *w*, 1171 *m*, 914 *w*, 813 *w*, 707 *m*. ¹H NMR (500 MHz, CDCl₃) δ 9.21 (H_{Ar}, *d*, J = 1.7 Hz, 1H), 9.03 (H_{Ar}, *dd*, J = 4.2, 1.7 Hz, 1H), 8.67 (H_{Ar}, *d*, J = 1.7 Hz, 1H), 8.57 (H_{Ar}, *dd*, J = 4.8, 1.3 Hz, 1H), 8.41 (H_{Ar}, *dd*, J = 8.9, 1.9 Hz, 1H), 8.32 (H_{Ar}, *d*, J = 8.3 Hz, 1H), 8.15 (H_{Ar}, *d*, J = 8.9 Hz, 1H), 7.98 (NH, *s*, 1H), 7.76 (H_{Ar}, *d*, J = 7.9 Hz, 1H), 7.50 (H_{Ar}, *dd*, J = 8.3, 4.3 Hz, 1H), 7.34 (H_{Ar}, *dd*, J = 7.8, 4.9 Hz, 1H), 4.66 ((CH₂)_{Pico}, *d*, J = 6.2 Hz, 2H). ¹³C NMR (126 MHz, CDCl₃) δ 186.2 (CO), 161.7 (CO), 153.4, 150.6, 148.9, 148.8, 138.2, 136.2, 134.9, 133.2, 130.9, 130.1, 129.0, 127.3, 123.9, 122.1, 41.0 ((CH₂)_{Pico}). MS (EI): m/z (rel. int, %): 291 (1, [M⁺]), 248 (26), 207 (10), 191(1), 156 (100), 128 (51), 101 (17), 65 (9), 44 (8), 40 (8).

N-(Pyridin-4-ylmethyl)quinoline-6-carboxamide (**2r**)

Yield: 171 mg (65%); yellow solid, m.p: 140-141 °C, R_f (80 % CHCl₃, 20 % EtOAc, 5 % MeOH) 0.30; IR (KBr, ν (cm⁻¹)): 3296 *m*, 1637 *s*, 1617 *w*, 1596 *m*, 1543 *s*, 1309 *m*, 856 *w*, 791 *w*. ¹H NMR (500 MHz, CDCl₃) δ 8.97 (H_{Ar}, *dd*, J = 4.2, 1.6 Hz, 1H), 8.51 (H_{Ar}, *d*, J = 6.0 Hz, 2H), 8.41 (H_{Ar}, *s*, 1H), 8.19 (H_{Ar}, *dd*, J = 8.3, 1.2 Hz, 1H), 8.16 – 8.10 (H_{Ar}, *m*, 2H), 7.68 (NH, *br s*, 1H), 7.46 (H_{Ar}, *dd*, J = 8.3, 4.2 Hz, 1H), 7.31 (H_{Ar}, *d*, J = 5.8 Hz, 2H), 4.71 ((CH₂)_{Pico}, *d*, J = 5.9 Hz, 2H). ¹³C NMR (126 MHz, CDCl₃) δ 167.2 (CO), 152.1, 149.3, 149.2 (2×C_{AA'}BB'), 148.5, 137.1, 131.7, 130.0, 128.1, 127.6, 127.2, 122.7 (2×C_{AA'}BB'), 122.1, 43.0 ((CH₂)_{Pico}). MS (EI): m/z (rel. int, %): 263 (45, [M⁺]), 207 (83), 156 (67), 128 (46), 101 (16), 73 (28), 44 (100), 40 (50).

2-Oxo-*N*-(pyridin-4-ylmethyl)-2-(quinolin-6-yl)acetamide (**3r**)

Yield: 154 mg (53%); pale yellow solid, m.p: 120-122 °C, R_f (85 % CHCl₃, 15 % EtOAc, 1 % MeOH) 0.40; IR (KBr, ν (cm⁻¹)): 3309 *m*, 3031 *w*, 1680 *s*, 1652 *vs*, 1621 *m*, 1521 *m*, 1518 *m*, 1457 *w*, 1252 *w*, 1168 *m*, 1118 *w*, 809

m, 785 *w*. ^1H NMR (500 MHz, CDCl_3) δ 9.07 (NH, *s*, 1H), 8.94 (H_{Ar} , *d*, $J = 3.8$ Hz, 1H), 8.47 (H_{Ar} , *dd*, $J = 10.9, 5.2$ Hz, 3H), 8.32 (H_{Ar} , *d*, $J = 8.9$ Hz, 1H), 8.21 (H_{Ar} , *d*, $J = 8.3$ Hz, 1H), 8.07 (H_{Ar} , *d*, $J = 8.9$ Hz, 1H), 7.41 (H_{Ar} , *dd*, $J = 8.2, 4.2$ Hz, 1H), 7.20 (H_{Ar} , *d*, $J = 4.9$ Hz, 2H), 4.57 ($(\text{CH}_2)_{\text{Pico}}$, *d*, $J = 6.3$ Hz, 2H). ^{13}C NMR (126 MHz, CDCl_3) δ 186.5 (CO), 162.3 (CO), 153.4, 150.5, 150.0 ($2\times\text{C}_{\text{AA'BB'}}$), 146.4, 138.1, 134.7, 130.8, 130.0, 128.8, 127.3, 122.3, 122.1 ($2\times\text{C}_{\text{AA'BB'}}$), 42.2 ($(\text{CH}_2)_{\text{Pico}}$). MS (EI): m/z (rel. int, %): 291 (1, $[\text{M}^+]$), 248 (32), 207 (12), 156 (100), 128 (51), 44 (12).

N-Ethyl-*N*-(pyridin-4-ylmethyl)quinoline-6-carboxamide (**2s**)

Yield: 169 mg (58%); brownish oil, R_f (80 % CHCl_3 , 20 % EtOAc, 2 % MeOH) 0.3; IR (KBr, ν (cm^{-1})): 3426 *m/br*, 2996 *w*, 1635 *vs*, 1602 *w*, 1476 *m*, 1415 *m*, 1283 *m*, 844 *w*, 790 *w*. ^1H NMR (500 MHz, CDCl_3) δ 8.94 (H_{Ar} , *br s*, 1H), 8.59 (H_{Ar} , *d*, $J = 4.5$ Hz, 2H), 8.13 (H_{Ar} , *br s*, 1H), 7.89 (H_{Ar} , *br s*, 1H), 7.75 (H_{Ar} , *br s*, 1H), 7.44 (H_{Ar} , *br s*, 1H), 7.31 (H_{Ar} , *br s*, 1H), 7.13 (H_{Ar} , *br s*, 1H), 4.66 ($(\text{CH}_2)_{\text{Pico}}$, *br*, 2H), 3.37 ($(\text{CH}_2\text{-CH}_3)_{\text{Pico}}$, *br*, 2H), 1.18 ($(\text{CH}_2\text{-CH}_3)_{\text{Pico}}$, *br*, 3H). ^{13}C NMR (126 MHz, CDCl_3) δ 171.4 (CO), 151.5, 150.0 (*br*), 149.8 (*br*), 148.2, 146.9 (*br*), 136.5, 134.2, 130.1, 127.8, 127.3, 126.2, 122.7 (*br*), 122.0, 121.6 (*br*), 46.9 ($(\text{-CH}_2\text{-})_{\text{Pico}}$), 44.0 ($(\text{CH}_2\text{-CH}_3)_{\text{Pico}}$), 14.0 ($(\text{CH}_2\text{-CH}_3)_{\text{Pico}}$). MS (EI): m/z (rel. int, %): 291 (40, $[\text{M}^+]$), 207 (12), 199 (5), 156 (100), 128 (55), 101 (18), 92 (34), 65 (8).

N-Ethyl-2-oxo-*N*-(pyridin-4-ylmethyl)-2-(quinolin-6-yl)acetamide (**3s**) ((57:43) Mixture of *trans*-*cis* Amide Rotamers).

Yield: 86 mg (27%); marron oil, R_f (80 % CHCl_3 , 20 % EtOAc, 2 % MeOH) 0.2; IR (KBr, ν (cm^{-1})): 3436 *w*, 3050 *w*, 2974 *w*, 2930*w*, 1686 *w*, 1678 *m*, 1643 *vs*, 14016 *m*, 1169 *m*, 1115 *m*, 786 *w*. ^1H NMR (500 MHz, CDCl_3) (*Data for Major Rotamer*) δ 9.08 (H_{Ar} , *dd*, $J = 4.0, 1.7$ Hz, 1H), 8.68 (H_{Ar} , *d*, $J = 6.5$ Hz, $2\times\text{H}_{\text{AA'BB'}}$), 8.63 – 8.56 (H_{Ar} , *m*, 1H), 8.51 (H_{Ar} , *d*, $J = 1.7$ Hz, 1H), 8.35 – 8.20 (H_{Ar} , *m*, 2H), 7.55 (H_{Ar} , *t*, $J = 8.1\text{Hz}$, 1H), 7.38 (H_{Ar} , *d*, $J = 6.0$ Hz, $2\times\text{H}_{\text{AA'BB'}}$), 4.82 ($(\text{CH}_2)_{\text{Pico}}$, *s*, 2H), 3.34 ($(\text{CH}_2\text{-CH}_3)_{\text{Pico}}$, *qd*, $J = 7.1$ Hz, 2H), 1.19 ($(\text{CH}_2\text{-CH}_3)_{\text{Pico}}$, *t*, $J = 7$ Hz, 3H). ^{13}C NMR (126 MHz, CDCl_3) δ 190.2 (CO), 167.3 (CO), 153.6, 150.8, 149.8, 149.5 ($2\times\text{C}_{\text{AA'BB'}}$), 146.6, 137.9, 132.6, 130.91, 127.6, 122.9 ($2\times\text{C}_{\text{AA'BB'}}$), 122.6, 122.4, 46.5 ($(\text{-CH}_2\text{-})$), 43.0 ($(\text{CH}_2\text{-CH}_3)_{\text{Pico}}$), 14.0 ($(\text{CH}_2\text{-CH}_3)_{\text{Pico}}$). ^1H NMR (500 MHz, CDCl_3) (*Data for Minor Rotamer*) δ 9.08 (H_{Ar} , *dd*, $J = 4.0, 1.7$ Hz, 1H), 8.68 (H_{Ar} , *d*, $J = 6.5$ Hz, $2\times\text{H}_{\text{AA'BB'}}$), 8.63 – 8.56 (H_{Ar} , *m*, 1H), 8.48 (H_{Ar} , *d*, $J = 1.7$ Hz, 1H), 8.35 – 8.20 (H_{Ar} , *m*, 2H), 7.55 (H_{Ar} , *t*, $J = 8.1\text{Hz}$, 1H), 7.29 (H_{Ar} , *d*, $J = 6.0$ Hz, $2\times\text{H}_{\text{AA'BB'}}$ (+ *s*, 1H, CHCl_3)), 4.49 ($(\text{CH}_2)_{\text{Pico}}$, *s*, 1H), 3.59 ($(\text{CH}_2\text{-CH}_3)_{\text{Pico}}$, *qd*, $J = 7.2$ Hz, 2H), 1.31 ($(\text{CH}_2\text{-CH}_3)_{\text{Pico}}$, *t*, $J = 7$ Hz, 3H). ^{13}C NMR (126 MHz, CDCl_3) δ 190.3 (CO), 166.7 (CO), 153.5, 150.7 ($2\times\text{C}_{\text{AA'BB'}}$), 147.2, 145.2, 137.8, 132.7, 130.92, 130.8, 127.7, 123.3, 122.38 ($2\times\text{C}_{\text{AA'BB'}}$), 121.4, 50.0 ($(\text{-CH}_2\text{-})_{\text{Pico}}$), 39.9 ($(\text{CH}_2\text{-CH}_3)_{\text{Pico}}$), 12.4 ($(\text{CH}_2\text{-CH}_3)_{\text{Pico}}$). MS (EI): m/z (rel. int, %): 319 (1, $[\text{M}^+]$), 281(8), 248 (36), 207 (21), 191(3), 156 (100), 128 (38), 101 (14), 92 (60), 65 (11), 44 (18).

N-(Furan-2-ylmethyl)quinoline-6-carboxamide (**2t**)

Yield: 156 mg (62%); orange solid, m.p: 164-166 °C, *R*_f (80 % CHCl₃, 20 % EtOAc, 1 % MeOH) 0.20; IR (KBr, ν (cm⁻¹)): 3228 *m*, 1633 *vs*, 1619 *m*, 1539 *s*, 1493 *m*, 1323 *w*, 1307 *m*, 1198 *w*, 910 *w*, 850 *w*, 726 *m*. ¹H NMR (500 MHz, CDCl₃) δ 8.96 (H_{Ar}, *dd*, *J* = 4.2, 1.6 Hz, 1H), 8.34 (H_{Ar}, *d*, *J* = 1.6 Hz, 1H), 8.23 (H_{Ar}, *d*, *J* = 8.2 Hz, 1H), 8.15 (H_{Ar}, *d*, *J* = 8.8 Hz, 1H), 8.09 (H_{Ar}, *dd*, *J* = 8.8, 1.9 Hz, 1H), 7.47 (H_{Ar}, *dd*, *J* = 8.3, 4.3 Hz, 1H), 7.39 ((-C=H_a)_{Furfuryl}, *J* = 2 Hz *d*, 1H), 7.00 (NH, *br s*, 1H), 6.36 ((-C=H_b)_{Furfuryl}, *t*, *J* = 5 Hz, 1H), 6.34 ((-C=H_c)_{Furfuryl}, *d*, *J* = 7 Hz, 1H), 4.71 ((CH₂)_{Furfuryl}, *d*, *J* = 5.4 Hz, 2H). ¹³C NMR (126 MHz, CDCl₃) δ 166.5 (CO), 151.5, 151.0, 148.7, 142.4, 137.6, 132.3, 129.5, 127.9, 127.6, 127.5, 121.9, 110.6, 107.9, 37.2 (CH₂)_{Furfuryl}. MS (EI): *m/z* (rel. int, %): 252 (50, [M⁺]), 207 (23), 156 (100), 128 (58), 101 (17), 44 (50), 40 (69), 53 (12).

N-(Furan-2-ylmethyl)-2-oxo-2-(quinolin-6-yl)acetamide (**3t**)

Yield: 247 mg (88%); orange solid, m.p: 109-111 °C, *R*_f (85 % CHCl₃, 15 % EtOAc, 2 % MeOH) 0.50; IR (KBr, ν (cm⁻¹)): 3256 *w*, 1661 *vs*, 1618 *m*, 1517 *w*, 1425 *w*, 1277 *w*, 1168 *m*, 1144 *w*, 814 *m*, 744 *w*. ¹H NMR (500 MHz, CDCl₃) δ 9.28 (H_{Ar}, *d*, *J* = 1.7 Hz, 1H), 9.05 (H_{Ar}, *dd*, *J* = 4.3, 1.6 Hz, 1H), 8.46 (H_{Ar}, *dd*, *J* = 8.9, 1.8 Hz, 1H), 8.38 (H_{Ar}, *d*, *J* = 8.0 Hz, 1H), 8.22 (H_{Ar}, *d*, *J* = 8.9 Hz, 1H), 7.61 (NH, *br s*, 1H), 7.55 (H_{Ar}, *dd*, *J* = 8.3, 4.3 Hz, 1H), 7.43 – 7.41 ((-C=H_a)_{Furfuryl}, *dd*, *J* = 1.5, 0.5 Hz, 1H), 6.38 ((-C=H_b)_{Furfuryl}, *t*, *J* = 5 Hz, 1H), 6.36 ((-C=H_c)_{Furfuryl}, *d*, *J* = 3 Hz, 1H), 4.63 ((CH₂)_{Furfuryl}, *d*, *J* = 5.9 Hz, 2H). ¹³C NMR (126 MHz, CDCl₃) δ 186.1 (CO), 161.1 (CO), 152.8, 150.0, 142.7, 141.9, 138.9, 134.9, 131.2, 129.5, 129.5, 127.4, 122.1, 110.6, 108.2, 36.5 (CH₂)_{Furfuryl}. MS (EI): *m/z* (rel. int, %): 280 (2, [M⁺]), 207 (3), 156 (100), 128 (60), 101 (19), 96 (56), 81 (35), 53 (12).

N-(Thiophen-2-ylmethyl)quinoline-6-carboxamide (**2u**)

Yield: 193 mg (72%); yellow brownish crystals, m.p: 143-145 °C, *R*_f (80 % CHCl₃, 20 % EtOAc, 1 % MeOH) 0.30; IR (KBr, ν (cm⁻¹)): 3331 *m/br*, 1640 *vs*, 1540 *vs*, 1526 *s*, 1495 *s*, 1419 *m*, 1301 *m*, 858 *m*, 789 *w*, 700 *s*. ¹H NMR (500 MHz, CDCl₃) δ 8.96 – 8.92 (H_{Ar}, *dd*, *J* = 4.5, 1.5 Hz, 1H), 8.33 (H_{Ar}, *d*, *J* = 1.6 Hz, 1H), 8.20 (H_{Ar}, *d*, *J* = 8.2 Hz, 1H), 8.13 (H_{Ar}, *d*, *J* = 8.8 Hz, 1H), 8.07 (H_{Ar}, *dd*, *J* = 8.8, 1.9 Hz, 1H), 7.46 (H_{Ar}, *dd*, *J* = 8.3, 4.3 Hz, 1H), 7.26 ((-C=H_a)_{Thiophene}, *dd*, *J* = 5.1, 0.9 Hz, 1H), 7.08 ((-C=H_b)_{Thiophene}, *s*, *overlapping*, 1H), 7.08 (NH, *s*, *overlapping*, 1H), 6.98 ((-C=H_c)_{Thiophene}, *dd*, *J* = 5.0, 3.5 Hz, 1H), 4.88 ((CH₂)_{Thiophene}, *d*, *J* = 5.5 Hz, 2H). ¹³C NMR (126 MHz, CDCl₃) δ 166.5 (CO), 151.7, 148.9, 140.6, 137.4, 132.3, 129.6, 127.9, 127.6, 127.4, 127.0, 126.4, 125.5, 121.9, 39.0 (CH₂)_{Thiophene}. MS (EI): *m/z* (rel. int, %): 268 (61, [M⁺]), 207 (28), 191(4), 156 (100), 128 (55), 101 (19), 40 (37).

2-Oxo-2-(quinolin-6-yl)-N-(thiophen-2-ylmethyl)acetamide (3u)

Yield: 275 mg (93%); pale yellow waxy solid, R_f (90 % CHCl₃, 10 % EtOAc, 1 % MeOH) 0.30; IR (KBr, ν (cm⁻¹)): 3353 *w*, 2943 *w*, 1684 *w*, 1659 *s*, 1653 *vs*, 1616 *s*, 1558 *m*, 1506 *s*, 1425 *w*, 1175 *m*, 1145 *w*. ¹H NMR (500 MHz, CDCl₃) δ 9.27 (H_{Ar}, *d*, *J* = 1.7 Hz, 1H), 9.05 (H_{Ar}, *dd*, *J* = 4.3, 1.6 Hz, 1H), 8.44 (H_{Ar}, *dd*, *J* = 8.9, 1.9 Hz, 1H), 8.36 (H_{Ar}, *d*, *J* = 7.8 Hz, 1H), 8.20 (H_{Ar}, *d*, *J* = 8.9 Hz, 1H), 7.68 (NH, *br s*, 1H), 7.53 (H_{Ar}, *dd*, *J* = 8.3, 4.3 Hz, 1H), 7.29 ((-C=H_a)_{Thiophene}, *dd*, *J* = 5.0, 1.1 Hz, 1H), 7.09 ((-C=H_b)_{Thiophene}, *d*, *J* = 3.1 Hz, 1H), 7.00 ((-C=H_c)_{Thiophene}, *dd*, *J* = 5.1, 3.5 Hz, 1H), 4.80 ((CH₂)_{Thiophene}, *d*, *J* = 6.0 Hz, 2H). ¹³C NMR (126 MHz, CDCl₃) δ 186.2 (CO), 161.1 (CO), 153.0, 150.1, 139.3, 138.7, 134.9, 131.1, 129.7, 129.3, 127.4, 127.1, 126.7, 125.7, 122.1, 38.2 (CH₂)_{Thiophene}. MS (EI): *m/z* (rel. int, %): 296 (2, [M⁺]), 207 (21), 156 (100), 128 (57), 112 (41), 97 (45), 77 (8), 45 (6).

(3-Hydroxy-8-azabicyclo[3.2.1]octan-8-yl)(quinolin-6-yl)methanone (2v)

Yield: 28 mg (10%); brownish light oil, R_f (70 % CHCl₃, 30 % EtOAc, 5 % MeOH) 0.20; IR (KBr, ν (cm⁻¹)): 3420 *m/br*, 2962 *w*, 2937 *w*, 2913 *w*, 1628 *s*, 1606 *vs*, 1470 *m*, 1437 *m*, 1265 *w*, 1088 *s*, 1046 *s*, 803 *s*. ¹H NMR (500 MHz, CDCl₃) δ 8.94 (H_{Ar}, *d*, *J* = 2.8 Hz, 1H), 8.20 (H_{Ar}, *d*, *J* = 8.1 Hz, 1H), 8.13 (H_{Ar}, *d*, *J* = 8.6 Hz, 1H), 7.94 (H_{Ar}, *s*, 1H), 7.75 (H_{Ar}, *dd*, *J* = 8.6, 1.1 Hz, 1H), 7.45 (H_{Ar}, *dd*, *J* = 8.2, 4.2 Hz, 1H), 4.80 ((-CH_a-OH)_{Nortropine}, *br s*, 1H), 4.19 ((-CH_b-)_{Nortropine}, *br s*, 1H), 4.05 ((-CH_c-)_{Nortropine}, *br s*, 1H), 3.07 ((OH)_{Nortropine}, *br s*, 1H), 2.37 – 2.18 ((-CH_d-)_{Nortropine} + (-CH₂-)_{Nortropine}, *m*, 3H), 2.08 – 1.96 ((-CH_e-)_{Nortropine}, *m*, 1H), 1.99 – 1.83 ((-CH_f-)_{Nortropine} + (-CH₂-)_{Nortropine}, *m*, 3H), 1.76 ((-CH_g-)_{Nortropine}, *d*, *J* = 14.2 Hz, 1H). ¹³C NMR (126 MHz, CDCl₃) δ 167.0 (CO), 151.4, 148.3, 136.8, 134.6, 129.6, 128.0, 127.8, 126.8, 121.9, 64.6 (-CH_a-OH)_{Nortropine}, 56.2 (-CH_a(OH)-CH_{b,c}-)_{Nortropine}, 51.4 (-CH_{d,e}-CH_a(OH)-CH_{b,c}-)_{Nortropine}, 40.3 (-CH_f-)_{Nortropine}, 38.6 (-CH_g-)_{Nortropine}, 28.6 (-CH₂-)_{Nortropine}, 27.3 (-CH₂-)_{Nortropine}. MS (EI): *m/z* (rel. int, %): 282 (24, [M⁺]), 207 (23), 156 (100), 128 (60), 101 (18), 93 (17), 68 (14), 40 (19).

(3-Hydroxy-8-azabicyclo[3.2.1]octan-8-yl)-2-(quinolin-6-yl)ethane-1,2-dione (3v)

Yield: 143 mg (46%); yellow waxy solid, R_f (70 % CHCl₃, 30 % EtOAc, 5 % MeOH) 0.30; IR (KBr, ν (cm⁻¹)): 2941 *w*, 1687 *m*, 1623 *vs*, 1622 *vs*, 1251 *w*, 1173 *w*, 1087 *w*, 1050 *w*, 825 *w*, 780 *w*. ¹H NMR (500 MHz, CDCl₃) δ 9.02 (H_{Ar}, *dd*, *J* = 4.1, 1.3 Hz, 1H), 8.52 (H_{Ar}, *d*, *J* = 1.6 Hz, 1H), 8.31 (H_{Ar}, *d*, *J* = 8.1 Hz, 1H), 8.26 (H_{Ar}, *dd*, *J* = 8.8, 1.8 Hz, 1H), 8.20 (H_{Ar}, *d*, *J* = 8.8 Hz, 1H), 7.51 (H_{Ar}, *dd*, *J* = 8.3, 4.3 Hz, 1H), 4.85 – 4.80 ((-CH_a-OH)_{Nortropine}, *m*, 1H), 4.21 ((-CH_b-)_{Nortropine}, *t*, *J* = 4.5 Hz, 1H), 4.02 – 3.97 ((-CH_c-)_{Nortropine}, *m*, 1H), 2.73 ((OH)_{Nortropine}, *br s*, 1H), 2.44 – 2.34 ((-CH_f-)_{Nortropine}, *m*, 1H), 2.32 – 2.17 ((-CH_d-)_{Nortropine} + (-CH₂-)_{Nortropine}, *m*, 3H), 2.04 – 1.87 ((-CH_e-)_{Nortropine} + (-CH₂-)_{Nortropine}, *m*, 3H), 1.82 ((-CH_g-)_{Nortropine}, *d*, *J* = 14.3 Hz, 1H). ¹³C NMR (126 MHz, CDCl₃) δ 190.5 (CO), 161.2 (CO), 153.1, 150.4, 138.2, 132.7, 131.1, 130.3, 127.9, 127.6, 122.3, 64.6 (-CH_a-OH)_{Nortropine}, 54.8 (-CH_a(OH)-CH_{b,c}-)_{Nortropine}, 51.2 (-CH_{d,e}-CH_a(OH)-CH_{b,c}-)_{Nortropine}, 40.4 (-CH_f-)_{Nortropine}, 39.1 (-CH_g-)_{Nortropine}, 28.6 (-CH₂-)_{Nortropine}, 27.1 (-

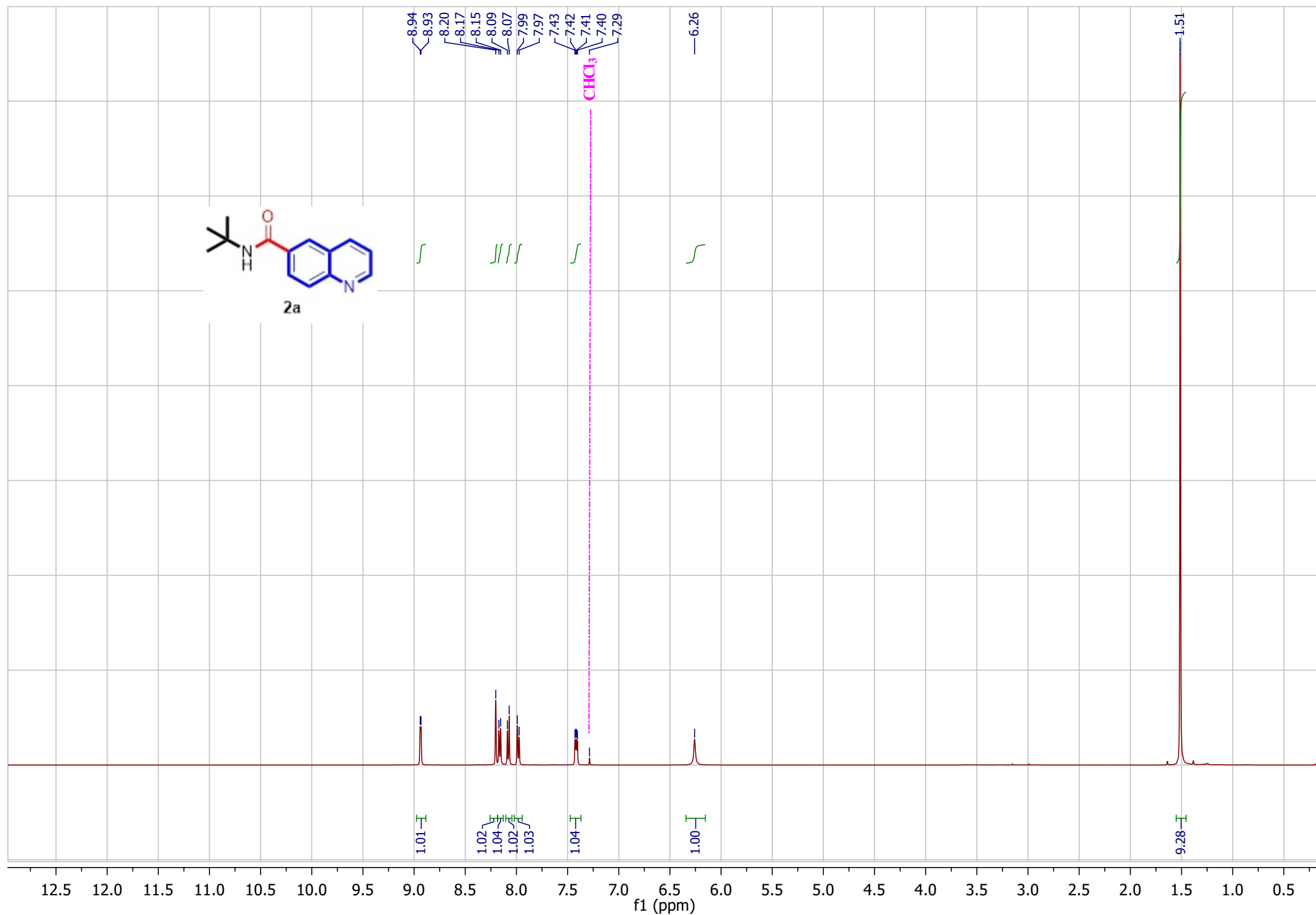
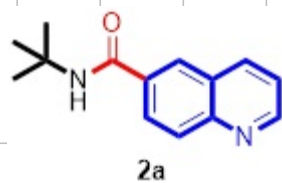
CH₂-)*Nortropine*. MS (EI): *m/z* (rel. int, %): 310 (2, [M⁺]), 207 (19), 156 (65), 128 (49), 101 (18), 93 (100), 67 (35), 41 (17).

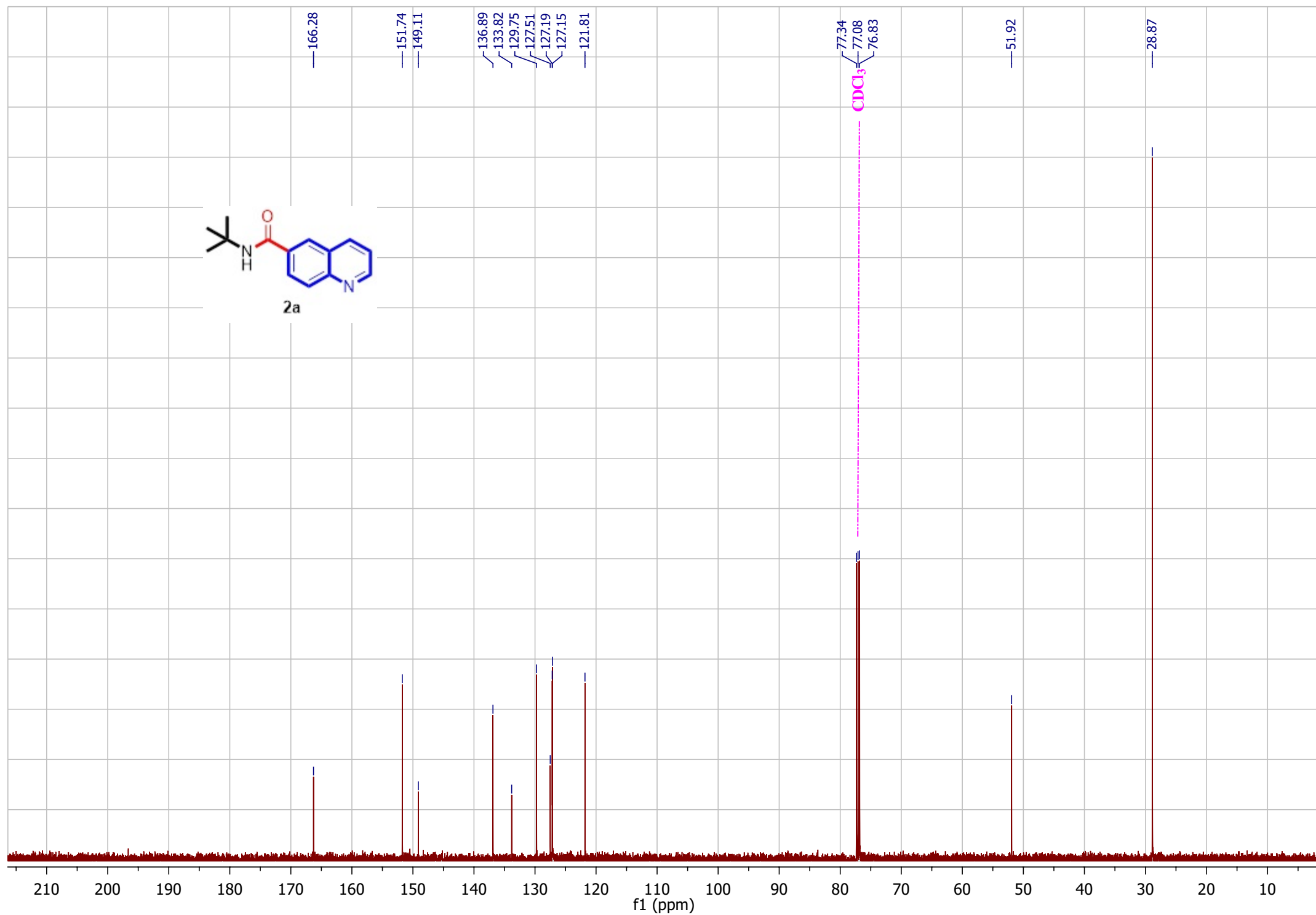
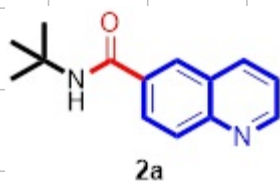
N-(*Prop-2-yn-1-yl*)quinoline-6-carboxamide (**2w**)

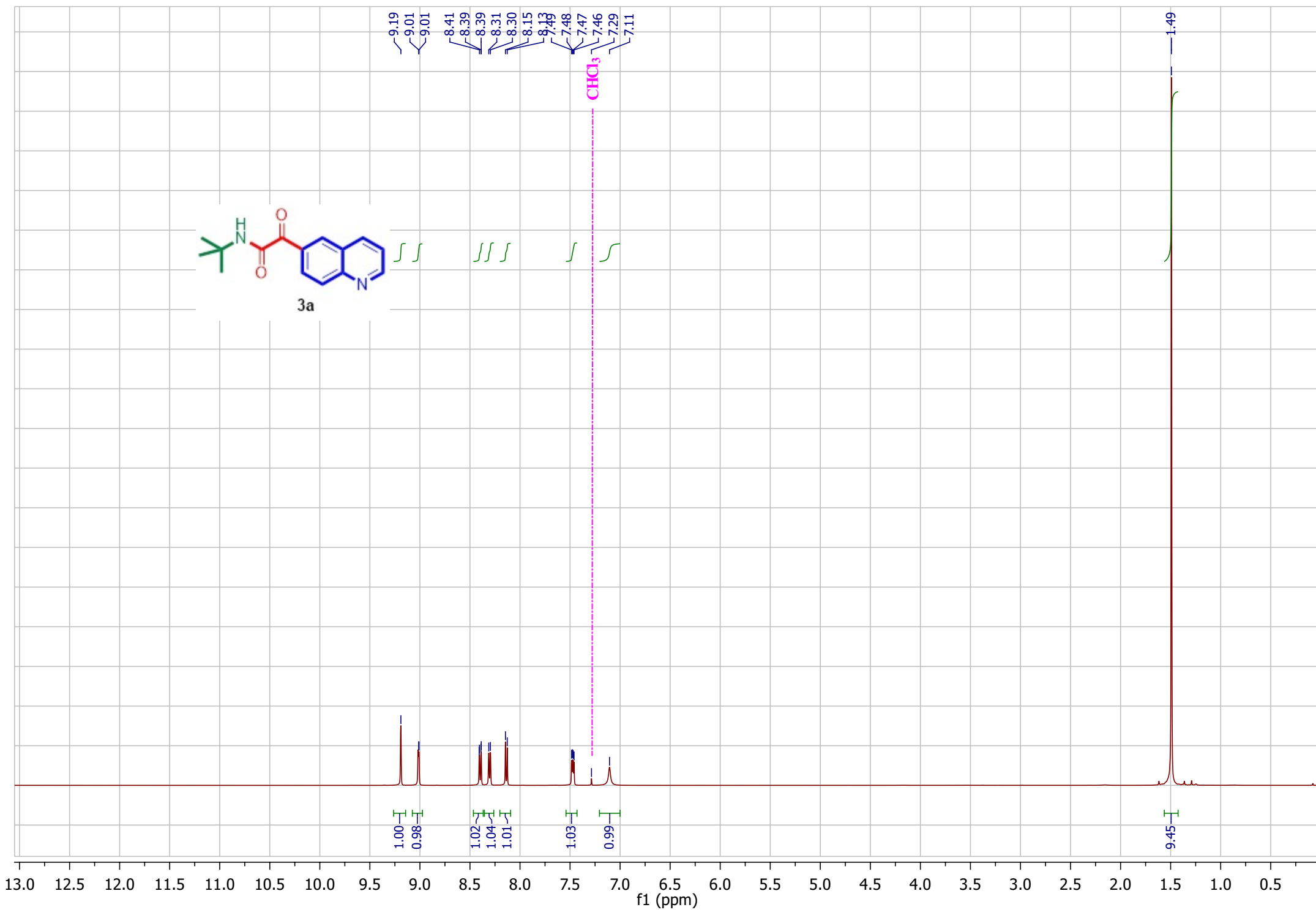
Yield: 189 mg (90%); pale yellow solid, m.p: 194-195 °C, R_f (90 % CHCl₃, 10 % EtOAc , 1 % MeOH) 0.30; IR (KBr, ν (cm⁻¹)): 3300 *w/br*, 3196 *w*, 1646 *vs*, 1497 *m*, 1415 *w*, 1311 *w*, 898 *w*, 843 *w*, 785 *w*, 668 *s*. ¹H NMR (500 MHz, DMSO-d₆) δ 9.21 (NH, *t*, *J* = 5.4 Hz, 1H), 9.00 (H_{Ar}, *dd*, *J* = 4.2, 1.7 Hz, 1H), 8.54 (H_{Ar}, *d*, *J* = 1.8 Hz, 1H), 8.49 (H_{Ar}, *d*, *J* = 7.6 Hz, 1H), 8.18 (H_{Ar}, *dd*, *J* = 8.8, 1.9 Hz, 1H), 8.10 (H_{Ar}, *d*, *J* = 8.8 Hz, 1H), 7.62 (H_{Ar}, *dd*, *J* = 8.3, 4.2 Hz, 1H), 4.14 ((-H_bCH_a-C \equiv CH_c), *dd*, *J* = 5.5, 2.5 Hz, 2H), 3.18 ((-H_bCH_a-C \equiv CH_c), *t*, *J* = 2.4 Hz, 1H). ¹³C NMR (126 MHz, DMSO-d₆) δ 166.0 (CO), 152.6, 149.2, 137.6, 132.1, 129.6, 128.7, 128.1, 127.6, 122.7, 81.7 (-H_bCH_a-C \equiv CH_c), 73.5 (-H_bCH_a-C \equiv CH_c), 29.2 (-H_bCH_a-C \equiv CH_c). MS (EI): *m/z* (rel. int, %): 210 (1, [M⁺]), 200 (8), 156 (100), 128 (58), 101 (16), 51 (8).

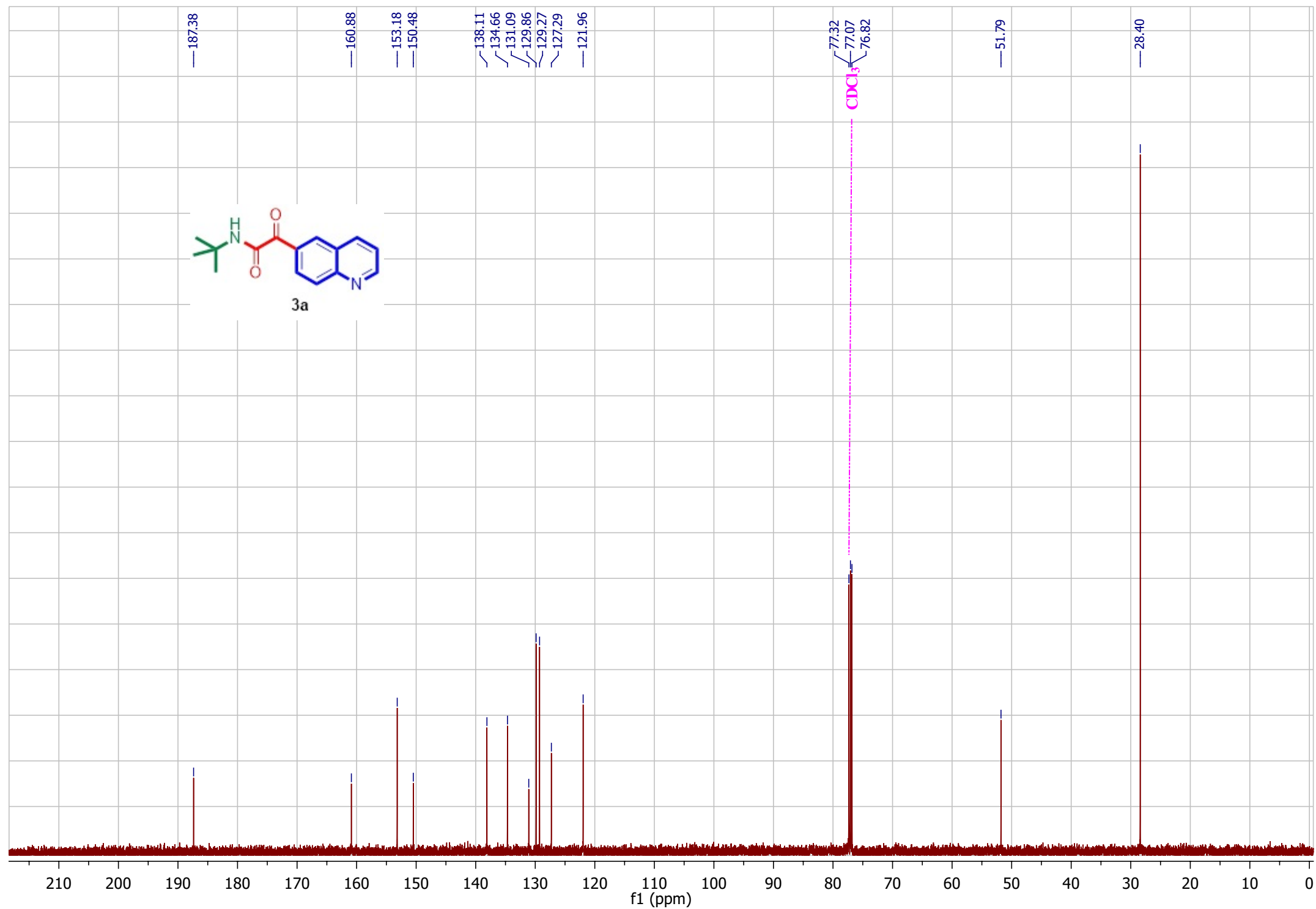
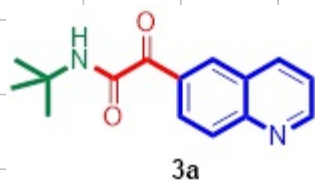
2-Oxo-*N*-(*prop-2-yn-1-yl*)-2-(quinolin-6-yl)acetamide (**3w**)

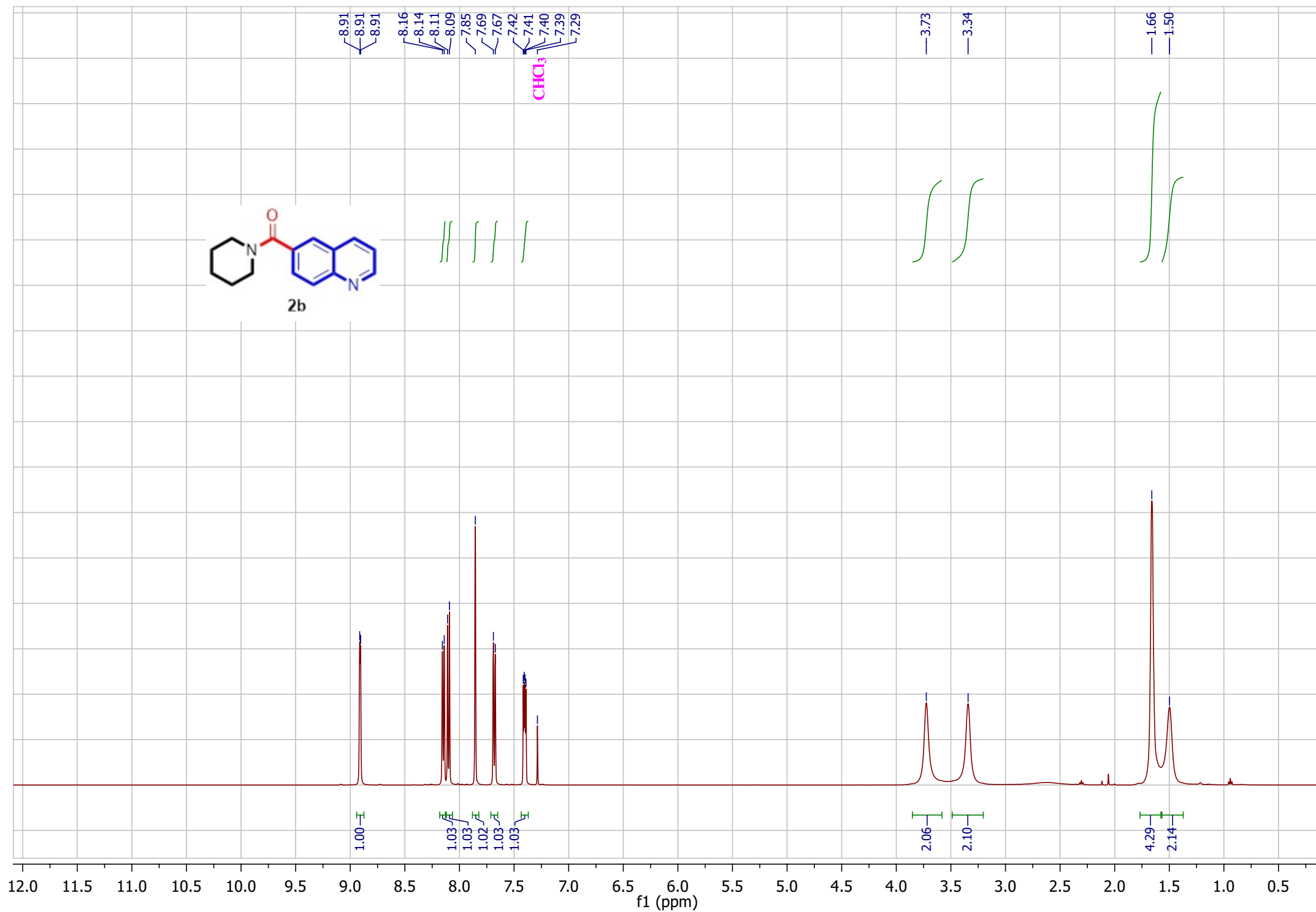
Yield: 100 mg (42%); orange solid, m.p: 129-131 °C, R_f (90 % CHCl₃, 10 % EtOAc , 1 % MeOH) 0.50; IR (KBr, ν (cm⁻¹)): 3280 *m*, 1684 *m*, 1671 *vs*, 1614 *m*, 1507 *m*, 1419 *m*, 1325 *w*, 1265 *m*, 1173 *m*, 815 *m*, 680 *w*. ¹H NMR (500 MHz, CDCl₃) δ 9.24 (H_{Ar}, *d*, *J* = 1.6 Hz, 1H), 9.05 (H_{Ar}, *dd*, *J* = 4.2, 1.6 Hz, 1H), 8.44 (H_{Ar}, *dd*, *J* = 8.9, 1.8 Hz, 1H), 8.35 (H_{Ar}, *d*, *J* = 8.1 Hz, 1H), 8.20 (H_{Ar}, *d*, *J* = 8.9 Hz, 1H), 7.57 (NH, *br s*, 1H), 7.53 (H_{Ar}, *dd*, *J* = 8.3, 4.3 Hz, 1H), 4.25 ((-H_bCH_a-C \equiv CH_c), *dd*, *J* = 5.6, 2.5 Hz, 2H), 2.34 ((-H_bCH_a-C \equiv CH_c), *t*, *J* = 2.5 Hz, 1H). ¹³C NMR (126 MHz, CDCl₃) δ 185.7 (CO), 161.1 (CO), 153.1, 150.2, 138.6, 134.9, 130.9, 129.8, 129.3, 127.4, 122.1, 78.6 (-H_bCH_a-C \equiv CH_c), 72.5 (-H_bCH_a-C \equiv CH_c), 29.3 (-H_bCH_a-C \equiv CH_c). MS (EI): *m/z* (rel. int, %): 238 (2, [M⁺]), 156 (100), 128 (45), 101 (15), 75 (8), 51 (4).

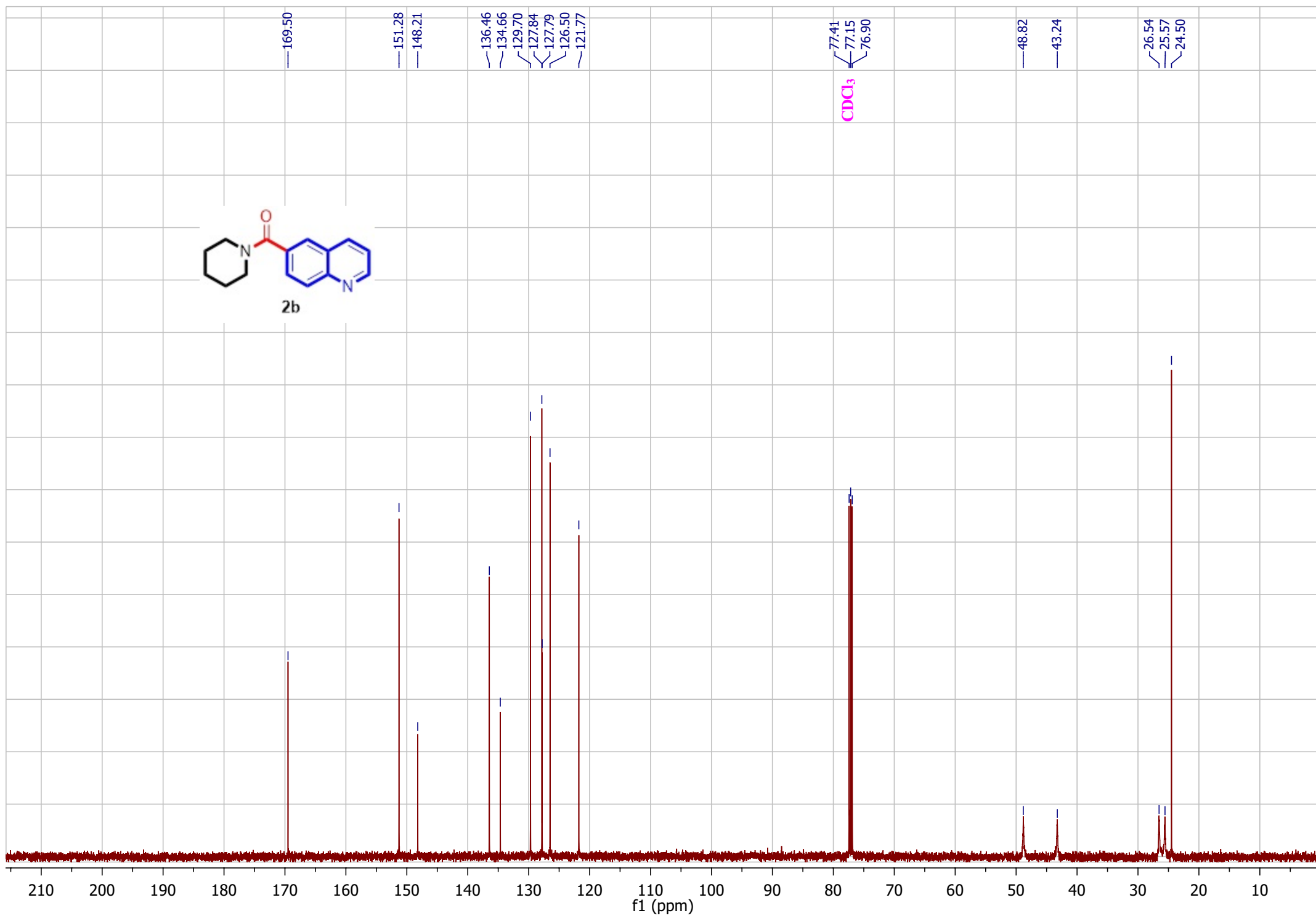




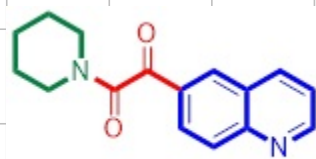




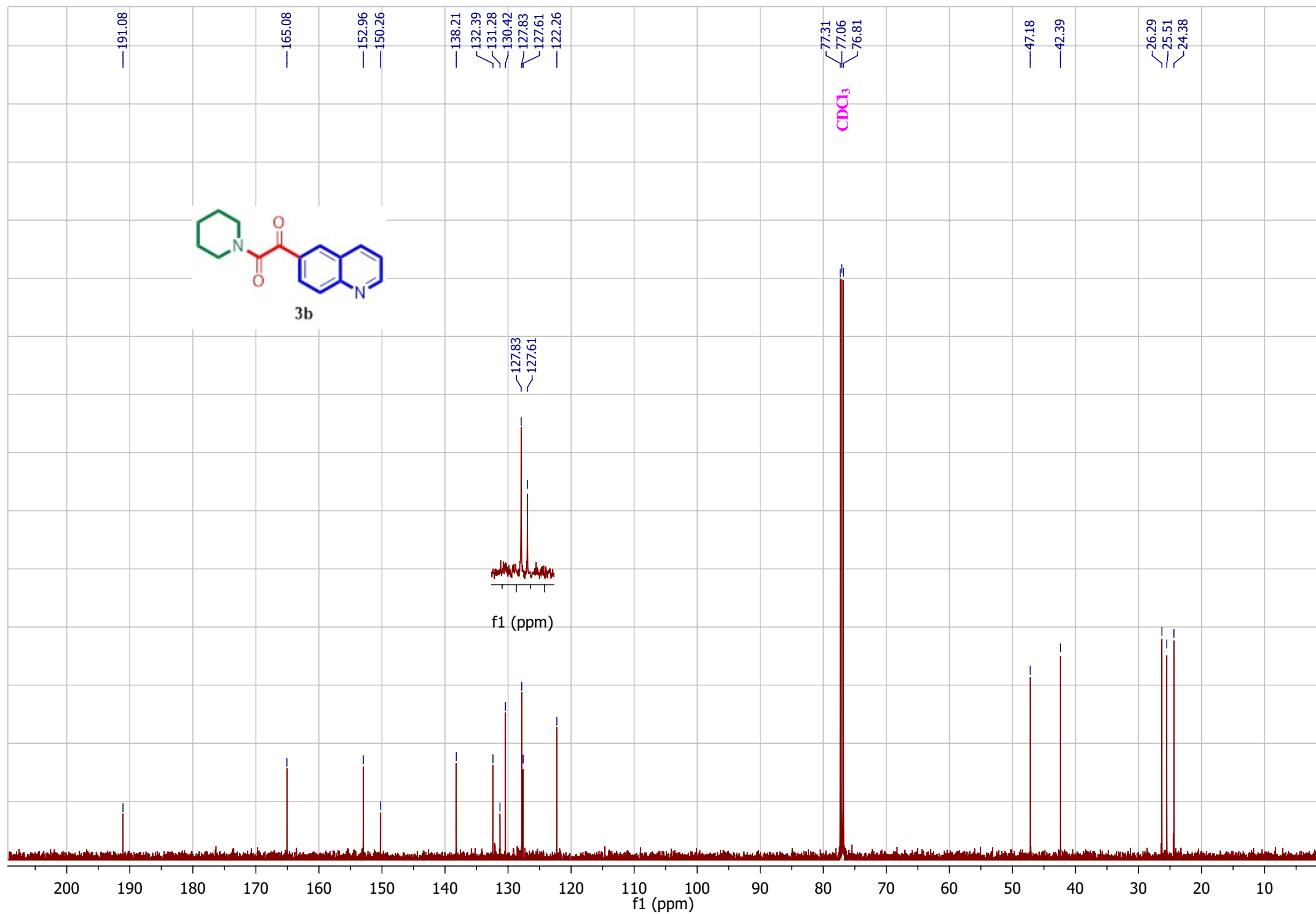


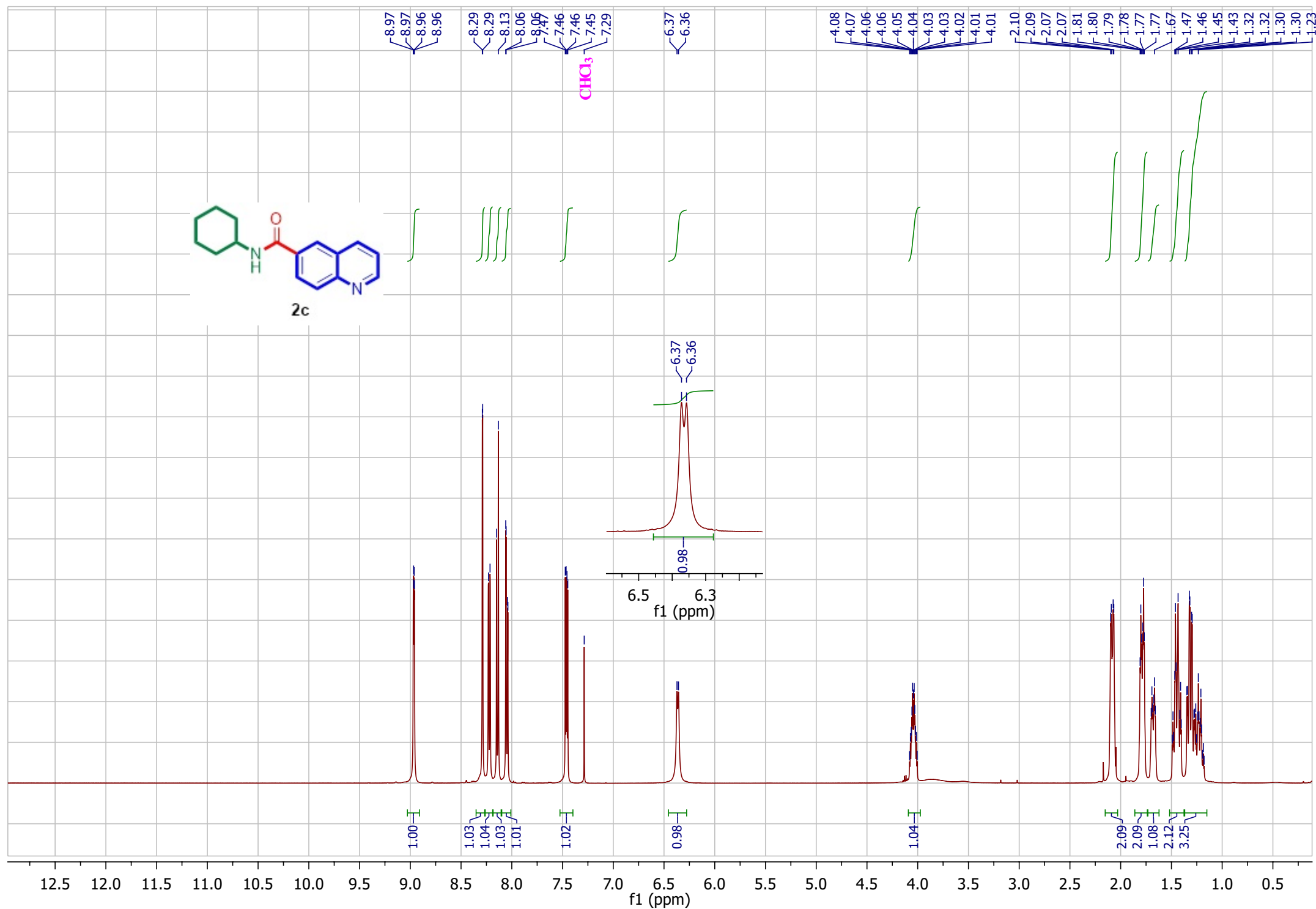
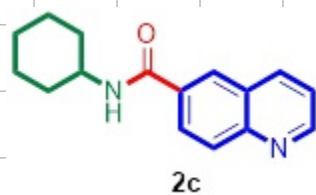


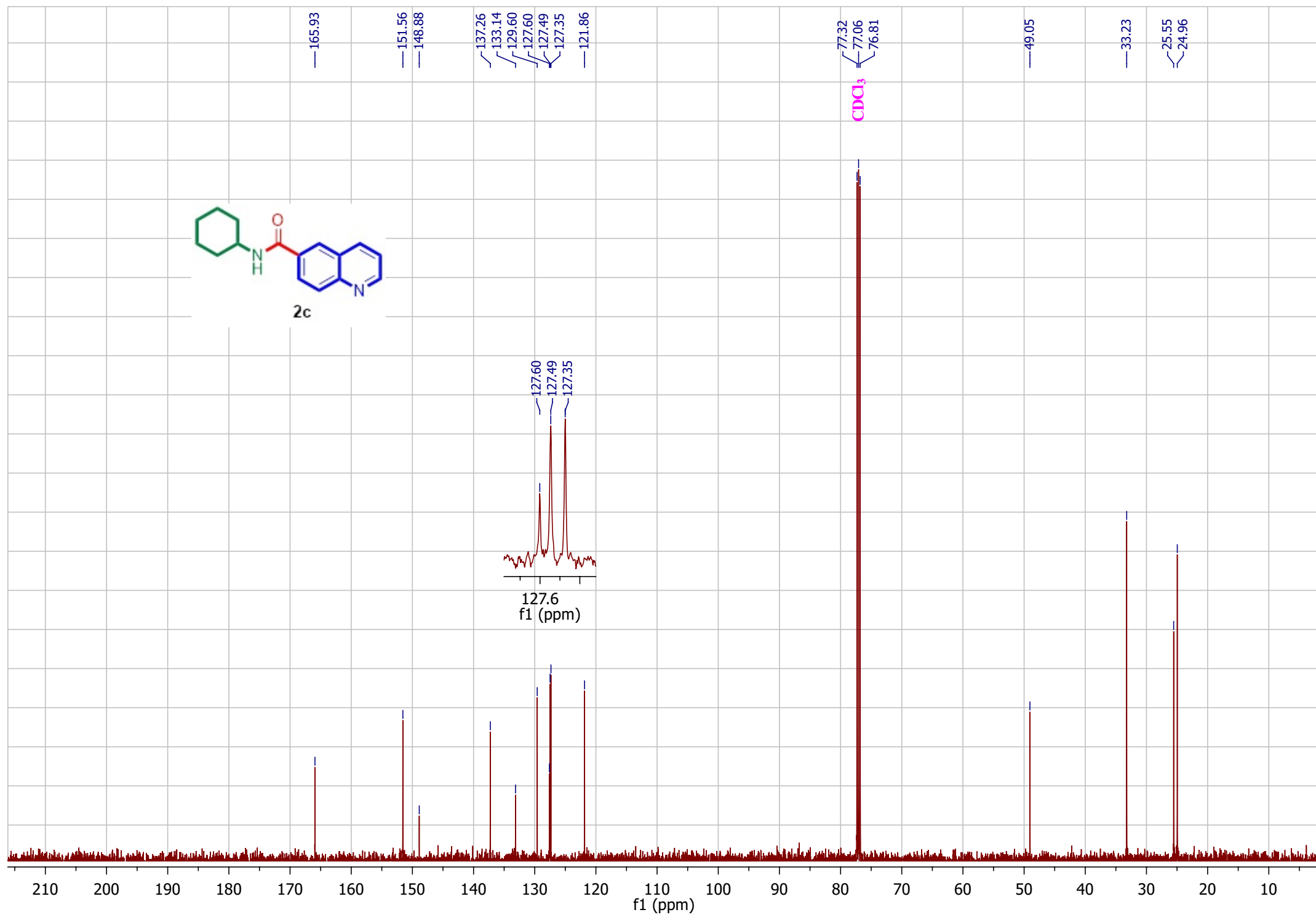
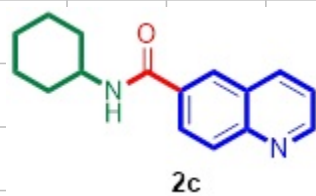


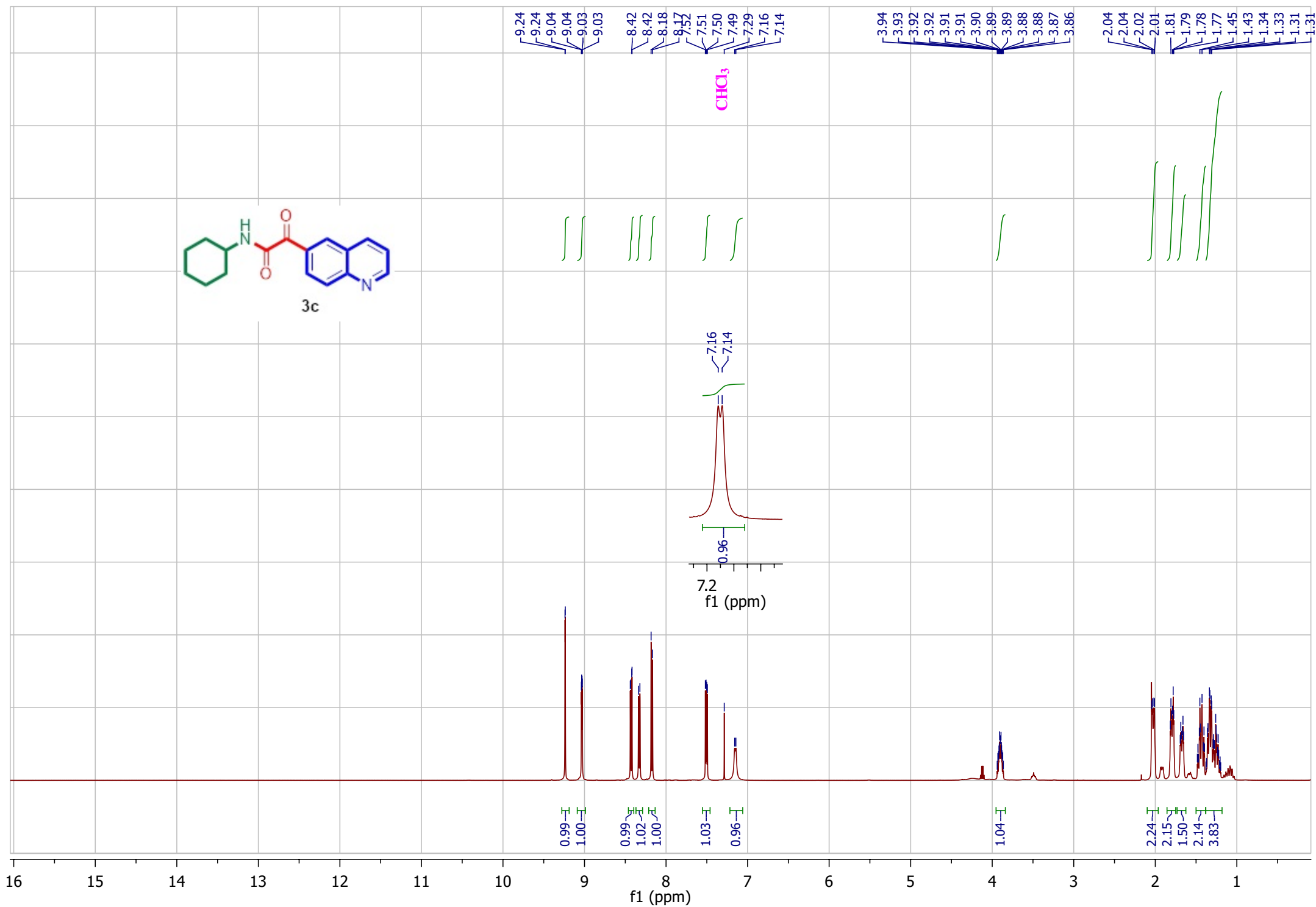
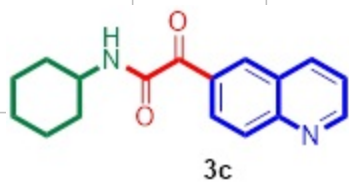


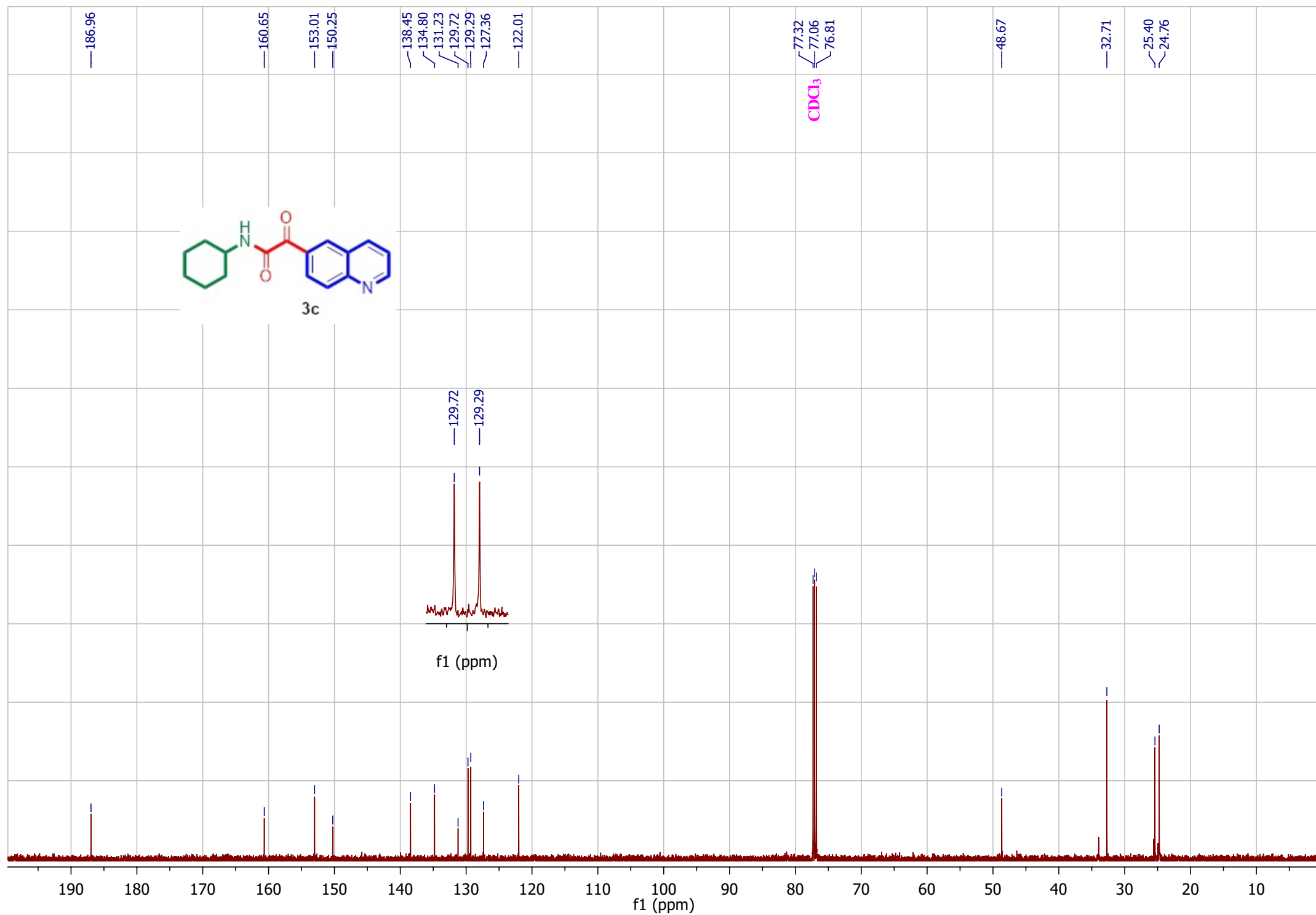
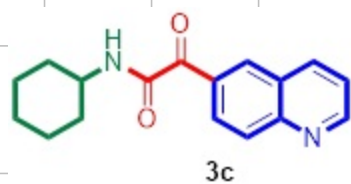
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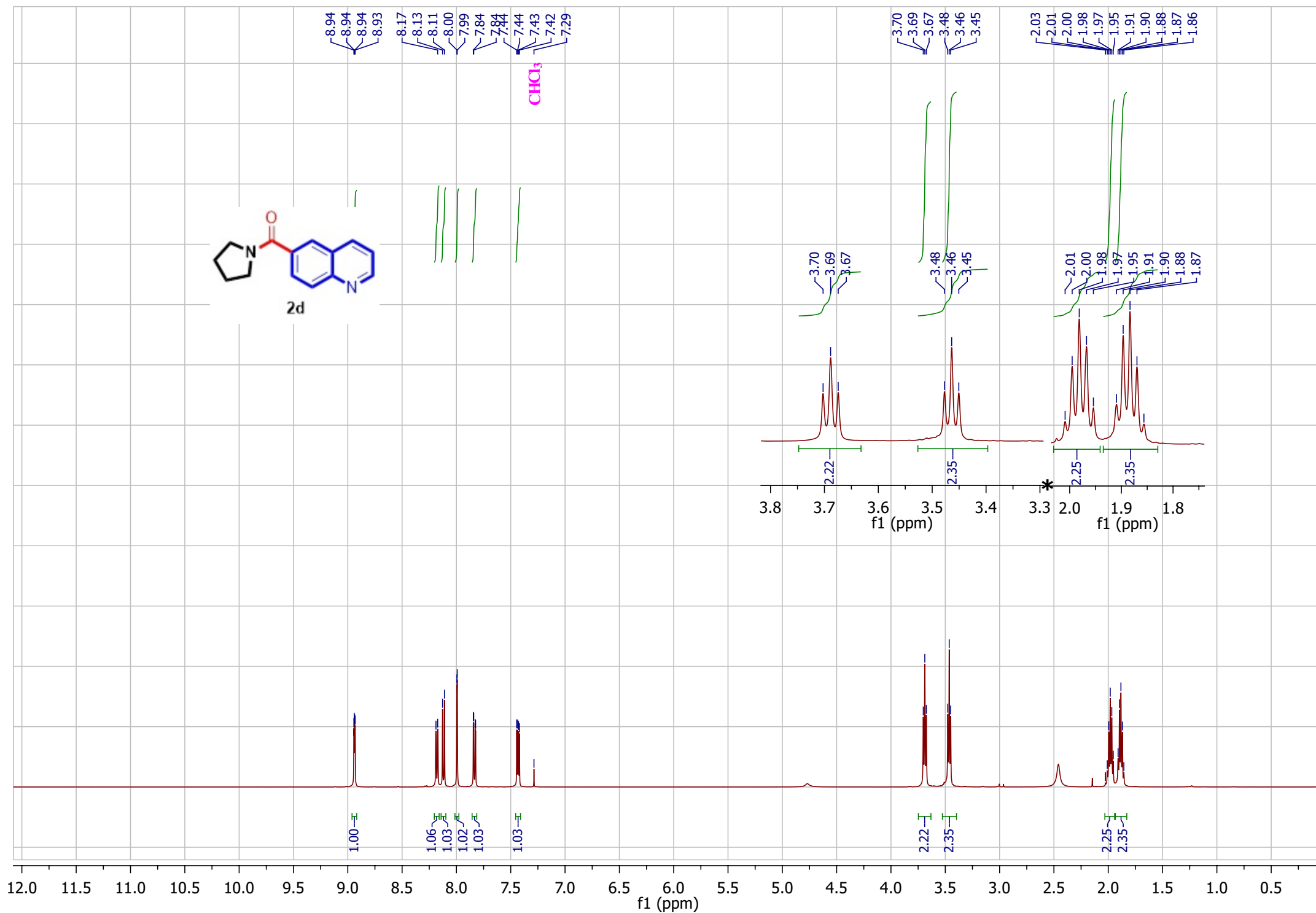


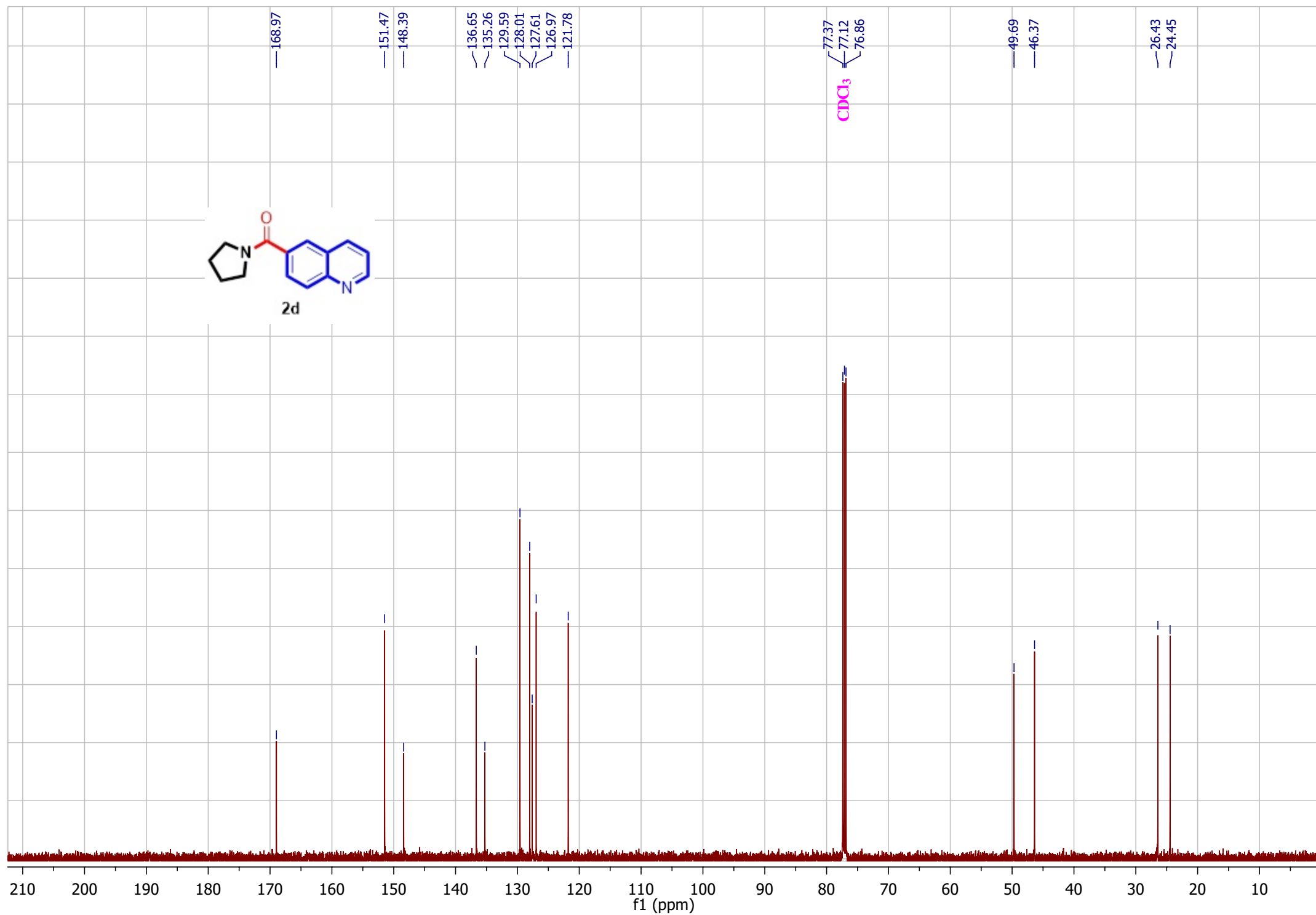


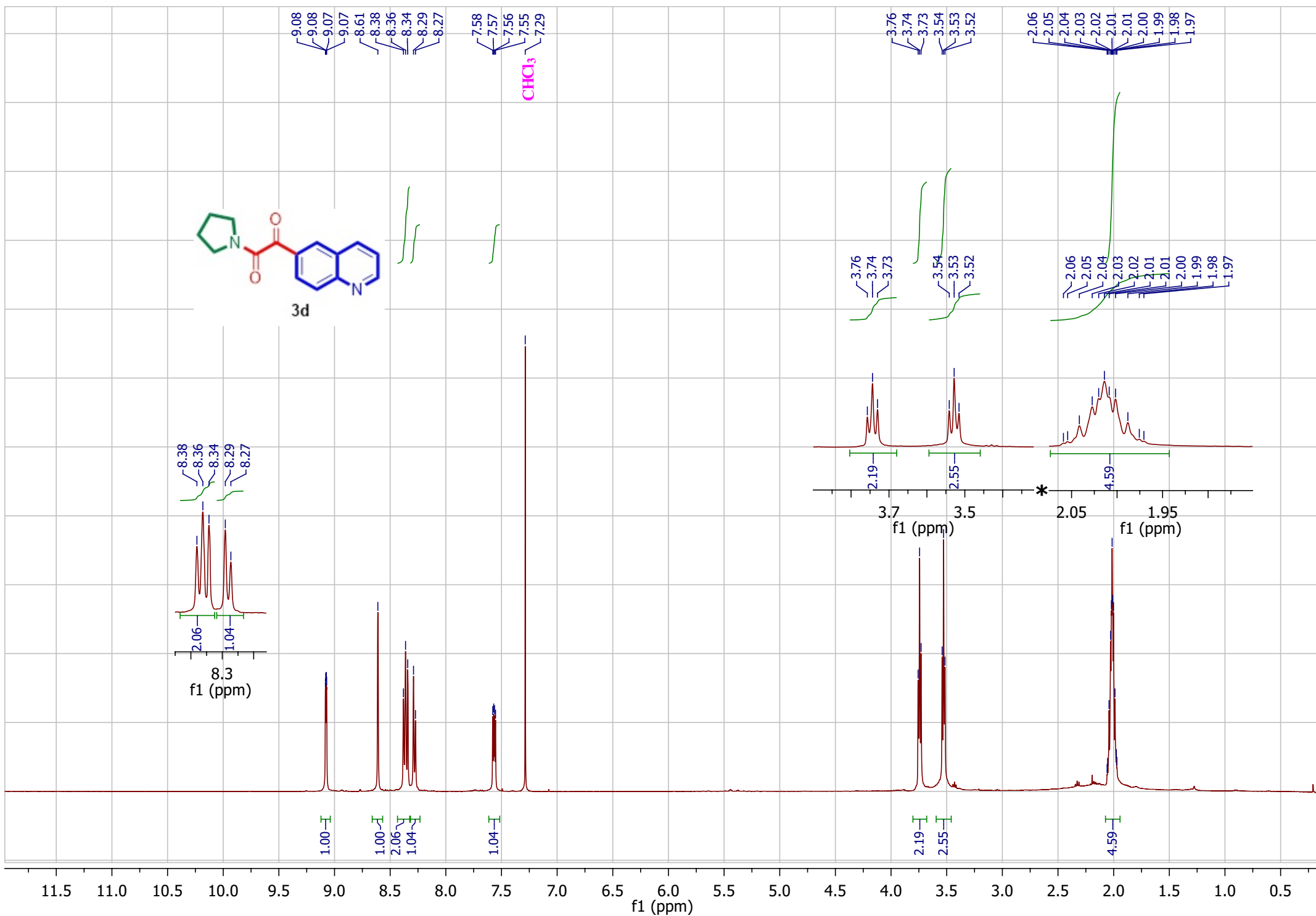


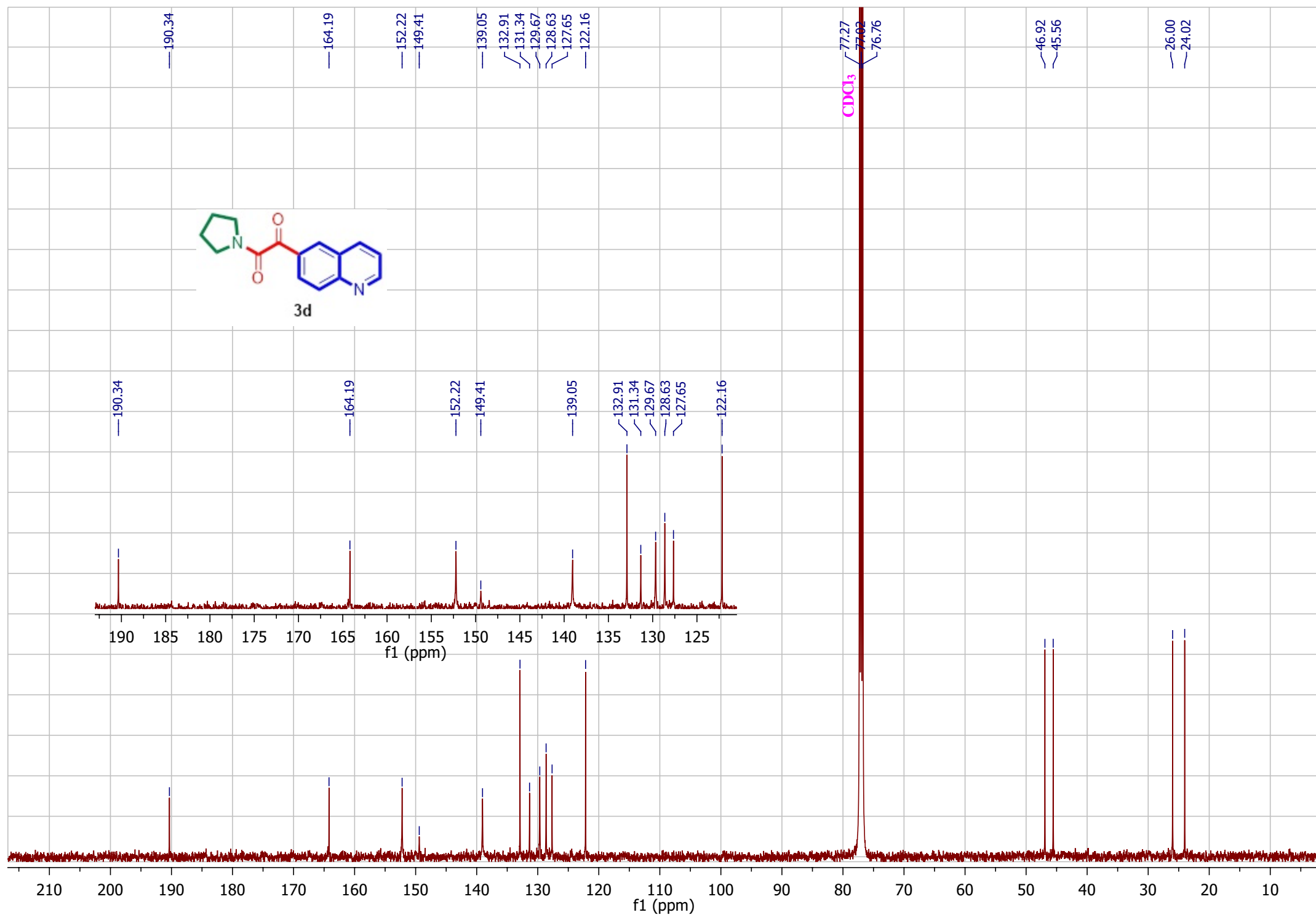
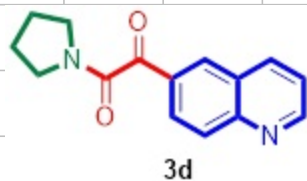


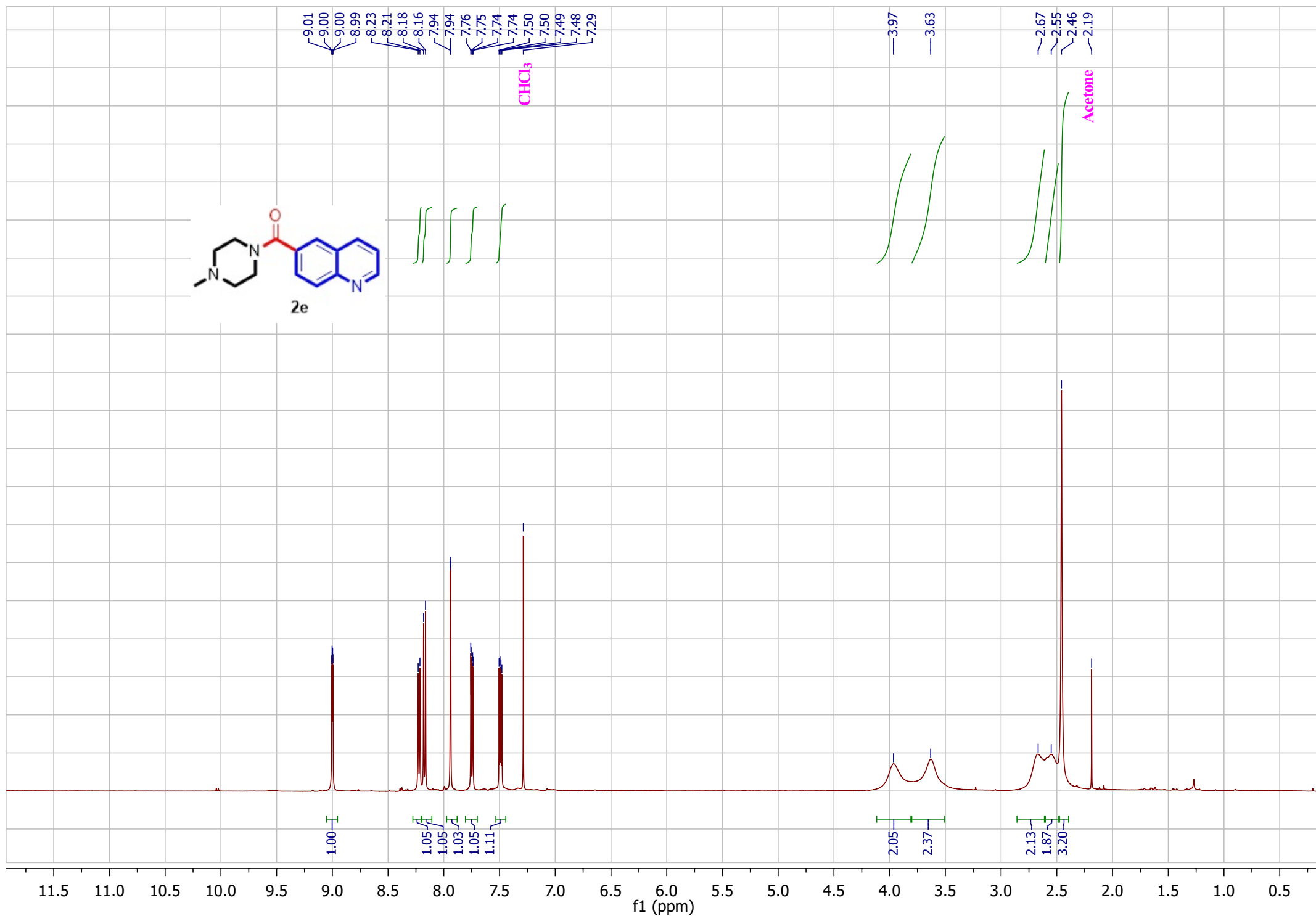


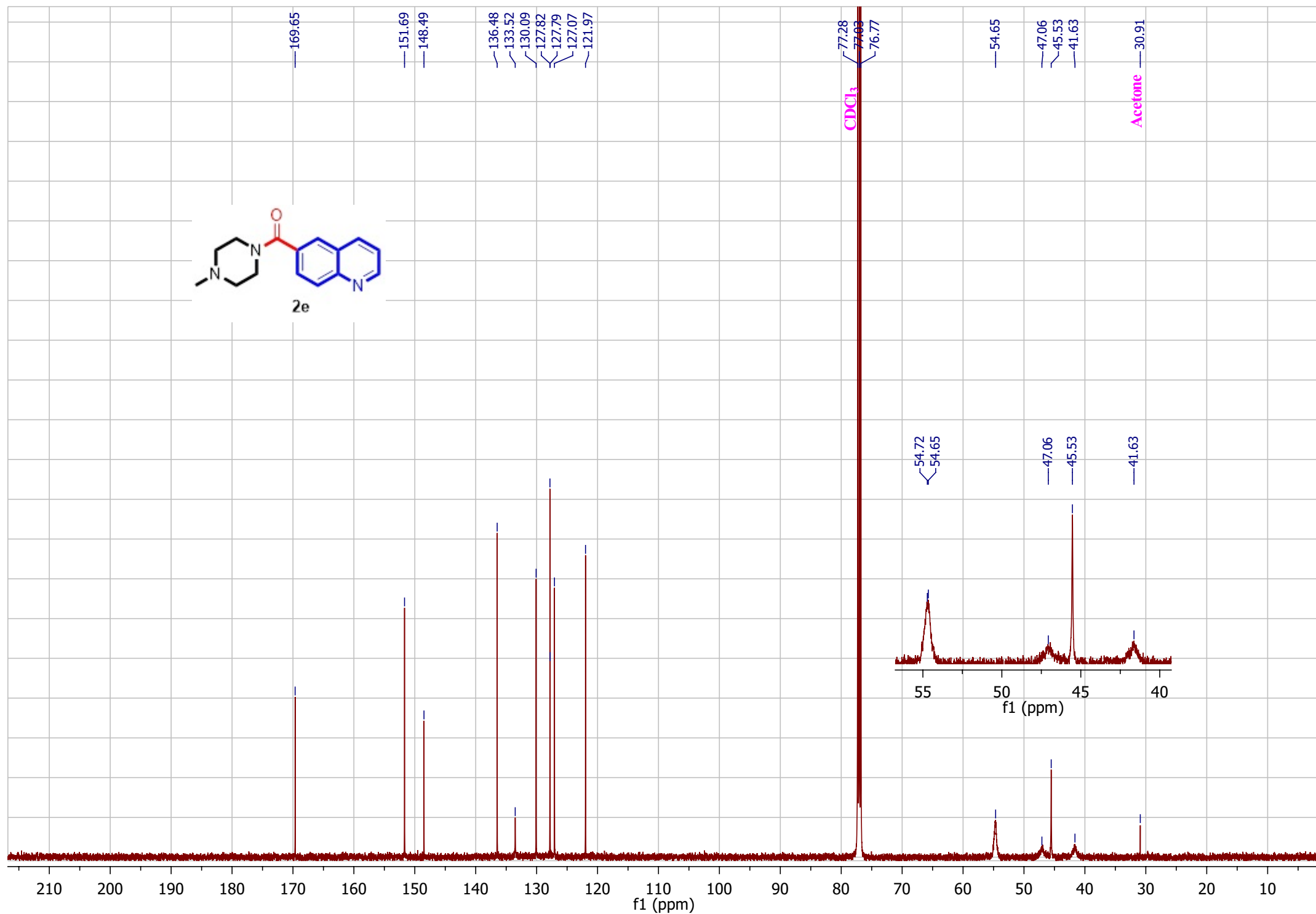
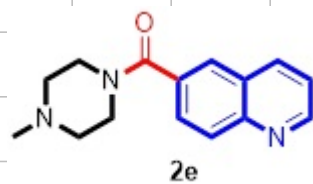


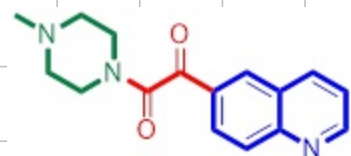




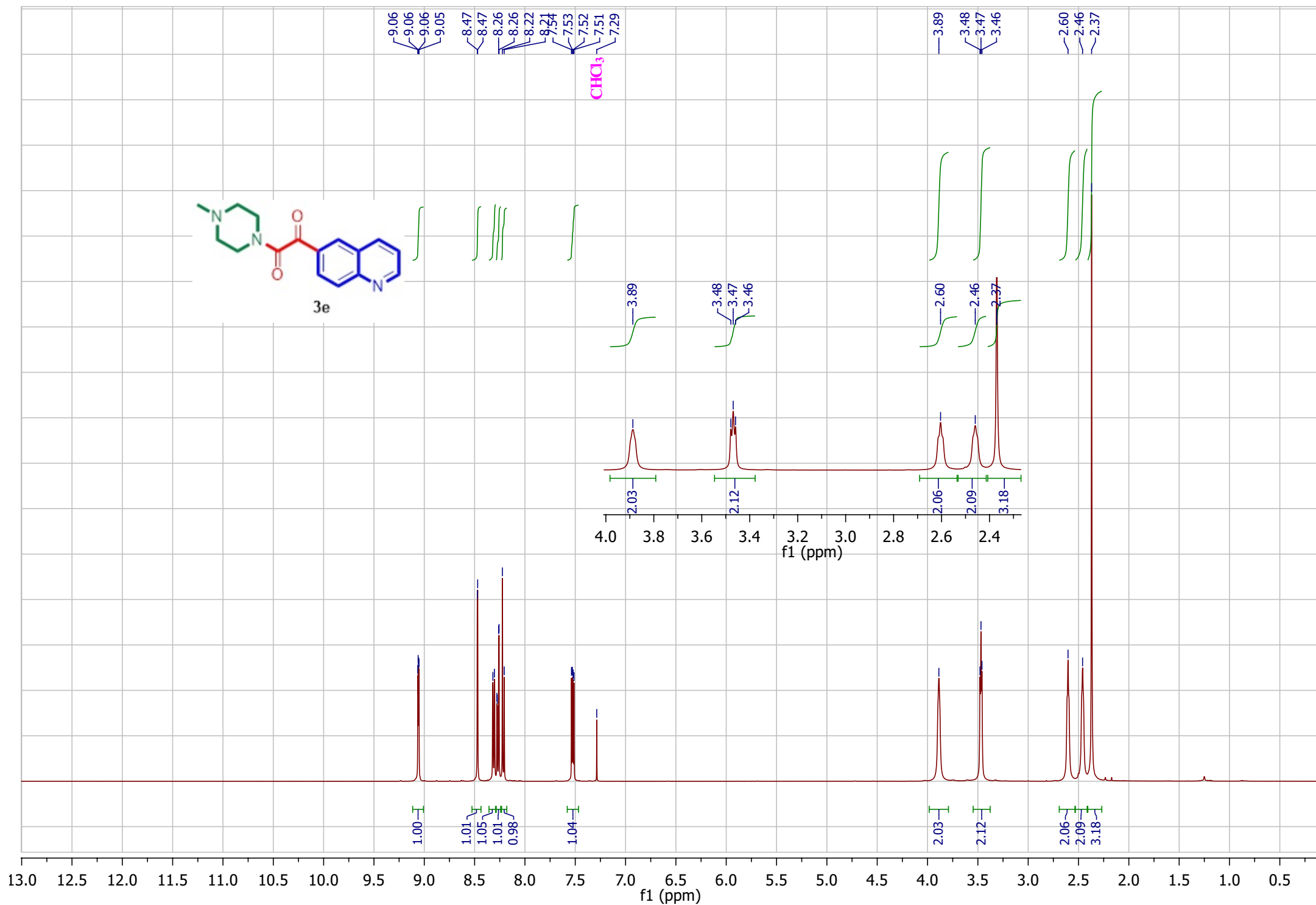


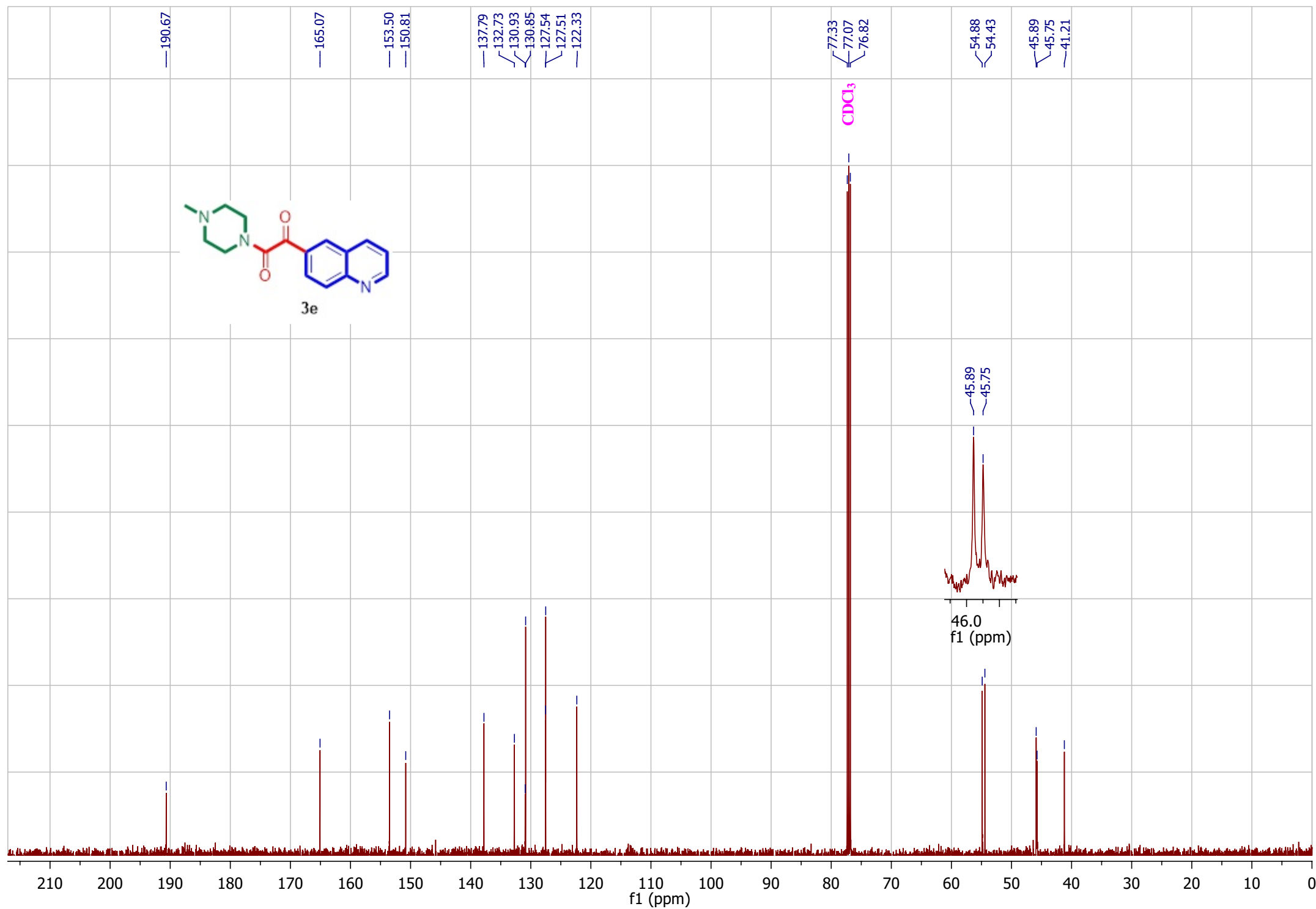


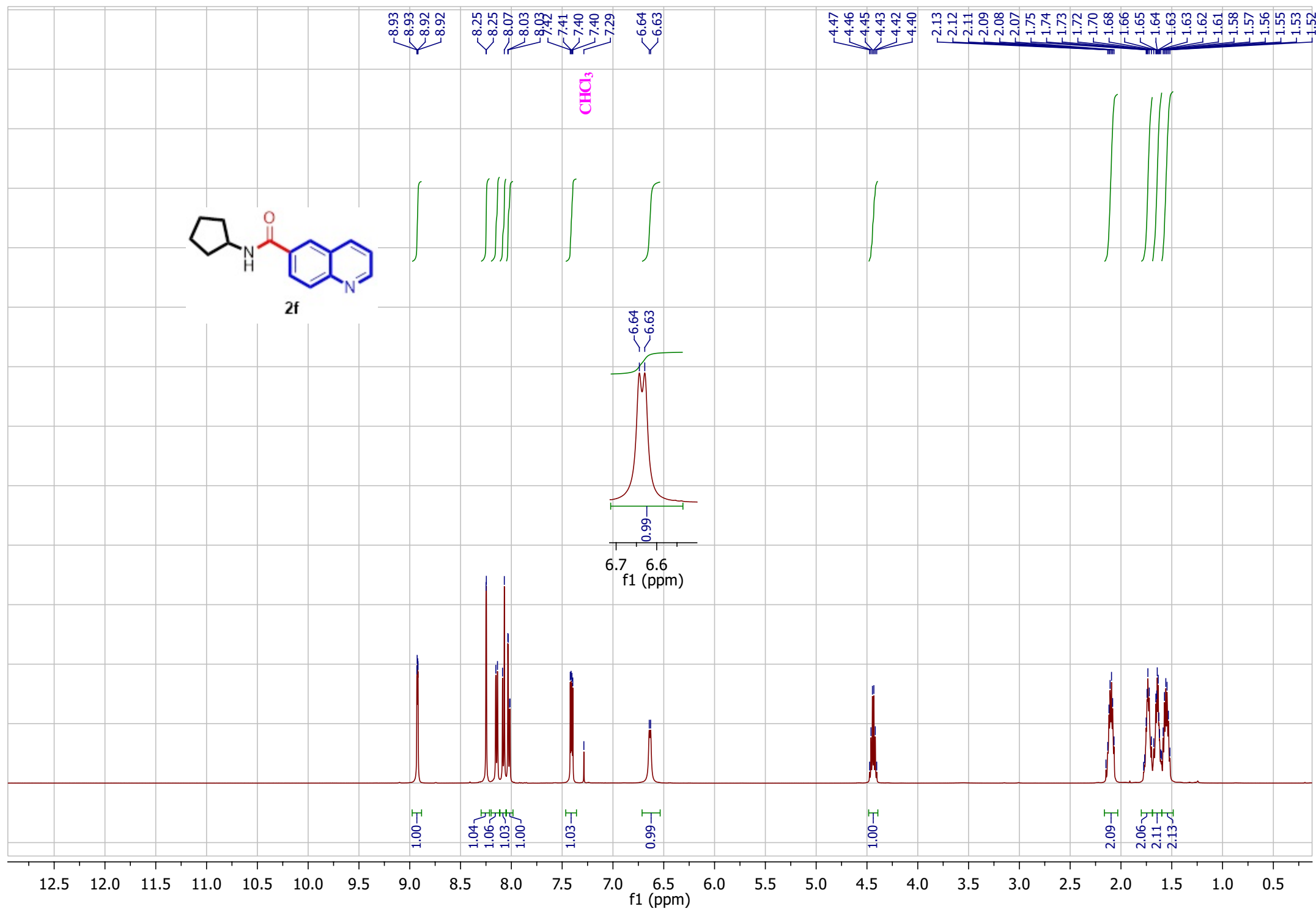
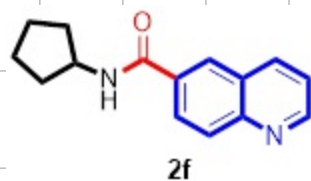


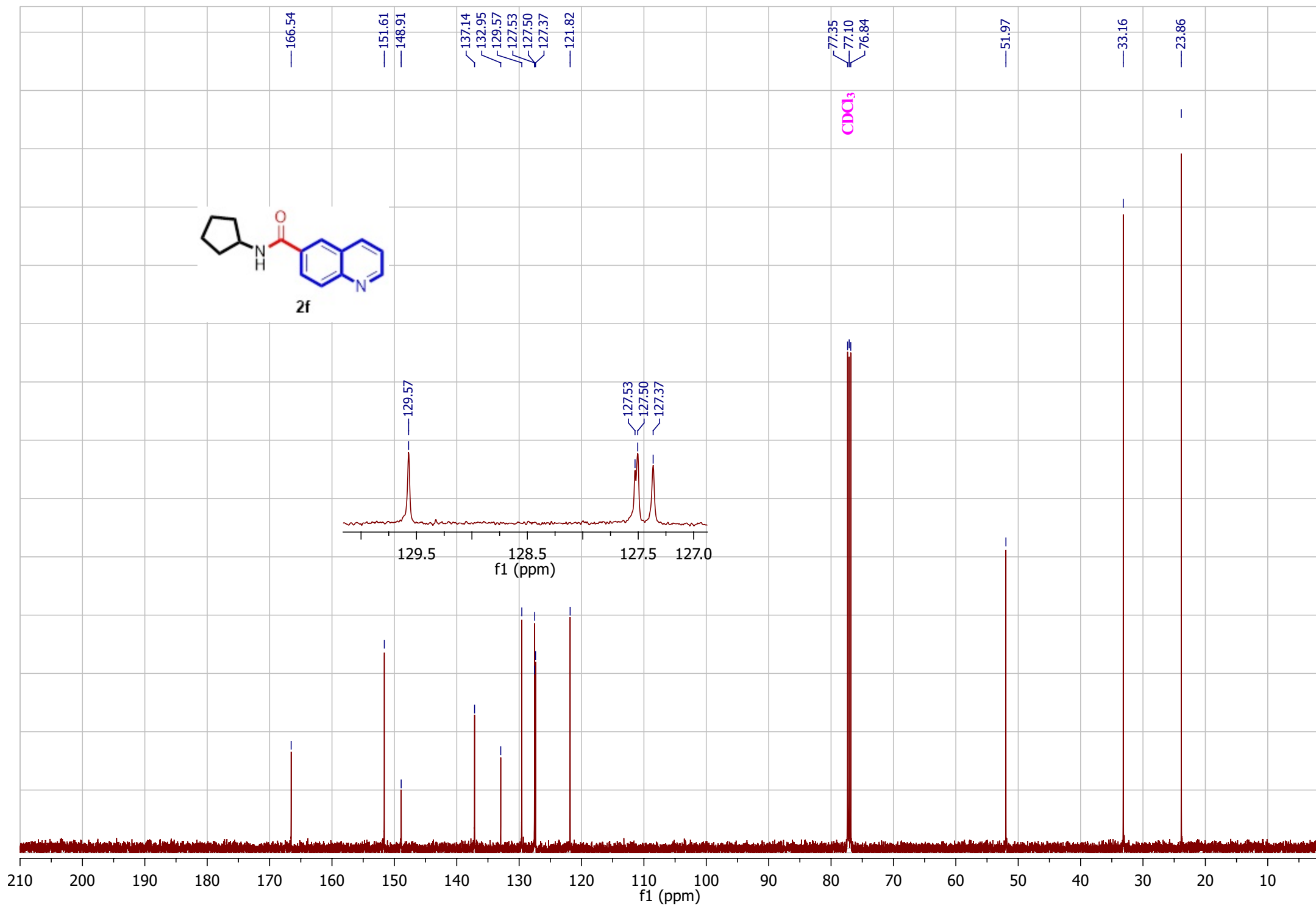
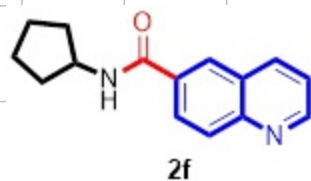


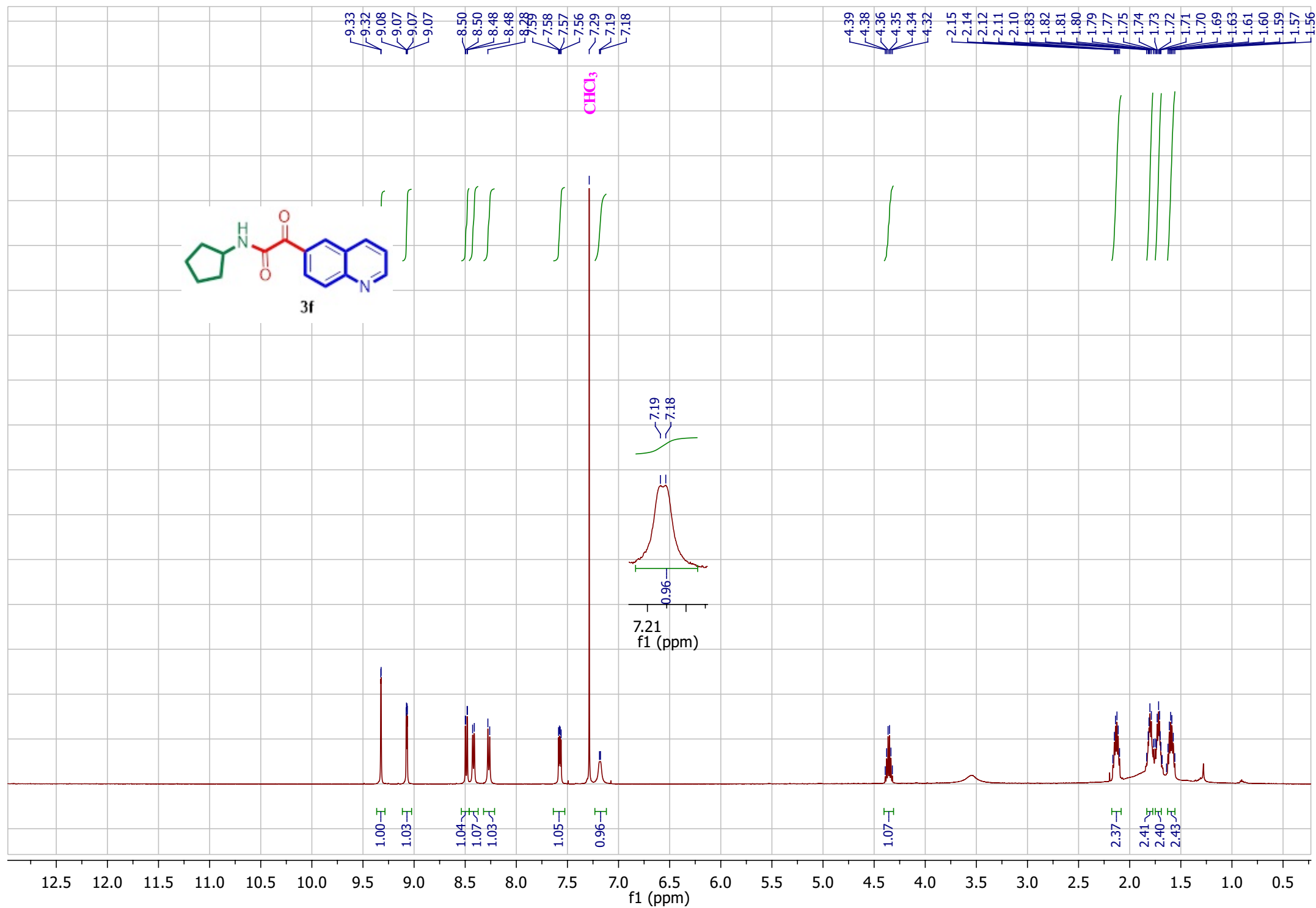
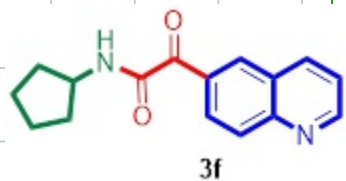
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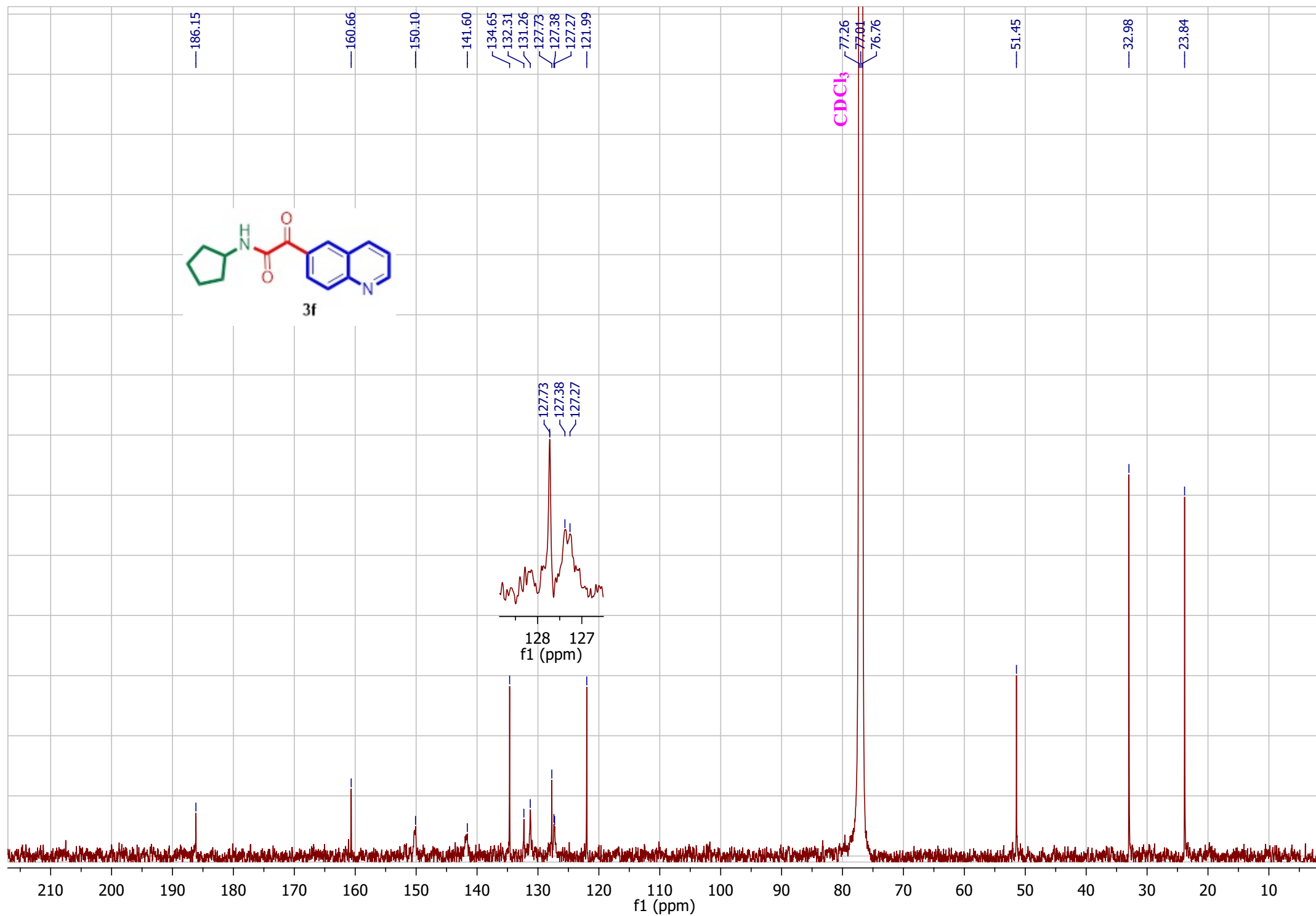
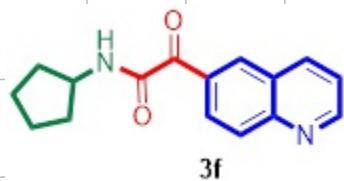


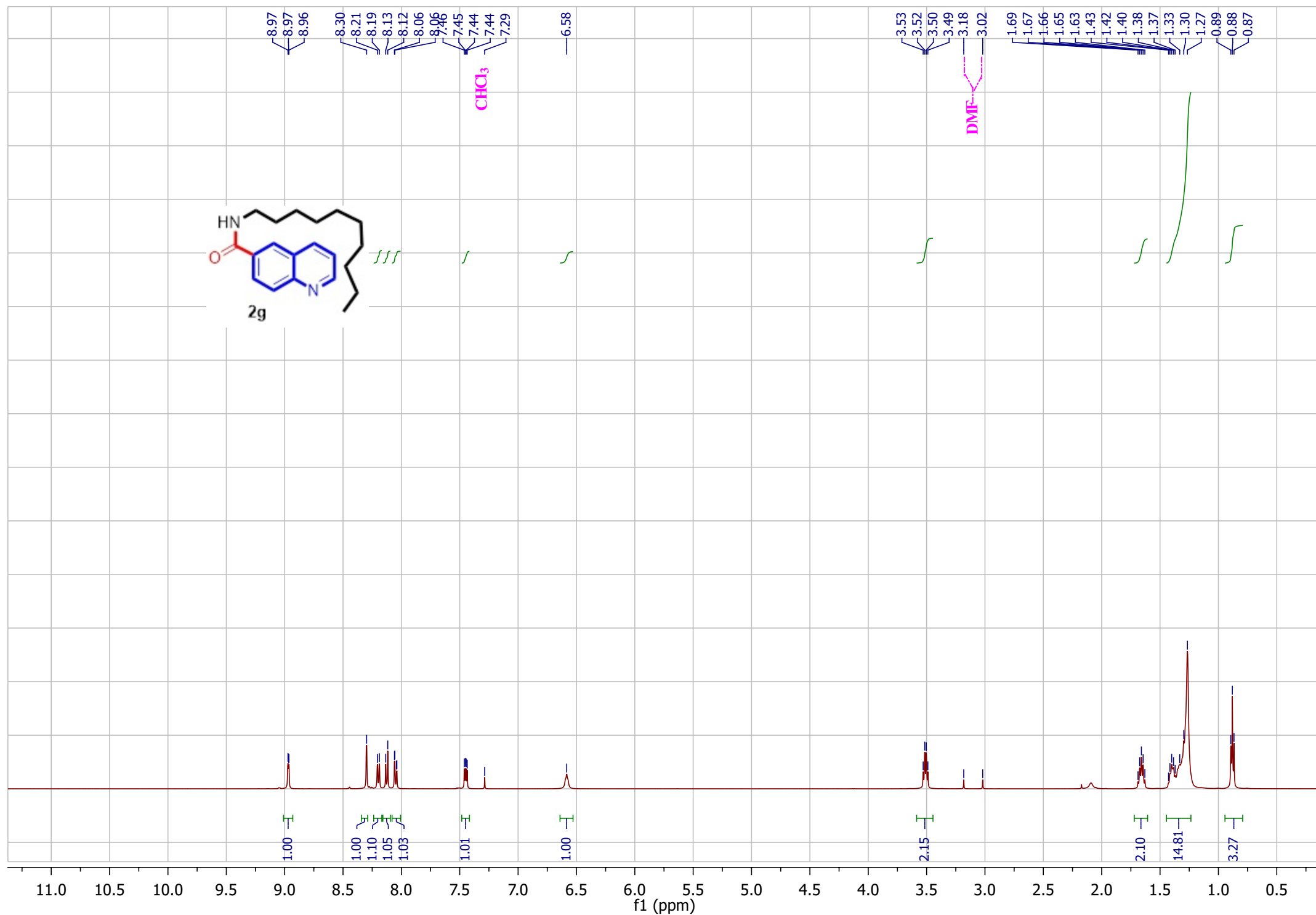


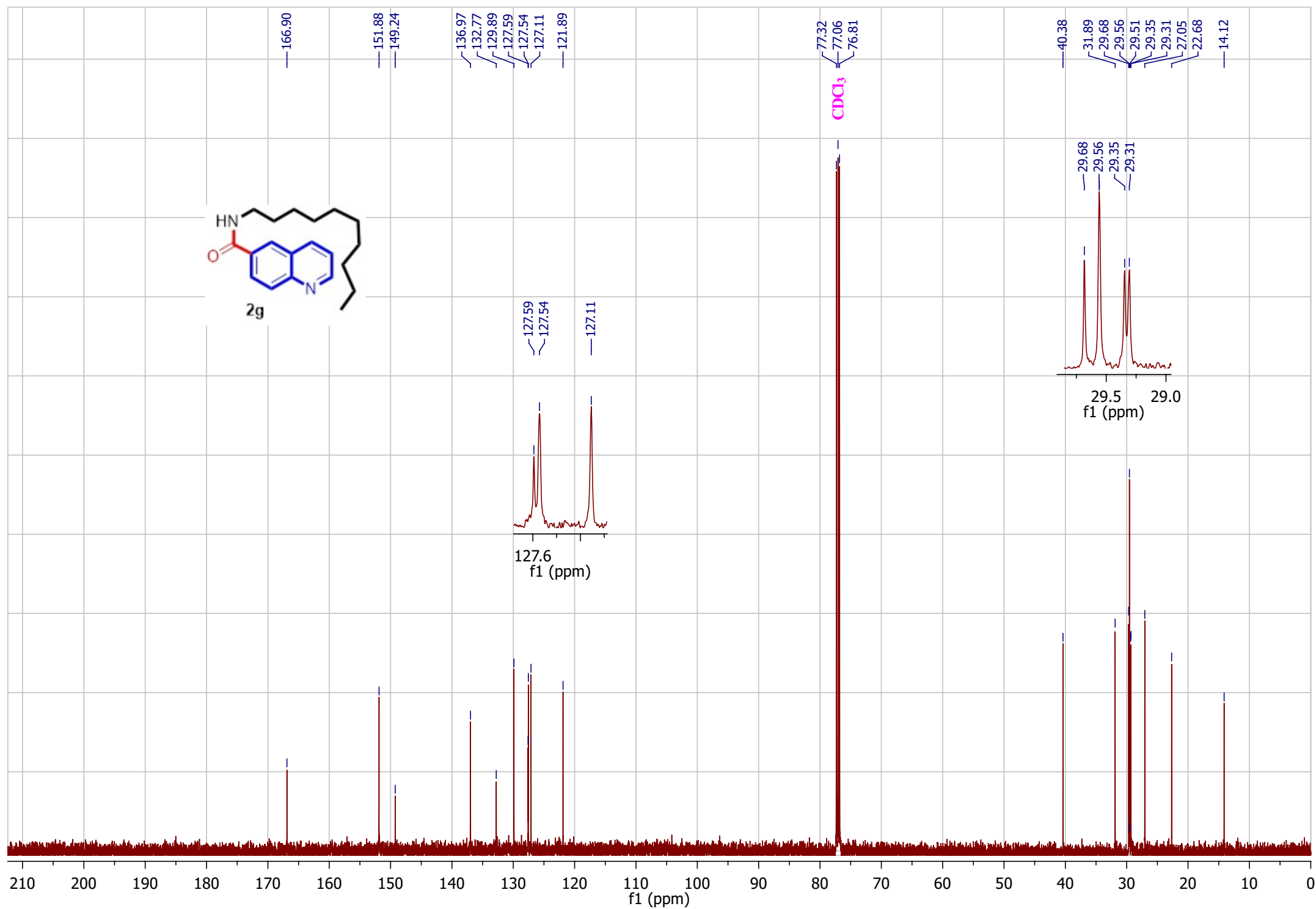
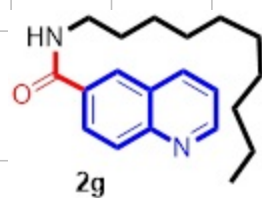


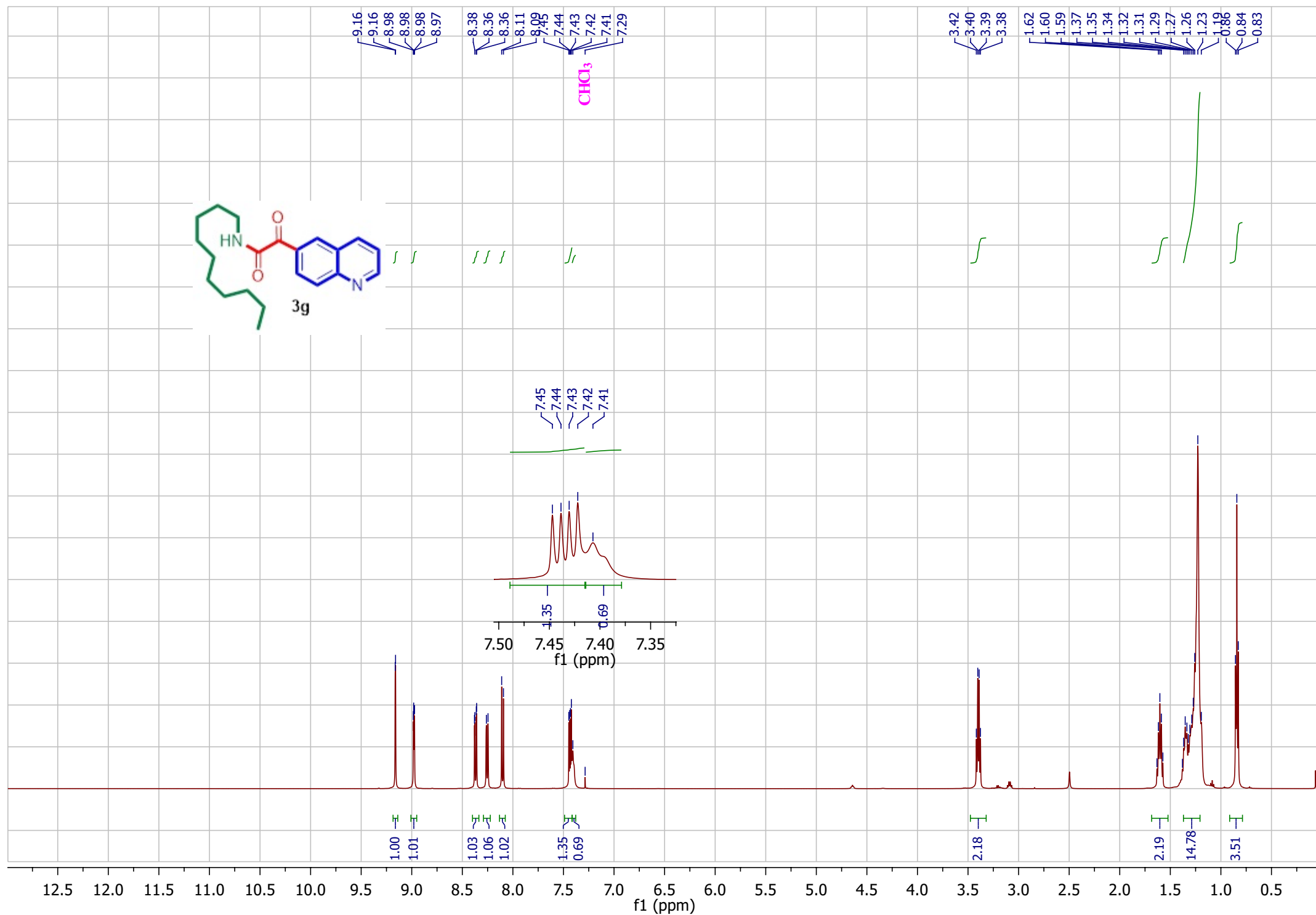


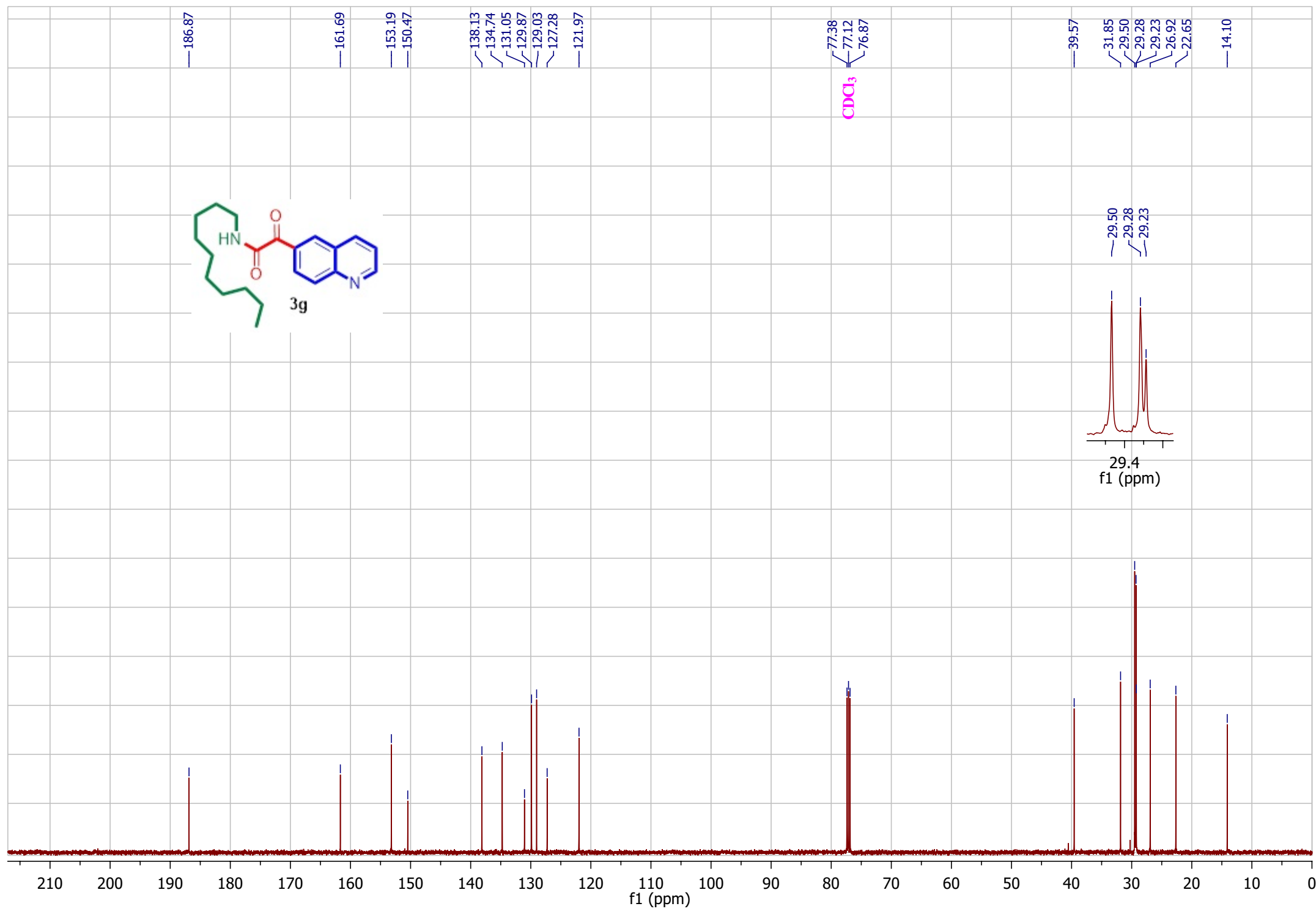
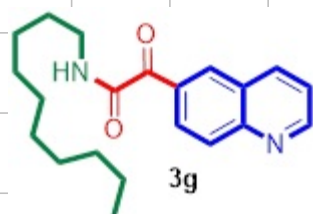


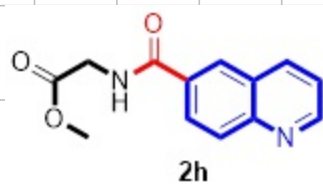












8.98
8.98
8.97
8.33
8.33
8.11
8.09
8.09
7.46
7.46
7.45
7.29
7.25
CHCl₃

4.32
4.31
3.82

7.29
7.25
0.93
7.29
7.20
f1 (ppm)

1.00

1.03

1.03

1.01

0.98

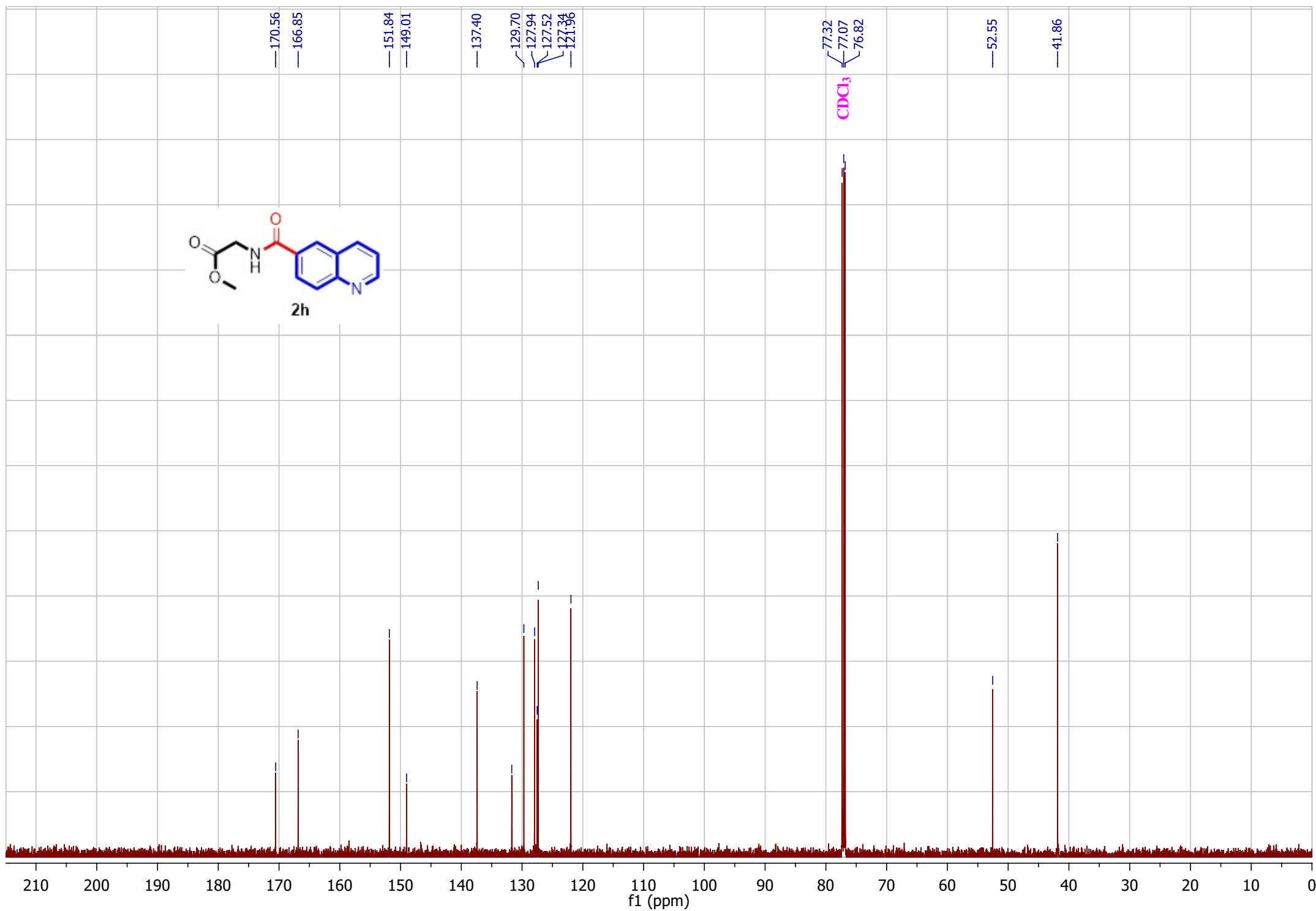
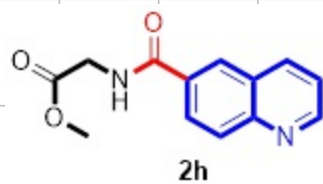
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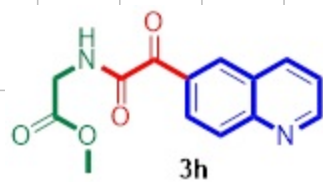
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2.02

3.04

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f1 (ppm)





9.00
8.92
8.92
8.91
8.27
8.19
8.17
8.03
8.01
7.38
7.37
7.36
7.28
CHCl₃

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4.17

3.73

8.06
8.03
8.01
0.98
1.01
8.05
f1 (ppm)

1.00
0.99

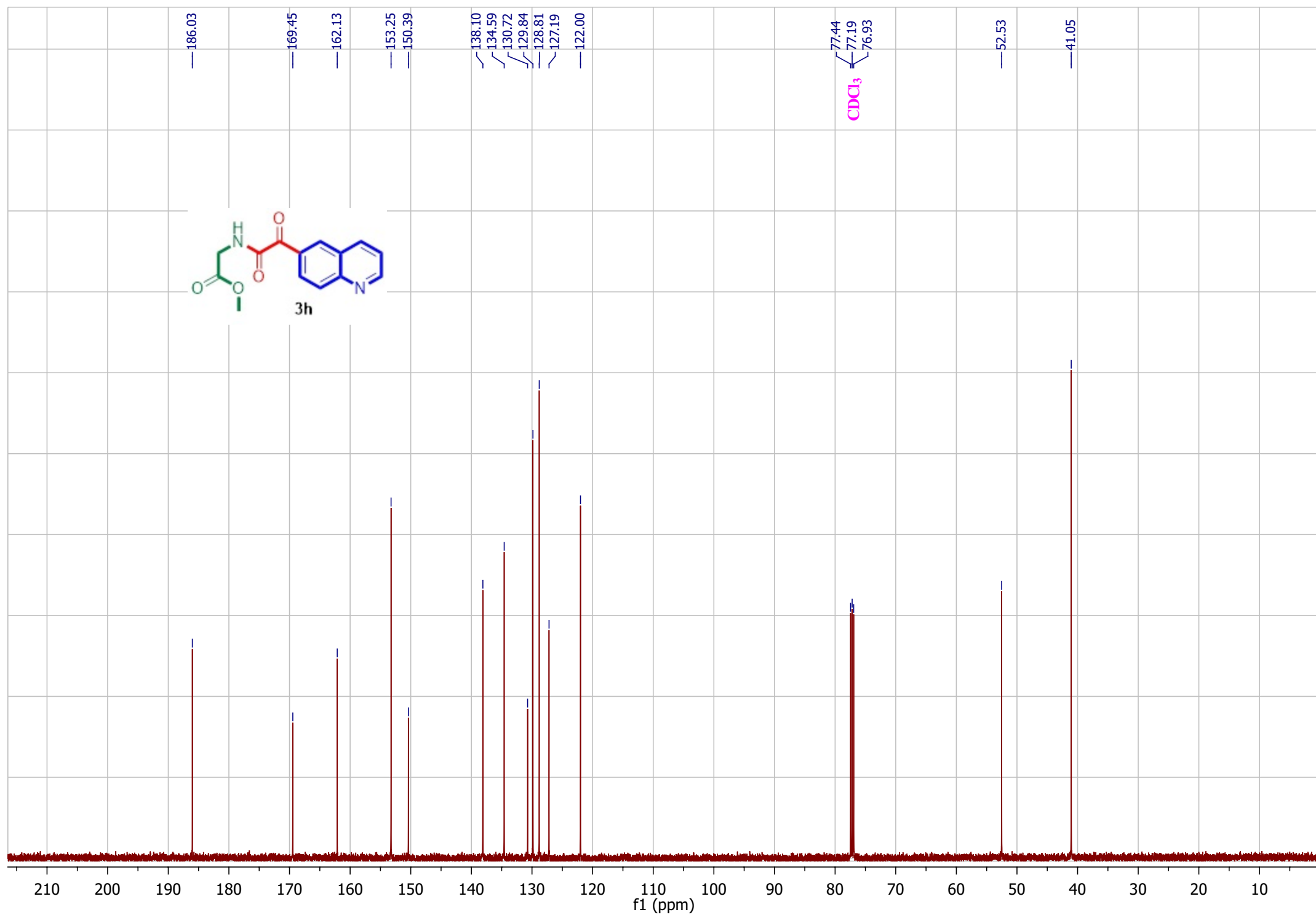
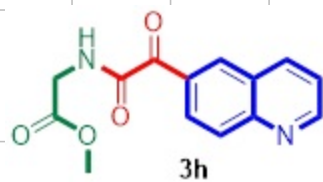
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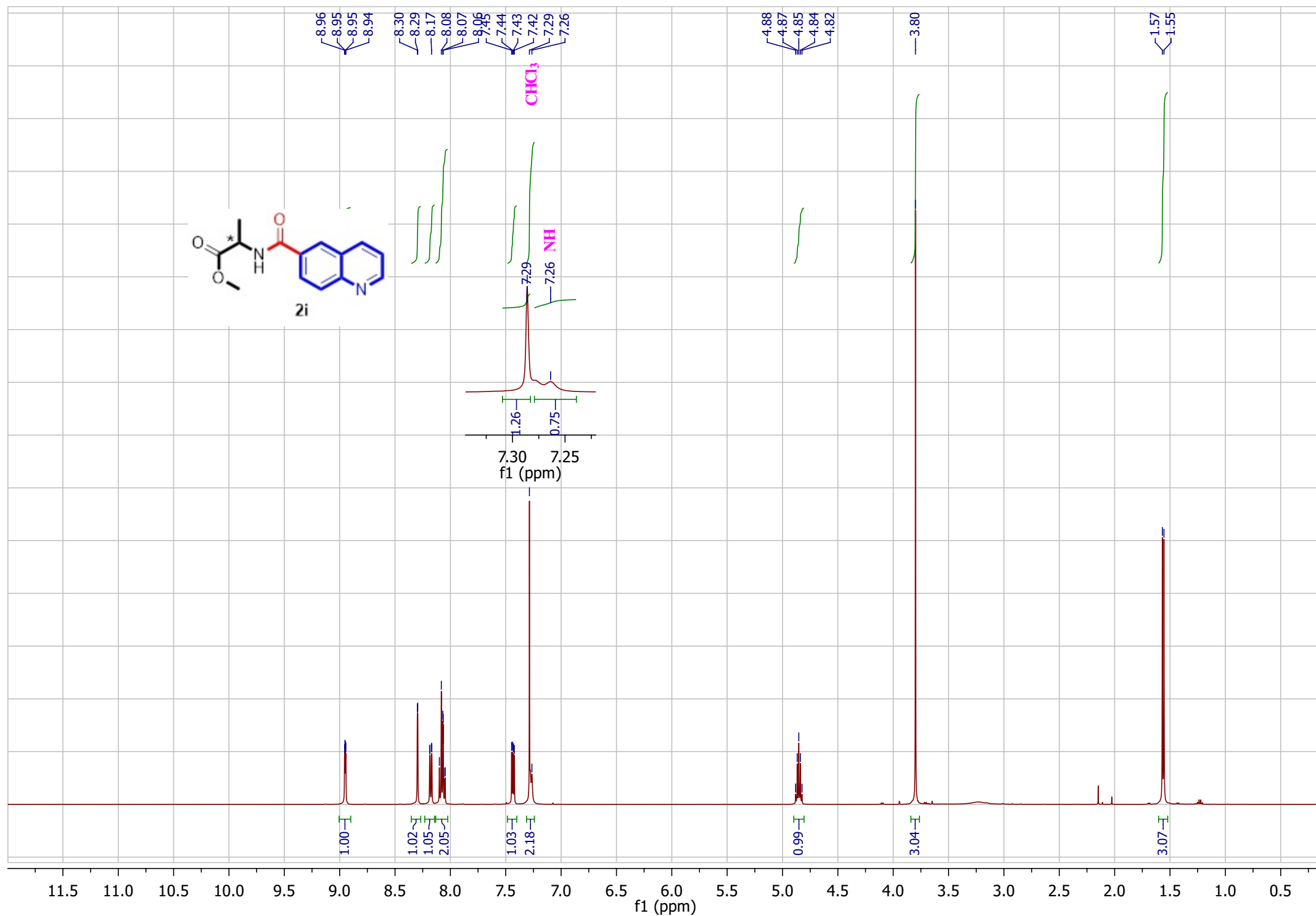
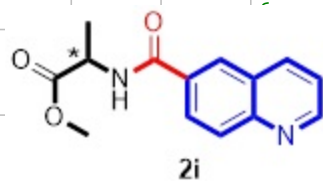
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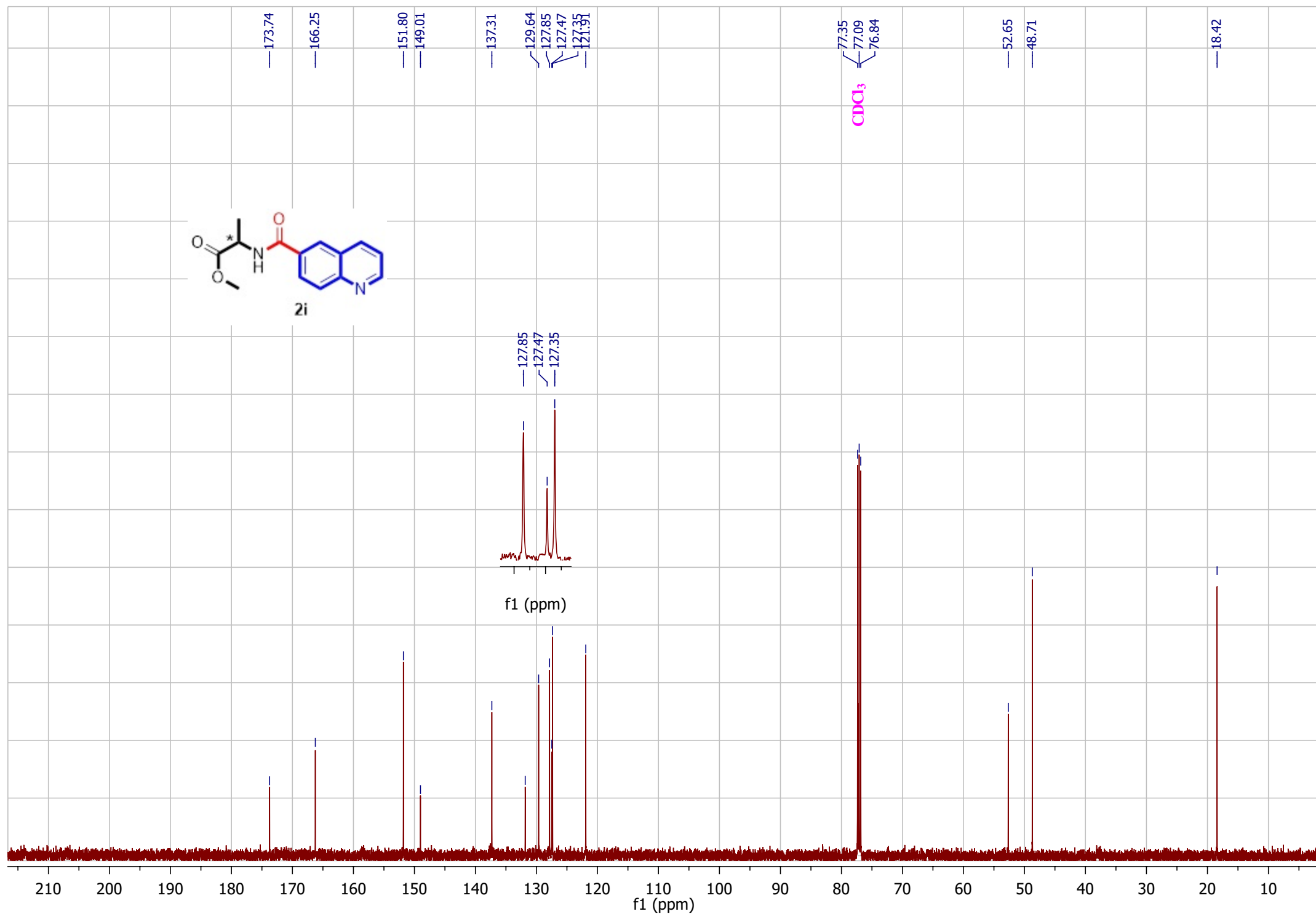
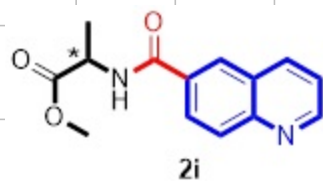
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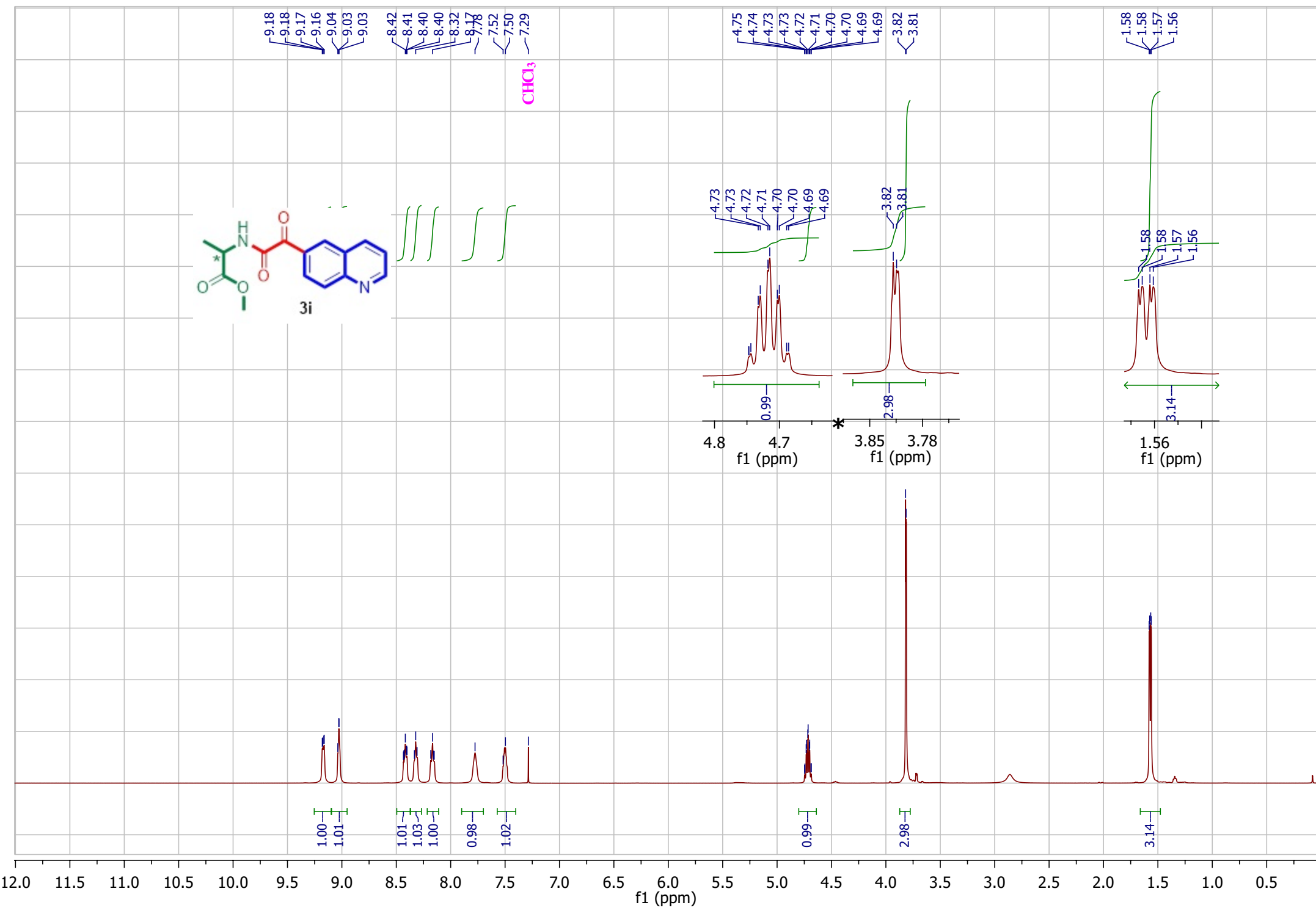
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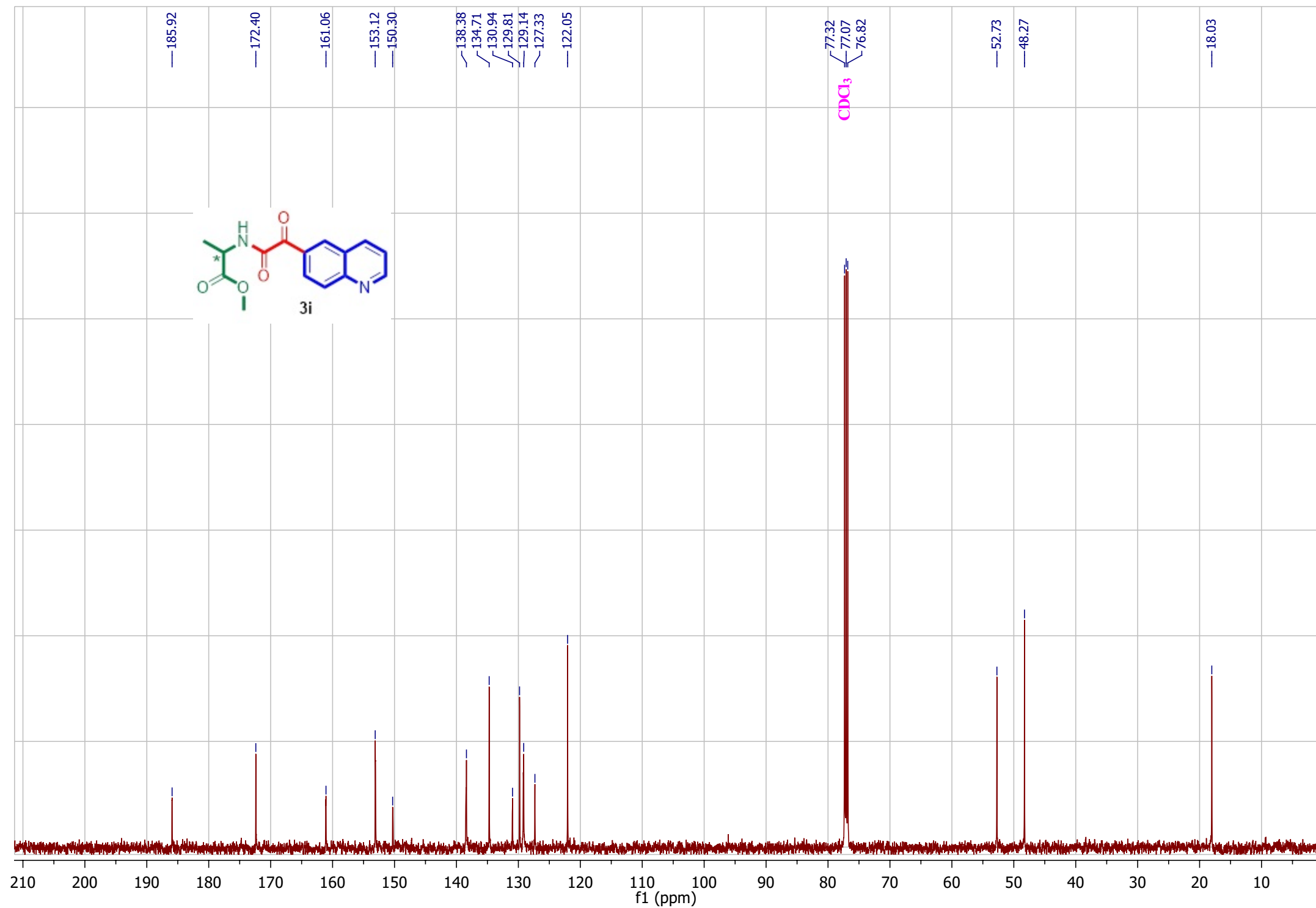
12.5 12.0 11.5 11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)

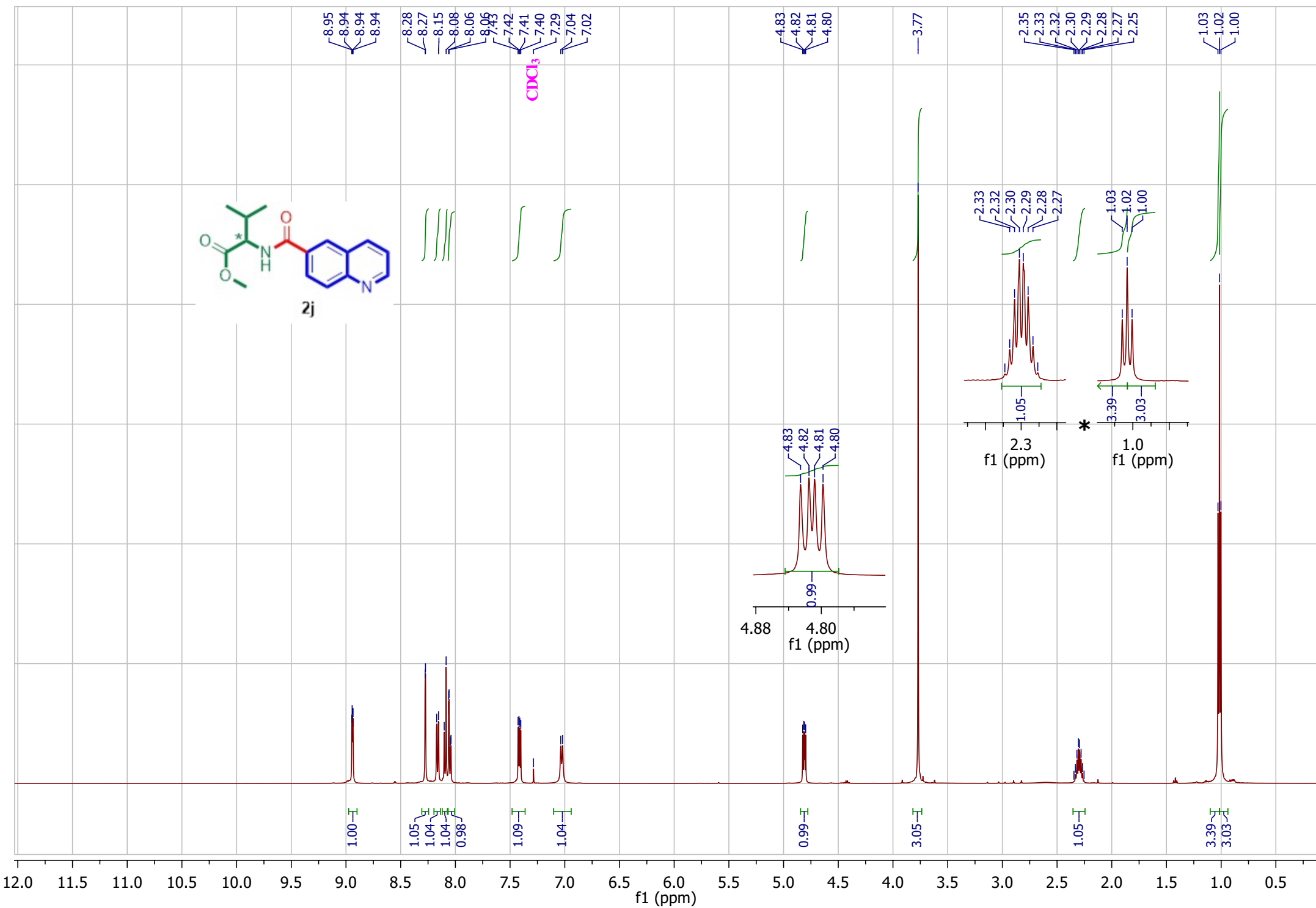


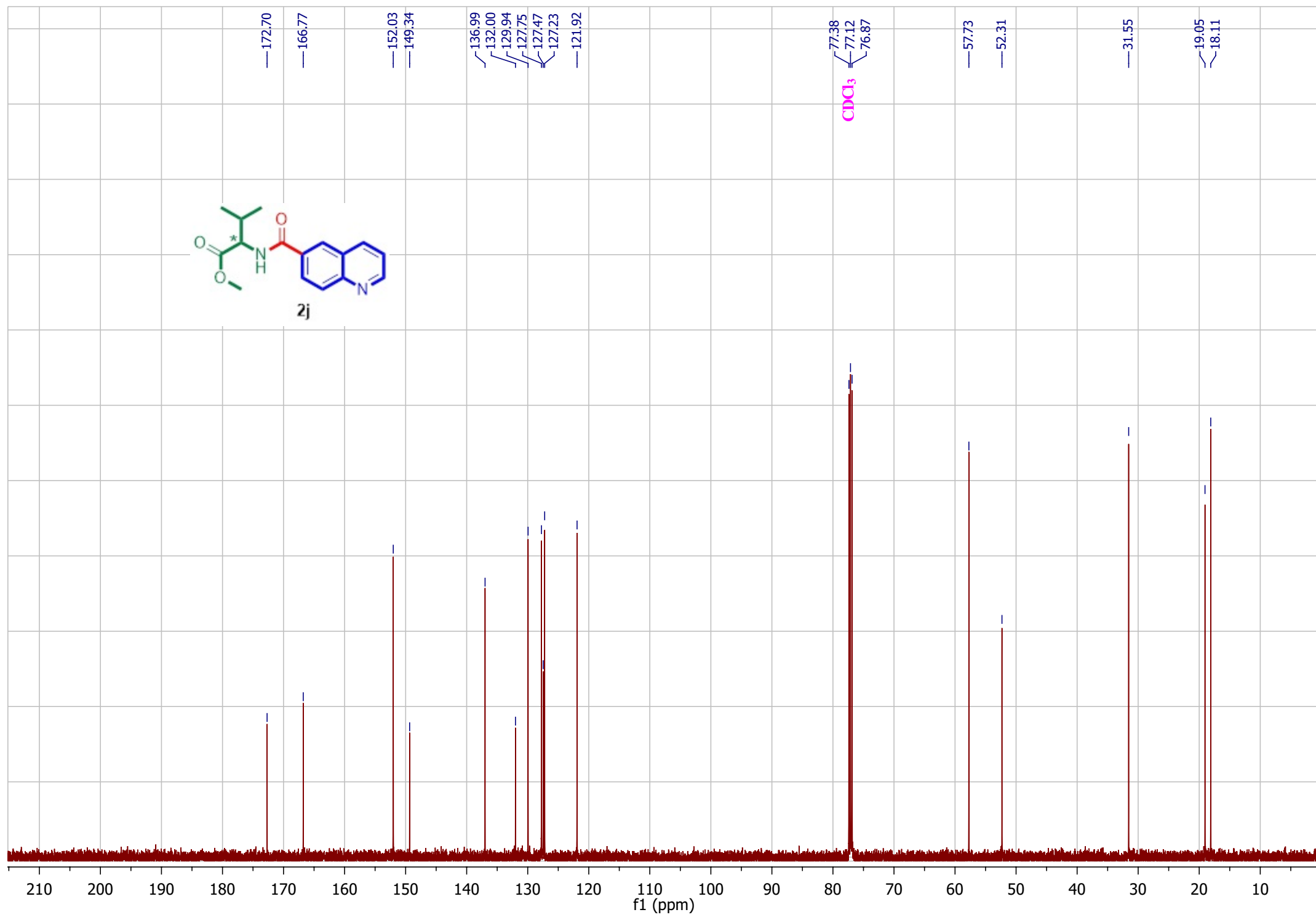
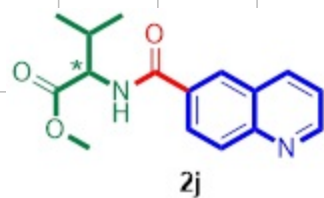


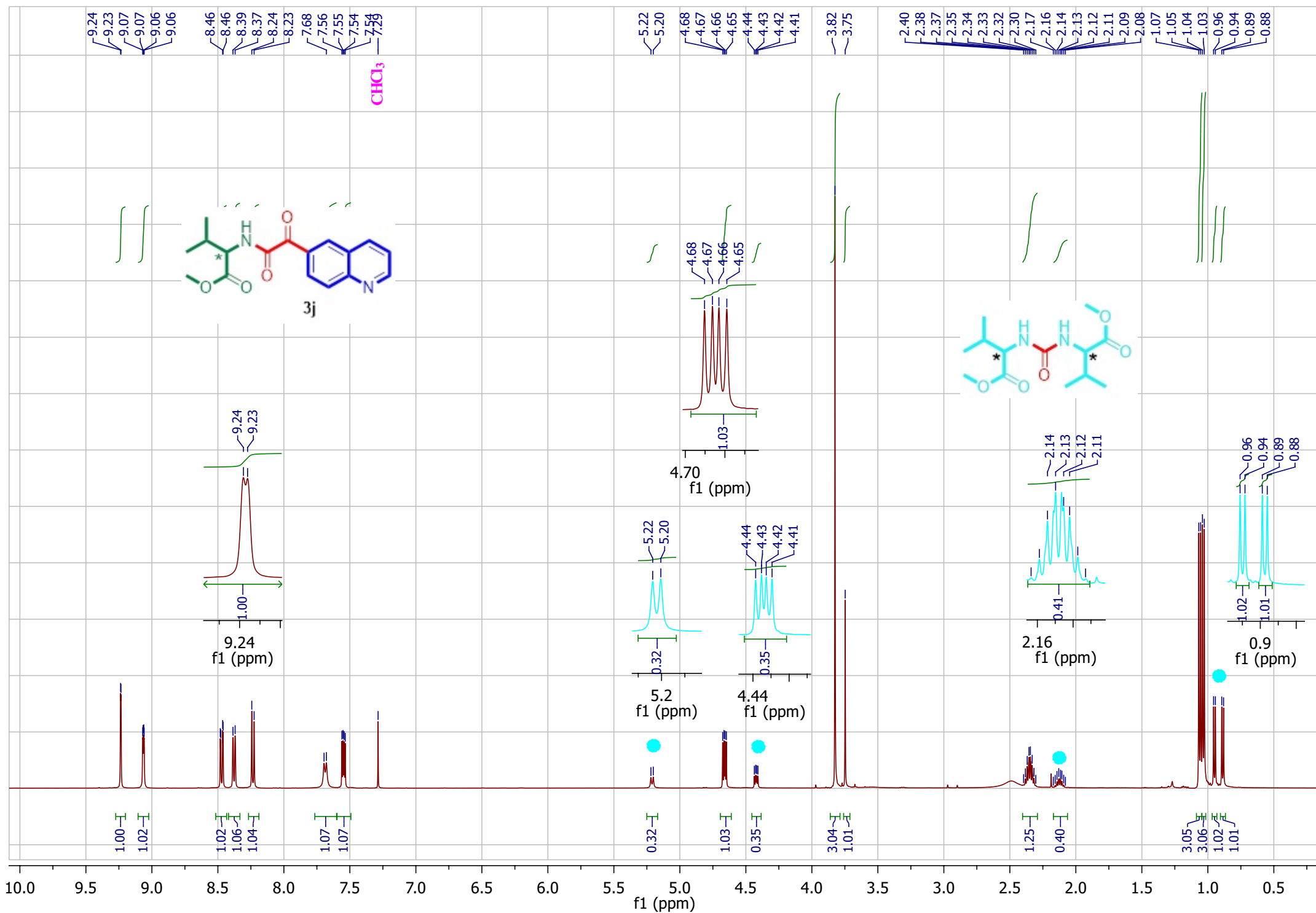


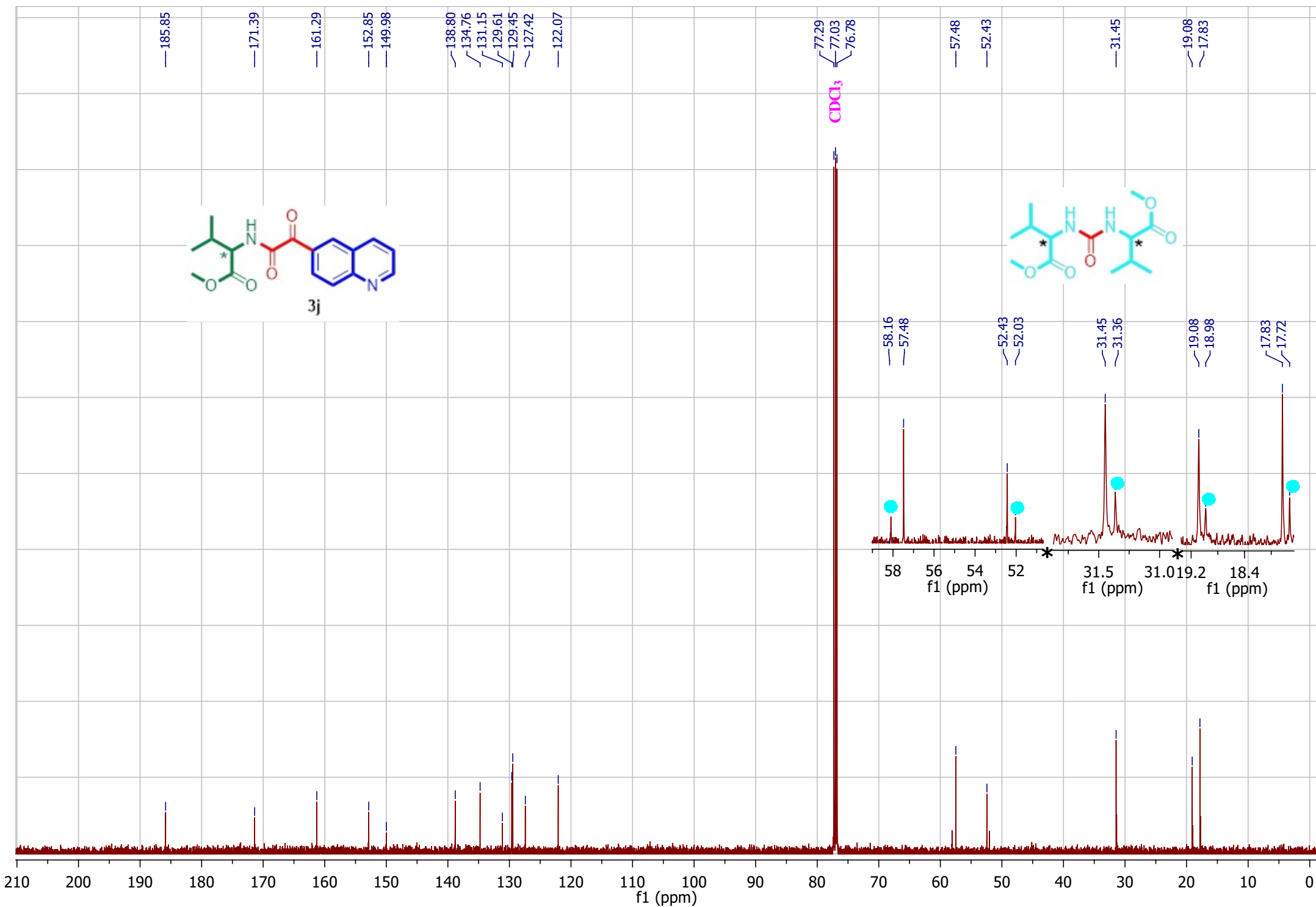


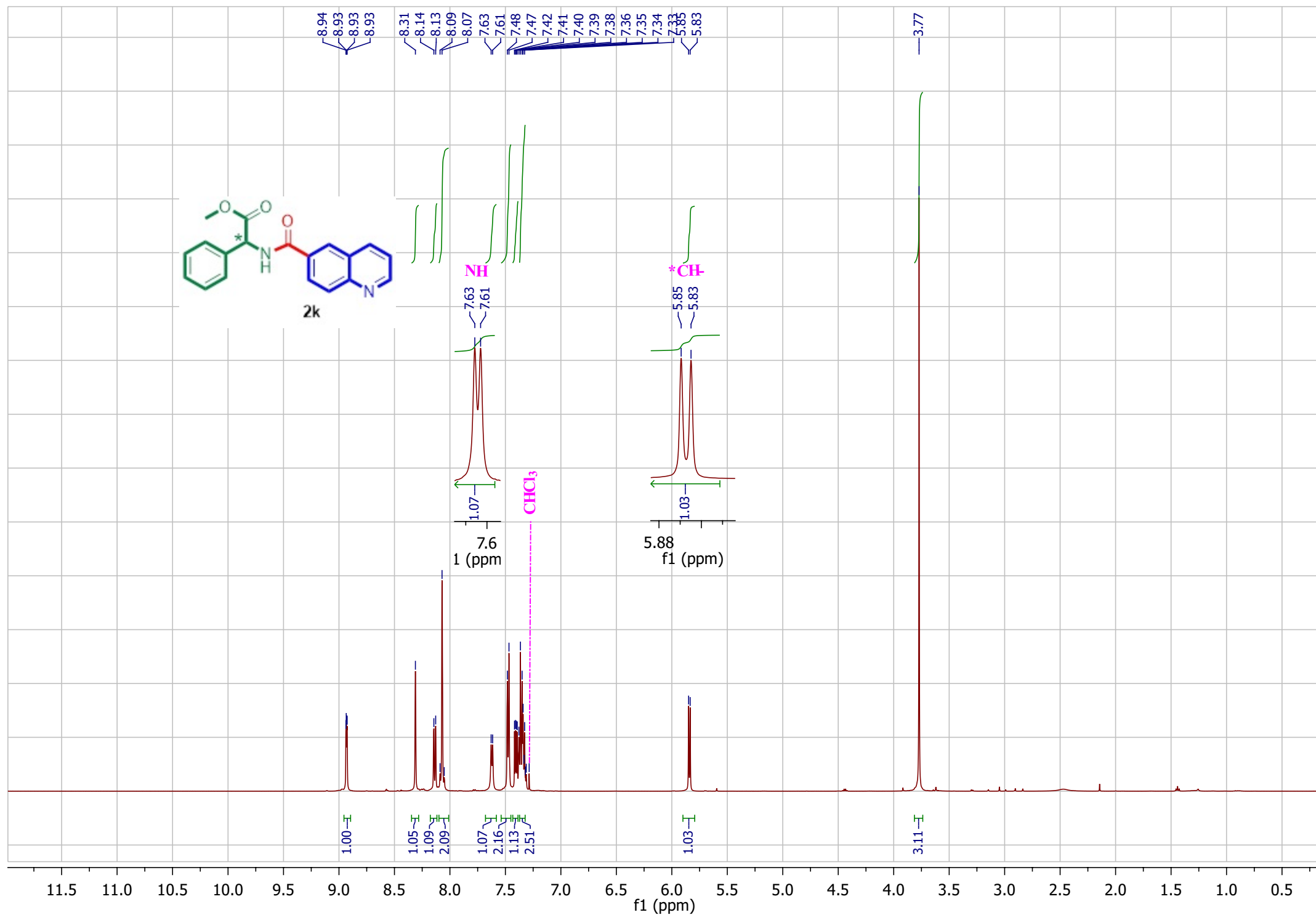


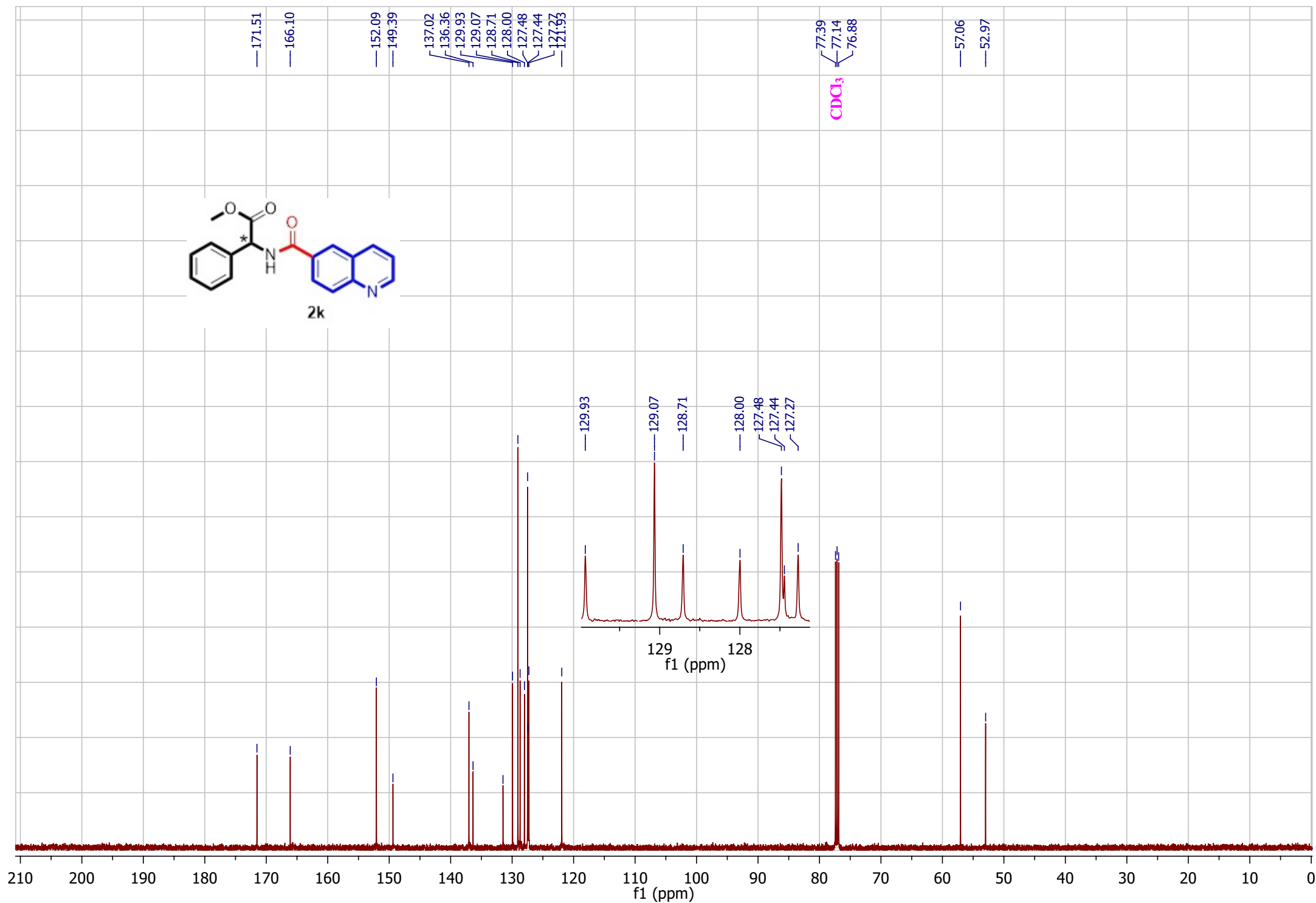
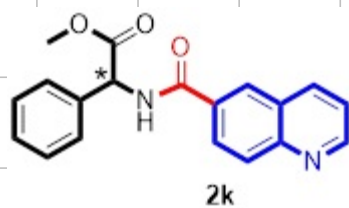


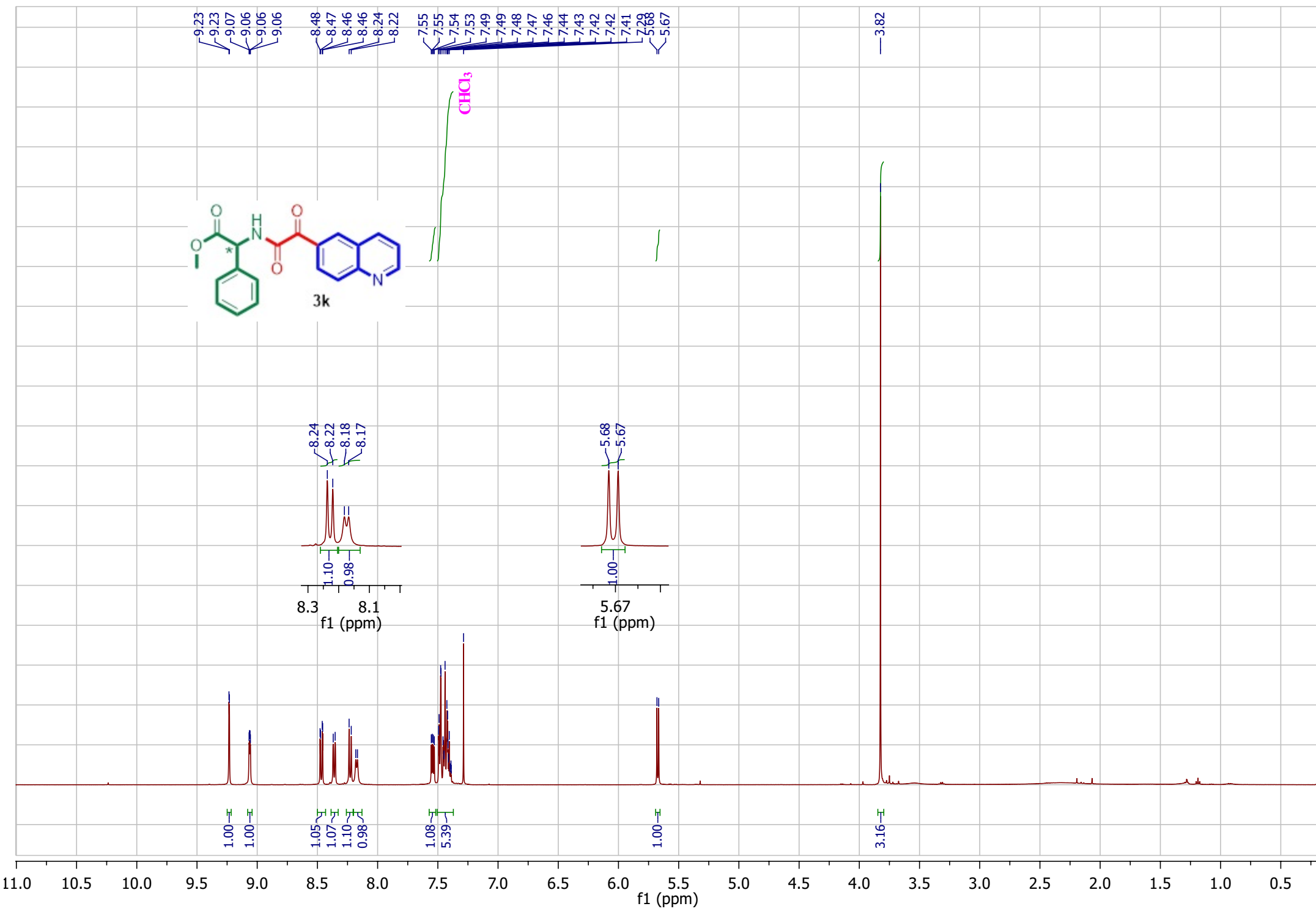
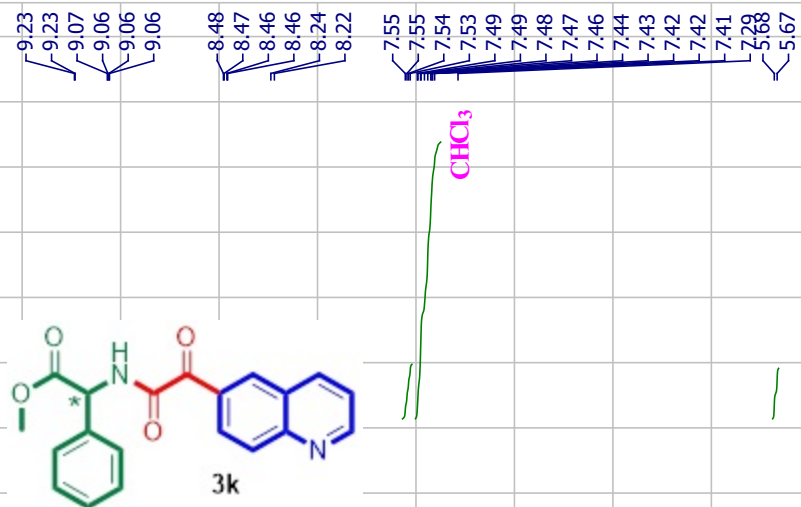


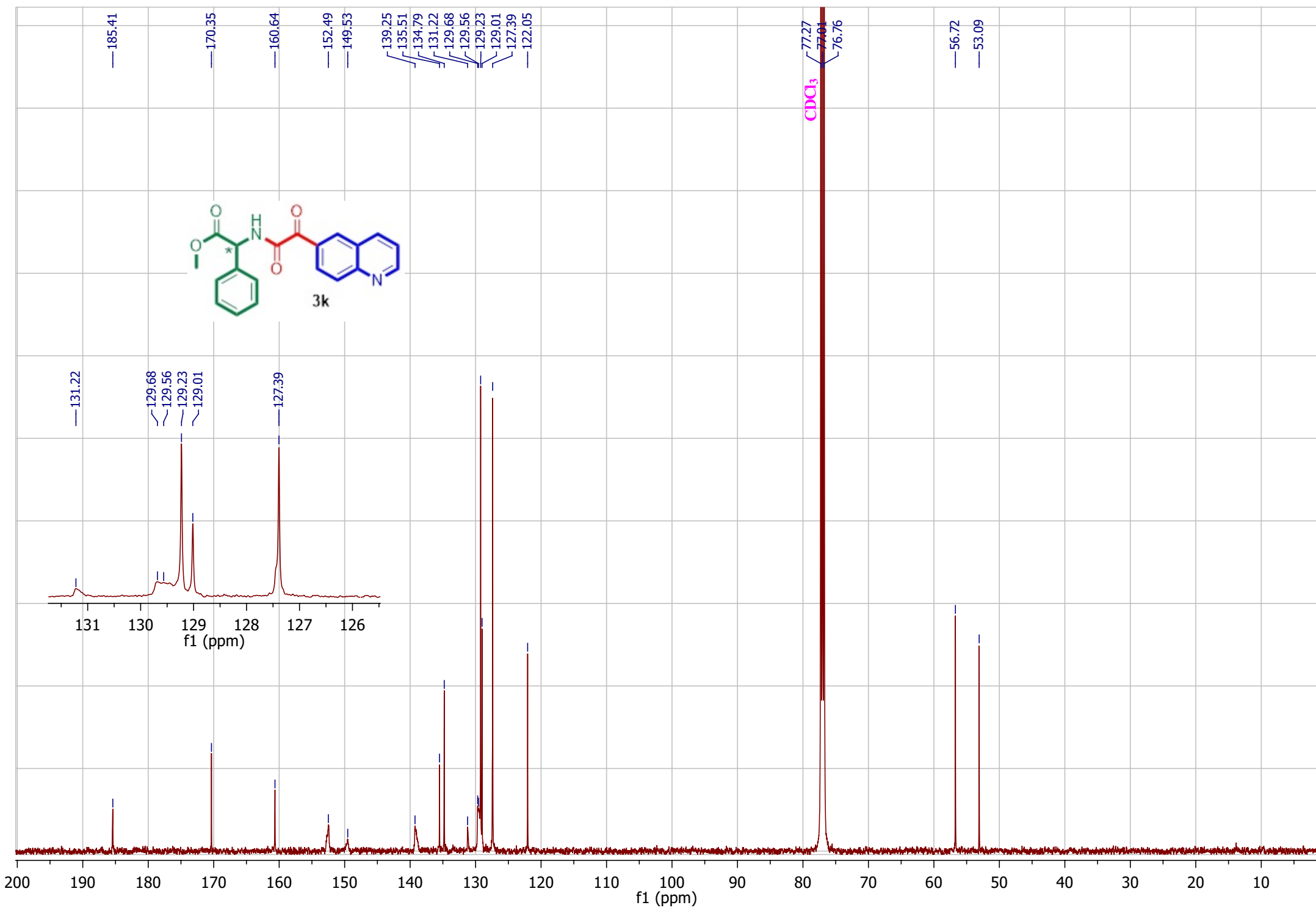


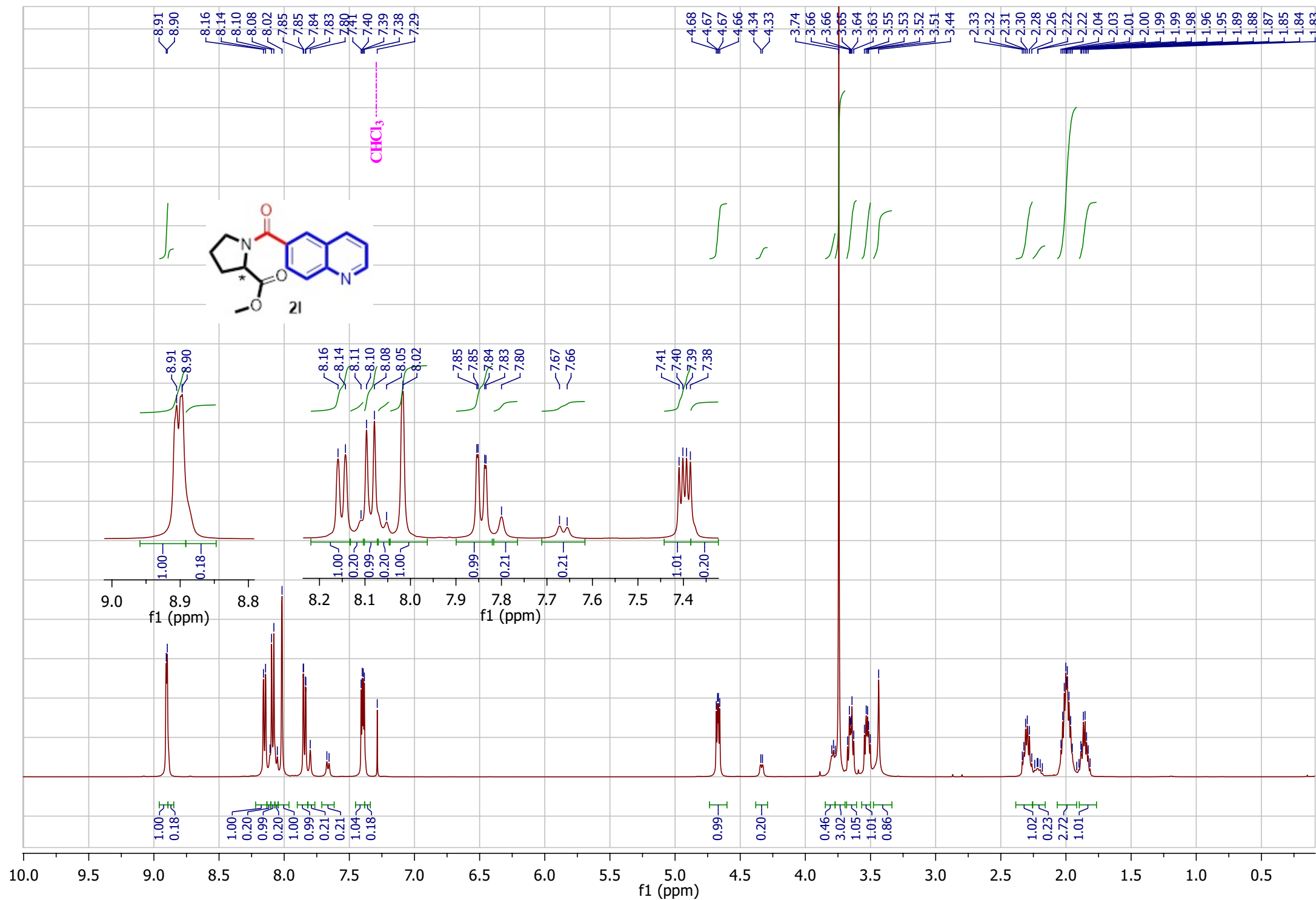


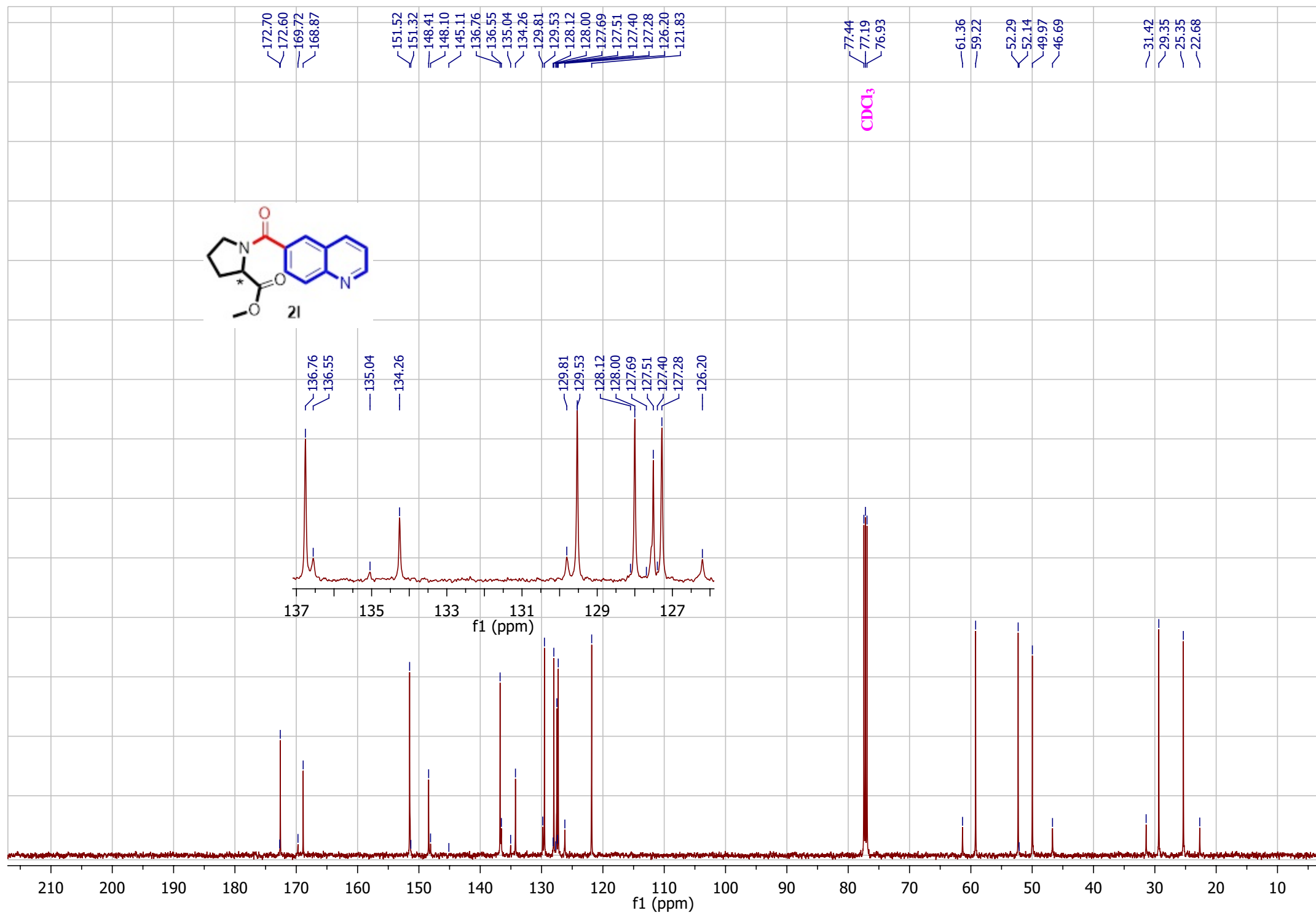
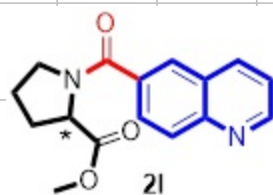


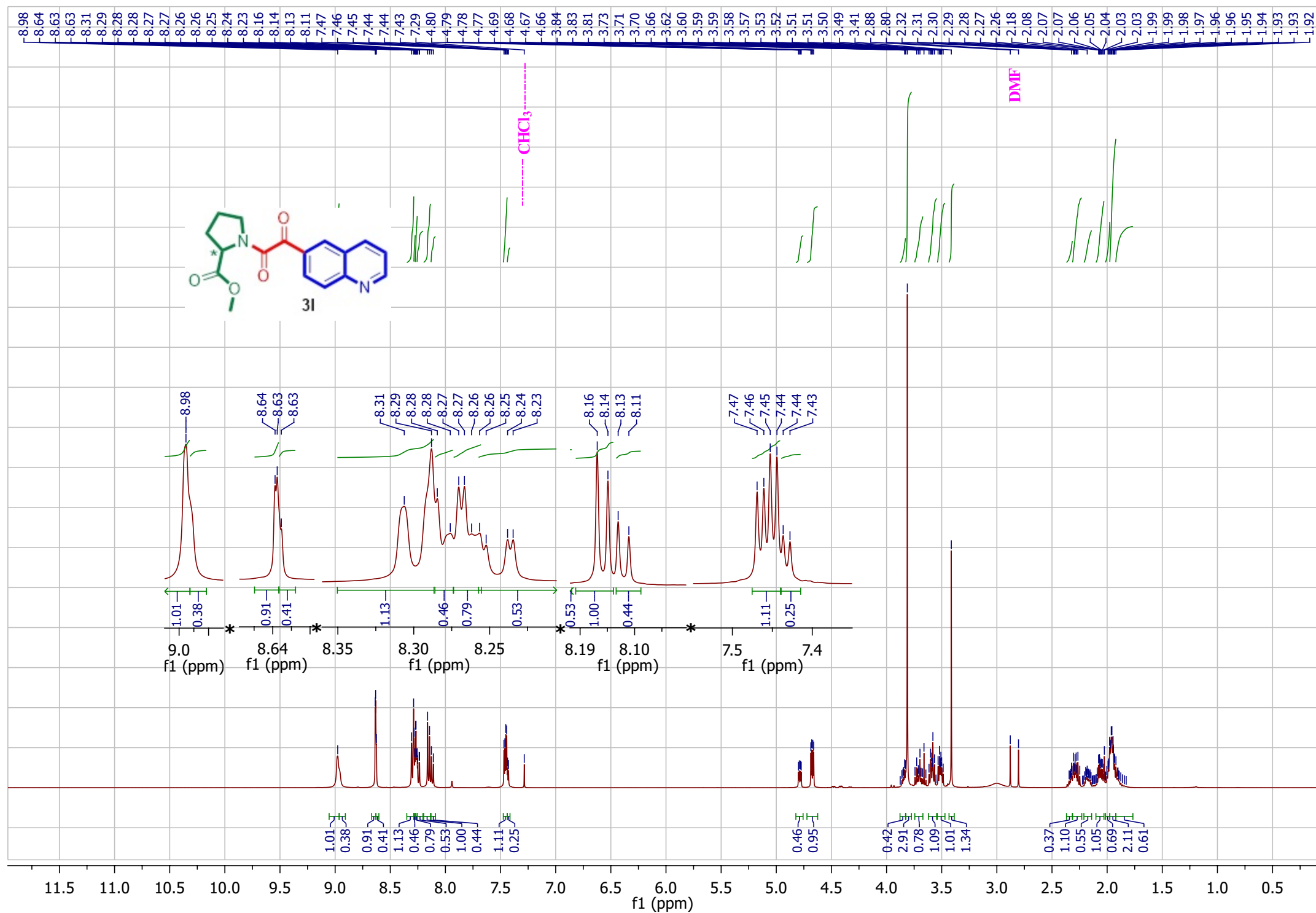


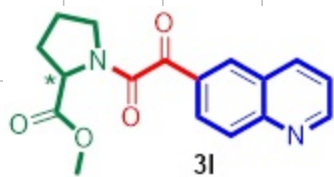






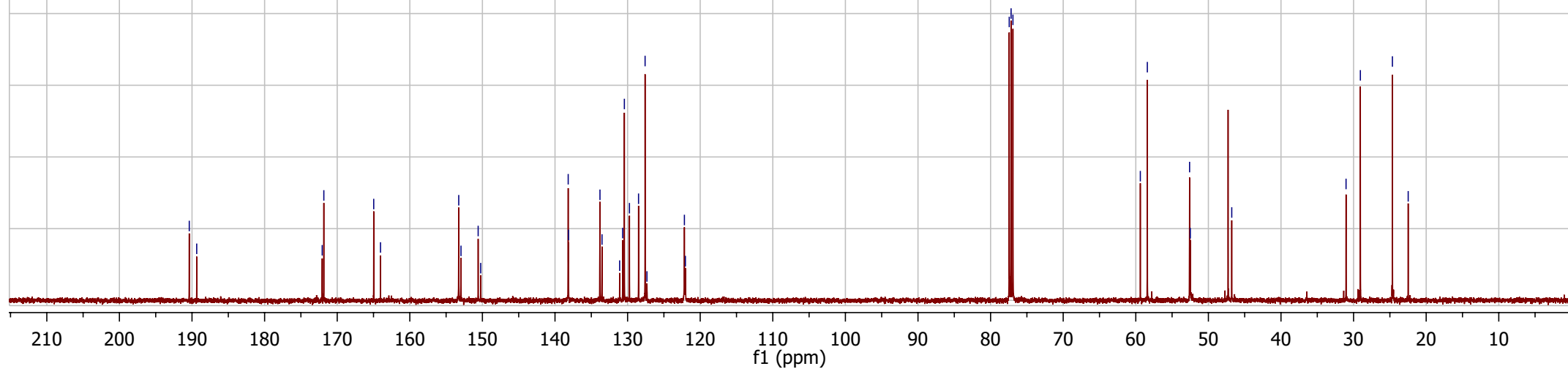
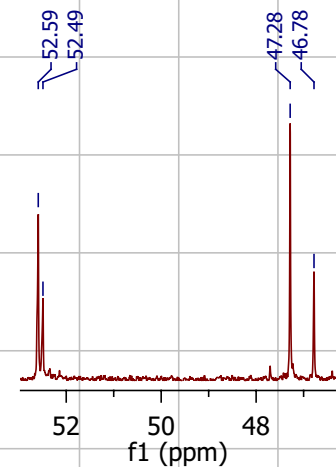
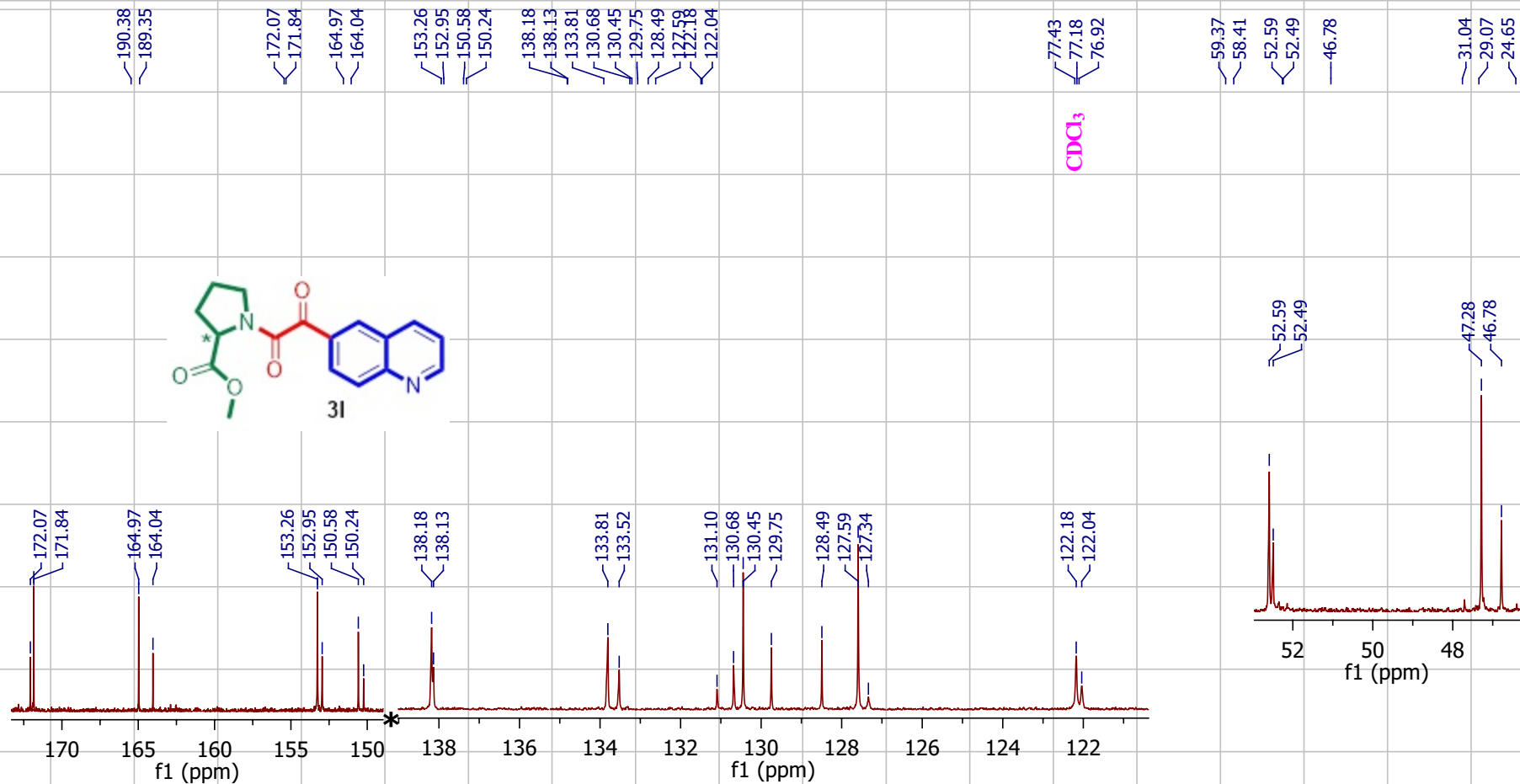


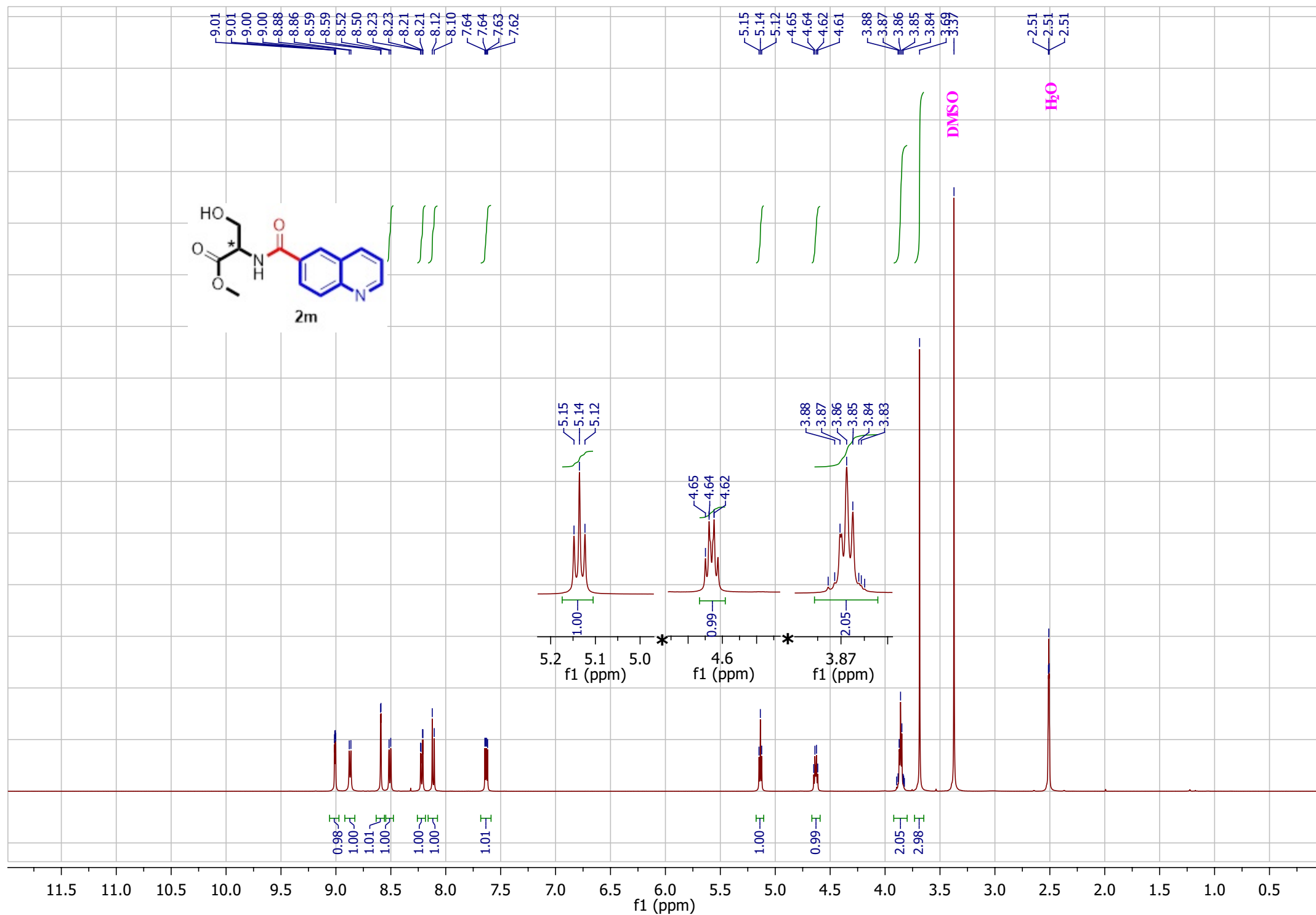


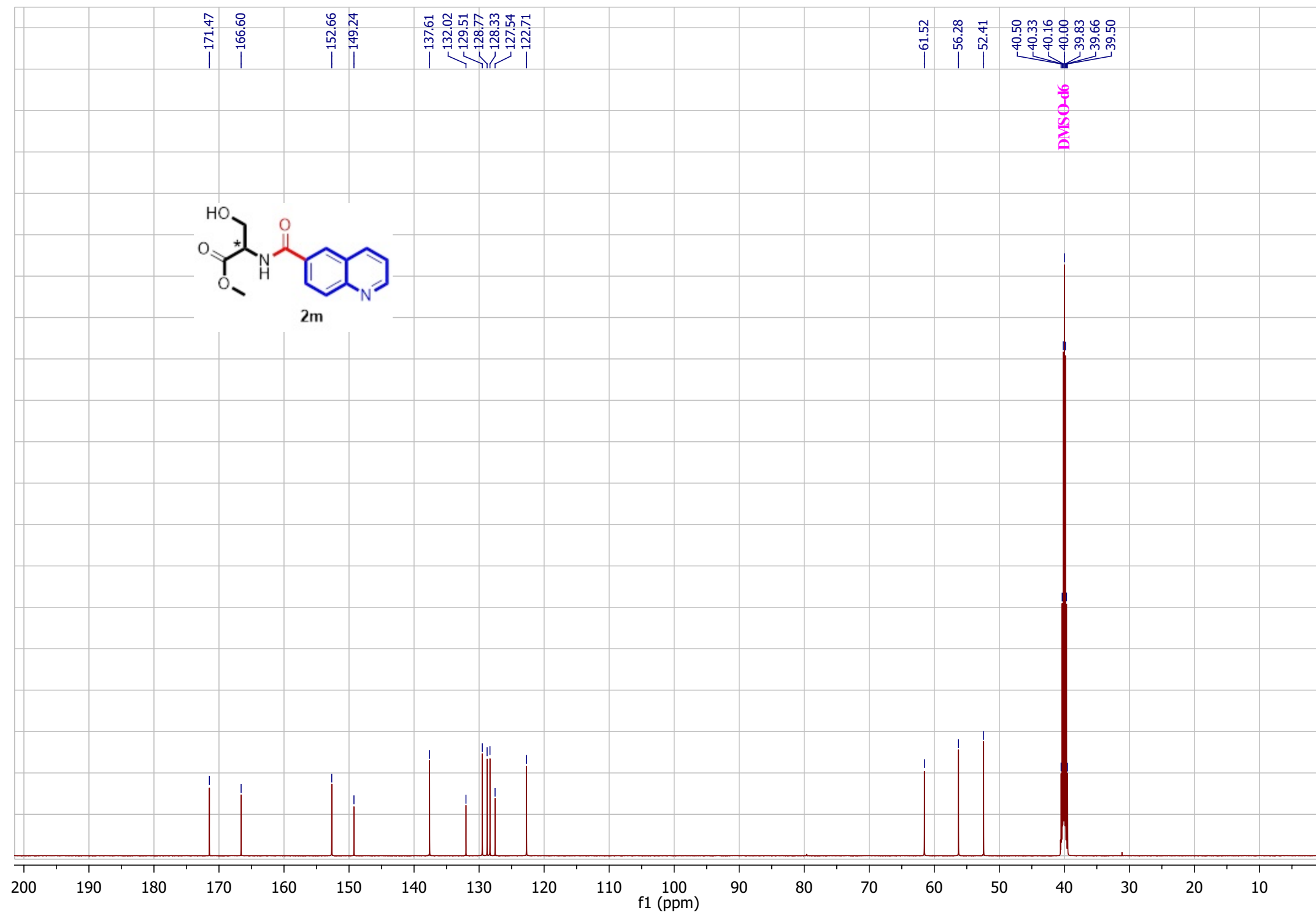


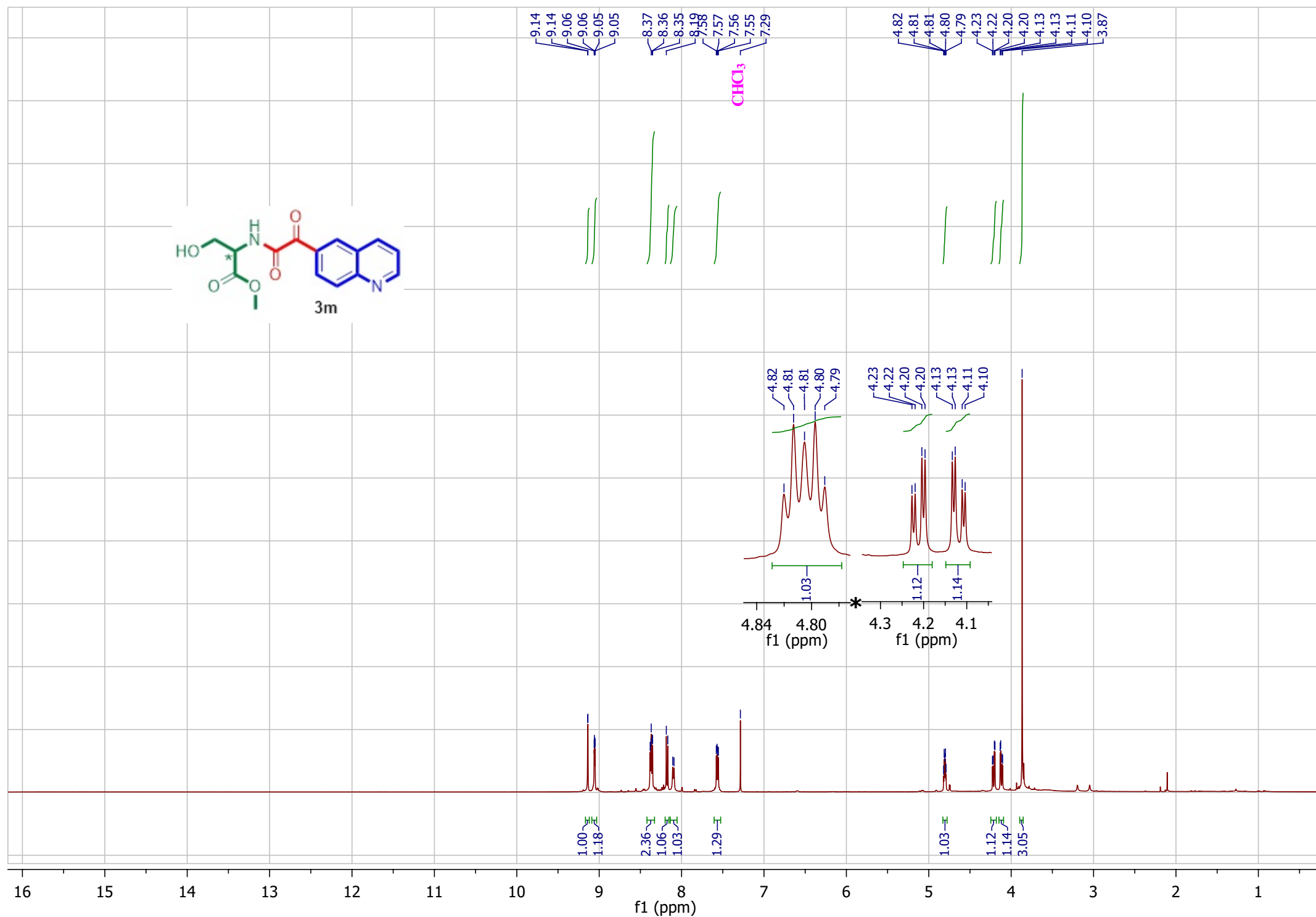
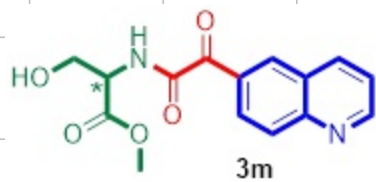
31

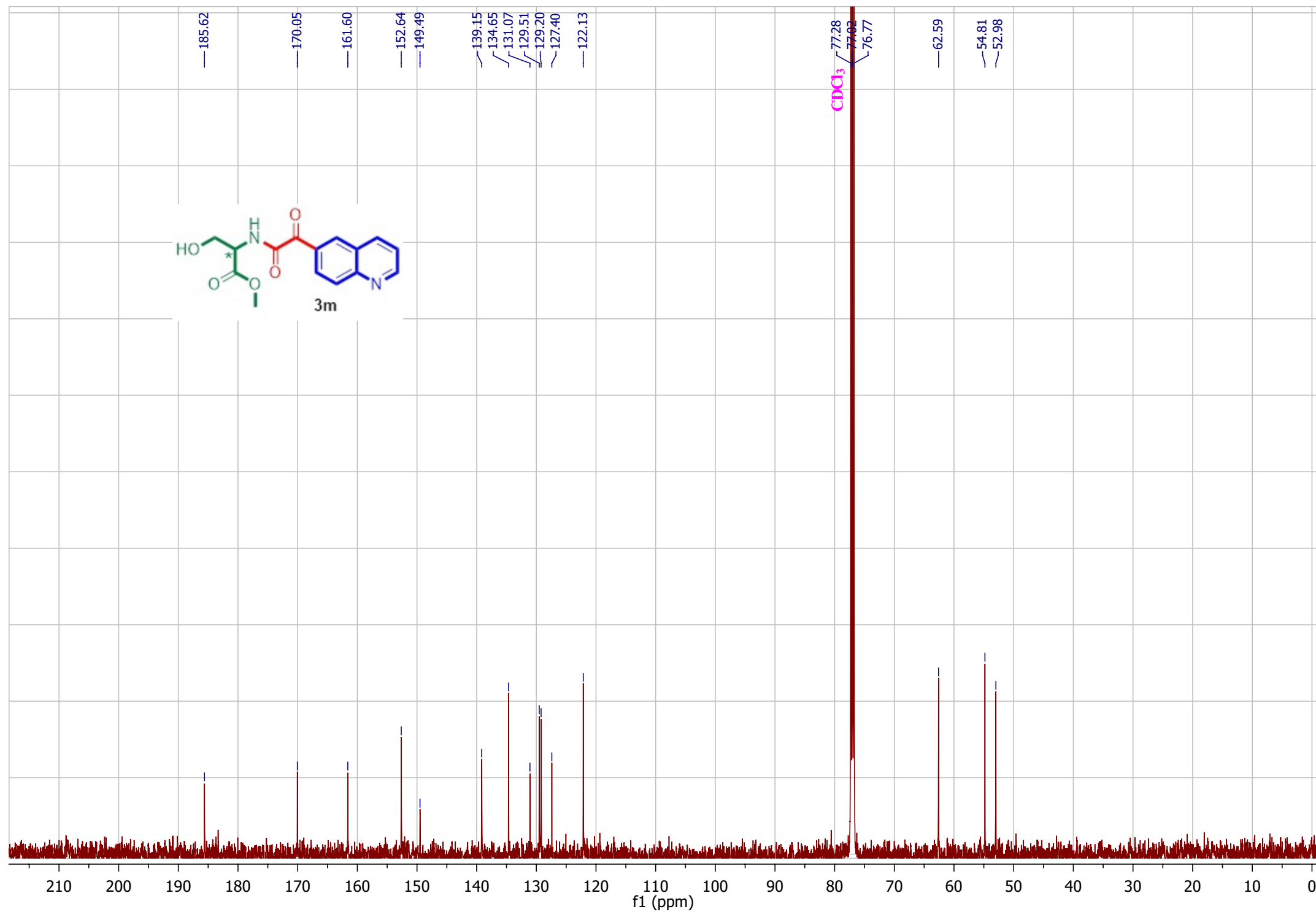
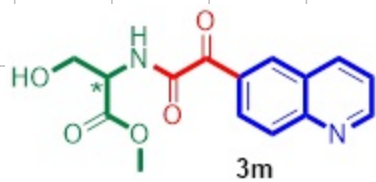
CDCl₃

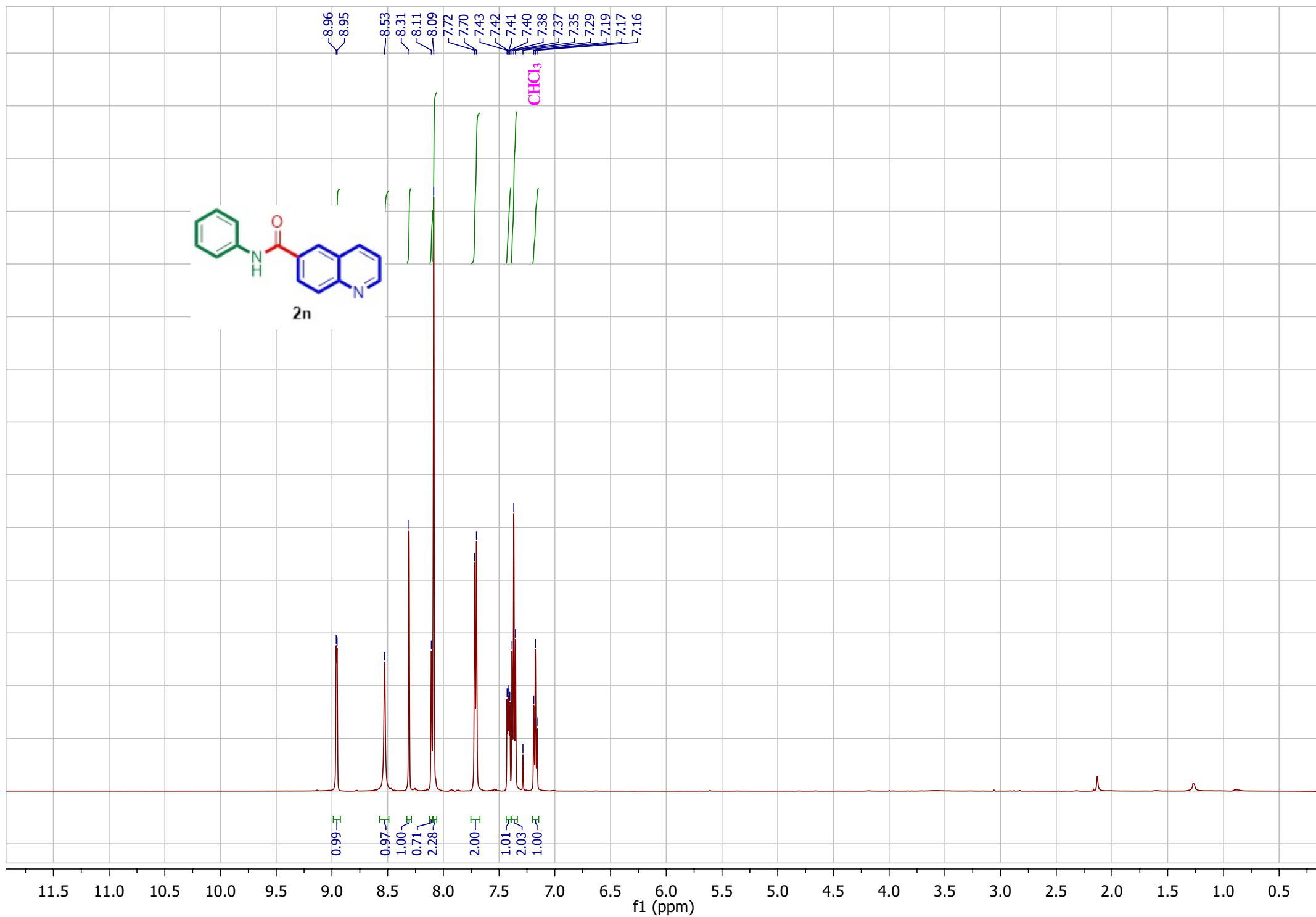


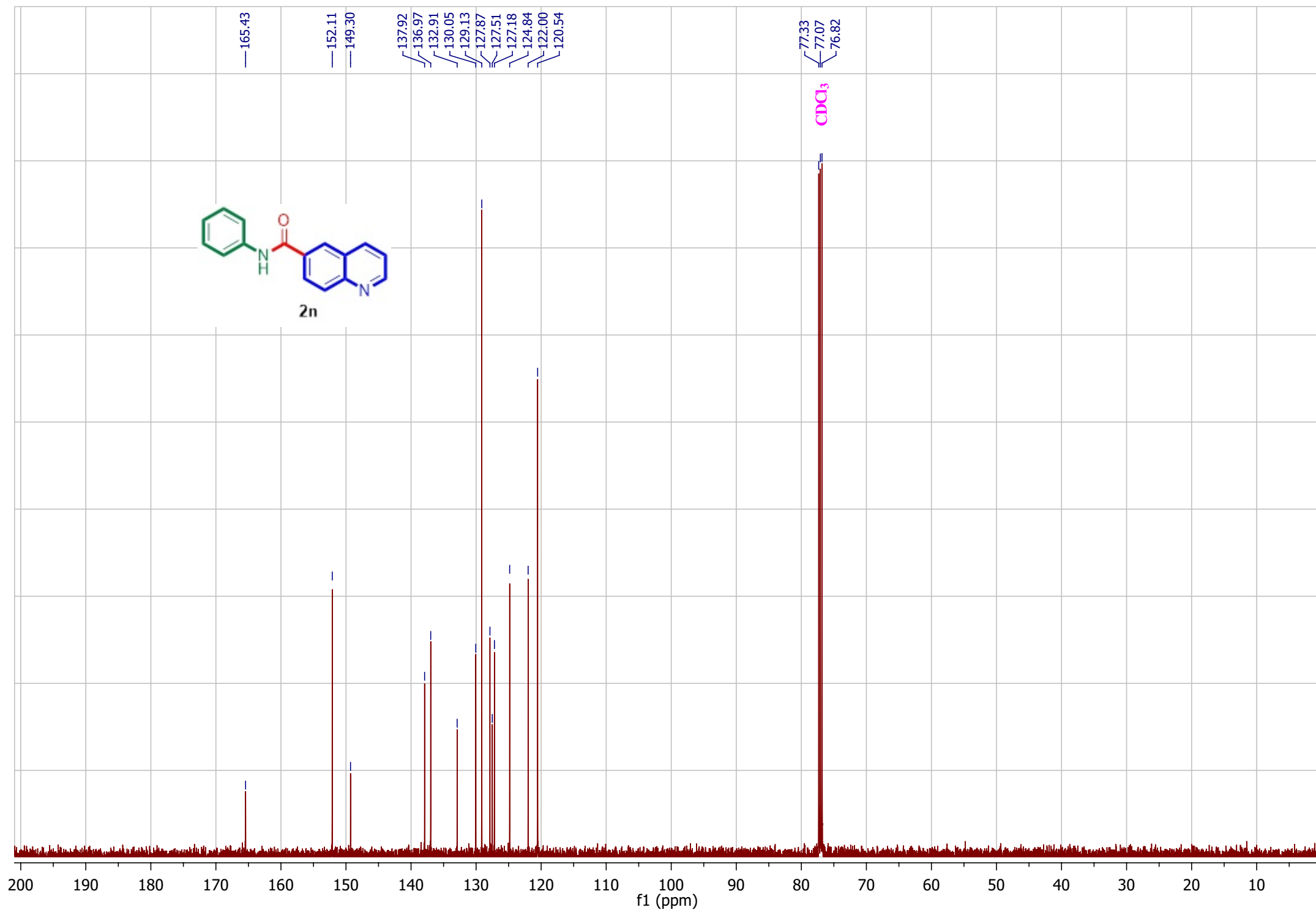
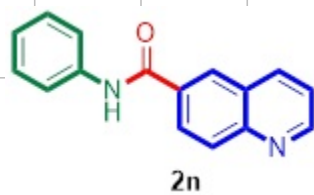


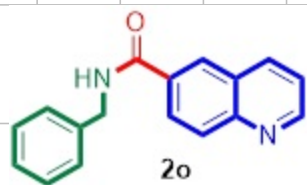






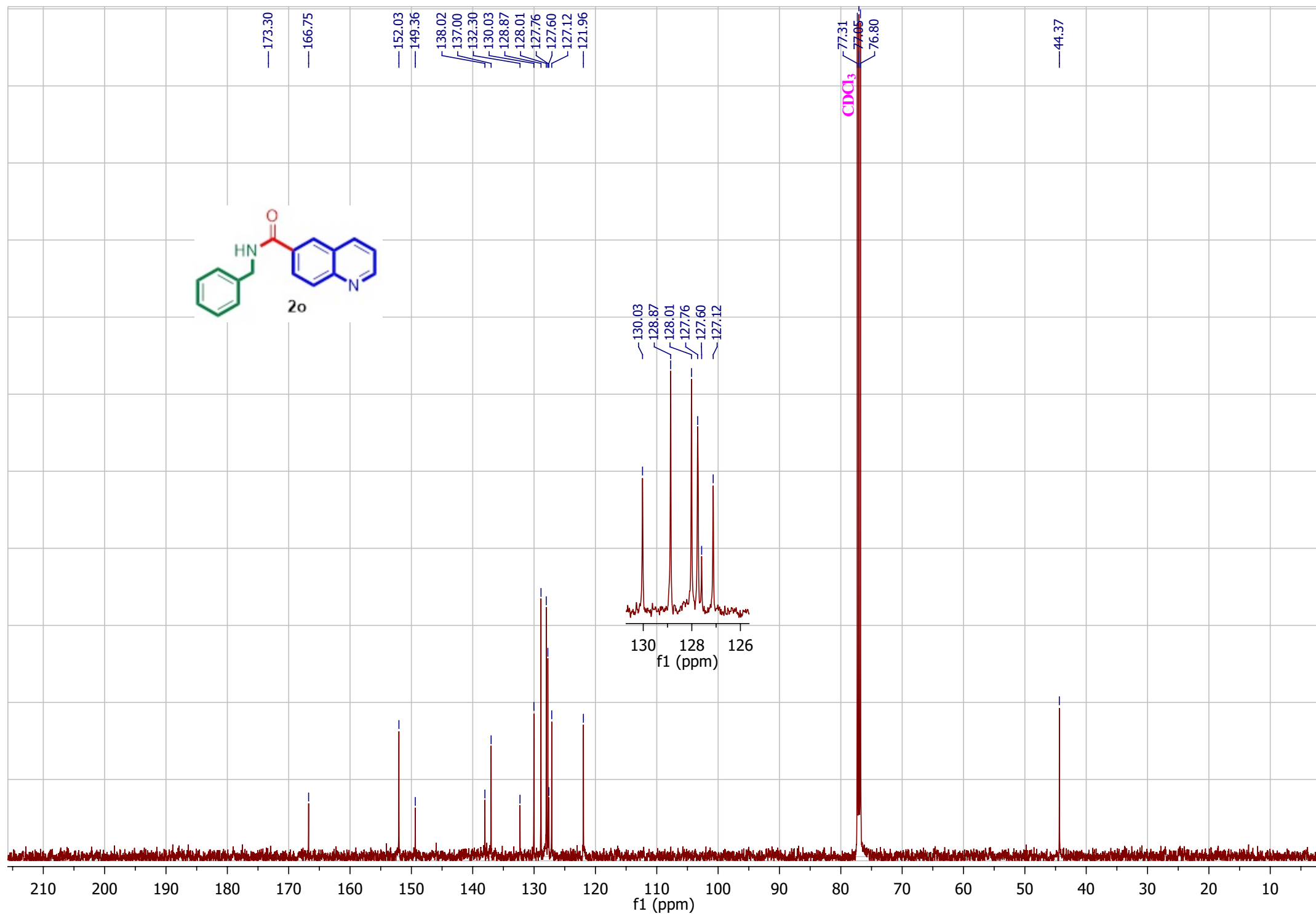
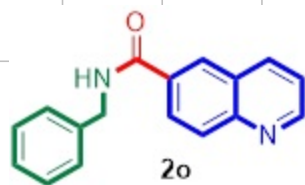


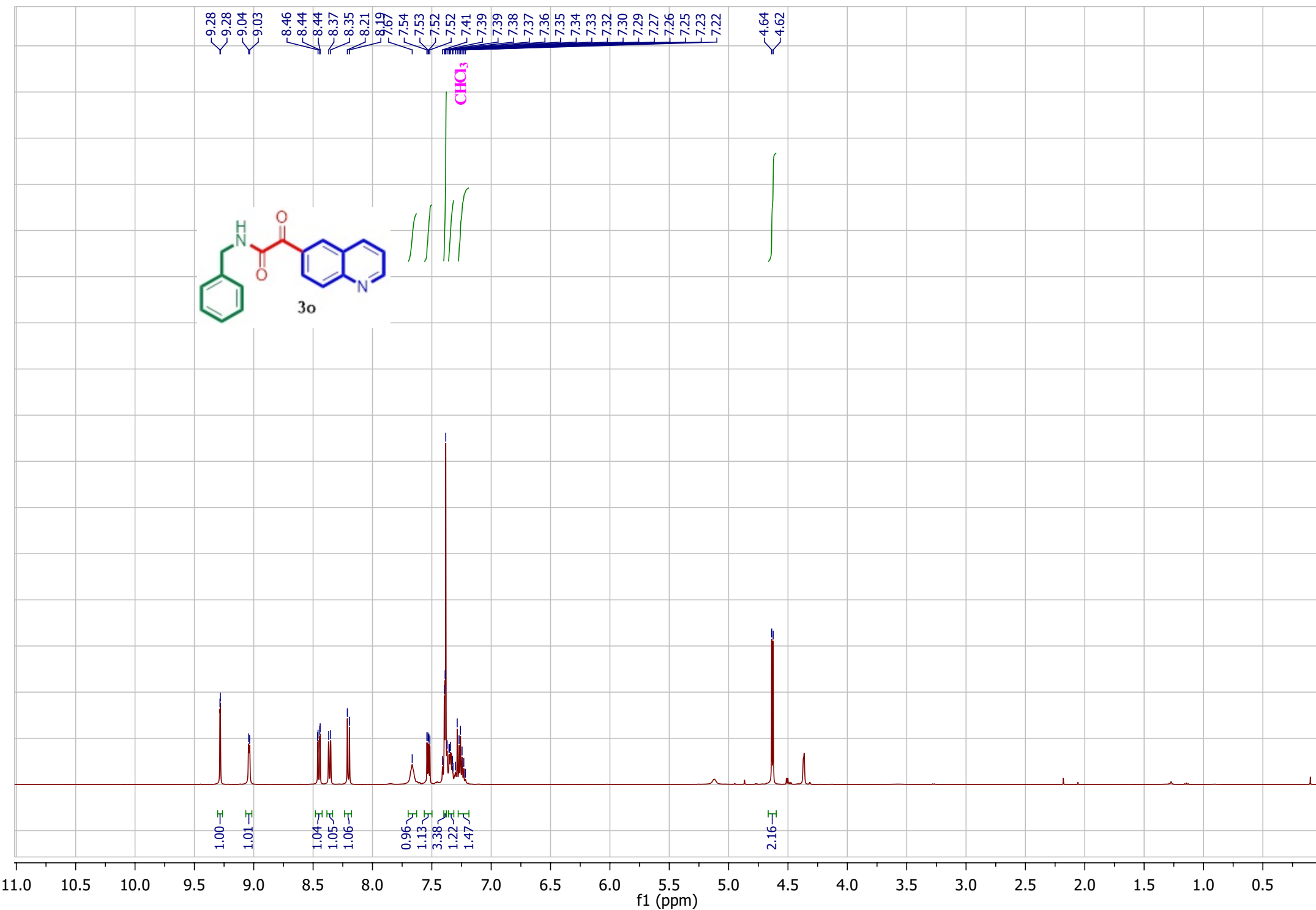
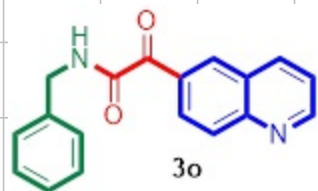


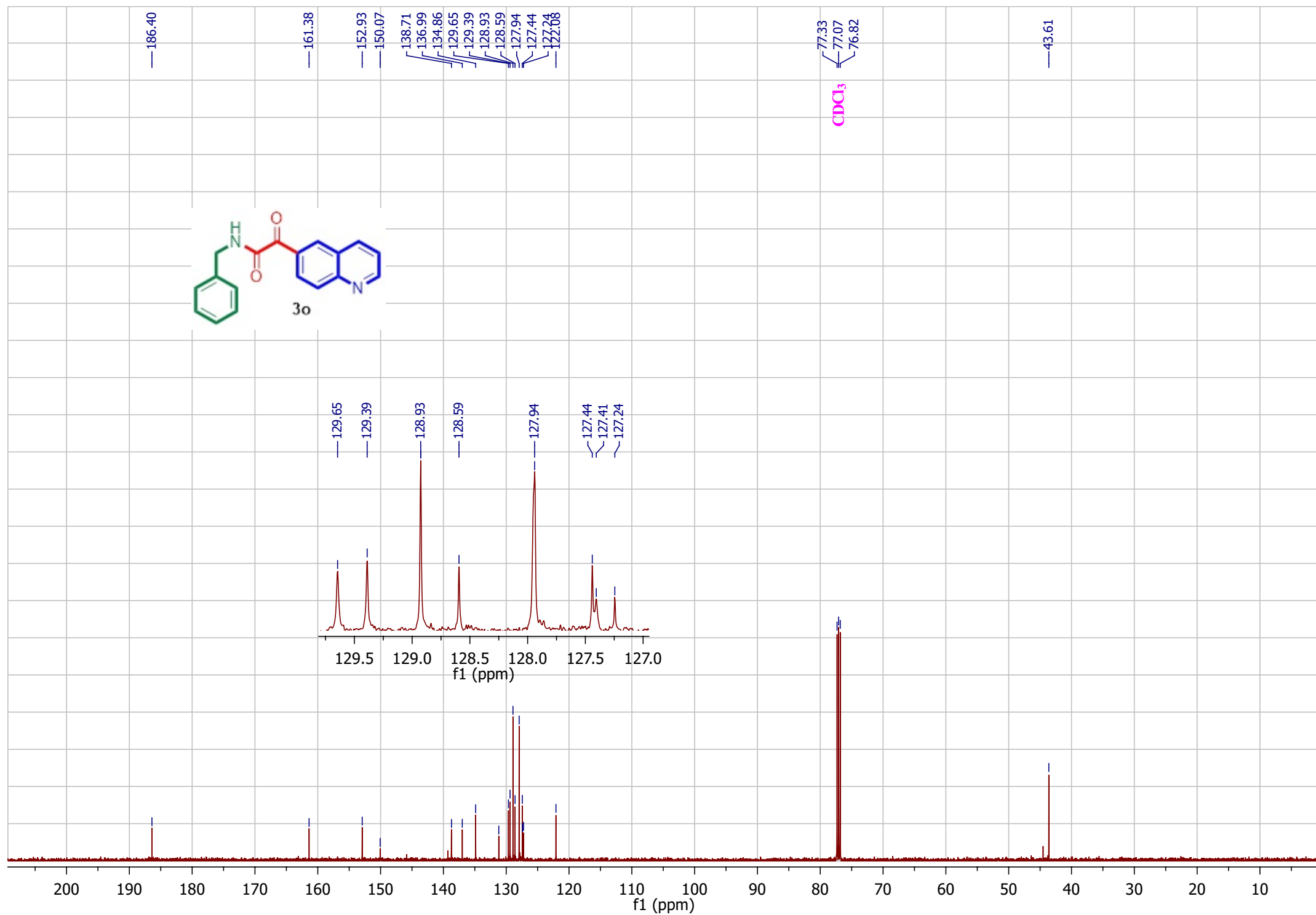
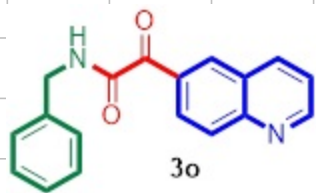


2o











CHCl₃

8.97
8.97
8.96
8.96
8.41
8.41
8.22
8.22
8.16
8.16
7.72
7.72
7.71
7.70
7.69
7.69
7.46
7.45
7.44
7.44
7.38
7.36
7.29
7.27
7.25
7.24
7.23
7.22
7.20

4.82
4.81

10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0

f1 (ppm)

1.00

1.06

1.02

1.07

2.10

0.95

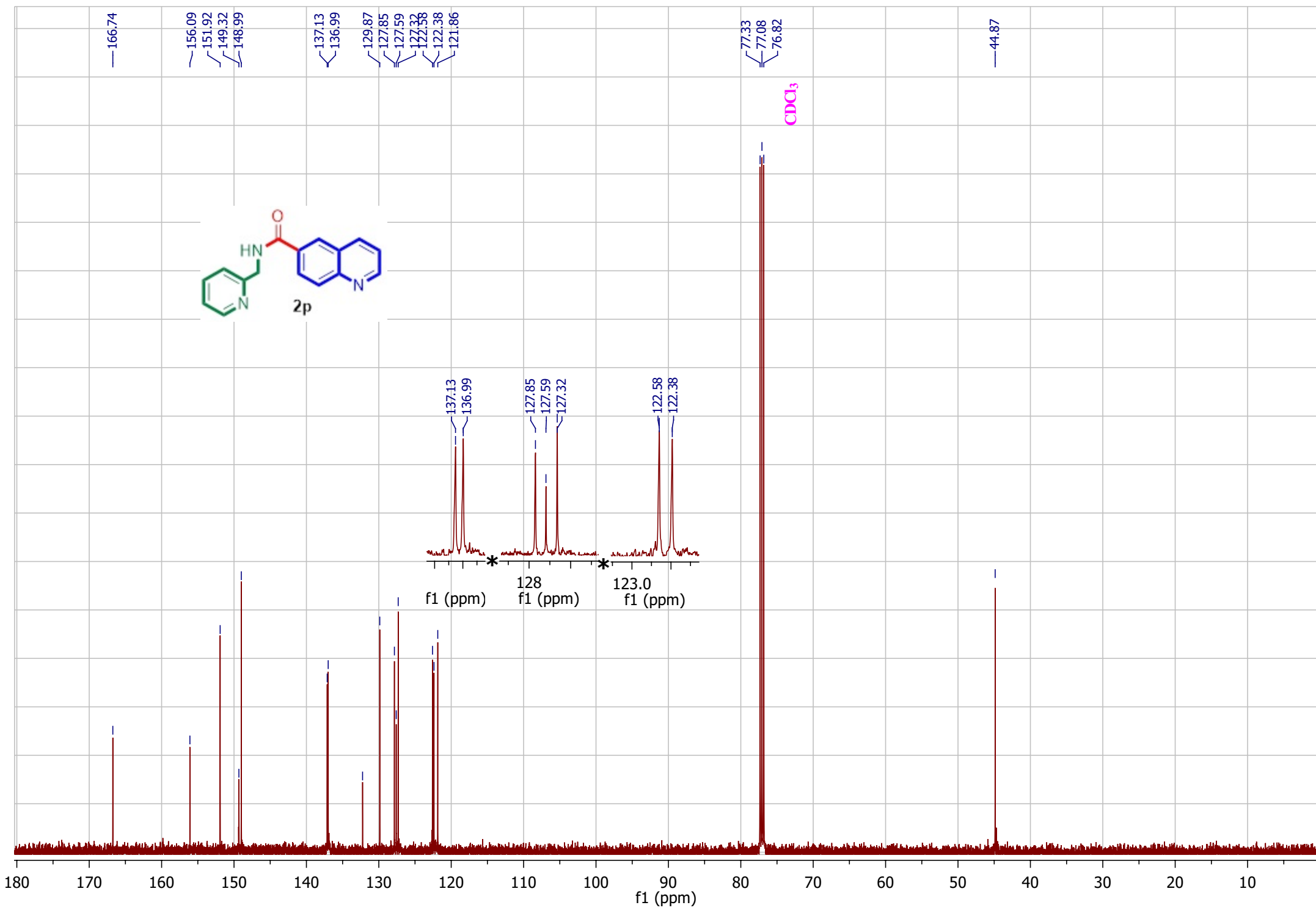
1.02

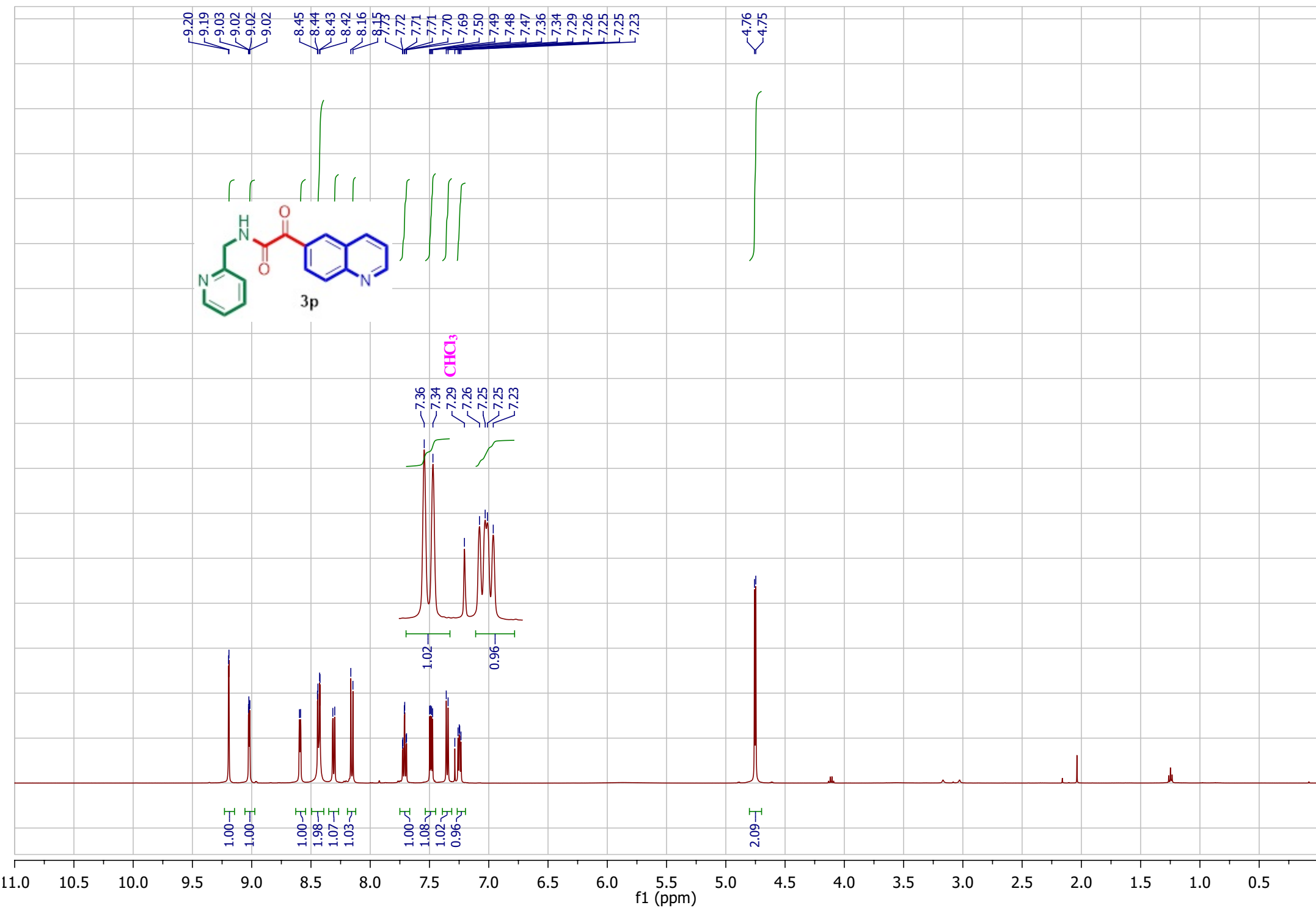
1.05

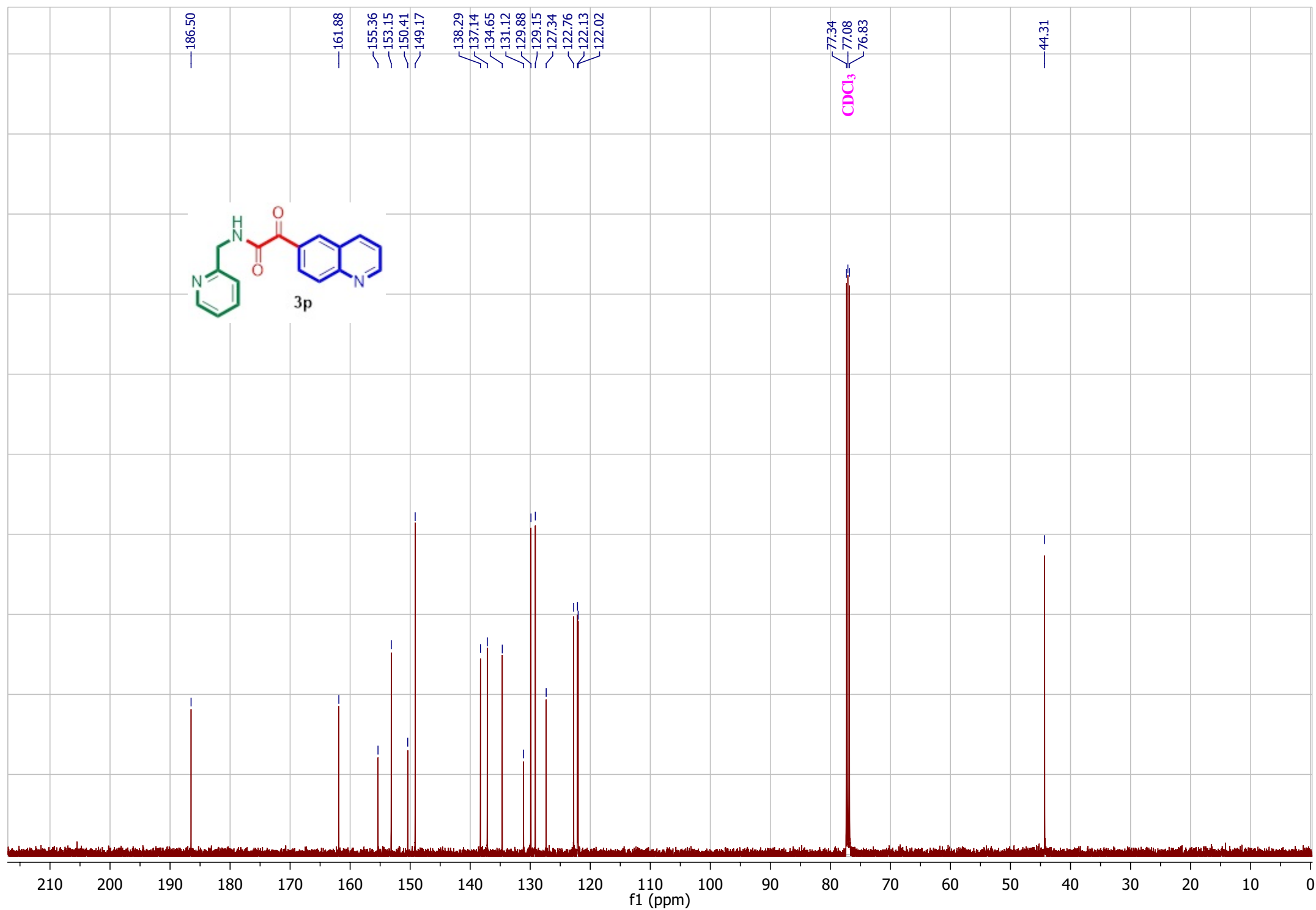
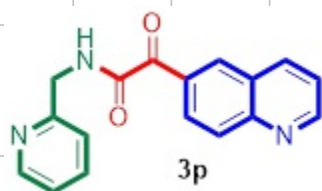
1.01

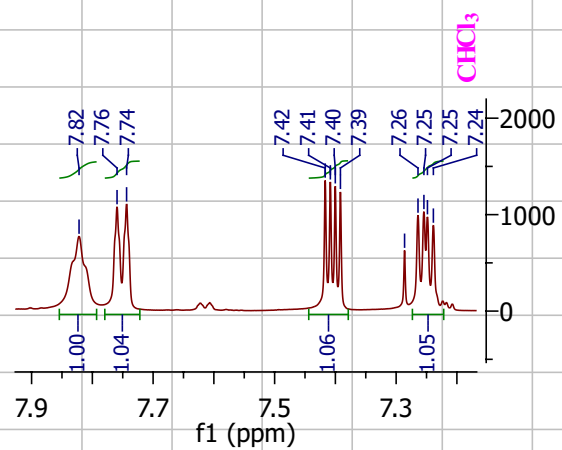
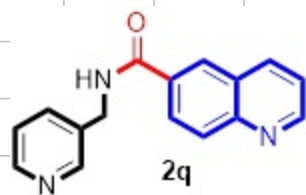
1.22

2.00



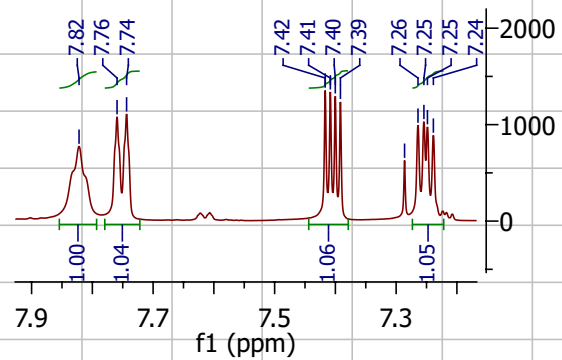






8.93
8.92
8.92
8.92
8.56
8.36
8.35
8.13
8.11
8.09
8.09
8.07
7.41
7.40
7.39
7.29
7.26
7.25
7.25
7.24

4.67
4.66



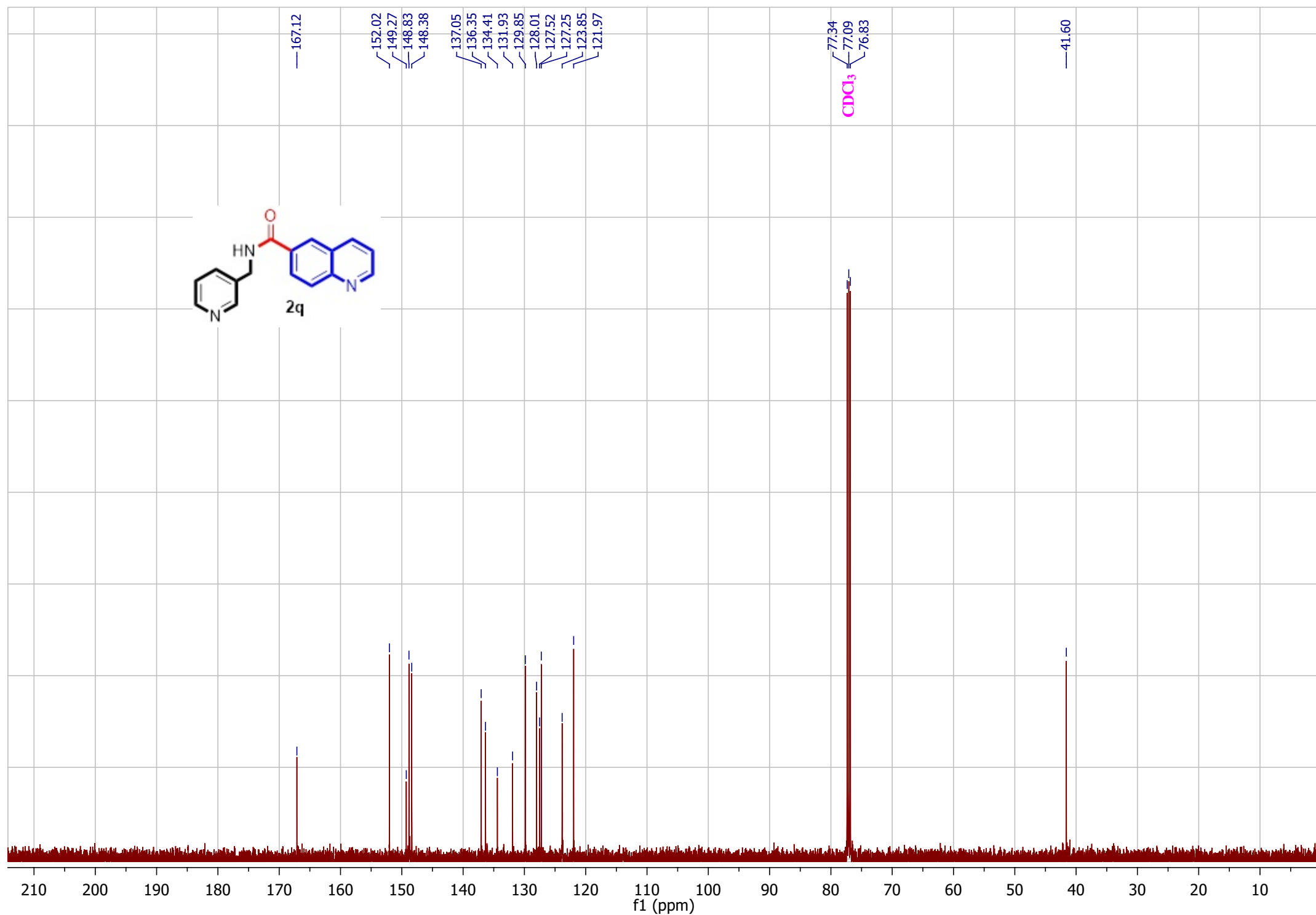
1.00
1.02
1.18
1.00
3.21
1.00
1.04

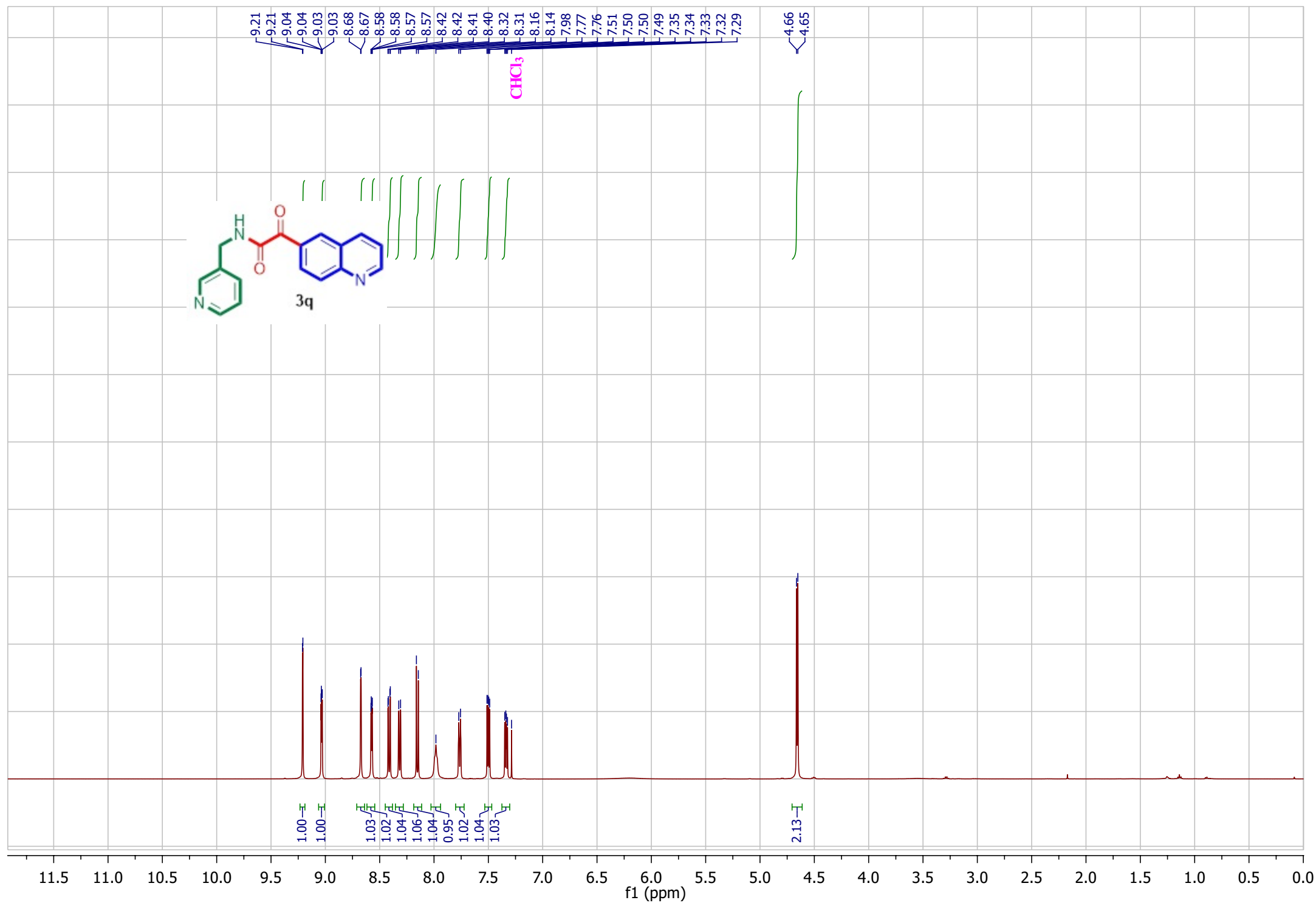
1.06
1.05

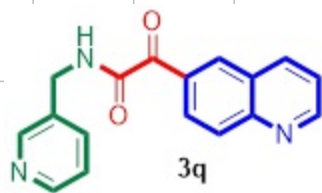
2.08

11.5 11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5

f1 (ppm)







—186.24

—161.74

—153.39

—150.57

—148.91

—148.78

—138.24

—136.19

—134.86

—133.22

—130.86

—130.06

—129.03

—127.33

—123.88

—122.12

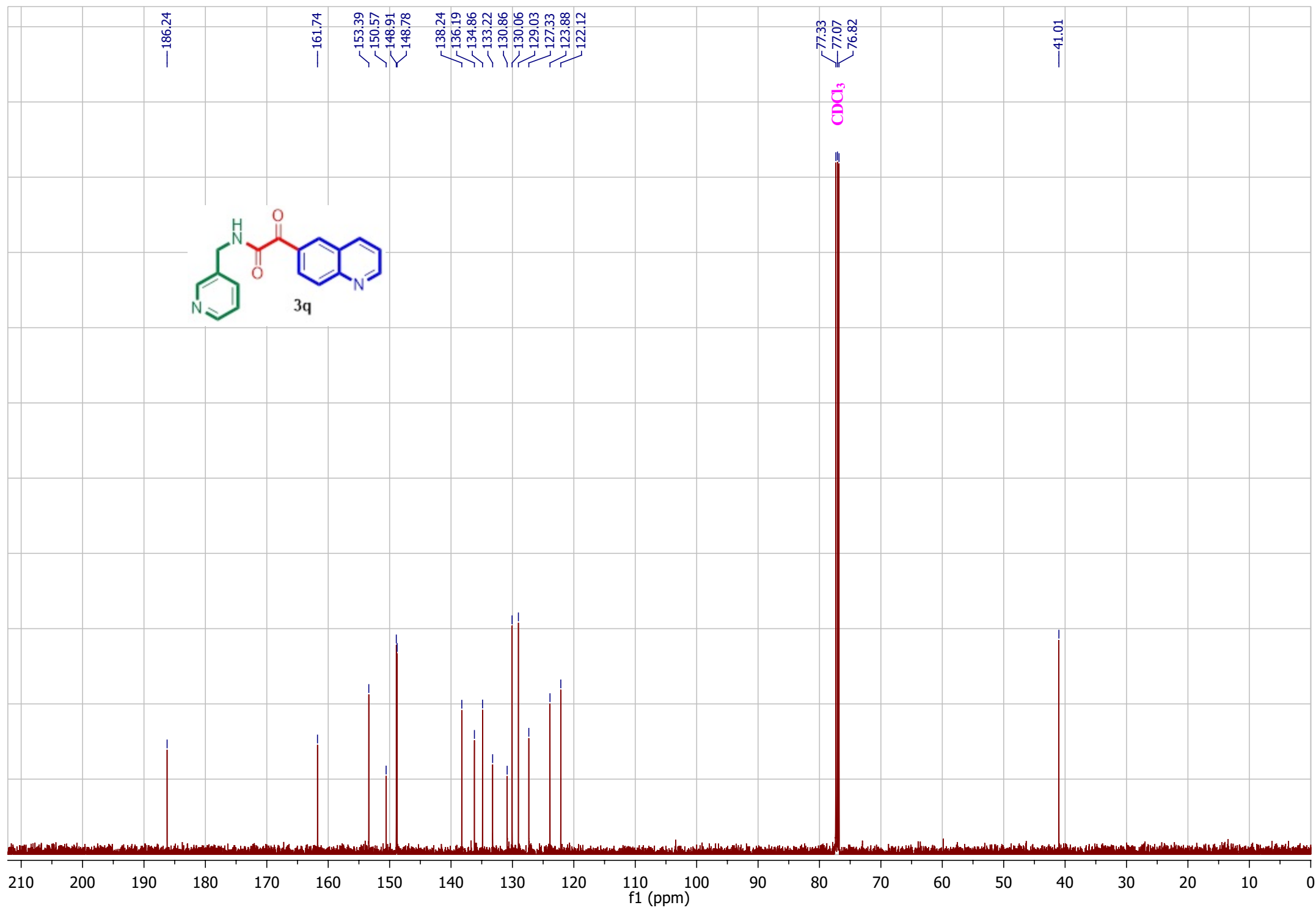
77.33

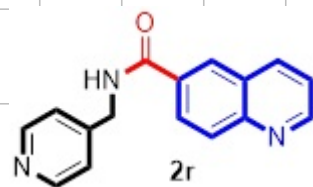
77.07

76.82

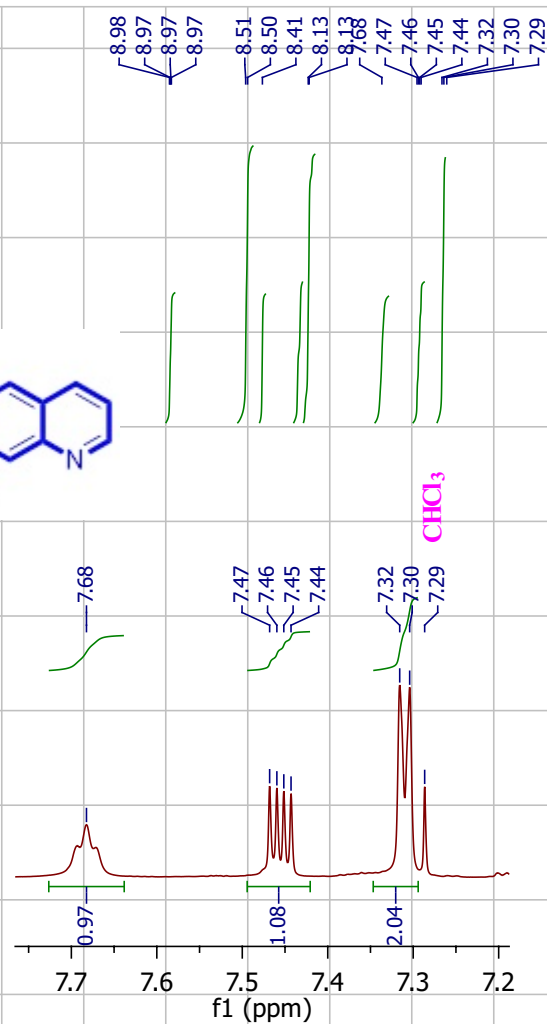
CDCl₃

—41.01





2r



8.98
8.97
8.97
8.97
8.51
8.50
8.41
8.13
8.13
7.68
7.47
7.46
7.45
7.44
7.32
7.30
7.29

4.72
4.70

CHCl₃

f1 (ppm)

f1 (ppm)

1.00

2.12

0.99

1.08

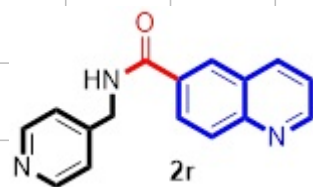
2.06

0.97

1.08

2.04

2.08



167.18

152.13

149.33

149.20

148.53

137.13

131.74

129.99

128.12

127.58

127.17

122.67

122.07

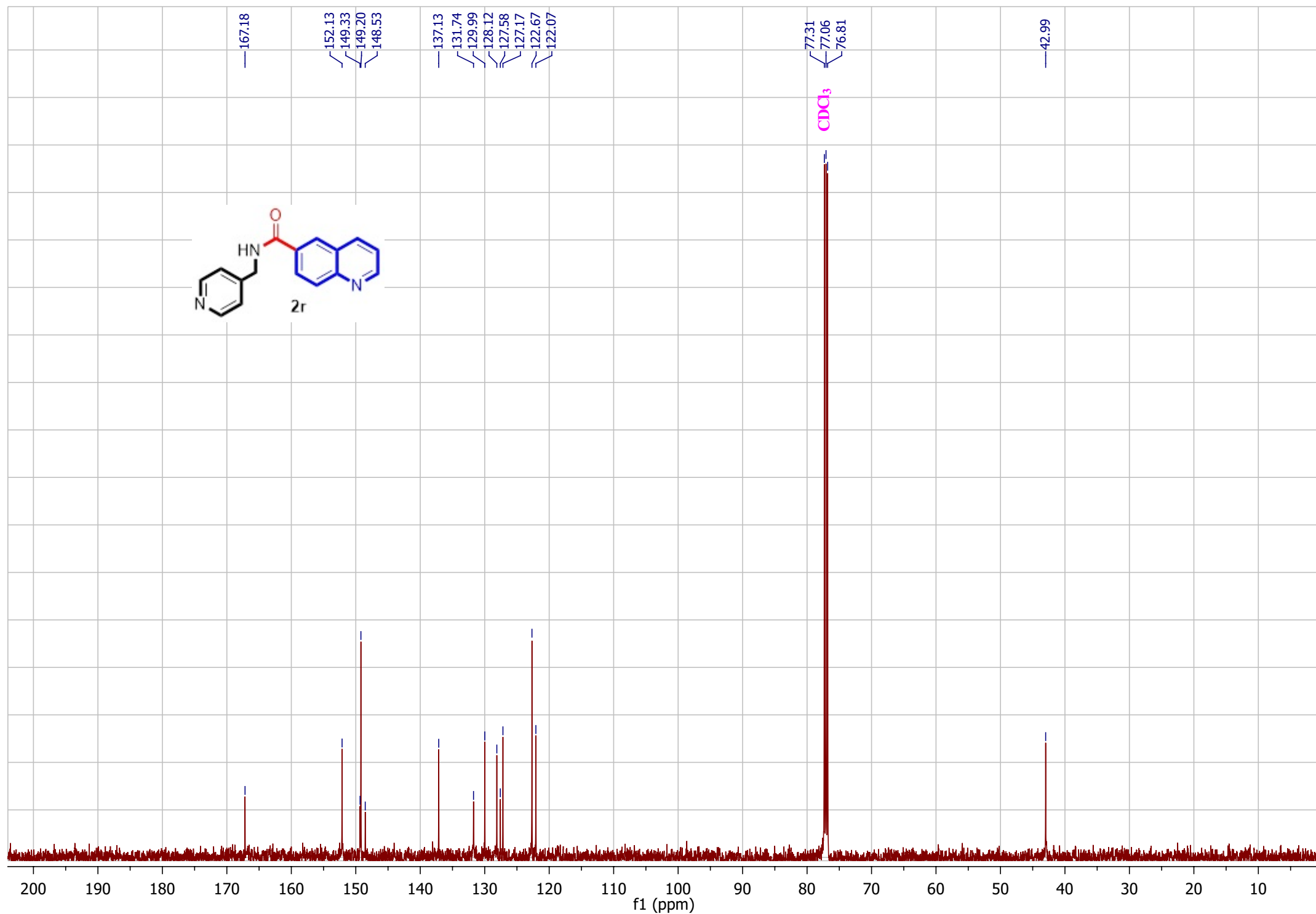
77.31

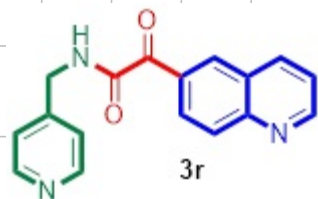
77.06

76.81

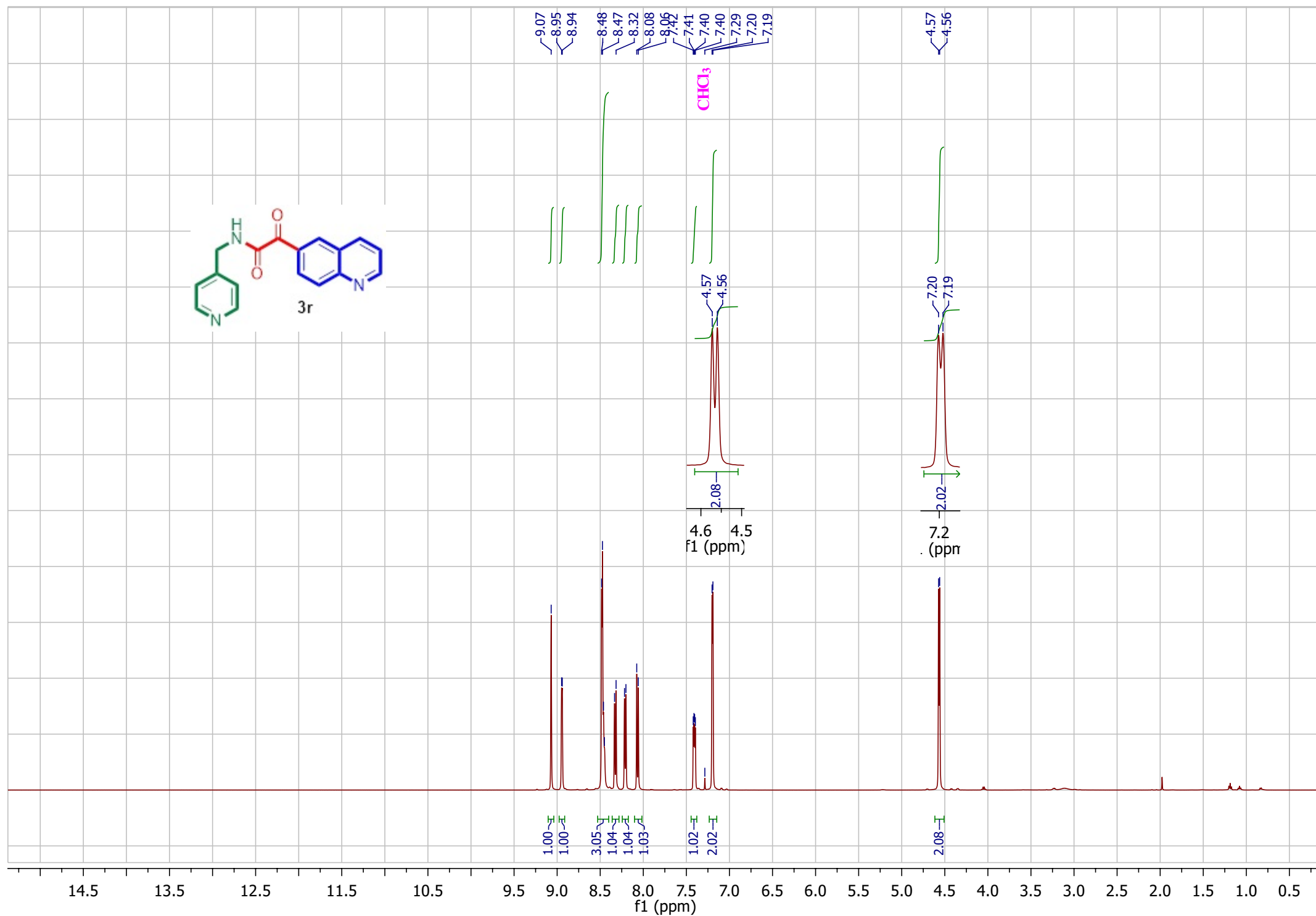
42.99

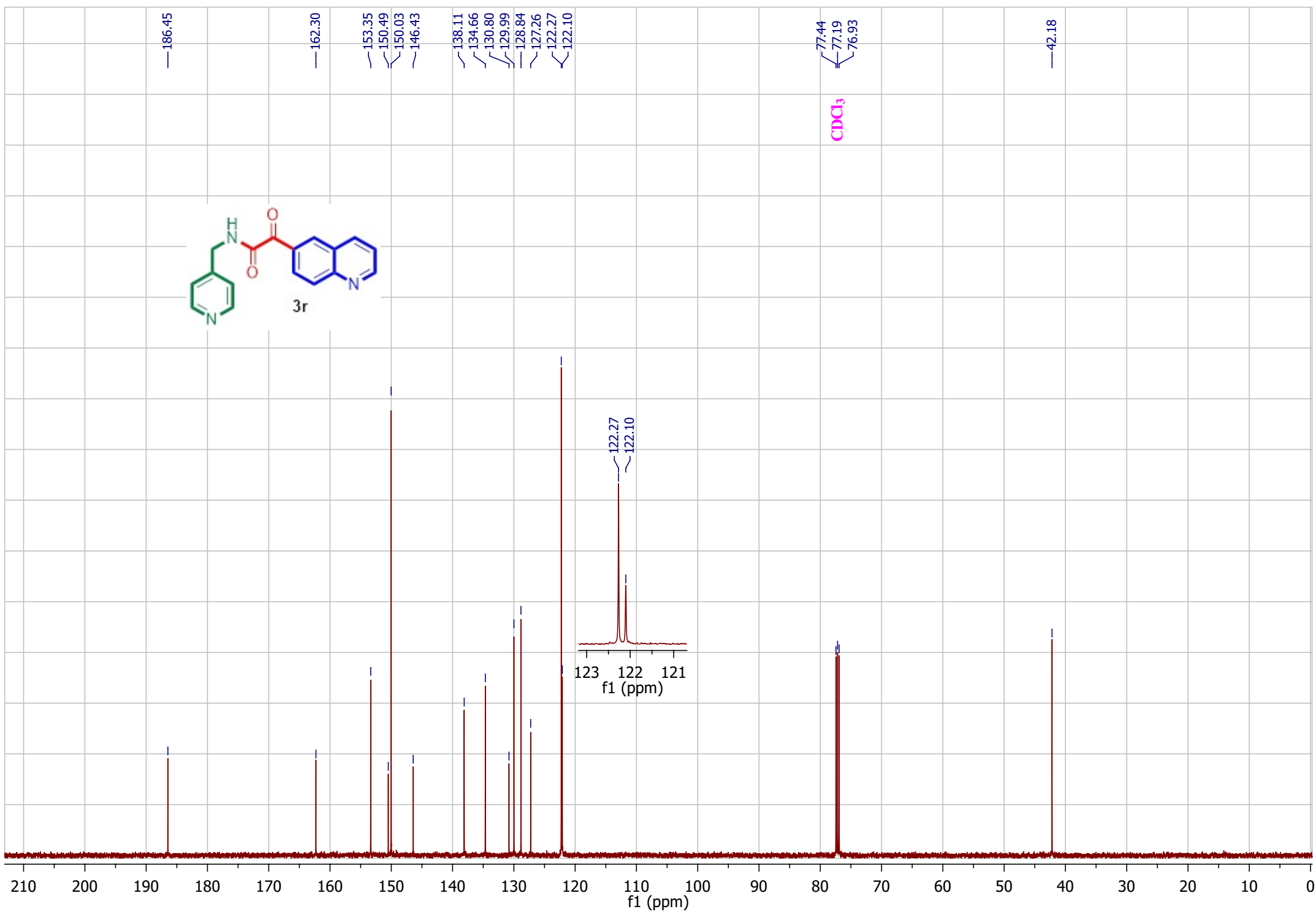
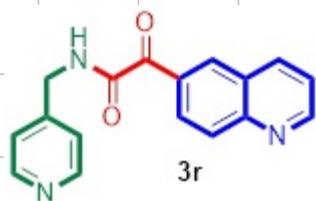
CDCl₃

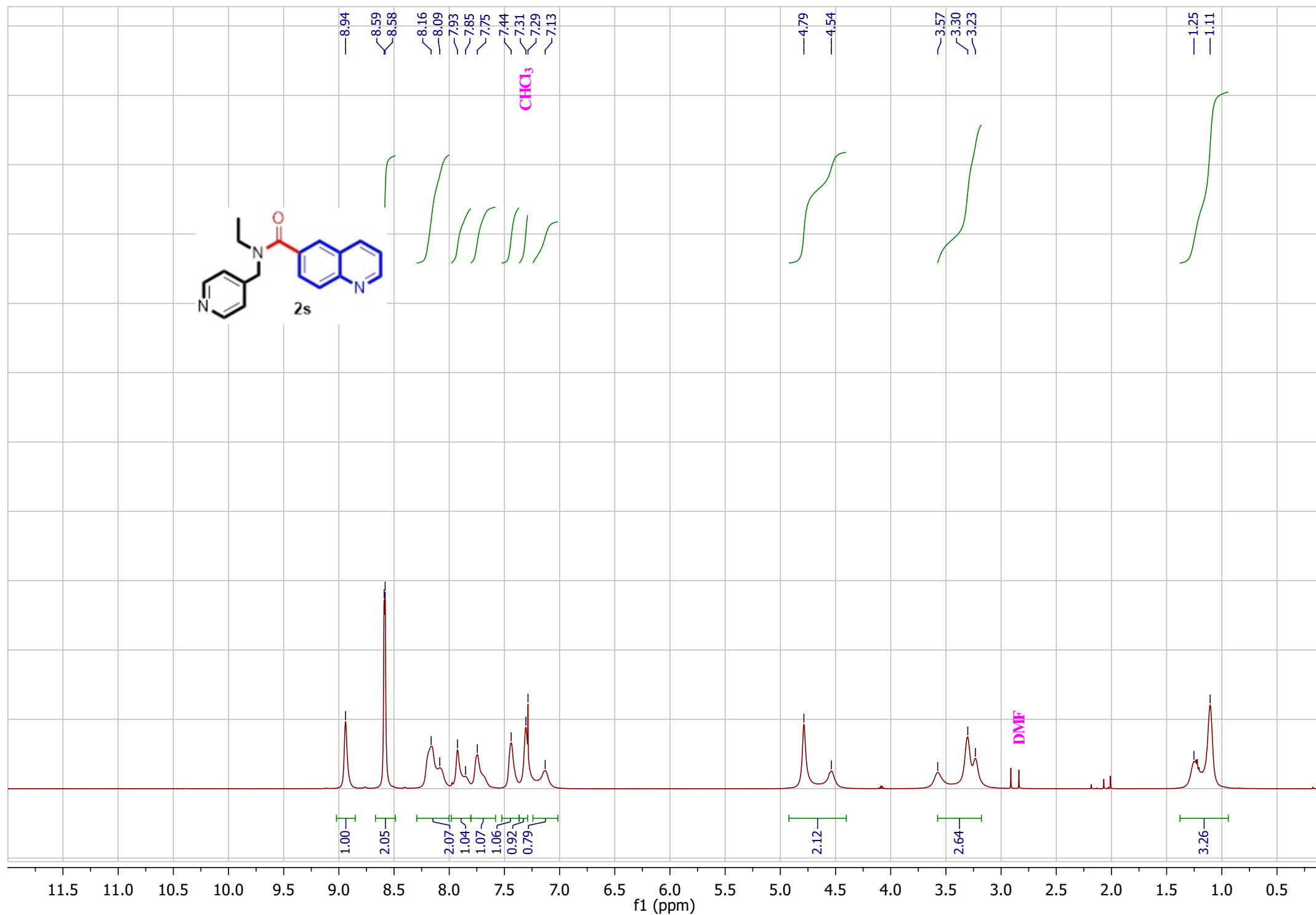


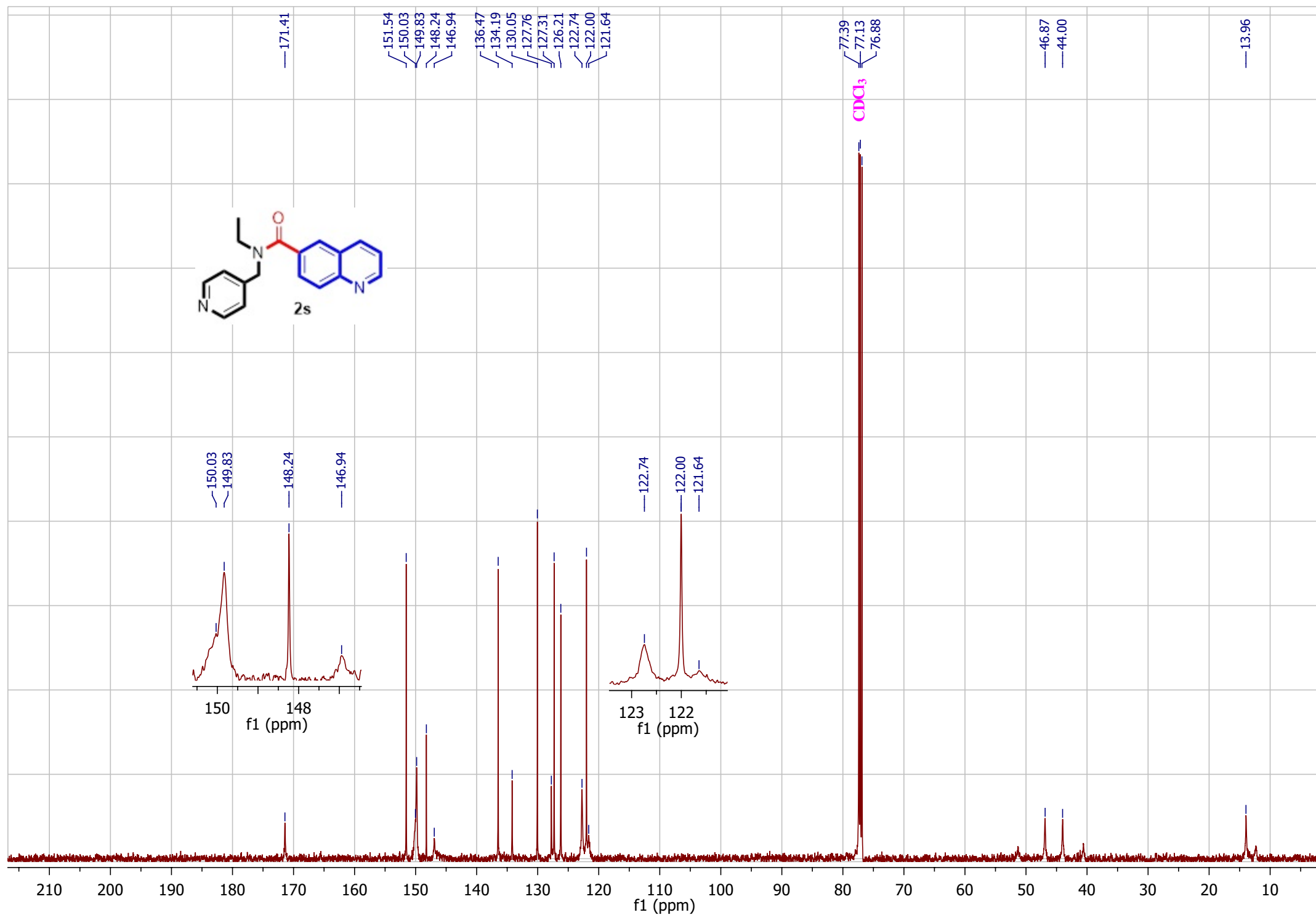


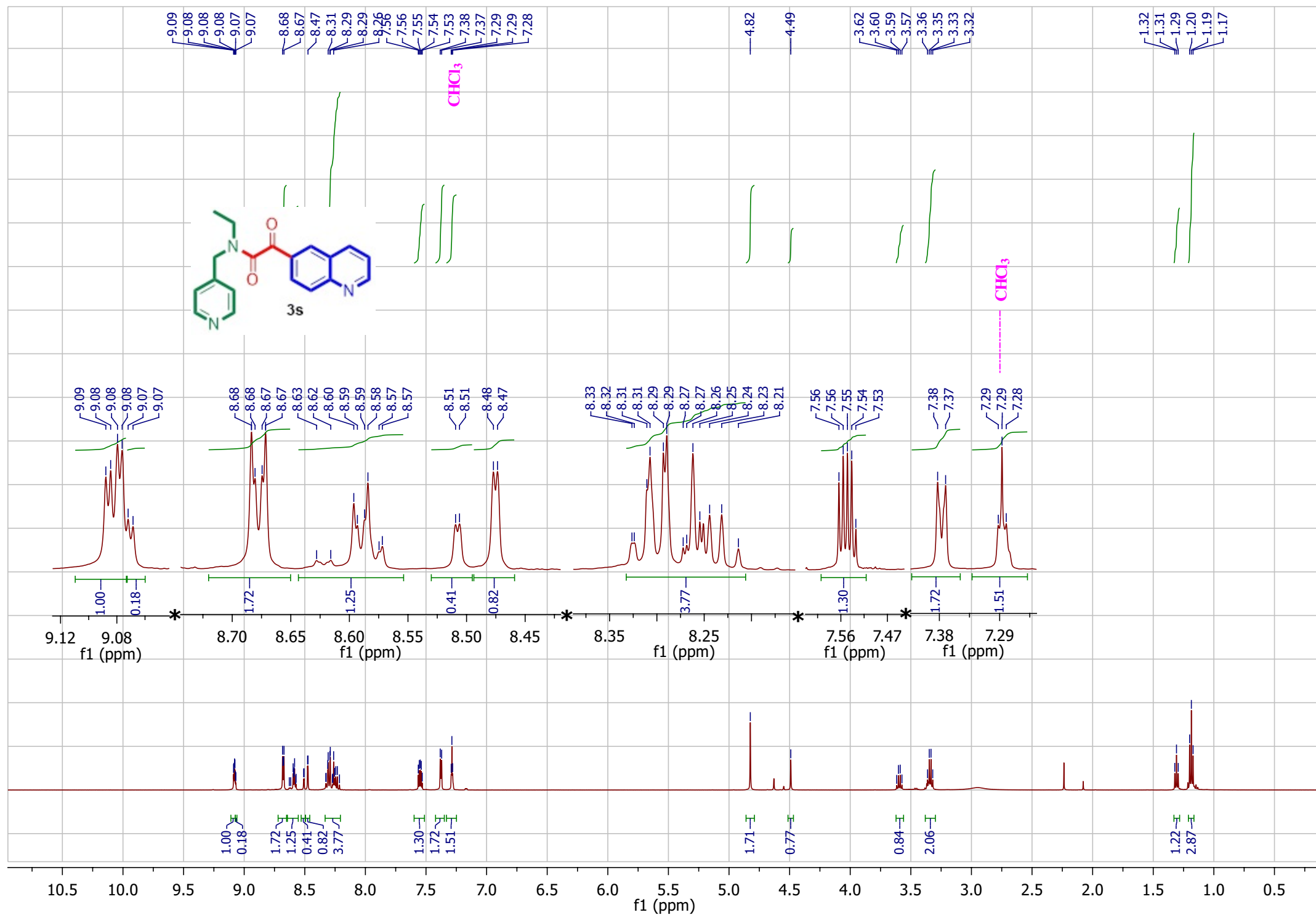
3r

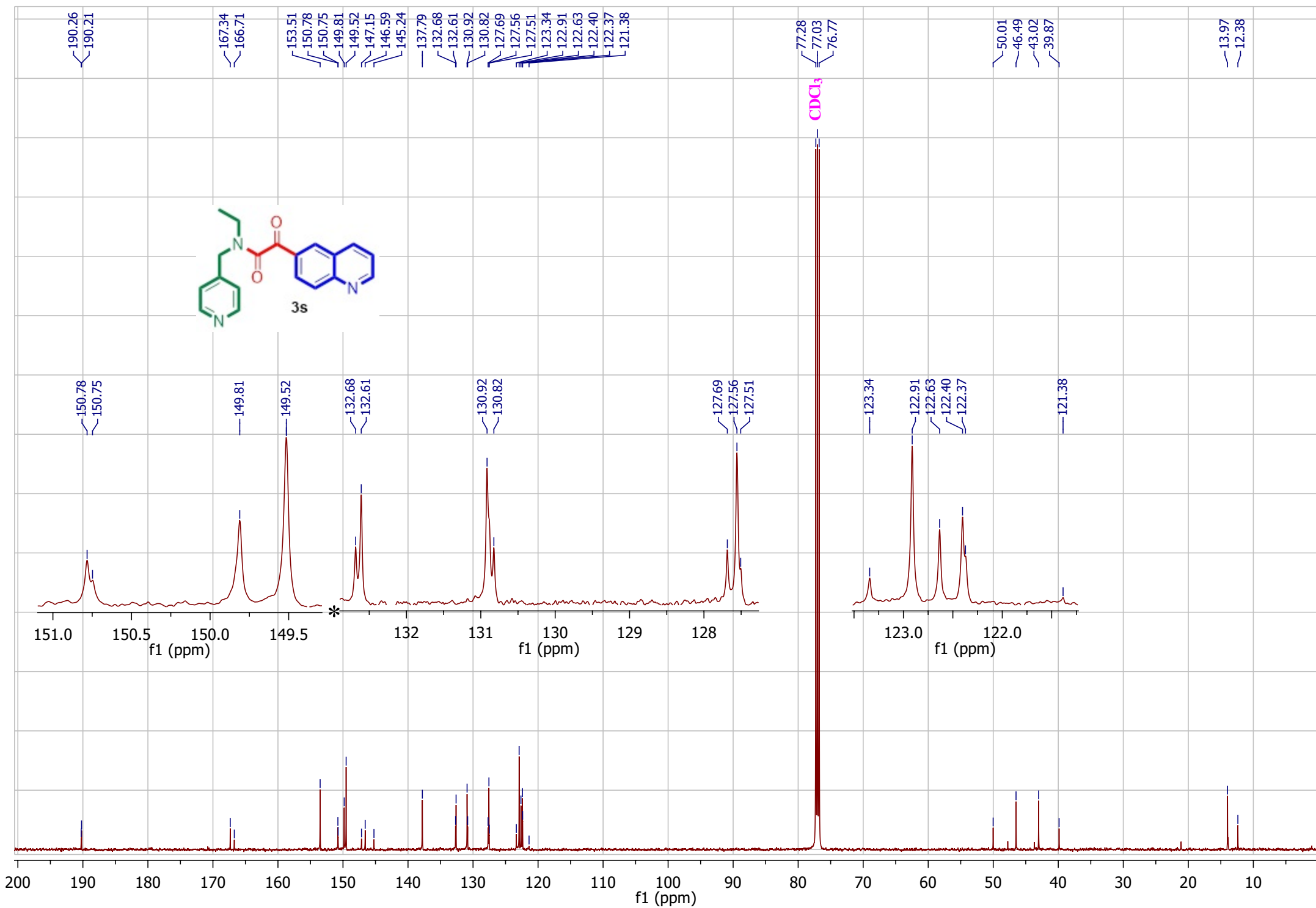


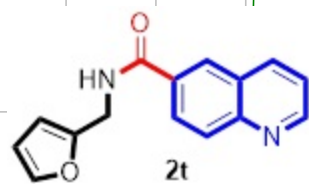








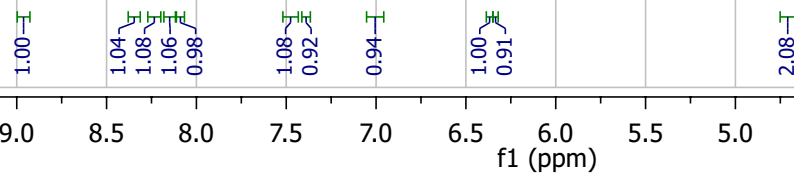
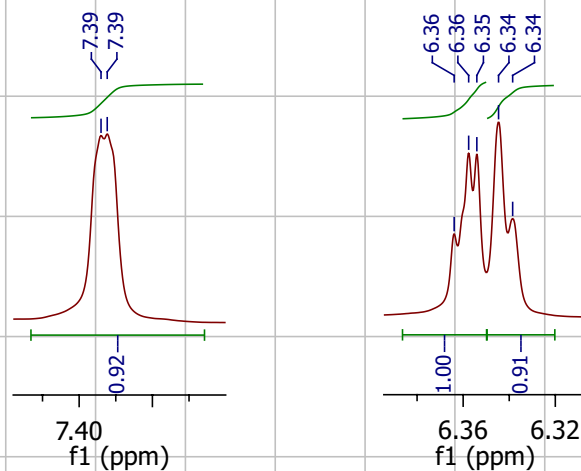


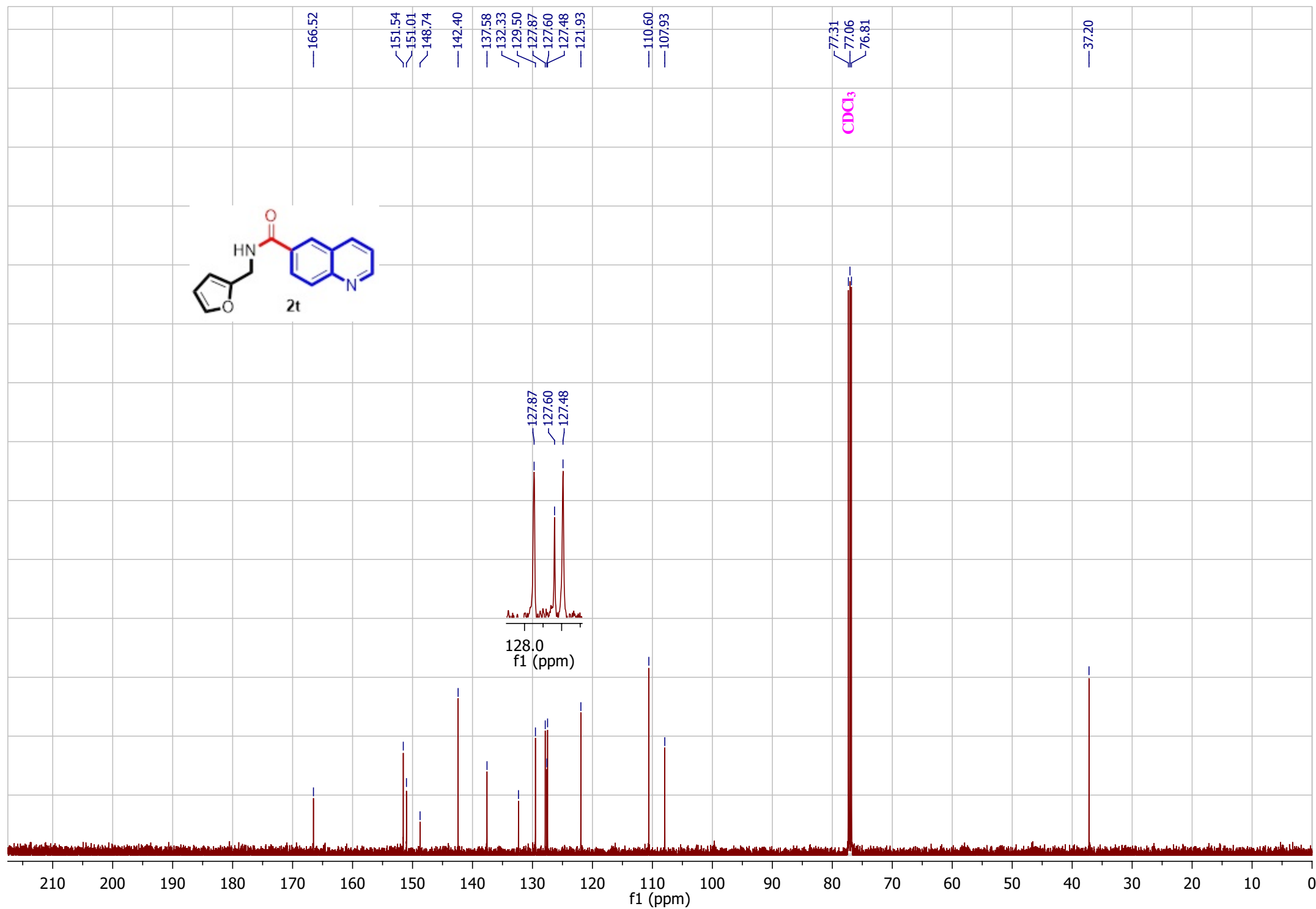
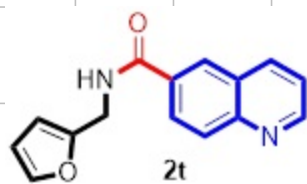


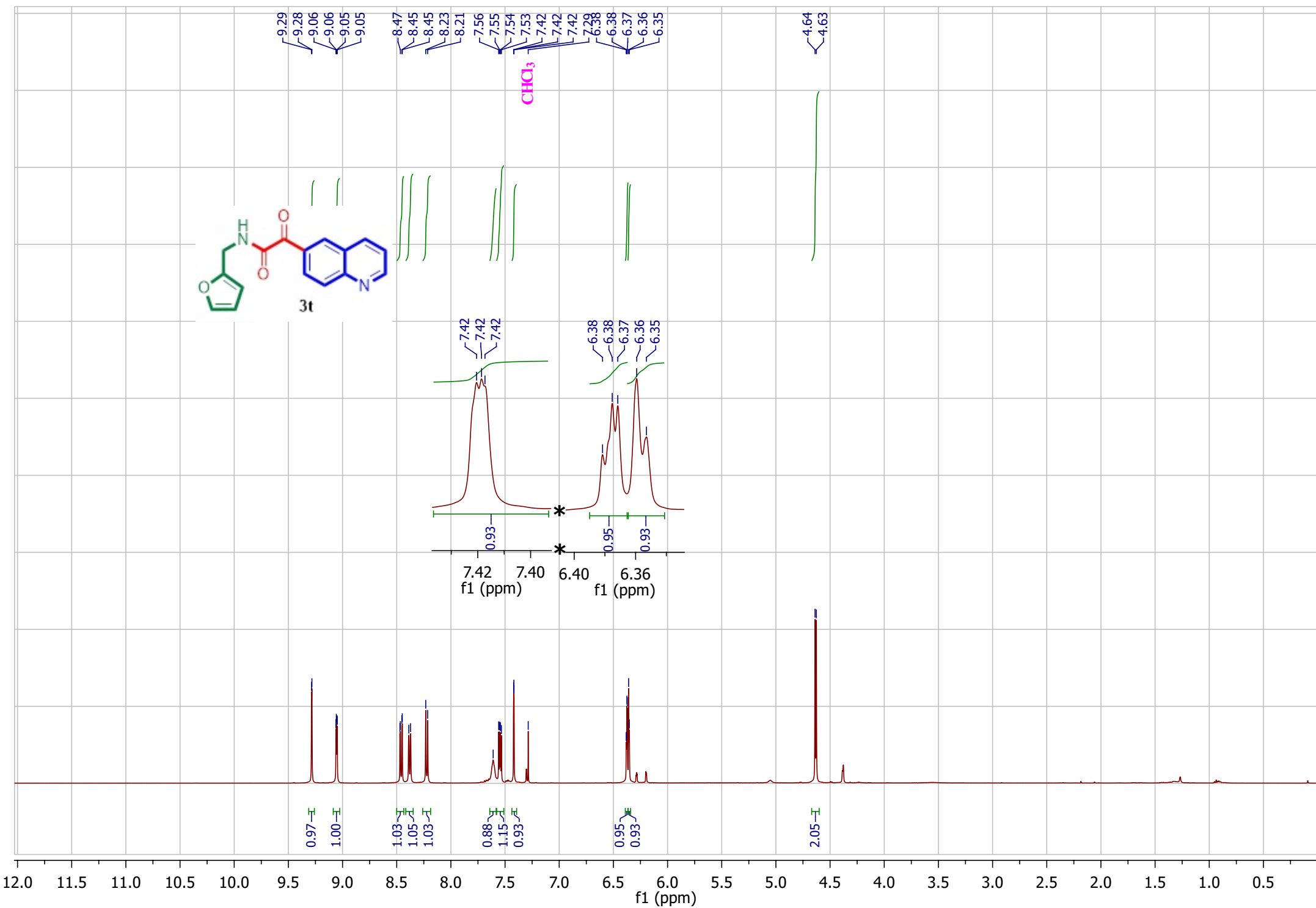
2t

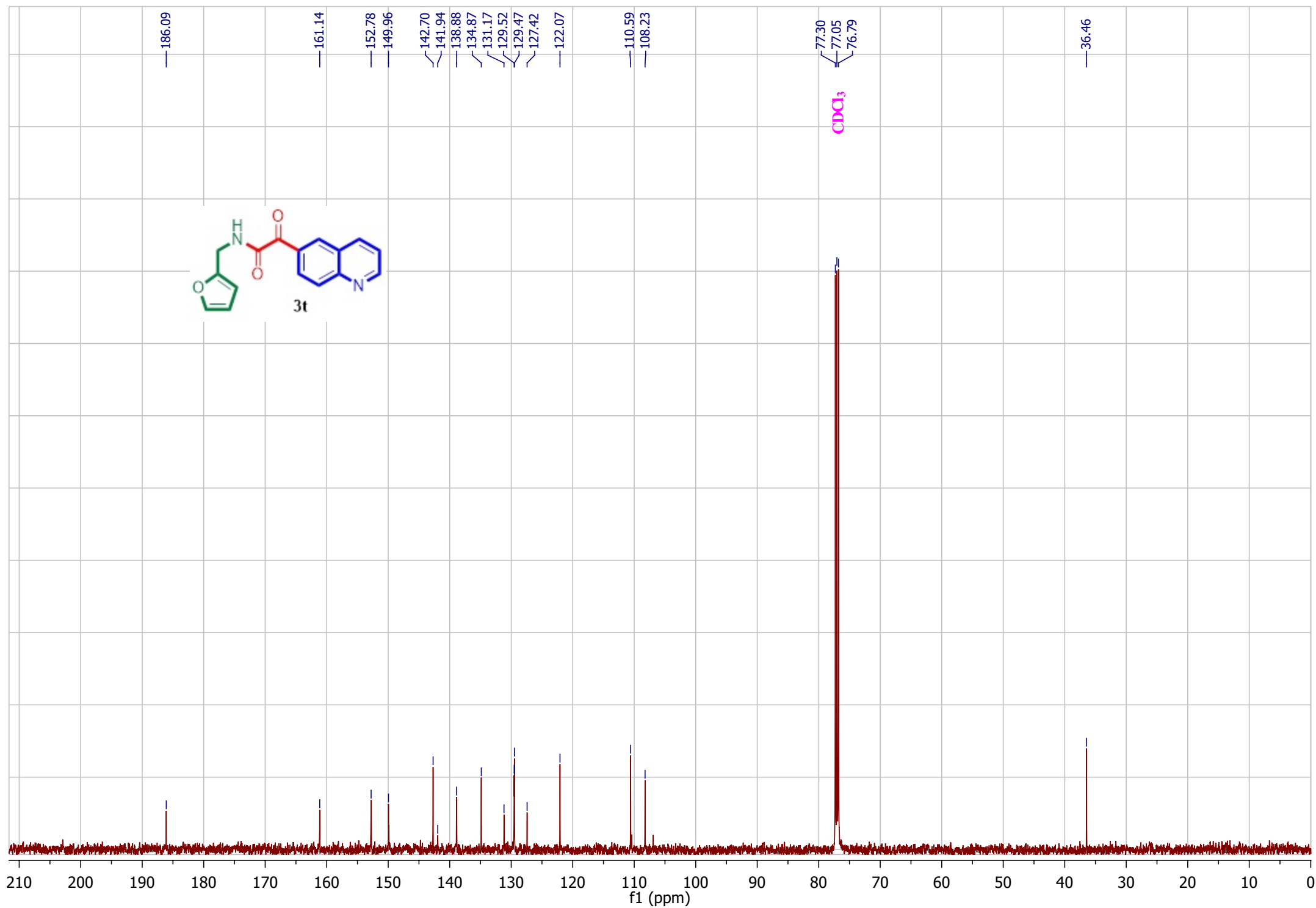
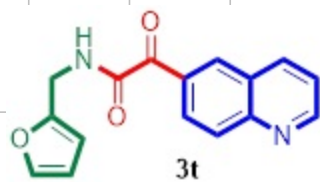
8.97
8.96
8.96
8.95
8.35
8.34
8.16
8.14
8.10
8.09
7.49
7.48
7.47
7.46
7.39
7.39
7.29
7.29
6.36
6.35
6.34
6.34
4.72
4.71

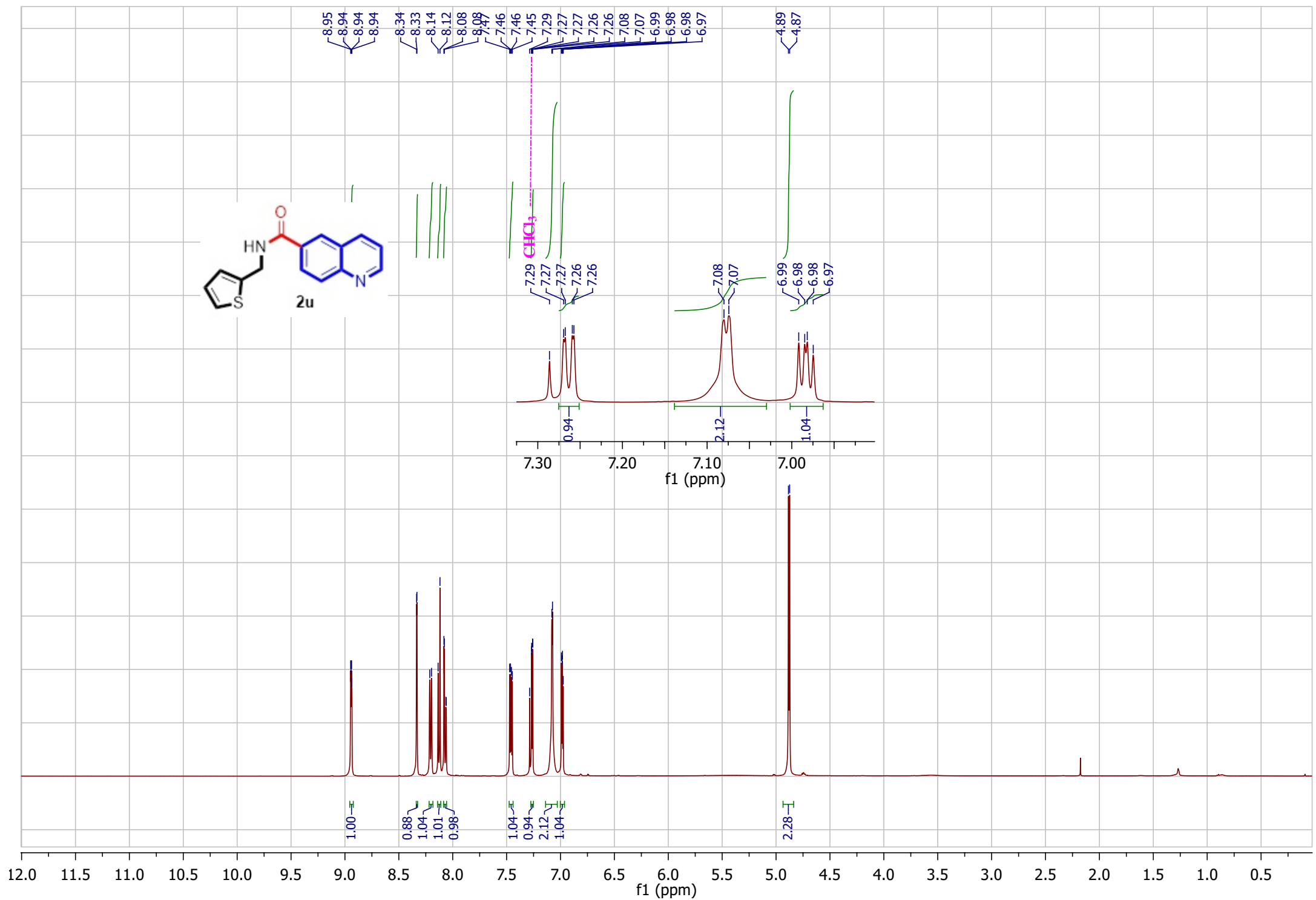
CHCl₃

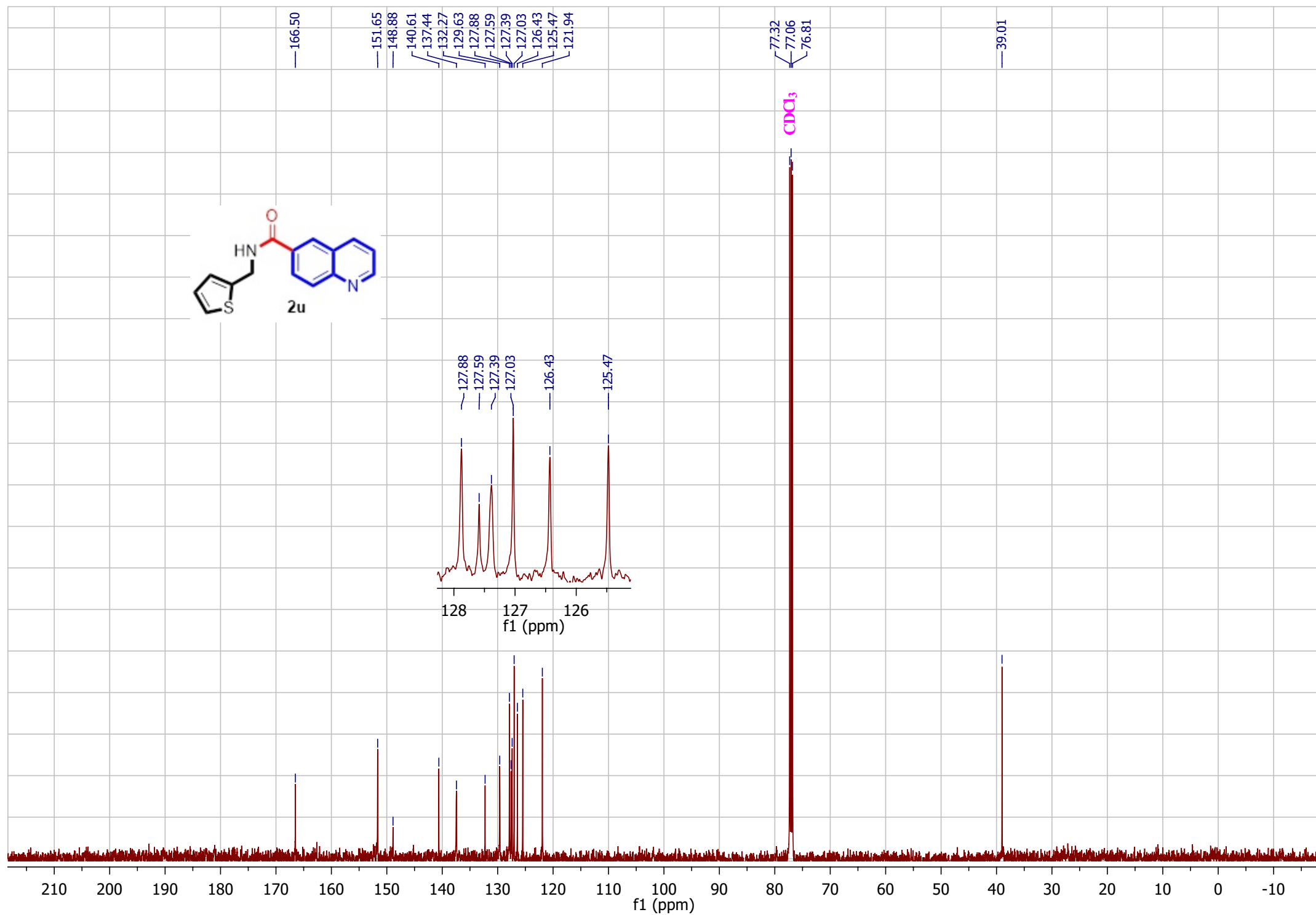
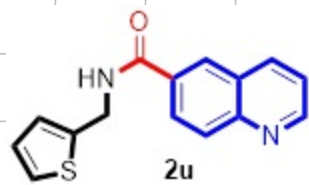


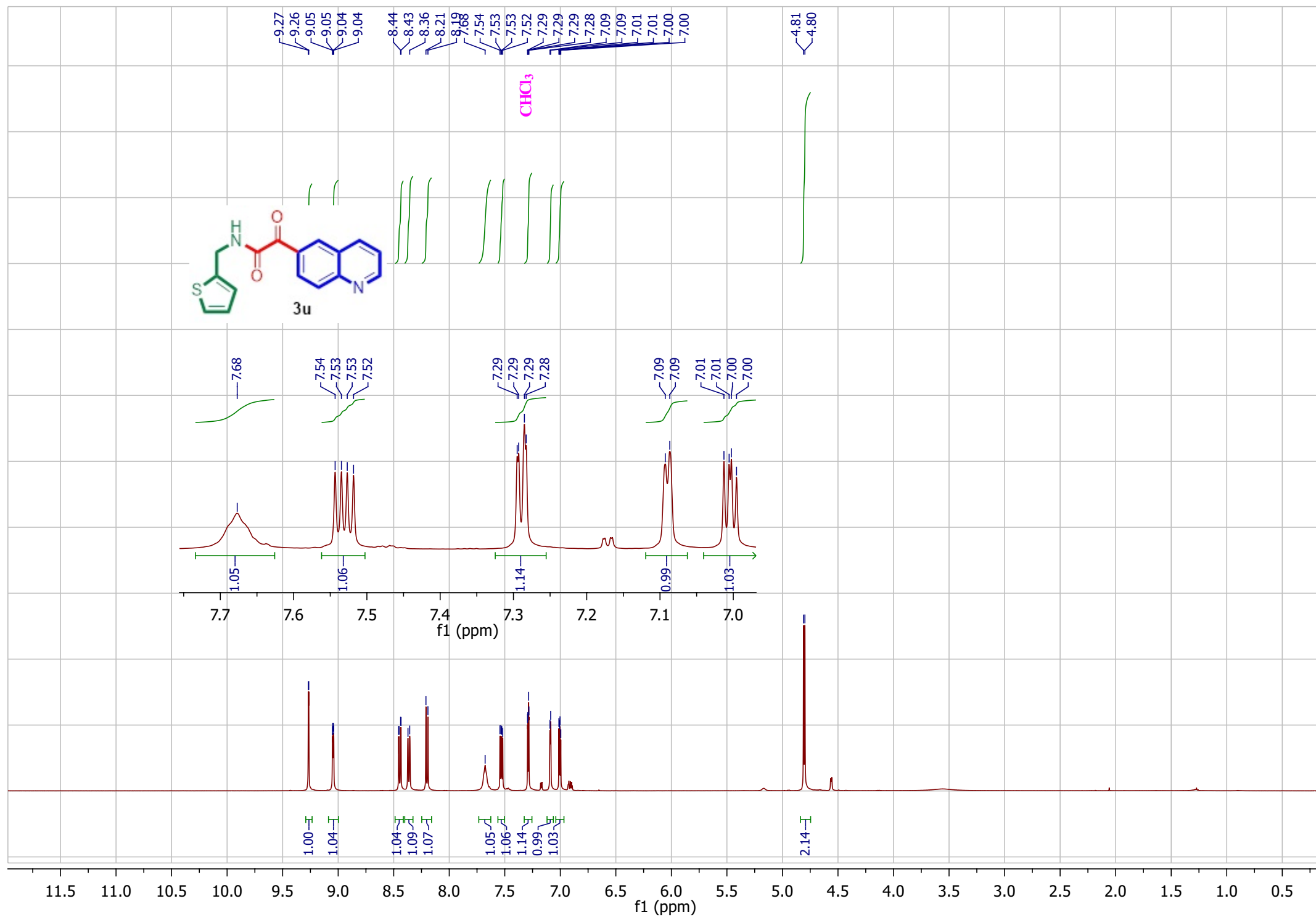


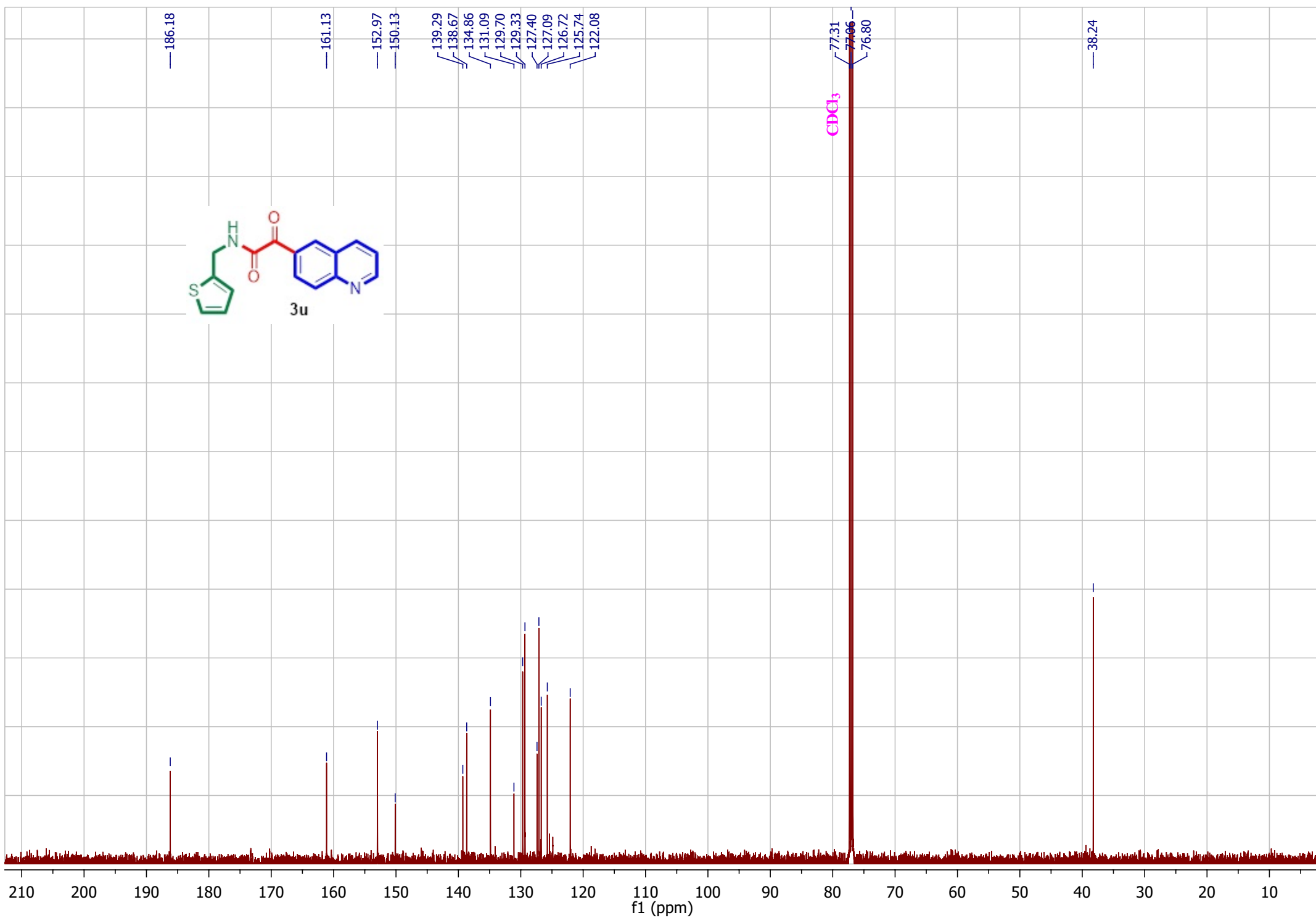
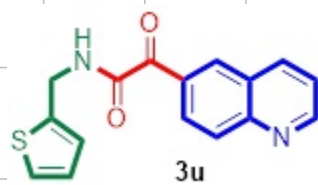


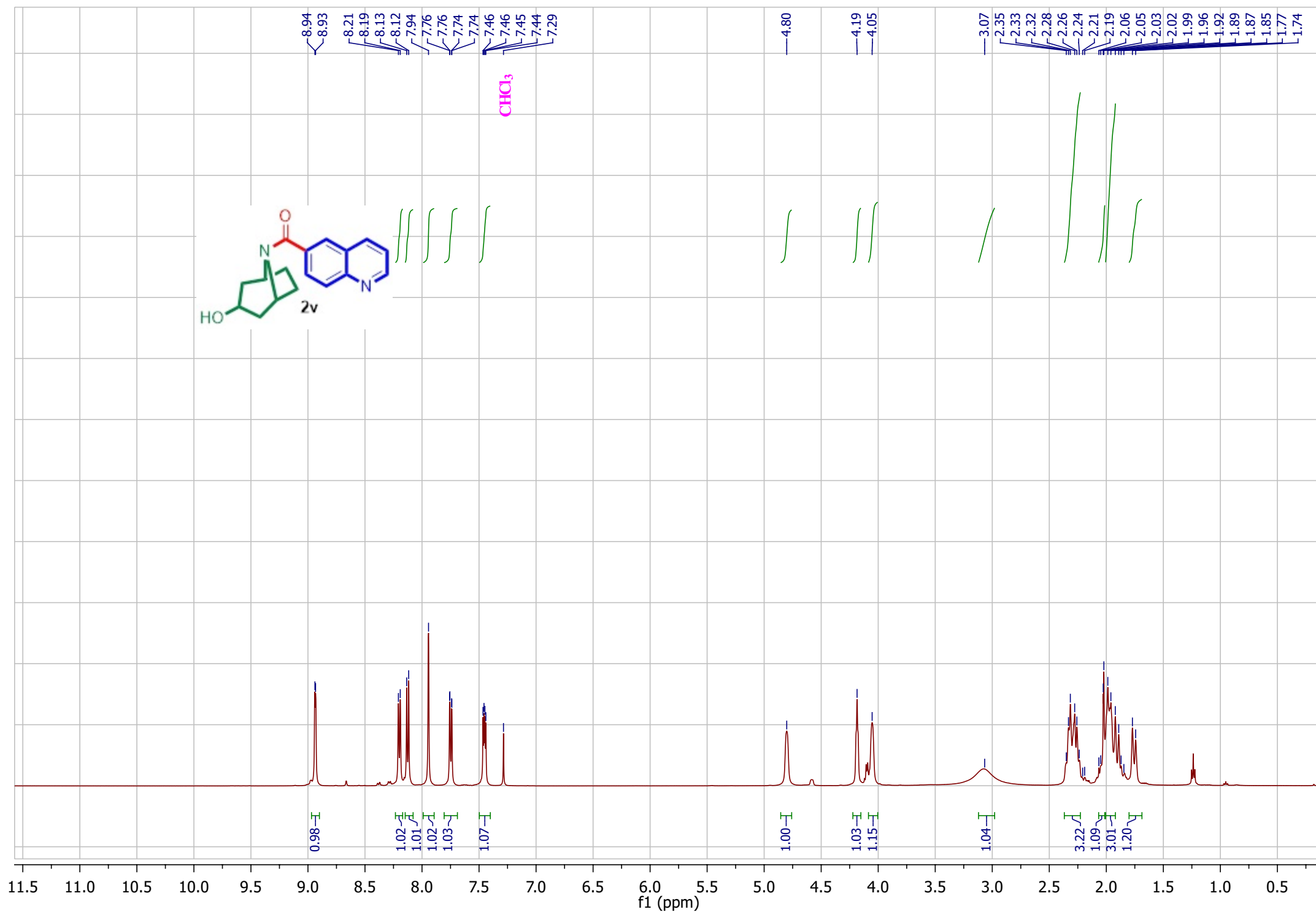
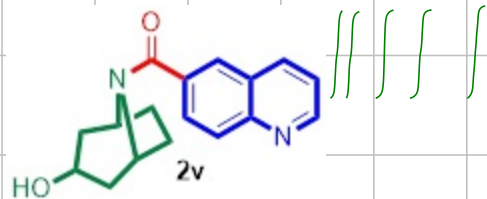


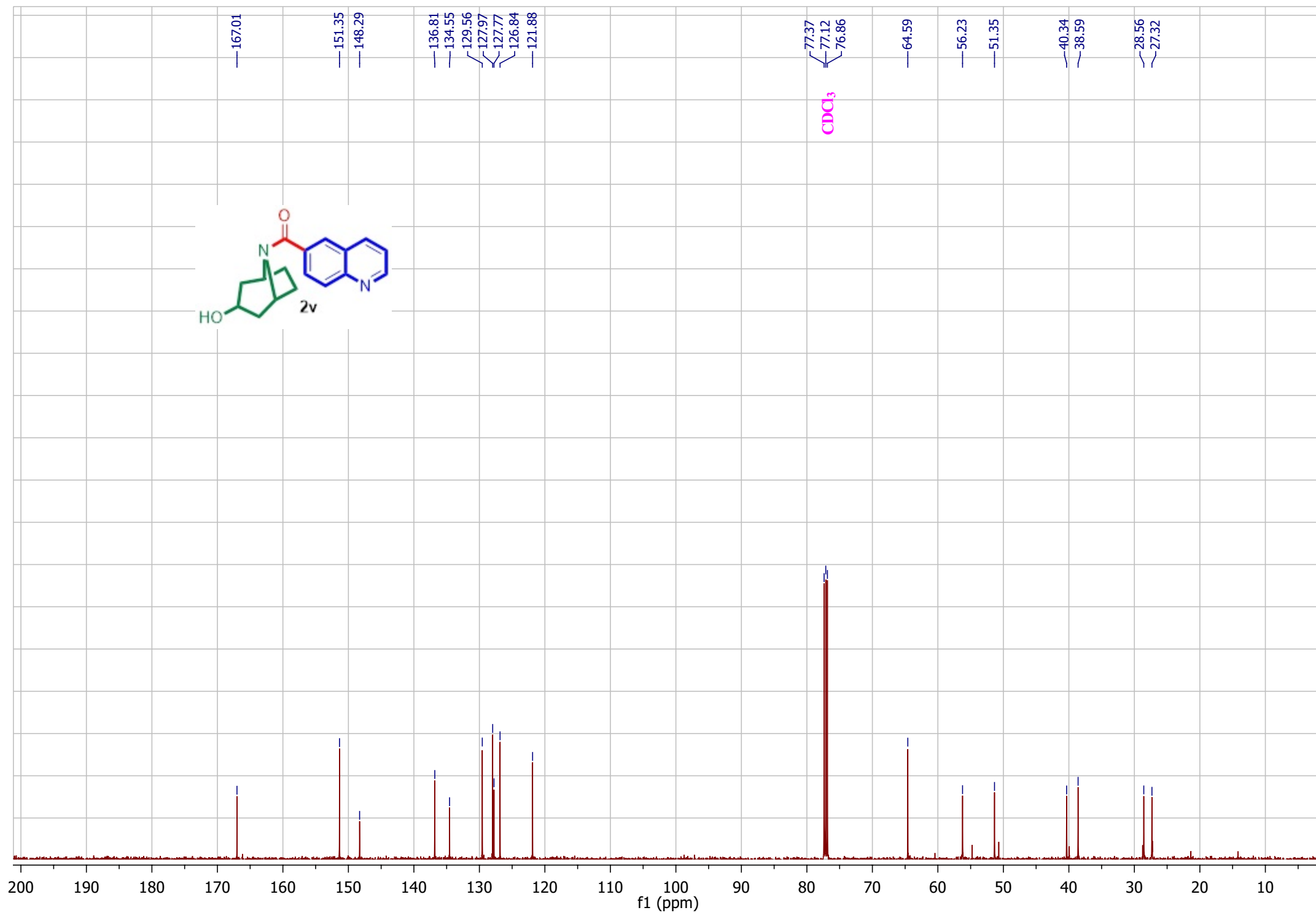


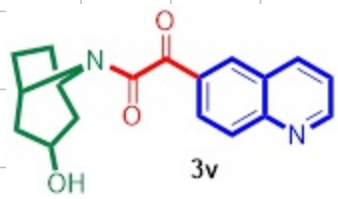




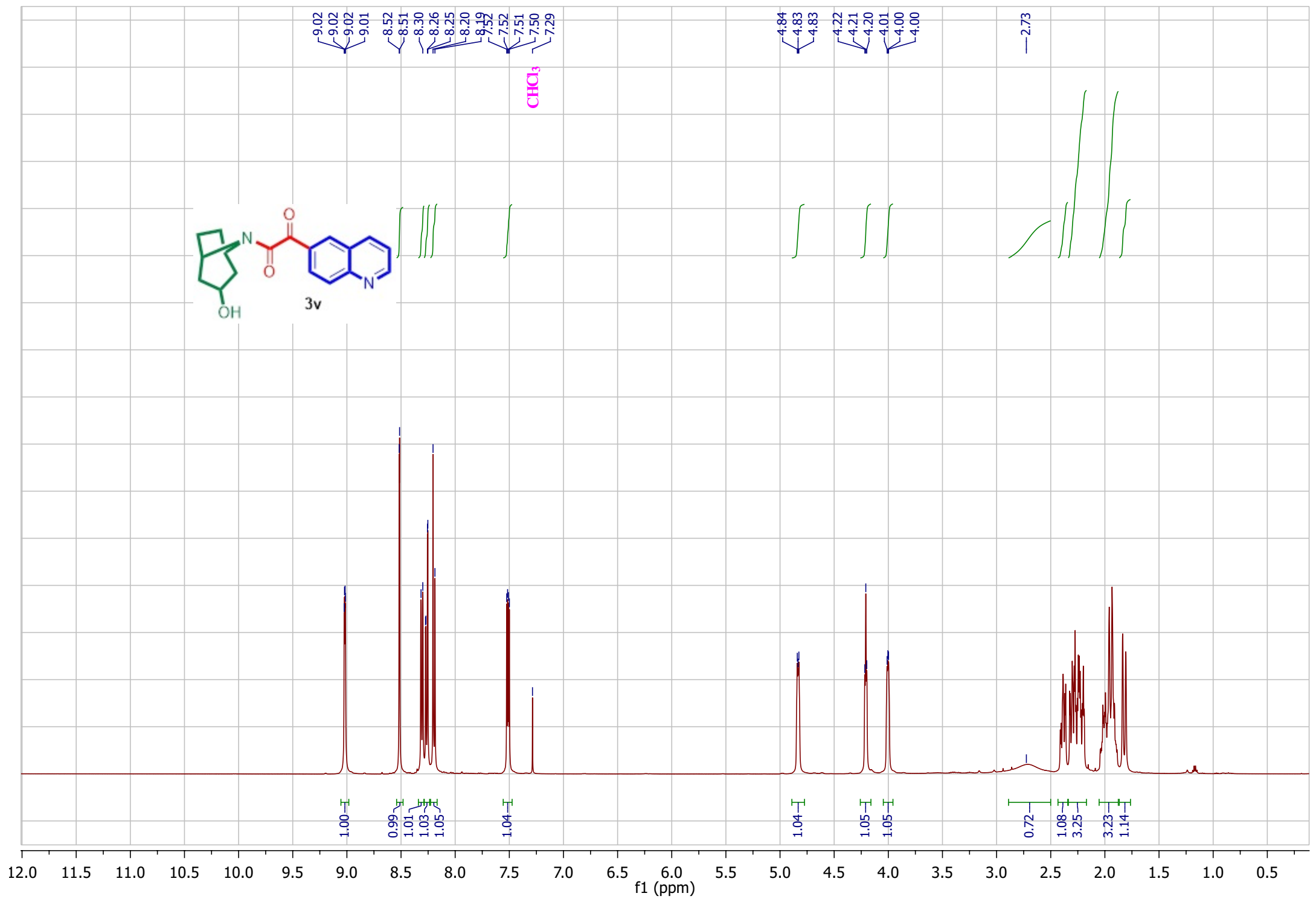


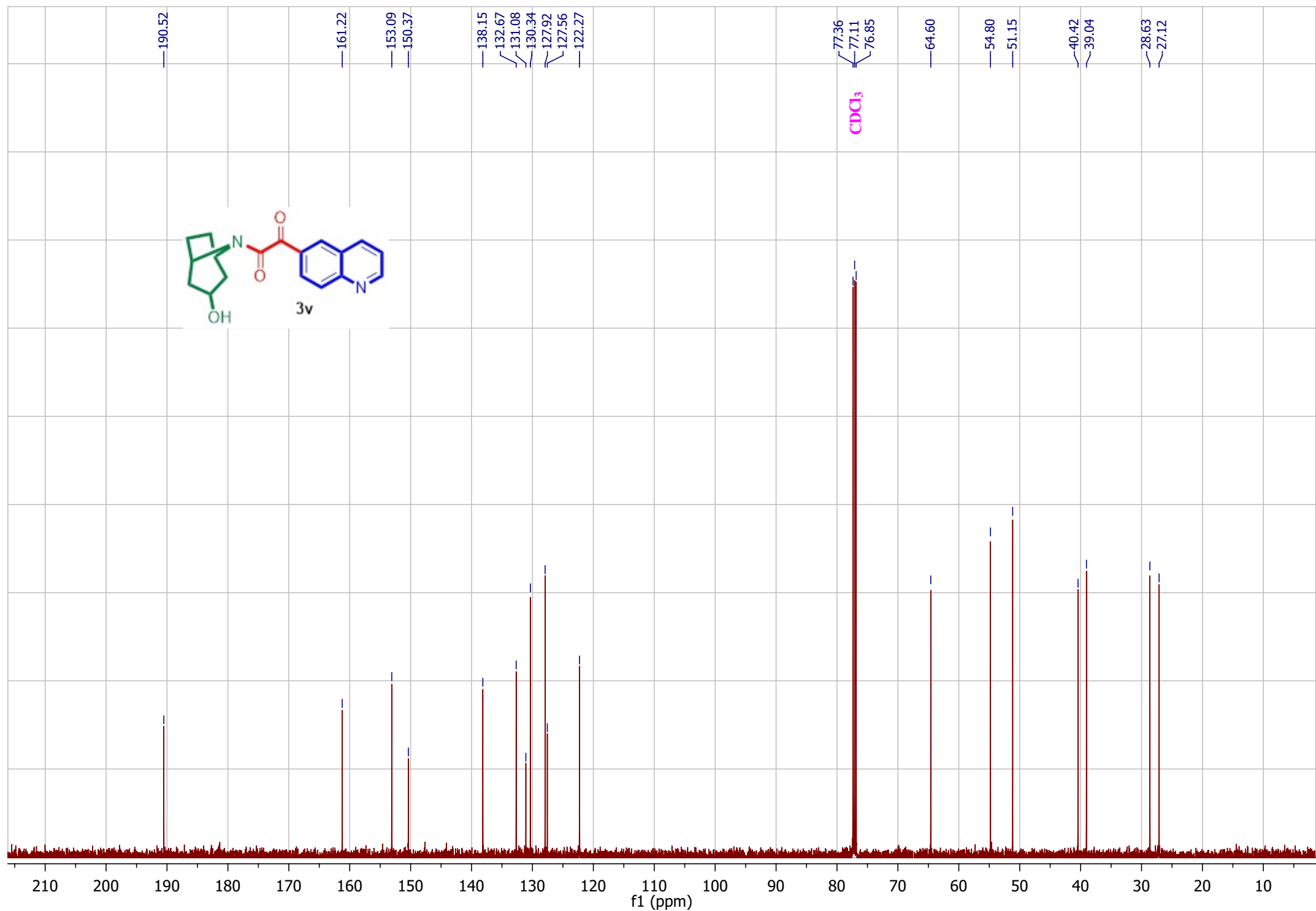
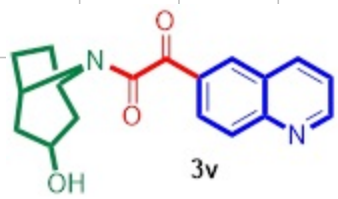


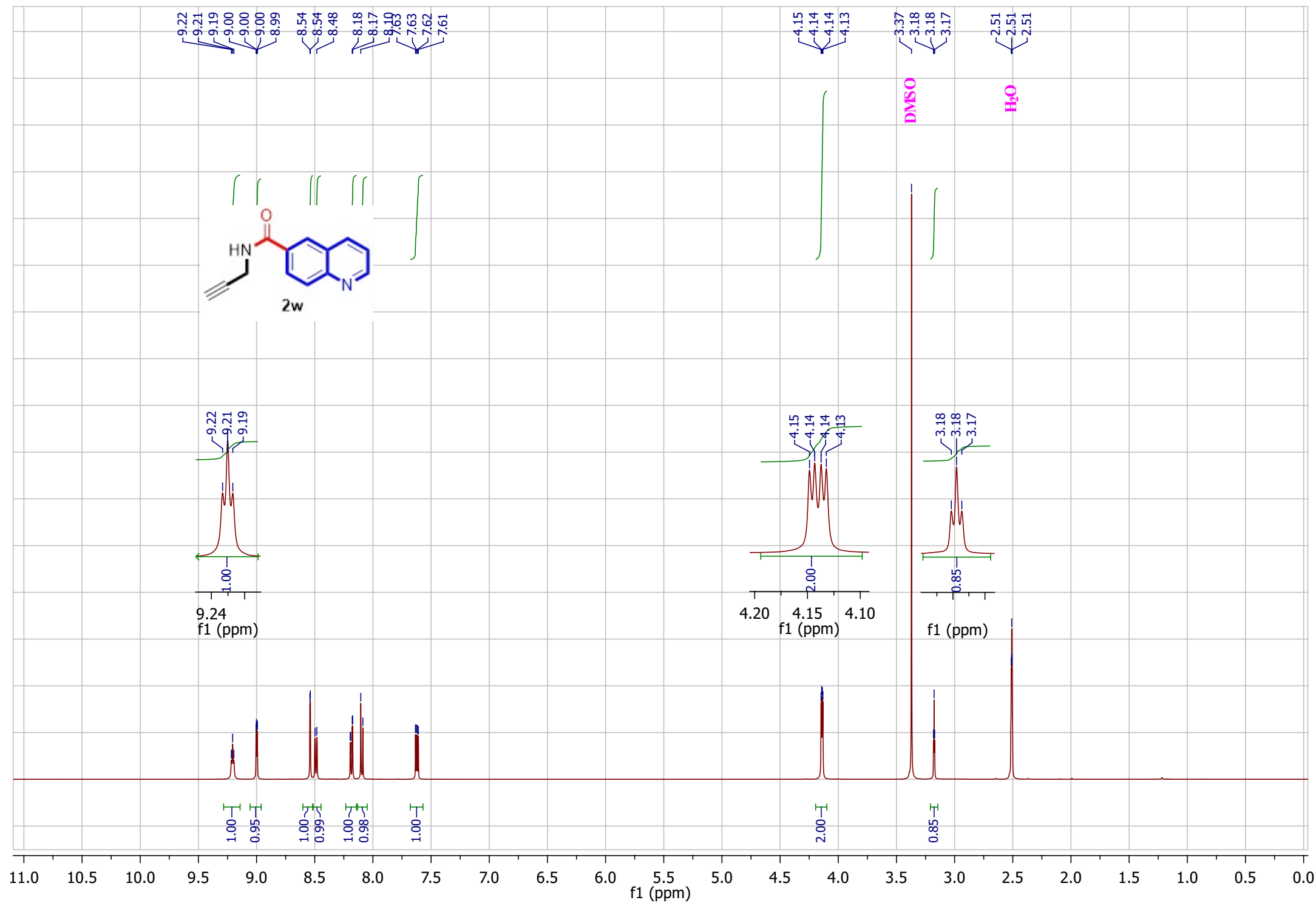


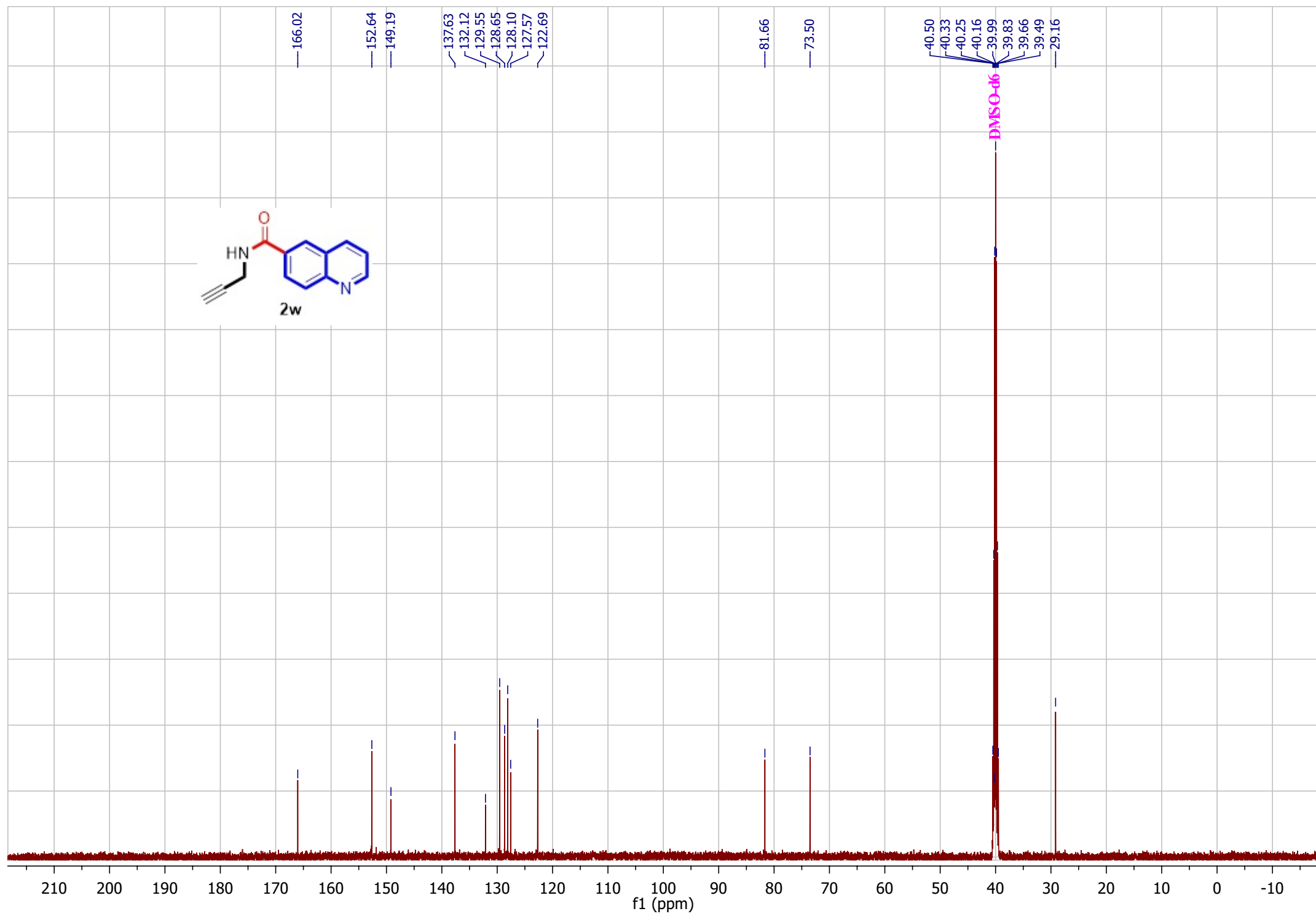
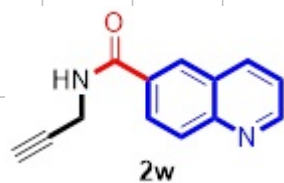


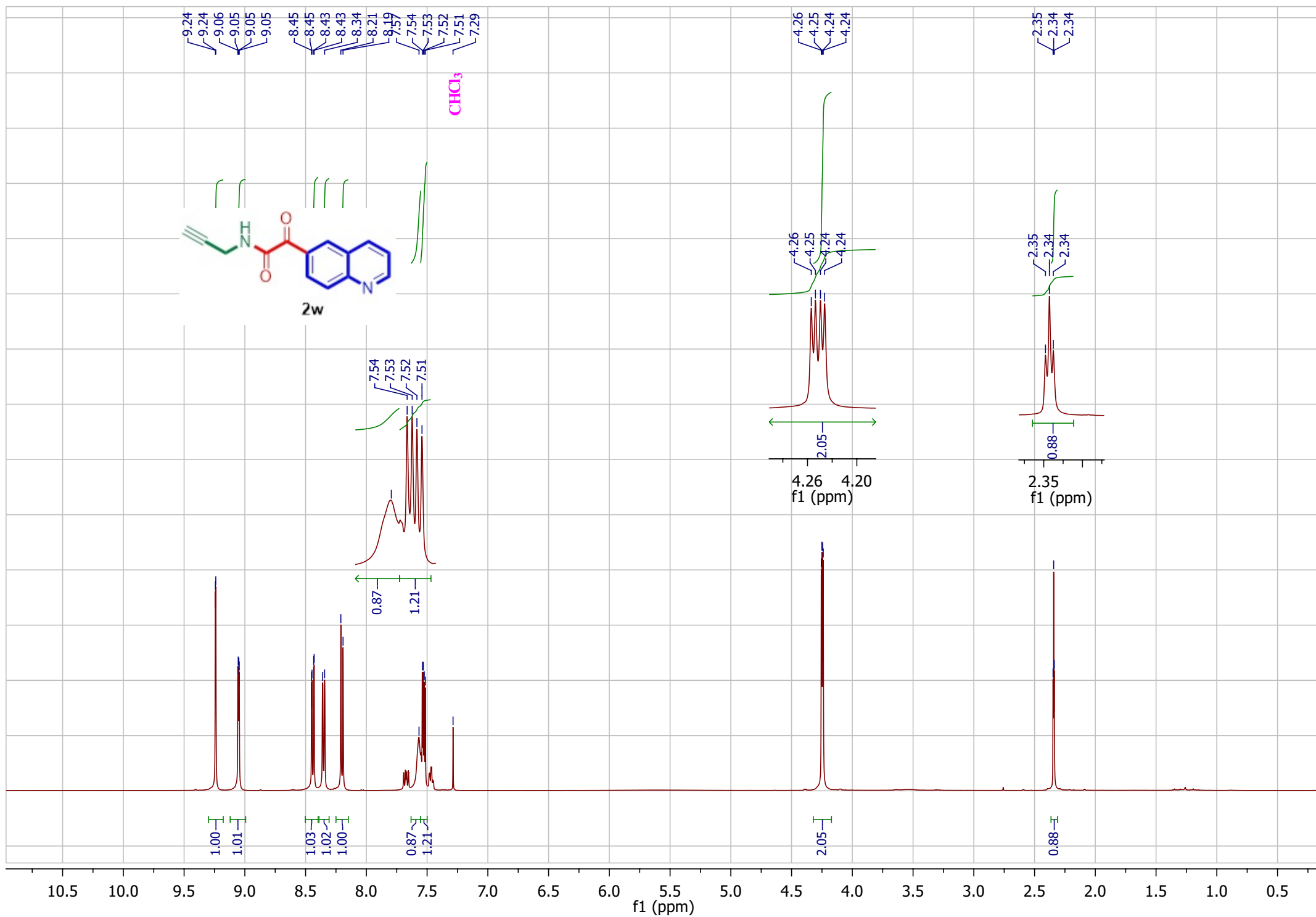
CHCl₃

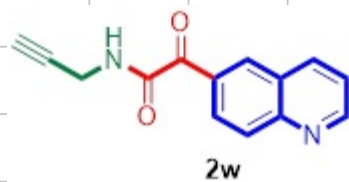












185.71

161.06

153.07

150.19

138.63

134.91

130.93

129.78

129.25

127.38

122.11

78.26

77.31

77.06

76.80

72.45

29.28

CDCl₃

f1 (ppm)