Electronic Supplementary Material (ESI) for Organic Chemistry Frontiers.

Asymmetric Synthesis of Chiral Imidazolidines by Merging Copper and Visible-Light-Induced Photoredox Catalysis

Linlong Dai,‡[a] Qiaohong Zhu,‡[a] Jie Zeng,[a] Yuheng Liu,[a] Guofu Zhong,[a] Xiaoyu Han*[,b] and Xiaofei Zeng*[,a]

a. College of Materials, Chemistry and Chemical Engineering, Hangzhou Normal University, Hangzhou 311121, China.

b. Zhejiang Provincial Key Laboratory for Chemical & Biological Processing Technology of Farm Products, School of Biological and Chemical Engineering, Zhejiang University of Science and Technology, Hangzhou, 310023, China.

‡ Linlong Dai and Qiaohong Zhu contributed equally to this work.

Supporting Information

I. General information:

Analytical thin layer chromatography (TLC) was performed using Merck 60 F254 precoated silica gel plate (0.2 mm thickness). Subsequent to elution, plates were visualized using UV radiation (254 nm) on Spectroline Model ENF-24061/F 254 nm. Further visualization was possible by staining with basic solution of potassium permanganate or acidic solution of ceric molybdate.

Flash column chromatography was performed using Merck aluminium oxide90 active neutral with freshly distilled solvents. Columns were typically packed as slurry and equilibrated with the appropriate solvent system prior to use.

Proton nuclear magnetic resonance spectra (^{1}H NMR) were recorded on Bruker AMX 500 spectrophotometer ($CDCl_3$ and Acetone-d_6 as solvent). Chemical shifts for ^{1}H NMR spectra are reported as δ in units of parts per million (ppm) downfield from $SiMe_4$ (0.0) and relative to the signal of chloroform-d (7.26, singlet) and Acetone-d_6 (2.05, quint). The number of protons (n) for a given resonance is indicated by nH. Coupling constants are reported as a *J* value in Hz. Carbon nuclear magnetic resonance spectra (^{13}C NMR) are reported as δ in units of parts per million (ppm) downfield from $SiMe_4$ (0.0) and relative to the signal of chloroform-d (77.0, triplet) and Acetone-d_6 (29.84, hept; 206.26, singlet).

Enantiomeric excesses were determined by high performance liquid chromatography (HPLC) analysis on a chiral stationary phase, CHIRALCEL IE or CHIRALCEL AD. Optical rotations were measured in $CHCl_3$ on a Schmidt + Haensdchpolarimeter (Polartronic MH8) with a 10 cm cell (c given in g/100 mL). High resolution mass spectra (HRMS) was performed on Waters Q-Tof Permies Mass Spectrometer, using Electro Spray Ionization (ESI) mode. A suitable crystal (mm* mm* mm) was selected and mounted on a Bruker D8 Venture diffractometer with Mo Ka radiation (l = 0.71073 Å) for cell determination and subsequent data collection at 170 K.

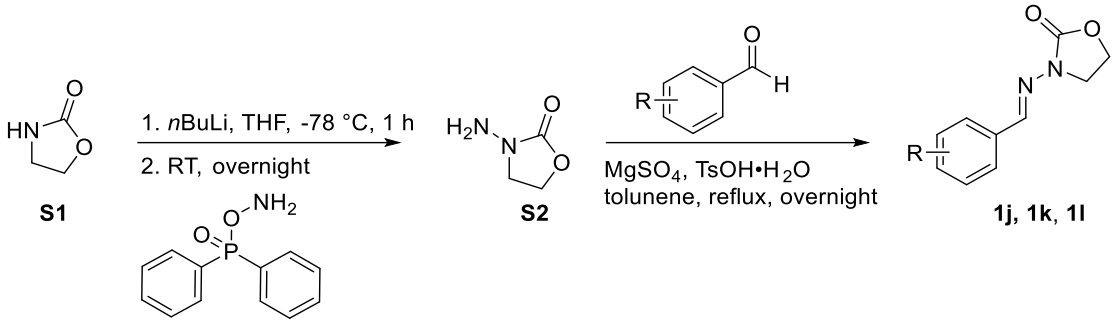
Blue LEDs (10 W, λ_{max} = 455 nm) were used for irradiation. The light source was placed in 3.0 cm distance from the reaction vessel.

The starting materials **2a**, **2f** were all commercially available.

The starting materials **1a**-**1i**,**1m**-**1p, 2b**-**2e** were prepared according to the literatures reported.[1,2,3,4,5]

II. Synthesis of the Substrates

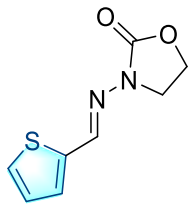
Some modifications are made after referring to the published procedures, acyclic imines **1j**, **1k**, **1l** can be prepared.[6]



General procedure: To a solution of 2-oxazolidone (**S1**, 10.0 mmol) in THF at -78 °C was added dropwise n-BuLi (15 ml, 2.4 M in hexanes). The reaction mixture was allowed to stir for 1 h at -78 °C, then o-(2,4-diphenylphosphinyl)-hydroxylamine (12 mmol) was added. The mixture was stirred at room temperature overnight. The solution needs to be filtered. Wash three times by DCM, concentration in vacuo. Then can get yellowish oil for hydrazone (**S2**).

To a solution of hydrazone (**S2**) in toluene (20 ml), aldehyde (20.0 mol), $MgSO_4$ (4.8 g) and TsOH•H_2O (0.020 eq) were added. The mixture was stirred under reflux overnight, then concentrated and purified by flash chromatography (or recrystallization) to afford the corresponding acyclic imines (**1j**, **1k**, **1l**).

(*E*)-3-((thiophen-2-ylmethylene)amino)oxazolidin-2-one (1j)

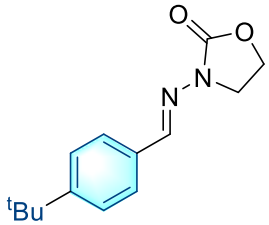


^{1}H NMR (500 MHz, Chloroform-*d*) δ 8.06 (s, 1H), 7.38 (d, *J* = 5.0 Hz, 1H), 7.29 (dd, *J* = 3.6, 1.1 Hz, 1H), 7.05 (dd, *J* = 5.0, 3.6 Hz, 1H), 4.55 – 4.50 (m, 2H), 3.98 – 3.93 (m, 2H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 153.1, 139.0, 137.7, 129.1, 127.6, 126.4, 60.3, 42.4.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_8H_9N_2O_2S$ 197.0380; Found 197.0382.

(*E*)-3-((4-(tert-butyl)benzylidene)amino)oxazolidin-2-one (1k)

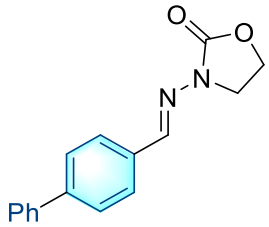


^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.64 – 7.58 (m, 3H), 7.34 (d, *J* = 8.4 Hz, 2H), 4.49 – 4.43 (m, 2H), 3.90 – 3.84 (m, 2H), 1.25 (s, 9H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 153.4, 152.8, 143.5, 129.9, 126.3, 124.6, 60.3, 41.6, 33.9, 30.1.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{14}H_{19}N_2O_2$ 247.1442; Found 247.1446.

(*E*)-3-(([1,1'-biphenyl]-4-ylmethylene)amino)oxazolidin-2-one (1l)



^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.73 (d, *J* = 8.4 Hz, 2H), 7.54 (t, *J* = 8.6 Hz, 4H), 7.37 (t, *J* = 7.6 Hz, 3H), 7.29 (t, *J* = 7.3 Hz, 1H), 4.50 – 4.44 (m, 2H), 3.94 – 3.82 (m, 2H).

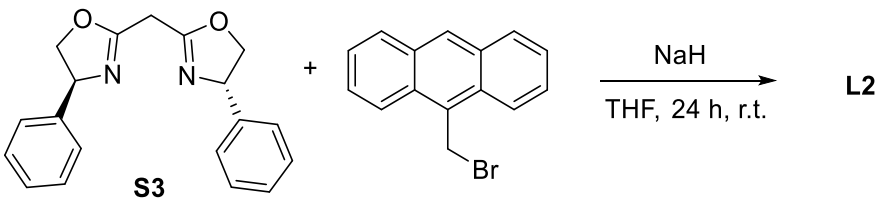
^{13}C NMR (125 MHz, $CDCl_3$) δ 160.7, 153.4, 143.0, 141.9, 139.1, 131.6, 127.9, 126.9, 126.3, 126.0, 60.4, 41.5.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{16}H_{15}N_2O_2$ 267.1129; Found 267.1127.

III. Synthesis of the chiral ligands

Chiral BOX ligands **L7**, **L8** were purchased from Deicel and used directly without further purification. **L1**, **L3**, **L9** was synthesized by a published procedure.[6,7]

(4*S*,4'*S*)-2,2'-(1,3-di(anthracen-9-yl)propane-2,2-diyl)bis(4-phenyl-4,5-dihydrooxazole) (L2)



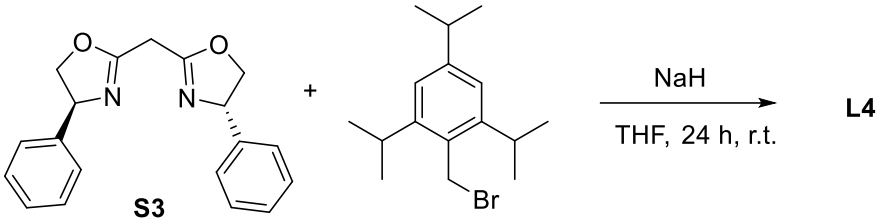
A solution of **S3** (712 mg, 2.00 mmol) in anhydrous THF (10 mL) was added NaH (60% dispersion in mineral oil, 480 mg, 12.00 mmol) at room temperature under argon atmosphere. After stirred for 30 min, 9-(bromomethyl)anthracene (0.108 g, 4.00 mmol) in 10 mL anhydrous THF was then added dropwise to the mixture. The reaction was stirred at room temperature for 24 h, then quenched with H_2O (20 mL) and extracted with CH_2Cl_2. The combined organic layer was dried over anhydrous Na_2SO_4, concentrated under reduced pressure and recrystallization to afford product **L2** as a yellow solid.

^{1}H NMR (500 MHz, Chloroform-*d*) δ 8.66 (d, *J* = 8.9 Hz, 4H), 8.39 (s, 2H), 7.99 (d, *J* = 8.5 Hz, 4H), 7.43 – 7.39 (m, 4H), 7.33 (t, *J* = 7.7 Hz, 4H), 7.22 – 7.18 (m, 6H), 6.98 – 6.94 (m, 4H), 4.94 (d, *J* = 15.1 Hz, 2H), 4.71 (d, *J* = 15.1 Hz, 2H), 4.44 (dd, *J* = 10.3, 8.6 Hz, 2H), 3.48 (dd, *J* = 10.4, 8.2 Hz, 2H), 3.38 (t, *J* = 8.4 Hz, 2H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 167.6, 141.7, 131.7, 131.5, 131.1, 128.9, 128.4, 127.3, 127.1, 127.0, 126.1, 125.0, 124.7, 74.6, 69.4, 50.7, 34.7.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{49}H_{39}N_2O_2$ 687.3007; Found 687.3003.

(4*S*,4'*S*)-2,2'-(1,3-bis(2,4,6-triisopropylphenyl)propane-2,2-diyl)bis(4-phenyl-4,5-dihydrooxazole) (L4)



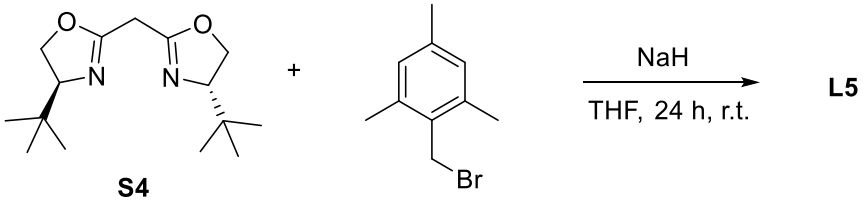
A solution of **S3** (712 mg, 2.00 mmol) in anhydrous THF (10 mL) was added NaH (60% dispersion in mineral oil, 480 mg, 12.00 mmol) at room temperature under argon atmosphere. After stirred for 30 min, 2-(bromomethyl)-1,3,5-triisopropylbenzene (0.118 g, 4.00 mmol) in 10 mL anhydrous THF was then added dropwise to the mixture. The reaction was stirred at room temperature for 24 h, then quenched with H_2O (20 mL) and extracted with CH_2Cl_2. The combined organic layer was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. The residue was subjected to silica gel chromatography (eluted with PE:EtOAc = 8:1) to afford product **L4** as a yellowish oil.

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.30 – 7.28 (m, 2H), 7.24 – 7.20 (m, 3H), 7.17 – 7.14 (m, 4H), 6.96 (s, 4H), 6.91 (s, 1H), 4.78 (d, *J* = 14.5 Hz, 2H), 4.42 (dd, *J* = 10.3, 7.1 Hz, 2H), 3.71 (t, *J* = 7.5 Hz, 2H), 3.51 (d, *J* = 14.6 Hz, 2H), 3.46 – 3.40 (m, 4H), 3.26 (dd, *J* = 10.4, 7.8 Hz, 2H), 2.89 – 2.86 (m, 2H), 1.27 – 1.25 (m, 24H), 1.15 (d, *J* = 6.8 Hz, 12H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 168.3, 149.1, 147.1, 142.5, 131.6, 128.5, 127.2, 126.8, 119.8, 74.2, 69.1, 49.9, 39.9, 34.3, 30.4, 29.8, 24.3, 24.2.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{51}H_{67}N_2O_2$ 739.5198; Found 739.5180.

(4*S*,4'*S*)-2,2'-(1,3-dimesitylpropane-2,2-diyl)bis(4-(tert-butyl)-4,5-dihydrooxazole) (L5)



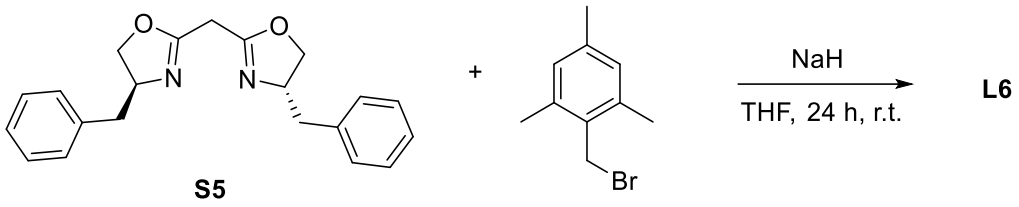
A solution of **S4** (532 mg, 2.00 mmol) in anhydrous THF (10 mL) was added NaH (60% dispersion in mineral oil, 480 mg, 12.00 mmol) at room temperature under argon atmosphere. After stirring for 30 min, 2-(bromomethyl)-1,3,5-trimethylbenzene (848 mg, 4.00 mmol) in 10 mL anhydrous THF was then added dropwise to the mixture. The reaction was stirred at room temperature for 24 h, then quenched with H_2O (20 mL) and extracted with CH_2Cl_2. The combined organic layer was dried over anhydrous Na_2SO_4, concentrated under reduced pressure and recrystallization to afford product **L5** as a white solid.

^{1}H NMR (500 MHz, Chloroform-*d*) δ 6.76 (s, 4H), 4.50 (d, *J* = 14.4 Hz, 2H), 3.56 – 3.53 (m, 2H), 3.35 (d, *J* = 14.5 Hz, 2H), 3.23 (dd, *J* = 10.0, 7.0 Hz, 2H), 2.90 (dd, *J* = 10.0, 8.2 Hz, 2H), 2.37 (s, 12H), 2.22 (s, 6H), 0.78 (s, 18H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 167.4, 138.7, 135.1, 134.3, 128.1, 75.2, 68.5, 48.8, 41.4, 33.6, 26.0, 22.0, 20.8.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{31}H_{51}N_2O_2$ 531.3946; Found 531.3949.

(4*S*,4'*S*)-2,2'-(1,3-dimesitylpropane-2,2-diyl)bis(4-benzyl-4,5-dihydrooxazole) (L6)



S5

A solution of **S5** (668 mg, 2.00 mmol) in anhydrous THF (10 mL) was added NaH (60% dispersion in mineral oil, 480 mg, 12.00 mmol) at room temperature under argon atmosphere. After stirring for 30 min, 2-(bromomethyl)-1,3,5-trimethylbenzene (848 mg, 4.00 mmol) in 10 mL anhydrous THF was then added dropwise to the mixture. The reaction was stirred at room temperature for 24 h, then quenched with H_2O (20 mL) and extracted with CH_2Cl_2. The combined organic layer was dried over anhydrous Na_2SO_4, concentrated under reduced pressure and recrystallization to afford product **L6** as a white solid.

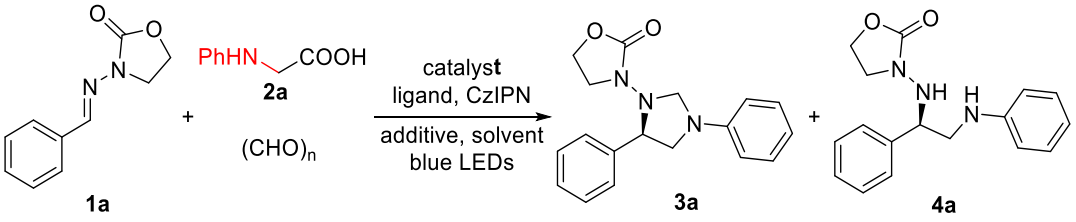
^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.27 – 7.21 (m, 6H), 7.17 (t, *J* = 7.5 Hz, 2H), 7.03 – 7.00 (m, 4H), 6.84 (s, 4H), 4.14 (d, *J* = 14.5 Hz, 2H), 4.12 – 4.05 (m, 2H), 3.75 (d, *J* = 14.5 Hz, 2H), 3.51 (t, *J* = 8.5 Hz, 2H), 3.02 (t, *J* = 8.0 Hz, 2H), 2.97 (dd, *J* = 13.5, 4.5 Hz, 2H), 2.38 (s, 12H), 2.27 (s, 6H), 1.79 (dd, *J* = 13.5, 10.5 Hz, 2H).

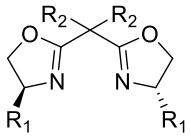
^{13}C NMR (125 MHz, $CDCl_3$) δ 167.7, 139.1, 138.5, 135.6, 133.6, 128.9, 128.5, 128.4, 126.2, 71.9, 67.0, 48.3, 41.8, 40.7, 21.8, 20.8.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{41}H_{47}N_2O_2$ 599.3633; Found 599.3633.

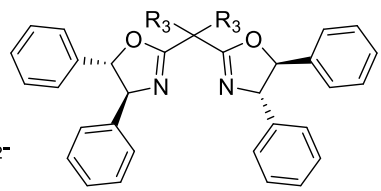
IV. Optimization of the reaction conditions:

Table S1 Reaction condition optimization (I)[a]





L1: R^1 = Ph, R^2 = 4-Br-naphthyl-CH_2-
L2: R^1 = Ph, R^2 = naphthyl-CH_2-
L3: R^1 = Ph, R^2 = meistyl-CH_2-
L4: R^1= Ph, R^2 = TRIP-CH_2-
L5: R^1 = ^{t}Bu, R^2 = meistyl-CH_2-
L6: R^1 = Bn, R^2 = meistyl-CH_2-
L7: R^1= ^{i}Pr, R^2= Ph
L8: R^1= ^{i}Pr, R^2= CH_3



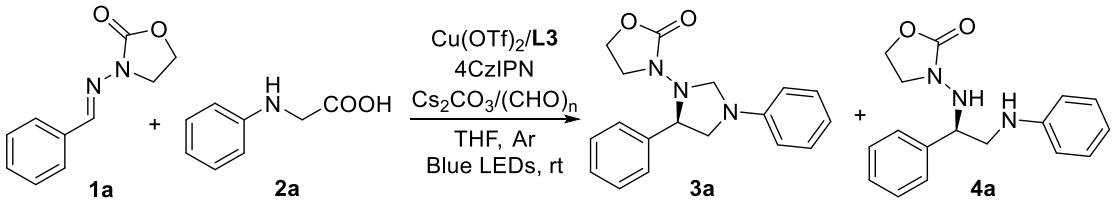
L9: R^3=CH_2Ph(2,4,6-trimethyl)

Entry	Metal salt	Ligand	Solvent	Additive	PC	Yield (%)[b]	*ee* (%)
1	$Cu(OTf)_2$	**L1**	THF	/	{Ir[dF(CF_3)ppy]$_2$bpy}PF_6	60	88
2	$Cu(OTf)_2$	**L1**	THF	Cs_2CO_3	{Ir[dF(CF_3)ppy]$_2$bpy}PF_6	88	86

3	$Cu(OTf)_2$	**L1**	Et_2O	Cs_2CO_3	$\{Ir[dF(CF_3)ppy]_2bpy\}PF_6$	63	83
4	$Cu(OTf)_2$	**L1**	DMF	Cs_2CO_3	$\{Ir[dF(CF_3)ppy]_2bpy\}PF_6$	91	9
5	$Cu(OTf)_2$	**L1**	DCM	Cs_2CO_3	$\{Ir[dF(CF_3)ppy]_2bpy\}PF_6$	0	n.a.
6	$Cu(OTf)_2$	**L1**	DCE	Cs_2CO_3	$\{Ir[dF(CF_3)ppy]_2bpy\}PF_6$	0	n.a.
7	$Cu(OTf)_2$	**L1**	1,4-Dioxane	Cs_2CO_3	$\{Ir[dF(CF_3)ppy]_2bpy\}PF_6$	40	76
8	$Cu(OTf)_2$	**L2**	THF	Cs_2CO_3	$\{Ir[dF(CF_3)ppy]_2bpy\}PF_6$	86	70
9	$Cu(OTf)_2$	**L3**	THF	Cs_2CO_3	$\{Ir[dF(CF_3)ppy]_2bpy\}PF_6$	89	90
10	$Cu(OTf)_2$	**L4**	THF	Cs_2CO_3	$\{Ir[dF(CF_3)ppy]_2bpy\}PF_6$	61	80
11	$Cu(OTf)_2$	**L5**	THF	Cs_2CO_3	$\{Ir[dF(CF_3)ppy]_2bpy\}PF_6$	23	30
12	$Cu(OTf)_2$	**L6**	THF	Cs_2CO_3	$\{Ir[dF(CF_3)ppy]_2bpy\}PF_6$	35	45
13	$Cu(OTf)_2$	**L7**	THF	Cs_2CO_3	$\{Ir[dF(CF_3)ppy]_2bpy\}PF_6$	56	48
14	$Cu(OTf)_2$	**L8**	THF	Cs_2CO_3	$\{Ir[dF(CF_3)ppy]_2bpy\}PF_6$	50	35
15	$Cu(OTf)_2$	**L9**	THF	Cs_2CO_3	$\{Ir[dF(CF_3)ppy]_2bpy\}PF_6$	90	28
16	$Cu(OTf)_2$	**L3**	THF	Cs_2CO_3	$Ir(ppy)_3$	49	71
17	$Cu(OTf)_2$	**L3**	THF	Cs_2CO_3	4CzIPN	90	91
18	$Cu(OTf)_2$	**L3**	THF	Cs_2CO_3	$[Ir(ppy)_2dtbbpy]PF_6$	89	90
19	$Cu(OTf)_2$	**L3**	THF	Cs_2CO_3	/	0	0
20	$Yb(OTf)_3$	**L3**	THF	Cs_2CO_3	4CzIPN	83	0
21	$Ni(OTf)_2$	**L3**	THF	Cs_2CO_3	4CzIPN	95	0
22	$Fe(OTf)_2$	**L3**	THF	Cs_2CO_3	4CzIPN	68	0
23	$Cu_3(PO_4)_2$	**L3**	THF	Cs_2CO_3	4CzIPN	95	0
24	$CuBr_2$	**L3**	THF	Cs_2CO_3	4CzIPN	86	5
25	$CuSO_4$	**L3**	THF	Cs_2CO_3	4CzIPN	95	5
26	$Cu(CF_3COO)_2$	**L3**	THF	Cs_2CO_3	4CzIPN	90	73
27	$Cu(CH_3COO)_2$	**L3**	THF	Cs_2CO_3	4CzIPN	93	40
28	/	**L3**	THF	Cs_2CO_3	4CzIPN	85	0
29	$Cu(OTf)_2$	**L3**	THF	Li_2CO_3	4CzIPN	85	86
30	$Cu(OTf)_2$	**L3**	THF	Na_2CO_3	4CzIPN	92	90
31	$Cu(OTf)_2$	**L3**	THF	K_2CO_3	4CzIPN	89	89
32	$Cu(OTf)_2$	**L3**	THF	Et_3N	4CzIPN	70	35

[a] Reaction conditions: **1a** (0.2 mmol), **2a** (0.6 mmol), $(CHO)_n$ (3.0 equiv), PC (1 mol%), Metal salt (10 mol%), Ligand (11 mol%), additive (20 mol%) in 2 ml solvent at room temperature, 12 h. [b] Yield of **3a** isolated product.

Table S2 Reaction condition optimization (II)[a]

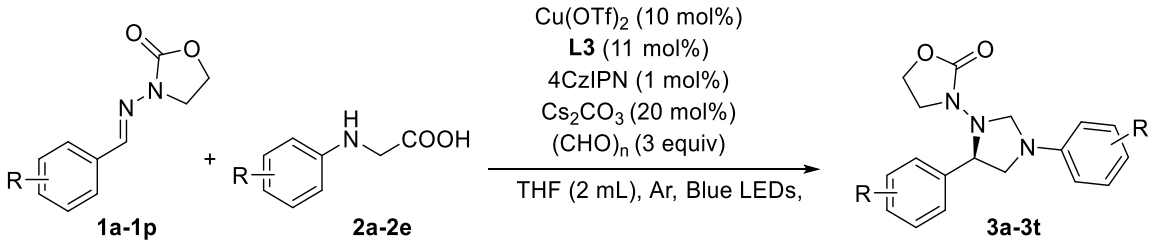


Entry	3a Yield (%)	4a Yield (%)	3a *ee* (%)	4a *ee* (%)
33[b]	70	12	90	92
34[c]	14	68	89	91
35[d]	87	trace	86	n.a.

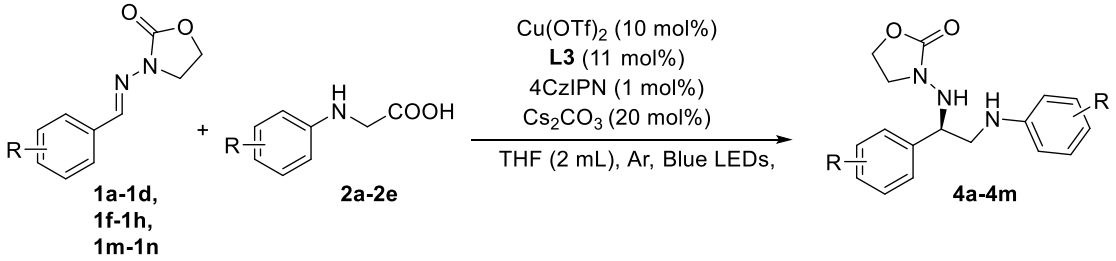
36[e]	40	43	92	94
37[f]	53	28	93	94
38[g]	trace	85	n.a.	93
39[h]	96	trace	95	n.a
40[i]	88	trace	90	n.a.
41[j]	72	12	89	90
42[k]	54	38	89	91

[a] Reaction conditions: **1a** (0.2 mmol), **2a** (0.6 mmol), $(CHO)_n$ (3.0 equiv), PC (1 mol%), Metal salt (10 mol%), Ligand (11 mol%), additive (20 mol%) in 2 ml solvent at room temperature, 12 h. [b] 2.0 equiv of **2a** was used. [c] no $(CHO)_n$ and 2.0 equiv of **2a** was used. [d] The reaction temperature is 40 ℃ [e] The reaction temperature is 0 ℃. [f] The reaction temperature is 0 ℃, 24 h. [g] The reaction temperature is 0 ℃, no $(CHO)_n$ and 2.0 equiv of **2a** was used， 24 h. [h] 12 h at 0 ℃ and 12 h at room temperature. [i] 20 mol% 3Å Molecular Sieve was used. [j] 20 mol% 4Å Molecular Sieve was used. [k] 20 mol% 5Å Molecular Sieve was used.

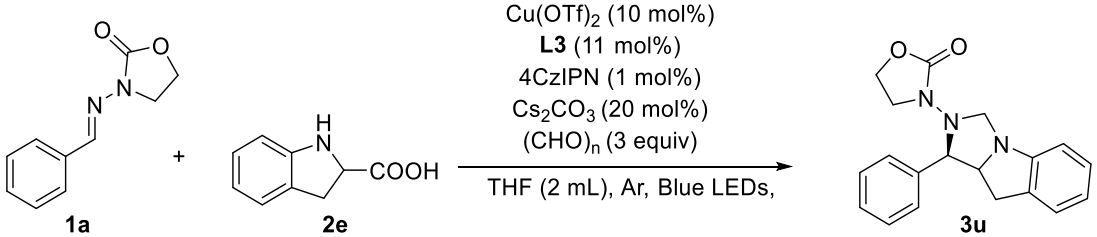
V. General Procedure:



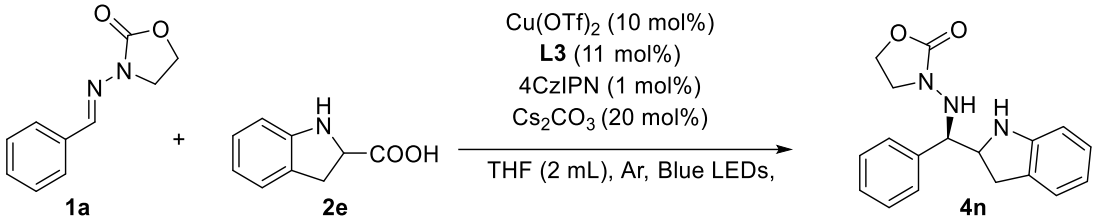
A solution of $Cu(OTf)_2$ (7.2 mg, 0.002 mmol, 10 mol%) and **L3** (12.0 mg, 0.0022 mmol, 11 mol%) in THF (2.0 mL) was stirred at 40 °C for 1 h in a 10 ml Schlenk tube. **1a-1n** (0.2 mmol, 1.0 equiv), **2a-2e** (0.6 mmol, 3.0 equiv), 4CzIPN (1.5 mg, 0.002 mmol, 1.0 mol%), $(CHO)_n$ (18.0 mg, 0.6 mmol, 3.0 equiv) and Cs_2CO_3 (13.0 mg, 0.04 mmol, 20 mol%) were added into this Schlenk tube, degassed three times by freeze-pump-thaw cycles. The reaction mixture was stirred under an argon atmosphere irradiated by blue LED (λ_{max} = 455 nm) from a 3.0 cm distance for 12 h at 0 °C and 12 h at room temperature. The reaction mixture was concentrated to dryness. The residue was purified by flash chromatography on silica gel (eluted with PE/EtOAc = 9:1) to afford non-racemic product **3a-3r**.



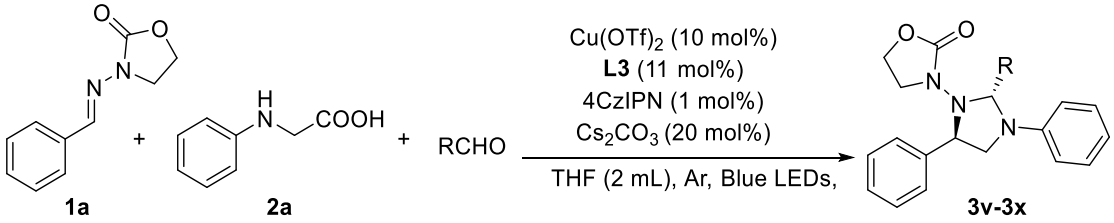
A solution of $Cu(OTf)_2$ (7.2 mg, 0.002 mmol, 10 mol%) and **L3** (12.0 mg, 0.0022 mmol, 11 mol%) in THF (2.0 mL) was stirred at 40 °C for 1 h in a 10 ml Schlenk tube. **1a-1n** (0.2 mmol, 1.0 equiv), **2a-2e** (0.4 mmol, 2 equiv), 4CzIPN (1.5 mg, 0.002 mmol, 1.0 mol%) and Cs_2CO_3 (13.0 mg, 0.04 mmol, 20 mol%) were added into this Schlenk tube, degassed three times by freeze-pump-thaw cycles. The reaction mixture was stirred under an argon atmosphere irradiated by blue LED (λ_{max} = 455 nm) from a 3.0 cm distance for 24 h at 0 °C. The reaction mixture was concentrated to dryness. The residue was purified by flash chromatography on silica gel (eluted with PE/EtOAc = 4:1) to afford non-racemic product **3a-3r**.



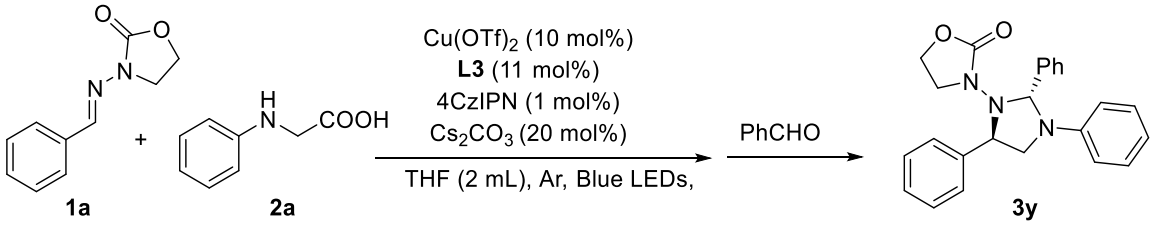
A solution of $Cu(OTf)_2$ (7.2 mg, 0.002 mmol, 10 mol%) and **L3** (12.0 mg, 0.0022 mmol, 11 mol%) in THF (2.0 mL) was stirred at 40 °C for 1 h in a 10 ml Schlenk tube. **1a** (38.0 mg, 0.2 mmol, 1.0 equiv), **2e** (97.8 mg, 0.6 mmol, 3.0 equiv), 4CzIPN (1.5 mg, 0.002 mmol, 1.0 mol%), $(CHO)_n$ (18.0 mg, 0.6 mmol, 3.0 equiv) and Cs_2CO_3 (13.0 mg, 0.04 mmol, 20 mol%) were added into this Schlenk tube, degassed three times by freeze-pump-thaw cycles. The reaction mixture was stirred under an argon atmosphere irradiated by blue LED (λ_{max} = 455 nm) from a 3.0 cm distance for 24 h at 0 °C and 24 h at room temperature. The reaction mixture was concentrated to dryness. The residue was purified by flash chromatography on silica gel (eluted with PE/EtOAc = 5:1) to afford non-racemic product **3s**.



A solution of $Cu(OTf)_2$ (7.2 mg, 0.002 mmol, 10 mol%) and **L3** (12.0 mg, 0.0022 mmol, 11 mol%) in THF (2.0 mL) was stirred at 40 °C for 1 h in a 10 ml Schlenk tube. **1a** (38.0 mg, 0.2 mmol, 1.0 equiv), **2e** (65.2 mg, 0.4 mmol, 2.0 equiv), 4CzIPN (1.5 mg, 0.002 mmol, 1.0 mol%) and Cs_2CO_3 (13.0 mg, 0.04 mmol, 20 mol%) were added into this Schlenk tube, degassed three times by freeze-pump-thaw cycles. The reaction mixture was stirred under an argon atmosphere irradiated by blue LED (λ_{max} = 455 nm) from a 3.0 cm distance for 24 h at 0 °C and 24 h at room temperature. The reaction mixture was concentrated to dryness. The residue was purified by flash chromatography on silica gel (eluted with PE/EtOAc = 3:1) to afford non-racemic product **4n**



A solution of $Cu(OTf)_2$ (7.2 mg, 0.002 mmol, 10 mol%) and **L3** (12.0 mg, 0.0022 mmol, 11 mol%) in THF (2.0 mL) was stirred at 40 °C for 1 h in a 10 ml Schlenk tube. **1a** (38.0 mg, 0.2 mmol, 1.0 equiv), **2a** (90.0 mg, 0.6 mmol, 3.0 equiv), 4CzIPN (1.5 mg, 0.002 mmol, 1.0 mol%), RCHO (1.0 mmol, 5.0 equiv) and Cs_2CO_3 (13.0 mg, 0.04 mmol, 20 mol%) were added into this Schlenk tube, degassed three times by freeze-pump-thaw cycles. The reaction mixture was stirred under an argon atmosphere irradiated by blue LED (λ_{max} = 455 nm) from a 3.0 cm distance for 20 h at 0 °C and 12 h at 40 °C. The reaction mixture was concentrated to dryness. The residue was purified by flash chromatography on silica gel (eluted with PE/EtOAc = 10:1) to afford non-racemic product **3t-3v**.



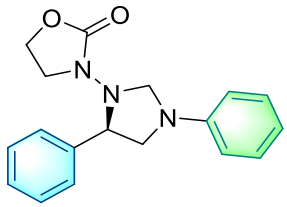
A solution of $Cu(OTf)_2$ (7.2 mg, 0.002 mmol, 10 mol%) and **L3** (12.0 mg, 0.0022 mmol, 11 mol%) in THF (2.0 mL) was stirred at 40 °C for 1 h in a 10 ml Schlenk tube. **1a** (38.0 mg, 0.2 mmol, 1.0 equiv), **2a** (90.0 mg, 0.6 mmol, 3.0 equiv), 4CzIPN (1.5 mg, 0.002 mmol, 1.0 mol%) and Cs_2CO_3 (13.0 mg, 0.04 mmol, 20 mol%) were added into this Schlenk tube, degassed three times by freeze-pump-thaw cycles. After the reaction mixture was stirred under an argon atmosphere irradiated by blue LED (λ_{max} = 455 nm) from a 3.0 cm distance for 20 h at 0 °C, PhCHO (10.6 mg, 1.0 mmol, 5.0 equiv) was added into Schlenk tube for 12 h at 50 °C. The reaction mixture was concentrated to dryness. The residue was purified by flash chromatography on silica gel (eluted with PE/EtOAc = 10:1) to afford non-racemic product **3w**.

Gram-scale reactions:

A solution of $Cu(OTf)_2$ (0.190 g, 0.53 mmol, 10 mol%) and **L3** (0.316 g, 0.55 mmol, 11 mol%) in THF (25.0 mL) was stirred at 40 ºC for 2 h in a 100 ml round bottom flask. **1a** (1.00 g, 5.26 mmol, 1.0 equiv), **2a** (2.37g, 15.79 mmol, 3.0 equiv), 4CzIPN (4.0 mg, 0.0053 mmol, 0.1 mol%), $(CHO)_n$ (0.45 g, 15.79mmol, 3.0 equiv) and Cs_2CO_3 (0.342 g, 1.05 mmol, 20 mol%) were added into this round bottom flask, degassed three times by freeze-pump-thaw cycles. The reaction mixture was stirred under an argon atmosphere irradiated by blue LED (λ_{max} = 455 nm) from a 3.0 cm distance for 20 h at 0 ºC and 20 h at room temperature. The reaction mixture was concentrated to dryness. The residue was purified by flash chromatography on silica gel (eluted with PE/EtOAc = 9:1) to afford non-racemic product **3a**.

VI. Characterization of products:

(*R*)-3-(3,5-diphenylimidazolidin-1-yl)oxazolidin-2-one (3a)



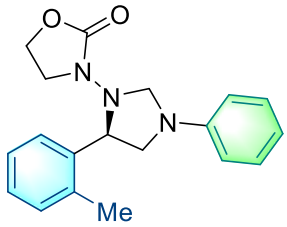
White solid, yield: 59.5 mg (96%); mp. = 172.6-173.8 °C; $[\alpha]_D^{20}$ = -73.5 (c 0.054, $CHCl_3$);
Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 95% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 15.1 min, tr(major) = 25.0 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.56 – 7.51 (m, 2H), 7.40 – 7.33 (m, 3H), 7.27 – 7.22 (m, 2H), 6.76 (t, *J* = 7.3 Hz, 1H), 6.50 (d, *J* = 8.6 Hz, 2H), 5.03 (dd, *J* = 9.4, 6.9 Hz, 1H), 4.74 (d, *J* = 3.0 Hz, 1H), 4.65 (d, *J* = 3.0 Hz, 1H), 4.20 (td, *J* = 9.0, 7.2 Hz, 1H), 4.14 (td, *J* = 8.9, 6.4 Hz, 1H), 3.80 (dd, *J* = 8.6, 6.9 Hz, 1H), 3.61 (td, *J* = 9.0, 8.6, 6.4 Hz, 1H), 3.38 – 3.32 (m, 2H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 155.8, 145.9, 137.6, 129.3, 128.8, 128.6, 128.0, 117.3, 111.6, 66.1, 63.5, 61.5, 53.9, 43.5.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{18}H_{20}N_3O_2$ 310.1551; Found 310.1550

(*R*)-3-(3-phenyl-5-(o-tolyl)imidazolidin-1-yl)oxazolidin-2-one (3b)



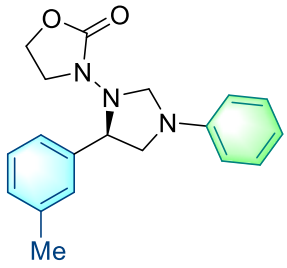
White solid, yield: 50.1 mg (77%); mp. = 116.6-118.2 °C; $[\alpha]_D^{20}$ = -86.2 (c 1.10, $CHCl_3$);
Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 95% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 10.7 min, tr(major) = 16.3 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.73 (dd, *J* = 7.5, 1.6 Hz, 1H), 7.27 – 7.25 (m, 1H), 7.24 – 7.14 (m, 4H), 6.75 (t, *J* = 7.3 Hz, 1H), 6.49 (d, *J* = 7.4 Hz, 2H), 5.33 (dd, *J* = 9.2, 7.0 Hz, 1H), 4.72 (d, *J* = 3.0 Hz, 1H), 4.68 (d, *J* = 3.1 Hz, 1H), 4.25 – 4.13 (m, 2H), 3.82 (dd, *J* = 8.6, 7.0 Hz, 1H), 3.64 – 3.58 (m, 1H), 3.48 (dt, *J* = 9.0, 7.3 Hz, 1H), 3.23 (t, *J* = 8.9 Hz, 1H), 2.42 (s, 3H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 155.9, 146.0, 136.8, 135.8, 130.6, 129.3, 127.9, 127.0, 126.6, 117.3, 111.7, 65.9, 61.5, 60.0, 52.9, 43.5, 19.4.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{19}H_{22}N_3O_2$ 324.1707; Found 324.1710.

(*R*)-3-(3-phenyl-5-(m-tolyl)imidazolidin-1-yl)oxazolidin-2-one (3c)



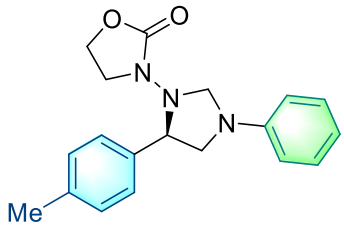
White solid, yield: 58.0 mg (90%); mp. = 135.3-136.2 °C; $[\alpha]_D^{20}$ = -47.5 (c 2.05, $CHCl_3$);
Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 91% (HPLC: AD, 254 nm, n-hexane/isopropanol = 98:2, flow rate: 1 mL/min, 25 °C, tr(minor) = 103.7 min, tr(major) = 112.3 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.34 (d, *J* = 10.0 Hz, 2H), 7.29 – 7.26 (m, 1H), 7.26 – 7.22 (m, 2H), 7.16 (d, *J* = 7.6 Hz, 1H), 6.76 (t, *J* = 7.2 Hz, 1H), 6.49 (d, *J* = 8.0 Hz, 2H), 5.03 – 4.96 (m, 1H), 4.73 (d, *J* = 3.0 Hz, 1H), 4.65 (d, *J* = 2.5 Hz, 1H), 4.25 – 4.13 (m, 2H), 3.81 – 3.75 (m, 1H), 3.66 –3.59 (m, 1H), 3.39 – 3.32 (m, 2H), 2.37 (s, 3H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 155.8, 146.0, 138.5, 137.6, 129.3, 129.3, 128.7, 128.6, 125.0, 117.2, 111.6, 66.1, 63.5, 61.5, 53.9, 43.5, 21.4.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{19}H_{22}N_3O_2$ 324.1707; Found 324.1702.

(*R*)-3-(3-phenyl-5-(p-tolyl)imidazolidin-1-yl)oxazolidin-2-one (3d)



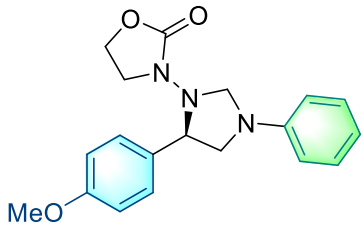
Yellowish solid, yield: 51.9 mg (80%); mp. = 165.3-166.8 °C; $[\alpha]_D^{20}$ = -64.5 (c 0.79, $CHCl_3$);
Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 95% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 14.6 min, tr(major) = 28.3 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.42 (d, *J* = 8.0 Hz, 2H), 7.25 – 7.21 (m, 2H), 7.19 (d, *J* = 7.8 Hz, 2H), 6.75 (t, *J* = 7.3 Hz, 1H), 6.48 (d, *J* = 7.7 Hz, 2H), 4.99 (dd, *J* = 9.4, 6.8 Hz, 1H), 4.72 (d, *J* = 3.0 Hz, 1H), 4.64 (d, *J* = 3.0 Hz, 1H), 4.23 – 4.12 (m, 2H), 3.77 (dd, *J* = 8.6, 6.9 Hz, 1H), 3.61 (td, *J* = 9.0, 8.6, 6.5 Hz, 1H), 3.35 (td, *J* = 9.0, 6.4 Hz, 2H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 155.8, 146.0, 138.4, 134.4, 129.5, 129.3, 128.0, 117.2, 111.6, 66.0, 63.2, 61.5, 53.8, 43.4, 21.2.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{19}H_{22}N_3O_2$ 324.1707; Found 324.1705.

(*R*)-3-(5-(4-methoxyphenyl)-3-phenylimidazolidin-1-yl)oxazolidin-2-one (3e)



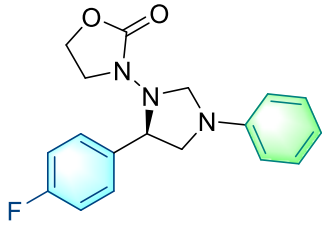
White solid, yield: 55.8 mg (82%); mp. = 182.5-183.5 °C; $[\alpha]_D^{20}$ = -40.5 (c 1.17, $CHCl_3$);
Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 91% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 19.6 min, tr(major) = 35.3 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.47 – 7.43 (m, 2H), 7.26 – 7.22 (m, 2H), 6.94 – 6.89 (m, 2H), 6.75 (t, *J* = 7.3 Hz, 1H), 6.49 (d, *J* = 8.4 Hz, 2H), 4.98 (dd, *J* = 9.4, 6.8 Hz, 1H), 4.73 (d, *J* = 3.0 Hz, 1H), 4.63 (d, *J* = 3.0 Hz, 1H), 4.24 – 4.13 (m, 2H), 3.82 (s, 3H), 3.76 (dd, *J* = 8.6, 6.8 Hz, 1H), 3.64 – 3.57 (m, 1H), 3.36 – 3.28 (m, 2H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 159.8, 155.8, 146.0, 129.3, 129.24, 117.2, 114.1, 111.5, 66.0, 62.8, 61.5, 55.3, 53.7, 43.6.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{19}H_{22}N_3O_2$ 340.1656; Found 340.1655.

(*R*)-3-(5-(4-fluorophenyl)-3-phenylimidazolidin-1-yl)oxazolidin-2-one (3f)



Yellowish solid, yield: 59.1 mg (90%); mp. = 183.0-183.8 °C; $[\alpha]_D^{20}$ = -88.5 (c 0.14, $CHCl_3$);
Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 92% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 16.8 min, tr(major) = 24.5 min.)

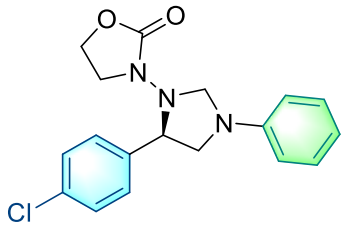
^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.52 (dd, *J* = 8.4, 5.4 Hz, 2H), 7.25 – 7.21 (m, 2H), 7.08 (t, *J* = 8.5 Hz, 2H), 6.77 (t, *J* = 7.3 Hz, 1H), 6.49 (d, *J* = 8.2 Hz, 2H), 5.03 (dd, *J* = 9.4, 6.8 Hz, 1H), 4.73 (d, *J* = 3.2 Hz, 1H), 4.65 (d, *J* = 3.2 Hz, 1H), 4.27 – 4.14 (m, 2H), 3.79 (t, *J* = 7.7 Hz, 1H), 3.66 – 3.59 (m, 1H), 3.34 (m, 2H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 162.8 (d, *J* = 246.96 Hz), 155.7, 145.9, 133.4 (d, *J* = 2.52 Hz), 129.7 (d, *J* = 7.56 Hz), 129.3, 117.4, 115.7 (d, *J* = 21.42 Hz), 111.6, 66.0, 62.8, 61.5, 53.9, 43.5.

^{19}F NMR (471 MHz, $CDCl_3$) δ -113.40.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{18}H_{19}FN_3O_2$ 328.1456; Found 328.1456.

(*R*)-3-(5-(4-chlorophenyl)-3-phenylimidazolidin-1-yl)oxazolidin-2-one (3g)



Yellowish solid, yield: 57.8 mg (84%); mp. = 213.6-215.1 °C; $[\alpha]_D^{20}$ = -67.1 (c 0.79, $CHCl_3$); Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 90% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 16.5 min, tr(major) = 33.8 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.48 (d, *J* = 8.2 Hz, 2H), 7.36 (d, *J* = 8.5 Hz, 2H), 7.24 (d, *J* = 8.5 Hz, 2H), 6.77 (t, *J* = 6.9 Hz, 1H), 6.49 (d, *J* = 8.7 Hz, 2H), 5.03 (dd, *J* = 9.2, 6.9 Hz, 1H), 4.73 (d, *J* = 2.9 Hz, 1H), 4.65 (d, *J* = 2.9 Hz, 1H), 4.26 – 4.15 (m, 2H), 3.79 (dd, *J* = 8.6, 6.9 Hz, 1H), 3.63 (td, *J* = 8.7, 7.3 Hz, 1H), 3.37 (q, *J* = 8.4 Hz, 1H), 3.30 (t, *J* = 8.9 Hz, 1H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 155.7, 145.8, 136.3, 134.3, 129.4, 129.3, 129.0, 117.5, 111.7, 66.0, 62.9, 61.5, 53.8, 43.5.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{18}H_{19}ClN_3O_2$ 344.1160; Found 344.1162.

The absolute stereochemistry of the product was determined by X-ray crystallographic analysis of 3g.

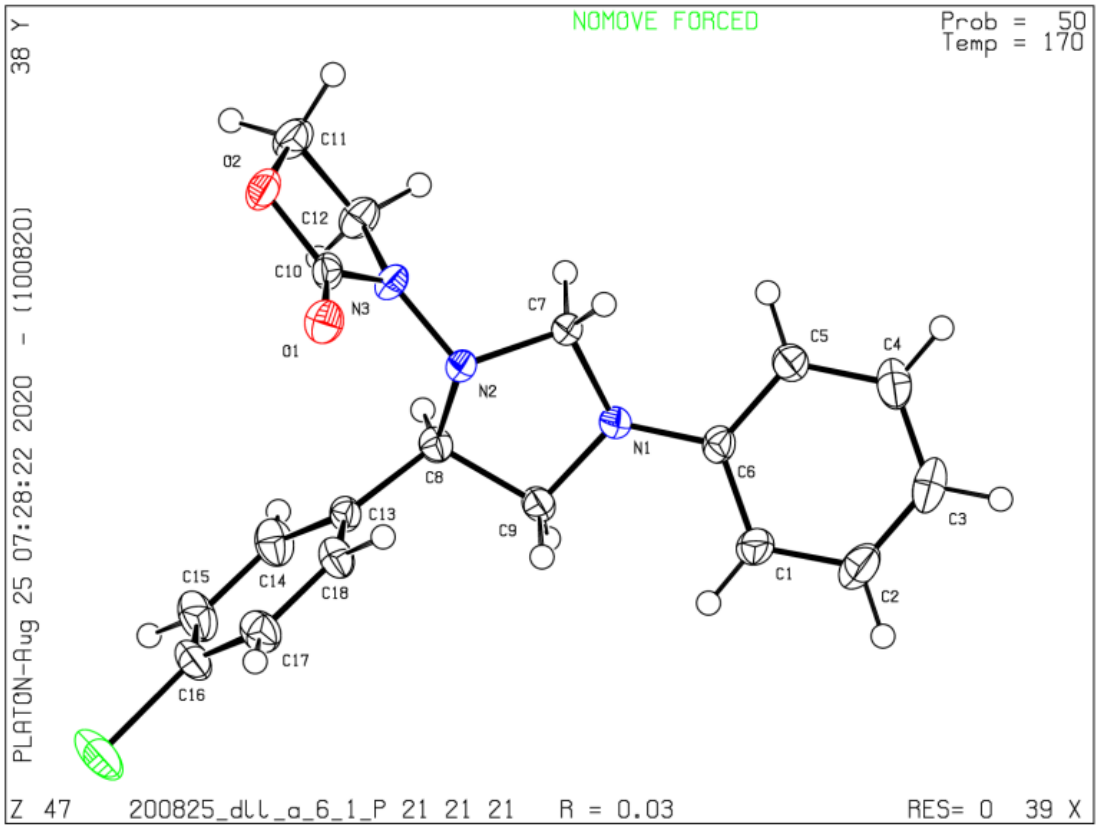
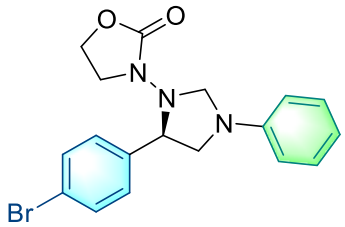


Figure S1. ORTEP drawing of (R)-3g with probability ellipsoids drawn at 50% probability ellipsoids. (CCDC Number: 2054232)

Crystal data and structure refinement for (R)-3g, recrystallized from dichloromethane

Empirical formula	$C_{18}H_{18}ClN_3O_2$
Formula weight	343.80
Temperature/K	170.0
Crystal system	orthorhombic
Space group	$P2_12_12_1$
a/Å	6.0897(15)
b/Å	9.406(2)
c/Å	28.473(7)
α/°	90
β/°	90
γ/°	90
Volume/Å^3	1631.0(7)
Z	4
ρ_{calc}g/cm^3	1.400
μ/mm^{-1}	0.250
F(000)	720.0
Crystal size/mm^3	0.46 × 0.23 × 0.18
Radiation	MoKα (λ = 0.71073)
2Θ range for data collection/°	4.56 to 54.136
Index ranges	$-7 \leq h \leq 7$, $-12 \leq k \leq 12$, $-36 \leq l \leq 36$
Reflections collected	20803
Independent reflections	3592 [R_{int} = 0.0281, R_{sigma} = 0.0208]
Data/restraints/parameters	3592/0/217
Goodness-of-fit on F^2	1.053
Final R indexes [I>=2σ (I)]	R_1 = 0.0256, wR_2 = 0.0656
Final R indexes [all data]	R_1 = 0.0265, wR_2 = 0.0666
Largest diff. peak/hole / e Å^{-3}	0.15/-0.25
Flack parameter	0.003(14)

(*R*)-3-(5-(4-bromophenyl)-3-phenylimidazolidin-1-yl)oxazolidin-2-one (3h)



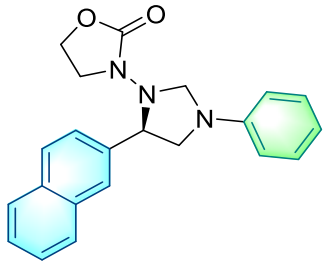
Yellowish solid, yield: 56.8 mg (73%); mp. = 227.9-229.5 °C; $[\alpha]_D^{20}$ = -81.9 (c 0.16, $CHCl_3$); Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 92% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 16.3 min, tr(major) = 39.5 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.52 (d, *J* = 8.0 Hz, 2H), 7.42 (d, *J* = 8.2 Hz, 2H), 7.25 –7.20 (m, 2H), 6.77 (t, *J* = 7.3 Hz, 1H), 6.49 (d, *J* = 8.0 Hz, 2H), 5.01 (dd, *J* = 9.3, 6.7 Hz, 1H), 4.72 (d, *J* = 3.2 Hz, 1H), 4.65 (d, *J* = 3.2 Hz, 1H), 4.30 – 4.15 (m, 2H), 3.83 – 3.77 (m, 1H), 3.63 (q, *J* = 8.4 Hz, 1H), 3.37 (q, *J* = 8.0 Hz, 1H), 3.30 (t, *J* = 8.9 Hz, 1H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 155.7, 145.8, 136.9, 132.0, 129.7, 129.3, 122.5, 117.5, 111.7, 66.1, 63.0, 61.5, 53.8, 43.5.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{18}H_{19}BrN_3O_2$ 389.0655; Found 389.0658.

(*R*)-3-(5-(naphthalen-2-yl)-3-phenylimidazolidin-1-yl)oxazolidin-2-one (3i)



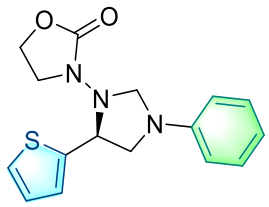
Yellowish solid, yield: 46.8 mg (65%); mp. = 202.8-204.2 °C; $[\alpha]_D^{20}$ = -83.8 (c 0.60, $CHCl_3$); Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 95% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 23.0 min, tr(major) = 28.1 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.96 (d, *J* = 1.6 Hz, 1H), 7.91 – 7.82 (m, 3H), 7.70 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.55 – 7.47 (m, 2H), 7.30 – 7.26 (m, 1H), 7.26 – 7.22 (m, 1H), 6.77 (t, *J* = 6.8 Hz, 1H), 6.51 (d, *J* = 8.7 Hz, 2H), 5.24 (dd, *J* = 9.3, 7.0 Hz, 1H), 4.81 (d, *J* = 2.9 Hz, 1H), 4.71 (d, *J* = 3.0 Hz, 1H), 4.18 (td, *J* = 8.9, 7.1 Hz, 1H), 4.08 (td, *J* = 8.9, 6.3 Hz, 1H), 3.86 (dd, *J* = 8.6, 7.0 Hz, 1H), 3.68 – 3.59 (m, 1H), 3.47 (t, *J* = 9.0 Hz, 1H), 3.35 – 3.26 (m, 1H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 155.8, 146.0, 135.1, 133.5, 133.2, 129.3, 128.7, 128.0, 127.8, 127.7, 126.4, 126.3, 125.1, 117.3, 111.6, 66.1, 63.5, 61.5, 53.7, 43.9.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{22}H_{22}N_3O_2$ 360.1707; Found 360.1710.

(S)-3-(3-phenyl-5-(thiophen-2-yl)imidazolidin-1-yl)oxazolidin-2-one (3j)



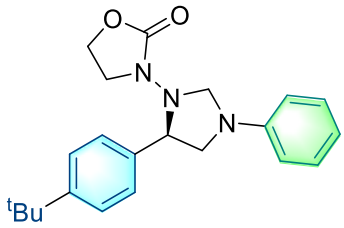
Brown solid, yield: 34.8 mg (55%); mp. = 160.5-161.1 °C; $[\alpha]_D^{20}$ = -30.9 (c 1.02, $CHCl_3$);
Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* =80% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 13.6 min, tr(major) = 21.4 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.32 (d, *J* = 4.0 Hz, 1H), 7.27 – 7.23 (m, 7.3 Hz, 2H), 7.16 (d, *J* = 2.4 Hz, 1H), 7.00 (dd, *J* = 5.1, 3.5 Hz, 1H), 6.77 (t, *J* = 7.4 Hz, 1H), 6.50 (d, *J* = 7.6 Hz, 2H), 5.47 (dd, *J* = 9.1, 6.8 Hz, 1H), 4.77 (d, *J* = 2.9 Hz, 1H), 4.63 (d, *J* = 2.9 Hz, 1H), 4.26 (td, *J* = 8.9, 7.2 Hz, 1H), 4.19 (td, J = 8.9, 6.5 Hz, 1H), 3.85 (dd, J = 8.6, 6.8 Hz, 1H), 3.71 – 3.62 (m, 1H)), 3.47 (t, J = 8.8 Hz, 1H), 3.38 (dd, J = 15.8, 8.6 Hz, 1H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 155.6, 145.8, 141.6, 129.3, 127.2, 126.9, 125.9, 117.4, 111.6, 66.0, 61.7, 58.9, 54.0, 45.1.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{16}H_{18}N_3O_2S$ 316.1114; Found 316.1112.

(*R*)-3-(5-(4-(*tert*-butyl)phenyl)-3-phenylimidazolidin-1-yl)oxazolidin-2-one (3k)



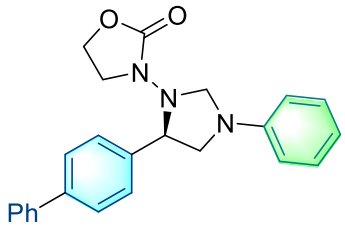
White solid, yield: 49.8 mg (68%); mp. = 134.3-136.0 °C; $[\alpha]_D^{20}$ = -65.6 (c 0.25, $CHCl_3$);
Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 91% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 7.7 min, tr(major) = 19.7 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.45 (d, *J* = 8.4 Hz, 2H), 7.39 (d, *J* = 8.3 Hz, 2H), 7.23 (d, *J* = 8.3 Hz, 2H), 6.75 (t, *J* = 7.3 Hz, 1H), 6.48 (d, *J* = 8.4 Hz, 2H), 4.99 (dd, *J* = 9.4, 6.9 Hz, 1H), 4.72 (d, *J* = 3.0 Hz, 1H), 4.65 (d, *J* = 3.1 Hz, 1H), 4.24 – 4.14 (m, 2H), 3.77 (dd, *J* = 8.6, 6.9 Hz, 1H), 3.62 (td, *J* = 8.6, 6.6 Hz, 1H), 3.41 – 3.32 (m, 2H), 1.33 (s, 9H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 155.8, 151.6, 146.0, 134.4, 129.3, 127.6, 125.7, 117.2, 111.6, 66.1, 63.3, 61.5, 53.9, 43.2, 34.6, 31.4.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{22}H_{28}N_3O_2$ 366.2176; Found 366.2179.

(*R*)-3-(5-([1,1'-biphenyl]-4-yl)-3-phenylimidazolidin-1-yl)oxazolidin-2-one (3l)



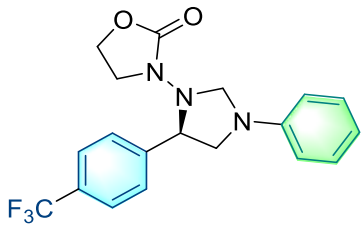
White solid, yield: 48.9 mg (62%); mp. = 206.3-207.5 °C; $[\alpha]_D^{20}$ = -51.8 (c 0.87, $CHCl_3$);
Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 91% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 16.5 min, tr(major) = 35.7 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.65 – 7.57 (m, 6H), 7.45 (t, *J* = 7.7 Hz, 2H), 7.38 – 7.34 (m, 1H), 7.27 – 7.25 (m, 1H), 7.25 – 7.23 (m, 1H), 6.77 (t, *J* = 7.4 Hz, 1H), 6.50 (d, *J* = 7.9 Hz, 2H), 5.08 (dd, *J* = 9.3, 6.9 Hz, 1H), 4.76 (d, *J* = 3.0 Hz, 1H), 4.68 (d, *J* = 3.0 Hz, 1H), 4.26 – 4.15 (m, 2H), 3.83 (dd, *J* = 8.6, 6.9 Hz, 1H), 3.68 – 3.62 (m, 1H), 3.44 – 3.36 (m, 2H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 155.8, 146.0, 141.5, 140.5, 136.7, 129.3, 128.9, 128.5, 127.5, 127.5, 127.1, 117.3, 111.6, 66.1, 63.3, 61.5, 53.9, 43.5.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{24}H_{24}N_3O_2$ 386.1863; Found 386.1862.

(*R*)-3-(3-phenyl-5-(4-(trifluoromethyl)phenyl)imidazolidin-1-yl)oxazolidin-2-one (3m)



White solid, yield: 54.5 mg (72%); mp. = 183.0-183.8 °C; $[\alpha]_D^{20}$ = -60.0 (c 0.89, $CHCl_3$);
Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 91% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 16.6 min, tr(major) = 46.3 min.)

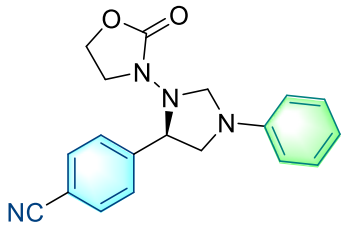
^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.67 (q, *J* = 8.3 Hz, 4H), 7.28 – 7.23 (m, 4H), 6.79 (t, *J* = 7.3 Hz, 1H), 6.51 (d, *J* = 7.6 Hz, 2H), 5.12 (dd, *J* = 9.1, 7.0 Hz, 1H), 4.75 (d, *J* = 2.9 Hz, 1H), 4.68 (d, *J* = 2.9 Hz, 1H), 4.28 – 4.17 (m, 2H), 3.85 (dd, *J* = 8.7, 7.0 Hz, 1H), 3.65 (td, *J* = 8.5, 6.7 Hz, 1H), 3.41 (q, *J* = 8.0 Hz, 1H), 3.32 (t, *J* = 8.9 Hz, 1H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 155.7, 145.8, 142.2, 130.9, 129.4, 128.3, 125.8, 117.7, 111.8, 66.2, 63.2, 61.5, 54.0, 43.4.

^{19}F NMR (471 MHz, $CDCl_3$) δ -62.57.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{19}H_{19}F_3N_3O_2$ 378.1424; Found 378.1422.

(*R*)-4-(3-(2-oxooxazolidin-3-yl)-1-phenylimidazolidin-4-yl)benzonitrile (3n)



Yellowish oil, yield: 51.6 mg (77%); $[\alpha]_D^{20}$ = -90.2 (c 0.62, $CHCl_3$);

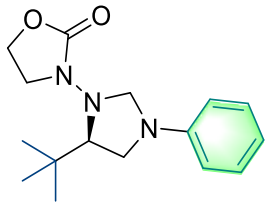
Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 92% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 19.1 min, tr(major) = 33.2 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.68 (s, 4H), 7.29 – 7.22 (m, 2H), 6.79 (t, *J* = 7.3 Hz, 1H), 6.50 (d, *J* = 8.1 Hz, 2H), 5.10 (dd, *J* = 9.0, 7.0 Hz, 1H), 4.72 (d, *J* = 3.0 Hz, 1H), 4.67 (d, *J* = 3.0 Hz, 1H), 4.29 – 4.18 (m, 2H), 3.85 (dd, *J* = 8.7, 7.0 Hz, 1H), 3.66 (q, *J* = 7.9 Hz, 1H), 3.42 (q, *J* = 7.9 Hz, 1H), 3.28 (t, *J* = 8.8 Hz, 1H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 154.7, 144.7, 142.7, 131.6, 128.4, 127.6, 117.5, 116.9, 111.4, 110.9, 65.2, 62.4, 60.5, 52.9, 42.2.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{19}H_{19}N_4O_2$ 335.1503; Found 335.1502.

(*R*)-3-(5-(*tert*-butyl)-3-phenylimidazolidin-1-yl)oxazolidin-2-one (3o)



Yellowish oil, yield: 36.0 mg (62%); $[\alpha]_D^{20}$ = -70.5 (c 0.73, $CHCl_3$);

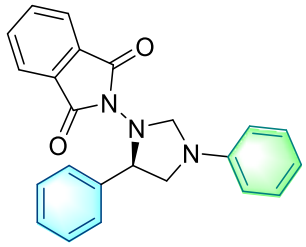
Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 53% (HPLC: AD, 254 nm, n-hexane/isopropanol = 90:10, flow rate: 1 mL/min, 25 °C, tr(minor) = 9.7 min, tr(major) = 10.7 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.29 – 7.22 (m, 4H), 6.82 – 6.77 (m, 1H), 6.62 – 6.55 (m, 2H), 4.37 (s, 2H), 4.31 (dd, *J* = 8.7, 7.3 Hz, 2H), 3.71 (td, *J* = 7.7, 1.8 Hz, 2H), 3.65 (dd, *J* = 9.1, 8.2 Hz, 1H), 3.42 (d, *J* = 1.5 Hz, 1H), 3.09 (dd, *J* = 9.1, 6.7 Hz, 1H), 0.99 (s, 9H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 155.8, 146.2, 129.3, 118.1, 113.2, 71.9, 70.3, 61.1, 51.6, 48.2, 33.9, 26.3.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{16}H_{24}N_3O_2$ 290.1864; Found 290.1868.

(*R*)-1-(3,5-diphenylimidazolidin-1-yl)pyrrolidine-2,5-dione (3p)



White oil, yield: 60.0 mg (81%); $[\alpha]_D^{20}$ = -68.2 (c 0.56, $CHCl_3$);

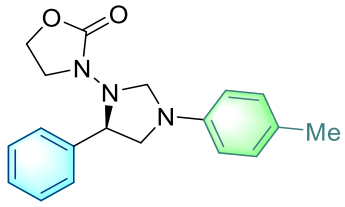
Enantiomeric excess was established by HPLC analysis using a Chiralpak OD column, *ee* = 85% (HPLC: AD, 254 nm, n-hexane/isopropanol = 99.2:0.8, flow rate: 1 mL/min, 25 °C, tr(minor) = 60.9 min, tr(major) = 69.0 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.79 – 7.75 (m, 2H), 7.71 – 7.67 (m, 2H), 7.60 – 7.56 (m, 2H), 7.34 – 7.30 (m, 2H), 7.28 – 7.22 (m, 3H), 6.78 – 6.75 (m, 1H), 6.50 (dd, *J* = 8.8, 1.1 Hz, 2H), 5.57 (dd, *J* = 9.4, 6.7 Hz, 1H), 5.01 (d, *J* = 2.5 Hz, 1H), 4.80 (d, *J* = 2.5 Hz, 1H), 3.92 (dd, *J* = 8.6, 6.7 Hz, 1H), 3.45 – 3.38 (m, 1H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 165.8, 144.9, 136.0, 133.4, 128.9, 128.3, 127.6, 127.4, 126.8, 122.4, 116.3, 110.6, 66.8, 63.4, 53.3.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{23}H_{20}N_3O_2$ 370.1551; Found 370.1550.

(*R*)-3-(5-phenyl-3-(p-tolyl)imidazolidin-1-yl)oxazolidin-2-one (3q)



White solid, yield: 51.2 mg (79%); mp. = 103.7-105.5 °C; $[\alpha]_D^{20}$ = -49.4 (c 0.64, $CHCl_3$);

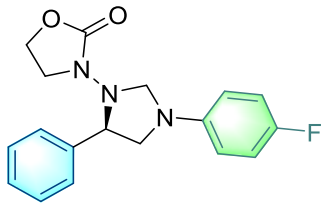
Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 93% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 11.9 min, tr(major) = 21.8 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.57 – 7.49 (m, 2H), 7.41 – 7.31 (m, 3H), 7.05 (d, *J* = 7.9 Hz, 2H), 6.42 (d, *J* = 8.5 Hz, 2H), 5.01 (dd, *J* = 9.3, 6.9 Hz, 1H), 4.72 (d, *J* = 3.1 Hz, 1H), 4.62 (d, *J* = 3.0 Hz, 1H), 4.24 – 4.12 (m, 2H), 3.78 (dd, *J* = 8.6, 6.9 Hz, 1H), 3.62 (ddd, *J* = 9.1, 8.0, 6.4 Hz, 1H), 3.41 – 3.28 (m, 2H), 2.26 (s, 3H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 155.8, 144.0, 137.8, 129.8, 128.7, 128.5, 128.0, 126.5, 111.8, 66.5, 63.6, 61.5, 54.2, 43.4, 20.3.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{19}H_{22}N_3O_2$ 324.1707; Found 324.1711.

(*R*)-3-(3-(4-fluorophenyl)-5-phenylimidazolidin-1-yl)oxazolidin-2-one (3r)



Yellowish solid, yield: 52.5 mg (80%); mp. = 180.9-182.2 °C; $[\alpha]_D^{20}$ = -65.5 (c 0.49, $CHCl_3$); Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 92% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 16.7 min, tr(major) = 28.7 min.)

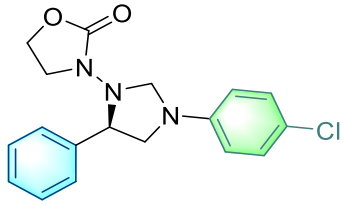
^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.55 – 7.51 (m, 2H), 7.43 – 7.32 (m, 3H), 7.00 – 6.91 (m, 2H), 6.47 – 6.32 (m, 2H), 5.04 (dd, *J* = 9.3, 6.8 Hz, 1H), 4.72 (d, *J* = 2.9 Hz, 1H), 4.60 (d, *J* = 2.9 Hz, 1H), 4.25 – 4.12 (m, 2H), 3.76 (dd, *J* = 8.5, 6.9 Hz, 1H), 3.62 (ddd, *J* = 9.1, 8.0, 6.5 Hz, 1H), 3.41 – 3.26 (m, 2H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 155.8, 155.8 (d, *J* = 235.62 Hz), 142.7 (d, *J* = 1.26 Hz), 137.6, 128.8, 128.6, 128.0, 115.8 (d, *J* = 22.68 Hz), 112.3 (d, *J* = 7.56 Hz), 66.6, 63.6, 61.6, 54.4, 43.6.

^{19}F NMR (471 MHz, $CDCl_3$) δ -128.30.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{18}H_{19}FN_3O_2$ 328.1456; Found 328.1459.

(*R*)-3-(3-(4-chlorophenyl)-5-phenylimidazolidin-1-yl)oxazolidin-2-one (3s)



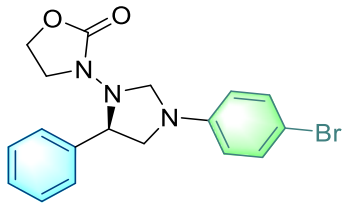
Yellowish solid, yield: 51.6 mg (75%); mp. = 66.1-67.1 °C; $[\alpha]_D^{20}$ = -39.9 (c 0.70, $CHCl_3$); Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 92% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 16.9 min, tr(major) = 31.1 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.56 – 7.49 (m, 2H), 7.43 – 7.33 (m, 3H), 7.23 – 7.11 (m, 2H), 6.49 – 6.30 (m, 2H), 5.06 (dd, *J* = 9.4, 6.9 Hz, 1H), 4.73 (d, *J* = 2.9 Hz, 1H), 4.61 (d, *J* = 2.9 Hz, 1H), 4.24 – 4.11 (m, 2H), 3.76 (dd, *J* = 8.6, 6.9 Hz, 1H), 3.62 (ddd, *J* = 9.1, 8.0, 6.4 Hz, 1H), 3.42 – 3.22 (m, 2H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 155.7, 144.5, 137.4, 129.1, 128.8, 128.7, 128.0, 122.2, 112.6, 66.0, 63.4, 61.6, 53.9, 43.8.

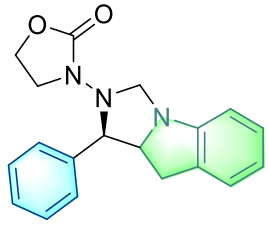
HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{18}H_{19}ClN_3O_2$ 344.1160; Found 344.1165.

(*R*)-3-(3-(4-bromophenyl)-5-phenylimidazolidin-1-yl)oxazolidin-2-one (3t)



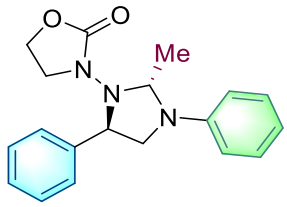
White solid, yield: 58.2 mg (75%); mp. = 72.7-73.5 °C; $[\alpha]_D^{20}$ = -39.5 (c 1.36, $CHCl_3$);
Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 91% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 17.5 min, tr(major) = 32.9 min.)
^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.55 – 7.50 (m, 2H), 7.41 – 7.34 (m, 3H), 7.34 – 7.29 (m, 2H), 6.38 – 6.32 (m, 2H), 5.06 (dd, *J* = 9.4, 6.9 Hz, 1H), 4.72 (d, *J* = 3.0 Hz, 1H), 4.60 (d, *J* = 3.0 Hz, 1H), 4.21 (td, *J* = 9.0, 7.2 Hz, 1H), 4.14 (td, *J* = 8.9, 6.4 Hz, 1H), 3.75 (dd, *J* = 8.6, 6.9 Hz, 1H), 3.62 (ddd, *J* = 9.1, 8.0, 6.4 Hz, 1H), 3.36 – 3.29 (m, 2H).
^{13}C NMR (125 MHz, $CDCl_3$) δ 155.7, 144.9, 137.3, 132.0, 128.8, 128.7, 128.0, 113.1, 109.3, 65.9, 63.4, 61.6, 53.8, 43.8.
HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{18}H_{19}BrN_3O_2$ 388.0655; Found 388.0650.

3-((1*R*)-1-phenyl-9,9a-dihydro-1*H*-imidazo[1,5-a]indol-2(3*H*)-yl)oxazolidin-2-one (3u)



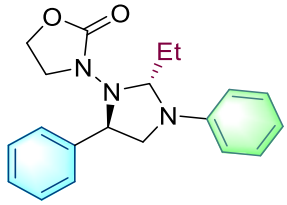
Yellow oil, yield: 36.1 mg (56%); $[\alpha]_D^{20}$ = -75.9 (c 0.15, $CHCl_3$);
Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, dr = 4:1, *ee* = 89%, 91% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 9.3 min, tr(major) = 6.9 min, tr(minor) = 11.1 min, tr(major) = 8.1 min.)
^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.21 – 7.12 (m, 4H), 7.04 – 6.99 (m, 2H), 6.81 – 6.74 (m, 3H), 4.85 (d, *J* = 9.4 Hz, 1H), 4.75 (d, *J* = 7.0 Hz, 1H), 4.62 – 4.55 (m, 2H), 4.20 (td, *J* = 9.0, 7.2 Hz, 1H), 4.15 – 4.07 (m, 1H), 3.55 (ddd, *J* = 9.2, 8.2, 6.4 Hz, 1H), 3.28 (ddd, *J* = 9.2, 8.3, 7.2 Hz, 1H), 2.94 (dd, *J* = 16.3, 9.5 Hz, 1H), 2.40 (dd, *J* = 16.4, 2.2 Hz, 1H).
^{13}C NMR (125 MHz, $CDCl_3$) δ 155.7, 152.6, 139.3, 130.6, 128.4, 128.1, 127.6, 127.4, 124.2, 121.1, 110.6, 68.2, 66.3, 65.3, 61.6, 45.5, 31.9.
HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{19}H_{20}N_3O_2$ 322.1550; Found 322.1546.

3-((5*R*)-2-methyl-3,5-diphenylimidazolidin-1-yl)oxazolidin-2-one (3v)



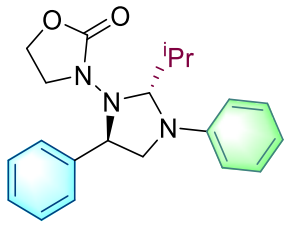
Gray solid, yield: 49.2 mg (76%); mp. = 113.1-114.9 °C; $[\alpha]_D^{20}$ = -20.8 (c 0.04, $CHCl_3$);
Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, dr = 4:1, *ee* = 90%, 89% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 7.5 min, tr(major) = 11.1 min, tr(minor) = 12.5 min, tr(major) = 9.0 min.)
^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.55 (d, *J* = 6.8 Hz, 3H), 7.41 – 7.32 (m, 3H), 7.25 – 7.18 (m, 2H), 6.75 (t, *J* = 7.2 Hz, 1H), 6.59 (d, *J* = 8.2 Hz, 2H), 5.07 (q, *J* = 5.2 Hz, 1H), 4.97 – 4.75 (m, 1H), 4.25 – 4.08 (m, 2H), 3.72 (dd, *J* = 9.2, 6.9 Hz, 1H), 3.67 – 3.47 (m, 2H), 3.31 (q, *J* = 8.2 Hz, 1H), 1.52 (d, *J* = 5.2 Hz, 3H).
^{13}C NMR (125 MHz, $CDCl_3$) δ 155.7, 145.9, 137.5, 129.3, 129.1, 128.7, 128.2, 117.2, 113.1, 71.8, 61.9, 61.6, 55.1, 47.8, 19.2.
HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{19}H_{22}N_3O_2$ 324.1707; Found 324.1704.

3-((5*R*)-2-ethyl-3,5-diphenylimidazolidin-1-yl)oxazolidin-2-one (3w)



Yellowish solid, yield: 61.5 mg (91%); mp. = 69.0-70.5 °C; $[\alpha]_D^{20}$ = +2.3 (c 0.60, $CHCl_3$);
Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, dr = 7:1, *ee* = 88%, 90% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 7.8 min, tr(major) = 9.9 min, tr(minor) = 17.9 min, tr(major) = 8.9 min.)
^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.57 (d, *J* = 6.9 Hz, 2H), 7.42 – 7.32 (m, 3H), 7.25 – 7.20 (m, 2H), 6.74 (t, *J* = 7.3 Hz, 1H), 6.60 (d, *J* = 7.9 Hz, 2H), 5.11 (s, 1H), 4.85 (t, *J* = 7.8 Hz, 1H), 4.26 – 3.88 (m, 2H), 3.77 (dd, *J* = 9.2, 6.9 Hz, 1H), 3.63 – 3.45 (m, 2H), 3.30 (q, *J* = 8.3 Hz, 1H), 2.21 – 2.01 (m, 1H), 1.85 – 1.70 (m, 1H), 0.99 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (126 MHz, $CDCl_3$) δ 155.6, 145.5, 137.8, 129.1, 128.7, 128.5, 128.2, 117.2, 112.8, 75.2, 65.9, 61.8, 61.5, 55.6, 23.5, 6.7.
HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{20}H_{24}N_3O_2$ 338.1863; Found 338.1866.

3-((5*R*)-2-isopropyl-3,5-diphenylimidazolidin-1-yl)oxazolidin-2-one (3x)



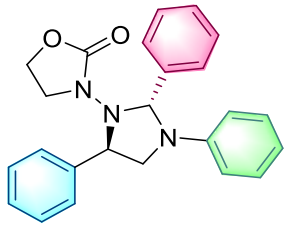
Yellowish solid, yield: 46.5 mg (66%); mp. = 117.4-118.3 °C; $[\alpha]_D^{20}$ = -9.2 (c 0.37, $CHCl_3$); Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, dr = 7:1, *ee* = 92%, 54% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 6.4 min, tr(major) = 5.2 min, tr(minor) = 13.6 min, tr(major) = 5.8 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.59 – 7.54 (m, 2H), 7.40 – 7.30 (m, 3H), 7.23 (dd, *J* = 8.7, 7.2 Hz, 2H), 6.72 (t, *J* = 7.3 Hz, 1H), 6.60 (d, *J* = 7.7 Hz, 2H), 5.22 – 4.99 (m, 1H), 4.87 – 4.74 (m, 1H), 4.20 – 4.02 (m, 2H), 3.81 (dd, *J* = 9.6, 6.8 Hz, 1H), 3.58 (td, *J* = 8.6, 5.6 Hz, 1H), 3.52 – 3.45 (m, 1H), 3.26 – 3.15 (m, 1H), 2.49 – 2.33 (m, 1H), 1.11 (d, *J* = 7.3 Hz, 3H), 1.04 (d, *J* = 6.7 Hz, 3H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 155.2, 145.8, 138.0, 129.1, 128.7, 128.5, 128.2, 117.0, 113.1, 79.2, 63.0, 61.4, 55.5, 29.9, 18.1, 16.1.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{21}H_{26}N_3O_2$ 352.2020; Found 352.2021.

3-((5*R*)-2,3,5-triphenylimidazolidin-1-yl)oxazolidin-2-one (3y)



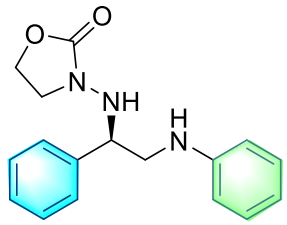
Yellowish solid, yield: 33.2 mg (43%); mp. = 69.8-70.8 °C; $[\alpha]_D^{20}$ = -64.3 (c 0.06, $CHCl_3$); Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, dr = 20:1, *ee* = 90% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 10.2 min, tr(major) = 21.8 min.)

^{1}H NMR (500 MHz, Acetone-d_6) δ 7.68 – 7.57 (m, 4H), 7.52 – 7.43 (m, 3H), 7.40 – 7.31 (m, 3H), 7.17 – 7.09 (m, 2H), 6.68 (t, *J* = 7.3 Hz, 1H), 6.54 (d, *J* = 7.8 Hz, 2H), 5.75 (s, 1H), 4.94 (s, 1H), 4.27 (dd, *J* = 8.3, 6.4 Hz, 1H), 3.89 – 3.79 (m, 1H), 3.72 (q, *J* = 8.7 Hz, 1H), 3.37 (t, *J* = 8.9 Hz, 1H), 3.28 – 2.86 (m, 2H).

^{13}C NMR (125 MHz, Acetone) δ 156.1, 145.7, 138.7, 137.3, 129.2, 128.9, 128.8, 128.6, 128.3, 128.0, 127.8, 117.3, 112.3, 79.2, 61.2, 60.3, 54.2, 45.6.

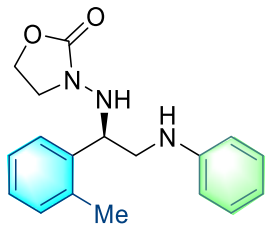
HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{24}H_{24}N_3O_2$ 386.1863; Found 386.1861.

(*R*)-3-((1-phenyl-2-(phenylamino)ethyl)amino)oxazolidin-2-one (4a)



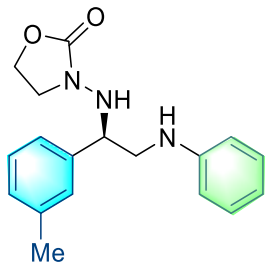
Yellowish solid, yield: 50.6 mg (85%); mp. = 96.4-96.6 °C; $[\alpha]_D^{20}$ = -53.8 (c 0.094, $CHCl_3$)
Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 93% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 16.1 min, tr(major) = 13.7 min.)
^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.47 – 7.43 (m, 2H), 7.40 – 7.35 (m, 2H), 7.35 – 7.31 (m, 1H), 7.21 – 7.14 (m, 2H), 6.73 (t, *J* = 7.3 Hz, 1H), 6.66 (d, *J* = 7.4 Hz, 2H), 4.86 (s, 1H), 4.43 (dd, *J* = 8.7, 4.7 Hz, 1H), 4.17 (td, *J* = 8.7, 6.1 Hz, 1H), 4.08 (td, *J* = 8.7, 7.5 Hz, 1H), 3.47 – 3.40 (m, 2H), 3.32 (dd, *J* = 12.8, 4.7 Hz, 1H), 3.26 (td, *J* = 8.5, 6.2 Hz, 1H).
^{13}C NMR (125 MHz, $CDCl_3$) δ 159.1, 147.7, 139.7, 129.3, 128.7, 128.2, 127.8, 118.0, 113.3, 63.0, 61.5, 48.4, 47.8.
HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{17}H_{20}N_3O_2$ 298.1150; Found 298.1155.

(*R*)-3-((2-(phenylamino)-1-(o-tolyl)ethyl)amino)oxazolidin-2-one (4b)



White solid, yield: 54.9 mg (88%); mp. = 102.7-103.5 °C; $[\alpha]_D^{20}$ = -64.9 (c 0.304, $CHCl_3$);
Enantiomeric excess was established by HPLC analysis using a Chiralpak IE column, *ee* = 96% (HPLC: IE, 254 nm, n-hexane/isopropanol = 80:20, and 1‰ Et_3N, flow rate: 1 mL/min, 25 °C, tr(minor) = 18.6 min, tr(major) = 24.1 min.)
^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.58 (dd, *J* = 7.2, 1.7 Hz, 1H), 7.26 – 7.15 (m, 5H), 6.72 (t, *J* = 7.4 Hz, 1H), 6.65 (d, *J* = 7.7 Hz, 2H), 4.85 (s, 1H), 4.70 (dd, *J* = 9.0, 4.3 Hz, 1H), 4.17 (td, *J* = 8.7, 6.5 Hz, 1H), 4.10 (td, *J* = 8.6, 7.2 Hz, 1H), 3.45 – 3.29 (m, 4H), 2.38 (s, 3H).
^{13}C NMR (125 MHz, $CDCl_3$) δ 159.3, 147.8, 137.5, 136.8, 130.7, 129.3, 127.7, 126.7, 126.2, 117.8, 113.1, 61.5, 58.6, 48.0, 47.3, 19.4.
HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{18}H_{22}N_3O_2$ 312.1707; Found 312.1710.

(*R*)-3-((2-(phenylamino)-1-(m-tolyl)ethyl)amino)oxazolidin-2-one (4c)



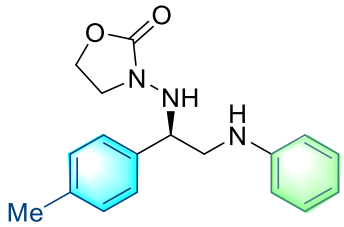
White solid, yield: 55.6 mg (89%); mp. = 87.7-88.5 °C; $[\alpha]_D^{20}$ = -20.4 (c 1.09, $CHCl_3$);
Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 92% (HPLC: AD, 254 nm, n-hexane/isopropanol = 95:5, flow rate: 1 mL/min, 25 °C, tr(minor) = 40.6 min, tr(major) = 44.5 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.26 – 7.22 (m, 3H), 7.22 – 7.07 (m, 3H), 6.75 – 6.69 (m, 1H), 6.65 (dd, *J* = 8.6, 1.1 Hz, 2H), 4.82 (s, 1H), 4.38 (dd, *J* = 8.7, 4.7 Hz, 1H), 4.16 (td, *J* = 8.8, 6.3 Hz, 1H), 4.08 (td, *J* = 8.7, 7.4 Hz, 1H), 3.48 – 3.39 (m, 2H), 3.36 – 3.24 (m, 2H), 2.37 (s, 3H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 159.0, 147.8, 139.6, 138.4, 129.3, 128.9, 128.6, 128.4, 124.7, 117.9, 113.2, 62.9, 61.4, 48.4, 47.8, 21.5.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{18}H_{22}N_3O_2$ 312.1707; Found 312.1709.

(*R*)-3-((2-(phenylamino)-1-(p-tolyl)ethyl)amino)oxazolidin-2-one (4d)



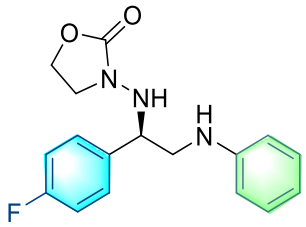
White solid, yield: 52.4 mg (84%); mp. = 110.0-111.6 °C; $[\alpha]_D^{20}$ = -53.8 (c 0.60, $CHCl_3$);
Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 94% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 12.2 min, tr(major) = 9.8 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.33 (d, *J* = 8.0 Hz, 2H), 7.21 – 7.14 (m, 4H), 6.74 – 6.70 (m, 1H), 6.68 – 6.63 (m, 2H), 4.80 (s, 1H), 4.39 (dd, *J* = 8.6, 4.8 Hz, 1H), 4.17 (td, *J* = 8.8, 6.2 Hz, 1H), 4.09 (td, *J* = 8.7, 7.4 Hz, 1H), 3.46 – 3.40 (m, 2H), 3.32 – 3.25 (m, 2H), 2.36 (s, 3H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 159.0, 147.8, 137.9, 136.6, 129.4, 129.3, 127.7, 117.9, 113.2, 62.7, 61.5, 48.4, 47.8, 21.2.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{18}H_{22}N_3O_2$ 312.1707; Found 312.1712.

(*R*)-3-((1-(4-fluorophenyl)-2-(phenylamino)ethyl)amino)oxazolidin-2-one (4e)



Brown oil, yield: 60.1 mg (95%); $[\alpha]_D^{20}$ = -48.1 (c 0.17, $CHCl_3$);

Enantiomeric excess was established by HPLC analysis using a Chiralpak IE column, *ee* = 90% (HPLC: IE, 254 nm, n-hexane/isopropanol = 90:10, and 1‰ Et_3N, flow rate: 1 mL/min, 25 °C, tr(minor) = 40.8 min, tr(major) = 33.7 min.)

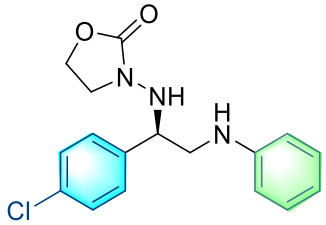
^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.46 – 7.39 (m, 2H), 7.20 – 7.15 (m, 2H), 7.09 – 7.03 (m, 2H), 6.73 (t, *J* = 7.3 Hz, 1H), 6.64 (d, *J* = 7.7 Hz, 2H), 4.84 (s, 1H), 4.42 (dd, *J* = 8.7, 4.7 Hz, 1H), 4.21 – 4.16 (m, 1H), 4.13 – 4.08 (m, 1H), 3.47 – 3.39 (m, 2H), 3.30 – 3.24 (m, 2H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 163.6 (d, *J* = 245.25 Hz), 159.1, 147.7, 135.5 (d, *J* = 3.18 Hz), 129.4 (d, *J* = 7.98 Hz), 129.3, 118.0, 115.6 (d, *J* = 21.23 Hz), 113.2, 62.3, 61.5, 48.4, 47.9.

^{19}F NMR (471 MHz, $CDCl_3$) δ -113.92.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{17}H_{19}FN_3O_2$ 316.1456; Found 316.1455.

(*R*)-3-((1-(4-chlorophenyl)-2-(phenylamino)ethyl)amino)oxazolidin-2-one (4f)



White solid, yield: 62.4 mg (94%); mp. = 107.6-108.2 °C; $[\alpha]_D^{20}$ = -64.1 (c 0.89, $CHCl_3$);

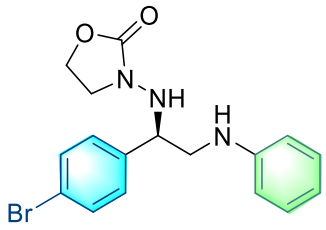
Enantiomeric excess was established by HPLC analysis using a Chiralpak IE column, *ee* = 92% (HPLC: IE, 254 nm, n-hexane/isopropanol = 80:20, and 1‰ Et_3N, flow rate: 1 mL/min, 25 °C, tr(minor) = 22.6 min, tr(major) = 17.6 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.41 – 7.39 (m, 2H), 7.37 – 7.33 (m, 2H), 7.20 – 7.15 (m, 2H), 6.73 (t, *J* = 7.3 Hz, 1H), 6.66 – 6.62 (m, 2H), 4.85 (s, 1H), 4.41 (dd, *J* = 8.7, 4.7 Hz, 1H), 4.19 (td, *J* = 8.8, 6.0 Hz, 1H), 4.10 (td, *J* = 8.7, 7.6 Hz, 1H), 3.48 – 3.38 (m, 2H), 3.30 – 3.25 (m, 2H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 159.1, 147.6, 138.3, 133.9, 129.4, 129.1, 128.9, 118.1, 113.2, 62.5, 61.5, 48.4, 47.9.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{17}H_{19}ClN_3O_2$ 332.1160; Found 332.1165.

(*R*)-3-((1-(4-bromophenyl)-2-(phenylamino)ethyl)amino)oxazolidin-2-one (4g)



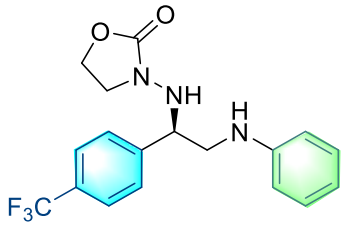
White solid, yield: 55.7 mg (74%); mp. = 111.6-113.4 °C; $[\alpha]_D^{20}$ = -49.6 (c 0.87, $CHCl_3$);
Enantiomeric excess was established by HPLC analysis using a Chiralpak IE column, *ee* = 92% (HPLC: IE, 254 nm, n-hexane/isopropanol = 80:20, and 1‰ Et_3N, flow rate: 1 mL/min, 25 °C, tr(minor) = 24.8 min, tr(major) = 18.4 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.54 – 7.48 (m, 2H), 7.36 – 7.32 (m, 2H), 7.20 – 7.15 (m, 2H), 6.73 (t, *J* = 7.3 Hz, 1H), 6.64 (d, *J* = 7.5 Hz, 2H), 4.85 (s, 1H), 4.40 (dd, *J* = 8.7, 4.7 Hz, 1H), 4.19 (td, *J* = 8.8, 5.9 Hz, 1H), 4.13 – 4.09 (m, 1H), 3.48 – 3.37 (m, 2H), 3.31 – 3.25 (m, 2H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 159.1, 147.6, 138.9, 131.9, 129.5, 129.4, 122.1, 118.1, 113.2, 62.6, 61.5, 48.3, 47.9.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{17}H_{19}BrN_3O_2$ 376.0655; Found 332.1165.

(*R*)-3-((2-(phenylamino)-1-(4-(trifluoromethyl)phenyl)ethyl)amino)oxazolidin-2-one (4h)



White solid, yield: 61.5 mg (84%); mp. = 112.2-114.0 °C; $[\alpha]_D^{20}$ = -57.6 (c 0.74, $CHCl_3$);
Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 93% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 9.8 min, tr(major) = 8.0 min.)

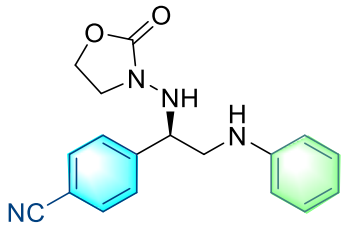
^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.67 – 7.56 (m, 4H), 7.18 (t, 2H), 6.75 (t, *J* = 7.3 Hz, 1H), 6.66 (d, *J* = 7.9 Hz, 2H), 4.93 (s, 1H), 4.52 (dd, *J* = 8.8, 4.5 Hz, 1H), 4.18 (dd, *J* = 8.8, 5.7 Hz, 1H), 4.11 (q, *J* = 8.4 Hz, 1H), 3.50 – 3.39 (m, 2H), 3.34 – 3.26 (m, 2H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 159.2, 147.4, 144.1, 130.4 (q, *J* = 32.3 Hz), 129.4, 128.1, 125.6 (q, *J* = 3.7 Hz), 124.0 (q, *J* = 270.4 Hz), 118.3, 113.3, 62.8, 61.5, 48.6, 47.9.

^{19}F NMR (471 MHz, $CDCl_3$) δ -62.51.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{18}H_{19}F_3N_3O_2$ 366.1424; Found 366.1425.

(*R*)-4-(1-((2-oxooxazolidin-3-yl)amino)-2-(phenylamino)ethyl)benzonitrile (4i)



Yellowish oil, yield: 54.9 mg (85%); $[\alpha]_D^{20}$ = -87.3 (c 0.50, $CHCl_3$);

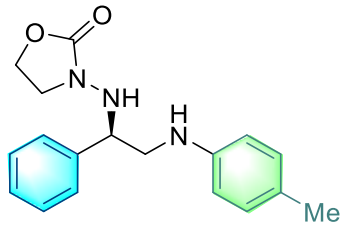
Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 92% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 21.1 min, tr(major) = 18.9 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.71 – 7.55 (m, 4H), 7.24 – 7.11 (m, 2H), 6.74 (t, *J* = 7.3 Hz, 1H), 6.64 (d, *J* = 7.4 Hz, 2H), 4.92 (s, 1H), 4.51 (dd, *J* = 8.7, 4.6 Hz, 1H), 4.20 (td, *J* = 8.8, 5.7 Hz, 1H), 4.11 (q, *J* = 8.5 Hz, 1H), 3.49 (q, *J* = 8.2 Hz, 1H), 3.39 (dd, *J* = 13.0, 8.8 Hz, 1H), 3.35 – 3.24 (m, 2H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 159.2, 147.4, 145.5, 132.5, 129.4, 128.5, 118.6, 118.3, 113.2, 112.0, 62.9, 61.5, 48.4, 47.8.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{18}H_{19}N_4O_2$ 323.1503; Found 323.1505.

(*R*)-3-((1-phenyl-2-(p-tolylamino)ethyl)amino)oxazolidin-2-one (4j)



Brown solid, yield: 54.9 mg (88%); mp. = 104.7-106.2 °C; $[\alpha]_D^{20}$ = -24.1 (c 1.22, $CHCl_3$);

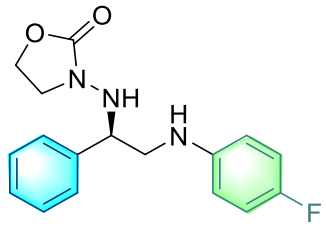
Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 91% (HPLC: AD, 254 nm, n-hexane/isopropanol = 90:10, flow rate: 1 mL/min, 25 °C, tr(minor) = 31.4 min, tr(major) = 28.0 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.46 – 7.42 (m, 2H), 7.39 – 7.35 (m, 2H), 7.34 – 7.29 (m, 1H), 6.98 (d, *J* = 8.1 Hz, 2H), 6.58 (d, *J* = 8.4 Hz, 2H), 4.88 (s, 1H), 4.41 (dd, *J* = 8.8, 4.7 Hz, 1H), 4.16 (td, *J* = 8.8, 6.1 Hz, 1H), 4.07 (q, *J* = 8.5 Hz, 1H), 3.45 – 3.39 (m, 2H), 3.31 – 3.22 (m, 2H), 2.23 (s, 3H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 159.0, 145.4, 139.8, 129.8, 128.7, 128.1, 127.8, 127.2, 113.4, 63.0, 61.4, 48.8, 47.8, 20.4.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{18}H_{22}N_3O_2$ 312.1707; Found 312.1702.

(*R*)-3-((2-((4-fluorophenyl)amino)-1-phenylethyl)amino)oxazolidin-2-one (4k)



White solid, yield: 51.2 mg (81%); mp. = 87.9-88.5 °C; $[\alpha]_D^{20}$ = -43.3 (c 0.08, $CHCl_3$);
Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 91% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 12.3 min, tr(major) = 11.3 min.)

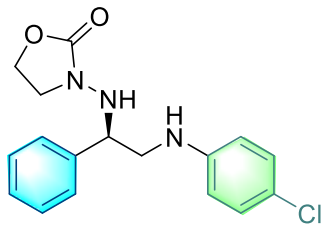
^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.37 (d, *J* = 7.0 Hz, 2H), 7.31 (t, *J* = 7.4 Hz, 2H), 7.28 – 7.24 (m, 1H), 6.81 (t, *J* = 8.7 Hz, 2H), 6.54 (dd, *J* = 9.0, 4.2 Hz, 2H), 4.82 (s, 1H), 4.35 (dd, *J* = 8.8, 4.6 Hz, 1H), 4.13 – 4.08 (m, 1H), 4.02 (q, *J* = 8.3 Hz, 1H), 3.38 – 3.29 (m, 2H), 3.24 – 3.17 (m, 2H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 158.1, 155.2 (d, *J* = 234.5 Hz), 142.8, 138.6, 127.7, 127.2, 126.7, 114.7 (d, *J* = 22.3 Hz), 113.3 (d, *J* =7.4 Hz), 61.9, 60.5, 48.2, 46.8.

^{19}F NMR (471 MHz, $CDCl_3$) δ -100.01.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{17}H_{19}FN_3O_2$ 316.1456; Found 316.1459.

(*R*)-3-((2-((4-chlorophenyl)amino)-1-phenylethyl)amino)oxazolidin-2-one (4l)



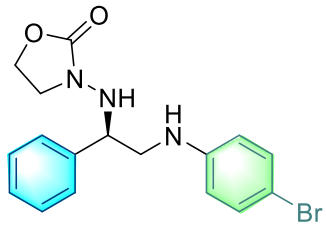
White solid, yield: 61.8 mg (93%); $[\alpha]_D^{20}$ = -75.4 (c 0.02, $CHCl_3$);
Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 92% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 14.7 min, tr(major) = 16.0 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.47 – 7.41 (m, 2H), 7.40 – 7.31 (m, 3H), 7.11 (d, *J* = 8.8 Hz, 2H), 6.57 (d, *J* = 8.9 Hz, 2H), 4.81 (s, 1H), 4.40 (dd, *J* = 8.7, 4.7 Hz, 1H), 4.18 (td, *J* = 8.8, 6.1 Hz, 1H), 4.10 (td, *J* = 8.7, 7.5 Hz, 1H), 3.46 – 3.37 (m, 2H), 3.32 – 3.24 (m, 2H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 159.2, 146.3, 139.5, 129.1, 128.8, 128.3, 127.7, 122.6, 114.3, 62.9, 61.5, 48.4, 47.8.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{17}H_{19}ClN_3O_2$ 332.1160; Found 332.1163.

(*R*)-3-((2-((4-bromophenyl)amino)-1-phenylethyl)amino)oxazolidin-2-one (4m)



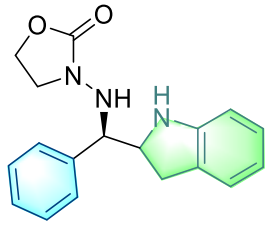
Yellowish solid, yield: 63.9 mg (85%); mp. = 110.4-111.7 °C; $[\alpha]_D^{20}$ = -37.8 (c 0.520, $CHCl_3$);
Enantiomeric excess was established by HPLC analysis using a Chiralpak IE column, *ee* = 90% (HPLC: IE, 254 nm, n-hexane/isopropanol = 80:20, and 1‰ Et_3N, flow rate: 0.5 mL/min, 25 °C, tr(minor) = 43.3 min, tr(major) = 40.9 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.46 – 7.41 (m, 2H), 7.40 – 7.31 (m, 3H), 7.26 – 7.22 (m, 2H), 6.51 (d, *J* = 8.9 Hz, 2H), 4.78 (s, 1H), 4.39 (dd, *J* = 8.6, 4.7 Hz, 1H), 4.18 (td, *J* = 8.8, 6.1 Hz, 1H), 4.10 (td, *J* = 8.7, 7.5 Hz, 1H), 3.45 – 3.37 (m, 2H), 3.31 – 3.24 (m, 2H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 158.1, 145.8, 138.5, 131.0, 127.7, 127.3, 126.7, 113.7, 108.4, 61.9, 60.5, 47.2, 46.8.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{17}H_{19}BrN_3O_2$ 376.0655; Found 376.0659.

3-(((1R)-indolin-2-yl(phenyl)methyl)amino)oxazolidin-2-one (4n)



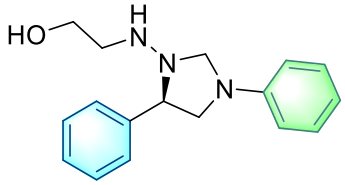
Yellowish foamy solid, yield 36.0 mg (58%); $[\alpha]_D^{20}$ = -65.6 (c 0.142, $CHCl_3$)
Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 90% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 13.3 min, tr(major) = 11.3 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.47 (d, *J* = 7.0 Hz, 2H), 7.37 (t, *J* = 7.4 Hz, 2H), 7.31 (t, *J* = 7.3 Hz, 1H), 7.02 (d, *J* = 7.3 Hz, 1H), 6.97 (t, *J* = 7.6 Hz, 1H), 6.66 (t, *J* = 7.4 Hz, 1H), 6.55 (d, *J* = 7.7 Hz, 1H), 4.88 (s, 1H), 4.43 (d, *J* = 5.9 Hz, 1H), 4.19 – 4.14 (m, 1H), 4.13 – 4.08 (m, 1H), 4.03 (q, *J* = 8.7 Hz, 1H), 3.51 (q, *J* = 8.7 Hz, 1H), 3.30 – 3.25 (m, 1H), 3.19 (dd, *J* = 15.5, 9.7 Hz, 1H), 2.91 (dd, *J* = 15.5, 8.9 Hz, 1H).

^{13}C NMR (125 MHz, $CDCl_3$) δ 158.9, 150.3, 139.2, 128.6, 128.3, 128.1, 128.0, 127.4, 124.7, 118.8, 109.1, 66.4, 63.3, 61.4, 47.8, 31.5.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{18}H_{19}N_3O$ 310.1550; Found 310.1553.

(*R*)-2-((3,5-diphenylimidazolidin-1-yl)amino)ethan-1-ol (5)



To a Schlenk tube was added **3a** (61.8 mg, 0.2 mmol, 93% *ee*), KOH (2 M) and MeOH (9 ml). The reaction mixture was stirred at 50 ℃ for 24 h. The reaction mixture was passed through a short pad of celite, then add H_2O (20 mL) and extracted with CH_2Cl_2. The combined organic layer was dried over anhydrous Na_2SO_4, concentrated under reduced pressure. Then purified with flash chromatography on silica gel (eluted with PE/EtOAc = 4:1) to afford **5** as a pale yellowish oil.

Yellowish oil, yield: 39.8 mg (70%); $[\alpha]_D^{20}$ = -24.0 (c 1.38, $CHCl_3$);

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 92% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 10.9 min, tr(major) = 8.9 min.)

^{1}H NMR (500 MHz, Chloroform-*d*) δ 7.44 (d, *J* = 7.5 Hz, 2H), 7.38 (t, *J* = 7.4 Hz, 2H), 7.33 (t, *J* = 7.2 Hz, 1H), 7.28 – 7.22 (m, 2H), 6.76 (t, *J* = 7.3 Hz, 1H), 6.52 (d, *J* = 8.0 Hz, 2H), 4.77 (d, *J* = 4.7 Hz, 1H), 4.12 (t, *J* = 7.6 Hz, 1H), 4.01 (d, *J* = 4.7 Hz, 1H), 3.76 (dd, *J* = 8.9, 6.9 Hz, 1H), 3.64 (ddd, *J* = 10.7, 7.2, 3.0 Hz, 1H), 3.55 (ddd, *J* = 10.7, 5.8, 3.2 Hz, 1H), 3.44 (t, *J* = 8.6 Hz, 1H), 2.91 (ddd, *J* = 13.0, 5.9, 3.0 Hz, 1H), 2.81 (ddd, *J* = 12.9, 7.4, 3.3 Hz, 1H), 2.61 (s, 2H).

^{13}C NMR (126 MHz, $CDCl_3$) δ 146.0, 138.6, 129.4, 128.8, 128.3, 128.0, 117.1, 111.6, 72.5, 69.9, 61.0, 53.2, 51.0.

HRMS (ESI) m/z: $[M + H]^+$ calcd for $C_{17}H_{22}N_3O$ 284.1757; Found 284.1755.

VII. Data of Paramagnetic:

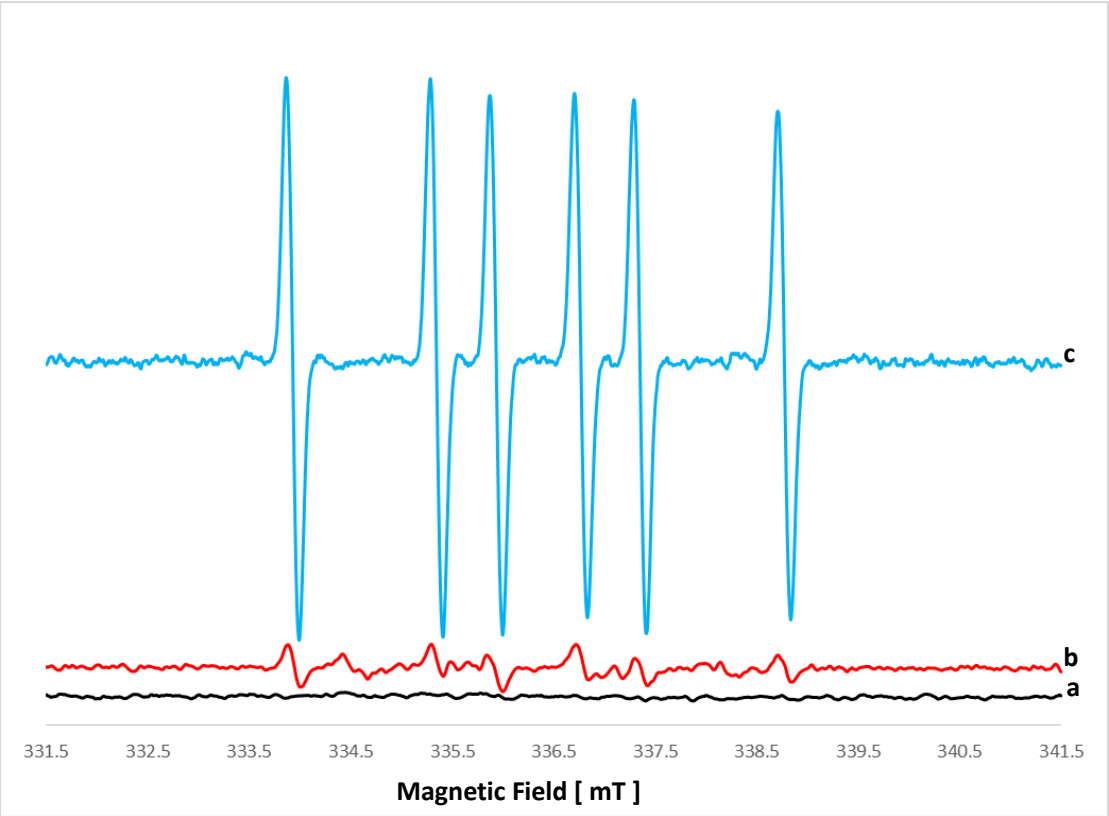


Figure S2. EPR spectra of *N*-phenylglycine under different conditions.

a) *N*-phenylglycine + Cs_2CO_3 +4CzIPN + DMPO in dark

b) *N*-phenylglycine + Cs_2CO_3 +4CzIPN + DMPO day light

c) *N*-phenylglycine + Cs_2CO_3 +4CzIPN + DMPO blue led 30 s

To investigate the mechanism of the reaction, the electron paramagnetic resonance (EPR) experiments were carried out. It could be seen that a carbon-centered radical was clearly recorded with a sextet signal (g = 2.004, A_N = 1.41 mT, A_H = 2.43 mT) after the mixture was irradiated with a blue led (λ_{max} = 455 nm) light for 30 s. It means that a *N*-methyl radical was formed in the reaction, which underwent a radical coupling reaction with the radical generated from imine in the presence of the chiral copper catalyst [**L-Cu^{II}**].

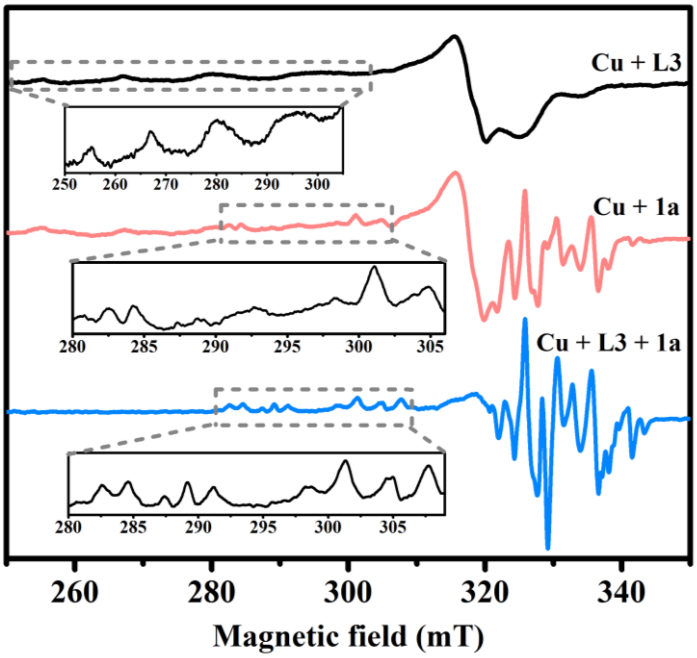


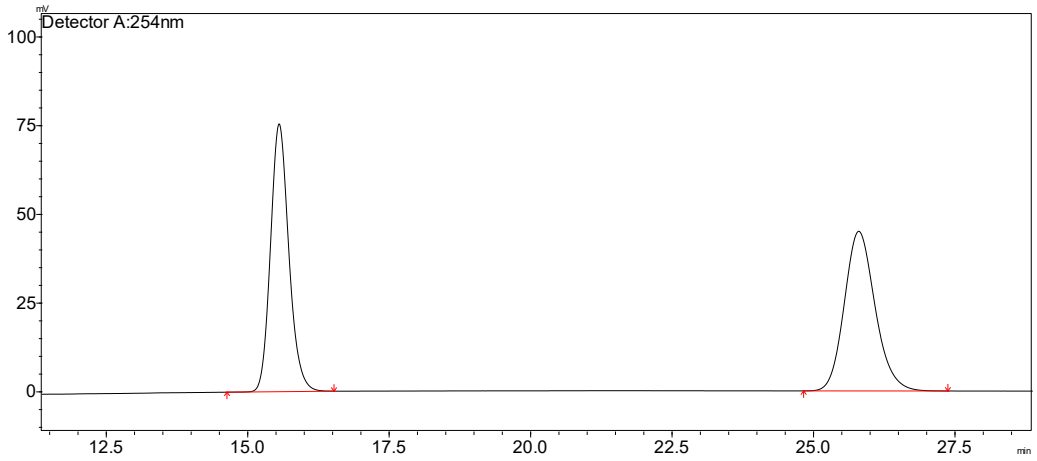
Figure S3. EPR spectra of various complexes in THF.

The EPR spectra of various Cu(II)-complexes in THF at 77 K was also studied (Figure 1). Obviously, there exist four characteristic peaks of Cu(II)-complex formed from $Cu(OTf)_2$ and **L3**.[8] Besides, the cleavage of several triple peaks could be observed along with the integration of $Cu(OTf)_2$ and **1a**, attributing to the fact that nitrogen prefers to coordinate in the parallel direction of copper and the oxygen shows priority to coordinate in the spherical direction. Following that, the EPR signal of the mixture solution of $Cu(OTf)_2$, **L3**, and **1a** was measured. Intriguingly, more divided peaks were detected as compared to the anterior results owing to the formation of a new copper complex, identifying the vital step of controlling the stereoselectivity in this reaction, which is consistent with the results in the fore-mentioned experimental section.

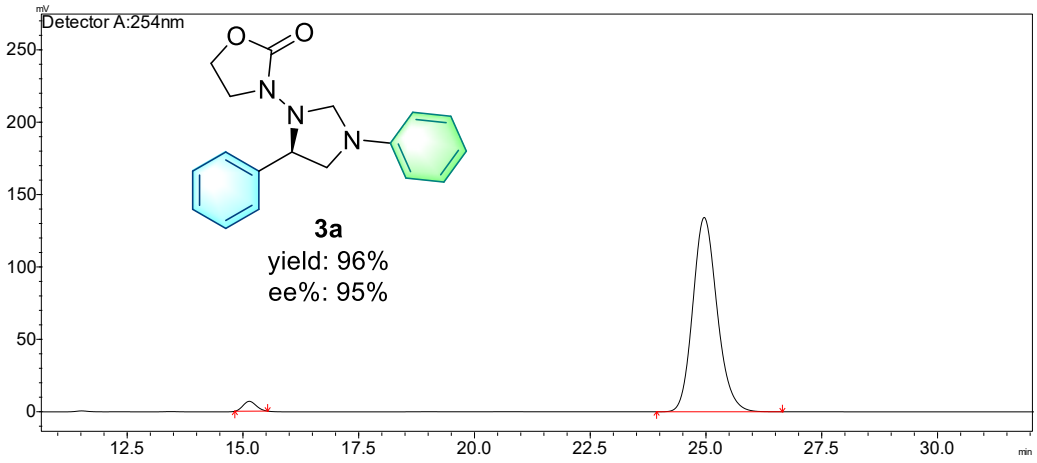
VIII. Data of HPLC Chromatography:

HPLC trace for the racemic reference rac-**3a**, and non-racemic product **3a**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 95% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 15.1 min, tr(major) = 25.0 min.)



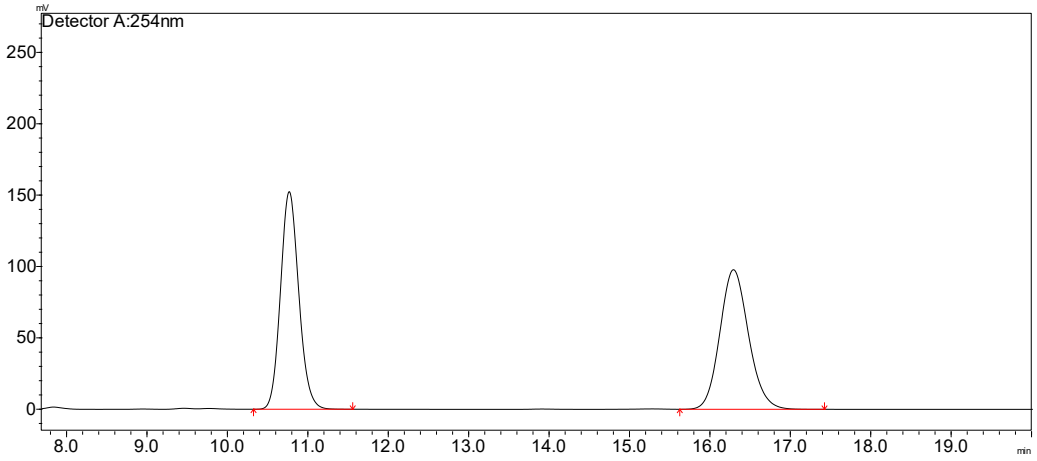
Peak#	Ret. Time	Area	Height	Area %	Height %
1	15.551	1701641	75460	49.998	62.647
2	25.795	1701806	44993	50.002	37.353
Total		3403447	120453	100.000	100.000



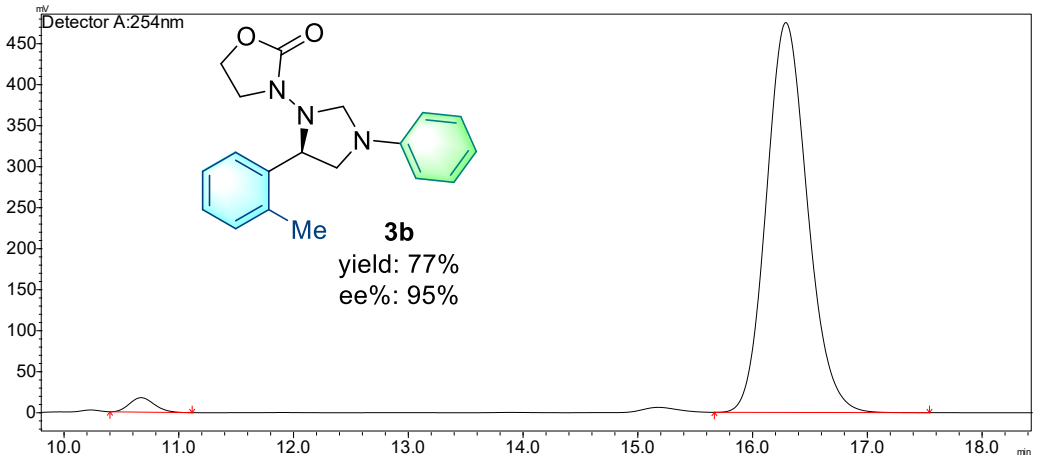
Peak#	Ret. Time	Area	Height	Area %	Height %
1	15.133	134006	6818	2.666	4.834
2	24.957	4892630	134233	97.334	95.166
Total		5026636	141052	100.000	100.000

HPLC trace for the racemic reference rac-**3b**, and non-racemic product **3b**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 95% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 10.7 min, tr(major) = 16.3 min.)



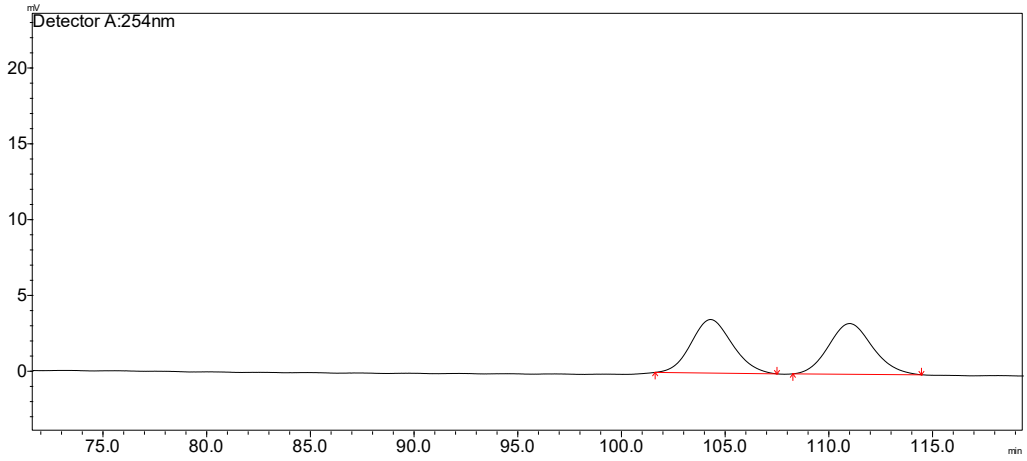
Peak#	Ret. Time	Area	Height	Area %	Height %
1	10.764	2412366	152503	49.866	60.929
2	16.289	2425344	97795	50.134	39.071
Total		4837710	250298	100.000	100.000



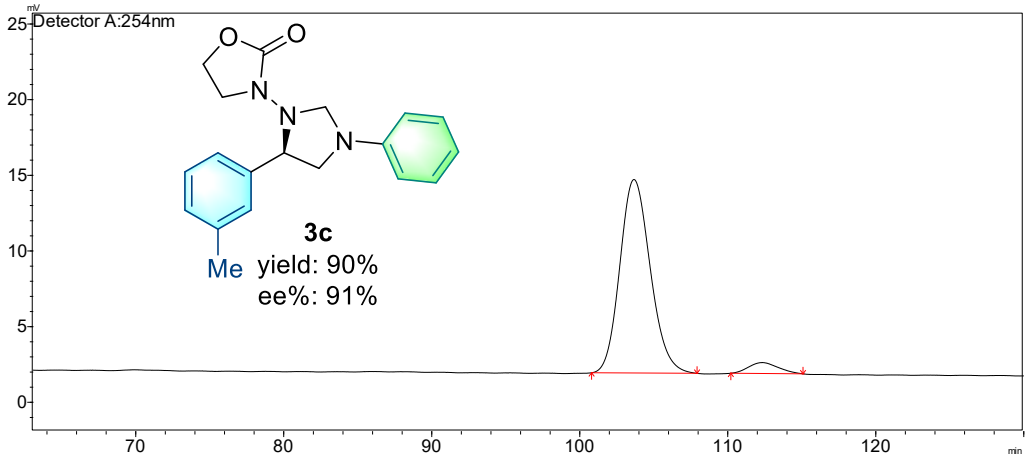
Peak#	Ret. Time	Area	Height	Area %	Height %
1	10.667	265717	17617	2.200	3.573
2	16.286	11812171	475487	97.800	96.427
Total		12077888	493104	100.000	100.000

HPLC trace for the racemic reference rac-**3c**, and non-racemic product **3c**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 91% (HPLC: AD, 254 nm, n-hexane/isopropanol = 98:2, flow rate: 1 mL/min, 25 °C, tr(minor) = 103.7 min, tr(major) = 112.3 min.)



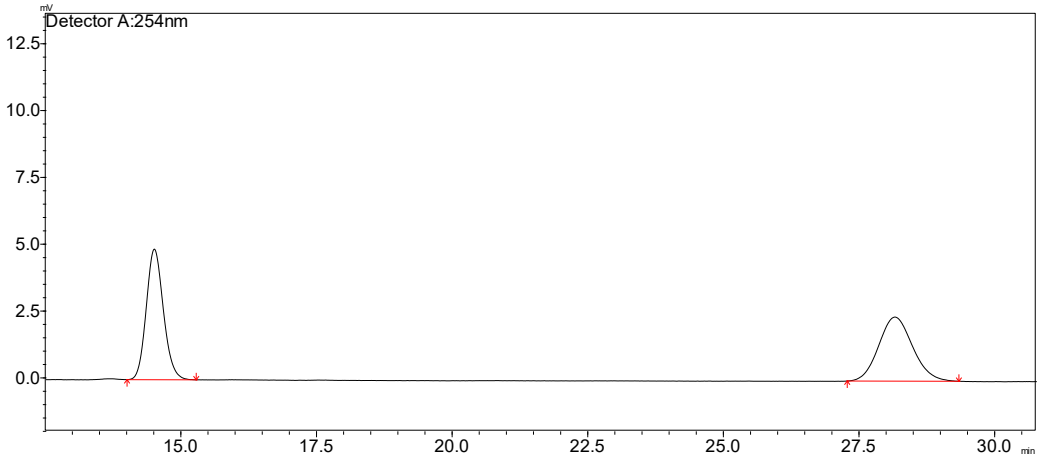
Peak#	Ret. Time	Area	Height	Area %	Height %
1	104.277	488619	3532	49.717	51.353
2	111.010	494181	3346	50.283	48.647
Total		982800	6878	100.000	100.000



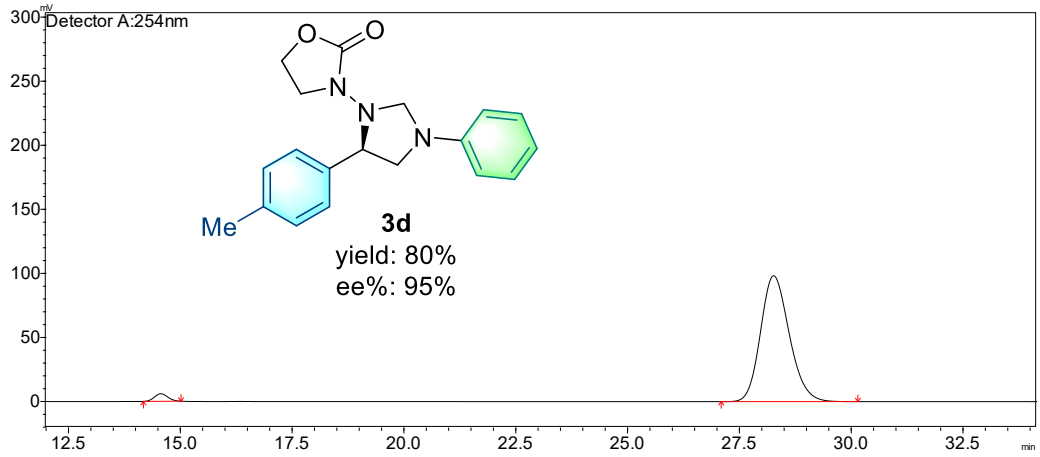
Peak#	Ret. Time	Area	Height	Area %	Height %
1	103.662	1827026	12785	95.388	94.963
2	112.339	88336	678	4.612	5.037
Total		1915362	13464	100.000	100.000

HPLC trace for the racemic reference rac-**3d**, and non-racemic product **3d**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 95% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 14.6 min, tr(major) = 28.3 min.)



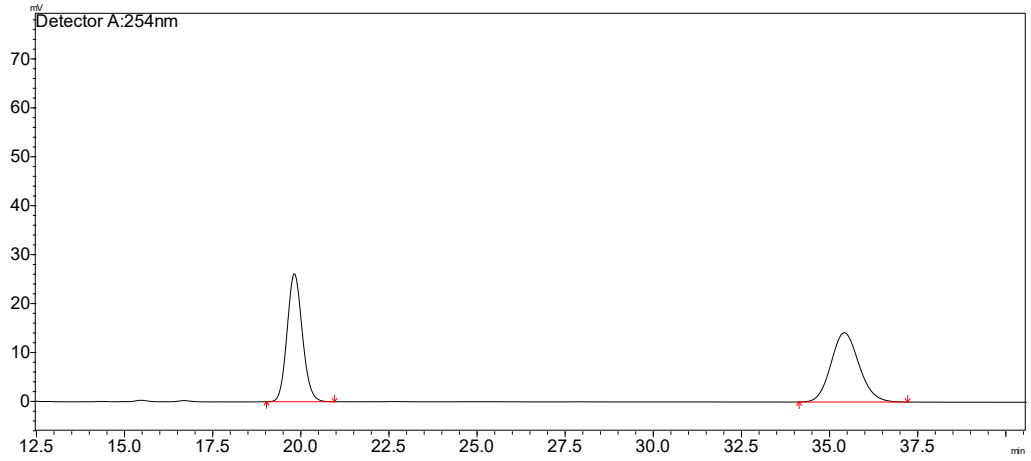
Peak#	Ret. Time	Area	Height	Area %	Height %
1	14.507	107498	4886	50.798	67.092
2	28.158	104120	2396	49.202	32.908
Total		211619	7282	100.000	100.000



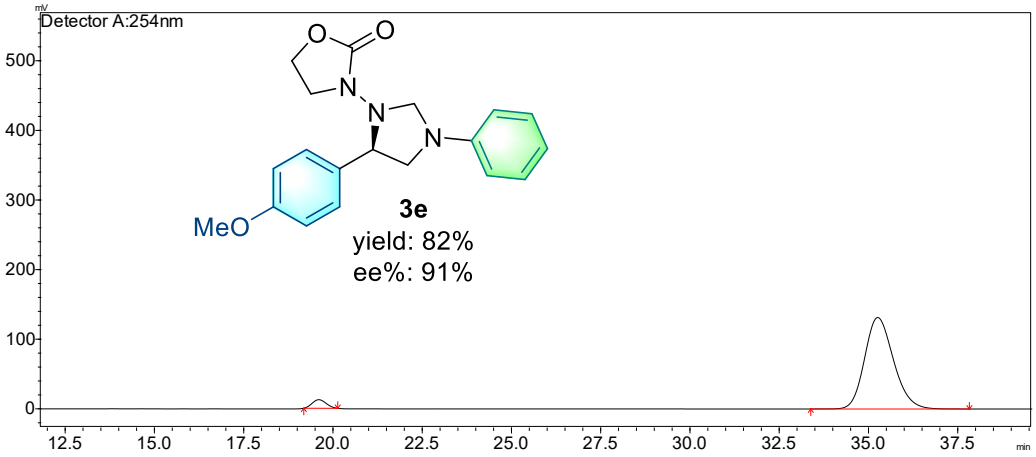
Peak#	Ret. Time	Area	Height	Area %	Height %
1	14.561	123597	5937	2.735	5.699
2	28.262	4394785	98242	97.265	94.301
Total		4518382	104179	100.000	100.000

HPLC trace for the racemic reference rac-**3e**, and non-racemic product **3e**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 91% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 19.6 min, tr(major) = 35.3 min.)



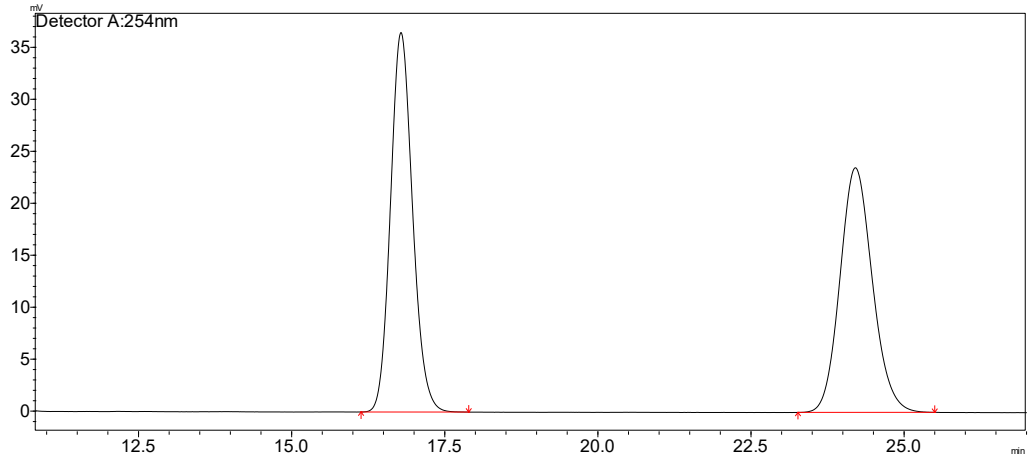
Peak#	Ret. Time	Area	Height	Area %	Height %
1	19.813	787659	26172	50.051	64.874
2	35.414	786055	14171	49.949	35.126
Total		1573714	40343	100.000	100.000



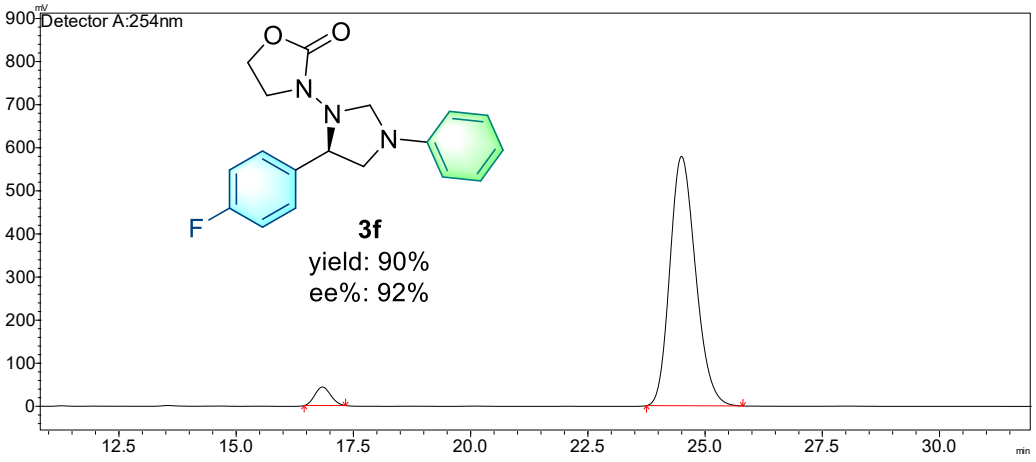
Peak#	Ret. Time	Area	Height	Area %	Height %
1	19.601	334891	12322	4.331	8.572
2	35.255	7398050	131420	95.669	91.428
Total		7732940	143741	100.000	100.000

HPLC trace for the racemic reference rac-**3f**, and non-racemic product **3f**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 92% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 16.8 min, tr(major) = 24.5 min.)



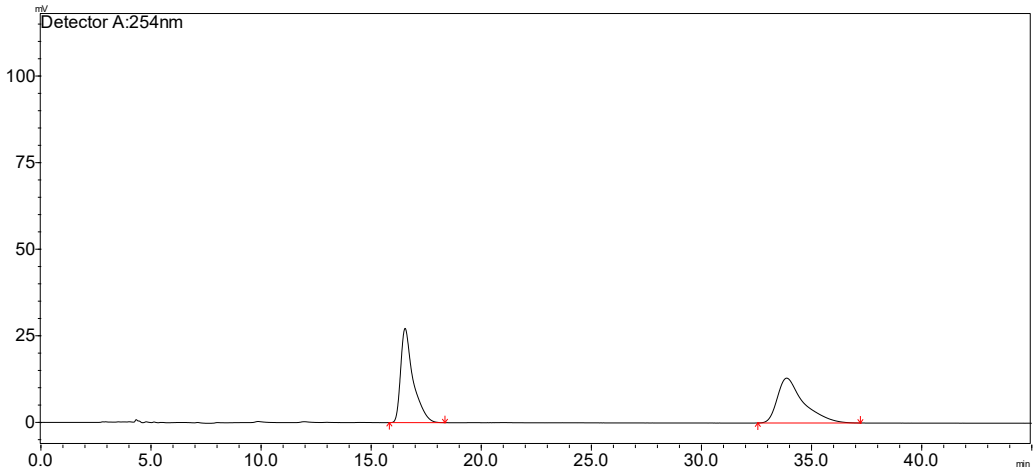
Peak#	Ret. Time	Area	Height	Area %	Height %
1	16.781	916308	36510	51.350	60.807
2	24.201	868130	23533	48.650	39.193
Total		1784438	60042	100.000	100.000



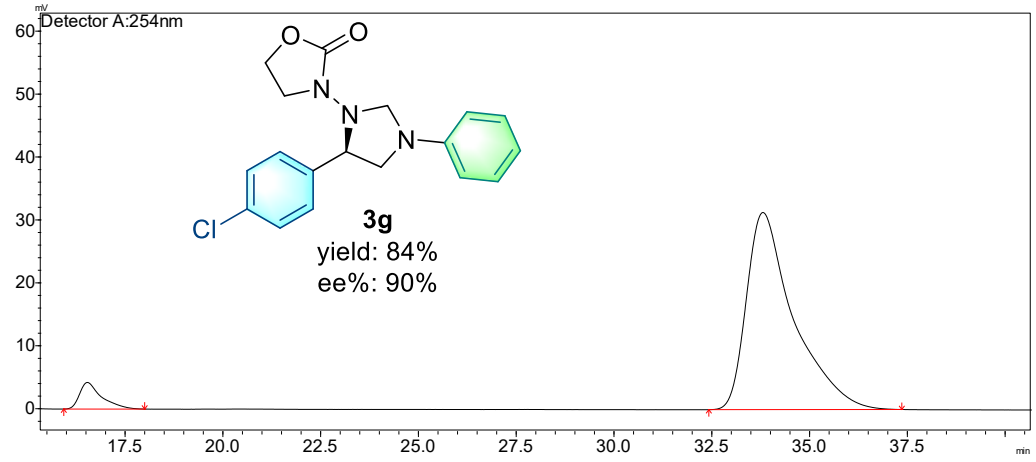
Peak#	Ret. Time	Area	Height	Area %	Height %
1	16.835	968468	41946	4.197	6.760
2	24.493	22106983	578548	95.803	93.240
Total		23075451	620494	100.000	100.000

HPLC trace for the racemic reference rac-**3g**, and non-racemic product **3g**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 90% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 16.5 min, tr(major) = 33.8 min.)



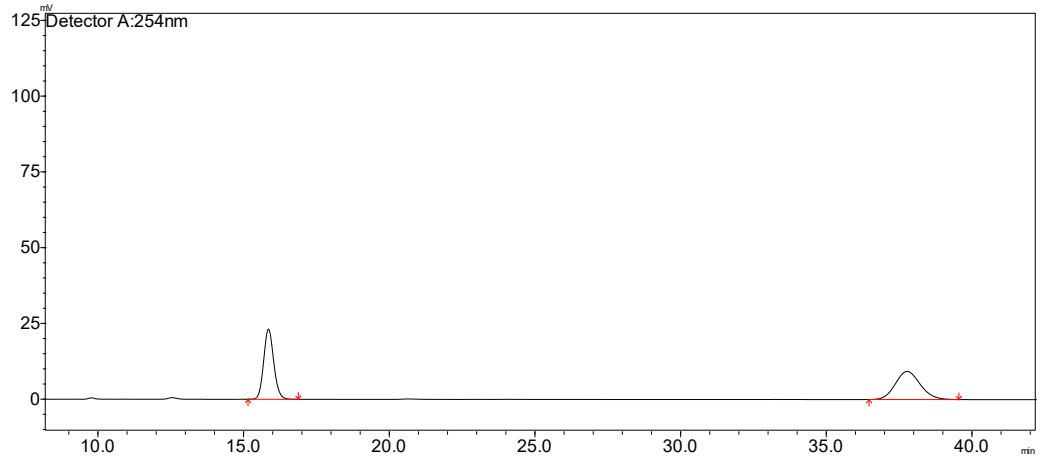
Peak#	Ret. Time	Area	Height	Area %	Height %
1	16.535	1066990	27224	50.042	67.760
2	33.867	1065188	12953	49.958	32.240
Total		2132178	40178	100.000	100.000



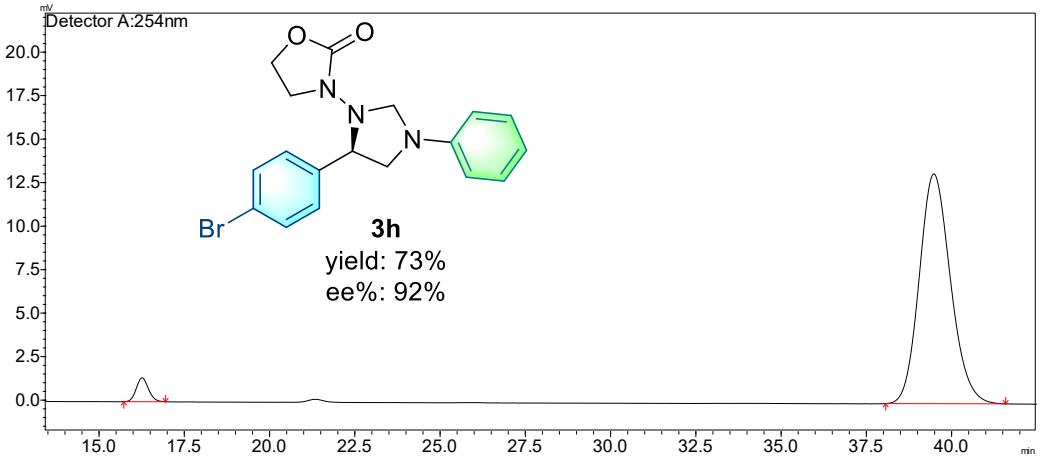
Peak#	Ret. Time	Area	Height	Area %	Height %
1	16.532	135092	3977	4.900	11.264
2	33.803	2621658	31329	95.100	88.736
Total		2756750	35306	100.000	100.000

HPLC trace for the racemic reference rac-**3h**, and non-racemic product **3h**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 92% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 16.3 min, tr(major) = 39.5 min.)



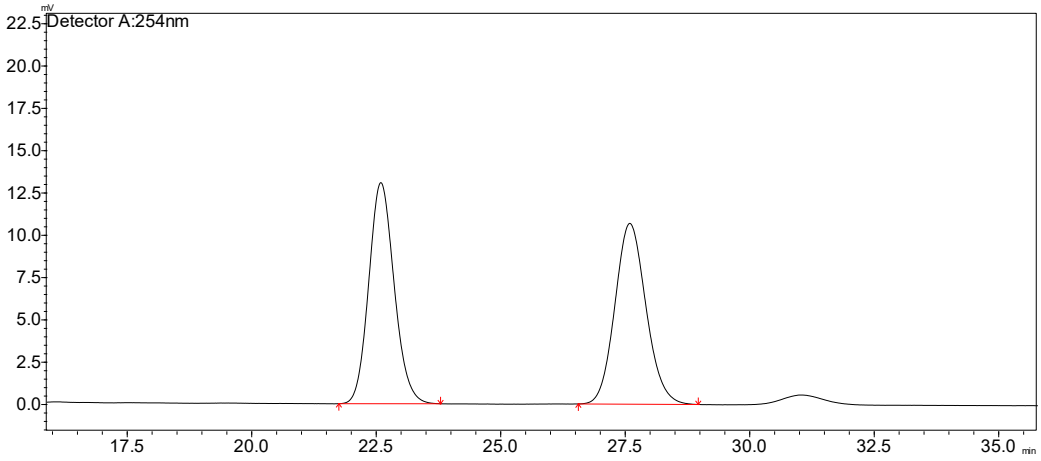
Peak#	Ret. Time	Area	Height	Area %	Height %
1	15.848	558825	23175	50.243	71.383
2	37.769	553430	9291	49.757	28.617
Total		1112256	32466	100.000	100.000



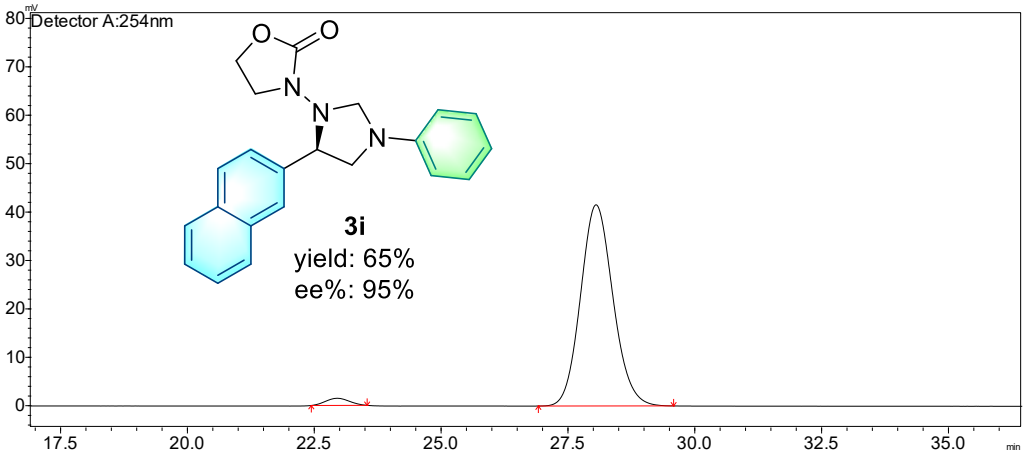
Peak#	Ret. Time	Area	Height	Area %	Height %
1	16.256	34104	1375	3.895	9.433
2	39.475	841382	13203	96.105	90.567
Total		875486	14578	100.000	100.000

HPLC trace for the racemic reference rac-**3i**, and non-racemic product **3i**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 95% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 23.0 min, tr(major) = 28.1 min.)



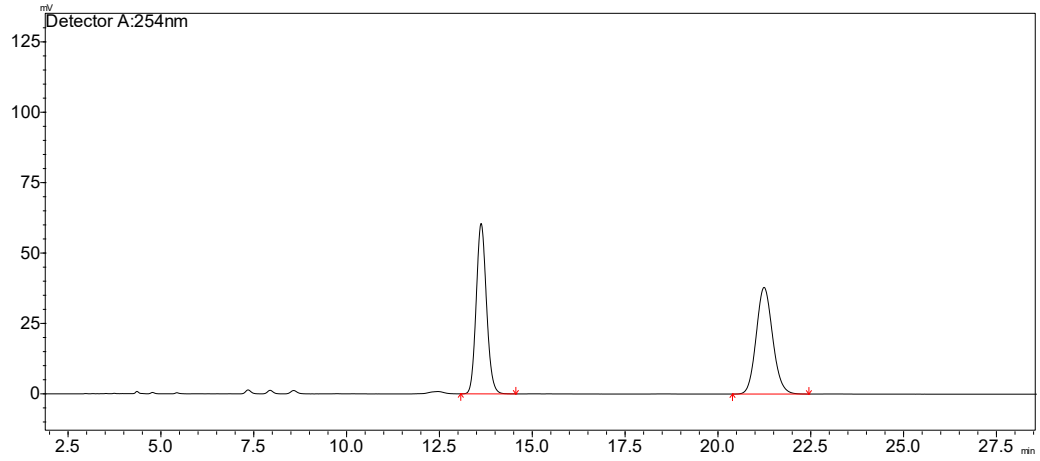
Peak#	Ret. Time	Area	Height	Area %	Height %
1	22.589	464338	13065	50.033	55.014
2	27.587	463723	10683	49.967	44.986
Total		928061	23748	100.000	100.000



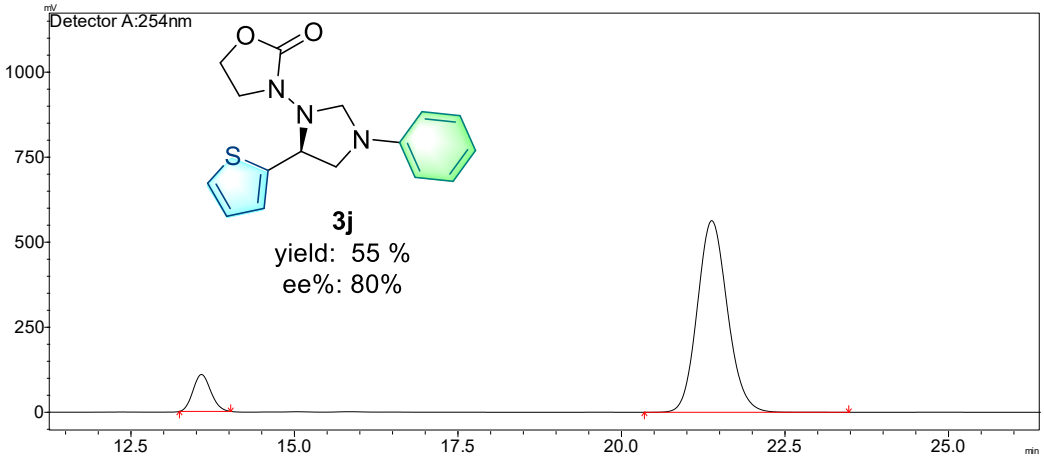
Peak#	Ret. Time	Area	Height	Area %	Height %
1	22.953	47886	1475	2.522	3.428
2	28.050	1850490	41559	97.478	96.572
Total		1898376	43034	100.000	100.000

HPLC trace for the racemic reference rac-**3j**, and non-racemic product **3j**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* =80% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 13.6 min, tr(major) = 21.4 min.)



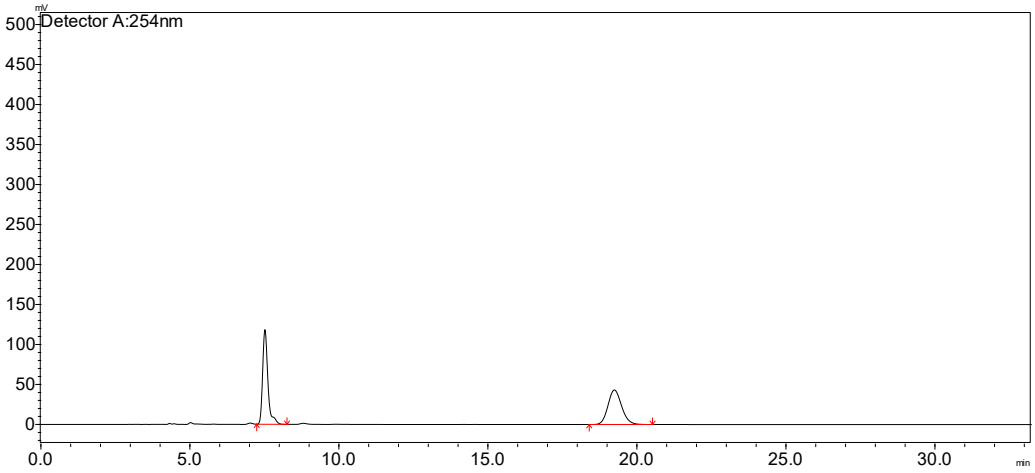
Peak#	Ret. Time	Area	Height	Area %	Height %
1	13.619	1165884	60523	49.947	61.502
2	21.238	1168358	37885	50.053	38.498
Total		2334242	98409	100.000	100.000



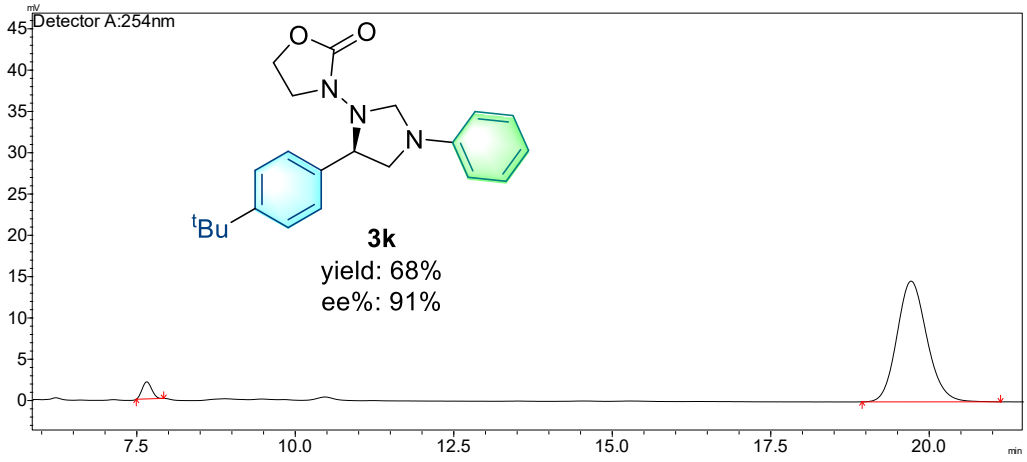
Peak#	Ret. Time	Area	Height	Area %	Height %
1	13.574	2054842	108580	10.226	16.159
2	21.376	18039395	563381	89.774	83.841
Total		20094237	671960	100.000	100.000

HPLC trace for the racemic reference rac-**3k**, and non-racemic product **3k**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 91% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 7.7 min, tr(major) = 19.7 min.)



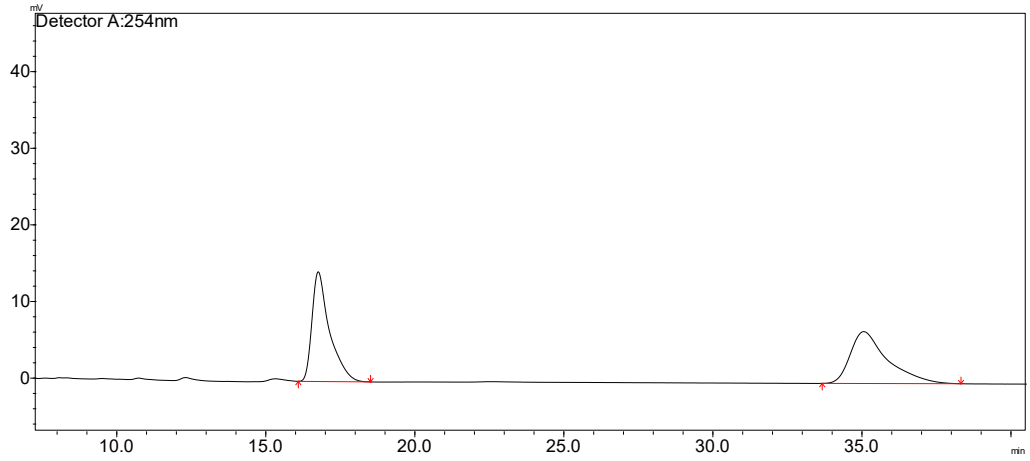
Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.514	1455648	118317	51.449	73.244
2	19.239	1373665	43220	48.551	26.756
Total		2829313	161537	100.000	100.000



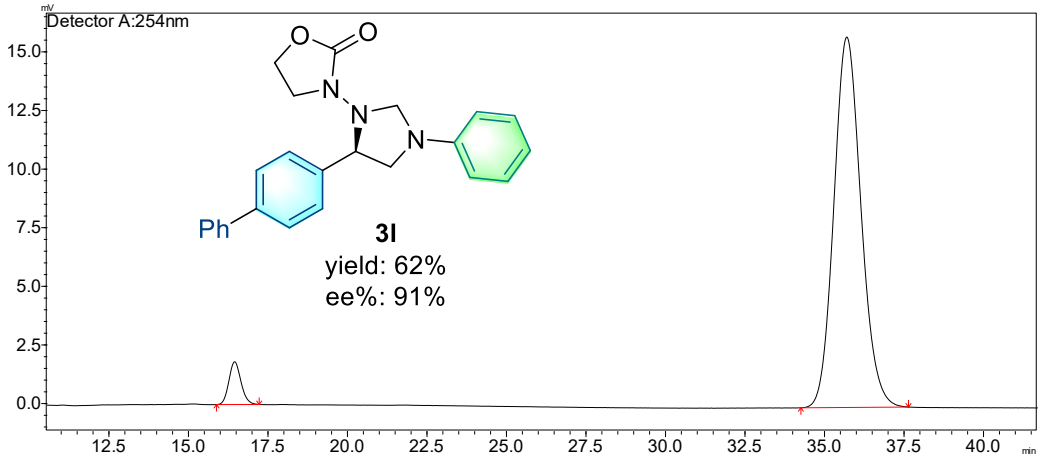
Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.653	21781	2068	4.345	12.396
2	19.709	479546	14618	95.655	87.604
Total		501327	16686	100.000	100.000

HPLC trace for the racemic reference rac-**3l**, and non-racemic product **3l**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 91% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 16.5 min, tr(major) = 35.7 min.)



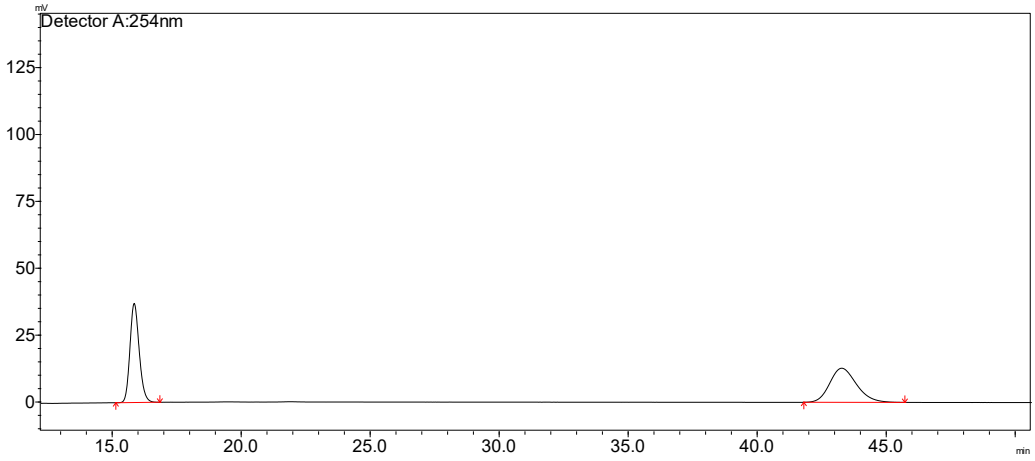
Peak#	Ret. Time	Area	Height	Area %	Height %
1	16.756	584558	14315	49.900	67.892
2	35.058	586911	6770	50.100	32.108
Total		1171469	21086	100.000	100.000



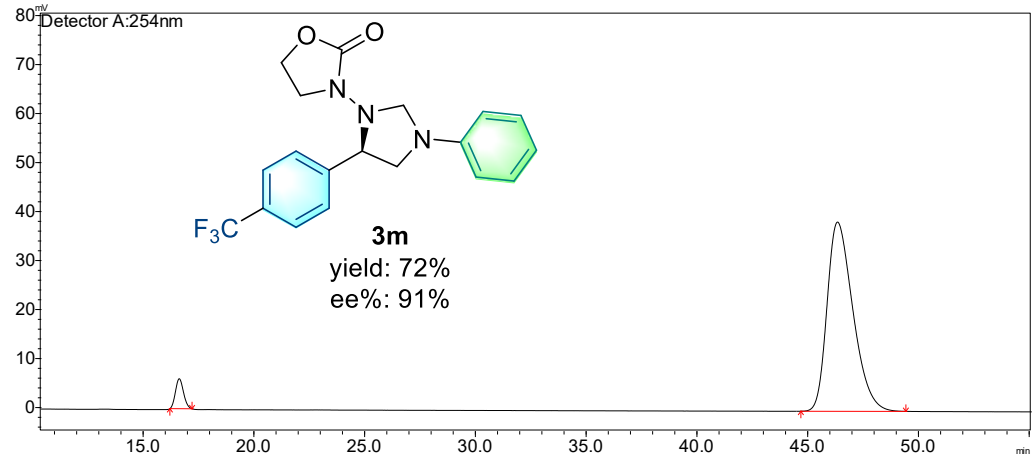
Peak#	Ret. Time	Area	Height	Area %	Height %
1	16.450	44261	1762	4.508	10.031
2	35.696	937556	15800	95.492	89.969
Total		981817	17562	100.000	100.000

HPLC trace for the racemic reference rac-**3m**, and non-racemic product **3m**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 91% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 16.6 min, tr(major) = 46.3 min.)



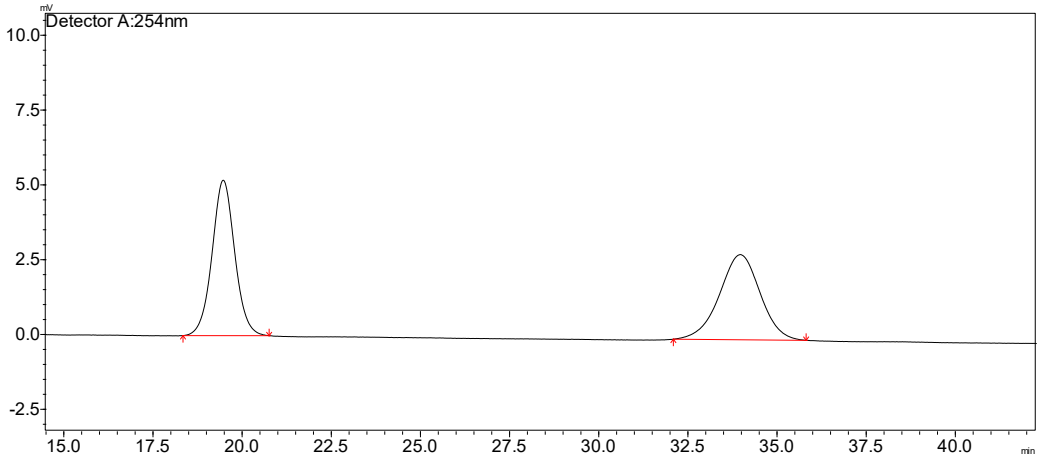
Peak#	Ret. Time	Area	Height	Area %	Height %
1	15.849	923803	37054	50.100	74.403
2	43.274	920109	12748	49.900	25.597
Total		1843912	49802	100.000	100.000



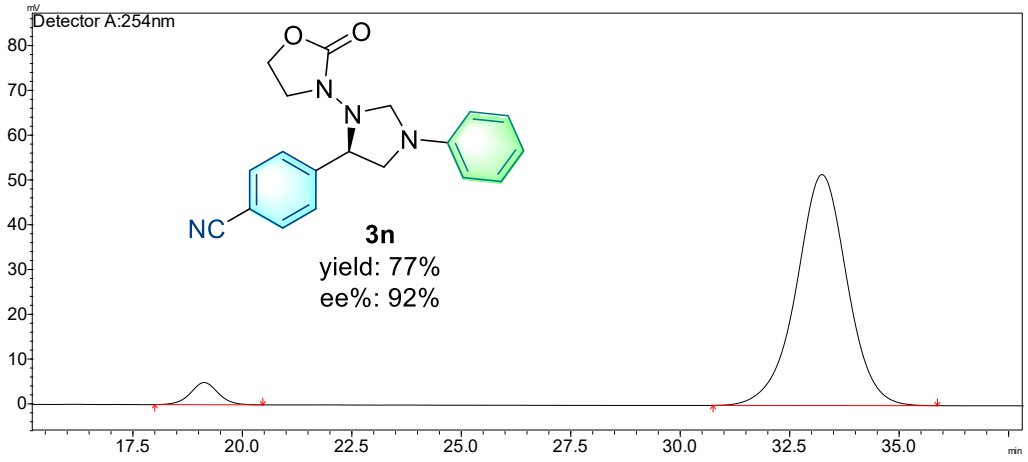
Peak#	Ret. Time	Area	Height	Area %	Height %
1	16.627	154545	6118	4.695	13.676
2	46.345	3137253	38618	95.305	86.324
Total		3291797	44736	100.000	100.000

HPLC trace for the racemic reference rac-**3n**, and non-racemic product **3n**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 92% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 19.1 min, tr(major) = 33.2 min.)



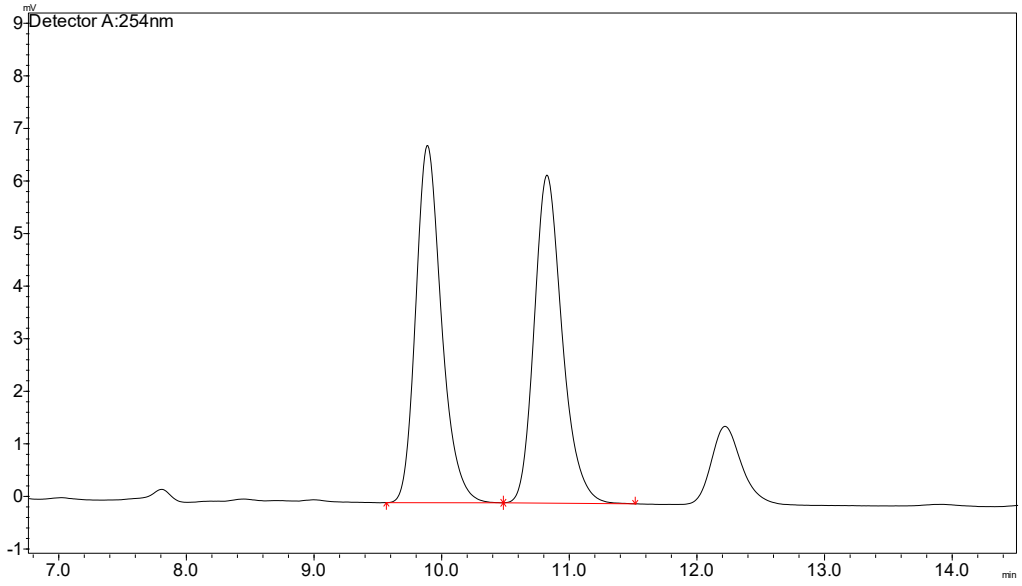
Peak#	Ret. Time	Area	Height	Area %	Height %
1	19.467	230159	5193	50.455	64.600
2	33.967	226007	2846	49.545	35.400
Total		456166	8039	100.000	100.000



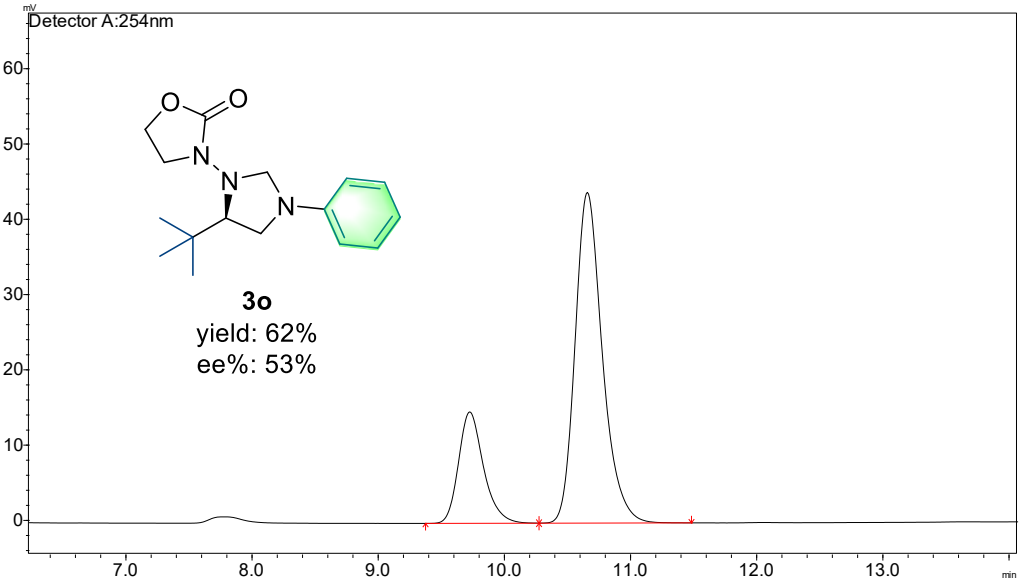
Peak#	Ret. Time	Area	Height	Area %	Height %
1	19.122	179733	4580	4.136	8.156
2	33.234	4165373	51569	95.864	91.844
Total		4345106	56148	100.000	100.000

HPLC trace for the racemic reference rac-**3o**, and non-racemic product **3o**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 53% (HPLC: AD, 254 nm, n-hexane/isopropanol = 90:10, flow rate: 1 mL/min, 25 °C, tr(minor) = 9.7 min, tr(major) = 10.7 min.)



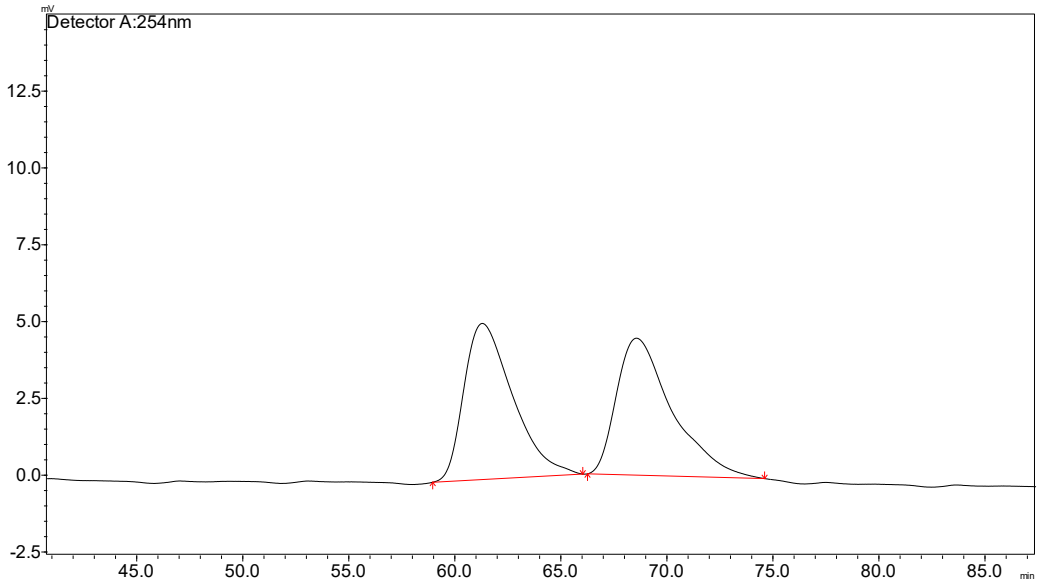
Peak#	Ret. Time	Area	Height	Area %	Height %
1	9.884	95302	6795	50.045	52.121
2	10.821	95132	6242	49.955	47.879
Total		190433	13037	100.000	100.000



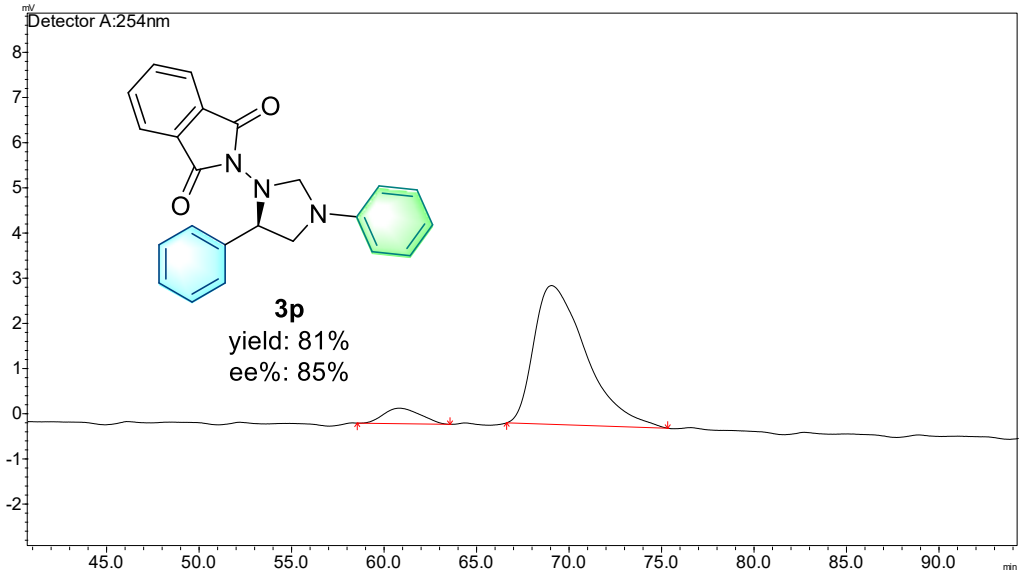
Peak#	Ret. Time	Area	Height	Area %	Height %
1	9.721	203210	14798	23.558	25.203
2	10.653	659400	43916	76.442	74.797
Total		862610	58714	100.000	100.000

HPLC trace for the racemic reference rac-**3p**, and non-racemic product **3p**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak OD column, *ee* = 85% (HPLC: AD, 254 nm, n-hexane/isopropanol = 99.2:0.8, flow rate: 1 mL/min, 25 °C, tr(minor) = 60.9 min, tr(major) = 69.0 min.)



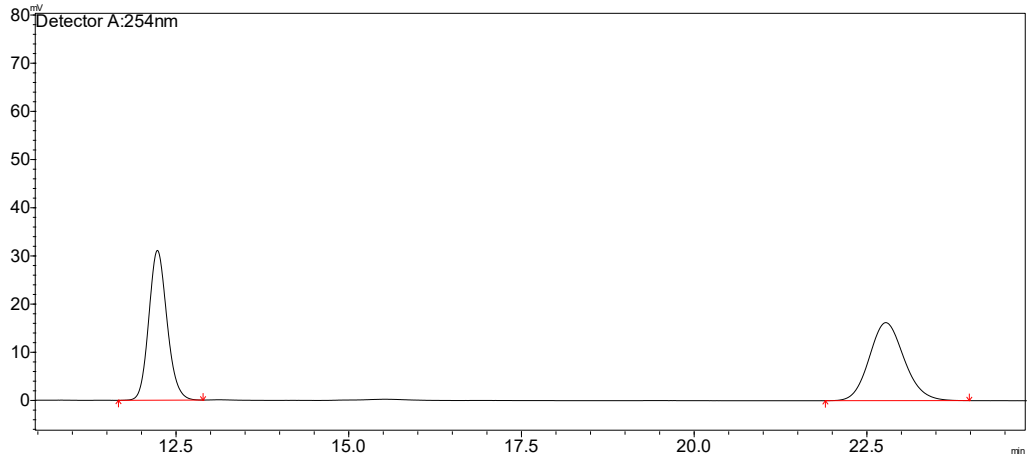
Peak#	Ret. Time	Area	Height	Area %	Height %
1	61.285	813996	5082	50.089	53.269
2	68.576	811088	4458	49.911	46.731
Total		1625085	9540	100.000	100.000



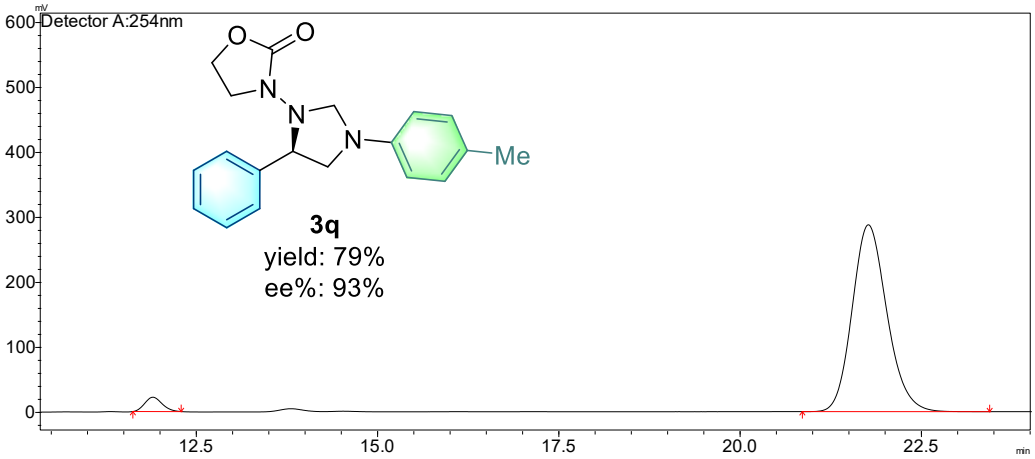
Peak#	Ret. Time	Area	Height	Area %	Height %
1	60.857	47320	344	7.265	10.062
2	69.048	604053	3074	92.735	89.938
Total		651373	3417	100.000	100.000

HPLC trace for the racemic reference rac-**3q**, and non-racemic product **3q**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 93% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 11.9 min, tr(major) = 21.8 min.)



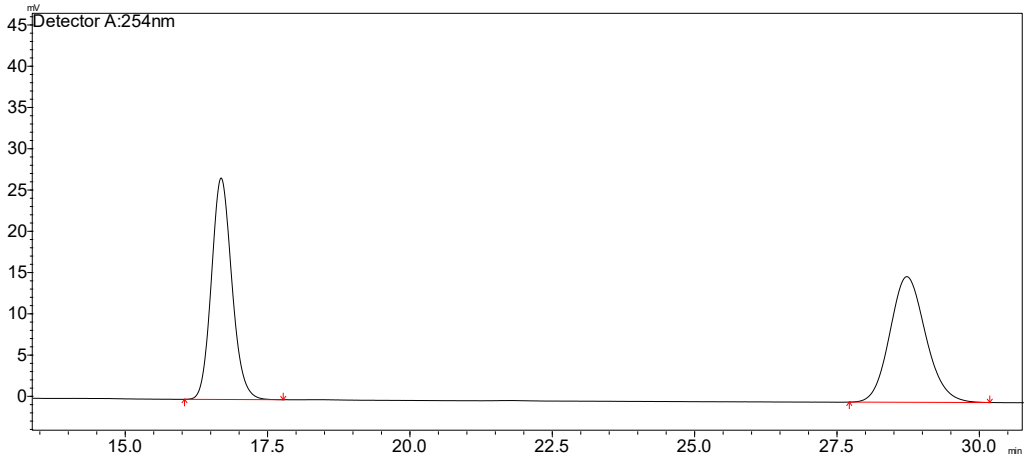
Peak#	Ret. Time	Area	Height	Area %	Height %
1	12.226	570675	31096	50.050	65.752
2	22.770	569528	16197	49.950	34.248
Total		1140203	47293	100.000	100.000



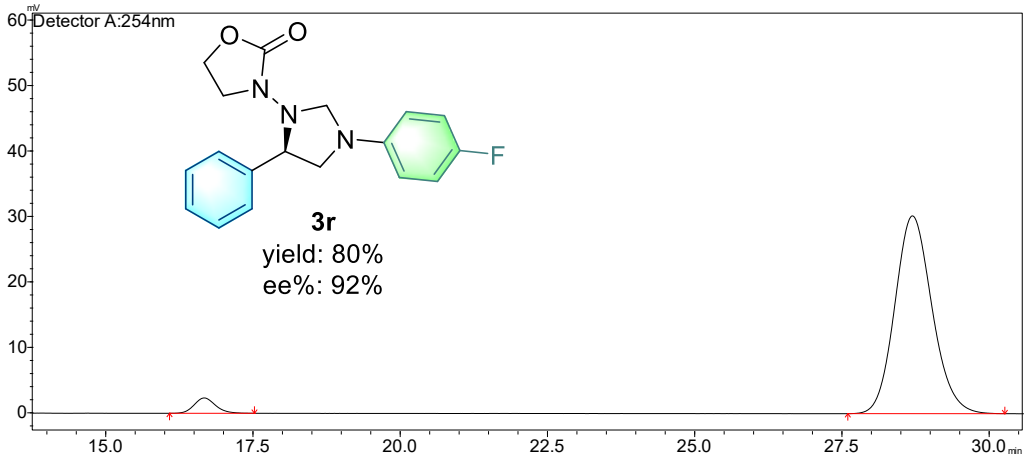
Peak#	Ret. Time	Area	Height	Area %	Height %
1	11.896	368559	22047	3.706	7.121
2	21.764	9576934	287575	96.294	92.879
Total		9945492	309622	100.000	100.000

HPLC trace for the racemic reference rac-**3r**, and non-racemic product **3r**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 92% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 16.7 min, tr(major) = 28.7 min.)



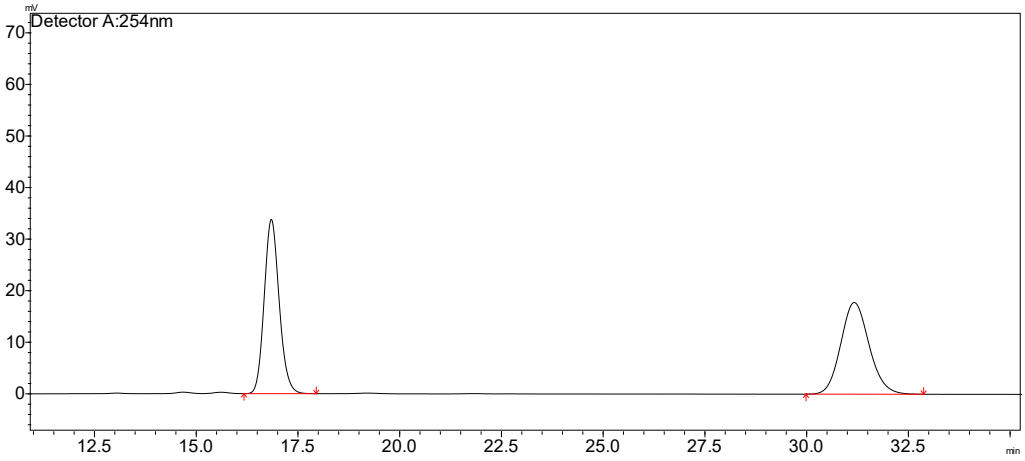
Peak#	Ret. Time	Area	Height	Area %	Height %
1	16.680	669822	26834	50.112	63.803
2	28.723	666837	15224	49.888	36.197
Total		1336659	42058	100.000	100.000



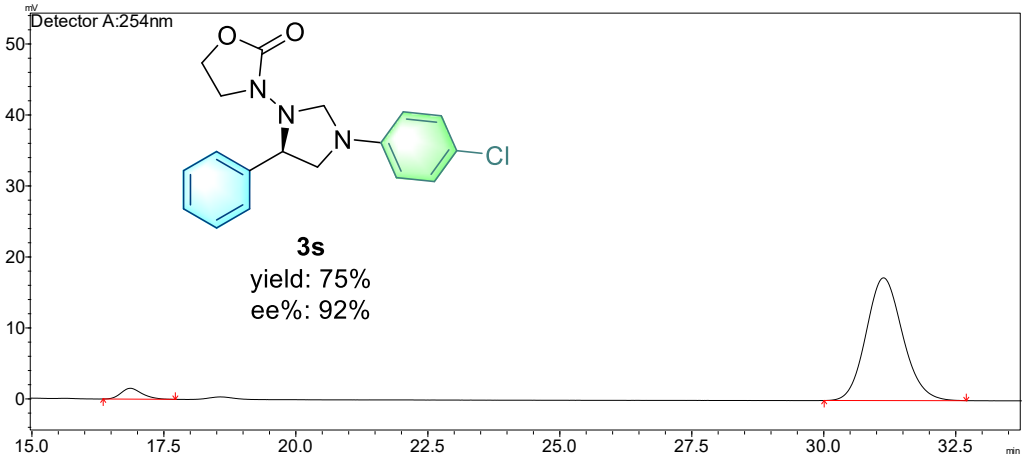
Peak#	Ret. Time	Area	Height	Area %	Height %
1	16.667	53025	2252	3.841	6.935
2	28.693	1327357	30213	96.159	93.065
Total		1380382	32465	100.000	100.000

HPLC trace for the racemic reference rac-**3s**, and non-racemic product **3s**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 92% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 16.9 min, tr(major) = 31.1 min.)



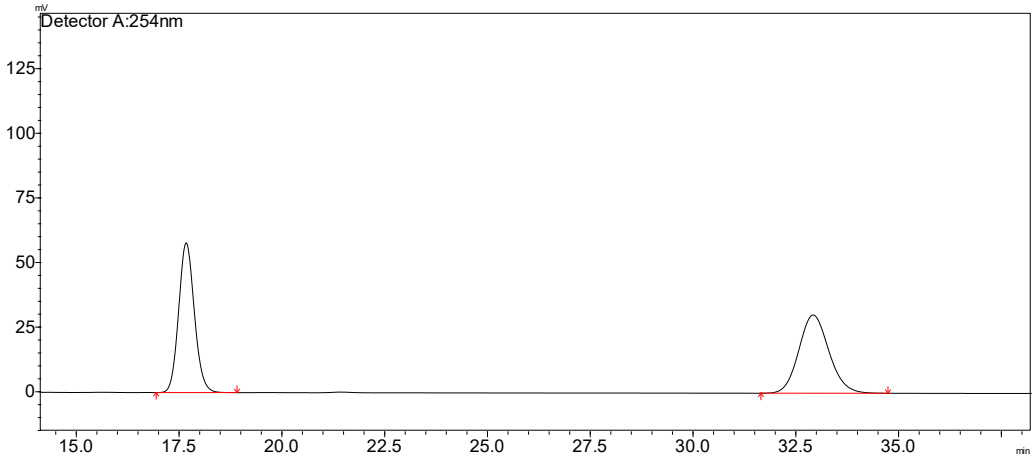
Peak#	Ret. Time	Area	Height	Area %	Height %
1	16.841	866041	33788	50.131	65.518
2	31.166	861517	17782	49.869	34.482
Total		1727558	51570	100.000	100.000



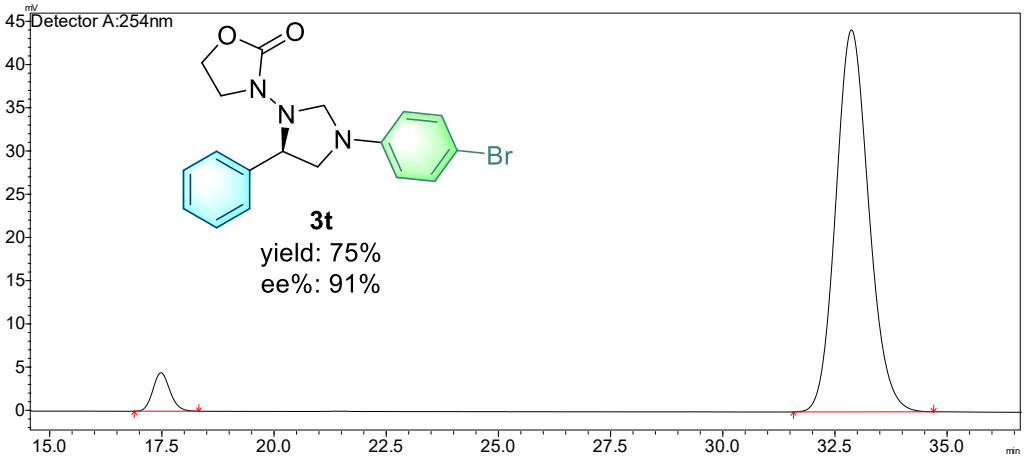
Peak#	Ret. Time	Area	Height	Area %	Height %
1	16.862	35549	1414	4.099	7.559
2	31.128	831752	17290	95.901	92.441
Total		867301	18703	100.000	100.000

HPLC trace for the racemic reference rac-**3t**, and non-racemic product **3t**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 91% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 17.5 min, tr(major) = 32.9 min.)



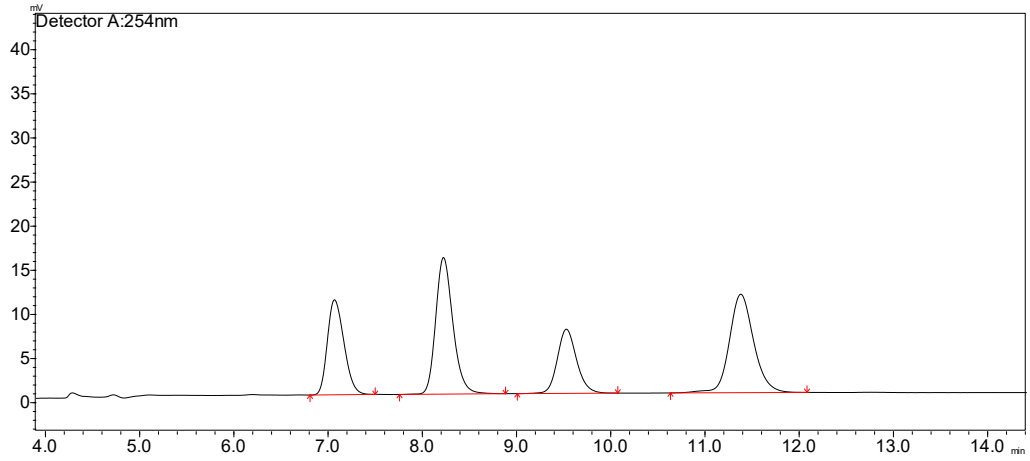
Peak#	Ret. Time	Area	Height	Area %	Height %
1	17.668	1541707	57945	49.990	65.684
2	32.918	1542352	30273	50.010	34.316
Total		3084059	88218	100.000	100.000



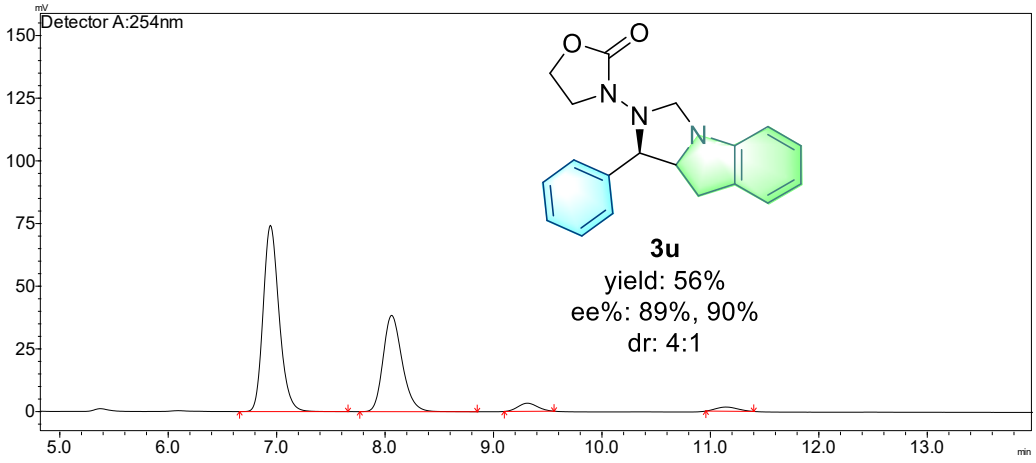
Peak#	Ret. Time	Area	Height	Area %	Height %
1	17.475	107034	4288	4.524	8.846
2	32.865	2258931	44190	95.476	91.154
Total		2365965	48478	100.000	100.000

HPLC trace for the racemic reference rac-**3u**, and non-racemic product **3u**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, dr = 4:1, *ee* = 89%, 91% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 9.3 min, tr(major) = 6.9 min, tr(minor) = 11.1 min, tr(major) = 8.1 min.)



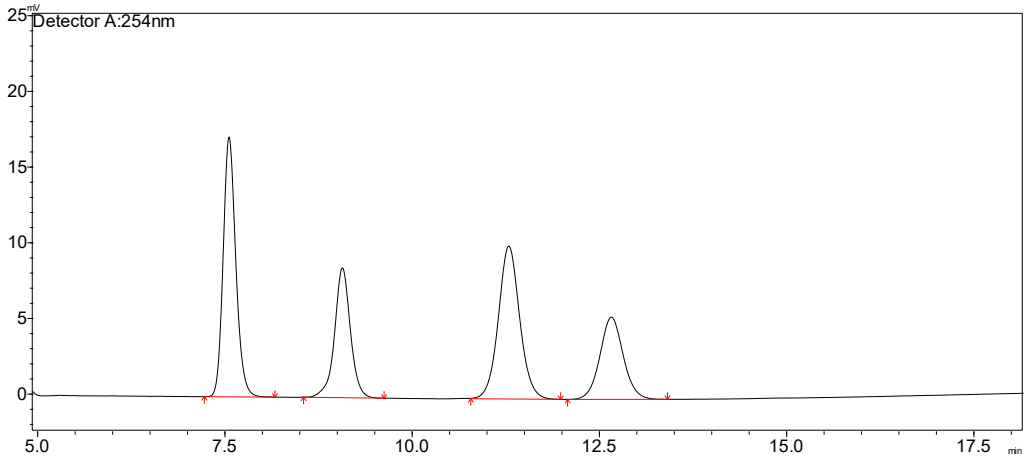
Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.064	131937	10772	20.847	24.101
2	8.220	197534	15491	31.212	34.660
3	9.524	103351	7275	16.330	16.277
4	11.376	200055	11157	31.610	24.962
Total		632877	44695	100.000	100.000



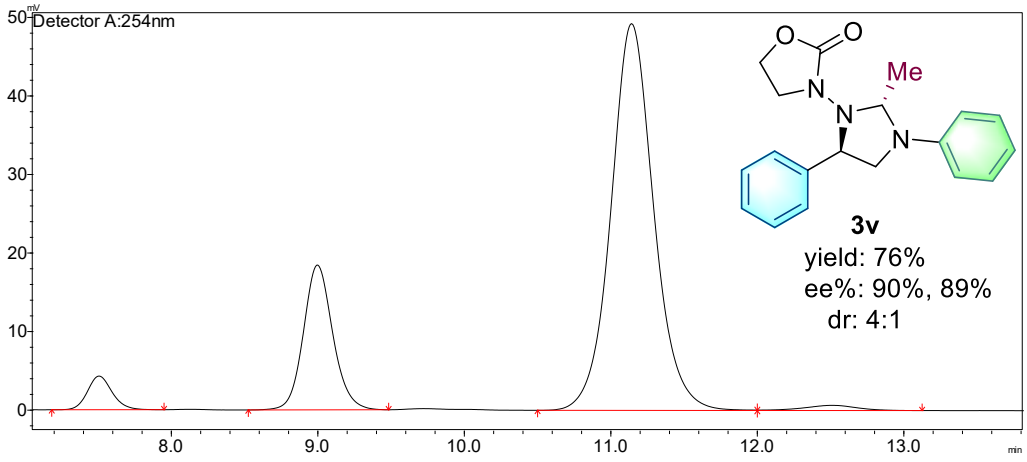
Peak#	Ret. Time	Area	Height	Area %	Height %
1	6.938	759372	74325	58.262	62.994
2	8.056	470064	38414	36.065	32.558
3	9.308	43924	3345	3.370	2.835
4	11.139	30019	1903	2.303	1.613
Total		1303378	117987	100.000	100.000

HPLC trace for the racemic reference rac-**3v**, and non-racemic product **3v**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, dr = 4:1, *ee* = 90%, 89% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 7.5 min, tr(major) = 11.1 min, tr(minor) = 12.5 min, tr(major) = 9.0 min.)



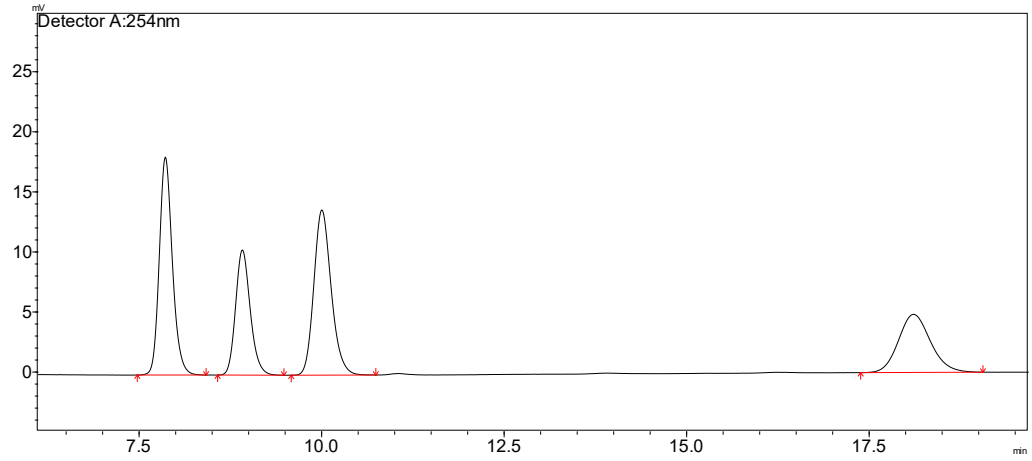
Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.551	202020	17160	31.263	41.577
2	9.064	126104	8579	19.515	20.786
3	11.286	200365	10103	31.007	24.479
4	12.656	117710	5431	18.216	13.158
Total		646200	41272	100.000	100.000



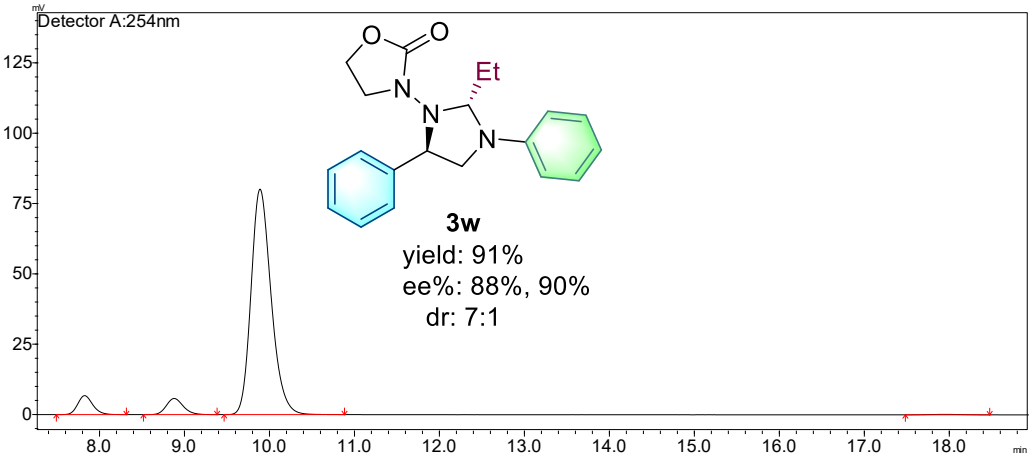
Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.502	50177	4285	3.794	5.900
2	8.992	257223	18435	19.447	25.385
3	11.137	998692	49245	75.505	67.809
4	12.506	16595	658	1.255	0.906
Total		1322686	72624	100.000	100.000

HPLC trace for the racemic reference rac-**3w**, and non-racemic product **3w**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, dr = 7:1, *ee* = 88%, 90% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 7.8 min, tr(major) = 9.9 min, tr(minor) = 17.9 min, tr(major) = 8.9 min.)



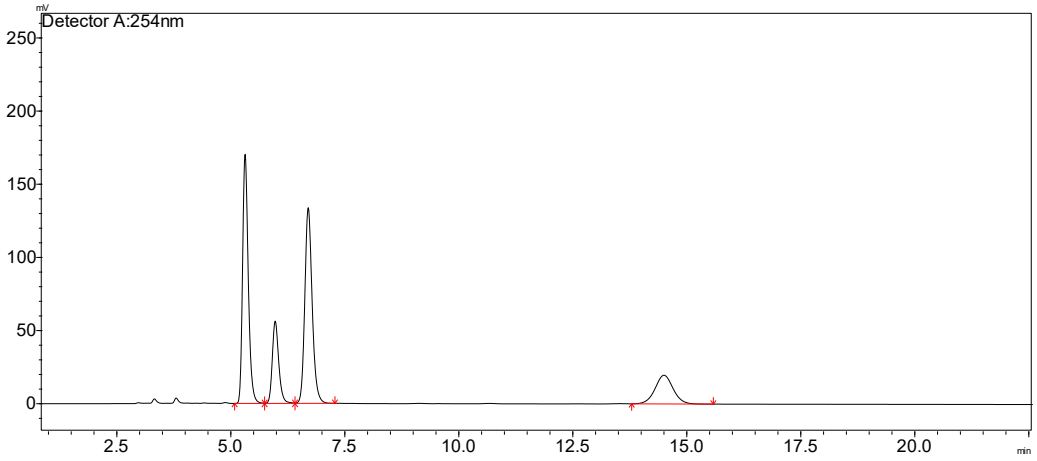
Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.854	226551	18148	30.297	38.483
2	8.910	145770	10417	19.494	22.090
3	9.999	227715	13752	30.453	29.160
4	18.104	147734	4842	19.757	10.267
Total		747769	47159	100.000	100.000



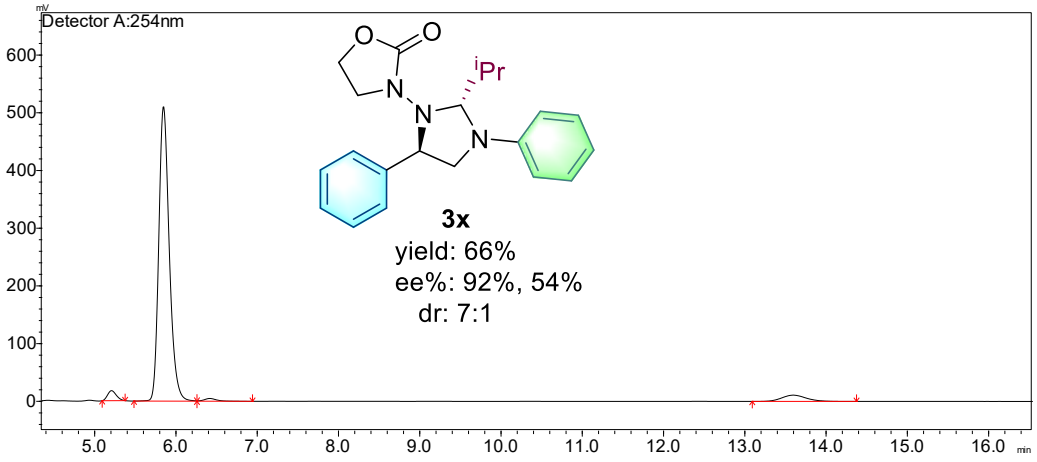
Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.821	83483	6751	5.624	7.276
2	8.874	79622	5733	5.364	6.179
3	9.885	1317048	80151	88.729	86.381
4	17.946	4191	152	0.282	0.164
Total		1484344	92787	100.000	100.000

HPLC trace for the racemic reference rac-**3x**, and non-racemic product **3x**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, dr = 7:1, *ee* = 92%, 54% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 6.4 min, tr(major) = 5.2 min, tr(minor) = 13.6 min, tr(major) = 5.8 min.)



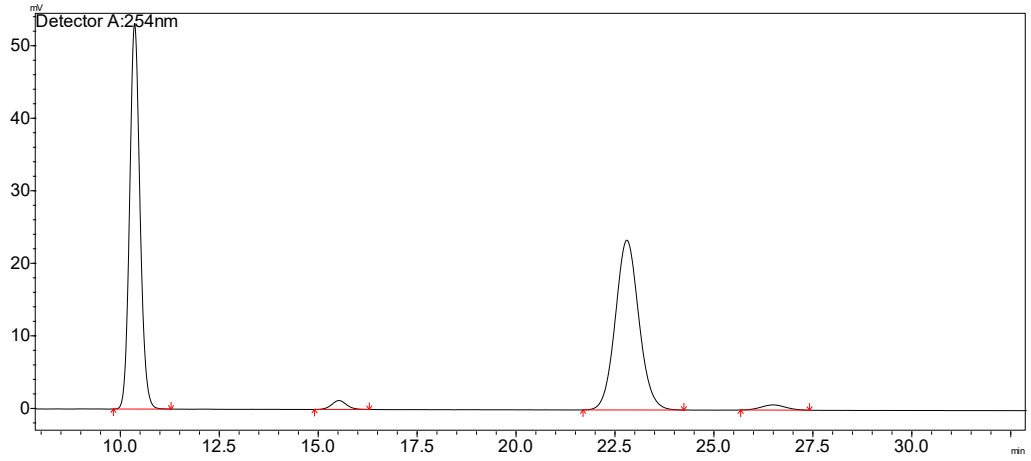
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.309	1476568	170180	36.274	44.816
2	5.969	552359	56239	13.570	14.810
3	6.694	1500610	133694	36.865	35.208
4	14.496	541035	19615	13.291	5.166
Total		4070572	379728	100.000	100.000



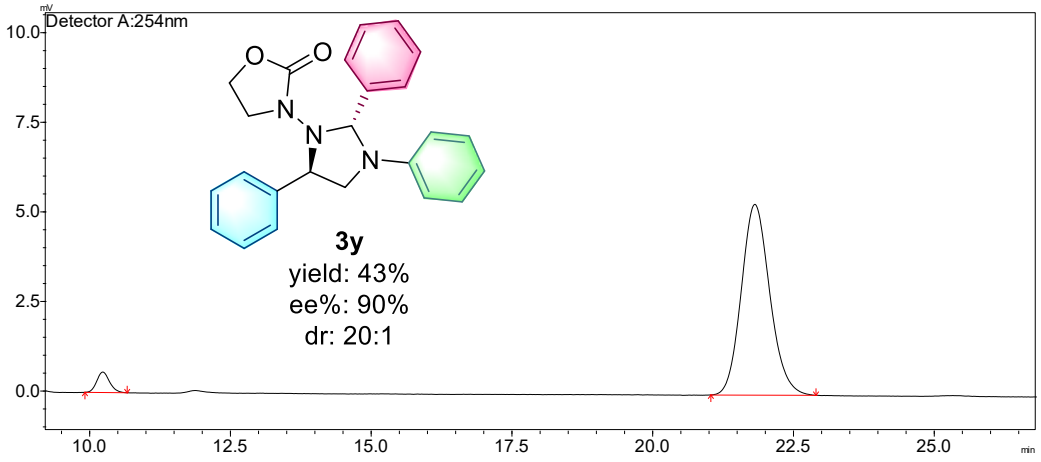
Peak#	Ret. Time	Area	Height	Area %	Height %
1	5.203	129558	17366	2.594	3.201
2	5.842	4583660	509591	91.790	93.926
3	6.412	51599	4738	1.033	0.873
4	13.591	228824	10849	4.582	2.000
Total		4993640	542545	100.000	100.000

HPLC trace for the racemic reference rac-**3y**, and non-racemic product **3y**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, dr = 20:1, *ee* = 90% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 10.2 min, tr(major) = 21.8 min.)



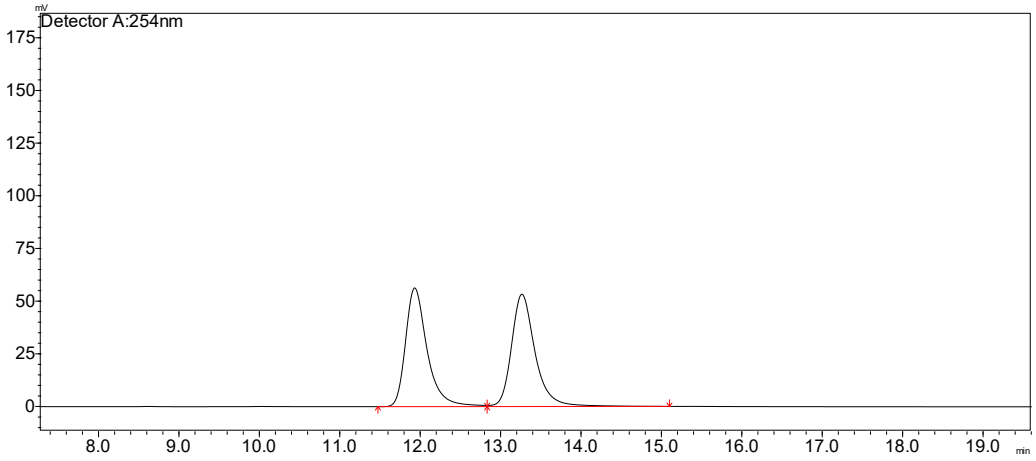
Peak#	Ret. Time	Area	Height	Area %	Height %
1	10.356	967292	53171	48.344	67.718
2	15.518	32460	1226	1.622	1.561
3	22.796	970134	23407	48.486	29.811
4	26.494	30971	715	1.548	0.910
Total		2000857	78519	100.000	100.000



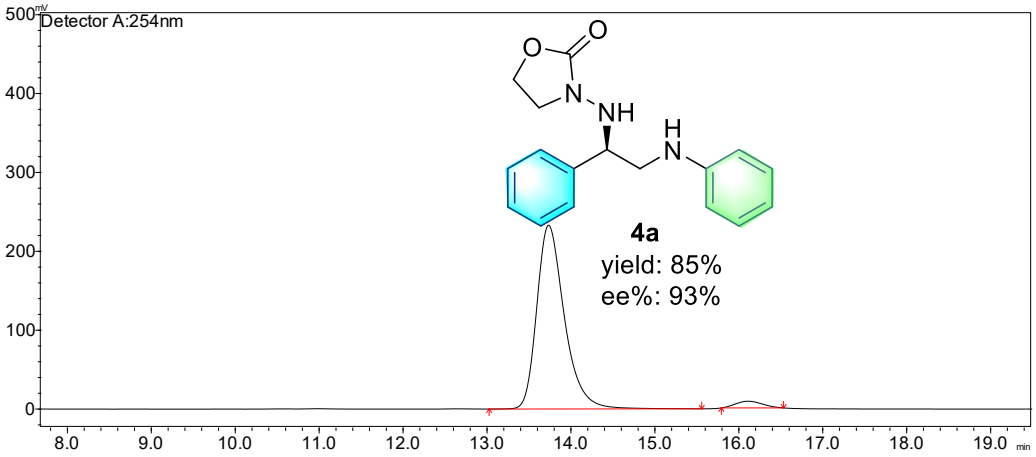
Peak#	Ret. Time	Area	Height	Area %	Height %
1	10.230	9022	571	4.586	9.686
2	21.811	187720	5325	95.414	90.314
Total		196741	5896	100.000	100.000

HPLC trace for the racemic reference rac-**4a**, and non-racemic product **4a**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 93% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 16.1 min, tr(major) = 13.7 min.)



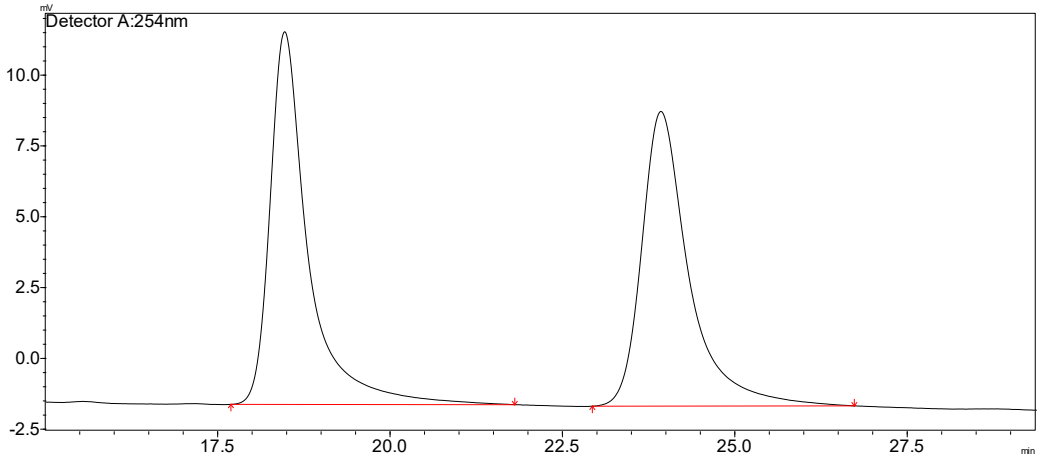
Peak#	Ret. Time	Area	Height	Area %	Height %
1	11.928	1055264	56371	49.193	51.401
2	13.261	1089868	53298	50.807	48.599
Total		2145131	109670	100.000	100.000



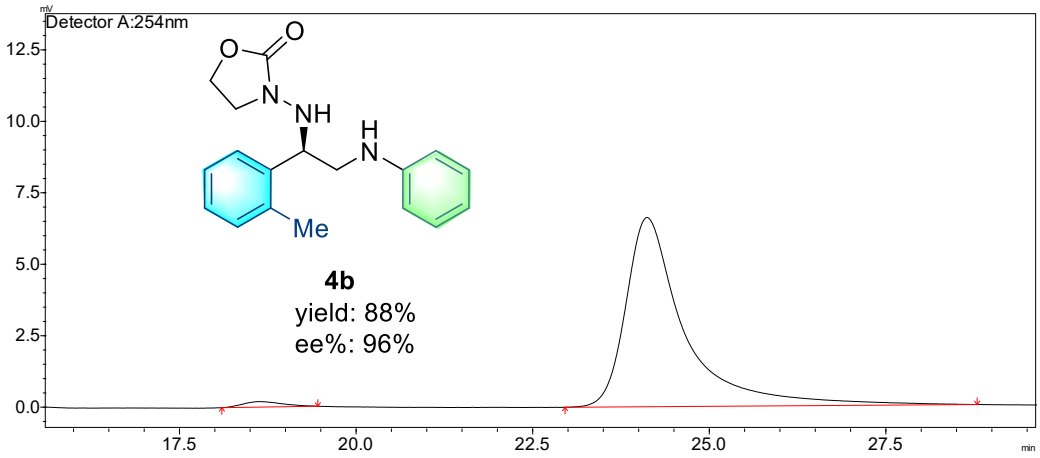
Peak#	Ret. Time	Area	Height	Area %	Height %
1	13.729	5328728	233060	96.566	96.478
2	16.107	189522	8508	3.434	3.522
Total		5518250	241567	100.000	100.000

HPLC trace for the racemic reference rac-**4b**, and non-racemic product **4b**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak IE column, *ee* = 96% (HPLC: IE, 254 nm, n-hexane/isopropanol = 80:20, and 1‰ Et_3N, flow rate: 1 mL/min, 25 °C, tr(minor) = 18.6 min, tr(major) = 24.1 min.)



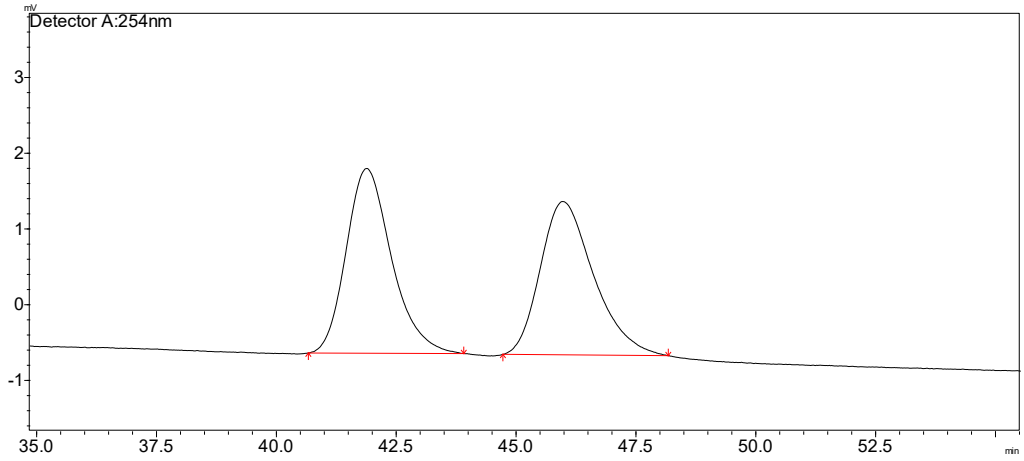
Peak#	Ret. Time	Area	Height	Area %	Height %
1	18.468	507675	13155	50.555	55.847
2	23.925	496535	10400	49.445	44.153
Total		1004210	23555	100.000	100.000



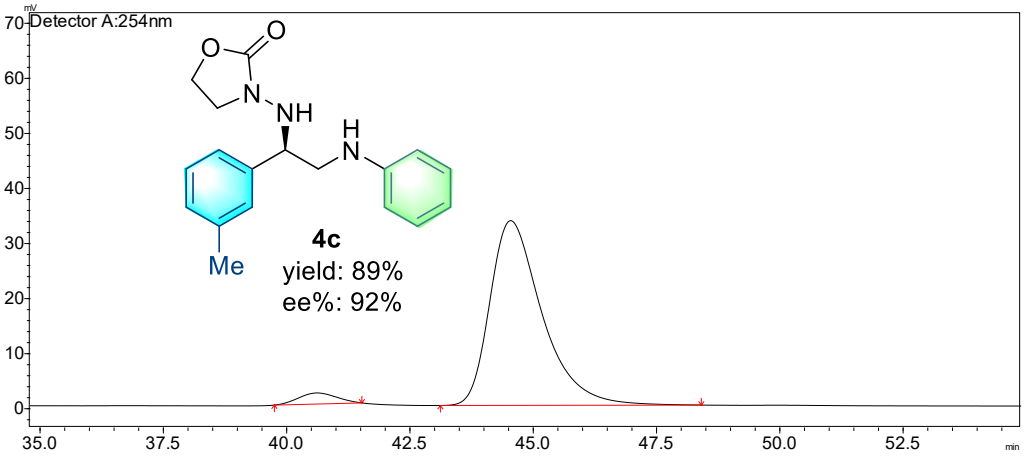
Peak#	Ret. Time	Area	Height	Area %	Height %
1	18.635	7505	193	2.049	2.853
2	24.115	358780	6572	97.951	97.147
Total		366285	6765	100.000	100.000

HPLC trace for the racemic reference rac-**4c**, and non-racemic product **4c**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 92% (HPLC: AD, 254 nm, n-hexane/isopropanol = 95:5, flow rate: 1 mL/min, 25 °C, tr(minor) = 40.6 min, tr(major) = 44.5 min.)



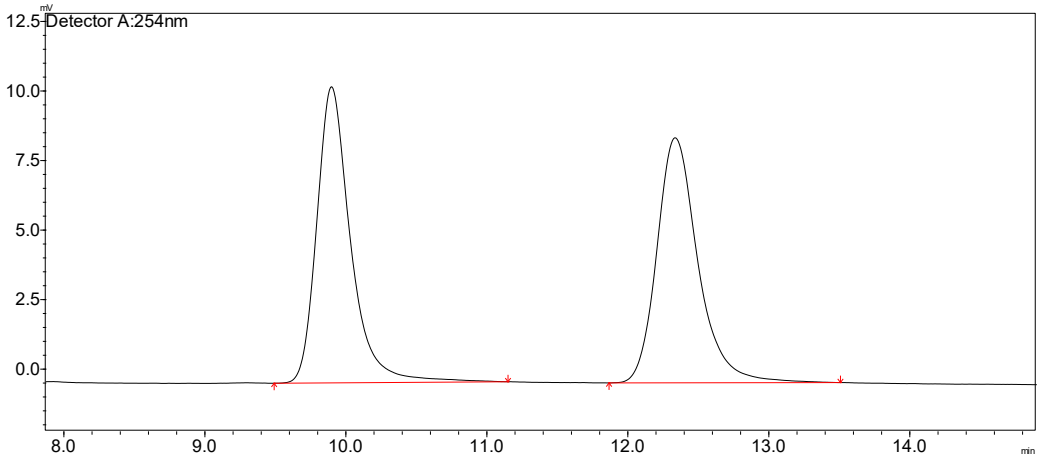
Peak#	Ret. Time	Area	Height	Area %	Height %
1	41.881	160834	2439	50.615	54.652
2	45.958	156922	2024	49.385	45.348
Total		317756	4463	100.000	100.000



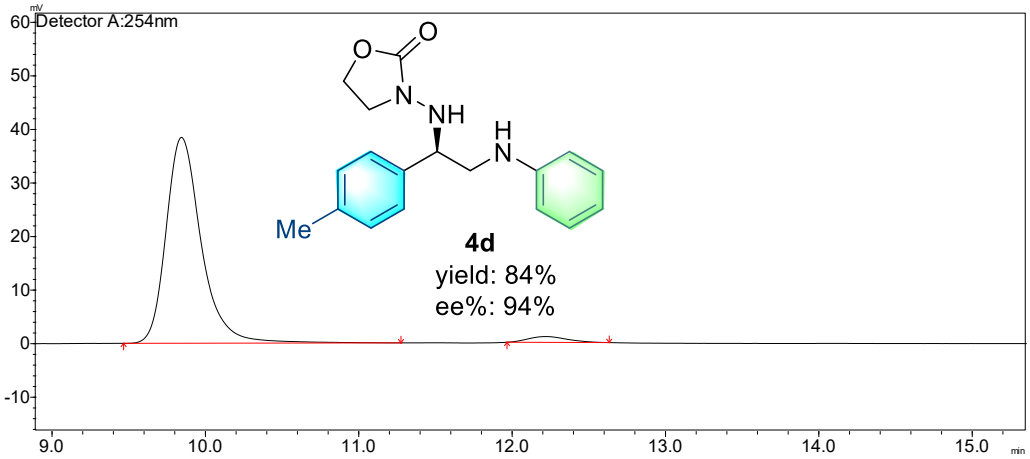
Peak#	Ret. Time	Area	Height	Area %	Height %
1	40.607	107357	2010	4.166	5.652
2	44.538	2469502	33548	95.834	94.348
Total		2576859	35558	100.000	100.000

HPLC trace for the racemic reference rac-**4d**, and non-racemic product **4d**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 94% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 12.2 min, tr(major) = 9.8 min.)



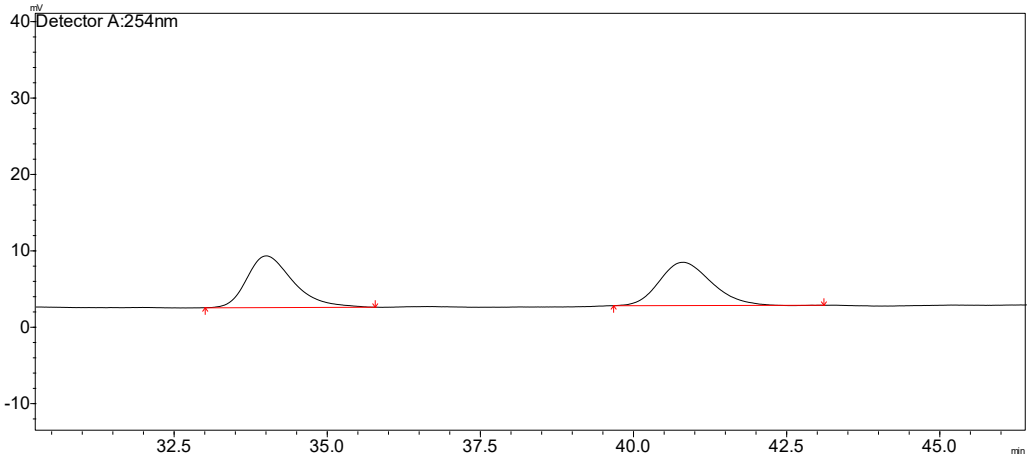
Peak#	Ret. Time	Area	Height	Area %	Height %
1	9.894	177565	10649	49.843	54.720
2	12.331	178684	8812	50.157	45.280
Total		356249	19460	100.000	100.000



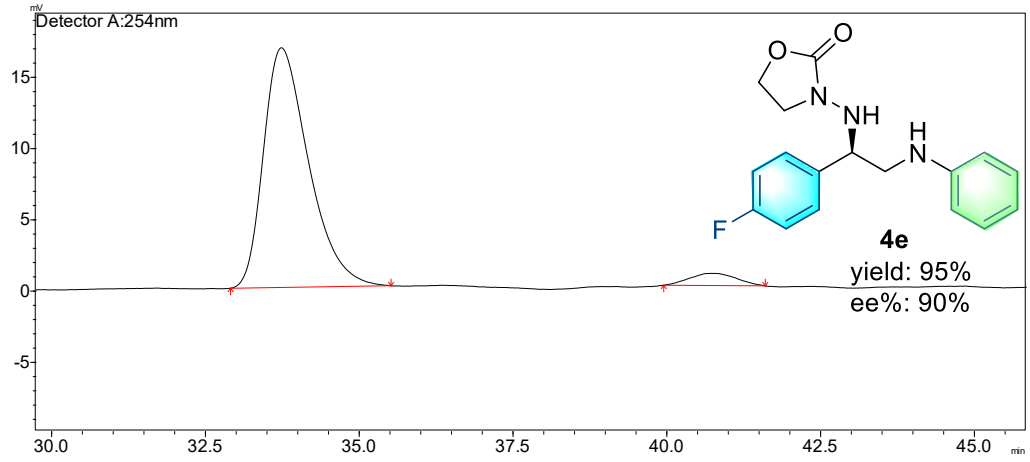
Peak#	Ret. Time	Area	Height	Area %	Height %
1	9.840	614072	38443	96.933	97.244
2	12.216	19428	1089	3.067	2.756
Total		633500	39532	100.000	100.000

HPLC trace for the racemic reference rac-**4e**, and non-racemic product **4e**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak IE column, *ee* = 90% (HPLC: IE, 254 nm, n-hexane/isopropanol = 90:10, and 1‰ Et_3N, flow rate: 1 mL/min, 25 °C, tr(minor) = 40.8 min, tr(major) = 33.7 min.)



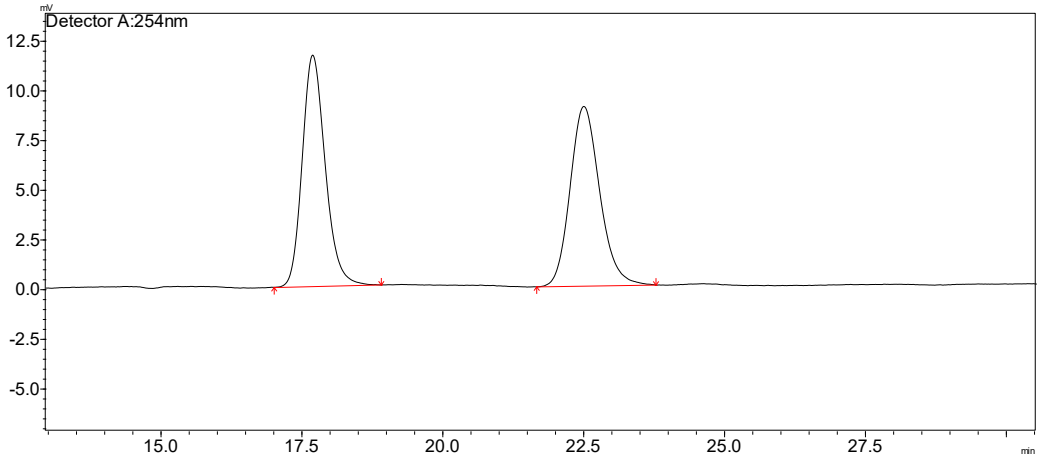
Peak#	Ret. Time	Area	Height	Area %	Height %
1	34.002	356685	6772	51.572	54.448
2	40.814	334940	5665	48.428	45.552
Total		691625	12437	100.000	100.000



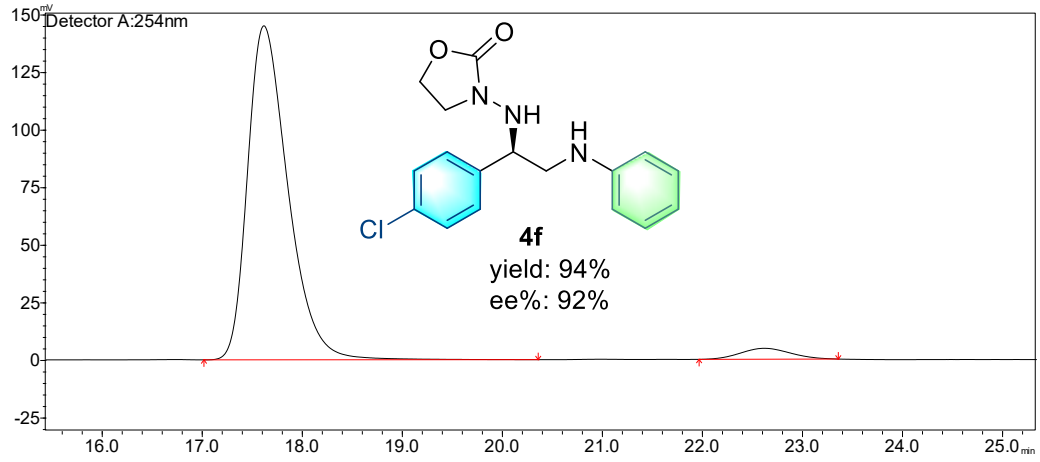
Peak#	Ret. Time	Area	Height	Area %	Height %
1	33.732	857924	16817	95.016	95.164
2	40.781	45002	855	4.984	4.836
Total		902926	17672	100.000	100.000

HPLC trace for the racemic reference rac-**4f**, and non-racemic product **4f**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak IE column, *ee* = 92% (HPLC: IE, 254 nm, n-hexane/isopropanol = 80:20, and 1‰ Et_3N, flow rate: 1 mL/min, 25 °C, tr(minor) = 22.6 min, tr(major) = 17.6 min.)



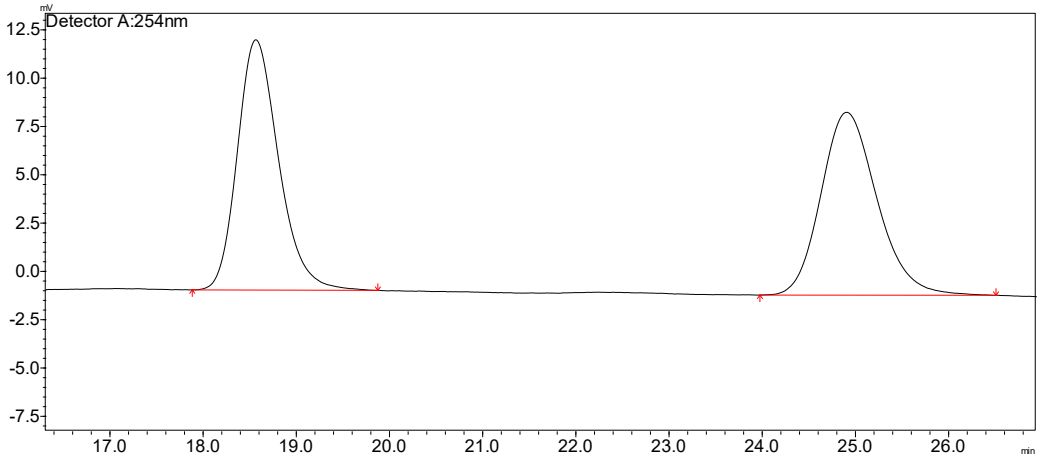
Peak#	Ret. Time	Area	Height	Area %	Height %
1	17.687	331670	11649	50.028	56.289
2	22.497	331303	9046	49.972	43.711
Total		662972	20694	100.000	100.000



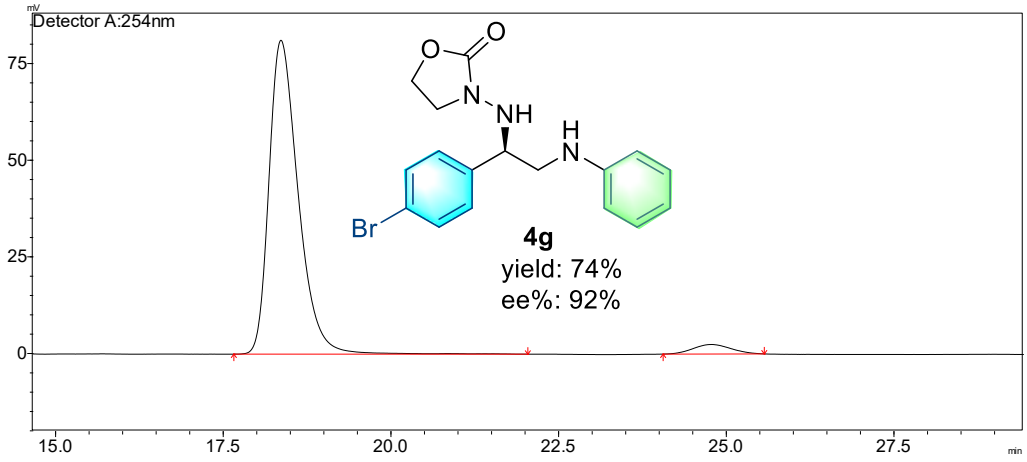
Peak#	Ret. Time	Area	Height	Area %	Height %
1	17.612	4069918	145062	96.102	96.839
2	22.615	165100	4735	3.898	3.161
Total		4235018	149797	100.000	100.000

HPLC trace for the racemic reference rac-**4g**, and non-racemic product **4g**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak IE column, *ee* = 92% (HPLC: IE, 254 nm, n-hexane/isopropanol = 80:20, and 1‰ Et_3N, flow rate: 1 mL/min, 25 °C, tr(minor) = 24.8 min, tr(major) = 18.4 min.)



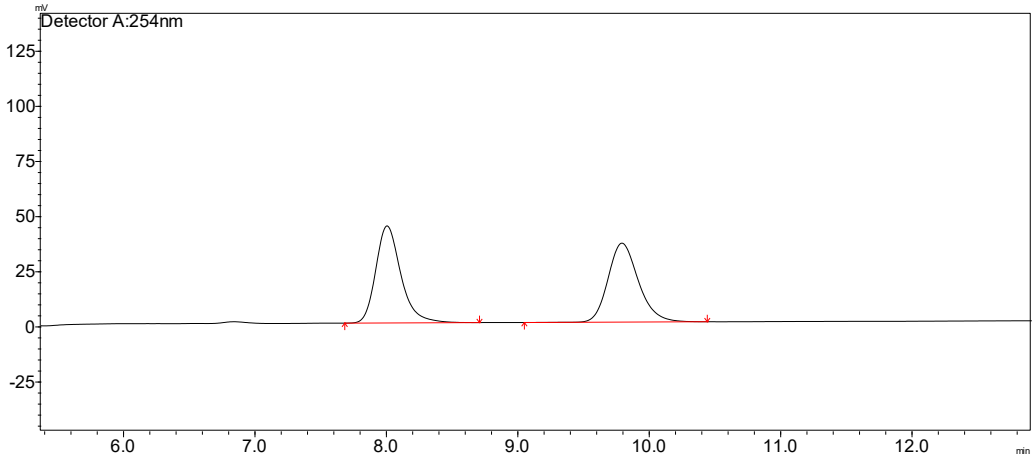
Peak#	Ret. Time	Area	Height	Area %	Height %
1	18.562	398975	12955	50.222	57.792
2	24.901	395452	9462	49.778	42.208
Total		794427	22417	100.000	100.000



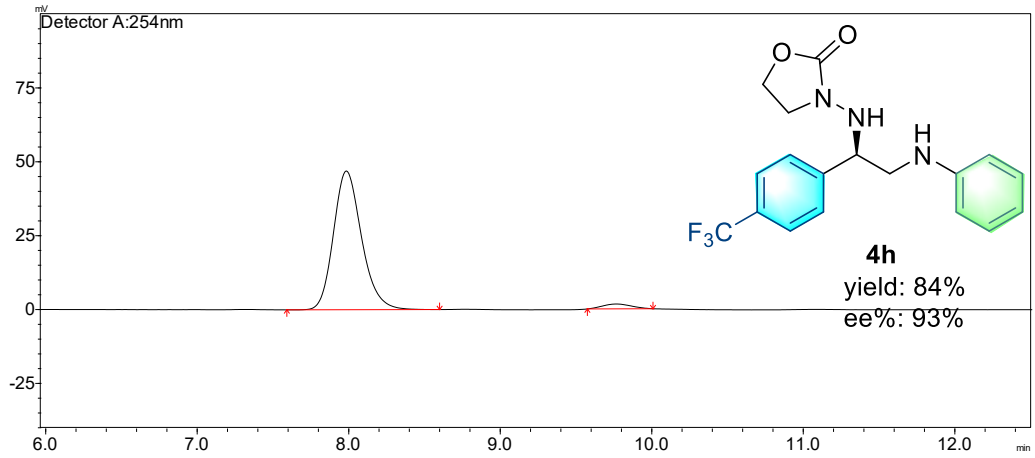
Peak#	Ret. Time	Area	Height	Area %	Height %
1	18.356	2457392	81190	96.191	97.058
2	24.784	97297	2461	3.809	2.942
Total		2554689	83650	100.000	100.000

HPLC trace for the racemic reference rac-**4h**, and non-racemic product **4h**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 93% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 9.8 min, tr(major) = 8.0 min.)



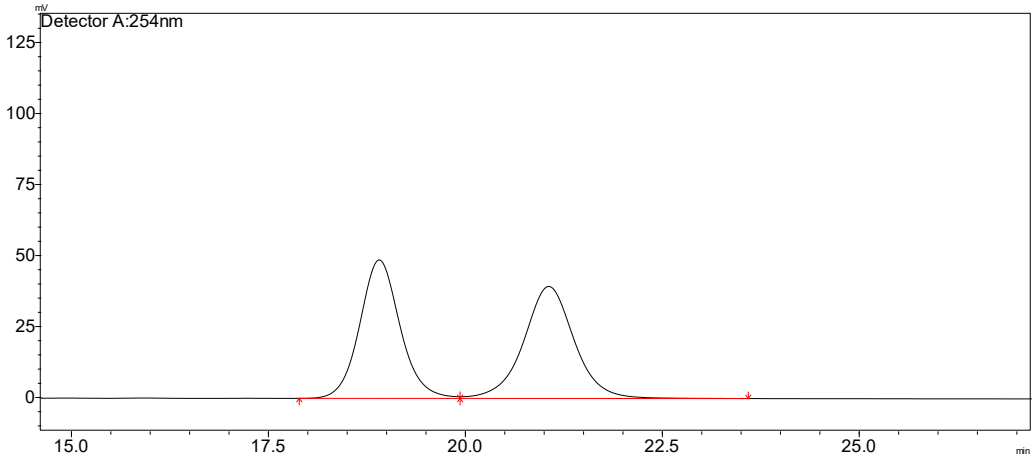
Peak#	Ret. Time	Area	Height	Area %	Height %
1	8.001	584946	44003	50.424	55.123
2	9.788	575111	35824	49.576	44.877
Total		1160057	79827	100.000	100.000



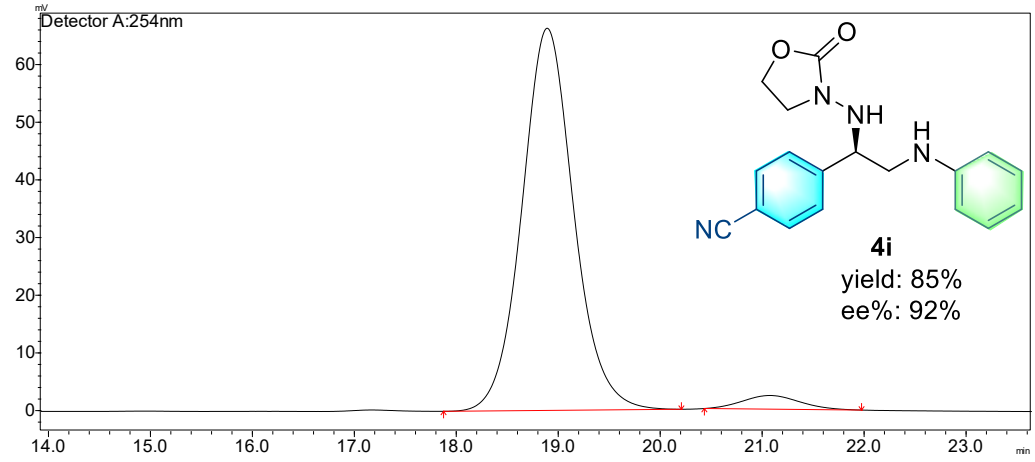
Peak#	Ret. Time	Area	Height	Area %	Height %
1	7.980	606209	46985	96.536	96.623
2	9.763	21752	1642	3.464	3.377
Total		627960	48627	100.000	100.000

HPLC trace for the racemic reference rac-**4i**, and non-racemic product **4i**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 92% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 21.1 min, tr(major) = 18.9 min.)



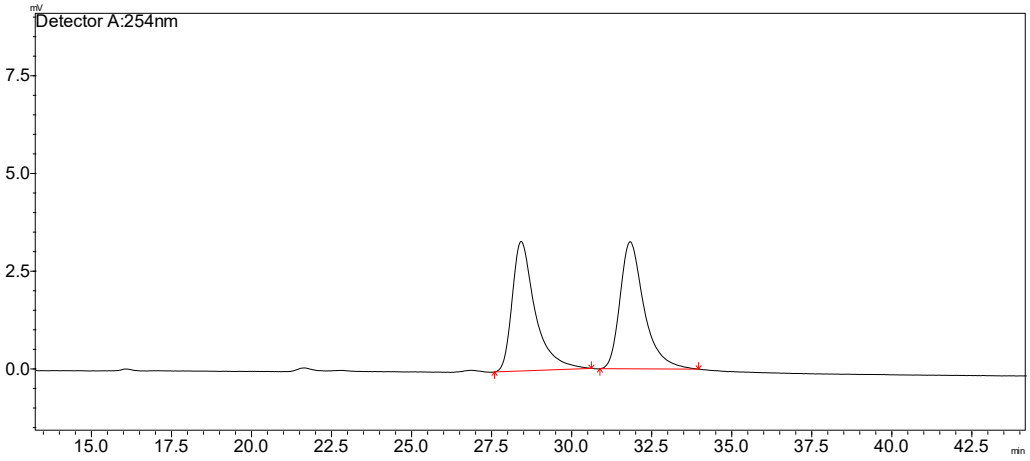
Peak#	Ret. Time	Area	Height	Area %	Height %
1	18.902	1737519	48748	49.595	55.269
2	21.055	1765901	39454	50.405	44.731
Total		3503420	88202	100.000	100.000



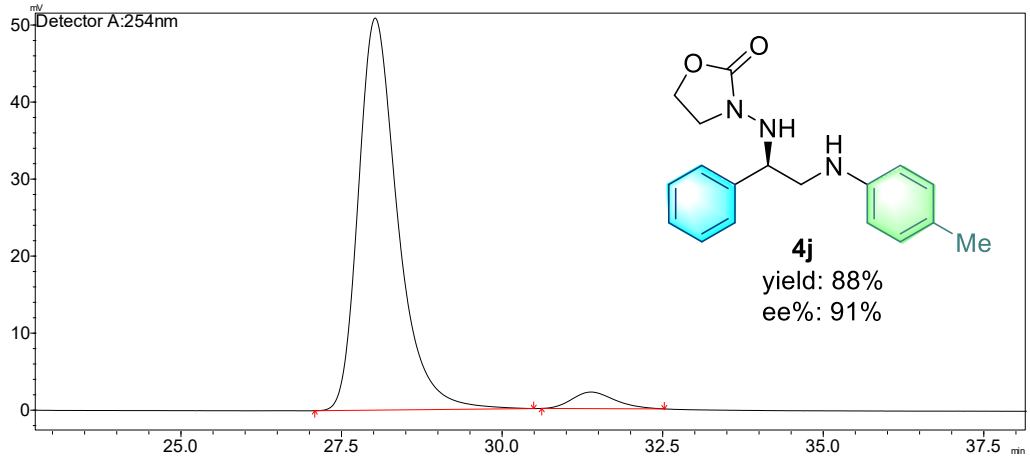
Peak#	Ret. Time	Area	Height	Area %	Height %
1	18.887	2339546	66269	96.173	96.549
2	21.072	93103	2369	3.827	3.451
Total		2432648	68638	100.000	100.000

HPLC trace for the racemic reference rac-**4j**, and non-racemic product **4j**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 91% (HPLC: AD, 254 nm, n-hexane/isopropanol = 90:10, flow rate: 1 mL/min, 25 °C, tr(minor) = 31.4 min, tr(major) = 28.0 min.)



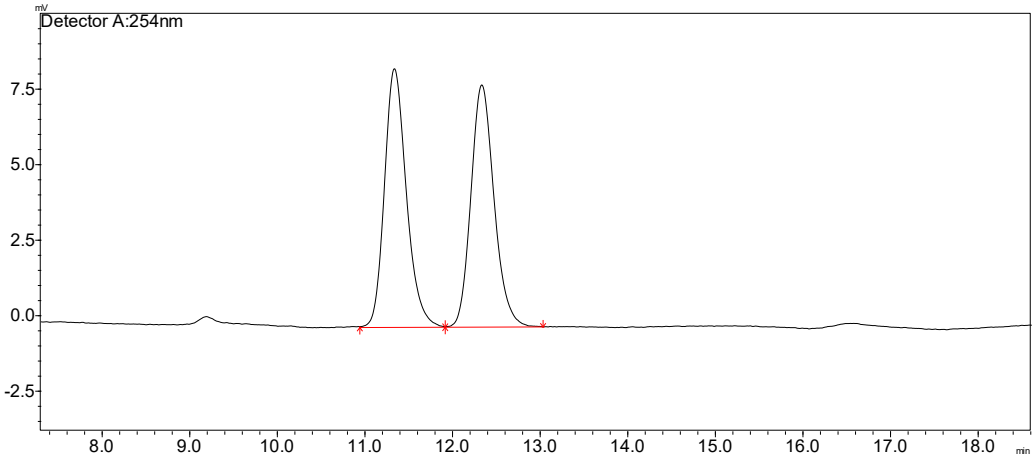
Peak#	Ret. Time	Area	Height	Area %	Height %
1	28.419	165658	3314	49.295	50.463
2	31.825	170397	3253	50.705	49.537
Total		336055	6566	100.000	100.000



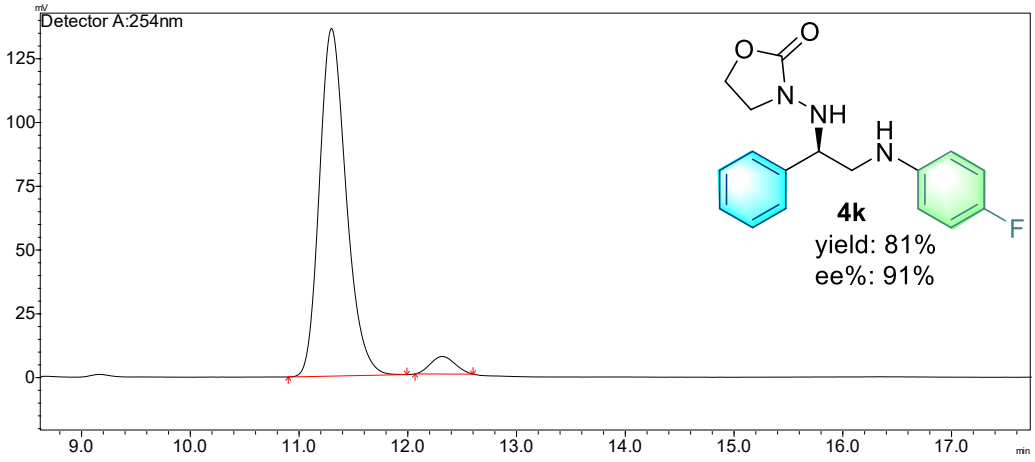
Peak#	Ret. Time	Area	Height	Area %	Height %
1	28.020	2168043	50904	95.602	95.947
2	31.374	99745	2150	4.398	4.053
Total		2267789	53054	100.000	100.000

HPLC trace for the racemic reference rac-**4k**, and non-racemic product **4k**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 91% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 12.3 min, tr(major) = 11.3 min.)



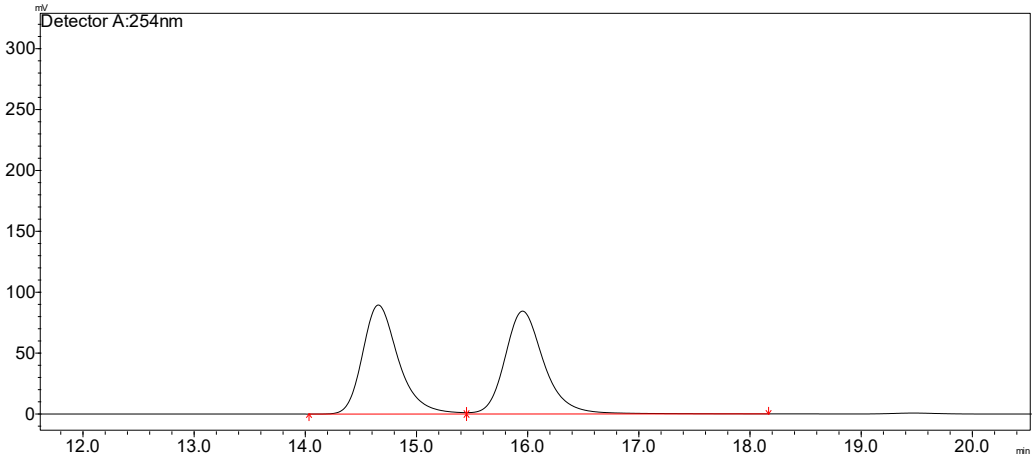
Peak#	Ret. Time	Area	Height	Area %	Height %
1	11.332	149368	8565	50.299	51.644
2	12.329	147591	8020	49.701	48.356
Total		296959	16584	100.000	100.000



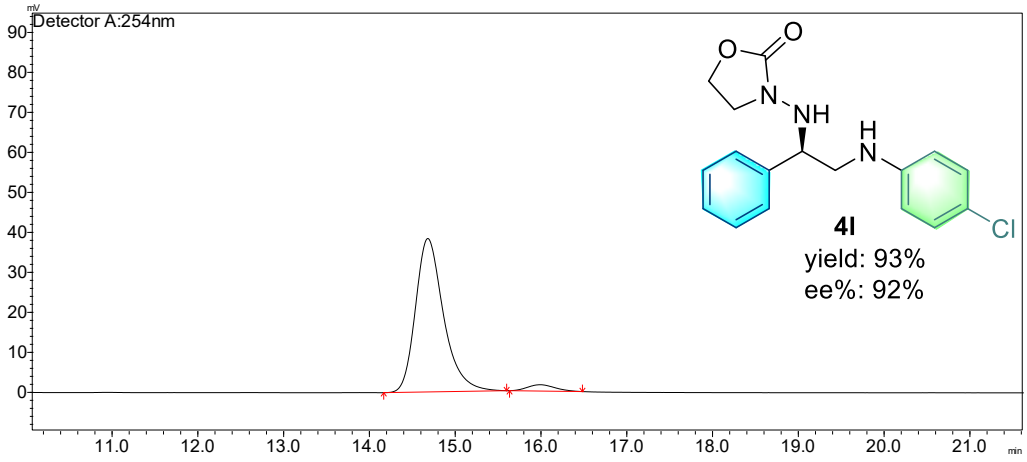
Peak#	Ret. Time	Area	Height	Area %	Height %
1	11.294	2328925	136296	95.571	95.196
2	12.312	107934	6879	4.429	4.804
Total		2436859	143174	100.000	100.000

HPLC trace for the racemic reference rac-**4l**, and non-racemic product **4l**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 92% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 14.7 min, tr(major) = 16.0 min.)



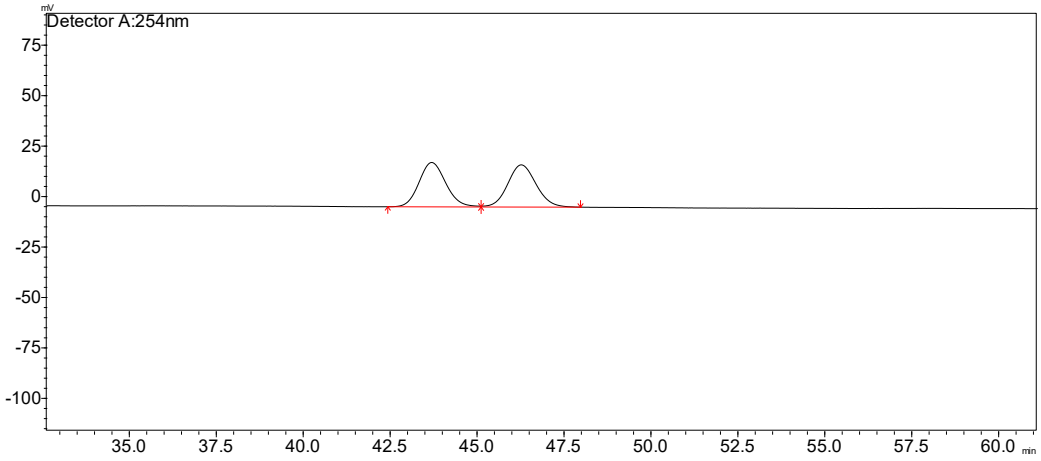
Peak#	Ret. Time	Area	Height	Area %	Height %
1	14.653	2014920	89531	49.308	51.463
2	15.950	2071450	84442	50.692	48.537
Total		4086370	173973	100.000	100.000



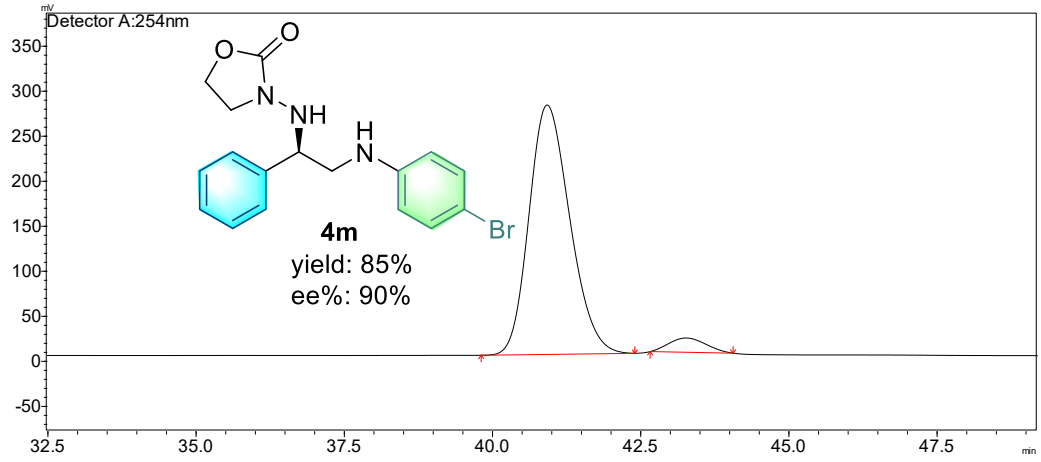
Peak#	Ret. Time	Area	Height	Area %	Height %
1	14.677	871404	38373	96.108	96.042
2	15.985	35286	1581	3.892	3.958
Total		906690	39955	100.000	100.000

HPLC trace for the racemic reference rac-**4m**, and non-racemic product **4m**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak IE column, *ee* = 90% (HPLC: IE, 254 nm, n-hexane/isopropanol = 80:20, and 1‰ Et_3N, flow rate: 0.5 mL/min, 25 °C, tr(minor) = 43.3 min, tr(major) = 40.9 min.)



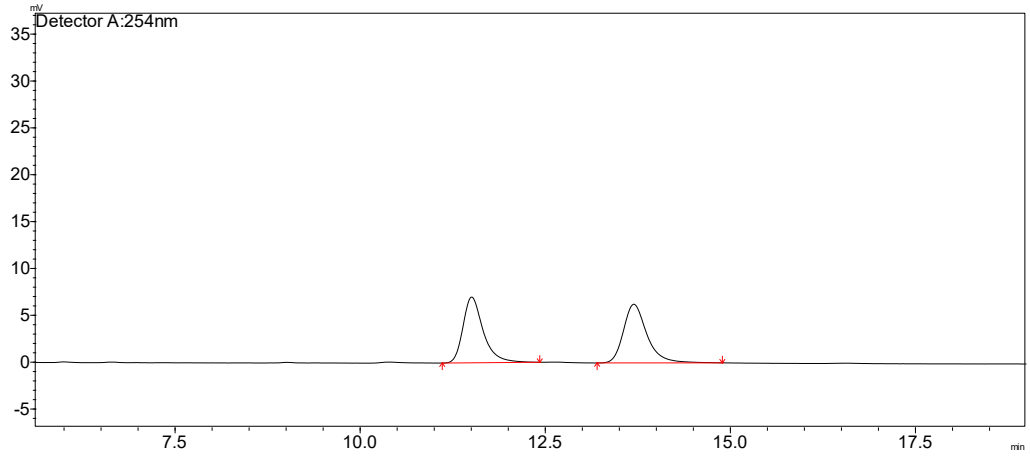
Peak#	Ret. Time	Area	Height	Area %	Height %
1	43.689	1176390	21981	49.807	51.270
2	46.268	1185517	20892	50.193	48.730
Total		2361907	42873	100.000	100.000



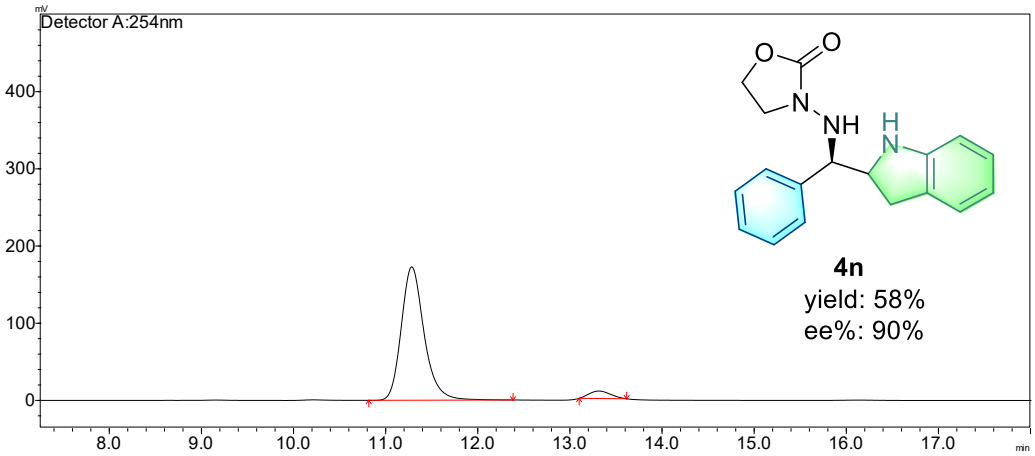
Peak#	Ret. Time	Area	Height	Area %	Height %
1	40.917	13267288	276940	95.080	94.597
2	43.261	686600	15818	4.920	5.403
Total		13953888	292758	100.000	100.000

HPLC trace for the racemic reference rac-**4n**, and non-racemic product **4n**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 90% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 13.3 min, tr(major) = 11.3 min.)



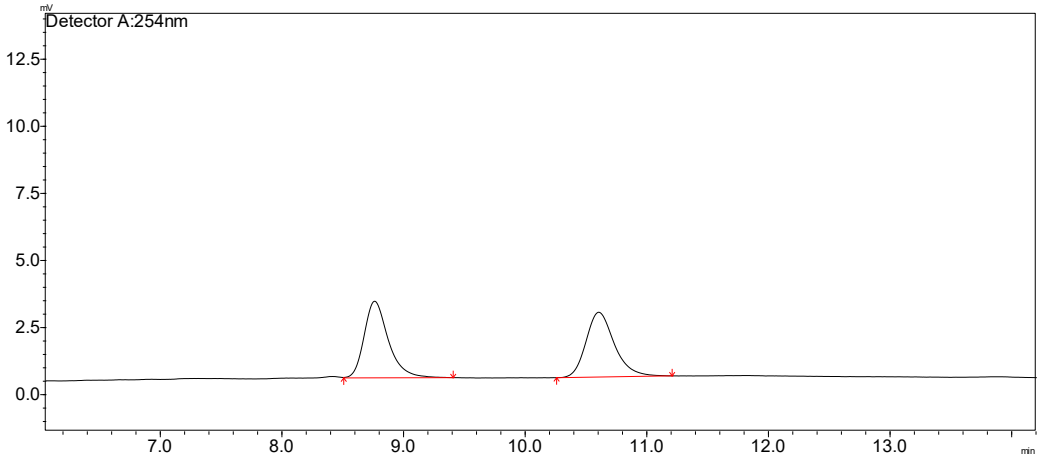
Peak#	Ret. Time	Area	Height	Area %	Height %
1	11.501	133694	7016	49.155	52.853
2	13.692	138292	6259	50.845	47.147
Total		271986	13275	100.000	100.000



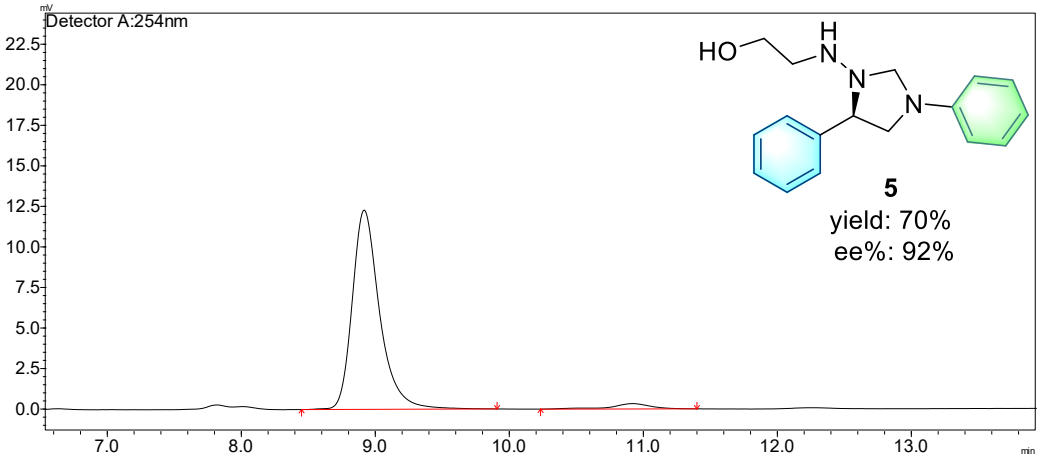
Peak#	Ret. Time	Area	Height	Area %	Height %
1	11.279	2977859	172911	95.000	94.698
2	13.309	156732	9680	5.000	5.302
Total		3134591	182592	100.000	100.000

HPLC trace for the racemic reference rac-**5**, and non-racemic product **5**.

Enantiomeric excess was established by HPLC analysis using a Chiralpak AD column, *ee* = 92% (HPLC: AD, 254 nm, n-hexane/isopropanol = 80:20, flow rate: 1 mL/min, 25 °C, tr(minor) = 10.9 min, tr(major) = 8.9 min.)



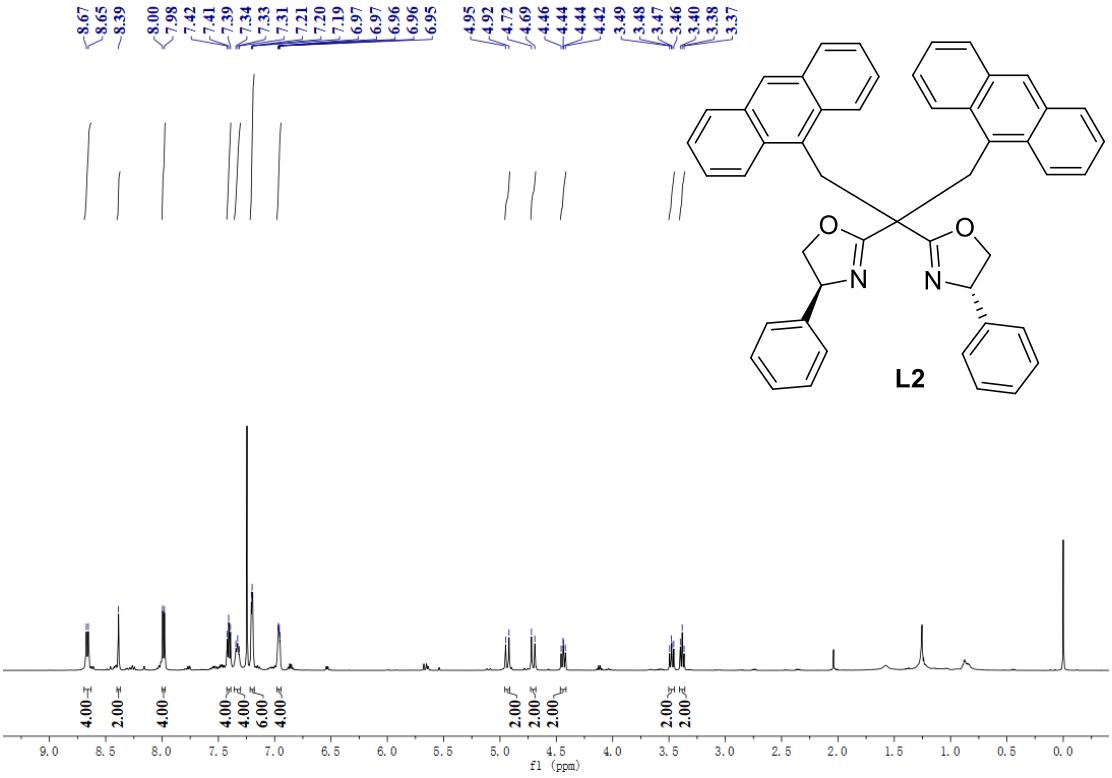
Peak#	Ret. Time	Area	Height	Area %	Height %
1	8.758	39491	2852	50.231	54.156
2	10.601	39129	2414	49.769	45.844
Total		78620	5266	100.000	100.000



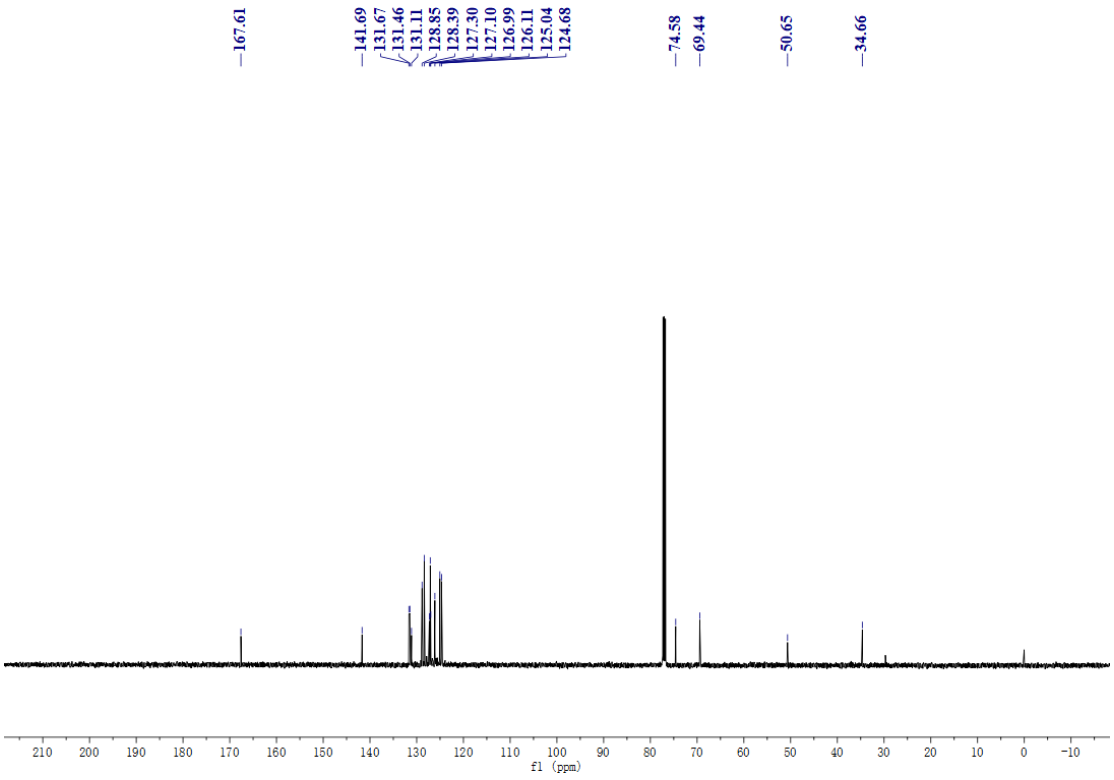
Peak#	Ret. Time	Area	Height	Area %	Height %
1	8.913	174850	12297	96.145	97.371
2	10.916	7011	332	3.855	2.629
Total		181861	12629	100.000	100.000

IX. ^{1}H and ^{13}C NMR Spectrum

^{1}H and ^{13}C-NMR of **L2**

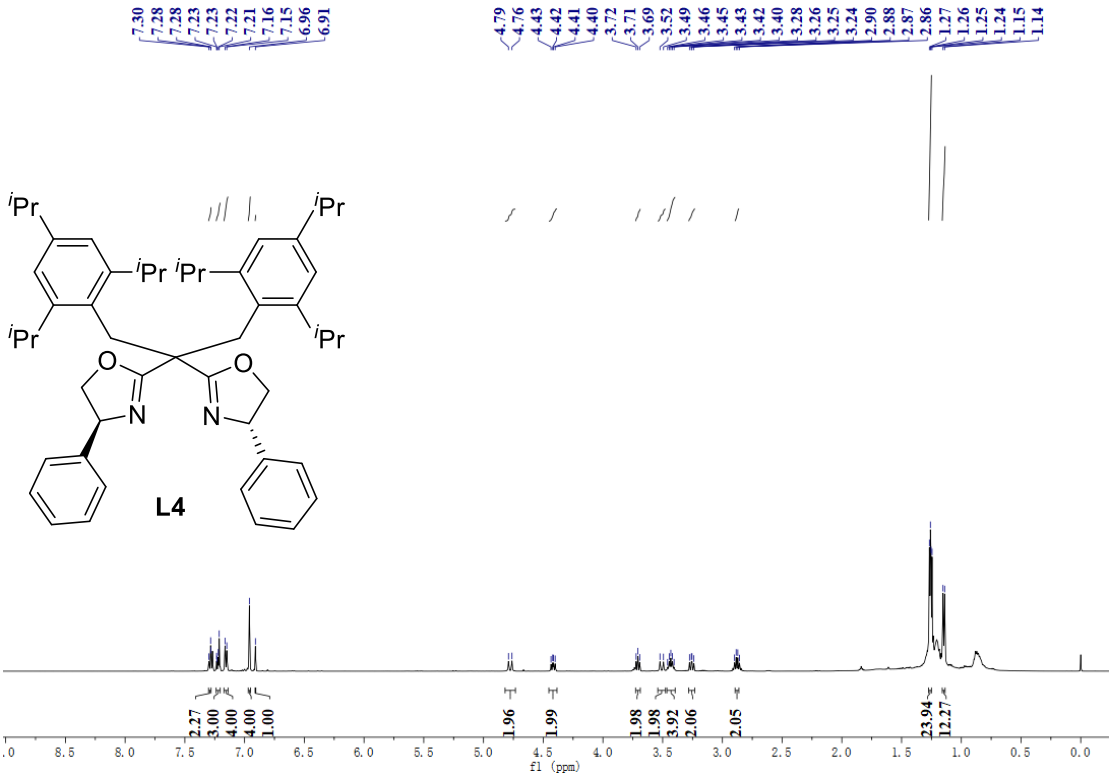


$CDCl_3$; 500 MHz

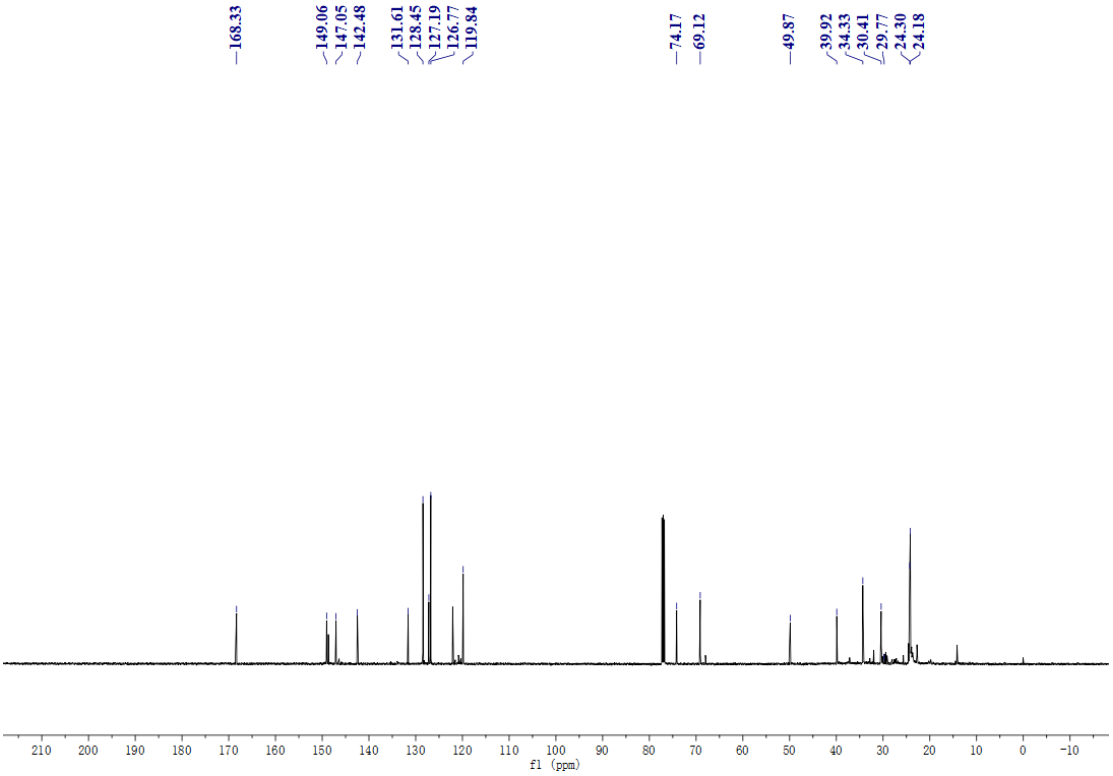


$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **L4**

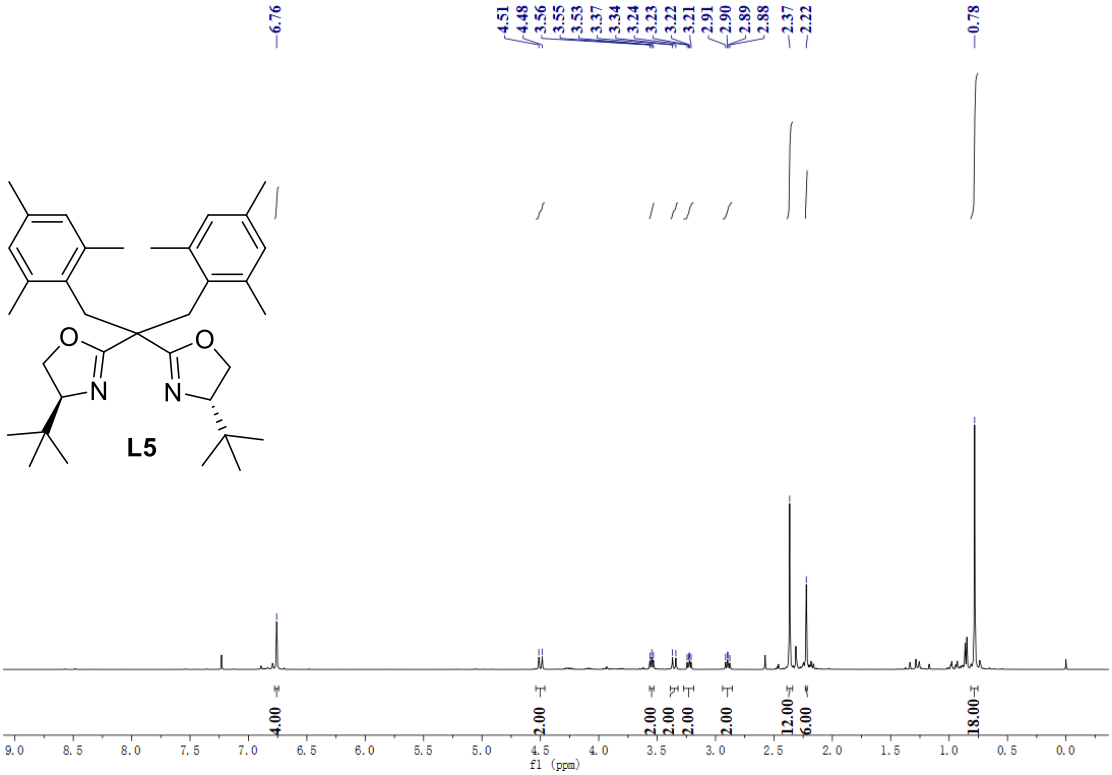


$CDCl_3$; 500 MHz

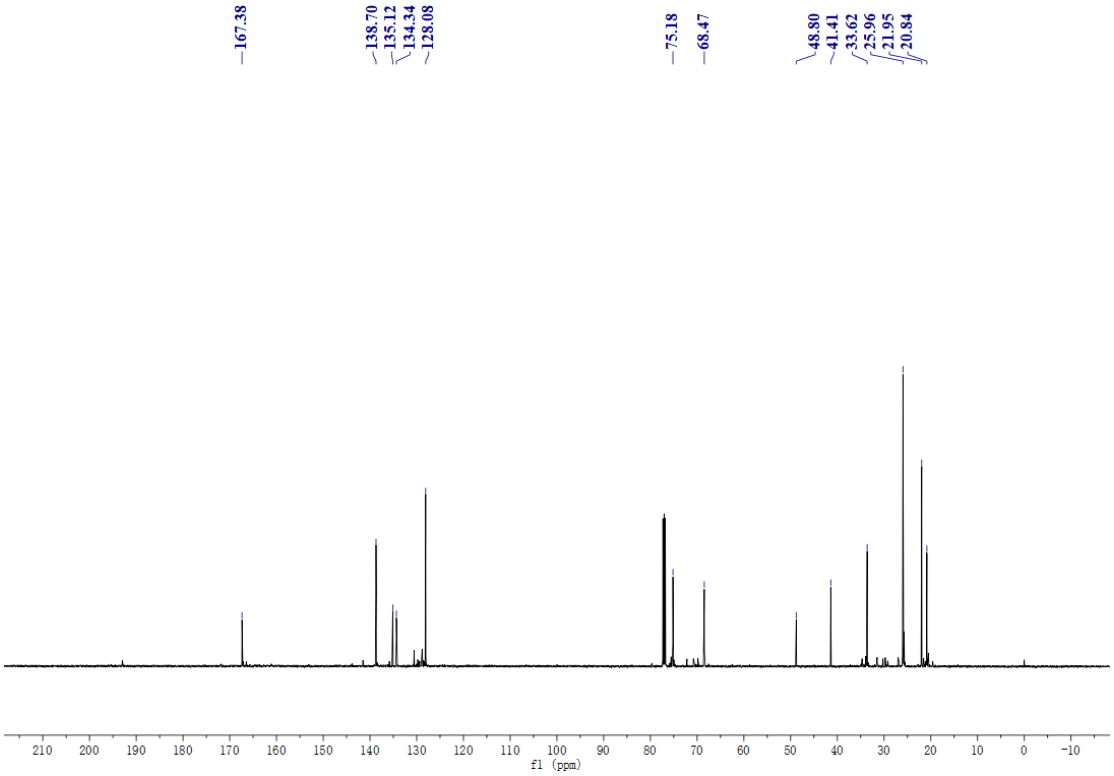


$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **L5**

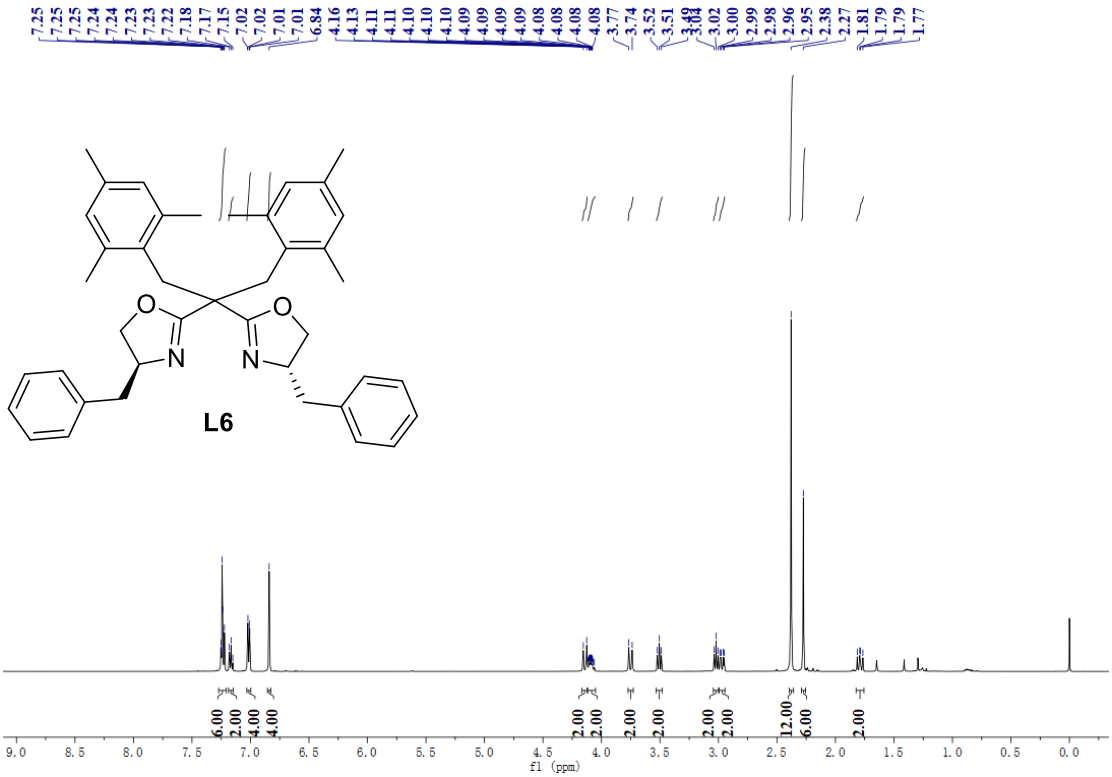


$CDCl_3$; 500 MHz

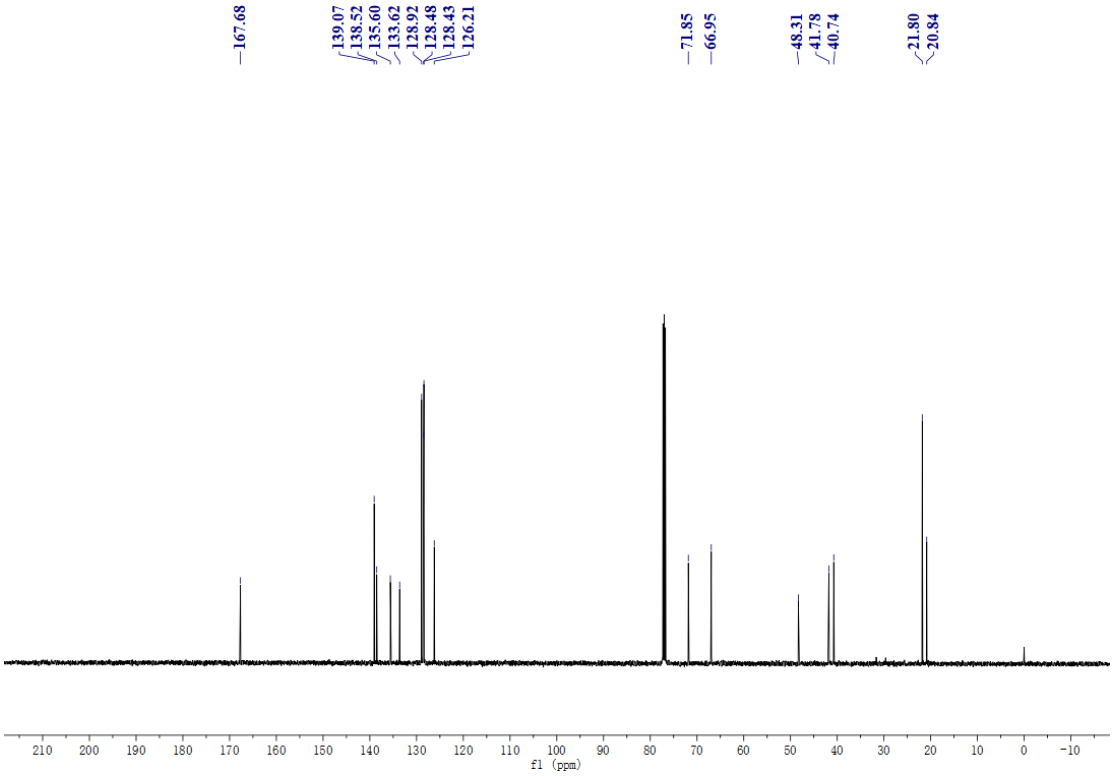


$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **L6**

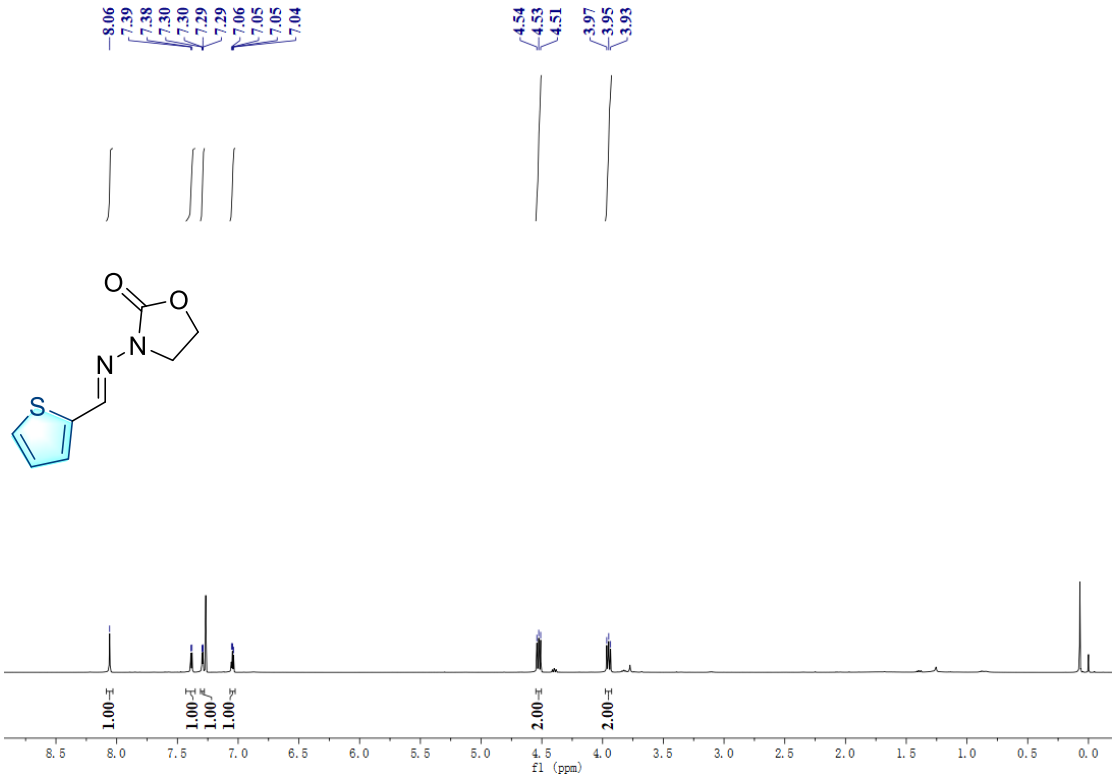


$CDCl_3$; 500 MHz

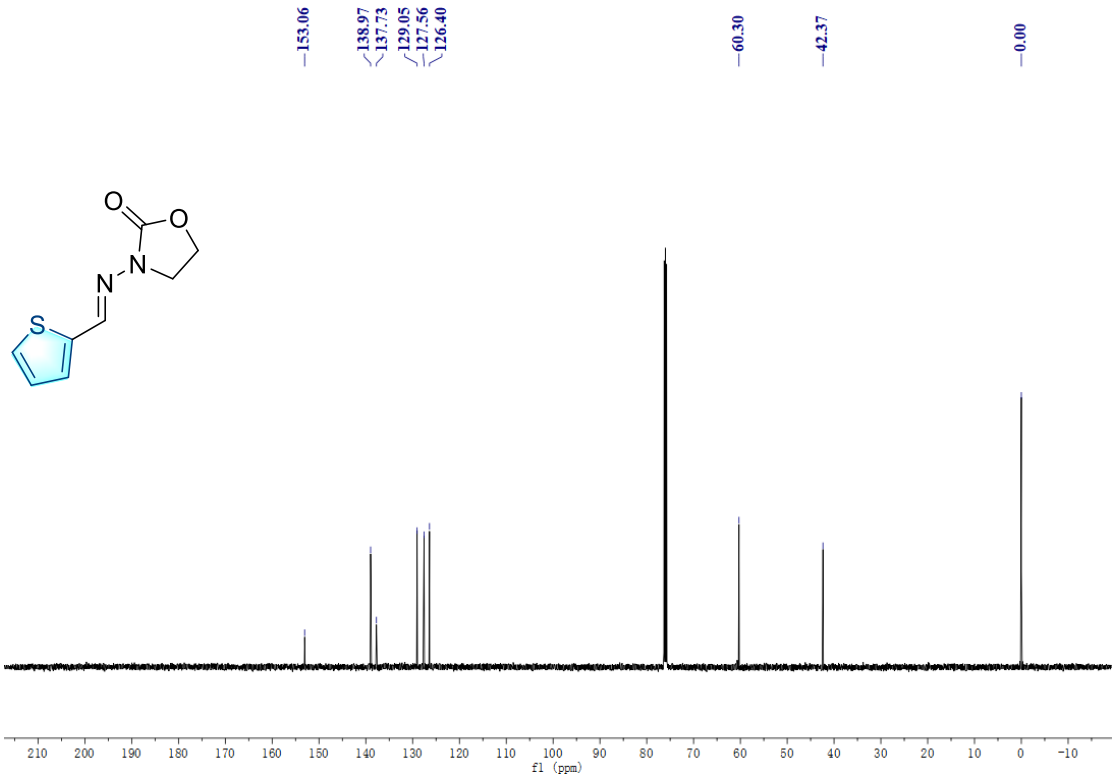


$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **1j**

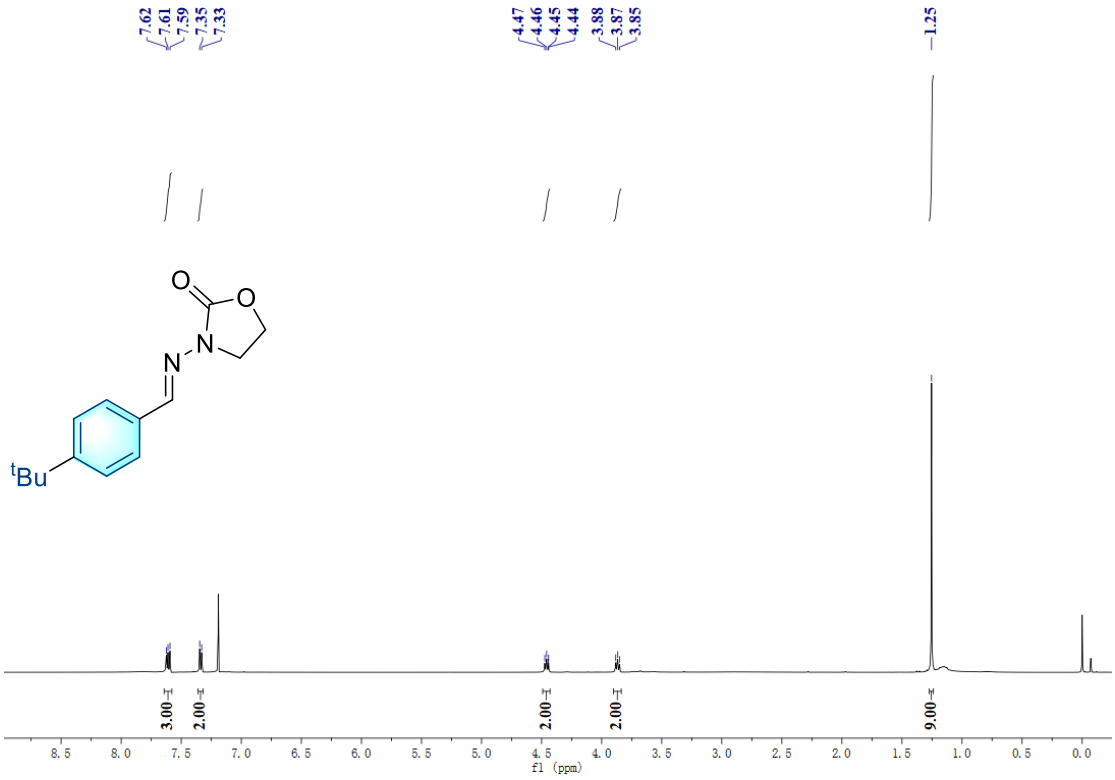


$CDCl_3$; 500 MHz

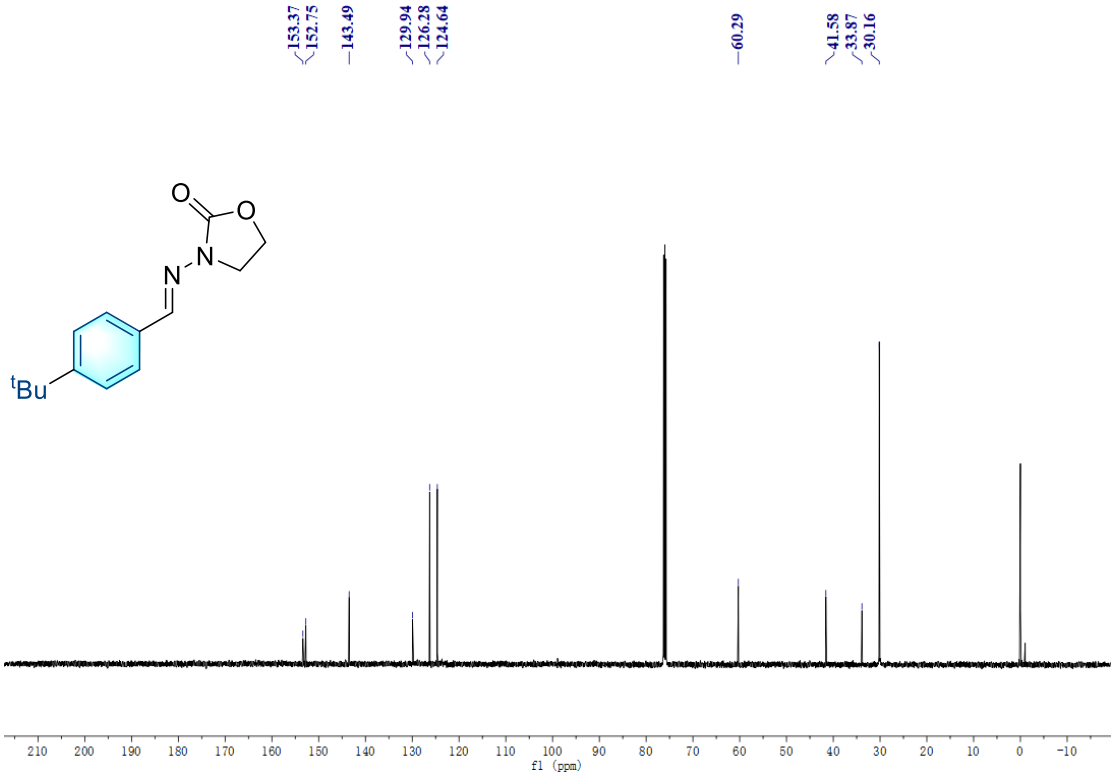


$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **1k**

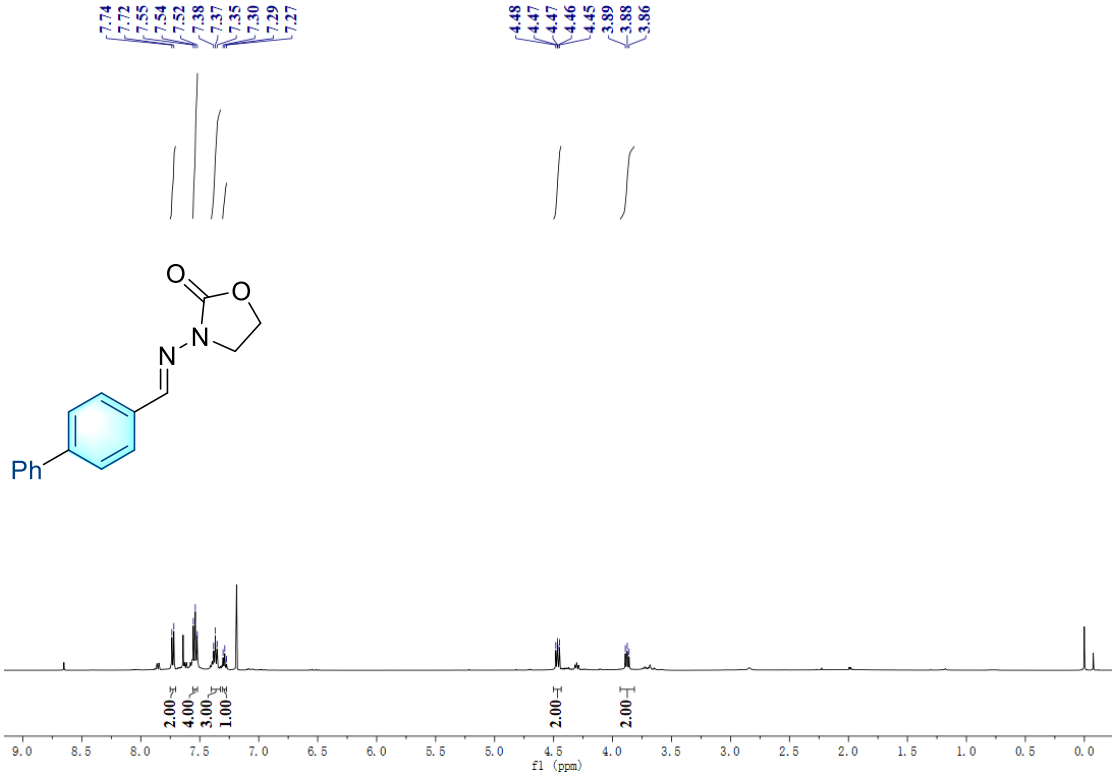


$CDCl_3$; 500 MHz

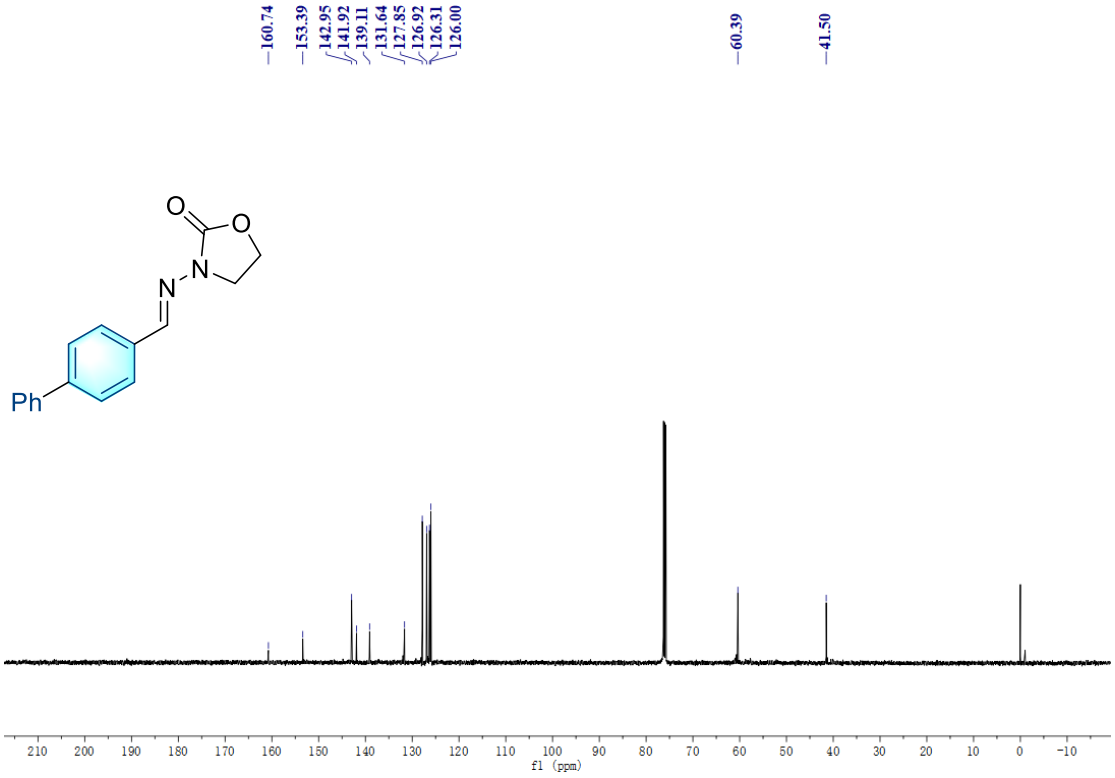


$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **11**

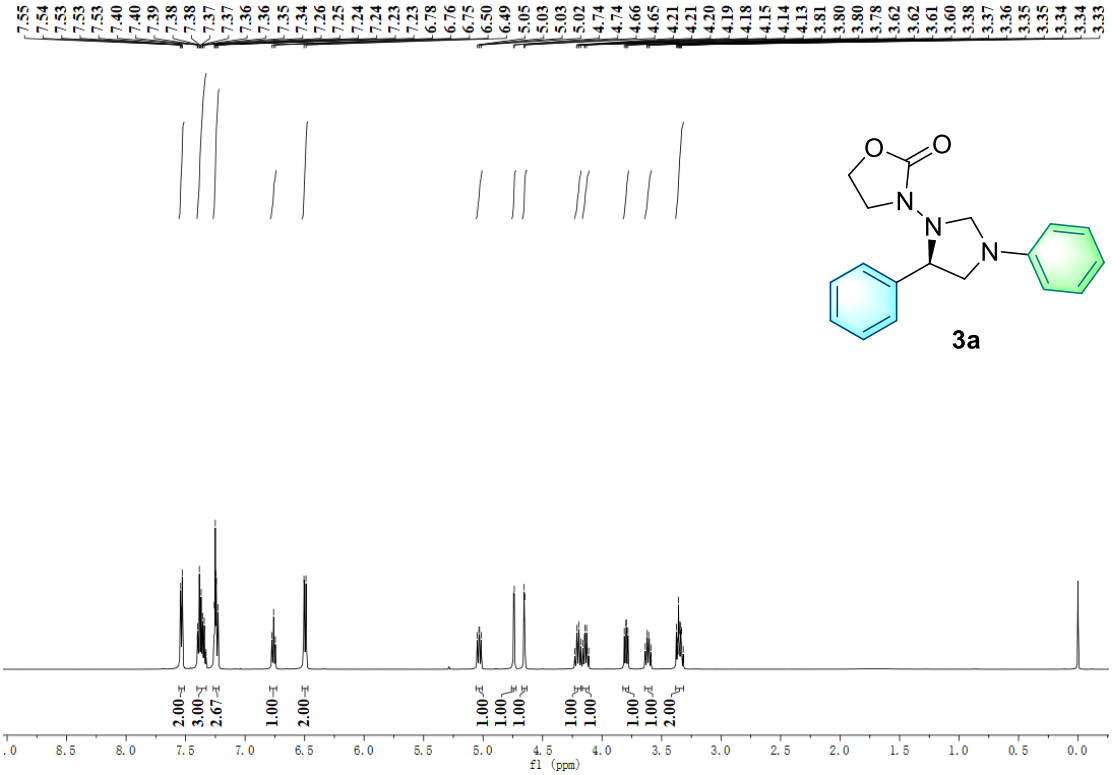


$CDCl_3$; 500 MHz

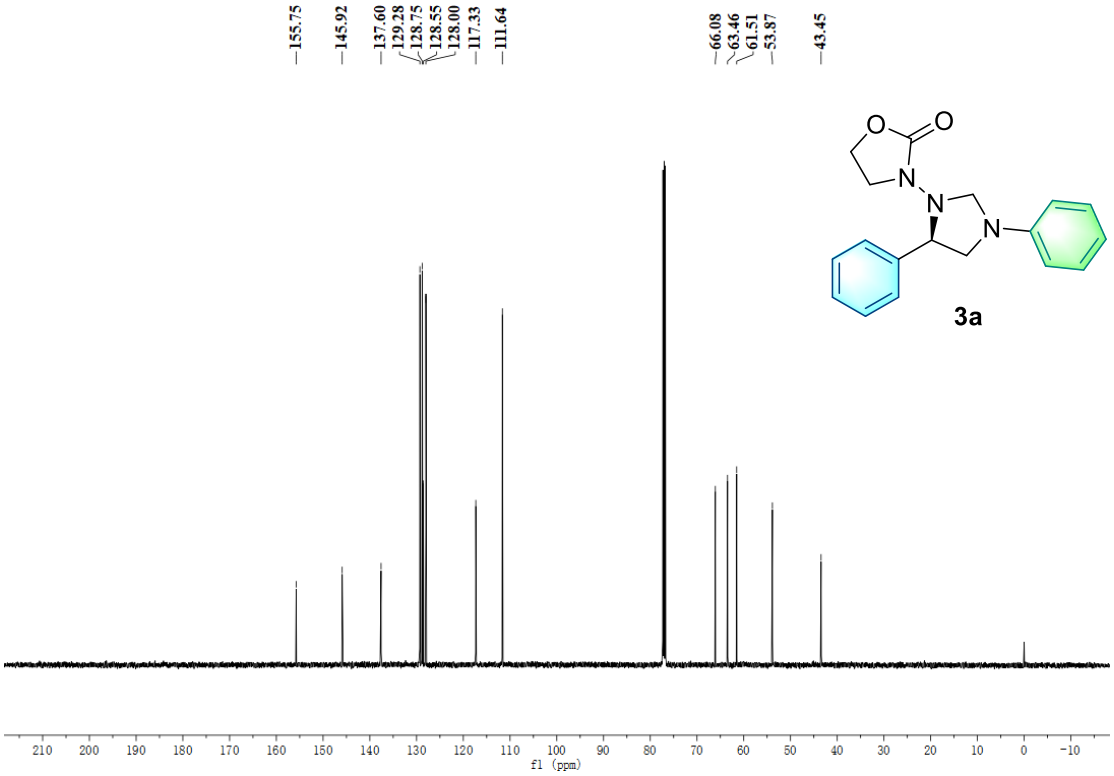


$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **3a**

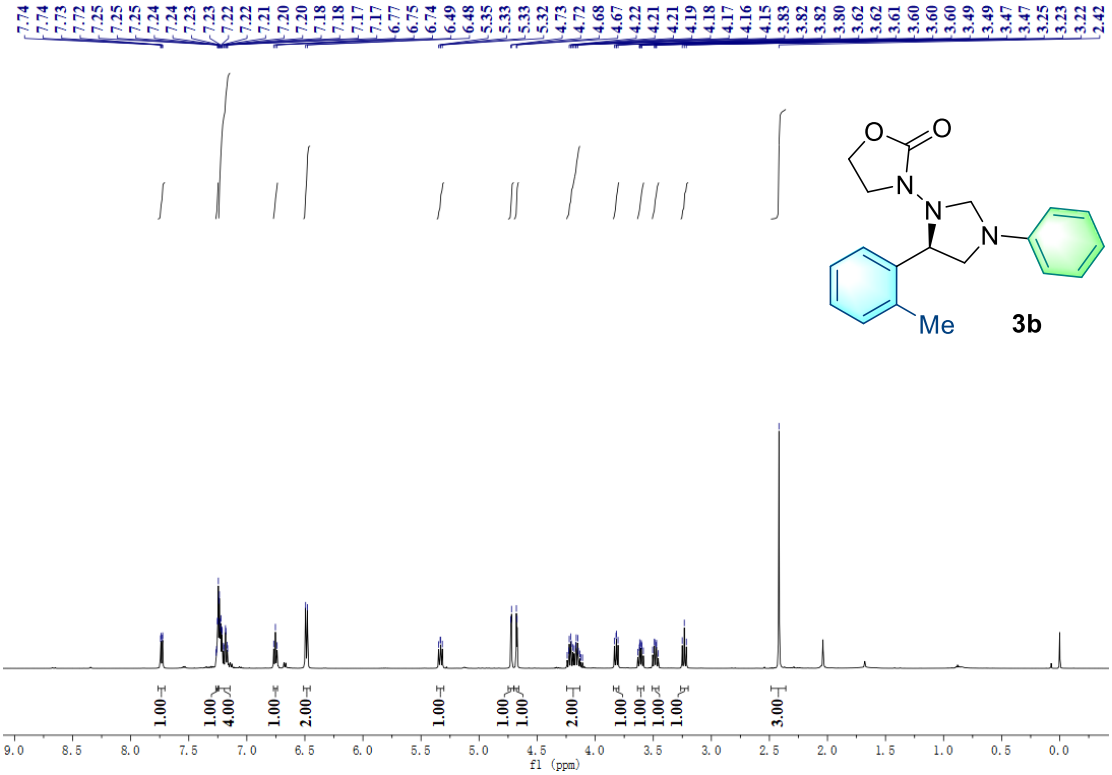


$CDCl_3$; 500 MHz

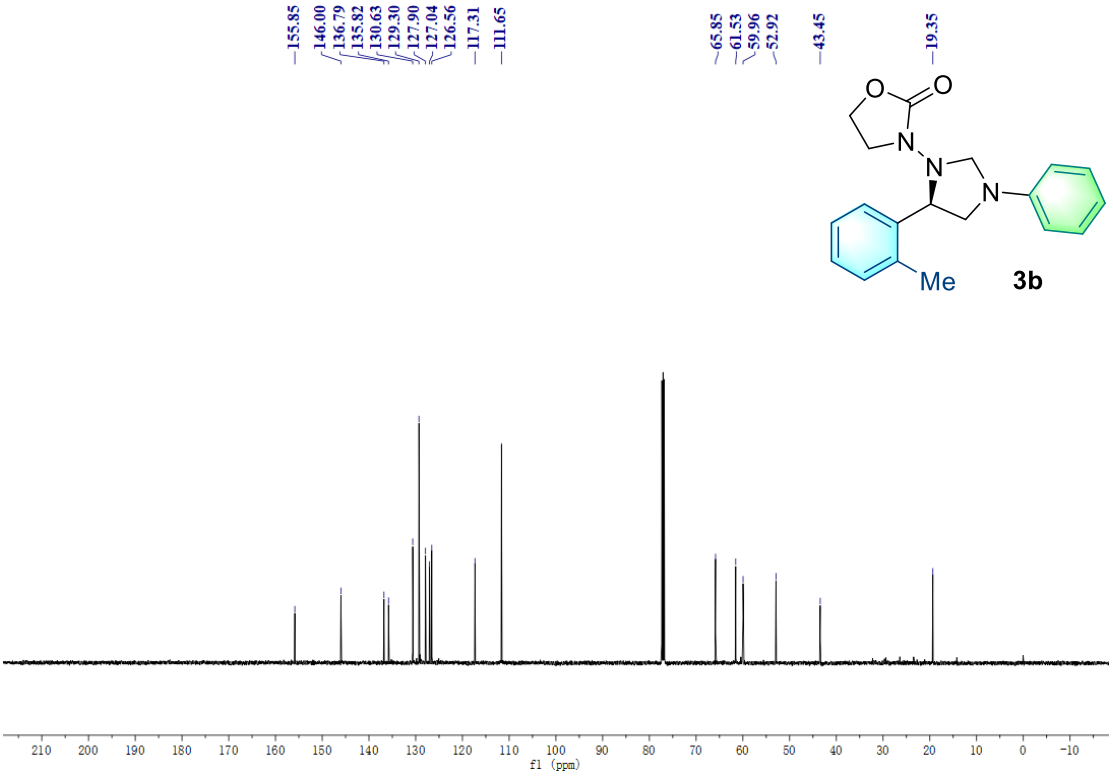


$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **3b**

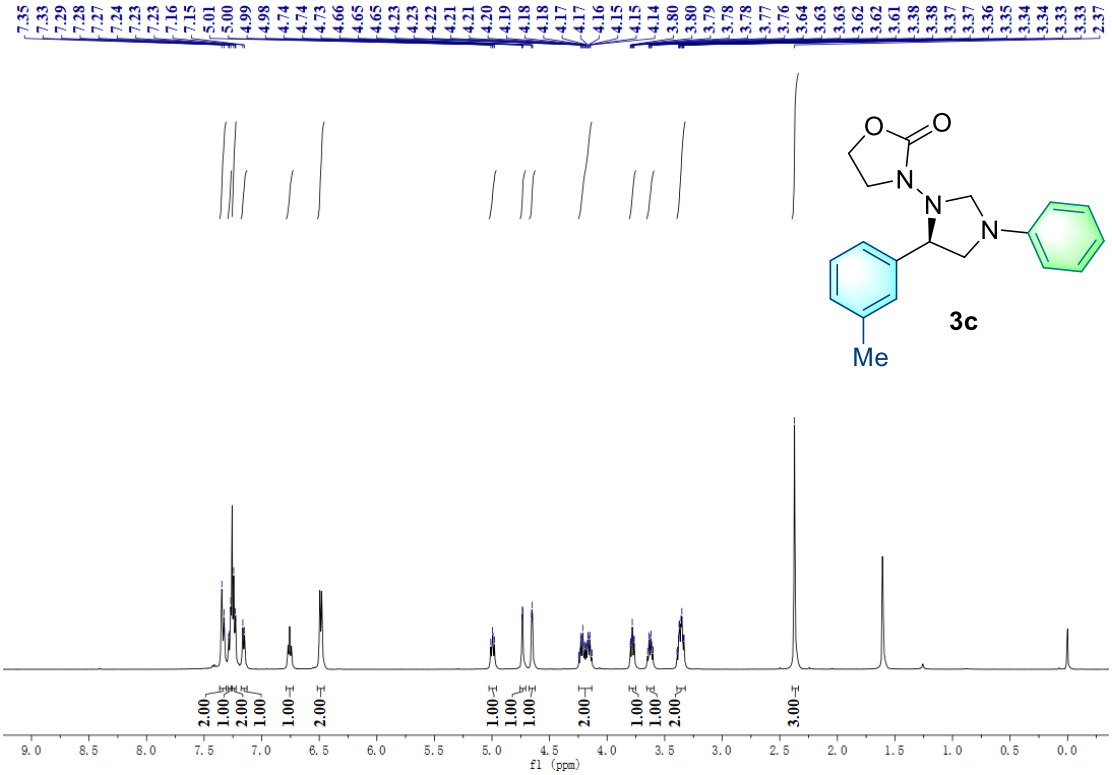


$CDCl_3$; 500 MHz

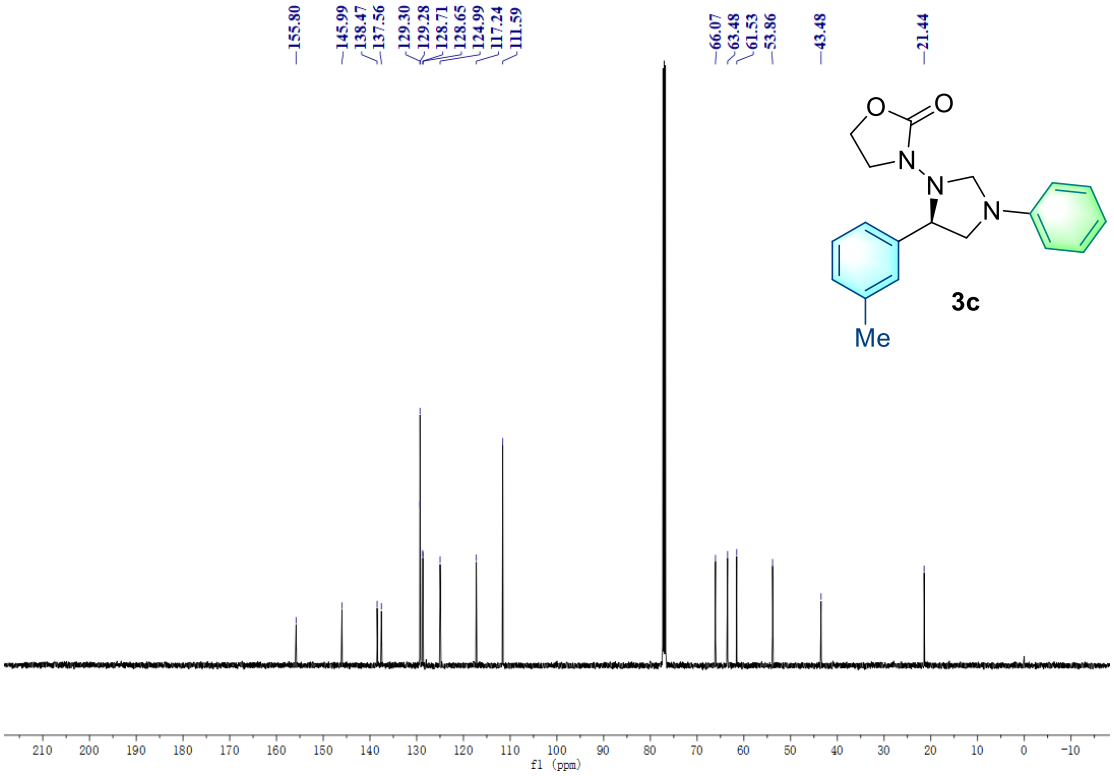


$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **3c**

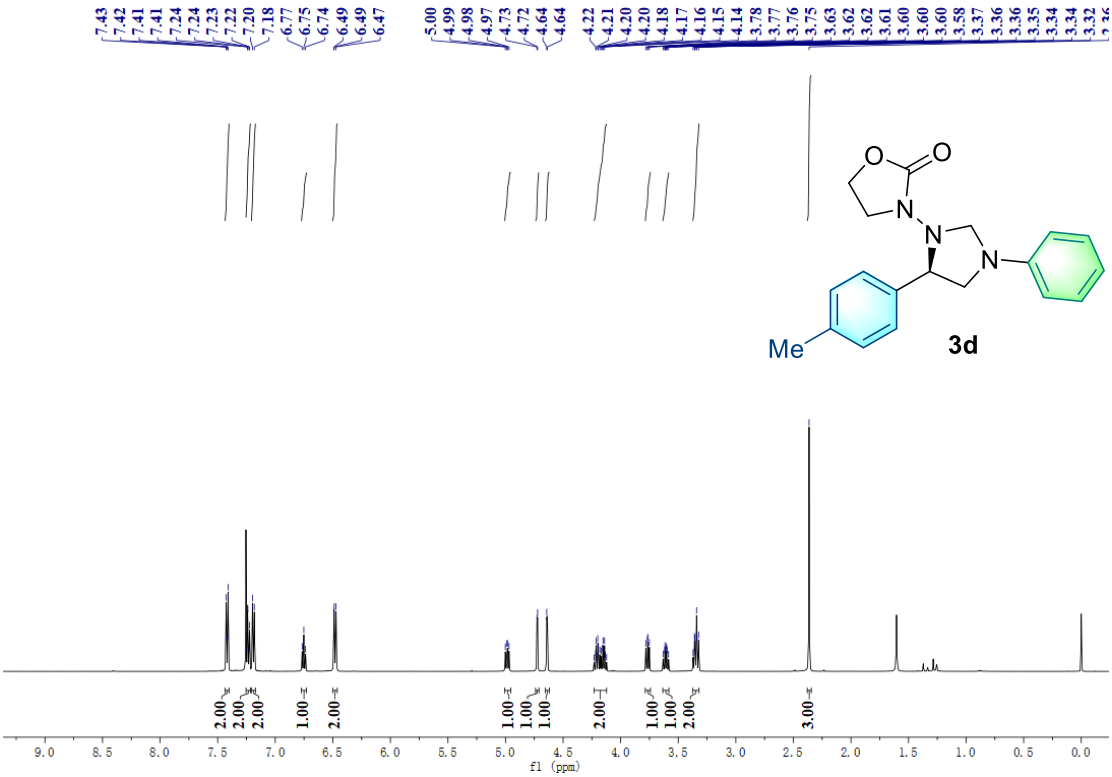


$CDCl_3$; 500 MHz

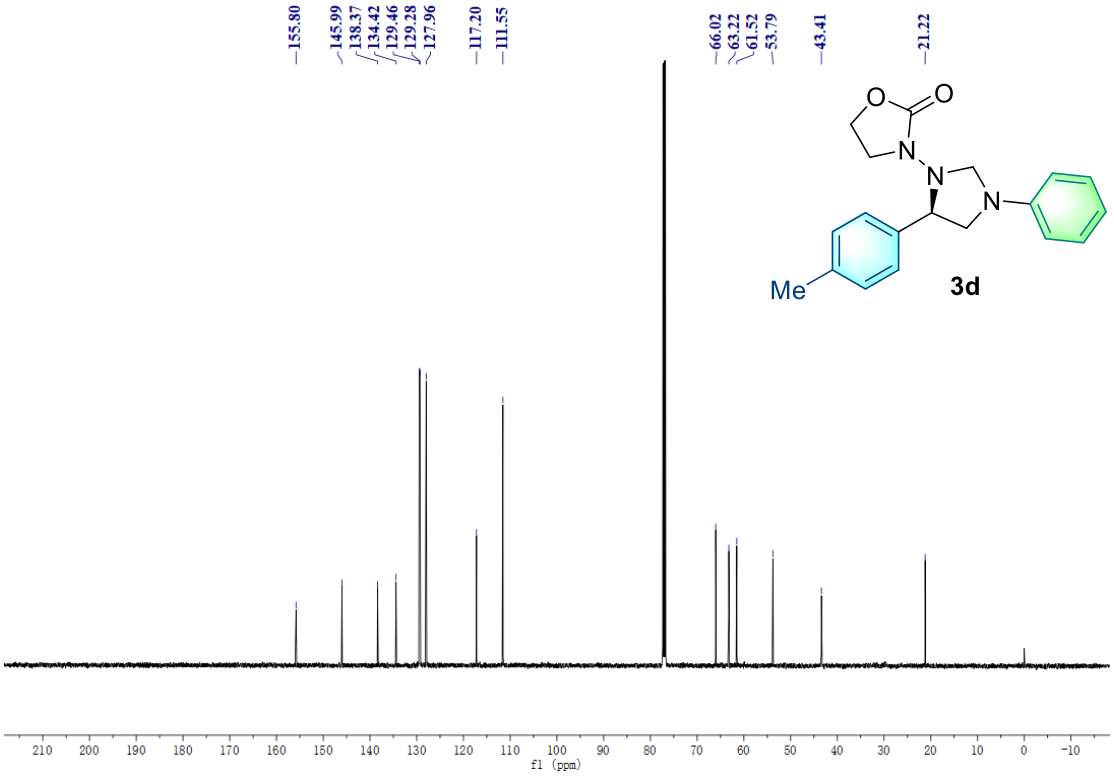


$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **3d**

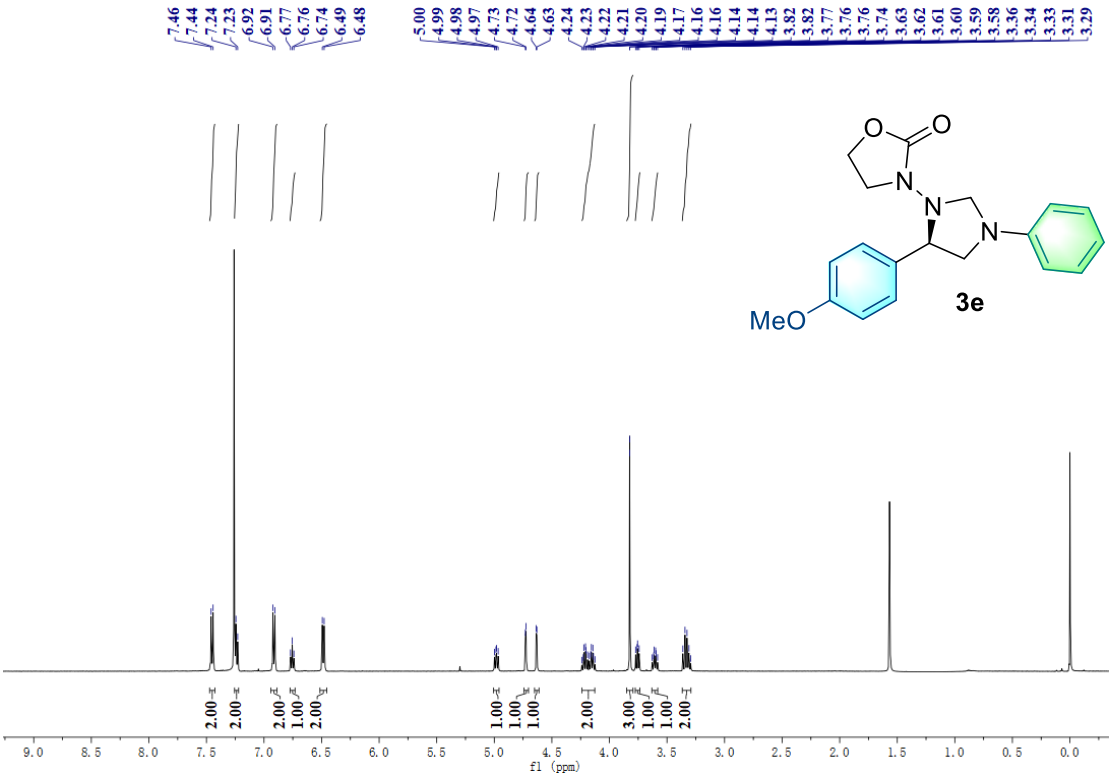


$CDCl_3$; 500 MHz

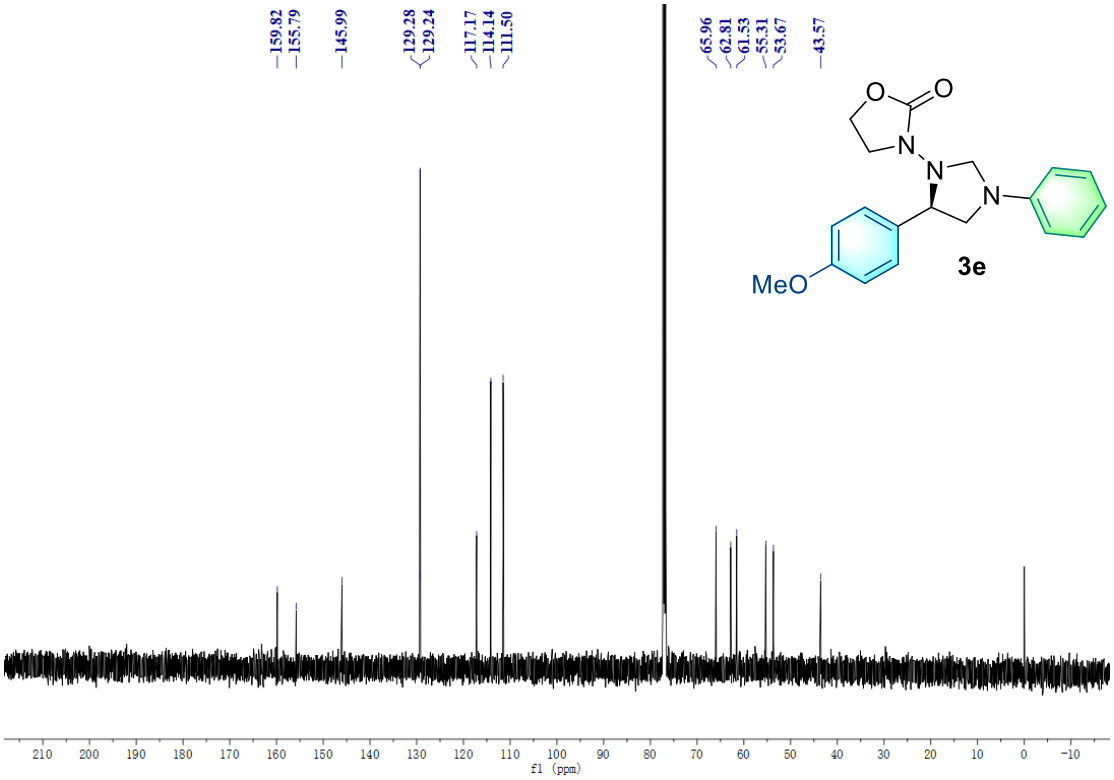


$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **3e**

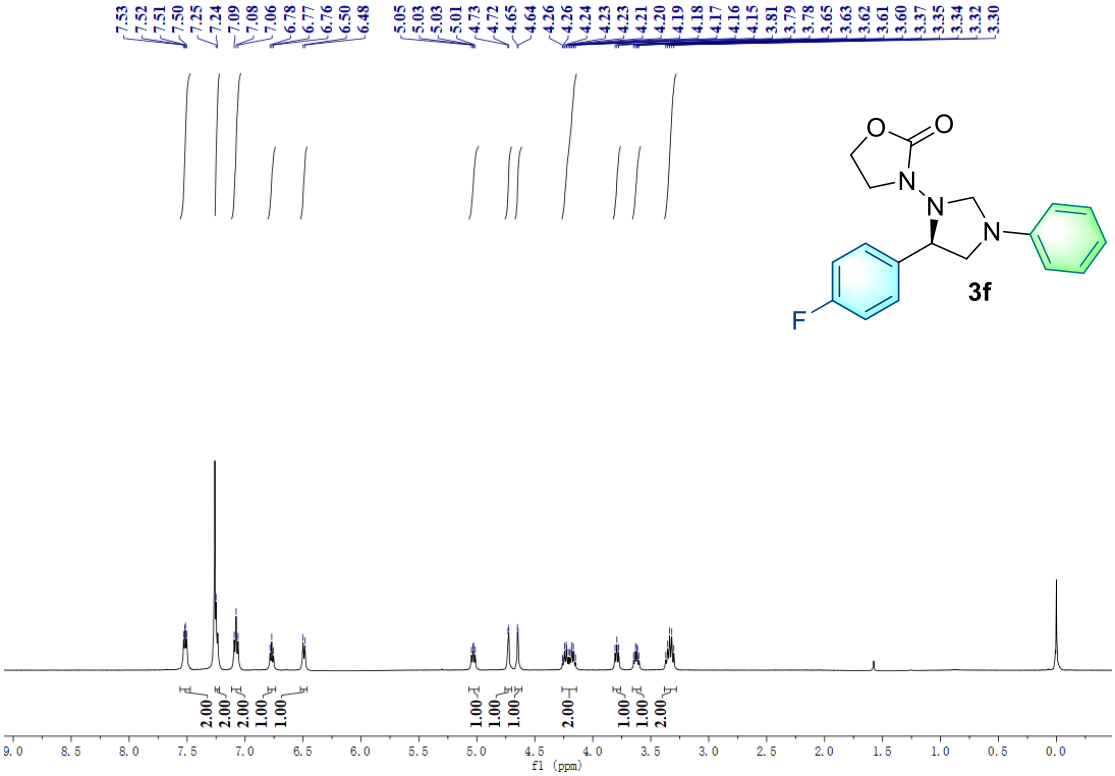


$CDCl_3$; 500 MHz

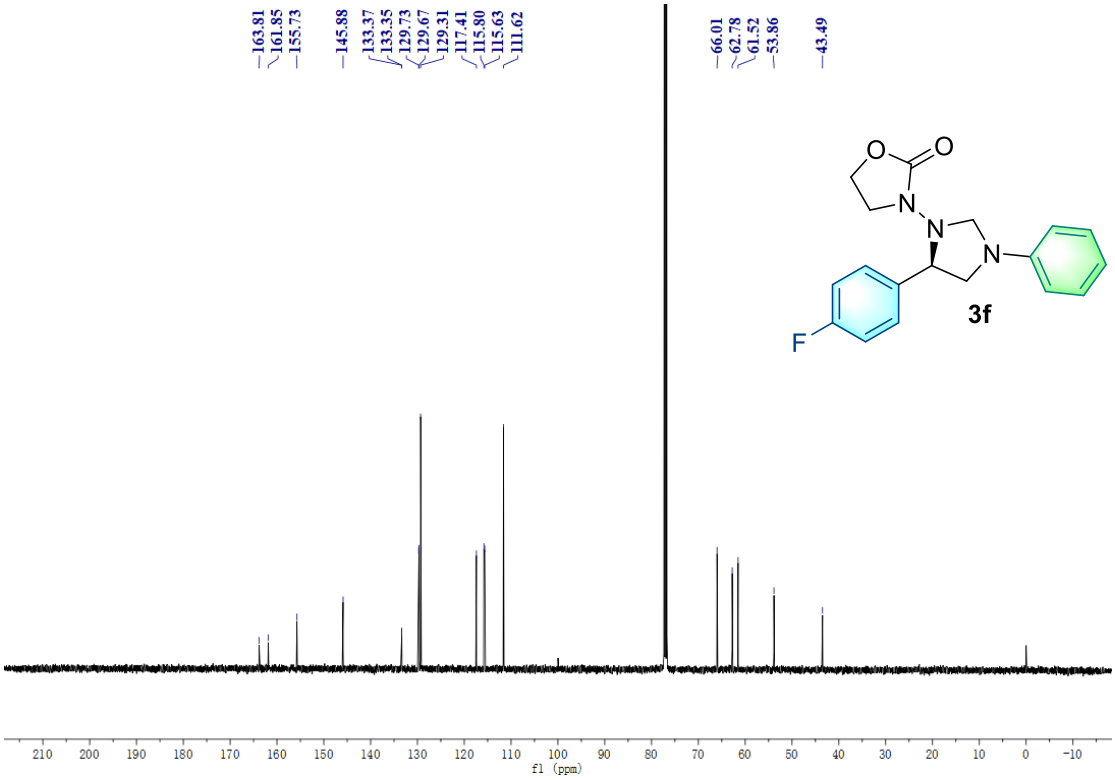


$CDCl_3$; 125 MHz

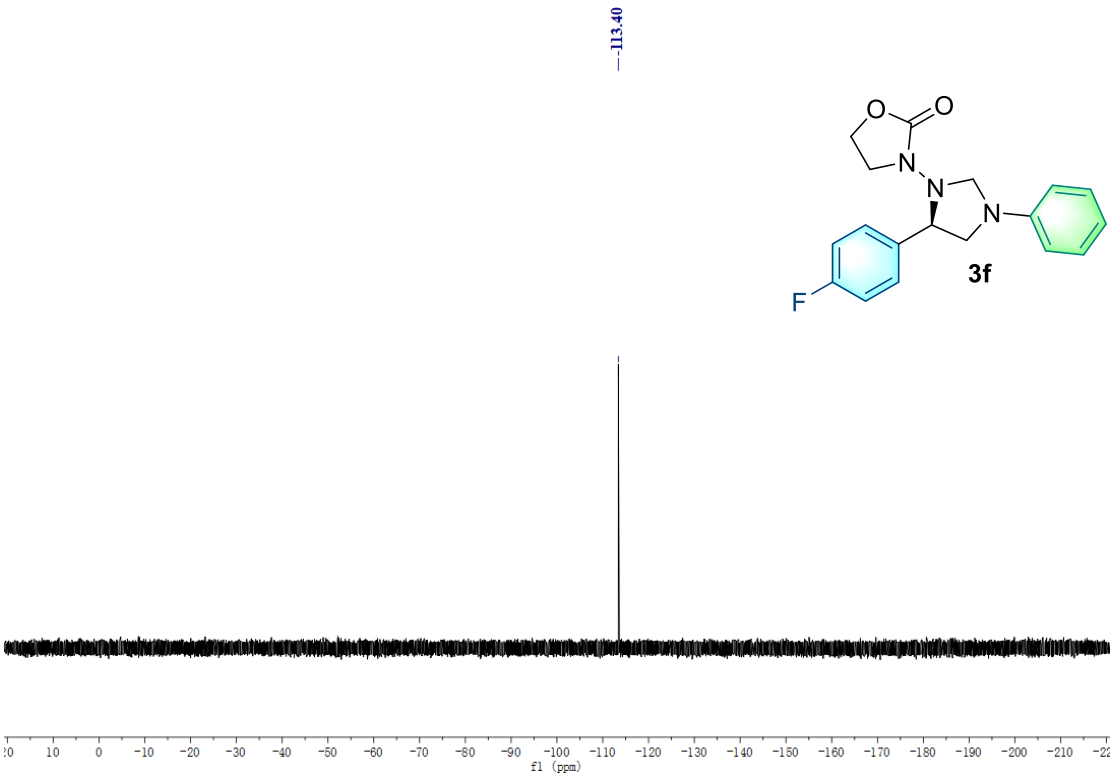
^{1}H and ^{13}C-NMR of **3f**



$CDCl_3$; 500 MHz

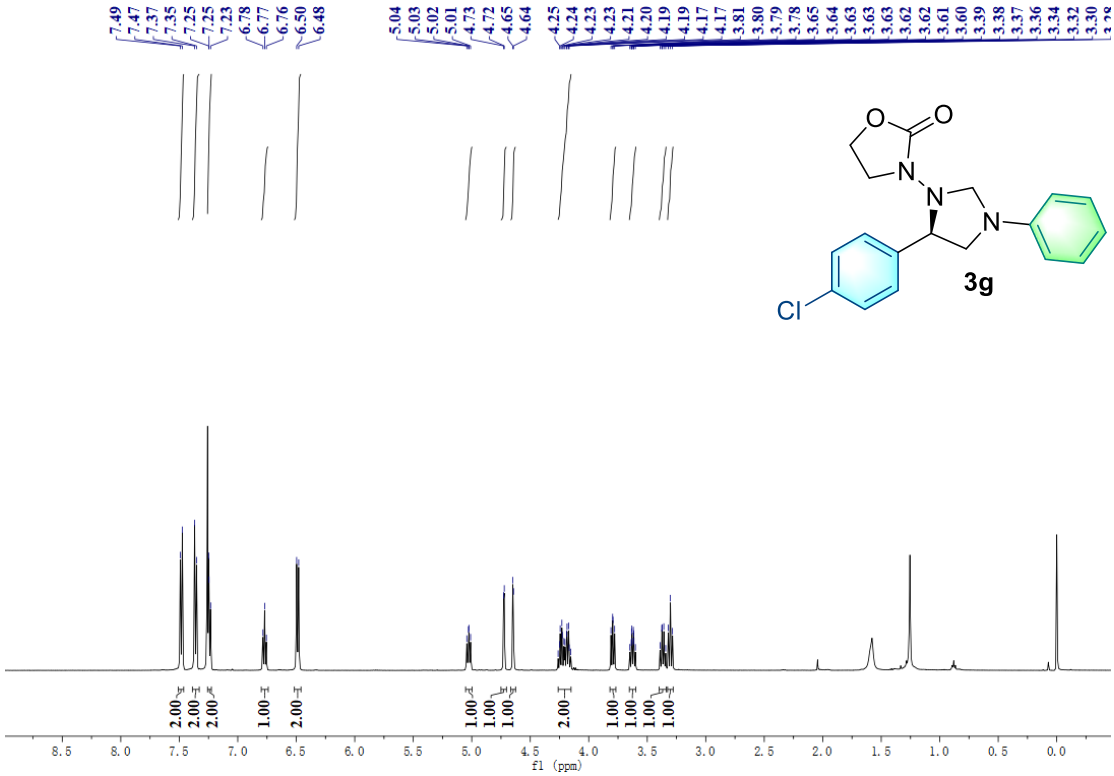


$CDCl_3$; 125 MHz

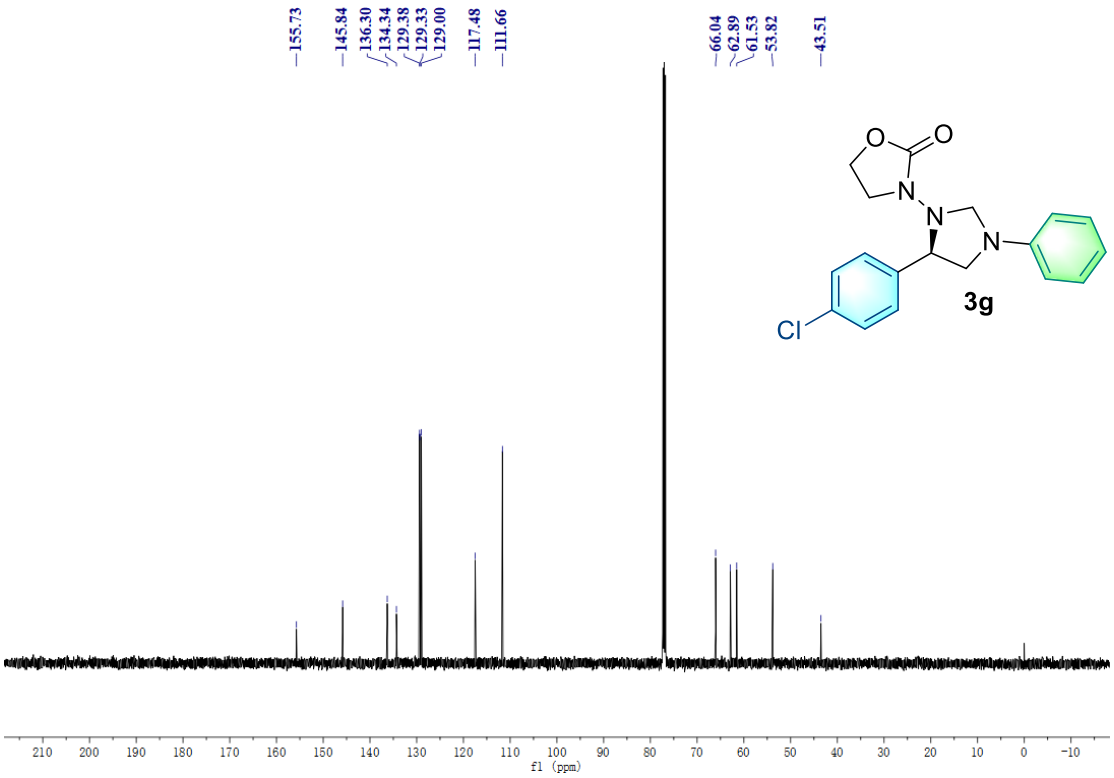


$CDCl_3$; 471 MHz

^{1}H and ^{13}C-NMR of **3g**

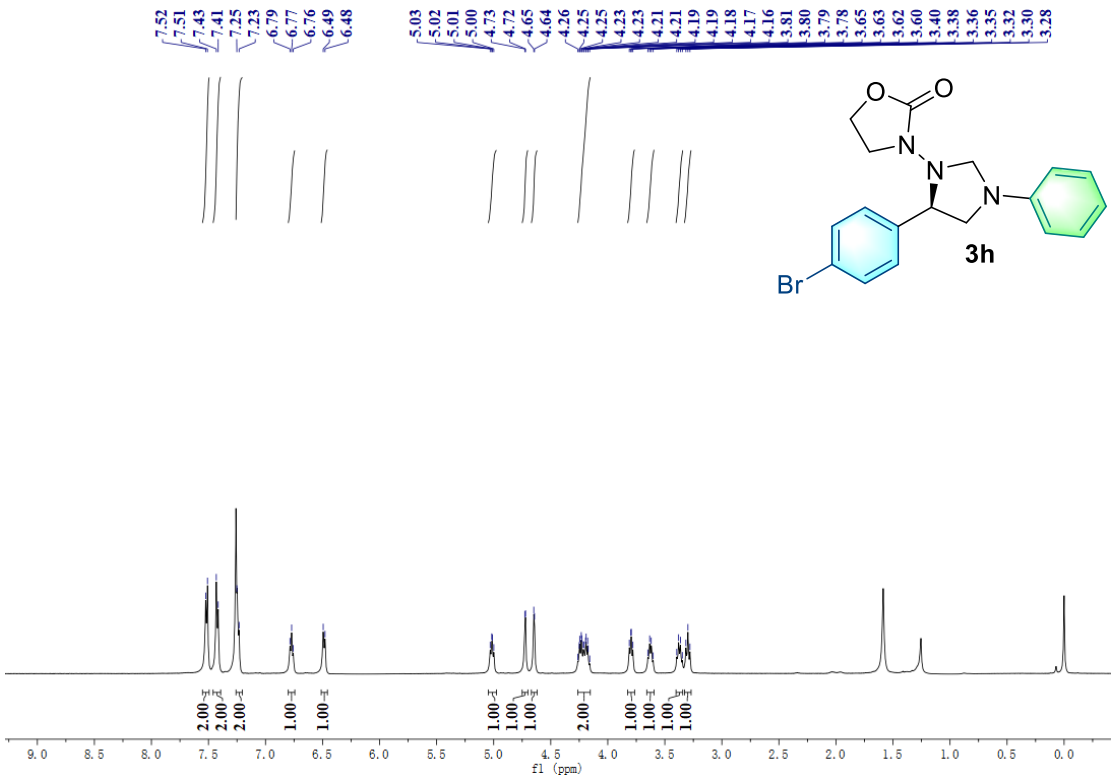


$CDCl_3$; 500 MHz

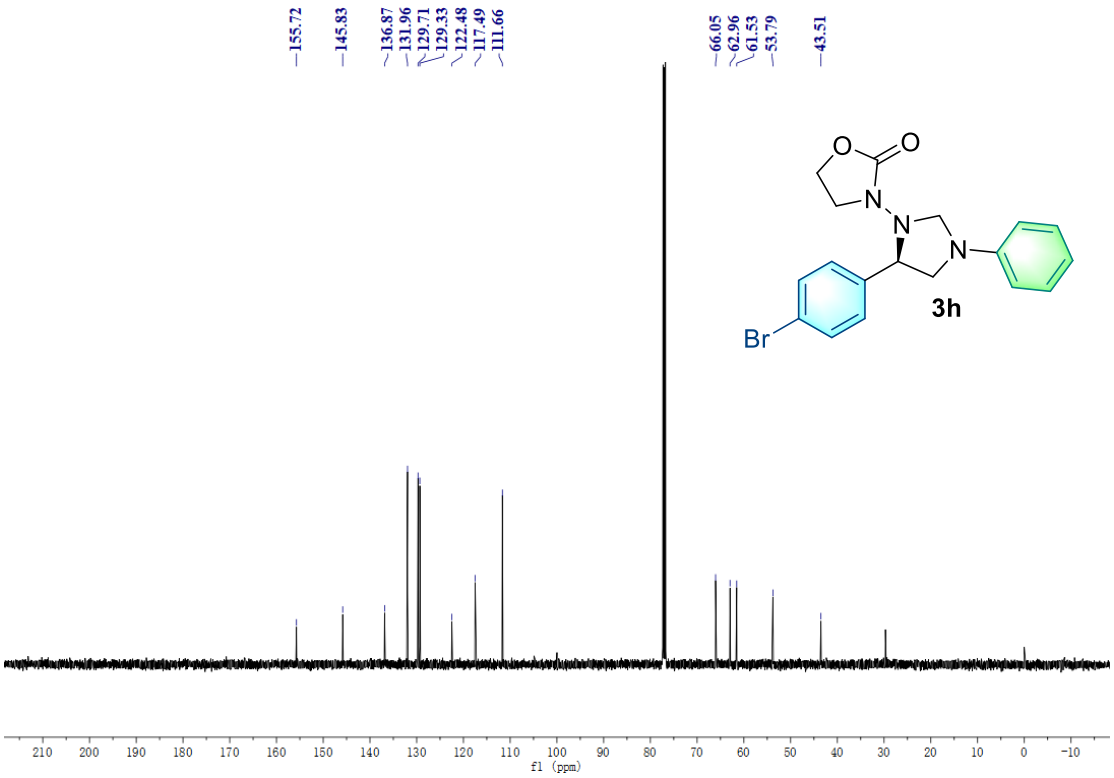


$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **3h**

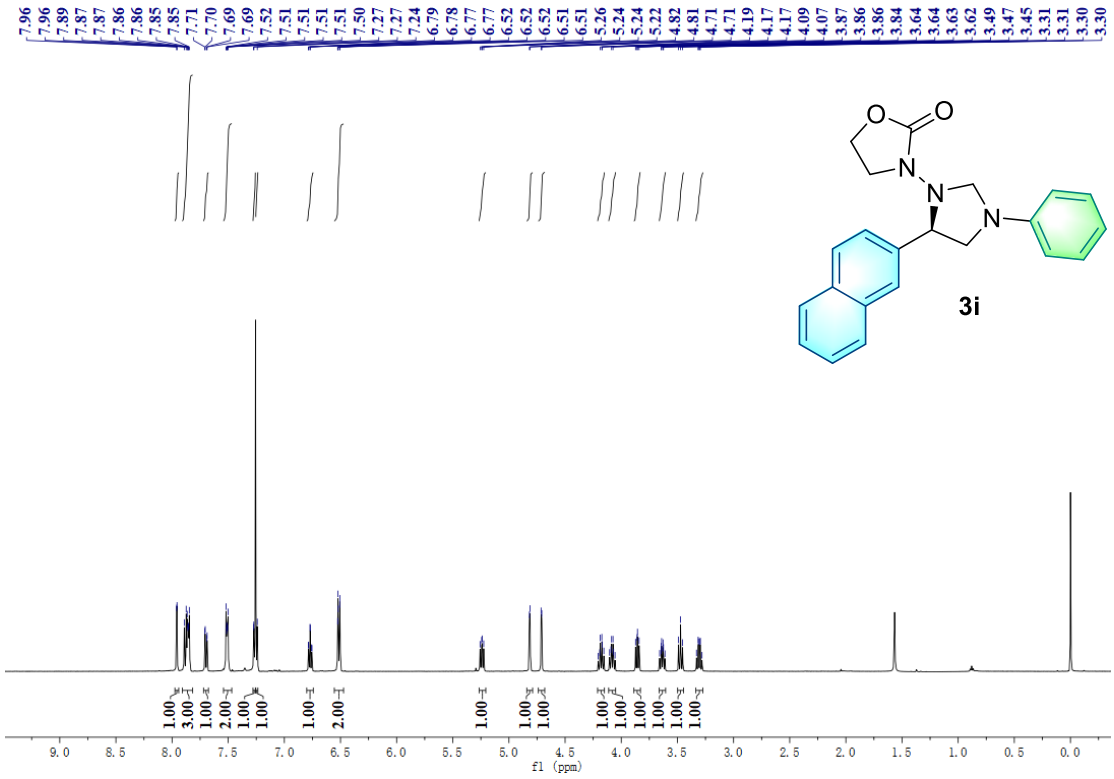


$CDCl_3$; 500 MHz

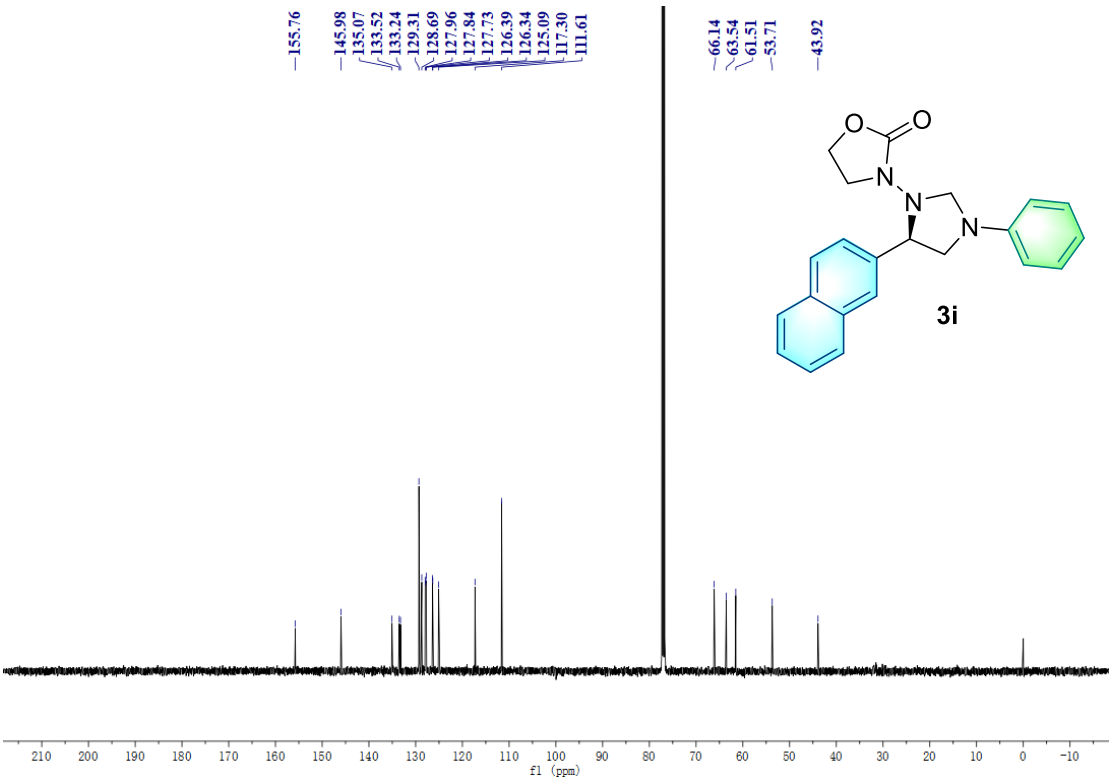


$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **3h**

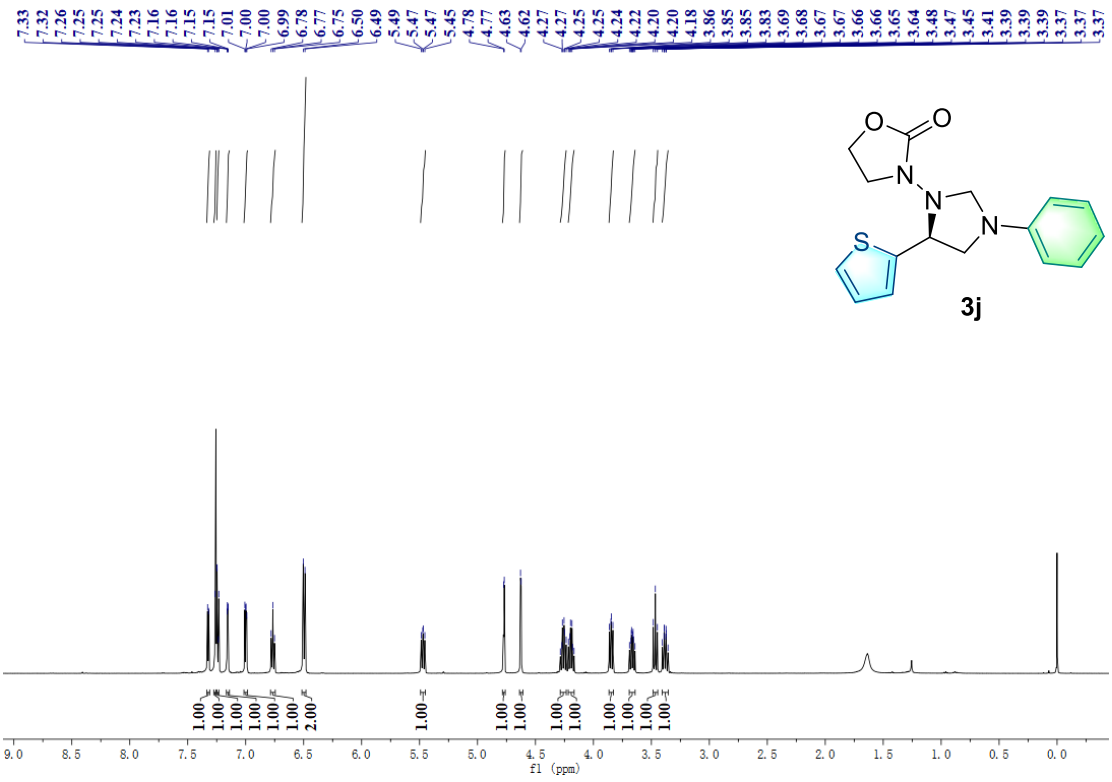


$CDCl_3$; 500 MHz

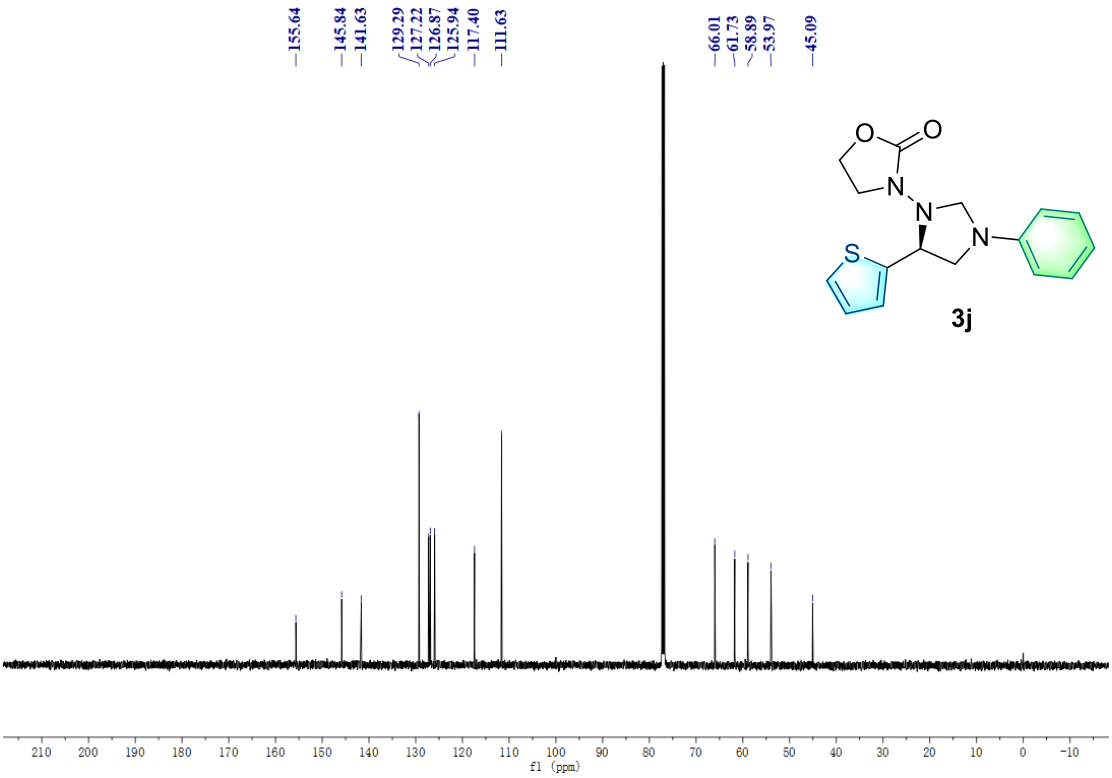


$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **3j**

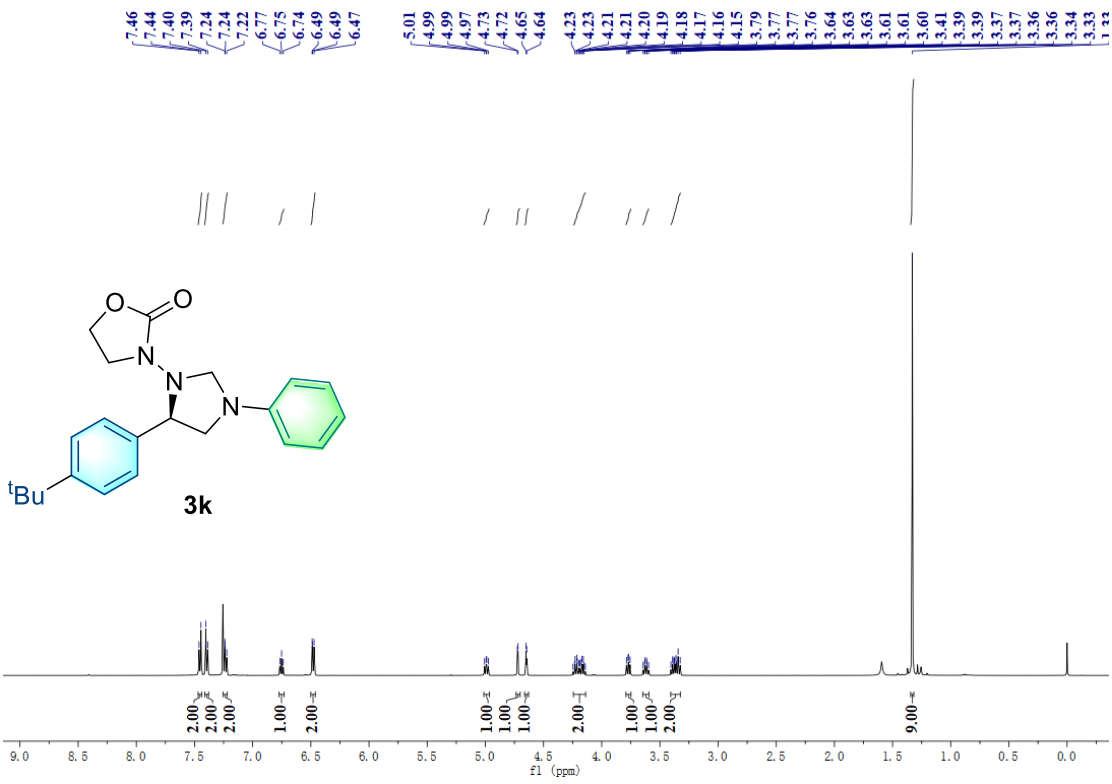


$CDCl_3$; 500 MHz

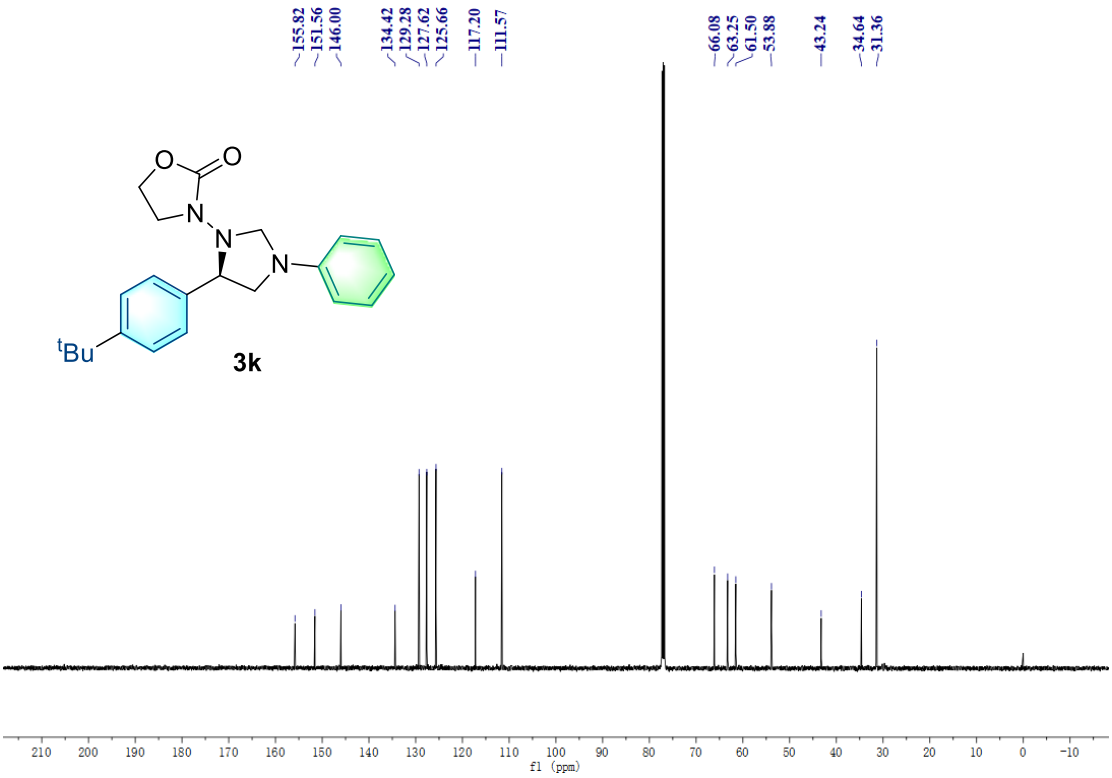


$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **3k**

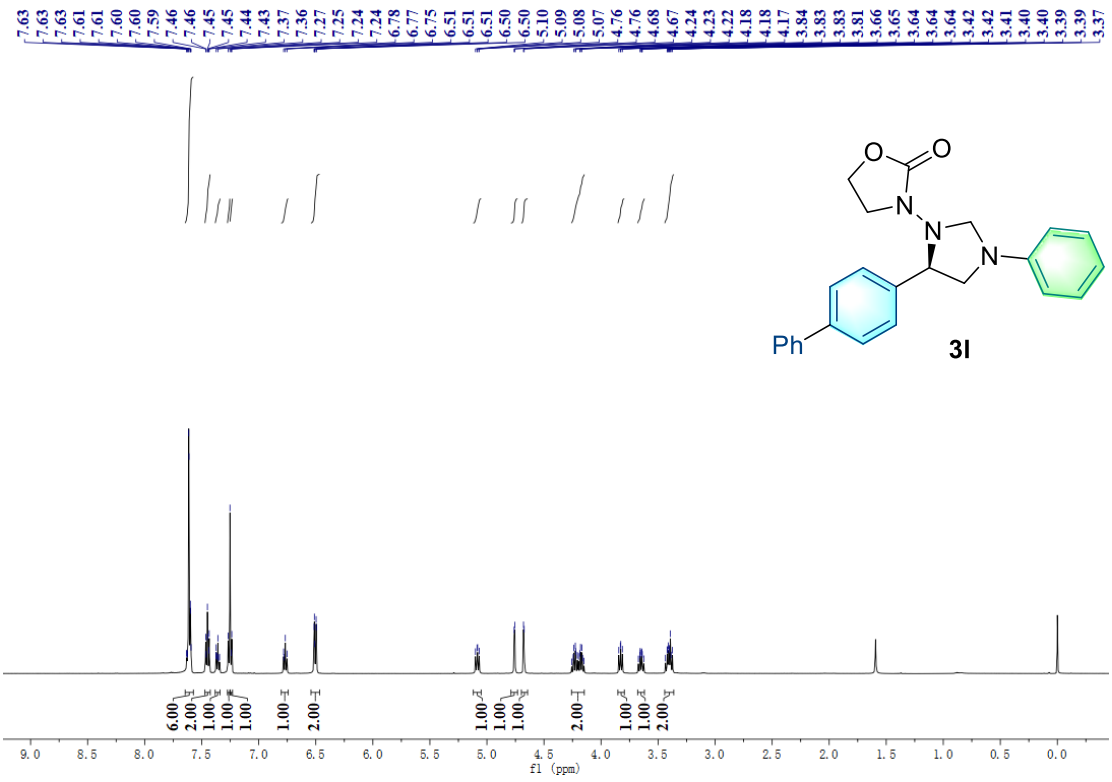


$CDCl_3$; 500 MHz

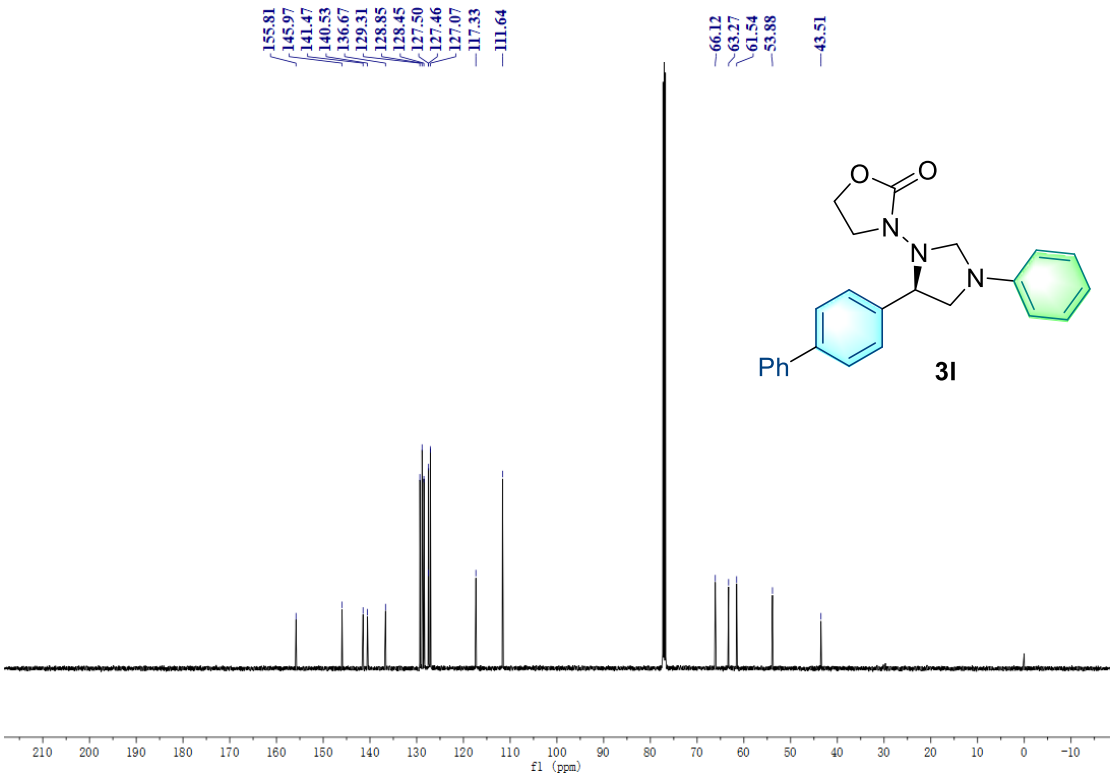


$CDCl_3$; 125 MHz

^1H and ^{13}C-NMR of **3l**

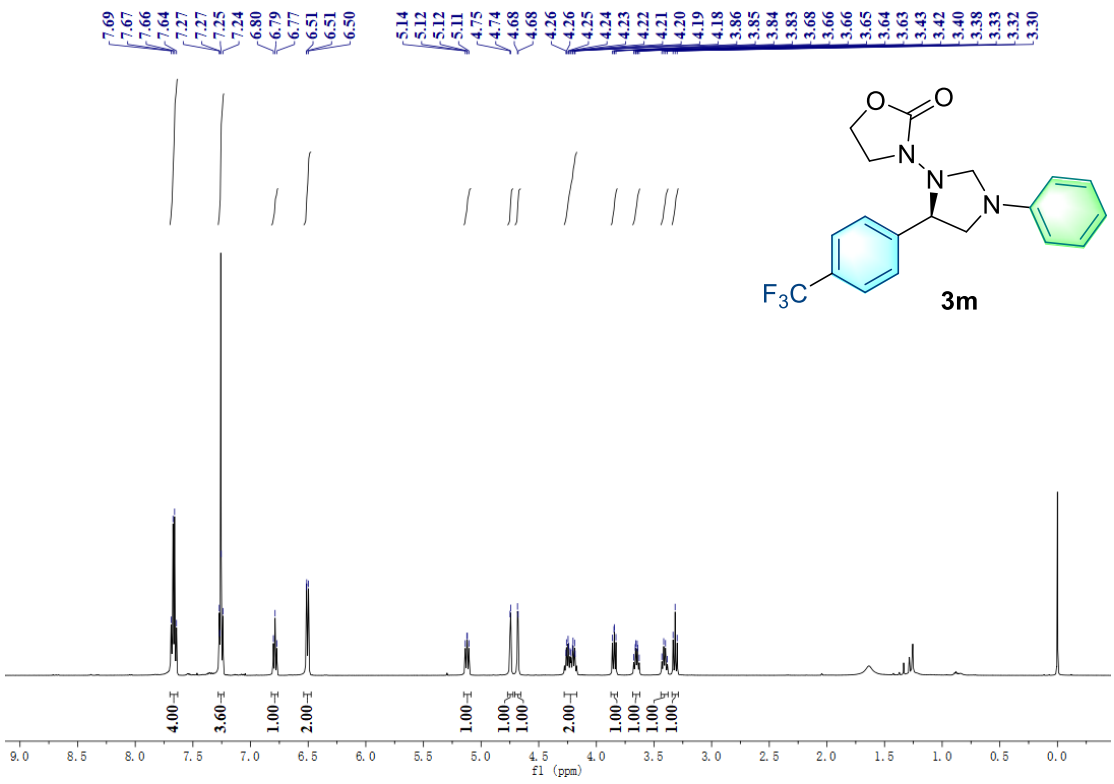


$CDCl_3$; 500 MHz

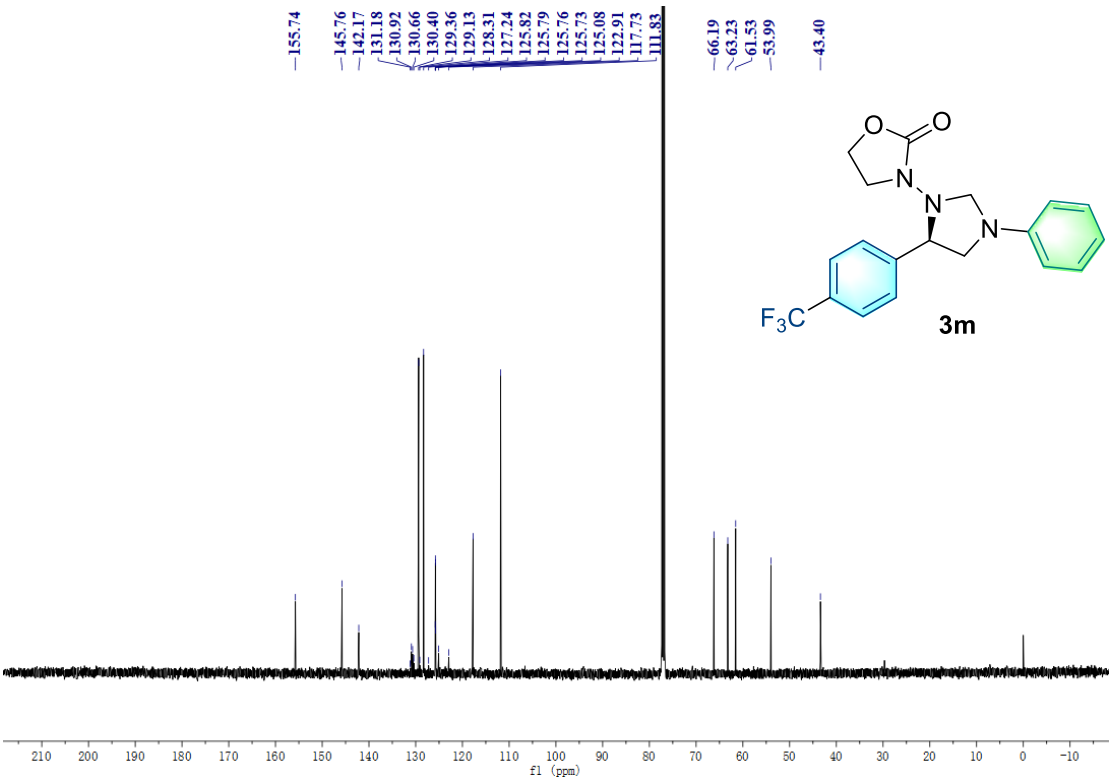


$CDCl_3$; 125 MHz

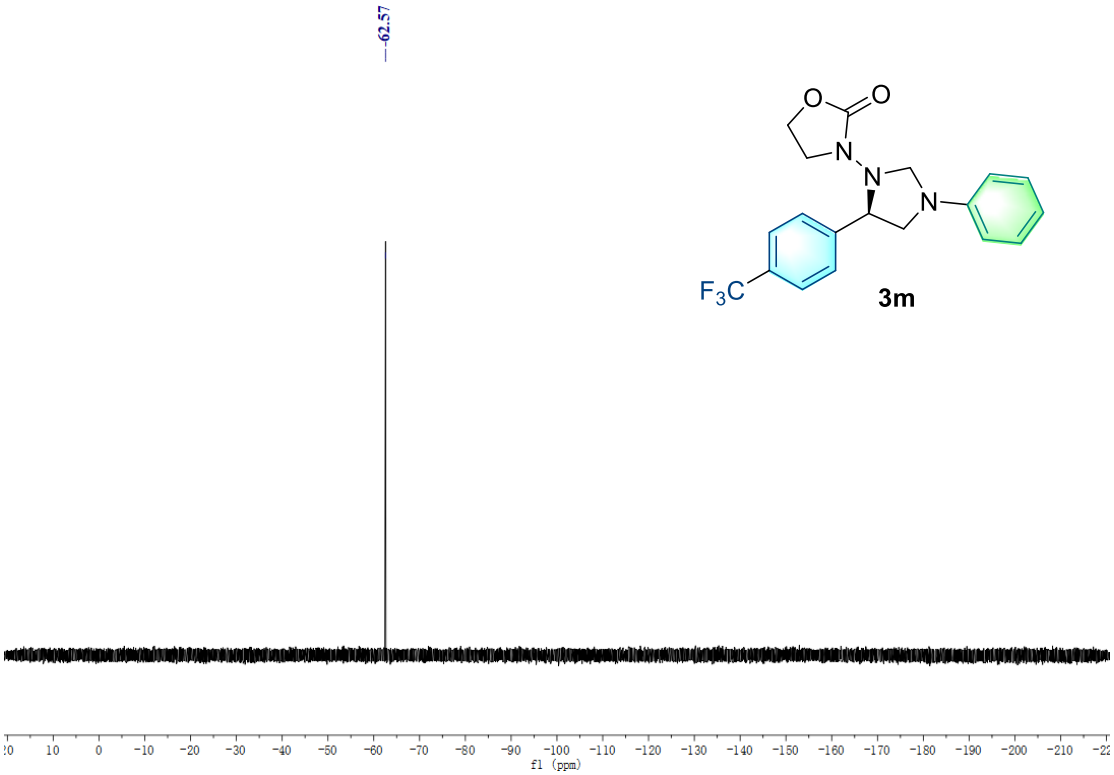
^{1}H and ^{13}C-NMR of **3m**



$CDCl_3$; 500 MHz

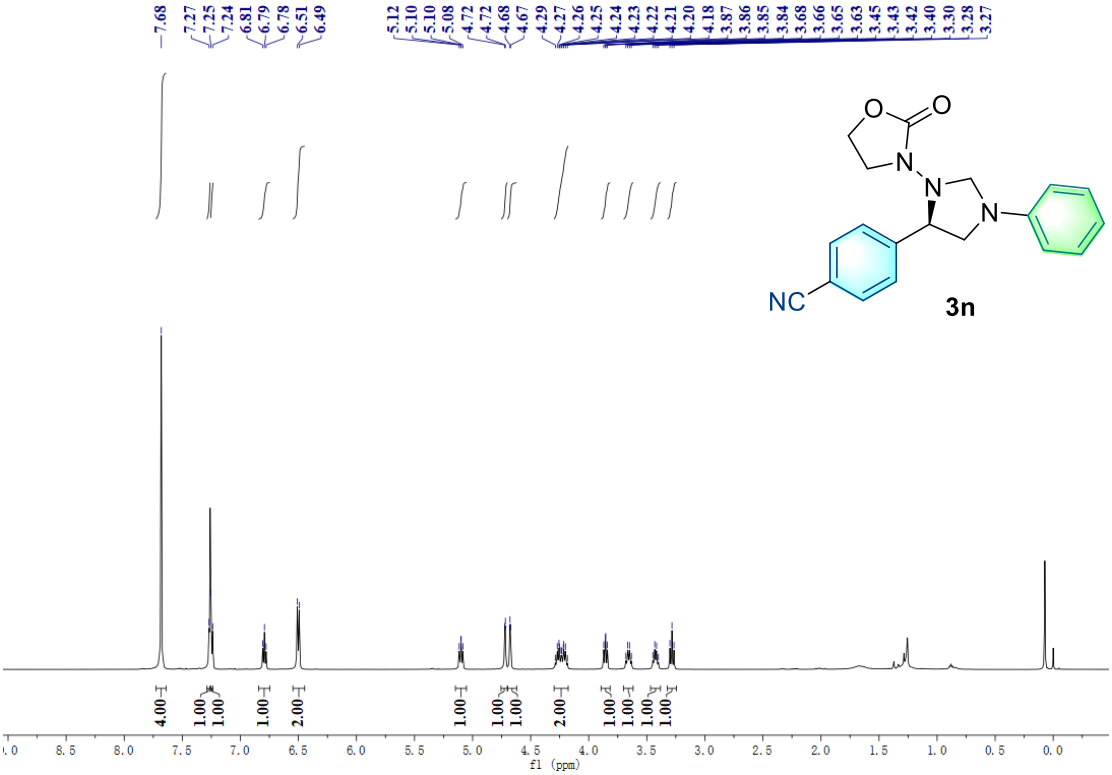


$CDCl_3$; 125 MHz

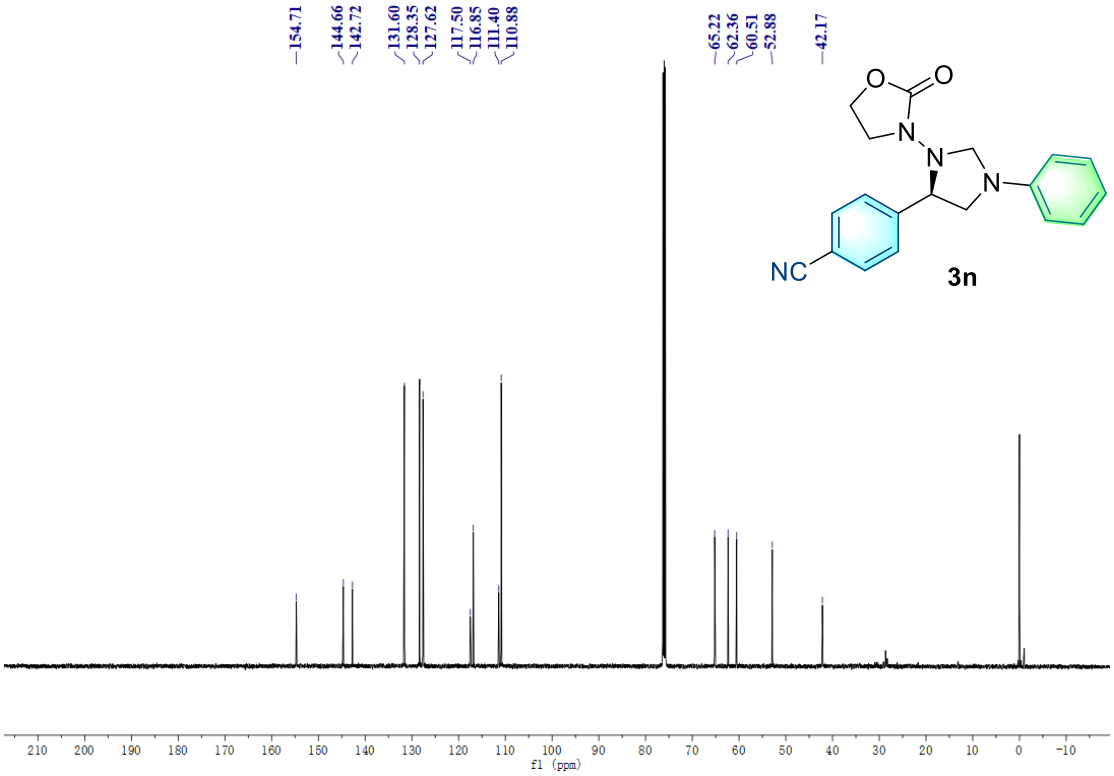


$CDCl_3$; 471 MHz

^{1}H and ^{13}C-NMR of **3n**

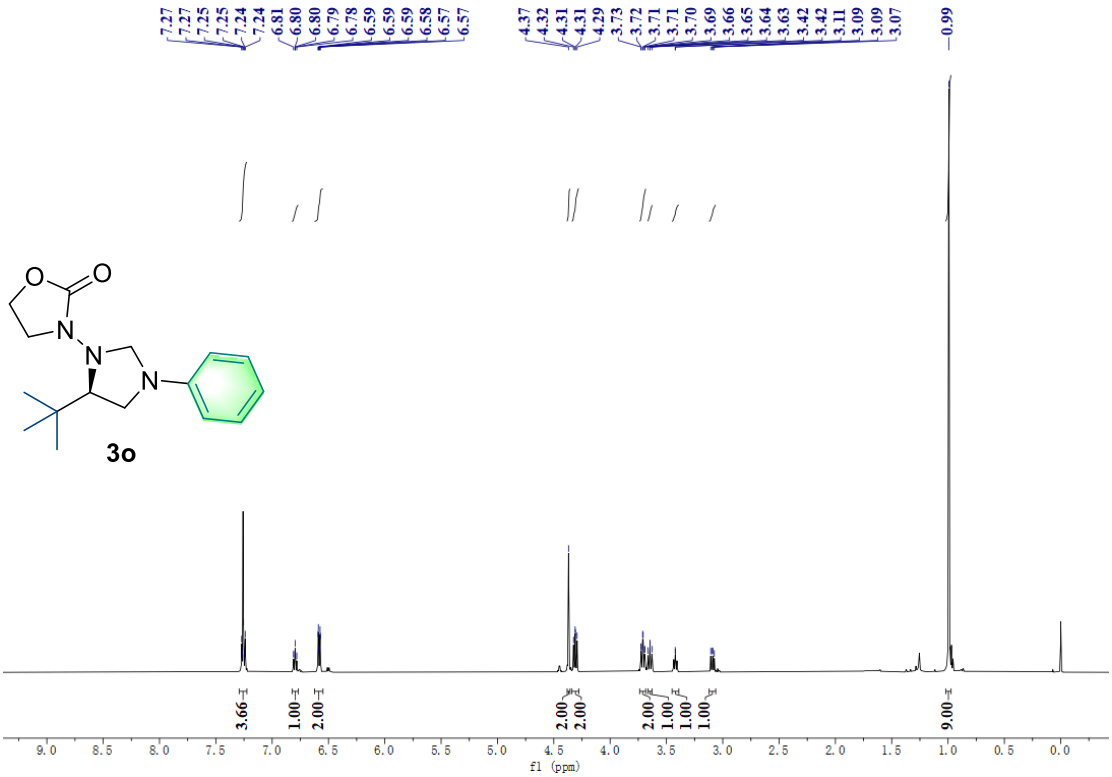


$CDCl_3$; 500 MHz



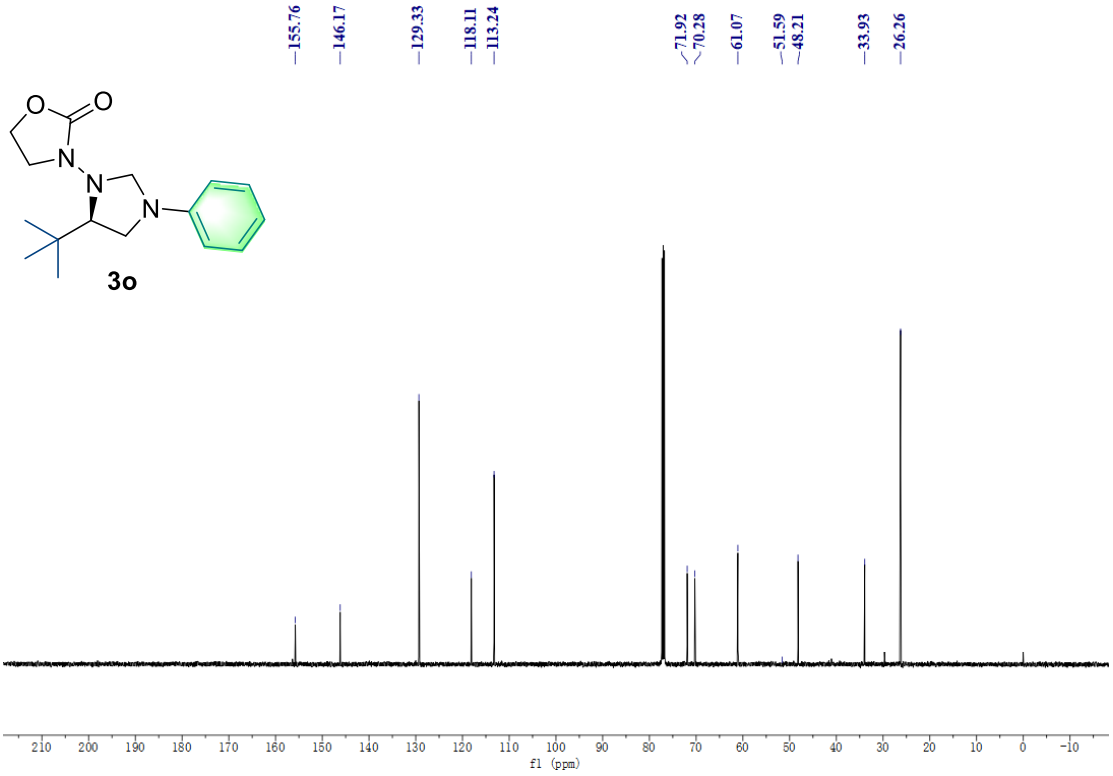
$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **3o**



3o

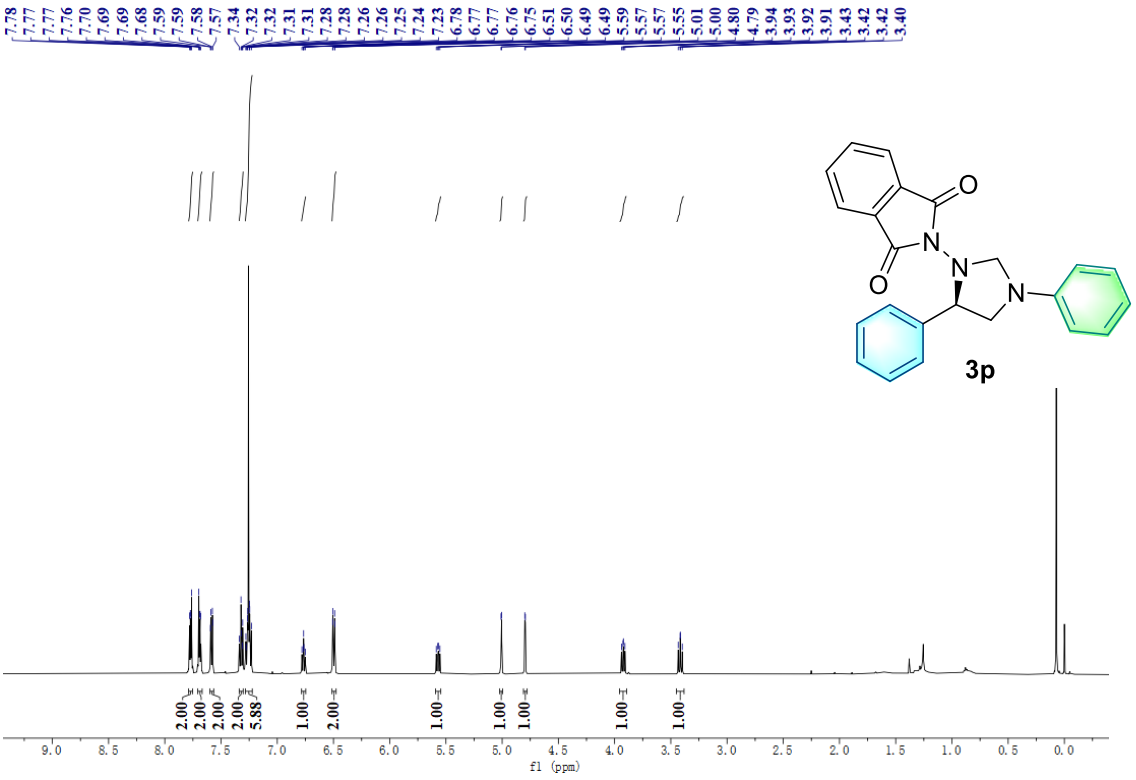
$CDCl_3$; 500 MHz



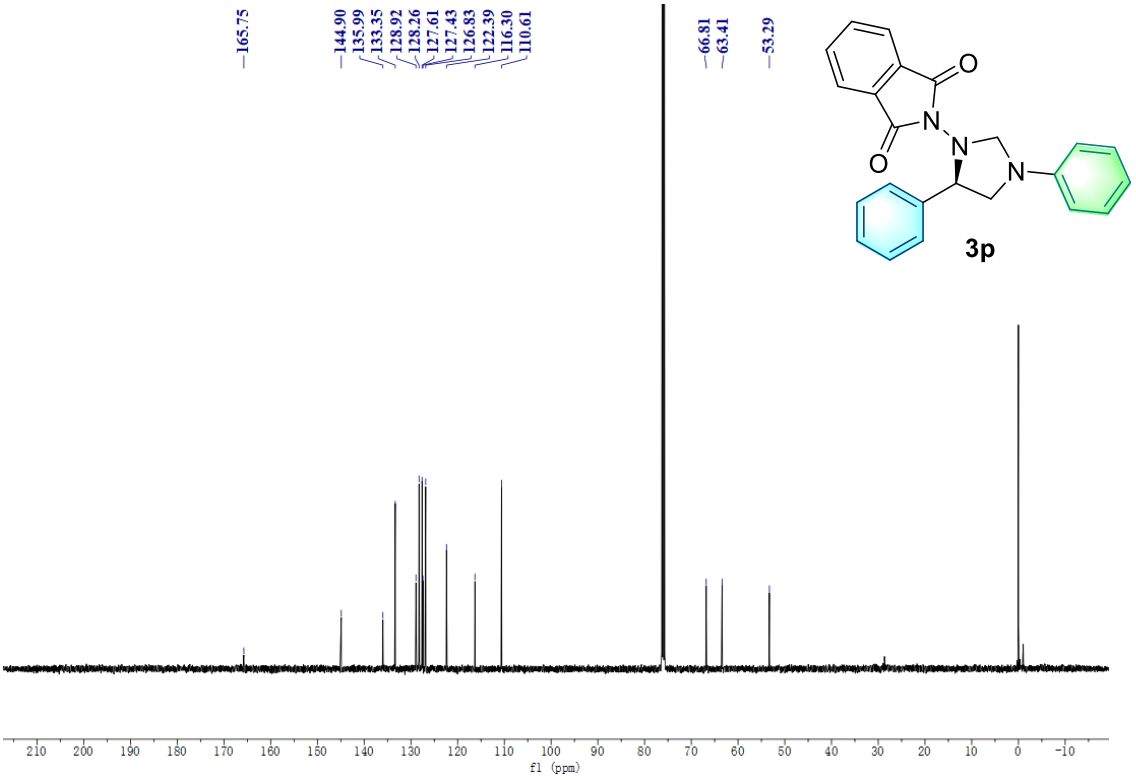
3o

$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **3p**

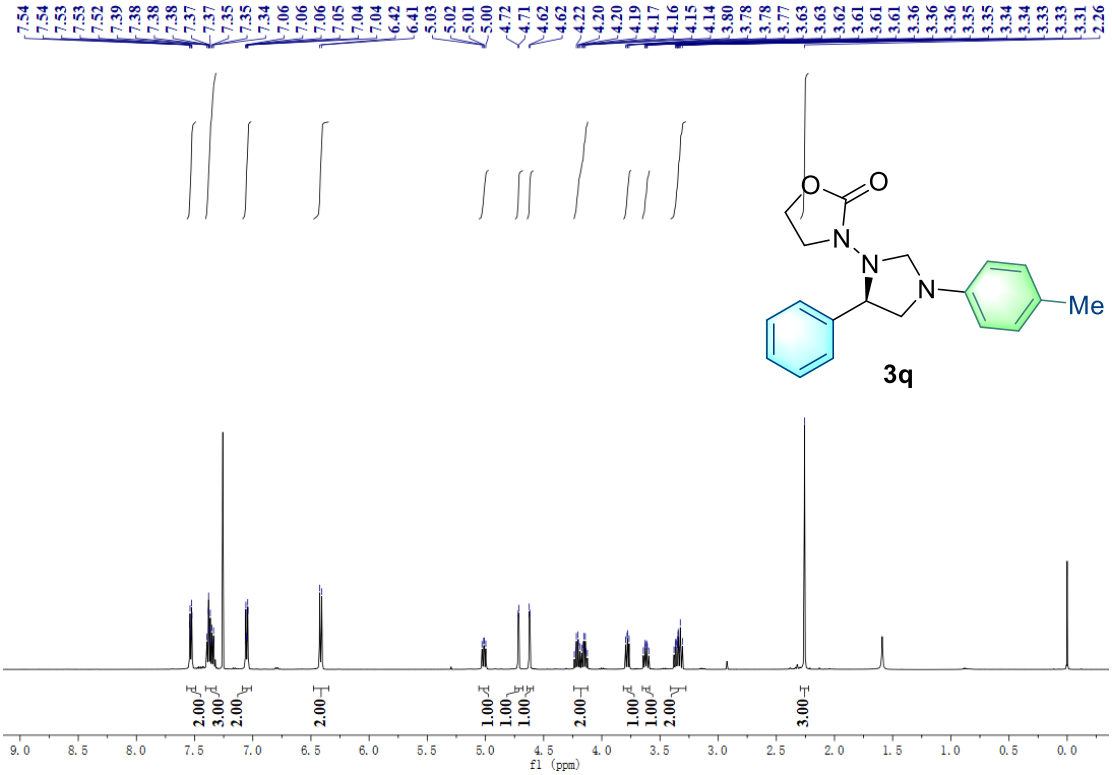


$CDCl_3$; 500 MHz

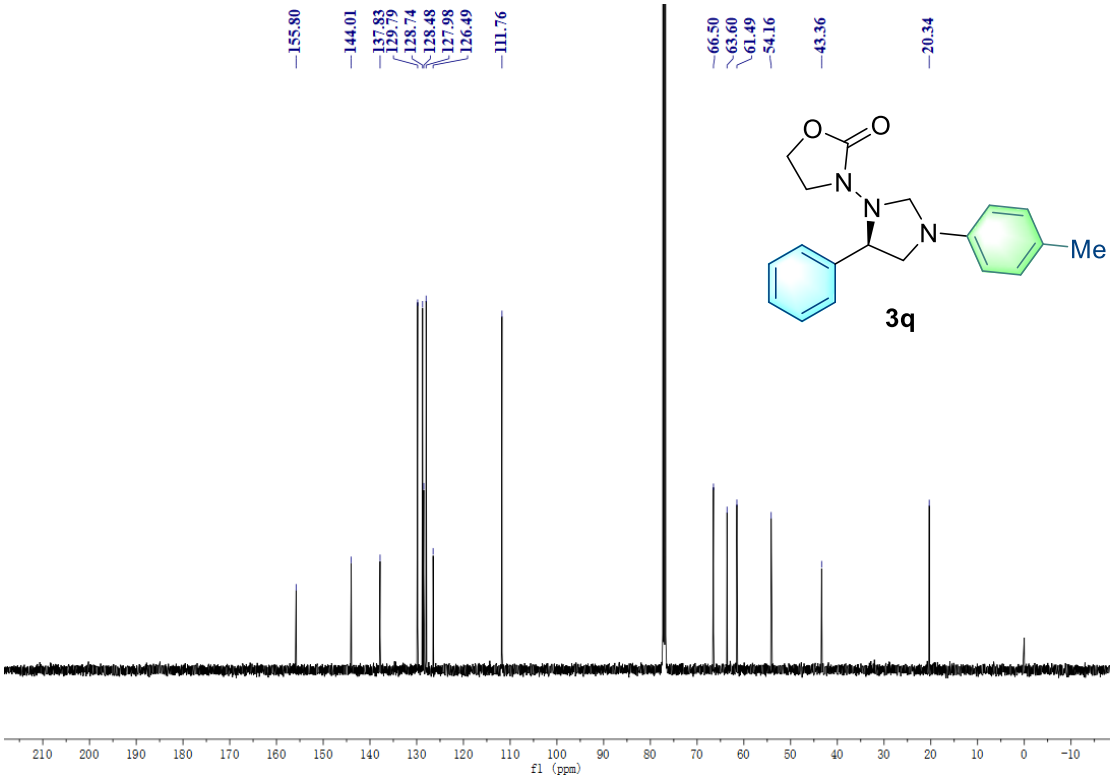


$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **3q**

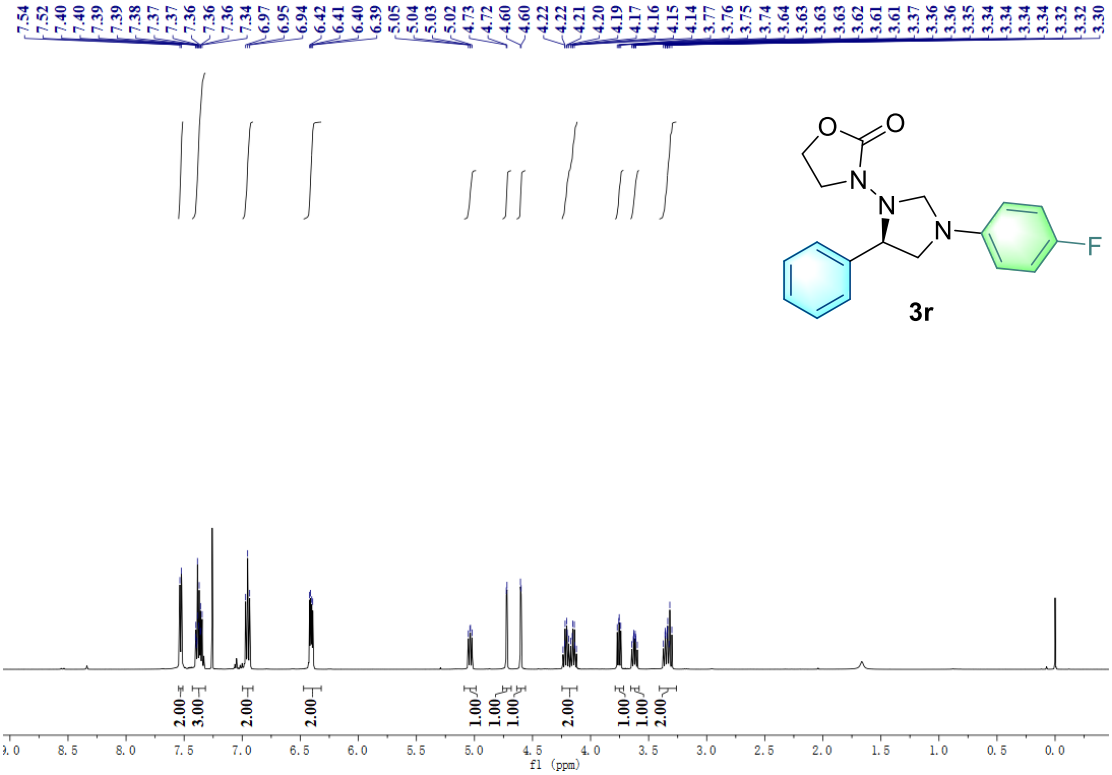


$CDCl_3$; 500 MHz

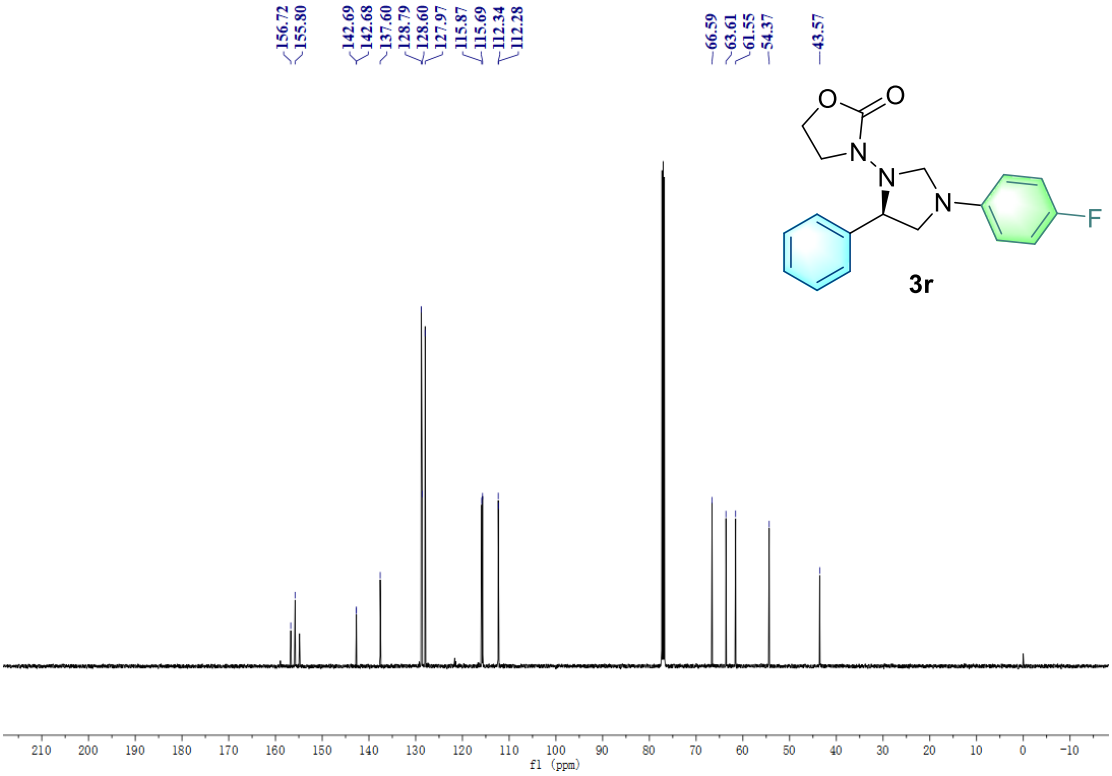


$CDCl_3$; 125 MHz

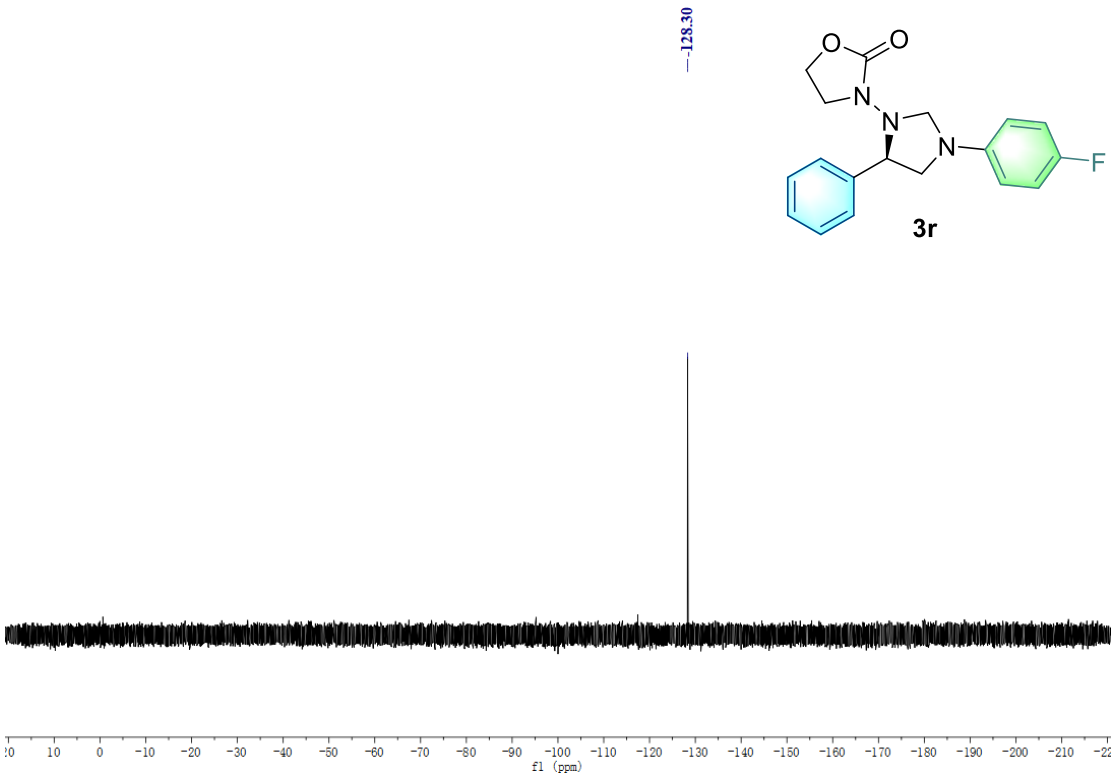
^{1}H and ^{13}C-NMR of **3r**



$CDCl_3$; 500 MHz

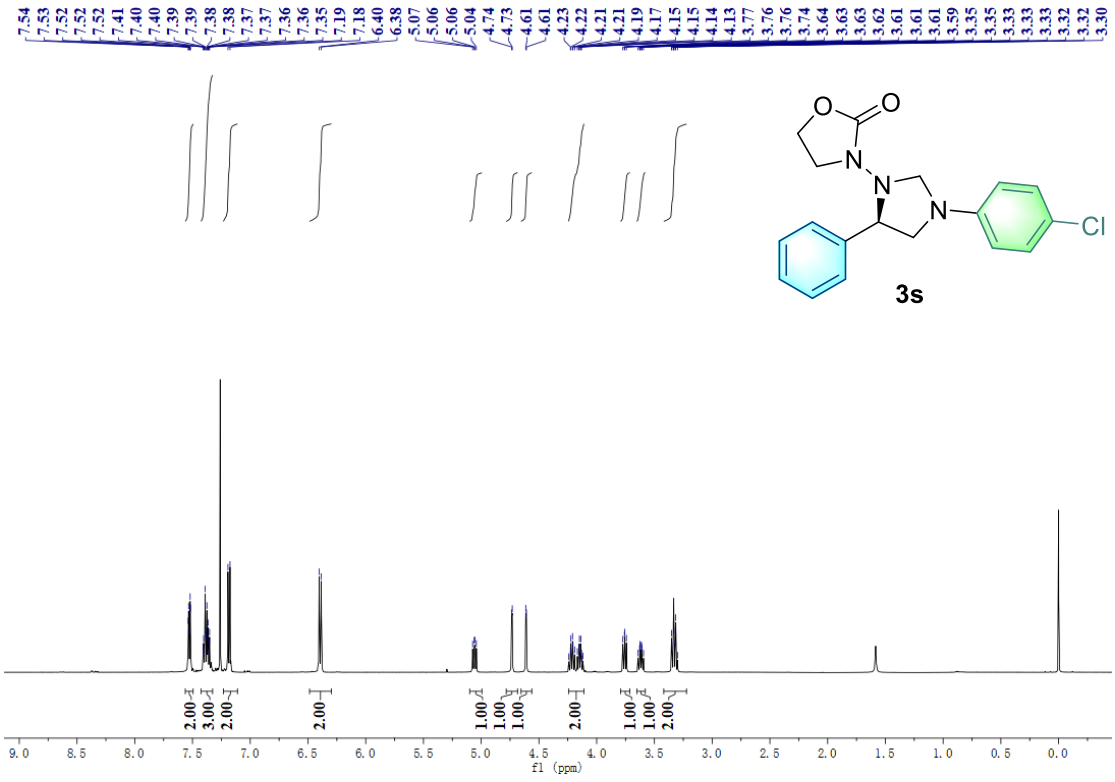


$CDCl_3$; 125 MHz

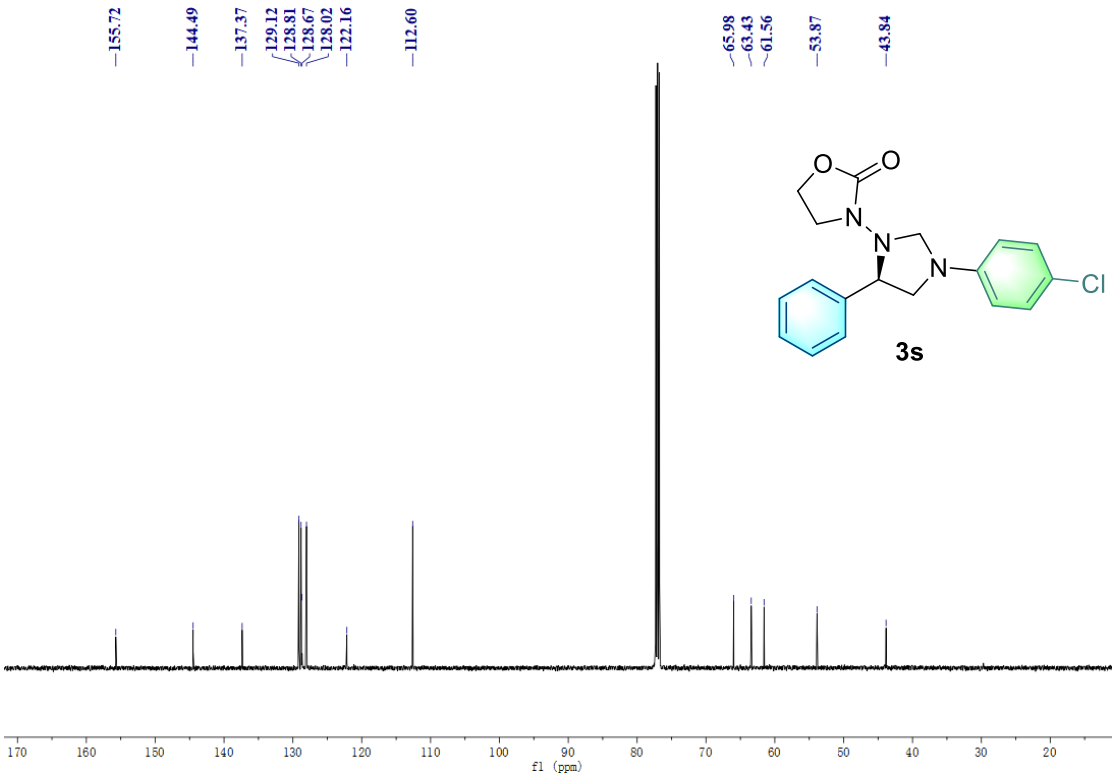


$CDCl_3$; 471 MHz

^{1}H and ^{13}C-NMR of **3s**

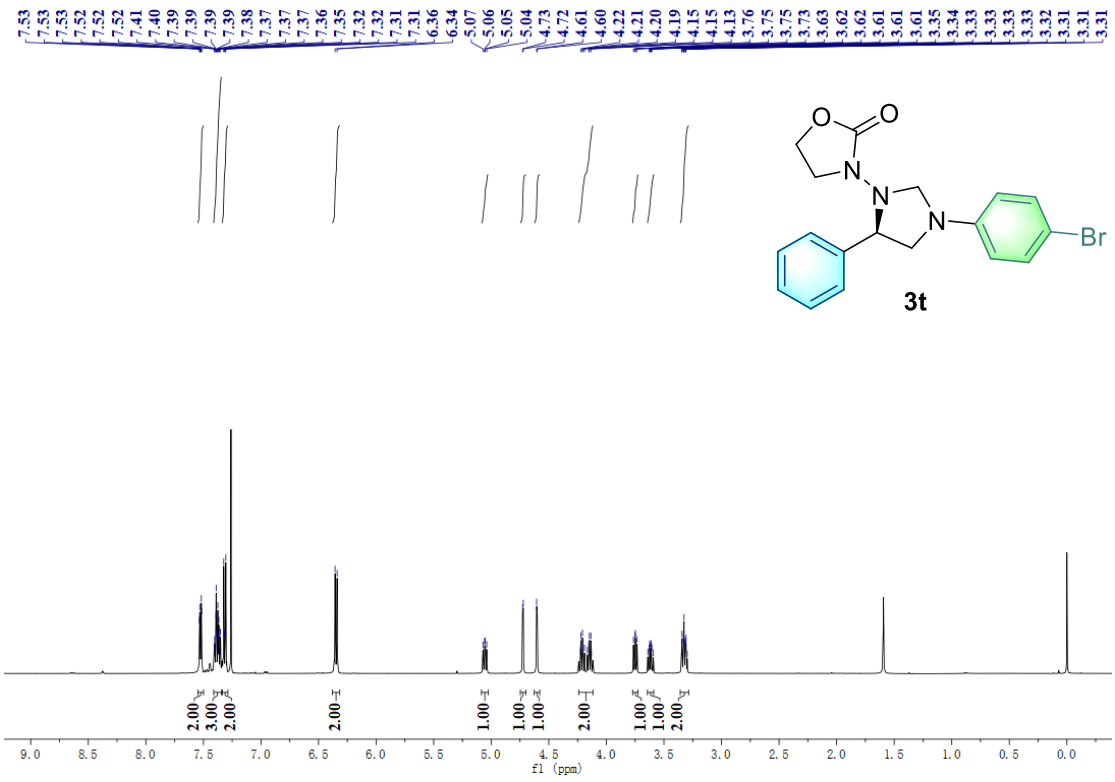


$CDCl_3$; 500 MHz

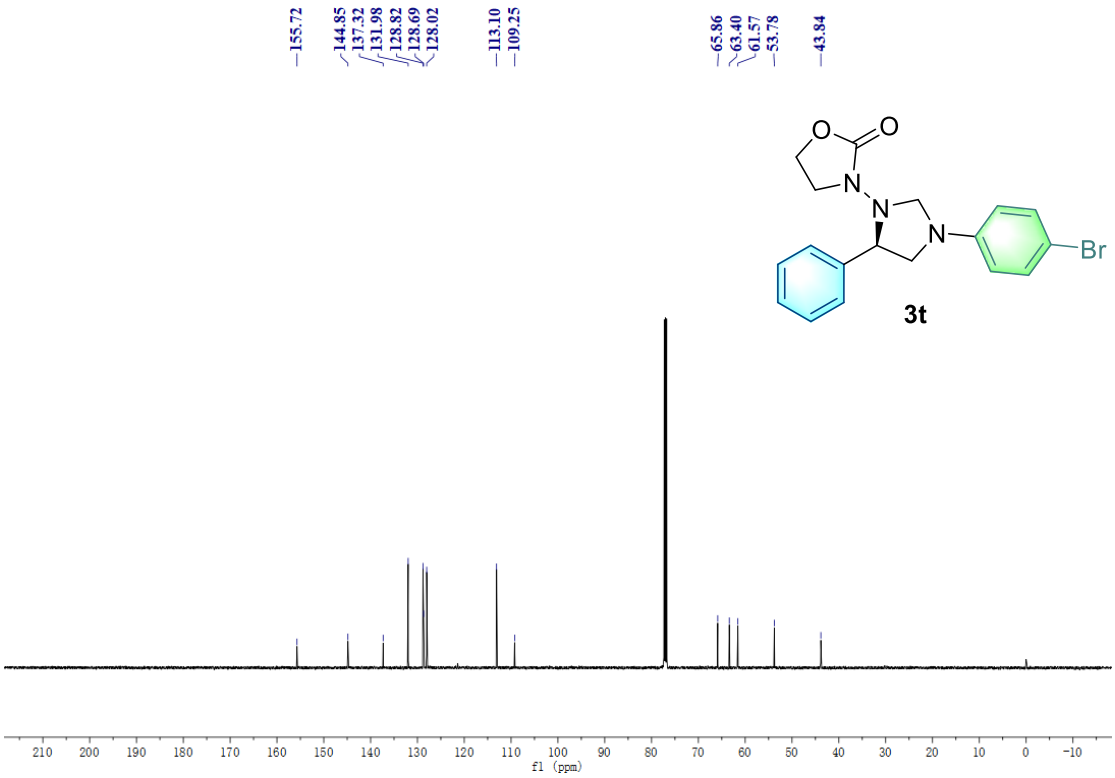


$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **3t**

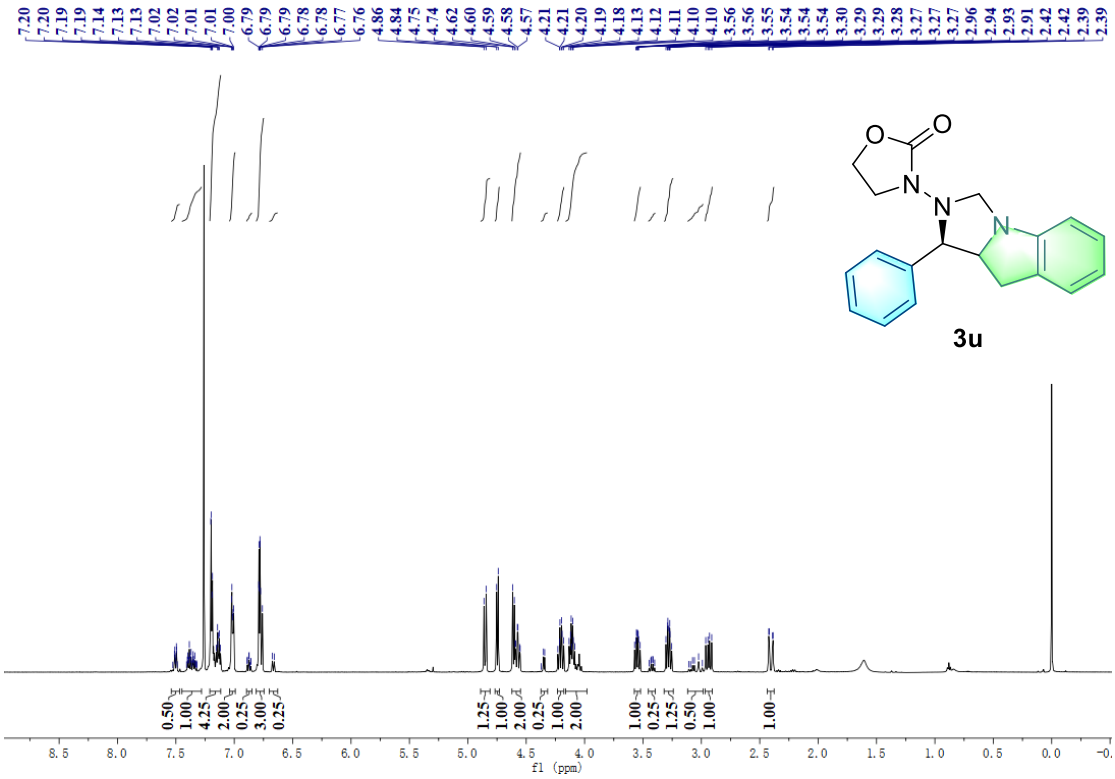


$CDCl_3$; 500 MHz

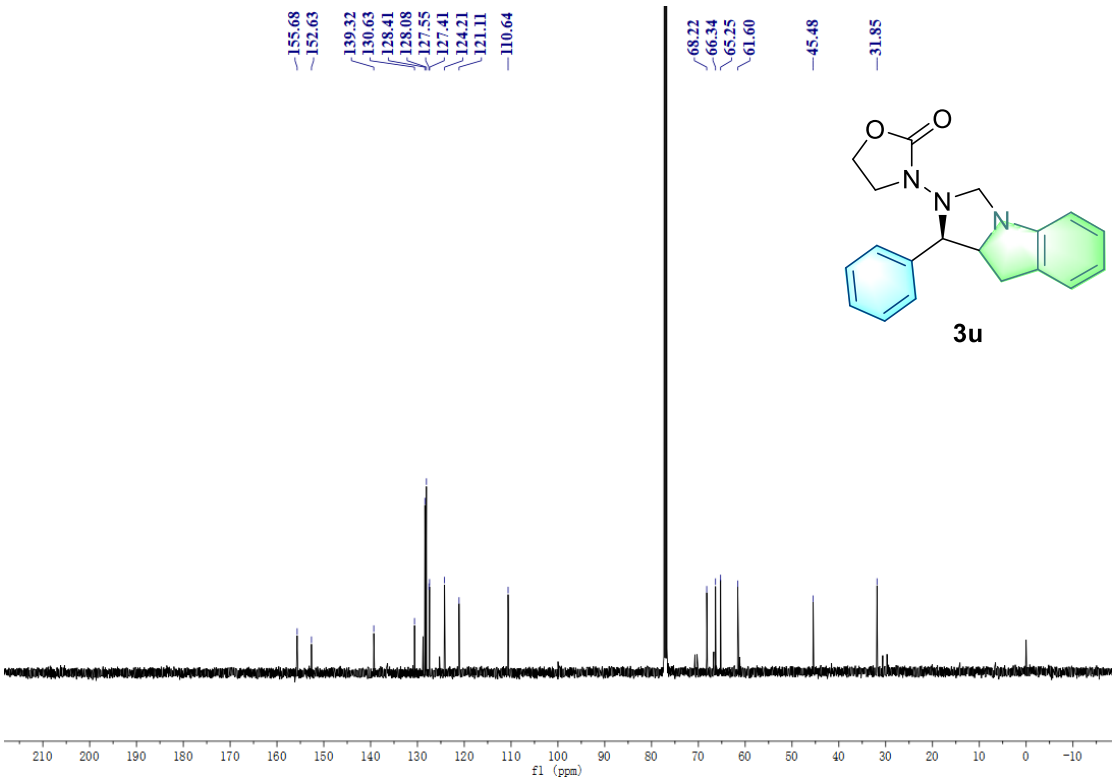


$CDCl_3$; 125 MHz

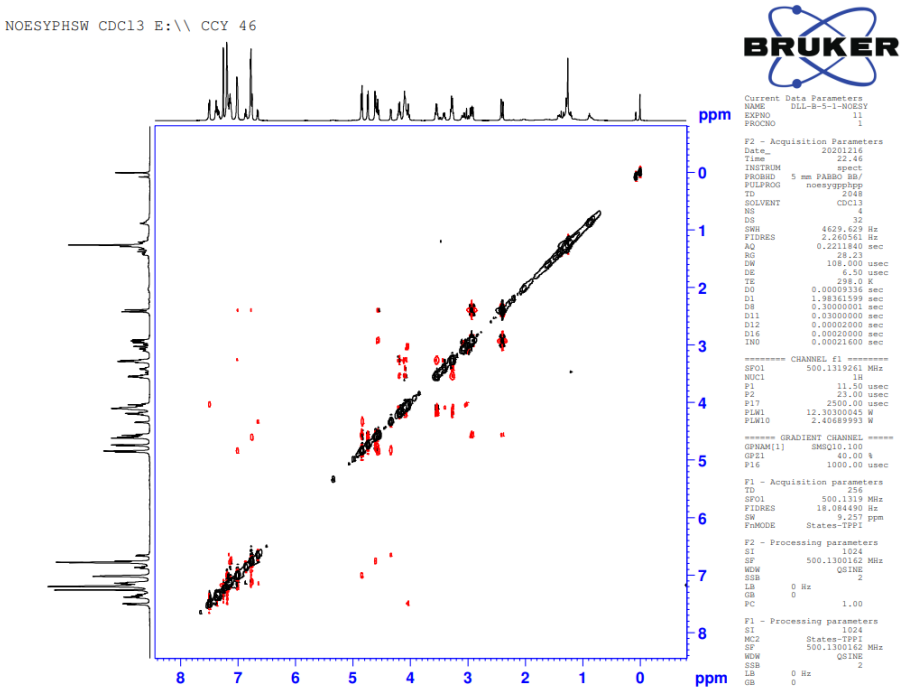
^{1}H and ^{13}C-NMR of **3u**



$CDCl_3$; 500 MHz

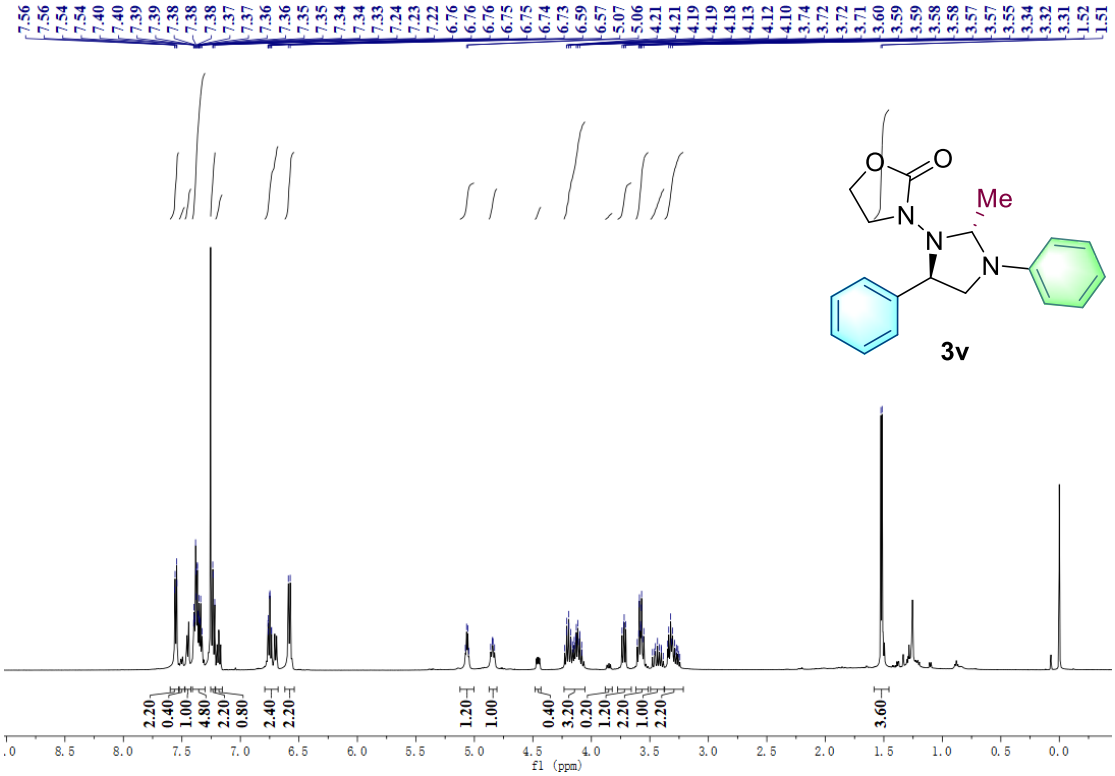


$CDCl_3$; 125 MHz

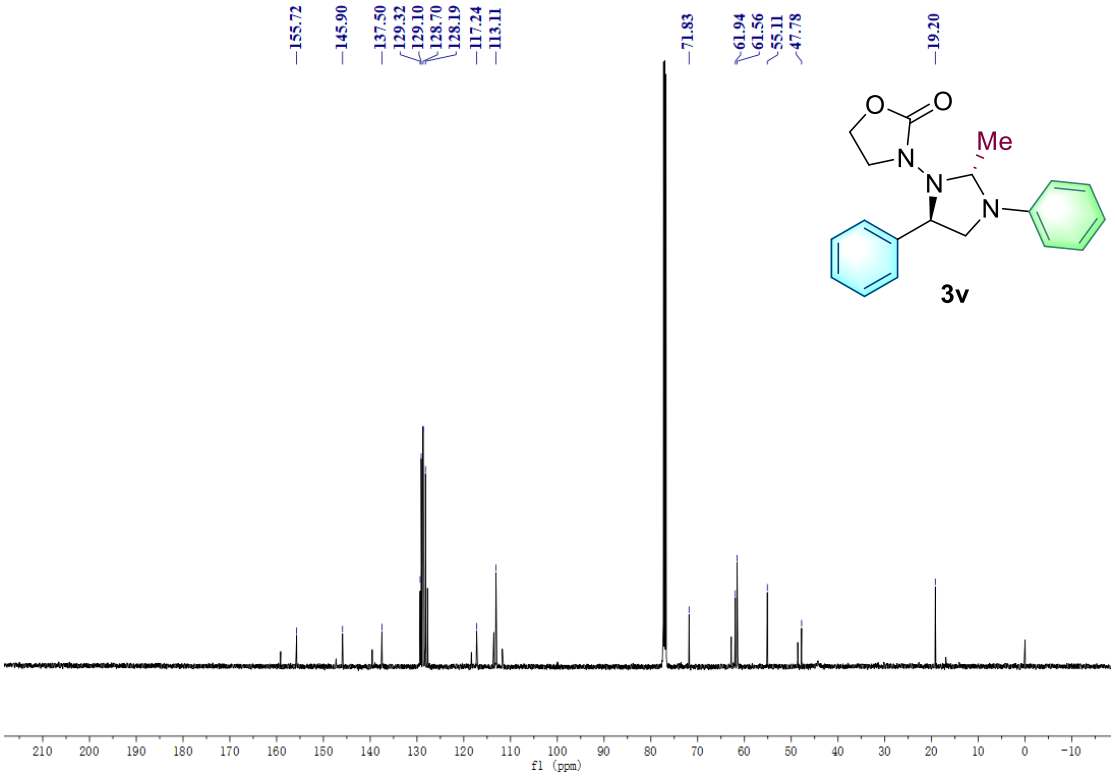


$CDCl_3$; 500 MHz

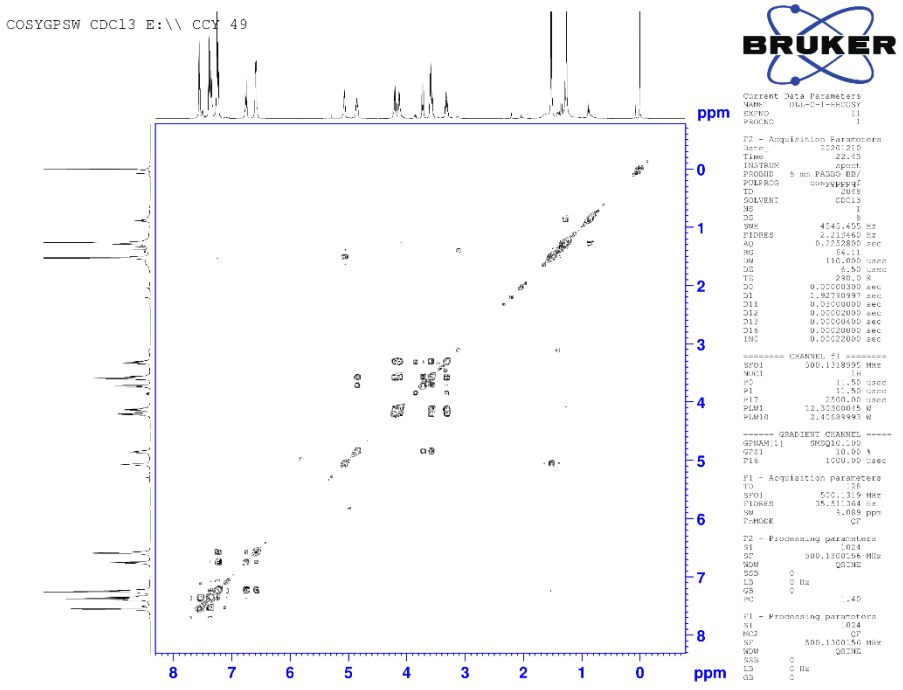
^{1}H and ^{13}C-NMR of **3v**



$CDCl_3$; 500 MHz

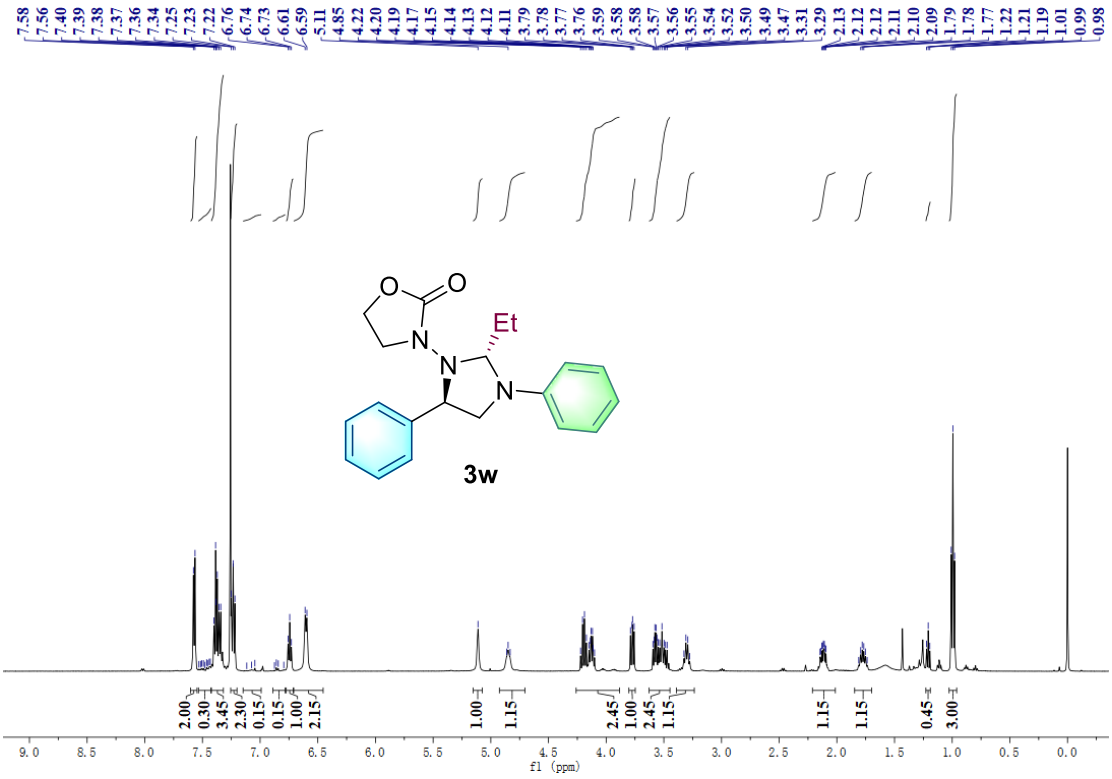


$CDCl_3$; 125 MHz

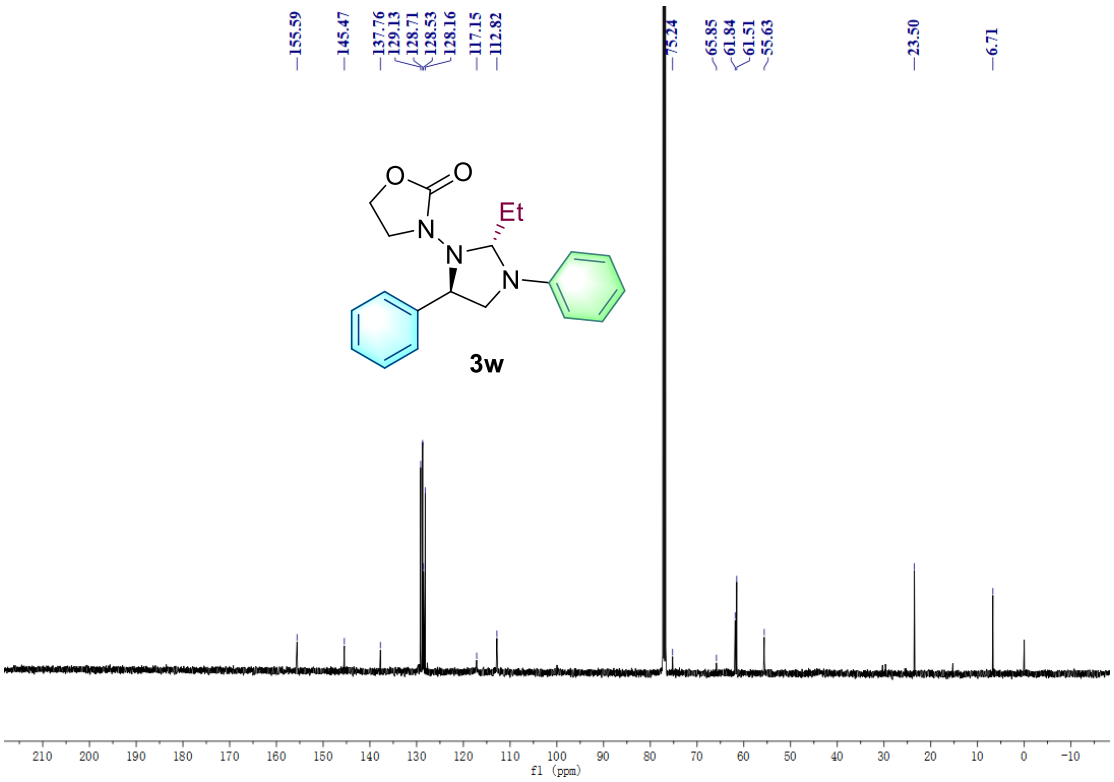


$CDCl_3$; 500 MHz

^{1}H and ^{13}C-NMR of **3w**

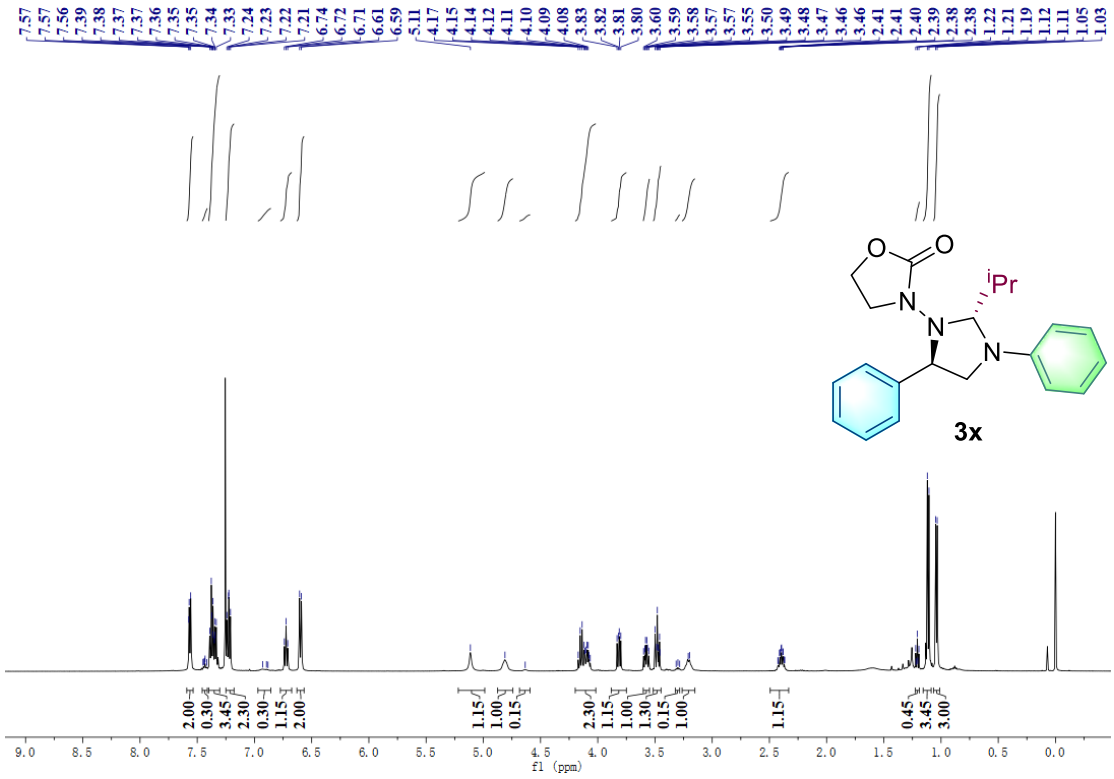


$CDCl_3$; 500 MHz

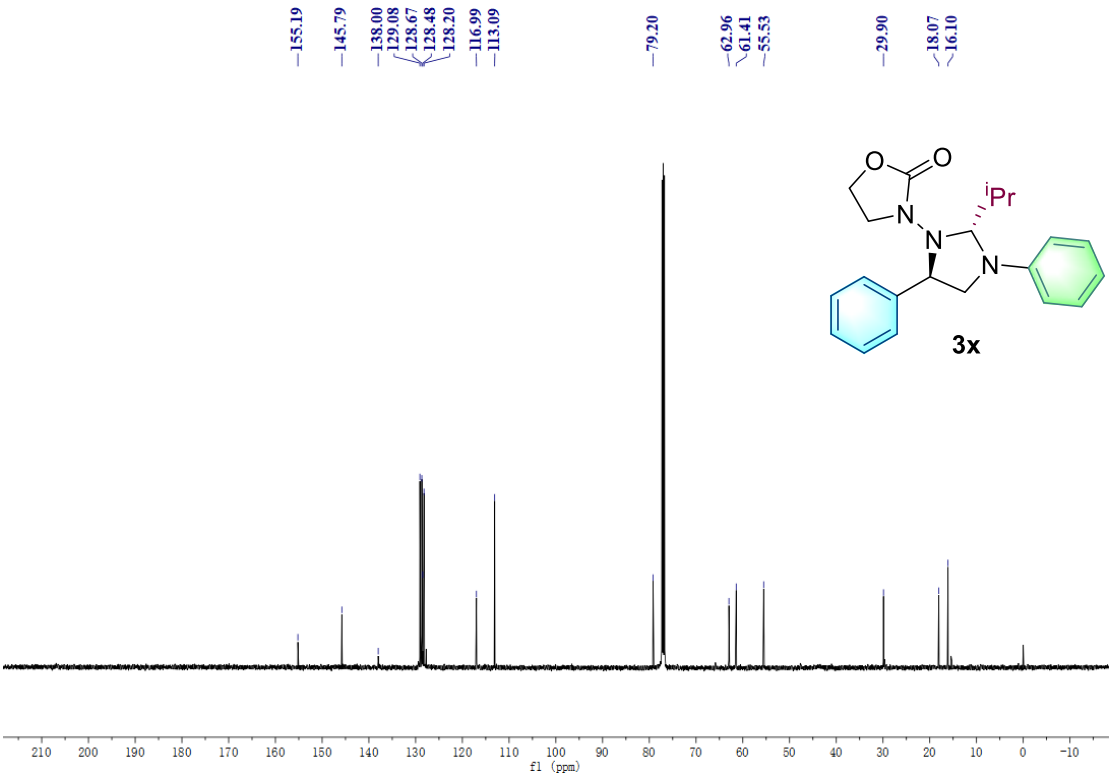


$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **3x**

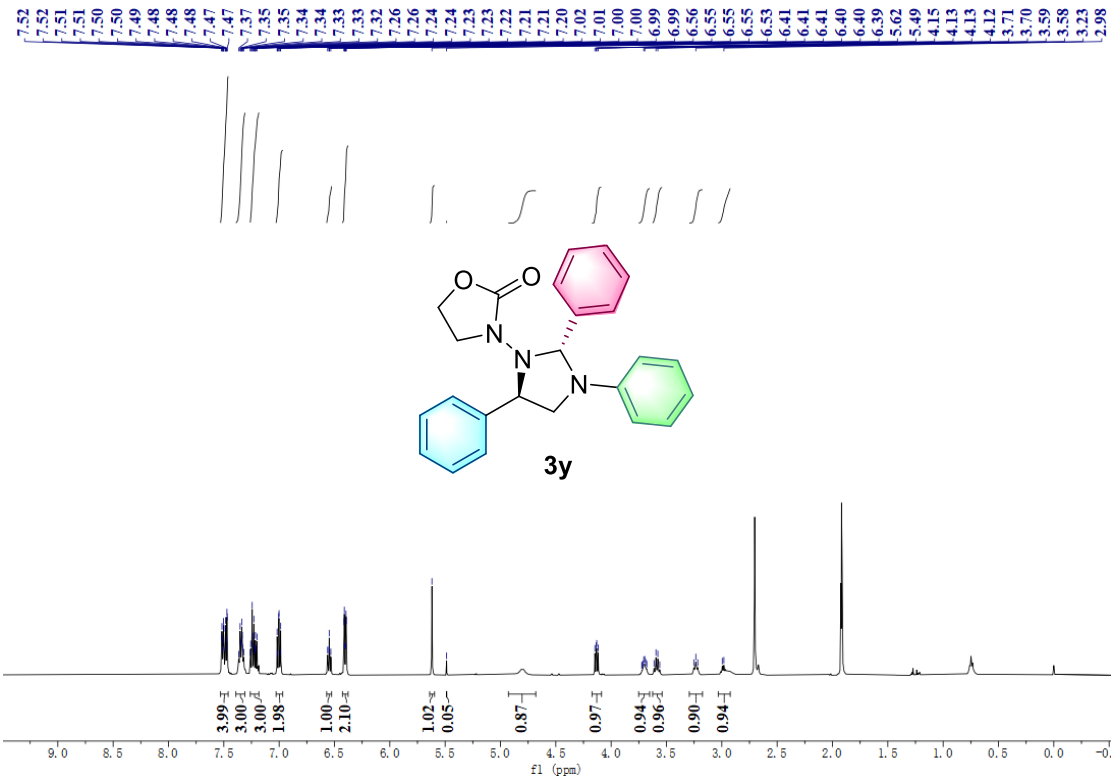


$CDCl_3$; 500 MHz

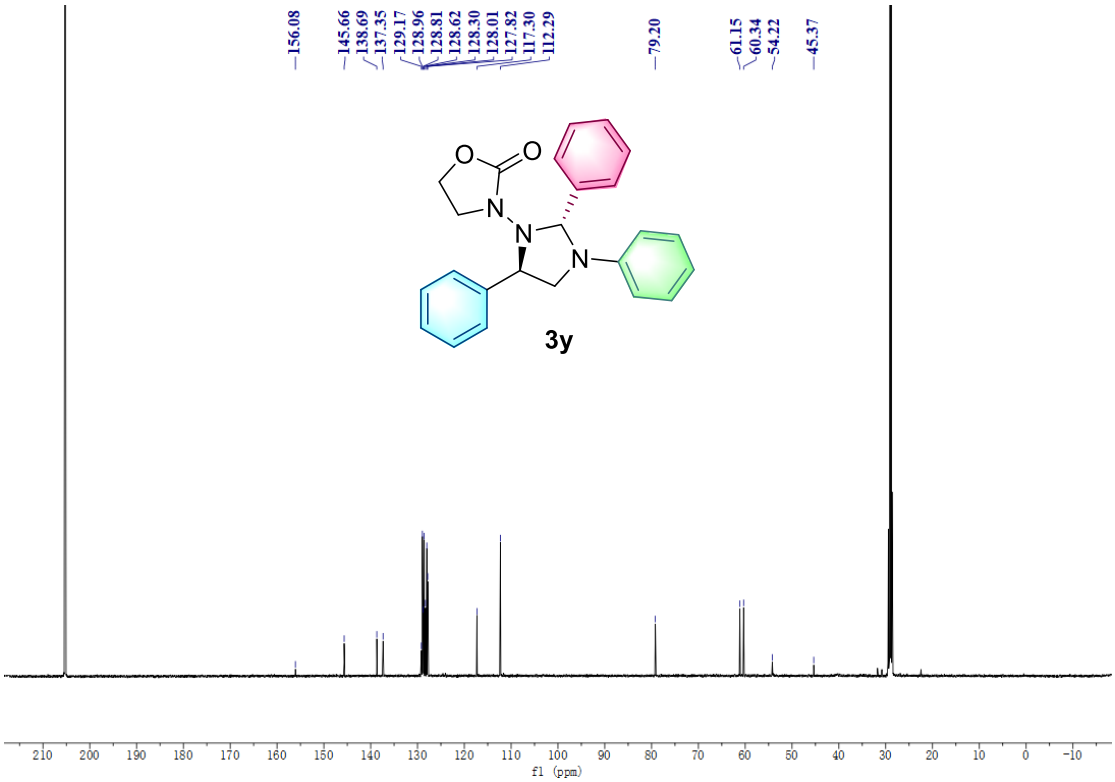


$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **3y**

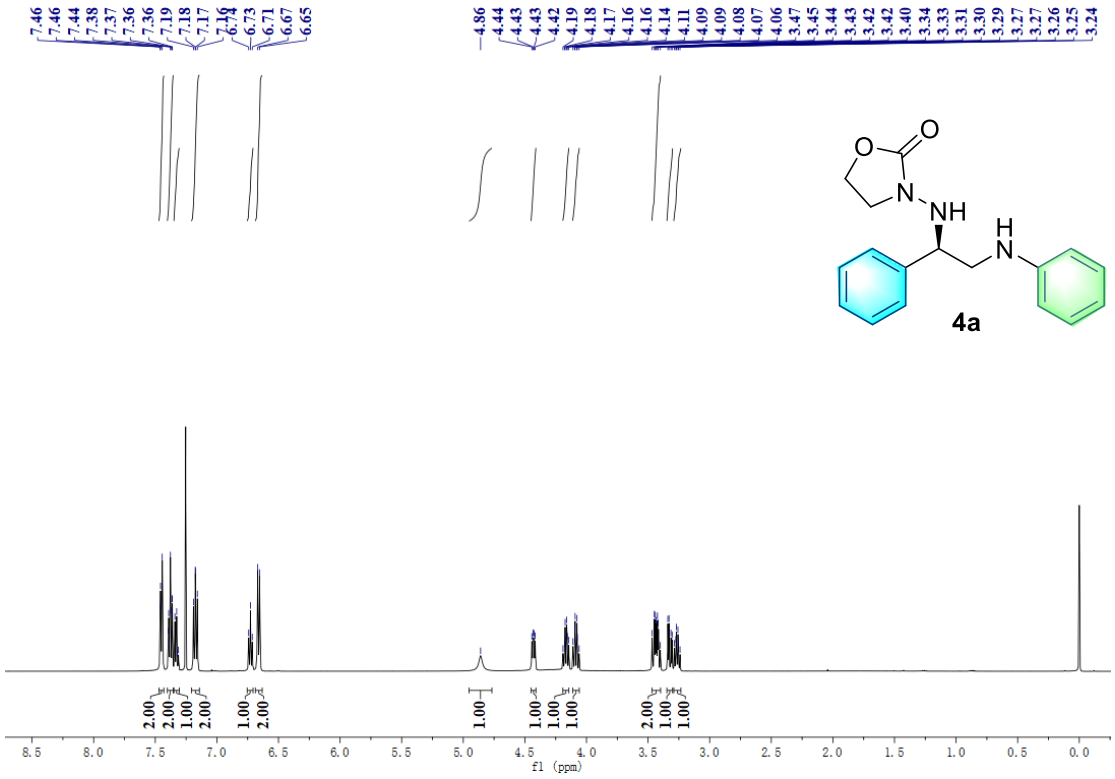


Acetone-d_6; 500 MHz

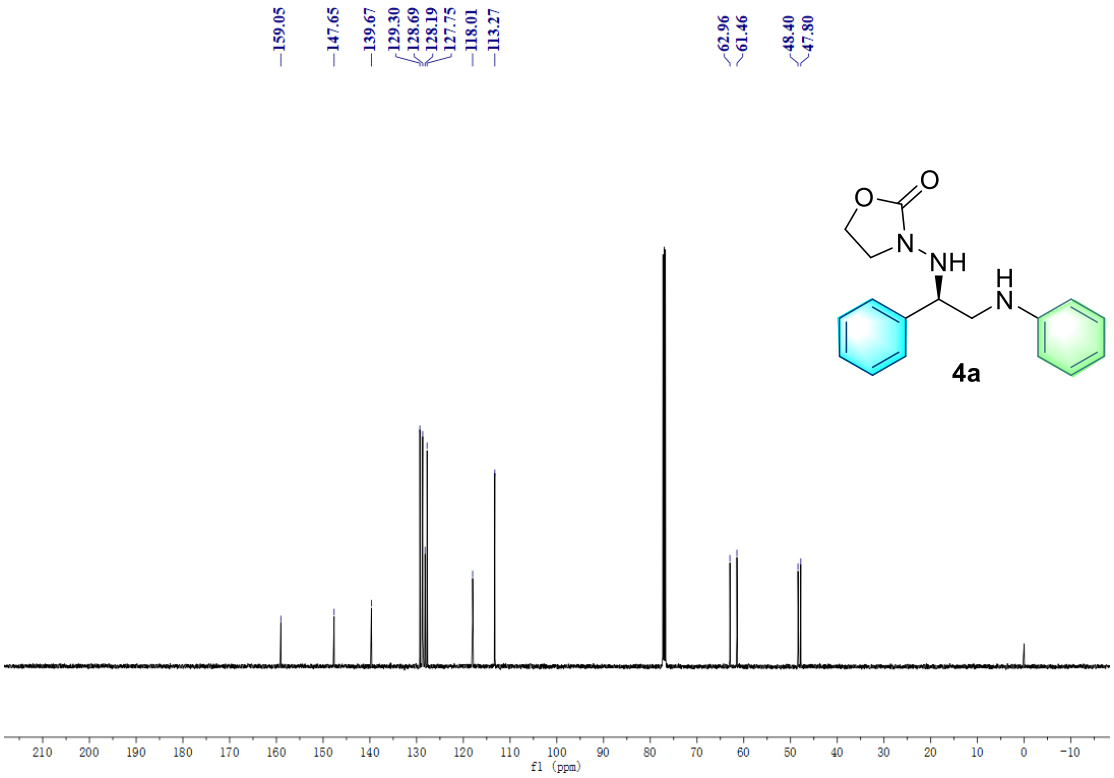


Acetone-d_6; 125 MHz

^{1}H and ^{13}C-NMR of **4a**

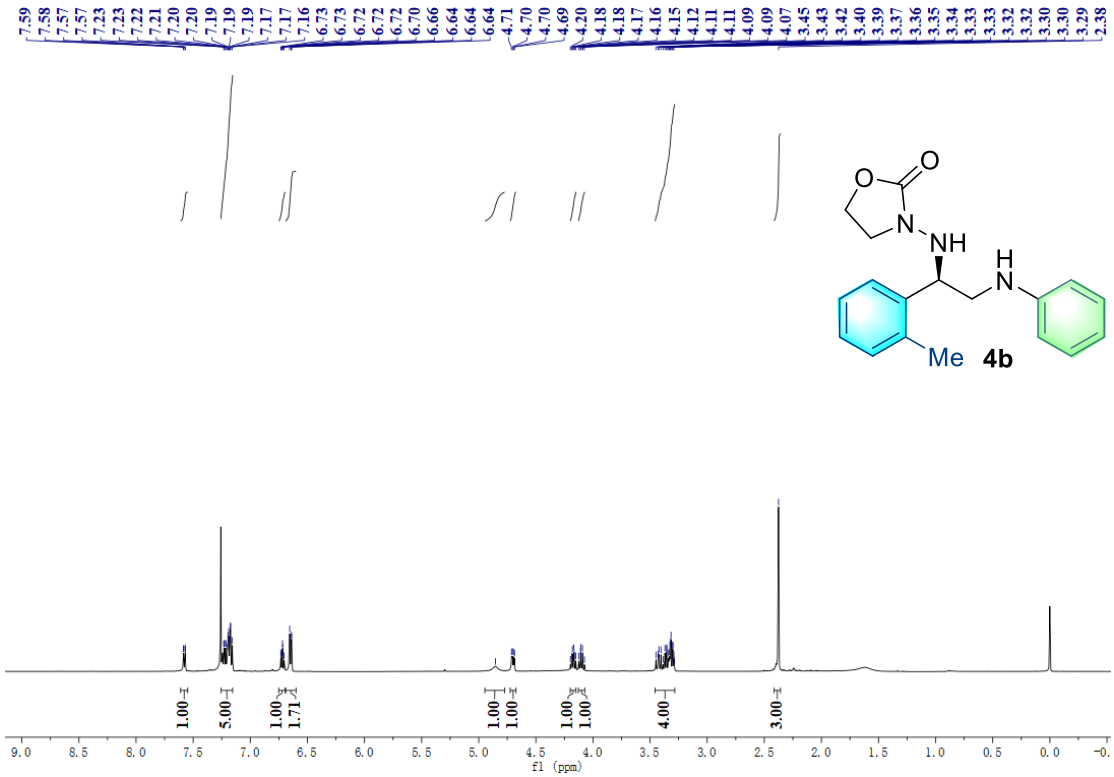


$CDCl_3$; 500 MHz

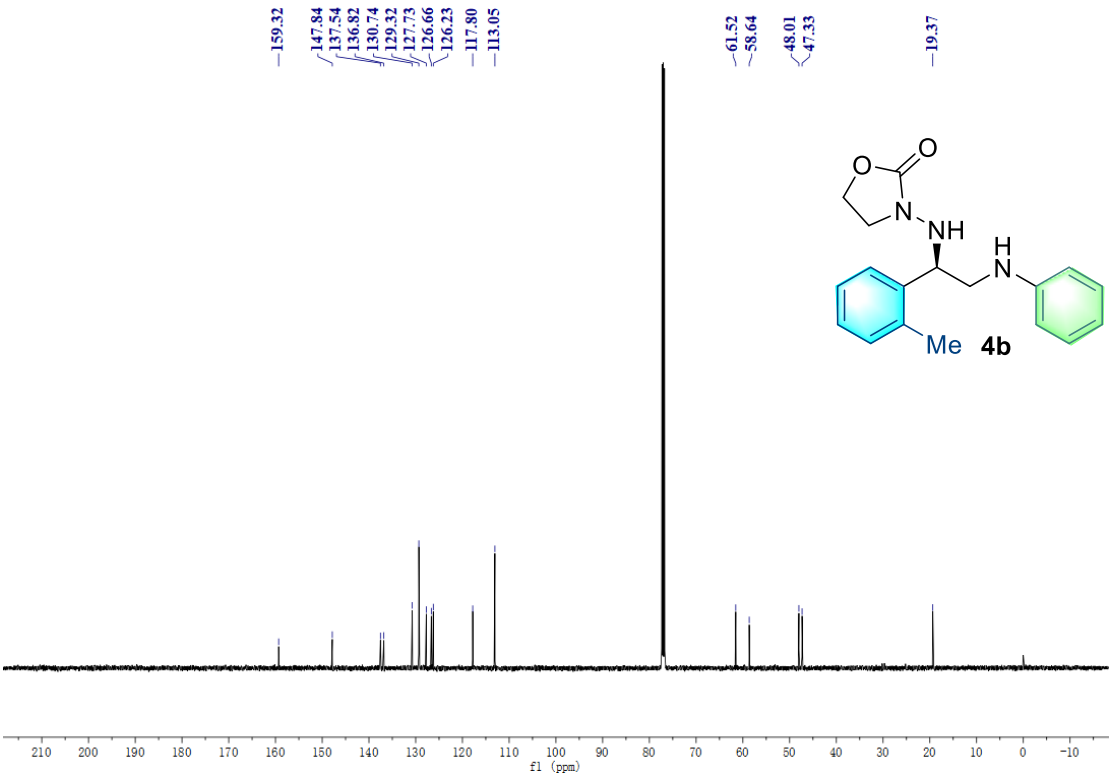


$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **4b**

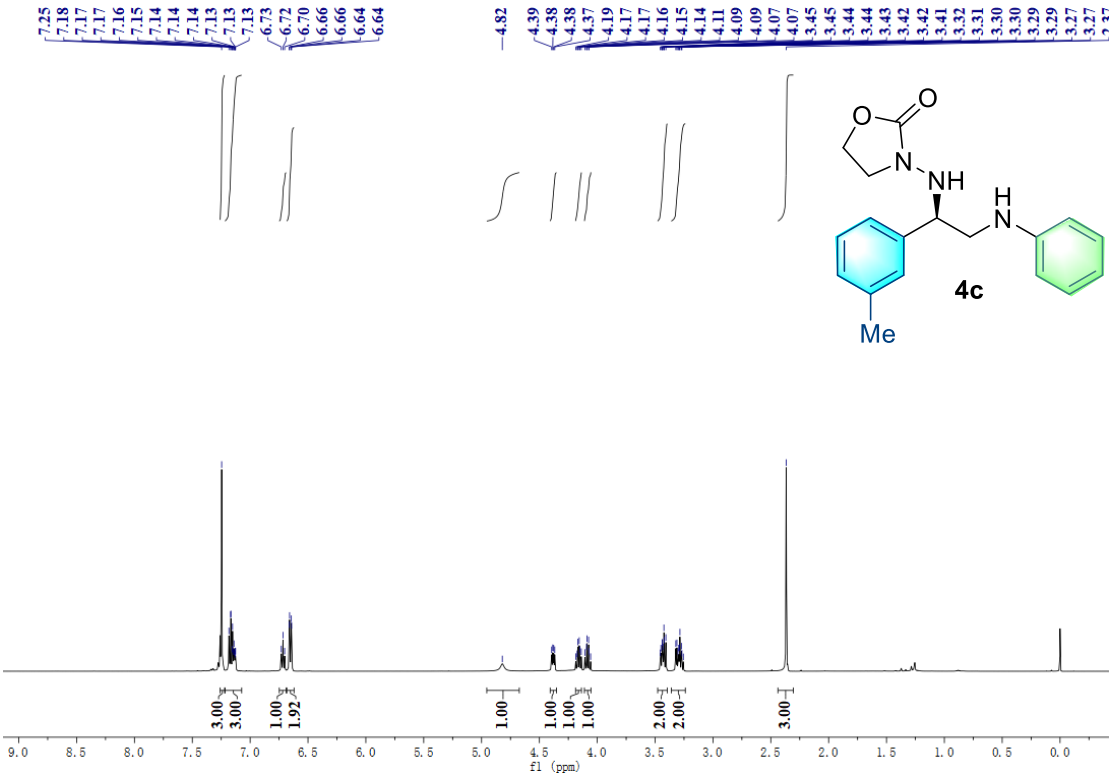


$CDCl_3$; 500 MHz

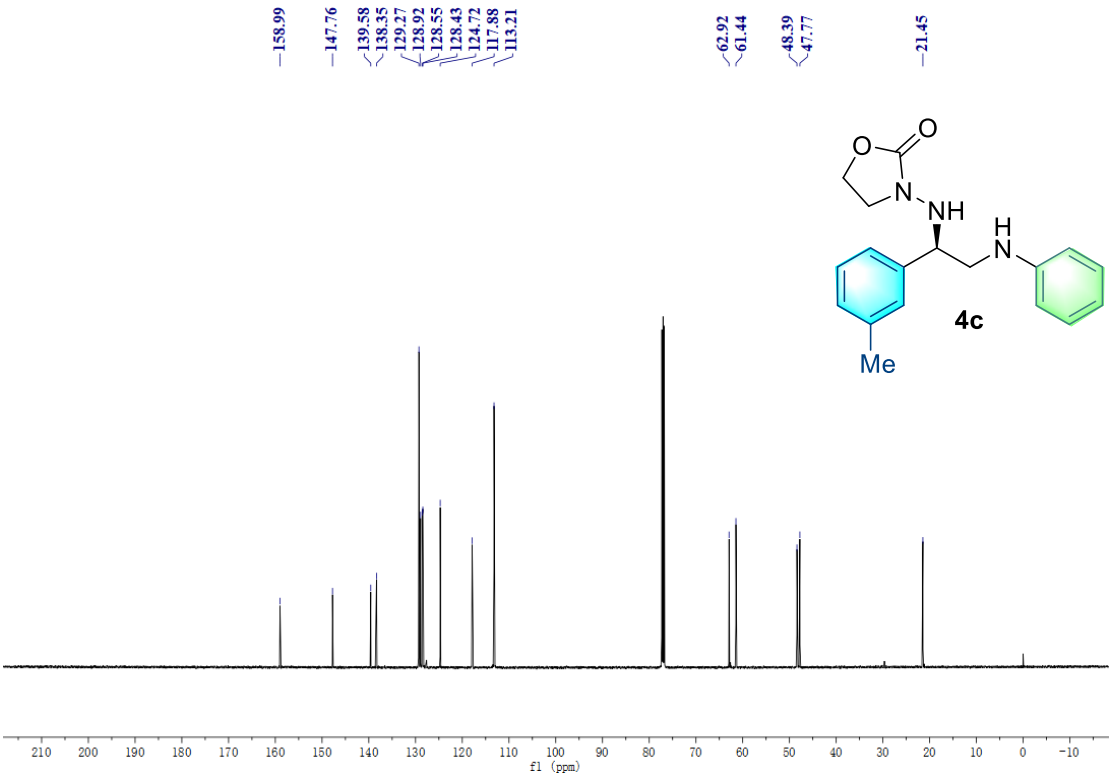


$CDCl_3$; 125 MHz

^1H and ^{13}C-NMR of **4c**

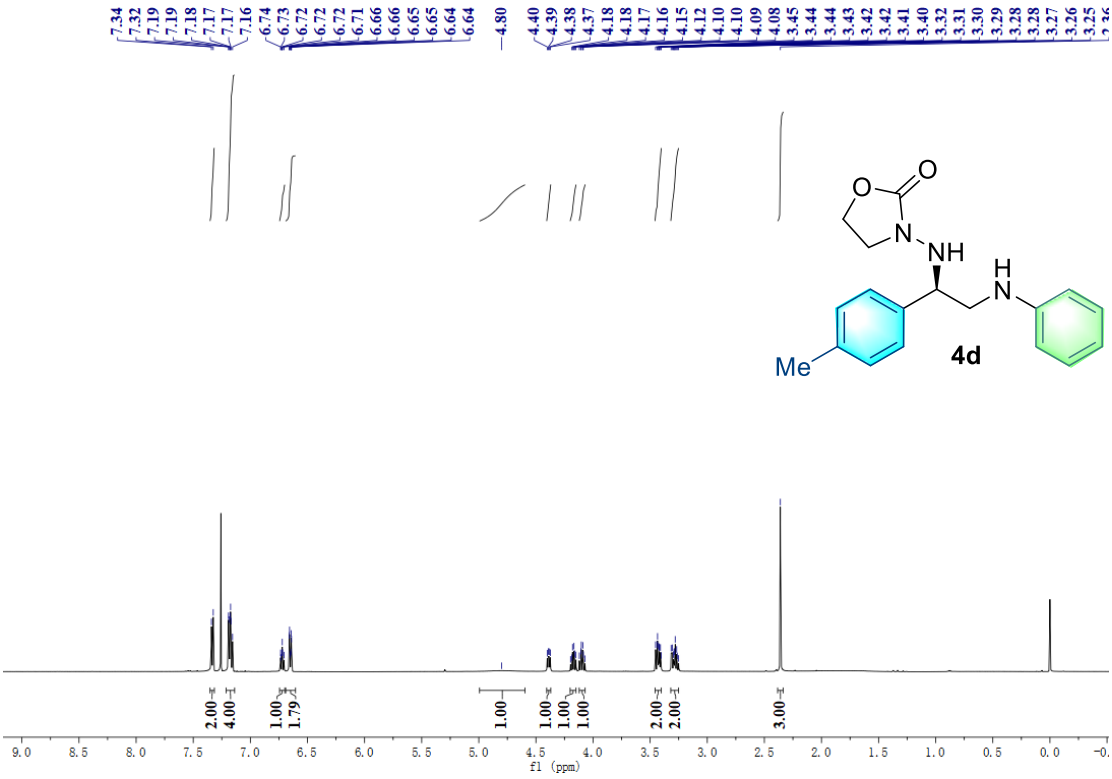


$CDCl_3$; 500 MHz

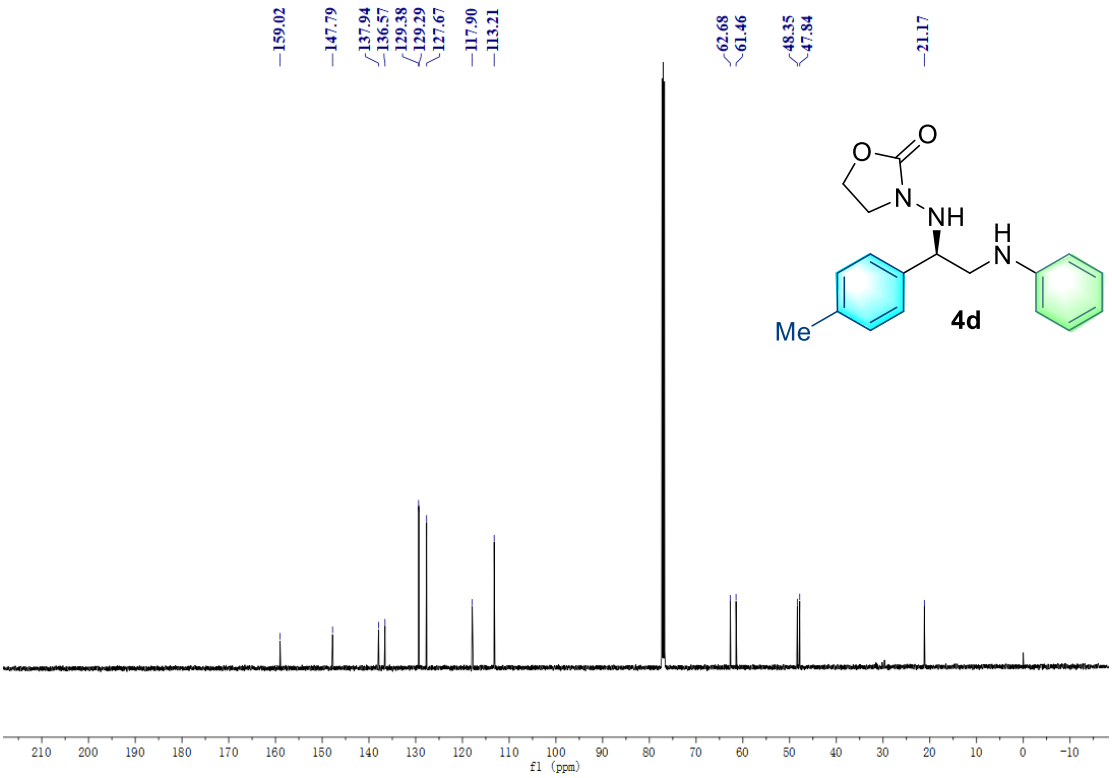


$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **4d**

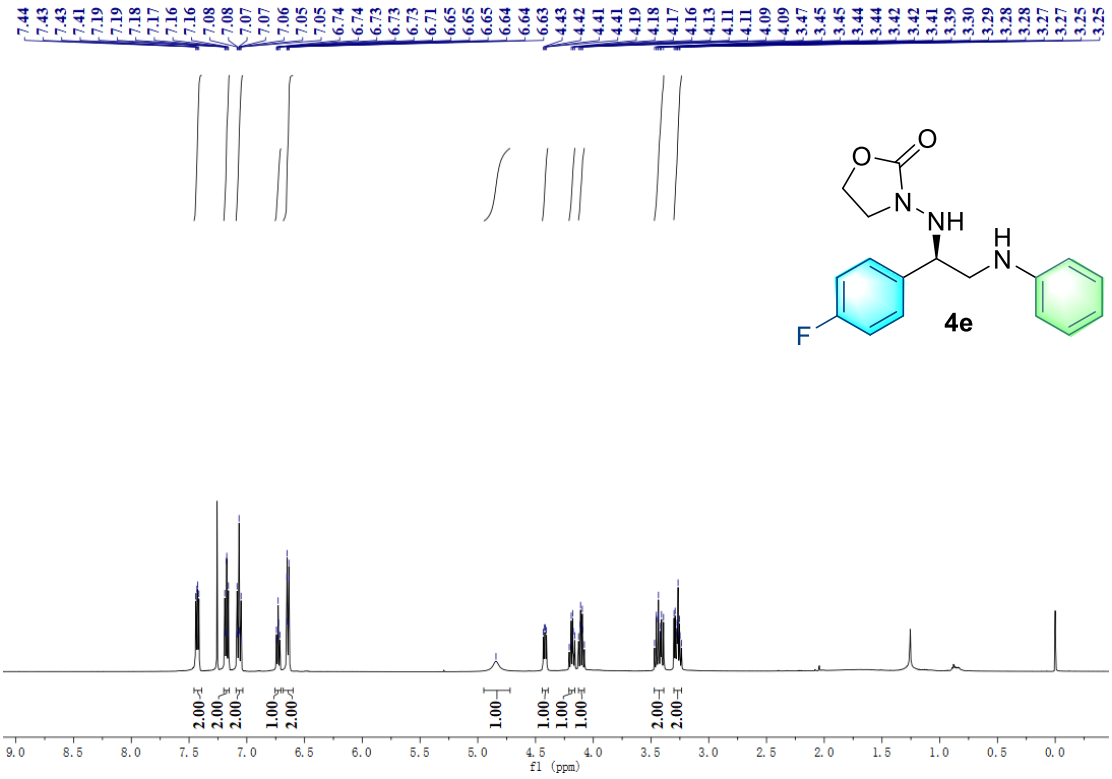


$CDCl_3$; 500 MHz

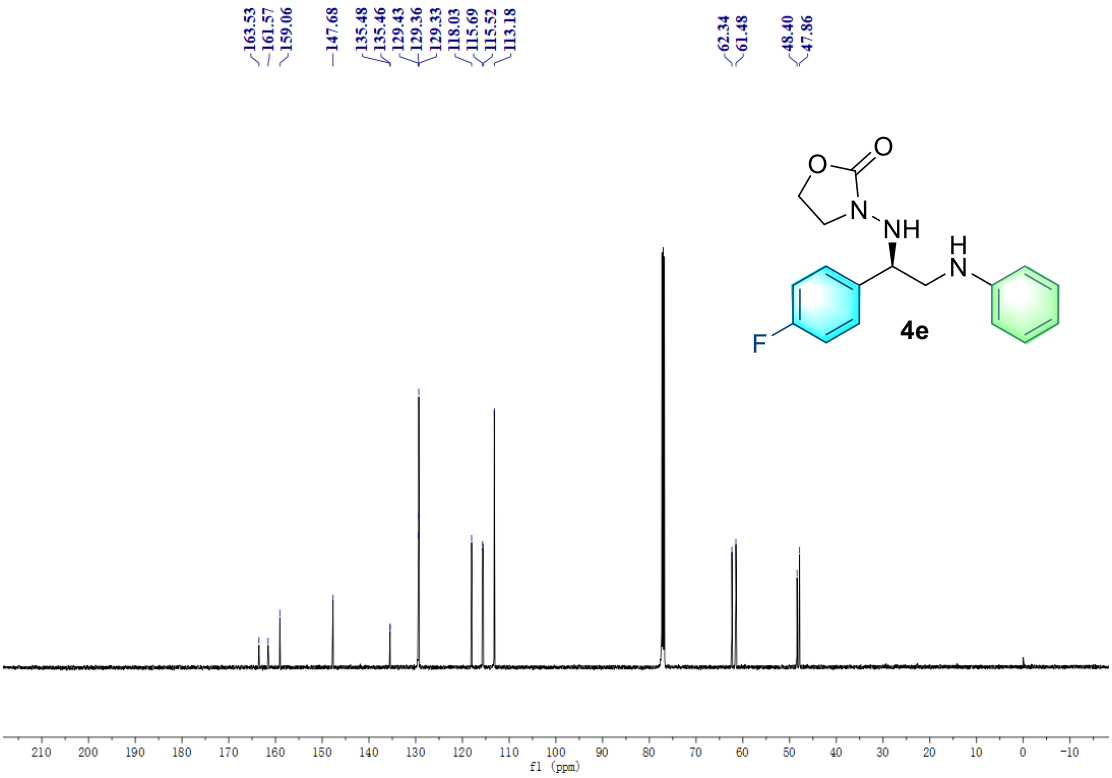


$CDCl_3$; 125 MHz

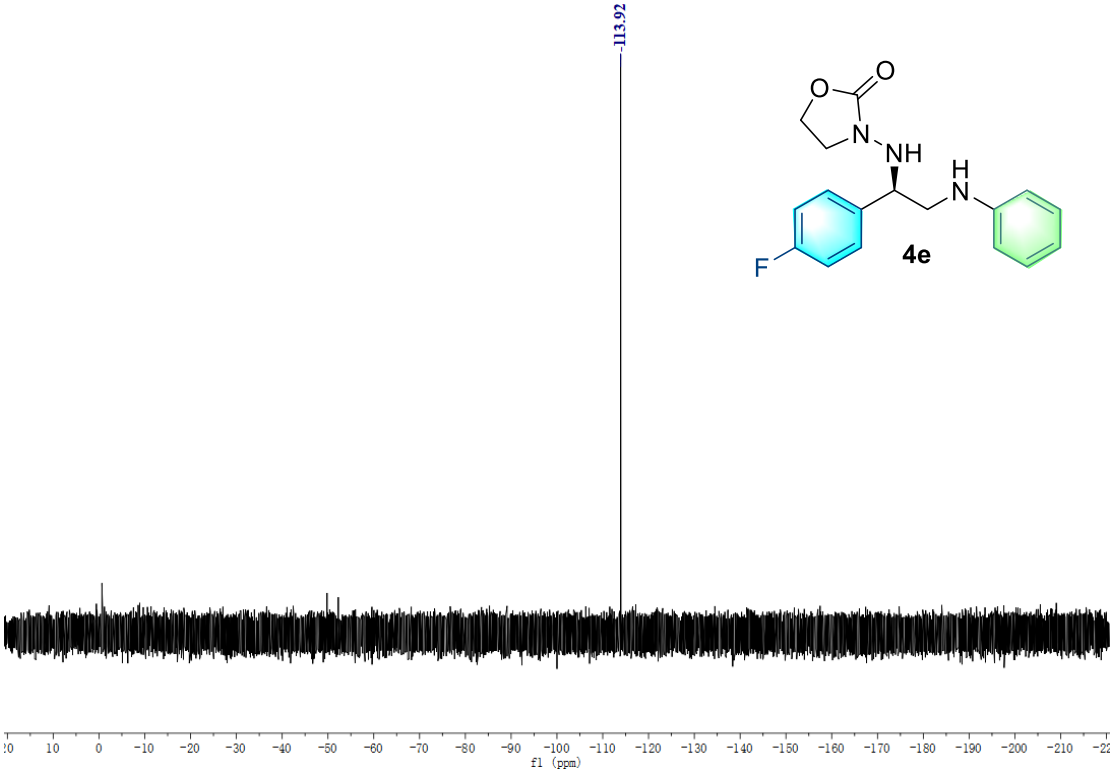
^{1}H and ^{13}C-NMR of **4e**



$CDCl_3$; 500 MHz

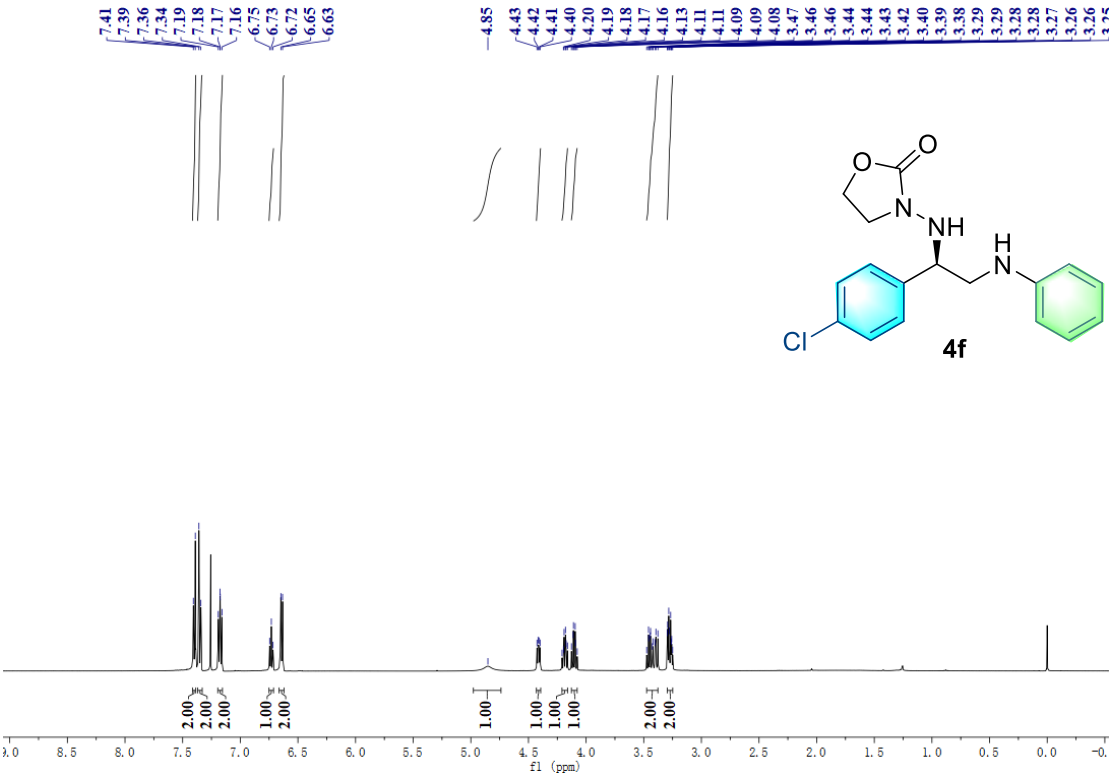


$CDCl_3$; 125 MHz

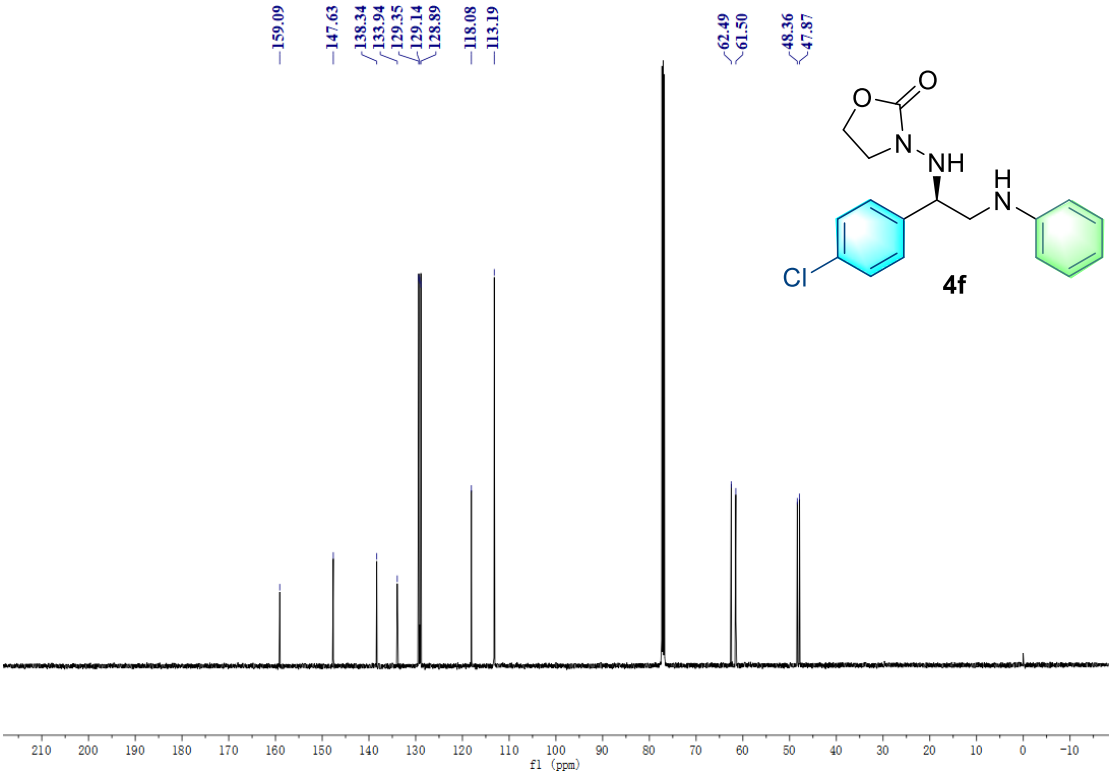


$CDCl_3$; 471 MHz

^{1}H and ^{13}C-NMR of **4f**

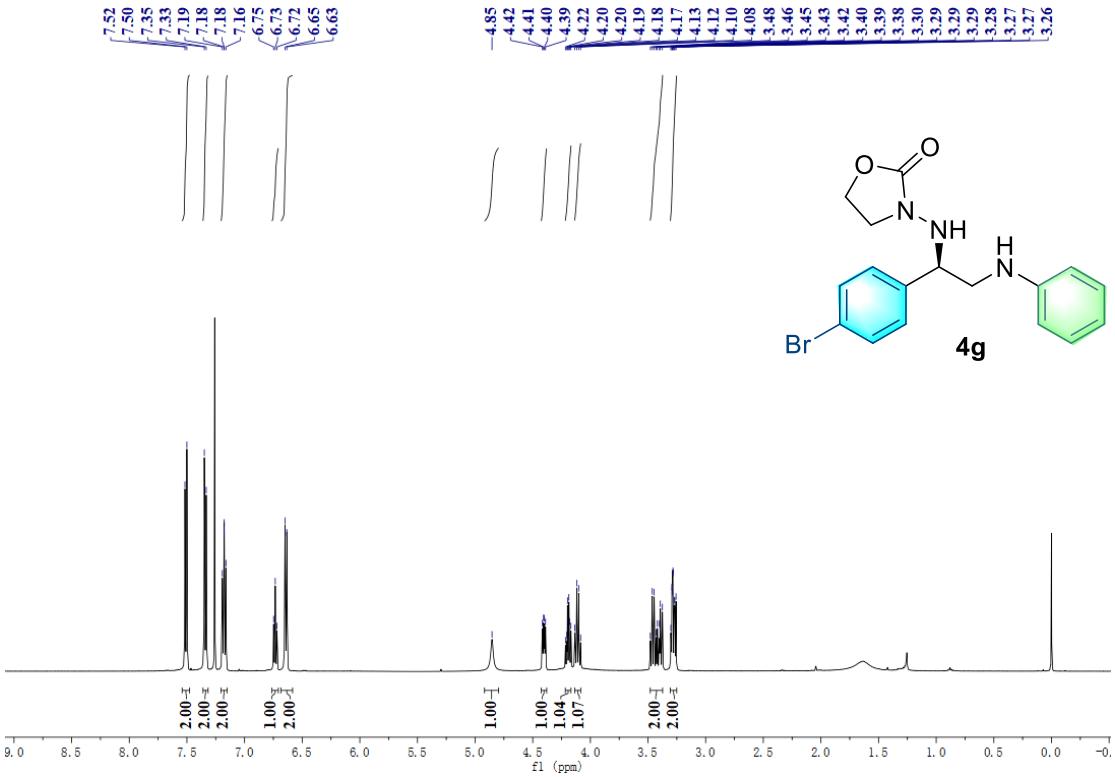


$CDCl_3$; 500 MHz

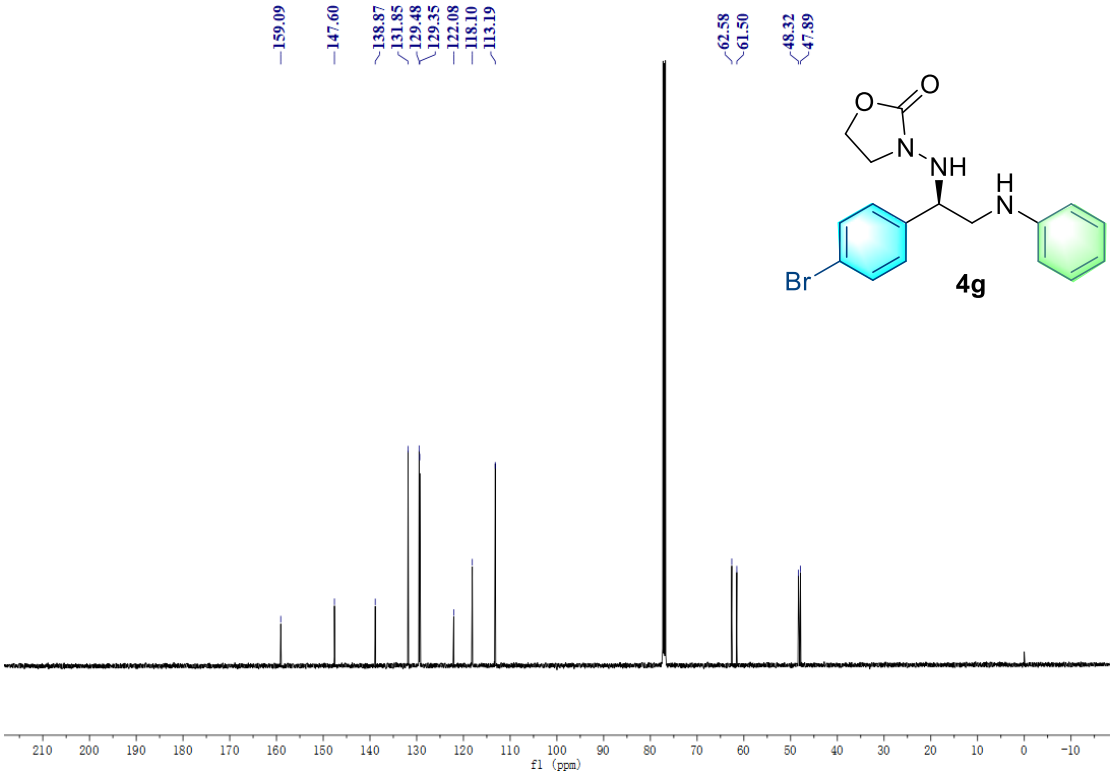


$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **4f**

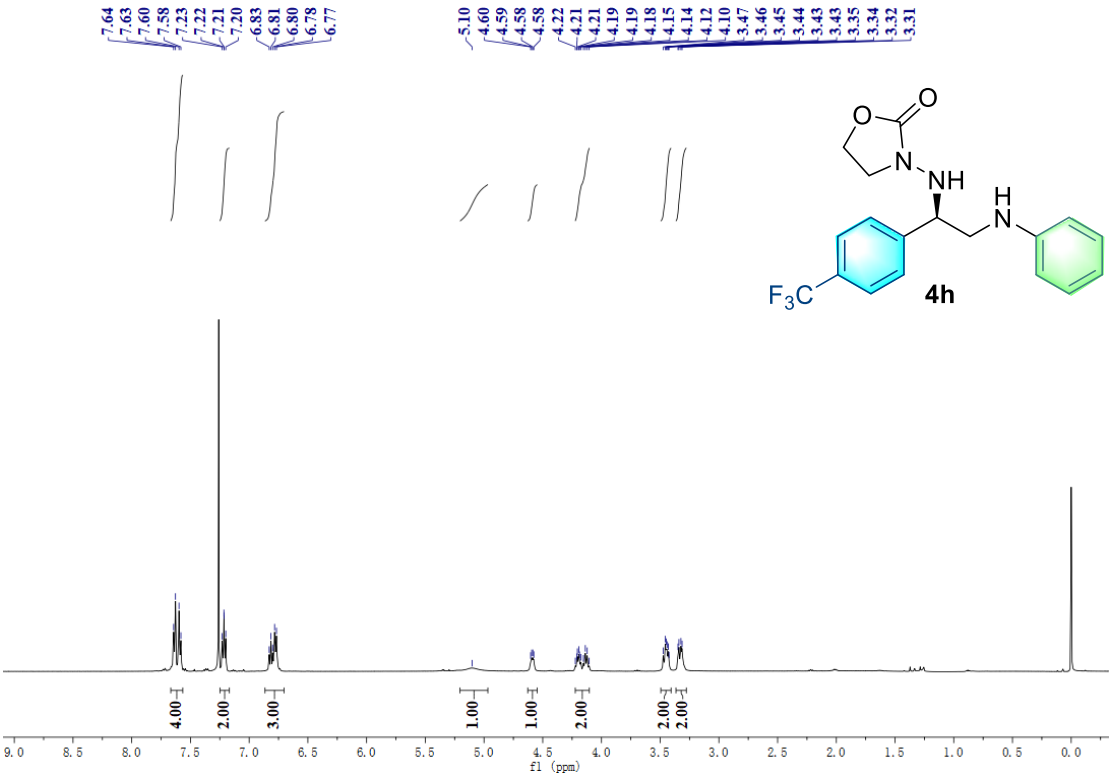


$CDCl_3$; 500 MHz

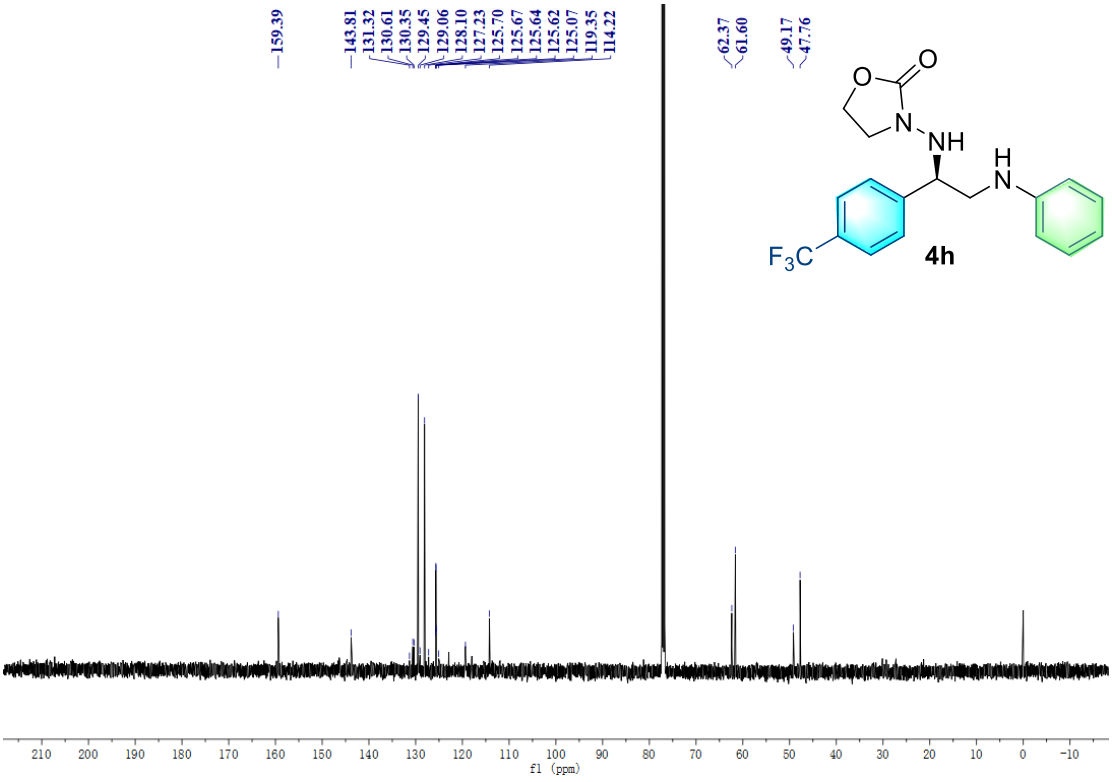


$CDCl_3$; 125 MHz

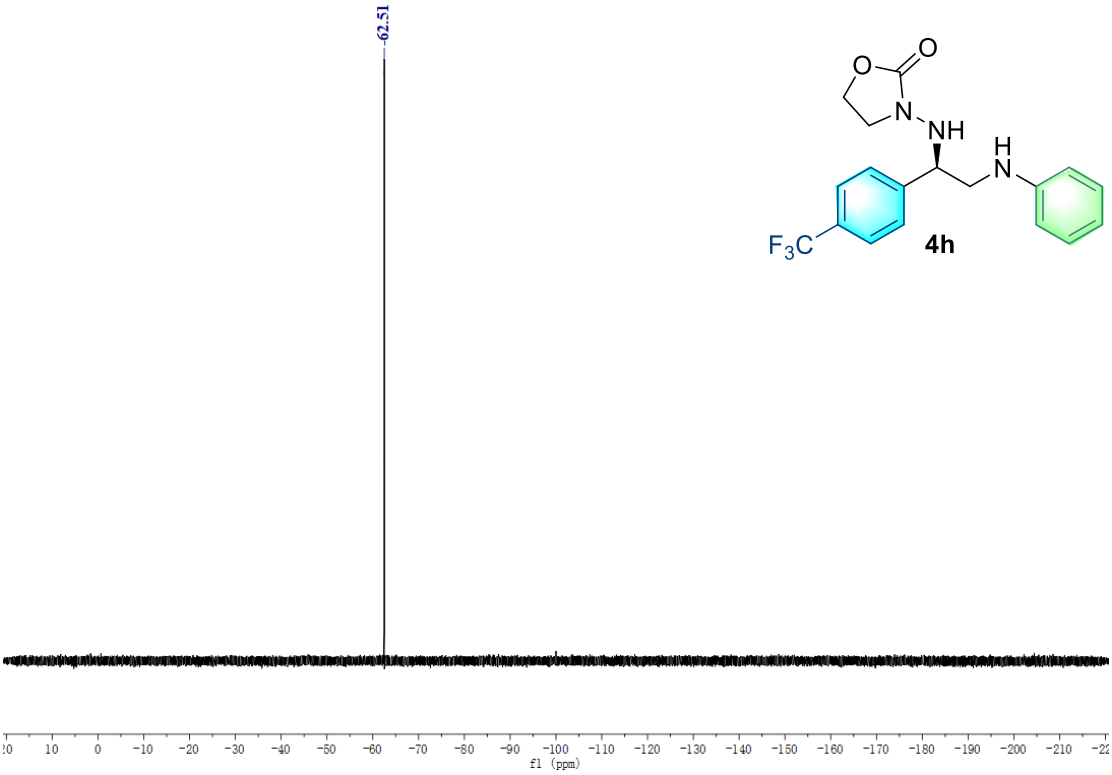
^{1}H and ^{13}C-NMR of **4f**



$CDCl_3$; 500 MHz

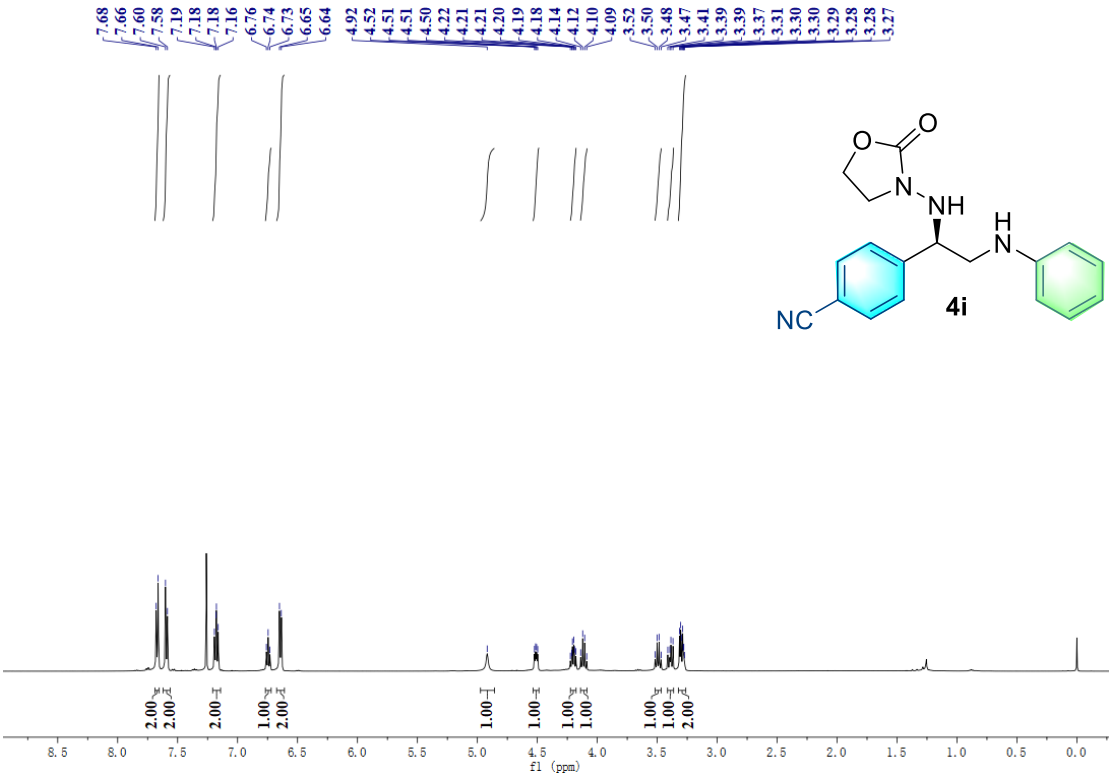


$CDCl_3$; 125 MHz

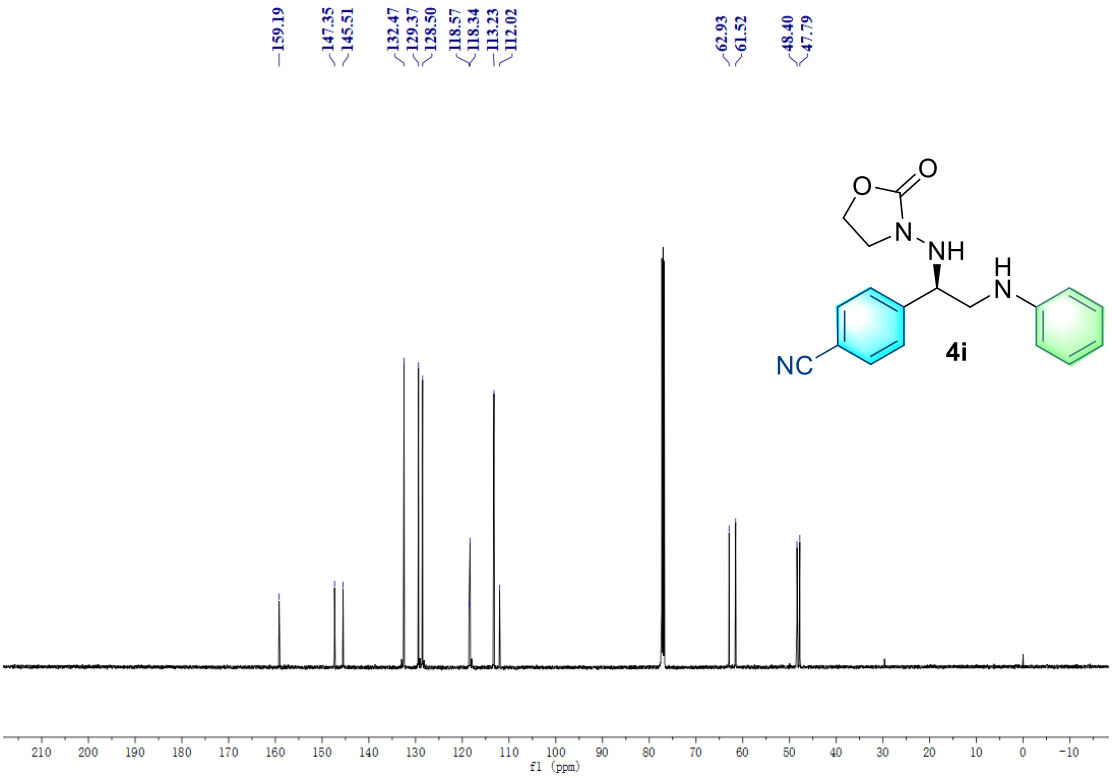


$CDCl_3$; 471 MHz

^{1}H and ^{13}C-NMR of **4i**

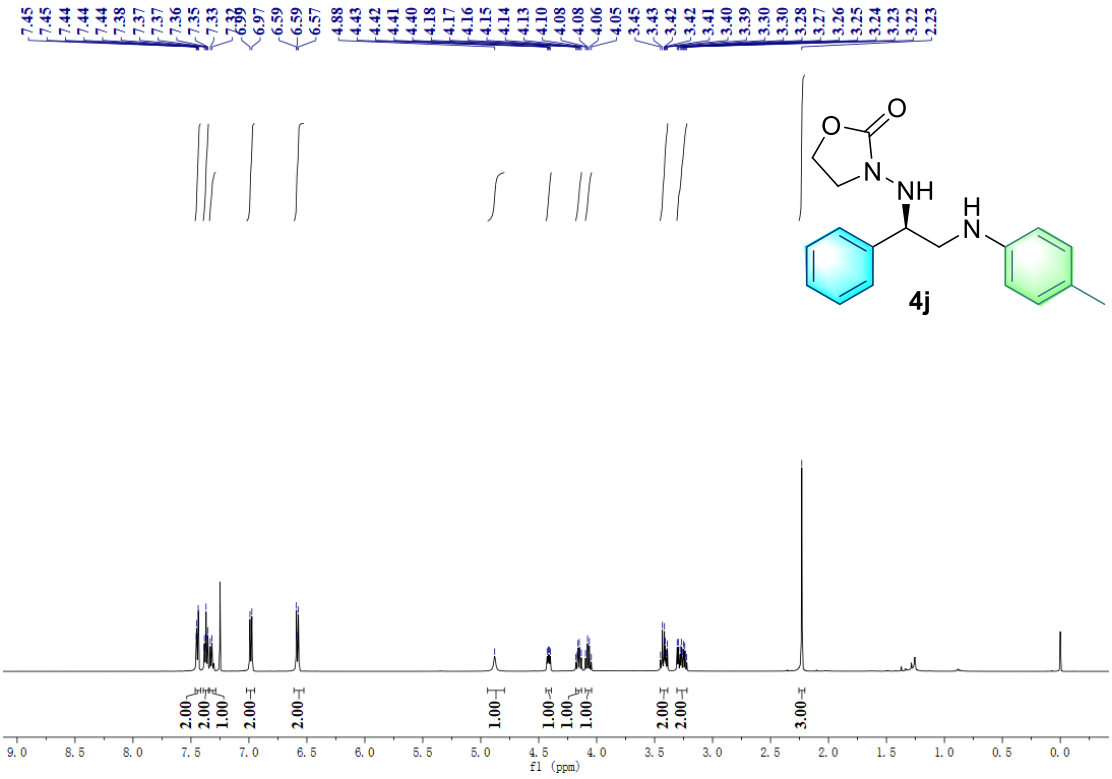


$CDCl_3$; 500 MHz

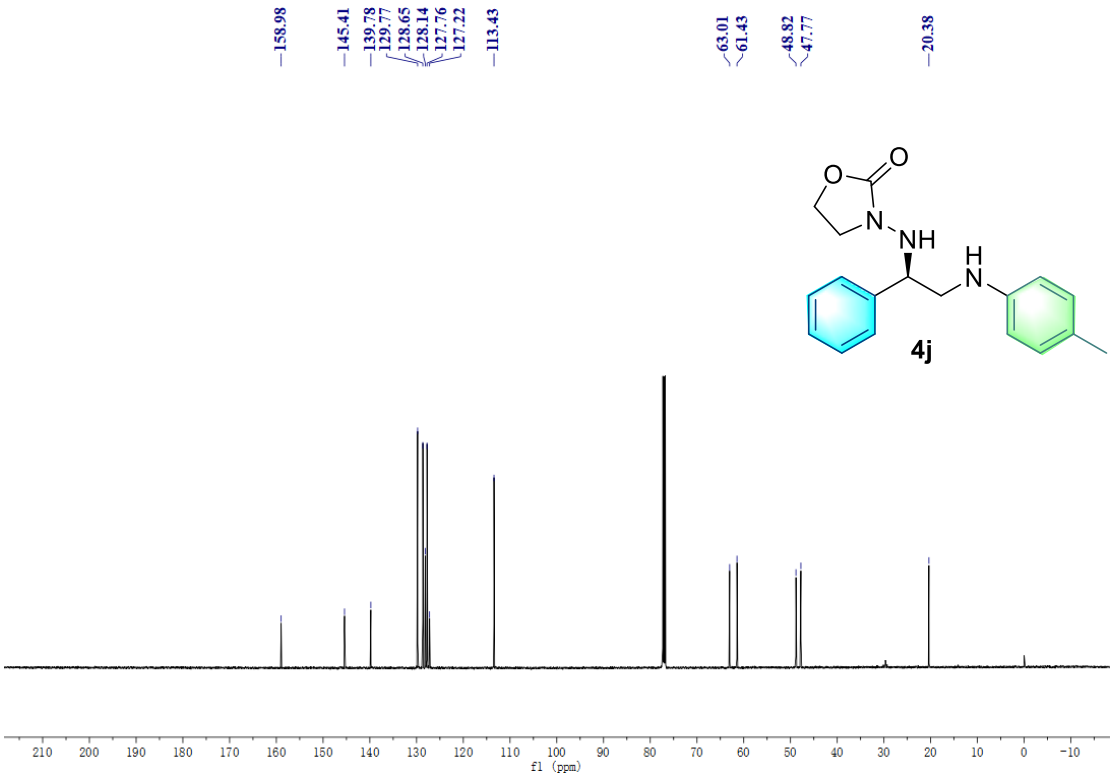


$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **4j**

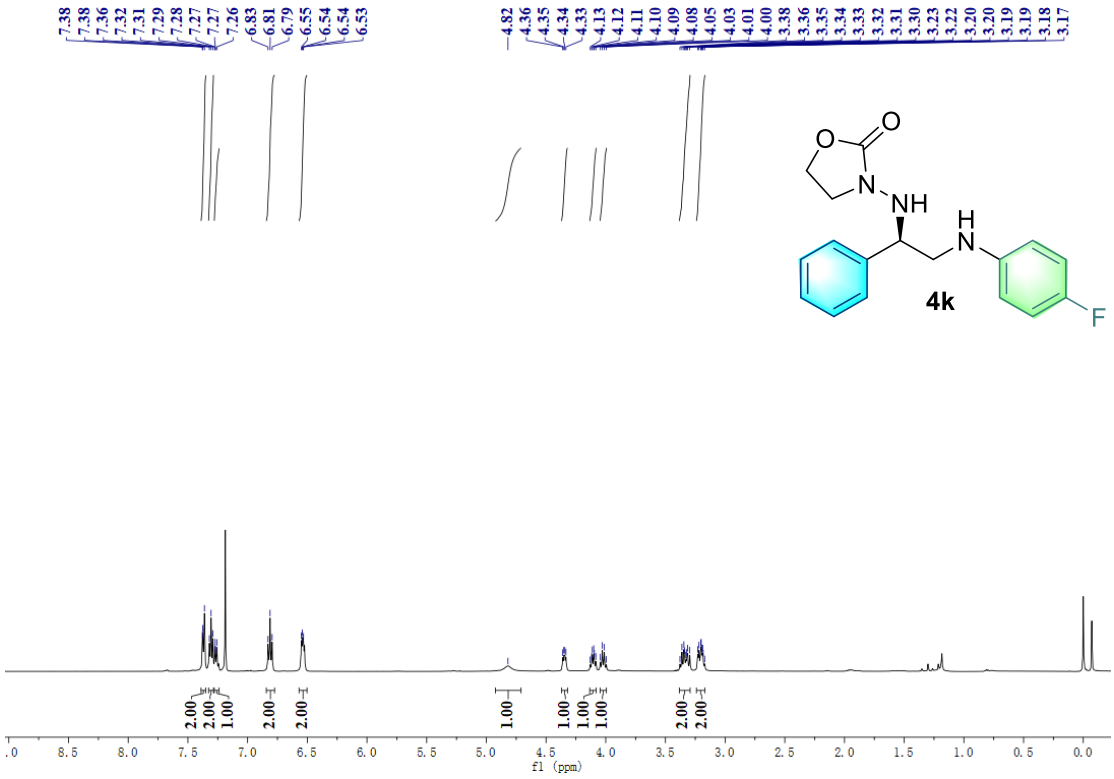


$CDCl_3$; 500 MHz

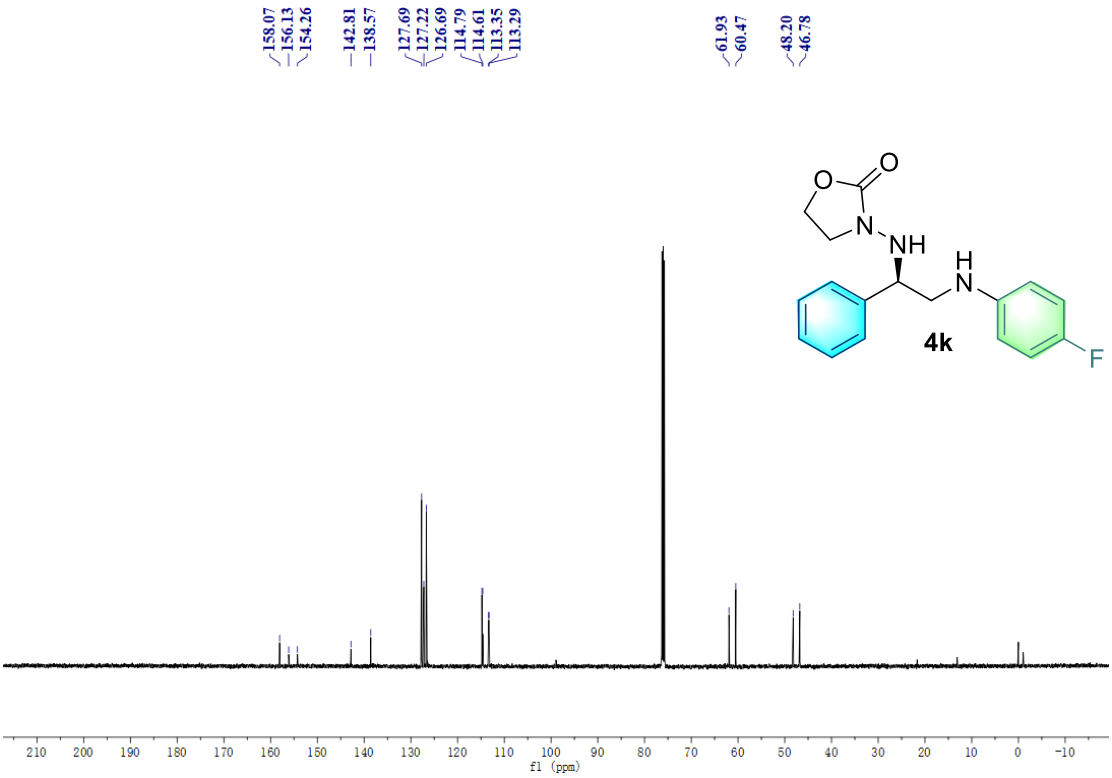


$CDCl_3$; 125 MHz

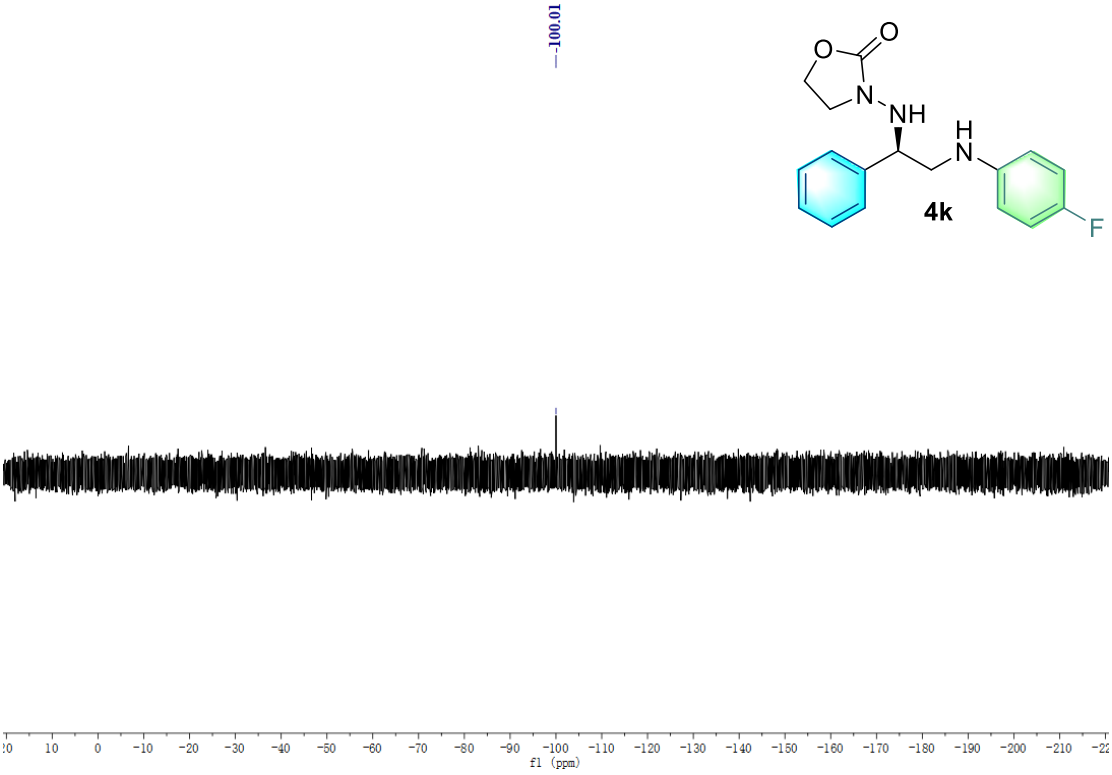
^{1}H and ^{13}C-NMR of **4k**



$CDCl_3$; 500 MHz

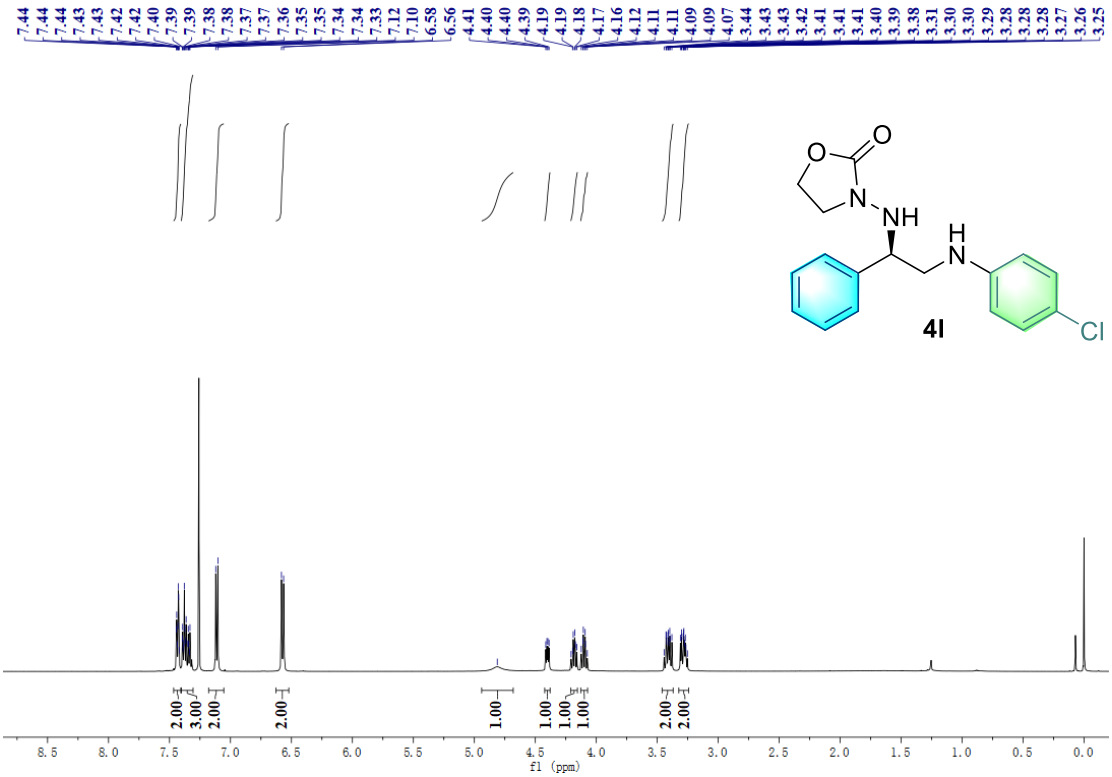


$CDCl_3$; 125 MHz

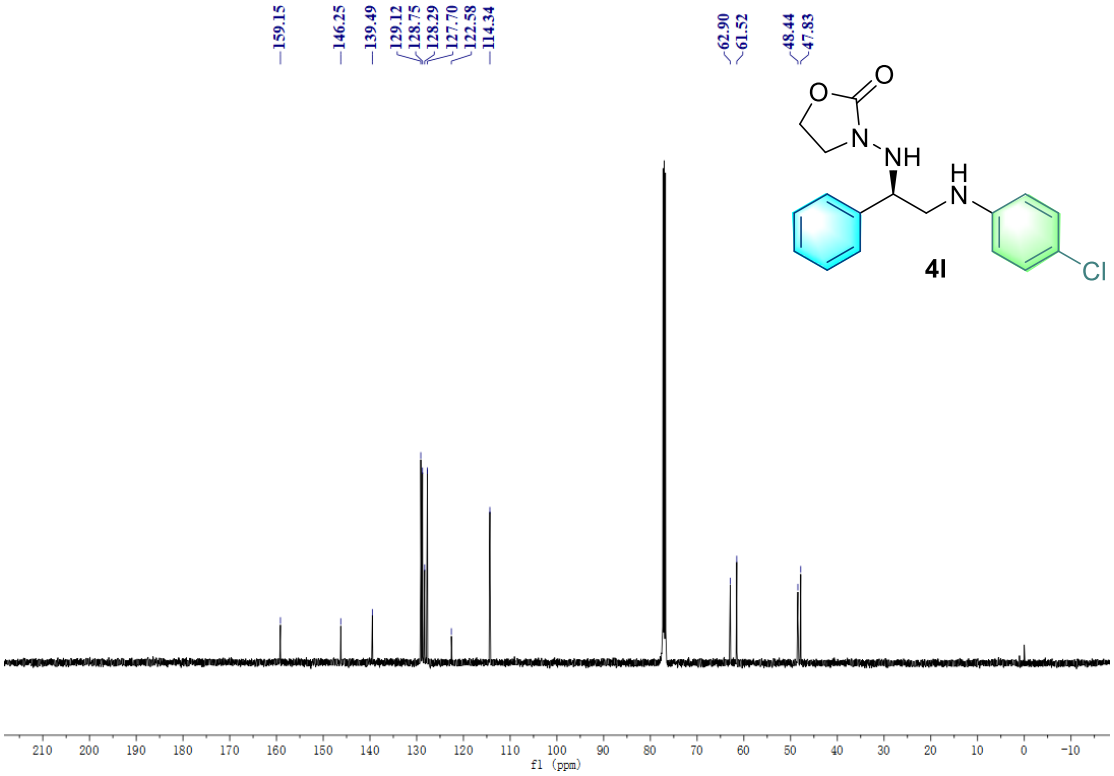


$CDCl_3$; 471 MHz

^{1}H and ^{13}C-NMR of **4l**

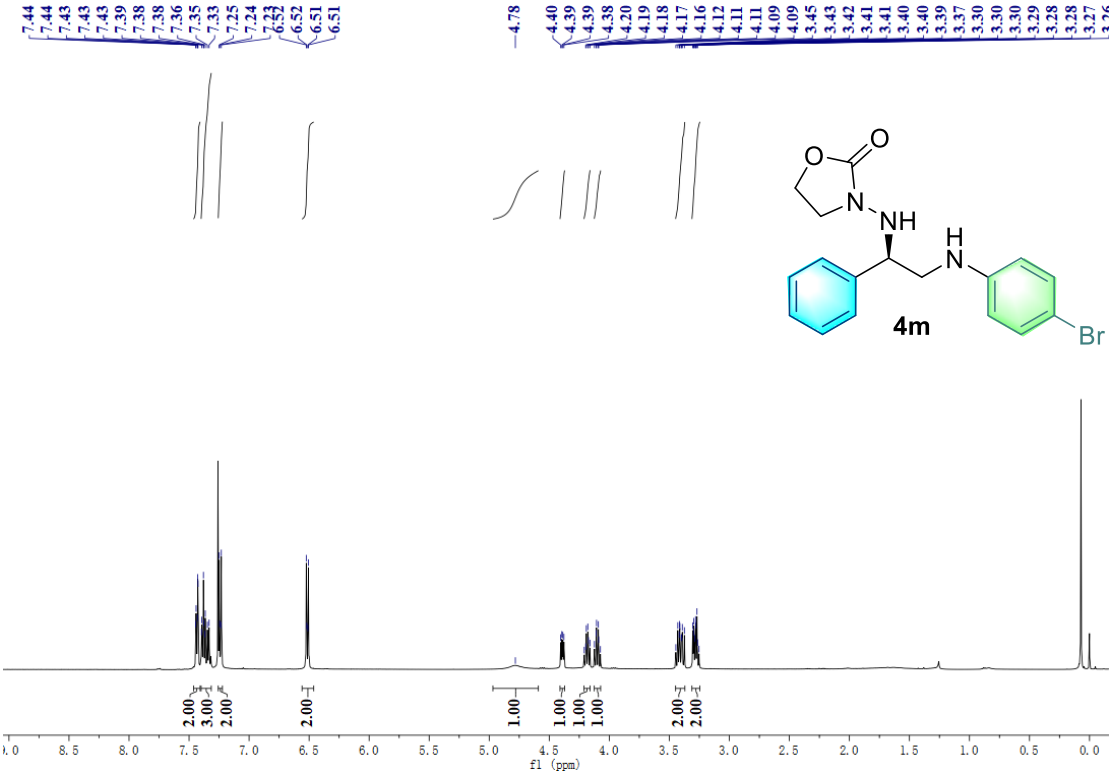


$CDCl_3$; 500 MHz

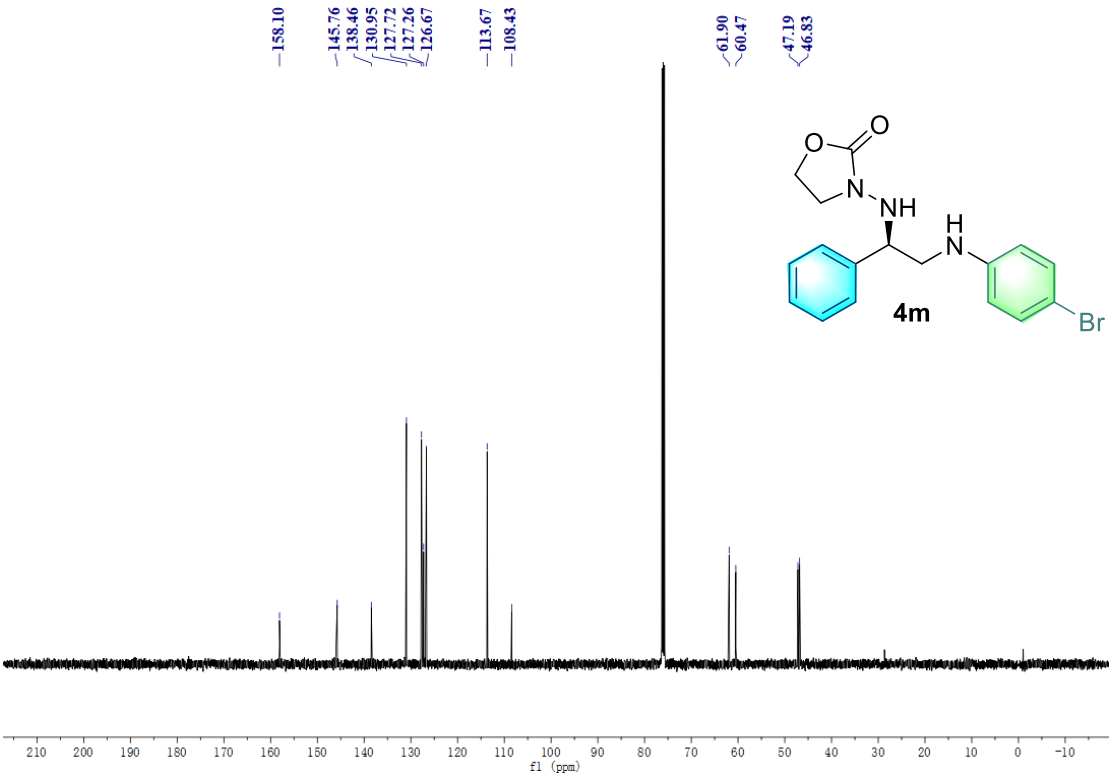


$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **4m**

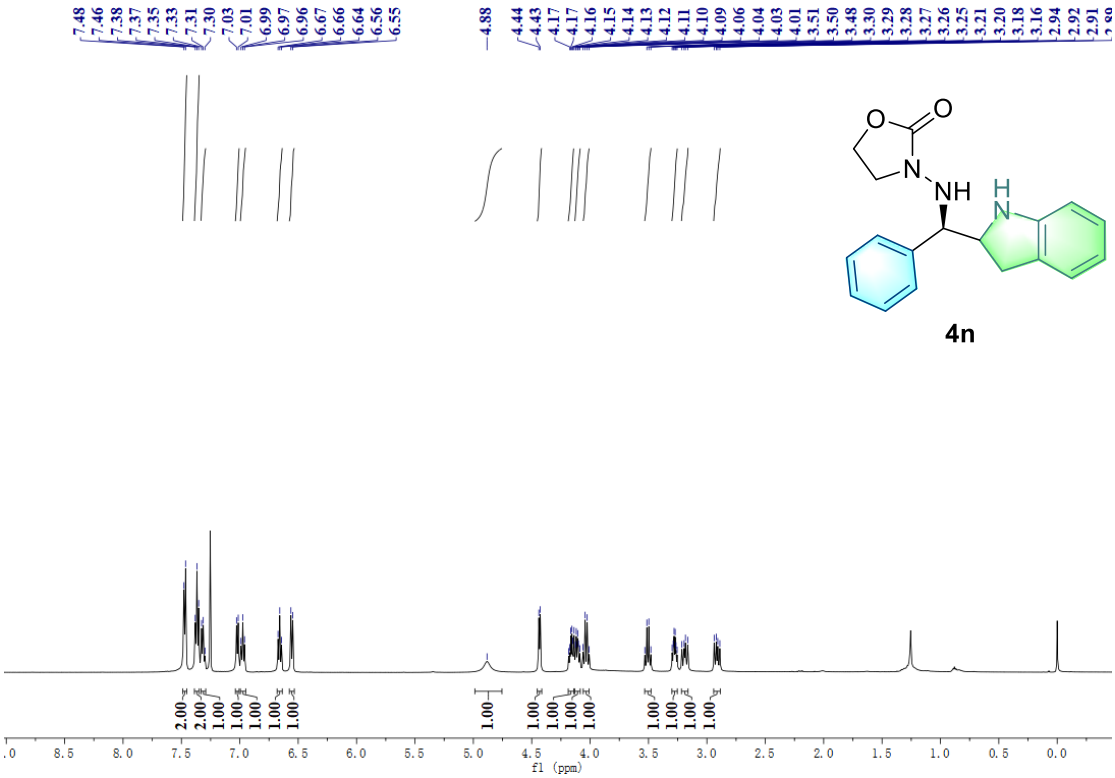


$CDCl_3$; 500 MHz

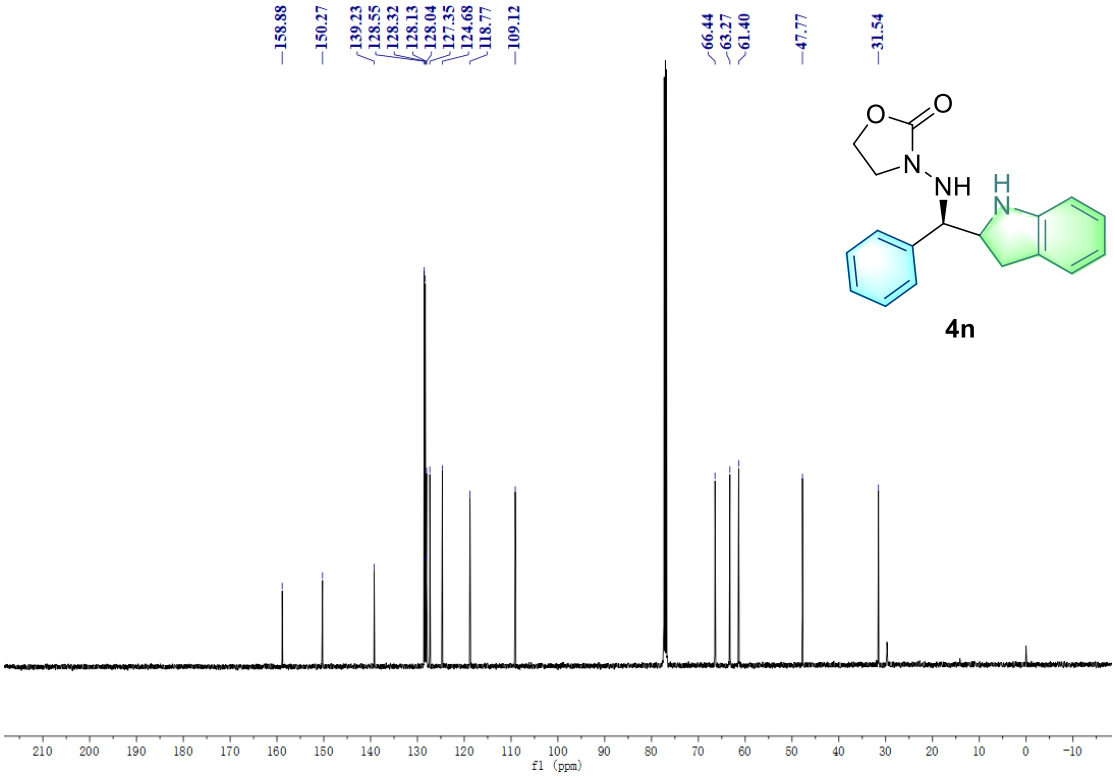


$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **4n**

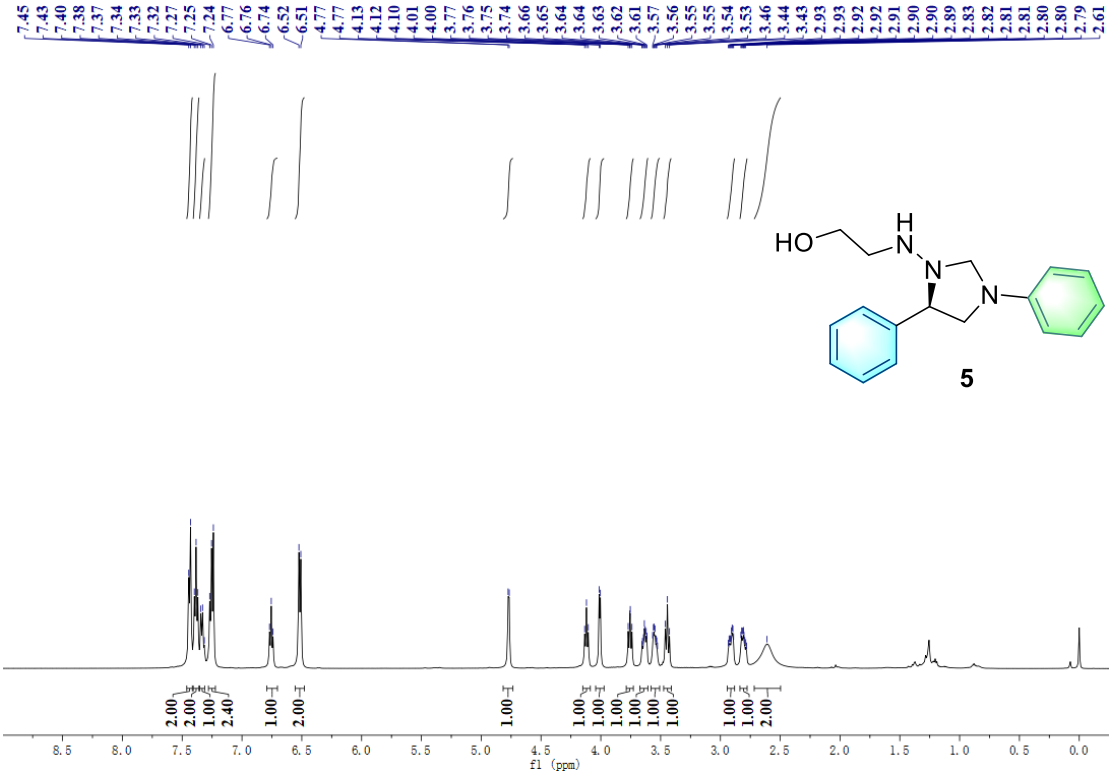


$CDCl_3$; 500 MHz

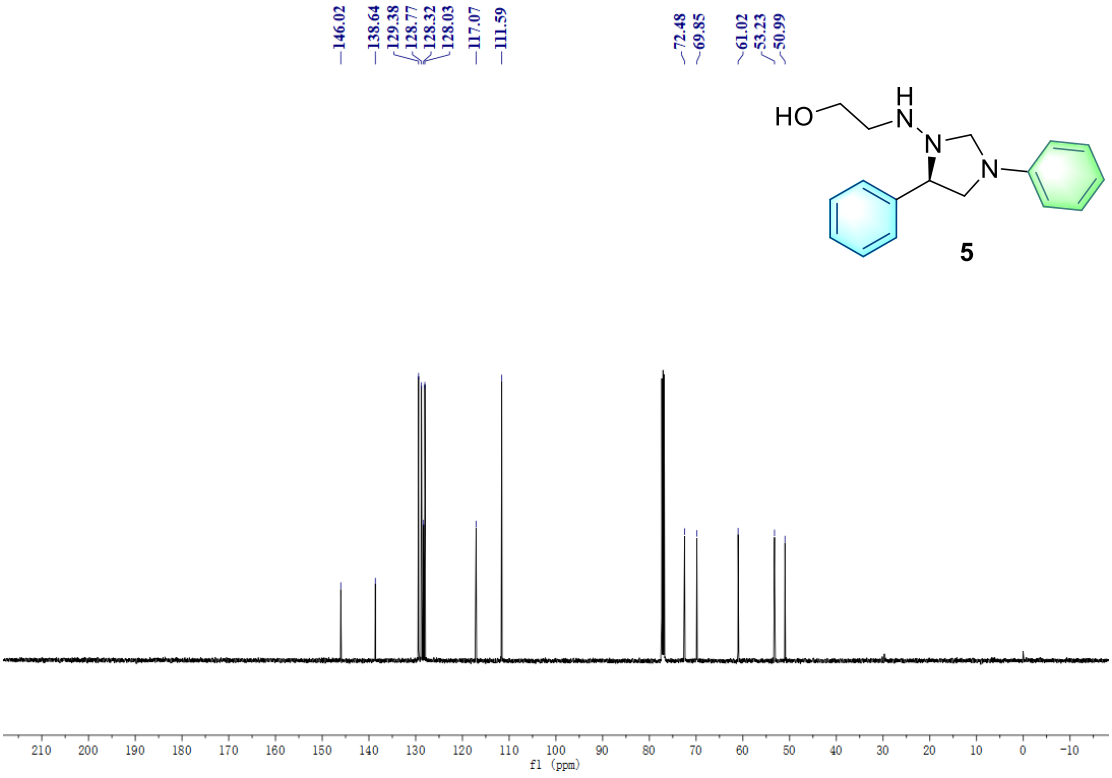


$CDCl_3$; 125 MHz

^{1}H and ^{13}C-NMR of **5**



$CDCl_3$; 500 MHz



$CDCl_3$; 125 MHz

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