Supporting Information

An Aza-Michael Addition Protocol to Fluoroalkylated β-Amino Acids Derivatives and Enantiopure Trifluoromethylated N-Hetereocycles

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General methods

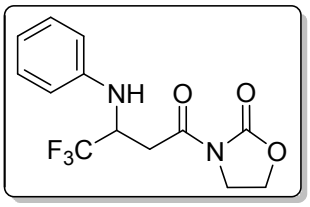
All reactions and manipulations involving air-sensitive compounds were performed using standard Schlenk techniques. All reactions were monitored by TLC. TLC analysis was performed by illumination with a UV lamp (254 nm). All flash chromatography was packed with silica-gel as the stationary phase. Melting points were measured on a SGW X-4 apparatus. ^{1}H NMR (500 MHz) spectra were recorded on a Bruker

Avance 500 instrument, and chemical shifts were reported in ppm downfield from internal TMS with the solvent resonance as the internal standard ($CDCl_3$, δ = 7.26 ppm). ^{13}C NMR (126 MHz) spectra were recorded on a Bruker Avance 500 instrument, and chemical shifts were reported in ppm downfield from TMS with the solvent resonance as the internal standard ($CDCl_3$, δ = 77.2 ppm). ^{19}F NMR (471 MHz) spectra were recorded on a Bruker Avance 500 instrument. Optical rotations were measured on a Roudolph Autopl VI. Infrared spectra were recorded on a NICOLET FT/IR-200 spectrometer. High resolution mass spectra (HRMS) (EI+) were recorded on an AB SCIEX TripleTOF 5600 instrument.

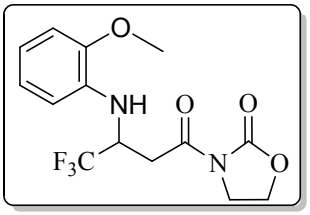
General procedure for the aza-Michael reactions

Amine (1.1 or 1.5 equiv) and β-fluoroalkylated acrylate (1.0 equiv) were added to a 1 mL test tube, followed by stirring at r.t.. The reaction process was monitored by TLC. While some aza-Michael adducts have the same polarity as the starting material on TLC, the reaction would be monitored by ^{19}F NMR. After the starting material disappeared, the residue was directly subjected to the preparative thin layer chromatography to afford the title product.

Characterization data of the aza-Michael reaction products

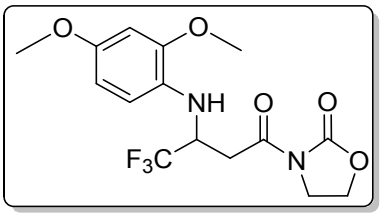


3-(4,4,4-trifluoro-3-(phenylamino)butanoyl)oxazolidin-2-one (3aa): Aniline (20.5 mg, 0.22 mmol) and acrylate **1a** (41.8 mg, 0.2 mmol) were added to a 1 mL test tube. The mixture was stirred at r.t. for 22 h, then passed through a plug of silica gel (PE:EA = 3:1) to afford the title compound (58.5 mg, 97%) as a yellow solid. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.16-7.08 (m, 2H), 6.73 (t, 7.4 Hz, 1H), 6.65 (d, 7.7 Hz, 2H), 4.64-4.59 (m, 1H), 4.27 (t, 8.1 Hz, 2H), 3.86-3.82 (m, 3H), 3.47 (dd, 15.8 Hz, 9.5 Hz, 1H), 3.20 (dd, 15.8 Hz, 4.1 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 168.1, 152.6, 144.6, 128.4, 124.5 (q, 284.5 Hz), 118.4, 112.9, 61.2, 51.9 (q, 30.2 Hz), 41.5, 34.3; ^{19}F NMR (471 MHz, $CDCl_3$) δ -76.0 (d, 6.9 Hz, 3F); IR (KBr): 3419.3, 3371.5, 2926.2, 1772.6, 1700.8, 1603.2, 1414.1, 1192.3, 1038.6, 752.4, 692.2 cm^{-1}; mp = 133-134 ^{o}C; HRMS calcd. for [M+H]$^{+}$: 303.0957, found: 303.0953.



3-(4,4,4-trifluoro-3-((2-methoxyphenyl)amino)butanoyl)oxazolidin-2-one (3ab): 2-methoxyaniline (27.1 mg, 0.22 mmol) and acrylate **1a** (41.8 mg, 0.2 mmol) were added to a 1 mL test tube. The mixture was stirred at r.t. for 32 h, then passed through a plug of silica gel (PE:EA = 3:1) to afford the

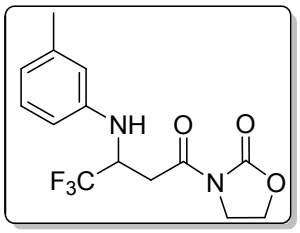
title compound (63.2 mg, 95%) as a yellow solid. ^{1}H NMR (500 MHz, $CDCl_3$) δ 6.84-6.61 (m, 4H), 4.74-4.56 (m, 1H), 4.47 (d, 10.7 Hz, 1H), 4.31-4.27 (m, 2H), 3.87-3.86 (m, 2H), 3.76 (s, 3H), 3.47 (dd, 16.3 Hz, 9.2 Hz, 1H), 3.23 (dd, 16.3 Hz, 4.2 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 168.0, 152.5, 146.0, 134.6, 124.6 (q, 283.5 Hz), 120.2, 117.5, 110.3, 109.1, 61.2, 54.6, 51.2 (q, 30.2 Hz), 41.5, 34.6; ^{19}F NMR (471 MHz, $CDCl_3$) δ -76.1 (d, 6.8 Hz, 3F); IR (KBr): 3373.4, 2925.8, 1773.1, 1705.3, 1597.2, 1396.6, 1303.8, 1174.6, 1128.0, 1034.1, 746.9, 640.7 cm^{-1}; mp = 64-65 ^{o}C; HRMS calcd. for $[M+H]^{+}$: 333.1062, found: 333.1060.



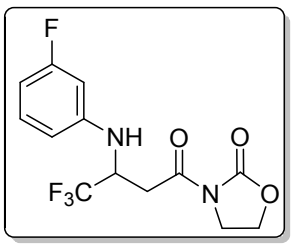
3-(3-((2,4-dimethoxyphenyl)amino)-4,4,4-trifluorobutanoyl)oxazolidin-2-one (3ac):

2,4-dimethoxyaniline (33.7 mg, 0.22 mmol) and acrylate **1a** (41.8 mg, 0.2 mmol) were added to a 1 mL test tube. The mixture was stirred at r.t. for 3 h, then passed through a plug of silica gel (PE:EA = 1:1) to afford the title compound (72.0 mg, 99%) as a yellow solid. ^{1}H NMR (500 MHz, $CDCl_3$) δ 6.67 (d, 8.6 Hz, 1H), 6.37 (d, 2.6 Hz, 1H), 6.33 (dd, 8.6 Hz, 2.7 Hz, 1H), 4.51 (dd, 9.8 Hz, 6.0 Hz, 1H), 4.35-4.24 (m, 2H), 4.12 (d, 10.7 Hz, 1H), 3.93-3.82 (m, 2H), 3.74 (s, 3H), 3.68 (s, 3H), 3.46 (dd, 16.3 Hz, 9.2 Hz, 1H), 3.19 (dd, 16.3 Hz, 4.2 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 168.2, 152.5, 152.2, 147.4, 128.7, 124.8 (q, 283.5 Hz), 111.6, 103.0, 98.3, 61.2, 54.7, 54.5, 52.4 (q, 30.2 Hz), 41.5, 34.5; ^{19}F NMR (471 MHz, $CDCl_3$) δ -76.0 (d, 6.9 Hz, 3F); IR (KBr):

3398.4, 2950.1, 1775.2, 1681.5, 1525.0, 1441.9, 1292.3, 1214.8, 1157.2, 1126.4, 1041.3, 842.5, 788.1, 755.6 cm^{-1}; mp = 116-117 ^oC; HRMS calcd. for $[M+H]^+$: 363.1168, found: 363.1147.

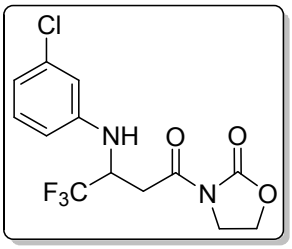


3-(4,4,4-trifluoro-3-(m-tolylamino)butanoyl)oxazolidin-2-one (3ad): 3-methylaniline (23.6 mg, 0.22 mmol) and acrylate **1a** (41.8 mg, 0.2 mmol) were added to a 1 mL test tube. The mixture was stirred at r.t. for 12 h, then passed through a plug of silica gel (PE:EA = 3:1) to afford the title compound (59.6 mg, 94%) as a yellow solid. ^1H NMR (500 MHz, $CDCl_3$) δ 7.01 (t, 7.7 Hz, 1H), 6.55 (d, 7.5 Hz, 1H), 6.46 (d, 7.8 Hz, 2H), 4.76-4.46 (m, 1H), 4.27 (t, 8.1 Hz, 2H), 3.90-3.75 (m, 3H), 3.46 (dd, 15.8 Hz, 9.5 Hz, 1H), 3.19 (dd, 15.8 Hz, 4.1 Hz, 1H), 2.20 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 168.1, 152.6, 144.6, 138.3, 128.2, 124.5 (q, 283.5 Hz), 119.3, 113.7, 110.0, 61.2, 51.8 (q, 31.5 Hz), 41.5, 34.2, 20.5; ^{19}F NMR (471 MHz, $CDCl_3$) δ -76.0 (d, 6.9 Hz, 3F); IR (KBr): 3378.4, 2925.5, 1762.6, 1712.6, 1610.5, 1451.2, 1362.6, 1326.5, 1253.0, 1111.7, 959.1, 765.4, 634.3 cm^{-1}; mp = 107-108 ^oC; HRMS calcd. for $[M+H]^+$: 317.1113, found: 317.1108.



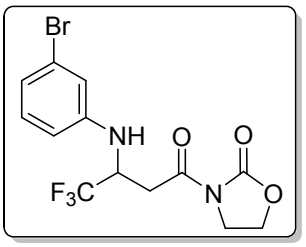
3-(4,4,4-trifluoro-3-((3-fluorophenyl)amino)butanoyl)oxazolidin-2-one (3ae): 3-fluoroaniline (33.3 mg, 0.3 mmol) and acrylate **1a** (41.8 mg, 0.2 mmol) were added to a 1

mL test tube. The mixture was stirred at r.t. for 60 h, then passed through a plug of silica gel (PE:EA = 3:1) to afford the title compound (59.0 mg, 92%) as a white solid. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.07-7.03 (m, 1H), 6.51-6.21 (m, 3H), 4.74 – 4.47 (m, 1H), 4.32 (t, 8.2 Hz, 2H), 4.04 (d, 10.4 Hz, 1H), 3.91-3.88 (m, 2H), 3.47 (dd, 16.3 Hz, 9.4 Hz, 1H), 3.19 (dd, 16.3 Hz, 3.9 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 167.9, 162.9 (d, 244.4 Hz), 152.6, 146.5 (d, 10.5 Hz), 129.6 (d, 10.1 Hz), 124.4 (q, 283.5 Hz), 108.5 (d, 2.2 Hz), 105.0 (d, 21.4 Hz), 99.9 (d, 26.5 Hz), 61.3, 51.6 (q, 31.5 Hz), 41.5, 34.2; ^{19}F NMR (471 MHz, $CDCl_3$) δ -76.0 (d, 6.8 Hz, 3F), -112.2-112.3 (m, 1F); IR (KBr): 3366.2, 2926.1, 1770.1, 1703.5, 1619.5, 1411.8, 1258.2, 1148.3, 1039.4, 949.1, 764.9, 682.0 cm^{-1}; mp = 103-104 ^{o}C; HRMS calcd. for $[M+H]^{+}$: 321.0862, found: 321.0843.

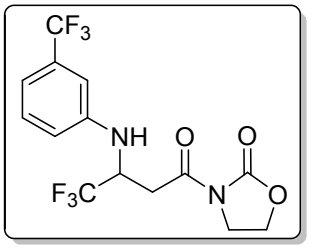


3-(3-((3-chlorophenyl)amino)-4,4,4-trifluorobutanoyl)oxazolidin-2-one (3af): 3-chloroaniline (38.3 mg, 0.3 mmol) and acrylate **1a** (41.8 mg, 0.2 mmol) were added to a 1 mL test tube. The mixture was stirred at r.t. for 56 h, then passed through a plug of silica gel (PE:EA = 3:1) to afford the title compound (58.9 mg, 88%) as a white solid. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.02 (t, 8.0 Hz, 1H), 6.69 (d, 7.9 Hz, 1H), 6.64 (s, 1H), 6.52 (d, 8.1 Hz, 1H), 4.57-4.52 (m, 1H), 4.30 (t, 8.0 Hz, 2H), 3.97 (d, 10.3 Hz, 1H), 3.87 (t, 8.1 Hz, 2H), 3.47 (dd, 16.1 Hz, 9.3 Hz, 1H), 3.19 (dd, 16.1 Hz, 3.9 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$)

δ 167.9, 152.6, 145.9, 134.1, 129.4, 124.3 (q, 283.5 Hz), 118.4, 112.8, 111.0, 61.3, 51.6 (q, 31.5 Hz), 41.5, 34.2; ^{19}F NMR (471 MHz, $CDCl_3$) δ -75.9 (d, 6.8 Hz, 3F); IR (KBr): 3365.6, 2989.5, 1767.4, 1725.4, 1696.5, 1603.3, 1533.5, 1411.3, 1324.9, 1254.1, 1133.6, 1044.8, 939.7, 763.9, 635.8 cm^{-1}; mp = 108-109 °C; HRMS calcd. for $[M+H]^+$: 337.0567, found: 337.0544.



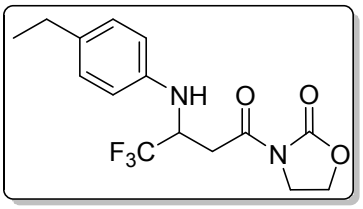
3-(3-((3-bromophenyl)amino)-4,4,4-trifluorobutanoyl)oxazolidin-2-one (3ag): 3-bromoaniline (51.6 mg, 0.3 mmol) and acrylate **1a** (41.8 mg, 0.2 mmol) were added to a 1 mL test tube. The mixture was stirred at r.t. for 36 h, then passed through a plug of silica gel (PE:EA = 3:1) to afford the title compound (69.7 mg, 92%) as a white solid. ^{1}H NMR (500 MHz, $CDCl_3$) δ 6.97 (t, 8.0 Hz, 1H), 6.84 (d, 7.9 Hz, 1H), 6.80 (s, 1H), 6.58-6.56 (m, 1H), 4.58-4.53 (m, 1H), 4.31 (t, 8.0 Hz, 2H), 4.02 (d, 10.4 Hz, 1H), 3.89 (t, 8.0 Hz, 2H), 3.47 (dd, 16.2 Hz, 9.5 Hz, 1H), 3.18 (dd, 16.2 Hz, 3.9 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 167.8, 152.7, 146.1, 129.7, 124.3 (q, 283.5 Hz), 122.2, 121.2, 115.7, 111.4, 61.3, 51.5 (q, 31.5 Hz), 41.5, 34.1; ^{19}F NMR (471 MHz, $CDCl_3$) δ -75.9 (d, 6.8 Hz, 3F); IR (KBr): 3365.3, 2949.1, 1767.3, 1694.8, 1602.1, 1530.6, 1412.4, 1282.1, 1253.5, 1132.8, 762.8, 715.8, 635.5 cm^{-1}; mp = 123-124 °C; HRMS calcd. for $[M+H]^+$: 381.0062, found: 381.0047.



3-(4,4,4-trifluoro-3-((3-

(trifluoromethyl)phenyl)amino)butanoyl)oxazolidin-2-one (3ah):

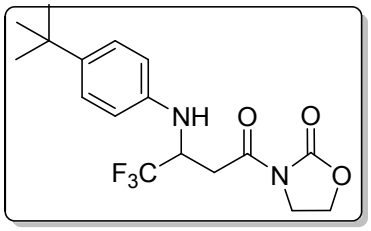
3-(trifluoromethyl)aniline (48.3 mg, 0.3 mmol) and acrylate **1a** (41.8 mg, 0.2 mmol) were added to a 1 mL test tube. The mixture was stirred at r.t. for 60 h, then passed through a plug of silica gel (PE:DCM = 1:2) to afford the title compound (38.8 mg, 52%) as a yellow oil. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.22 (t, 7.9 Hz, 1H), 6.96 (d, 7.7 Hz, 1H), 6.86 (s, 1H), 6.82 (d, 8.2 Hz, 1H), 4.66 - 4.60 (m, 1H), 4.32 (t, 8.2 Hz, 2H), 4.13 (d, 10.4 Hz, 1H), 3.99 - 3.79 (m, 2H), 3.51 (dd, 16.4 Hz, 9.5 Hz, 1H), 3.20 (dd, 16.4 Hz, 3.8 Hz, 1H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 167.8, 152.7, 145.1, 130.8 (q, 29.0 Hz), 128.9, 124.4 (q, 284.8 Hz), 123.0 (q, 273.4 Hz), 115.6, 114.9 (q, 3.9 Hz), 109.4 (d, 3.9 Hz), 61.3, 51.5 (q, 30.2 Hz), 41.5, 34.1. ^{19}F NMR (471 MHz, $CDCl_3$) δ -62.9 (s, 3F), -75.9 (s, 3F).



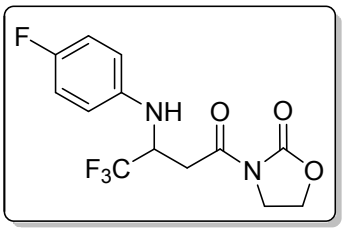
3-(3-((4-ethylphenyl)amino)-4,4,4-trifluorobutanoyl)oxazolidin-2-one (3ai):

4-ethylaniline (26.7 mg, 0.22 mmol) and acrylate **1a** (41.8 mg, 0.2 mmol) were added to a 1 mL test tube. The mixture was stirred at r.t. for 3 h, then passed through a plug of silica gel (PE:EA = 2:1) to afford the title compound (65.2 mg, 99%) as a yellow solid. ^{1}H NMR (500 MHz, $CDCl_3$) δ 6.95 (d, 8.4 Hz, 2H), 6.59 (d, 8.4 Hz, 2H), 4.57 (s, 1H), 4.26 (t, 8.1 Hz, 2H), 3.87-3.79 (m, 2H), 3.76 (s, 1H), 3.45 (dd, 15.8 Hz, 9.4 Hz, 1H), 3.18 (dd, 15.8 Hz, 4.1 Hz, 1H), 2.47 (q, 7.6 Hz, 2H), 1.11 (t, 7.6 Hz, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 168.1,

152.6, 142.5, 134.3, 127.7, 124.6 (q, 284.5 Hz), 113.1, 61.2, 52.2 (q, 30.2 Hz), 41.5, 34.2, 26.9, 14.8; ^{19}F NMR (471 MHz, $CDCl_3$) δ -76.0 (d, 6.9 Hz, 3F); IR (KBr): 3362.8, 2965.2, 1773.6, 1689.2, 1638.5, 1389.4, 1348.6, 1222.1, 1044.9, 973.9, 758.7, 707.9 cm^{-1}; mp = 84-85 ^{o}C; HRMS calcd. for $[M+H]^+$: 331.1270, found: 331.1261.

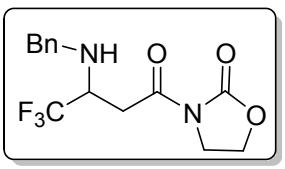


3-(3-((4-(tert-butyl)phenyl)amino)-4,4,4-trifluorobutanoyl)oxazolidin-2-one (3aj): 4-(*tert*-butyl)aniline (32.8 mg, 0.22 mmol) and acrylate **1a** (41.8 mg, 0.2 mmol) were added to a 1 mL test tube. The mixture was stirred at r.t. for 1 h, then passed through a plug of silica gel (PE:EA = 2:1) to afford the title compound (69.2 mg, 97%) as a yellow solid. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.17-7.11 (m, 2H), 6.67-6.47 (m, 2H), 4.59 (s, 1H), 4.26 (t, 8.1 Hz, 2H), 3.85-3.80 (m, 2H), 3.78 (s, 1H), 3.46 (dd, 15.7 Hz, 9.4 Hz, 1H), 3.19 (dd, 15.7 Hz, 4.2 Hz, 1H), 1.20 (s, 9H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 168.2, 152.6, 142.1, 141.2, 125.2, 124.6 (q, 283.5 Hz), 112.6, 61.2, 52.0 (q, 30.2 Hz), 41.5, 34.2, 32.9, 30.5; ^{19}F NMR (471 MHz, $CDCl_3$) δ -76.0 (d, 6.9 Hz, 3F); IR (KBr): 3388.9, 2963.5, 1774.7, 1679.3, 1527.9, 1401.2, 1298.2, 1161.7, 1076.1, 820.7, 755.5 cm^{-1}; mp = 143-144 ^{o}C; HRMS calcd. for $[M+H]^+$: 359.1583, found: 359.1567.



3-(4,4,4-trifluoro-3-((4-fluorophenyl)amino)butanoyl)oxazolidin-2-one (3ak):

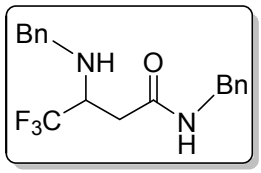
4-fluoroaniline (24.4 mg, 0.22 mmol) and acrylate **1a** (41.8 mg, 0.2 mmol) were added to a 1 mL test tube. The mixture was stirred at r.t. for 3 h, then passed through a plug of silica gel (PE:EA = 3:1) to afford the title compound (61.4 mg, 96%) as a white solid. ^{1}H NMR (500 MHz, $CDCl_3$) δ 6.83 (t, 8.7 Hz, 2H), 6.69-6.54 (m, 2H), 4.54-4.47 (m, 1H), 4.32 (t, 8.1 Hz, 2H), 3.91-3.88 (m, 2H), 3.72 (d, 10.6 Hz, 1H), 3.47 (dd, 16.2 Hz, 9.5 Hz, 1H), 3.17 (dd, 16.2 Hz, 3.9 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 168.1, 155.8 (d, 238.1 Hz), 152.6, 141.0 (d, 2.1 Hz), 124.5 (q, 284.8 Hz), 114.9 (d, 22.7 Hz), 114.3 (d, 7.6 Hz), 61.2, 52.8 (q, 30.2 Hz), 41.5, 34.2; ^{19}F NMR (471 MHz, $CDCl_3$) δ -75.9 (d, 6.9 Hz, 3F), -125.2--125.3 (m, 1F); IR (KBr): 3363.8, 2932.2, 1770.3, 1704.5, 1513.5, 1356.9, 1221.3, 1124.2, 1103.9, 822.8, 665.6 cm^{-1}; mp = 144-145 ^{o}C; HRMS calcd. for $[M+H]^{+}$: 321.0862, found: 321.0851.



3-(3-(benzylamino)-4,4,4-trifluorobutanoyl)oxazolidin-2-one (3al):

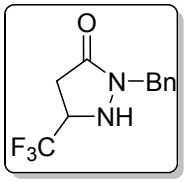
Phenylmethanamine (23.6 mg, 0.22 mmol) and acrylate **1a** (41.8 mg, 0.2 mmol) were added to a 1 mL test tube. The mixture was stirred at r.t. for 1.5 h, then passed through a plug of silica

gel (PE:EA = 2:1) to afford the title compound (57.3 mg, 91%) as a clear oil. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.33-7.25 (m, 5H), 4.38-4.34 (m, 2H), 4.01 (d, 10.0 Hz, 1H), 3.99-3.90 (m, 2H), 3.86 (d, 10.0 Hz, 1H), 3.83-3.81 (m, 1H), 3.28 (dd, 16.1 Hz, 9.7 Hz, 1H), 3.17 (dd, 16.1 Hz, 4.0 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 169.6, 153.4, 139.6, 128.4, 128.3, 127.3, 126.5 (q, 284.8 Hz), 62.1, 55.5 (q, 27.7 Hz), 51.9, 42.5, 35.5 (d, 1.9 Hz); ^{19}F NMR (471 MHz, $CDCl_3$) δ -74.5 (d, 9.4 Hz, 3F); IR (neat): 3360.7, 2921.7, 1779.8, 1701.3, 1390.6, 1266.8, 1131.7, 1038.2, 755.1, 701.2 cm^{-1}; HRMS calcd. for $[M+H]^+$: 317.1113, found: 317.1107.

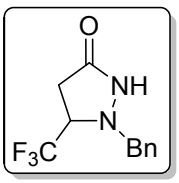


N-benzyl-3-(benzylamino)-4,4,4-trifluorobutanamide (3al'): Phenylmethanamine (47.2 mg, 0.44 mmol) and acrylate **1a** (41.8 mg, 0.2 mmol) were added to a 1 mL test tube. The mixture was stirred at r.t. for 1.5 h, then passed through a plug of silica gel (PE:EA = 2:1) to afford the title compound (62.5 mg, 93%) as a white solid. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.28-7.14 (m, 8H), 7.12-7.07 (m, 2H), 6.89 (s, 1H), 4.34 (d, 5.6 Hz, 2H), 3.93 (d, 12.7 Hz, 1H), 3.74 (d, 12.7 Hz, 1H), 3.61-3.50 (m, 1H), 2.69-2.40 (m, 1H), 2.28 (dd, 15.4 Hz, 10.5 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 167.9, 137.9, 136.9, 127.8, 127.6, 127.3, 126.9, 126.6, 126.5, 125.3 (q, 284.8 Hz), 55.4 (q, 29.0 Hz), 50.7, 42.7, 34.5; ^{19}F NMR (471 MHz, $CDCl_3$) δ -74.3 (d, 7.1 Hz, 3F); IR (KBr): 3304.2, 2927.5, 1630.4,

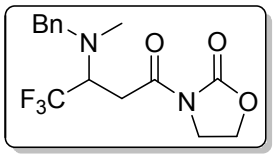
1542.3, 1455.5, 1268.9, 1123.0, 1028.9, 750.4, 698.2, 506.7 cm^{-1}; mp = 78-79 ^{o}C; HRMS calcd. for $[M+H]^{+}$: 337.1528, found: 337.1529.



2-benzyl-5-(trifluoromethyl)pyrazolidin-3-one (3am): Benzylhydrazine dihydrochloride (42.9 mg, 0.22 mmol) was neutralized by triethylamine (44.5 mg, 0.44 mmol) in a 1 mL test tube, then acrylate **1a** (41.8 mg, 0.2 mmol) was added. The mixture was stirred at r.t. for 3.5 h, then passed through a plug of silica gel (PE:EA = 3:1) to afford the compound **3al** (31.9 mg, 66%) and **3al'** respectively. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.42-7.28 (m, 5H), 4.96 (d, 14.6 Hz, 1H), 4.62 (d, 7.8 Hz, 1H), 4.27 (d, 14.6 Hz, 1H), 3.97-3.92 (m, 1H), 3.00 (dd, 17.5 Hz, 10.0 Hz, 1H), 2.71 (dd, 17.4 Hz, 3.3 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 168.2, 135.0, 128.9, 128.5, 128.2, 124.6 (q, 279.7 Hz), 53.9 (q, 32.8 Hz), 48.4, 31.8.

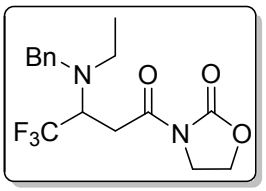


1-benzyl-5-(trifluoromethyl)pyrazolidin-3-one (3am'): ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.43-7.31 (m, 5H), 4.04 (d, 12.6 Hz, 1H), 3.94 (d, 12.6 Hz, 1H), 3.79-3.75 (m, 1H), 2.84 (dd, 17.7 Hz, 9.9 Hz, 1H), 2.46 (dd, 17.7 Hz, 2.9 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 171.7, 134.3, 129.6, 128.9, 128.6, 124.6 (q, 279.7 Hz), 64.6, 61.6 (q, 31.5 Hz), 29.2; ^{19}F NMR (471 MHz, $CDCl_3$) δ -78.1 (d, 7.3 Hz, 3F); IR (KBr): 3196.1, 3071.6, 1708.1, 1397.0, 1347.9, 1273.6, 1188.5, 1166.3, 1118.1, 1058.2, 1029.6, 963.2, 699.8 cm^{-1}; mp = 78-79 ^{o}C; HRMS calcd. for $[M+H]^{+}$: 245.0902, found: 245.0894.



3-(3-(benzyl(methyl)amino)-4,4,4-trifluorobutanoyl)oxazolidin-2-one (3an):

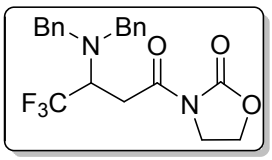
N-methyl-1-phenylmethanamine (26.7 mg, 0.22 mmol) and acrylate **1a** (41.8 mg, 0.2 mmol) were added to a 1 mL test tube. The mixture was stirred at r.t. for 6 h, then passed through a plug of silica gel (PE:EA = 3:1) to afford the title compound (62.8 mg, 95%) as a clear oil. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.33-7.09 (m, 5H), 4.30 (t, 8.1 Hz, 2H), 4.06-3.86 (m, 3H), 3.75 (q, 13.7 Hz, 2H), 3.48 (dd, 16.3 Hz, 9.7 Hz, 1H), 3.03 (dd, 16.3 Hz, 4.3 Hz, 1H), 2.30 (d, 1.1 Hz, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 168.5, 152.3, 138.0, 127.4, 127.2, 126.2, 126.0 (q, 291.1 Hz), 61.1, 59.5 (q, 26.5 Hz), 58.5, 41.6, 35.9, 31.7; ^{19}F NMR (471 MHz, $CDCl_3$) δ -68.9 (d, 8.2 Hz, 3F); IR (neat): 3544.5, 2923.9, 1776.0, 1701.2, 1479.0, 1454.1, 1388.6, 1313.8, 1222.5, 1104.7, 962.1, 741.6, 683.7 cm^{-1}. HRMS calcd. for $[M+H]^+$: 331.1270, found: 331.1259.



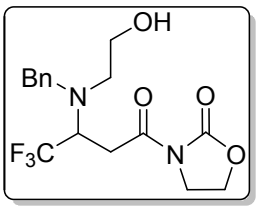
3-(3-(benzyl(ethyl)amino)-4,4,4-trifluorobutanoyl)oxazolidin-2-one (3ao):

N-ethyl-1-phenylmethanamine (29.8 mg, 0.22 mmol) and acrylate **1a** (41.8 mg, 0.2 mmol) were added to a 1 mL test tube. The mixture was stirred at r.t. for 4 h, then passed through a plug of silica gel (PE:EA = 2:1) to afford the title compound (65.7 mg, 95%) as a white solid. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.28-7.11 (m, 5H), 4.33-4.20 (m, 2H), 4.05-3.85 (m, 3H), 3.83 (d, 13.8 Hz, 1H), 3.68 (d, 14.3 Hz, 1H), 3.32

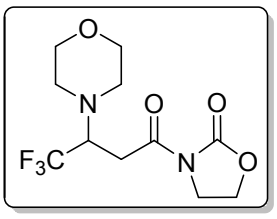
(dd, 15.8 Hz, 9.3 Hz, 1H), 3.12 (dd, 15.8 Hz, 4.9 Hz, 1H), 2.73-2.63 (m, 2H), 0.99 (t, 7.1 Hz, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 168.5, 152.1, 138.6, 127.4, 127.2, 126.0, 125.9 (q, 291.1 Hz), 60.9, 55.8 (q, 26.5 Hz), 53.3, 43.5, 41.5, 31.9, 12.9; ^{19}F NMR (471 MHz, $CDCl_3$) δ -69.4 (d, 8.3 Hz, 3F); IR (KBr): 2979.7, 2845.5, 1776.5, 1700.8, 1392.3, 1279.1, 1155.5, 1107.9, 968.4, 758.9, 636.2 cm^{-1}; mp = 33-34 ^{o}C; HRMS calcd. for $[M+H]^+$: 345.1426, found: 345.1417.



3-(3-(dibenzylamino)-4,4,4-trifluorobutanoyl)oxazolidin-2-one (3ap): Dibenzylamine (43.4 mg, o.22 mmol) and acrylate **1a** (41.8 mg, 0.2 mmol) were added to a 1 mL test tube. The mixture was stirred at r.t. for 1.5 h, then passed through a plug of silica gel (PE:EA = 2:1) to afford the title compound (80.9 mg, 99%) as a clear oil. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.33-7.08 (m, 10H), 4.22-4.10 (m, 2H), 4.02-3.90 (m, 1H), 3.90-3.84 (m, 1H), 3.81 (d, 13.6 Hz, 2H), 3.77-3.68 (m, 1H), 3.64 (d, 14.0 Hz, 2H), 3.24 (dd, 15.0 Hz, 4.8 Hz, 1H), 3.15 (dd, 15.0 Hz, 9.6 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 168.0, 151.9, 137.7, 128.2, 127.2, 126.3, 126.0 (q, 291.1 Hz), 60.8, 54.7 (q, 26.5 Hz), 53.2, 41.4, 32.0; ^{19}F NMR (471 MHz, $CDCl_3$) δ -68.6 (d, 8.1 Hz, 3F); IR (neat): 3537.3, 3029.2, 2855.4, 1780.2, 1703.4, 1430.4, 1390.7, 1265.5, 1210.1, 1109.4, 1036.6, 982.8, 751.5 cm^{-1}; HRMS calcd. for $[M+H]^+$: 407.1583, found: 407.1568.

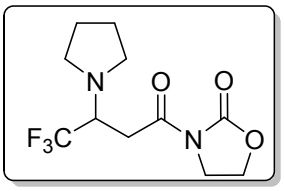


3-(3-(benzyl(2-hydroxyethyl)amino)-4,4,4-trifluorobutanoyl)oxazolidin-2-one (3aq): 2-(benzylamino)ethanol (33.3 mg, 0.22 mmol) and acrylate **1a** (41.8 mg, 0.2 mmol) were added to a 1 mL test tube. The mixture was stirred at r.t. for 1 h, then passed through a plug of silica gel (PE:EA = 1:1) to afford the title compound (66.1 mg, 92%) as a white solid. ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.25 (t, 7.2 Hz, 2H), 7.22-7.15 (m, 3H), 4.26-4.15 (m, 2H), 3.96-3.78 (m, 3H), 3.74-3.71 (m, 1H), 3.69-3.58 (m, 2H), 3.46 (d, 8.6 Hz, 1H), 3.15 (d, 7.2 Hz, 3H), 3.06-2.96 (m, 1H), 2.85 (d, 14.0 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 169.1, 151.8, 137.9, 128.0, 127.4, 126.3, 125.9 (q, 291.1 Hz), 61.0, 58.8, 56.1 (q, 26.5 Hz), 53.8, 52.3, 41.4, 32.4; ^{19}F NMR (471 MHz, $CDCl_3$) δ -68.5 (d, 8.0 Hz, 3F); IR (KBr): 3471.3, 2977.5, 2974.6, 1781.8, 1681.7, 1483.1, 1390.3, 1364.9, 1246.5, 1078.4, 920.8, 761.4, 637.2 cm^{-1}; mp = 84-85 ^{o}C; HRMS calcd. for $[M+H]^{+}$: 361.1375, found: 361.1359.

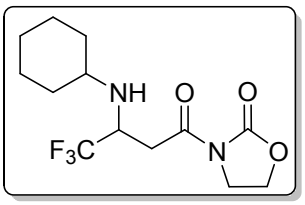


3-(4,4,4-trifluoro-3-morpholinobutanoyl)oxazolidin-2-one (3ar): Morpholine (19.2 mg, 0.22 mmol) and acrylate **1a** (41.8 mg, 0.2 mmol) were added to a 1 mL test tube. The mixture was stirred at r.t. for 2 h, then passed through a plug of silica gel (PE:EA = 3:1) to afford the title compound (56.0 mg, 94%) as a clear oil. ^{1}H NMR (500 MHz, $CDCl_3$) δ 4.39 (t, 8.3 Hz, 2H), 4.08-3.93 (m, 2H), 3.84-3.69 (m,

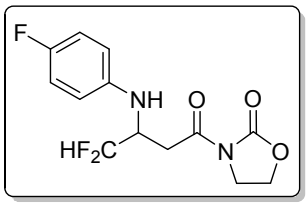
1H), 3.55-3.50 (m, 5H), 2.95 (dd, 16.6 Hz, 4.3 Hz, 1H), 2.84-2.81 (m, 2H), 2.68-2.53 (m, 2H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 168.7, 152.4, 125.4 (q, 290.0 Hz), 66.7, 61.2, 60.7 (q, 27.7 Hz), 49.0, 41.7, 31.1 (d, 1.2 Hz); ^{19}F NMR (471 MHz, $CDCl_3$) δ -69.2 (d, 8.5 Hz, 3F); IR (neat): 3542.4, 2961.4, 2853.6, 1779.6, 1702.5, 1392.1, 1316.0, 1266.0, 1156.6, 1114.7, 1036.8, 855.2, 802.5, 760.0, 684.0 cm^{-1}; HRMS calcd. for $[M+H]^+$: 297.1062, found: 297.1048.



3-(4,4,4-trifluoro-3-(pyrrolidin-1-yl)butanoyl)oxazolidin-2-one (3as): Pyrrolidine (15.7 mg, 0.22 mmol) and acrylate **1a** (41.8 mg, 0.2 mmol) were added to a 1 mL test tube. The mixture was stirred at r.t. for 2 h, then passed through a plug of silica gel (PE:EA = 2:1) to afford the title compound (51.2 mg, 91%) as a yellow solid. ^{1}H NMR (500 MHz, $CDCl_3$) δ 4.37 (t, 8.1 Hz, 2H), 4.12-4.02 (m, 1H), 4.01-3.94 (m, 2H), 3.37 (dd, 17.2 Hz, 7.9 Hz, 1H), 3.09 (dd, 17.2 Hz, 5.0 Hz, 1H), 2.78 (dd, 7.5 Hz, 5.4 Hz, 2H), 2.70 (d, 6.8 Hz, 2H), 1.73-1.59 (m, 4H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 169.1, 152.4, 125.6 (q, 288.5 Hz), 61.1, 56.1 (q, 27.7 Hz), 47.9, 41.6, 30.9 (d, 1.2 Hz), 22.9; ^{19}F NMR (471 MHz, $CDCl_3$) δ -70.8 (d, 8.5 Hz, 3F); IR (KBr): 3380.0, 2923.9, 2851.7, 1780.8, 1699.2, 1479.7, 1312.2, 1261.0, 1166.3, 1069.8, 944.5, 802.8, 760.6 cm^{-1}; mp = 30-31 ^{o}C; HRMS calcd. for $[M+H]^+$: 281.1113, found: 281.1107.

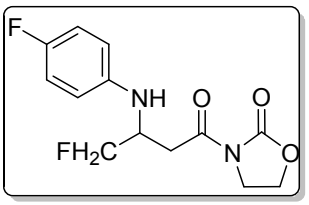


3-(3-(cyclohexylamino)-4,4,4-trifluorobutanoyl)oxazolidin-2-one (3at): Cyclohexanamine (21.9 mg, 0.22 mmol) and acrylate **1a** (41.8 mg, 0.2 mmol) were added to a 1 mL test tube. The mixture was stirred at r.t. for 1.5 h, then passed through a plug of silica gel (PE:EA = 2:1) to afford the title compound (61.3 mg, 99%) as a yellow solid. ^{1}H NMR (500 MHz, $CDCl_3$) δ 4.37 (t, 8.2 Hz, 2H), 4.03-3.91 (m, 2H), 3.86-3.70 (m, 1H), 3.22 (dd, 16.2 Hz, 9.0 Hz, 1H), 3.07 (dd, 16.2 Hz, 4.4 Hz, 1H), 2.60 (t, 10.1 Hz, 1H), 1.86-1.46 (m, 5H), 1.45-1.25 (m, 1H), 1.24-0.86 (m, 5H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 168.8, 152.5, 125.5 (q, 284,8 Hz), 61.1, 53.4, 52.1 (q, 29.0 Hz), 41.6, 35.0 (d, 1.9 Hz), 33.1, 32.0, 24.9, 23.6, 23.4; ^{19}F NMR (471 MHz, $CDCl_3$) δ -75.2 (d, 7.0 Hz, 3F); IR (KBr): 3358.5, 2929.8, 2857.2, 1787.0, 1700.3, 1391.6, 1269.0, 1222.9, 1125.9, 1040.3, 760.2, 631.1 cm^{-1}; mp = 36-37 ^{o}C; HRMS calcd. for $[M+H]^{+}$: 309.1426, found: 309.1408.



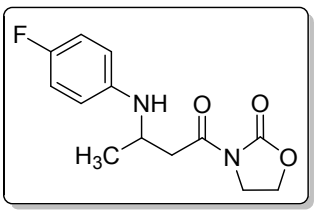
3-(4,4-difluoro-3-((4-fluorophenyl)amino)butanoyl)oxazolidin-2-one (3bk): 4-fluoroaniline (24.5 mg, 0.22 mmol) and acrylate **1b** (38.2 mg, 0.2 mmol) were added to a 1 mL test tube. The mixture was stirred at r.t. for 18 h, then passed through a plug of silica gel (PE:EA = 2:1) to afford the title compound (56.3 mg, 93%) as a

yellow solid. ^{1}H NMR (500 MHz, $CDCl_3$) δ 6.83 (t, 8.6 Hz, 2H), 6.69-6.42 (m, 2H), 5.86 (td, 56.0 Hz, 2.5 Hz, 1H), 4.34-4.27 (m, 2H), 4.25-4.21 (m, 1H), 3.87 (t, 8.1 Hz, 2H), 3.78 (d, 10.5 Hz, 1H), 3.36 (dd, 16.4 Hz, 7.9 Hz, 1H), 3.15 (dd, 16.4 Hz, 4.8 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 169.4, 155.6 (d, 236.9 Hz), 152.5, 141.2 (d, 2.1 Hz), 114.7 (d, 22.7 Hz), 114.1 (d, 7.5 Hz), 114.0 (t, 246.3 Hz), 61.2, 52.3 (t, 22.7 Hz), 41.5, 32.8 (d, 4.0 Hz); ^{19}F NMR (471 MHz, $CDCl_3$) δ -125.2--125.9 (m, 1F), -125.7--125.9 (m, 1F), -128.9--129.6 (m, 1F); IR (KBr): 3350.7, 2981.8, 1772.6, 1695.9, 1527.7, 1512.3, 1405.5, 1312.6, 1208.9, 1121.5, 1045.1, 824.0, 761.9, 680.6 cm^{-1}; mp = 127-128 °C; HRMS calcd. for $[M+H]^+$: 303.0957, found: 303.0950.

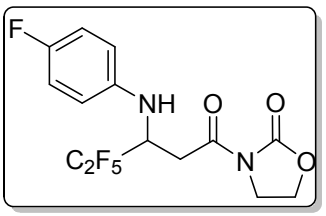


3-(4-fluoro-3-((4-fluorophenyl)amino)butanoyl)oxazolidin-2-one (3ck): 4-fluoroaniline (24.5 mg, 0.22 mmol) and acrylate **1c** (34.6 mg, 0.2 mmol) were added to a 1 mL test tube. The mixture was stirred at r.t. for 24 h, then passed through a plug of silica gel (PE:EA = 2:1) to afford the title compound (52.1 mg, 92%) as a white solid. ^{1}H NMR (500 MHz, $CDCl_3$) δ 6.82 (t, 8.7 Hz, 2H), 6.64-6.43 (m, 2H), 4.51 (dd, 3.9 Hz, 1.3 Hz, 1H), 4.42 (dd, 3.8 Hz, 1.8 Hz, 1H), 4.36-4.26 (m, 2H), 4.17-4.02 (m, 1H), 3.93-3.87 (m, 2H), 3.85 (s, 1H), 3.33 (dd, 16.4 Hz, 6.8 Hz, 1H), 3.12 (dd, 16.4 Hz, 6.0 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 170.1, 155.3 (d, 238.1 Hz), 152.6, 141.5 (d,

1.9 Hz), 114.9 (d, 22.7 Hz), 114.0 (d, 7.5 Hz), 83.0 (d, 172.6 Hz), 61.1, 50.0 (d, 19.8 Hz), 41.4, 35.0 (d, 4.2 Hz); ^{19}F NMR (471 MHz, $CDCl_3$) δ -126.4--126.5 (m, 1F), -230.4--230.6 (m, 1F); IR (KBr): 3350.0, 2926.7, 1778.7, 1680.4, 1510.9, 1394.5, 1209.1, 1036.8, 928.9, 826.2, 758.5, 700.5 cm^{-1}; mp = 112-113 ^{o}C; HRMS calcd. for $[M+H]^+$: 285.1051, found: 285.1047.

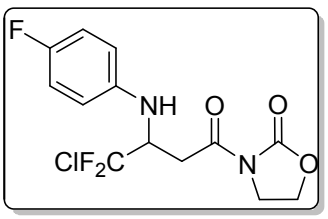


3-(3-((4-fluorophenyl)amino)butanoyl)oxazolidin-2-one (3dk): 4-fluoroaniline (24.5 mg, 0.22 mmol) and acrylate **1d** (31.0 mg, 0.2 mmol) were added to a 1 mL test tube. The mixture was stirred at r.t. for 44 h, then passed through a plug of silica gel (PE:EA = 2:1) to afford the title compound (38.6 mg, 72%) as a yellow solid. ^{1}H NMR (500 MHz, $CDCl_3$) δ 6.80 (t, 8.6 Hz, 2H), 6.51-6.48 (m, 2H), 4.28 (dd, 16.0 Hz, 7.7 Hz, 2H), 3.97-3.95 (m, 1H), 3.86 (dd, 11.7 Hz, 5.0 Hz, 2H), 3.60 (s, 1H), 3.26 (dd, 15.4 Hz, 7.1 Hz, 1H), 2.91 (dd, 15.4 Hz, 5.6 Hz, 1H), 1.21 (d, 6.3 Hz, 3H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 170.7, 155.0 (d, 236.9 Hz), 152.7, 142.3, 114.7 (d, 22.7 Hz), 113.7 (d, 7.4 Hz), 61.0, 46.2, 41.5, 40.3, 20.1; ^{19}F NMR (471 MHz, $CDCl_3$) δ -127.5--127.6 (m, 1F); IR (KBr): 3356.0, 2972.6, 2925.4, 1774.9, 1681.2, 1510.9, 1393.2, 1315.6, 1210.3, 1146.4, 1036.9, 1020.5, 825.9, 702.0 cm^{-1}; mp = 106-107 ^{o}C; HRMS calcd. for $[M+H]^+$: 267.1145, found: 267.1132.



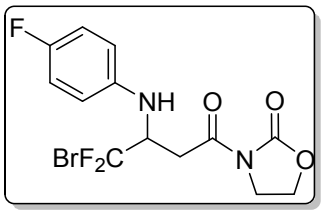
3-(4,4,5,5,5-pentafluoro-3-((4-fluorophenyl)amino)pentanoyl)oxazolidin-2-one (3ek):

4-fluoroaniline (24.5 mg, 0.22 mmol) and acrylate **1e** (51.8 mg, 0.2 mmol) were added to a 1 mL test tube. The mixture was stirred at r.t. for 18 h, then passed through a plug of silica gel (PE:DCM = 1:2) to afford the title compound (64.2 mg, 87%) as a yellow solid. ^{1}H NMR (500 MHz, $CDCl_3$) δ 6.83 (t, 8.7 Hz, 2H), 6.67-6.50 (m, 2H), 4.77-4.59 (m, 1H), 4.26 (t, 8.1 Hz, 2H), 3.87-3.74 (m, 2H), 3.67 (d, 11.2 Hz, 1H), 3.47 (dd, 15.8 Hz, 9.1 Hz, 1H), 3.24 (dd, 15.8 Hz, 3.6 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 168.3, 155.7 (d, 238.1 Hz), 152.6, 140.5 (d, 2.1 Hz), 117.9 (qt, 287.3 Hz, 35.3 Hz), 114.9 (d, 22.7 Hz), 114.0 (d, 7.6 Hz), 113.2 (tq, 259.6 Hz, 36.5 Hz), 61.2, 51.2 (dd, 26.5 Hz, 21.4 Hz), 41.5, 33.7; ^{19}F NMR (471 MHz, $CDCl_3$) δ -81.4 (S, 3F), -118.5 (dd, 274.7 Hz, 6.8 Hz, 1F), -125.2--125.3 (m, 1F), -126.7 (dd, 274.7 Hz, 18.2 Hz, 1F); IR (KBr): 3362.1, 2935.2, 1774.3, 1702.4, 1510.2, 1347.9, 1221.6, 1120.4, 1113.2, 832.3, 664.6 cm^{-1}; mp = 110-111 ^{o}C; HRMS calcd. for $[M+H]^{+}$: 371.0830, found: 371.0814.



3-(4-chloro-4,4-difluoro-3-((4-fluorophenyl)amino)butanoyl)oxazolidin-2-one (3fk):

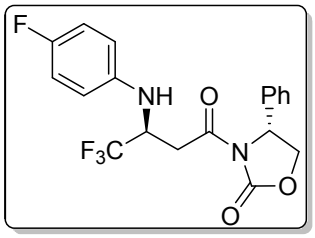
4-fluoroaniline (24.5 mg, 0.22 mmol) and acrylate **1f** (45.1 mg, 0.2 mmol) were added to a 1 mL test tube. The mixture was stirred at r.t. for 6 h, then passed through a plug of silica gel (PE:DCM = 1:2) to afford the title compound (61.8 mg, 92%) as a yellow solid. ^{1}H NMR (500 MHz, $CDCl_3$) δ 6.83 (t, 8.6 Hz, 2H), 6.72-6.47 (m, 2H), 4.73-4.50 (m, 1H), 4.31 (t, 8.1 Hz, 2H), 3.90-3.87 (m, 2H), 3.78 (d, 10.6 Hz, 1H), 3.50 (dd, 16.0 Hz, 9.8 Hz, 1H), 3.22 (dd, 16.0 Hz, 3.5 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 168.1, 155.8 (d, 238.1 Hz), 152.6, 141.1 (d, 2.1 Hz), 129.1 (t, 300.0 Hz), 114.8 (d, 22.7 Hz), 114.4 (d, 7.6 Hz), 61.2, 58.1 (t, 23.9 Hz), 41.5, 35.3; ^{19}F NMR (471 MHz, $CDCl_3$) δ -59.8--60.6 (m, 2F), -125.3--125.4 (m, 1F); IR (KBr): 3358.0, 3028.9, 1775.8, 1689.1, 1512.5, 1399.0, 1312.8, 1228.8, 1067.2, 958.7, 819.8, 758.4, 602.4 cm^{-1}; mp = 105-106 ^{o}C; HRMS calcd. for $[M+H]^+$: 337.0567, found: 337.0543.



3-(4-bromo-4,4-difluoro-3-((4-fluorophenyl)amino)butanoyl)oxazolidin-2-one (3gk):

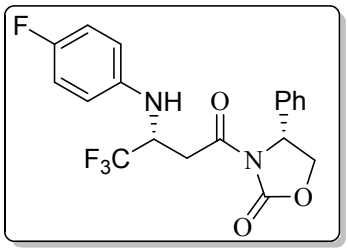
4-fluoroaniline (24.5 mg, 0.22 mmol) and acrylate **1g** (54.0 mg, 0.2 mmol) were added to a 1 mL test tube. The mixture was stirred at r.t. for 10 h, then passed through a plug of silica gel (PE:DCM = 1:2) to afford the title compound (66.4 mg, 87%) as a yellow solid. ^{1}H NMR (500 MHz, $CDCl_3$) δ 6.83 (t, 8.7 Hz, 2H), 6.68-6.59 (m, 2H), 4.61-4.46 (m, 1H), 4.31 (t, 8.1 Hz, 2H), 3.90-3.86 (m, 2H), 3.79 (d, 10.5 Hz, 1H), 3.50 (dd, 16.0 Hz, 9.8 Hz, 1H), 3.23 (dd, 16.0 Hz,

3.5 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 168.0, 155.8 (d, 238.1 Hz), 152.6, 141.0 (d, 2.1 Hz), 124.0 (t, 313.7 Hz), 114.9 (d, 22.7 Hz), 114.5 (d, 7.6 Hz), 61.2, 59.5 (t, 23.9 Hz), 41.5, 35.8; ^{19}F NMR (471 MHz, $CDCl_3$) δ -53.0--53.8 (m, 2F), -125.2--125.3 (m, 1F); IR (KBr): 3358.1, 3023.3, 1777.2, 1689.5, 1512.2, 1401.7, 1311.3, 1230.0, 1130.0, 940.8, 819.9, 760.3 cm^{-1}; mp = 116-117 ^{o}C; HRMS calcd. for $[M+H]^+$: 381.0062, found: 381.0043.



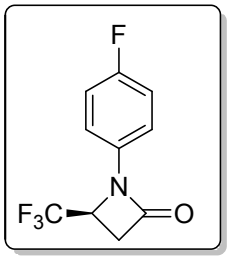
(R)-4-phenyl-3-((S)-4,4,4-trifluoro-3-((4-fluoro phenyl)amino)butanoyl)oxazolidin-2-one (*R*,*S*)-5: 4-fluoroaniline (0.49 g, 4.4 mmol) and compound **4** (1.14 g, 4 mmol) were added to a 10 mL test tube. After stirring at r.t. for 15 min, the reaction was stopped. The diastereoselective ratio was determined as 2.8:1 by the ^{1}H NMR of the crude mixture of diastereomers (page S66). The major isomer (*R*,*S*)-**5** was purified by column chromatography (PE:DCM = 1:1) as a yellow solid (0.56 g, 68% (brsm)). ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.21-7.15 (m, 1H), 7.11 (t, 7.6 Hz, 2H), 7.09-7.04 (m, 2H), 6.78 (t, 8.7 Hz, 2H), 6.53-6.42 (m, 2H), 5.37-5.33 (m, 1H), 4.58 (t, 8.8 Hz, 1H), 4.38-4.27 (m, 1H), 4.18 (dd, 8.9 Hz, 3.5 Hz, 1H), 3.90 (d, 10.5 Hz, 1H), 3.60 (dd, 15.1 Hz, 9.6 Hz, 1H), 3.10 (dd, 15.1 Hz, 4.2 Hz, 1H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 167.7, 155.8 (d, 238.1 Hz), 152.9, 140.8, 137.0, 128.1, 127.7, 124.5, 124.4 (q, 284.8 Hz), 114.8 (d, 22.7 Hz), 114.3 (d, 7.6 Hz), 69.2,

56.8, 53.4 (q, 30.2 Hz), 34.0. ^{19}F NMR (471 MHz, $CDCl_3$) δ -76.1 (d, 6.6 Hz, 3F), -125.4--125.5 (m, 1F).



(R)-4-phenyl-3-((R)-4,4,4-trifluoro-3-((4-fluoro phenyl)amino)butanoyl)oxazolidin-2-one (*R*,*R*)-5: ^{1}H NMR (500 MHz, $CDCl_3$) δ 7.29-7.20 (m, 3H), 7.17-7.10 (m, 2H), 6.81 (t, 8.6 Hz, 2H), 6.62-6.47 (m, 2H), 5.32 (dd, 8.7 Hz, 3.9 Hz, 1H), 4.59 (t, 8.9 Hz, 1H), 4.49-4.47 (m, 1H), 4.21 (dd, 9.0 Hz, 3.9 Hz, 1H), 3.65 (d, 10.2 Hz, 1H), 3.43 (dd, 16.1 Hz, 9.8 Hz, 1H), 3.21 (dd, 16.1 Hz, 3.7 Hz, 1H). ^{13}C NMR (126 MHz, $CDCl_3$) δ 167.5, 155.8 (d, 238.1 Hz), 152.8, 140.9, 137.2, 128.2, 127.9, 124.8, 124.5 (q, 284.8 Hz), 114.9 (d, 22.7 Hz), 114.2 (d, 7.5 Hz), 69.2, 56.7, 52.5 (q, 30.2 Hz), 34.8. ^{19}F NMR (471 MHz, $CDCl_3$) δ -75.9 (d, 6.7 Hz, 3F), -125.4--125.5 (m, 1F).

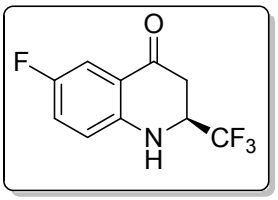
Synthesis of enantiopure trifluoromethylated *N*-hetereocycles



(*S*)-1-(4-fluorophenyl)-4-(trifluoromethyl)azetidin-2-one (9)[1]: To the solution of compound **(*R*,*S*)-5** (0.56 g, 1.42 mmol) in THF/H_2O (4:1, 8 mL), H_2O_2 (30%, 1 mL) and aqueous solution of LiOH•H_2O (0.10 g, 2.38 mmol) were slowly added at 0 °C. After stirring at 0 °C for 2 h, Na_2SO_3 was added to consume the remained H_2O_2. The solution was adjusted to pH 12, then extracted with ethyl acetate (3×5 mL). The aqueous phase was

acidified by dilute HCl to pH 2, then extracted with ethyl acetate (3×10 mL) and dried over anhydrous Na_2SO_4. The solvent was evaporated under vacuum, and the residue was purified by column chromatography (PE:EA = 2:1) to afford compound **(*S*)-6** (0.26 g, 73%) as a yellow solid. Under N_2 atmosphere, compound **(*S*)-6** (0.16 g, 0.64 mmol) and anhydrous CH_3OH (1.44 mL) were added to a 10 mL oven-dried Schlenk tube. $SOCl_2$ (0.07 mL, 1 mmol) was slowly added to the mixture at 5 ^oC, then warmed to 60 ^oC. After 1.5 h stirring at the temperature, the solution was cooled to r.t. and toluene (1.3 mL) was added. $NaHCO_3$ (0.13 g, 1.5 mmol) was added to the above solution at 0 ^oC, then stirred for 1h. The resulting solution was extracted with ethyl acetate and dried over anhydrous Na_2SO_4. Evaporating the solvent under vacuum gave compound **(*S*)-8** (0.16 g, 95%) as a yellow solid without purification. To a solution of compound **(*S*)-8** (0.16 g, 0.60 mmol) in anhydrous Et_2O (1.5 mL) was slowly added a solution of MeMgBr (0.4 mL, 3M in Et_2O) at -12 ^oC under nitrogen atmosphere. After stirring at -12 ^oC for 1h, the reaction was quenched by adding an excess amount of saturated aqueous NH_4Cl solution, followed by extracting with Et_2O (3×10 mL). The organic phase was washed with brine and then dried over anhydrous Na_2SO_4. The solvent was evaporated under vacuum, and the residue was purified by column chromatography (PE:EA = 10:1) to afford the title compound **9** (96.5 mg, 69%) as a yellow solid. $[\alpha]_D^{25}$ = -289.2 (*c* 0.21,

$CHCl_3$); ^1H NMR (500 MHz, $CDCl_3$) δ 7.53-7.31 (m, 2H), 7.06 (t, 8.6 Hz, 2H), 4.64-4.39 (m, 1H), 3.37 (dd, 15.5 Hz, 5.8 Hz, 1H), 3.21 (dd, 15.5 Hz, 2.4 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 162.6, 159.7 (d, 245.7 Hz), 132.9 (d, 2.8 Hz), 124.2 (q, 180 Hz), 119.1 (d, 8.1 Hz), 116.0 (d, 22.7 Hz), 50.8 (q, 35.3 Hz), 38.6 (d, 1.7 Hz).



(*S*)-6-fluoro-2-(trifluoromethyl)-2,3-dihydroquinolin-4(1*H*)-one (7): Compound **(*S*)-6** (0.1 g, 0.4 mmol) and polyphosphoric acids (1.0 g) were added to a 10 mL test tube. After stirring at 120-130 °C for 0.5 h, the viscous solution was cooled, and ice water (4 mL) was added, followed by extracting with ethyl acetate (3×10 mL). The organic phase was washed with brine and then dried over anhydrous Na_2SO_4. The solvent was evaporated under vacuum, and the residue was purified by column chromatography (PE:EA = 4:1) to afford the title compound **7** (49.8 mg, 54%) as a yellow solid.[2] $[\alpha]_D^{25}$ = -159.0 (*c* 0.3, $CHCl_3$); ^1H NMR (500 MHz, $CDCl_3$) δ 7.51 (dd, 8.5 Hz, 2.9 Hz, 1H), 7.14 (td, 8.7 Hz, 3.0 Hz, 1H), 6.74 (dd, 8.9 Hz, 4.0 Hz, 1H), 4.50 (s, 1H), 4.15 (dd, 12.8 Hz, 6.8 Hz, 1H), 2.97 (dd, 16.7 Hz, 5.5 Hz, 1H), 2.88 (dd, 16.8 Hz, 8.9 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$) δ 189.2, 156.4 (d, 240.7 Hz), 145.3, 124.9 (q, 282.7 Hz), 123.8 (d, 23.9 Hz), 119.2 (d, 6.0 Hz), 117.5 (d, 6.9 Hz), 112.3 (d, 22.7 Hz), 54.5 (q, 31.5 Hz), 35.9; ^{19}F NMR (471 MHz, $CDCl_3$) δ -77.3 (d, 6.3 Hz, 3F), -124.1--124.2 (m, 1F); IR (KBr):

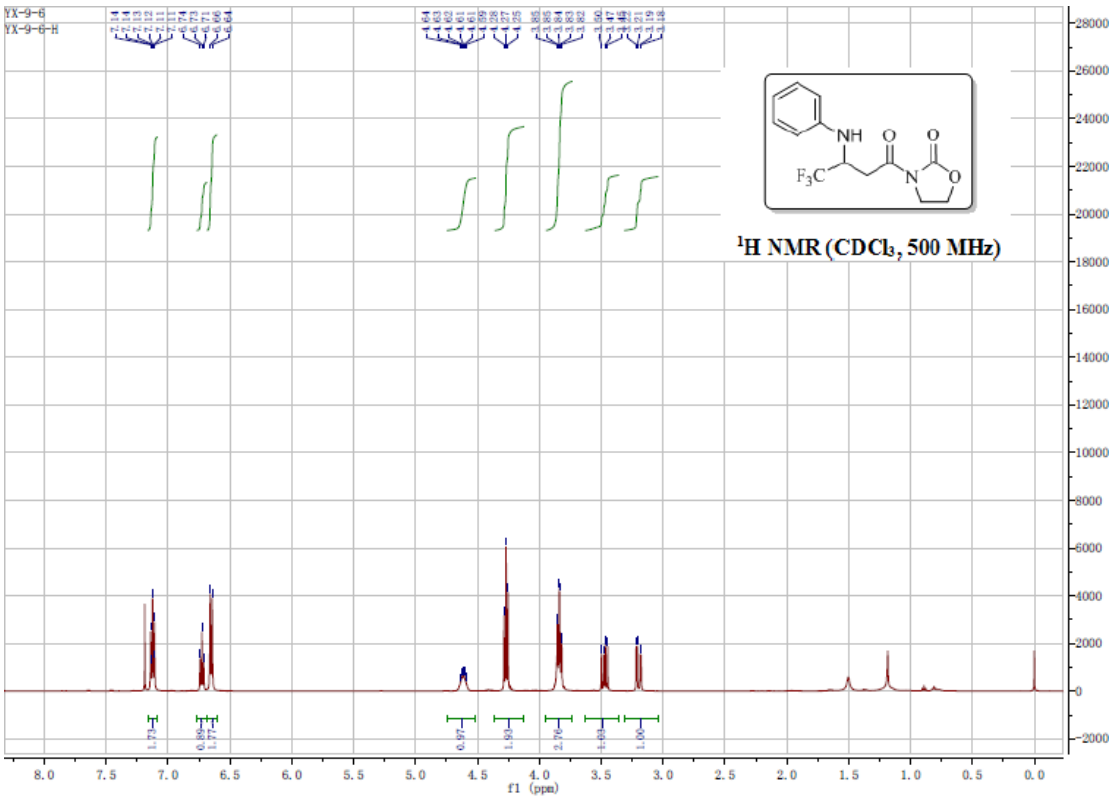
3698.5, 3350.0, 2998.3, 1659.3, 1507.1, 1424.6, 1269.5, 1183.1, 1169.2, 1122.2, 918.8, 826.3, 683.2 cm^{-1}; mp = 103-104 ^{o}C; HRMS calcd. for $[M+H]^{+}$: 234.0542, found: 234.0535.

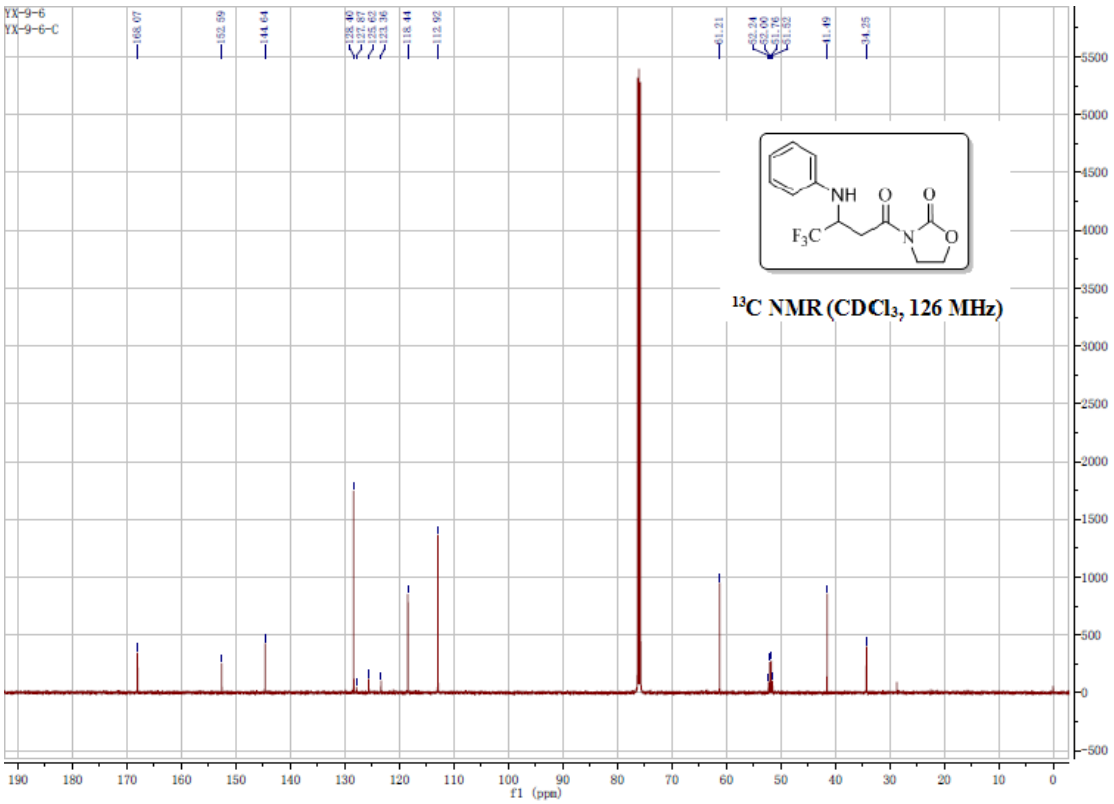
References

(1) K. Tamura, T. Yamazaki, T. Kitazume, T. Kubota, *J. Fluorine Chem.* 2005, **126**, 918-930.

(2) Y.-F. Gong, K. Kato, *J. Fluorine Chem.* 2004, **125**, 767-773.

^{1}H, ^{13}C, and ^{19}F NMR spectra

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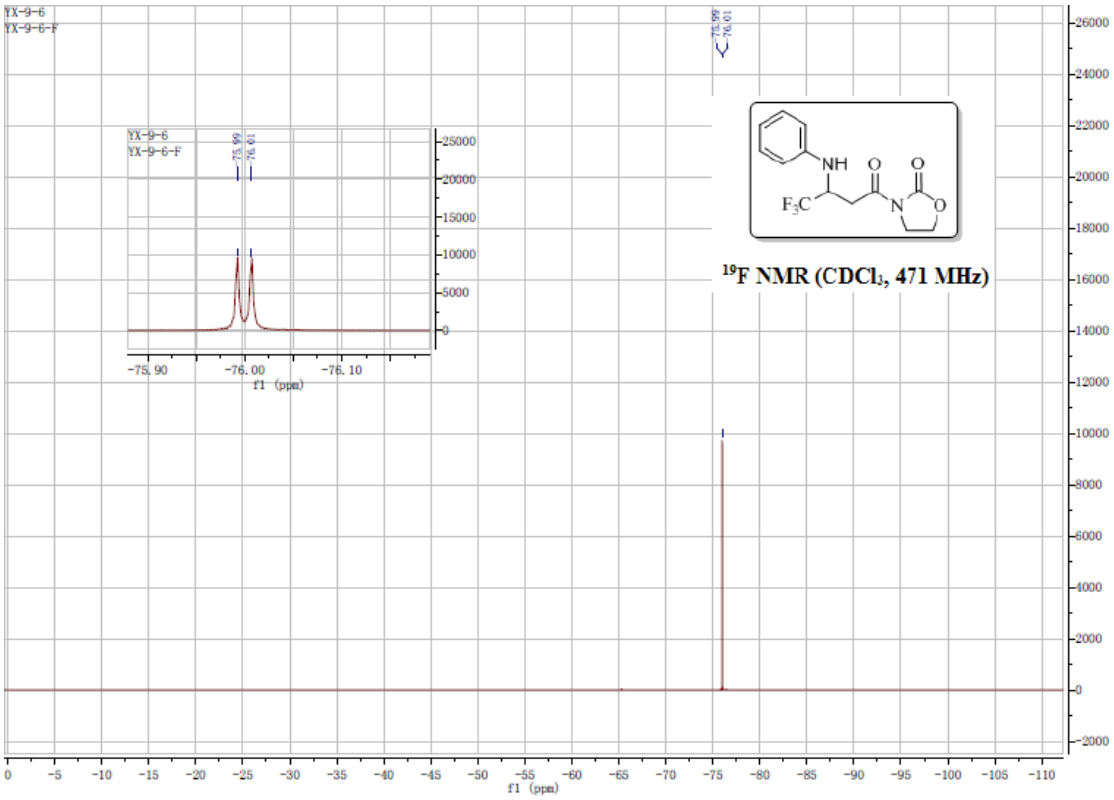
118.44
112.92
61.21
52.24
52.00
51.76
51.52
41.49
34.25
NH
O
O
F3C
N
O
13C NMR (CDCl3, 126 MHz)
f1 (ppm)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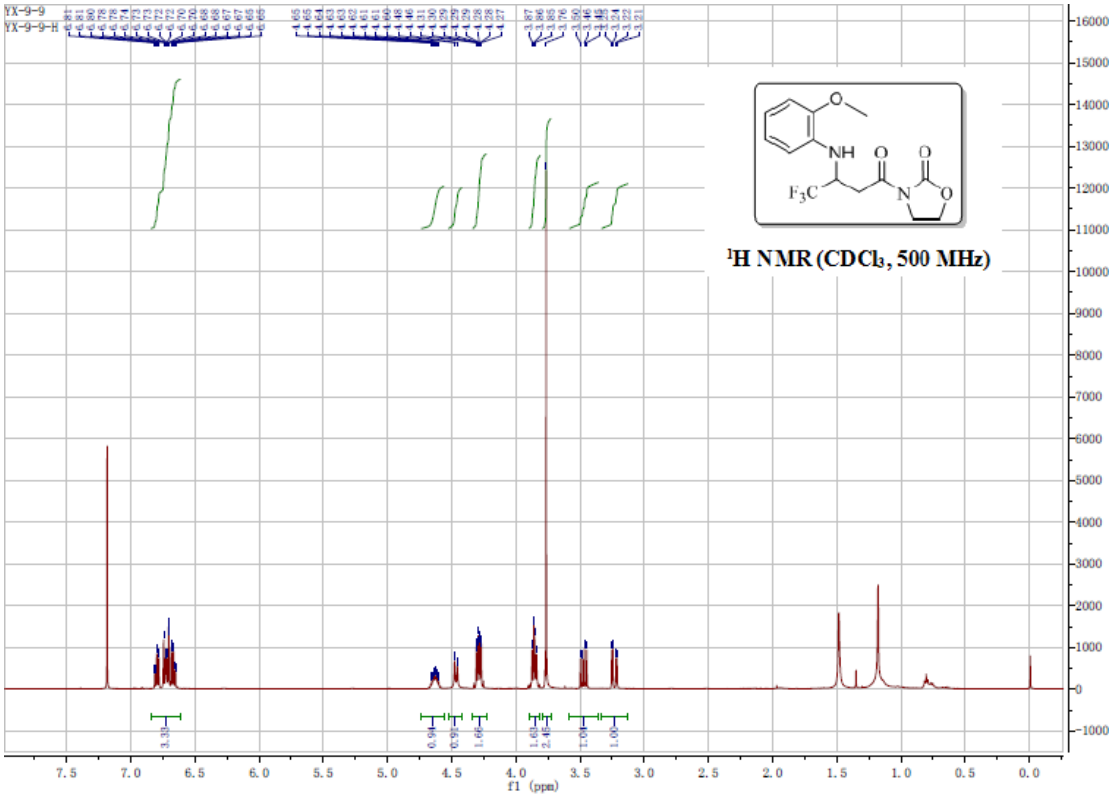
19F NMR (CDCl3, 471 MHz)
f1 (ppm)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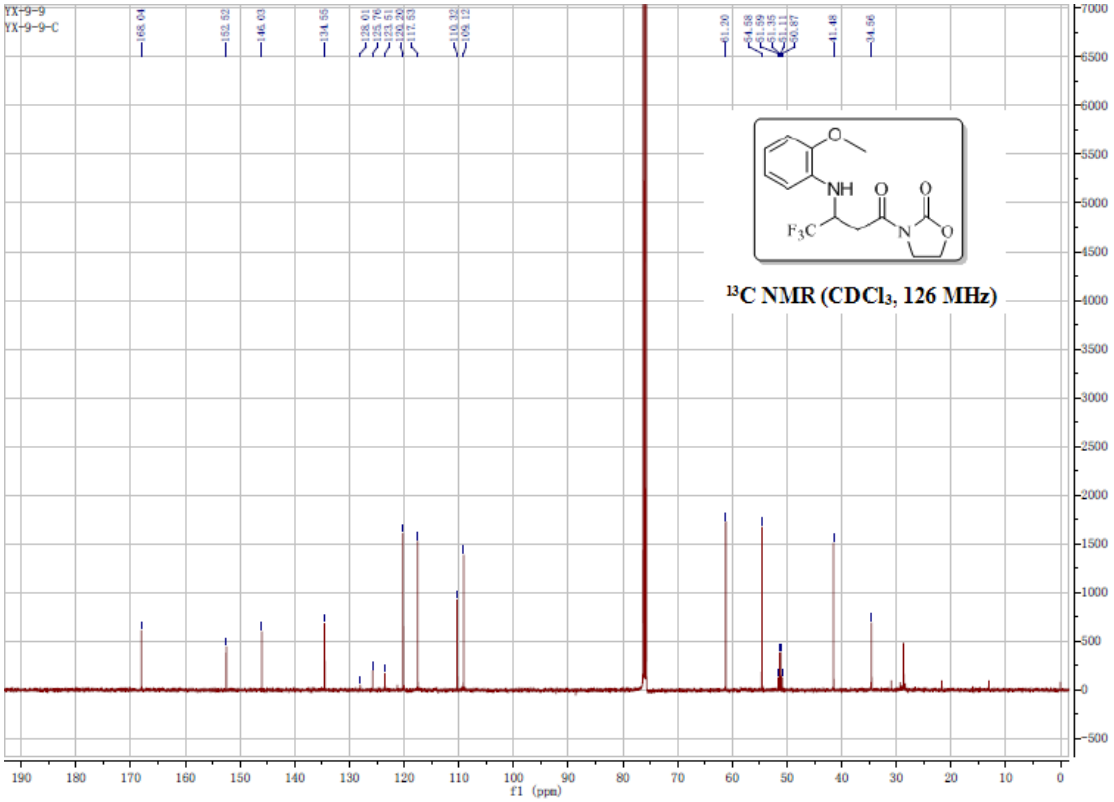
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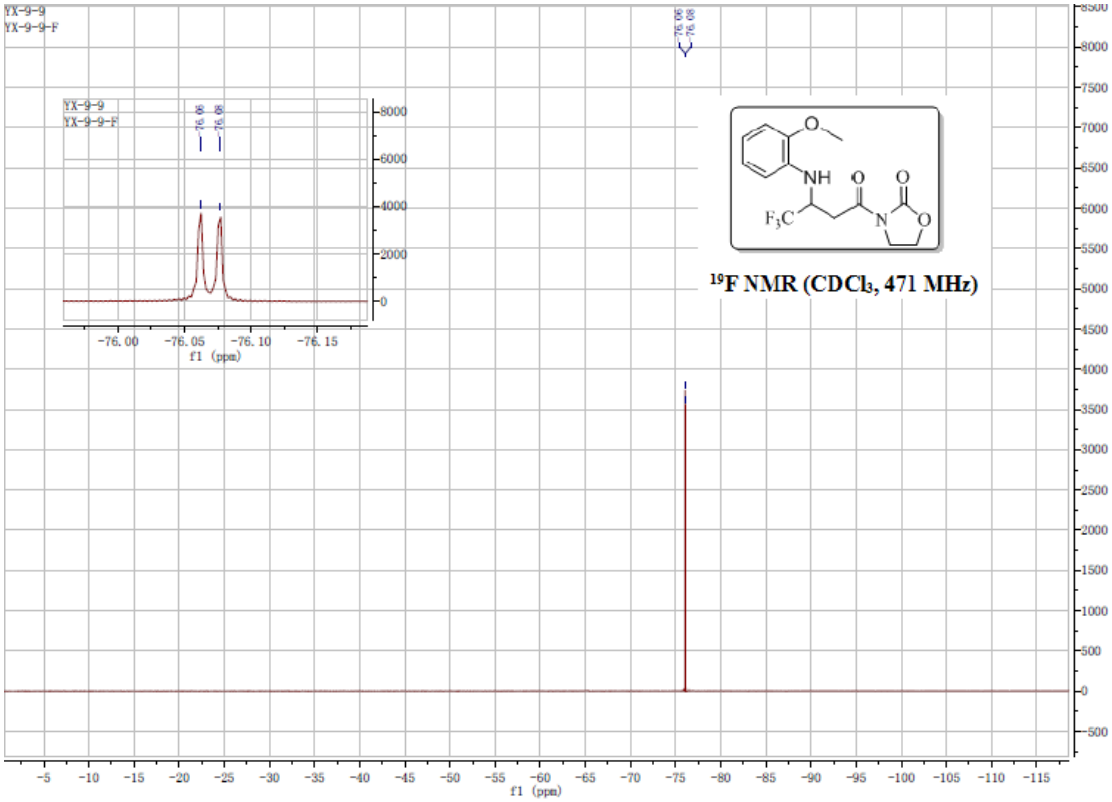
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F3C
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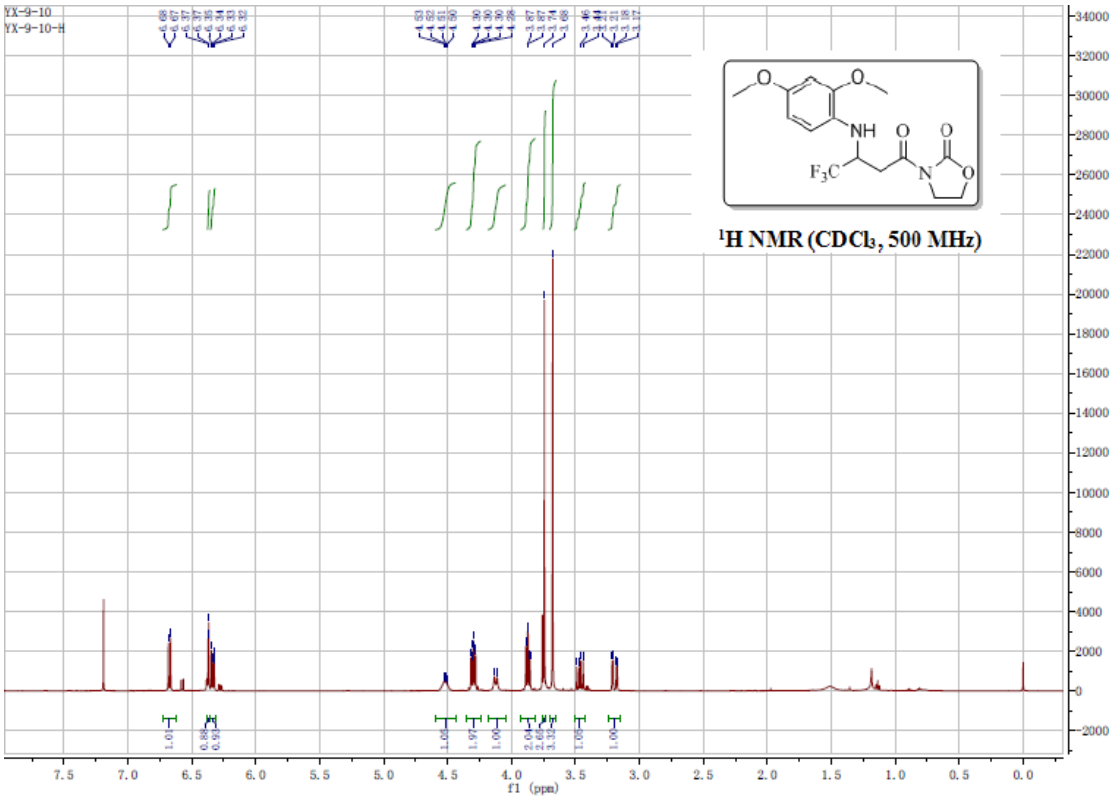
f1 (ppm)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F3C
N
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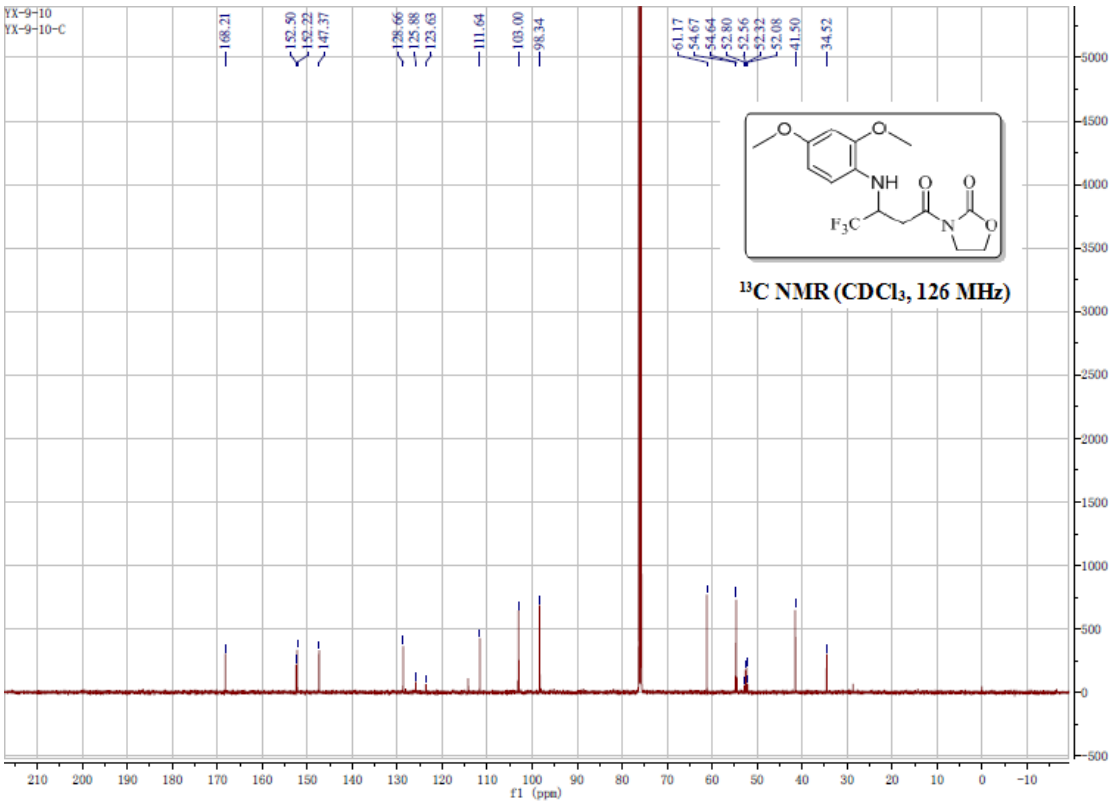
1H NMR (CDCl3, 500 MHz)
f1 (ppm)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54.64
52.80
52.56
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O
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N
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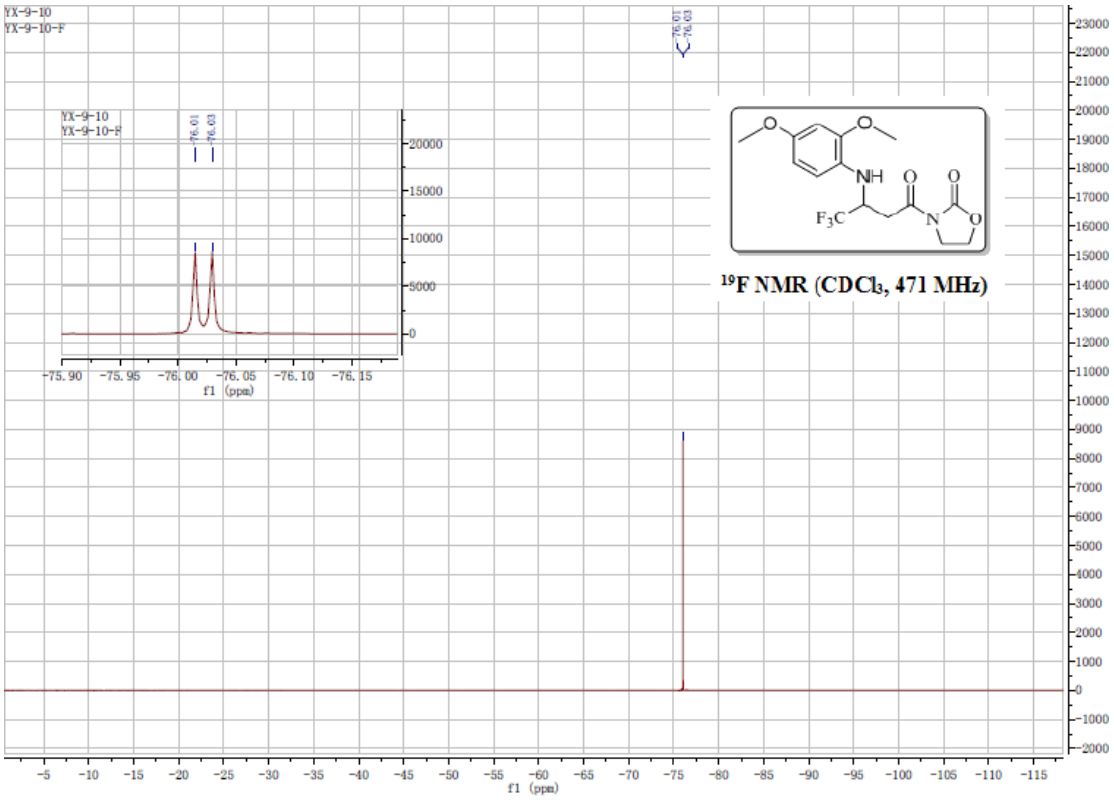
13C NMR (CDCl3, 126 MHz)
f1 (ppm)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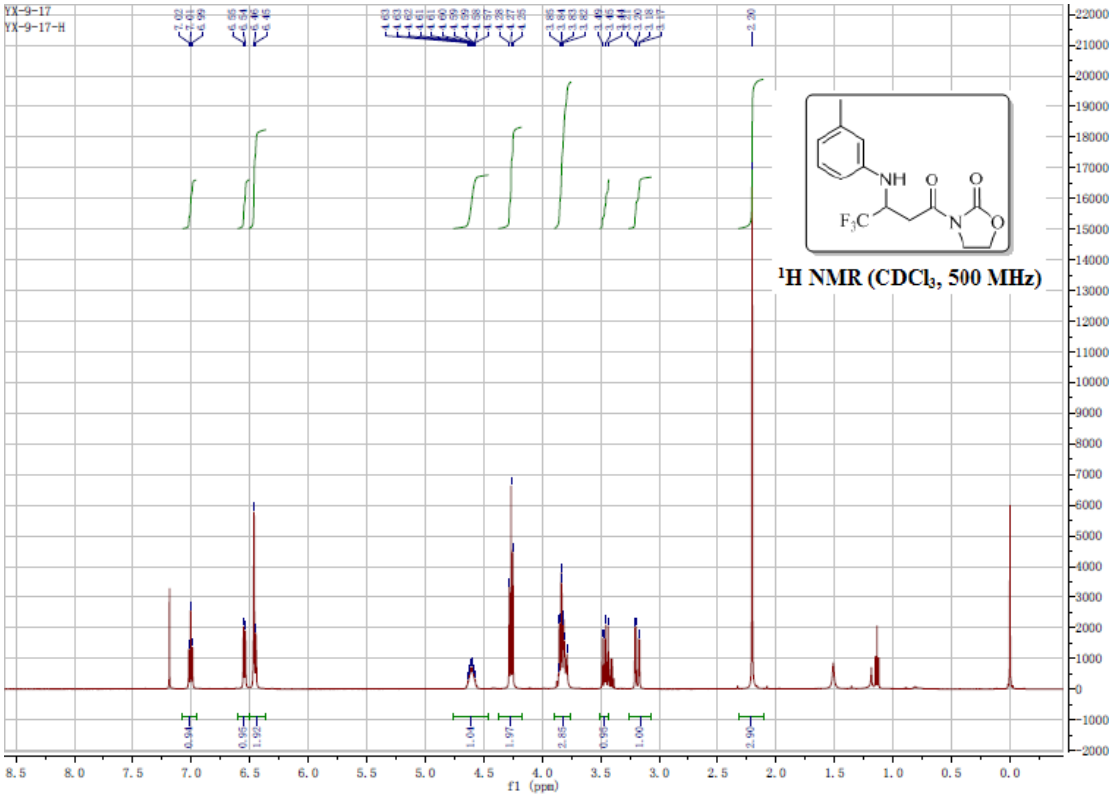
19F NMR (CDCl3, 471 MHz)
f1 (ppm)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NH
O
O
F3C
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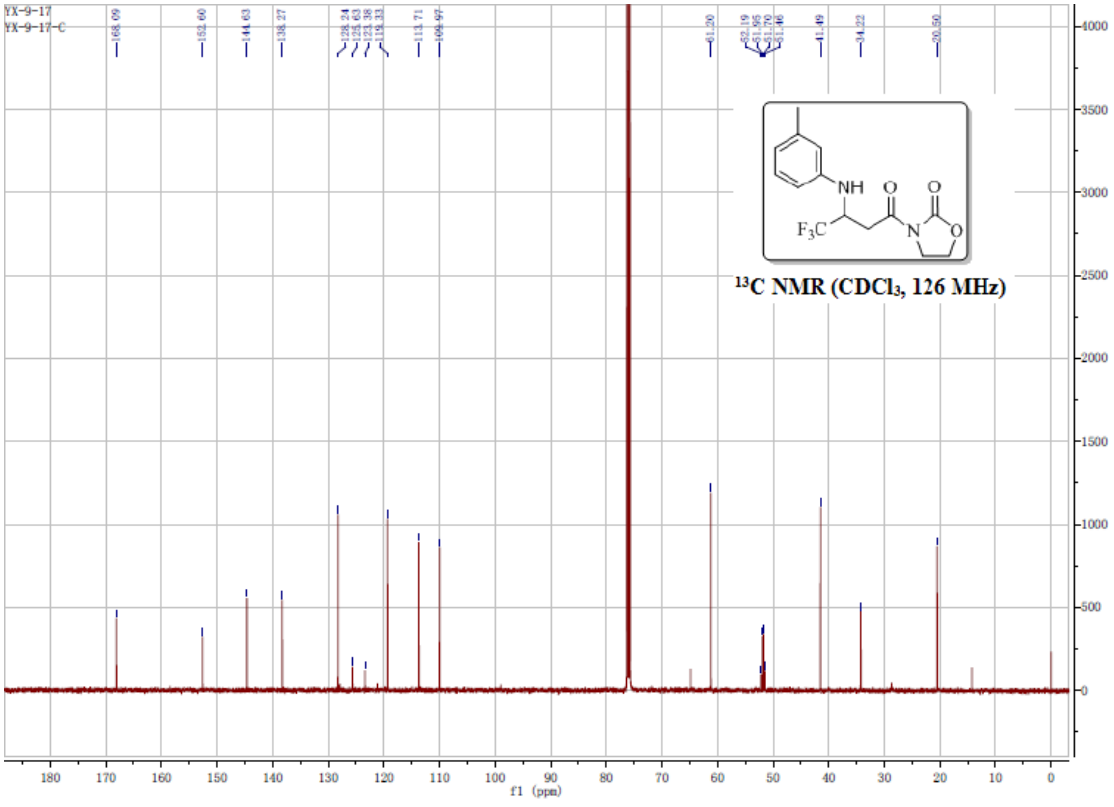
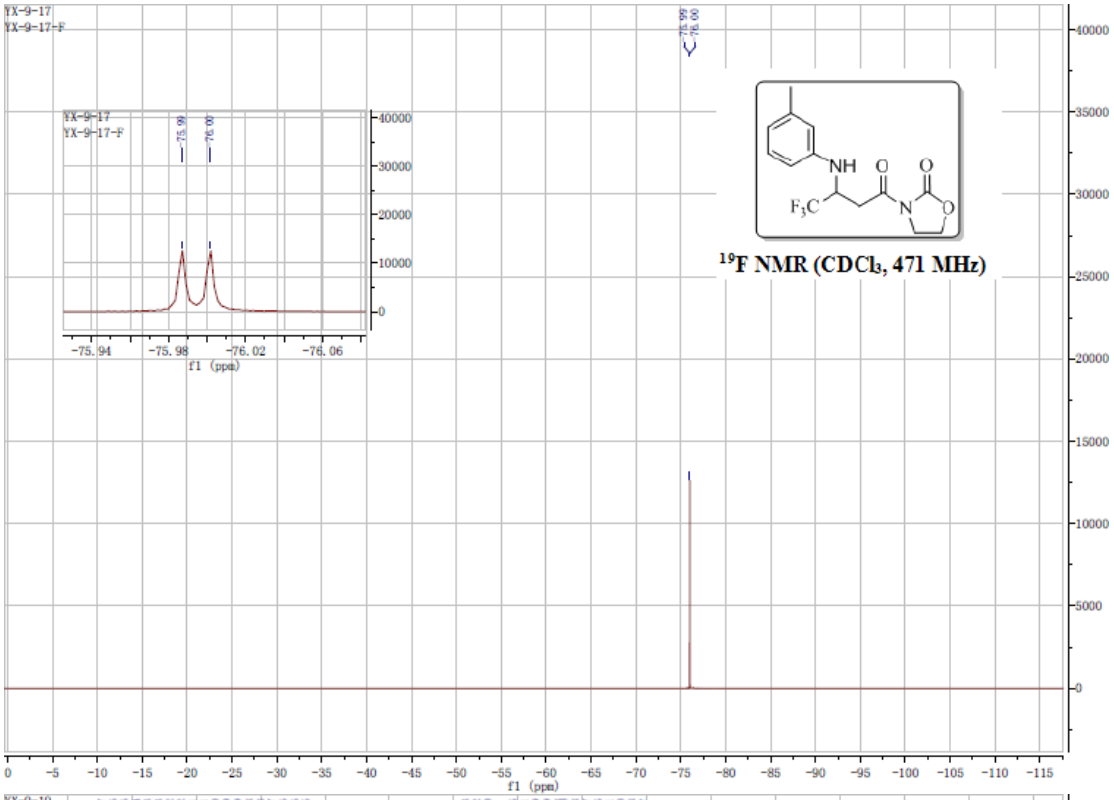
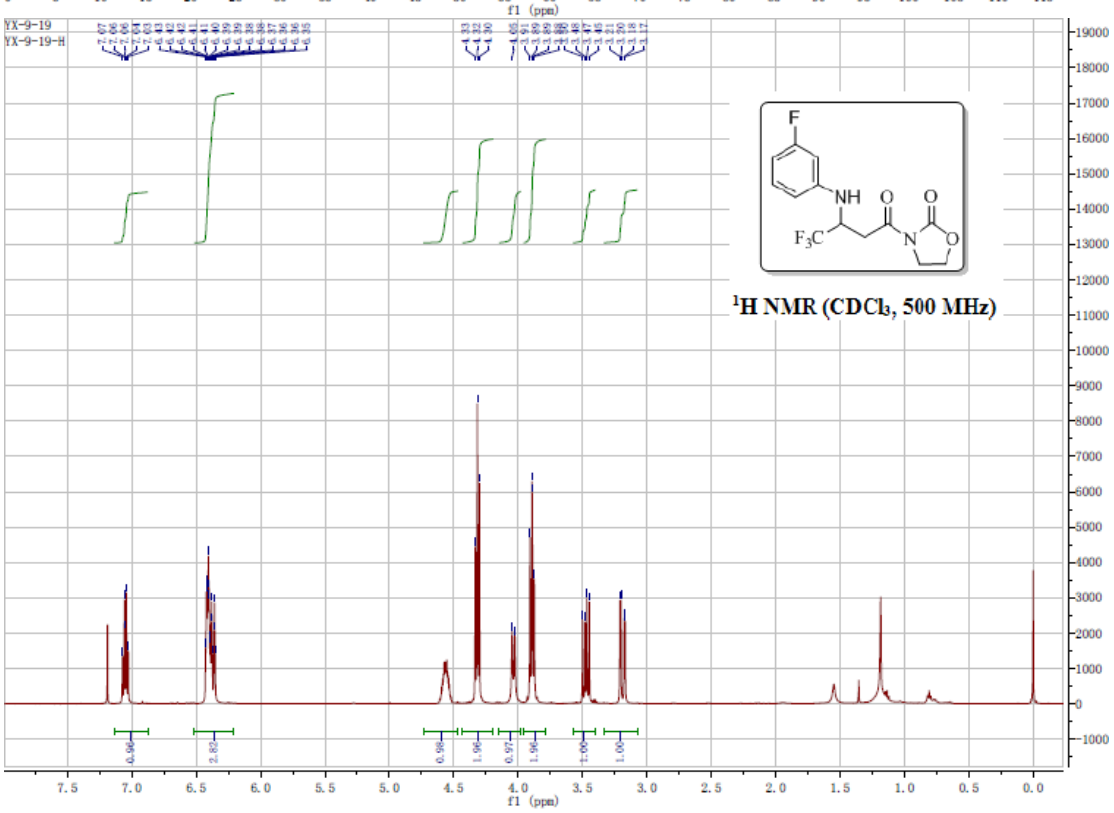
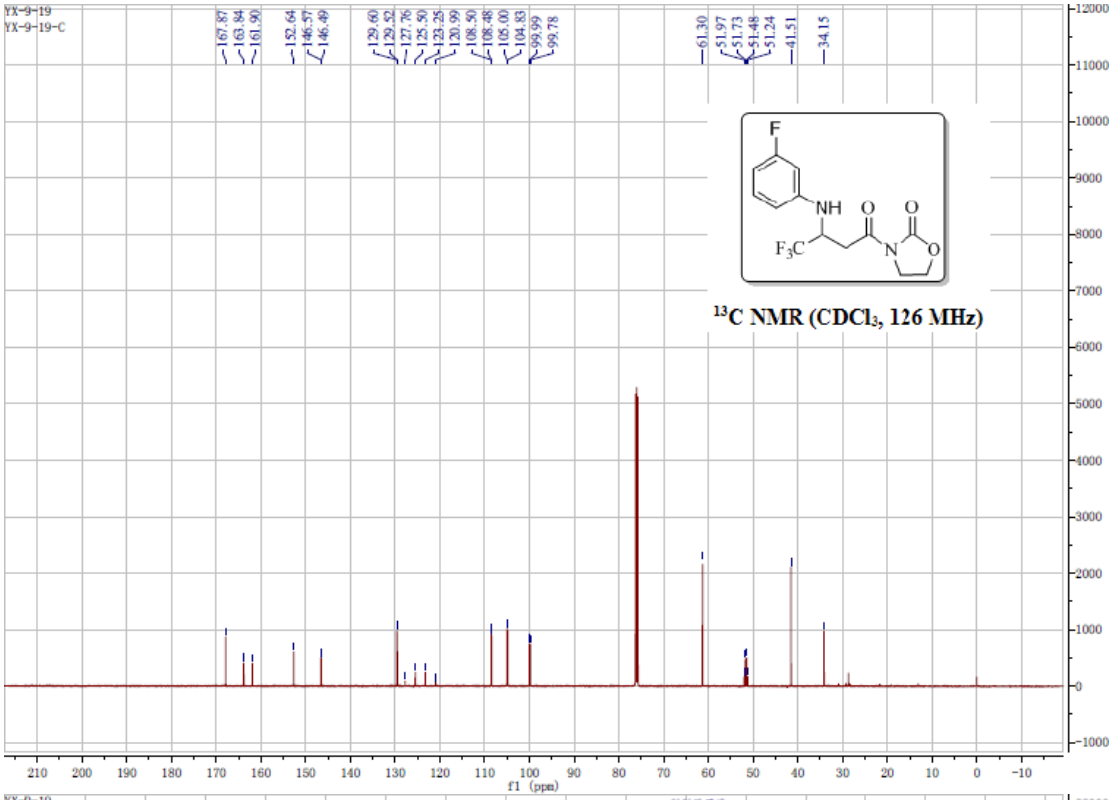
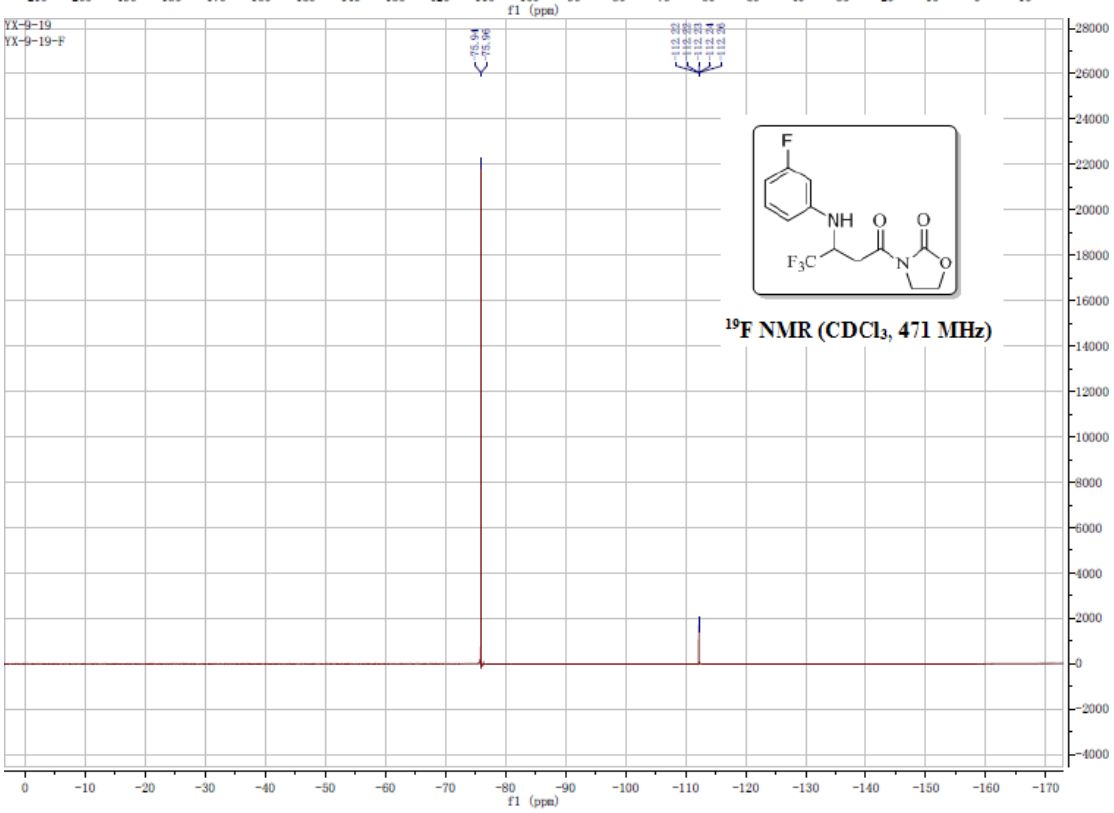
1H NMR (CDCl3, 500 MHz)
f1 (ppm)

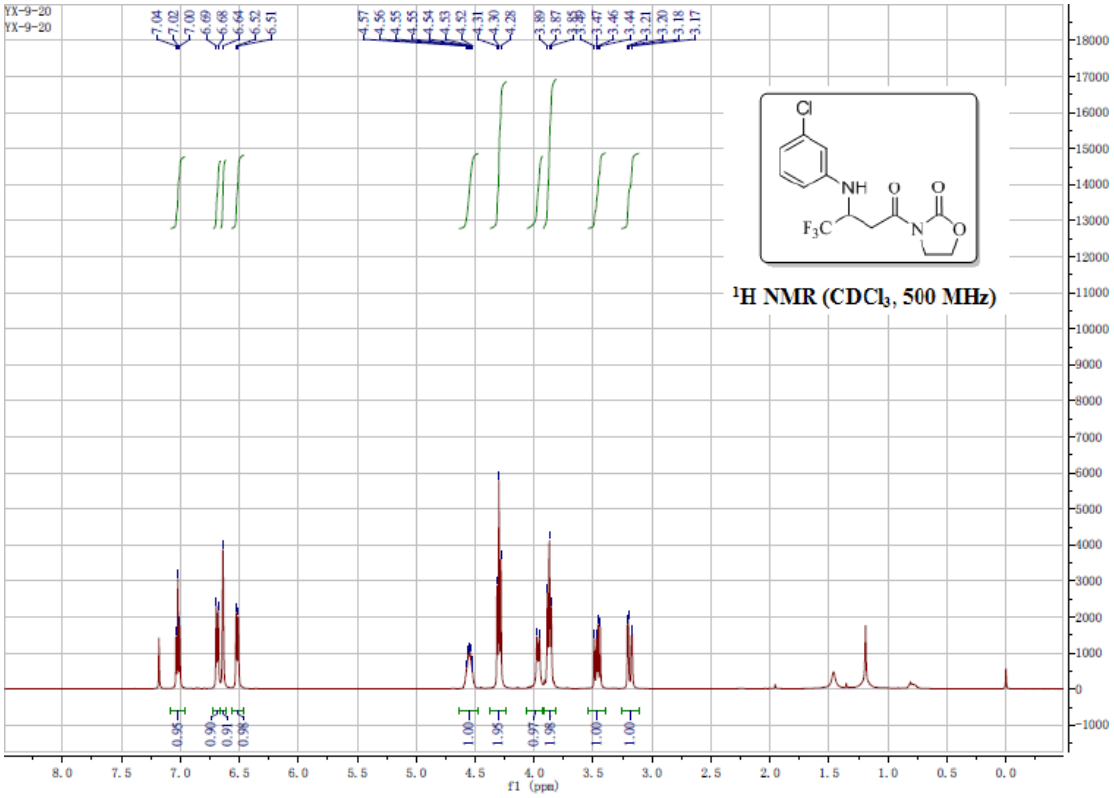

YX-9-17
YX-9-17-C
NH
O
O
F3C
N
O
13C NMR (CDCl3, 126 MHz)
f1 (ppm)

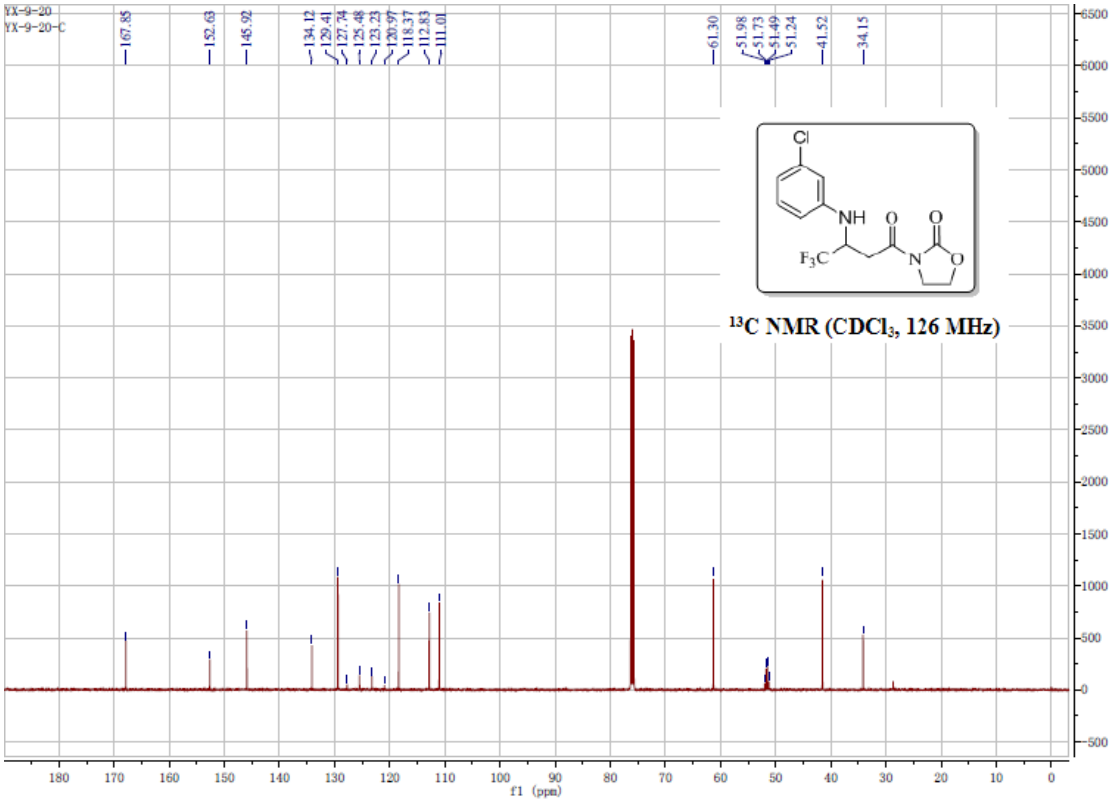

YX-9-17
YX-9-17-F
75.99
76.00
NH
O
O
F3C
N
O
19F NMR (CDCl3, 471 MHz)
f1 (ppm)

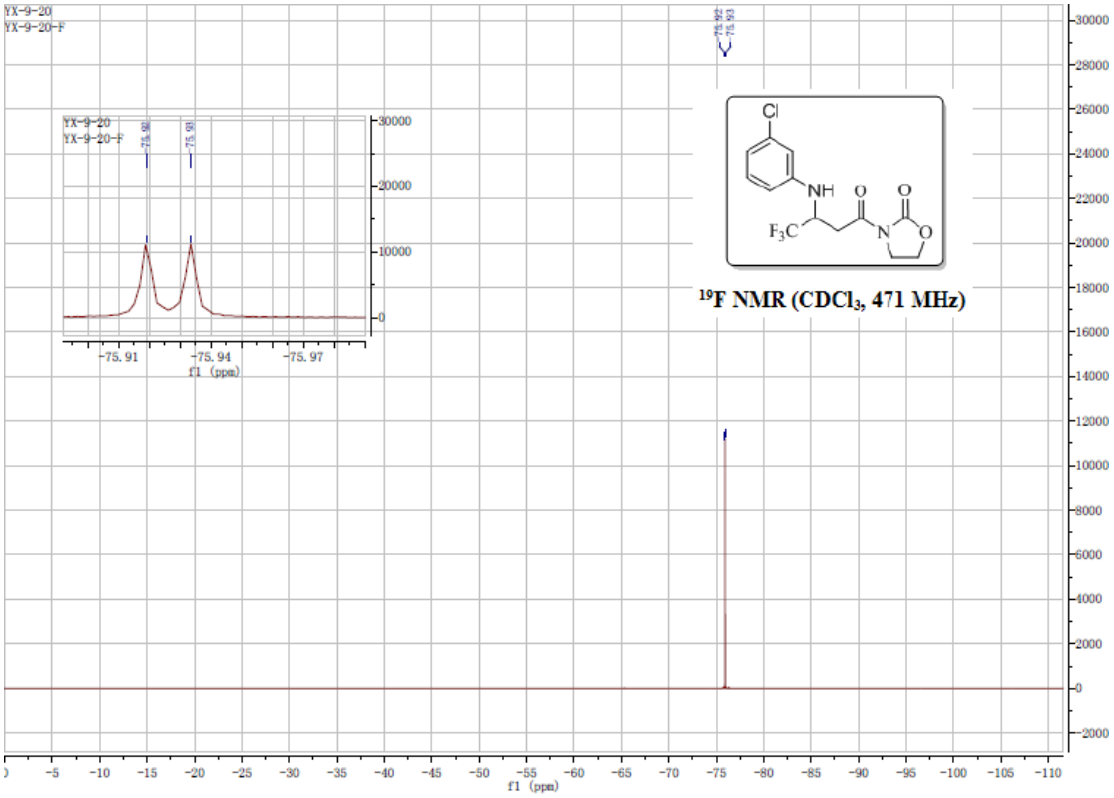

YX-9-19
YX-9-19-H
F
NH
O
O
F3C
N
O
1H NMR (CDCl3, 500 MHz)
f1 (ppm)

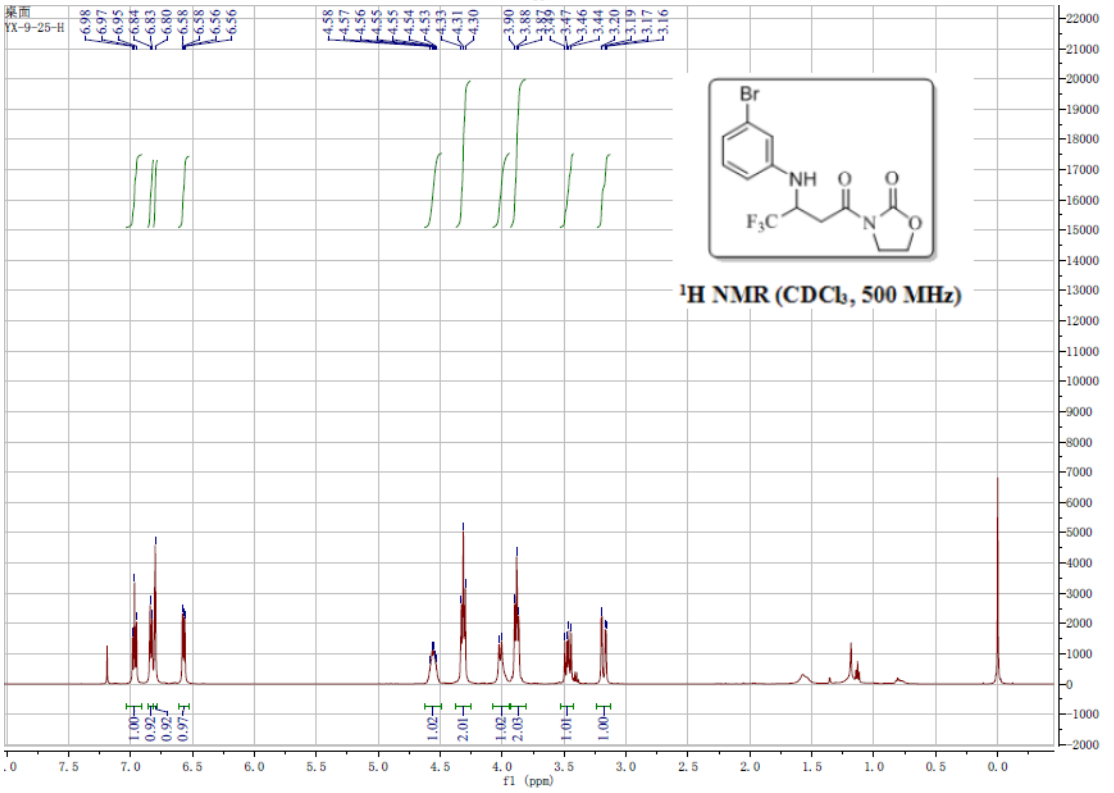

YX-9-19
YX-9-19-C
167.87
163.84
161.90
152.64
146.57
146.49
129.60
129.52
127.76
125.50
123.25
120.99
108.50
108.48
105.00
104.83
99.99
99.78
61.30
51.97
51.73
51.48
51.24
41.51
34.15
F
NH
O
O
F3C
N
O
13C NMR (CDCl3, 126 MHz)
f1 (ppm)

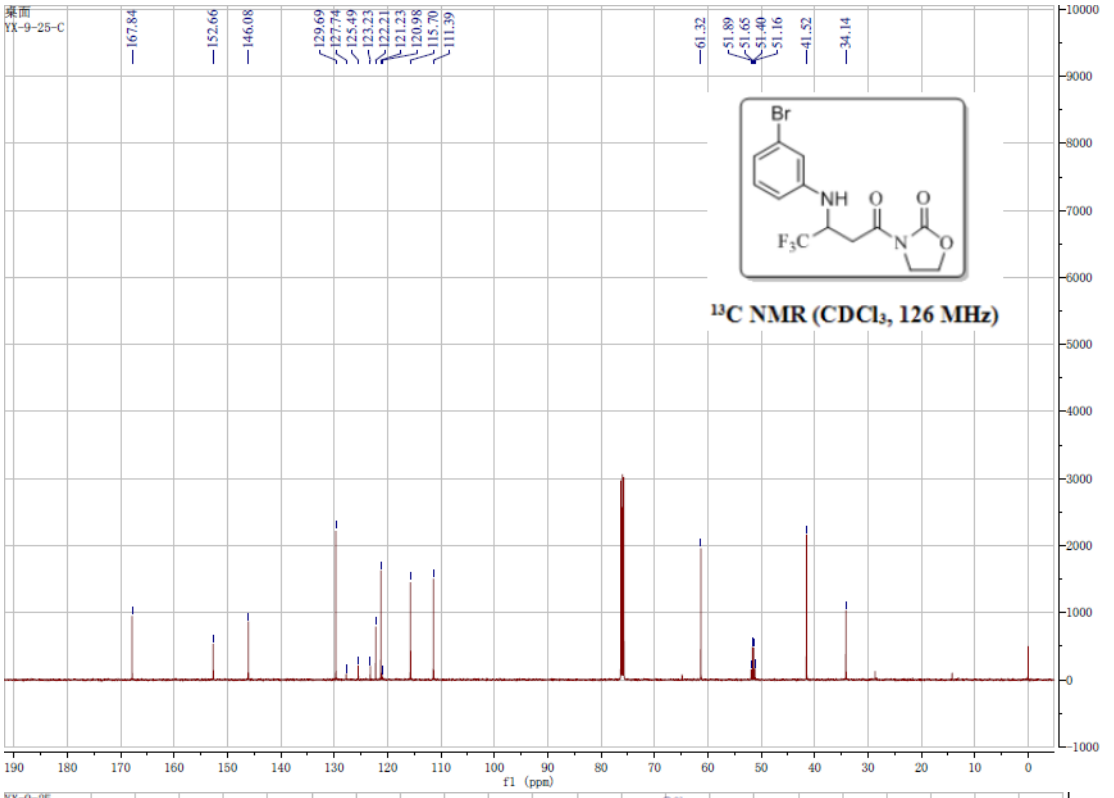

YX-9-19
YX-9-19-F
-75.94
-75.96
-112.22
-112.23
-112.23
-112.24
-112.26
F
NH
O
O
F3C
N
O
19F NMR (CDCl3, 471 MHz)
f1 (ppm)

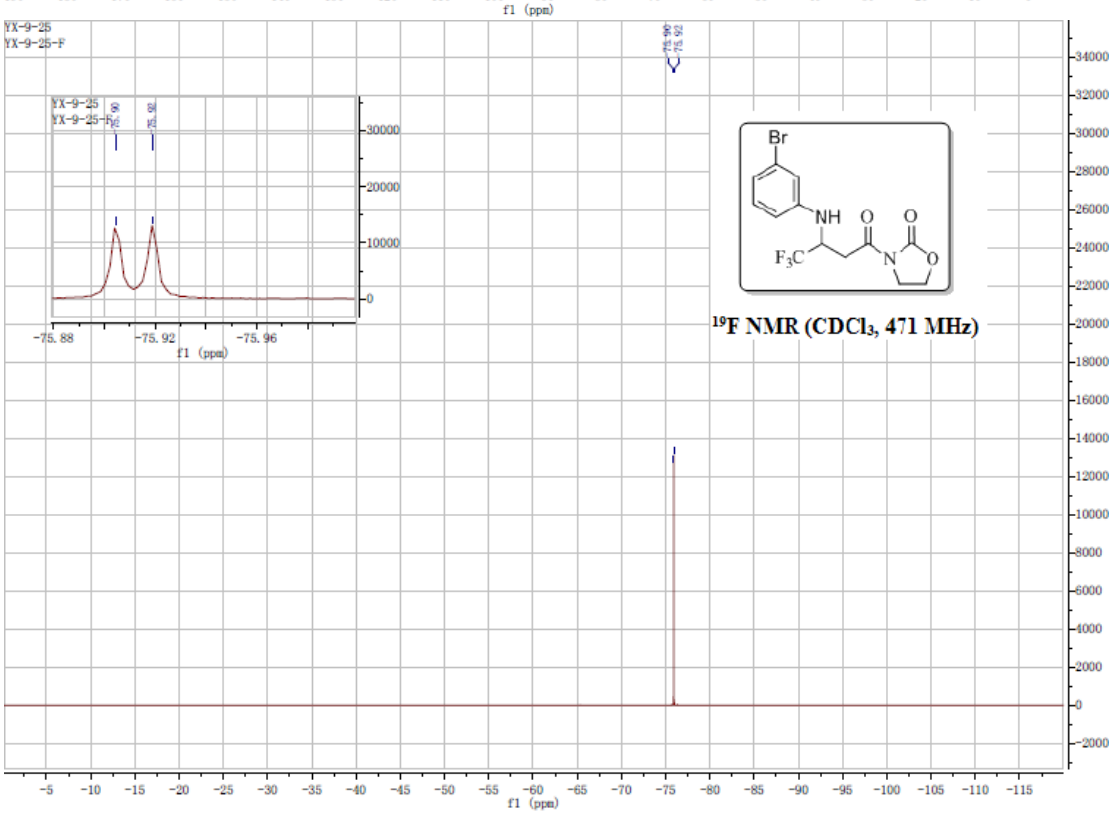

YX-9-20
YX-9-20
7.04
7.02
7.00
6.69
6.68
6.64
6.52
6.51
4.57
4.56
4.55
4.55
4.54
4.53
4.52
4.31
4.30
4.28
3.89
3.87
3.85
3.47
3.46
3.44
3.21
3.20
3.18
3.17
0.95
0.90
0.91
0.98
1.00
1.95
0.97
1.98
1.00
1.00
f1 (ppm)
Cl
NH
O
O
F3C
N
O
1H NMR (CDCl3, 500 MHz)

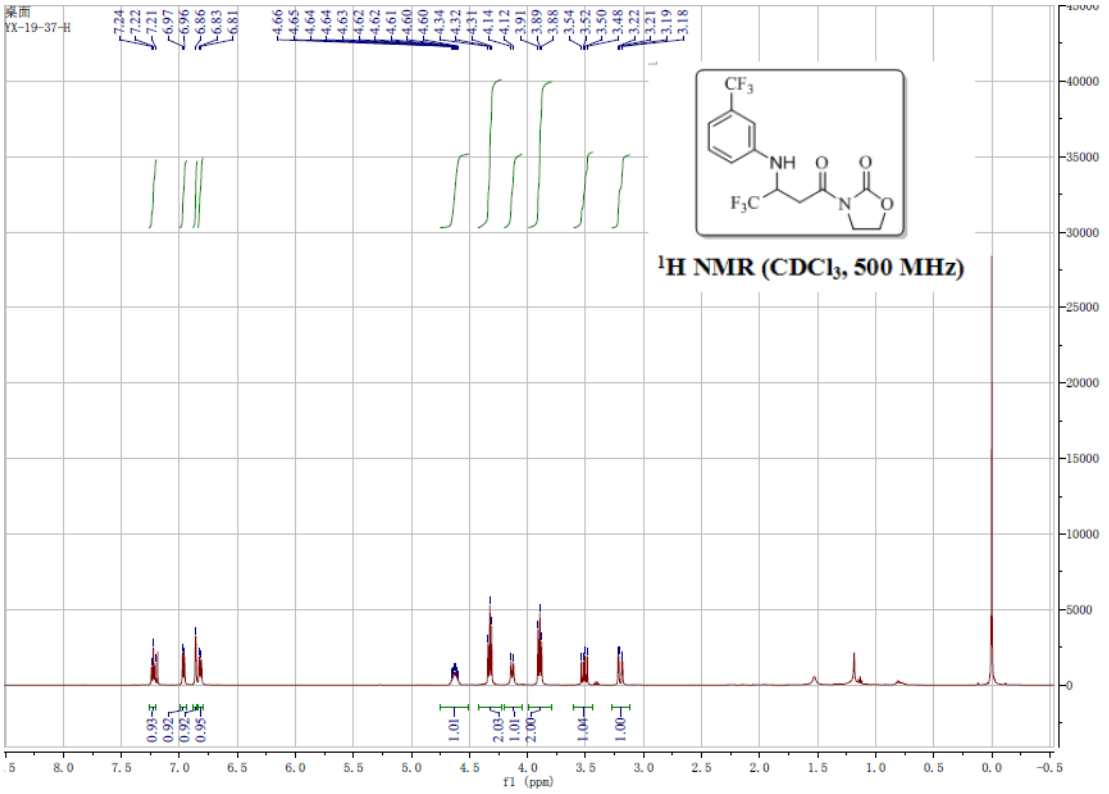

YX-9-20
YX-9-20-C
167.85
152.63
145.92
134.12
129.41
127.74
125.48
123.23
120.97
118.37
112.83
111.01
61.30
51.98
51.73
51.49
51.24
41.52
34.15
f1 (ppm)
Cl
NH
O
O
F3C
N
O
13C NMR (CDCl3, 126 MHz)

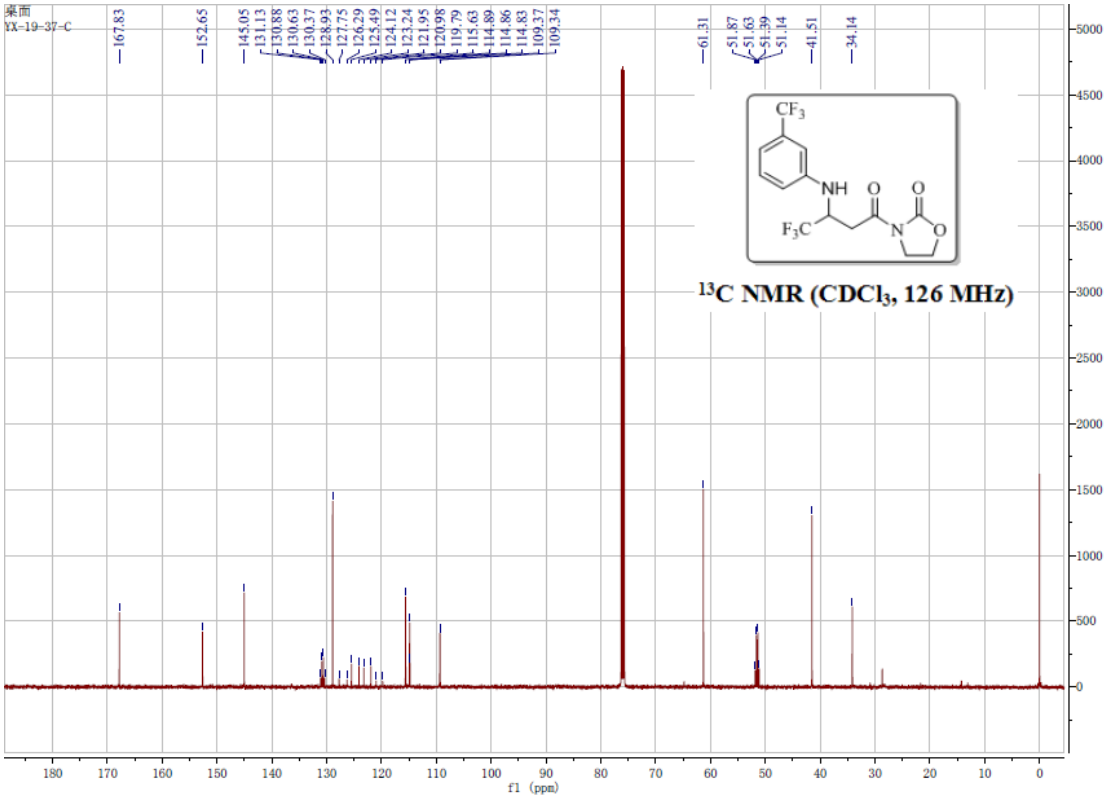

YX-9-20
YX-9-20-F
Cl
NH
O
O
F3C
N
O
19F NMR (CDCl3, 471 MHz)
f1 (ppm)

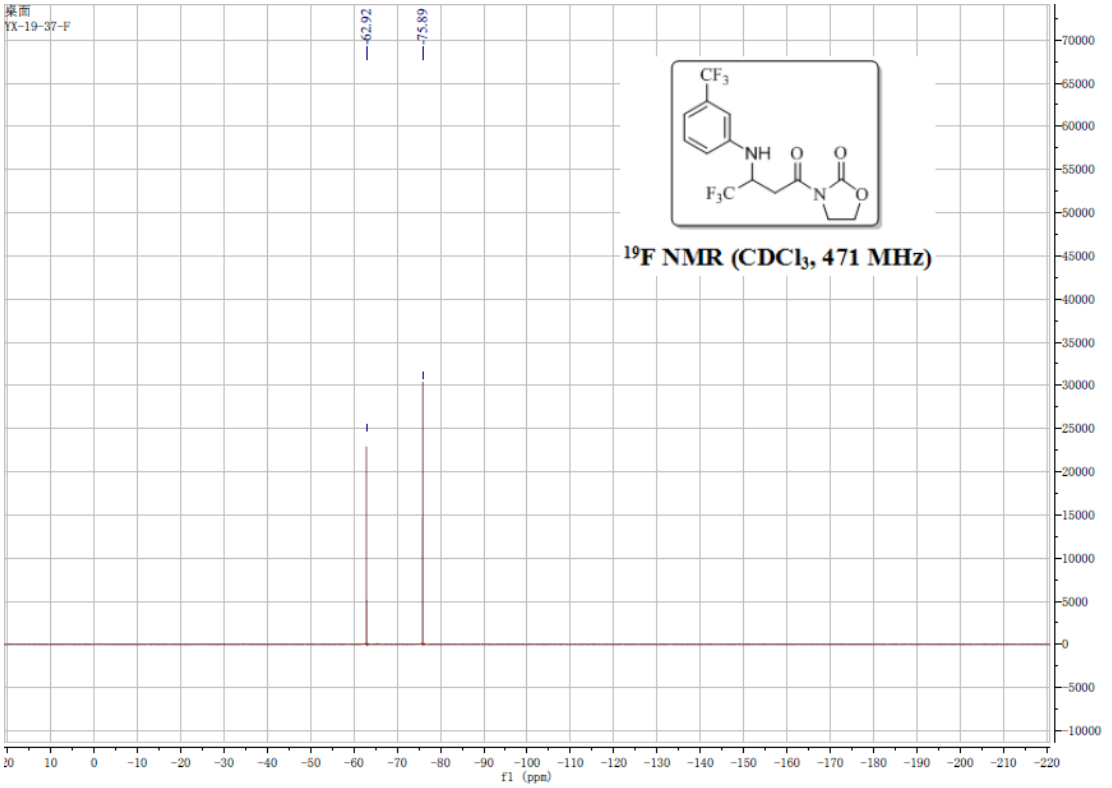

YX-9-25-H
Br
NH
O
O
F3C
N
O
1H NMR (CDCl3, 500 MHz)
f1 (ppm)


YX-9-25-C
167.84
152.66
146.08
129.69
127.74
125.49
123.23
122.21
121.23
120.98
115.70
111.39
61.32
51.89
51.65
51.40
51.16
41.52
34.14
Br
NH
O
O
F3C
N
O
13C NMR (CDCl3, 126 MHz)
f1 (ppm)

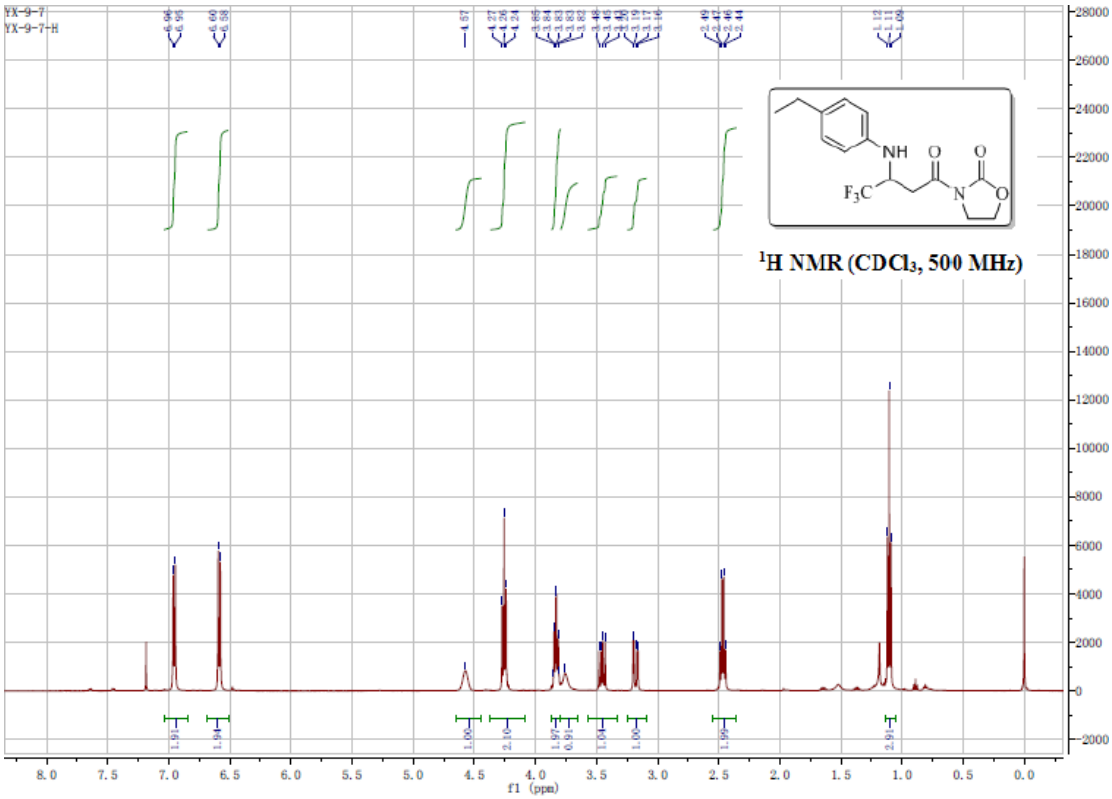

YX-9-25
YX-9-25-F
-75.90
-75.92
-75.88
-75.92
-75.96
f1 (ppm)
Br
NH
O
O
F3C
N
O
19F NMR (CDCl3, 471 MHz)
f1 (ppm)


桌面
YX-19-37-H
CF3
NH
O
O
F3C
N
O
1H NMR (CDCl3, 500 MHz)
f1 (ppm)

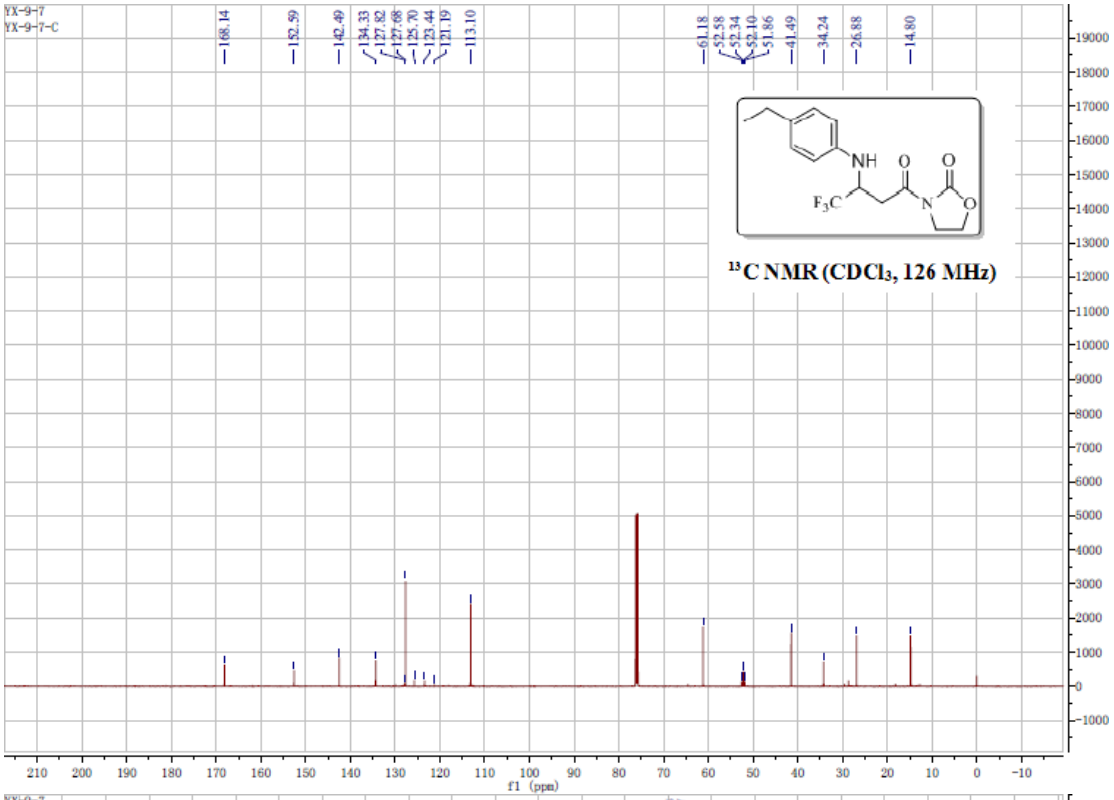

桌面
YX-19-37-C
CF3
NH
O
O
F3C
N
O
13C NMR (CDCl3, 126 MHz)
f1 (ppm)

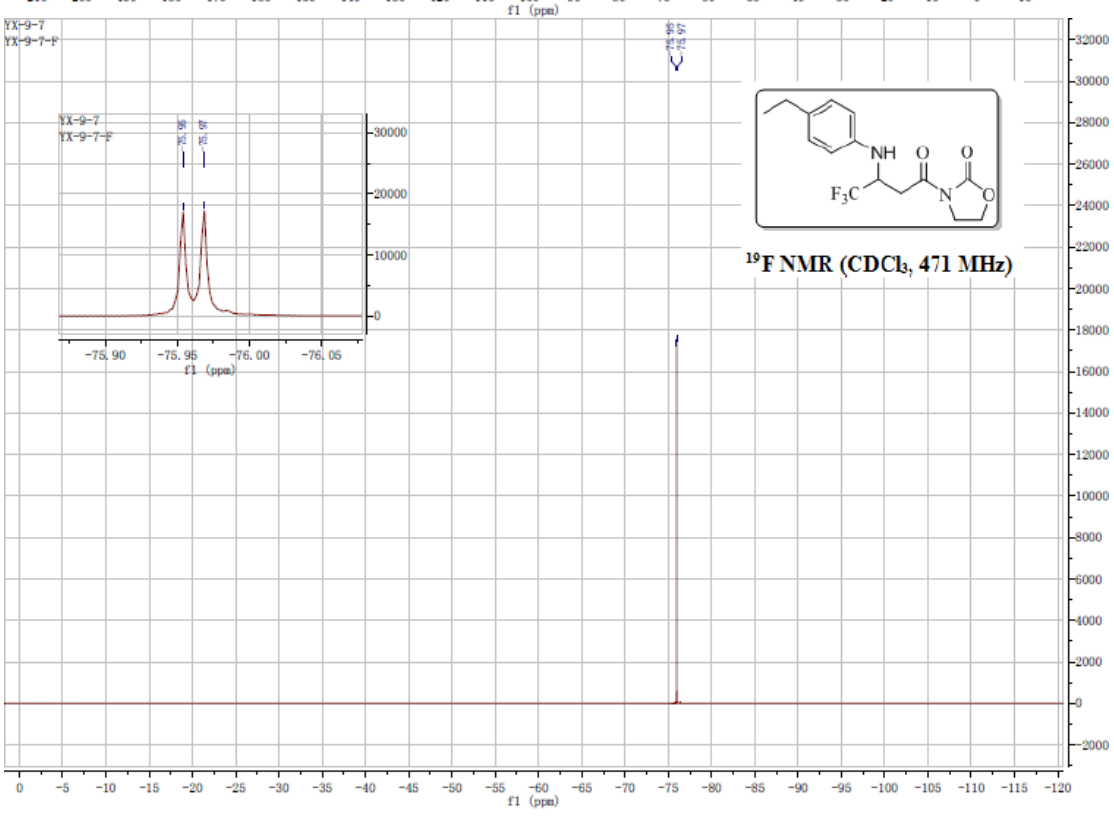


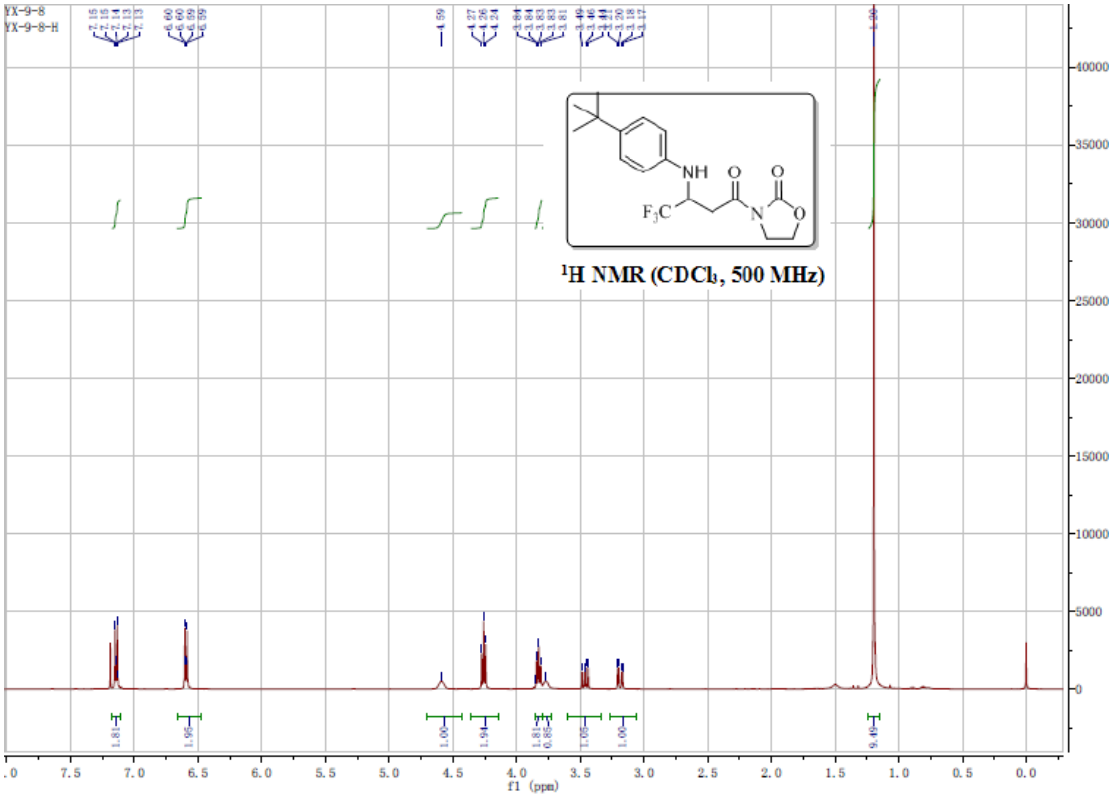
^{19}F NMR ($CDCl_3$, 471 MHz)



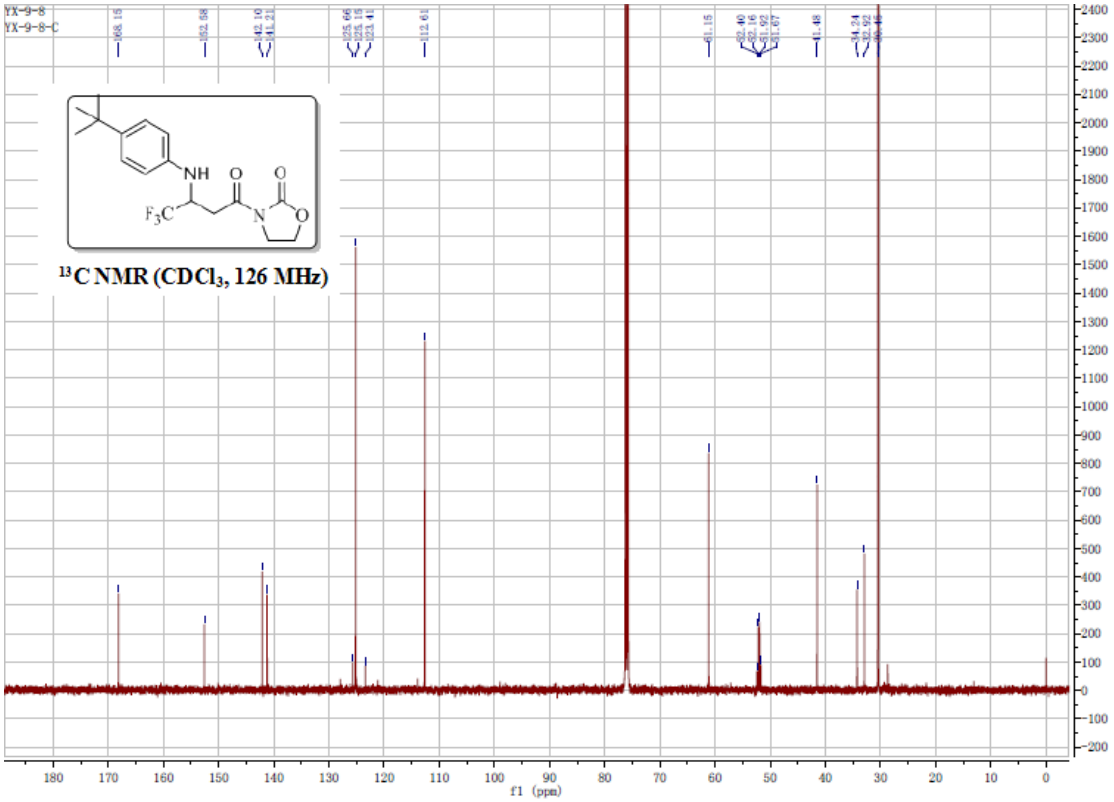
^{1}H NMR ($CDCl_3$, 500 MHz)


YX-9-7
YX-9-7-C
168.14
152.59
142.49
134.33
127.82
127.68
125.70
123.44
121.19
113.10
61.18
52.58
52.34
52.10
51.86
41.49
34.24
26.88
14.80
NH
O
O
F3C
N
O
13C NMR (CDCl3, 126 MHz)
f1 (ppm)

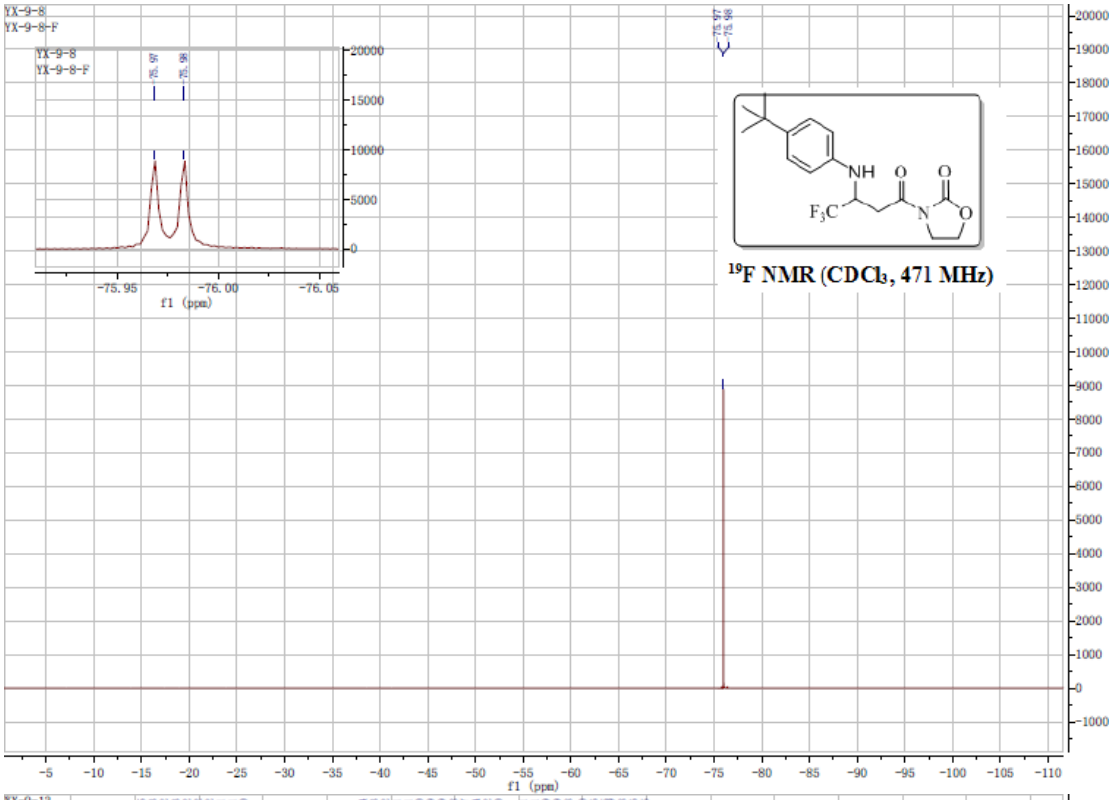

YX-9-7
YX-9-7-F
-75.95
-75.97
NH
O
O
F3C
N
O
19F NMR (CDCl3, 471 MHz)
f1 (ppm)

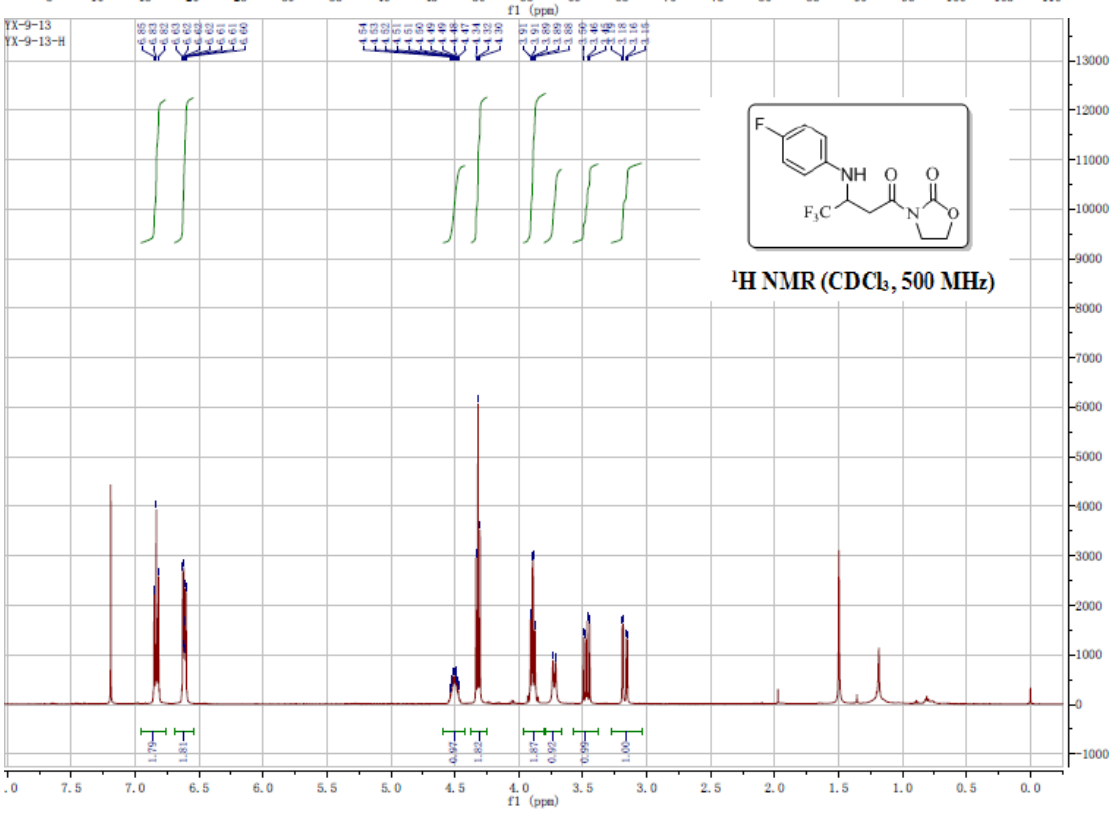


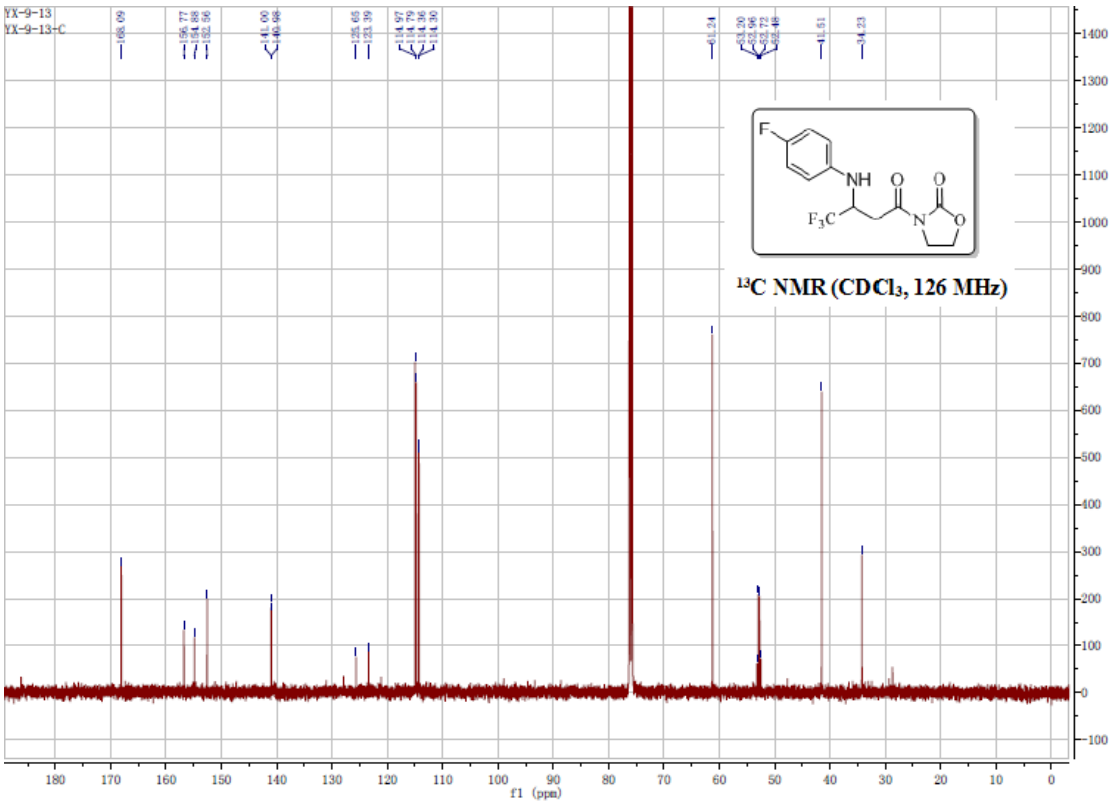
^{1}H NMR ($CDCl_3$, 500 MHz)

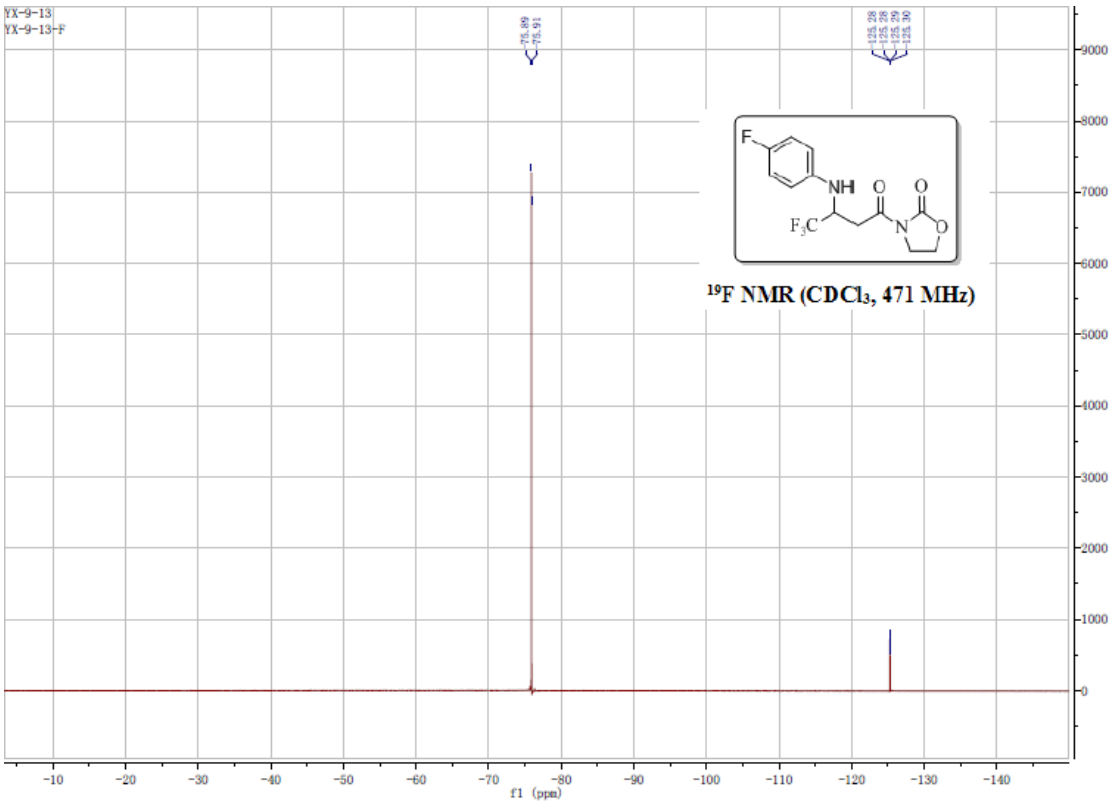


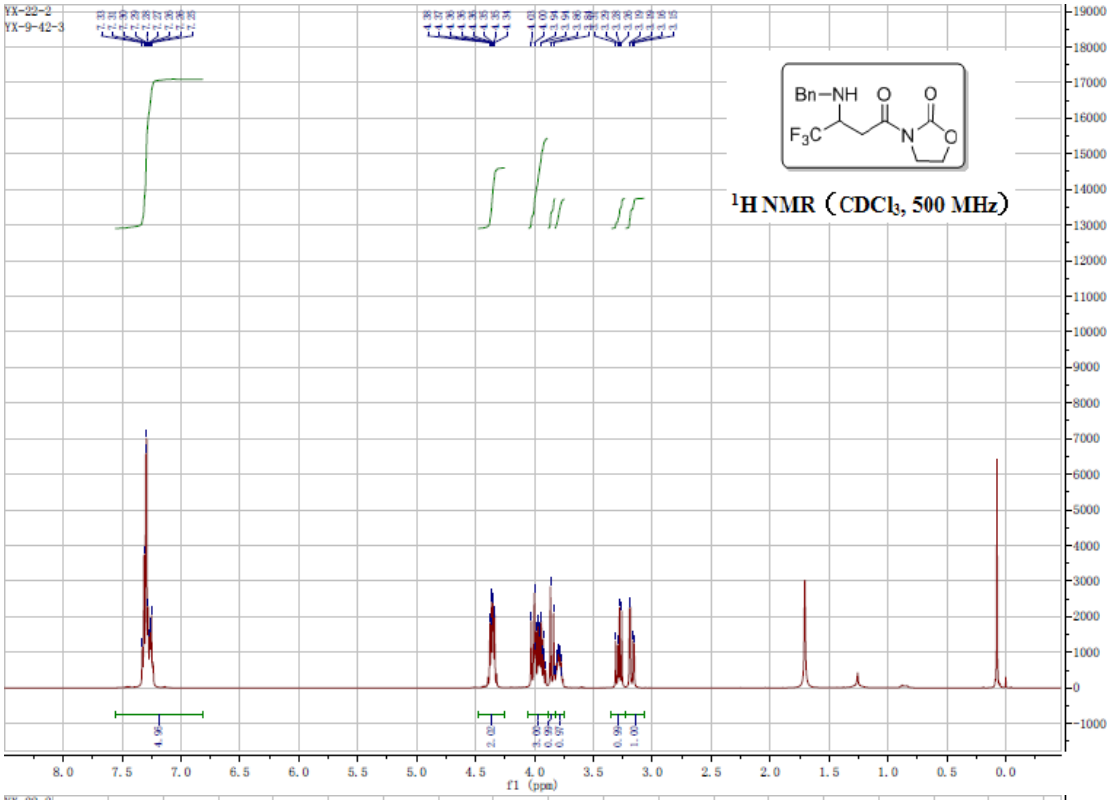
^{13}C NMR ($CDCl_3$, 126 MHz)

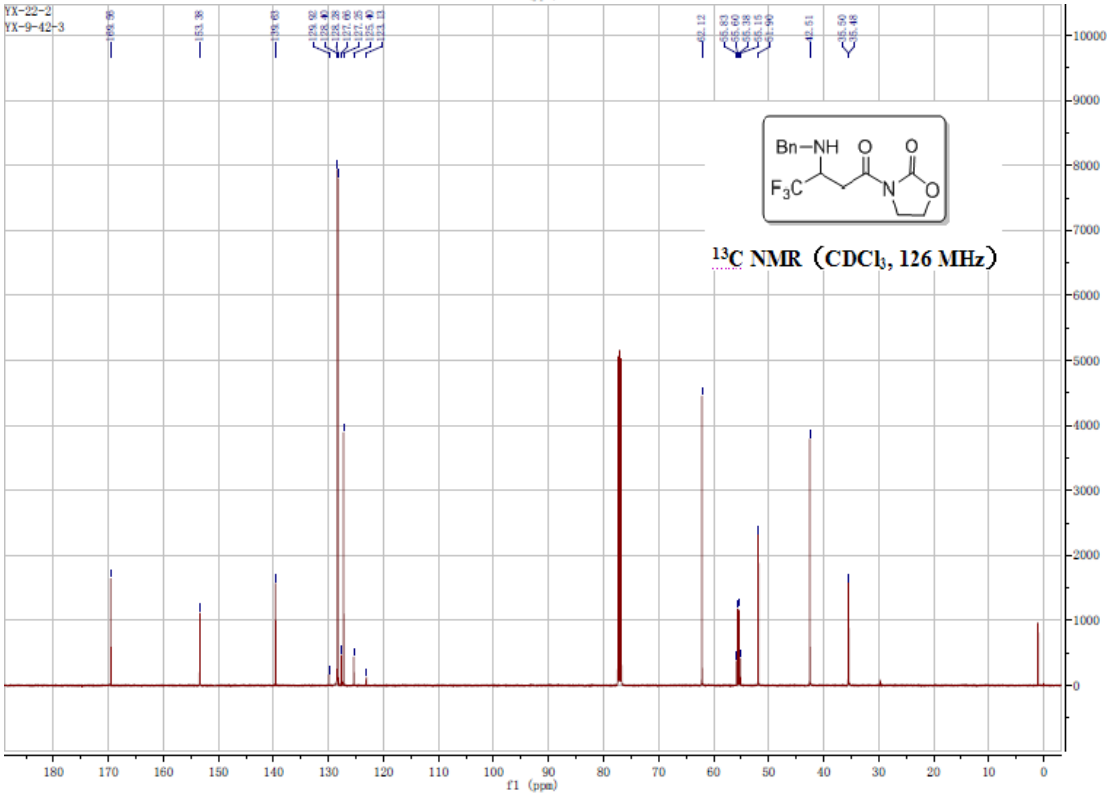

YX-9-8
YX-9-8-F
-75.97
-75.98
NH
O
O
F3C
N
O
19F NMR (CDCl3, 471 MHz)
f1 (ppm)

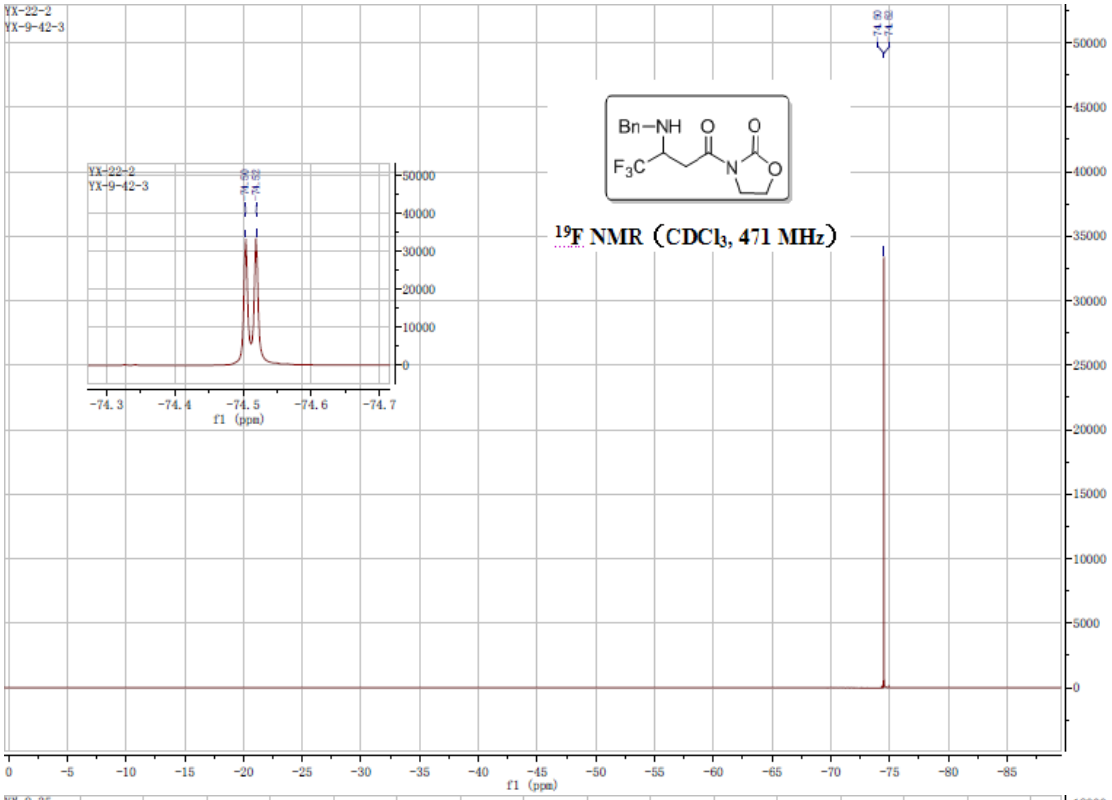

YX-9-13
YX-9-13-H
F
NH
O
O
F3C
N
O
1H NMR (CDCl3, 500 MHz)
f1 (ppm)

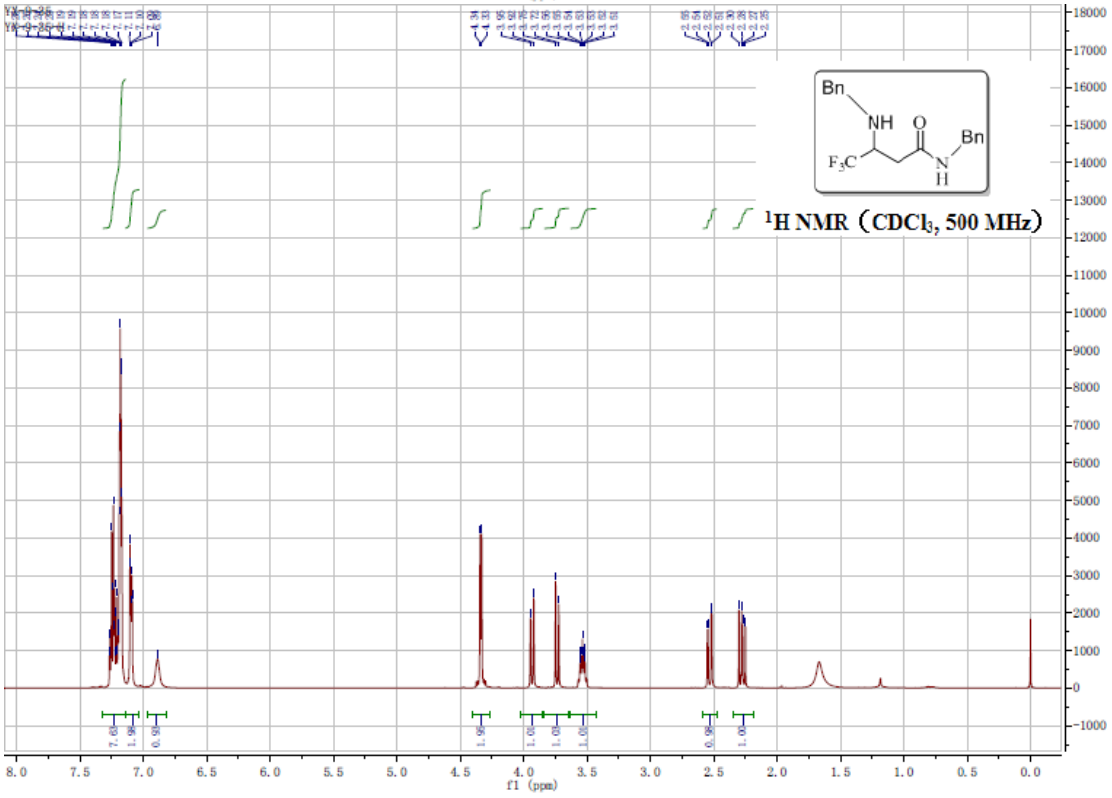

YX-9-13
YX-9-13-C
F
NH
O
O
F3C
N
O
13C NMR (CDCl3, 126 MHz)
f1 (ppm)

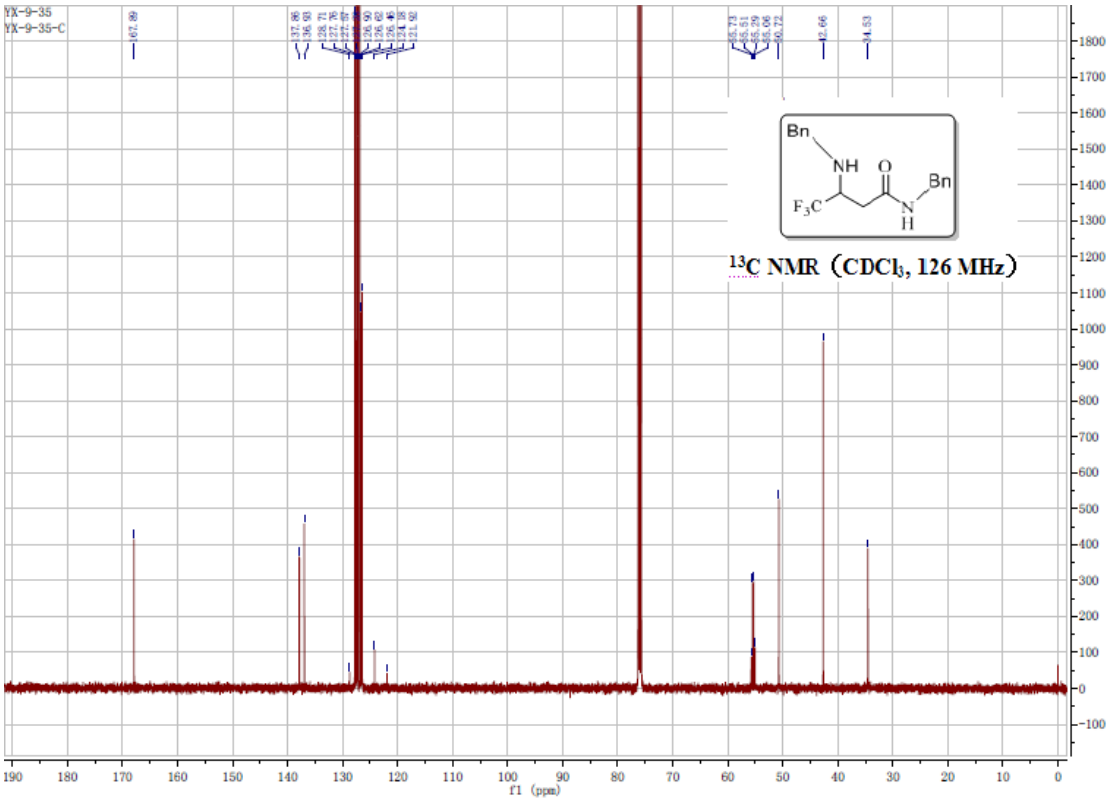

YX-9-13
YX-9-13-F
F
NH
O
O
F3C
N
O
19F NMR (CDCl3, 471 MHz)
f1 (ppm)

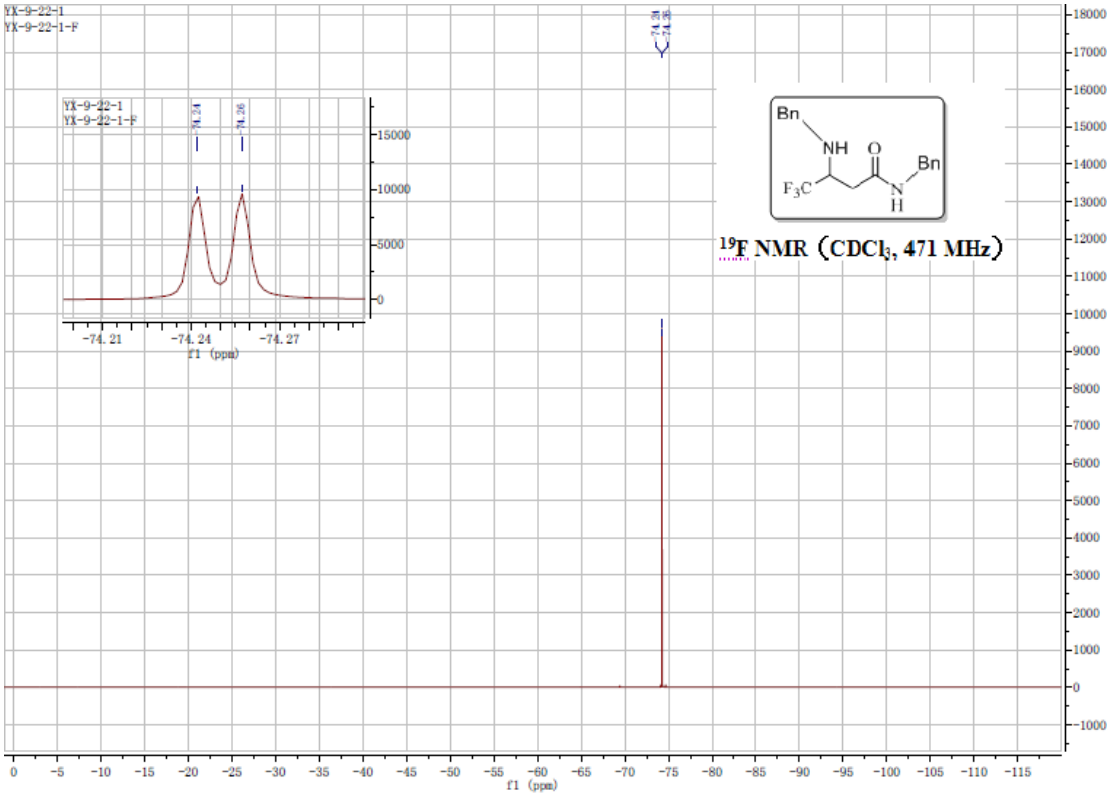

YX-22-2
YX-9-42-3
Bn—NH
O
O
F3C
N
O
1H NMR（CDCl3, 500 MHz）
f1 (ppm)

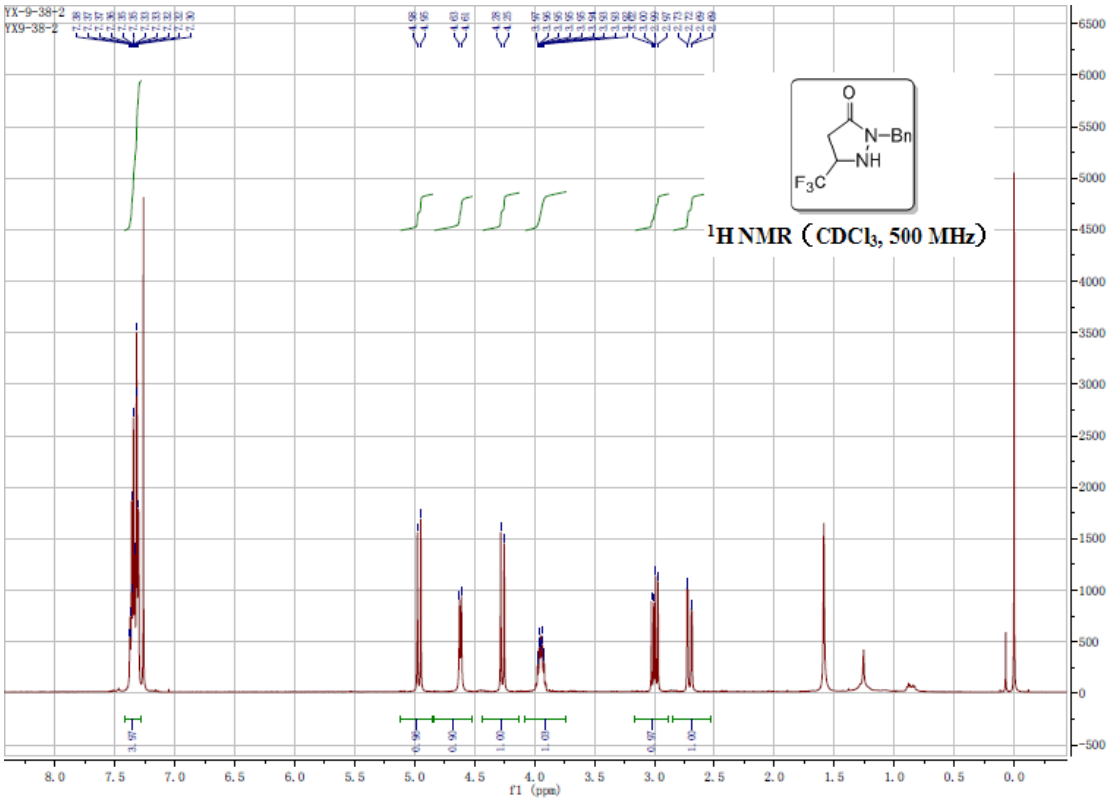

YX-22-2
YX-9-42-3
Bn—NH
O
O
F3C
N
O
13C NMR（CDCl3, 126 MHz）
f1 (ppm)

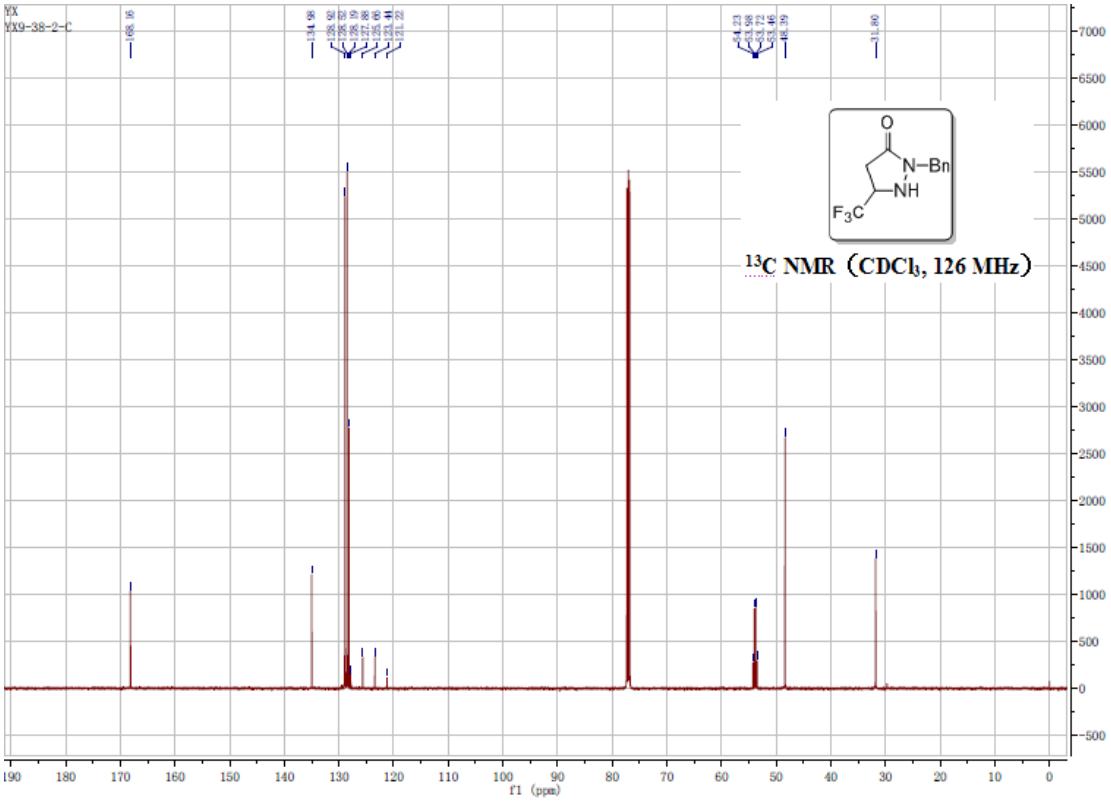

YX-22-2
YX-9-42-3
Bn–NH O O
F3C N O
19F NMR（CDCl3, 471 MHz）
f1 (ppm)

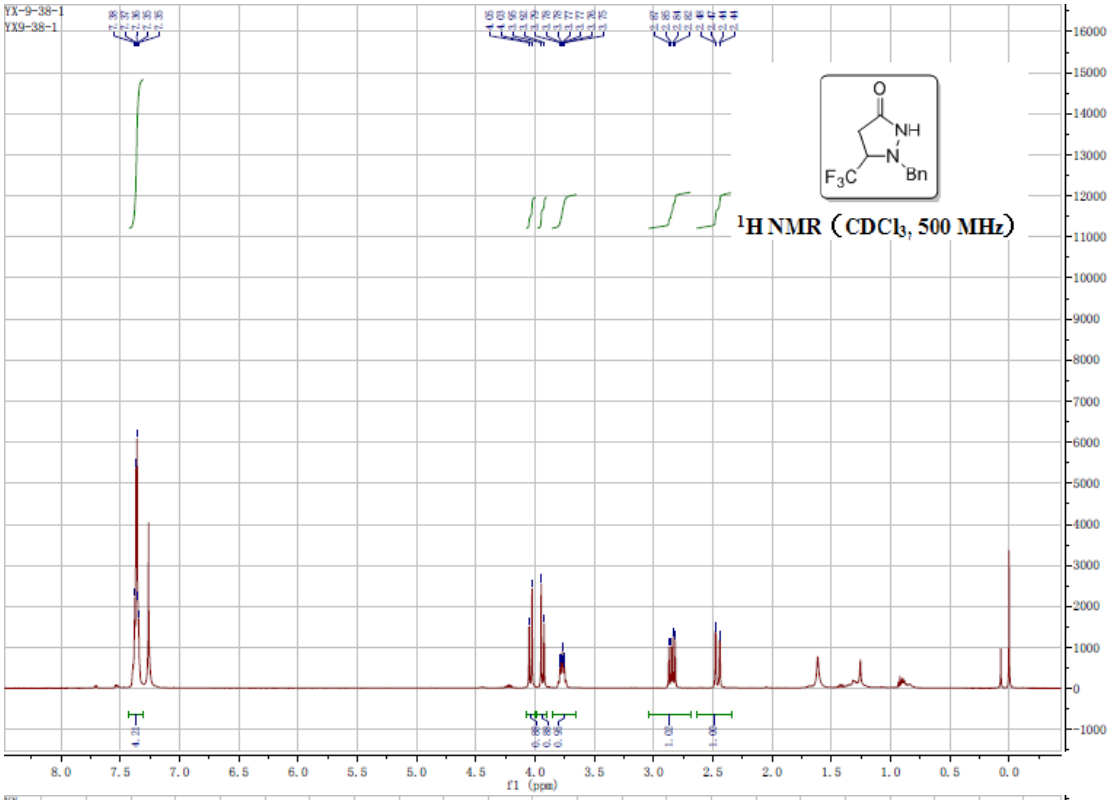

Bn
NH O
F3C N Bn
H
1H NMR（CDCl3, 500 MHz）
f1 (ppm)

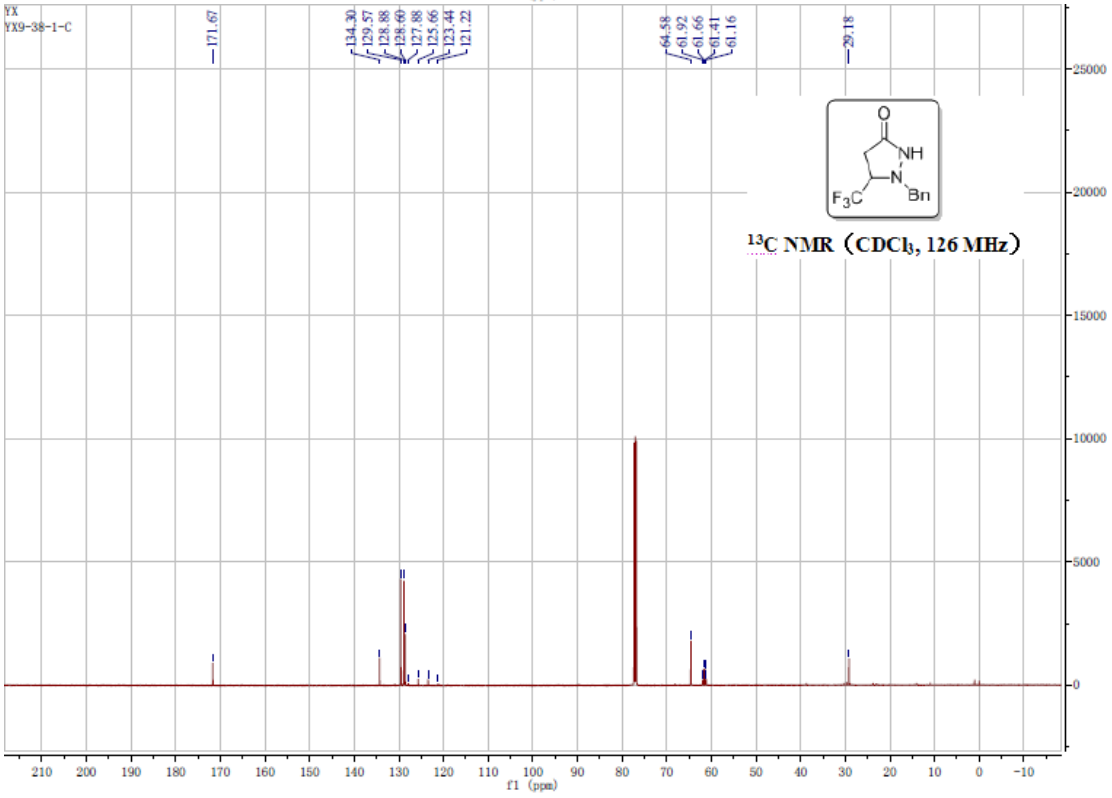

YX-9-35
YX-9-35-C
Bn
NH
O
Bn
F3C
N
H
13C NMR（CDCl3, 126 MHz）
f1 (ppm)

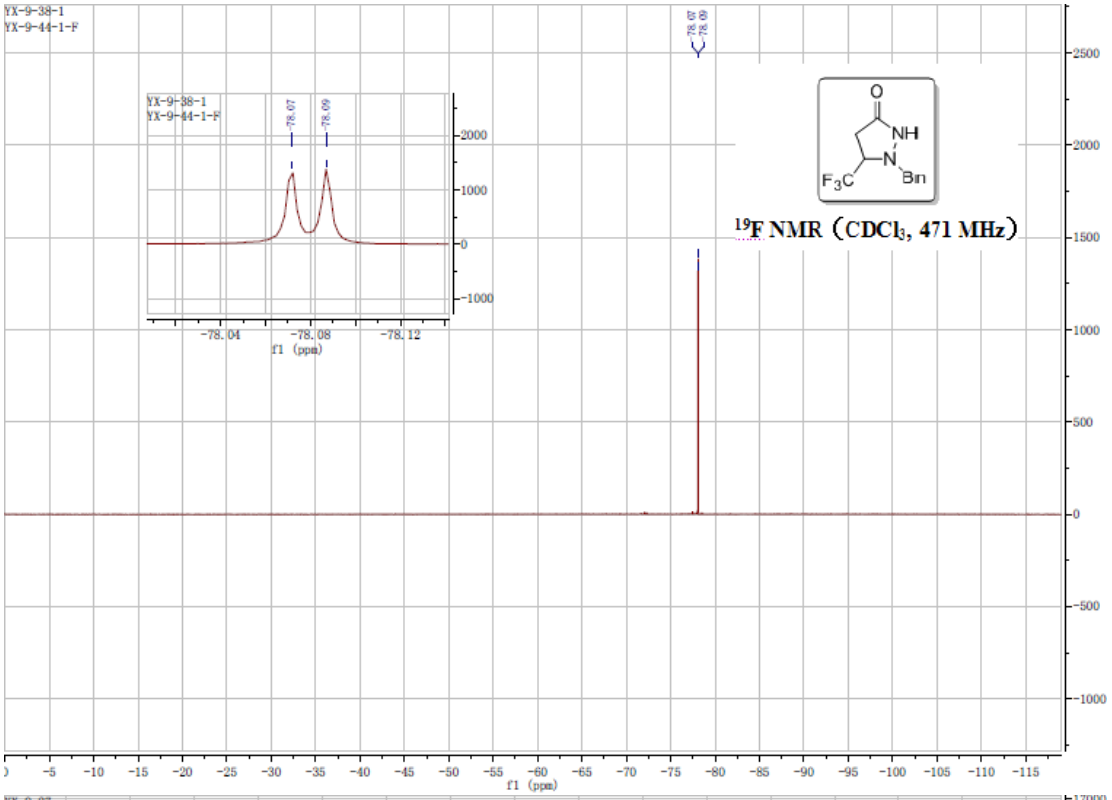

YX-9-22-1
YX-9-22-1-F
YX-9-22-1
YX-9-22-1-F
-74.24
-74.26
-74.21
-74.24
-74.27
f1 (ppm)
Bn
NH
O
Bn
F3C
N
H
19F NMR（CDCl3, 471 MHz）
f1 (ppm)

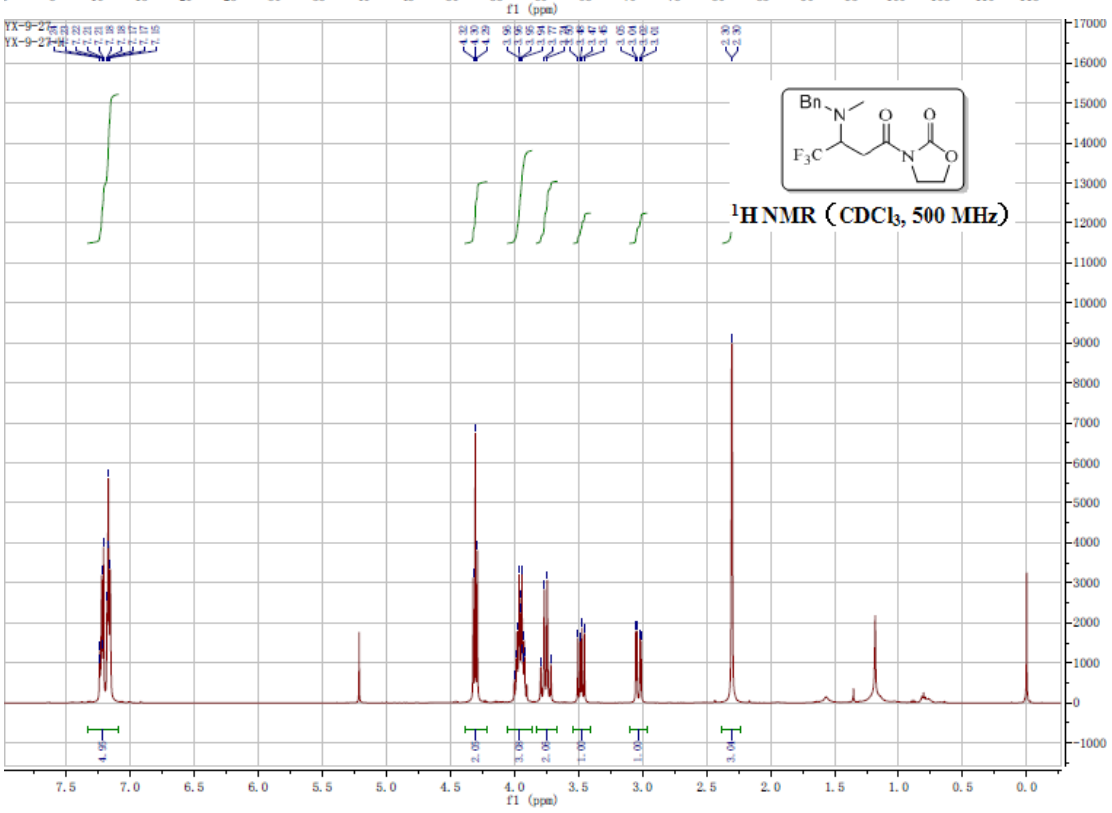

YX-9-38+2
YX9-38-2
O
N–Bn
NH
F3C
1H NMR（CDCl3, 500 MHz）
f1 (ppm)

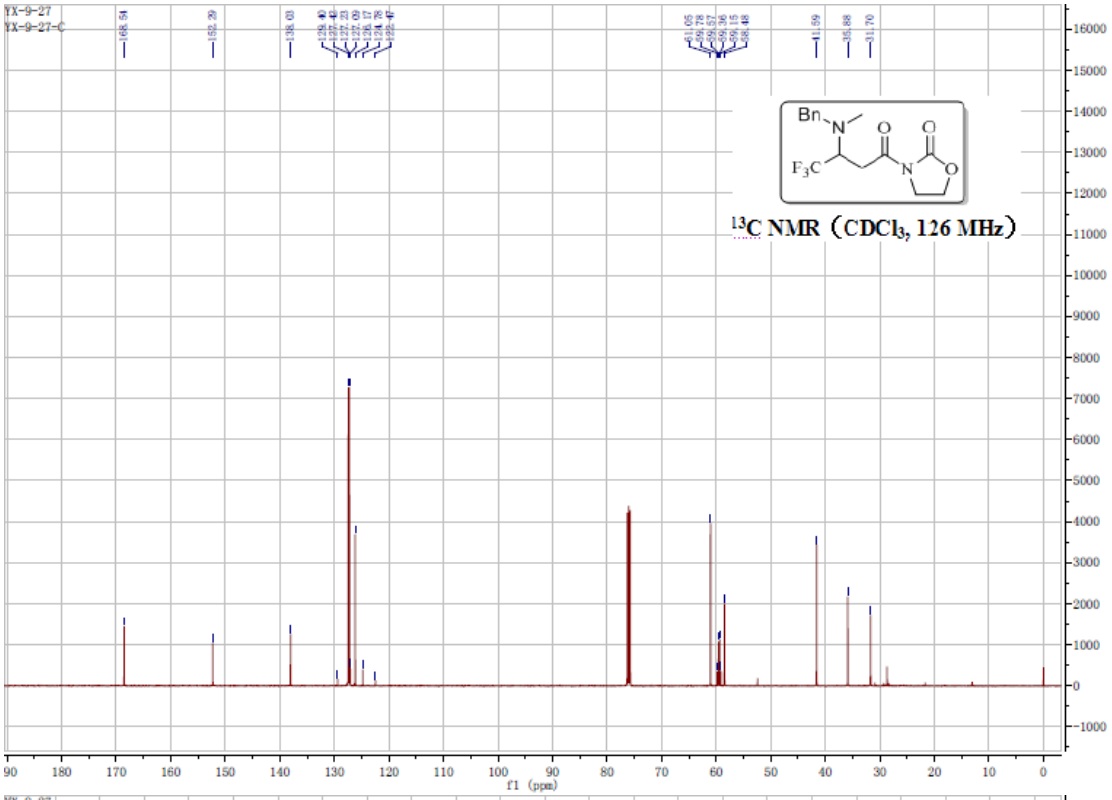

YX
YX9-38-2-C
O
N–Bn
NH
F3C
13C NMR（CDCl3, 126 MHz）
f1 (ppm)

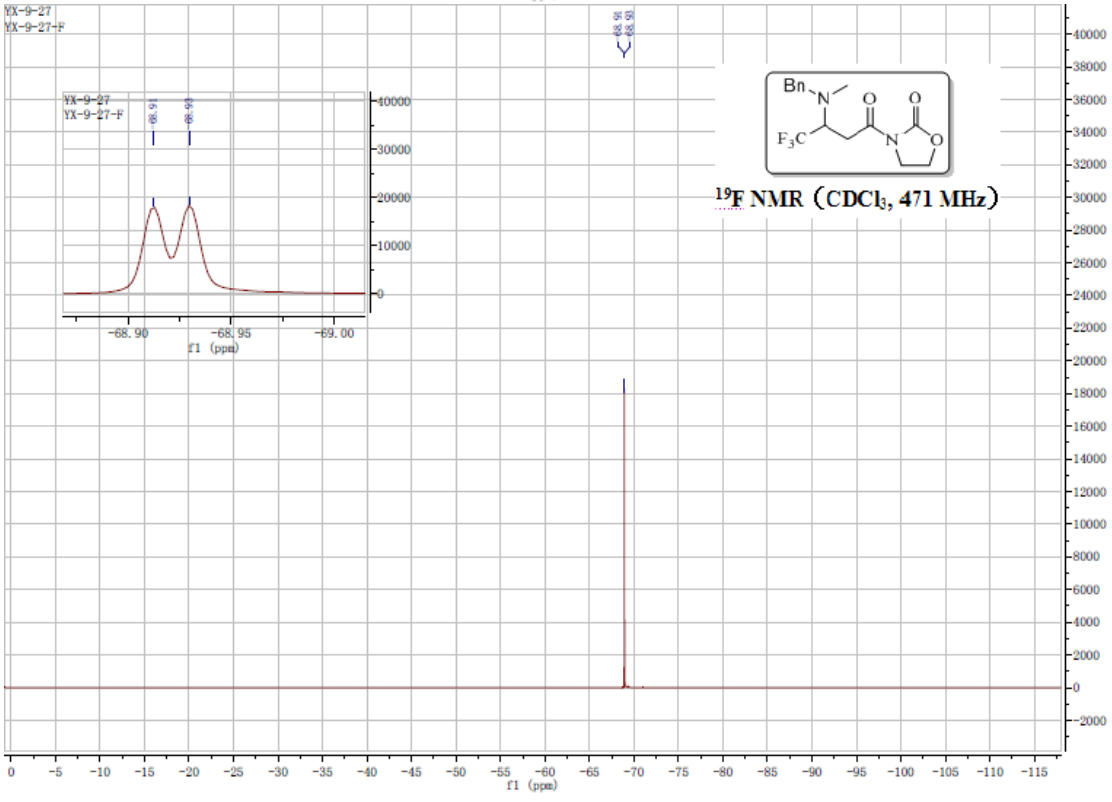

YX-9-38-1
YX9-38-1
O
NH
N
Bn
F3C
1H NMR（CDCl3, 500 MHz）
f1 (ppm)

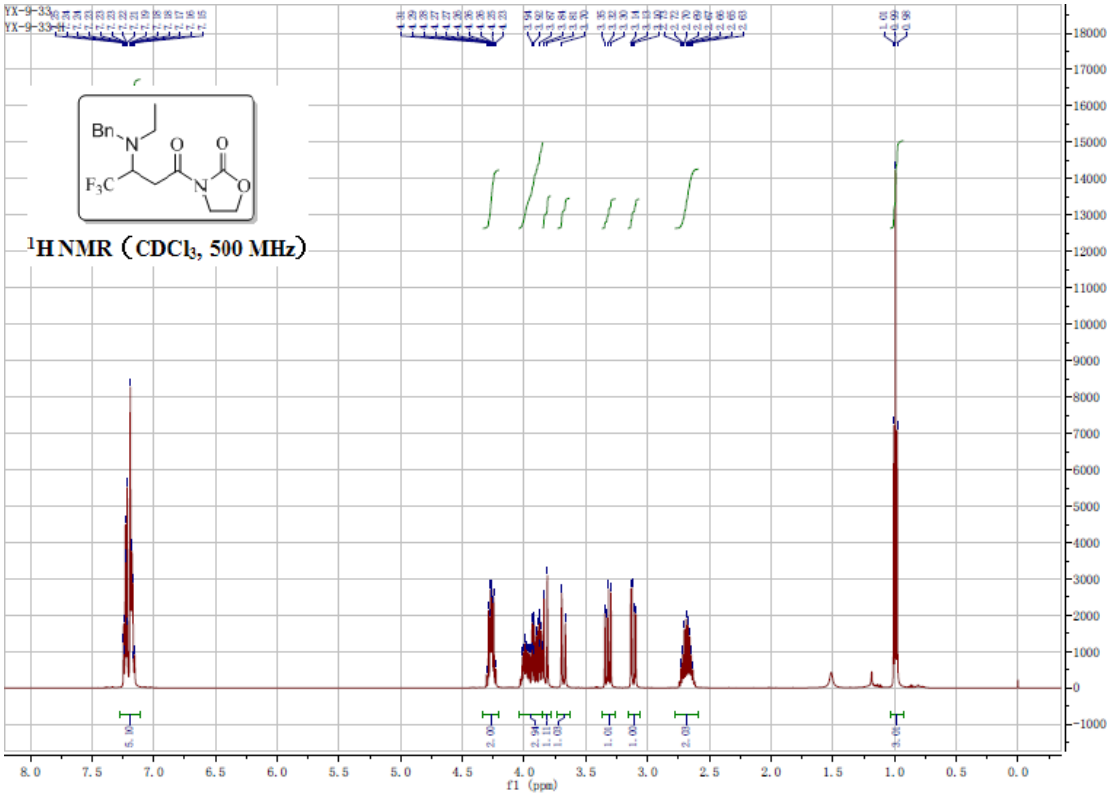

YX
YX9-38-1-C
171.67
134.30
129.57
128.88
128.60
127.88
125.66
123.44
121.22
64.58
61.92
61.66
61.41
61.16
29.18
O
NH
N
Bn
F3C
13C NMR（CDCl3, 126 MHz）
f1 (ppm)

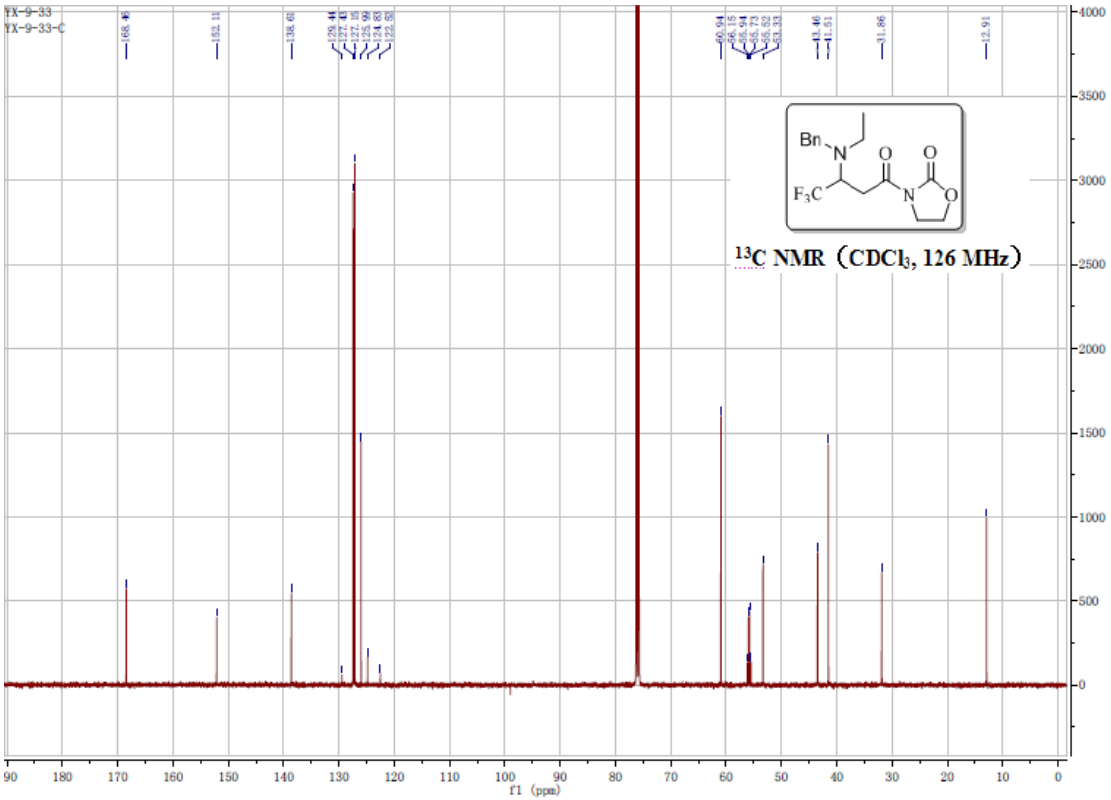

YX-9-38-1
YX-9-44-1-F
78.67
78.69
NH
N
Bn
F3C
19F NMR（CDCl3, 471 MHz）
f1 (ppm)

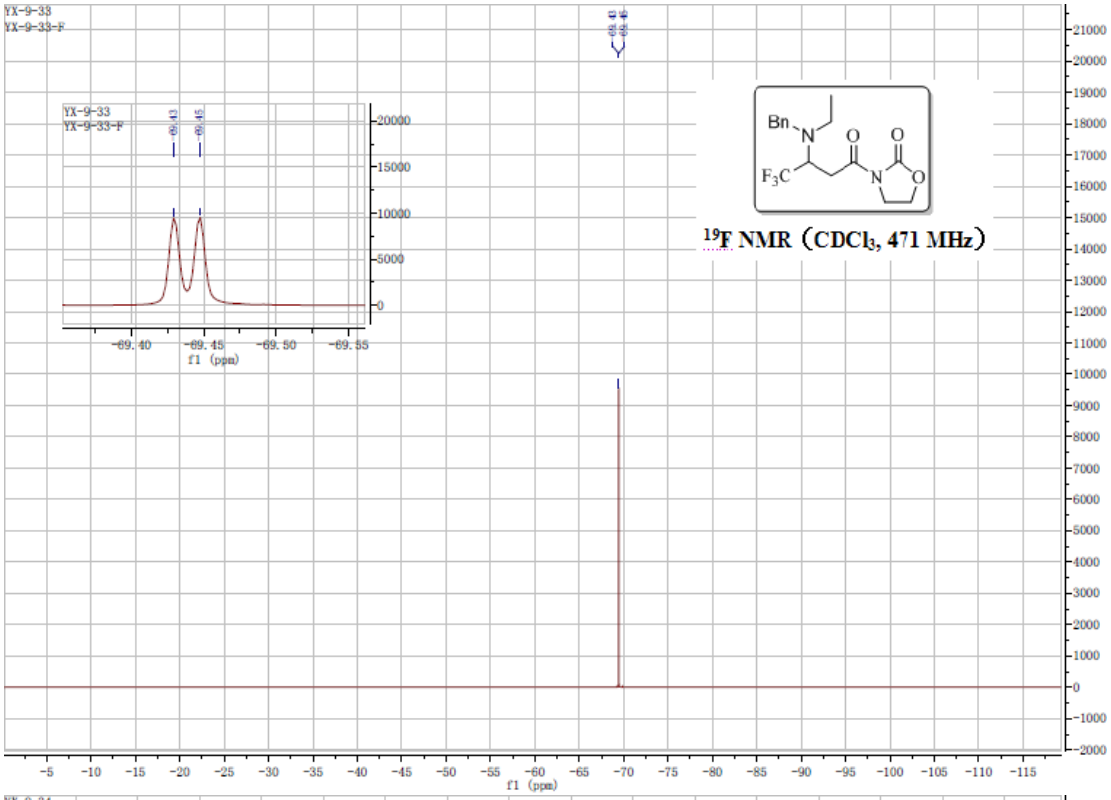

YX-9-27
Bn
N
O
O
O
N
O
F3C
1H NMR（CDCl3, 500 MHz）
f1 (ppm)


YX-9-27
YX-9-27-C
Bn
N
O
O
F3C
N
O
13C NMR（CDCl3, 126 MHz）
f1 (ppm)

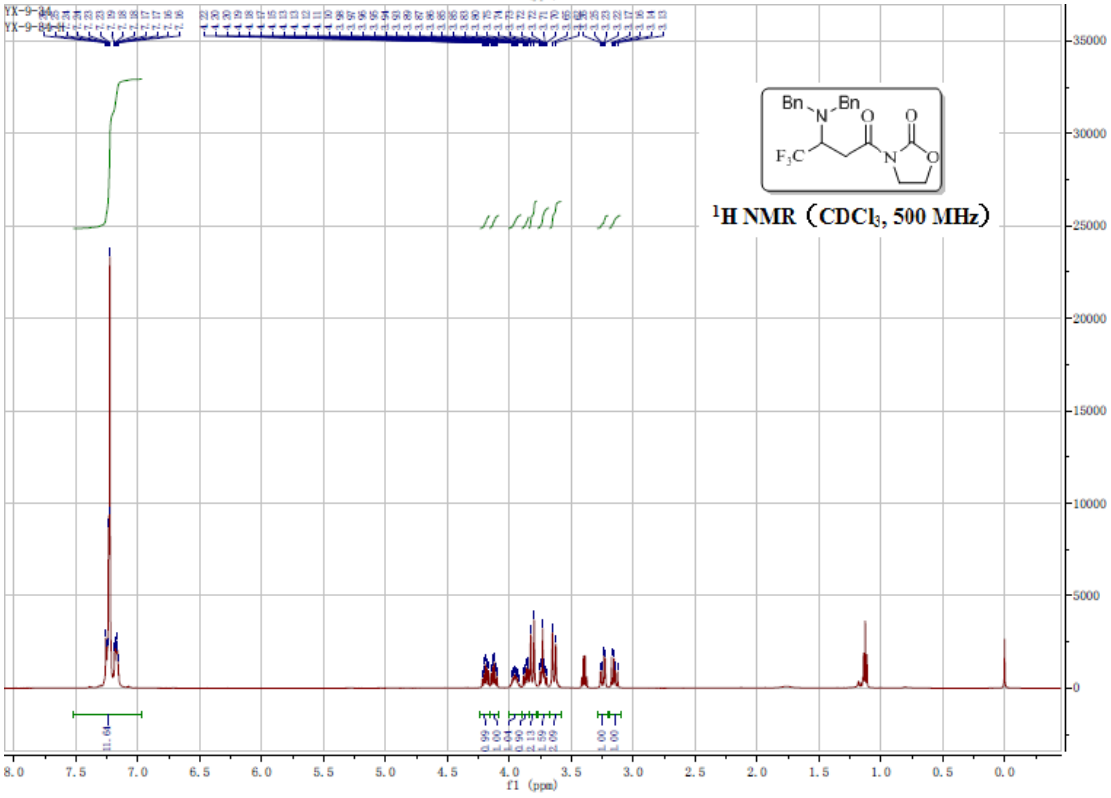

YX-9-27
YX-9-27-F
YX-9-27
YX-9-27-F
Bn
N
O
O
F3C
N
O
19F NMR（CDCl3, 471 MHz）
f1 (ppm)


Bn
N
O
O
F3C
N
O
1H NMR（CDCl3, 500 MHz）
f1 (ppm)

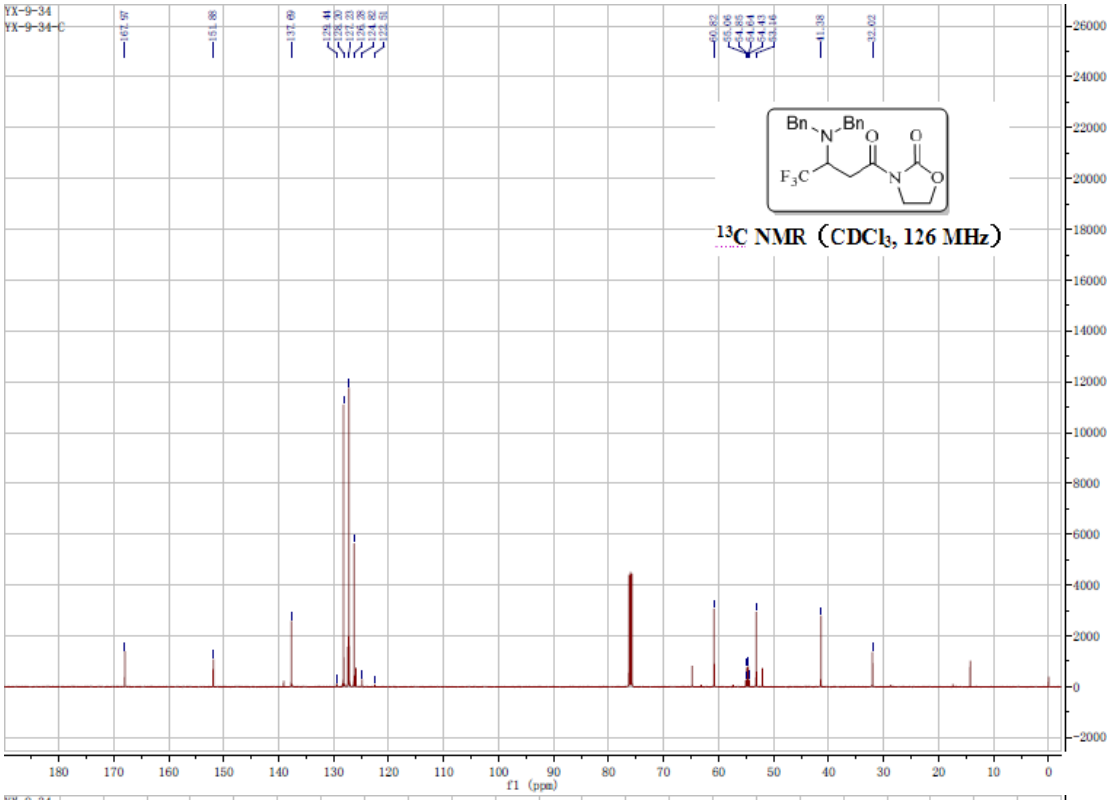

Bn
N
O
O
F3C
N
O
13C NMR（CDCl3, 126 MHz）
f1 (ppm)

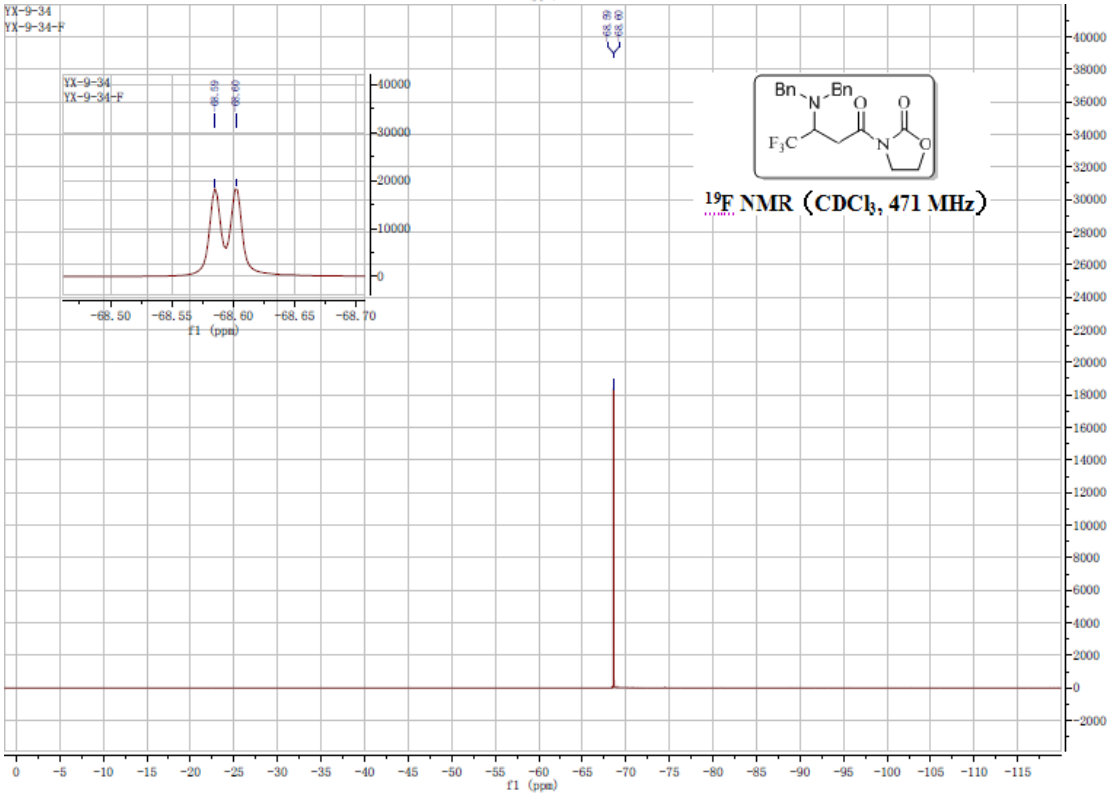


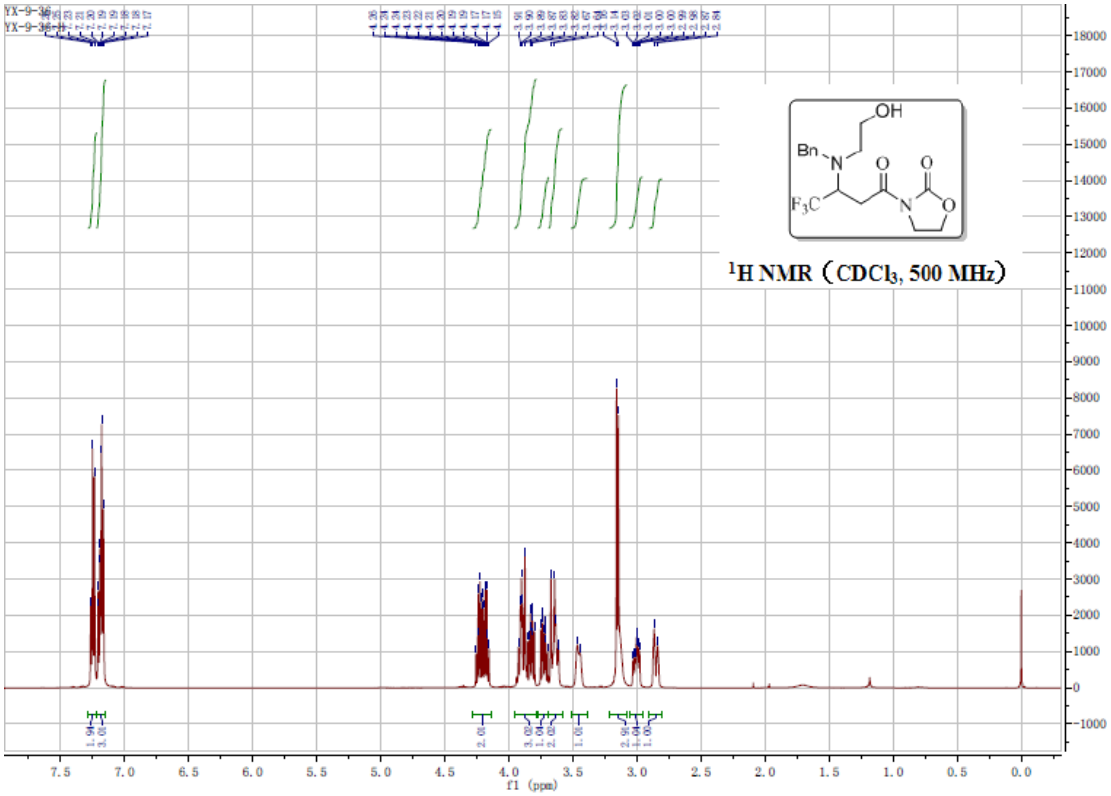
^{19}F NMR（$CDCl_3$, 471 MHz）

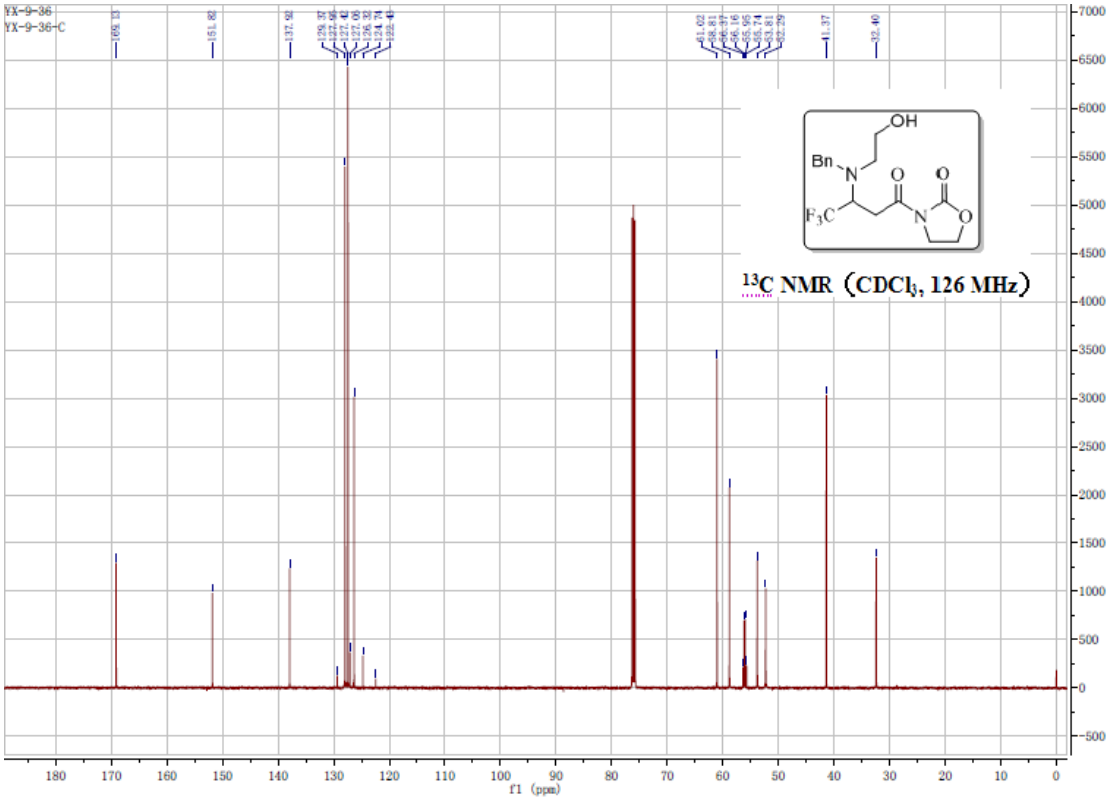


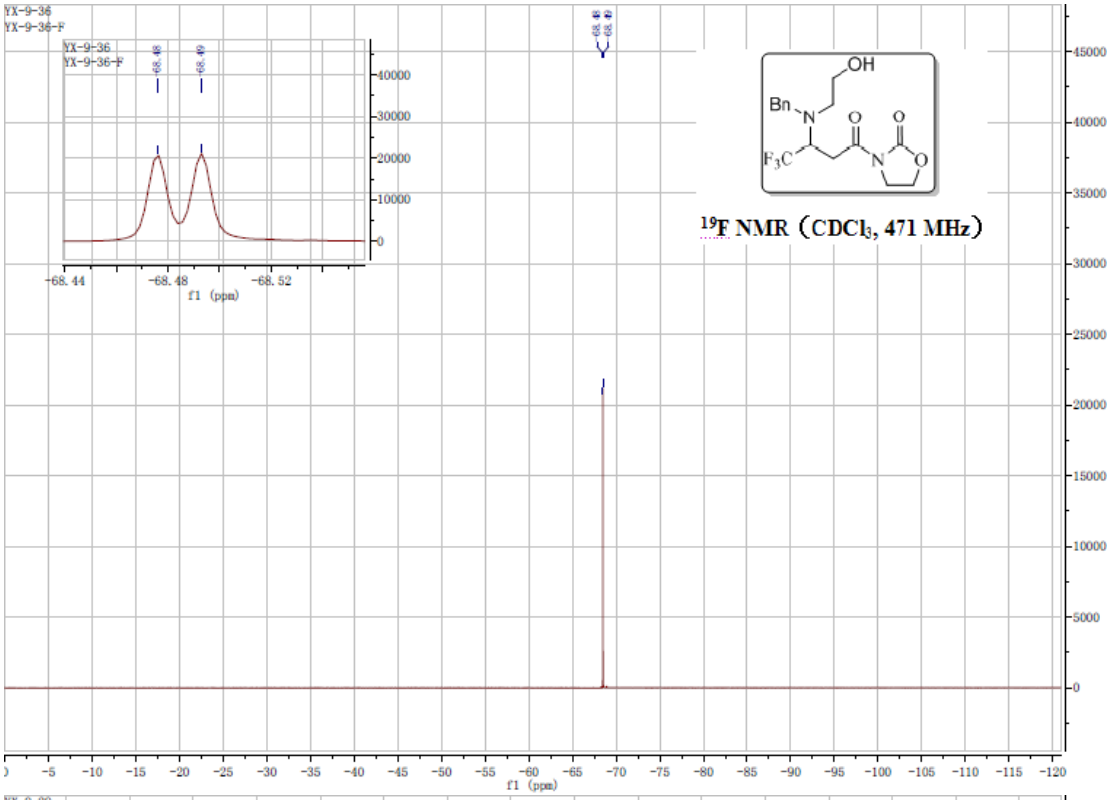
^{1}H NMR（$CDCl_3$, 500 MHz）

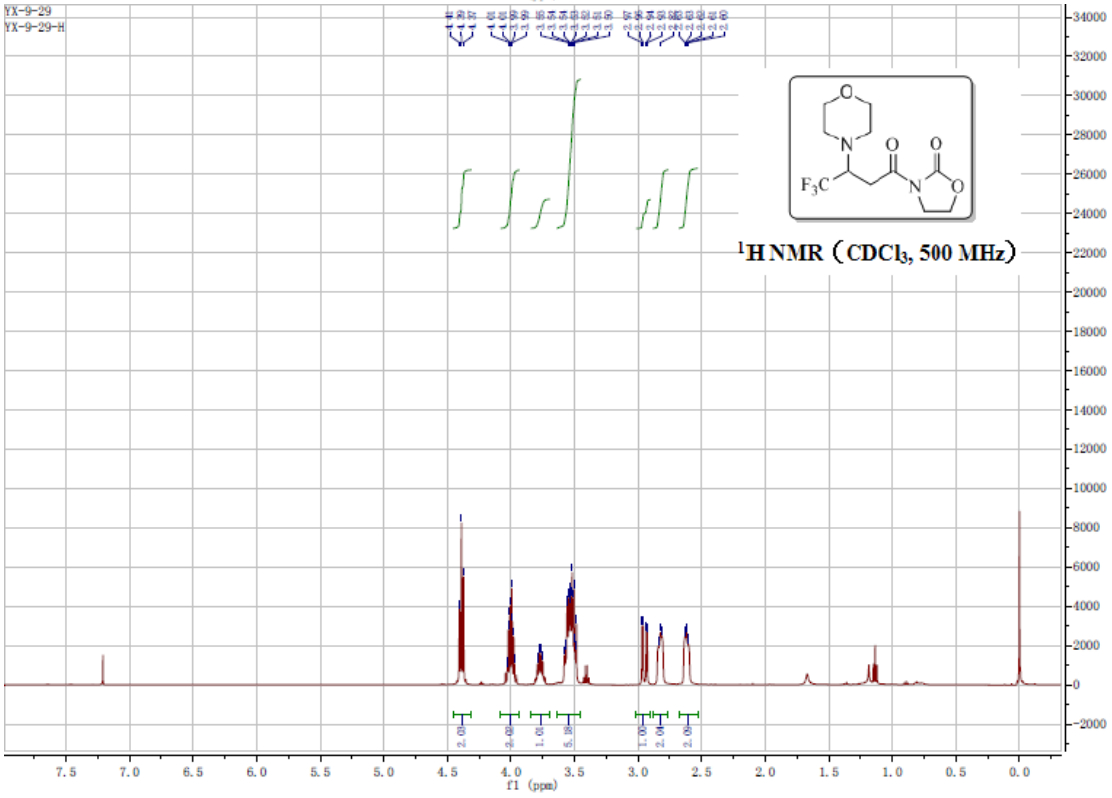

YX-9-34
YX-9-34-C
167.97
151.88
137.69
129.41
128.97
128.53
128.27
126.28
124.82
122.51
60.82
55.06
54.85
54.64
54.43
53.46
41.38
32.02
Bn
N
Bn
O
O
F3C
N
O
13C NMR (CDCl3, 126 MHz)
f1 (ppm)

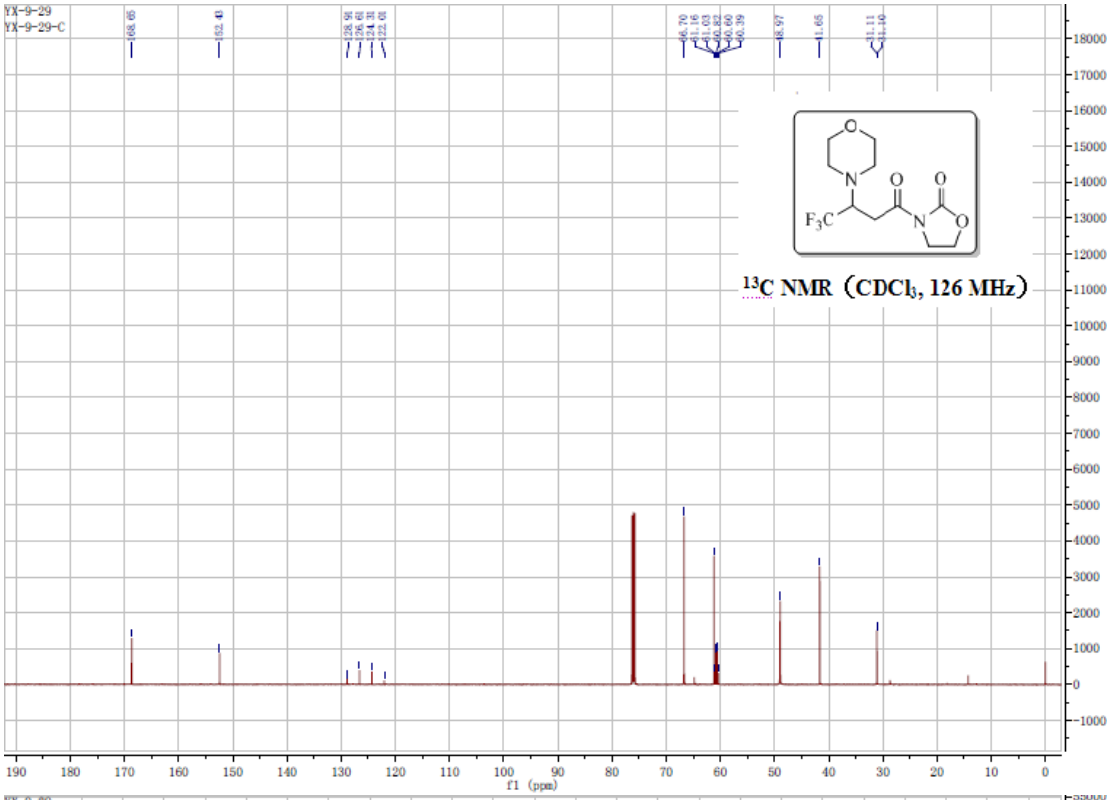

YX-9-34
YX-9-34-F
-68.59
-68.60
-68.50
-68.55
-68.60
-68.65
-68.70
f1 (ppm)
Bn
N
Bn
O
O
F3C
N
O
19F NMR (CDCl3, 471 MHz)
f1 (ppm)

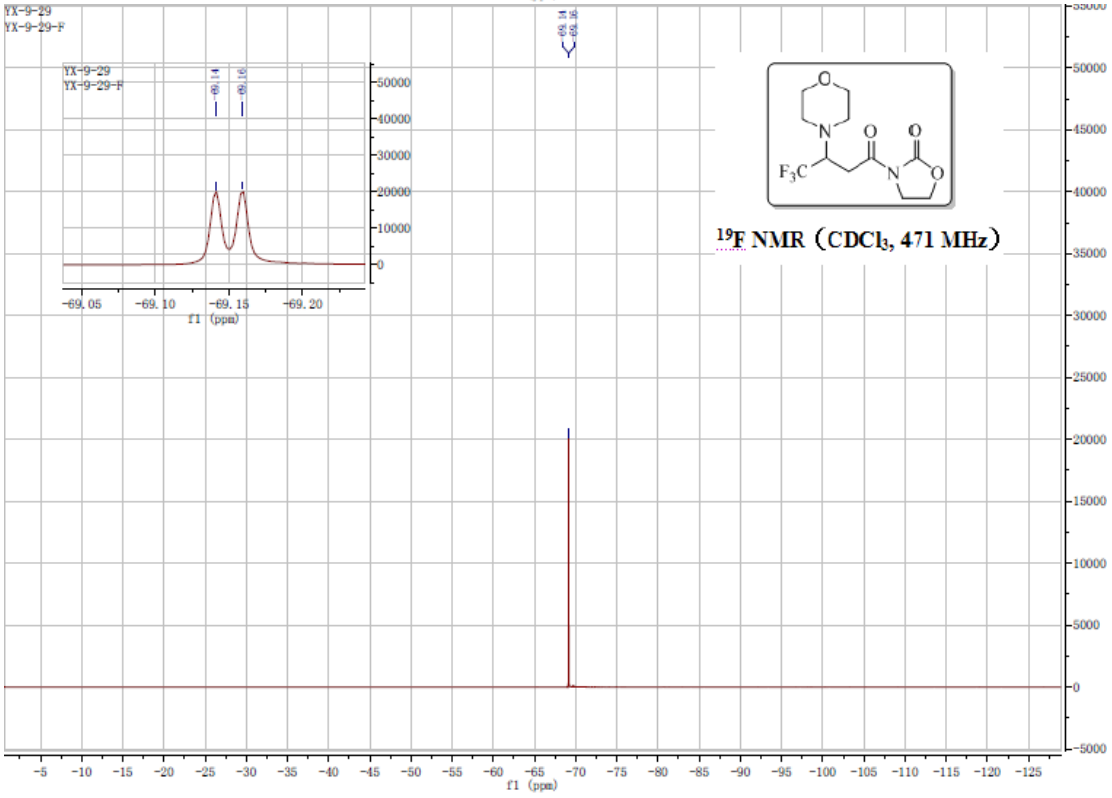

OH
Bn
N
O
O
F3C
N
O
1H NMR（CDCl3, 500 MHz）
f1 (ppm)

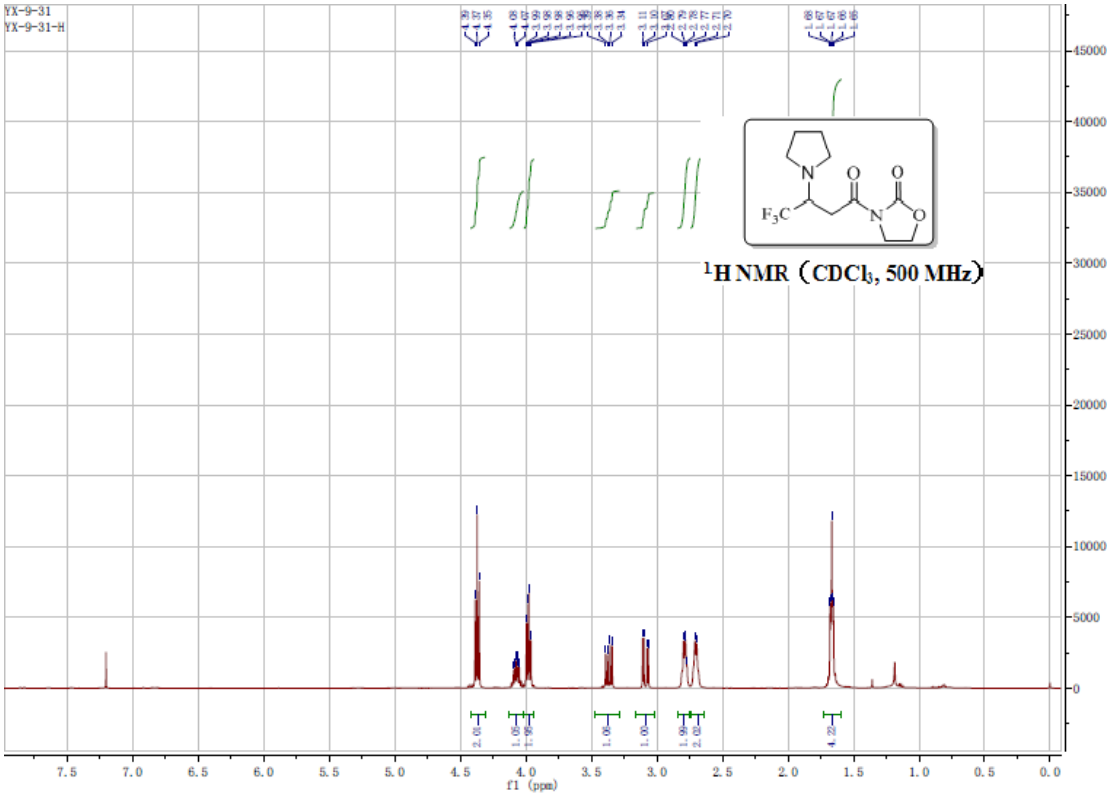

OH
Bn
N
O
O
F3C
N
O
13C NMR（CDCl3, 126 MHz）
f1 (ppm)

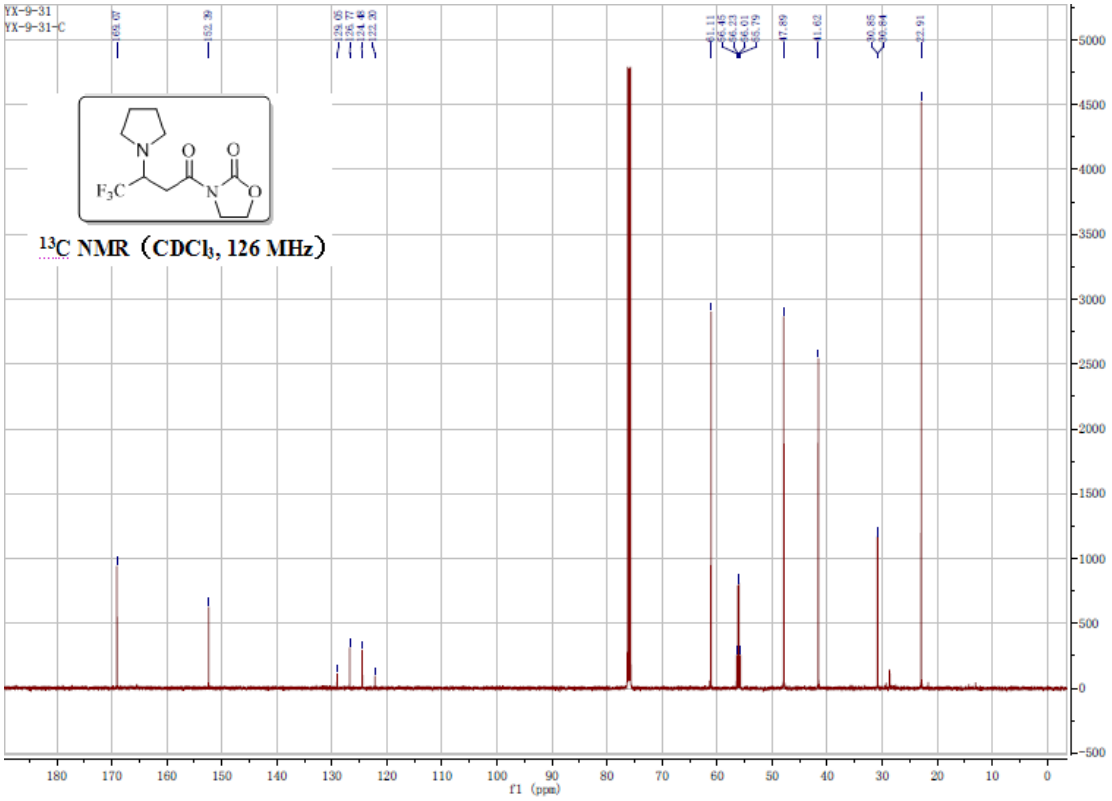

YX-9-36
YX-9-36-F
-68.46
-68.49
-68.44
-68.48
-68.52
f1 (ppm)
OH
Bn
N
O
O
F3C
N
O
19F NMR（CDCl3, 471 MHz）
f1 (ppm)

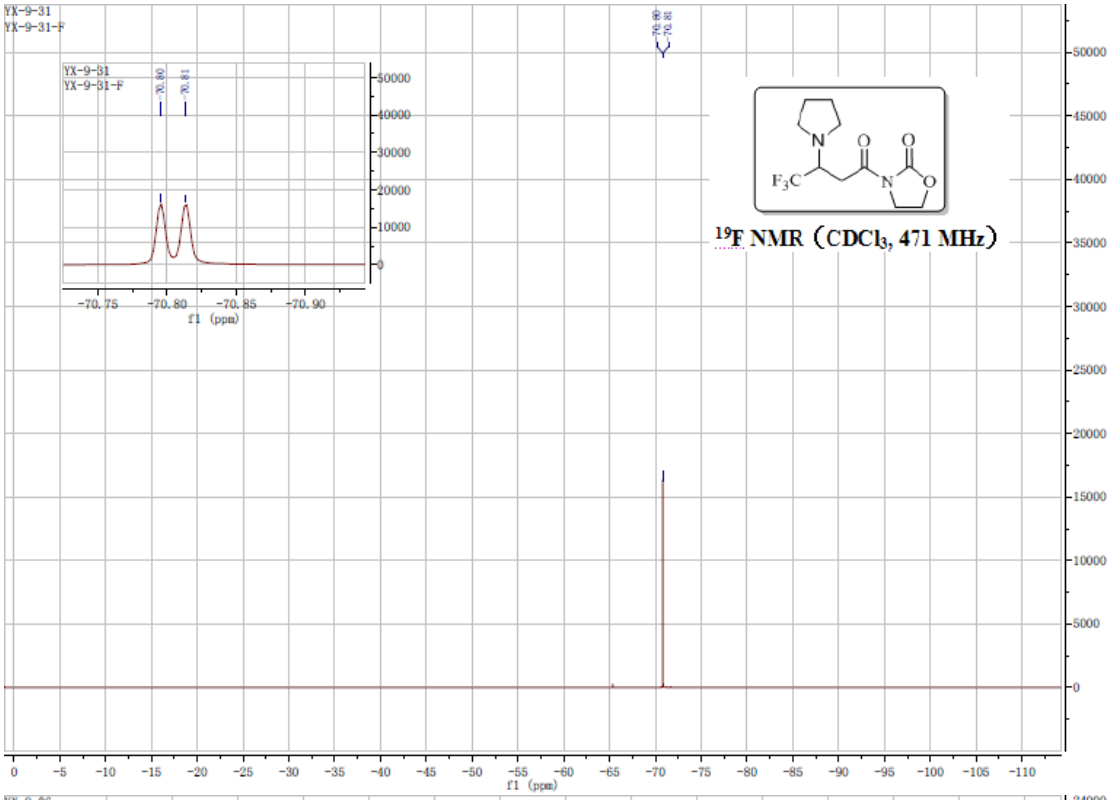

YX-9-29
YX-9-29-H
O
N
O
O
F3C
N
O
1H NMR（CDCl3, 500 MHz）
f1 (ppm)

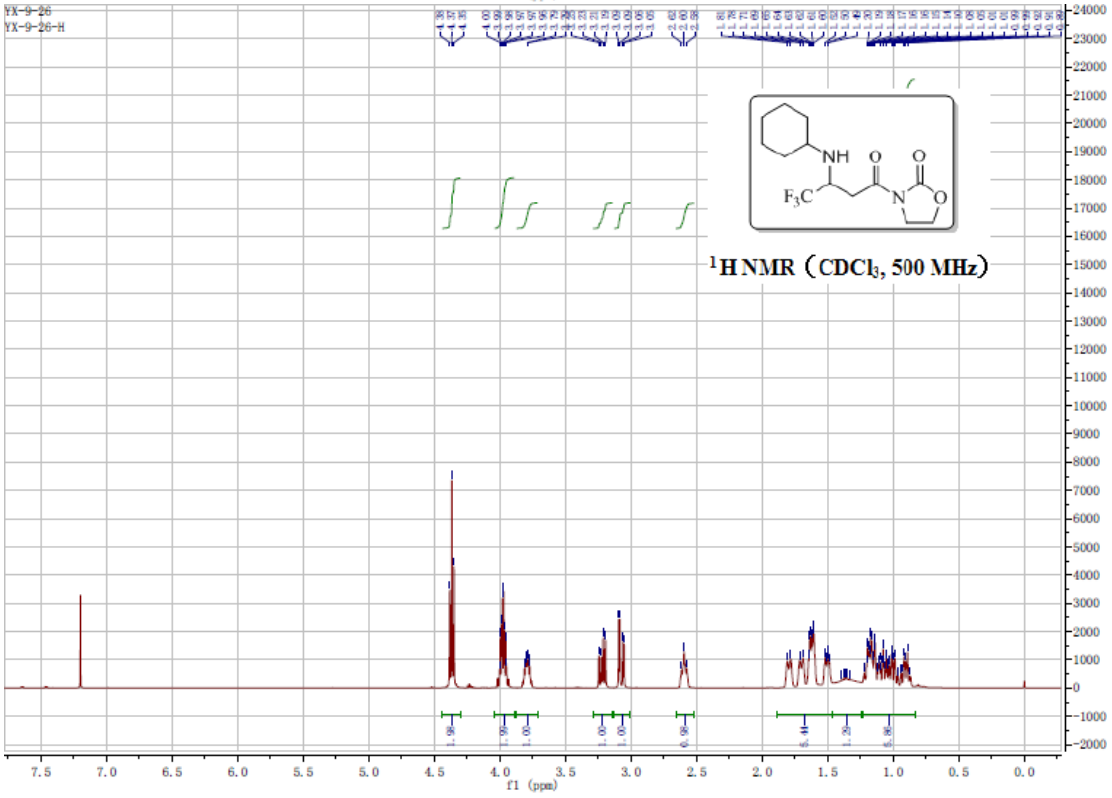

YX-9-29
YX-9-29-C
^{13}C NMR（CDCl$_3$, 126 MHz）
f1 (ppm)

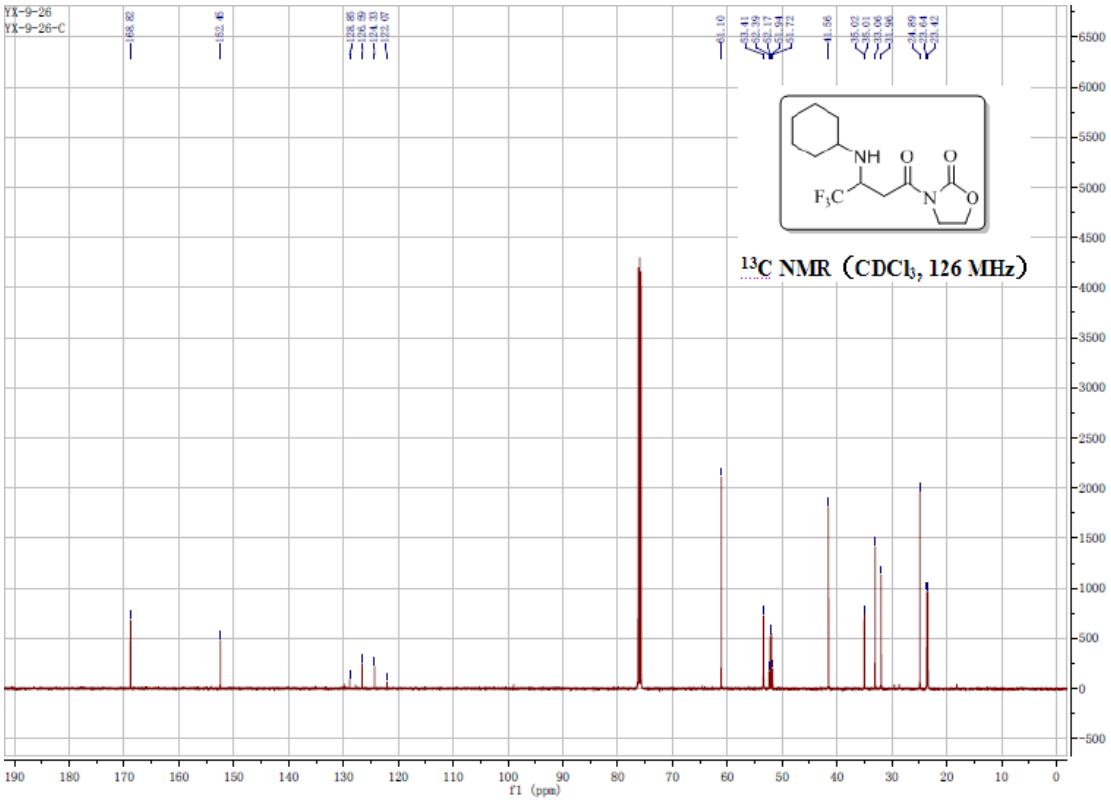

YX-9-29
YX-9-29-F
^{19}F NMR（CDCl$_3$, 471 MHz）
f1 (ppm)

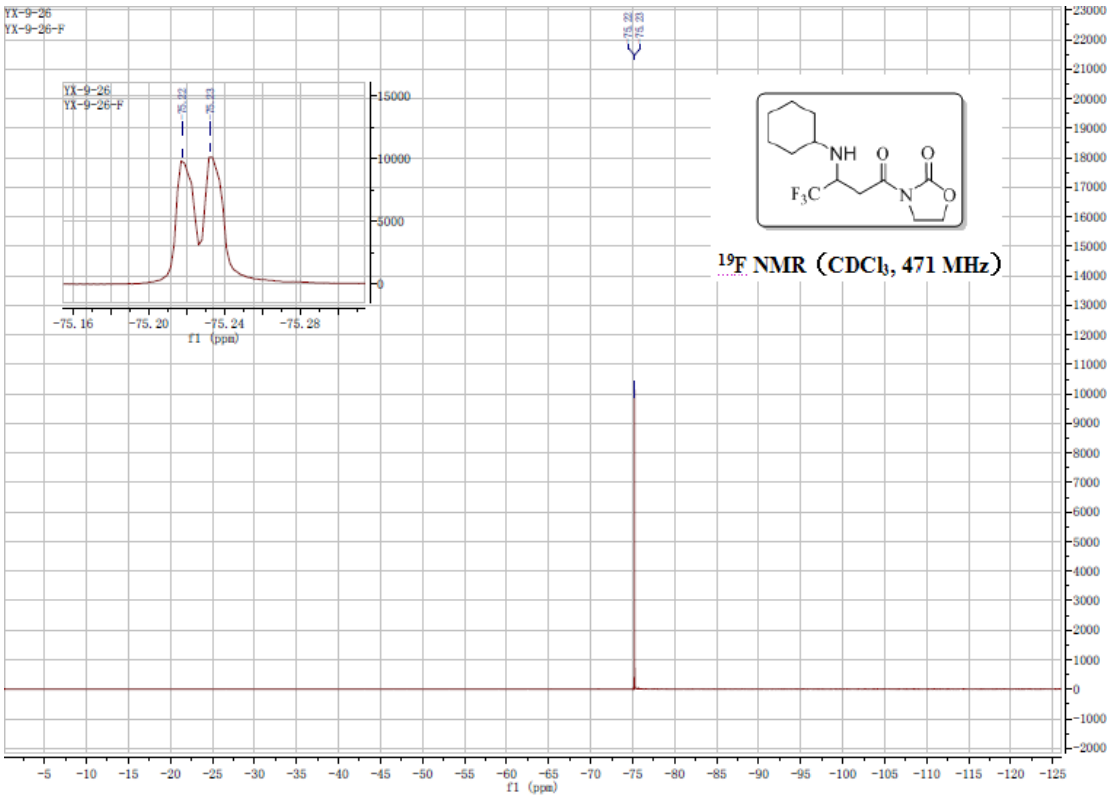

YX-9-31
YX-9-31-H
^{1}H NMR（CDCl$_3$, 500 MHz）
f1 (ppm)

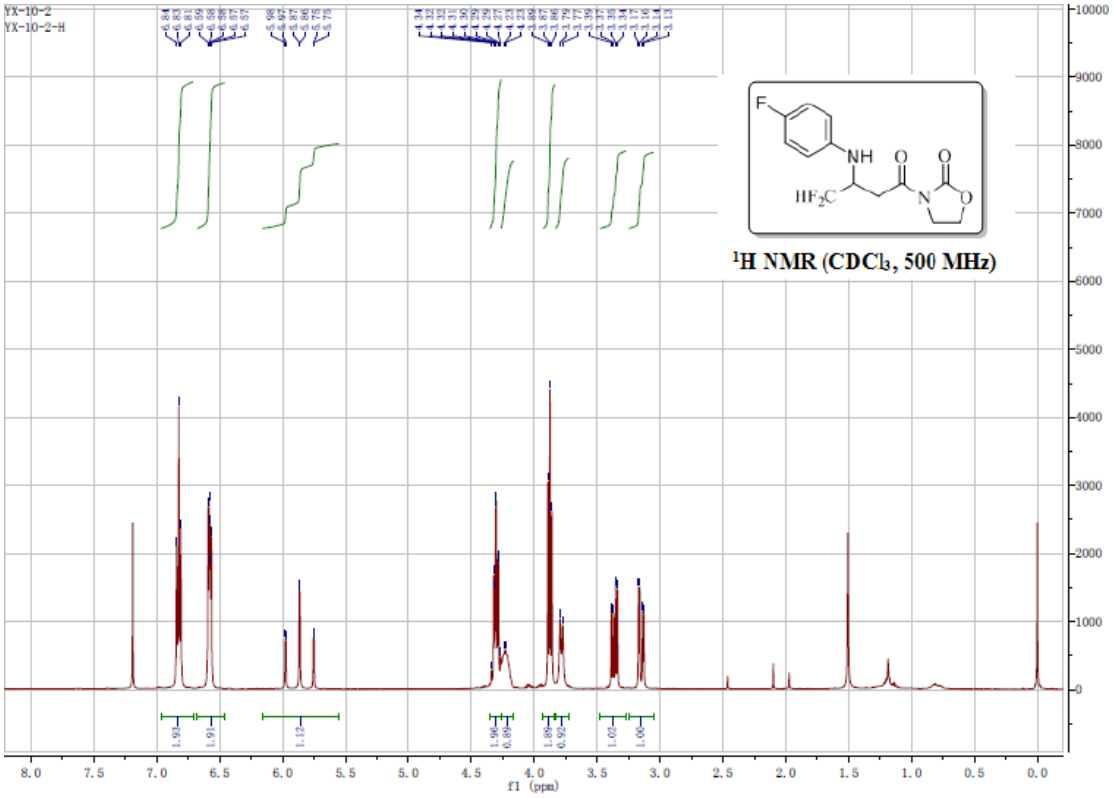

YX-9-31
YX-9-31-C
^{13}C NMR（CDCl$_3$, 126 MHz）
f1 (ppm)


YX-9-31
YX-9-31-F
-70.80
-70.81
f1 (ppm)
F3C
N
O
O
N
O
19F NMR（CDCl3, 471 MHz）
f1 (ppm)

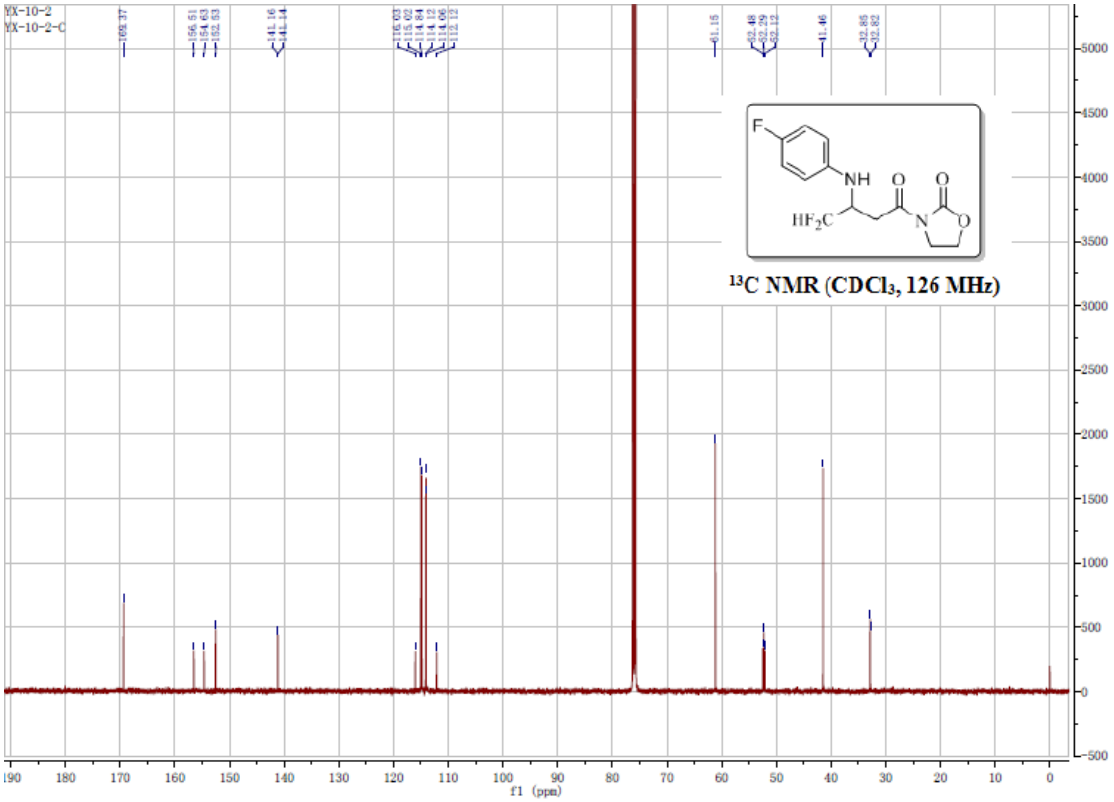

YX-9-26
YX-9-26-H
NH
O
O
F3C
N
O
1H NMR（CDCl3, 500 MHz）
f1 (ppm)


YX-9-26
YX-9-26-C
168.82
152.45
128.85
126.99
124.33
122.07
83.10
63.41
63.39
62.17
51.94
51.72
41.56
35.02
35.01
33.06
32.96
24.89
23.64
23.42
NH
O
O
F3C
N
O
13C NMR（CDCl3, 126 MHz）
f1 (ppm)

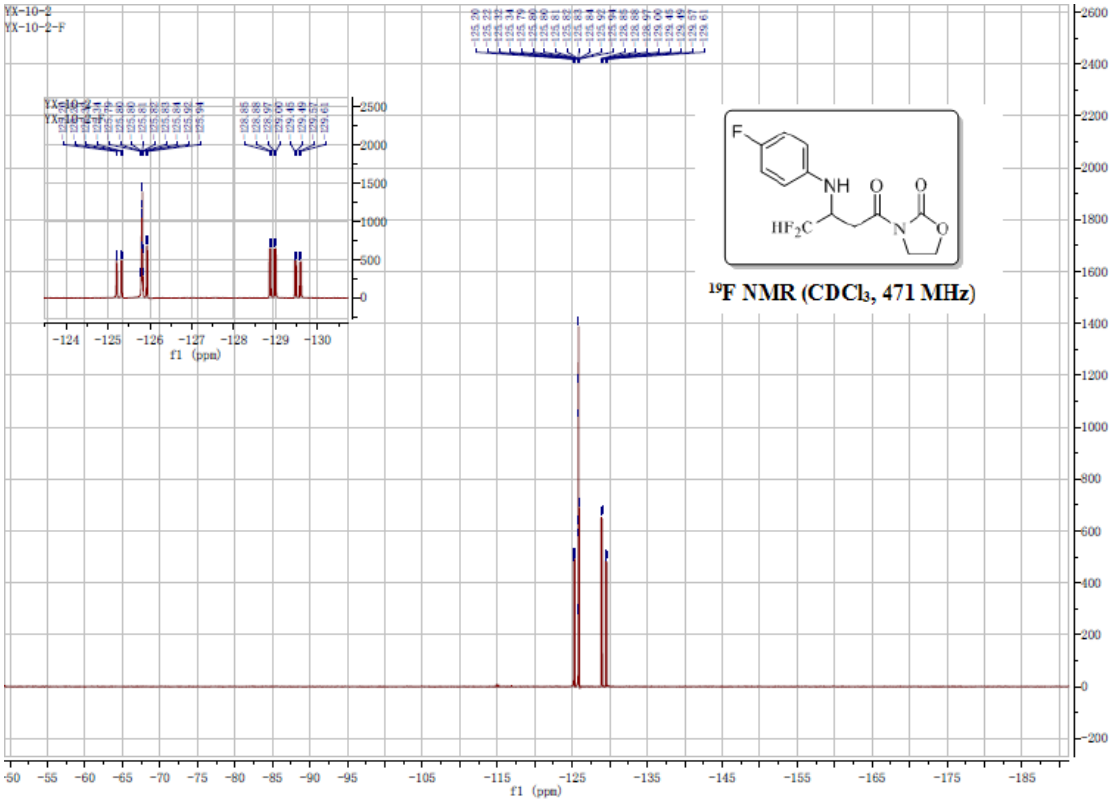

YX-9-26
YX-9-26-F
-75.22
-75.23
YX-9-26
YX-9-26-F
-75.22
-75.23
-75.16
-75.20
-75.24
-75.28
f1 (ppm)
NH
O
O
F3C
N
O
19F NMR（CDCl3, 471 MHz）
f1 (ppm)



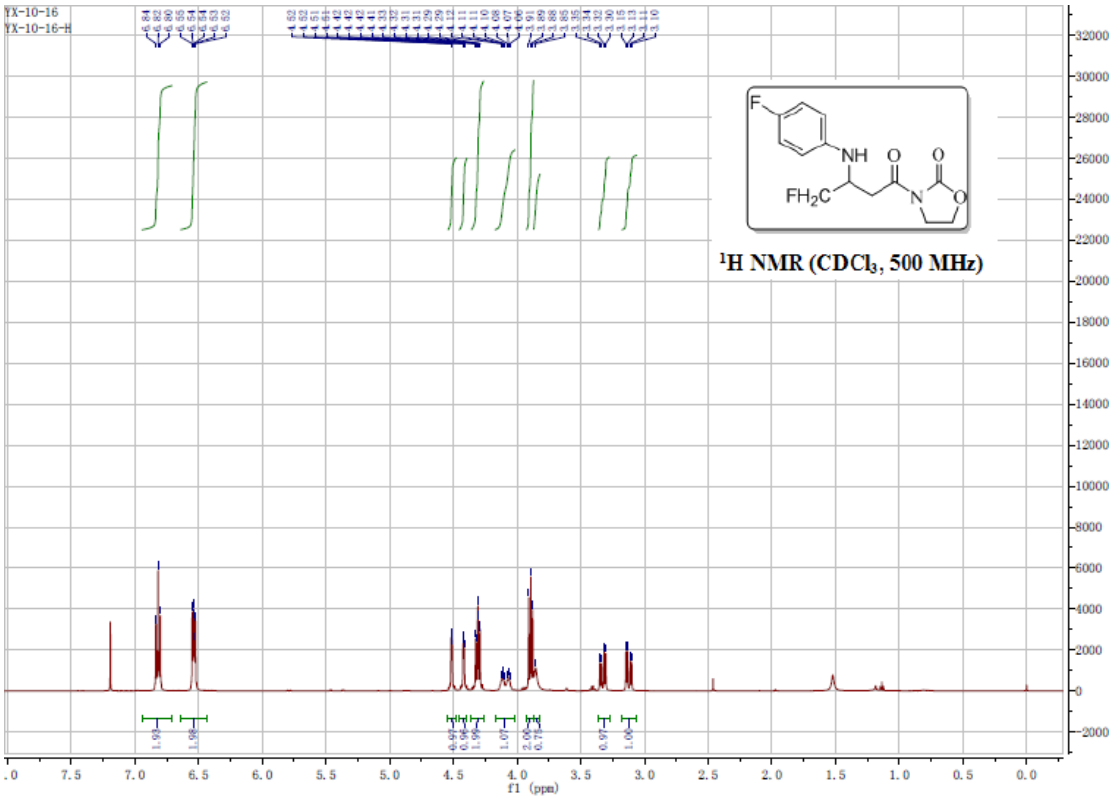
^{1}H NMR ($CDCl_3$, 500 MHz)



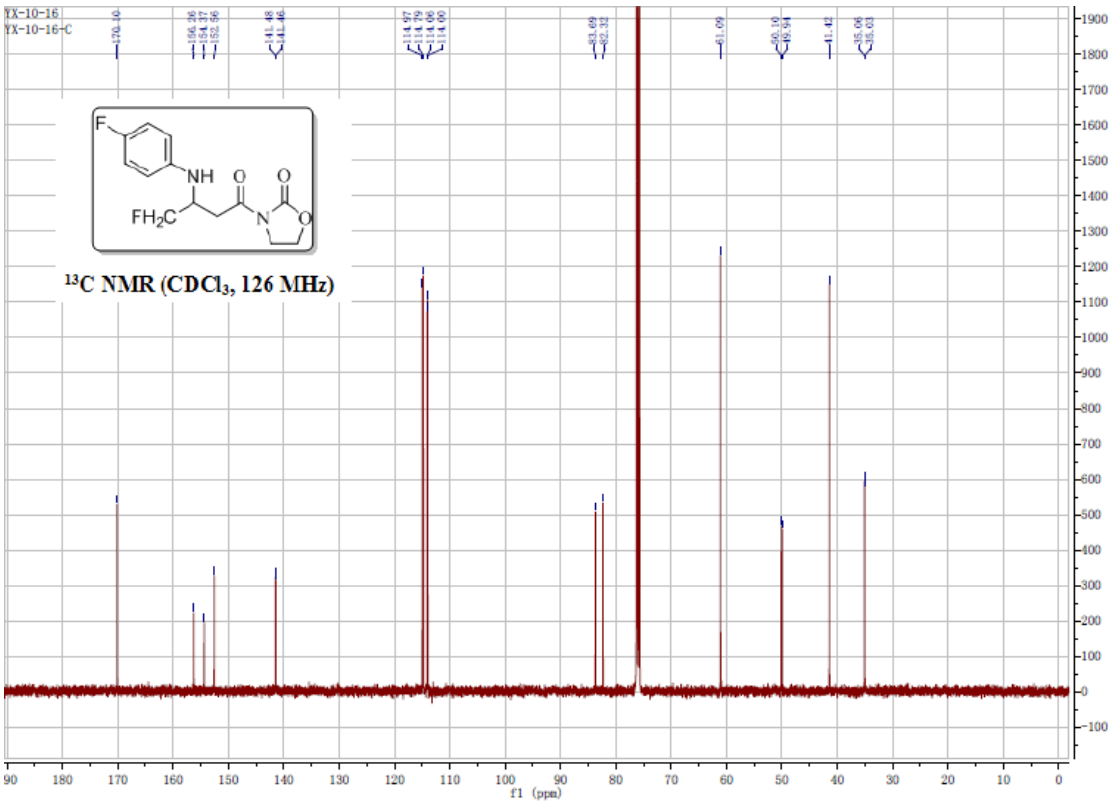
^{13}C NMR ($CDCl_3$, 126 MHz)

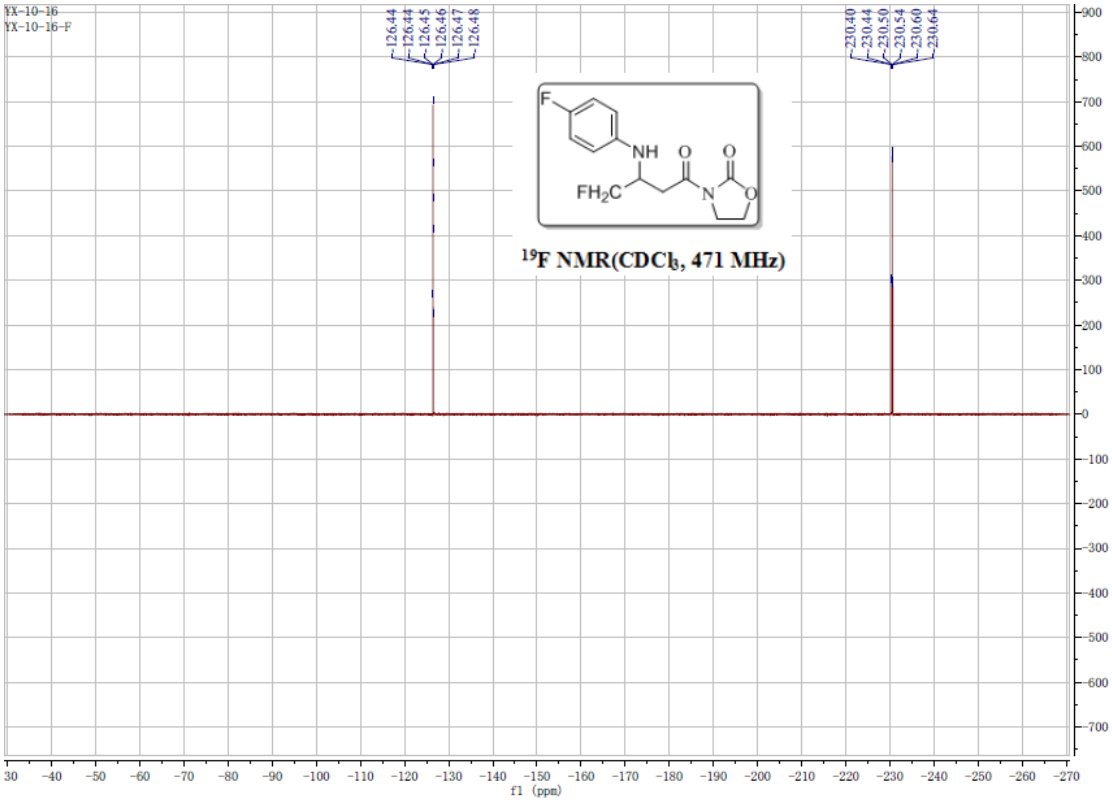


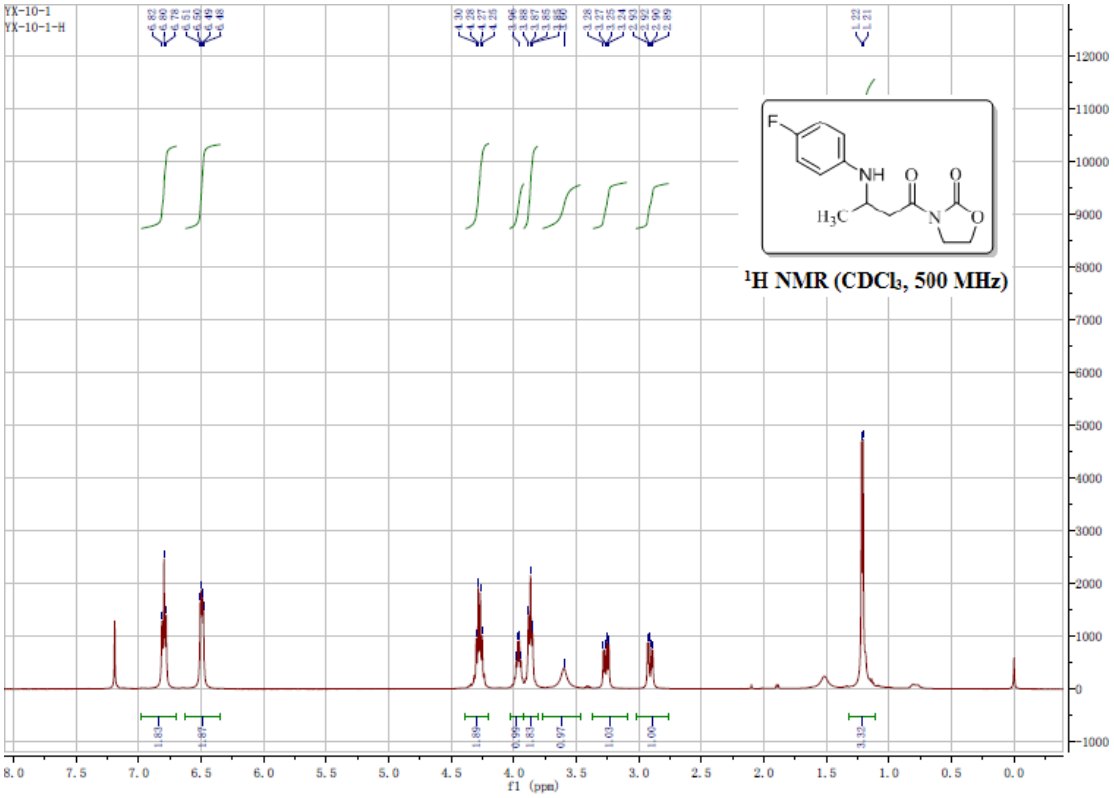
^{19}F NMR ($CDCl_3$, 471 MHz)

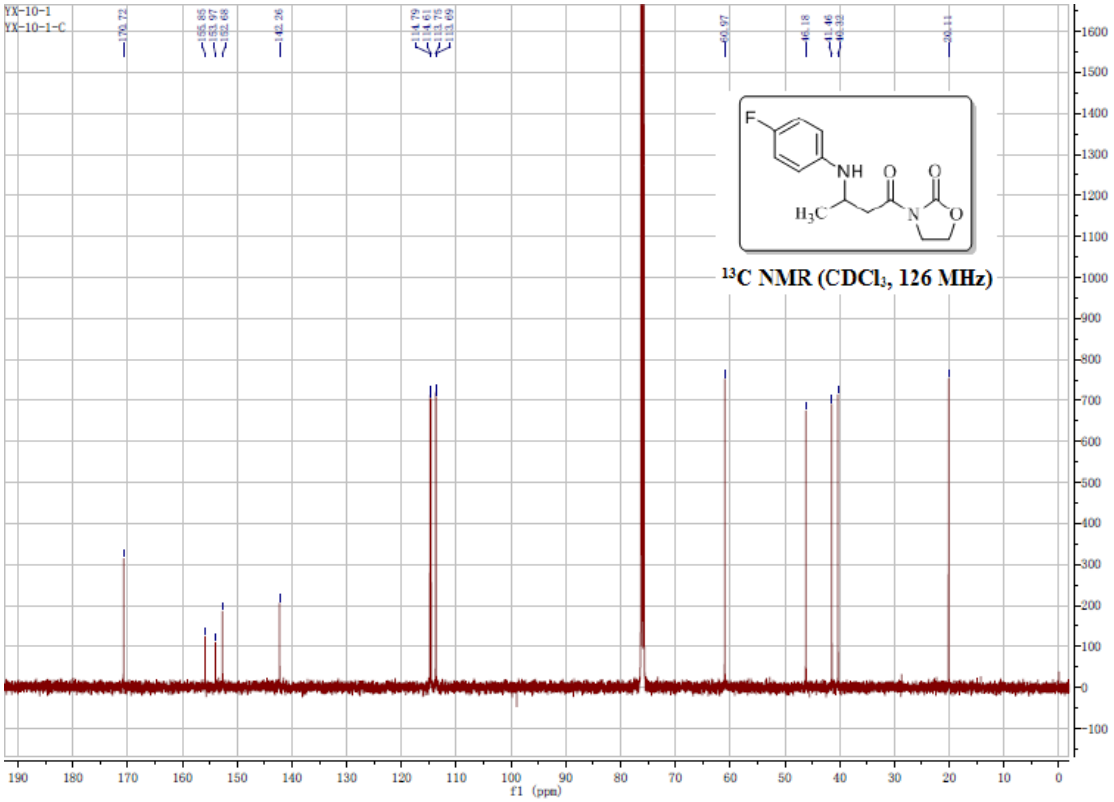


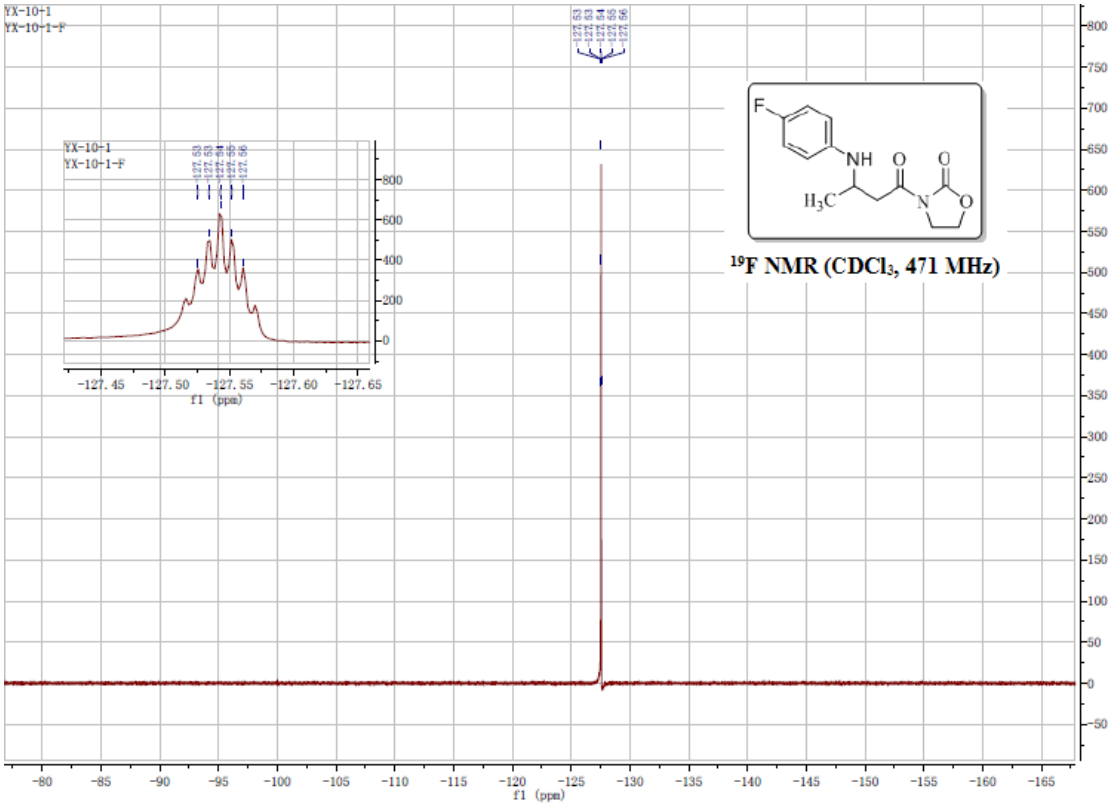
^{1}H NMR ($CDCl_3$, 500 MHz)

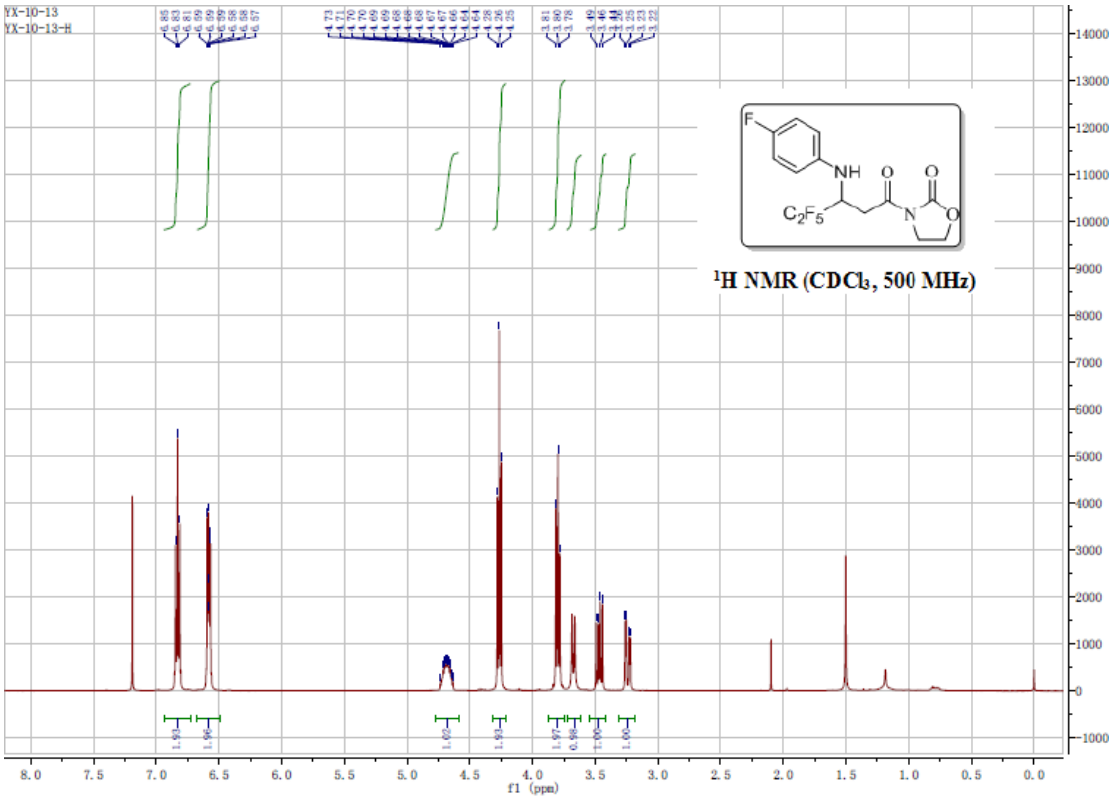

YX-10-16
YX-10-16-C
170.10
156.26
154.37
152.96
141.48
141.46
114.97
114.79
114.06
114.00
83.69
82.32
51.09
40.10
39.94
31.42
25.06
25.03
F
NH
O
O
FH2C
N
O
13C NMR (CDCl3, 126 MHz)
f1 (ppm)

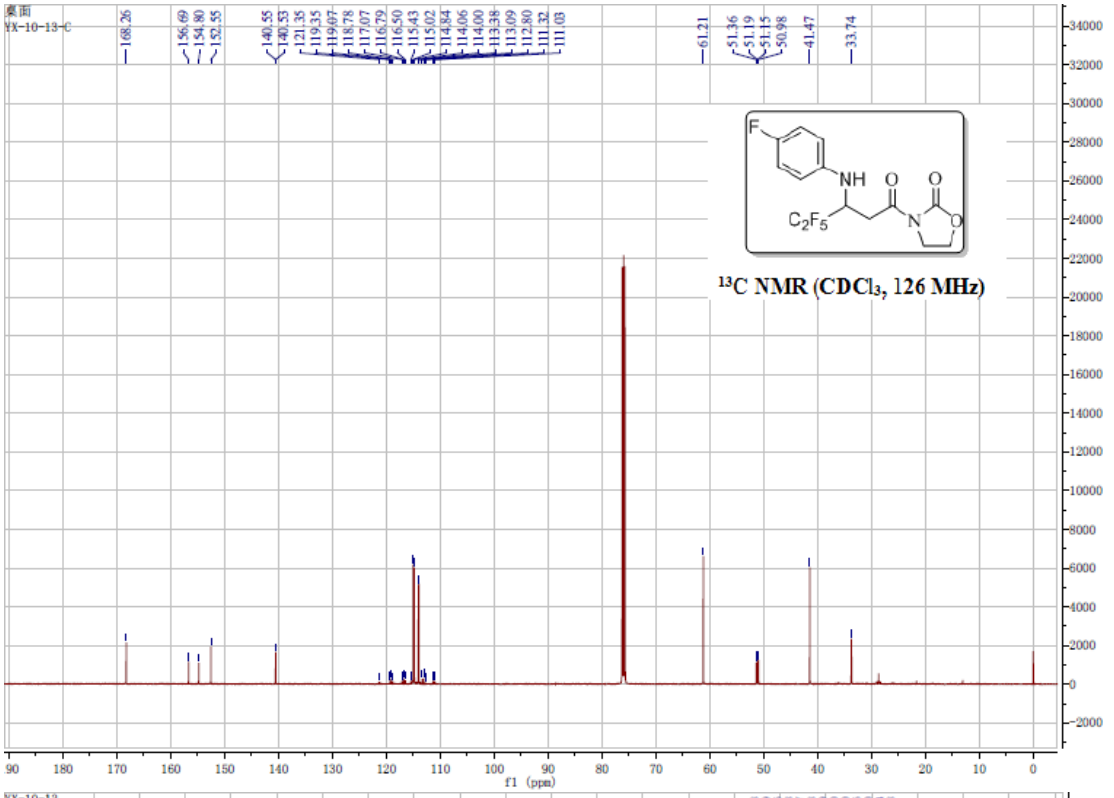

YX-10-16
YX-10-16-F
126.44
126.44
126.45
126.46
126.47
126.48
230.40
230.44
230.50
230.54
230.60
230.64
F
NH
O
O
FH2C
N
O
19F NMR(CDCl3, 471 MHz)
f1 (ppm)

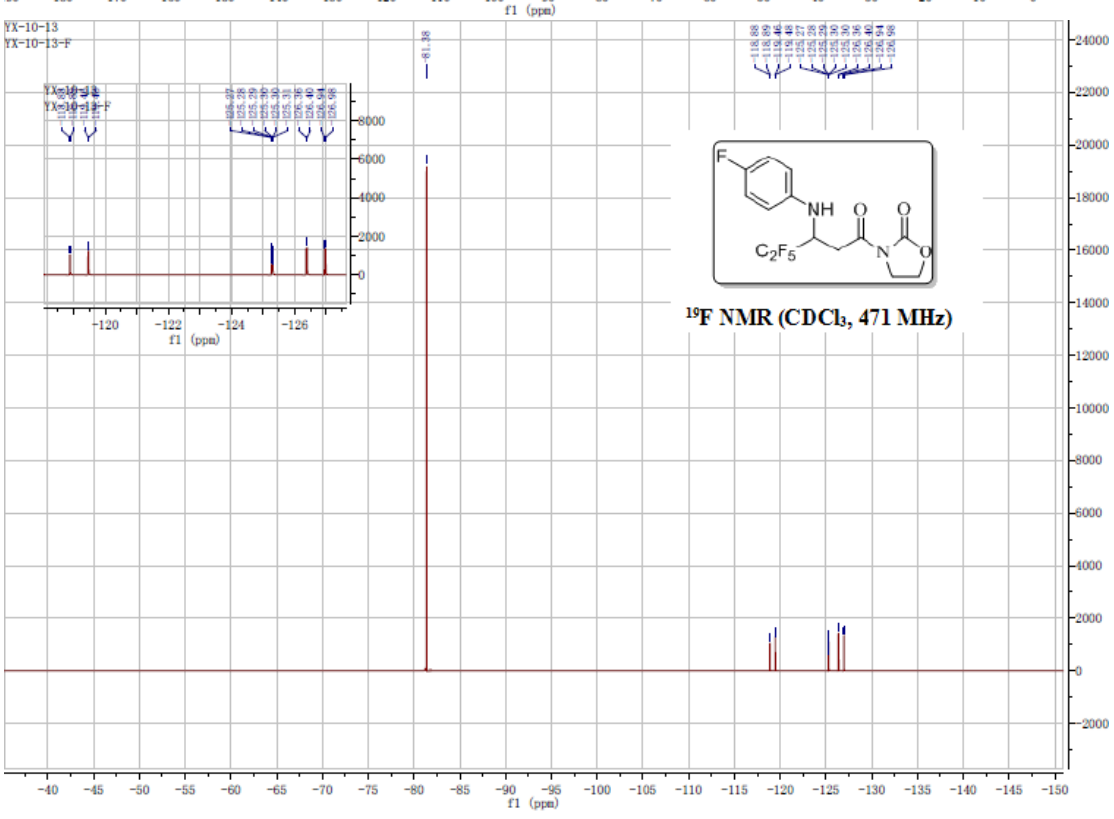

YX-10-1
YX-10-1-H
F
NH
O
O
H3C
N
O
1H NMR (CDCl3, 500 MHz)
f1 (ppm)

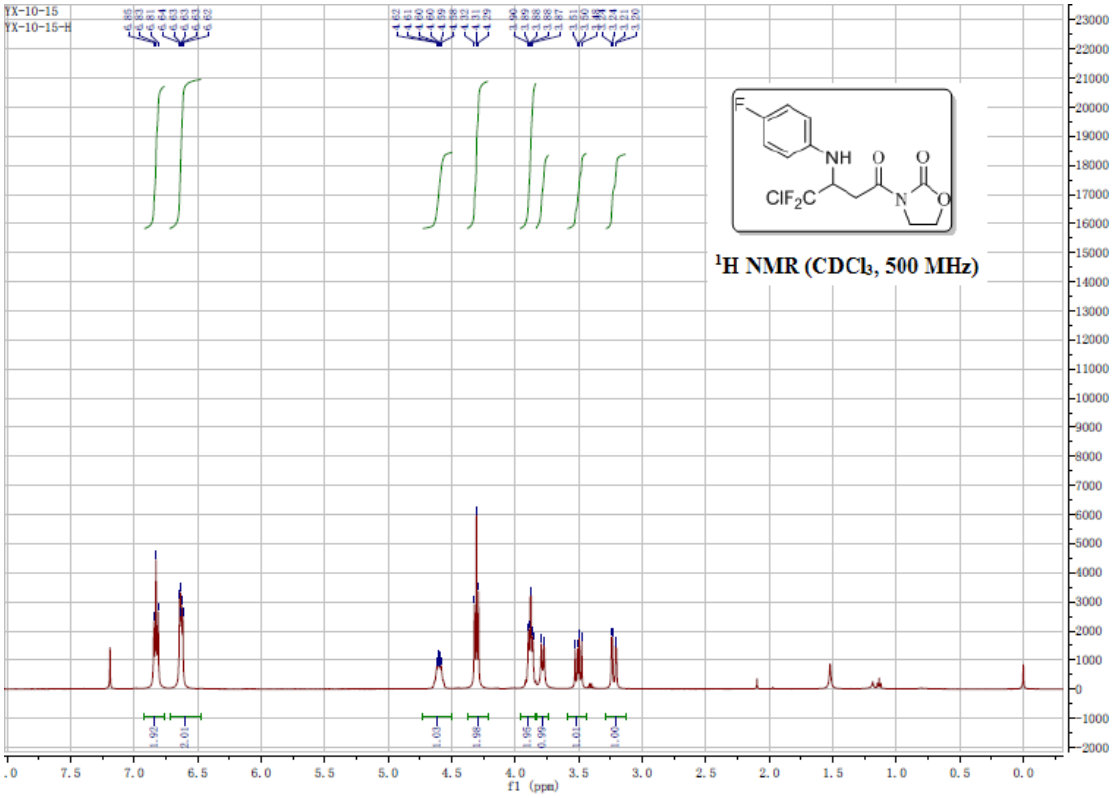

YX-10-1
YX-10-1-C
F
NH
O
O
H3C
N
O
13C NMR (CDCl3, 126 MHz)
f1 (ppm)


YX-10+1
YX-10+1-F
F
NH
O
O
H_3C
N
O
^{19}F NMR ($CDCl_3$, 471 MHz)
f1 (ppm)

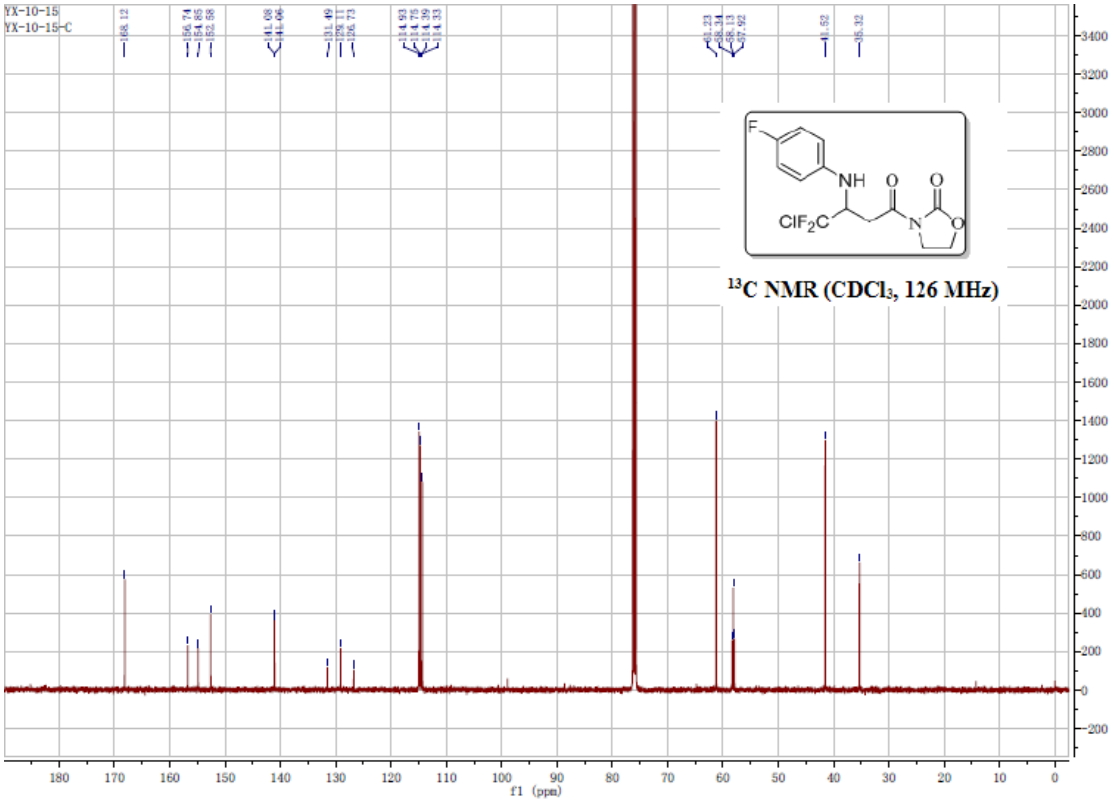

YX-10-13
YX-10-13-H
F
NH
O
O
C_2F_5
N
O
^{1}H NMR ($CDCl_3$, 500 MHz)
f1 (ppm)


YX-10-13-C
168.26
156.69
154.80
152.55
140.55
140.33
121.35
119.35
119.07
118.78
117.07
116.79
116.50
115.43
115.02
114.84
114.06
114.00
113.38
113.09
112.80
111.32
111.03
61.21
51.36
51.19
51.15
50.98
41.47
33.74
F
NH
O
O
N
O
C_2F_5
^{13}C NMR (CDCl$_3$, 126 MHz)
f1 (ppm)

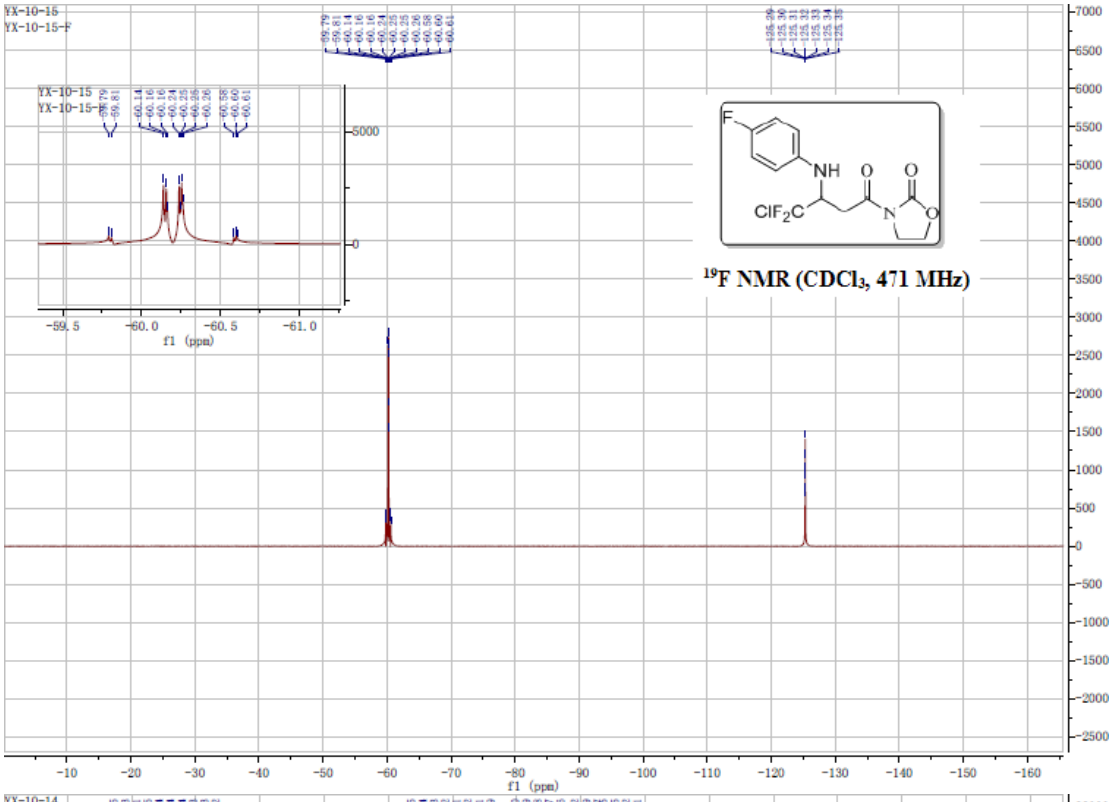

YX-10-13
YX-10-13-F
-81.38
F
NH
O
O
N
O
C_2F_5
^{19}F NMR (CDCl$_3$, 471 MHz)
f1 (ppm)

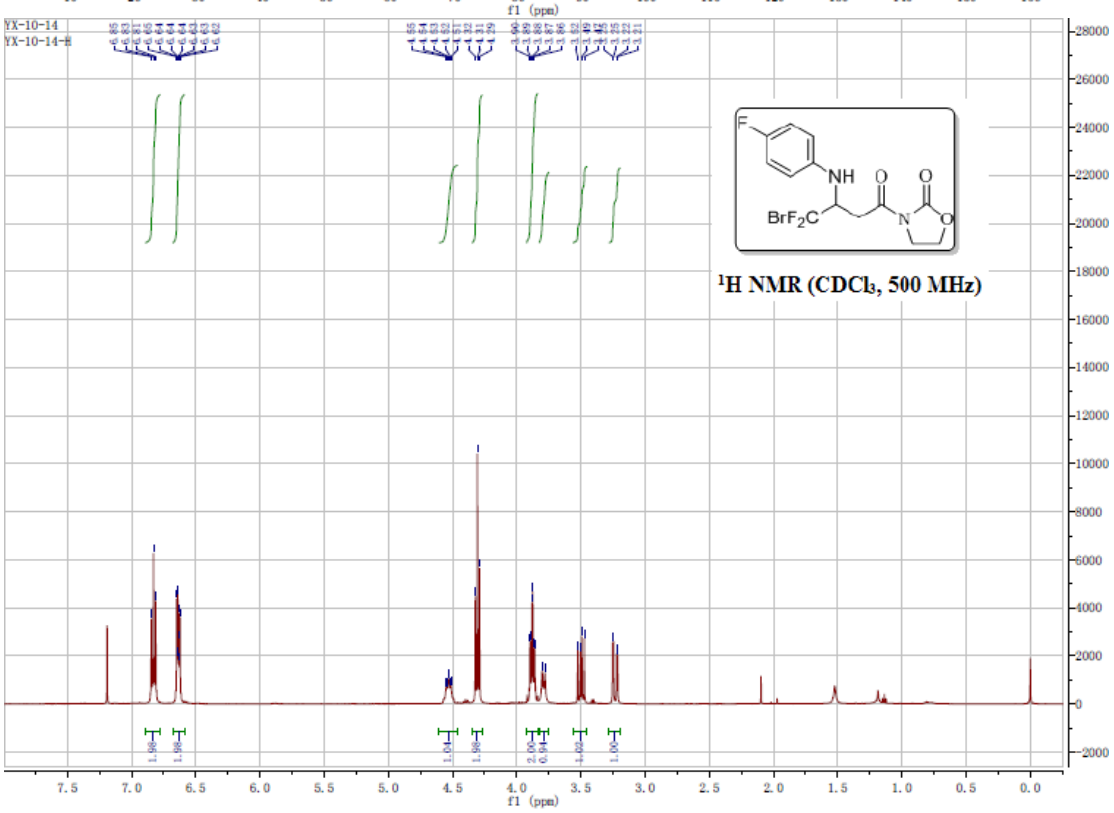


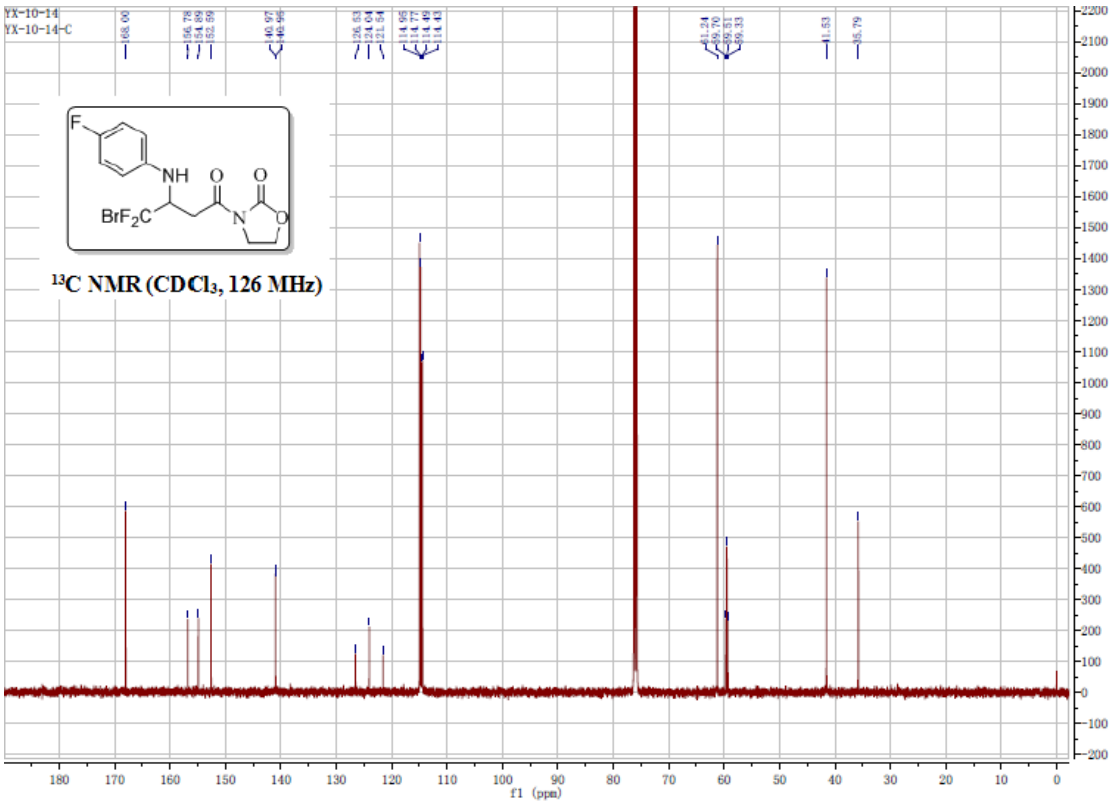
^{1}H NMR ($CDCl_3$, 500 MHz)

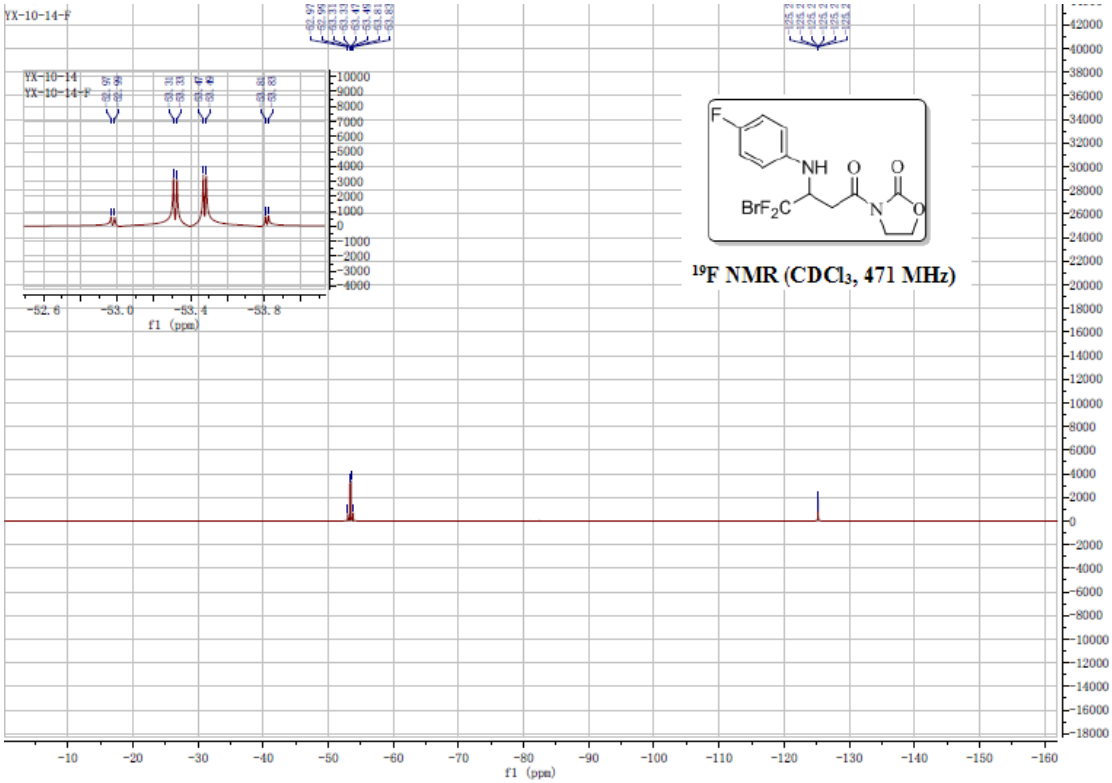


^{13}C NMR ($CDCl_3$, 126 MHz)

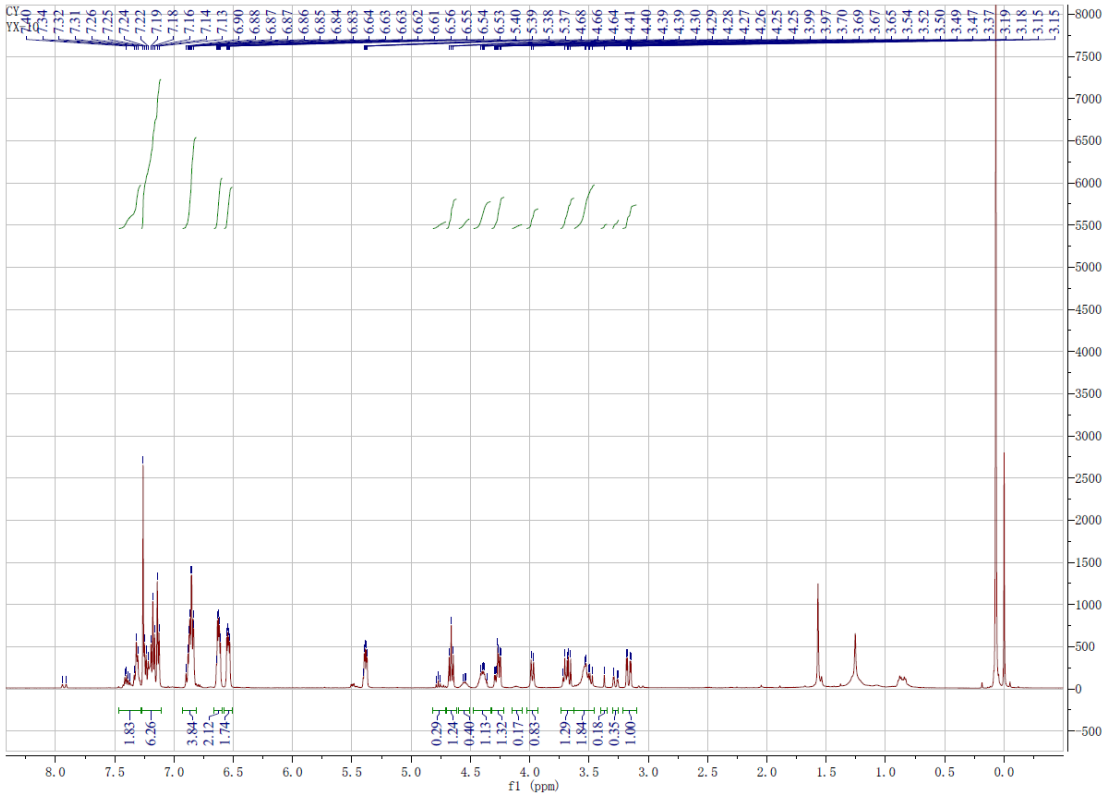

YX-10-15
YX-10-15-F
F
NH
O
O
ClF2C
N
O
19F NMR (CDCl3, 471 MHz)
f1 (ppm)

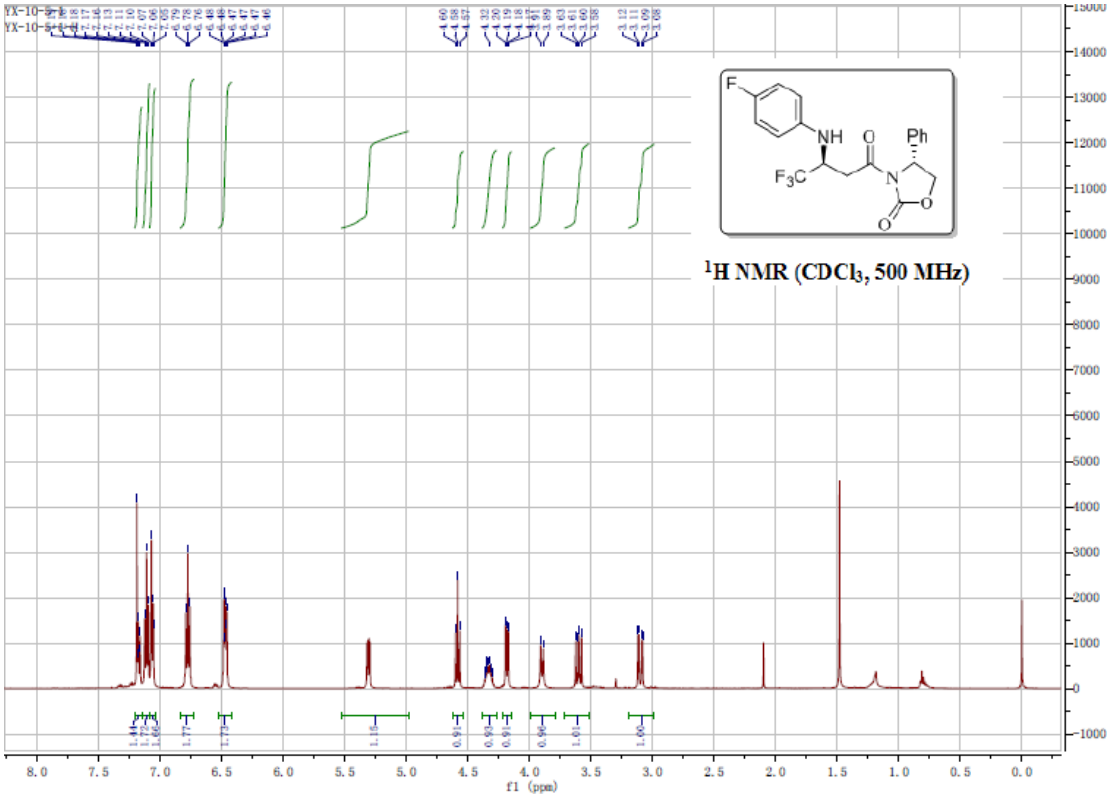

YX-10-14
YX-10-14-H
F
NH
O
O
BrF2C
N
O
1H NMR (CDCl3, 500 MHz)
f1 (ppm)

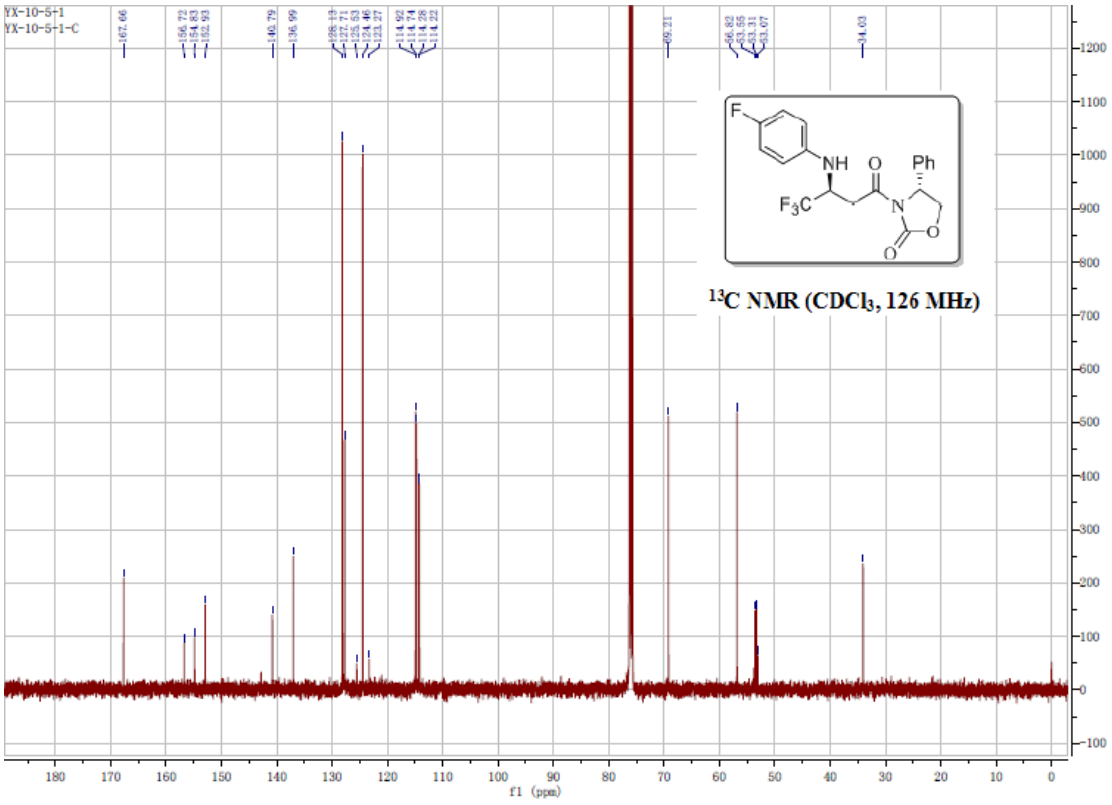


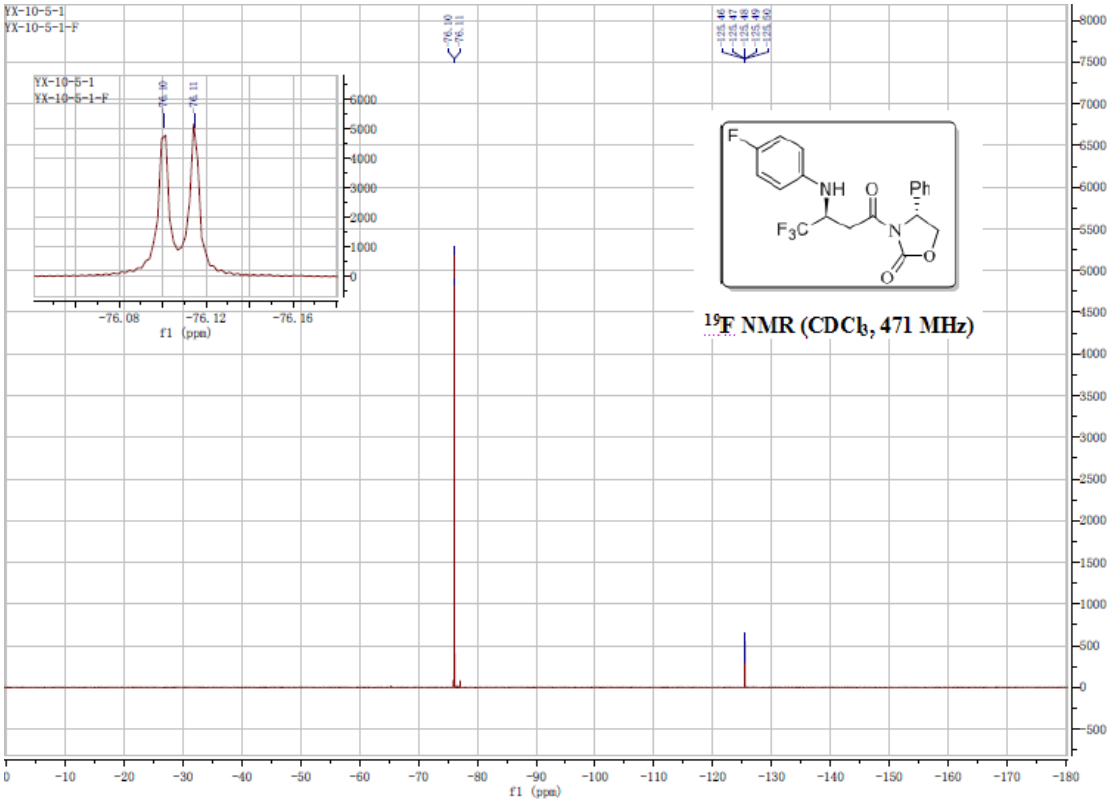


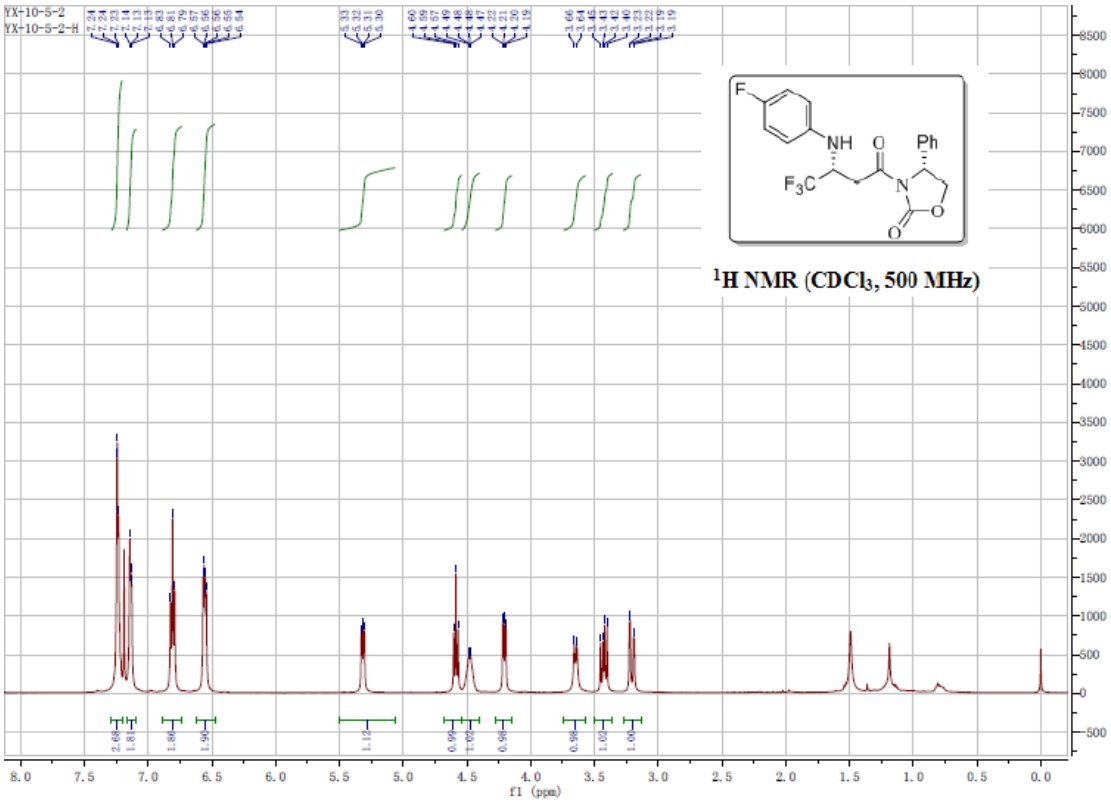
^{1}H NMR of the crude mixture of diastereomers (*S,R*)-**5** and (*R,R*)-**5**



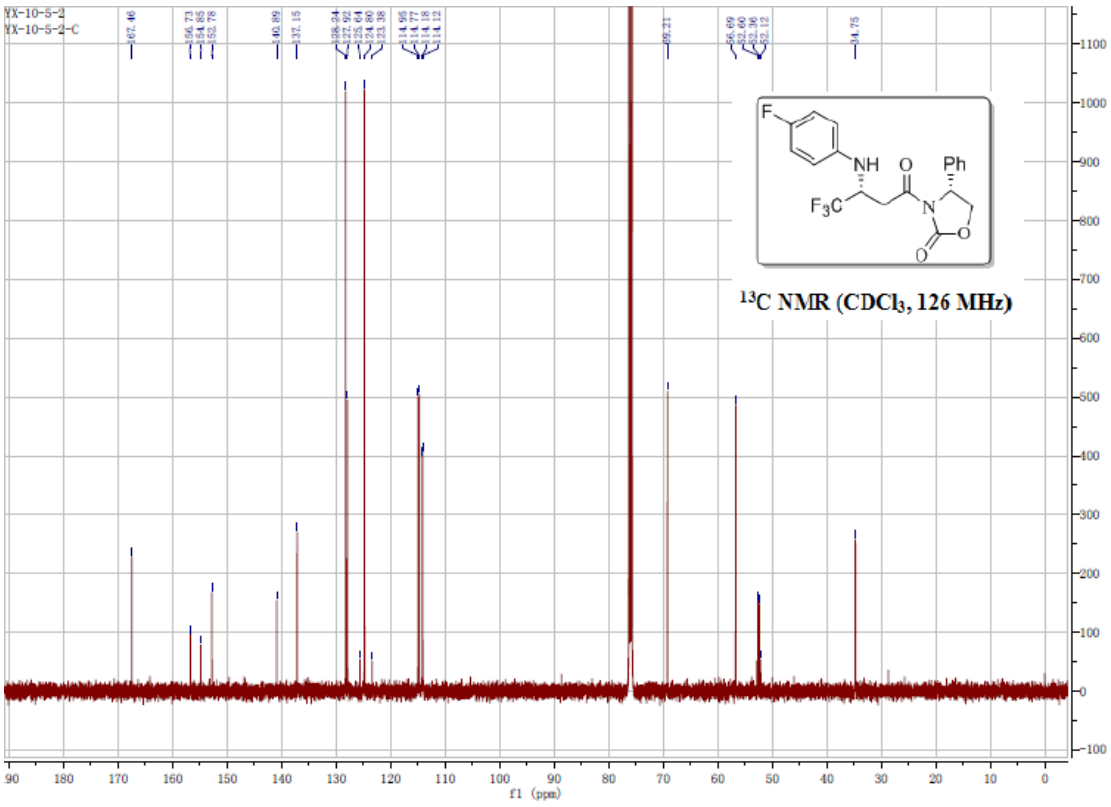

F
NH
O
Ph
F3C
N
O
O
1H NMR (CDCl3, 500 MHz)


YX-10-5-1
YX-10-5-1-C
F
NH
O
Ph
F3C
N
O
O
13C NMR (CDCl3, 126 MHz)
f1 (ppm)

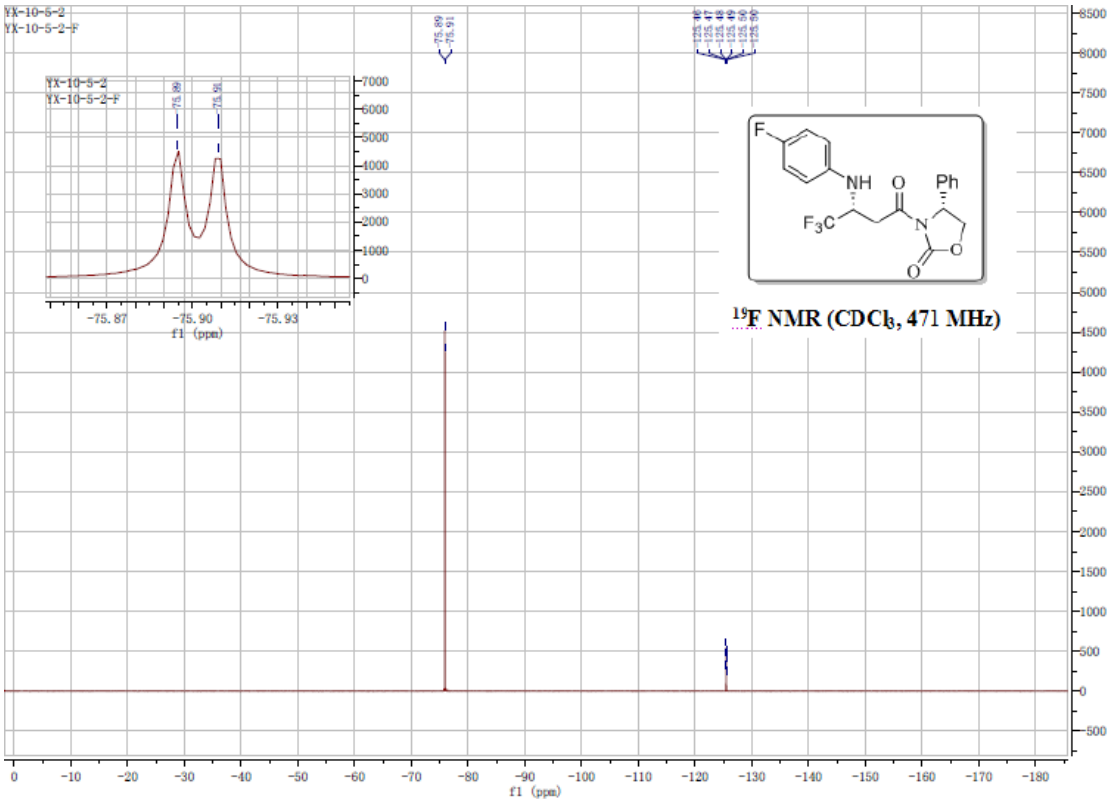

YX-10-5-1
YX-10-5-1-F
YX-10-5-1
YX-10-5-1-F
-76.08
-76.12
-76.16
f1 (ppm)
F
NH
O
Ph
F3C
N
O
O
19F NMR (CDCl3, 471 MHz)
f1 (ppm)

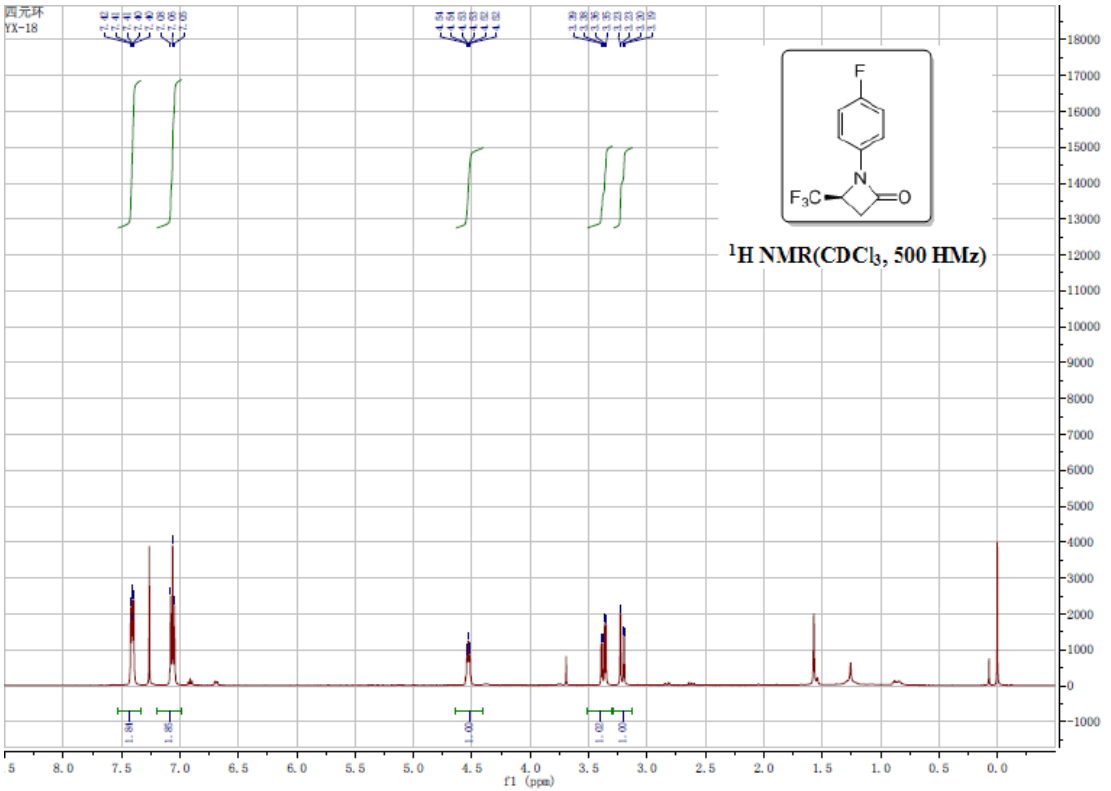


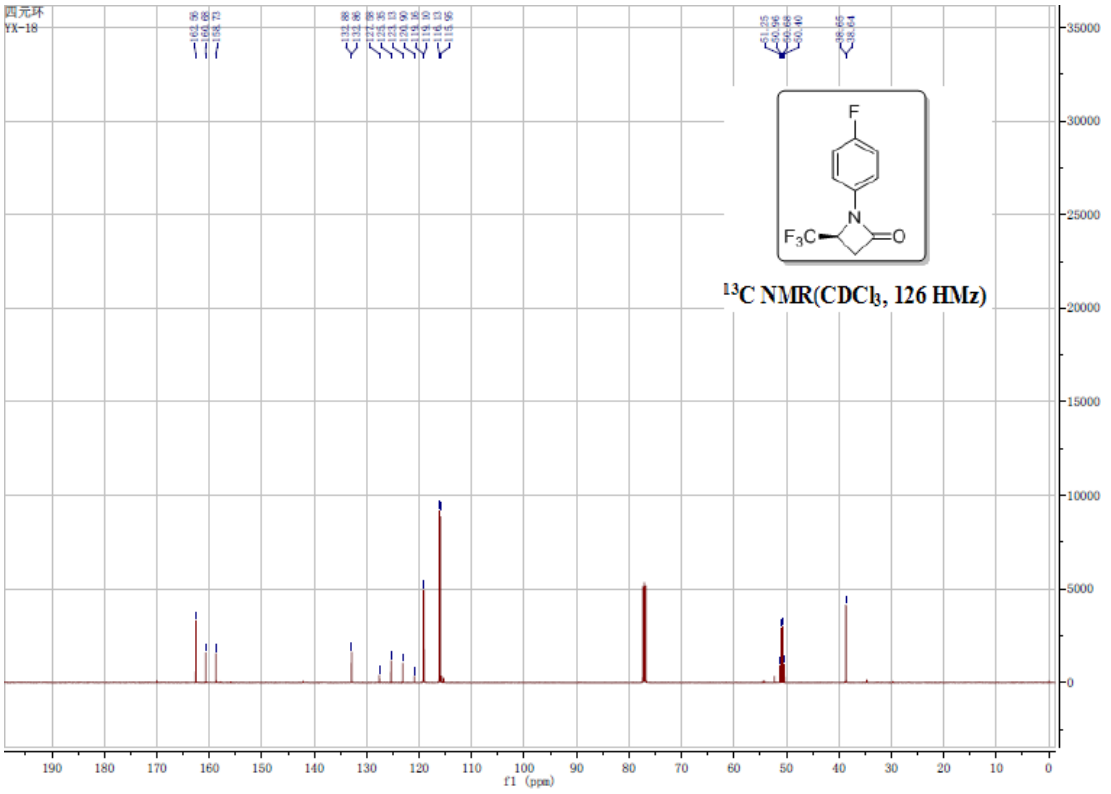
^{1}H NMR ($CDCl_3$, 500 MHz)

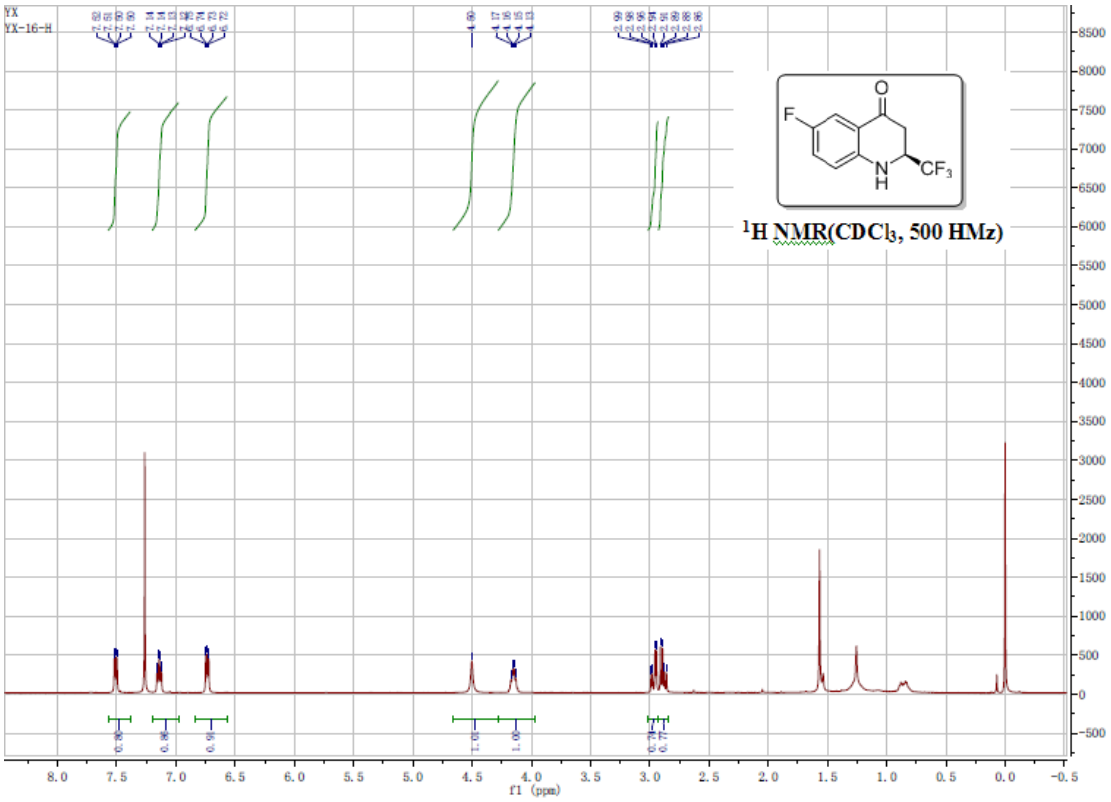


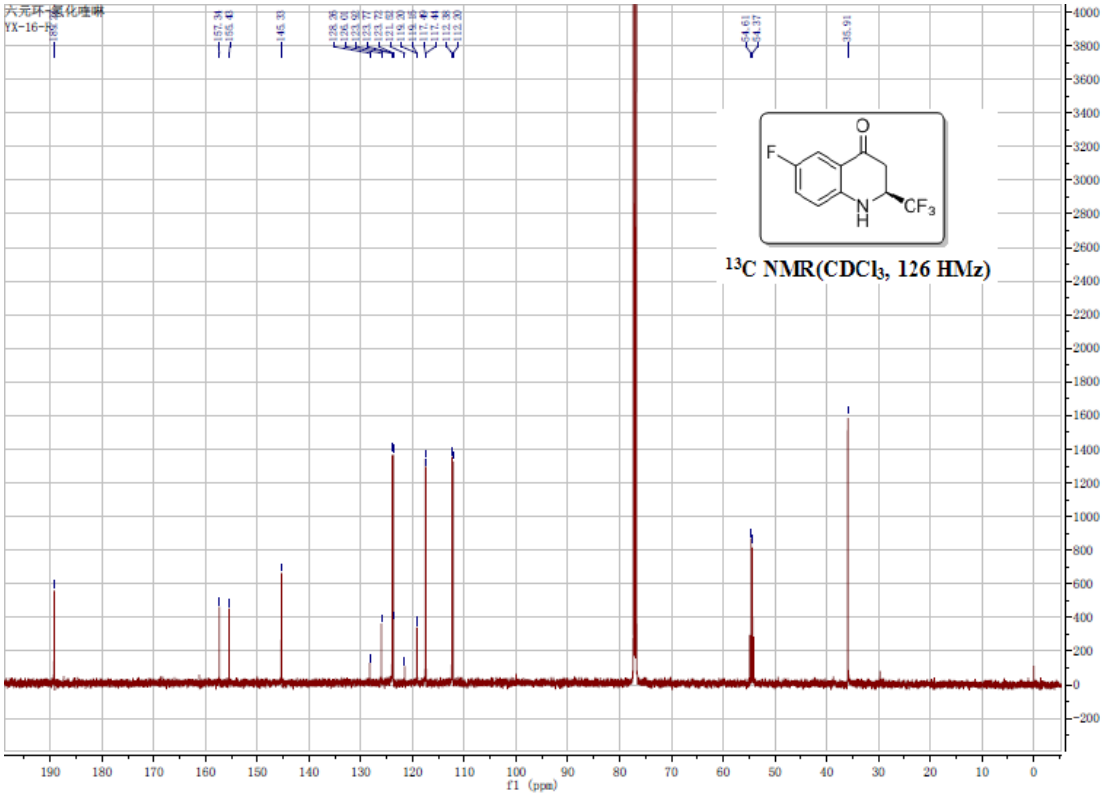
^{13}C NMR ($CDCl_3$, 126 MHz)

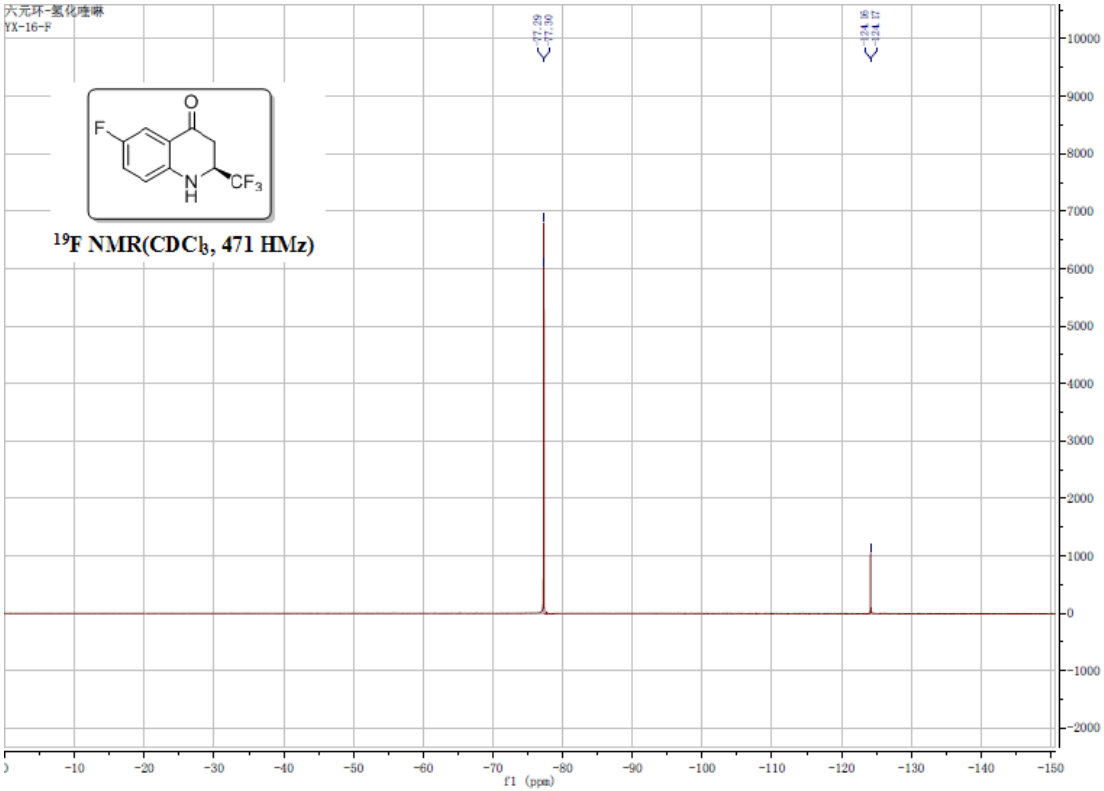

YX-10-5-2
YX-10-5-2-F
F
NH
O
Ph
F3C
N
O
O
19F NMR (CDCl3, 471 MHz)
f1 (ppm)


四元环
YX-18
F
N
F3C
O
1H NMR(CDCl3, 500 HMz)
f1 (ppm)


四元环
YX-18
F
N
F3C
O
13C NMR(CDCl3, 126 HMz)
f1 (ppm)


YX
YX-16-H
O
F
N
H
CF3
1H NMR(CDCl3, 500 HMz)
f1 (ppm)


六元环-氢化喹啉
YX-16-13C
157.34
155.43
145.33
128.26
126.01
123.59
123.77
123.72
121.02
119.30
119.19
117.49
117.34
112.38
112.20
64.61
64.37
35.91
O
F
N
H
CF3
13C NMR(CDCl3, 126 HMz)
f1 (ppm)


六元环-氢化喹啉
YX-16-F
-77.29
-77.30
-124.16
-124.17
O
F
N
H
CF3
19F NMR(CDCl3, 471 HMz)
f1 (ppm)