Supporting Information

Visible-light-induced aerobically oxidative cyclization of nitroarenes with triethylamine using an organophotocatalyst

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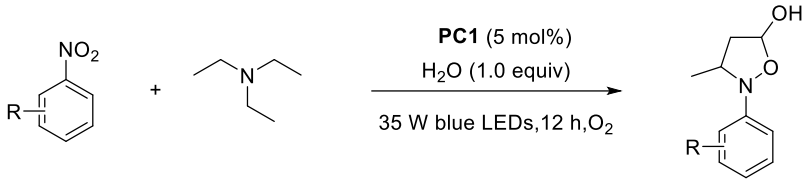
1. General information

The reactions via general procedure were carried out under an atmosphere of oxidation unless otherwise noted. Column chromatography was performed using silica gel (200-300 mesh) and thin layer chromatography was performed using silica gel (GF254). ^{1}H NMR and ^{13}C NMR spectra were recorded on Bruker-AVANCE-III-HD (400 and 100 MHz, respectively) and processed using MestReNova. ^{1}H NMR chemical shifts are given in ppm with respect to the residual $CDCl_3$ peak (δ 7.26 ppm), ^{13}C NMR shifts are given in ppm with respect to $CDCl_3$ (δ 77.00 ppm) and DMSO-d_6 (δ 39.52 ppm). Mass spectra were measured on Agilent 5977 GC-MS instrument (EI). High-resolution mass spectra (ESI) were obtained with the Thermo Scientific LTQ Orbitrap XL mass spectrometer. The structures of known compounds were further corroborated by comparing their ^{1}H NMR, ^{13}C NMR data and MS data with those in literature. Melting points were measured with a YUHUA X-5 melting point instrument and were uncorrected. Fluorescence quenching experiments were recorded with PTI-QM40 spectrophotometer. A commercially available blue LED (35W, HIPAR30, luminous flux is not less than 3200 lm, wavelength is 460 nm)) was purchased from Shenzhen Jing Feng Times Lighting Technology Co., Ltd as the reaction light source. All irradiation reactions were carried out in glass vessel. The distance from the light source to the irradiation vessel is around 2-3 cm. Unless otherwise noted, all reagents were obtained from commercial suppliers and used without further purification. **PC1**-**PC3** were synthesized by methods reported in the literature.

2. Typical experimental procedure

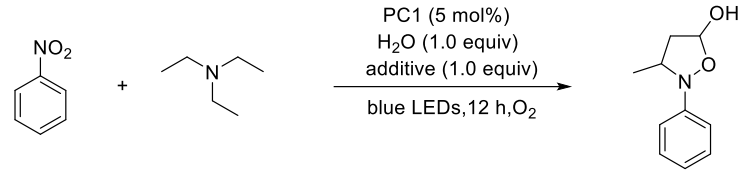


1 2 3

To a Schlenk tube were added **1** (0.2 mmol), **2** (1.0 mL), **PC1** (0.01 mmol, 5 mol%), H_2O (0.2 mmol, 1.0 equiv). Then the mixture was stirred at room temperature in oxygen atmosphere (1 atm) under 35 W blue LED light for 12 h until complete consumption of starting material as monitored by TLC and GC-MS analysis. After the reaction was finished, concentrated in vacuum. The residue was purified by silica gel flash column chromatography (hexane/ethyl acetate = 10 : 1 to 2 : 1) to afford the desired product **3**.

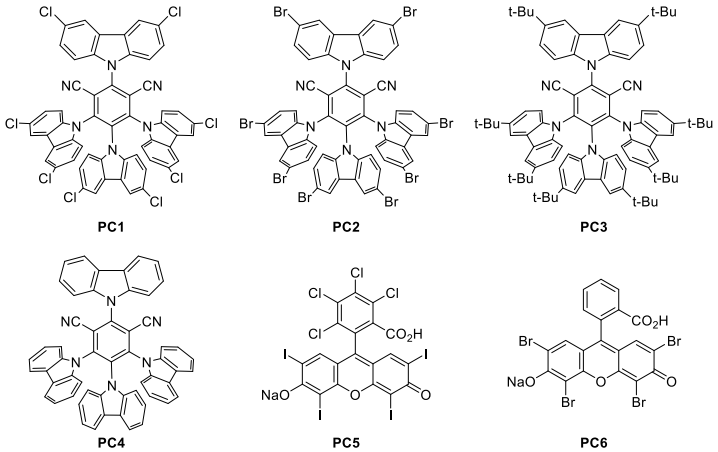
3. Optimization of reaction conditions

Table S1



1a **2a** **3a**

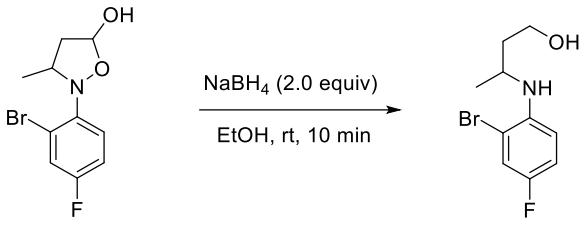
entry	PC	H_2O (equiv)	additive (equiv)	solvent	Yield(%)[b]
1[c]	-	-	-	Et_3N	0
2[d]	**PC1**	-	-	EA	trace
3[d]	**PC1**	-	-	n-Hexane	0
4[d]	**PC1**	-	-	DCM	trace
5[d]	**PC1**	-	-	CH_3CN	0
6[d]	**PC1**	-	-	DMSO	0
7[d]	**PC1**	-	-	NMP	0
8	**PC1**	-	-	Et_3N (0.2 mL)	0
9	**PC1**	-	-	Et_3N (0.5 mL)	31
10	**PC1**	-	-	Et_3N (0.8 mL)	38
11	**PC1**	-	-	Et_3N (1.0 mL)	44
12	**PC2**	-	-	Et_3N (1.0 mL)	32
13	**PC3**	-	-	Et_3N (1.0 mL)	33
14	**PC4**	-	-	Et_3N (1.0 mL)	26
15	**PC5**	-	-	Et_3N (1.0 mL)	0
16	**PC6**	-	-	Et_3N (1.0 mL)	0
17	**PC1**	0.5	-	Et_3N (1.0 mL)	
18	**PC1**	1.0	-	Et_3N (1.0 mL)	74
19	**PC1**	2.0	-	Et_3N (1.0 mL)	38
20	**PC1**	3.0	-	Et_3N (1.0 mL)	27
21	**PC1**	1.0	$CuCl_2$ (1.0)	Et_3N (1.0 mL)	0
22	**PC1**	1.0	$AlCl_3$ (1.0)	Et_3N (1.0 mL)	0
23	**PC1**	1.0	$FeCl_3$ (1.0)	Et_3N (1.0 mL)	0
24	**PC1**	1.0	$NiCl_2$ (1.0)	Et_3N (1.0 mL)	68
25	**PC1**	1.0	$ZnCl_2$ (1.0)	Et_3N (1.0 mL)	52



[a]Reaction conditions: **1a** (0.2 mmol), **2a** (1.0 mL), **PC** (2.0 mol%), and additives in solvent (2.0 mL), 35 W blue LEDs, rt, 12 h, O_2. [b]Yields of isolated products. [c]No **PC** or no light or argon. [d]Et_3N at 2.0 eq.

4. Reduction of product 3a

Reaction of 3a with $NaBH_4$



3x **3xx**

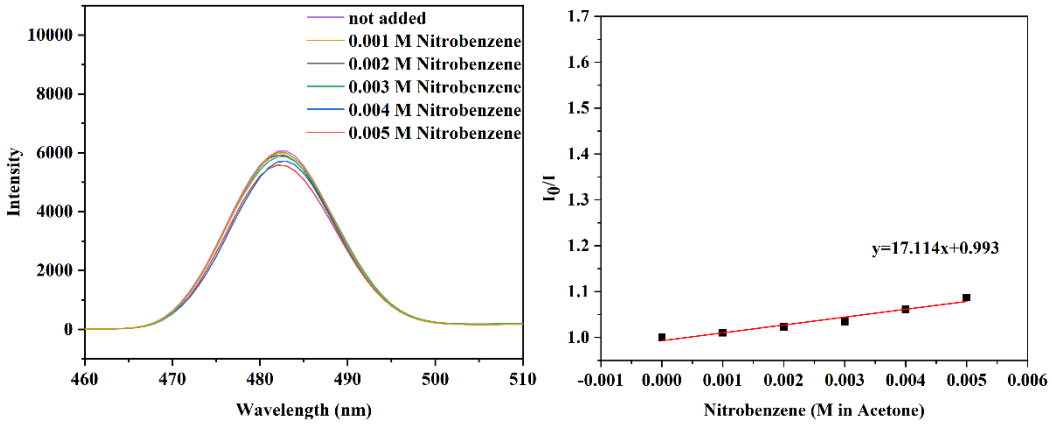
An oven-dried 25 mL Schlenk tube equipped with a magnetic stir bar was charged with **3x** (275.0 mg, 1.0 mmol), dry EtOH (5.0 mL) and 3 equiv $NaBH_4$ (124 mg). Then the reaction mixture was stirred at room temperature for 10 mins until completion as indicated by TLC. The reaction was quenched with saturated aqueous solution of NaCl, extracted with EA (10 mL × 3). The organic extracts were combined, dried over Na_2SO_4, filtered, and concentrated by rotary evaporation. The residue was purified by column chromatography on silica gel (PE/EA = 3:1 to 2:1 v/v) to afford the pure product **3xx** as yellow oil, 83% yield.

5. Mechanistic studies

5.1 Stern–Volmer Quenching

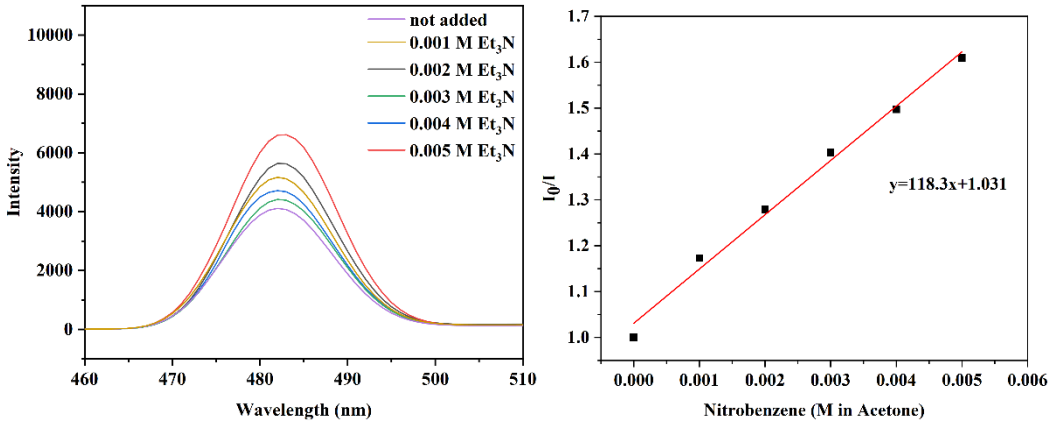
Formulation solution: dissolve nitrobenzene (102 μL) was dissolved in acetone in a 10 mL volumetric flask to set the concentration to be 0.1 M. Triethylamine (139 μL) was dissolved in acetone in a 10 mL volumetric flask to set the concentration to be 0.1 M. **PC1** (2.8 mg) was dissolved in acetone (25.0 mL) to set the concentration to be 0.1 mM. Experimental procedure: The resulting 0.1 M solution (50 μL) was added to cuvette to obtain different concentrations of catalyst solution. This solution was then diluted to a volume of 2.0 mL by adding further solvent (acetone) to prepare a 2.5 μM solution. The resulting mixture was sparged with nitrogen for 3 minutes and then irradiated at 375 nm. Fluorescence emission spectra were recorded (3 trials per sample). Into this solution, 20.0 μL of a nitrobenzene or triethylamine solution was successively added and uniformly stirred, and the resulting mixture was bubbled with nitrogen for 3 minutes and irradiated at 375 nm. Fluorescence emission spectra of 0 μL, 20.0 μL, 40.0 μL, 60.0 μL, 80.0 μL, 100.0 μL, fluorescence intensity. Follow this method and make changes to the amount to obtain the Stern–Volmer relationship in turn.

(a) **PC1** quenched by nitrobenzene in acetone



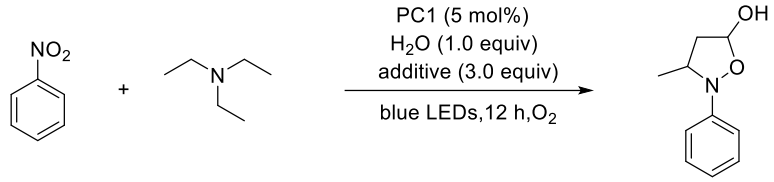
The emission intensity of the **PC1** catalyst solution was less affected by the gradual increase in the amount of nitrobenzene.

(b) **PC1** quenched by Et_3N in acetone



The emission intensity of the **PC1** catalyst solution was strong affected by the gradual increase in the amount of Et_3N.

5.2 Radical trapping experiment



1a 2a 3a

In three oven-dried 10 mL Schlenk tube equipped with a magnetic stir bar was charged with 1a (0.2 mmol, 21 μL), 2a (1.0 mL), **PC1** (0.01 mmol, 6mg), H_2O (0.2 mmol, 4 μL),add separately TEMPO (93.8 mg, 0.6 mmol), BHT (132 mg, 0.6 mmol), 1,1-DPE (106 μL, 0.6 mmol). Then the mixture was stirred at room temperature in oxygen atmosphere (1 atm) under 35 W blue LED light for 12 h. After the reaction was finished, concentrated in vacuum.The residue was purified by silica gel flash column chromatography to afford the desired product .The results are as follows:

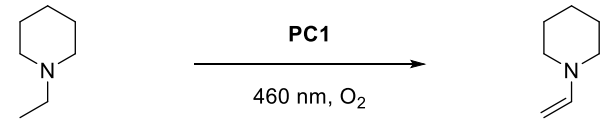
Entry	Additive	Equiv	Yield (%)
1	TEMPO	3.0	n.d.
2	BHT	3.0	67
3	1,1-DPE	3.0	72

Tempo can block the reaction.Then different equivalents of Tempo's were added to the reaction system and the products were assayed and the following results were obtained:

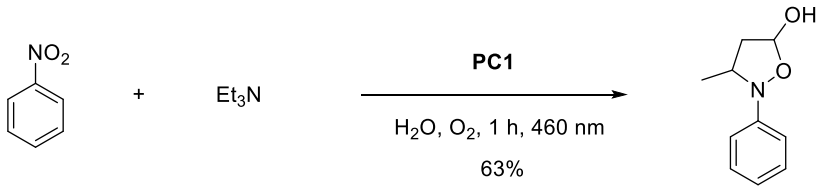
Entry	Additive	Equiv	Yield (%)
1	TEMPO	0.5	37
2	TEMPO	1.0	27
3	TEMPO	1.5	trace
4	TEMPO	2.0	n.d.
5	TEMPO	2.5	n.d.

The results showed that Tempo could block the response in an equivalent amount.

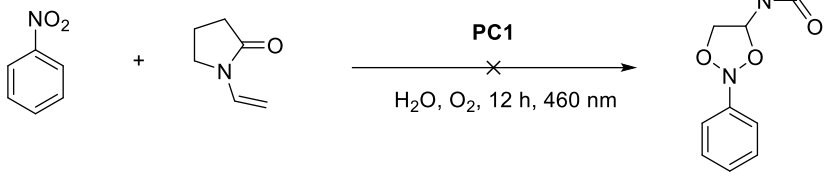
5.3 Control experiments



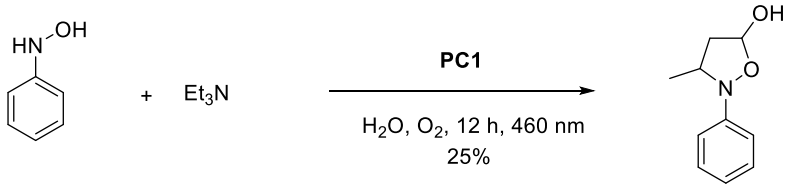
(eq. 1)



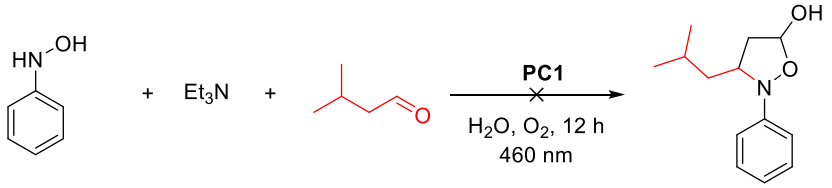
(eq. 2)



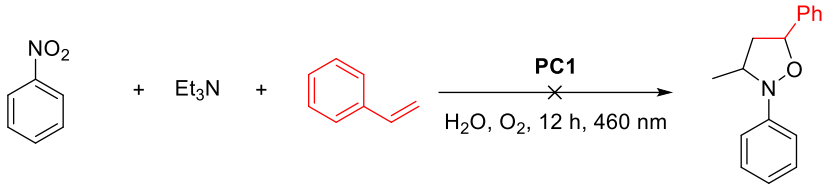
(eq. 3)



(eq. 4)



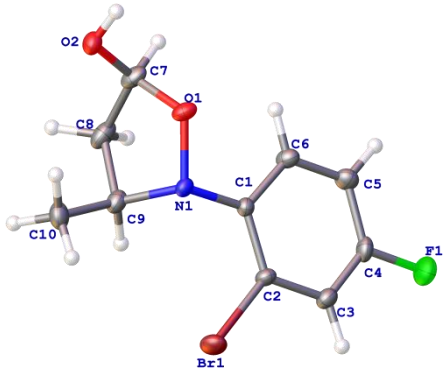
(eq. 5)



(eq. 6)

6. X-Ray crystallographic data for compounds 3x.

Note: Ellipsoid contour % probability level: 50 %.



CCDC NO. 2387577

Method for Crystal preparation

Dissolve 40 mg of the product **3x** in a 10 mL glass tube with dichloromethane/petroleum ether (v/v, 6/1), make the solution saturated at room temperature (10 ℃), seal it with a parafilm, and then place it in a cool and dry place to observe the precipitation rate of **3x**. It takes about 1 day to get the crystal in granular form.

Crystal structure determination

A colorless crystal of **3x** was mounted on a glass fiber at a random orientation. The data were col lected by a diffractometer Rigaku Oxford Diffraction Supernova Dual Source, Cu at Zero equipped with an AtlasS2 CCD using Cu Kα radiation (1.54178 Å) by using a w scan mode.

Crystal details

Table 1 Crystal data and structure refinement for 3x.

Identification code	3x
Empirical formula	$C_{10}H_{11}BrFNO_2$
Formula weight	276.11
Temperature/K	292.99(10)
Crystal system	monoclinic
Space group	$P2_1/c$
a/Å	12.740(3)
b/Å	7.5412(12)
c/Å	12.056(4)
α/°	90
β/°	109.42(3)
γ/°	90
Volume/Å^3	1092.4(5)
Z	4
ρ_{calc}g/cm^3	1.679

μ/mm^{-1}	3.756
F(000)	552.0
Crystal size/mm^3	0.14 × 0.13 × 0.11
Radiation	Mo Kα (λ = 0.71073)
2Θ range for data collection/°	6.378 to 49.998
Index ranges	-15 ≤ h ≤ 15, -8 ≤ k ≤ 7, -14 ≤ l ≤ 10
Reflections collected	4036
Independent reflections	1907 [R_{int} = 0.1039, R_{sigma} = 0.1315]
Data/restraints/parameters	1907/0/149
Goodness-of-fit on F^2	0.952
Final R indexes [I>=2σ (I)]	R_1 = 0.0777, wR_2 = 0.1738
Final R indexes [all data]	R_1 = 0.1695, wR_2 = 0.2287
Largest diff. peak/hole / e Å^{-3}	0.48/-0.61

Crystal structure determination of 3x

Crystal Data for $C_{10}H_{11}BrFNO_2$ (*M* =276.11 g/mol): monoclinic, space group $P2_1/c$ (no. 14), *a* = 12.740(3) Å, *b* = 7.5412(12) Å, *c* = 12.056(4) Å, *β* = 109.42(3) , *V* = 1092.4(5) Å^3,*Z* = 4, *T* = 292.99(10) K, μ(Mo Kα) = 3.756 mm^{-1}, *Dcalc* = 1.679 g/cm^3, 4036 reflections measured (6.378° ≤ 2Θ ≤ 49.998°), 1907 unique (R_{int} = 0.1039, R_{sigma} = 0.1315) which were used in all calculations. The final R_1 was 0.0777 (I > 2σ(I)) and wR_2 was 0.2287 (all data).

Refinement model description

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

Atom	*x*	*y*	*z*	U(eq)
Br1	8172.8(9)	292.0(13)	4028.1(12)	105.7(7)
F1	10311(5)	4782(8)	7196(6)	121(2)
O1	5827(5)	4841(7)	3019(7)	81(2)
O2	4735(9)	5859(18)	1349(11)	88(5)
O2B	6137(10)	7635(16)	2351(13)	93(5)
N1	6682(5)	3494(8)	3182(6)	63.6(18)
C1	7641(6)	3915(10)	4193(7)	59(2)
C2	8397(6)	2585(10)	4687(8)	67(2)
C3	9285(7)	2870(12)	5710(9)	77(3)
C4	9426(8)	4516(14)	6187(9)	83(3)
C5	8691(8)	5860(12)	5730(10)	85(3)
C6	7800(7)	5556(10)	4744(9)	76(3)
C7	5821(8)	6027(15)	2061(9)	91(4)
C8	6729(9)	5374(15)	1653(12)	107(4)
C9	6894(7)	3443(13)	2067(9)	83(3)

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

Atom	*x*	*y*	*z*	U(eq)
C10	6092(8)	2144(15)	1205(9)	103(4)

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

Atom	U_{11}	U_{22}	U_{33}	U_{23}	U_{13}	U_{12}
Br1	121.5(11)	63.8(8)	116.2(13)	-4.7(6)	18.5(7)	19.2(5)
F1	101(4)	146(5)	88(6)	-13(4)	-7(3)	-1(3)
O1	68(4)	75(4)	92(6)	19(3)	15(3)	18(3)
O2	86(9)	109(10)	60(10)	0(7)	12(7)	15(7)
O2B	115(10)	62(9)	102(13)	12(7)	38(8)	2(7)
N1	66(4)	73(4)	50(5)	11(4)	16(3)	13(3)
C1	61(4)	64(5)	50(6)	-2(4)	15(4)	5(4)
C2	65(4)	60(5)	69(7)	8(4)	14(4)	13(4)
C3	74(5)	82(6)	68(7)	13(5)	13(5)	12(4)
C4	80(6)	110(8)	48(7)	-9(6)	7(4)	-7(5)
C5	95(7)	63(5)	93(9)	-2(5)	28(6)	1(5)
C6	66(5)	64(5)	87(8)	3(5)	11(5)	5(4)
C7	66(6)	88(7)	95(10)	19(6)	-7(6)	3(5)
C8	89(7)	132(10)	86(10)	41(7)	11(6)	1(6)
C9	74(6)	105(7)	65(8)	10(6)	14(5)	7(5)
C10	116(8)	129(9)	65(9)	-12(6)	31(6)	-1(6)

Table 4 Bond Lengths for 3x.

Atom	Atom	Length/Å	Atom	Atom	Length/Å
Br1	C2	1.884(8)	C1	C6	1.387(11)
F1	C4	1.371(11)	C2	C3	1.385(12)
O1	N1	1.454(8)	C3	C4	1.355(12)
O1	C7	1.458(12)	C4	C5	1.366(13)
O2	C7	1.370(13)	C5	C6	1.362(12)
O2B	C7	1.289(15)	C7	C8	1.484(16)
N1	C1	1.446(9)	C8	C9	1.530(13)
N1	C9	1.457(12)	C9	C10	1.542(13)
C1	C2	1.381(10)			

Table 5 Bond Angles for 3x.

Atom	Atom	Atom	Angle/°	Atom	Atom	Atom	Angle/°
N1	O1	C7	110.0(7)	C5	C4	F1	120.0(10)
O1	N1	C9	104.7(6)	C6	C5	C4	119.2(9)
C1	N1	O1	110.2(6)	C5	C6	C1	121.0(8)
C1	N1	C9	114.8(7)	O1	C7	C8	105.0(7)
C2	C1	N1	118.5(7)	O2	C7	O1	100.3(10)
C2	C1	C6	118.2(7)	O2	C7	C8	119.8(12)
C6	C1	N1	123.2(7)	O2B	C7	O1	116.3(11)
C1	C2	Br1	119.9(6)	O2B	C7	C8	101.2(10)
C1	C2	C3	121.1(8)	C7	C8	C9	104.3(9)
C3	C2	Br1	118.8(6)	N1	C9	C8	103.2(9)
C4	C3	C2	118.3(8)	N1	C9	C10	110.7(8)
C3	C4	F1	117.7(9)	C8	C9	C10	113.3(9)
C3	C4	C5	122.2(9)				

Table 6 Torsion Angles for 3x.

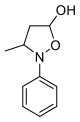
A	B	C	D	Angle/°	A	B	C	D	Angle/°
Br1	C2	C3	C4	-178.8(8)	C1	N1	C9	C10	151.3(7)
F1	C4	C5	C6	-178.2(10)	C1	C2	C3	C4	-3.1(15)
O1	N1	C1	C2	162.0(8)	C2	C1	C6	C5	1.5(14)
O1	N1	C1	C6	-13.2(12)	C2	C3	C4	F1	-179.7(9)
O1	N1	C9	C8	33.8(8)	C2	C3	C4	C5	3.7(16)
O1	N1	C9	C10	-87.7(8)	C3	C4	C5	C6	-1.8(17)
O1	C7	C8	C9	21.8(11)	C4	C5	C6	C1	-0.9(16)
O2	C7	C8	C9	-89.6(13)	C6	C1	C2	Br1	176.3(7)
O2B	C7	C8	C9	143.3(10)	C6	C1	C2	C3	0.6(14)
N1	O1	C7	O2	123.8(9)	C7	O1	N1	C1	102.8(8)
N1	O1	C7	O2B	-112.1(10)	C7	O1	N1	C9	-21.2(8)
N1	O1	C7	C8	-1.1(10)	C7	C8	C9	N1	-34.8(10)
N1	C1	C2	Br1	0.7(12)	C7	C8	C9	C10	84.9(11)
N1	C1	C2	C3	-175.0(9)	C9	N1	C1	C2	-80.0(10)
N1	C1	C6	C5	176.8(9)	C9	N1	C1	C6	104.7(10)
C1	N1	C9	C8	-87.2(8)					

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

Atom	*x*	*y*	*z*	U(eq)
H2	4315.14	6295.5	1686.67	132
H2B	6177.92	8181.44	1759.82	139
H3	9782.12	1935.14	6067.22	92
H5	8799.05	6993.41	6094.64	102
H6	7279.99	6481.41	4429.58	91
H7A	5974.76	7272.84	2355.08	110
H7B	5087.34	5994.41	1412.7	110
H8A	7418.11	6069.59	2009.6	128
H8B	6512.01	5446.78	786.33	128
H9	7681.69	3076.07	2203.16	100
H10A	6215.69	943.28	1533.01	154
H10B	6227.49	2163.53	451.21	154
H10C	5321.68	2501.18	1082.92	154

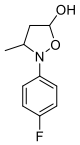
7. Characterization data of all products

3-methyl-2-phenylisoxazolidin-5-ol (3a)



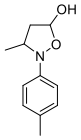
Yield: 26.3 mg, 73%; 1.5:1 d.r.; Yellow oil; R*f*= 0.4 (petroleum ether/ethyl acetate 2:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.36 – 7.22 (m, 4H), 7.17 (d, *J* = 7.6 Hz, 1.5H), 7.09 (d, *J* = 7.7 Hz, 2.8H), 6.97 (t, *J* = 7.3 Hz, 1H), 5.71 – 5.64 (m, 1.5H), 3.94 (m, 1H), 3.49 – 3.38 (m, 0.8H), 2.73 – 2.61 (m, 0.7H), 2.51 – 2.42 (m, 1H), 2.26 – 2.17 (m, 1H), 2.05 – 1.97 (m, 0.8H), 1.41 (d, *J* = 5.9 Hz, 2H), 1.32 (d, *J* = 6.3 Hz, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 151.9, 150.1, 128.9, 128.8, 124.2, 122.1, 118.5, 115.9, 97.3, 96.3, 62.7, 62.7, 59.3, 45.2, 44.7, 19.8, 19.5. HRMS (ESI) m/z calcd for $C_{10}H_{14}NO_2^+$ $(M+H)^+$ 180.1020, found 180.1014.

2-(4-fluorophenyl)-3-methylisoxazolidin-5-ol (3b)



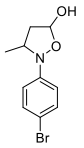
Yield: 30.7 mg, 73%; 1.2:1 d.r.; Yellow oil; R*f*= 0.38 (petroleum ether/ethyl acetate 2:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.22 -7.19 (m, 1.5H), 7.13 – 6.93 (m, 5.7H), 5.67 (dd, *J* = 10.0, 3.5 Hz, 1.6H), 3.94 – 3.77 (m, 1H), 3.27 (dt, *J* = 13.8, 6.8 Hz, 1H), 2.75 (dt, *J* = 13.8, 6.5 Hz, 0.8H), 2.48 (dd, *J* = 13.1, 6.2 Hz, 0.9H), 2.29 – 2.19 (m, 1H), 2.07 – 1.99 (m, 0.9H), 1.33 (d, *J* = 6.3 Hz, 2.7H), 1.29 (d, *J* = 6.2 Hz, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 160.2 (d, J = 241 Hz), 158.9 (d, J = 239 Hz), 147.6, 145.4, 121.3 (d, J = 8 Hz), 118.6 (d, J = 7 Hz), 115.5 (d, J = 22 Hz), 115.3 (d, J = 22 Hz), 97.0, 95.9, 63.5, 60.1, 45.2, 45.0, 18.9, 18.3. ^{19}F NMR (376 MHz, Chloroform-*d*) δ -118.1, -121.4. HRMS (ESI) m/z calcd for $C_{10}H_{13}FNO_2^+$ $(M+H)^+$ 198.0925, found 198.0918.

3-methyl-2-(p-tolyl)isoxazolidin-5-ol (3c)



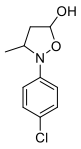
Yield: 22.4 mg, 58%; 1.9:1 d.r.; Yellow oil; R*f*= 0.4 (petroleum ether/ethyl acetate 2:1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.12 (s, 3H), 7.09 (d, *J* = 8.6 Hz, 2H), 7.02 (d, *J* = 8.6 Hz, 2H), 5.67 (d, *J* = 6.2 Hz, 1.7H), 3.89 (h, *J* = 6.4 Hz, 1H), 3.33 (h, *J* = 6.4 Hz, 1H), 2.71 (dt, *J* = 13.8, 7.1 Hz, 0.9H), 2.47 (dd, *J* = 12.7, 6.8 Hz, 1H), 2.29 (s, 5.4H), 2.23 (ddd, *J* = 12.7, 7.6, 5.0 Hz, 1.6H), 2.01 (ddd, *J* = 13.3, 6.8, 2.4 Hz, 1H), 1.36 (d, *J* = 6.4 Hz, 2.4H), 1.30 (d, *J* = 6.4 Hz, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 149.1, 147.2, 134.3, 132.1, 129.4, 129.3, 119.3, 117.1, 97.0, 96.1, 63.2, 59.8, 45.0, 44.9, 20.9, 20.7, 19.1, 18.7. HRMS (ESI) m/z calcd for $C_{11}H_{16}NO_2^+$ $(M+H)^+$ 194.1176, found 194.1165.

2-(4-bromophenyl)-3-methylisoxazolidin-5-ol (3d)



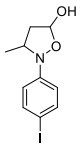
Yield: 29.8 mg, 58%; 1.9:1 d.r.; Yellow oil; R*f*= 0.4 (petroleum ether/ethyl acetate 2:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.40 (d, *J* = 8.5 Hz, 1.2H), 7.34 (d, *J* = 8.6 Hz, 2H), 7.02 (d, *J* = 8.6 Hz, 1.1H), 6.93 (d, *J* = 8.7 Hz, 2H), 5.65 (d, *J* = 3.9 Hz, 1.3H), 3.96 – 3.85 (m, 1H), 3.46 – 3.33 (m, 0.6H), 2.69 – 2.58 (m, 0.6H), 2.46 (dd, *J* = 12.6, 6.9 Hz, 1H), 2.25 – 2.13 (m, 1H), 1.99 (dd, *J* = 13.1, 5.1 Hz, 0.6H), 1.39 (d, *J* = 6.4 Hz, 1.6H), 1.30 (d, *J* = 6.3 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 151.0, 149.2, 131.8, 131.5, 119.9, 117.4, 116.8, 114.3, 97.3, 96.3, 62.9, 59.5, 44.9, 44.3, 19.8, 19.4. HRMS (ESI) m/z calcd for $C_{10}H_{13}BrNO_2^+$ $(M+H)^+$ 258.0125, found 258.0109.

3-(4-chlorophenyl)-3-methylisoxazolidin-5-ol (3e)



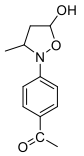
Yield: 23.2 mg, 54%; 1.5:1 d.r.; Yellow oil; R*f*= 0.3 (petroleum ether/ethyl acetate 2:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.23 (dd, *J* = 20.5, 8.9 Hz, 3.4H), 7.09 (d, *J* = 8.8 Hz, 3.4H), 7.00 (d, *J* = 8.9 Hz, 2.1H), 5.71 – 5.64 (m, 1.7H), 3.97 – 3.84 (m, 0.7H), 3.45 – 3.32 (m, 0.7H), 2.70 – 2.59 (m, 1H), 2.51 – 2.42 (m, 1H), 2.25 – 2.14 (m, 0.7H), 2.07 – 1.95 (m, 2H), 1.39 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 150.6, 148.7, 129.2, 128.8, 128.6, 126.9, 119.6, 117.1, 97.3, 96.3, 63.0, 59.6, 45.0, 44.4, 19.8, 19.3. HRMS (ESI) m/z calcd for $C_{10}H_{13}ClNO_2^+$ $(M+H)^+$ 214.0630, found 214.0608.

2-(4-iodophenyl)-3-methylisoxazolidin-5-ol (3f)



Yield: 37.9 mg, 62%; 1.5:1 d.r.; Yellow oil; R*f*= 0.4 (petroleum ether/ethyl acetate 2:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.58 (d, *J* = 8.8 Hz, 1.1H), 7.51 (d, *J* = 8.8 Hz, 2H), 6.89 (d, *J* = 8.8 Hz, 1.1H), 6.81 (d, *J* = 8.8 Hz, 2H), 5.71 – 5.60 (m, 1.4H), 3.89 (dt, *J* = 13.0, 6.5 Hz, 1.2H), 3.47 – 3.35 (m, 0.6H), 2.67 – 2.55 (m, 0.7H), 2.50 – 2.41 (m, 1H), 2.22 – 2.13 (m, 1H), 2.01 – 1.95 (m, 0.5H), 1.40 (d, *J* = 6.4 Hz, 1.6H), 1.30 (d, *J* = 6.3 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 151.7, 150.0, 137.7, 137.4, 120.0, 117.7, 97.3, 96.3, 87.2, 84.4, 62.7, 59.4, 44.1, 19.9, 19.6. HRMS (ESI) m/z calcd for $C_{10}H_{13}INO_2^+$ $(M+H)^+$ 305.9986, found 305.9978.

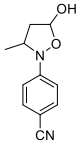
1-(4-(5-hydroxy-3-methylisoxazolidin-2-yl)phenyl)ethan-1-one (3g)



Yield: 27.5 mg, 62%; 4.3:1 d.r.; Yellow oil; R*f*= 0.4 (petroleum ether/ethyl acetate 2:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.86 (d, *J* = 8.8 Hz, 0.7H), 7.80 (d, *J* = 8.9 Hz, 2H), 7.01 (d, *J* = 8.8 Hz, 0.6H), 6.97 (d, *J* = 8.9 Hz, 2H), 5.74 (d, *J* = 5.0 Hz, 1.2H), 4.17 – 4.05 (m, 1H), 2.59 – 2.54 (m, 0.3H), 2.51 (d, *J* = 15.5 Hz, 4.6H), 2.23 – 2.13 (m, 1H), 1.53 (d, *J* = 6.5 Hz, 0.7H), 1.40 (d, *J* = 6.3 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 197.7, 156.3, 129.9, 129.9, 129.2, 114.3, 112.8, 97.6, 96.8, 60.5, 58.3, 44.8, 43.1, 26.4, 26.3, 21.1, 20.9. HRMS (ESI) m/z calcd for $C_{12}H_{16}NO_3^+$ $(M+H)^+$ 222.1125, found 222.1117.

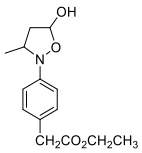
.

4-(5-hydroxy-3-methylisoxazolidin-2-yl)benzonitrile (3h)



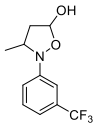
Yield: 29.8 mg, 73%; 4.3:1 d.r.; Yellow oil; R*f*= 0.4 (petroleum ether/ethyl acetate 2:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.54 – 7.49 (m, 0.6H), 7.49 – 7.45 (m, 2H), 7.06 – 7.02 (m, 0.6H), 7.02 – 6.98 (m, 2H), 5.75 – 5.68 (m, 1.1H), 4.13 – 4.01 (m, 1H), 2.58 – 2.51 (m, 1H), 2.24 – 2.14 (m, 1H), 1.53 (d, *J* = 6.5 Hz, 0.6H), 1.40 (d, *J* = 6.4 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 155.6, 133.1, 133.0, 120.0, 114.9, 113.5, 102.2, 97.6, 96.7, 60.4, 58.3, 44.6, 43.0, 21.2, 20.9. HRMS (ESI) m/z calcd for $C_{11}H_{13}N_2O_2^+$ $(M+H)^+$ 205.0972, found 205.0964.

ethyl 2-(4-(5-hydroxy-3-methylisoxazolidin-2-yl)phenyl)acetate (3i)



Yield: 26.5 mg, 50%; 1.4:1 d.r.; Yellow oil; R*f*= 0.45 (petroleum ether/ethyl acetate 2:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.19 (dd, *J* = 16.5, 8.5 Hz, 3.4H), 7.12 (d, *J* = 8.6 Hz, 1.5H), 7.04 (d, *J* = 8.6 Hz, 2H), 5.67 (dd, *J* = 10.0, 3.6 Hz, 1.4H), 4.18 – 4.08 (m, 4H), 3.97 – 3.87 (m, 1H), 3.55 (d, *J* = 9.5 Hz, 3.6H), 3.46 – 3.37 (m, 1H), 2.70 – 2.57 (m, 1H), 2.49 – 2.41 (m, 1H), 2.24 – 2.15 (m, 1H), 2.02 – 1.95 (m, 0.8H), 1.40 (d, *J* = 6.4 Hz, 1.9H), 1.32 (d, *J* = 6.3 Hz, 3H), 1.24 (t, *J* = 7.1 Hz, 7H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 172.1, 151.0, 149.1, 129.6, 127.6, 118.5, 116.1, 97.3, 96.3, 62.7, 61.0, 60.9, 59.4, 45.1, 44.6, 40.8, 40.7, 19.8, 19.4, 14.5. HRMS (ESI) m/z calcd for $C_{14}H_{20}NO_4^+$ $(M+H)^+$ 266.1387, found 266.1405.

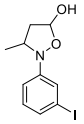
5-methyl-2-(3-(trifluoromethyl)phenyl)isoxazolidin-5-ol (3j)



Yield: 31.7 mg, 50%; 1.8:1 d.r.; Yellow oil; R*f*= 0.4 (petroleum ether/ethyl acetate 2:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.37 (dd, *J* = 13.2, 5.3 Hz, 1.5H), 7.32 (d, *J* = 8.6 Hz, 2.5H), 7.21 – 7.13 (m, 2H), 5.68 (dd, *J* = 9.9, 3.5 Hz, 1.4H), 3.99 (dt, *J* = 13.2, 6.6 Hz, 1H), 3.56 – 3.44 (m, 0.5H), 2.67 – 2.56 (m, 0.6H), 2.52 – 2.43 (m, 1H), 2.24 – 2.15 (m, 1H), 1.45 (d, *J* = 6.4 Hz, 1.6H), 1.33 (d, *J* = 6.3 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 152.5, 150.8, 129.4, 129.2, 120.7, 120.2, 118.2, 118.1, 114.2, 114.2, 112.0, 111.9, 111.9, 97.5, 96.5, 62.7, 59.4, 44.8, 44.0, 20.0, 19.8. ^{19}F NMR (376 MHz,

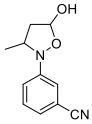
Chloroform-*d*) δ -62.6, -62.6. HRMS (ESI) m/z calcd for $C_{11}H_{13}NO_2^+$ $(M+H)^+$ 204.1020, found 204.1007.

1-(3-iodophenyl)-3-methylisoxazolidin-5-ol (3k)



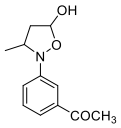
Yield: 35.4 mg, 58%; 1.6:1 d.r.; Yellow oil; R_f = 0.4 (petroleum ether/ethyl acetate 2:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.51 (s, 0.5H), 7.43 (s, 0.9H), 7.37 (d, *J* = 7.6 Hz, 0.6H), 7.29 – 7.21 (m, 1.2H), 7.03 (dd, *J* = 18.2, 8.0 Hz, 1.2H), 6.99 – 6.92 (m, 2.2H), 5.66 (dd, *J* = 12.3, 3.3 Hz, 1.5H), 3.99 – 3.86 (m, 1H), 3.44 (dd, *J* = 13.2, 6.7 Hz, 0.8H), 2.66 – 2.55 (m, 0.6H), 2.51 – 2.41 (m, 1H), 2.21 – 2.13 (m, 1H), 2.02 – 1.94 (m, 0.6H), 1.42 (d, *J* = 6.5 Hz, 1.7H), 1.32 (d, *J* = 6.3 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 153.2, 151.5, 132.6, 130.5, 130.3, 130.2, 126.3, 124.0, 117.0, 114.5, 96.4, 94.5, 94.4, 62.6, 59.2, 44.9, 44.0, 20.0, 19.8. HRMS (ESI) m/z calcd for $C_{10}H_{13}INO_2^+$ $(M+H)^+$ 305.9986, found 305.9968.

2-(5-hydroxy-3-methylisoxazolidin-2-yl)benzonitrile(3l)



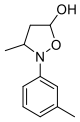
Yield: 26.1 mg, 64%; 3:1 d.r.; Yellow oil; R_f = 0.45 (petroleum ether/ethyl acetate 2:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.38 (s, 0.5H), 7.32 (dt, *J* = 18.1, 7.8 Hz, 2H), 7.22 – 7.15 (m, 2H), 5.72 (t, *J* = 5.5 Hz, 1.2H), 4.03 – 3.92 (m, 1.2H), 2.51 (dd, *J* = 12.7, 7.2 Hz, 1H), 2.25 – 2.14 (m, 1H), 1.48 (d, *J* = 6.5 Hz, 0.9H), 1.39 (d, *J* = 6.3 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 153.2, 129.7, 129.4, 126.5, 124.6, 121.2, 119.9, 119.3, 118.6, 118.0, 112.6, 112.2, 97.6, 96.5, 62.2, 44.7, 43.6, 20.7, 20.2. HRMS (ESI) m/z calcd for $C_{11}H_{13}N_2O_2^+$ $(M+H)^+$ 205.0972, found 205.0989.

1-(3-(5-hydroxy-3-methylisoxazolidin-2-yl)phenyl)ethan-1-one (3m)



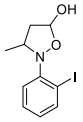
Yield: 25.7 mg, 64%; 1.9:1 d.r.; Yellow oil; R_f = 0.3 (petroleum ether/ethyl acetate 1:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.68 (s, 1H), 7.49 (d, *J* = 7.6 Hz, 1H), 7.40 (dt, *J* = 15.9, 7.4 Hz, 1H), 7.32 (t, *J* = 7.7 Hz, 2H), 7.23 (d, *J* = 8.2 Hz, 1H), 5.77 – 5.69 (m, 1H), 4.07 – 3.96 (m, 1H), 2.70 – 2.60 (m, 1H), 2.58 (d, *J* = 7.0 Hz, 4H), 2.55 – 2.46 (m, 1H), 2.24 – 2.15 (m, 1H), 2.03 (dd, *J* = 14.1, 6.0 Hz, 1H), 1.46 (d, *J* = 6.4 Hz, 1H), 1.38 (d, *J* = 6.3 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 198.9, 198.2, 152.9, 137.7, 137.5, 129.0, 128.9, 123.7, 122.5, 121.8, 119.7, 117.0, 114.3, 97.5, 96.3, 62.3, 59.5, 44.9, 44.2, 26.8, 20.4, 19.8. HRMS (ESI) m/z calcd for $C_{12}H_{16}NO_3^+$ $(M+H)^+$ 222.1125, found 222.1137.

3-methyl-2-(m-tolyl)isoxazolidin-5-ol (3n)



Yield: 19.8 mg, 52%; 1.4:1 d.r.; Yellow oil; R*f* = 0.4 (petroleum ether/ethyl acetate 2:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.22 – 7.12 (m, 2H), 7.03 – 6.95 (m, 1.4H), 6.90 (d, *J* = 11.4 Hz, 2.4H), 6.79 (d, *J* = 7.5 Hz, 1H), 5.67 (dd, *J* = 10.3, 3.8 Hz, 1.5H), 3.99 – 3.88 (m, 1.1H), 3.49 – 3.38 (m, 1H), 2.65 (dt, *J* = 13.9, 7.1 Hz, 0.8H), 2.50 – 2.42 (m, 1H), 2.33 (d, *J* = 4.0 Hz, 5H), 2.24 – 2.15 (m, 1.3H), 2.04 – 1.96 (m, 0.9H), 1.40 (d, *J* = 6.4 Hz, 2H), 1.30 (d, *J* = 6.3 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 151.7, 150.0, 138.7, 138.5, 128.7, 128.6, 125.1, 123.1, 119.1, 116.7, 115.6, 113.2, 97.2, 96.3, 62.7, 59.2, 44.6, 21.8, 21.7, 19.6, 19.4. HRMS (ESI) m/z calcd for $C_{11}H_{16}NO_2^+$ $(M+H)^+$ 194.1176, found 194.1165.

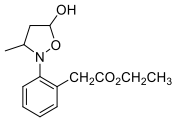
1-(2-iodophenyl)-3-methylisoxazolidin-5-ol (3o)



Yield: 31.6 mg, 51%; 1.0:1 d.r.; Yellow oil; R*f* = 0.4 (petroleum ether/ethyl acetate 2:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.86 – 7.76 (m, 2H), 7.69 (dd, *J* = 8.1, 1.3 Hz, 1H), 7.36 – 7.27 (m, 2H), 7.24 – 7.16 (m, 1H), 6.91 – 6.81 (m, 2H), 5.94 – 5.84 (m, 1H), 5.80 (dd, *J* = 5.2, 3.7 Hz, 1H), 4.07 – 3.98 (m, 1H), 3.68 – 3.53 (m, 1H), 2.57 (dt, *J* = 13.5, 6.9 Hz, 1H), 2.44 – 2.33 (m, 1H), 2.25 (dt, *J* = 13.0, 5.2 Hz, 1H), 2.03 – 1.92 (m, 1H), 1.48 (d, *J* = 6.6 Hz, 2H), 1.22 (d, *J* = 6.5 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 150.8, 150.4, 139.9, 139.4, 129.0, 128.9, 127.4, 126.9, 123.3, 118.5, 116.1, 98.1, 92.5, 62.9, 43.2, 18.9, 18.0. HRMS (ESI) m/z calcd for $C_{10}H_{13}INO_2^+$ $(M+H)^+$ 305.9986, found 305.9972

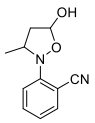
.

ethyl 2-(2-(5-hydroxy-3-methylisoxazolidin-2-yl)phenyl)acetate (3p)



Yield: 13.3 mg, 25%; 2.1:1 d.r.; Yellow oil; R*f* = 0.3 (petroleum ether/ethyl acetate 1:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.33 – 7.25 (m, 3.2H), 7.22 (td, *J* = 7.3, 1.7 Hz, 1.4H), 5.48 (d, *J* = 4.7 Hz, 0.5H), 4.22 – 4.07 (m, 0.9H), 3.94 – 3.68 (m, 2.8H), 3.57 – 3.44 (m, 3.3H), 2.85 (dt, *J* = 13.5, 6.5 Hz, 1.1H), 2.02 – 1.93 (m, 1H), 1.26 (d, *J* = 7.1 Hz, 1H), 1.22 (t, *J* = 7.2 Hz, 3H), 1.18 (d, *J* = 6.2 Hz, 2.7H), 1.14 (d, *J* = 6.3 Hz, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.6, 132.9, 131.4, 128.1, 128.0, 127.1, 125.6, 120.1, 97.0, 95.7, 61.1, 60.9, 59.0, 58.2, 46.9, 45.1, 38.4, 37.7, 17.8, 16.9, 14.3, 14.3. HRMS (ESI) m/z calcd for $C_{14}H_{20}NO_4^+$ $(M+H)^+$ 266.1387, found 266.1380.

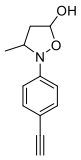
5-(5-hydroxy-3-methylisoxazolidin-2-yl)benzonitrile (3q)



Yield: 24.5 mg, 25%; 3.0:1 d.r.; Yellow oil; R*f* = 0.3 (petroleum ether/ethyl acetate 2:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.41 – 7.28 (m, 3H), 7.22 – 7.15 (m, 2H), 5.71 (d, *J* = 4.8 Hz, 1.3H), 4.03 – 3.93 (m, 1.2H), 2.55 – 2.47 (m, 1H), 2.24 – 2.14 (m, 1H), 2.07 – 2.00 (m, 0.6H), 1.48 (d, *J* = 6.5 Hz, 1H),

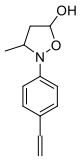
1.39 (d, *J* = 6.3 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 153.2, 129.7, 129.5, 126.5, 124.6, 121.3, 119.9, 119.3, 118.7, 118.1, 112.2, 97.6, 96.5, 59.5, 44.8, 43.6, 20.7, 20.3. HRMS (ESI) m/z calcd for $C_{11}H_{13}N_2O_2^+$ $(M+H)^+$ 205.0972, found 205.0965.

2-(4-ethynylphenyl)-3-methylisoxazolidin-5-ol (3r)



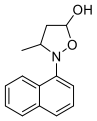
Yield: 19.1 mg, 47%; 2.1:1 d.r.; Yellow oil; R*f* = 0.3 (petroleum ether/ethyl acetate 2:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.42 (d, *J* = 8.7 Hz, 0.8H), 7.38 (d, *J* = 8.8 Hz, 1.8H), 7.04 (d, *J* = 8.7 Hz, 0.8H), 6.96 (d, *J* = 8.8 Hz, 1.7H), 5.72 – 5.64 (m, 1.3H), 4.11 – 3.88 (m, 1H), 3.02 (d, *J* = 11.1 Hz, 1.5H), 2.66 – 2.56 (m, 0.5H), 2.53 – 2.43 (m, 1H), 2.25 – 2.13 (m, 1H), 2.04 – 1.95 (m, 0.5H), 1.45 (d, *J* = 6.5 Hz, 1.3H), 1.34 (d, *J* = 6.3 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 152.4, 132.9, 132.8, 116.8, 116.5, 114.6, 114.5, 97.4, 96.5, 84.1, 76.5, 75.9, 58.9, 44.9, 43.9, 20.2, 20.2. HRMS (ESI) m/z calcd for $C_{12}H_{14}NO_2^+$ $(M+H)^+$ 204.1020, found 204.1007.

3-methyl-2-(4-vinylphenyl)isoxazolidin-5-ol (3s)



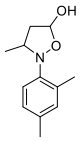
Yield: 19.3 mg, 47%; 1.6:1 d.r.; Yellow oil; R*f* = 0.3 (petroleum ether/ethyl acetate 2:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.35 (d, *J* = 8.6 Hz, 1.3H), 7.32 (d, *J* = 8.6 Hz, 1.9H), 7.11 (d, *J* = 8.5 Hz, 1.2H), 7.02 (d, *J* = 8.6 Hz, 1.9H), 6.72 – 6.59 (m, 1.8H), 5.72 – 5.66 (m, 1.7H), 5.63 (d, *J* = 17.3 Hz, 1.5H), 5.18 (d, *J* = 10.9 Hz, 0.6H), 5.13 (d, *J* = 10.9 Hz, 1H), 4.01 – 3.90 (m, 1H), 3.51 – 3.39 (m, 0.8H), 2.77 – 2.57 (m, 0.8H), 2.47 (dd, *J* = 12.7, 7.0 Hz, 1H), 2.25 – 2.15 (m, 1H), 2.04 – 1.96 (m, 0.8H), 1.41 (d, *J* = 6.4 Hz, 1.8H), 1.32 (d, *J* = 6.3 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 151.6, 136.4, 136.3, 131.5, 126.7, 118.2, 115.7, 112.8, 111.8, 97.4, 96.3, 62.6, 59.2, 45.1, 44.5, 19.8, 19.6. HRMS (ESI) m/z calcd for $C_{12}H_{14}NO_2^+$ $(M+H)^+$ 206.1176, found 206.1165.

3-methyl-2-(naphthalen-1-yl)isoxazolidin-5-ol (3t)



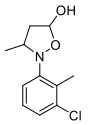
Yield: 24.3 mg, 53%; 1.5:1 d.r.; Yellow oil; R*f* = 0.3 (petroleum ether/ethyl acetate 2:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.42 – 8.34 (m, 0.8H), 8.29 – 8.21 (m, 0.5H), 7.86 – 7.79 (m, 1.5H), 7.65 (t, *J* = 9.5 Hz, 2H), 7.54 – 7.45 (m, 3H), 7.45 – 7.38 (m, 1.5H), 7.34 (d, *J* = 7.3 Hz, 1H), 5.90 – 5.74 (m, 1.4H), 4.14 – 3.99 (m, 0.7H), 3.66 (dt, *J* = 13.3, 6.5 Hz, 1.1H), 2.75 (dt, *J* = 13.6, 7.0 Hz, 1H), 2.55 – 2.41 (m, 0.7H), 2.33 (dt, *J* = 12.6, 5.8 Hz, 0.7H), 2.16 – 2.01 (m, 1.1H), 1.41 (d, *J* = 6.4 Hz, 3H), 1.16 (d, *J* = 6.4 Hz, 1.8H).^{13}C NMR (101 MHz, Chloroform-*d*) δ 134.3, 128.1, 128.0, 126.2, 126.1, 126.0, 125.8, 125.8, 125.6, 125.5, 125.4, 124.0, 123.8, 116.5, 115.3, 97.3, 97.0, 61.4, 60.4, 44.5, 44.4, 18.4, 17.8. HRMS (ESI) m/z calcd for $C_{14}H_{16}NO_2^+$ $(M+H)^+$ 230.1176, found 230.1167.

2-(2,4-dimethylphenyl)-3-methylisoxazolidin-5-ol (3u)



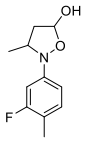
Yield: 12.8 mg, 31%; 1.6:1 d.r.; Yellow oil; R*f*= 0.3 (petroleum ether/ethyl acetate 2:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.48 (d, *J* = 8.1 Hz, 0.6H), 7.17 (d, *J* = 7.9 Hz, 1H), 6.99 (d, *J* = 10.1 Hz, 3.2H), 5.65 (d, *J* = 4.6 Hz, 1.5H), 3.80 – 3.67 (m, 0.6H), 3.36 (dt, *J* = 13.4, 6.7 Hz, 1.2H), 2.75 (dt, *J* = 13.5, 7.0 Hz, 1H), 2.45 – 2.37 (m, 0.7H), 2.35 (s, 2.9H), 2.30 (d, *J* = 8.2 Hz, 7.2H), 2.04 – 1.97 (m, 1H), 1.25 (d, *J* = 6.3 Hz, 3H), 1.10 (d, *J* = 6.3 Hz, 1.9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 145.5, 144.2, 135.8, 133.9, 132.5, 131.6, 131.3, 127.3, 127.0, 122.0, 120.0, 96.5, 96.4, 61.4, 45.3, 45.1, 21.0, 21.0, 18.3, 18.3, 17.6, 17.0. HRMS (ESI) m/z calcd for $C_{12}H_{17}NO_2^+$ $(M+H)^+$ 208.1333, found 208.1330.

2-(3-chloro-2-methylphenyl)-3-methylisoxazolidin-5-ol (3v)



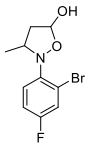
Yield: 19.1 mg, 42%; 1.4:1 d.r.; Yellow oil; R*f*= 0.3 (petroleum ether/ethyl acetate 2:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.53 (d, *J* = 7.7 Hz, 0.6H), 7.19 (dt, *J* = 9.6, 5.1 Hz, 2.4H), 7.11 (t, *J* = 7.9 Hz, 1.6H), 5.78 – 5.67 (m, 1.5H), 3.79 – 3.69 (m, 0.8H), 3.40 – 3.30 (m, 1H), 2.68 (dt, *J* = 13.5, 6.9 Hz, 1H), 2.42 (s, 2.8H), 2.37 (s, 2.2H), 2.27 (dd, *J* = 12.3, 6.3 Hz, 1H), 2.04 – 1.96 (m, 1H), 1.30 (d, *J* = 6.4 Hz, 2.8H), 1.09 (d, *J* = 6.4 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 149.8, 149.0, 135.4, 131.5, 130.1, 126.9, 126.8, 126.5, 126.0, 120.1, 118.2, 96.9, 62.2, 44.4, 17.8, 17.2, 15.5. HRMS (ESI) m/z calcd for $C_{11}H_{15}ClNO_2^+$ $(M+H)^+$ 228.0786, found 228.0778.

2-(3-fluoro-4-methylphenyl)-3-methylisoxazolidin-5-ol (3w)



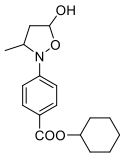
Yield: 22.4 mg, 42%; 1.5:1 d.r.; Yellow oil; R*f*= 0.3 (petroleum ether/ethyl acetate 2:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.06 (dt, *J* = 17.2, 8.4 Hz, 1.8H), 6.88 (dd, *J* = 11.5, 2.0 Hz, 0.7H), 6.84 – 6.78 (m, 1.6H), 6.71 (dd, *J* = 8.2, 2.1 Hz, 1H), 5.66 (dd, *J* = 6.6, 2.9 Hz, 1.6H), 3.94 – 3.84 (m, 1.2H), 3.44 – 3.33 (m, 0.7H), 2.69 – 2.59 (m, 0.7H), 2.51 – 2.41 (m, 1H), 2.21 (s, 2H), 2.20 – 2.17 (m, 3.6H), 2.17 – 2.14 (m, 0.6H), 2.03 – 1.96 (m, 0.8H), 1.39 (d, *J* = 6.4 Hz, 1.9H), 1.31 (d, *J* = 6.3 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 151.7, 151.6, 149.7, 149.6, 131.4, 131.3, 131.2, 120.2, 118.0, 117.8, 113.8, 113.8, 111.2, 111.1, 105.6, 105.4, 103.6, 103.3, 97.4, 96.4, 63.0, 59.7, 45.0, 44.4, 19.7, 19.4, 14.1, 14.1, 14.0, 13.9. ^{19}F NMR (376 MHz, Chloroform-*d*) δ -116.0, -116.5. HRMS (ESI) m/z calcd for $C_{11}H_{15}FNO_2^+$ $(M+H)^+$ 212.1082, found 212.1069.

2-(2-bromo-4-fluorophenyl)-3-methylisoxazolidin-5-ol (3x)



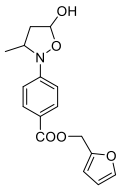
Yield: 28.6 mg, 42%; 1.6:1 d.r.; Yellow oil; R$_f$= 0.3 (petroleum ether/ethyl acetate 2:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.70 (dd, *J* = 9.0, 5.6 Hz, 1H), 7.38 – 7.24 (m, 3.3H), 7.09 – 6.93 (m, 2.4H), 5.84 (d, *J* = 5.1 Hz, 0.9H), 5.79 (dd, *J* = 5.4, 3.3 Hz, 0.9H), 4.05 – 3.94 (m, 1.6H), 3.60 – 3.47 (m, 1H), 2.61 (dt, *J* = 13.6, 6.9 Hz, 1H), 2.46 – 2.36 (m, 1.1H), 2.29 (dt, *J* = 12.9, 5.3 Hz, 1.2H), 2.08 – 1.98 (m, 1.3H), 1.41 (d, *J* = 6.5 Hz, 2.7H), 1.17 (d, *J* = 6.5 Hz, 3.2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 160.9, 158.7, 158.4, 144.5, 144.1, 124.5, 124.4, 122.5, 122.5, 120.6, 120.4, 120.1, 119.8, 118.3, 116.8, 116.7, 115.2, 115.1, 114.9, 114.9, 97.8, 97.7, 63.2, 61.3, 43.8, 43.3, 18.3, 17.6. ^{19}F NMR (376 MHz, Chloroform-*d*) δ -115.4, -116.4. HRMS (ESI) m/z calcd for $C_{10}H_{12}BrFNO_2^+$ $(M+H)^+$ 276.0030, found 275.9992.

cyclohexyl 4-(5-hydroxy-3-methylisoxazolidin-2-yl) benzoate (3y)



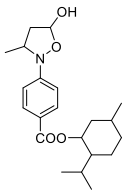
Yield: 28.6 mg, 57%; 2.8:1 d.r.; Yellow oil; R$_f$= 0.4 (petroleum ether/ethyl acetate 2:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.95 (d, *J* = 8.8 Hz, 0.8H), 7.90 (d, *J* = 8.8 Hz, 2.1H), 7.05 (d, *J* = 8.8 Hz, 0.7H), 6.99 (d, *J* = 8.8 Hz, 2H), 5.70 (d, *J* = 4.7 Hz, 1.3H), 5.02 – 4.89 (m, 1.6H), 4.07 (dt, *J* = 13.3, 6.6 Hz, 1.1H), 2.52 (dd, *J* = 12.7, 7.5 Hz, 1.2H), 2.21 – 2.13 (m, 1.1H), 1.97 – 1.71 (m, 6.4H), 1.56 (d, *J* = 9.5 Hz, 4H), 1.38 (d, *J* = 6.3 Hz, 4.2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 166.4, 156.0, 130.7, 122.6, 114.9, 113.2, 97.5, 61.1, 58.5, 44.8, 31.7, 25.5, 23.7, 20.9, 20.7. HRMS (ESI) m/z calcd for $C_{17}H_{24}NO_4^+$ $(M+H)^+$ 212.1082, found 212.1069.

furan-2-ylmethyl 4-(5-hydroxy-3-methylisoxazolidin-2-yl) benzoate (3z)



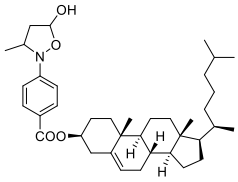
Yield: 38.2 mg, 63%; 3.5:1 d.r.; Yellow oil; R$_f$= 0.45 (petroleum ether/ethyl acetate 2:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.94 (d, *J* = 8.8 Hz, 1H), 7.90 (d, *J* = 8.7 Hz, 2.4H), 7.46 – 7.40 (m, 1.7H), 7.01 (d, *J* = 8.7 Hz, 0.9H), 6.96 (d, *J* = 8.8 Hz, 2.4H), 6.45 (d, *J* = 3.2 Hz, 1.7H), 6.41 – 6.34 (m, 1.7H), 5.69 (t, *J* = 5.0 Hz, 1.5H), 5.26 (d, *J* = 4.5 Hz, 3.7H), 4.11 – 4.01 (m, 1.2H), 2.51 (dd, *J* = 12.6, 7.4 Hz, 1.4H), 2.16 (dt, *J* = 12.3, 5.8 Hz, 1.2H), 1.50 (d, *J* = 6.5 Hz, 1.1H), 1.36 (d, *J* = 6.3 Hz, 3.8H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 166.5, 156.1, 149.9, 143.3, 143.3, 131.0, 114.7, 113.1, 110.8, 110.7, 97.5, 58.4, 58.4, 58.3, 44.8, 21.0, 20.6. HRMS (ESI) m/z calcd for $C_{16}H_{18}NO_5^+$ $(M+H)^+$ 304.1180, found 304.1174.

2-isopropyl-5-methylcyclohexyl 4-(5-hydroxy-3-methylisoxazolidin-2-yl) benzoate (3aa)



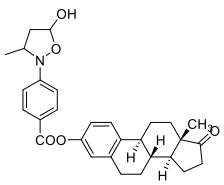
Yield: 50.5 mg, 70%; 2.4:1 d.r.; Yellow oil; R*f*= 0.4 (petroleum ether/ethyl acetate 2:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.96 (d, *J* = 8.6 Hz, 0.6H), 7.92 (d, *J* = 8.7 Hz, 1.4H), 7.00 (d, *J* = 8.8 Hz, 1.4H), 5.72 (t, *J* = 6.3 Hz, 0.9H), 4.94 – 4.84 (m, 1.0H), 4.12 – 4.03 (m, 0.7H), 2.53 (dd, *J* = 12.8, 7.2 Hz, 0.8H), 2.23 – 2.14 (m, 0.7H), 2.10 (d, *J* = 11.9 Hz, 1H), 1.98 – 1.92 (m, 0.8H), 1.72 (d, *J* = 11.3 Hz, 2H), 1.53 (t, *J* = 8.5 Hz, 2.8H), 1.39 (d, *J* = 6.3 Hz, 2H), 1.18 – 1.01 (m, 2.3H), 0.91 (dd, *J* = 6.7, 3.4 Hz, 7H), 0.78 (d, *J* = 7.0 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 166.3, 155.9, 130.7, 130.6, 122.7, 113.1, 97.5, 74.5, 74.4, 58.4, 47.3, 44.8, 41.1, 34.4, 31.4, 26.5, 23.7, 22.1, 20.8, 20.6, 16.6. HRMS (ESI) m/z calcd for $C_{21}H_{32}NO_4^+$ $(M+H)^+$ 362.2326, found 362.2313.

(3S,8S,9S,10R,13R,14S,17R)-10,13-dimethyl-17-((R)-6-methylheptan-2-yl)-2,3,4,7,8,9,10,11,12,13,14,15,16,17-tetradecahydro-1H-cyclopenta[a]phenanthren-3-yl 4-(5-hydroxy-3-methylisoxazolidin-2-yl)benzoate (3ab)



Yield: 79.2 mg, 67%; 1.1:1 d.r.; White solids; R*f*= 0.4 (petroleum ether/ethyl acetate 4:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.93 (d, *J* = 8.6 Hz, 0.8H), 7.88 (d, *J* = 8.6 Hz, 2H), 7.02 (d, *J* = 8.7 Hz, 0.7H), 6.97 (d, *J* = 8.7 Hz, 1.8H), 5.68 (d, *J* = 4.4 Hz, 1.2H), 5.44 – 5.36 (m, 1.5H), 4.88 – 4.74 (m, 1.5H), 4.05 (q, *J* = 6.6 Hz, 1H), 2.51 (dd, *J* = 12.8, 7.4 Hz, 1.2H), 2.44 (d, *J* = 7.7 Hz, 2.9H), 2.20 – 2.09 (m, 1H), 2.07 – 1.78 (m, 8H), 1.78 – 1.64 (m, 1.7H), 1.64 – 1.40 (m, 10.5H), 1.35 (t, *J* = 6.9 Hz, 7.4H), 1.13 (d, *J* = 7.2 Hz, 11.1H), 1.06 (s, 6.2H), 0.99 (dd, *J* = 10.9, 5.0 Hz, 3.7H), 0.92 (d, *J* = 6.4 Hz, 4.9H), 0.90 – 0.83 (m, 9.5H), 0.69 (s, 4.5H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 166.3, 156.0, 139.8, 130.7, 122.8, 122.7, 113.1, 97.5, 74.3, 58.5, 56.7, 56.2, 50.0, 44.8, 42.3, 39.6, 38.3, 37.1, 36.7, 36.2, 35.9, 32.0, 31.9, 28.3, 28.1, 24.3, 23.9, 22.9, 22.6, 21.1, 20.7, 19.4, 18.8, 11.9. HRMS (ESI) m/z calcd for $C_{38}H_{58}NO_4^+$ $(M+H)^+$ 592.4360, found 592.4380.

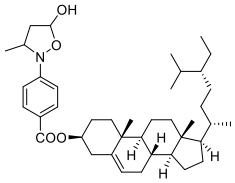
(8R,9S,13S,14S)-13-methyl-17-oxo-7,8,9,11,12,13,14,15,16,17-decahydro-6H-cyclopenta[a]phenanthren-3-yl 4-(5-hydroxy-3-methylisoxazolidin-2-yl)benzoate (3ac)



Yield: 45.6 mg, 67%; 1.1:1 d.r.; White solids; R*f*= 0.4 (petroleum ether/ethyl acetate 2:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.08 (d, *J* = 8.7 Hz, 0.7H), 8.04 (d, *J* = 8.7 Hz, 2H), 7.29 (q, *J* = 7.5, 6.6 Hz, 1.5H), 7.08 (d, *J* = 8.7 Hz, 0.6H), 7.03 (d, *J* = 8.7 Hz, 2.1H), 6.91 (d, *J* = 6.3 Hz, 3H), 5.69 (d, *J* = 4.4 Hz, 1H), 4.14 – 4.07 (m, 1.2H), 2.96 – 2.87 (m, 2.8H), 2.54 (dd, *J* = 13.0, 7.7 Hz, 1.8H), 2.47 (d, *J* = 8.4

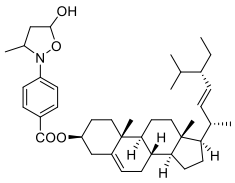
Hz, 0.9H), 2.45 – 2.35 (m, 1.6H), 2.28 (t, *J* = 10.1 Hz, 1.6H), 2.17 (dt, *J* = 14.0, 7.9 Hz, 2.1H), 2.10 (d, *J* = 8.7 Hz, 0.7H), 2.06 – 1.89 (m, 4.8H), 1.68 – 1.58 (m, 2.8H), 1.55 (d, *J* = 6.6 Hz, 1.8H), 1.54 – 1.43 (m, 4.9H), 1.41 (d, *J* = 6.2 Hz, 3.9H), 0.90 (s, 4.6H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 221.7, 165.6, 156.6, 149.0, 138.0, 137.1, 131.4, 126.4, 121.9, 120.8, 114.4, 113.0, 97.6, 58.3, 50.4, 48.1, 44.8, 44.1, 38.0, 36.0, 31.5, 29.4, 26.4, 25.8, 21.6, 20.9, 13.9. HRMS (ESI) m/z calcd for $C_{29}H_{34}NO_5^+$ $(M+H)^+$ 476.2432, found 476.2431.

(3S,8S,9S,10R,13R,14S,17R)-17-((2S,5R)-5-ethyl-6-methylheptan-2-yl)-10,13-dimethyl-2,3,4,7,8,9,10,11,12,13,14,15,16,17-tetradecahydro-1H-cyclopenta[a]phenanthren-3-yl 4-(5-hydroxy-3-methylisoxazolidin-2-yl) benzoate (3ad)



Yield: 87.9 mg, 71%; 1.1:1 d.r.; White solids; R*f* = 0.4 (petroleum ether/ethyl acetate 5:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.93 (d, *J* = 8.7 Hz, 0.9H), 7.88 (d, *J* = 8.5 Hz, 2H), 7.02 (d, *J* = 8.7 Hz, 0.8H), 6.97 (d, *J* = 8.7 Hz, 1.9H), 5.68 (d, *J* = 4.5 Hz, 1.2H), 5.40 (s, 1.3H), 4.09 – 4.02 (m, 1.0H), 2.46 (dd, *J* = 22.5, 7.6 Hz, 3.9H), 2.15 (dd, *J* = 12.5, 5.7 Hz, 1.2H), 2.07 – 1.94 (m, 4.8H), 1.89 (d, *J* = 13.1 Hz, 3.4H), 1.67 (dd, *J* = 12.3, 5.8 Hz, 3.7H), 1.63 – 1.43 (m, 8.7H), 1.36 (d, *J* = 6.2 Hz, 6.7H), 1.26 (dd, *J* = 15.2, 9.5 Hz, 6.8H), 1.06 (s, 7.4H), 0.93 (d, *J* = 6.4 Hz, 6.6H), 0.84 (m, 15H), 0.69 (s, 4H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 166.4, 156.0, 139.8, 130.7, 122.8, 122.7, 122.5, 114.8, 113.2, 97.5, 96.7, 74.3, 61.1, 58.5, 56.7, 56.1, 50.1, 45.9, 44.8, 43.2, 42.4, 39.8, 38.3, 37.1, 36.7, 36.2, 34.0, 32.0, 31.9, 29.2, 28.4, 28.0, 26.1, 24.4, 23.1, 21.1, 20.9, 20.7, 19.9, 19.5, 19.1, 18.9, 12.1, 11.9. HRMS (ESI) m/z calcd for $C_{40}H_{62}NO_4^+$ $(M+H)^+$ 620.4673, found 620.4666.

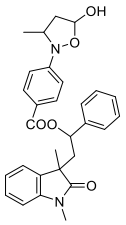
(3S,8S,9S,10R,13R,14S,17R)-17-((2S,5S,E)-5-ethyl-6-methylhept-3-en-2-yl)-10,13-dimethyl-2,3,4,7,8,9,10,11,12,13,14,15,16,17-tetradecahydro-1H-cyclopenta[a]phenanthren-3-yl 4-(5-hydroxy-3-methylisoxazolidin-2-yl) benzoate (3ae)



Yield: 76.5 mg, 62%; 2.4:1 d.r.; White solids; R*f* = 0.4 (petroleum ether/ethyl acetate 3:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.94 (d, *J* = 8.7 Hz, 0.9H), 7.90 (d, *J* = 8.6 Hz, 2.1H), 7.04 (d, *J* = 8.7 Hz, 0.8H), 6.98 (d, *J* = 8.7 Hz, 2H), 5.71 (t, *J* = 5.5 Hz, 1.3H), 5.43 – 5.37 (m, 1.7H), 5.22 – 4.97 (m, 3.4H), 4.13 – 4.01 (m, 1.1H), 2.52 (dd, *J* = 12.7, 7.2 Hz, 1.2H), 2.44 (d, *J* = 7.6 Hz, 3.3H), 2.18 (dt, *J* = 12.3, 6.2 Hz, 1.2H), 2.02 (ddd, *J* = 22.1, 14.4, 10.0 Hz, 7.5H), 1.90 (d, *J* = 13.4 Hz, 1.9H), 1.78 – 1.63 (m, 3.9H), 1.62 – 1.48 (m, 11.4H), 1.48 – 1.40 (m, 3.5H), 1.37 (d, *J* = 6.3 Hz, 3.8H), 1.30 – 1.12 (m, 10.3H), 1.03 (dd, *J* = 16.4, 9.9 Hz, 15H), 0.85 (d, *J* = 6.1 Hz, 5.8H), 0.80 (d, *J* = 6.8 Hz, 8.7H), 0.71 (s, 5.3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 155.9, 139.9, 138.5, 130.7, 129.4, 122.7, 122.7, 113.2, 97.6, 74.3, 58.5, 56.9, 56.0, 51.3, 50.2, 44.9, 42.3, 40.6, 39.7, 37.2, 36.8, 32.0, 29.0, 28.0, 25.5, 24.5, 21.4, 21.2,

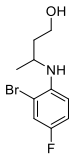
21.1, 20.7, 19.5, 19.1, 12.4, 12.2. HRMS (ESI) m/z calcd for $C_{40}H_{60}NO_4^+$ $(M+H)^+$618.4517, found 618.4518.

2-(1,3-dimethyl-2-oxoindolin-3-yl)-1-phenylethyl 4-(5-hydroxy-3-methylisoxazolidin-2-yl) benzoate (3af)



Yield: 65.1 mg, 67%; 1.3:1 d.r.; White solids; R*f*= 0.4 (petroleum ether/ethyl acetate 1:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.61 (d, *J* = 8.8 Hz, 1.4H), 7.34 (d, *J* = 7.1 Hz, 1H), 7.25 – 7.17 (m, 3H), 7.16 – 7.05 (m, 3H), 7.03 (d, *J* = 7.5 Hz, 0.8H), 6.88 (dd, *J* = 8.9, 2.2 Hz, 1.2H), 6.62 (d, *J* = 7.6 Hz, 0.9H), 5.71 (d, *J* = 6.8 Hz, 1.8H), 4.12 (q, *J* = 7.1 Hz, 0.5H), 4.04 (q, *J* = 6.2 Hz, 0.7H), 2.87 (d, *J* = 5.1 Hz, 3H), 2.64 – 2.57 (m, 1.8H), 2.53 (dt, *J* = 12.5, 7.0 Hz, 1H), 2.18 (dt, *J* = 12.2, 5.9 Hz, 0.7H), 2.04 (s, 0.8H), 1.52 (d, *J* = 6.4 Hz, 0.8H), 1.36 (d, *J* = 3.9 Hz, 4.8H), 1.26 (t, *J* = 7.1 Hz, 1.2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 179.5, 179.5, 165.2, 155.8, 142.9, 133.1, 133.1, 130.7, 128.1, 128.1, 127.8, 126.9, 123.0, 123.0, 122.7, 114.4, 112.9, 112.9, 108.2, 97.5, 96.6, 73.6, 73.5, 60.5, 58.4, 46.7, 43.3, 43.2, 43.2, 26.0, 25.7, 21.1, 20.6, 14.2. HRMS (ESI) m/z calcd for $C_{29}H_{31}N_2O_5^+$ $(M+H)^+$ 487.2227, found 487.2218.

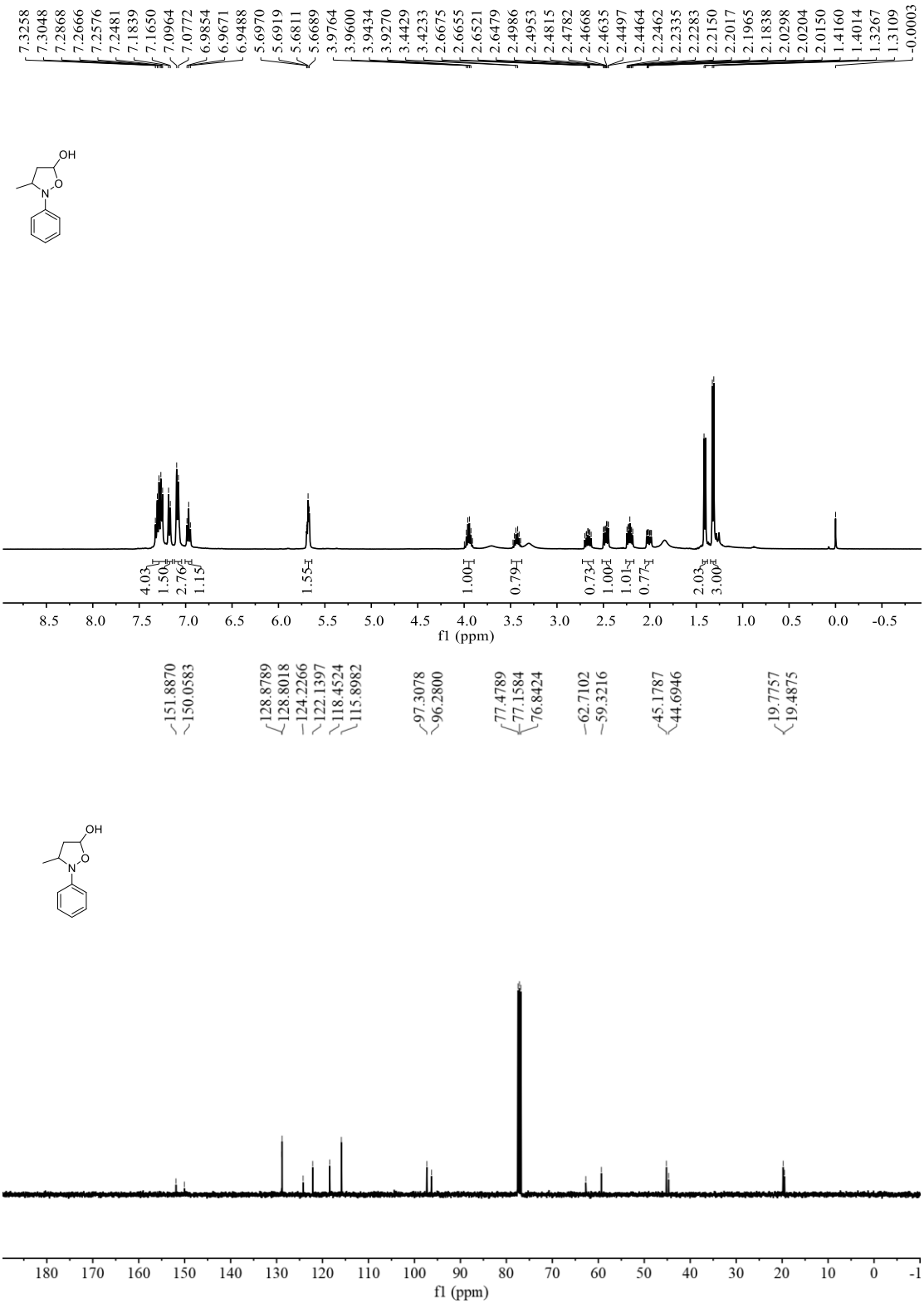
3-((2-bromo-4-fluorophenyl)amino)butan-1-ol (3xx)



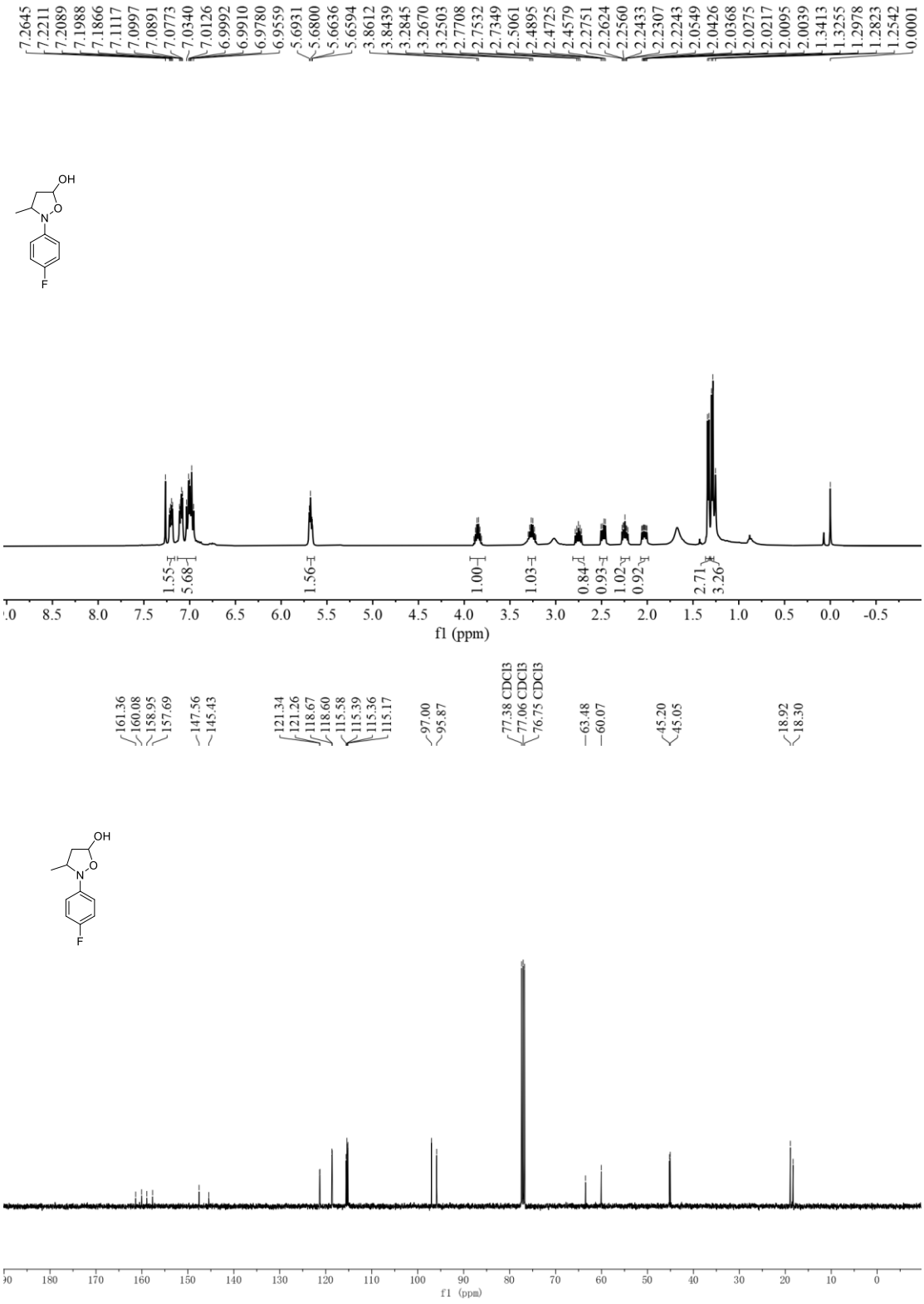
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.58 (dd, *J* = 9.0, 5.7 Hz, 1H), 7.30 – 7.22 (m, 1H), 7.09 – 7.00 (m, 1H), 6.88 (s, 1H), 4.07 (td, *J* = 11.1, 2.4 Hz, 1H), 3.81 (dd, *J* = 7.7, 3.4 Hz, 1H), 3.62 – 3.52 (m, 1H), 2.13 (ddt, *J* = 13.8, 10.1, 5.1 Hz, 1H), 1.68 – 1.61 (m, 1H), 0.98 (d, *J* = 6.5 Hz, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 160.7, 158.2, 145.9, 124.7, 124.7, 120.1, 119.8, 115.9, 115.8, 114.8, 114.6, 62.7, 62.1, 35.4. ^{19}F NMR (376 MHz, $CDCl_3$) δ -116.8.

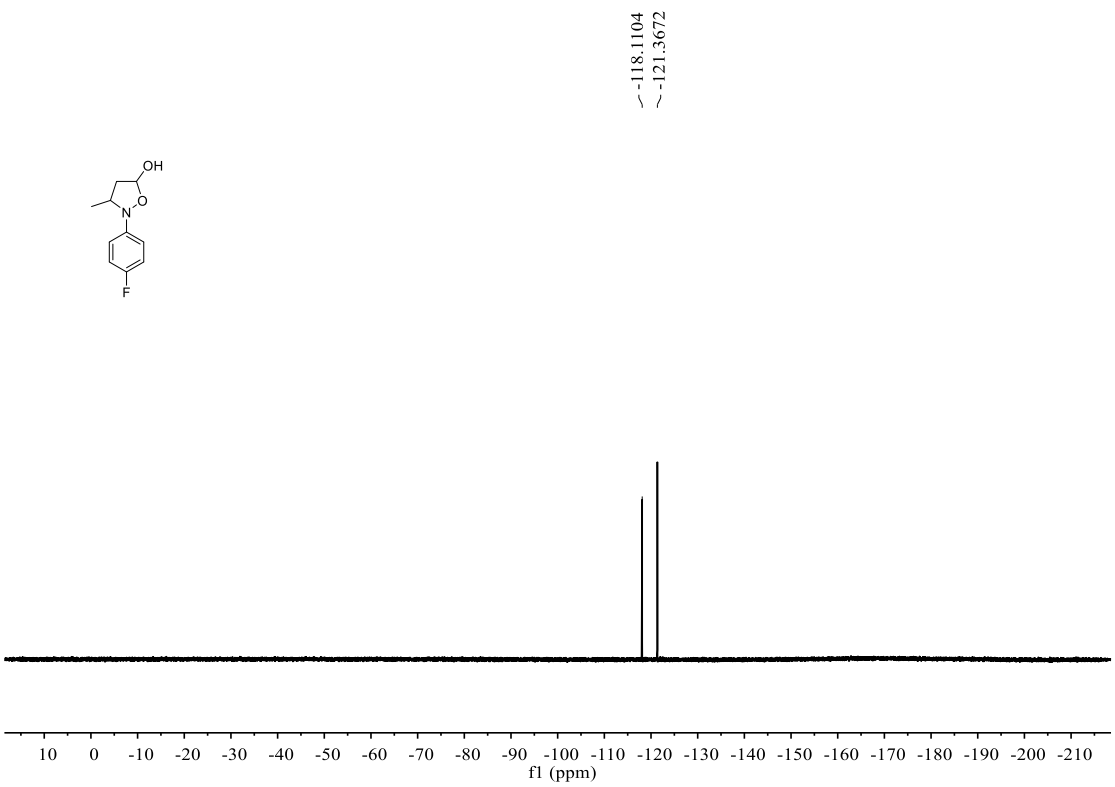
8. Copies of 1H, 13C and 19F NMR spectra of all products

3-methyl-2-phenylisoxazolidin-5-ol (3a)

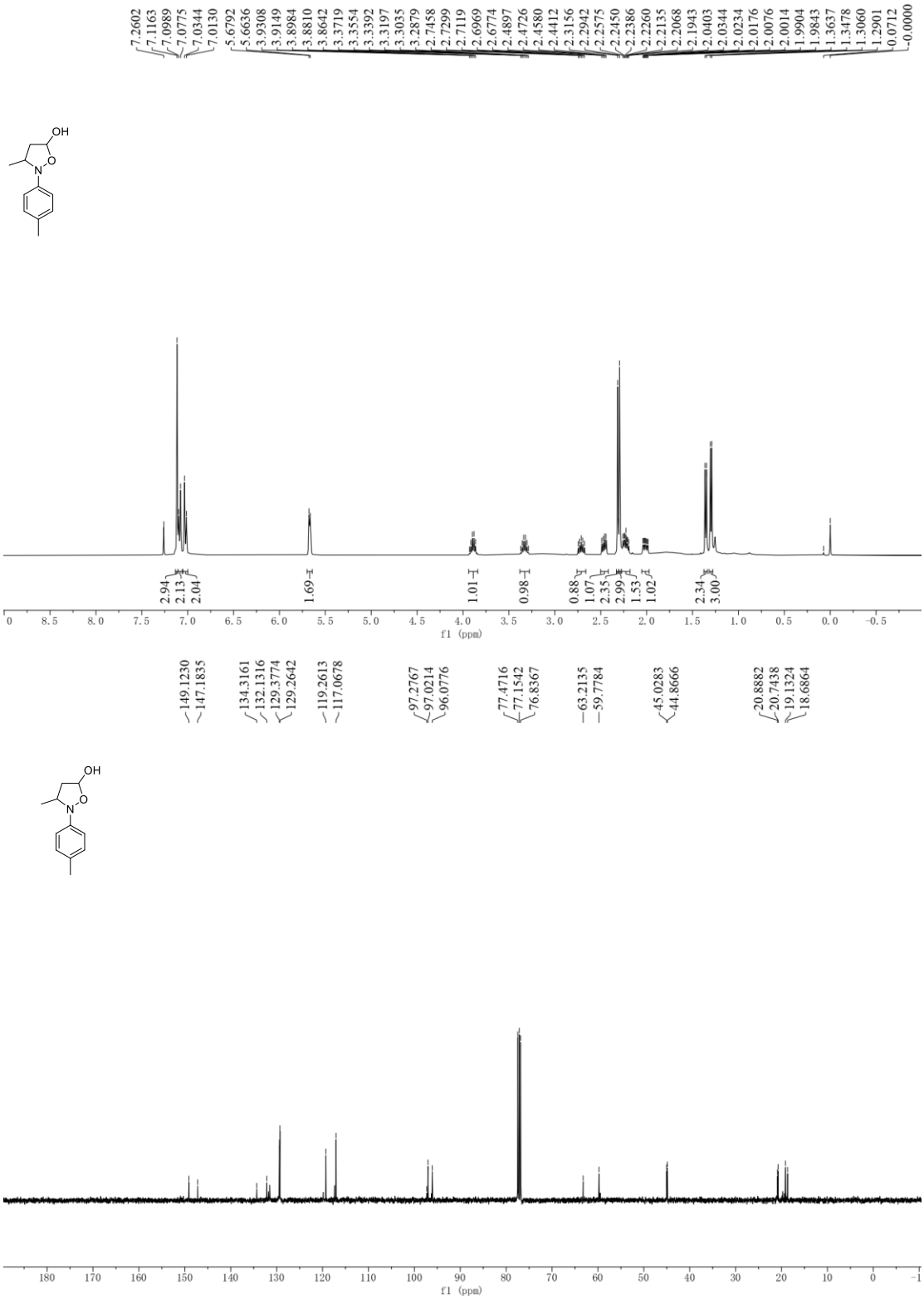


2-(4-fluorophenyl)-3-methylisoxazolidin-5-ol (3b)

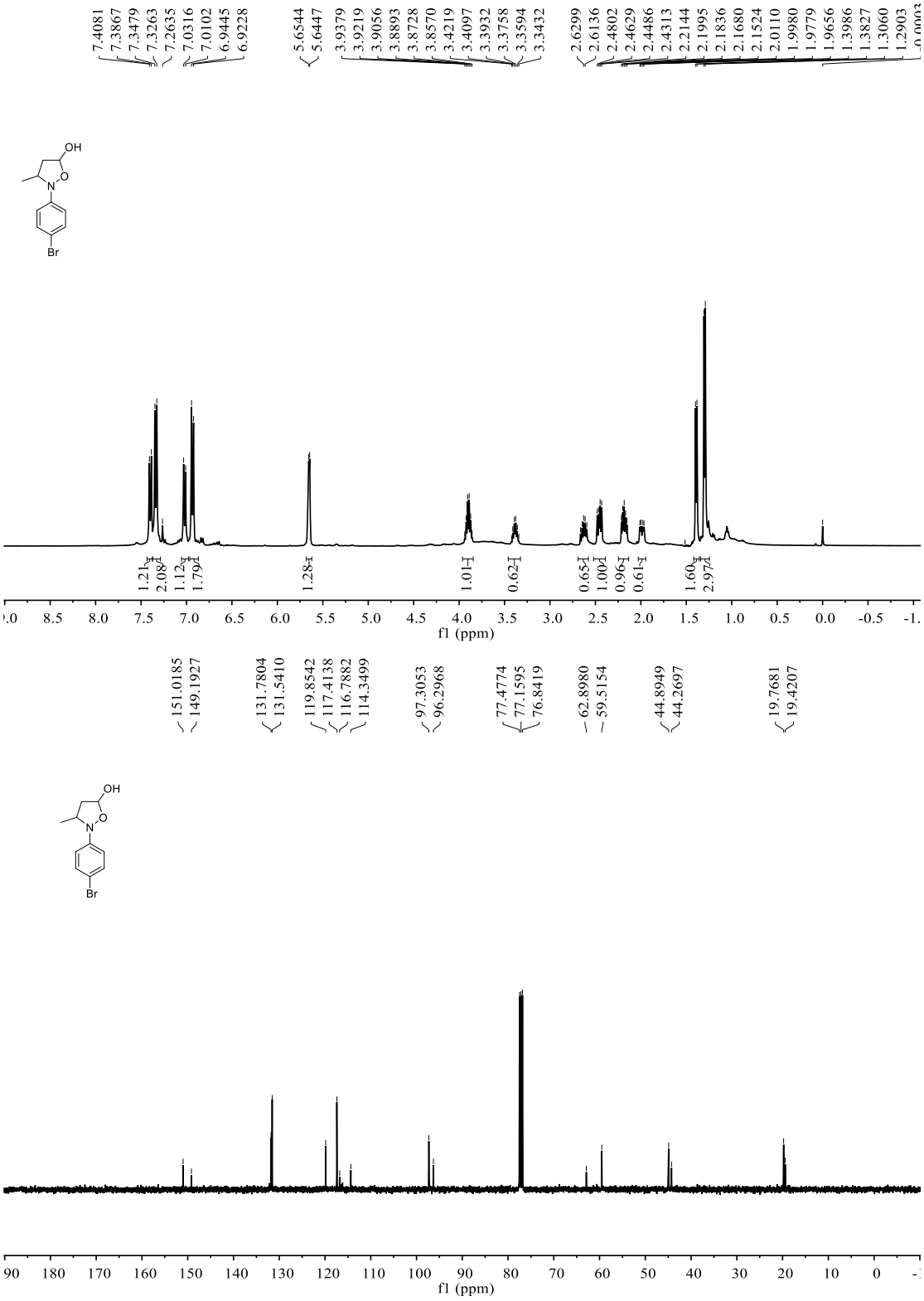



-118.1104
-121.3672
OH
N
O
F
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)

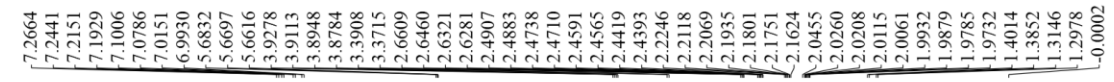
3-methyl-2-(p-tolyl)isoxazolidin-5-ol (3c)

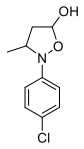


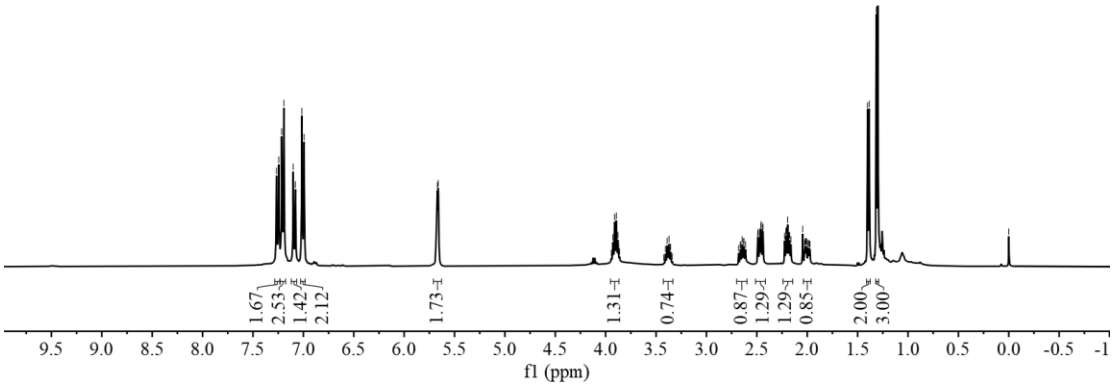
2-(4-bromophenyl)-3-methylisoxazolidin-5-ol (3d)

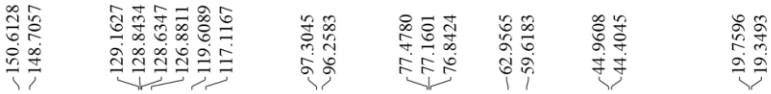


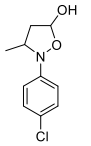
2-(4-chlorophenyl)-3-methylisoxazolidin-5-ol (3e)

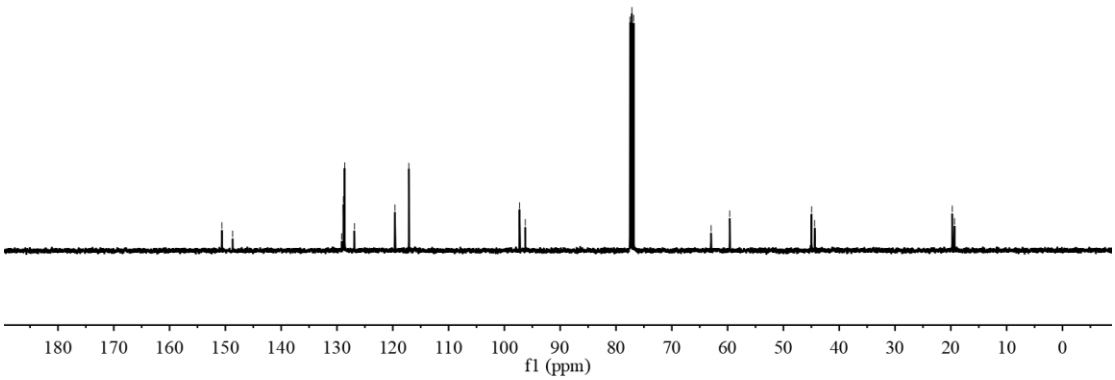




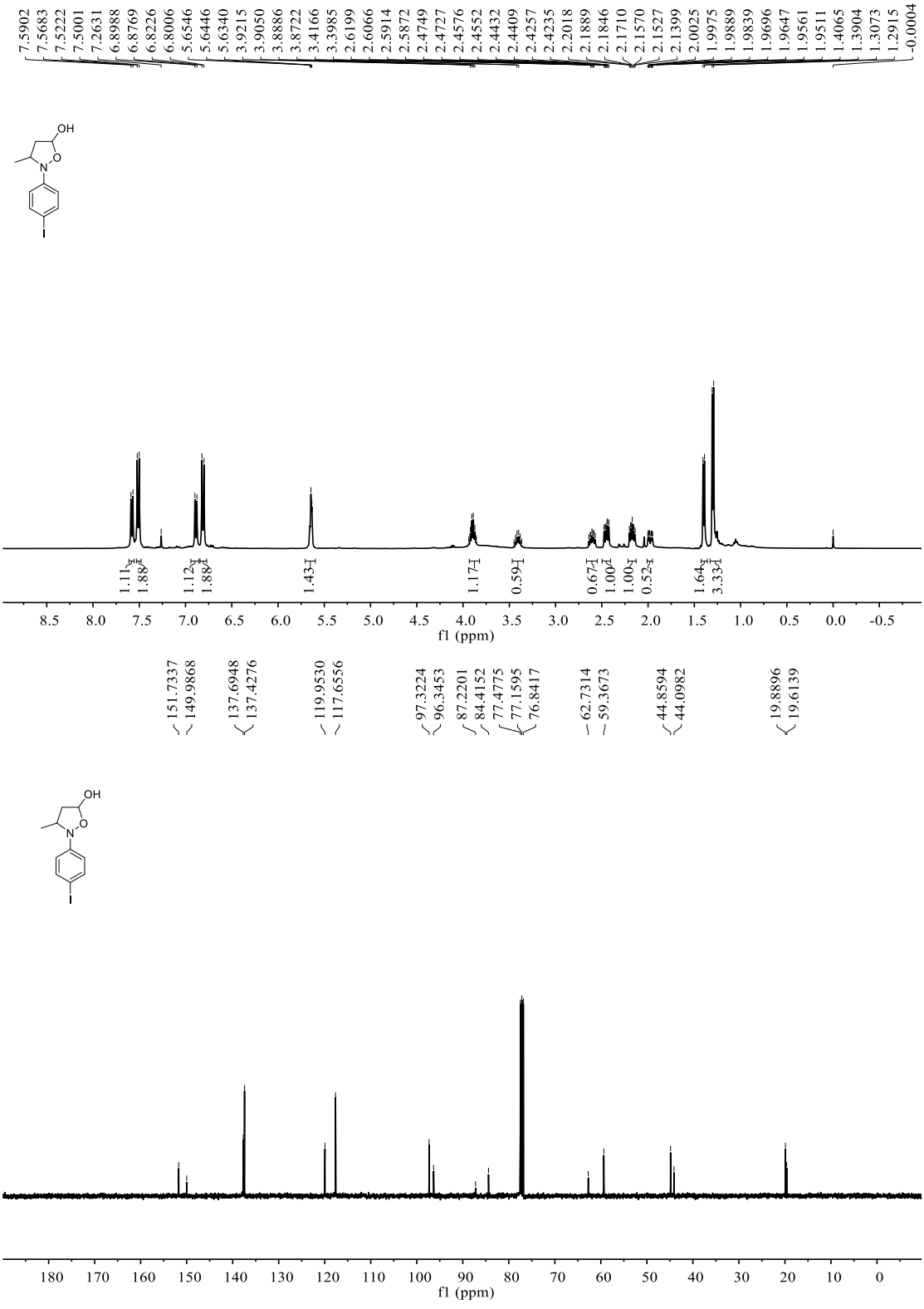




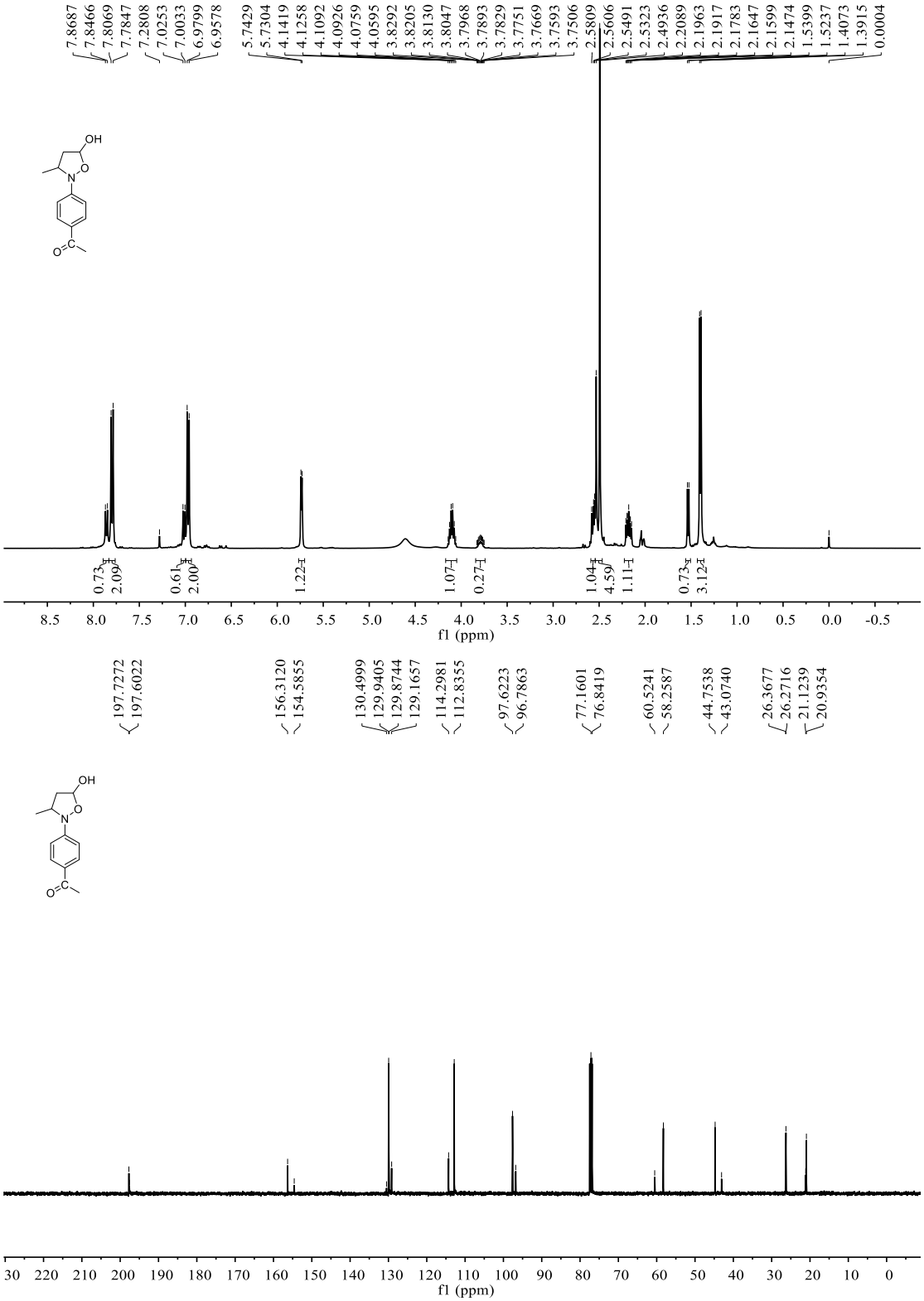




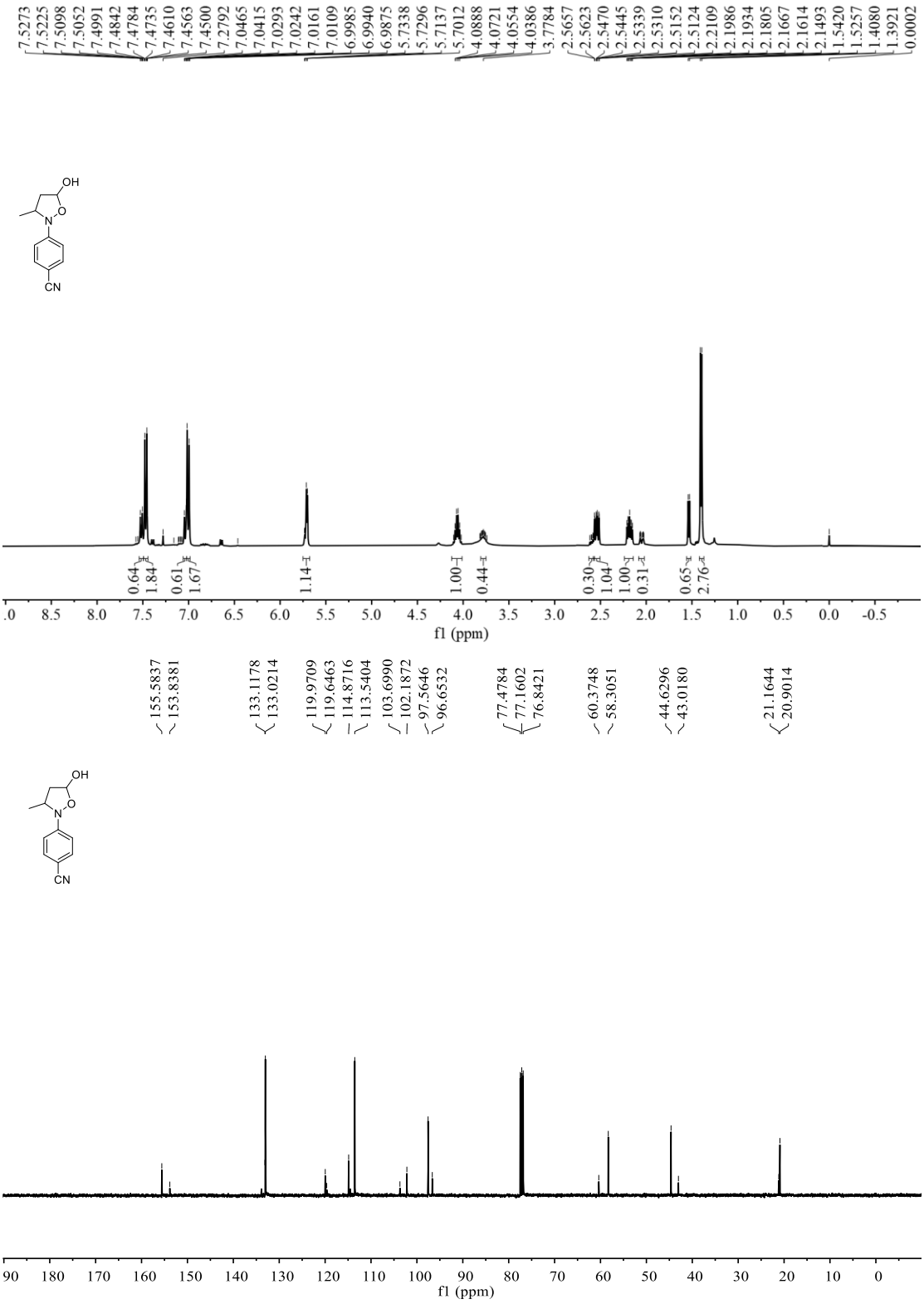
2-(4-iodophenyl)-3-methylisoxazolidin-5-ol (3f)



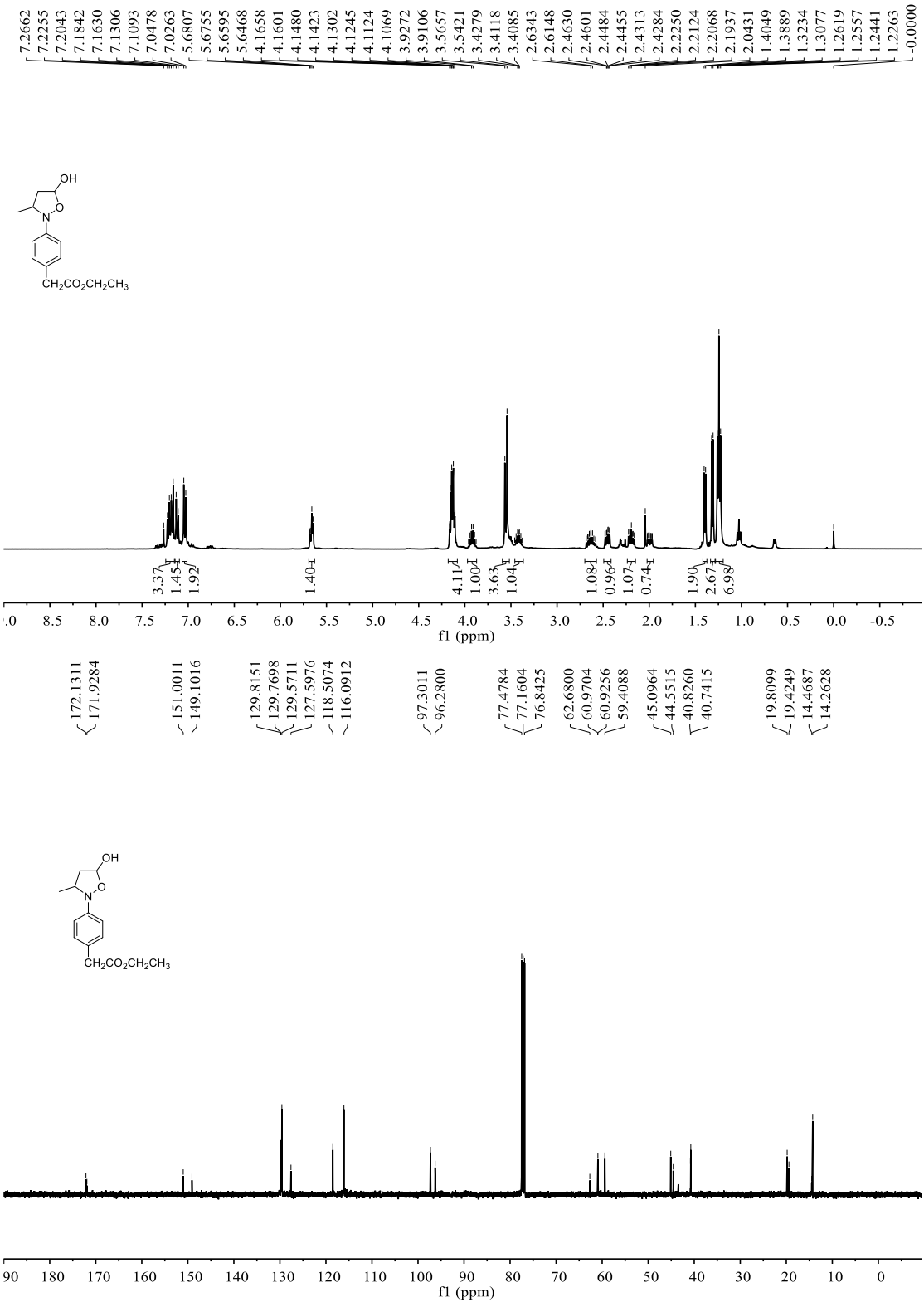
1-(4-(5-hydroxy-3-methylisoxazolidin-2-yl)phenyl)ethan-1-one (3g)



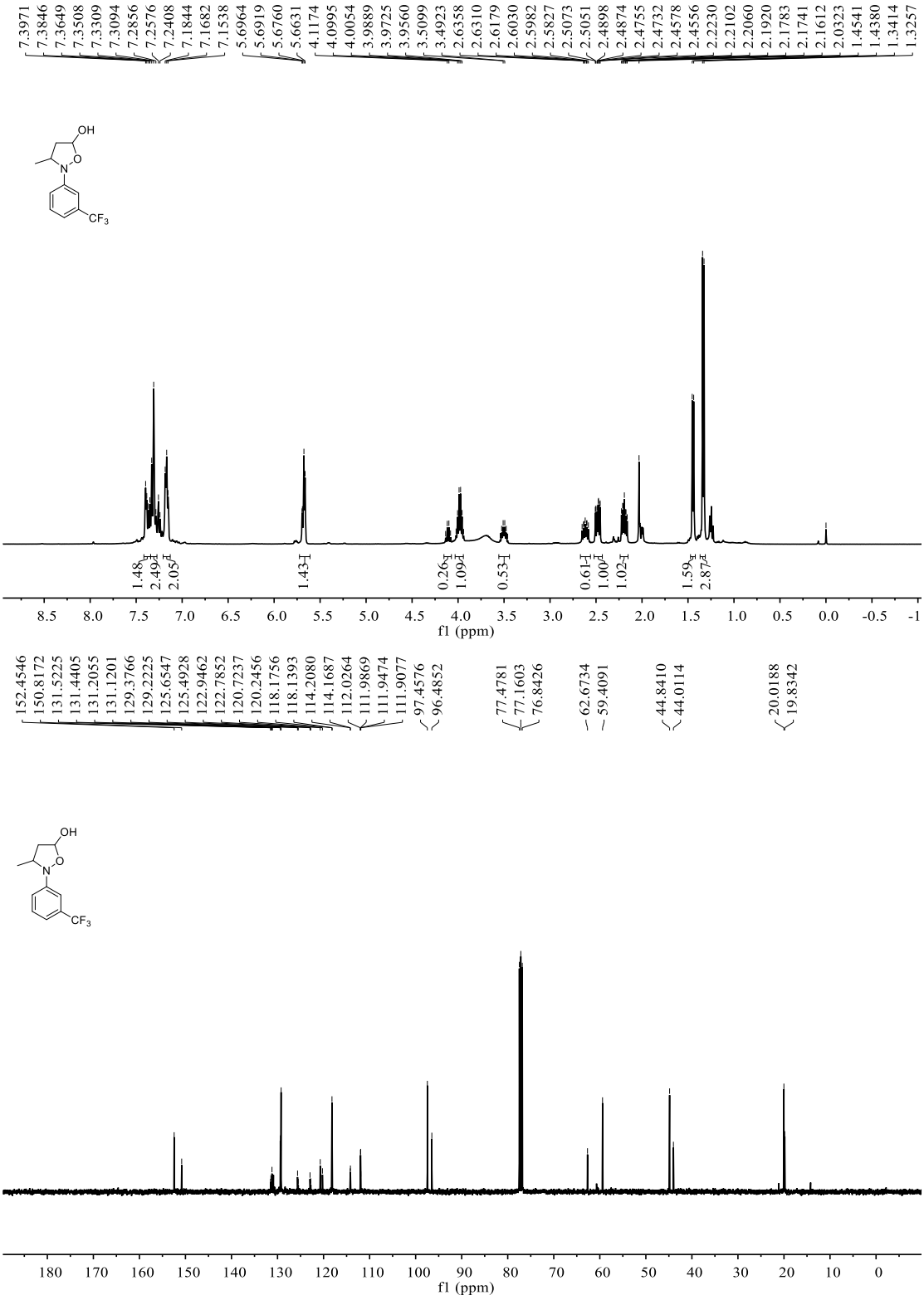
4-(5-hydroxy-3-methylisoxazolidin-2-yl)benzonitrile (3h)

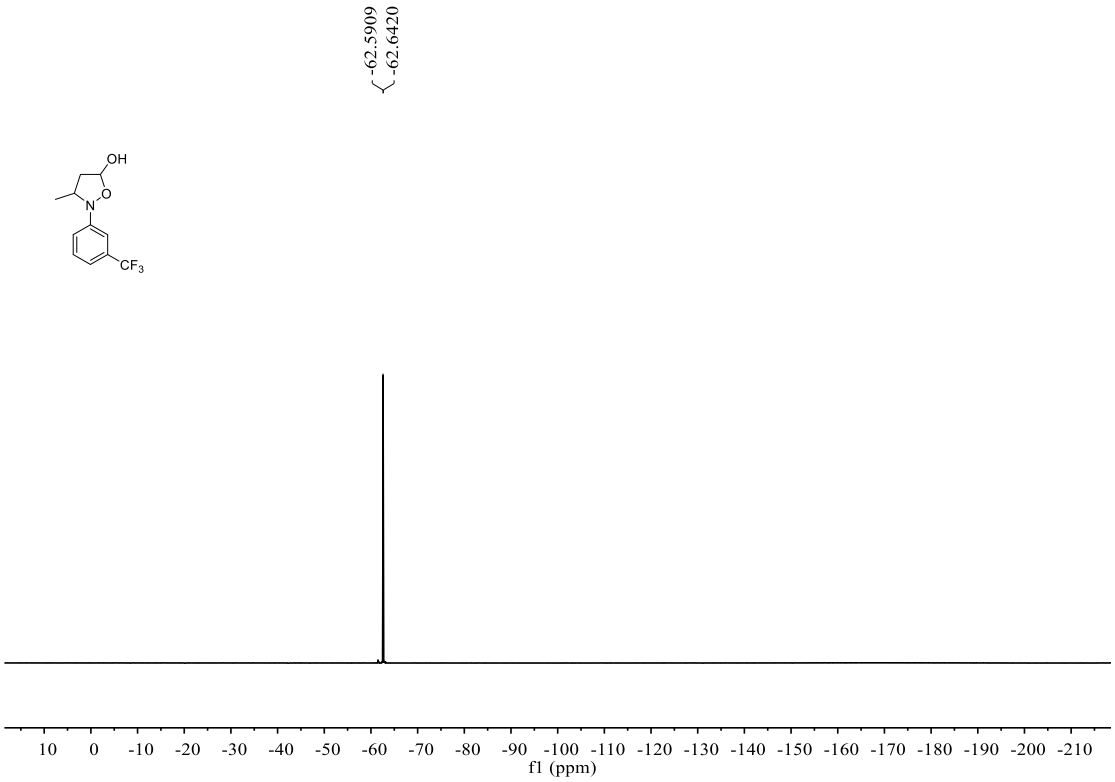


ethyl 2-(4-(5-hydroxy-3-methylisoxazolidin-2-yl)phenyl)acetate (3i)

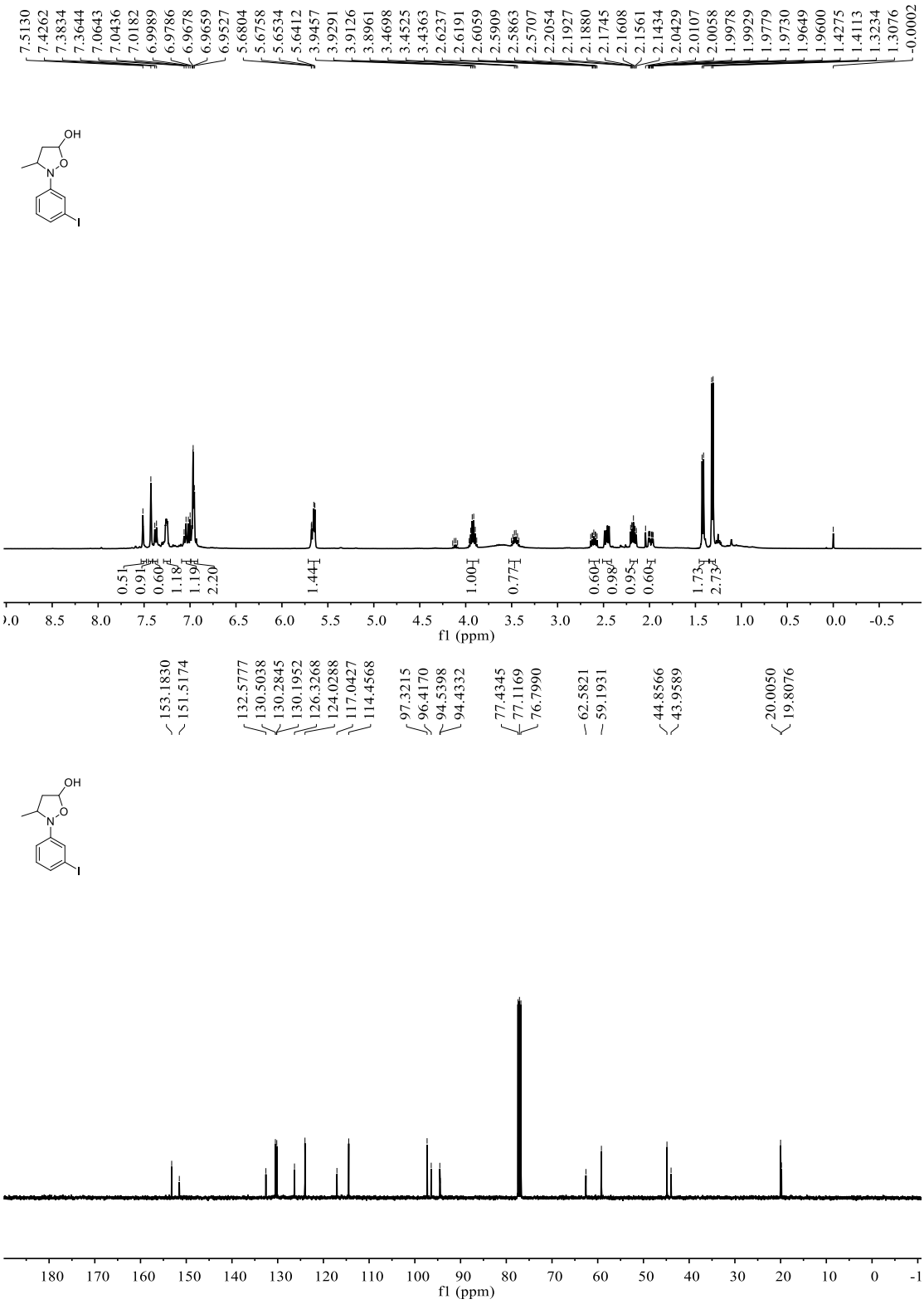


3-methyl-2-(3-(trifluoromethyl)phenyl)isoxazolidin-5-ol (3j)

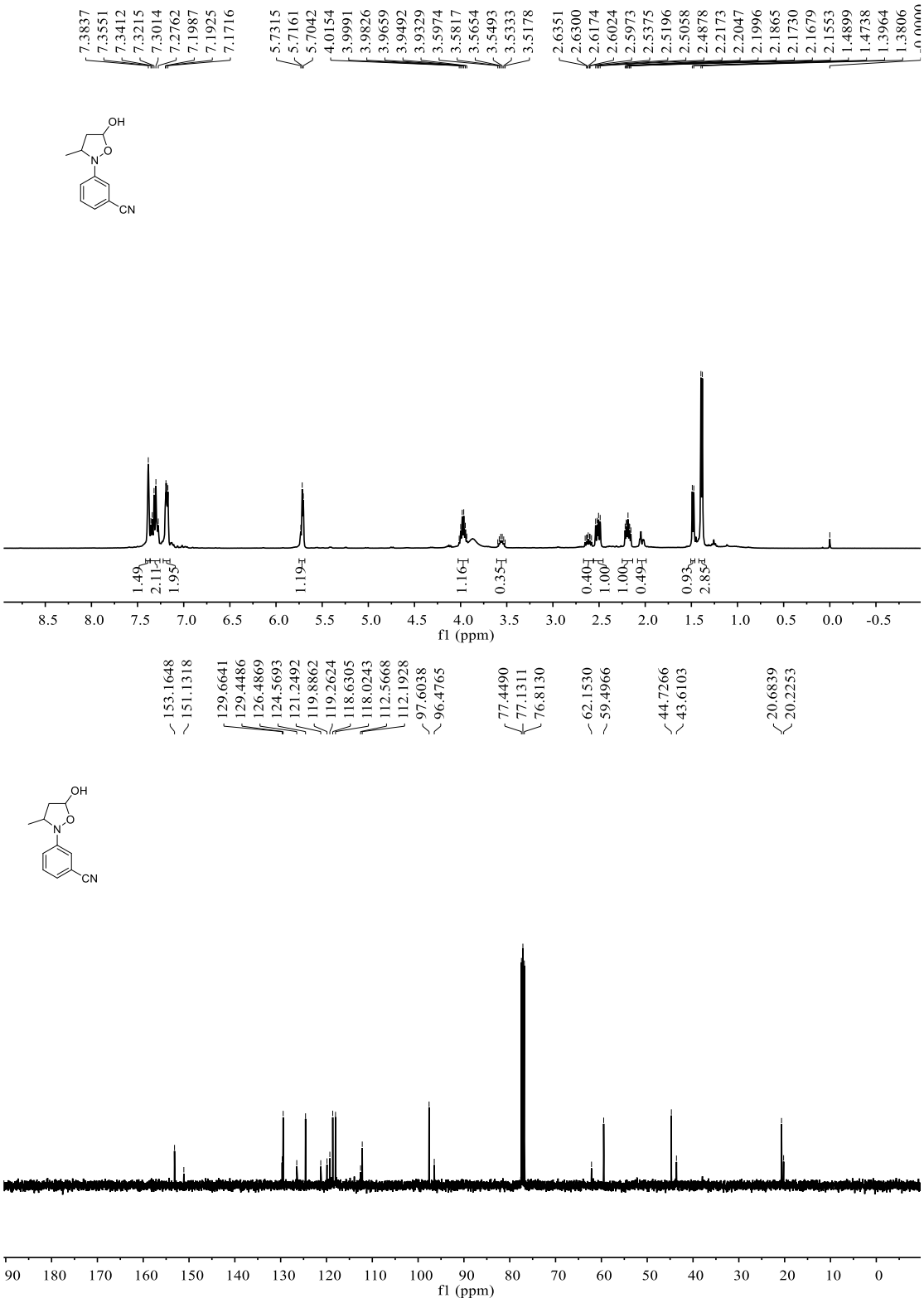



-62.5909
-62.6420
OH
N
O
CF3
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)

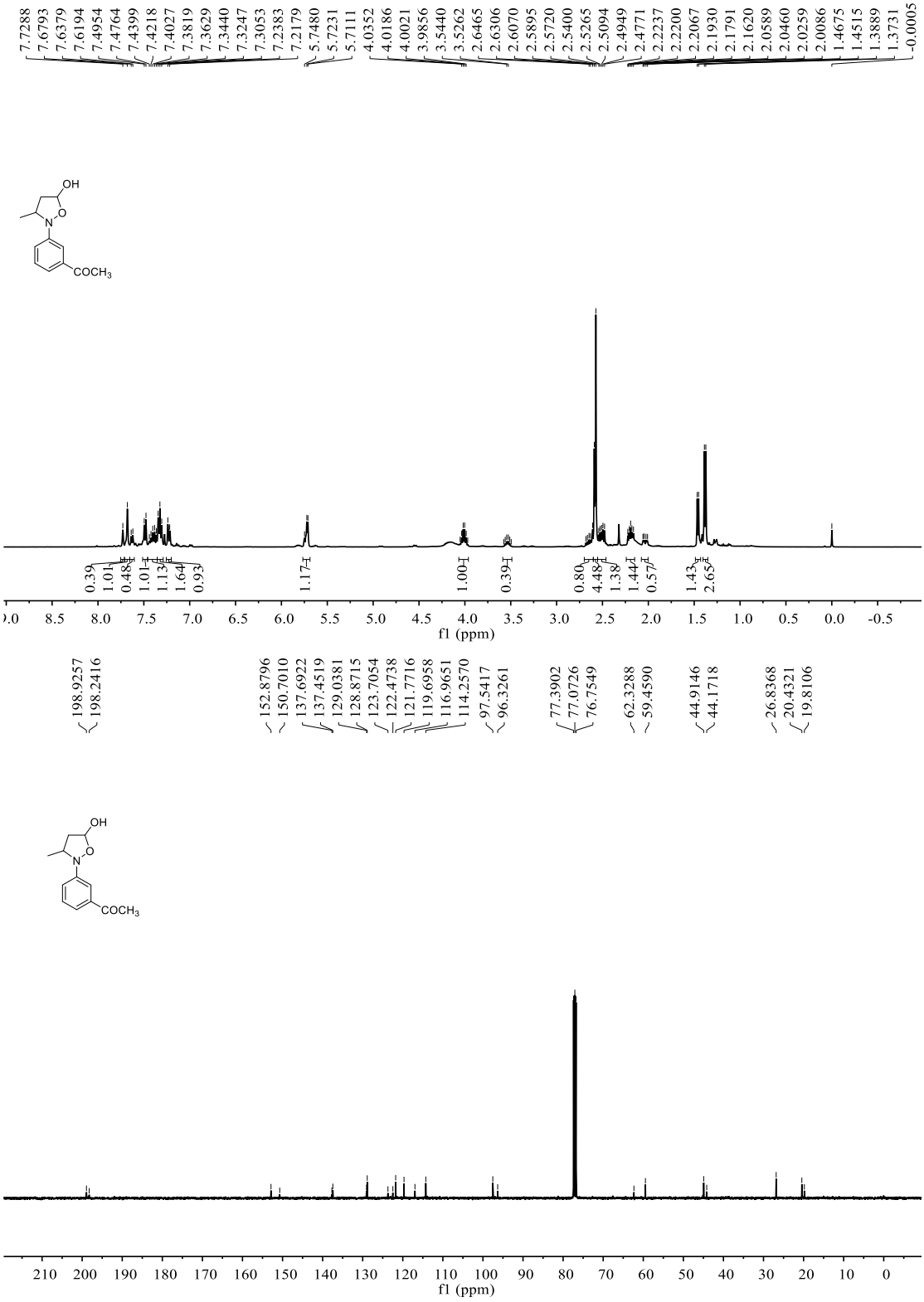
2-(3-iodophenyl)-3-methylisoxazolidin-5-ol (3k)



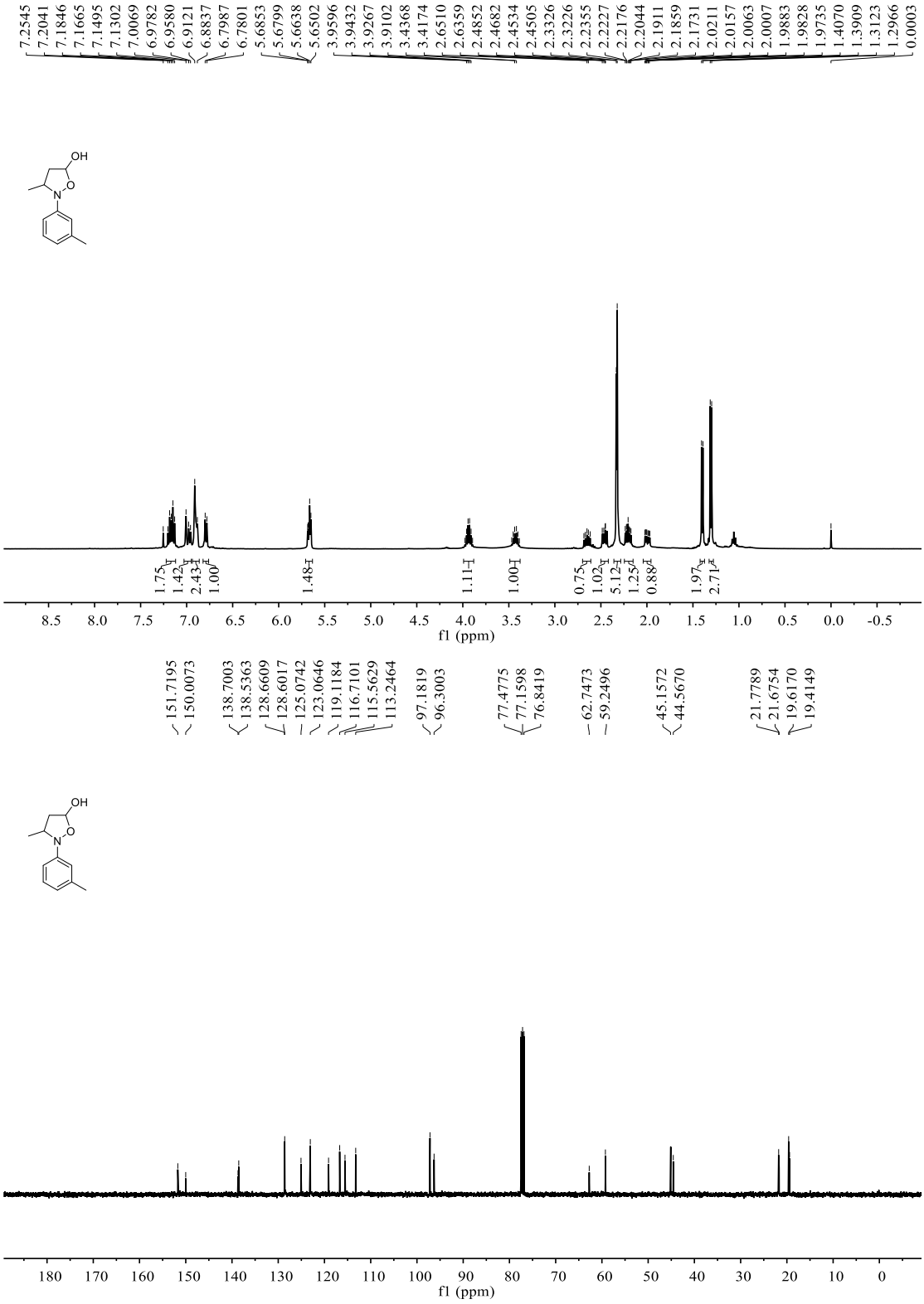
3-(5-hydroxy-3-methylisoxazolidin-2-yl)benzonitrile (3l)



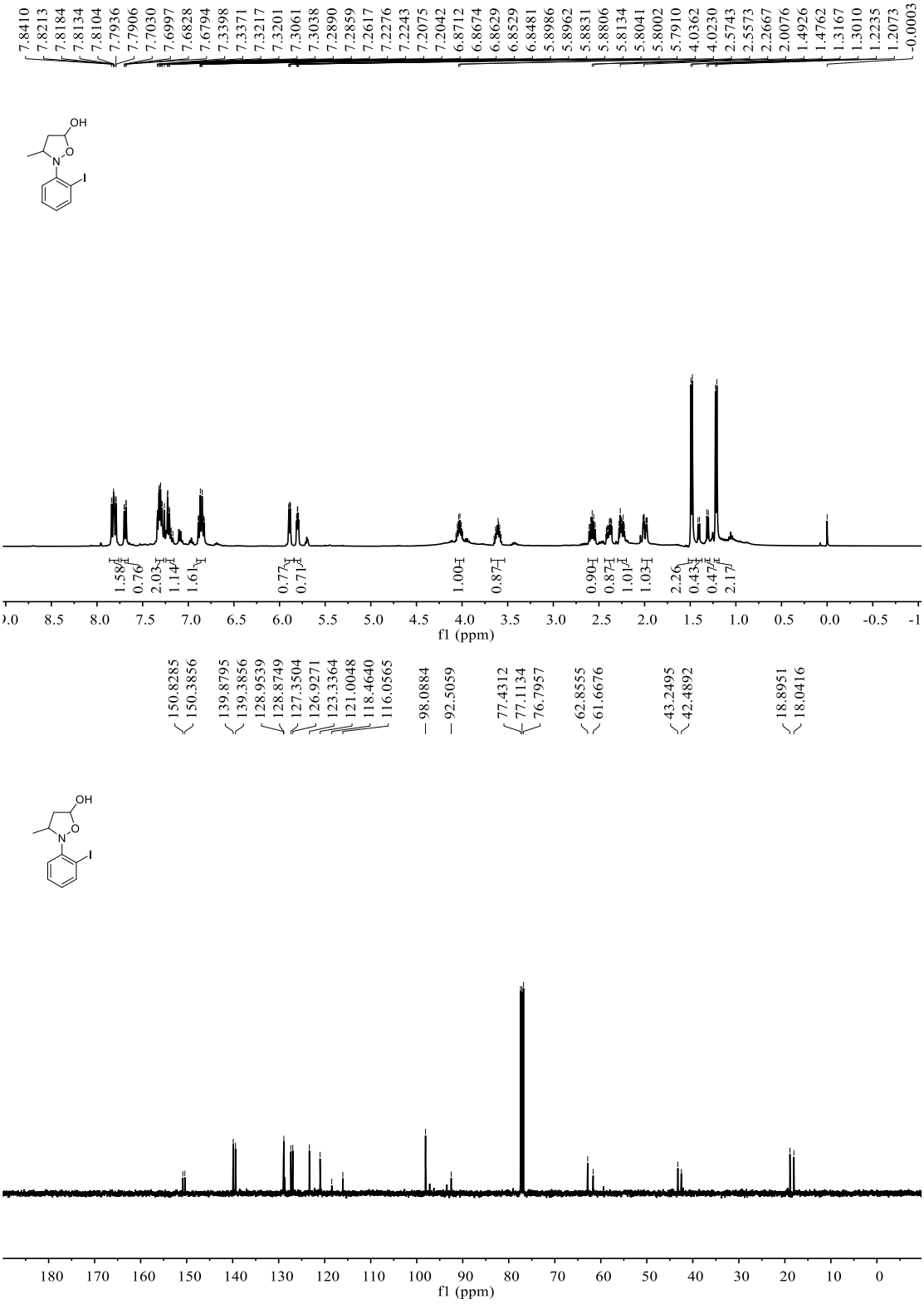
1-(3-(5-hydroxy-3-methylisoxazolidin-2-yl)phenyl)ethan-1-one (3m)



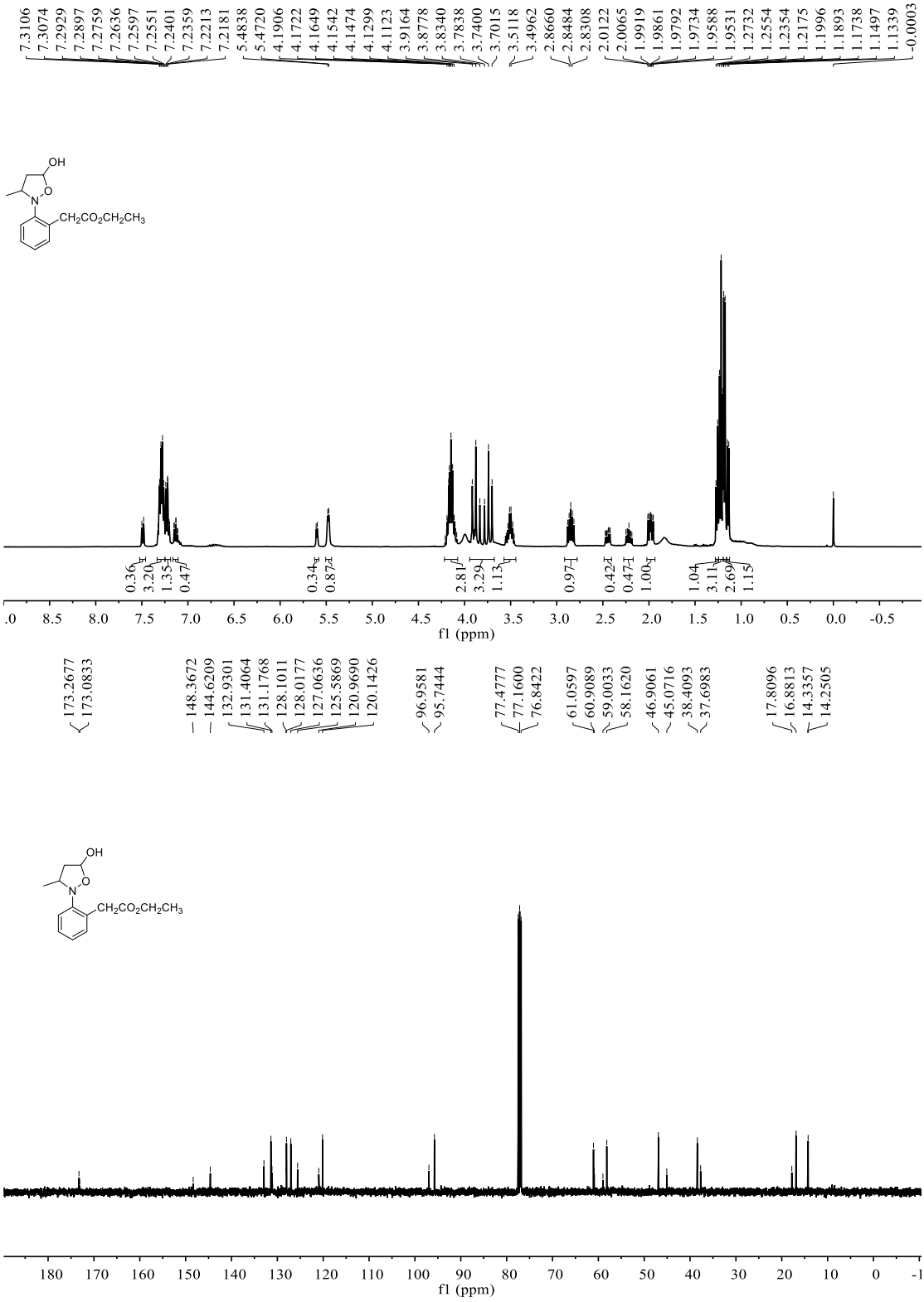
3-methyl-2-(m-tolyl)isoxazolidin-5-ol (3n)



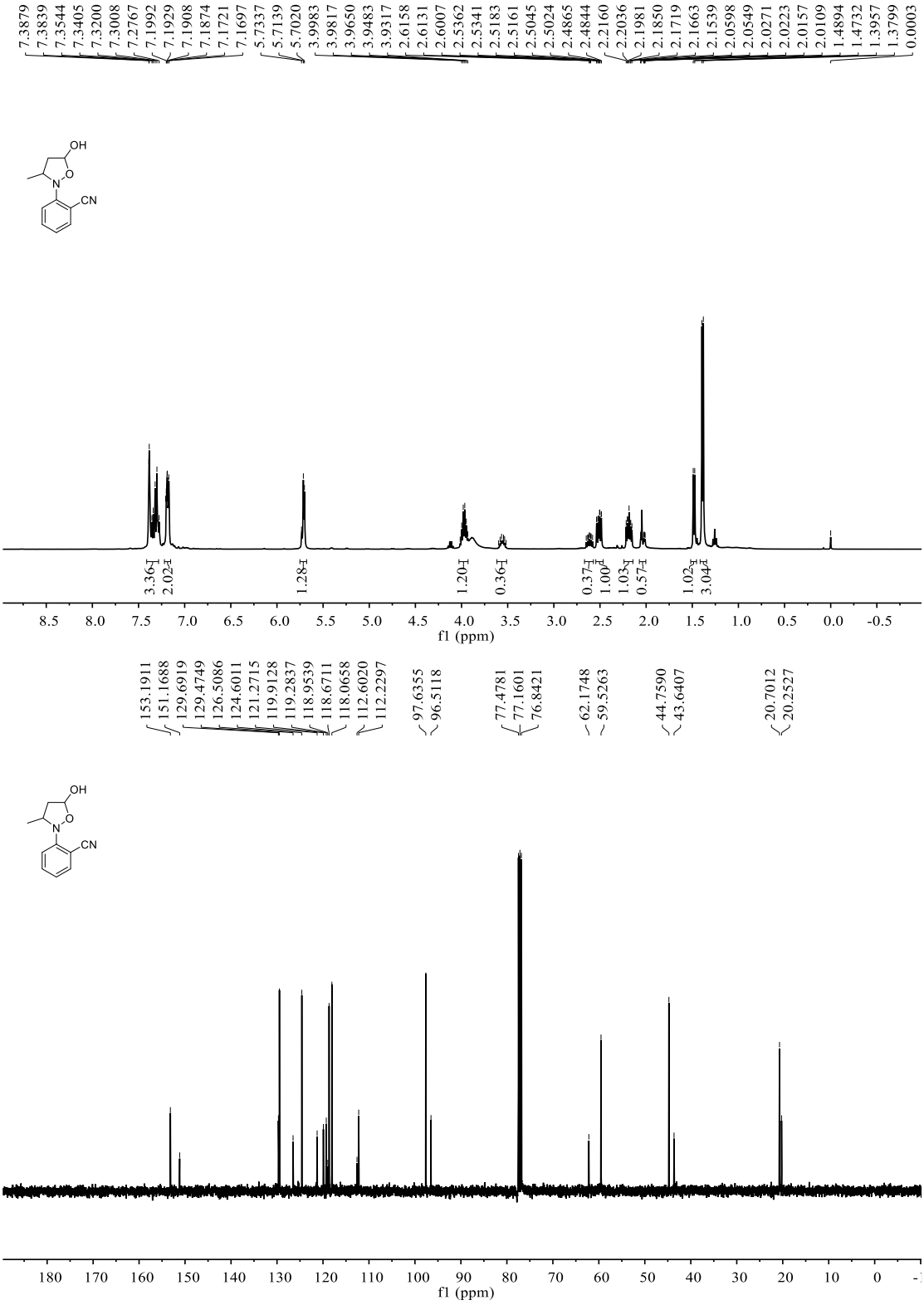
2-(2-iodophenyl)-3-methylisoxazolidin-5-ol (3o)



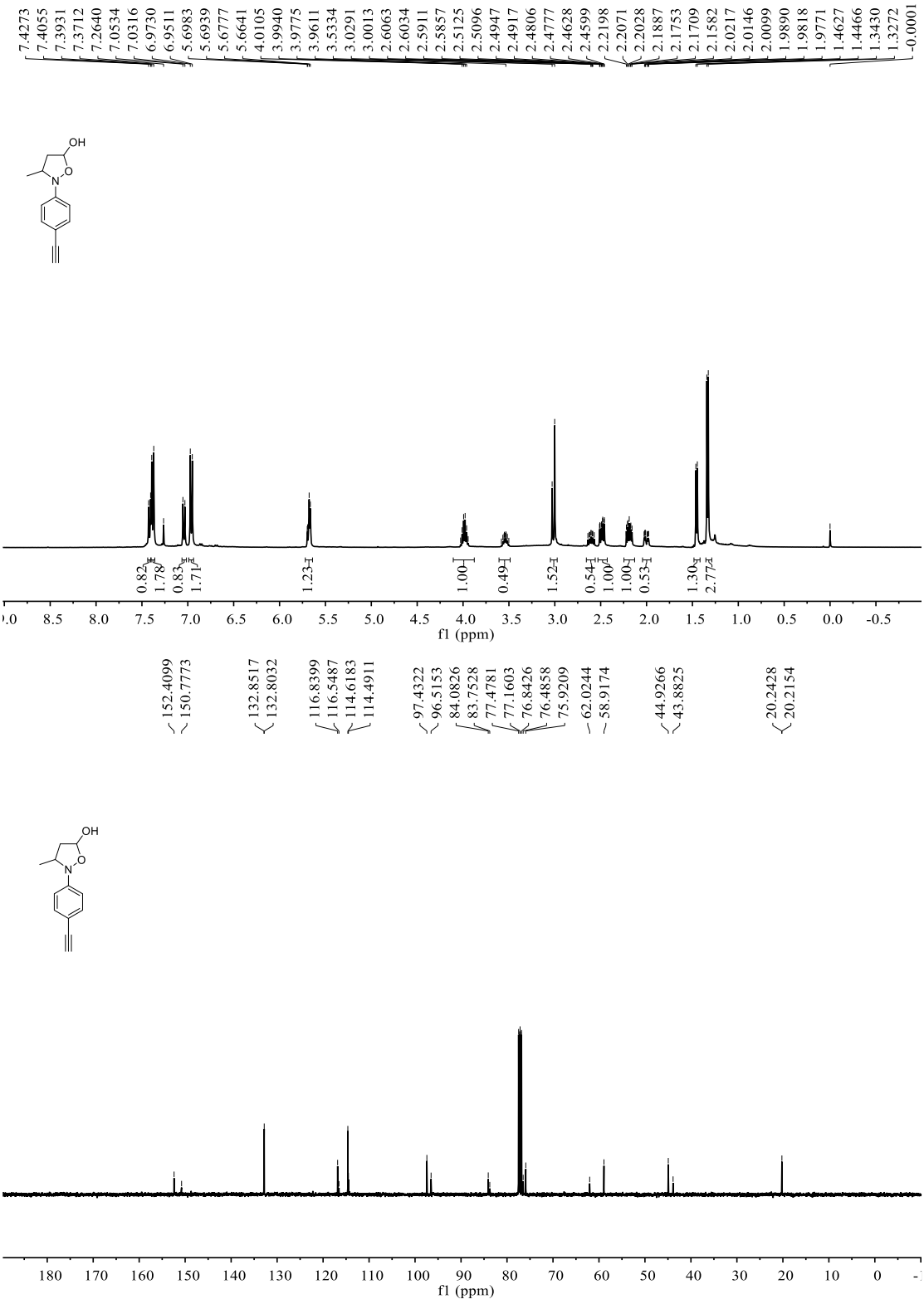
ethyl 2-(2-(5-hydroxy-3-methylisoxazolidin-2-yl)phenyl)acetate (3p)



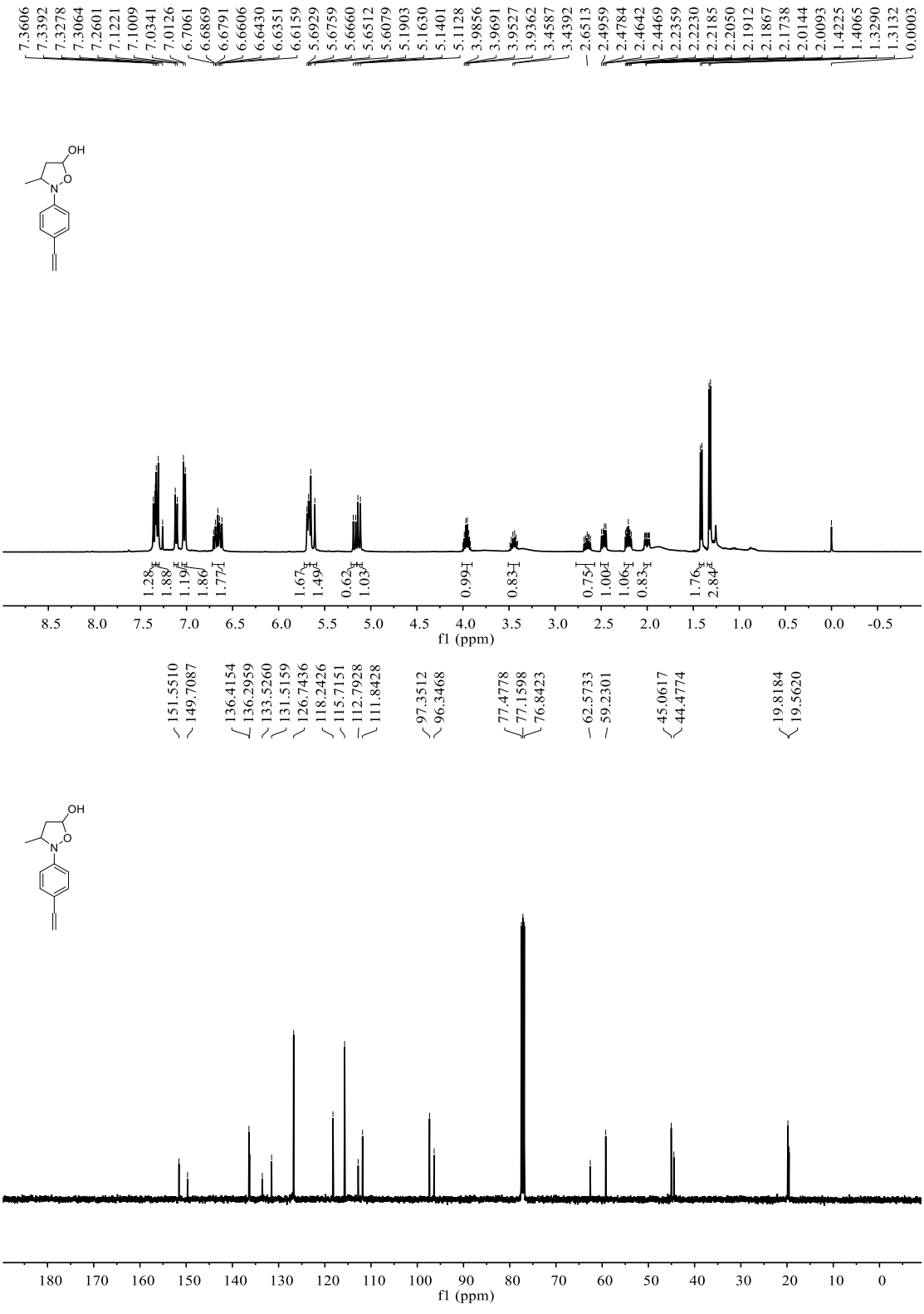
2-(5-hydroxy-3-methylisoxazolidin-2-yl)benzonitrile (3q)



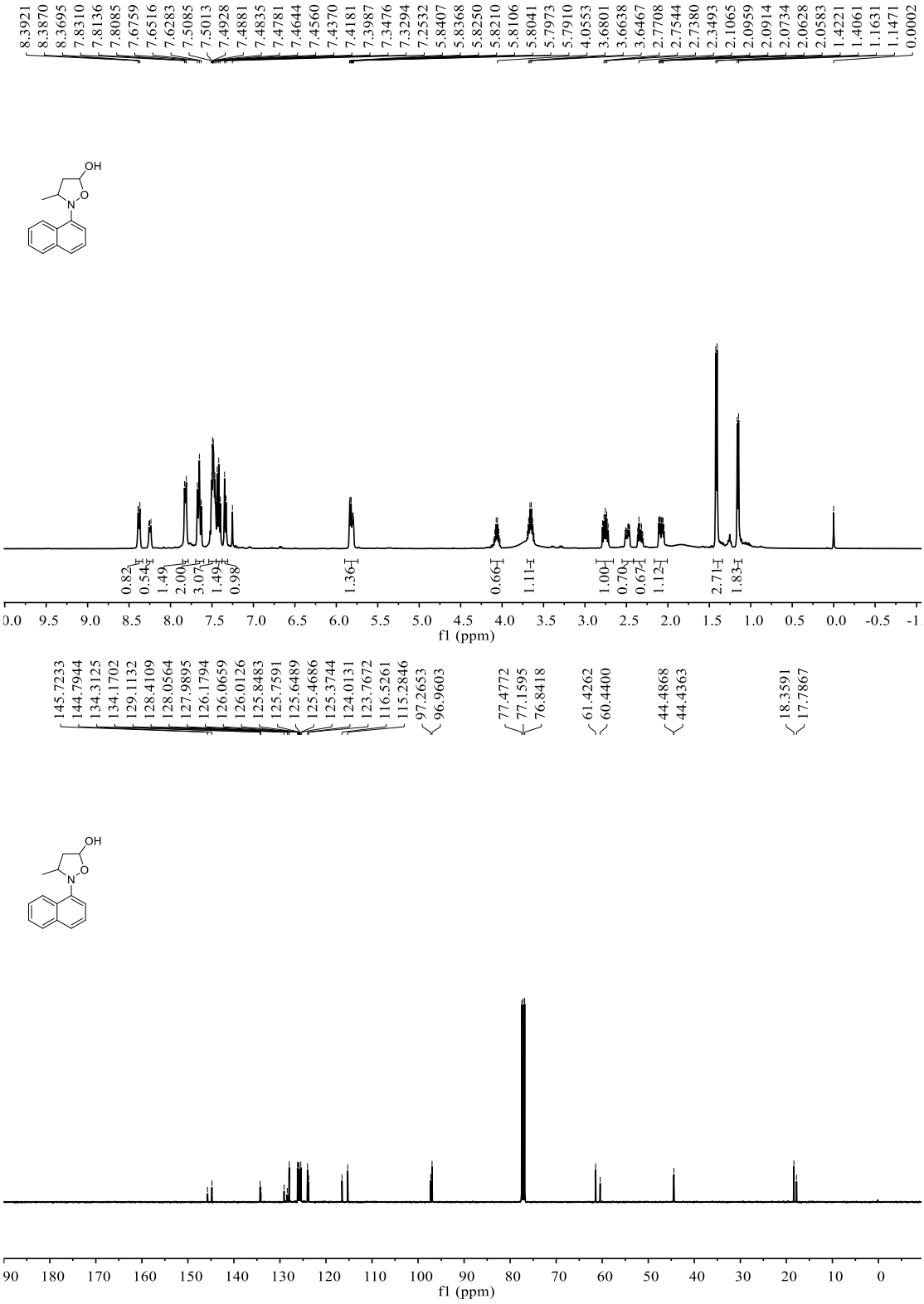
2-(4-ethynylphenyl)-3-methylisoxazolidin-5-ol (3r)



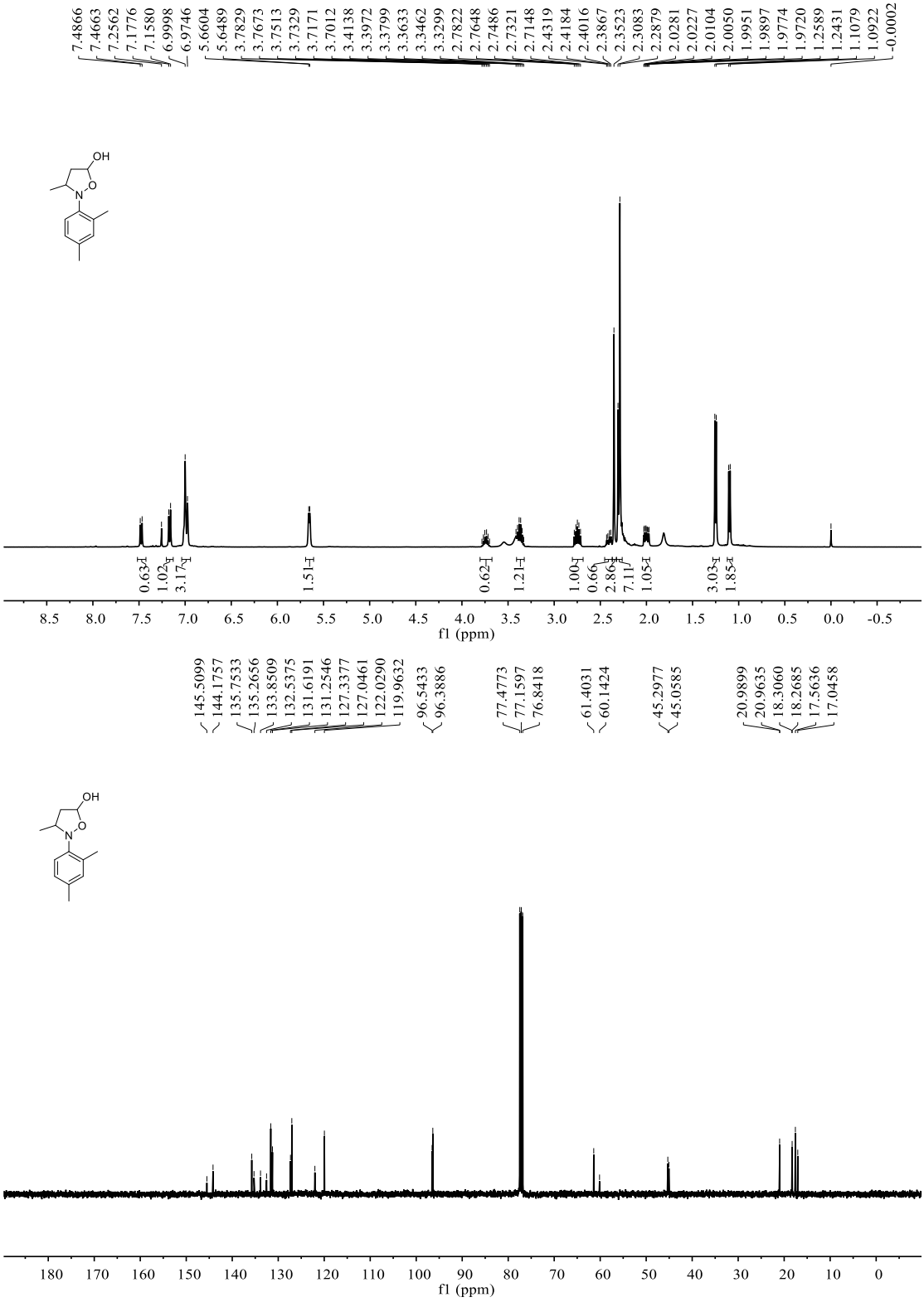
3-methyl-2-(4-vinylphenyl)isoxazolidin-5-ol (3s)



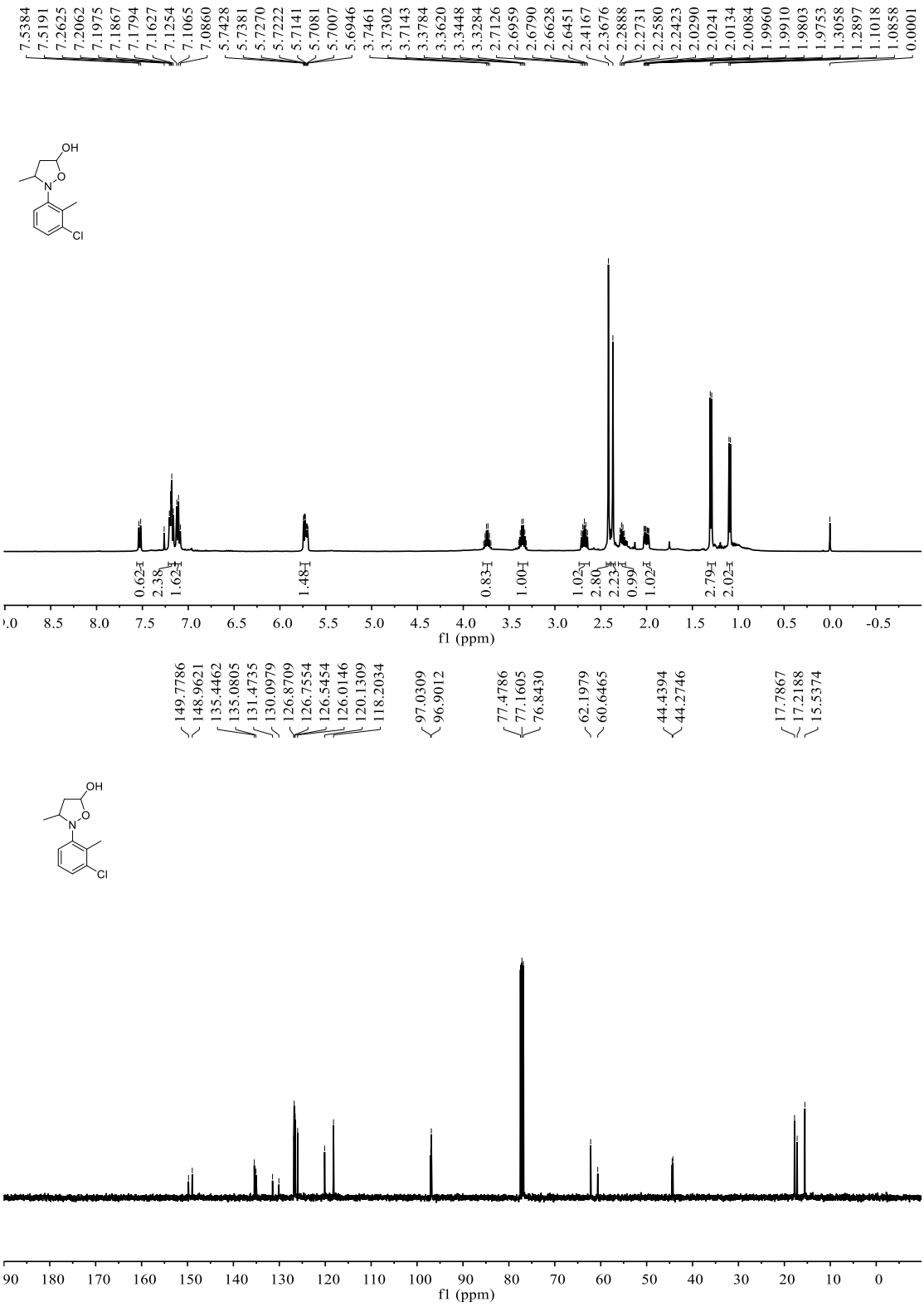
3-methyl-2-(naphthalen-1-yl)isoxazolidin-5-ol (3t)



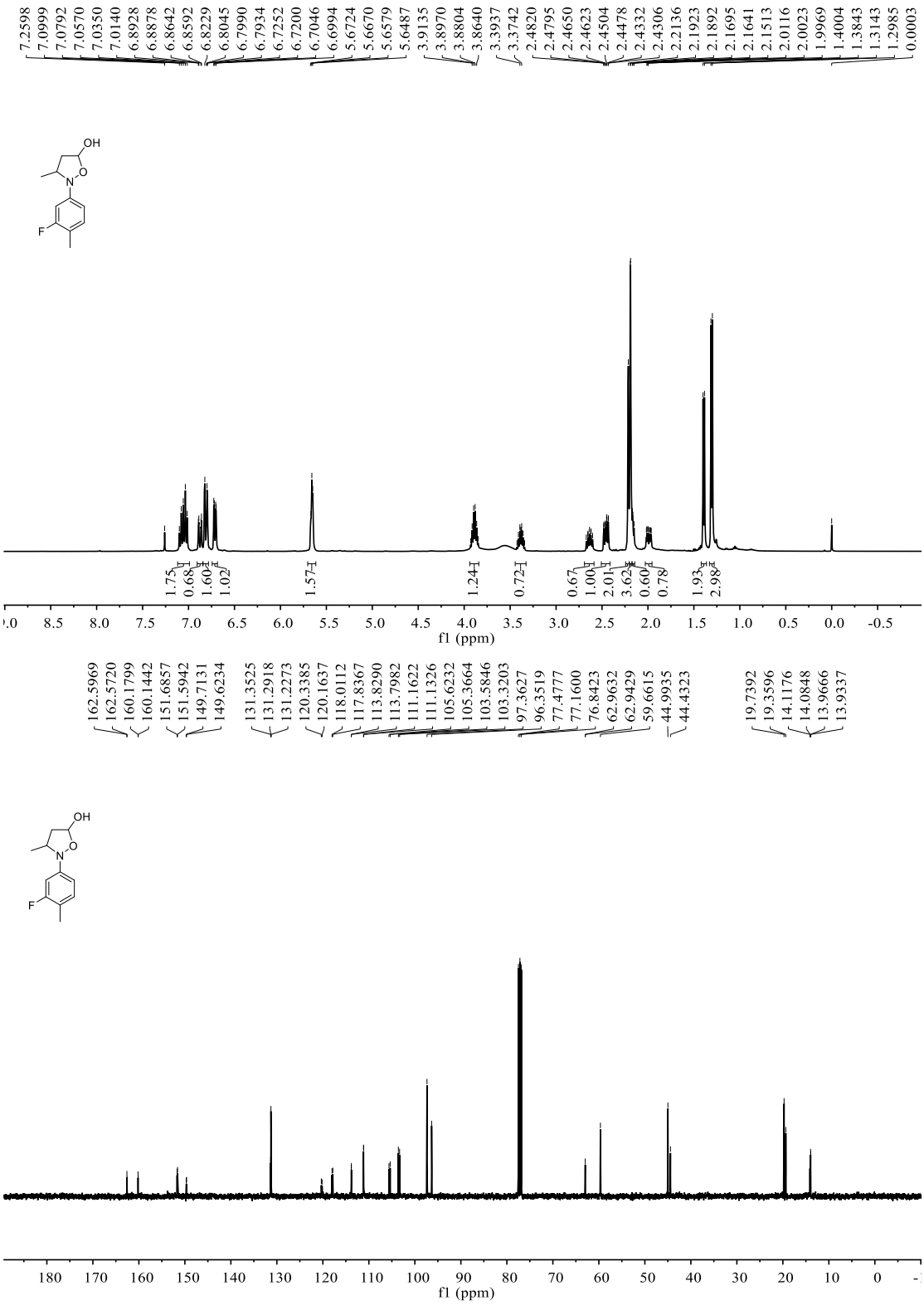
2-(2,4-dimethylphenyl)-3-methylisoxazolidin-5-ol (3u)

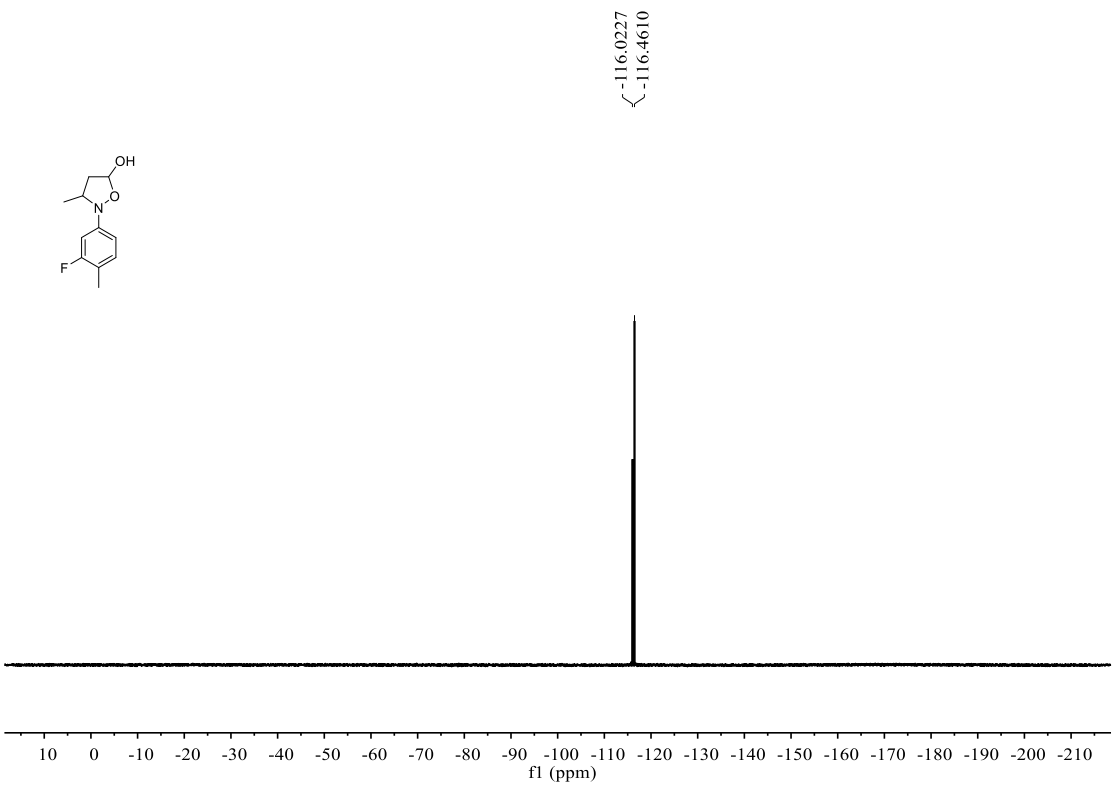


2-(3-chloro-2-methylphenyl)-3-methylisoxazolidin-5-ol (3v)

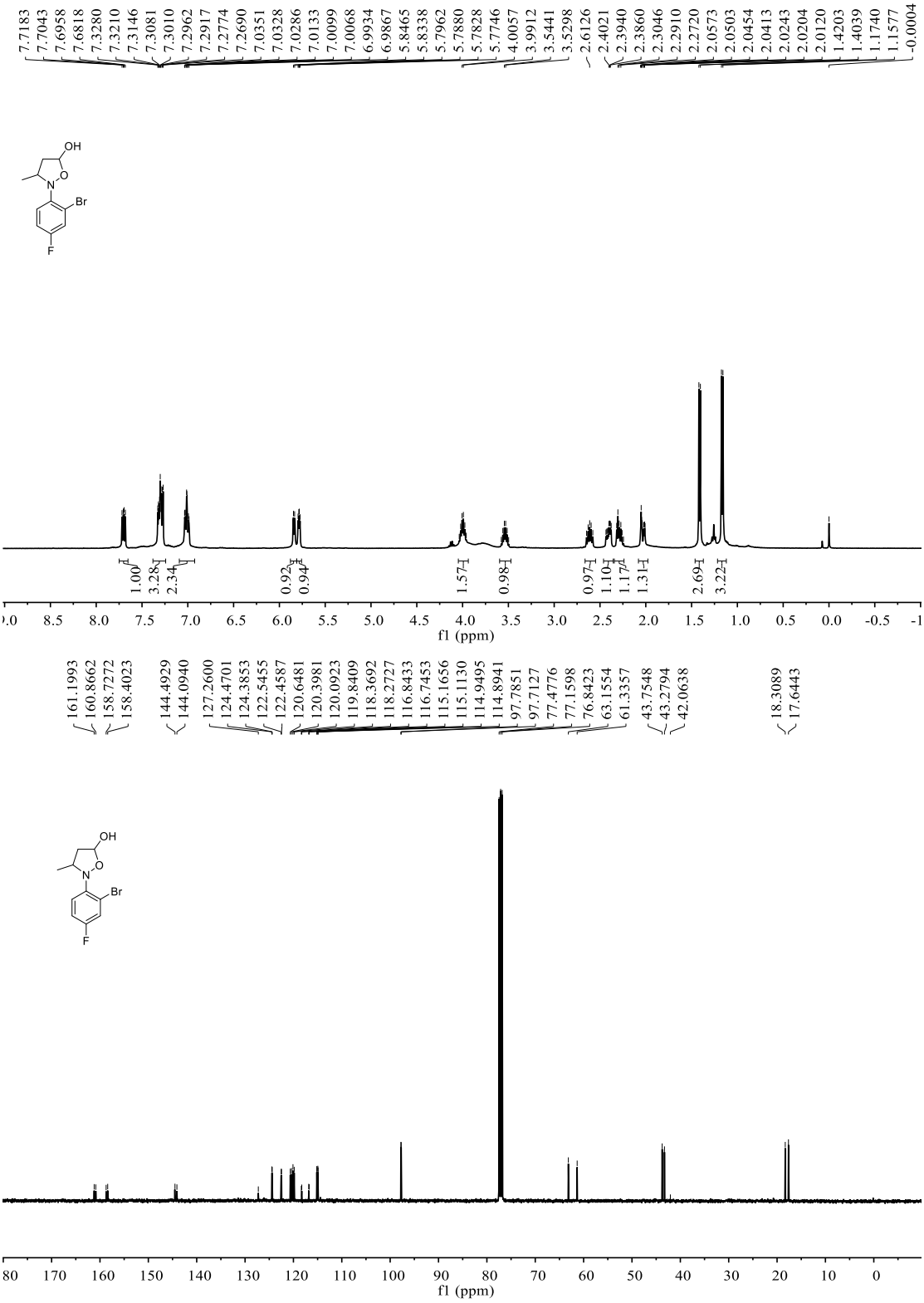


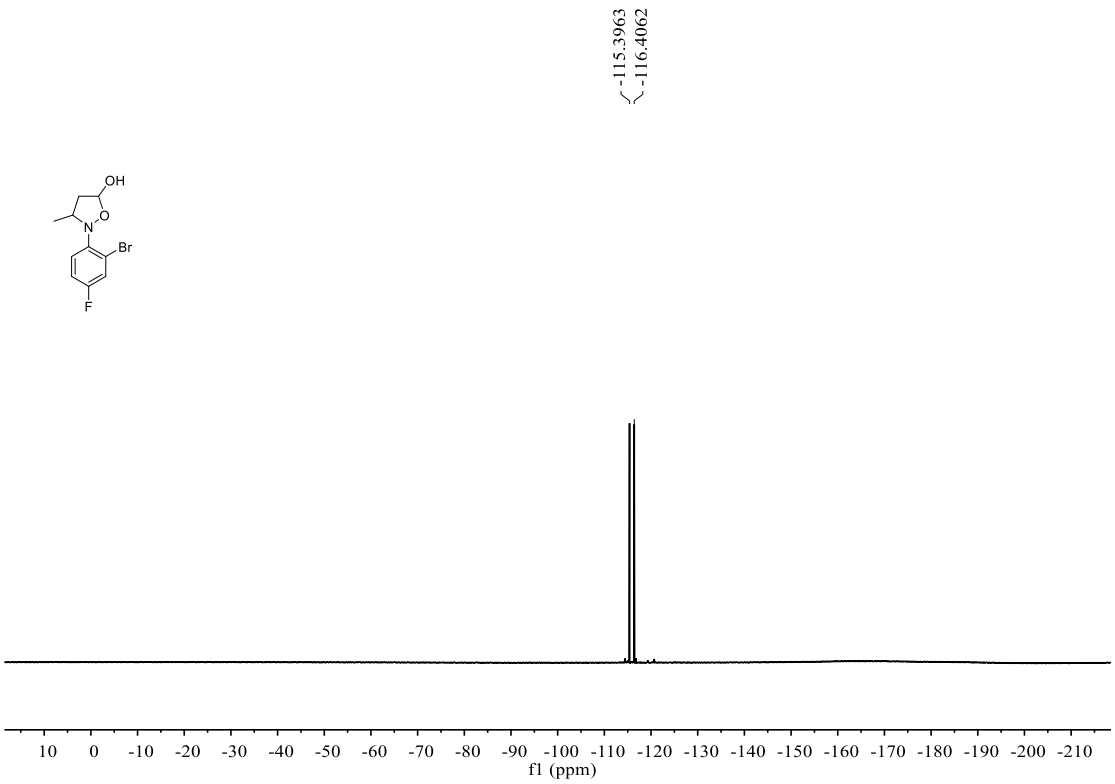
2-(3-fluoro-4-methylphenyl)-3-methylisoxazolidin-5-ol (3w)



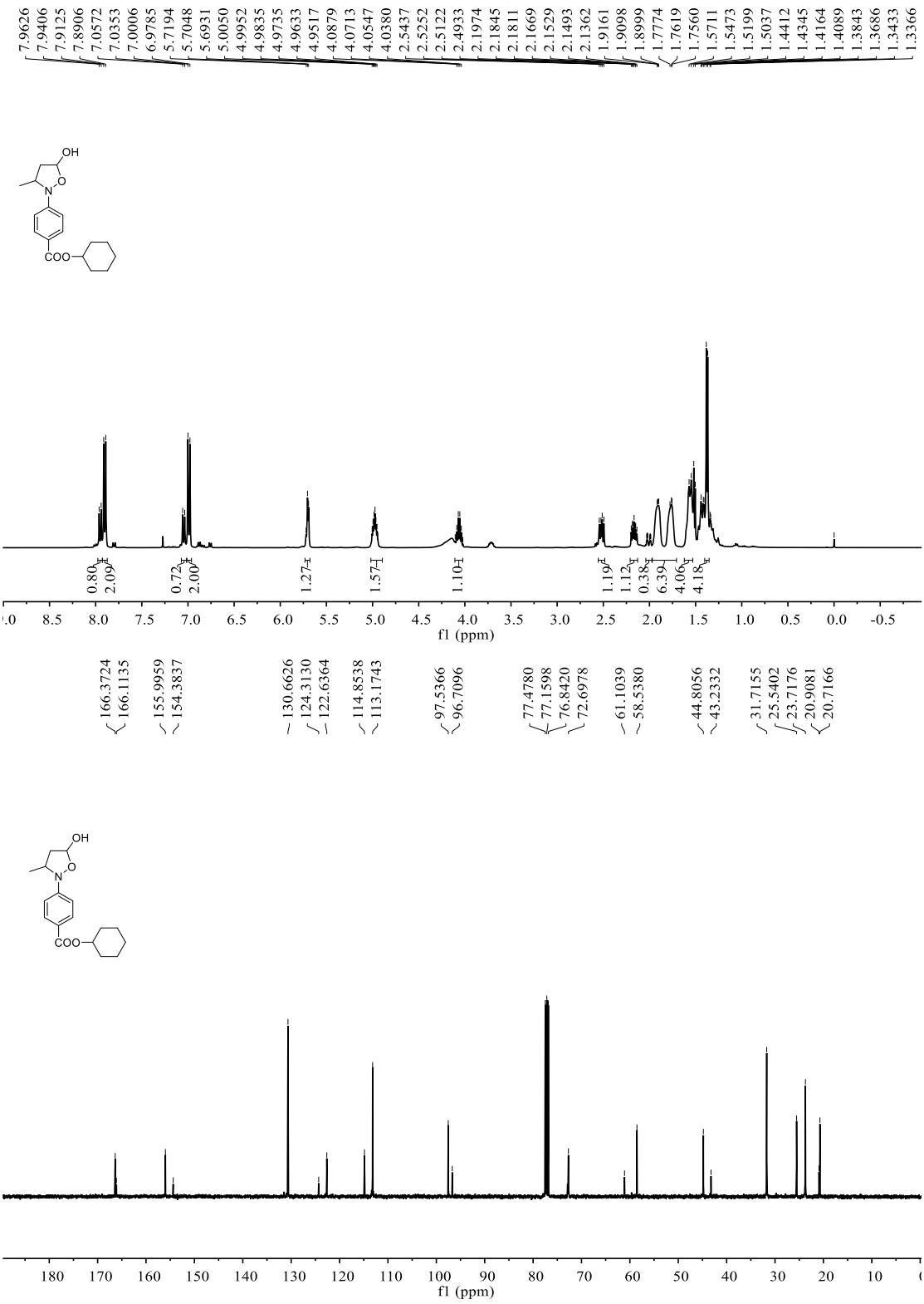

-116.0227
-116.4610
OH
N
O
F
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)

2-(2-bromo-4-fluorophenyl)-3-methylisoxazolidin-5-ol (3x)

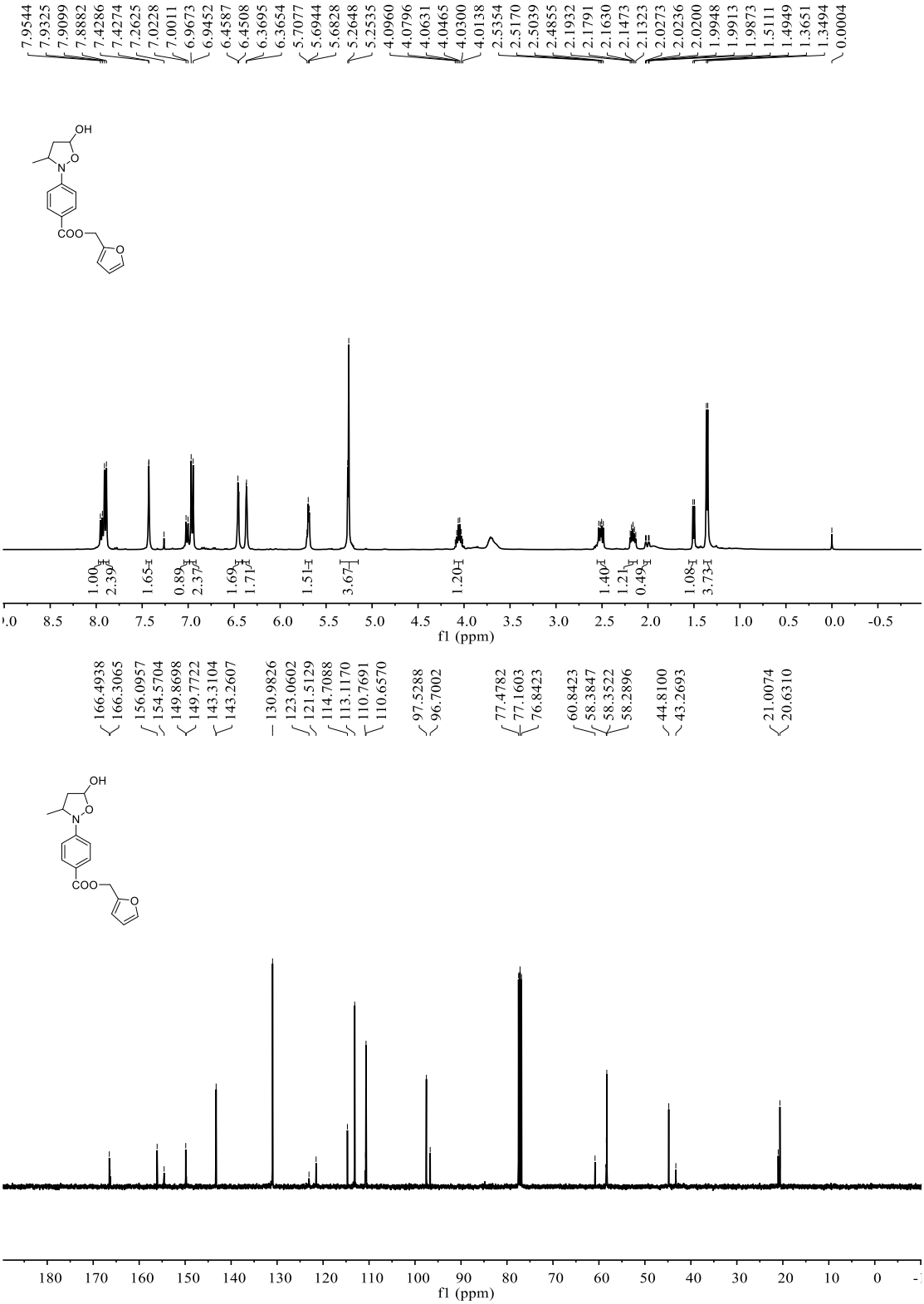



-115.3963
-116.4062
OH
O
N
Br
F
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)

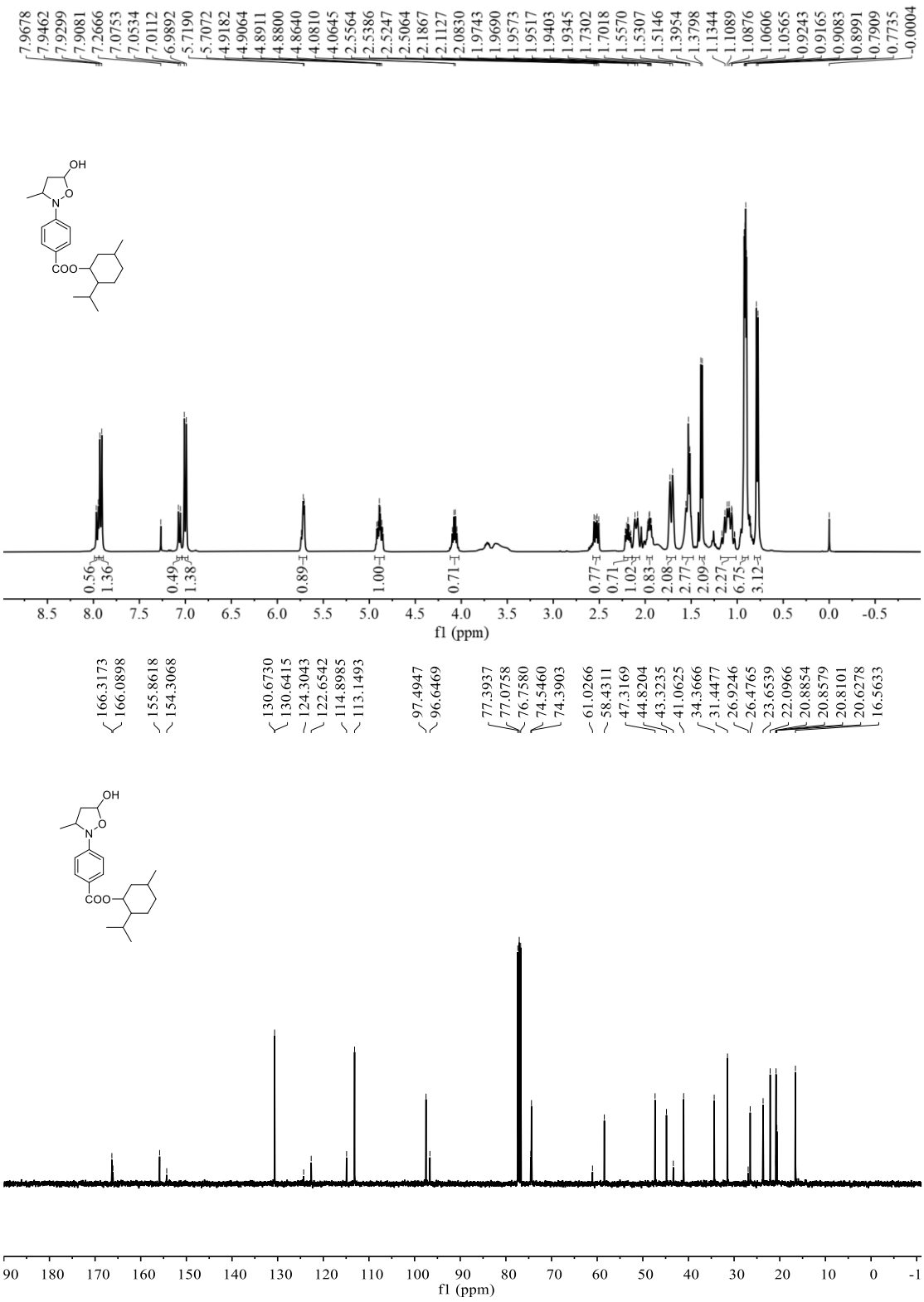
cyclohexyl 4-(5-hydroxy-3-methylisoxazolidin-2-yl) benzoate (3y)



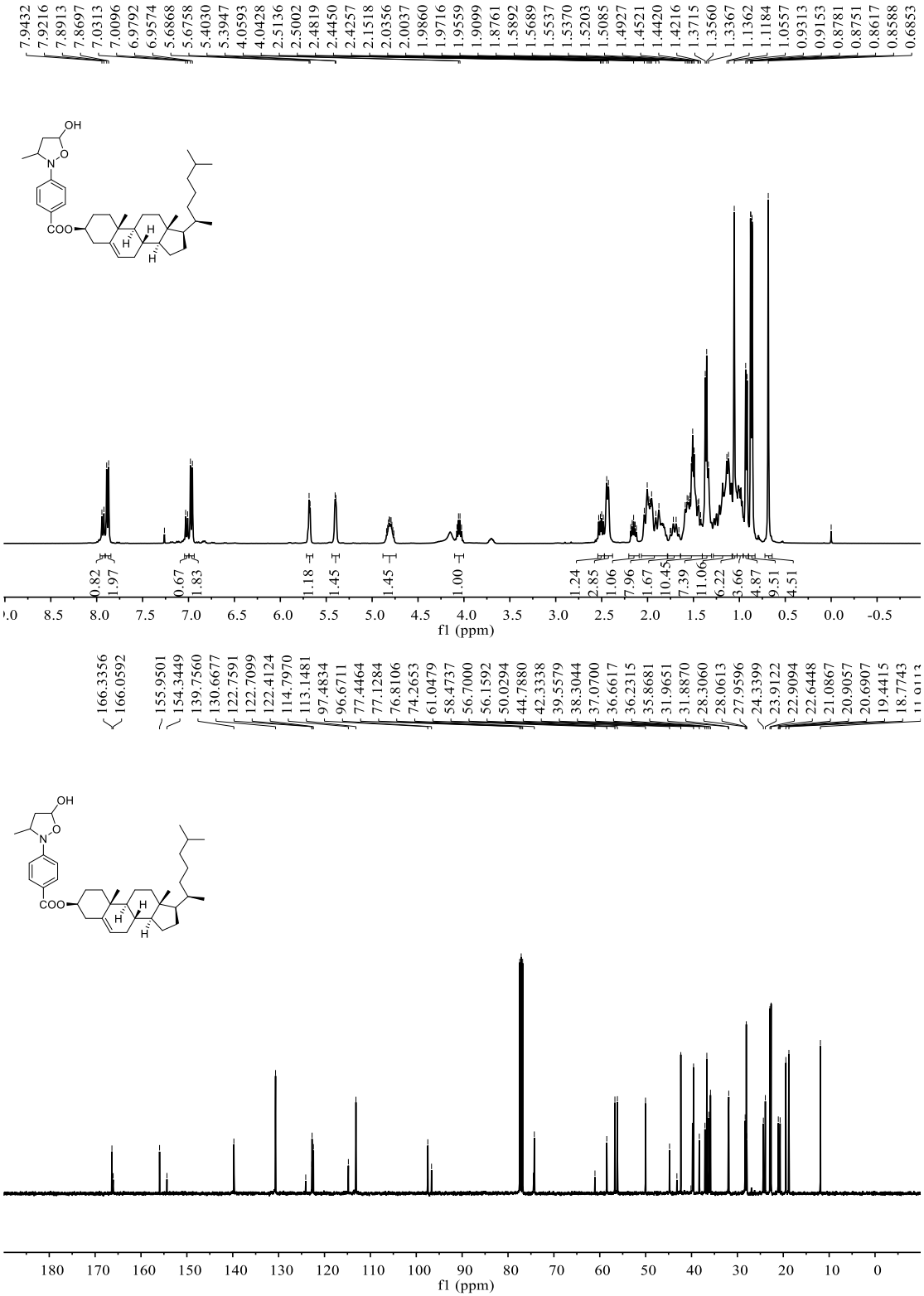
furan-2-ylmethyl 4-(5-hydroxy-3-methylisoxazolidin-2-yl) benzoate (3z)



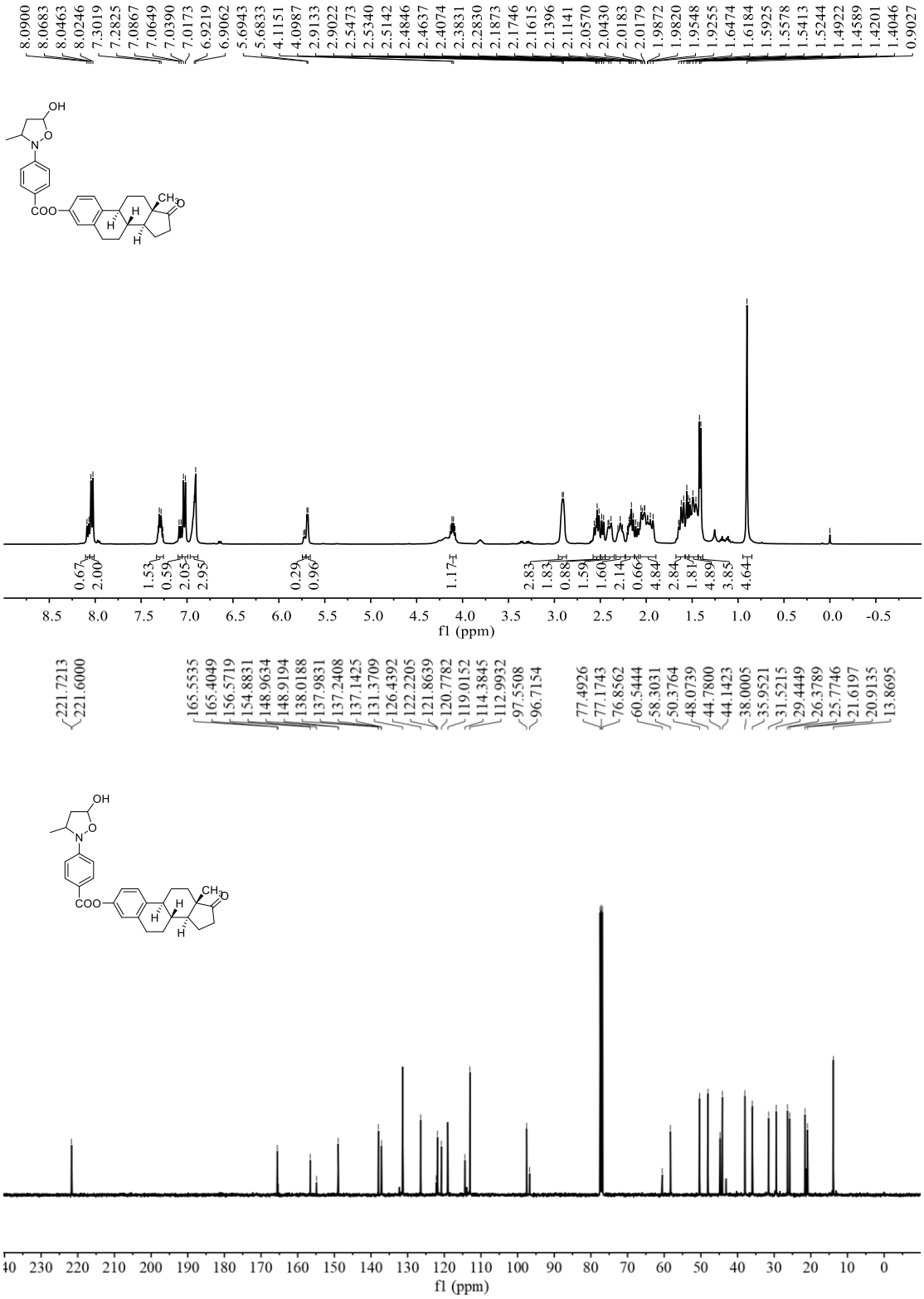
2-isopropyl-5-methylcyclohexyl 4-(5-hydroxy-3-methylisoxazolidin-2-yl) benzoate (3aa)



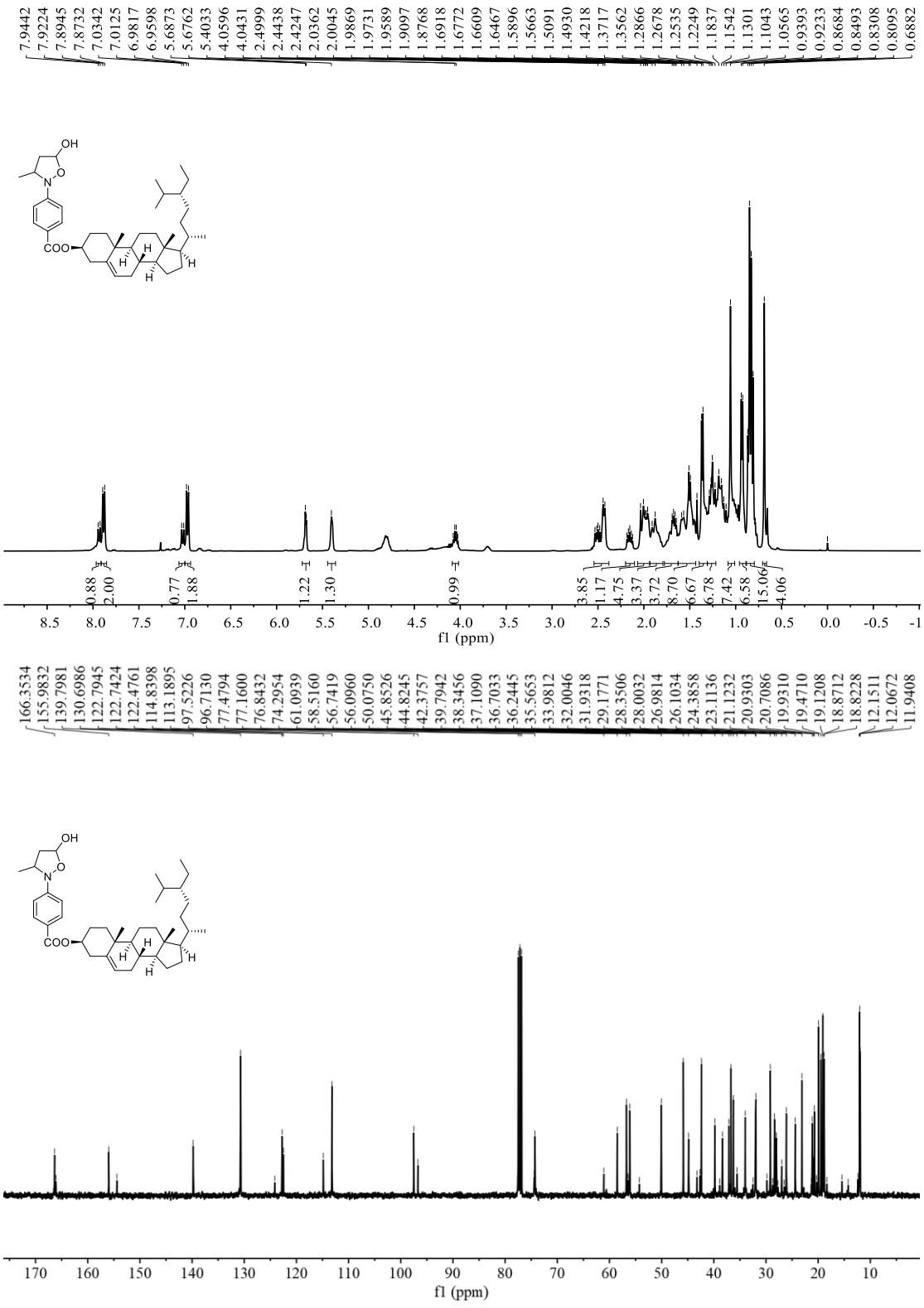
(3S,8S,9S,10R,13R,14S,17R)-10,13-dimethyl-17-((R)-6-methylheptan-2-yl)-2,3,4,7,8,9,10,11,12,13,14,15,16,17-tetradecahydro-1H-cyclopenta[a]phenanthren-3-yl 4-(5-hydroxy-3-methylisoxazolidin-2-yl)benzoate (3ab)



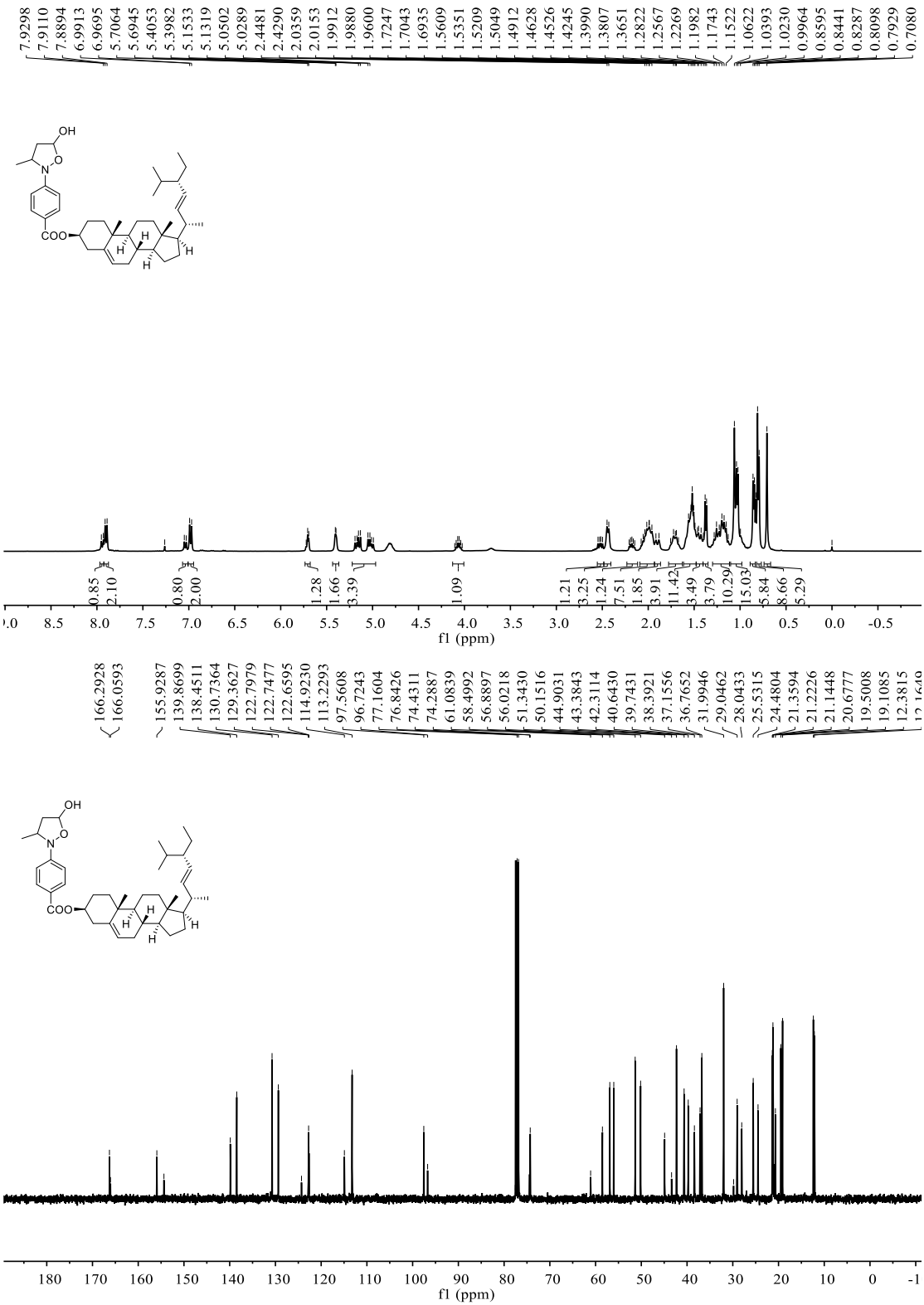
(8R,9S,13S,14S)-13-methyl-17-oxo-7,8,9,11,12,13,14,15,16,17-decahydro-6H-cyclopenta[a]phenanthren-3-yl 4-(5-hydroxy-3-methylisoxazolidin-2-yl)benzoate (3ac)



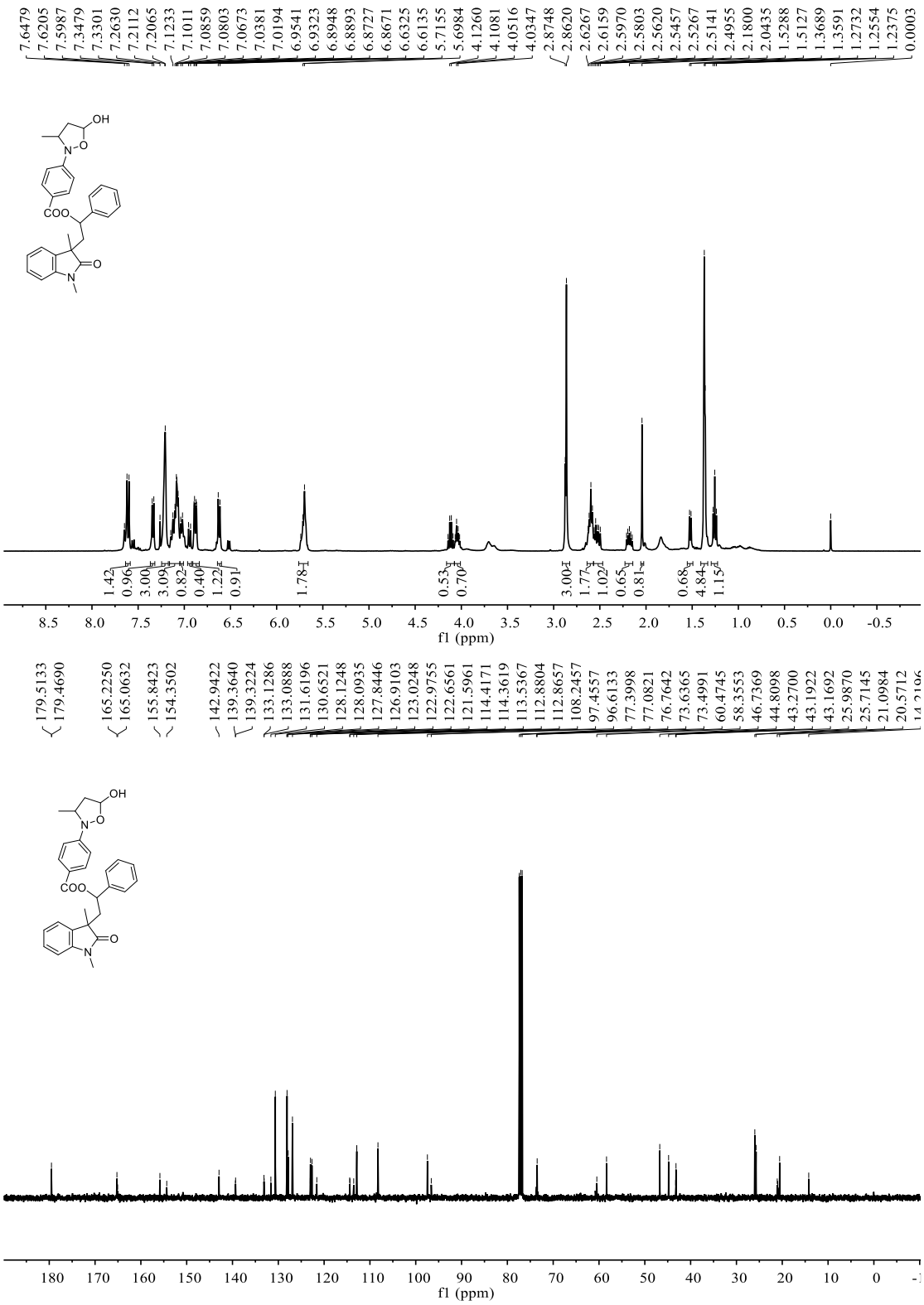
(3S,8S,9S,10R,13R,14S,17R)-17-((2S,5R)-5-ethyl-6-methylheptan-2-yl)-10,13-dimethyl-2,3,4,7,8,9,10,11,12,13,14,15,16,17-tetradecahydro-1H-cyclopenta[a]phenanthren-3-yl 4-(5-hydroxy-3-methylisoxazolidin-2-yl) benzoate (3ad)



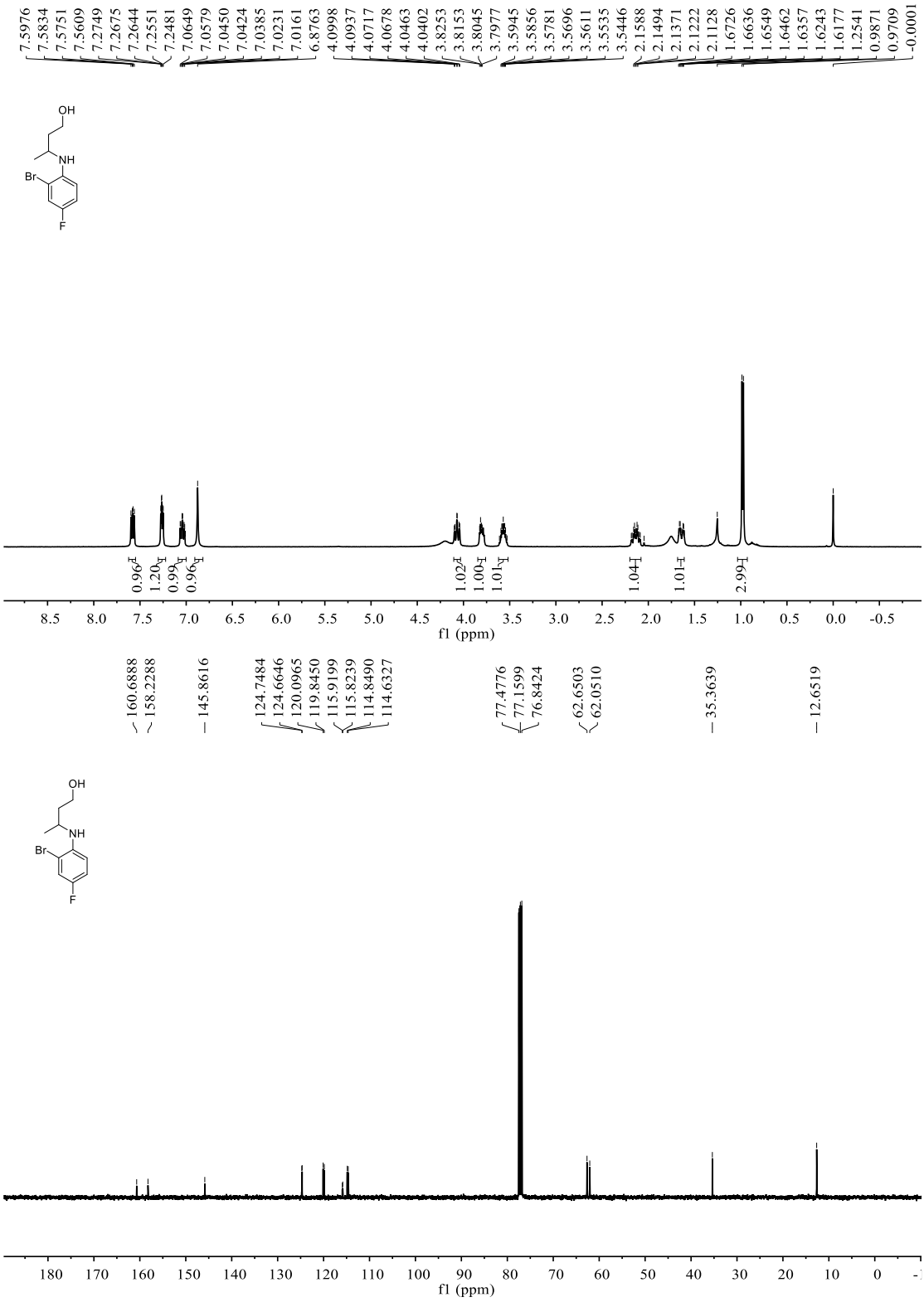
(3S,8S,9S,10R,13R,14S,17R)-17-((2S,5S,E)-5-ethyl-6-methylhept-3-en-2-yl)-10,13-dimethyl-2,3,4,7,8,9,10,11,12,13,14,15,16,17-tetradecahydro-1H-cyclopenta[a]phenanthren-3-yl 4-(5-hydroxy-3-methylisoxazolidin-2-yl) benzoate (3ae)

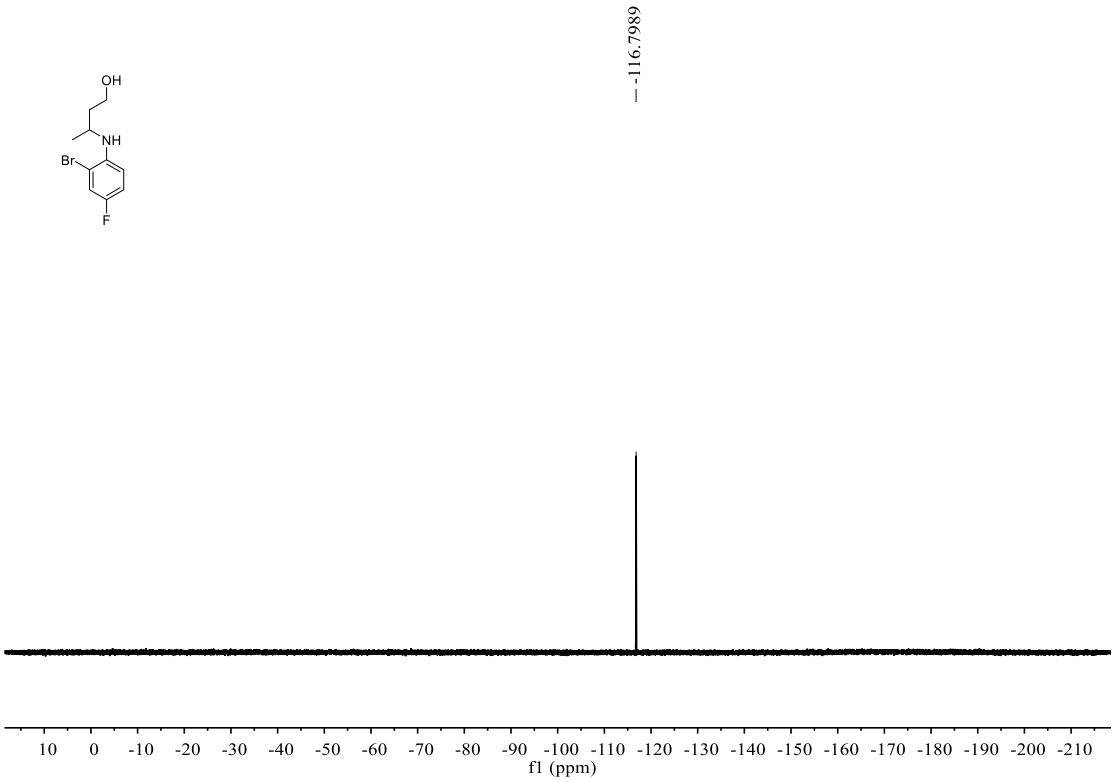


2-(1,3-dimethyl-2-oxoindolin-3-yl)-1-phenylethyl 4-(5-hydroxy-3-methylisoxazolidin-2-yl) benzoate (3af)



3-((2-bromo-4-fluorophenyl)amino)butan-1-ol **(3xx)**




OH
NH
Br
F
-116.7989
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)