

Supplementary Information

Radical-Mediated 1,3,4-Trifunctionalization Cascades of 1,3-Enynes with Sulfinates and *tert*-Butyl Nitrite: Facile Access to Sulfonyl Isoxazoles

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(A) Typical experimental procedures

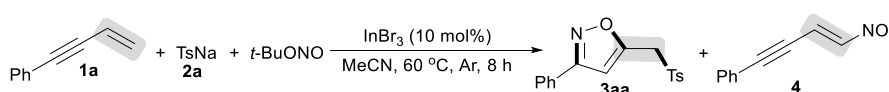
(a) General Procedures for the radical-mediated 1,3,4-trifunctionalization

cascades of 1,3-enynes with sulfinates and *tert*-butyl nitrite:

To a Schlenk tube (25 mL), enynes **1** (0.2 mmol), Sodium sulfinates **2** (2 equiv), *t*-BuONO (3 equiv), InBr₃ (10 mol%), and MeCN (2 mL) were added. Then the mixture was stirred at 60 °C (oil bath temperature) under argon atmosphere (1 atm) for 8 h until complete consumption of starting material as monitored by TLC and/or GC-MS analysis. After the reaction was finished, the reaction solution was extracted with EtOAc (3×10 mL). The combined organic layer was dried with Na₂SO₄, filtered and concentrated in vacuum. The resulting residue was purified by silica gel column chromatography (hexane/ethyl acetate) to afford the desired products **3**.

(b) Screening of optimal reaction conditions

Table S1. Screening of optimal reaction conditions^[a]

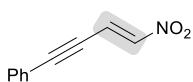


Entry	Variation from the standard conditions	Isolated yield (%)
1	none	78
2	without InBr ₃	38
3	InBr ₃ (5 mol%)	53
4	InBr ₃ (20 mol%)	79
5	InCl ₃ instead of InBr ₃	63
6	In(OAc) ₃ instead of InBr ₃	59
7	In(OTf) ₃ instead of InBr ₃	trace
8	CuBr ₂ instead of InBr ₃	trace

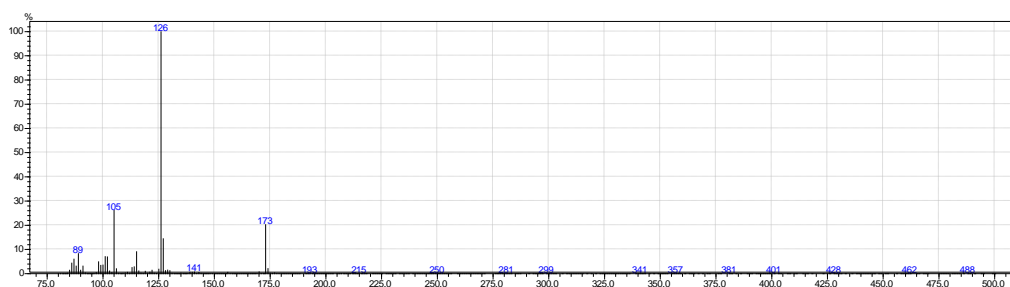
9	FeCl ₃ instead of InBr ₃	12
10	DCE instead of MeCN	27
11	THF instead of MeCN	trace
12	DMF instead of MeCN	8
13	toluene instead of MeCN	22
14	TsNa (1.5 equiv)	51
15	TsNa (3 equiv)	80
16	TBN (2 equiv)	62
17	TBN (4 equiv)	78
18	at 40 °C	51
19	at 80 °C	76
20	under O ₂ atmosphere (1 atm)	trace
21 ^b	none	77

^a Reaction conditions: **1a** (0.2 mmol), **2a** (2 equiv), *t*-BuONO (TBN; 3 equiv), InBr₃ (10 mol%), MeCN (2 mL), 60 °C, argon and 8 h. Side-product **4** was determined by GC-MS analysis. ^b **1a** (1 mmol) in MeCN (4 mL) and 12 h.

(c) Figure S1. The side-product data



Molecular Weight: 173



[MS Spectrum]

of Peaks 331

Raw Spectrum 9.925 (scan : 1186)

Background 9.860 (scan : 1173)

Base Peak m/z 126.05 (Inten : 249,293)

Event# 1

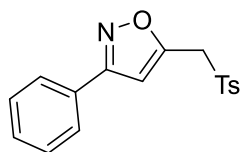
m/z Absolute Intensity Relative Intensity

80.00	253	0.10	82.00	100	0.04	84.00	592	0.24
81.00	290	0.12	83.00	181	0.07	85.00	3589	1.44

86.00	10891	4.37	126.05	249293	100.00	166.00	77	0.03
87.00	15091	6.05	127.05	36008	14.44	167.00	53	0.02
88.00	7853	3.15	128.05	3146	1.26	168.00	97	0.04
89.00	20652	8.28	129.00	3736	1.50	169.05	292	0.12
90.00	3642	1.46	130.00	3128	1.25	170.05	1972	0.79
91.00	7968	3.20	131.00	402	0.16	171.00	239	0.10
92.05	929	0.37	132.00	172	0.07	172.00	151	0.06
93.00	148	0.06	133.05	181	0.07	173.00	50492	20.25
94.05	178	0.07	134.00	216	0.09	174.00	5358	2.15
95.00	102	0.04	135.00	671	0.27	175.00	539	0.22
96.00	414	0.17	136.00	107	0.04	176.00	382	0.15
97.00	1273	0.51	137.00	168	0.07	177.00	980	0.39
98.00	12355	4.96	138.05	324	0.13	178.00	243	0.10
99.00	8606	3.45	139.00	1674	0.67	179.00	280	0.11
100.00	8952	3.59	140.05	1536	0.62	180.00	30	0.01
101.00	17726	7.11	141.05	1752	0.70	181.00	112	0.04
102.00	17390	6.98	142.05	343	0.14	182.00	19	0.01
103.00	2893	1.16	143.05	1431	0.57	183.00	85	0.03
104.00	1260	0.51	144.05	410	0.16	184.00	58	0.02
105.00	65139	26.13	145.00	173	0.07	185.00	27	0.01
106.00	5244	2.10	146.00	98	0.04	186.00	21	0.01
107.00	418	0.17	147.00	163	0.07	187.00	21	0.01
108.00	125	0.05	148.05	240	0.10	188.00	35	0.01
109.00	491	0.20	149.05	308	0.12	191.00	39	0.02
110.00	962	0.39	150.00	64	0.03	192.00	35	0.01
111.00	1481	0.59	151.00	94	0.04	192.95	258	0.10
112.00	576	0.23	152.00	56	0.02	193.90	64	0.03
113.00	6376	2.56	153.00	102	0.04	194.90	78	0.03
114.05	7166	2.87	154.00	99	0.04	195.90	34	0.01
115.05	22745	9.12	155.00	104	0.04	196.90	43	0.02
116.05	2847	1.14	156.00	1514	0.61	197.90	27	0.01
117.05	625	0.25	157.05	370	0.15	198.90	11	0.00
118.05	355	0.14	158.00	60	0.02	199.90	10	0.00
119.00	2523	1.01	159.00	152	0.06	200.90	35	0.01
120.00	437	0.18	160.00	23	0.01	201.90	5	0.00
121.05	1621	0.65	161.00	45	0.02	202.90	55	0.02
122.00	3693	1.48	162.00	60	0.02	204.90	3	0.00
123.00	594	0.24	163.00	43	0.02	205.90	24	0.01
124.00	234	0.09	164.00	5	0.00	207.00	335	0.13
125.05	4688	1.88	165.00	53	0.02	208.00	93	0.04

(B) Analytical data

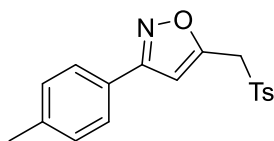
3-Phenyl-5-(tosylmethyl)isoxazole (3aa):



Yield: 48.8 mg (78%); yellow solid, mp 181.2-182.9 °C
(uncorrected); ¹H NMR (500 MHz, CDCl₃) δ: 7.78-7.76 (m, 2H),

7.66 (d, *J* = 8.0 Hz, 2H), 7.49-7.47 (m, 3H), 7.30 (d, *J* = 8.0 Hz, 2H), 6.80 (s, 1H),
4.48 (s, 2H), 2.42 (s, 3H); ¹³C NMR (125 MHz, CDCl₃) δ: 170.9, 154.2, 145.4, 134.5,
130.6, 129.9, 129.0, 128.4, 126.8, 125.8, 100.3, 53.7, 21.7; IR (KBr, cm⁻¹) *v*: 1589,
1445, 1312, 1288, 1160, 768; HRMS *m/z* (ESI) calcd for C₁₇H₁₆NO₃S ([M+H]⁺)
314.0845, found 314.0838.

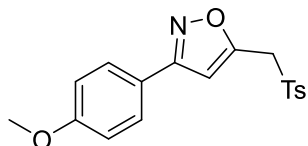
3-(*p*-Tolyl)-5-(tosylmethyl)isoxazole (3ba):



Yield: 43.2 mg (66%); yellow solid, mp 184.1-185.6 °C
(uncorrected); ¹H NMR (500 MHz, CDCl₃) δ: 7.65 (d, *J* = 8.0

Hz, 4H), 7.28 (t, *J* = 7.5 Hz, 4H), 6.73 (s, 1H), 4.47 (s, 2H), 2.41 (s, 6H); ¹³C NMR
(125 MHz, CDCl₃) δ: 171.0, 154.1, 145.3, 140.9, 134.5, 129.8, 129.7, 128.3, 125.7,
124.1, 99.7, 53.7, 21.7, 21.5; IR (KBr, cm⁻¹) *v*: 1591, 1435, 1312, 1282, 1155, 767;
HRMS *m/z* (ESI) calcd for C₁₈H₁₈NO₃S ([M+H]⁺) 328.1002, found 328.1014.

3-(4-Methoxyphenyl)-5-(tosylmethyl)isoxazole (3ca):

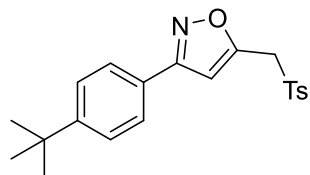


Yield: 41.2 mg (60%); yellow solid, mp 197.4-198.8 °C
(uncorrected); ¹H NMR (500 MHz, CDCl₃) δ: 7.71 (d, *J* =

9.0 Hz, 2H), 7.66 (d, *J* = 8.5 Hz, 2H), 7.30 (d, *J* = 8.0 Hz, 2H), 6.99 (d, *J* = 8.5 Hz,
2H), 6.67 (s, 1H), 4.46 (s, 2H), 3.87 (s, 3H), 2.42 (s, 3H); ¹³C NMR (125 MHz,
CDCl₃) δ: 170.9, 161.3, 154.1, 145.4, 134.4, 129.9, 128.4, 127.5, 119.6, 114.4, 98.9,

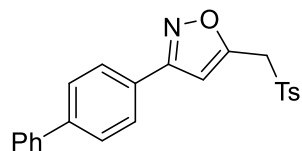
55.4, 53.7, 21.7; IR (KBr, cm^{-1}) ν : 1598, 1435, 1311, 1273, 1132, 763; HRMS m/z (ESI) calcd for $\text{C}_{18}\text{H}_{18}\text{NO}_4\text{S}$ ($[\text{M}+\text{H}]^+$) 344.0951, found 344.0962.

3-(4-(*tert*-Butyl)phenyl)-5-(tosylmethyl)isoxazole (3da):



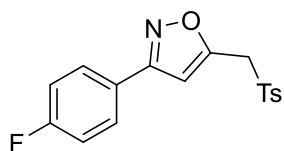
Yield: 53.9 mg (73%); yellow solid, mp 184.5-185.6 °C (uncorrected); ^1H NMR (500 MHz, CDCl_3) δ : 7.70 (d, $J = 8.5$ Hz, 2H), 7.65 (d, $J = 8.5$ Hz, 2H), 7.49 (d, $J = 8.0$ Hz, 2H), 7.28 (d, $J = 8.0$ Hz, 2H), 6.75 (s, 1H), 4.48 (s, 2H), 2.40 (s, 3H), 1.35 (s, 9H); ^{13}C NMR (125 MHz, CDCl_3) δ : 170.9, 154.1, 153.9, 145.3, 134.4, 129.8, 128.3, 125.9, 125.6, 124.0, 99.8, 53.6, 34.9, 31.0, 21.6; IR (KBr, cm^{-1}) ν : 1592, 1429, 1316, 1291, 1167, 769; HRMS m/z (ESI) calcd for $\text{C}_{21}\text{H}_{24}\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$) 370.1471, found 370.1479.

3-([1,1'-Biphenyl]-4-yl)-5-(tosylmethyl)isoxazole (3ea):



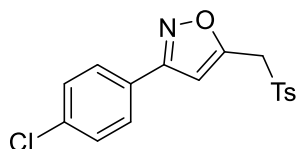
Yield: 47.5 mg (61%); yellow solid, mp 202.5-203.7 °C (uncorrected); ^1H NMR (500 MHz, CDCl_3) δ : 7.85 (d, $J = 8.5$ Hz, 2H), 7.71 (d, $J = 8.0$ Hz, 2H), 7.67 (d, $J = 8.5$ Hz, 2H), 7.63 (d, $J = 7.5$ Hz, 2H), 7.48 (t, $J = 7.5$ Hz, 2H), 7.40 (t, $J = 7.5$ Hz, 1H), 7.31 (d, $J = 8.0$ Hz, 2H), 6.83 (s, 1H), 4.49 (s, 2H), 2.43 (s, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ : 170.6, 154.2, 145.3, 143.3, 139.8, 134.5, 129.9, 128.9, 128.4, 128.0, 127.7, 127.0, 126.3, 125.6, 100.3, 53.7, 21.7; IR (KBr, cm^{-1}) ν : 1595, 1433, 1307, 1286, 1161, 768; HRMS m/z (ESI) calcd for $\text{C}_{23}\text{H}_{20}\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$) 390.1158, found 390.1155.

3-(4-Fluorophenyl)-5-(tosylmethyl)isoxazole (3fa):



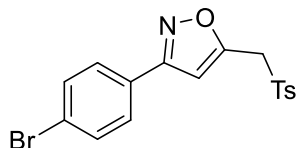
Yield: 51.0 mg (77%); yellow solid, mp 192.6-193.8 °C (uncorrected); ^1H NMR (500 MHz, CDCl_3) δ : 7.79-7.76 (m, 2H), 7.66 (d, $J = 8.0$ Hz, 2H), 7.31 (d, $J = 8.0$ Hz, 2H), 7.18 (t, $J = 10.0$ Hz, 2H), 6.76 (s, 1H), 4.48 (s, 2H), 2.43 (s, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ : 169.9, 163.9 (d, $J = 252.0$ Hz), 154.3, 145.5, 134.5, 129.9, 128.3, 128.0 (d, $J = 8.7$ Hz), 123.2 (d, $J = 3.4$ Hz), 116.4 (d, $J = 222$ Hz), 100.1, 53.6, 21.7; ^{19}F NMR (471 MHz, CDCl_3) δ : -108.6; IR (KBr, cm^{-1}) ν : 1597, 1435, 1310, 1292, 1161, 764; HRMS m/z (ESI) calcd for $\text{C}_{17}\text{H}_{15}\text{FNO}_3\text{S}$ ($[\text{M}+\text{H}]^+$) 332.0751, found 332.0762.

3-(4-Chlorophenyl)-5-(tosylmethyl)isoxazole (3ga):



Yield: 43.7 mg (63%); yellow solid, mp 195.5-196.8 °C (uncorrected); ^1H NMR (500 MHz, CDCl_3) δ : 7.71 (d, $J = 9.0$ Hz, 2H), 7.66 (d, $J = 8.5$ Hz, 2H), 7.46 (d, $J = 8.5$ Hz, 2H), 7.31 (d, $J = 8.5$ Hz, 2H), 6.80 (s, 1H), 4.48 (s, 2H), 2.43 (s, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ : 169.8, 154.3, 145.5, 136.7, 134.5, 129.9, 129.4, 128.3, 127.1, 125.2, 100.7, 53.6, 21.7; IR (KBr, cm^{-1}) ν : 1598, 1435, 1311, 1293, 1157, 768; HRMS m/z (ESI) calcd for $\text{C}_{17}\text{H}_{15}^{35}\text{ClNO}_3\text{S}$ ($[\text{M}+\text{H}]^+$) 348.0456, found 348.0463.

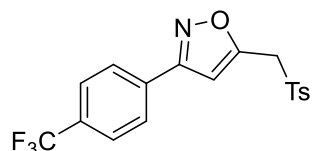
3-(4-Bromophenyl)-5-(tosylmethyl)isoxazole (3ha):



Yield: 33.6 mg (43%); yellow solid, mp 195.3-196.7 °C (uncorrected); ^1H NMR (500 MHz, CDCl_3) δ : 7.67-7.63 (m, 6H), 7.31 (d, $J = 8.0$ Hz, 2H), 6.81 (s, 1H), 4.48 (s, 2H), 2.43 (s, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ : 169.8, 154.3, 145.5, 134.5, 132.3, 129.9, 128.3, 127.3, 125.6, 125.0,

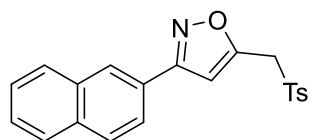
100.7, 53.6, 21.7; IR (KBr, cm^{-1}) ν : 1592, 1427, 1312, 1280, 1159, 767; HRMS m/z (ESI) calcd for $\text{C}_{17}\text{H}_{15}^{79}\text{BrNO}_3\text{S}$ ($[\text{M}+\text{H}]^+$) 391.9951, found 391.9962.

5-(Tosylmethyl)-3-(4-(trifluoromethyl)phenyl)isoxazole (3ia):



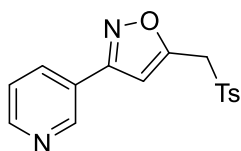
Yield: 30.5 mg (40%); yellow solid, mp 195.3-197.1 °C (uncorrected); ^1H NMR (500 MHz, CDCl_3) δ : 7.90 (d, $J = 8.0$ Hz, 2H), 7.75 (d, $J = 8.0$ Hz, 2H), 7.67 (d, $J = 8.0$ Hz, 2H), 7.32 (d, $J = 8.0$ Hz, 2H), 6.92 (s, 1H), 4.50 (s, 2H), 2.44 (s, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ : 169.3, 154.5, 145.6, 134.5, 130.0, 129.9 (q, $J = 31.8$ Hz), 128.3, 127.2 (q, $J = 273.0$ Hz), 126.1 (q, $J = 3.8$ Hz), 101.8, 53.6, 21.7; ^{19}F NMR (471 MHz, CDCl_3) δ : -63.0; IR (KBr, cm^{-1}) ν : 1599, 1436, 1315, 1288, 1157, 766; HRMS m/z (ESI) calcd for $\text{C}_{18}\text{H}_{15}\text{F}_3\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$) 382.0719, found 382.0710.

3-(Naphthalen-2-yl)-5-(tosylmethyl)isoxazole (3ja):



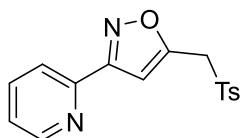
Yield: 50.8 mg (70%); yellow solid, mp 183.4-184.9 °C (uncorrected); ^1H NMR (500 MHz, CDCl_3) δ : 8.30 (s, 1H), 7.92 (t, $J = 7.0$ Hz, 2H), 7.87 (t, $J = 4.5$ Hz, 1H), 7.80 (d, $J = 7.5$ Hz, 1H), 7.68 (d, $J = 8.5$ Hz, 2H), 7.56 (t, $J = 4.0$ Hz, 2H), 7.30 (d, $J = 8.0$ Hz, 2H), 6.91 (s, 1H), 4.51 (s, 2H), 2.42 (s, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ : 170.9, 154.3, 145.4, 134.4, 134.0, 132.9, 129.9, 128.9, 128.7, 128.4, 127.8, 127.5, 127.0, 125.8, 124.0, 122.7, 100.7, 53.7, 21.7; IR (KBr, cm^{-1}) ν : 1593, 1441, 1312, 1287, 1172, 765; HRMS m/z (ESI) calcd for $\text{C}_{21}\text{H}_{18}\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$) 364.1002, found 364.1014.

3-(Pyridin-3-yl)-5-(tosylmethyl)isoxazole (3ka):



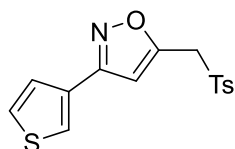
Yield: 27.6 mg (44%); yellow solid, mp 163.2-165.1 °C (uncorrected); ¹H NMR (500 MHz, CDCl₃) δ: 9.03 (d, *J* = 2.0 Hz, 1H), 8.71-8.70 (m, 1H), 8.09-8.07 (m, 1H), 7.68 (d, *J* = 8.0 Hz, 2H), 7.46-7.43 (m, 1H), 7.32 (d, *J* = 8.0 Hz, 2H), 6.90 (s, 1H), 4.51 (s, 2H), 2.44 (s, 3H); ¹³C NMR (125 MHz, CDCl₃) δ: 168.1, 154.4, 151.3, 147.0, 145.6, 134.4, 132.9, 130.0, 128.3, 123.8, 123.1, 101.4, 53.5, 21.7; IR (KBr, cm⁻¹) *v*: 1572, 1435, 1313, 1292, 1156, 778; HRMS *m/z* (ESI) calcd for C₁₆H₁₅N₂O₃S ([M+H]⁺) 315.0798, found 315.0789.

3-(Pyridin-2-yl)-5-(tosylmethyl)isoxazole (3la):



Yield: 32.0 mg (51%); yellow solid, mp 167.4-168.9 °C (uncorrected); ¹H NMR (500 MHz, CDCl₃) δ: 8.71 (s, 1H), 7.84 (t, *J* = 7.5 Hz, 2H), 7.67 (d, *J* = 5.5 Hz, 2H), 7.38-7.36 (m, 1H), 7.30 (d, *J* = 6.5 Hz, 2H), 7.12 (s, 1H), 4.52 (s, 2H), 2.42 (s, 3H); ¹³C NMR (125 MHz, CDCl₃) δ: 170.1, 154.5, 150.1, 145.9, 145.4, 137.0, 134.4, 129.9, 128.3, 124.7, 121.0, 103.1, 53.6, 21.6; IR (KBr, cm⁻¹) *v*: 1568, 1437, 1319, 1296, 1155, 790; HRMS *m/z* (ESI) calcd for C₁₆H₁₅N₂O₃S ([M+H]⁺) 315.0798, found 315.0791.

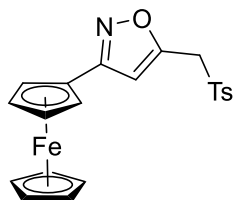
3-(Thiophen-3-yl)-5-(tosylmethyl)isoxazole (3ma):



Yield: 33.2 mg (52%); yellow solid, mp 172.6-174.3 °C (uncorrected); ¹H NMR (500 MHz, CDCl₃) δ: 7.79-7.78 (m, 1H), 7.65 (d, *J* = 8.5 Hz, 2H), 7.44-7.43 (m, 1H), 7.41-7.39 (m, 1H), 7.30 (d, *J* = 8.5 Hz, 2H), 6.65 (s, 1H), 4.49 (s, 2H), 2.42 (s, 3H); ¹³C NMR (125 MHz, CDCl₃) δ: 167.0, 154.0, 145.4, 134.4, 129.9, 128.3, 128.2, 127.2, 125.2, 124.8, 100.1, 53.6, 21.7; IR

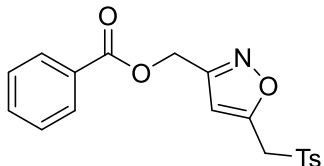
(KBr, cm^{-1}) ν : 1593, 1435, 1310, 1291, 1157, 772; HRMS m/z (ESI) calcd for $\text{C}_{15}\text{H}_{14}\text{NO}_3\text{S}_2$ ($[\text{M}+\text{H}]^+$) 320.0410, found 320.0422.

Product (3na):



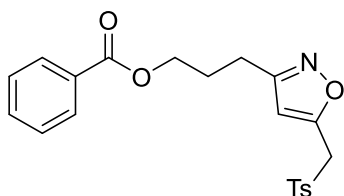
Yield: 48.0 mg (57%); yellow solid, mp 243.1-244.3 °C (uncorrected); ^1H NMR (500 MHz, CDCl_3) δ : 7.66 (d, $J = 8.0$ Hz, 2H), 7.30 (d, $J = 8.0$ Hz, 2H), 6.41 (s, 1H), 4.73 (t, $J = 1.5$ Hz, 2H), 4.44 (s, 2H), 4.42 (t, $J = 1.5$ Hz, 2H), 4.12 (s, 5H), 2.41 (s, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ : 172.9, 153.9, 145.4, 134.5, 129.8, 128.4, 98.7, 70.3, 70.1, 69.9, 67.1, 53.7, 21.7; IR (KBr, cm^{-1}) ν : 1589, 1428, 1311, 1292, 1157, 763; HRMS m/z (ESI) calcd for $\text{C}_{21}\text{H}_{20}\text{FeNO}_3\text{S}$ ($[\text{M}+\text{H}]^+$) 422.0508, found 422.0516.

(5-(Tosylmethyl)isoxazol-3-yl)methyl benzoate (3oa):



Yield: 37.1 mg (50%); yellow solid, mp 145.6-146.8 °C (uncorrected); ^1H NMR (500 MHz, CDCl_3) δ : 8.06 (d, $J = 8.5$ Hz, 2H), 7.64-7.59 (m, 3H), 7.47 (t, $J = 7.5$ Hz, 2H), 7.26 (d, $J = 7.0$ Hz, 2H), 6.59 (s, 1H), 5.41 (s, 2H), 4.46 (s, 2H), 2.39 (s, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ : 167.9, 165.6, 153.8, 145.4, 134.4, 133.6, 129.9, 129.8, 128.5, 128.3, 126.3, 104.9, 56.6, 53.5, 21.6; IR (KBr, cm^{-1}) ν : 1718, 1599, 1447, 1313, 1280, 1161, 714; HRMS m/z (ESI) calcd for $\text{C}_{19}\text{H}_{18}\text{NO}_5\text{S}$ ($[\text{M}+\text{H}]^+$) 372.0900, found 372.0912.

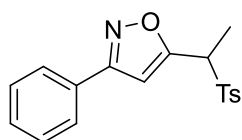
3-(5-(Tosylmethyl)isoxazol-3-yl)propyl benzoate (3pa):



Yield: 41.5 mg (52%); white solid, mp 150.6-152.3 °C (uncorrected); ^1H NMR (500 MHz, CDCl_3) δ : 8.03 (d, $J =$

= 7.5 Hz, 2H), 7.63 (d, $J = 8.5$ Hz, 2H), 7.58 (t, $J = 7.5$ Hz, 1H), 7.45 (d, $J = 8.0$ Hz, 2H), 7.30 (d, $J = 8.5$ Hz, 2H), 6.28 (s, 1H), 4.39 (s, 2H), 4.36 (t, $J = 7.5$ Hz, 2H), 2.94 (t, $J = 8.0$ Hz, 2H), 2.41 (s, 3H), 2.21-2.12 (m, 2H); ^{13}C NMR (125 MHz, CDCl_3) δ : 173.2, 166.3, 153.5, 145.3, 134.5, 133.0, 129.8(2C), 129.5, 128.3, 128.2, 102.3, 63.3, 53.5, 26.5, 23.5, 21.6; IR (KBr, cm^{-1}) ν : 1716, 1601, 1442, 1312, 1283, 1156, 723; HRMS m/z (ESI) calcd for $\text{C}_{21}\text{H}_{22}\text{NO}_5\text{S}$ ($[\text{M}+\text{H}]^+$) 400.1213, found 400.1224.

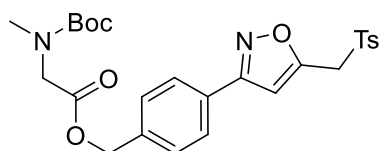
3-Phenyl-5-(1-tosylethyl)isoxazole (3qa):



Yield: 34.0 mg (52%); yellow solid, mp 182.2-183.5 °C (uncorrected); ^1H NMR (500 MHz, CDCl_3) δ : 7.78-7.76 (m, 2H),

7.59 (d, $J = 8.5$ Hz, 2H), 7.48-7.45 (m, 3H), 7.27 (d, $J = 8.5$ Hz, 2H), 6.81 (s, 1H), 4.54-4.49 (m, 1H), 2.40 (s, 3H), 1.78 (d, $J = 7.0$ Hz, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ : 170.5, 159.3, 145.2, 133.0, 130.4, 129.6, 128.9 (2C), 126.8, 125.7, 99.3, 58.2, 21.6, 13.0; IR (KBr, cm^{-1}) ν : 1595, 1431, 1312, 1293, 1155, 772; HRMS m/z (ESI) calcd for $\text{C}_{18}\text{H}_{18}\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$) 328.1002, found 328.1011.

4-(5-(Tosylmethyl)isoxazol-3-yl)benzyl *N*-(tert-butoxycarbonyl)-*N*-methylglycinate (3ra):

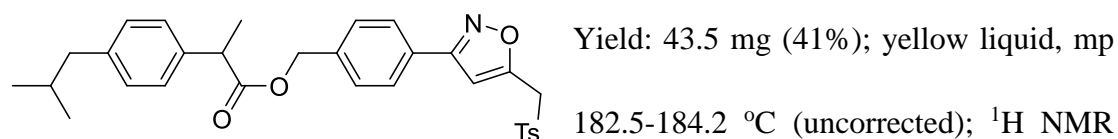


Yield: 46.3 mg (45%); yellow solid, mp 126.3-127.9 °C (uncorrected); ^1H NMR (500 MHz, CDCl_3) δ : 7.67

(t, $J = 8.0$ Hz, 2H), 7.58 (d, $J = 7.0$ Hz, 2H), 7.37 (t, $J = 7.5$ Hz, 2H), 7.21 (s, 2H), 6.71 (d, $J = 7.5$ Hz, 1H), 5.13 (d, $J = 8.5$ Hz, 2H), 4.41 (s, 2H), 3.98 (s, 1H), 3.89 (s, 1H), 2.86 (d, $J = 6.5$ Hz, 3H), 2.33 (s, 3H), 1.40 (s, 5H), 1.30 (s, 4H); ^{13}C NMR (125 MHz, CDCl_3) δ : 170.1 (2C), 169.6, 155.9, 155.2, 154.1, 145.3, 138.0, 137.9, 134.4

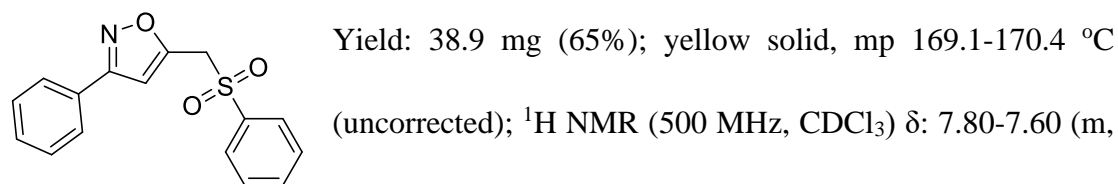
(2C), 129.8, 128.6, 128.4, 128.2, 126.6, 126.5, 125.9 (2C), 100.6, 100.5, 80.1, 65.8, 53.5, 50.9, 50.2, 35.5 (2C), 28.2, 28.0, 21.5; IR (KBr, cm^{-1}) ν : 1710, 1678, 1595, 1441, 1309, 1281, 1157, 769; HRMS m/z (ESI) calcd for $\text{C}_{26}\text{H}_{31}\text{N}_2\text{O}_7\text{S}$ ($[\text{M}+\text{H}]^+$) 515.1846, found 515.1852.

4-(5-(Tosylmethyl)isoxazol-3-yl)benzyl 2-(4-isobutylphenyl)propanoate (3sa):



(500 MHz, CDCl_3) δ : 7.70-7.65 (m, 4H), 7.29 (d, $J = 8.5$ Hz, 4H), 7.21 (d, $J = 8.0$ Hz, 2H), 7.11 (d, $J = 8.0$ Hz, 2H), 6.77 (s, 1H), 5.12-5.11 (m, 2H), 4.48 (s, 2H), 3.80-3.76 (m, 1H), 2.46 (d, $J = 7.5$ Hz, 2H), 2.42 (s, 3H), 1.88-1.83 (m, 1H), 1.53 (d, $J = 7.0$ Hz, 3H), 0.90 (d, $J = 6.5$ Hz, 6H); ^{13}C NMR (125 MHz, CDCl_3) δ : 174.3, 170.4, 154.2, 145.4, 140.7, 138.7, 137.3, 134.5, 129.9, 129.3, 128.3, 128.0, 127.1, 126.3, 125.9, 100.4, 65.5, 53.6, 45.0, 44.9, 30.1, 22.3, 21.6, 18.2; IR (KBr, cm^{-1}) ν : 1676, 1592, 1435, 1316, 1285, 1158, 771; HRMS m/z (ESI) calcd for $\text{C}_{31}\text{H}_{34}\text{NO}_5\text{S}$ ($[\text{M}+\text{H}]^+$) 532.2152, found 532.2161.

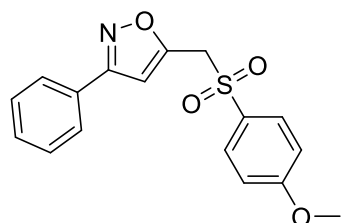
3-Phenyl-5-((phenylsulfonyl)methyl)isoxazole (3ab):



4H), 7.65 (t, $J = 7.5$ Hz, 1H), 7.53-7.47 (m, 5H), 6.78 (s, 1H), 4.51 (s, 2H); ^{13}C NMR (125 MHz, CDCl_3) δ : 170.9, 154.0, 137.4, 134.3, 130.6, 129.2, 129.0, 128.3, 126.7, 125.8, 100.3, 53.6; IR (KBr, cm^{-1}) ν : 1594, 1437, 1310,

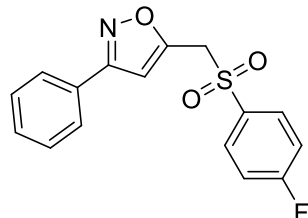
1292, 1157, 768; HRMS m/z (ESI) calcd for $C_{16}H_{14}NO_3S$ ($[M+H]^+$) 300.0689, found 300.0696.

5-(((4-Methoxyphenyl)sulfonyl)methyl)-3-phenylisoxazole (3ac):



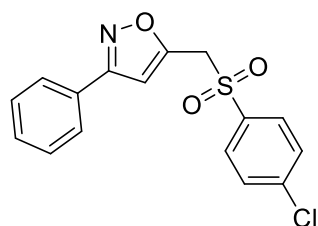
Yield: 32.9 mg (50%); yellow solid, mp 190.1-191.7 °C (uncorrected); 1H NMR (500 MHz, $CDCl_3$) δ : 7.79-7.77 (m, 2H), 7.70 (d, $J = 8.5$ Hz, 2H), 7.49-7.47 (m, 3H), 6.96 (d, $J = 9.0$ Hz, 2H), 6.79 (s, 1H), 4.47 (s, 2H), 3.86 (s, 3H); ^{13}C NMR (125 MHz, $CDCl_3$) δ : 170.9, 164.1, 154.3, 130.6, 129.1, 128.8, 128.1, 126.8, 125.9, 114.5, 100.3, 55.7, 53.9; IR (KBr, cm^{-1}) ν : 1598, 1433, 1312, 1293, 1159, 766; HRMS m/z (ESI) calcd for $C_{17}H_{16}NO_4S$ ($[M+H]^+$) 330.0795, found 330.0787.

5-(((4-Fluorophenyl)sulfonyl)methyl)-3-phenylisoxazole (3ad):



Yield: 35.5 mg (56%); yellow solid, mp 168.0-169.5 °C (uncorrected); 1H NMR (500 MHz, $CDCl_3$) δ : 7.81-7.76 (m, 4H), 7.49-7.47 (m, 3H), 7.18 (t, $J = 8.5$ Hz, 2H), 6.79 (s, 1H), 4.51 (s, 2H); ^{13}C NMR (125 MHz, $CDCl_3$) δ : 171.1, 166.1 (d, $J = 257.5$ Hz), 154.0, 133.4 (d, $J = 3.3$ Hz), 131.3 (d, $J = 9.9$ Hz), 130.7, 129.1, 126.7, 125.8, 116.7 (d, $J = 227.0$ Hz), 100.3, 53.7; ^{19}F NMR (471 MHz, $CDCl_3$) δ : -102.1; IR (KBr, cm^{-1}) ν : 1589, 1427, 1312, 1282, 1157, 763; HRMS m/z (ESI) calcd for $C_{16}H_{13}FNO_3S$ ($[M+H]^+$) 318.0595, found 318.0588.

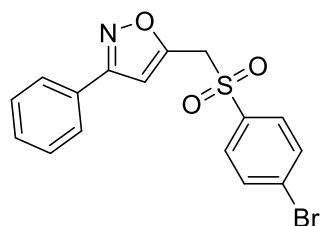
5-(((4-Chlorophenyl)sulfonyl)methyl)-3-phenylisoxazole (3ae):



Yield: 40.0 mg (60%); yellow solid, mp 191.1-192.3 °C (uncorrected); 1H NMR (500 MHz, $CDCl_3$) δ : 7.79-7.77 (m,

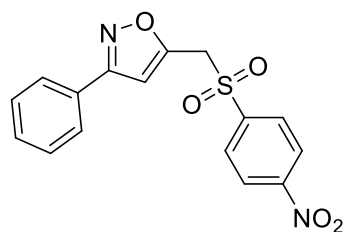
2H), 7.71 (d, $J = 8.5$ Hz, 2H), 7.48 (d, $J = 8.0$ Hz, 5H), 6.80 (s, 1H), 4.51 (s, 2H); ^{13}C NMR (125 MHz, CDCl_3) δ : 171.1, 153.9, 141.2, 135.7, 130.7, 129.8, 129.6, 129.1, 126.6, 125.8, 100.3, 53.6; IR (KBr, cm^{-1}) ν : 1591, 1432, 1309, 1290, 1156, 767; HRMS m/z (ESI) calcd for $\text{C}_{16}\text{H}_{13}^{35}\text{ClNO}_3\text{S}$ ($[\text{M}+\text{H}]^+$) 334.0299, found 334.0311.

5-(((4-Bromophenyl)sulfonyl)methyl)-3-phenylisoxazole (3af):



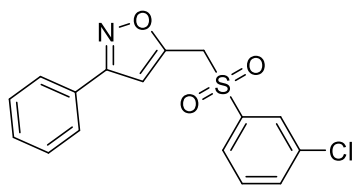
Yield: 49.0 mg (65%); yellow solid, mp 195.0-196.3 °C (uncorrected); ^1H NMR (500 MHz, CDCl_3) δ : 7.79-7.77 (m, 2H), 7.66-7.62 (m, 4H), 7.49-7.47 (m, 3H), 6.79 (s, 1H), 4.50 (s, 2H); ^{13}C NMR (125 MHz, CDCl_3) δ : 171.2, 153.9, 136.4, 132.6, 130.7, 129.9, 129.8, 129.1, 126.6, 125.9, 100.3, 53.6; IR (KBr, cm^{-1}) ν : 1589, 1427, 1313, 1286, 1157, 768; HRMS m/z (ESI) calcd for $\text{C}_{16}\text{H}_{13}^{79}\text{BrNO}_3\text{S}$ ($[\text{M}+\text{H}]^+$) 377.9794, found 377.9785.

5-(((4-Nitrophenyl)sulfonyl)methyl)-3-phenylisoxazole (3ag):



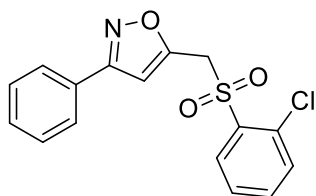
Yield: 28.2 mg (41%); white solid, mp 217.2-218.9 °C (uncorrected); ^1H NMR (500 MHz, $\text{DMSO}-d_6$) δ : 8.44 (d, $J = 9.0$ Hz, 2H), 8.13 (d, $J = 9.0$ Hz, 2H), 7.88-7.86 (m, 2H), 7.55-7.53 (m, 3H), 7.01 (s, 1H), 5.17 (s, 2H); ^{13}C NMR (125 MHz, $\text{DMSO}-d_6$) δ : 170.3, 154.7, 151.3, 143.7, 131.2, 130.5, 129.8, 126.7, 126.2, 125.0, 101.9, 52.2; IR (KBr, cm^{-1}) ν : 1598, 1433, 1312, 1282, 1159, 765; HRMS m/z (ESI) calcd for $\text{C}_{16}\text{H}_{13}\text{N}_2\text{O}_5\text{S}$ ($[\text{M}+\text{H}]^+$) 345.0540, found 345.0552.

5-(((3-Chlorophenyl)sulfonyl)methyl)-3-phenylisoxazole (3ah):



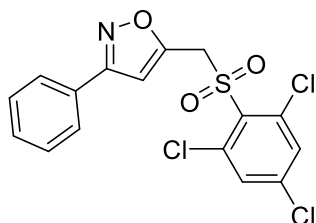
Yield: 32.0 mg (48%); white solid, mp 187.4-189.2 °C (uncorrected); ¹H NMR (500 MHz, CDCl₃) δ: 7.73 (s, 1H), 7.70-7.68 (m, 2H), 7.58-7.52 (m, 2H), 7.41-7.35 (m, 4H), 6.70 (s, 1H), 4.44 (s, 2H); ¹³C NMR (125 MHz, CDCl₃) δ: 171.2, 153.7, 139.1, 135.6, 134.4, 130.7, 130.5, 129.0, 128.4, 126.6, 126.5, 125.9, 100.3, 53.6; IR (KBr, cm⁻¹) ν: 1593, 1430, 1311, 1288, 1155, 766; HRMS *m/z* (ESI) calcd for C₁₆H₁₃³⁵ClNO₃S ([M+H]⁺) 334.0299, found 334.0309.

5-(((2-Chlorophenyl)sulfonyl)methyl)-3-phenylisoxazole (3ai):



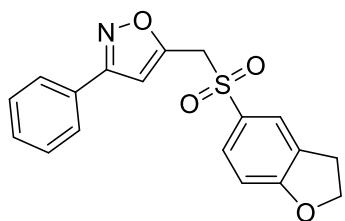
Yield: 27.3 mg (41%); yellow solid, mp 153.8-155.1 °C (uncorrected); ¹H NMR (500 MHz, CDCl₃) δ: 7.95-7.93 (m, 1H), 7.73-7.71 (m, 2H), 7.60-7.54 (m, 2H), 7.45-7.44 (m, 3H), 7.38-7.35 (m, 1H), 6.69 (s, 1H), 4.84 (s, 2H); ¹³C NMR (125 MHz, CDCl₃) δ: 171.0, 153.5, 135.3, 135.1, 133.0, 132.0, 131.9, 130.6, 129.0, 127.3, 126.7, 125.8, 100.3, 51.5; IR (KBr, cm⁻¹) ν: 1598, 1431, 1312, 1289, 1158, 763; HRMS *m/z* (ESI) calcd for C₁₆H₁₃³⁵ClNO₃S ([M+H]⁺) 334.0299, found 334.0304.

3-Phenyl-5-(((2,4,6-trichlorophenyl)sulfonyl)methyl)isoxazole (3aj):



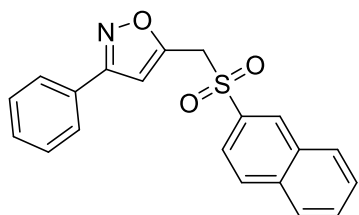
Yield: 31.3 mg (39%); white solid, mp 176.9-178.5 °C (uncorrected); ¹H NMR (500 MHz, CDCl₃) δ: 7.77-7.75 (m, 2H), 7.46 (t, *J* = 6.0 Hz, 5H), 6.82 (s, 1H), 4.83 (s, 2H); ¹³C NMR (125 MHz, CDCl₃) δ: 171.2, 153.2, 140.2, 137.6, 131.6, 130.7, 129.1, 126.7, 125.9, 100.7, 52.9; IR (KBr, cm⁻¹) ν: 1593, 1435, 1308, 1291, 1156, 763; HRMS *m/z* (ESI) calcd for C₁₆H₁₁³⁵Cl₃NO₃S ([M+H]⁺) 401.9520, found 401.9527.

5-(((2,3-Dihydrobenzofuran-5-yl)sulfonyl)methyl)-3-phenylisoxazole (3ak):



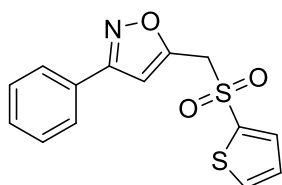
Yield: 34.8 mg (51%); yellow solid, mp 190.4-191.6 °C (uncorrected); ¹H NMR (500 MHz, CDCl₃) δ: 7.79-7.77 (m, 2H), 7.60 (s, 1H), 7.55-7.53 (m, 1H), 7.49-7.46 (m, 3H), 6.80 (t, *J* = 6.0 Hz, 2H), 4.68 (t, *J* = 8.5 Hz, 2H), 4.46 (s, 2H), 3.23 (t, *J* = 9.0 Hz, 2H); ¹³C NMR (125 MHz, CDCl₃) δ: 170.9, 165.2, 154.4, 130.6, 130.3, 129.1, 128.9, 128.7, 126.9, 125.9, 125.5, 109.7, 100.4, 72.5, 53.9, 28.9; IR (KBr, cm⁻¹) *v*: 1594, 1431, 1308, 1287, 1163, 772; HRMS *m/z* (ESI) calcd for C₁₈H₁₆NO₄S ([M+H]⁺) 342.0795, found 342.0787.

5-((Naphthalen-2-ylsulfonyl)methyl)-3-phenylisoxazole (3al):



Yield: 30.7 mg (44%); yellow solid, mp 221.3-223.1 °C (uncorrected); ¹H NMR (500 MHz, CDCl₃) δ: 8.40 (s, 1H), 7.96-7.90 (m, 3H), 7.76-7.73 (m, 3H), 7.67 (t, *J* = 7.5 Hz, 1H), 7.60 (t, *J* = 7.5 Hz, 1H), 7.46 (s, 3H), 6.81 (s, 1H), 4.58 (s, 2H); ¹³C NMR (125 MHz, CDCl₃) δ: 171.0, 154.0, 135.5, 134.5, 132.0, 130.6, 130.5, 129.6, 129.5, 129.5, 129.0, 128.0, 127.8, 126.8, 125.9, 122.7, 100.4, 53.7; IR (KBr, cm⁻¹) *v*: 1590, 1432, 1308, 1287, 1163, 764; HRMS *m/z* (ESI) calcd for C₂₀H₁₆NO₃S ([M+H]⁺) 350.0845, found 350.0852.

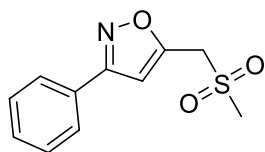
3-Phenyl-5-((thiophen-2-ylsulfonyl)methyl)isoxazole (3am):



Yield: 28.7 mg (47%); yellow solid, mp 167.3-168.8 °C (uncorrected); ¹H NMR (500 MHz, CDCl₃) δ: 7.79-7.78 (m, 2H), 7.72-7.71 (m, 1H), 7.59-7.58 (m, 1H), 7.49-7.47 (m, 3H),

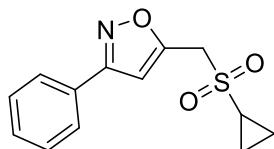
7.12 (t, $J = 4.5$ Hz, 1H), 6.80 (s, 1H), 4.60 (s, 2H); ^{13}C NMR (125 MHz, CDCl_3) δ : 171.1, 154.1, 137.9, 135.2, 135.1, 130.6, 129.1, 128.0, 126.8, 125.9, 100.3, 54.9; IR (KBr, cm^{-1}) ν : 1570, 1456, 1314, 1165, 1126, 768; HRMS m/z (ESI) calcd for $\text{C}_{14}\text{H}_{12}\text{NO}_3\text{S}_2$ ($[\text{M}+\text{H}]^+$) 306.0253, found 306.0261.

5-((Methylsulfonyl)methyl)-3-phenylisoxazole (3an):



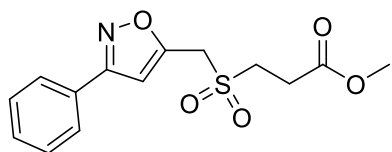
Yield: 27.5 mg (58%); yellow solid, mp 183.3-184.9 °C (uncorrected); ^1H NMR (500 MHz, CDCl_3) δ : 7.80 (t, $J = 4.0$ Hz, 2H), 7.49-7.48 (m, 3H), 6.79 (s, 1H), 4.49 (s, 2H), 2.94 (s, 3H); ^{13}C NMR (125 MHz, CDCl_3) δ : 171.5, 154.6, 130.8, 129.1, 126.6, 125.9, 100.4, 52.1, 39.7; IR (KBr, cm^{-1}) ν : 1589, 1433, 1312, 1287, 1155, 761; HRMS m/z (ESI) calcd for $\text{C}_{11}\text{H}_{12}\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$) 238.0532, found 238.0535.

5-((Cyclopropylsulfonyl)methyl)-3-phenylisoxazole (3ao):



Yield: 25.2 mg (48%); white solid, mp 154.2-155.8 °C (uncorrected); ^1H NMR (500 MHz, CDCl_3) δ : 7.81-7.79 (m, 2H), 7.48 (d, $J = 6.0$ Hz, 3H), 6.80 (s, 1H), 4.43 (s, 2H), 2.44-2.39 (m, 1H), 1.24-1.21 (m, 2H), 1.07-1.04 (m, 2H); ^{13}C NMR (125 MHz, CDCl_3) δ : 171.2, 154.5, 130.7, 129.1, 126.8, 125.9, 100.4, 51.2, 28.9, 5.1; IR (KBr, cm^{-1}) ν : 1587, 1429, 1312, 1294, 1157, 753; HRMS m/z (ESI) calcd for $\text{C}_{13}\text{H}_{14}\text{NO}_3\text{S}$ ($[\text{M}+\text{H}]^+$) 264.0689, found 264.0680.

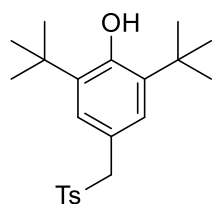
Methyl 3-(((3-phenylisoxazol-5-yl)methyl)sulfonyl)propanoate (3ap):



Yield: 37.7 mg (61%); yellow solid, mp 157.2-159.1 °C (uncorrected); ^1H NMR (500 MHz, CDCl_3) δ :

7.80-7.79 (m, 2H), 7.49-7.47 (m, 3H), 6.78 (s, 1H), 4.45 (s, 2H), 3.73 (s, 3H), 3.39 (t, $J = 7.5$ Hz, 2H), 2.88 (t, $J = 7.5$ Hz, 2H); ^{13}C NMR (125 MHz, CDCl_3) δ : 171.5, 170.4, 154.2, 130.7, 129.1, 126.6, 125.9, 100.4, 52.4, 50.8, 47.3, 26.8; IR (KBr, cm^{-1}) ν : 1679, 1593, 1432, 1311, 1292, 1157, 772; HRMS m/z (ESI) calcd for $\text{C}_{14}\text{H}_{16}\text{NO}_5\text{S}$ ($[\text{M}+\text{H}]^+$) 310.0744, found 310.0750.

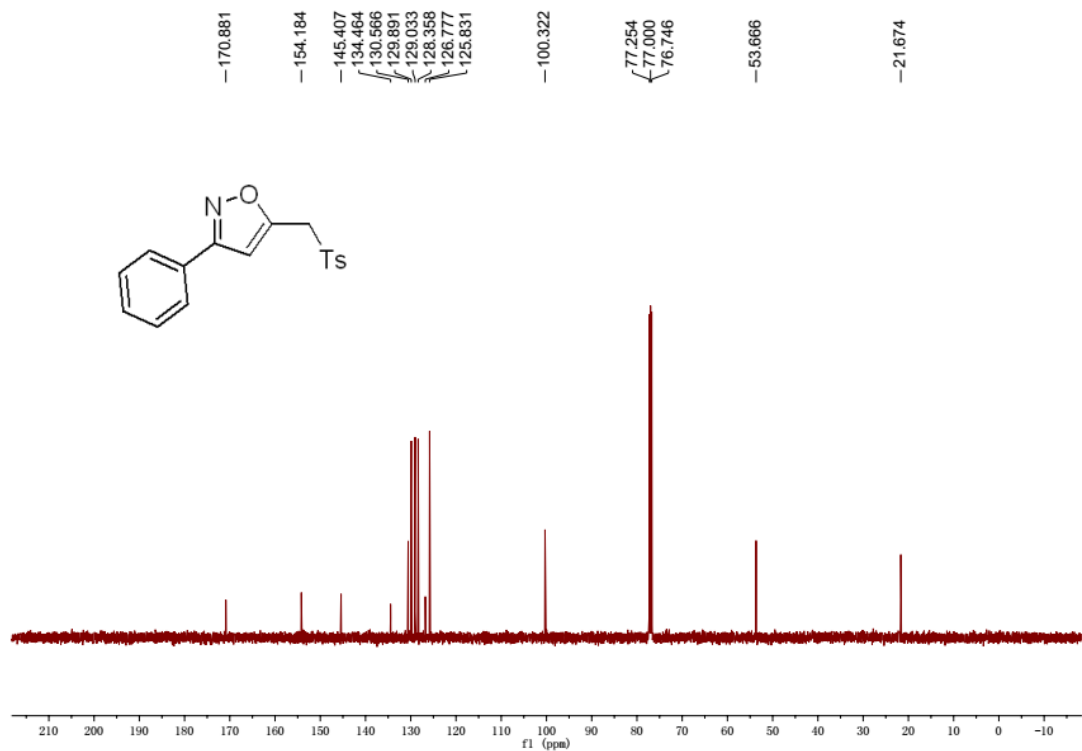
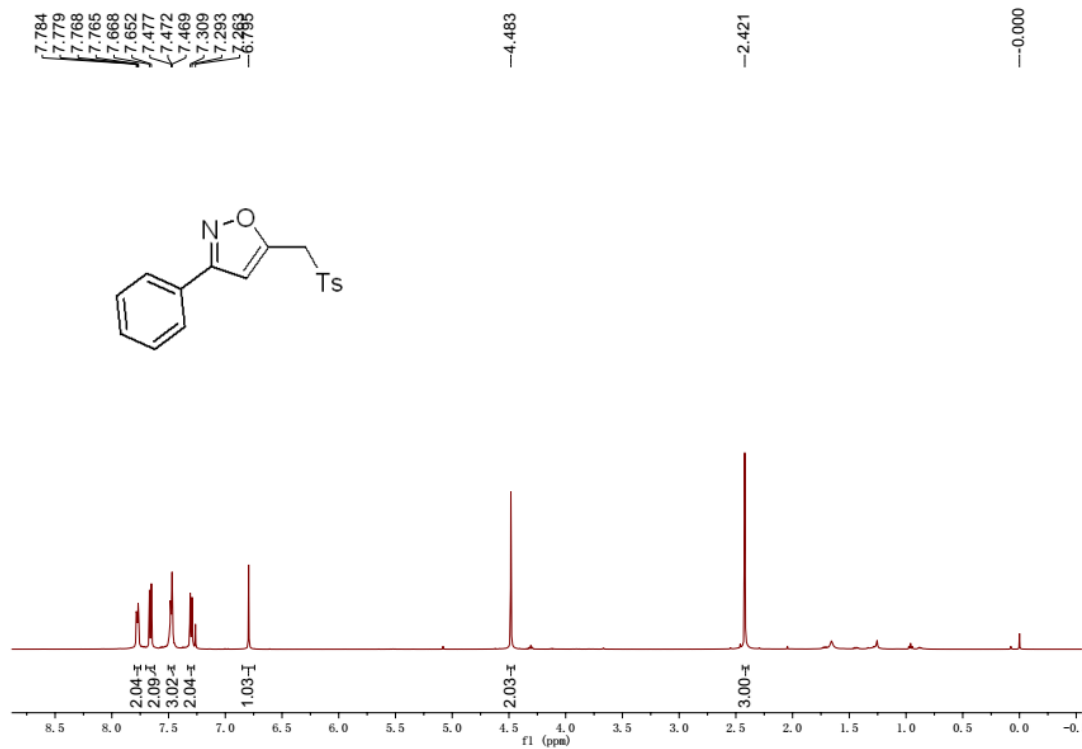
2,6-Di-*tert*-butyl-4-(tosylmethyl)phenol (4):^[1]



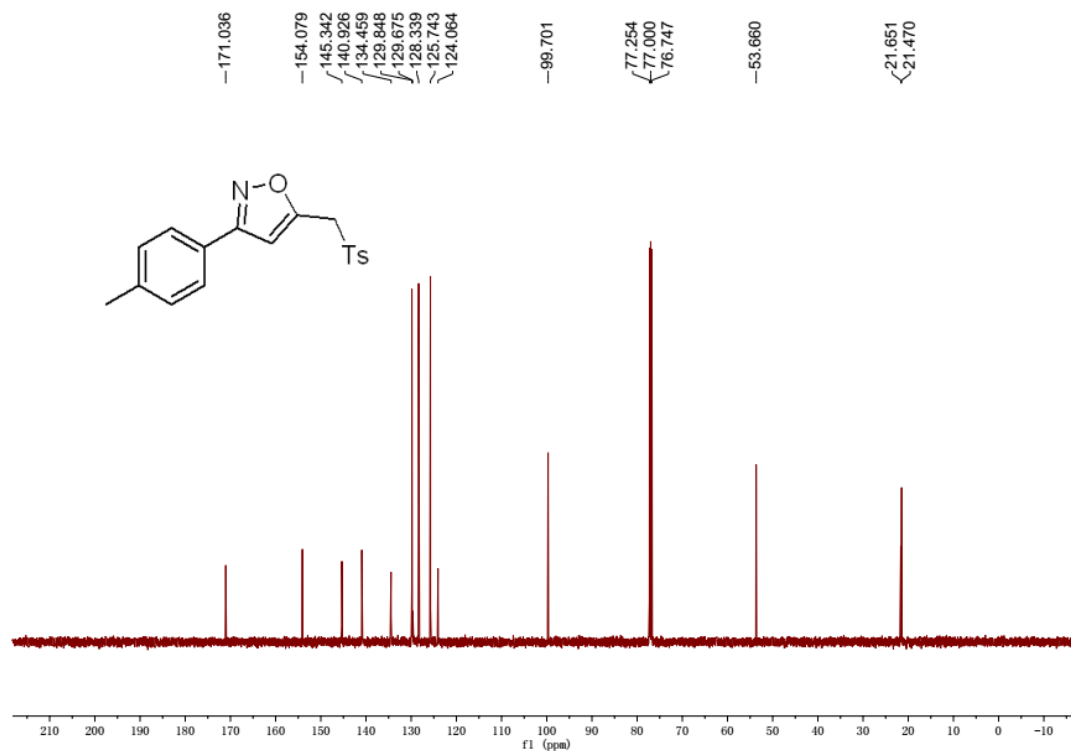
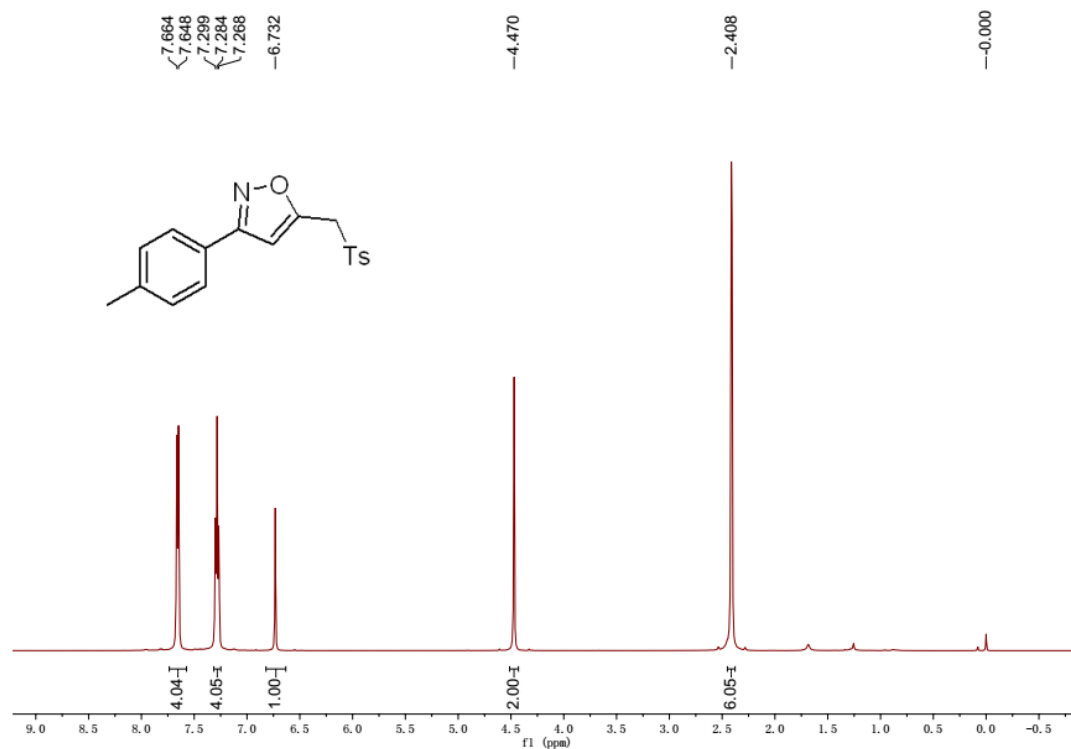
Yield: 38.9 mg (52%); white solid; ^1H NMR (500 MHz, CDCl_3) δ : 7.44 (d, $J = 8.0$ Hz, 2H), 7.21 (d, $J = 8.0$ Hz, 2H), 6.73 (s, 2H), 5.26 (s, 1H), 4.20 (s, 2H), 2.40 (s, 3H), 1.32 (s, 18H); ^{13}C NMR (125 MHz, CDCl_3) δ : 154.1, 144.3, 135.9, 134.9, 129.2, 128.8, 127.6, 118.9, 63.2, 34.1, 30.0, 21.5.

(C) Spectra

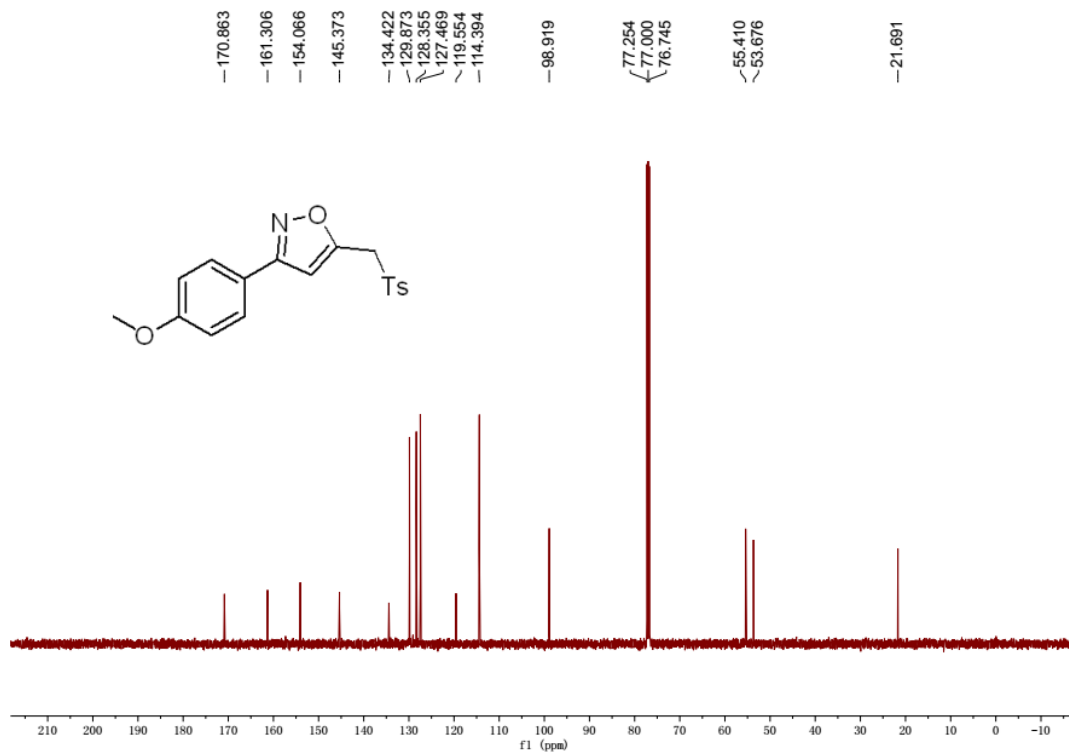
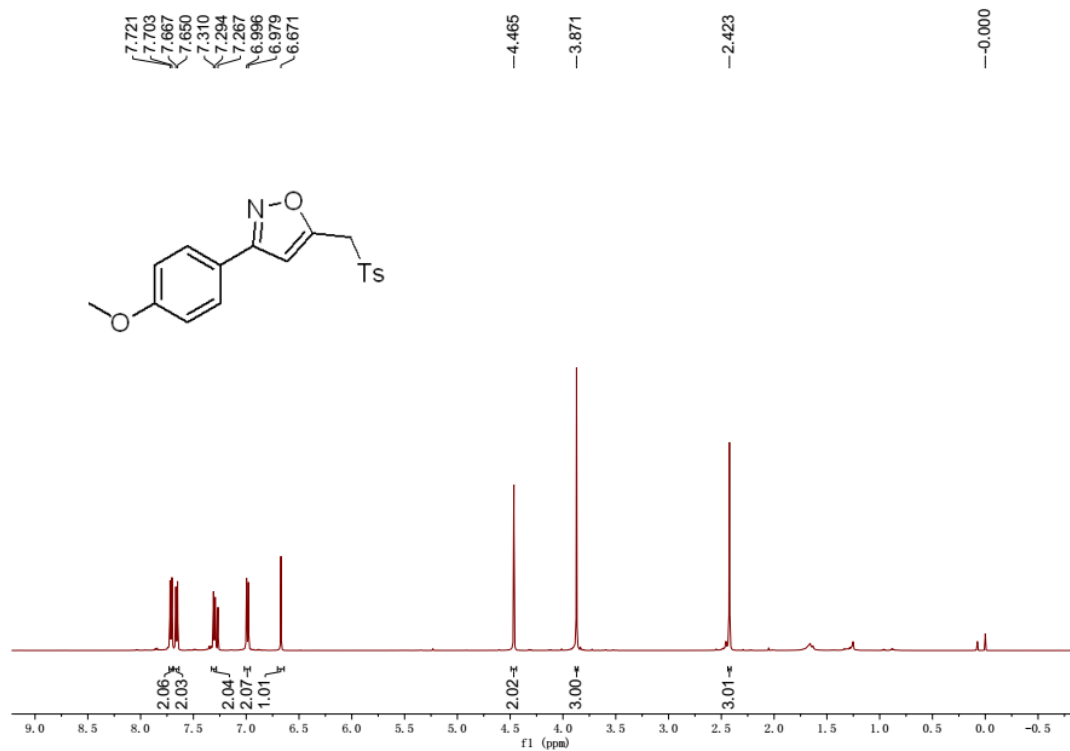
3-phenyl-5-(tosylmethyl)isoxazole (3aa)



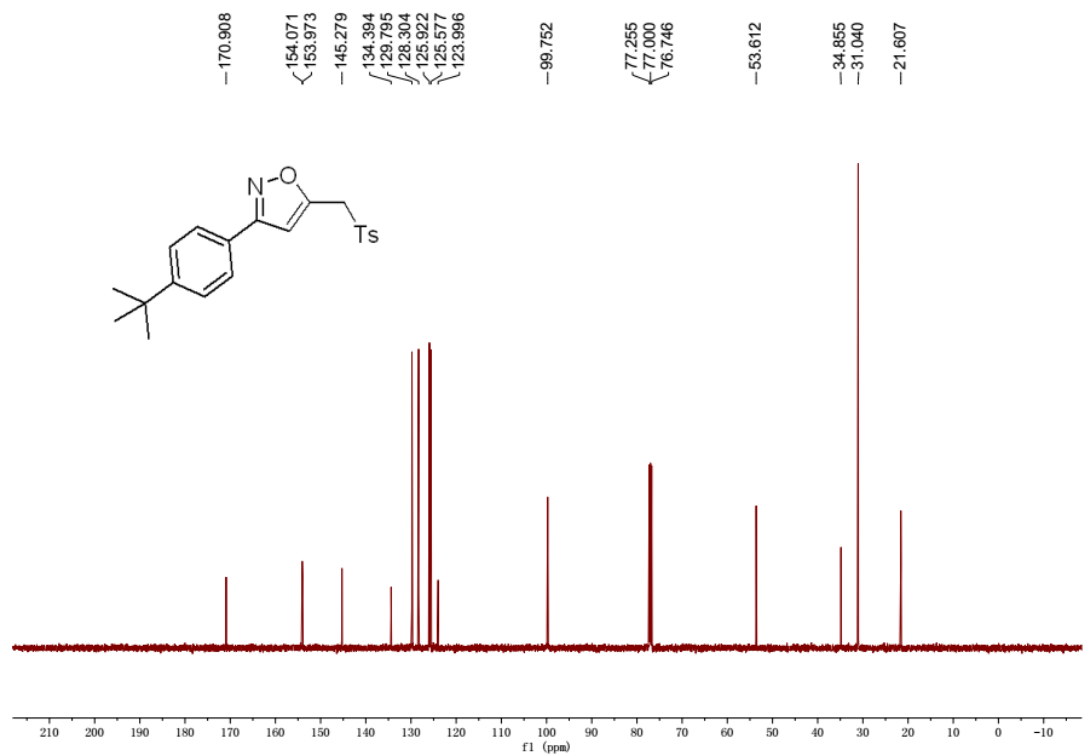
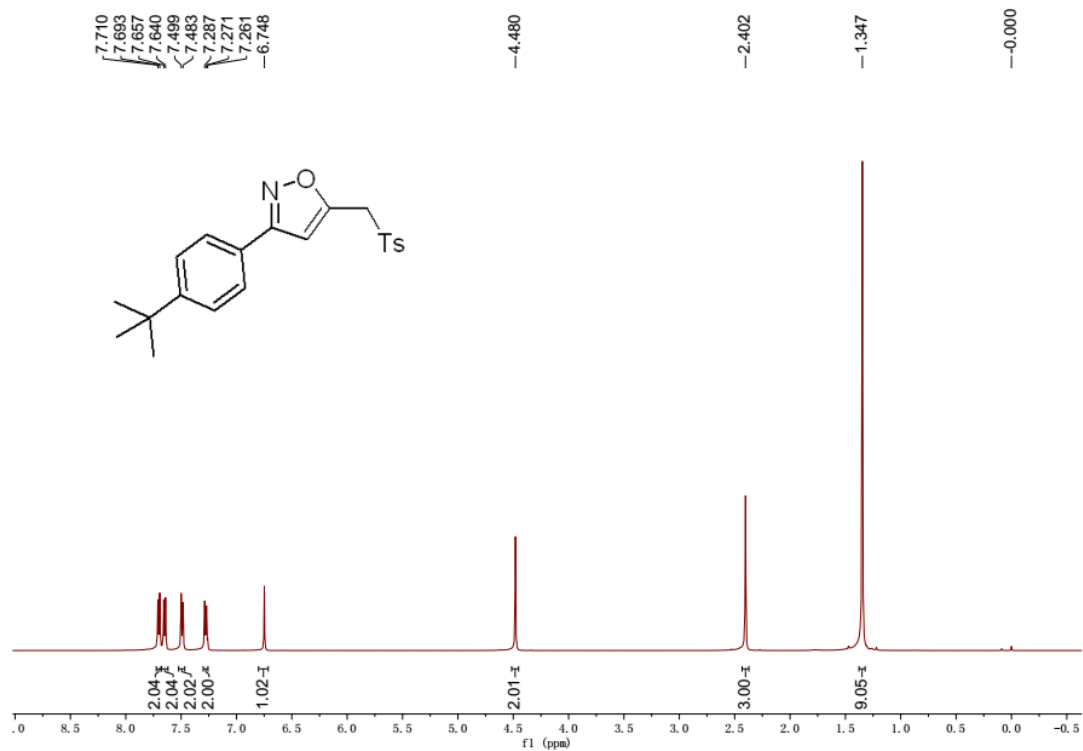
3-(p-tolyl)-5-(tosylmethyl)isoxazole (3ba)



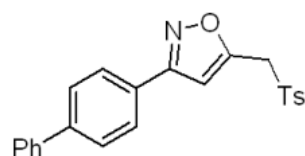
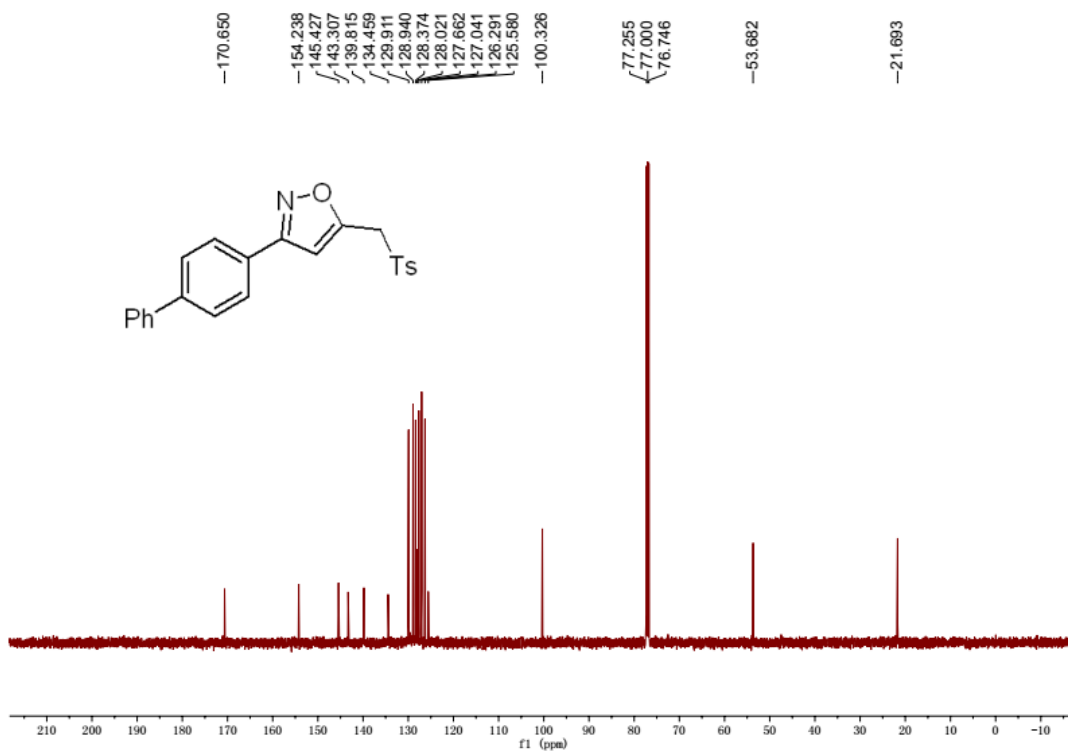
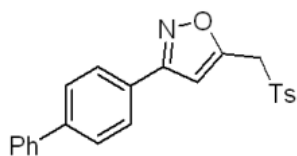
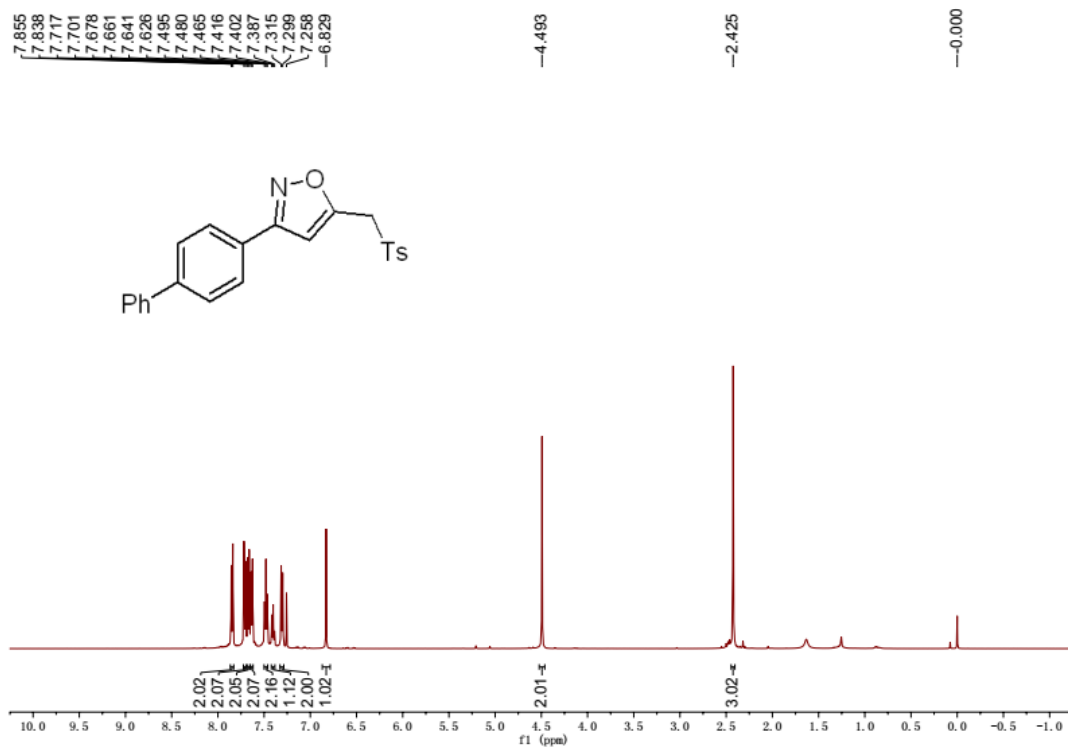
3-(4-methoxyphenyl)-5-(tosylmethyl)isoxazole (3ca)



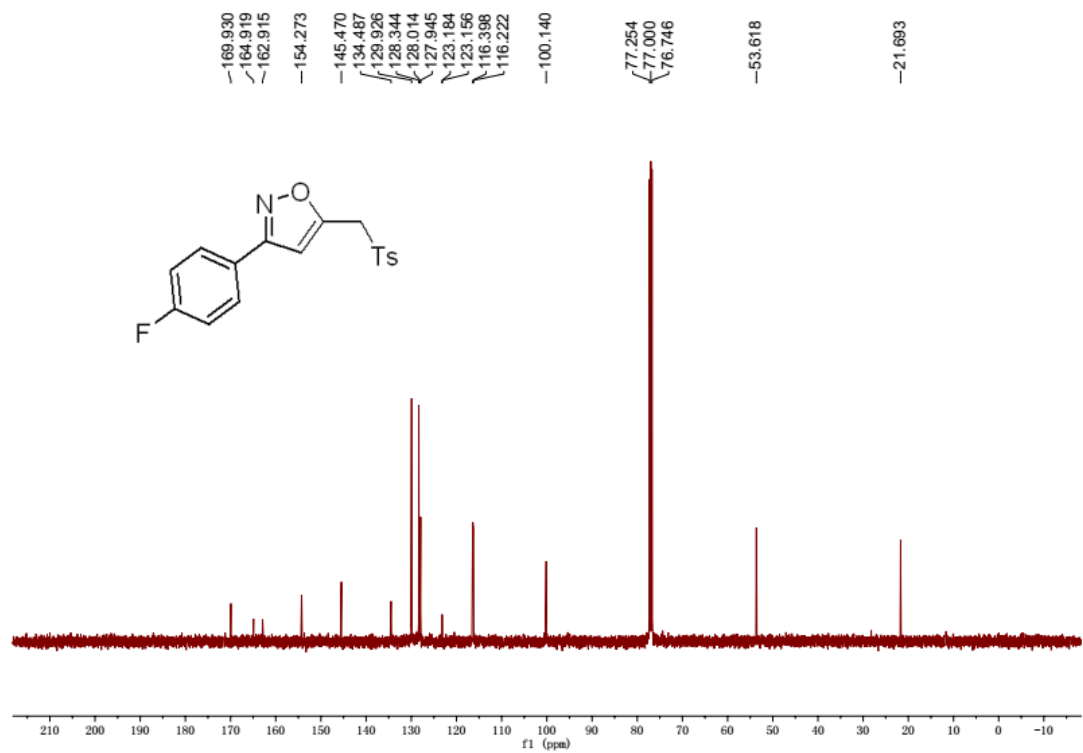
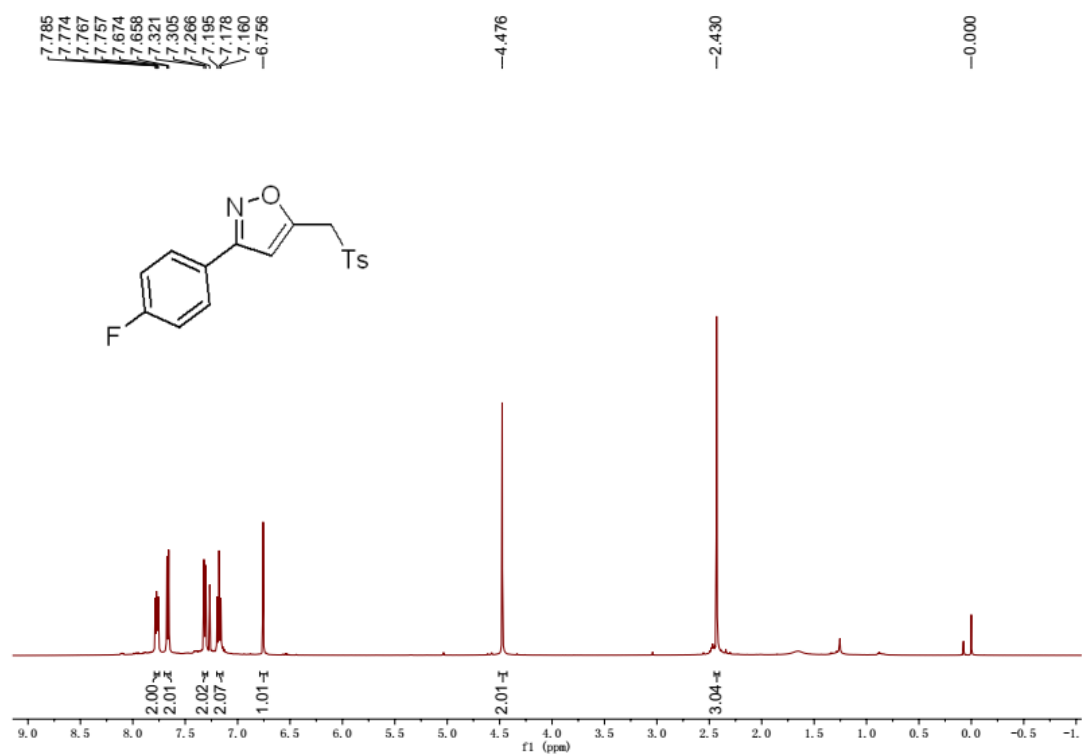
3-(4-(*tert*-butyl)phenyl)-5-(tosylmethyl)isoxazole (3da)

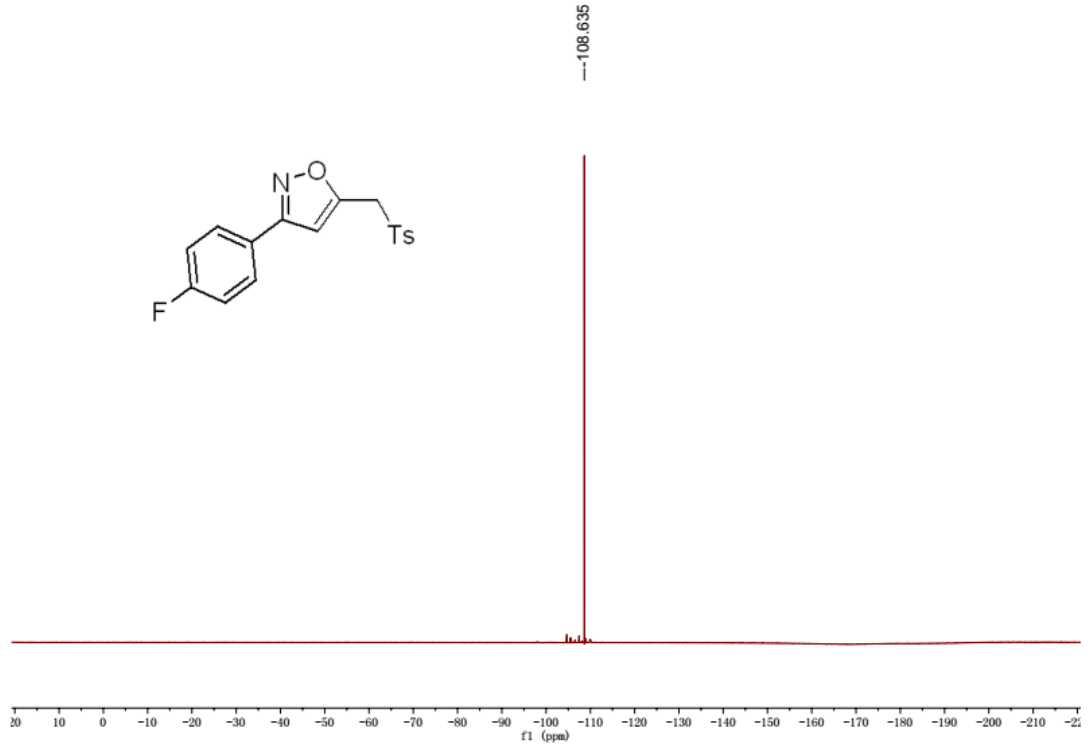
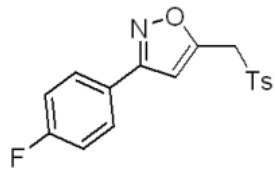


3-([1,1'-biphenyl]-4-yl)-5-(tosylmethyl)isoxazole (3ea)

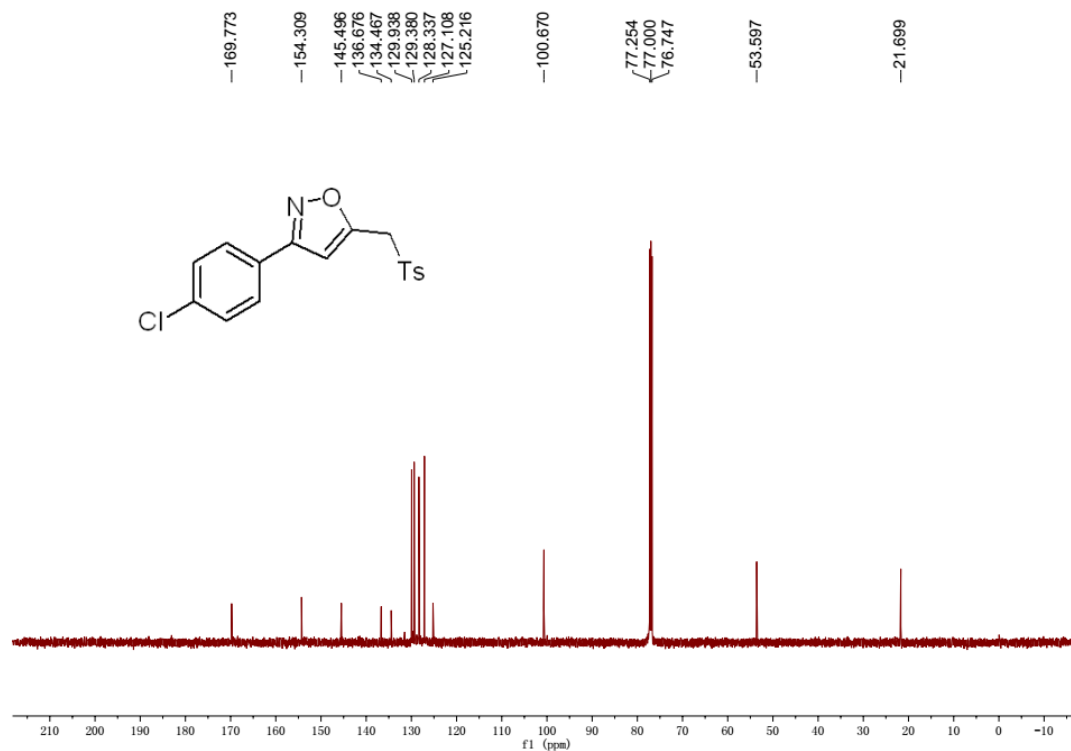
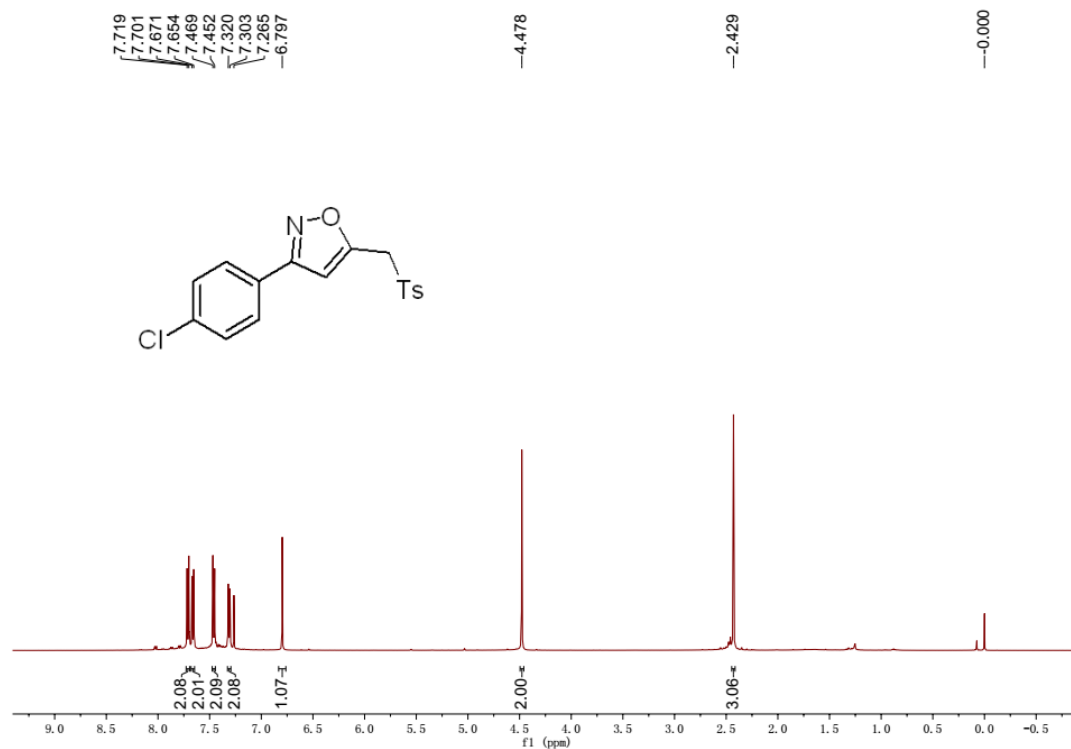


3-(4-fluorophenyl)-5-(tosylmethyl)isoxazole (3fa)

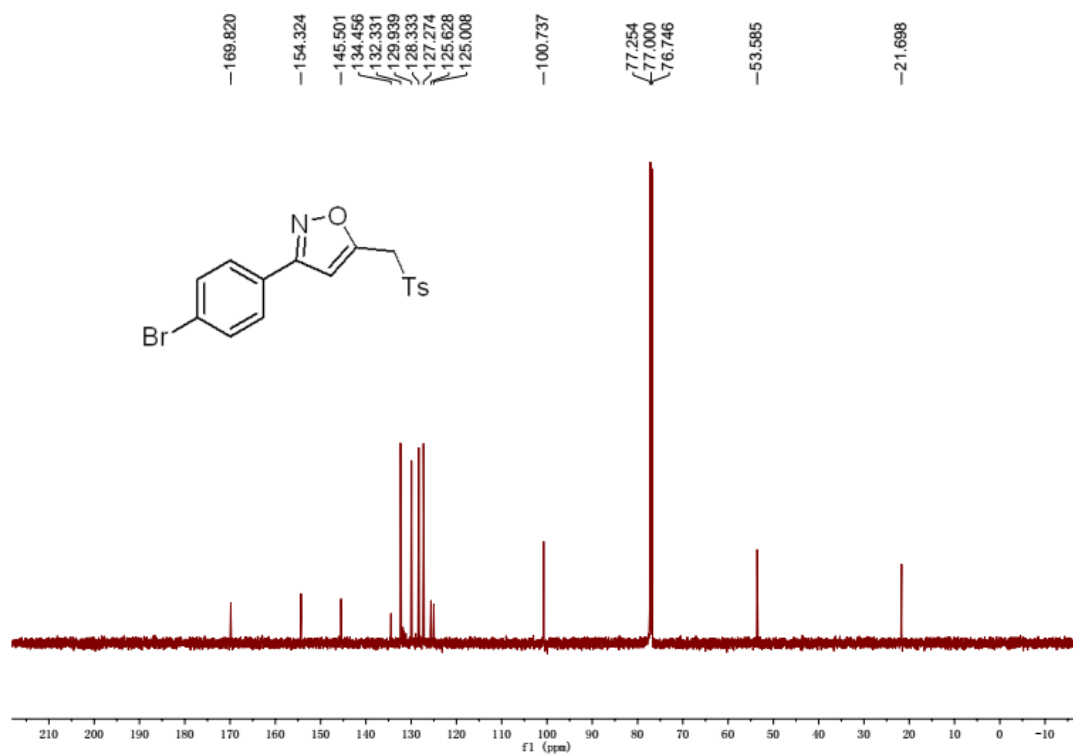




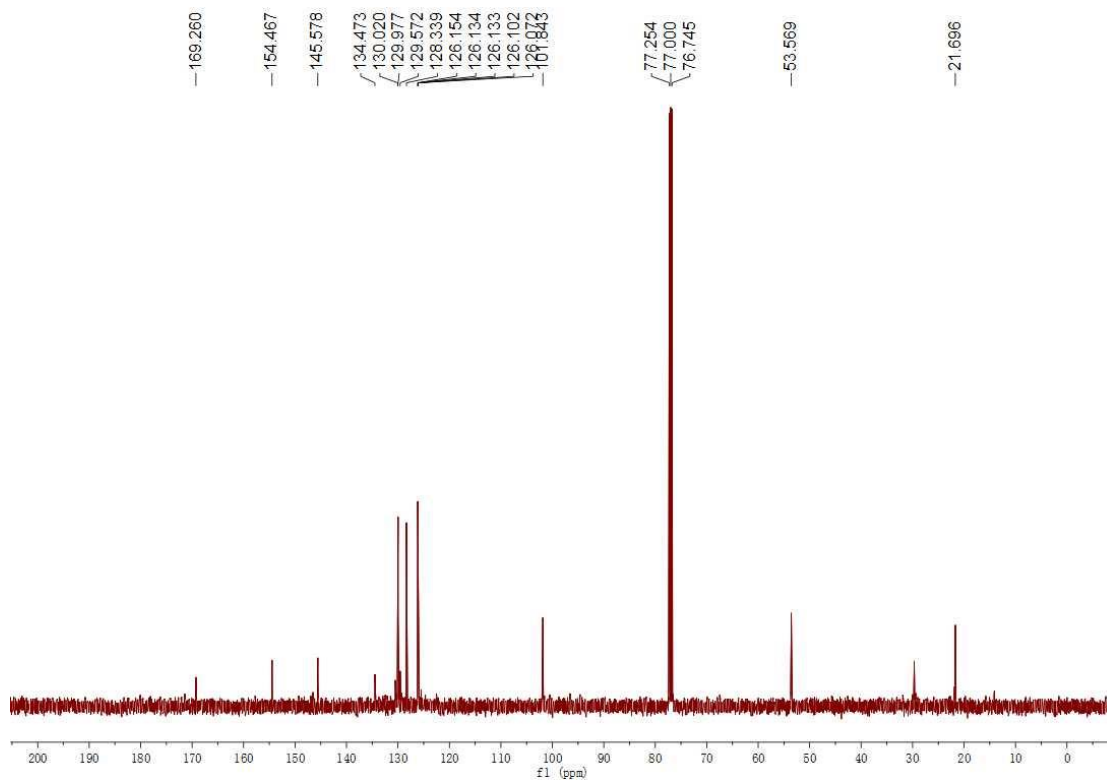
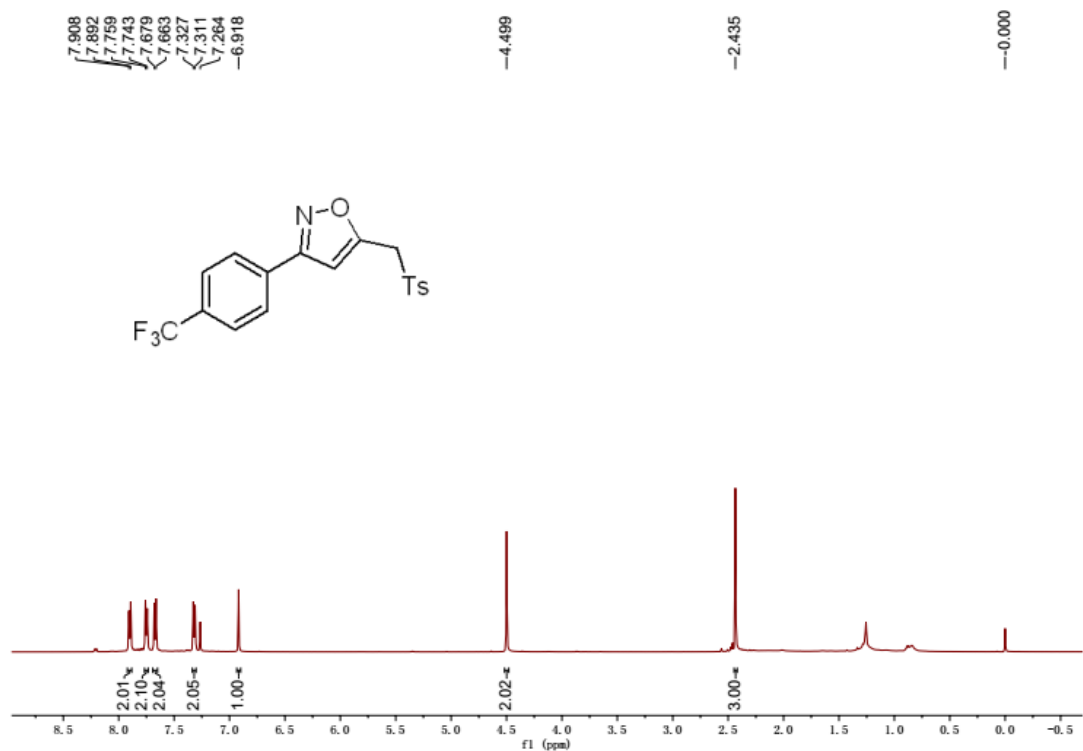
3-(4-chlorophenyl)-5-(tosylmethyl)isoxazole (3ga)

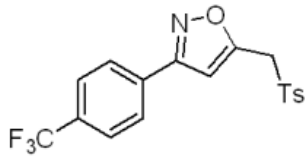


3-(4-bromophenyl)-5-(tosylmethyl)isoxazole (3ha)

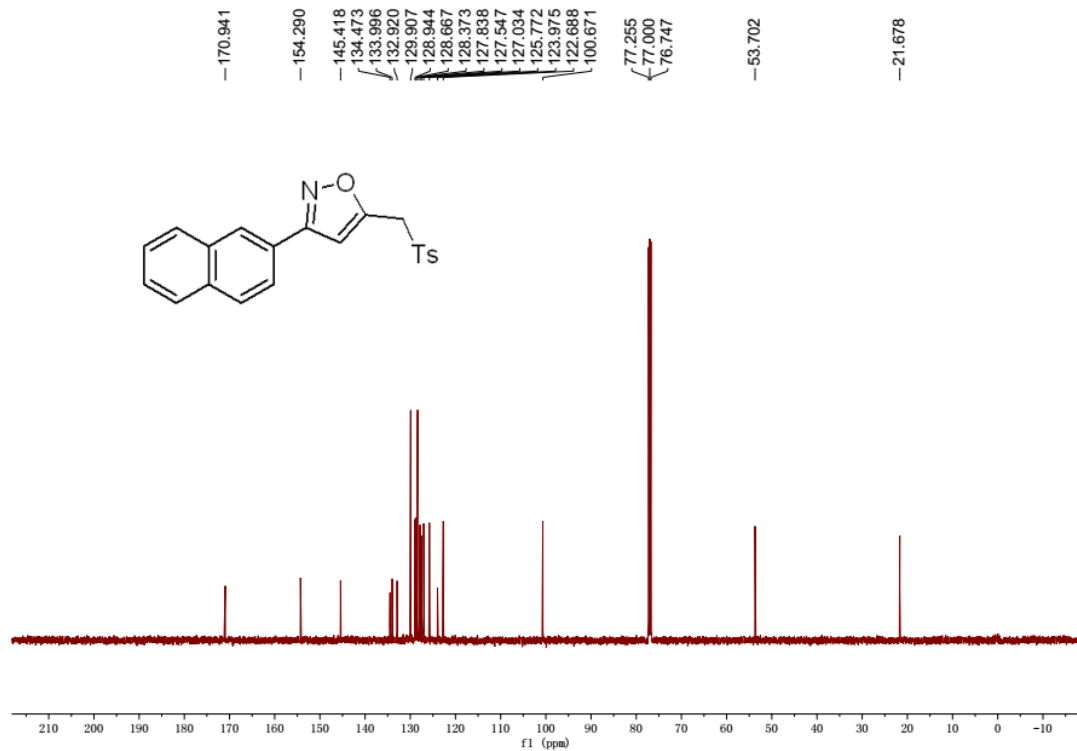
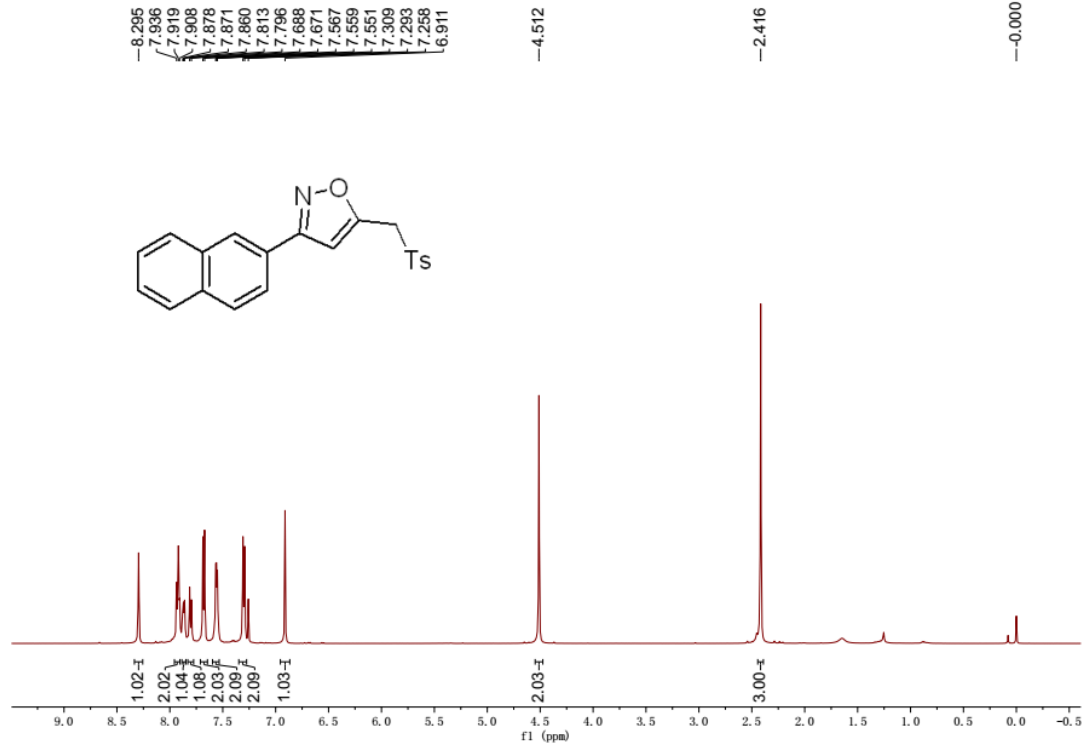


5-(tosylmethyl)-3-(4-(trifluoromethyl)phenyl)isoxazole (3ia)

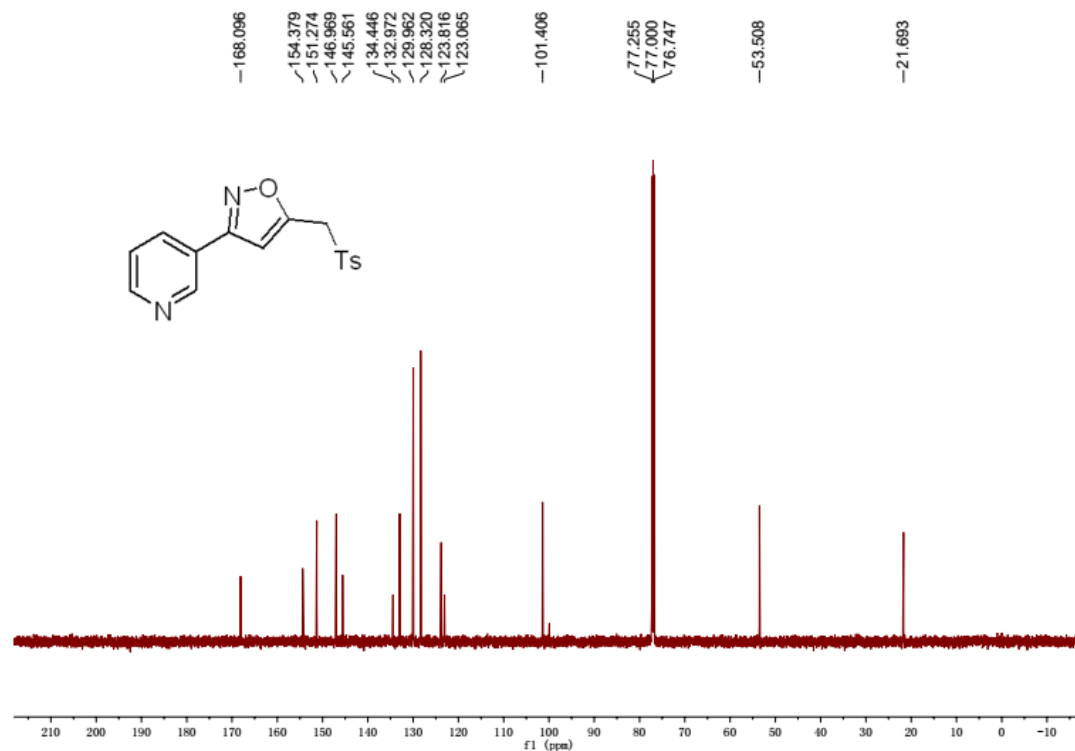
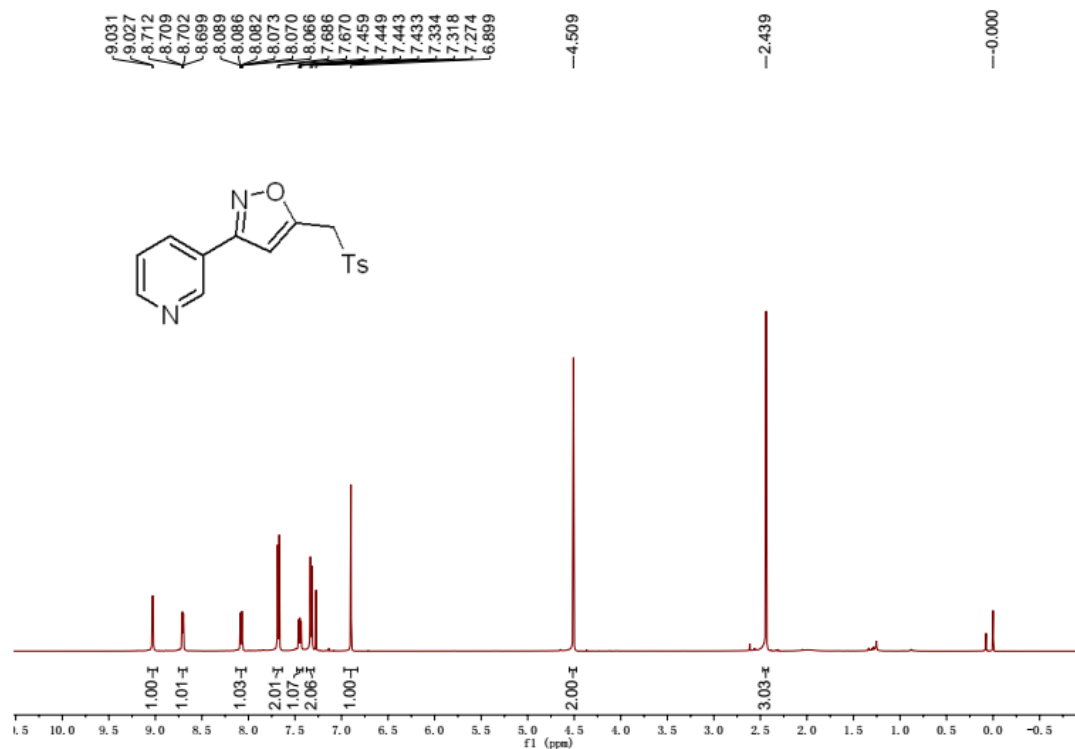




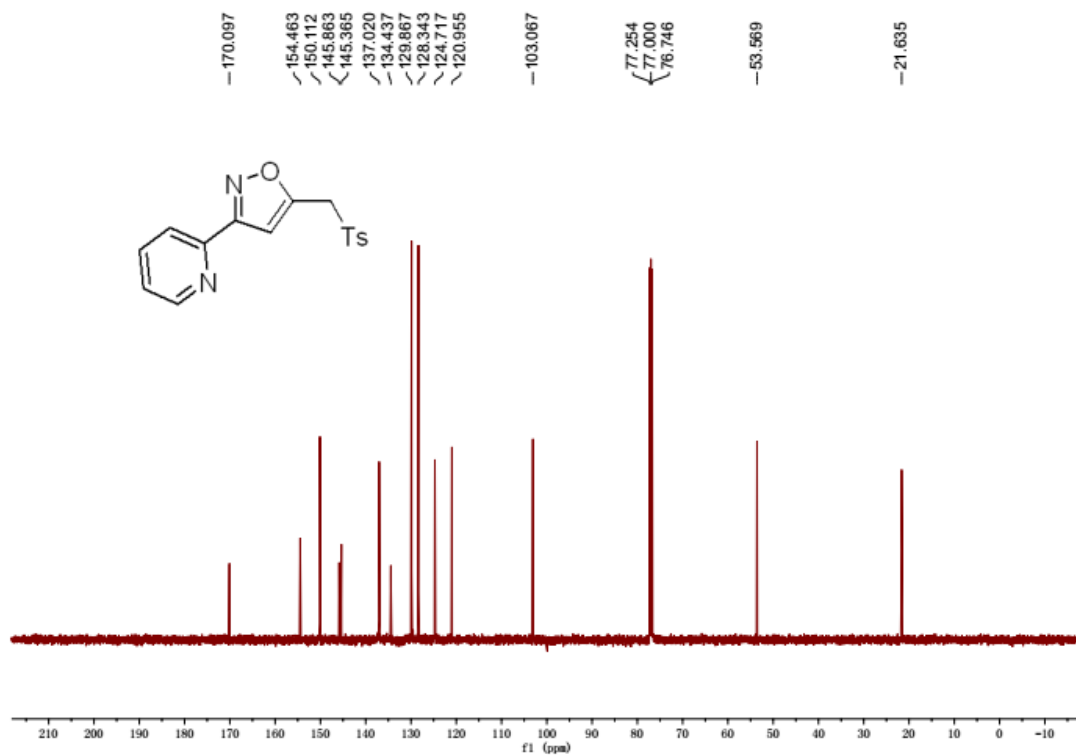
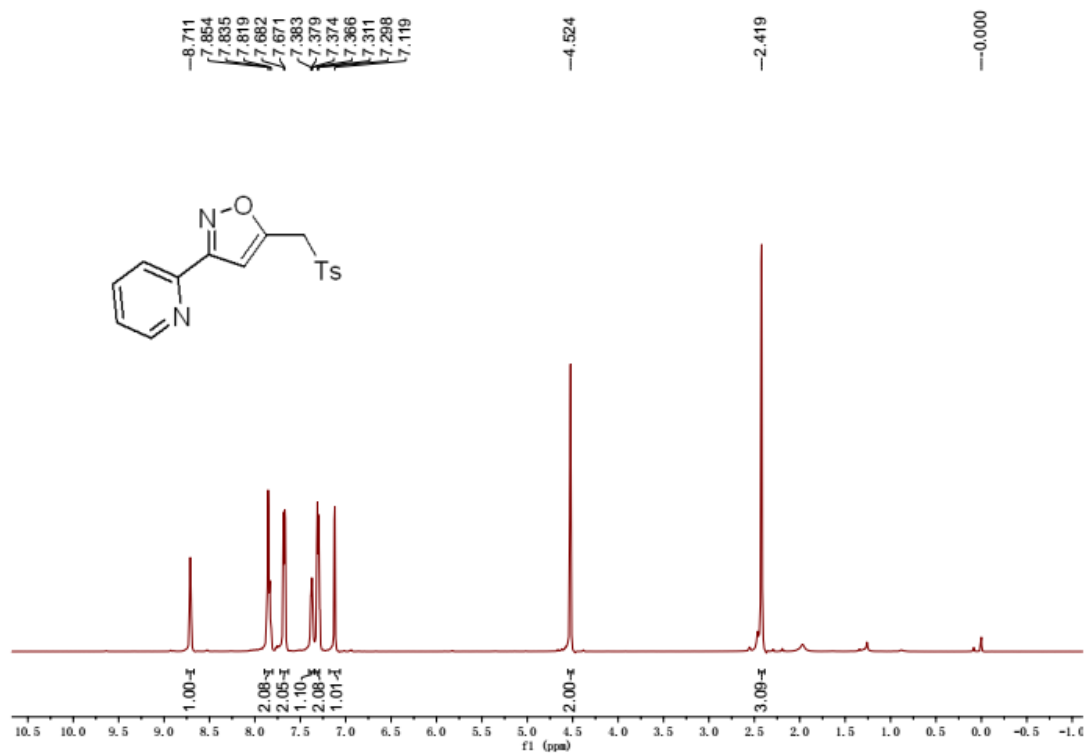
3-(naphthalen-2-yl)-5-(tosylmethyl)isoxazole (3ja)



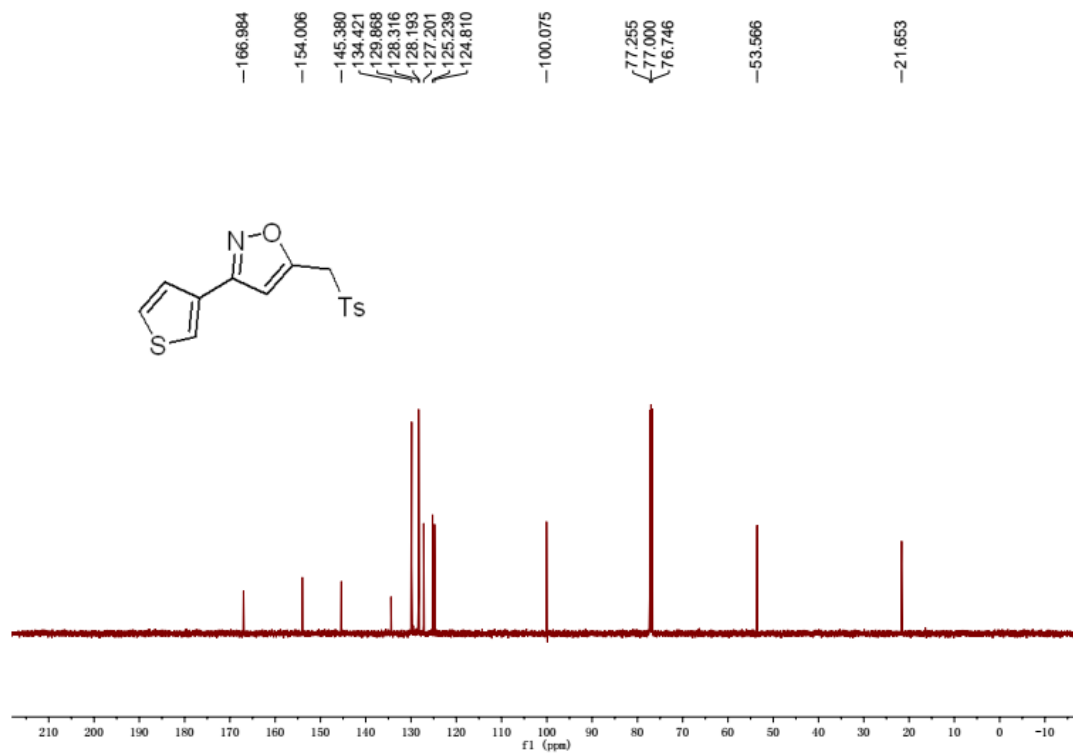
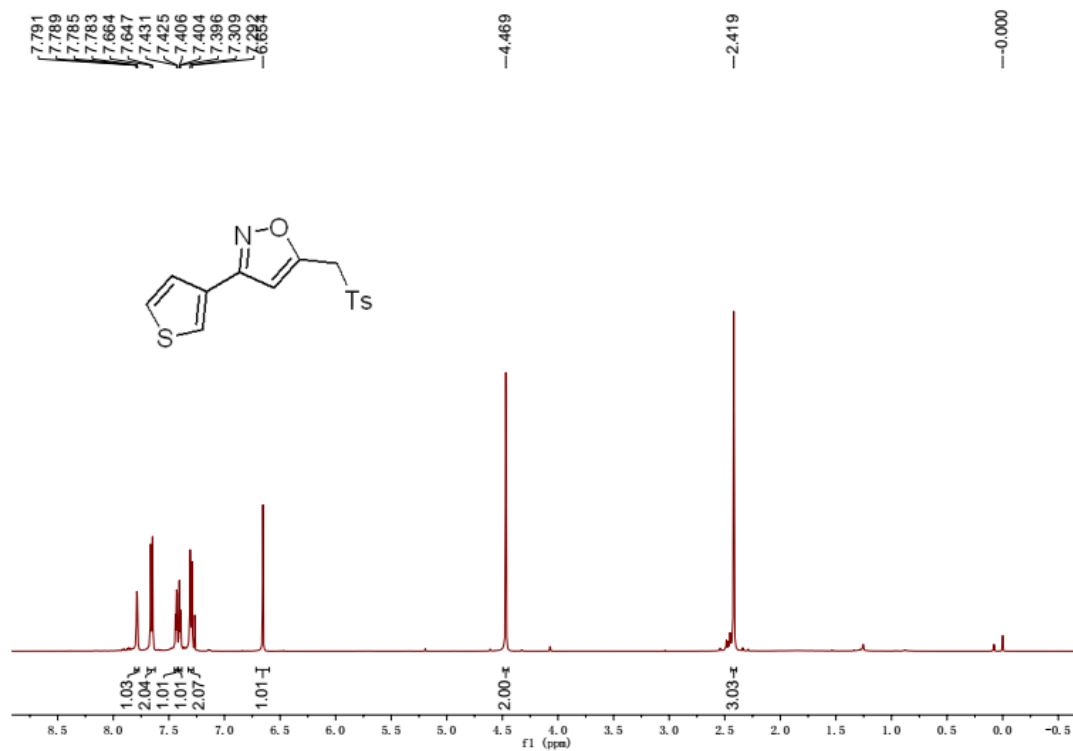
3-(pyridin-3-yl)-5-(tosylmethyl)isoxazole (3ka)



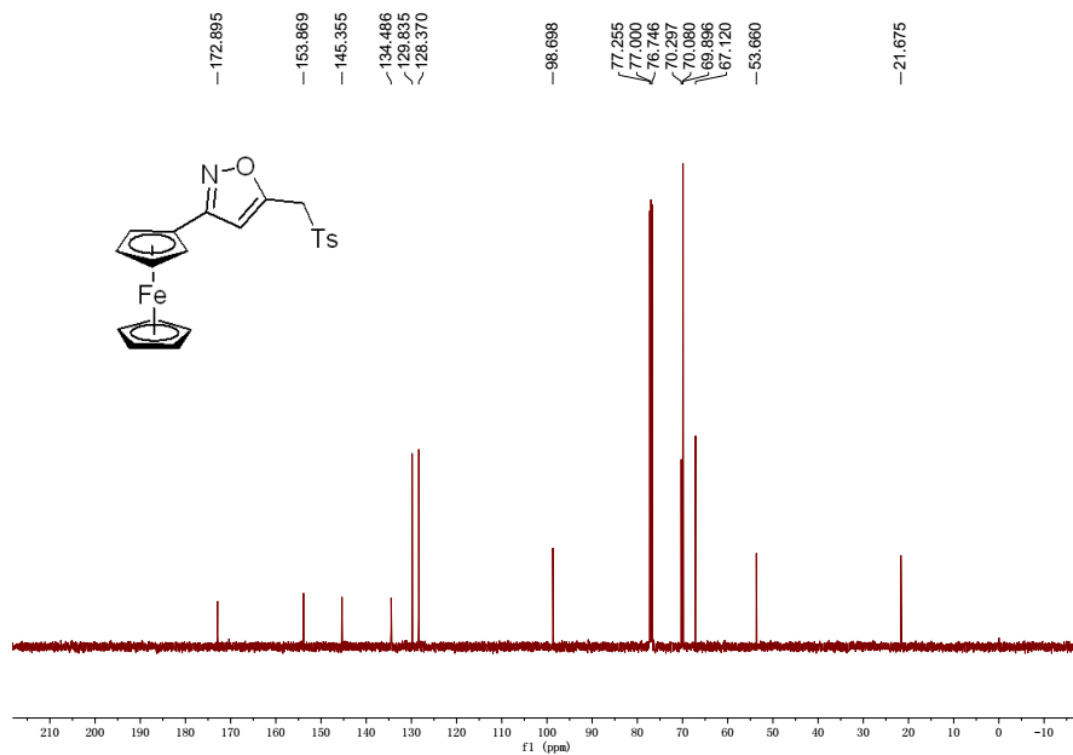
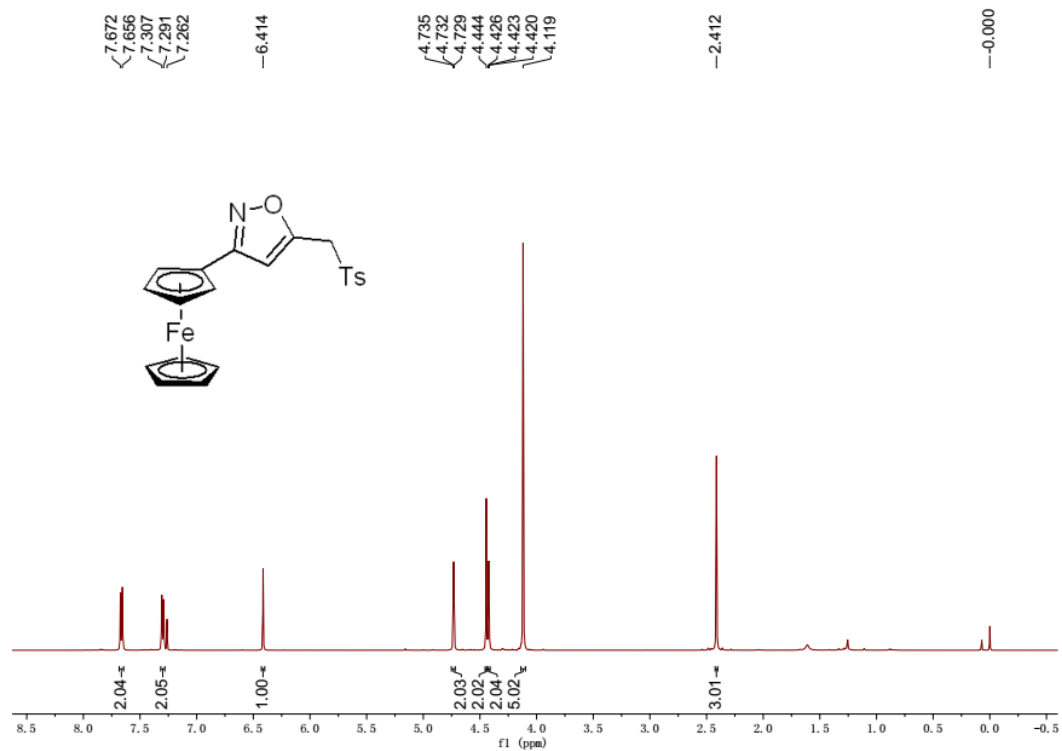
3-(pyridin-2-yl)-5-(tosylmethyl)isoxazole (3la)



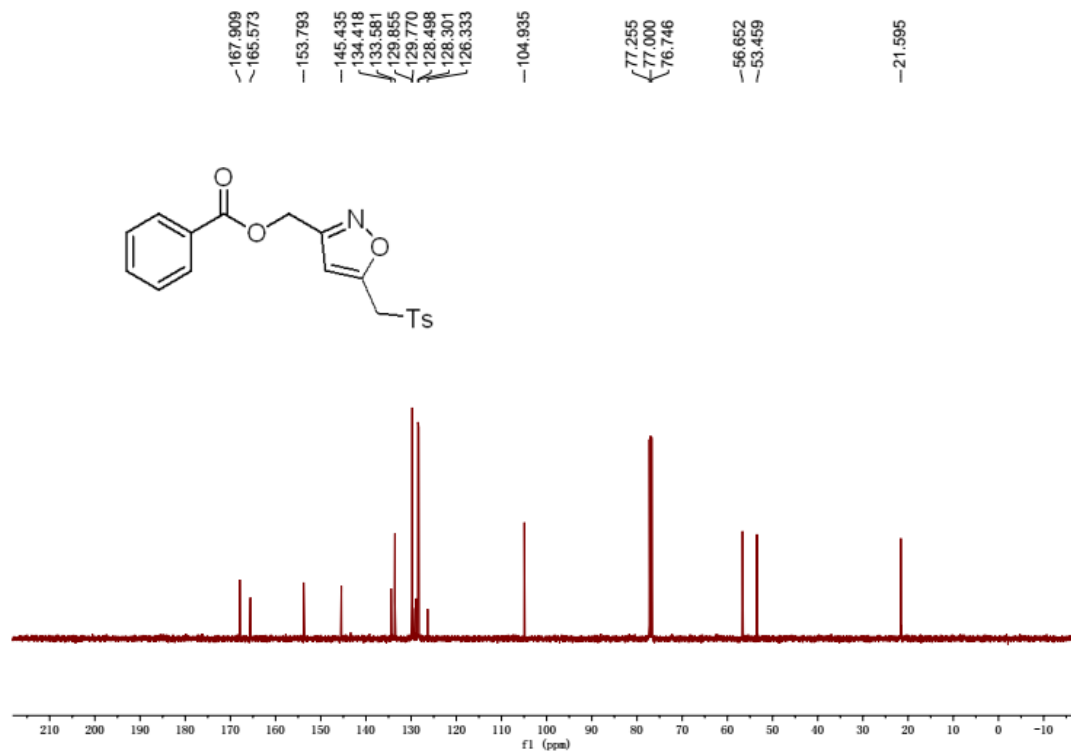
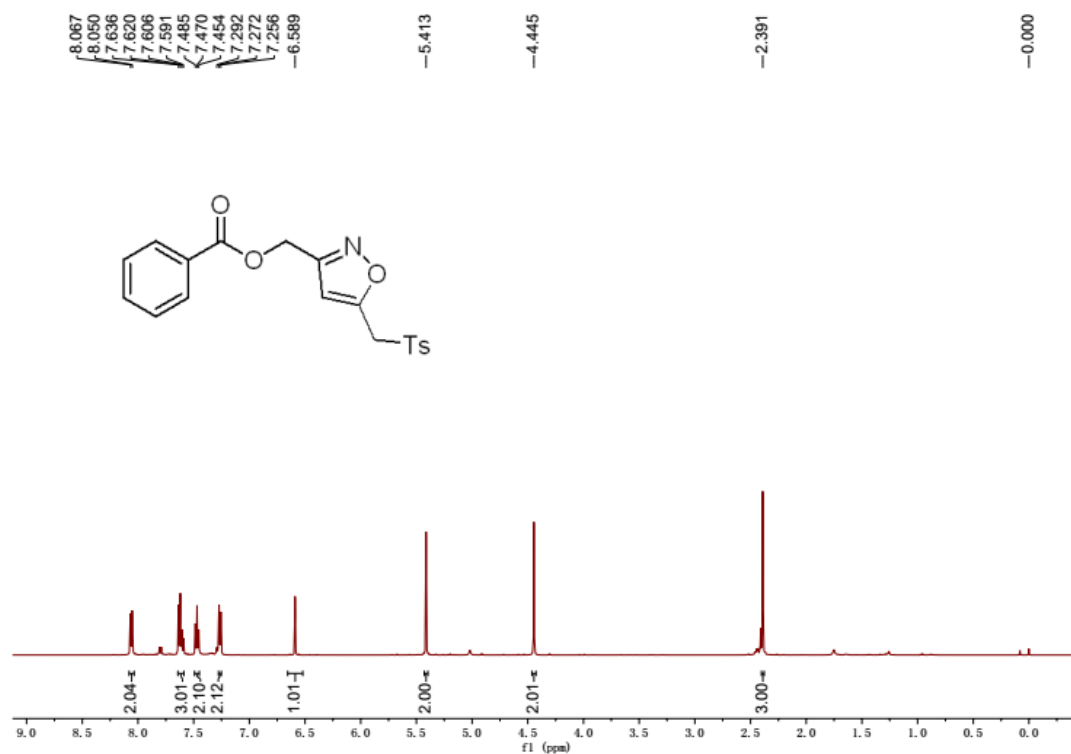
3-(thiophen-3-yl)-5-(tosylmethyl)isoxazole (3ma)



Product (3na)

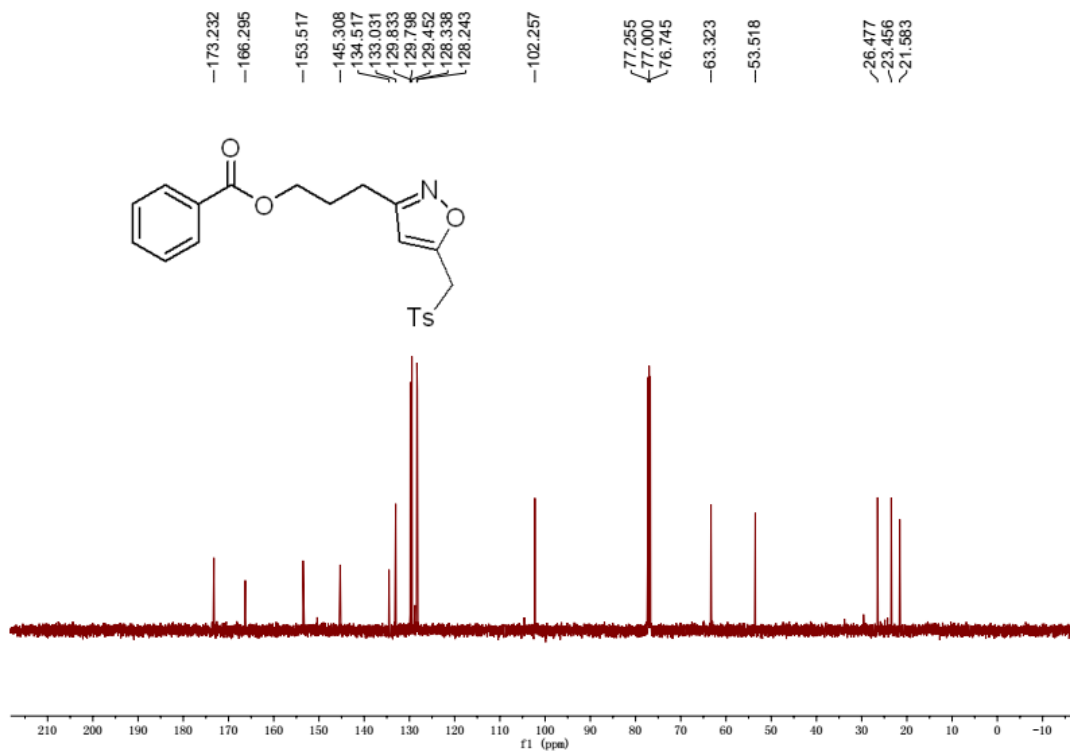
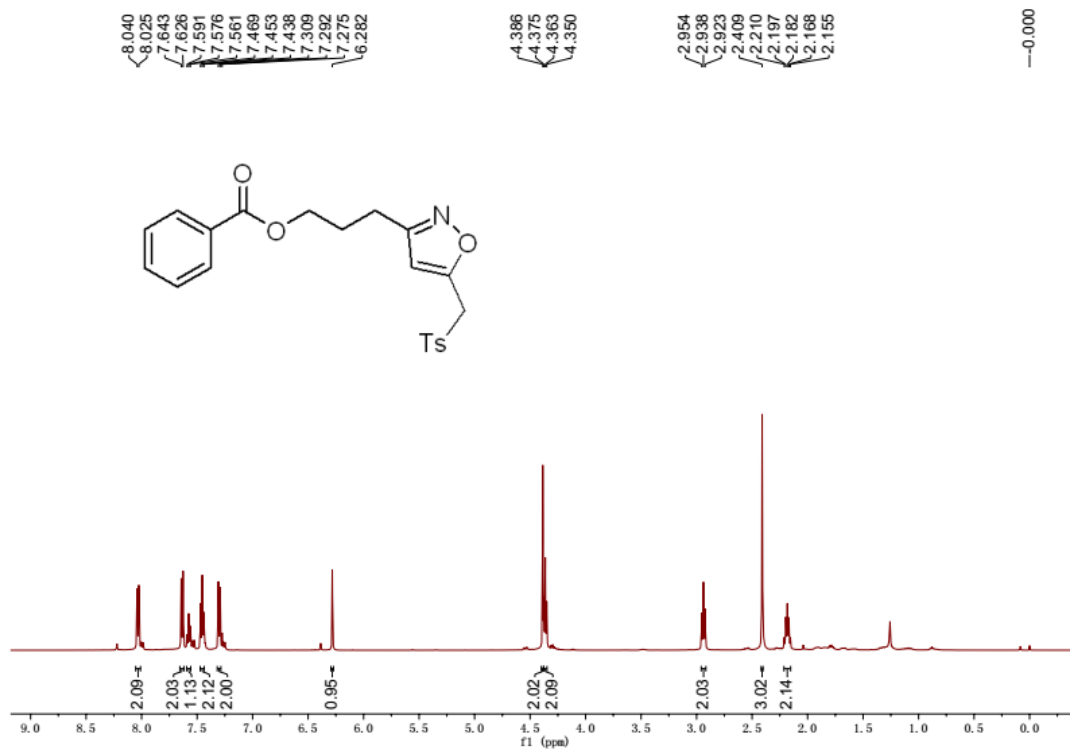


(5-(tosylmethyl)isoxazol-3-yl)methyl benzoate (30a)



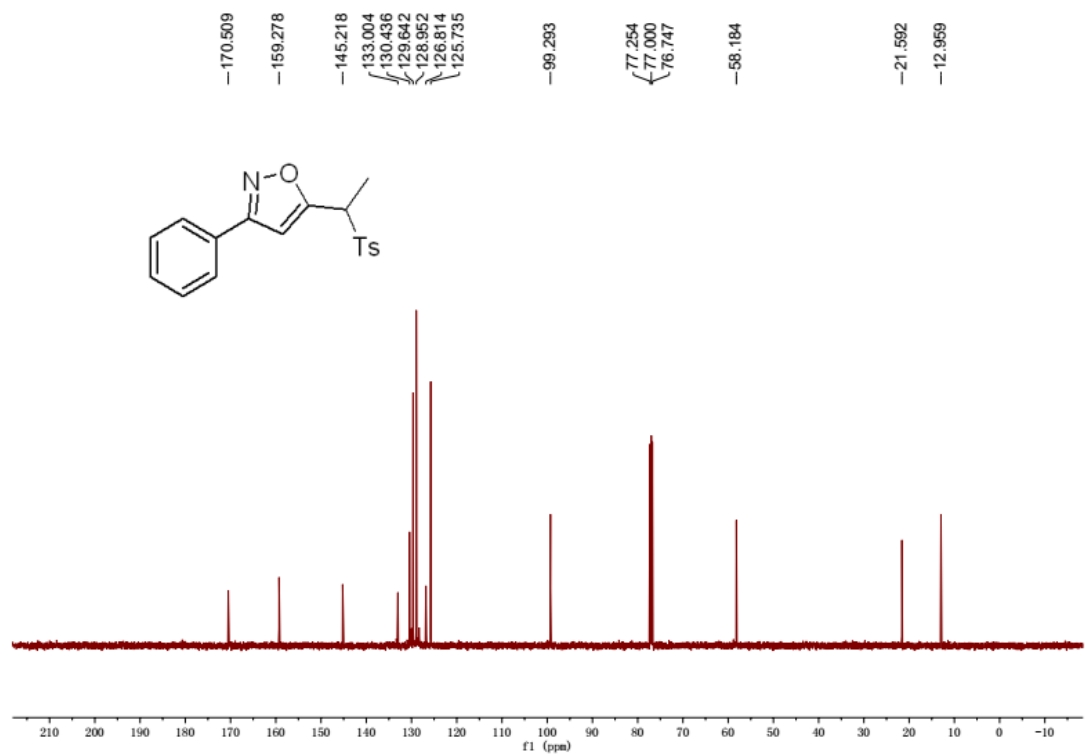
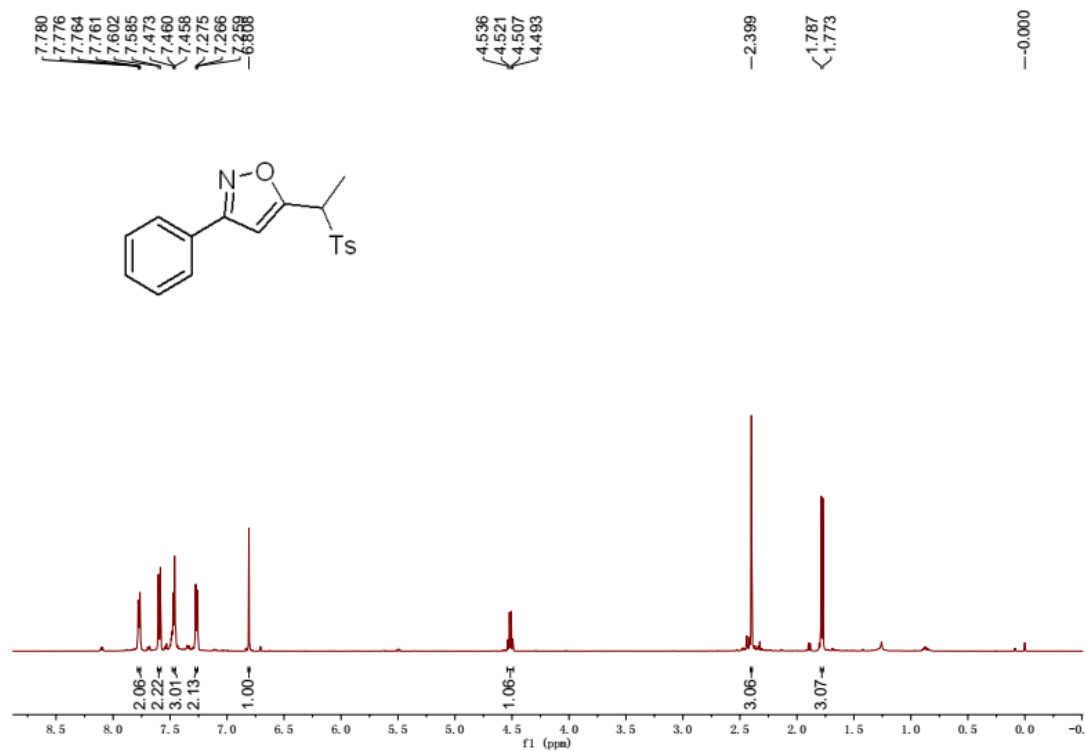
3-(5-(tosylmethyl)isoxazol-3-yl)propyl benzoate

(3pa)



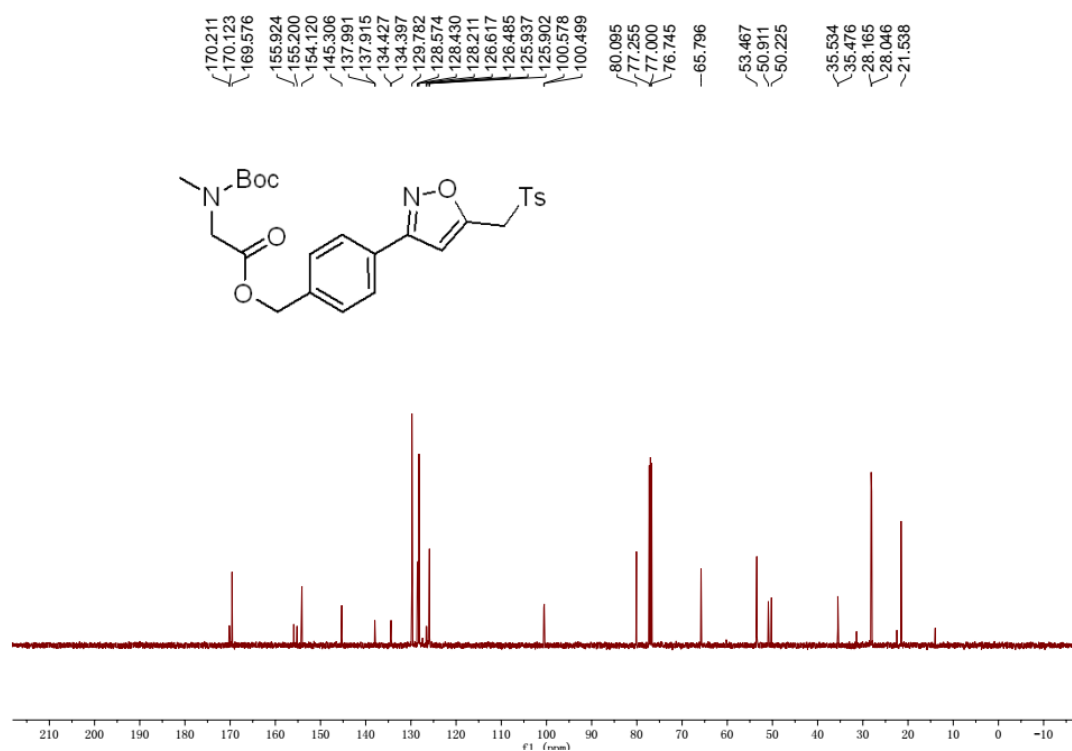
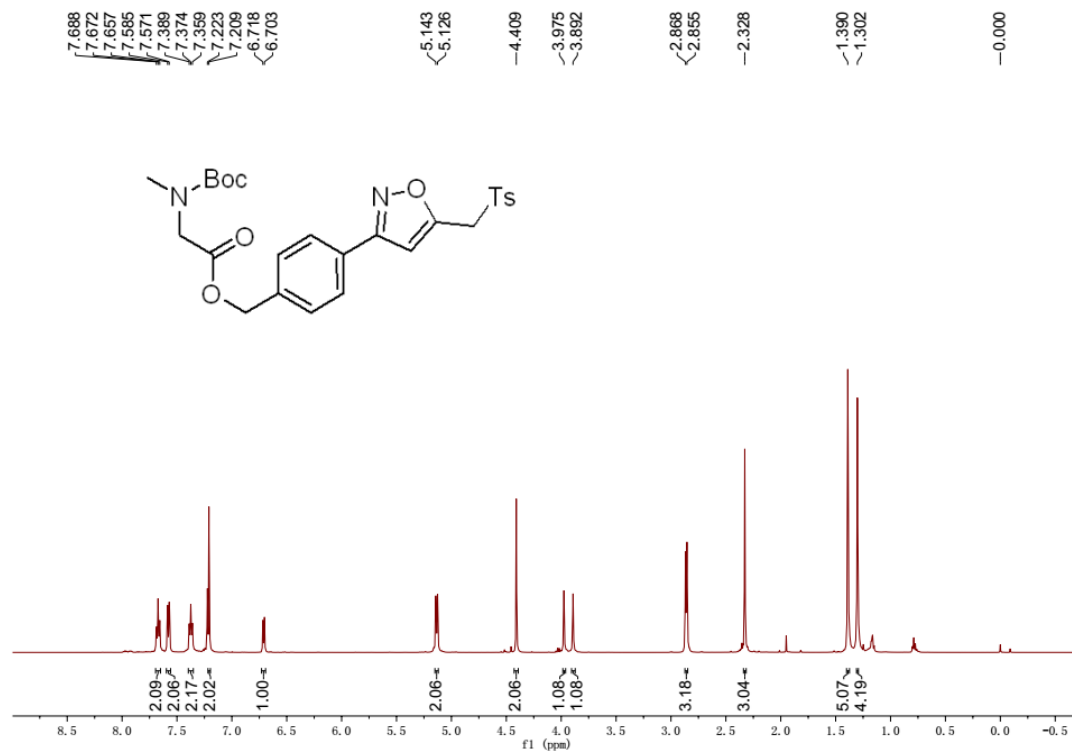
3-phenyl-5-(1-tosylethyl)isoxazole

(3qa)

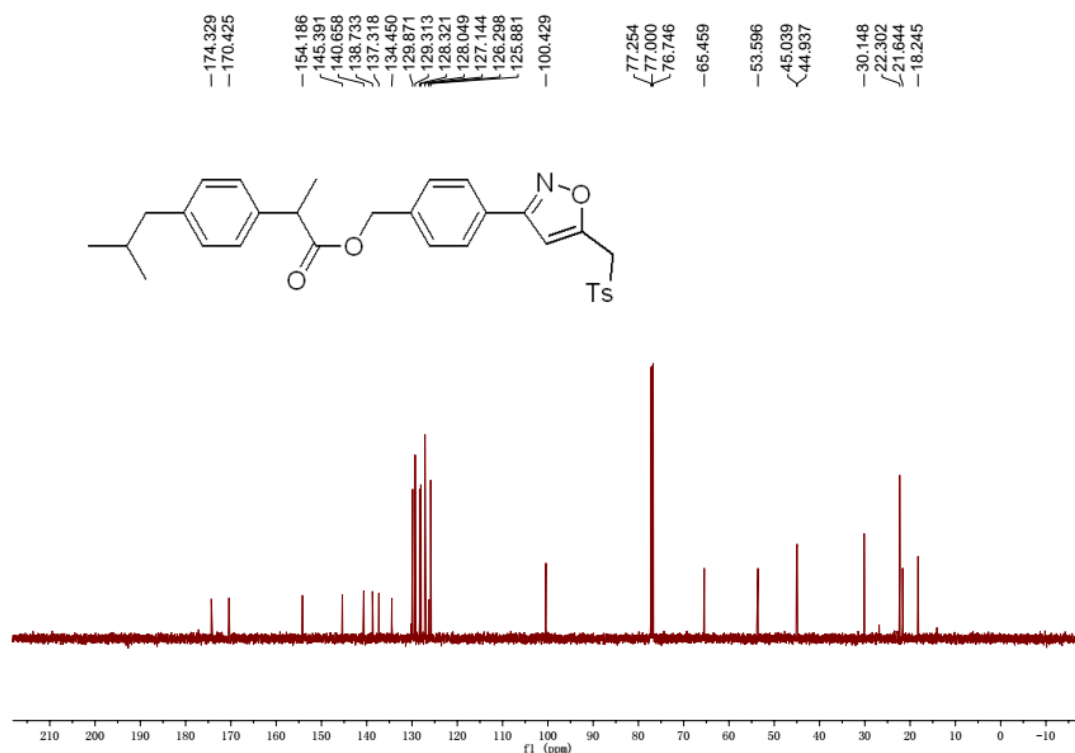
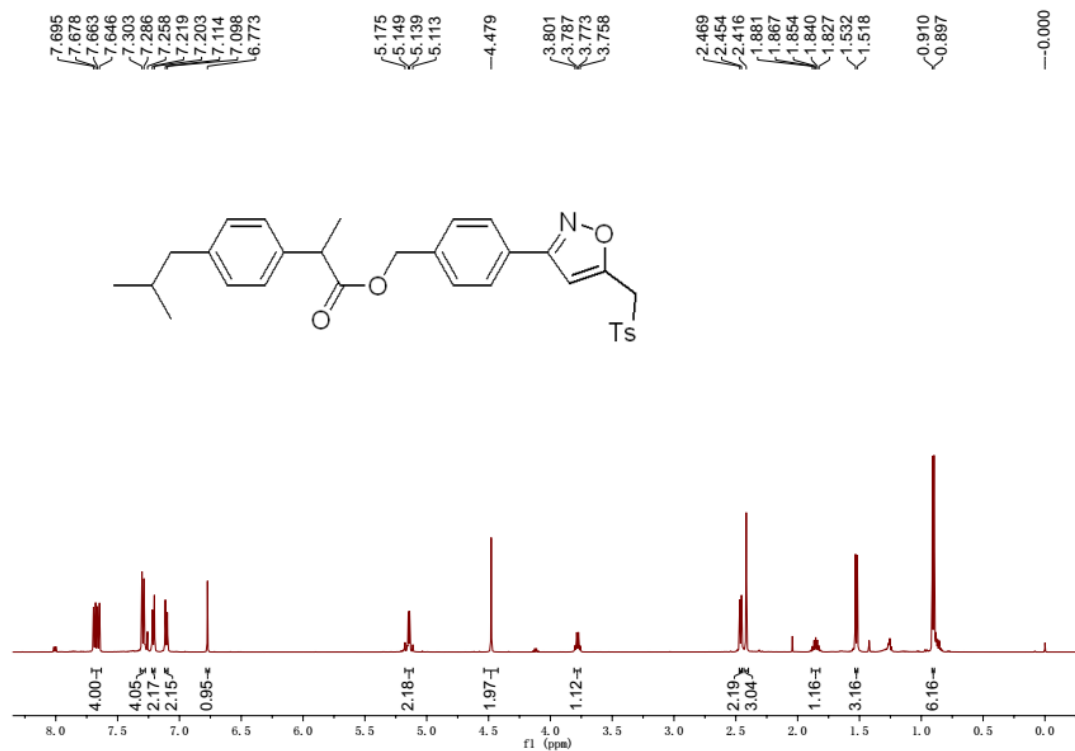


4-(5-(tosylmethyl)isoxazol-3-yl)benzyl *N*-(tert-butoxycarbonyl)-*N*-methylglycine

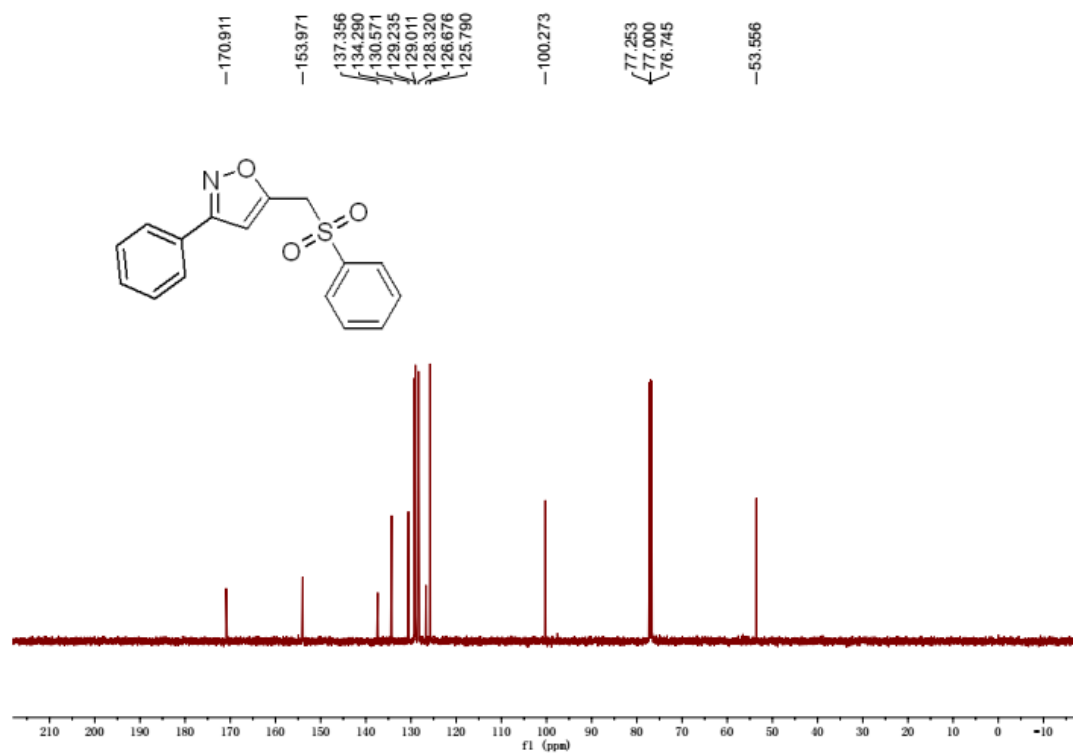
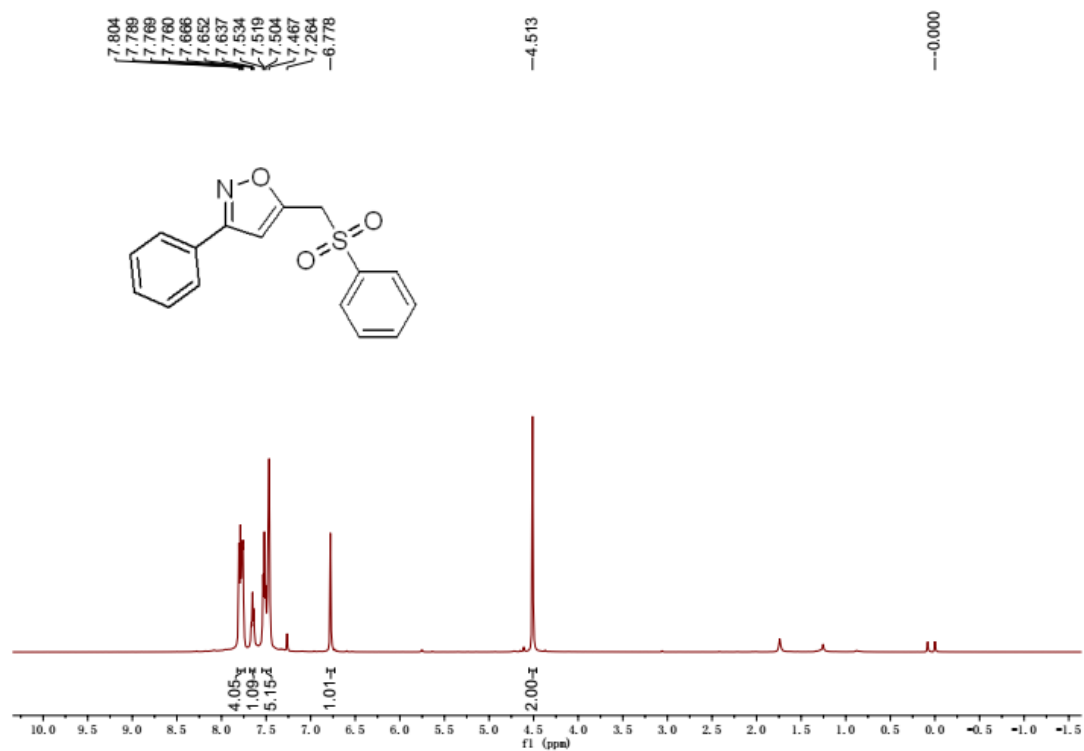
-te (3ra)



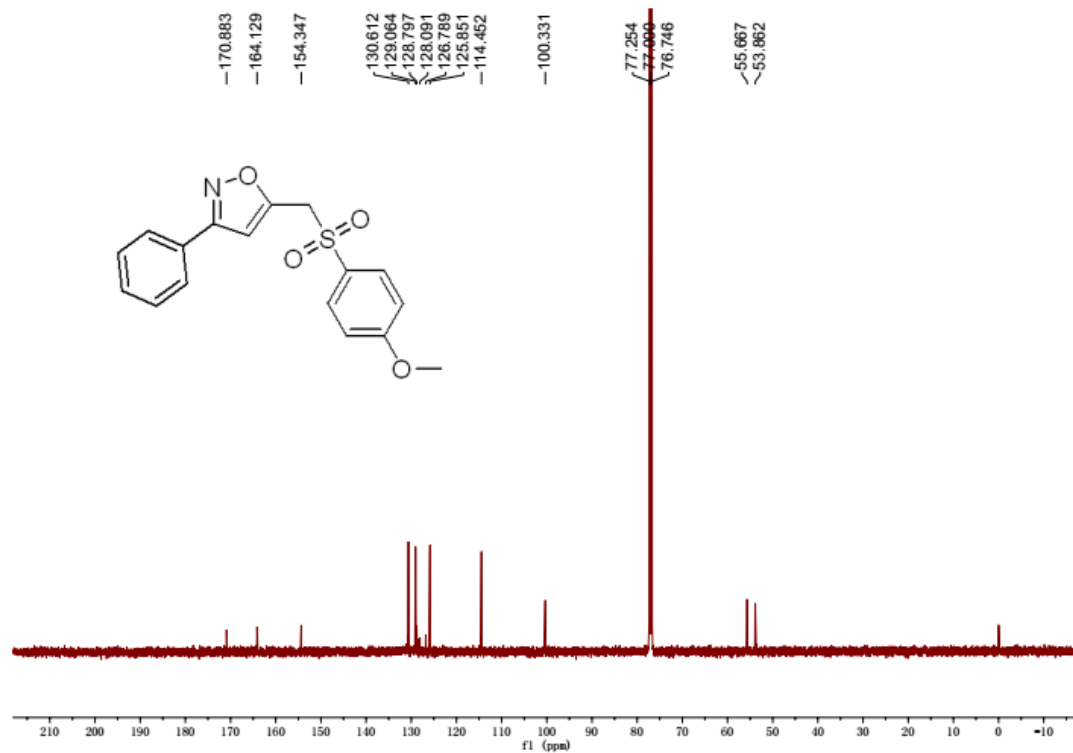
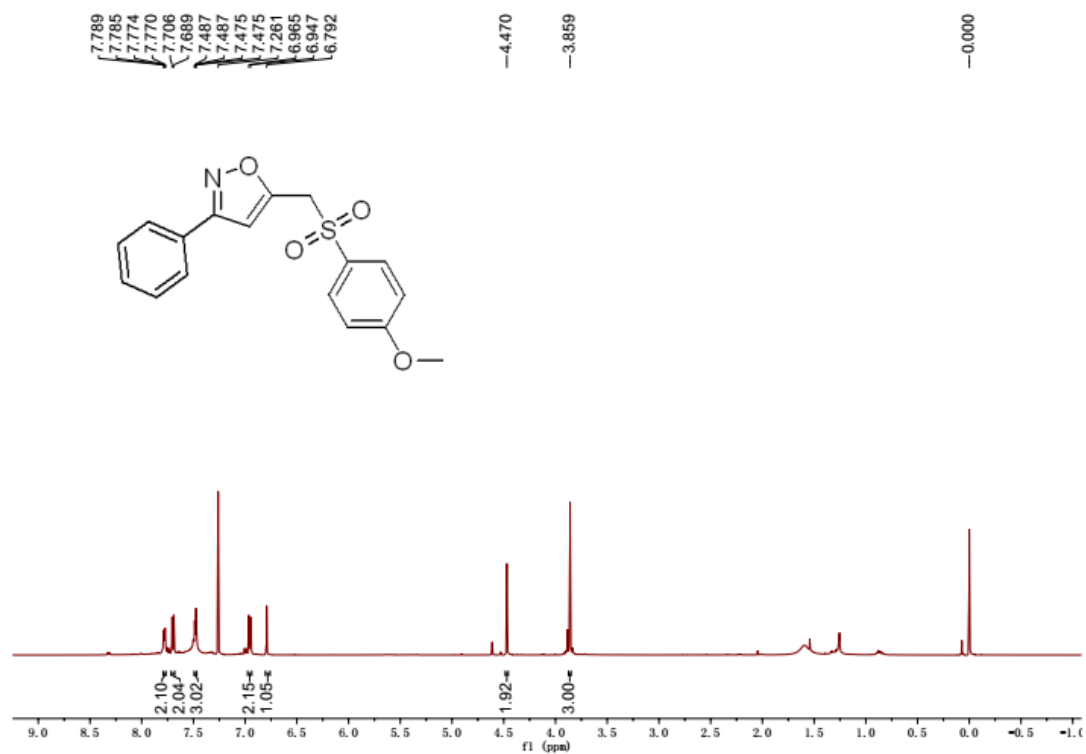
4-(5-(tosylmethyl)isoxazol-3-yl)benzyl 2-(4-isobutylphenyl)propanoate (3sa)



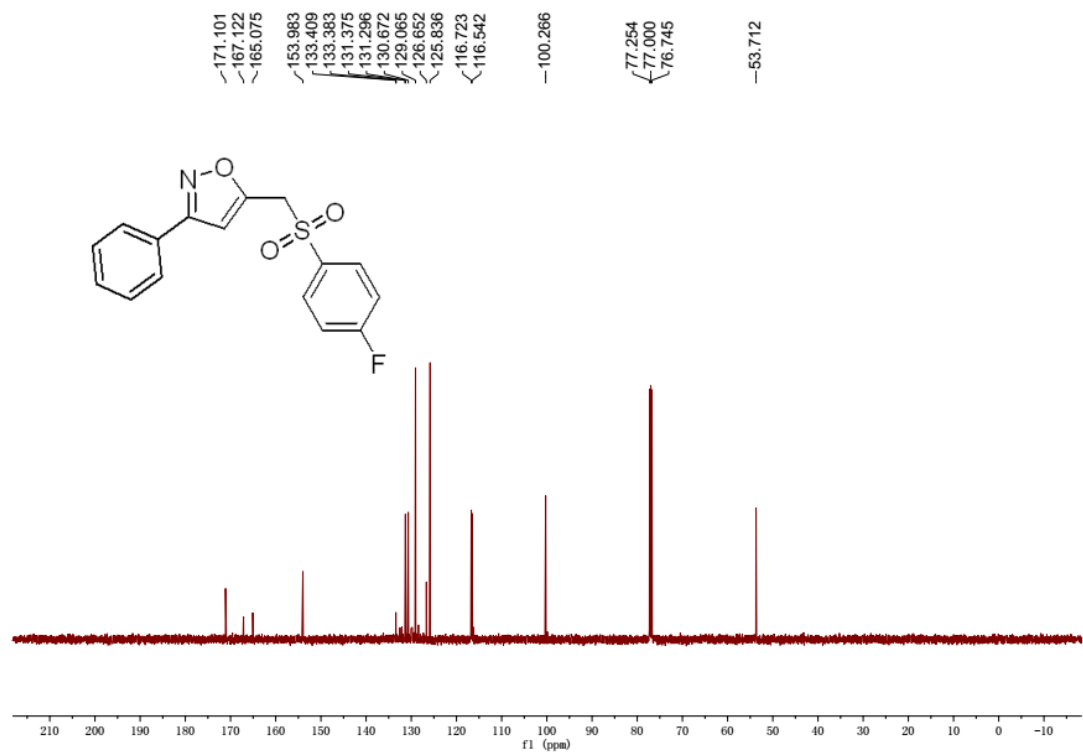
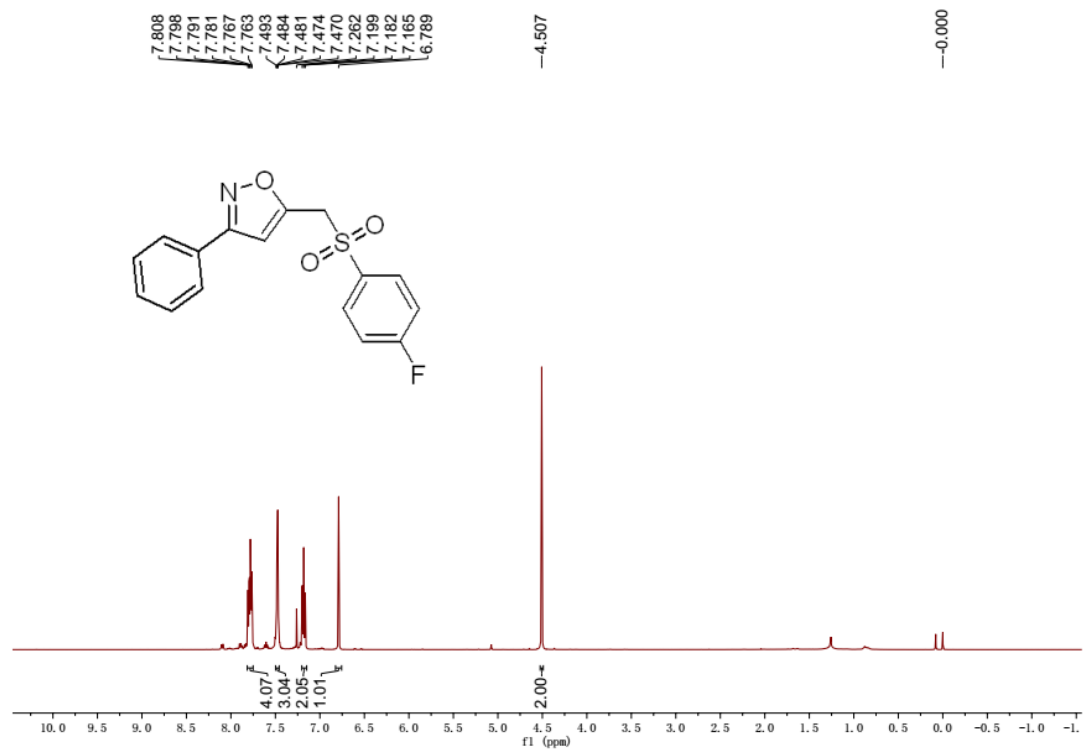
3-phenyl-5-((phenylsulfonyl)methyl)isoxazole (3ab)



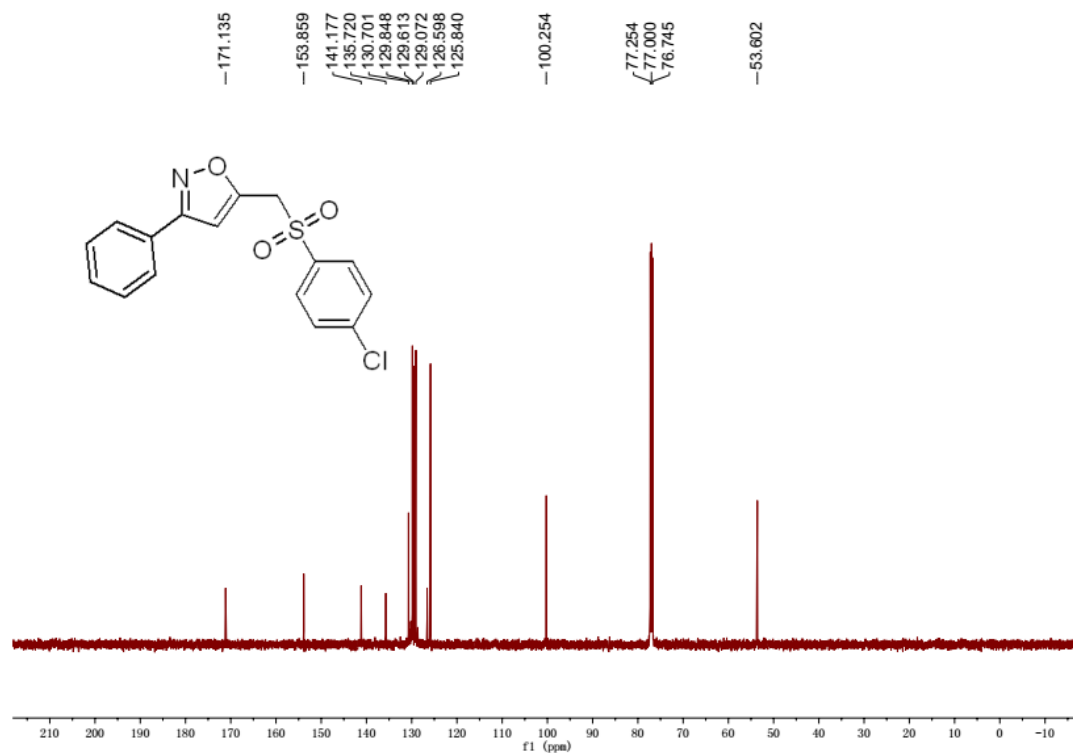
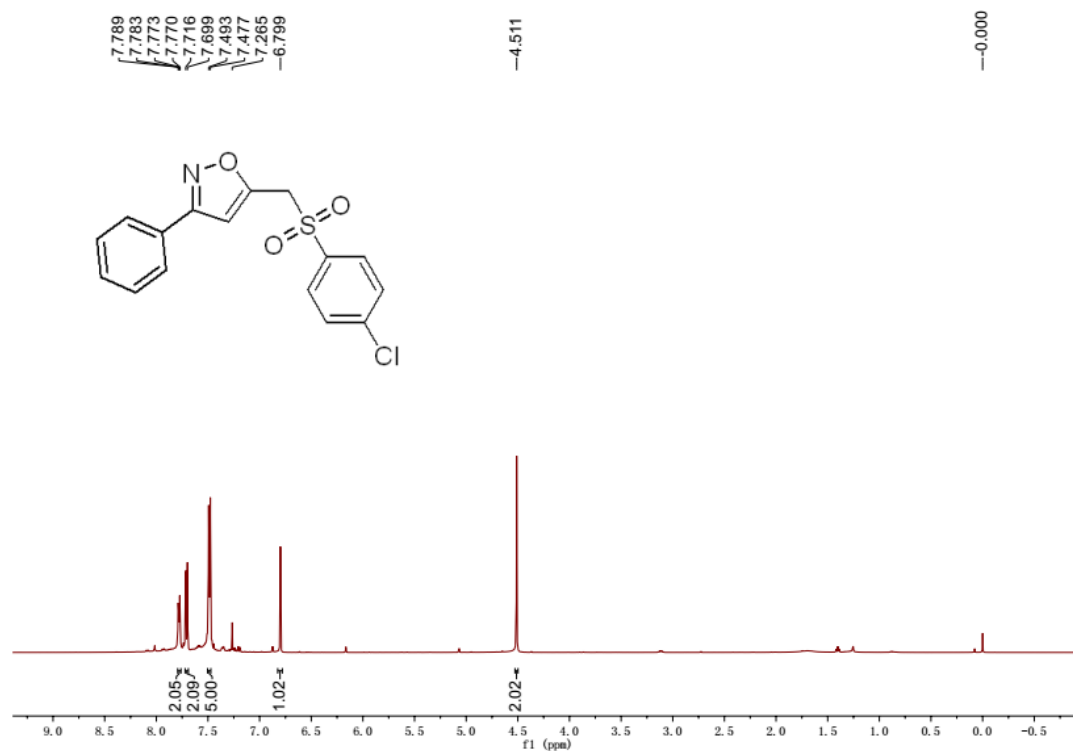
5-(((4-methoxyphenyl)sulfonyl)methyl)-3-phenylisoxazole (3ac)



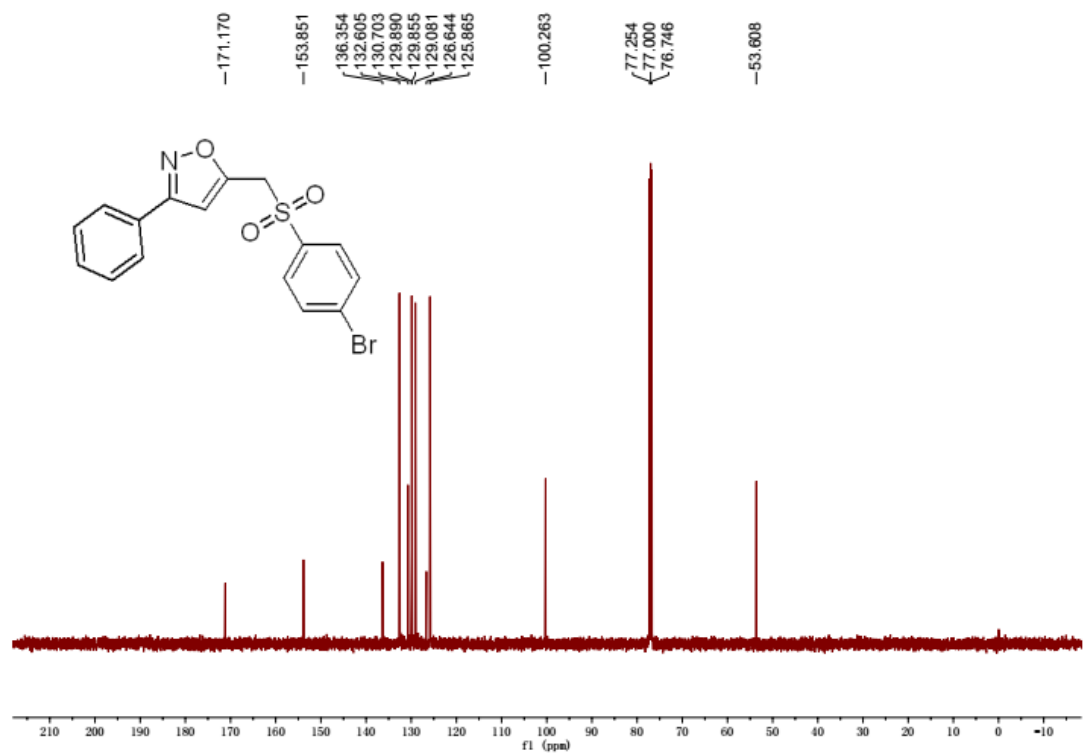
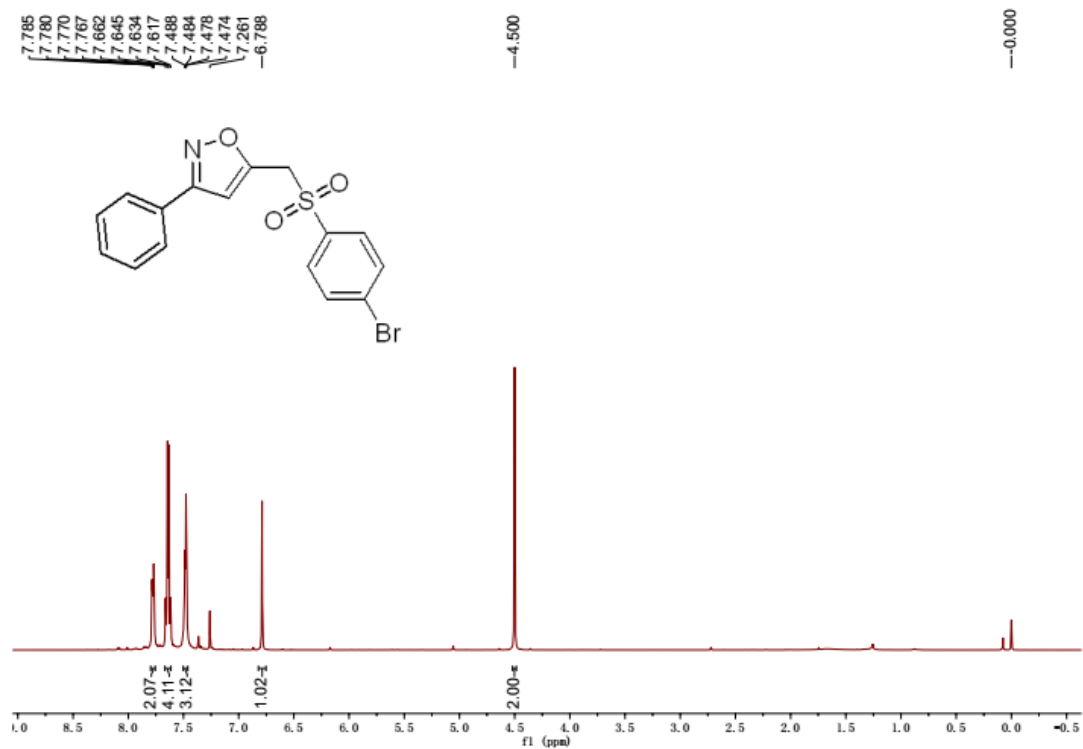
5-(((4-fluorophenyl)sulfonyl)methyl)-3-phenylisoxazole (3ad)



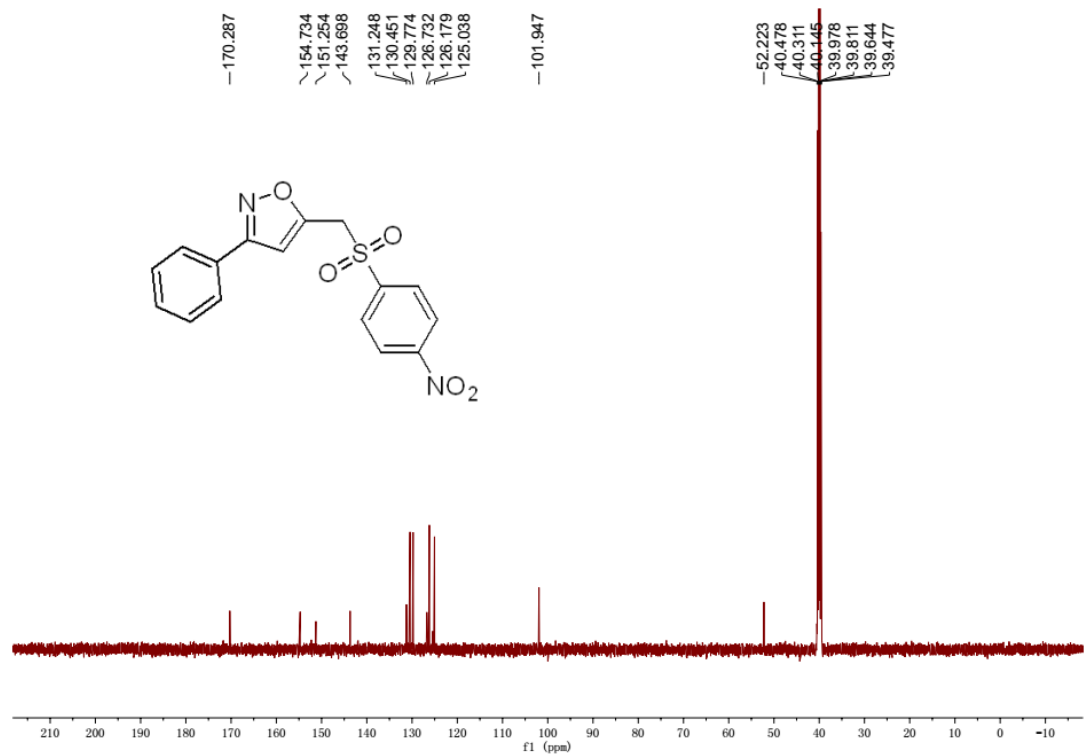
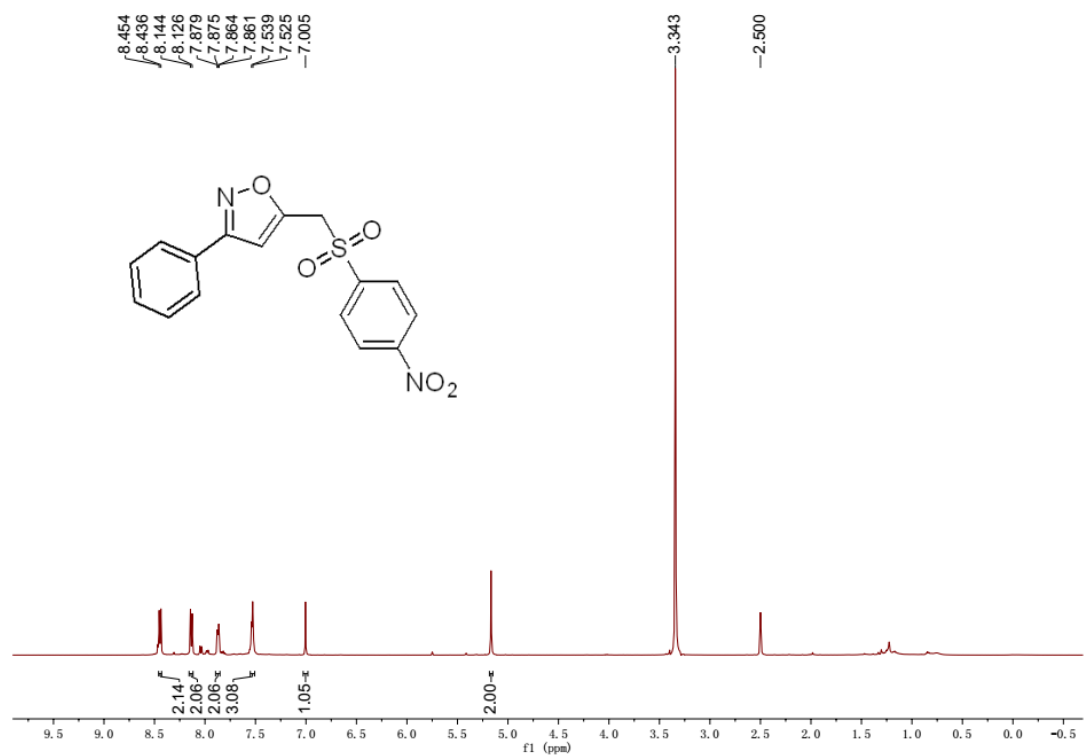
5-(((4-chlorophenyl)sulfonyl)methyl)-3-phenylisoxazole (3ae)



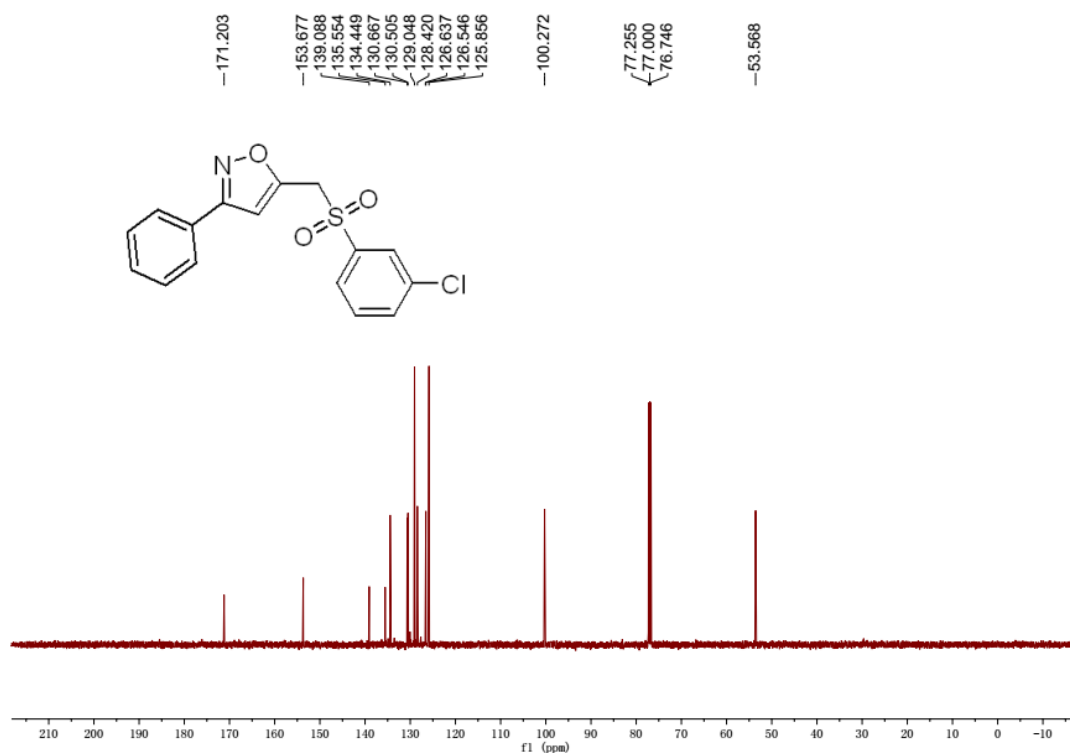
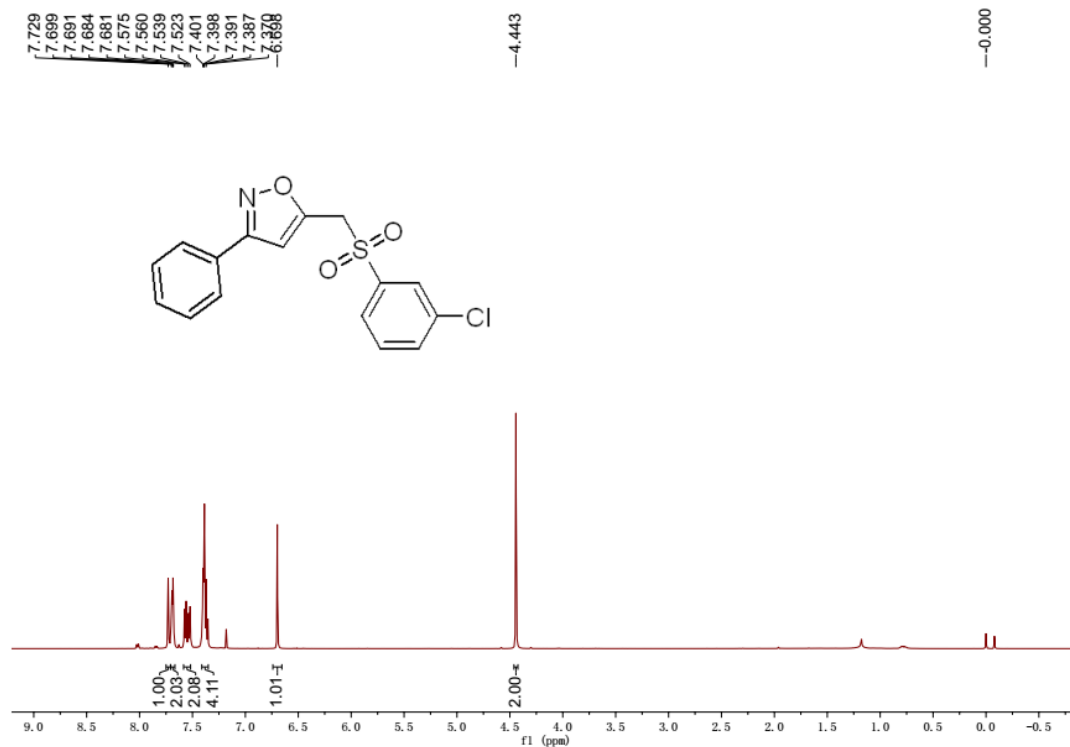
5-(((4-bromophenyl)sulfonyl)methyl)-3-phenylisoxazole (3af)



5-(((4-nitrophenyl)sulfonyl)methyl)-3-phenylisoxazole (3ag)

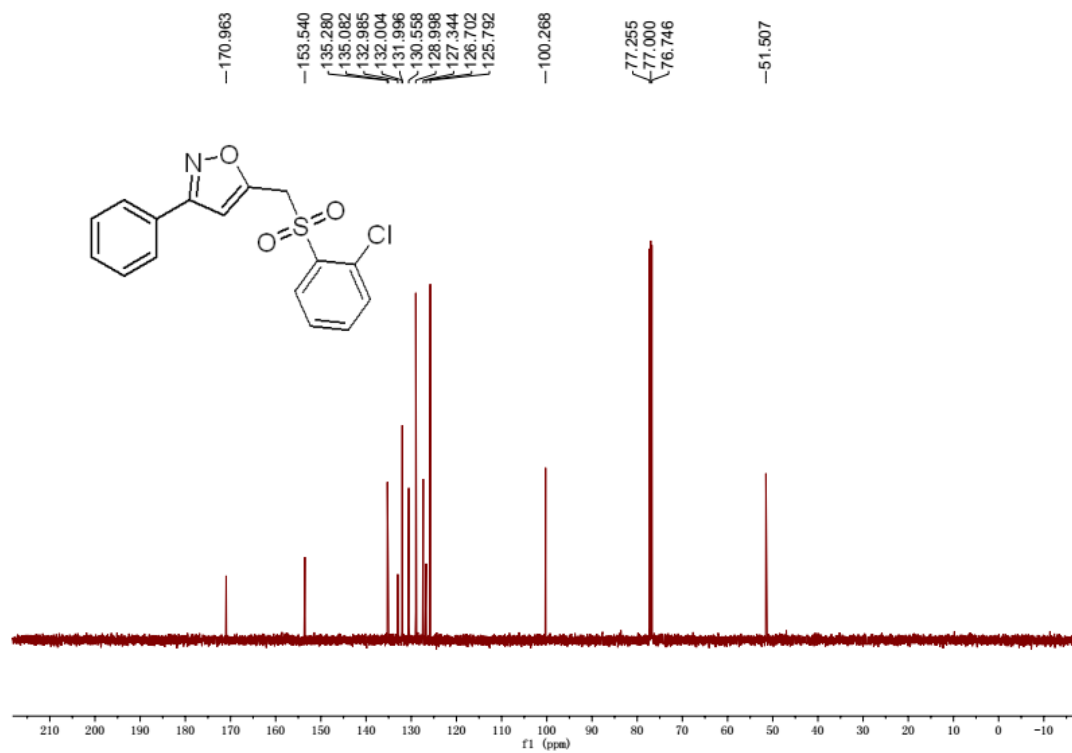
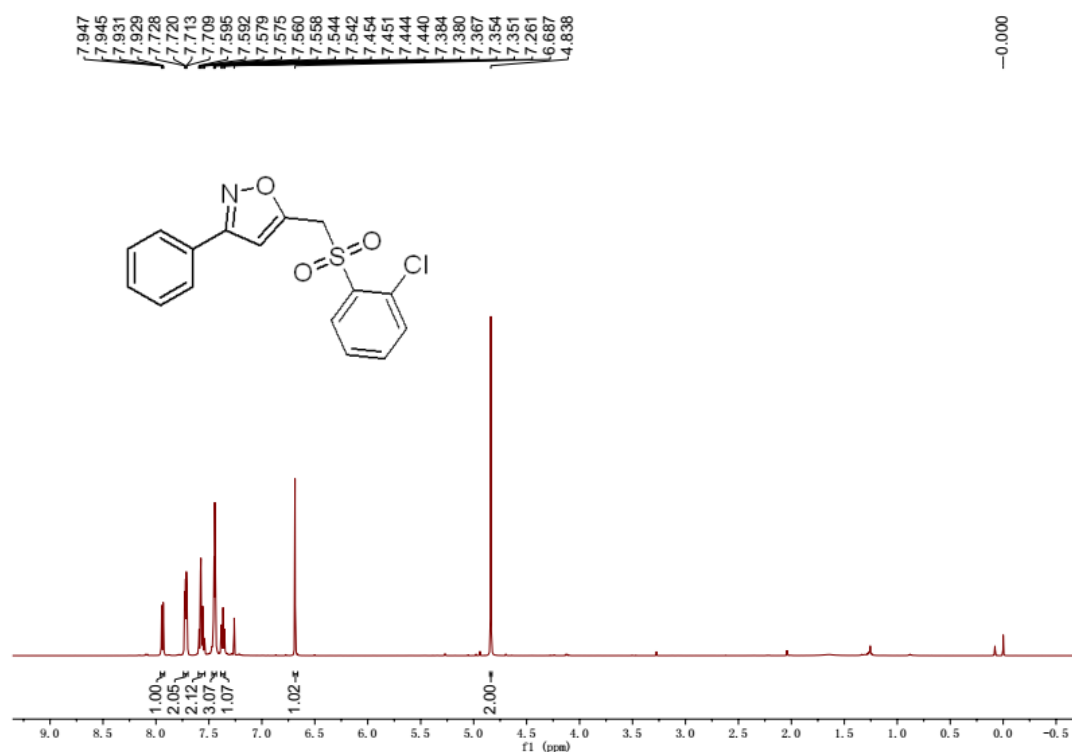


5-(((3-chlorophenyl)sulfonyl)methyl)-3-phenylisoxazole (3ah)

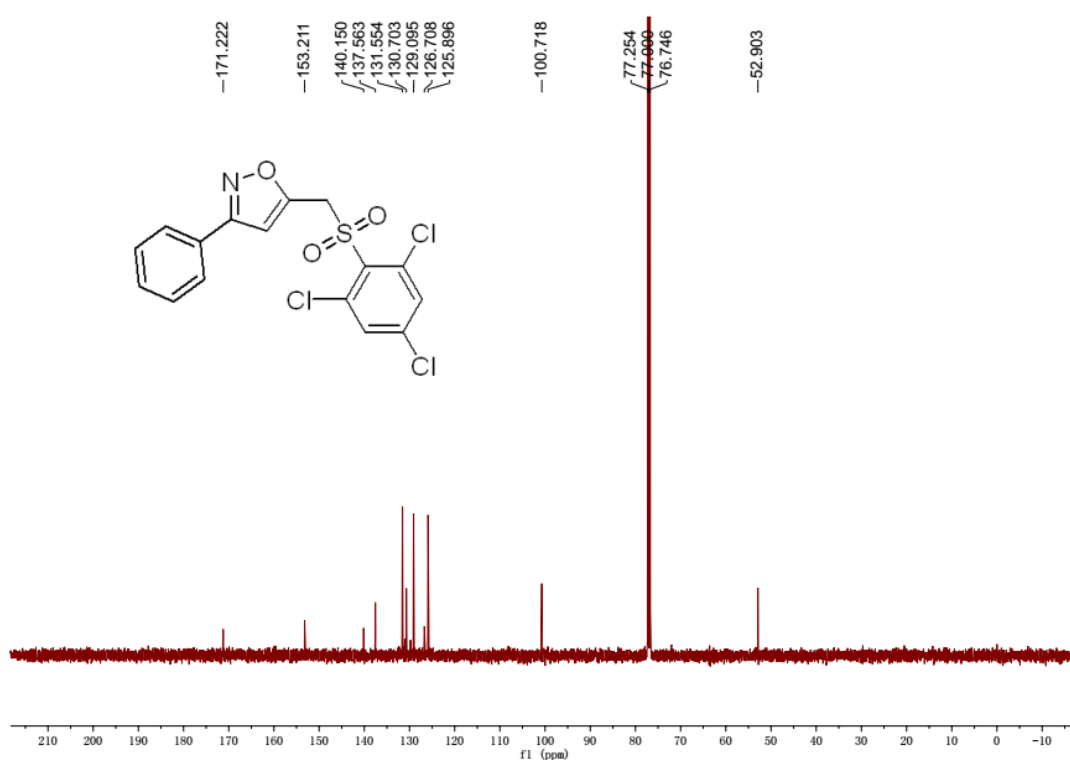
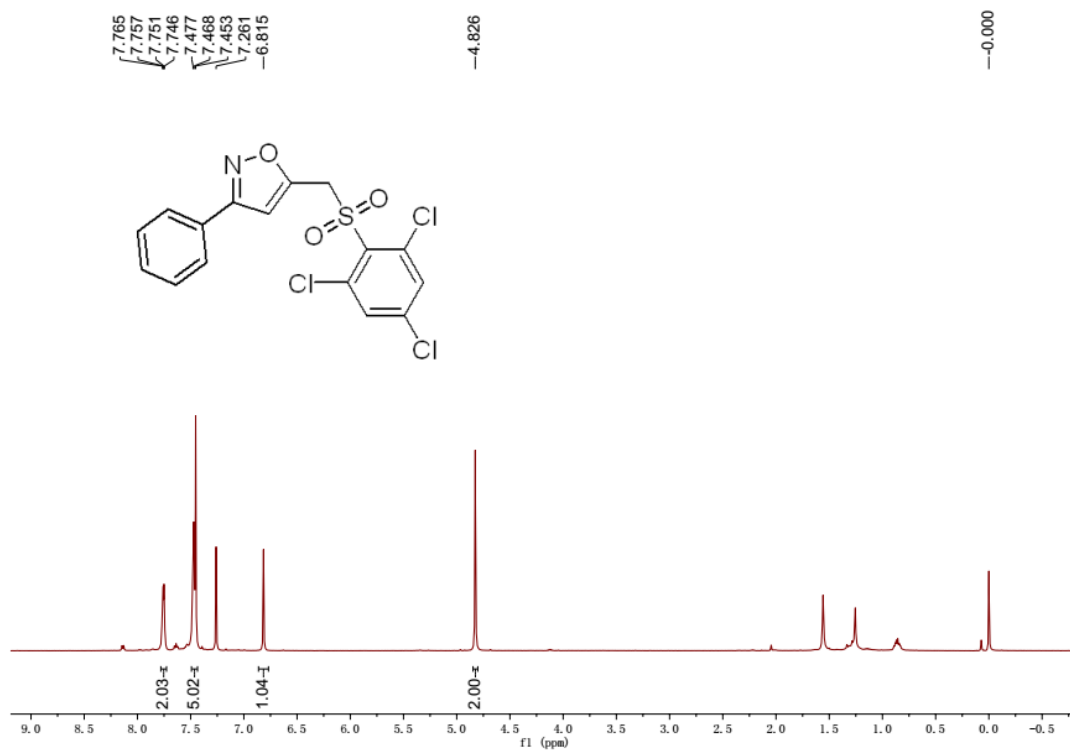


5-(((2-chlorophenyl)sulfonyl)methyl)-3-phenylisoxazole

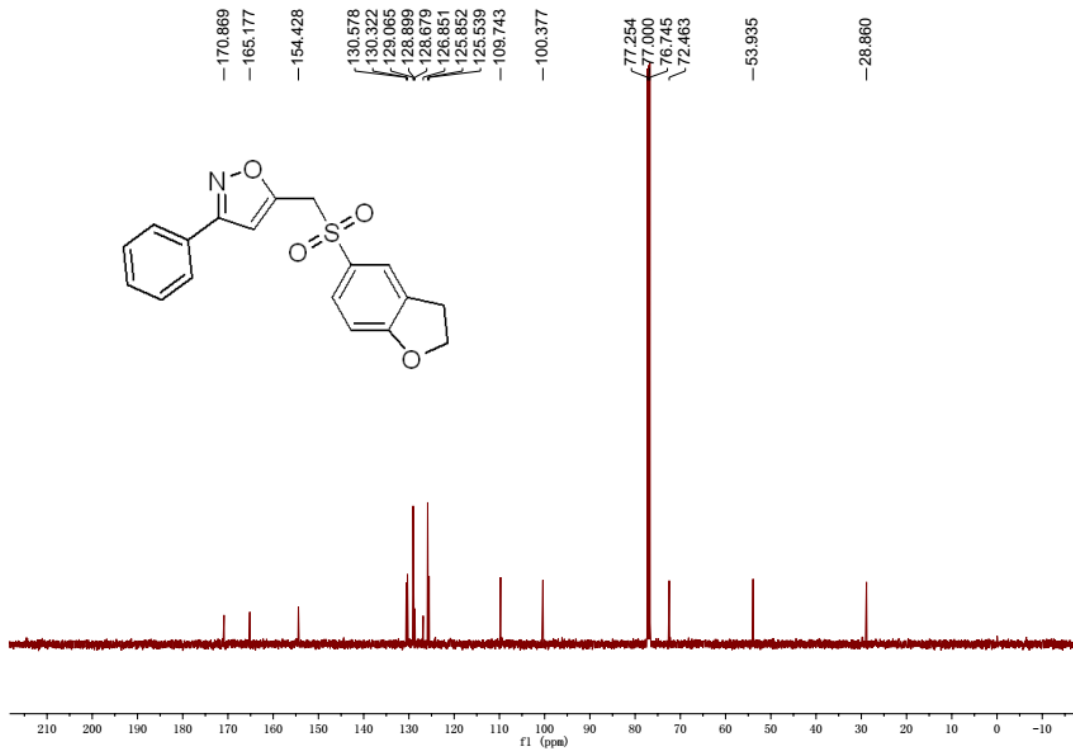
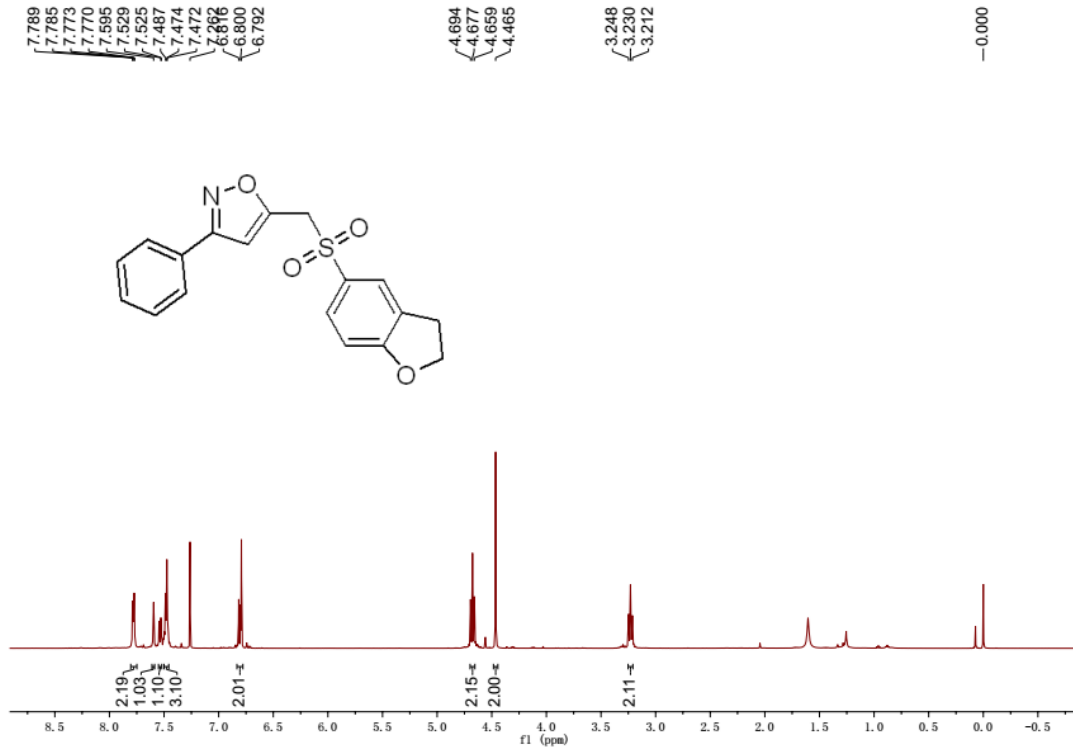
(3ai)



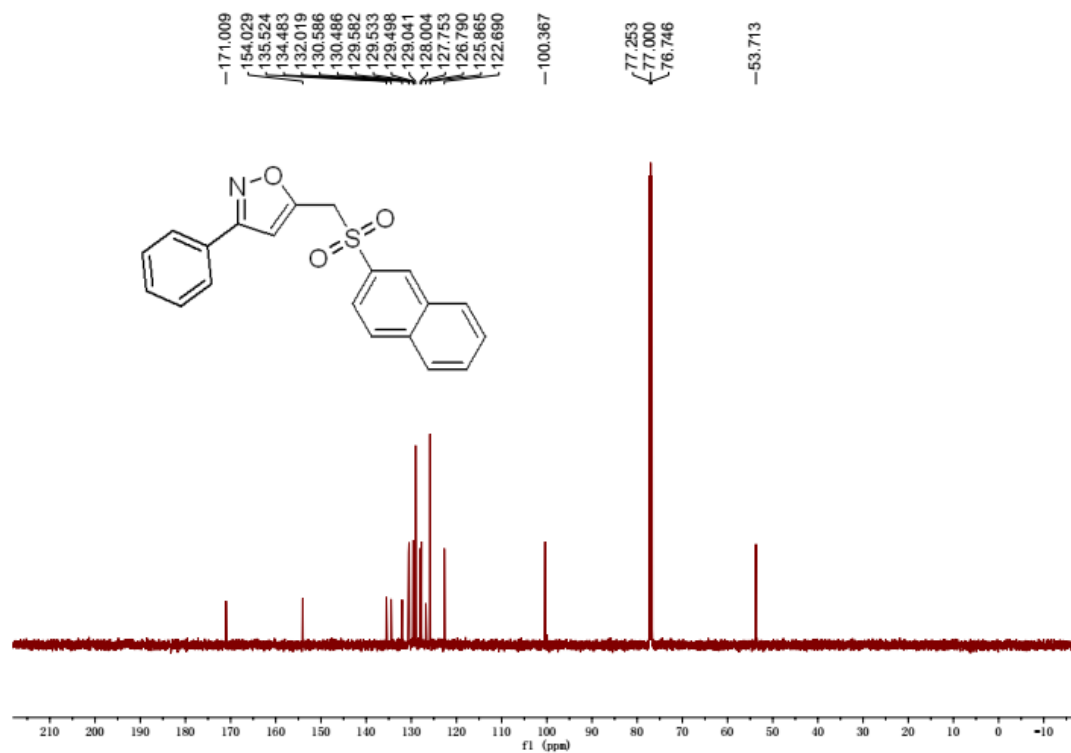
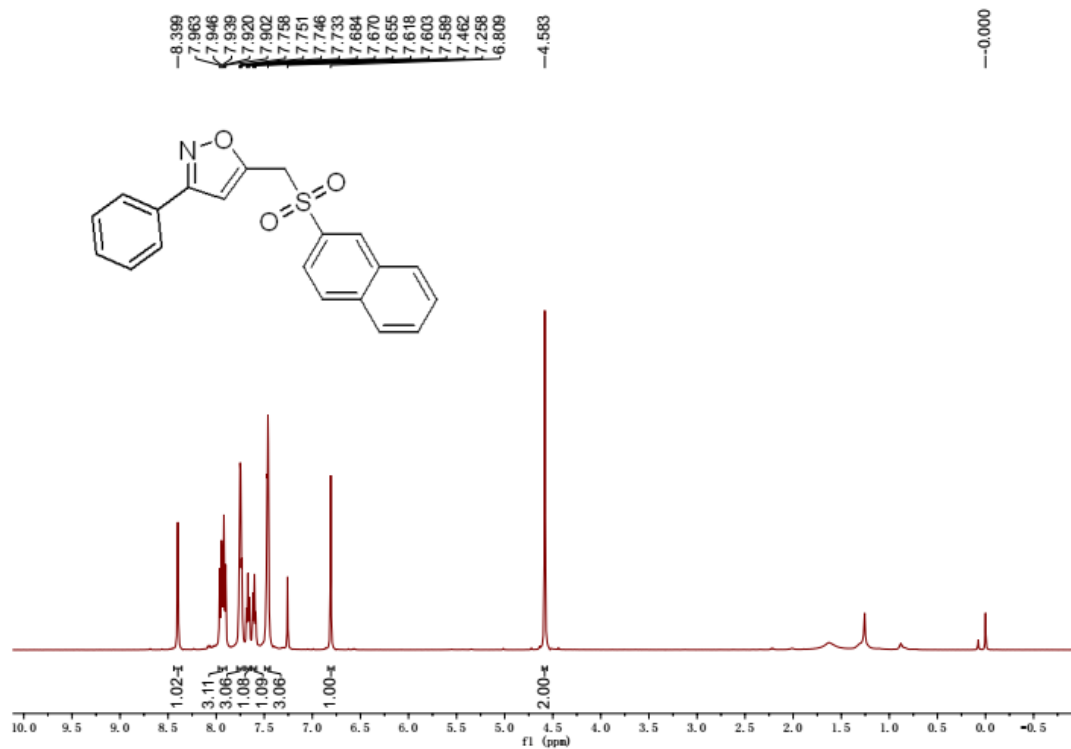
3-phenyl-5-(((2,4,6-trichlorophenyl)sulfonyl)methyl)isoxazole (3aj)



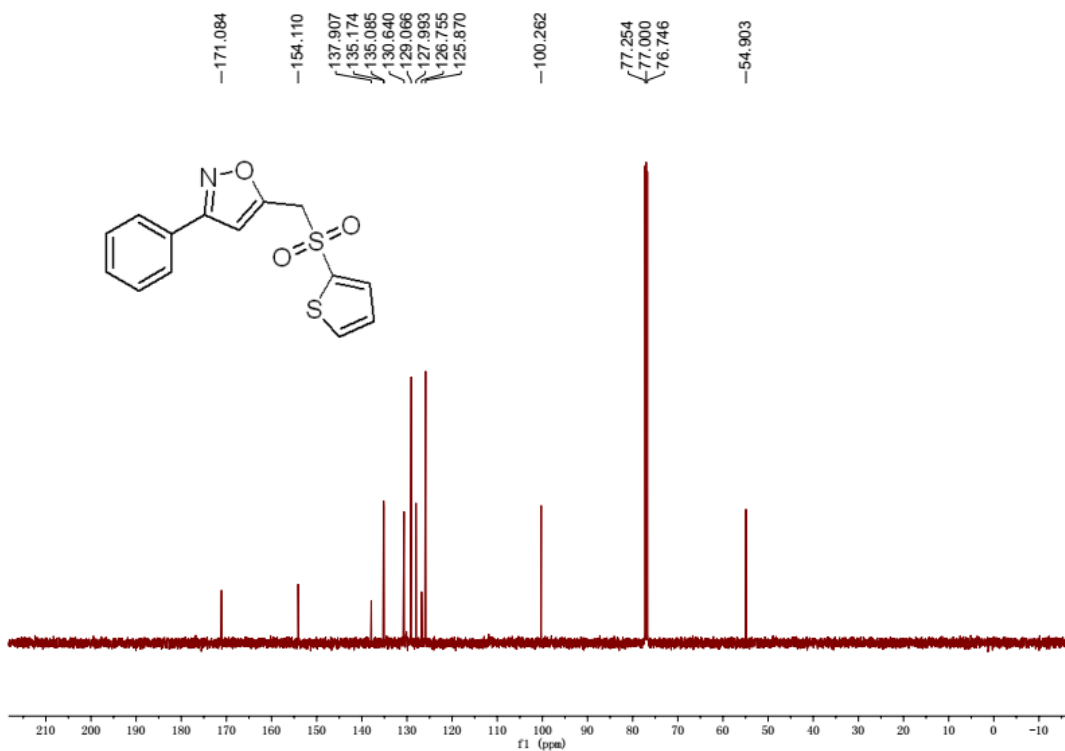
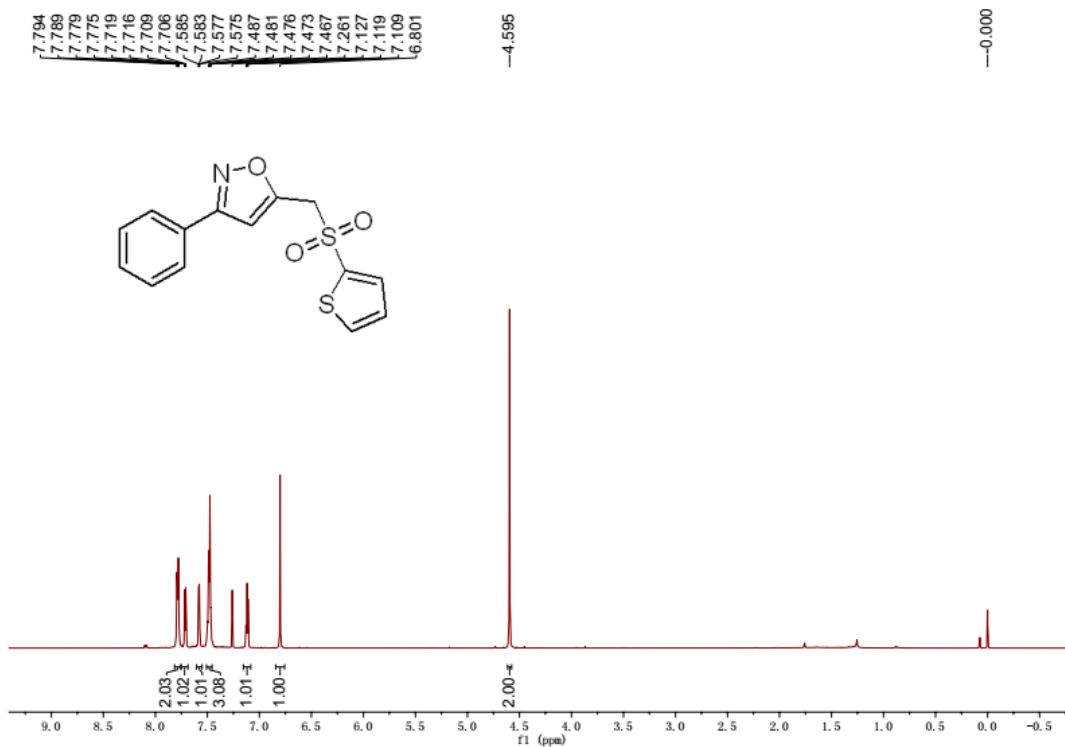
5-(((2,3-dihydrobenzofuran-5-yl)sulfonyl)methyl)-3-phenylisoxazole (3ak)



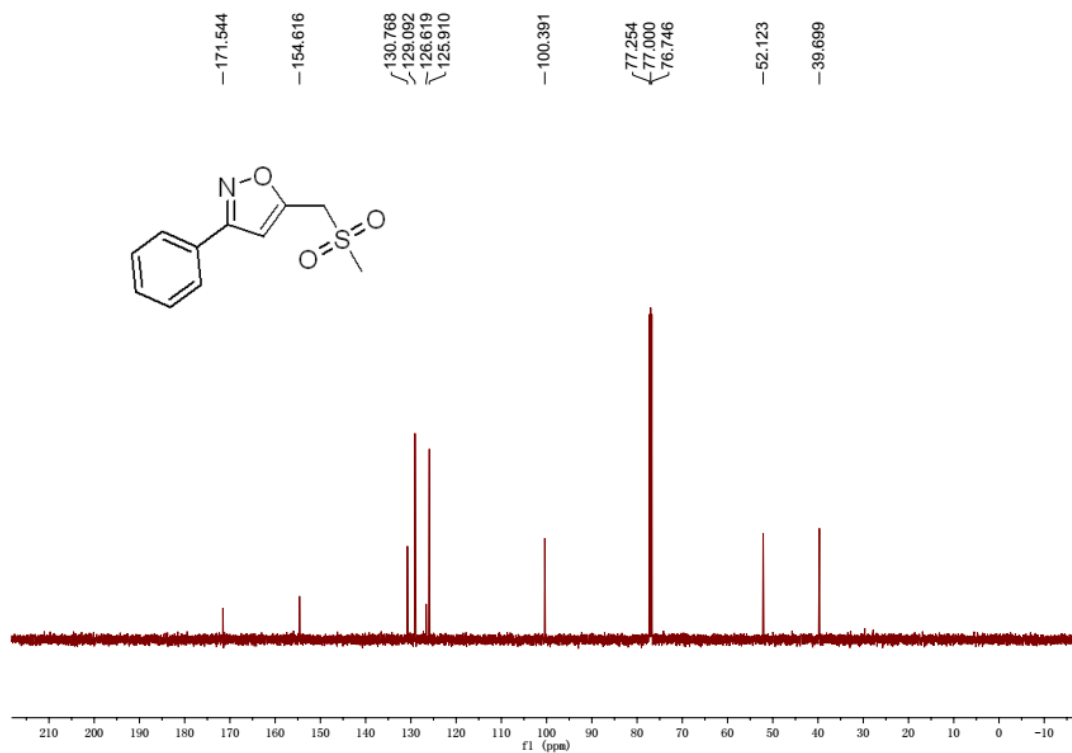
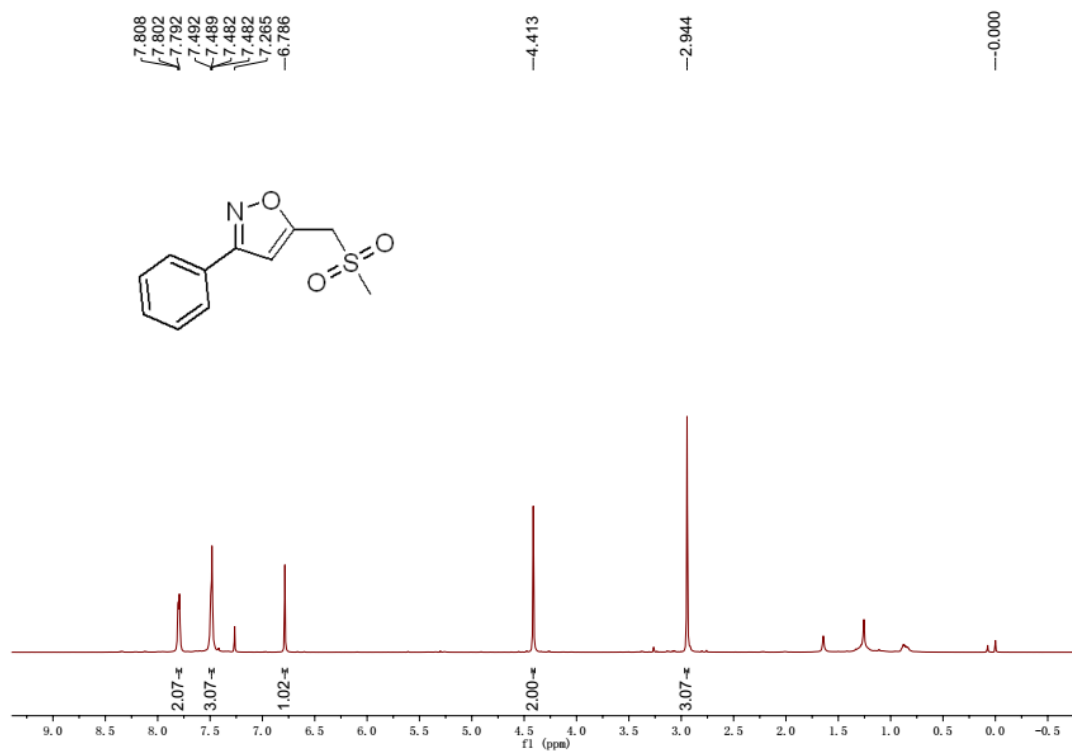
5-((naphthalen-2-ylsulfonyl)methyl)-3-phenylisoxazole (3al)



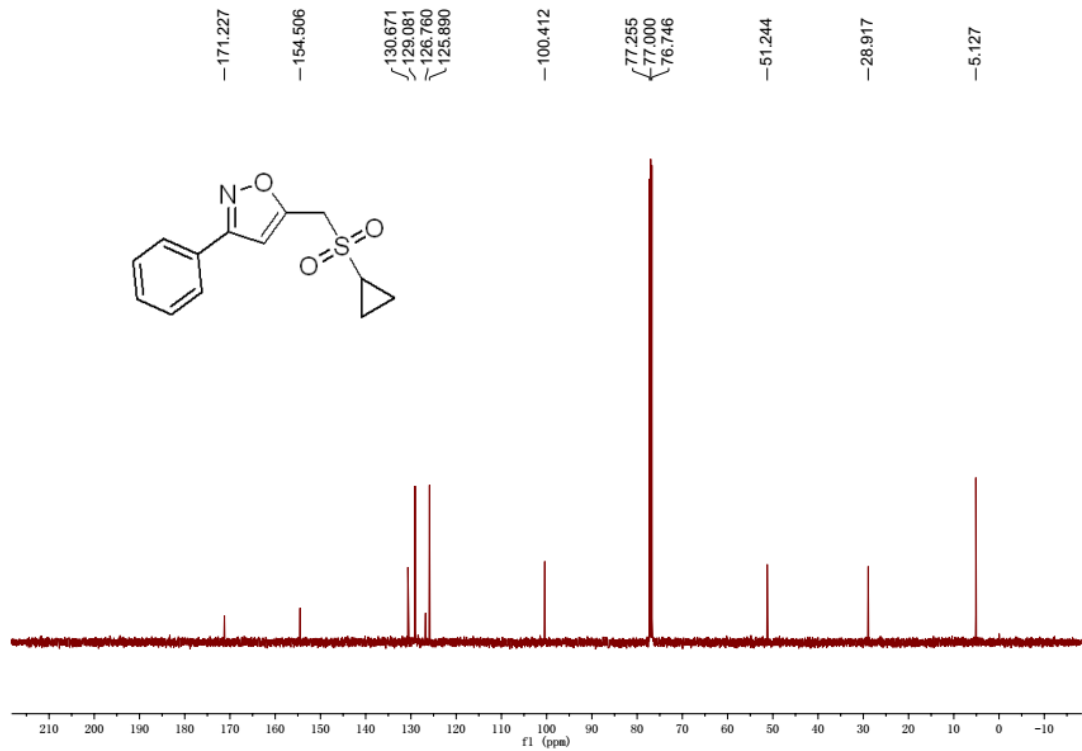
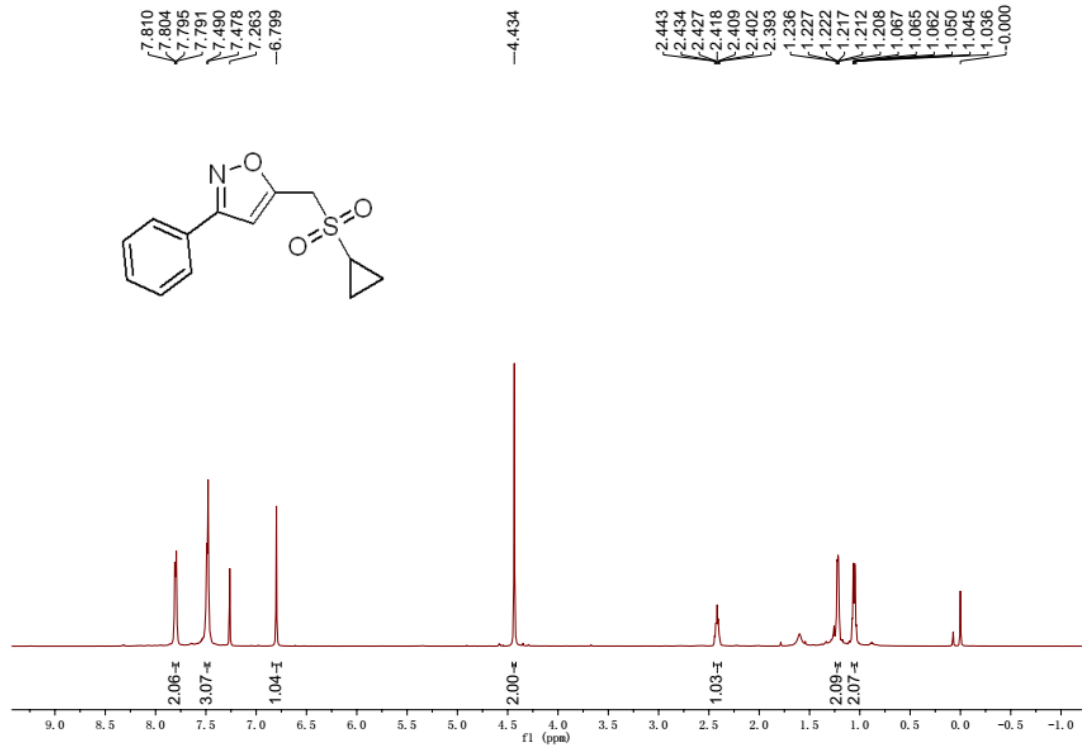
3-phenyl-5-((thiophen-2-ylsulfonyl)methyl)isoxazole (3am)



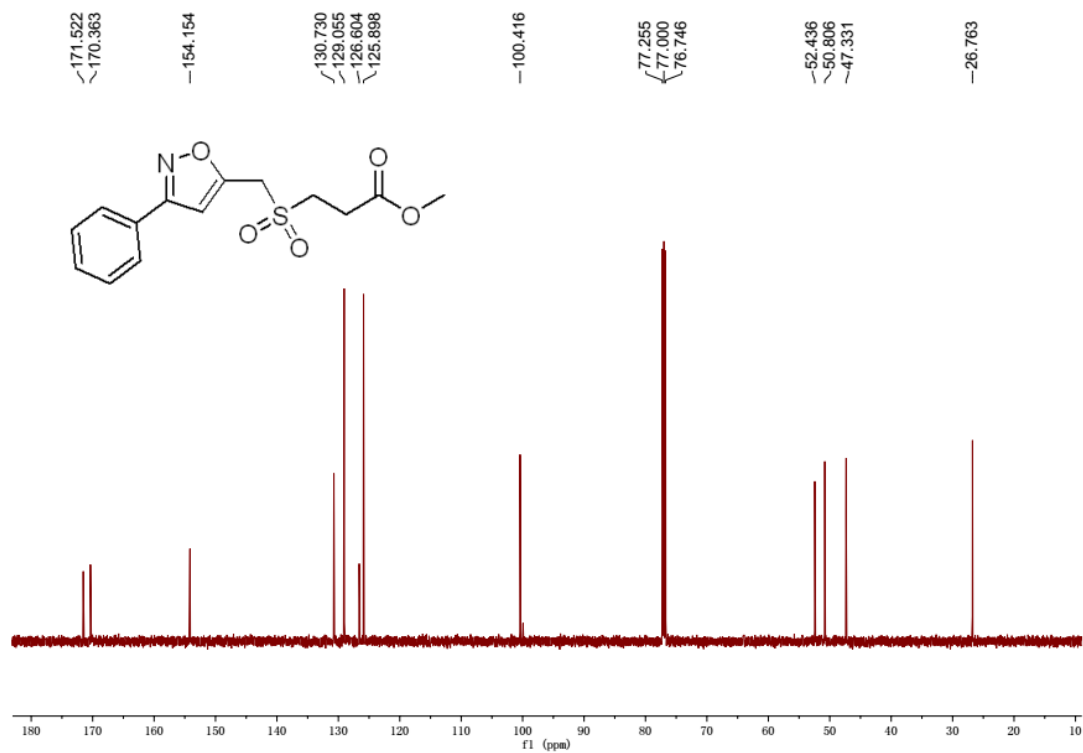
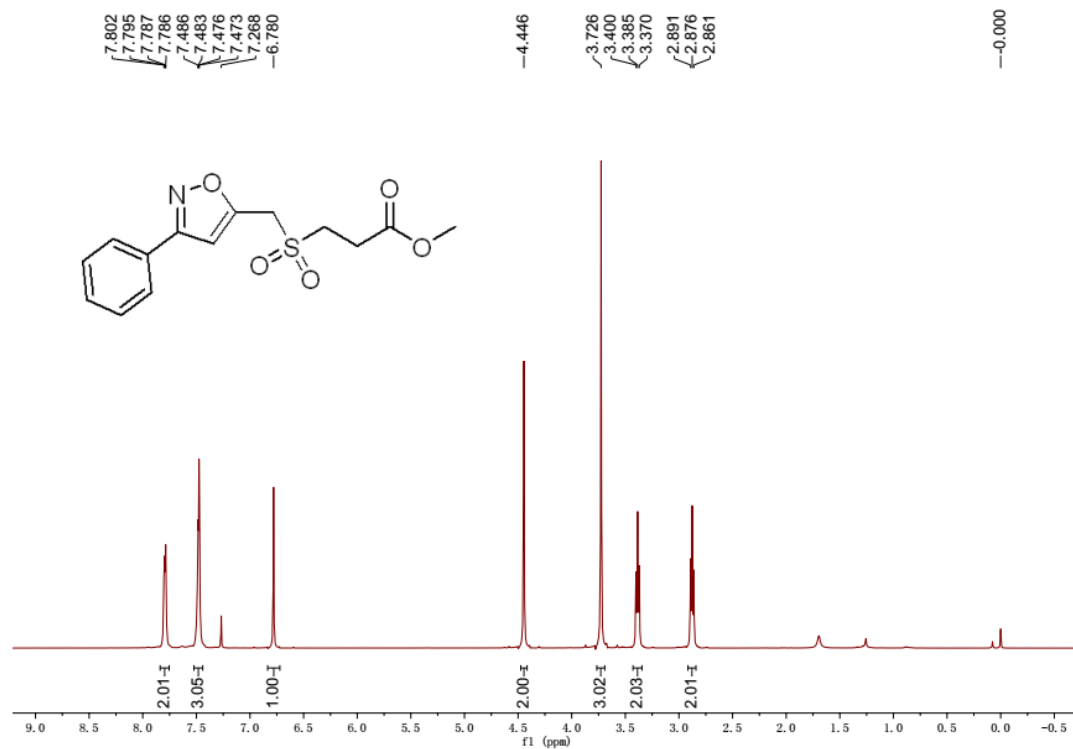
5-((methylsulfonyl)methyl)-3-phenylisoxazole (3an)



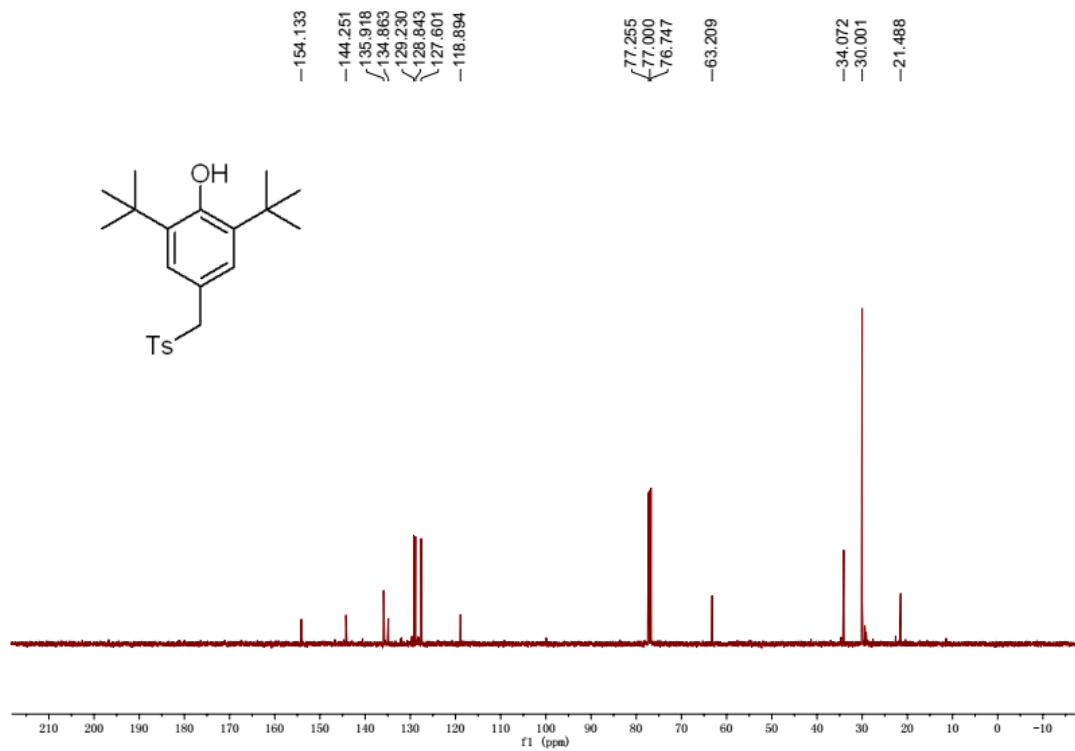
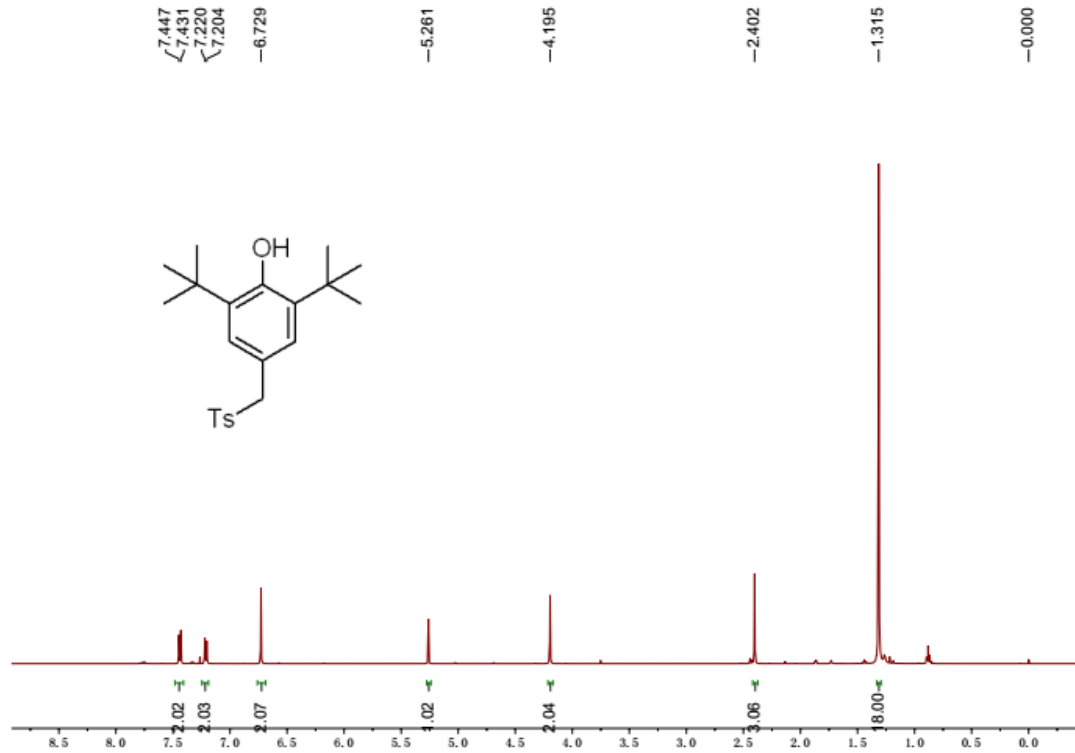
5-((cyclopropylsulfonyl)methyl)-3-phenylisoxazole (3ao)



methyl 3-(((3-phenylisoxazol-5-yl)methyl)sulfonyl)propanoate (3ap)



2,6-Di-*tert*-butyl-4-(tosylmethyl)phenol (4)



(D) Reference

- (1) X. He, X. Yue, L. Zhang, S. Wu, M. Hu and J.-H. Li, *Chem. Commun.*, 2019, **55**, 3517.