Electronic Supplementary Information

Base-Induced Intramolecular Epoxide Ring-Opening by 2-Fluoroarenesulfonamides: An Avenue to Fused 1,4-Benzoxazine-Benzosultam Hybrids via One-Pot Double Cyclization

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1. General information

All commercially available reagents were used without further purification. All dry reactions were carried out in oven-dried glassware and under nitrogen atmosphere. Solvents used in reactions were distilled over appropriate drying agents prior to use. Thin-layer chromatography (TLC) was performed on pre-coated Merck silica gel plates (60 F254). Compounds were visualized with UV light (λ = 254 nm) and/or by immersion in an ethanolic vanillin solution or by immersion in $KMnO_4$ solution followed by heating. Column chromatography was performed using silica gel (60–120 mesh) procured from Merck using freshly distilled solvents. Melting points were determined with a Buchi-535 apparatus and are not corrected. Perkin Elmer 20 analyzer was utilized for elemental analysis of all compounds. ^{1}H NMR, ^{13}C NMR and ^{19}F spectra were run on JEOL 400 MHz spectrometer in $CDCl_3$ as solvent. Chemical shifts are expressed in δ ppm relative to tetramethylsilane (TMS, 0.0 ppm) or residual chloroform ($CHCl_3$) as internal reference for ^{1}H (δ = 7.27 ppm) and ^{13}C (δ = 77.0 ppm). The following abbreviations were used to describe the NMR multiplicities: br = broad, s = singlet, d = doublet, t = triplet, q = quartet, dd = doublet of doublets, ddd = doublet of doublets of doublets, td = triplet of doublets, dt = doublet of triplets, tt = triplet of triplets, dq = doublet of quartets, qd = quartet of doublets, and m = multiplet. All spectra were recorded at 25 °C. Coupling constants (*J* values) are given in hertz (Hz). HRMS data were recorded by electron spray ionization with a Q-TOF mass analyzer.

2. Synthesis of epoxide substrates 5

General procedure A: synthesis of *N*-(2-(Allyloxy)aryl)-2-fluorobenzenesulfonamides 12

Step-I: *O*-allylation/prenylation of 2-nitrophenols 11

A suspension of appropriate 2-nitrophenol **11** (1 mmol, 1.0 equiv), anhydrous K_2CO_3 (276 mg, 2.0 mmol, 2.0 equiv), and allyl bromide (182 mg, 1.5 mmol, 1.5 equiv) or prenyl bromide (224 mg, 1.5 mmol, 1.5 equiv) in acetone (10 mL) was heated at 65 °C for 12 h.

The resulting suspension was filtered through a pad of Celite which was washed with acetone. The filtrate was evaporated and the residue was a filtered through a short pad of silica gel to remove the base-line impurities. The filtrate was concentrated under reduced pressure to get the corresponding *O*-allylated/prenylated product which was used for the next step without further purification and characterization.

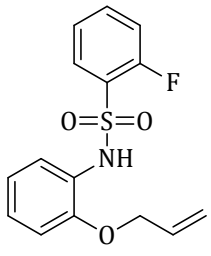
Step-II: Chemoselective reduction of the NO_2 group of the resulting *O*-allylated/ prenylated products

Fe (279 mg, 5.0 mmol) powder was added to a solution of the resulting *O*-allylated/ prenylated product (obtained from the first step) and NH_4Cl (160 mg, 3.0 mmol) in EtOH (15 mL) and H_2O (5 mL) and the resulting mixture was then refluxed for 2 h under nitrogen atmosphere. After cooling to rt, the reaction mixture was filtered through a short pad of celite and the residue was washed by ethyl acetate (10 mL). The combined filtrate was concentrated under reduced pressure to get a yellow residue which was re-dissolved in ethyl acetate (20 mL) and H_2O (10 mL). The organic layer was separated, washed by brine (10 mL), dried ($MgSO_4$), and filtered. Evaporation of the filtrate under reduced pressure provided the corresponding crude aniline which was immediate used for the next step without further purification and characterization.

Step-III: 2-Fluoroarenesulfonylation of resulting crude anilines

The crude product thus obtained was dissolved in pyridine (5 mL) at 0 °C. A solution of appropriate 2-fluoroarenesulfonyl chloride (1.2 eq.) in pyridine (2 mL) was then added slowly at 0 °C. The mixture was stirred for 12 h at room temperature. The reaction was quenched by adding 5N HCl solution at 0 °C. The mixture was extracted with CH_2Cl_2 (20 mL). The organic layer was separated, washed with brine, dried ($MgSO_4$), filtered, and evaporated under reduced pressure. The residue was purified by column chromatography on silica gel, using hexanes/ethyl acetate mixtures as eluent.

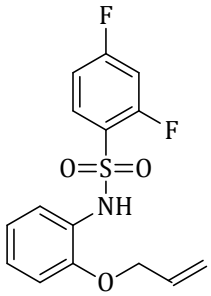
***N*-(2-(Allyloxy)phenyl)-2-fluorobenzenesulfonamide (12a)**



12a

White solid (mp: 115-116 °C). Yield: 75% (230 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.84-7.80 (m, 1H), 7.53-7.48 (m, 2H), 7.39 (s, 1H), 7.19-7.11 (m, 2H), 7.02-6.98 (m, 1H), 6.86 (t, *J* = 7.8 Hz, 1H), 6.75 (d, *J* = 8.2 Hz, 1H), 5.96 (ddd, *J* = 22.4, 11.0, 5.5 Hz, 1H), 5.33-5.28 (m, 2H), 4.45 (d, *J* = 5.5 Hz, 2H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 158.9 (d, *J* = 255.9 Hz), 148.5, 135.2 (d, *J* = 8.6 Hz), 132.3, 130.9, 127.1 (d, *J* = 13.4 Hz), 125.43, 125.47, 124.1(d, *J* = 3.8 Hz), 121.1, 118.3, 116.8 (d, *J* = 21.0 Hz), 111.7, 69.4. Anal. calcd. for $C_{15}H_{14}FNO_3S$: C, 58.62; H, 4.59; N, 4.56; found: C, 58.72; H, 4.67; N, 4.51.

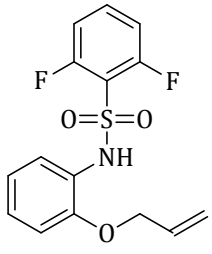
N-(2-(Allyloxy)phenyl)-2,4-difluorobenzenesulfonamide (12b)



12b

White solid (mp: 121-122 °C). Yield: 74% (241 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.85-7.79 (m, 1H), 7.49 (dd, *J* = 7.8, 0.9 Hz, 1H), 7.36 (br s, 1H), 7.03 (td, *J* = 8.2, 1.3 Hz, 1H), 6.90-6.84 (m, 3H), 6.76 (d, *J* = 8.2 Hz, 1H), 5.97(ddd, *J* = 22.4, 10.5, 5.5 Hz 1H), 5.33-5.29 (m, 2H), 4.47 (d, *J* = 5.5 Hz, 2H). Anal. calcd. for $C_{15}H_{13}F_2NO_3S$: C, 55.38; H, 4.03; N, 4.31; found: C, 55.21; H, 4.10; N, 4.36.

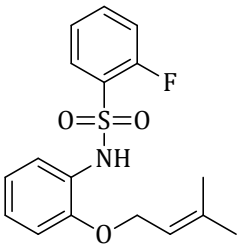
N-(2-(Allyloxy)phenyl)-2,6-difluorobenzenesulfonamide (12c)



12c

White solid (mp: 113-114 °C). Yield: 71% (231 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.61-7.57 (m, 2H), 7.44 (tt, *J* = 8.2, 5.9 Hz, 1H), 7.03 (td, *J* = 7.8, 1.3 Hz, 1H), 6.96-6.89 (m, 3H), 6.79 (dd, *J* = 8.2, 0.9 Hz, 1H), 5.97 (ddd, *J* = 22.4, 10.5, 5.5 Hz, 1H), 5.35-5.30 (m, 2H), 4.49 (d, *J* = 5.5 Hz, 2H). Anal. calcd. for $C_{15}H_{13}F_2NO_3S$: C, 55.38; H, 4.03; N, 4.31; found: C, 55.49; H, 4.16; N, 4.38.

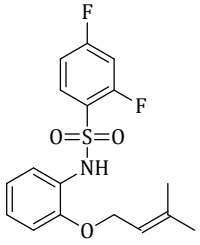
2-Fluoro-*N*-(2-((3-methylbut-2-en-1-yl)oxy)phenyl)benzenesulfonamide (12d)



12d

White solid (mp: 107-108 °C). Yield: 75% (251 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.85-7.81 (m, 1H), 7.53-7.42 (m, 3H), 7.19-7.09 (m, 2H), 7.01-6.97 (m, 1H), 6.84 (t, *J* = 7.8 Hz, 1H), 6.76 (d, *J* = 7.8 Hz, 1H), 5.36 (t, *J* = 6.4 Hz, 1H), 4.43 (d, *J* = 6.4 Hz, 2H), 1.81 (s, 3H), 1.71 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 159.0 (d, *J* = 255.9 Hz), 148.7, 138.6, 135.1 (d, *J* = 8.6 Hz), 130.9, 127.2 (d, *J* = 13.4 Hz), 125.6, 125.2, 124.1 (d, *J* = 3.8 Hz), 120.8, 120.6, 118.9, 116.8 (d, *J* = 21.0 Hz), 111.5, 65.3, 25.8, 18.2. Anal. calcd. for $C_{17}H_{18}FNO_3S$: C, 60.88; H, 5.41; N, 4.18; found: C, 60.71; H, 5.48; N, 4.07.

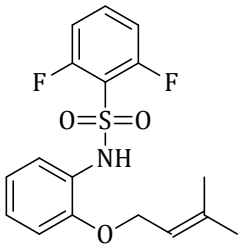
2,4-Difluoro-*N*-(2-((3-methylbut-2-en-1-yl)oxy)phenyl)benzenesulfonamide (12e)



12e

White solid (mp: 111-112 °C). Yield: 73% (258 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.85-7.79 (m, 1H), 7.47 (dd, *J* = 8.2, 1.3 Hz, 1H), 7.37 (br s, 1H), 7.02 (td, *J* = 8.2, 1.3 Hz, 1H), 6.90-6.83 (m, 3H), 6.77 (d, *J* = 7.8 Hz, 1H), 5.35 (t, *J* = 6.4 Hz, 1H), 4.43 (d, *J* = 6.8 Hz, 2H), 1.82 (s, 3H), 1.72 (s, 3H). Anal. calcd. for $C_{17}H_{17}F_2NO_3S$: C, 57.78; H, 4.85; N, 3.96; found: C, 57.59; H, 4.76; N, 3.91.

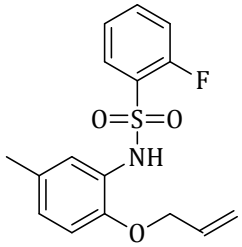
2,6-Difluoro-*N*-(2-((3-methylbut-2-en-1-yl)oxy)phenyl)benzenesulfonamide (12f)



12f

White solid (mp: 101-102 °C). Yield: 70% (247 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.60-7.57 (m, 2H), 7.48-7.41 (m, 1H), 7.03 (t, *J* = 7.8 Hz, 1H), 6.95-6.87 (m, 3H), 6.79 (d, *J* = 8.2 Hz, 1H), 5.37 (t, *J* = 6.4 Hz, 1H), 4.45 (d, *J* = 6.4 Hz, 2H), 1.82 (s, 3H), 1.72 (s, 3H). Anal. calcd. for $C_{17}H_{17}F_2NO_3S$: C, 57.78; H, 4.85; N, 3.96; found: C, 57.87; H, 4.79; N, 4.06.

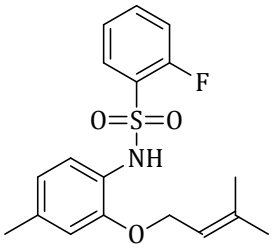
***N*-(2-(Allyloxy)-5-methylphenyl)-2-fluorobenzenesulfonamide (12g)**



12g

White solid (mp: 106-107 °C). Yield: 69% (222 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.82 (t, *J* = 7.3 Hz, 1H), 7.53-7.49 (m, 1H), 7.33 (m, 2H), 7.19-7.11 (m, 2H), 6.79 (d, *J* = 8.2 Hz, 1H), 6.63 (d, *J* = 8.2 Hz, 1H), 5.94 (ddd, *J* = 22.4, 10.9, 5.5 Hz, 1H), 5.31-5.26 (m, 2H), 4.41 (d, *J* = 5.5 Hz, 2H), 2.23 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 159.0 (d, *J* = 255.9 Hz), 146.4, 135.1 (d, *J* = 8.6 Hz), 132.5, 130.9, 130.7, 127.2 (d, *J* = 13.4 Hz), 125.7, 125.1, 124.1 (d, *J* = 3.8 Hz), 121.8, 118.1, 116.8 (d, *J* = 21.1 Hz), 111.6, 69.5, 20.7. Anal. calcd. for $C_{16}H_{16}FNO_3S$: C, 59.80; H, 5.02; N, 4.36; found: C, 59.98; H, 5.07; N, 4.25.

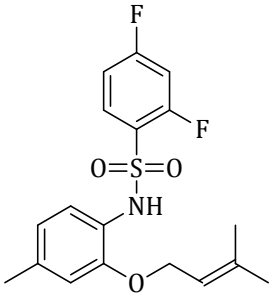
2-Fluoro-*N*-(4-methyl-2-((3-methylbut-2-en-1-yl)oxy)phenyl)benzenesulfonamide (12i)



12i

White solid (mp: 110-111 °C). Yield: 71% (248 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.77 (t, *J* = 7.3 Hz, 1H), 7.51-7.46 (m, 1H), 7.36-7.26 (m, 2H), 7.16-7.07 (m, 2H), 6.64 (d, *J* = 7.8 Hz, 1H), 6.55 (s, 1H), 5.33 (t, *J* = 6.4 Hz, 1H), 4.36 (d, *J* = 6.4 Hz, 2H), 2.23 (s, 3H), 1.80 (s, 3H), 1.70 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 159.0 (d, *J* = 256.1 Hz), 148.9, 138.3, 135.5, 135.0 (d, *J* = 8.5 Hz), 130.9, 127.2 (d, *J* = 13.8 Hz), 124.0 (d, *J* = 3.8 Hz), 122.6, 121.3, 121.1, 118.9, 116.7 (d, *J* = 20.8 Hz), 112.4, 65.2, 25.8, 21.3, 18.1. Anal. calcd. for $C_{18}H_{20}FNO_3S$: C, 61.87; H, 5.77; N, 4.01; found: C, 61.75; H, 5.72; N, 4.09.

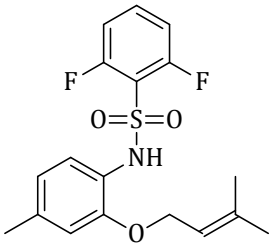
2,4-Difluoro-*N*-(4-methyl-2-((3-methylbut-2-en-1-yl)oxy)phenyl)benzene sulfonamide (12j)



12j

White solid (mp: 117-118 °C). Yield: 69% (254 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.78 (dt, *J* = 8.7, 6.4 Hz, 1H), 7.34 (d, *J* = 8.2 Hz, 1H), 7.22 (br s, 1H), 6.88-6.82 (m, 2H), 6.66 (d, *J* = 7.8 Hz, 1H), 6.57 (s, 1H), 5.33 (t, *J* = 6.4 Hz, 1H), 4.38 (d, *J* = 6.8 Hz, 2H), 2.26 (s, 3H), 1.82 (s, 3H), 1.71 (s, 3H). Anal. calcd. for $C_{18}H_{19}F_2NO_3S$: C, 58.84; H, 5.21; N, 3.81; found: C, 59.01; H, 5.26; N, 3.77.

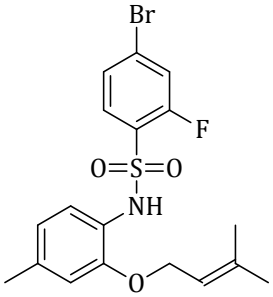
2,6-Difluoro-*N*-(4-methyl-2-((3-methylbut-2-en-1-yl)oxy)phenyl)benzene sulfonamide (12k)



12k

White solid (mp: 115-116 °C). Yield: 68% (250 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.46-7.40 (m, 3H), 6.91 (t, *J* = 8.7 Hz, 2H), 6.69 (d, *J* = 8.2 Hz, 1H), 6.60 (s, 1H), 5.36 (t, *J* = 6.8 Hz, 1H), 4.40 (d, *J* = 6.4 Hz, 2H), 2.26 (s, 3H), 1.82 (s, 3H), 1.72 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 159.9 (dd, *J* = 259.7, 3.8 Hz), 148.7, 138.4, 135.7, 134.6-134.4 (m), 122.5, 121.4, 120.79, 120.76, 118.9 (d, *J* = 4.8 Hz), 117.1 (t, *J* = 15.3 Hz), 112.7 (dd, *J* = 23.9, 3.8 Hz), 112.49, 112.46, 65.3, 25.7, 21.3, 18.1. Anal. calcd. for $C_{18}H_{19}F_2NO_3S$: C, 58.84; H, 5.21; N, 3.81; found: C, 58.61; H, 5.28; N, 3.92.

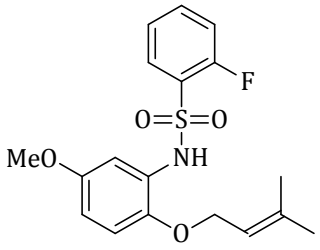
4-Bromo-2-fluoro-*N*-(4-methyl-2-((3-methylbut-2-en-1-yl)oxy)phenyl)benzene sulfonamide (12l)



12l

White solid (mp: 133-134 °C). Yield: 70% (300 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.59 (t, *J* = 7.8 Hz, 1H), 7.33-7.19 (m, 4H), 6.64 (d, *J* = 8.2 Hz, 1H), 6.54 (s, 1H), 5.29 (t, *J* = 6.4 Hz, 1H), 4.35 (d, *J* = 6.8 Hz, 2H), 2.23 (s, 3H), 1.80 (s, 3H), 1.69 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 158.7 (d, *J* = 260.7 Hz), 149.2, 138.4, 136.1, 131.8, 128.4 (d, *J* = 9.6 Hz), 127.4, 126.5 (d, *J* = 13.4 Hz), 122.2, 122.0 (d, *J* = 3.8 Hz), 121.2, 120.4 (d, *J* = 23.9 Hz), 118.9, 112.4, 65.2, 25.8, 21.3, 18.1. Anal. calcd. for $C_{18}H_{19}BrFNO_3S$: C, 50.48; H, 4.47; N, 3.27; found: C, 50.69; H, 4.52; N, 3.22.

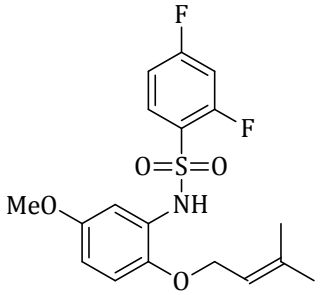
2-Fluoro-*N*-(5-methoxy-2-((3-methylbut-2-en-1-yl)oxy)phenyl)benzenesulfonamide (12m)



12m

White solid (mp: 125-126 °C). Yield: 71% (259 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.87 (td, *J* = 7.8, 1.4 Hz, 1H), 7.54-7.49 (m, 1H), 7.45 (br s, 1H), 7.21-7.10 (m, 3H), 6.69 (d, *J* = 9.1 Hz, 1H), 6.51 (dd, *J* = 8.7, 2.7 Hz, 1H), 5.36 (t, *J* = 6.4 Hz, 1H), 4.39 (d, *J* = 6.8 Hz, 2H), 3.71 (s, 3H), 1.80 (s, 3H), 1.70 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 158.9 (d, *J* = 255.9 Hz), 153.7, 142.5, 138.5, 135.3 (d, *J* = 10.5 Hz), 130.9, 127.1 (d, *J* = 13.4 Hz), 126.5, 124.1 (d, *J* = 2.9 Hz), 119.2, 116.7 (d, *J* = 22.0 Hz), 112.8, 109.5, 106.3, 66.1, 55.6, 25.8, 18.1. Anal. calcd. for $C_{18}H_{20}FNO_4S$: C, 59.16; H, 5.52; N, 3.83; found: C, 59.36; H, 5.58; N, 3.72.

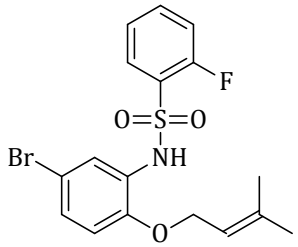
2,4-Difluoro-*N*-(5-methoxy-2-((3-methylbut-2-en-1-yl)oxy)phenyl)benzene sulfonamide (12n)



12n

White solid (mp: 132-133 °C). Yield: 75% (288 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.89-7.83 (m, 1H), 7.40 (br s, 1H), 7.09 (d, *J* = 3.2 Hz, 1H), 6.92-6.84 (m, 2H), 6.70 (d, *J* = 9.1 Hz, 1H), 6.54 (dd, *J* = 8.7, 2.7 Hz, 1H), 5.35 (t, *J* = 6.8 Hz, 1H), 4.39 (d, *J* = 6.8 Hz, 2H), 3.72 (s, 3H), 1.80 (s, 3H), 1.70 (s, 3H). Anal. calcd. for $C_{18}H_{19}F_2NO_4S$: C, 56.39; H, 4.99; N, 3.65; found: C, 56.55; H, 4.87; N, 3.74.

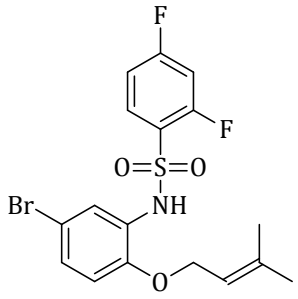
***N*-(5-Bromo-2-((3-methylbut-2-en-1-yl)oxy)phenyl)-2-fluorobenzenesulfonamide (12o)**



12o

White solid (mp: 131-132 °C). Yield: 73% (303 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.89-7.86 (m, 1H), 7.62 (d, *J* = 2.3 Hz, 1H), 7.57-7.52 (m, 1H), 7.42 (br s, 1H), 7.23 (t, *J* = 7.8 Hz, 1H), 7.16-7.08 (m, 2H), 6.63 (d, *J* = 8.7 Hz, 1H), 5.34 (t, *J* = 6.8 Hz, 1H), 4.43 (d, *J* = 6.8 Hz, 2H), 1.81 (s, 3H), 1.71 (s, 3H). Anal. calcd. for $C_{17}H_{17}BrFNO_3S$: C, 49.28; H, 4.14; N, 3.38; found: C, 49.38; H, 4.18; N, 3.29.

N-(5-Bromo-2-((3-methylbut-2-en-1-yl)oxy)phenyl)-2,4-difluorobenzene sulfonamide (12p)



12p

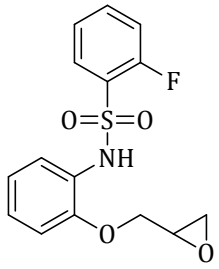
White solid (mp: 137-138 °C). Yield: 74% (320 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.88 (td, *J* = 8.2, 5.9 Hz, 1H), 7.60 (d, *J* = 2.3 Hz, 1H), 7.37 (br s, 1H), 7.12 (dd, *J* = 8.7, 2.3 Hz, 1H), 6.97-6.85 (m, 2H), 6.65 (d, *J* = 8.7 Hz, 1H), 5.33 (t, *J* = 6.8 Hz, 1H), 4.43 (d, *J* = 6.8 Hz, 2H), 1.81 (s, 3H), 1.72 (s, 3H). Anal. calcd. for $C_{17}H_{16}BrF_2NO_3S$: C, 47.23; H, 3.73; N, 3.24; found: C, 47.40; H, 3.68; N, 3.32.

General procedure B: epoxidation of *N*-(2-(Allyloxy)aryl)-2-fluorobenzene sulfonamides 12

To a stirred solution of appropriate *N*-(2-(allyloxy)aryl)-2-fluorobenzene sulfonamide **12** (0.5 mmol, 1.0 equiv) in DCE (5 mL) was added *m*-CPBA (232 mg, 1.0 mmol, 75%, 2.0 equiv) and the resulting solution mixture heated at 80 °C for 12 h. The mixture was diluted with CH_2Cl_2 (20 mL) and then washed successively with saturated aq. solutions of Na_2SO_3

(5 mL) and $NaHCO_3$ (5 mL). The organic layer was separated, washed with brine (10 mL), dried ($MgSO_4$) and concentrated under reduced pressure. The resulting crude product was purified by silica gel column chromatography using hexanes/ethyl acetate mixtures as eluent.

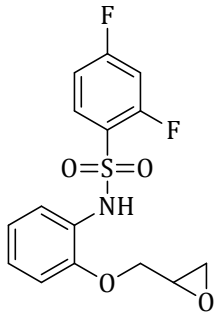
2-Fluoro-*N*-(2-(oxiran-2-ylmethoxy)phenyl)benzenesulfonamide (5a)



5a

Following the **general procedure B**, the title compound **5a** was synthesized from **12a** (154 mg, 0.5 mmol). Colorless solid (mp: 125-127 °C). Yield: 65% (105 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.82 (t, *J* = 7.3 Hz, 1H), 7.55-7.48 (m, 3H), 7.20-7.14 (m, 2H), 7.01 (t, *J* = 7.8 Hz, 1H), 6.89 (t, *J* = 7.8 Hz, 1H), 6.77 (d, *J* = 8.2 Hz, 1H), 4.15 (dd, *J* = 11.0, 2.7 Hz, 1H), 3.86 (dd, *J* = 11.0, 5.9 Hz, 1H), 3.33-3.29 (m, 1H), 2.94 (t, *J* = 4.1 Hz, 1H), 2.70 (dd, *J* = 4.6, 2.7 Hz, 1H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 158.9 (d, *J* = 255.9), 148.5, 135.3 (d, *J* = 8.6), 130.9, 127.1 (d, *J* = 12.5), 125.51, 125.47, 124.2 (d, *J* = 3.8), 121.7, 121.3, 116.9 (d, *J* = 21.1), 111.9, 69.8, 49.7, 44.5. Anal. calcd. for $C_{15}H_{14}FNO_4S$: C, 55.72; H, 4.36; N, 4.33; found: C, 55.86; H, 4.31; N, 4.45.

2,4-Difluoro-*N*-(2-(oxiran-2-ylmethoxy)phenyl)benzenesulfonamide (5b)

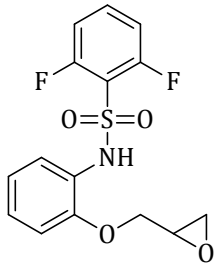


5b

Following the **general procedure B**, the title compound **5b** was synthesized from **12b** (163 mg, 0.5 mmol). Colorless solid (mp: 139-141 °C). Yield: 62% (106 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.83 (q, *J* = 8.7 Hz, 1H), 7.49 (d, *J* = 7.8 Hz, 1H), 7.44 (s, 1H), 7.04 (t, *J* = 7.8 Hz,

1H), 6.95-6.88 (m, 3H), 6.79 (d, *J* = 8.2 Hz, 1H), 4.19 (dd, *J* = 11.0, 2.7 Hz, 1H), 3.85 (dd, *J* = 11.0, 5.9 Hz, 1H), 3.33-3.30 (m, 1H), 2.95 (t, *J* = 4.1 Hz, 1H), 2.70 (dd, *J* = 4.6, 2.7 Hz, 1H). Anal. calcd. for $C_{15}H_{13}F_2NO_4S$: C, 52.78; H, 3.84; N, 4.10; found: C, 52.59; H, 3.89; N, 4.19.

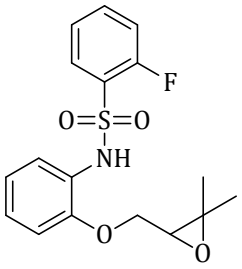
2,6-Difluoro-*N*-(2-(oxiran-2-ylmethoxy)phenyl)benzenesulfonamide (5c)



5c

Following the **general procedure B**, the title compound **5c** was synthesized from **12c** (163 mg, 0.5 mmol). Colorless solid (mp: 131-133 °C). Yield: 64% (109 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.65 (br s, 1H), 7.60 (dd, *J* = 8.4, 1.2 Hz, 1H), 7.49-7.42 (m, 1H), 7.04 (td, *J* = 7.8, 1.4 Hz, 1H), 6.98-6.92 (m, 3H), 6.82 (d, *J* = 7.8 Hz, 1H), 4.19 (dd, *J* = 11.4, 3.2 Hz, 1H), 3.89 (dd, *J* = 11.0, 5.9 Hz, 1H), 3.34-3.31 (m, 1H), 2.94 (t, *J* = 4.6 Hz, 1H), 2.70 (dd, *J* = 4.6, 2.3 Hz, 1H). Anal. calcd. for $C_{15}H_{13}F_2NO_4S$: C, 52.78; H, 3.84; N, 4.10; found: C, 52.90; H, 3.76; N, 4.21.

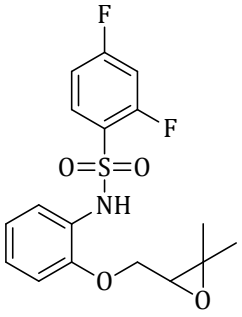
***N*-(2-((3,3-Dimethyloxiran-2-yl)methoxy)phenyl)-2-fluorobenzenesulfonamide (5d)**



5d

Following the **general procedure B**, the title compound **12d** was synthesized from **7d** (167 mg, 0.5 mmol). Colorless solid (mp: 131-133 °C). Yield: 75% (132 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.65 (td, *J* = 7.8, 1.4 Hz, 1H), 7.54-7.50 (m, 2H), 7.43 (br s, 1H), 7.20-7.13 (m, 2H), 7.02 (td, *J* = 7.8, 1.4 Hz, 1H), 6.89 (t, *J* = 7.3 Hz, 1H), 6.80 (d, *J* = 8.2 Hz, 1H), 4.10 (dd, *J* = 10.5, 4.1 Hz, 1H), 3.96 (dd, *J* = 11.0, 5.5 Hz, 1H), 3.06 (t, *J* = 5.5 Hz, 1H), 1.43 (s, 3H), 1.35 (s, 3H). Anal. calcd. for $C_{17}H_{18}FNO_4S$: C, 58.11; H, 5.16; N, 3.99; found C, 58.29; H, 5.09; N, 3.87.

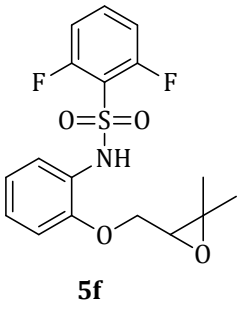
***N*-(2-((3,3-Dimethyloxiran-2-yl)methoxy)phenyl)-2,4-difluorobenzenesulfonamide (5e)**



5e

Following the **general procedure B**, the title compound **5e** was synthesized from **12e** (177 mg, 0.5 mmol). Colorless solid (mp: 138-140 °C). Yield: 73% (135 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.87-7.81 (m, 1H), 7.50 (d, *J* = 7.8 Hz, 1H), 7.38 (br s, 1H), 7.05 (t, *J* = 7.8 Hz, 1H), 6.92-6.88 (m, 3H), 6.82 (d, *J* = 8.2 Hz, 1H), 4.15 (dd, *J* = 11.0, 4.1 Hz, 1H), 3.95 (dd, *J* = 11.0, 5.9 Hz, 1H), 3.05 (t, *J* = 4.6 Hz, 1H), 1.43 (s, 3H), 1.35 (s, 3H). Anal. calcd. for $C_{17}H_{17}F_2NO_4S$: C, 55.28; H, 4.64; N, 3.79; found C, 55.11; H, 4.69; N, 3.68.

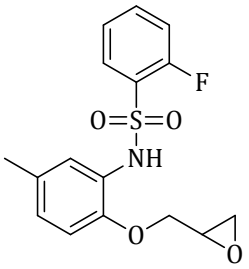
***N*-(2-((3,3-Dimethyloxiran-2-yl)methoxy)phenyl)-2,6-difluorobenzenesulfonamide (5f)**



5f

Following the **general procedure B**, the title compound **5f** was synthesized from **12f** (177 mg, 0.5 mmol). Colorless solid (mp: 145-147 °C). Yield: 72% (133 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.62-7.58 (m, 2H), 7.48-7.44 (m, 1H), 7.05 (t, *J* = 7.8 Hz, 1H), 6.98-6.91 (m, 3H), 6.84 (d, *J* = 7.8 Hz, 1H), 4.14 (dd, *J* = 10.5, 4.1 Hz, 1H), 3.98 (dd, *J* = 11.0, 5.9 Hz, 1H), 3.08 (t, *J* = 5.1 Hz, 1H), 1.43 (s, 3H), 1.35 (s, 3H). Anal. calcd. for $C_{17}H_{17}F_2NO_4S$: C, 55.28; H, 4.64; N, 3.79; found C, 55.45; H, 4.72; N, 3.88.

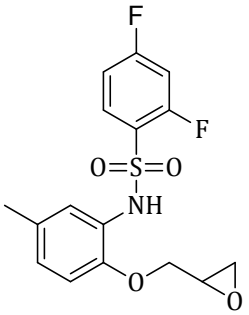
2-Fluoro-*N*-(5-methyl-2-(oxiran-2-ylmethoxy)phenyl)benzenesulfonamide (5g)



5g

Following the **general procedure B**, the title compound **5g** was synthesized from **12g** (161 mg, 0.5 mmol). Colorless solid (mp: 115-117 °C). Yield: 62% (105 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.85-7.81 (m, 1H), 7.55-7.49 (m, 1H), 7.42 (br s, 1H), 7.32 (d, *J* = 1.4 Hz, 1H), 7.20-7.14 (m, 2H), 6.80 (dd, *J* = 8.2, 0.1 Hz, 1H), 6.66 (dd, *J* = 8.2 Hz, 1H), 4.09 (dd, *J* = 11.0, 2.7 Hz, 1H), 3.83 (dd, *J* = 11.0, 5.9 Hz, 1H), 3.31-3.27 (m, 1H), 2.92 (t, *J* = 4.5 Hz, 1H), 2.69 (dd, *J* = 4.5, 2.3 Hz, 1H), 2.23 (s, 3H). Anal. calcd. for $C_{16}H_{16}FNO_4S$: C, 56.96; H, 4.78; N, 4.15; found C, 56.82; H, 4.71; N, 4.19.

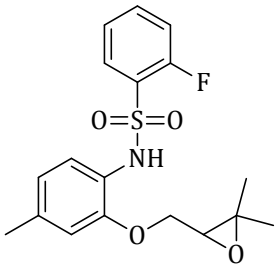
2,4-Difluoro-*N*-(5-methyl-2-(oxiran-2-ylmethoxy)phenyl)benzenesulfonamide (5h)



5h

Following the **general procedure B**, the title compound **5h** was synthesized from **12h** (170 mg, 0.5 mmol). Colorless solid (mp: 129-131 °C). Yield: 64% (114 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.85-7.82 (m, 1H), 7.41 (br s, 1H), 7.30 (d, *J* = 1.4 Hz, 1H), 6.94-6.87 (m, 2H), 6.82 (dd, *J* = 8.2, 1.0 Hz, 1H), 6.66 (d, *J* = 8.2 Hz, 1H), 4.15 (dd, *J* = 11.4, 2.7 Hz, 1H), 3.80 (dd, *J* = 11.0, 5.9 Hz, 1H), 3.31-3.28 (m, 1H), 2.93 (t, *J* = 4.6 Hz, 1H), 2.69 (dd, *J* = 4.6, 2.7 Hz, 1H), 2.24 (s, 3H). Anal. calcd. for $C_{16}H_{15}F_2NO_4S$: C, 54.08; H, 4.25; N, 3.94; found C, 54.28; H, 4.21; N, 3.82.

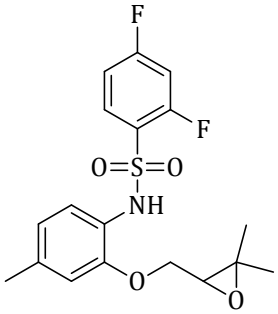
***N*-(2-((3,3-Dimethyloxiran-2-yl)methoxy)-4-methylphenyl)-2-fluorobenzene sulfonamide (5i)**



5i

Following the **general procedure B**, the title compound **5i** was synthesized from **12i** (175 mg, 0.5 mmol). Colorless solid (mp: 120-122 °C). Yield: 70% (128 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.80 (td, *J* = 7.3, 1.4 Hz, 1H), 7.54-7.49 (m, 1H), 7.39 (d, *J* = 8.2 Hz, 1H), 7.27 (s, 1H; overlapped with the residual $CHCl_3$ peak), 7.19-7.13 (m, 2H), 6.70 (d, *J* = 8.2 Hz, 1H), 6.59 (br s, 1H), 4.04 (dd, *J* = 11.0, 4.6 Hz, 1H), 3.92 (dd, *J* = 11.0, 5.9 Hz, 1H), 3.03 (t, *J* = 5.0 Hz, 1H), 2.25 (s, 3H), 1.43 (s, 3H), 1.34 (s, 3H). Anal. calcd. for $C_{18}H_{20}FNO_4S$: C, 59.16; H, 5.52; N, 3.83; found C, 59.33; H, 5.59; N, 3.88.

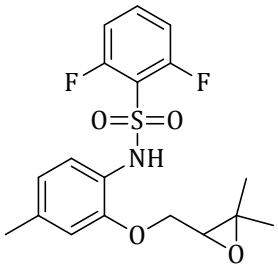
N-(2-((3,3-Dimethyloxiran-2-yl)methoxy)-4-methylphenyl)-2,4-difluorobenzene sulfonamide (5j)



5j

Following the **general procedure B**, the title compound **5j** was synthesized from **12j** (184 mg, 0.5 mmol). Colorless solid (mp: 132-135 °C). Yield: 72% (138 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.82-7.77 (m, 1H), 7.37 (d, *J* = 8.2 Hz, 1H), 7.25 (s br, 1H), 6.94-6.85 (m, 2H), 6.71 (d, *J* = 7.8 Hz, 1H), 6.60 (br s, 1H), 4.10 (dd, *J* = 11.0, 4.1 Hz, 1H), 3.90 (dd, *J* = 11.0, 5.9 Hz, 1H), 3.03 (t, *J* = 4.6 Hz, 1H), 2.26 (s, 3H), 1.43 (s, 3H), 1.35 (s, 3H). Anal. calcd. for $C_{18}H_{19}F_2NO_4S$: C, 56.39; H, 4.99; N, 3.65; found C, 56.28; H, 4.92; N, 3.69.

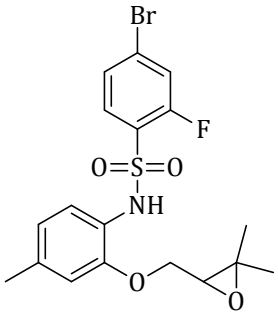
N-(2-((3,3-Dimethyloxiran-2-yl)methoxy)-4-methylphenyl)-2,6-difluorobenzene sulfonamide (5k)



5k

Following the **general procedure B**, the title compound **5k** was synthesized from **12k** (184 mg, 0.5 mmol). Colorless solid (mp: 118-120 °C). Yield: 70% (134 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.49-7.41 (m, 3H), 6.95 (t, *J* = 8.7 Hz, 2H), 6.74 (d, *J* = 8.2 Hz, 1H), 6.62 (br s, 1H), 4.09 (dd, *J* = 11.0, 4.1 Hz, 1H), 3.93 (dd, *J* = 11.0, 5.9 Hz, 1H), 3.05 (t, *J* = 5.5 Hz, 1H), 2.27 (s, 3H), 1.43 (s, 3H), 1.35 (s, 3H). Anal. calcd. for $C_{18}H_{19}F_2NO_4S$: C, 56.39; H, 4.99; N, 3.65; found C, 56.59; H, 5.04; N, 3.61.

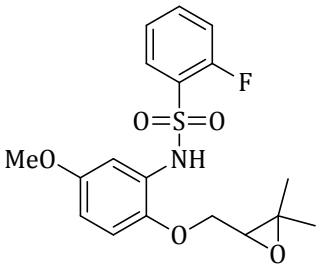
4-Bromo-*N*-(2-((3,3-dimethyloxiran-2-yl)methoxy)-4-methylphenyl)-2-fluoro benzenesulfonamide (5l)



5l

Following the **general procedure B**, the title compound **5l** was synthesized from **12l** (214 mg, 0.5 mmol). Colorless solid (mp: 168-170 °C). Yield: 73% (162 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.64 (t, *J* = 8.2 Hz, 2H), 7.38-7.30 (m, 3H), 7.24 (br s, 1H), 6.71 (d, *J* = 7.8 Hz, 1H), 6.61 (br s, 1H), 4.09 (dd, *J* = 11.4, 4.6 Hz, 1H), 3.90 (dd, *J* = 11.0, 6.4 Hz, 1H), 3.00 (t, *J* = 4.6 Hz, 1H), 2.26 (s, 3H), 1.43 (s, 3H), 1.35 (s, 3H). Anal. calcd. for $C_{18}H_{19}BrFNO_4S$: C, 48.66; H, 4.31; N, 3.15; found C, 48.80; H, 4.21; N, 3.24.

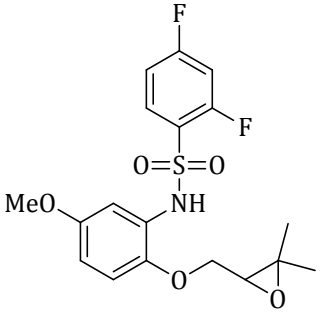
***N*-(2-((3,3-Dimethyloxiran-2-yl)methoxy)-5-methoxyphenyl)-2-fluorobenzene sulfonamide (5m)**



5m

Following the **general procedure B**, the title compound **5m** was synthesized from **12m** (183 mg, 0.5 mmol). Colorless solid (mp: 138-139 °C). Yield: 74% (142 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.90-7.86 (m, 1H), 7.56-7.50 (m, 1H), 7.44 (s, 1H), 7.22-7.12 (m, 3H), 6.74 (d, *J* = 9.2 Hz, 1H), 6.53 (dd, *J* = 8.7, 2.7 Hz, 1H), 4.07 (dd, *J* = 11.0, 4.6 Hz, 1H), 3.92 (dd, *J* = 11.5, 5.9 Hz, 1H), 3.72 (s, 3H), 3.05 (t, *J* = 4.6 Hz, 1H), 1.42 (s, 3H), 1.33 (s, 3H). Anal. calcd. for $C_{18}H_{20}FNO_5S$: C, 56.68; H, 5.29; N, 3.67; found C, 56.46; H, 5.37; N, 3.59.

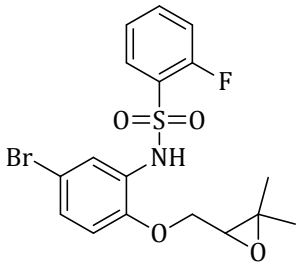
_N_-(2-((3,3-Dimethyloxiran-2-yl)methoxy)-5-methoxyphenyl)-2,4-difluorobenzene sulfonamide (5n)



5n

Following the **general procedure B**, the title compound **5n** was synthesized from **12n** (192 mg, 0.5 mmol). Colorless solid (mp: 126-127 °C). Yield: 74% (148 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.90-7.85 (m, 1H), 7.42 (s, 1H), 7.10 (d, *J* = 2.7 Hz, 1H), 6.93-6.98 (m, 2H), 6.75 (d, *J* = 8.7 Hz, 1H), 6.55 (dd, *J* = 9.2, 3.2 Hz, 1H), 4.11 (dd, *J* = 11.0, 4.1 Hz, 1H), 3.90 (dd, *J* = 11.0, 5.9 Hz, 1H), 3.73 (s, 3H), 3.04 (dd, *J* = 5.9, 4.1 Hz, 1H), 1.42 (s, 3H), 1.34 (s, 3H). Anal. calcd. for $C_{18}H_{19}F_2NO_5S$: C, 54.13; H, 4.79; N, 3.51; found C, 54.31; H, 4.73; N, 3.56.

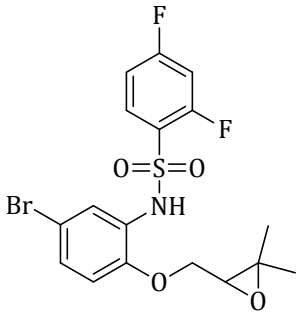
_N_-(5-Bromo-2-((3,3-dimethyloxiran-2-yl)methoxy)phenyl)-2-fluorobenzene sulfonamide (5o)



5o

Following the **general procedure B**, the title compound **5o** was synthesized from **12o** (207 mg, 0.5 mmol). Colorless solid (mp: 143-145 °C). Yield: 71% (152 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.88 (t, *J* = 7.3 Hz, 1H), 7.65 (d, *J* = 1.8 Hz, 1H),7.58-7.53 (m, 1H), 7.42 (br s, 1H), 7.26-7.10 (m, 3H), 6.70 (d, *J* = 8.7 Hz, 1H), 4.14 (dd, *J* = 11.0, 4.1 Hz, 1H), 3.94 (dd, *J* = 11.0, 5.9 Hz, 1H), 3.04 (t, *J* = 4.6 Hz, 1H), 1.42 (s, 3H), 1.34 (s, 3H). Anal. calcd. for $C_{17}H_{17}BrFNO_4S$: C, 47.45; H, 3.98; N, 3.26; found C, 47.51; H, 3.89; N, 3.57.

_N_-(5-Bromo-2-((3,3-dimethyloxiran-2-yl)methoxy)phenyl)-2,4-difluoro benzenesulfonamide (5p)



5p

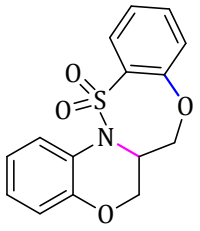
Following the **general procedure B**, the title compound **5p** was synthesized from **12p** (216 mg, 0.5 mmol). Colorless solid (mp: 153-155 °C). Yield: 69% (155 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.92-7.86 (m, 1H), 7.64 (d, *J* = 2.3 Hz, 1H), 7.40 (br s, 1H), 7.14 (dd, *J* = 8.7, 2.3 Hz, 1H), 6.98-6.90 (m, 2H), 6.71 (d, *J* = 8.7 Hz, 1H), 4.18 (dd, *J* = 11.0, 3.7 Hz, 1H), 3.93 (dd, *J* = 11.0, 6.4 Hz, 1H), 3.04 (dd, *J* = 5.9, 3.7 Hz, 1H), 1.42 (s, 3H), 1.35 (s, 3H). Anal. calcd. for $C_{17}H_{16}BrF_2NO_4S$: C, 45.55; H, 3.60; N, 3.12; found C, 45.29; H, 3.68; N, 3.17.

3. General procedure C for the double cyclization reaction:

To a stirred solution of an appropriate epoxide substrate **5** (0.2 mmol, 1.0 equiv) in dry DMF (2.0 mL) under nitrogen was added NaH (7 mg, 0.3 mmol, 1.5 equiv). The resulting mixture was stirred at rt for 4 h. The reaction mixture was then diluted with EtOAc (10 mL)

and H_2O (10 mL). The mixture was then stirred vigorously for 5 min. The organic layer was separated, dried over Na_2SO_4 and concentrated under reduced pressure. The crude product was further purified by silica gel chromatography.

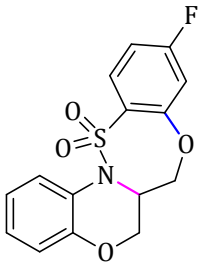
6a,7-Dihydro-6*H*-benzo[*b*]benzo[5,6][1,4]oxazino[4,3-*e*][1,4,5]oxathiazepine 13,13-dioxide (1a)



1a

Following the **general procedure C**, the title compound **1a** was synthesized from epoxide **5a** (65 mg, 0.2 mmol). Colorless solid (mp: 149-150 °C). Yield: 85% (52 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.99 (dd, *J* = 7.8, 1.8 Hz, 1H), 7.90-7.87 (m, 1H), 7.49 (td, *J* = 7.3, 1.4 Hz, 1H), 7.26 (td, *J* = 7.3, 1.0 Hz, 1H; overlapped with residual $CHCl_3$ peak), 7.15 (dd, *J* = 7.8, 1.0 Hz, 1H), 6.93-6.89 (m, 1H), 6.84-6.80 (m, 2H), 5.08 (dq, *J* = 10.1, 2.3 Hz, 1H), 4.55 (dd, *J* = 12.8, 2.3 Hz, 1H), 4.42 (qd, *J* = 11.9, 2.7 Hz, 2H), 3.95 (dd, *J* = 13.3, 10.1 Hz, 1H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 155.5, 144.3, 135.2, 132.9, 130.0, 124.6, 124.3, 124.1, 123.6, 122.2, 118.8, 117.8, 70.4, 65.7, 55.5. HRMS (ESI) *m*/*z* calcd. for $C_{15}H_{14}NO_4S$ $[M + H]^+$: 304.0644, found 303.0650. Anal. calcd. for $C_{15}H_{13}NO_4S$: C, 59.39; H, 4.32; N, 4.62; found: C, 59.51; H, 4.37; N, 4.53.

10-Fluoro-6a,7-dihydro-6*H*-benzo[*b*]benzo[5,6][1,4]oxazino[4,3-*e*][1,4,5] oxathiazepine 13,13-dioxide (1b)

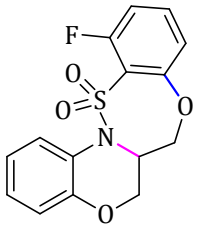


1b

Following the **general procedure C**, the title compound **1b** was synthesized from epoxide **5b** (68 mg, 0.2 mmol). Colorless solid (mp: 154-155 °C). Yield: 87% (56 mg). ^{1}H NMR (400

MHz, $CDCl_3$): δ 7.98 (dd, *J* = 8.7, 5.9 Hz, 1H), 7.85 (d, *J* = 8.7 Hz, 1H), 6.99-6.91 (m, 2H), 6.88-6.82 (m, 3H), 5.08-5.05 (m, 1H), 4.56 (dd, *J* = 12.8, 1.8 Hz, 1H), 4.42 (qd, *J* = 11.9, 2.3 Hz, 2H), 3.98 (dd, *J* = 12.8, 10.1 Hz, 1H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 166.1 (d, *J* = 257.8), 157.5 (d, *J* = 12.5), 144.3, 131.9 (d, *J* = 11.5), 129.3 (d, *J* = 3.8), 124.5, 123.4, 122.3, 118.7, 118.0, 114.4 (d, *J* = 23.0), 111.9 (d, *J* = 22.0), 70.8, 65.7, 55.3. Anal. calcd. for $C_{15}H_{12}FNO_4S$: C, 56.07; H, 3.76; N, 4.36; found: C, 56.16; H, 3.71; N, 4.31.

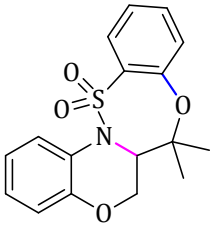
12-Fluoro-6a,7-dihydro-6*H*-benzo[*b*]benzo[5,6][1,4]oxazino[4,3-*e*][1,4,5] oxathiazepine 13,13-dioxide (1c)



1c

Following the **general procedure C**, the title compound **1c** was synthesized from epoxide **5c** (68 mg, 0.2 mmol). Colorless solid (mp: 144-145 °C). Yield: 85% (55 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.93 (d, *J* = 8.7 Hz, 1H), 7.43-7.38 (m, 1H), 7.01-6.86 (m, 5H), 5.04-5.01 (m, 1H), 4.58 (dd, *J* = 12.8, 2.3 Hz, 1H), 4.40 (qd, *J* = 11.9, 2.3 Hz, 2H), 4.03 (dd, *J* = 13.3, 9.6 Hz, 1H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 160.6 (d, *J* = 261.7), 157.1, 144.4, 135.1 (d, *J* = 10.5), 124.5, 123.9, 122.5, 122.3 (d, *J* = 11.5), 119.8 (d, *J* = 2.9), 118.4, 117.9, 113.5 (d, *J* = 23.0), 71.2, 65.5, 55.2. HRMS (ESI) *m*/*z* calcd. for $C_{15}H_{13}FNO_4S$ $[M + H]^+$: 322.0549, found 322.0546. Anal. calcd. for $C_{15}H_{12}FNO_4S$: C, 56.07; H, 3.76; N, 4.36; found: C, 55.92; H, 3.81; N, 4.43.

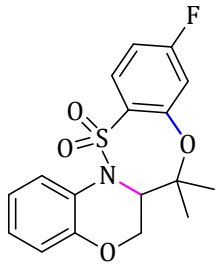
7,7-Dimethyl-6a,7-dihydro-6*H*-benzo[*b*]benzo[5,6][1,4]oxazino[4,3-*e*][1,4,5] oxathiazepine 13,13-dioxide (1d)



1d

Following the **General Procedure C**, the title compound **1d** was synthesized from epoxide **5d** (70 mg, 0.2 mmol). Colorless solid (mp: 166-167 °C). Yield: 87% (58 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.00 (dd, *J* = 7.8, 1.4 Hz, 1H), 7.73-7.71 (m, 1H), 7.48 (td, 7.8, 1.4 Hz, 1H), 7.29-7.26 (m, 1H; overlapped with the residual $CHCl_3$ peak), 7.08 (d, *J* = 8.2 Hz, 1H), 6.90-6.79 (m, 3H), 4.97-4.96 (m, 1H), 4.54 (dd, *J* = 12.3, 1.4 Hz, 1H), 4.44 (dd, *J* = 12.4, 3.7 Hz, 1H), 1.66 (s, 3H), 0.91 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 152.5, 144.2, 135.2, 134.4, 128.1, 126.6, 125.7, 124.2, 123.5, 121.9, 117.4, 117.2, 82.5, 65.4, 61.2, 27.8, 21.6. Anal. calcd. for $C_{17}H_{17}NO_4S$: C, 61.61; H, 5.17; N, 4.23; found: C, 61.76; H, 5.21; N, 4.15.

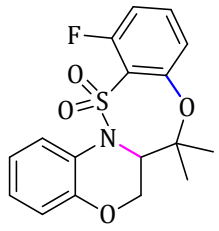
10-Fluoro-7,7-dimethyl-6a,7-dihydro-6*H*-benzo[*b*]benzo[5,6][1,4]oxazino[4,3-*e*][1,4,5]oxathiazepine 13,13-dioxide (1e)



1e

Following the **general procedure C**, the title compound **1e** was synthesized from epoxide **5e** (74 mg, 0.2 mmol). Colorless solid (mp: 153-154 °C). Yield: 86% (61 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.99 (dd, *J* = 8.7, 6.4 Hz, 1H), 7.71-7.68 (m, 1H), 6.99 (td, *J* = 8.2, 2.3 Hz, 1H), 6.91-6.87 (m, 1H), 6.83-6.79 (m, 3H), 4.95 (d, *J* = 1.8 Hz, 1H), 4.54 (dd, *J* = 12.4, 1.4 Hz, 1H), 4.44 (dd, *J* = 11.9, 3.2 Hz, 1H), 1.66 (s, 3H), 0.95 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 165.8 (d, *J* = 255.9), 154.6 (d, *J* = 12.5), 144.1, 131.7 (d, *J* = 2.9), 129.8 (d, *J* = 11.5), 125.5, 123.7, 122.0, 117.5, 117.1, 114.4 (d, *J* = 23.0), 111.6 (d, *J* = 22.0), 83.7, 65.4, 61.0, 27.7, 21.7. HRMS (ESI) *m/z* calcd. for $C_{17}H_{17}FNO_4S$ $[M + H]^+$: 350.0862, found 350.0866. Anal. calcd. for $C_{17}H_{16}FNO_4S$: C, 58.44; H, 4.62; N, 4.01; found: C, 58.64; H, 4.55; N, 4.08.

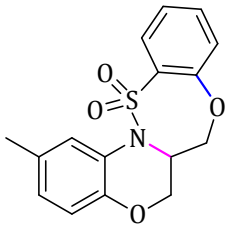
12-Fluoro-7,7-dimethyl-6a,7-dihydro-6*H*-benzo[*b*]benzo[5,6][1,4]oxazino[4,3-*e*][1,4,5]oxathiazepine 13,13-dioxide (1f)



1f

Following the **General Procedure C**, the title compound **1f** was synthesized from epoxide **5f** (74 mg, 0.2 mmol). Colorless solid (mp: 147-148 °C). Yield: 89% (62 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.77 (dd, *J* = 7.8, 1.4 Hz, 1H), 7.41 (td, *J* = 8.2, 5.9 Hz, 1H), 7.01 (t, *J* = 8.7 Hz, 1H), 6.93-6.80 (m, 4H), 4.95 (d, *J* = 1.4 Hz, 1H), 4.52 (dd, *J* = 12.4, 1.4 Hz, 1H), 4.43 (dd, *J* = 12.4, 3.2 Hz, 1H), 1.65 (s, 3H), 0.96 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 159.5 (d, *J* = 260.7), 154.2, 144.0, 134.2 (d, *J* = 11.5), 125.5, 124.5 (d, *J* = 11.5), 123.8, 122.5 (d, *J* = 2.9), 122.1, 117.5, 117.2, 113.4 (d, *J* = 23.0), 83.4, 65.1, 61.1, 27.8, 21.6. ^{19}F NMR (376.87 MHz, $CDCl_3$): δ −111.7. HRMS (ESI) *m*/*z* calcd. for $C_{17}H_{17}FNO_4S$ $[M + H]^+$: 350.0862, found 350.0856. Anal. calcd. for $C_{17}H_{16}FNO_4S$: C, 58.44; H, 4.62; N, 4.01; found: C, 58.32; H, 4.65; N, 4.09.

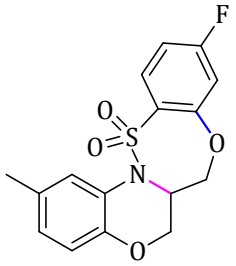
2-Methyl-6a,7-dihydro-6*H*-benzo[*b*]benzo[5,6][1,4]oxazino[4,3-*e*][1,4,5] oxathiazepine 13,13-dioxide (1g)



1g

Following the **general procedure C**, the title compound **1g** was synthesized from epoxide **5g** (68 mg, 0.2 mmol). Colorless solid (mp: 109-110 °C). Yield: 83% (53 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.98 (dd, *J* = 8.7, 5.9 Hz, 1H), 7.69 (s, 1H), 7.50 (td, *J* = 7.8, 1.4 Hz, 1H), 7.29-7.26 (m, 1H), 7.16 (d, *J* = 8.2 Hz, 1H), 6.72 (s, 2H), 5.07-5.04 (m, 1H), 4.53 (dd, *J* = 12.8, 1.8 Hz, 1H), 4.38 (qd, *J* = 11.9, 2.3 Hz, 2H), 3.94 (dd, *J* = 12.8, 10.1 Hz, 1H), 2.20 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 155.6, 142.1, 135.2, 133.0, 131.7, 129.9, 124.9, 124.5, 124.1, 123.1, 119.1, 117.4, 70.4, 65.7, 55.5. HRMS (ESI) *m*/*z* calcd. for $C_{16}H_{16}NO_4S$ $[M + H]^+$: 318.0800, found 318.0805. Anal. calcd. for $C_{16}H_{15}NO_4S$: C, 60.55; H, 4.76; N, 4.41; found: C, 60.72; H, 4.69; N, 4.46.

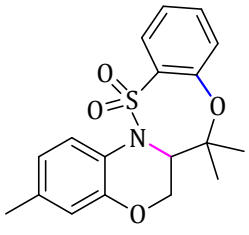
10-Fluoro-2-methyl-6a,7-dihydro-6*H*-benzo[*b*]benzo[5,6][1,4]oxazino[4,3-*e*][1,4,5] oxathiazepine 13,13-dioxide (1h)



1h

Following the **general procedure C**, the title compound **1h** was synthesized from epoxide **5h** (72 mg, 0.2 mmol). Colorless solid (mp: 115-116 °C). Yield: 85% (57 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.98 (dd, *J* = 8.7, 5.9 Hz, 1H), 7.66 (s, 1H), 6.98 (td, *J* = 8.7, 2.7 Hz, 1H), 6.87 (dd, *J* = 9.2, 2.3 Hz, 1H), 6.74 (s, 2H), 5.06-5.03 (m, 1H), 4.55 (dd, *J* = 12.8, 1.8 Hz, 1H), 4.38 (qd, *J* = 11.9, 2.3 Hz, 2H), 3.97 (dd, *J* = 12.8, 10.1 Hz, 1H), 2.21 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 166.1 (d, *J* = 256.9), 157.5 (d, *J* = 12.5), 142.1, 131.77, 131.73 (d, *J* = 10.5), 129.3 (d, *J* = 3.8), 125.1, 122.9, 119.0, 117.6, 112.0 (d, *J* = 23.0), 111.9 (d, *J* = 22.0), 70.8, 65.6, 55.3, 21.1. ^{19}F NMR (376.87 MHz, $CDCl_3$): δ −101.3. HRMS (ESI) *m/z* calcd. for $C_{16}H_{15}FNO_4S$ [M + H]$^+$: 336.0706, found 336.0707. Anal. calcd. for $C_{16}H_{14}FNO_4S$: C, 57.30; H, 4.21; N, 4.18; found: C, 57.46; H, 4.32; N, 4.22.

3,7,7-Trimethyl-6a,7-dihydro-6*H*-benzo[*b*]benzo[5,6][1,4]oxazino[4,3-*e*][1,4,5] oxathiazepine 13,13-dioxide (1i)

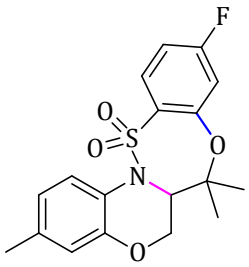


1i

Following the **general procedure C**, the title compound **1i** was synthesized from epoxide **5i** (73 mg, 0.2 mmol). Colorless solid (mp: 175-176 °C). Yield: 88% (61 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.97 (dd, *J* = 7.8, 1.4 Hz, 1H), 7.57 (d, *J* = 9.2 Hz, 1H), 7.45 (td, *J* = 7.8, 1.4 Hz, 1H), 7.26-7.22 (m, 1H), 7.05 (d, *J* = 8.2 Hz, 1H), 6.59-6.58 (m, 2H), 4.90 (d, *J* = 1.4 Hz, 1H), 4.49 (dd, *J* = 12.4, 1.4 Hz, 1H), 4.39 (dd, *J* = 12.4, 3.7 Hz, 1H), 2.16 (s, 3H), 1.62 (s, 3H), 0.89 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 152.5, 143.9, 135.3, 134.3, 133.4, 128.1, 126.6, 124.2,

123.1, 122.5, 117.8, 116.9, 82.5, 65.5, 61.2, 27.8, 21.7, 20.4. HRMS (ESI) *m/z* calcd. for $C_{18}H_{20}NO_4S$ $[M + H]^+$: 346.1113, found 346.1118. Anal. calcd. for $C_{18}H_{19}NO_4S$: C, 62.59; H, 5.54; N, 4.06; found: C, 62.76; H, 5.59; N, 4.16.

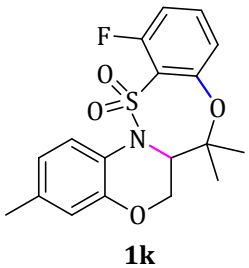
10-Fluoro-3,7,7-trimethyl-6a,7-dihydro-6*H*-benzo[b]benzo[5,6][1,4]oxazino[4,3-*e*][1,4,5]oxathiazepine 13,13-dioxide (1j)



1j

Following the **general procedure C**, the title compound **1j** was synthesized from epoxide **5k** (77 mg, 0.2 mmol). Colorless solid (mp: 179-180 °C). Yield: 87% (63 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.97 (dd, *J* = 8.7, 6.4 Hz, 1H), 7.56 (d, *J* = 9.2 Hz, 1H), 6.98 (td, *J* = 8.7, 2.7 Hz, 1H), 6.80 (dd, *J* = 9.1, 2.3 Hz, 1H), 6.63-6.61 (m, 2H), 4.91 (d, *J* = 1.8 Hz, 1H), 4.51 (dd, *J* = 11.9, 1.4 Hz, 1H), 4.41 (dd, *J* = 11.9, 3.2 Hz, 1H), 2.19 (s, 3H), 1.65 (s, 3H), 0.95 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 165.7 (d, *J* = 256.9), 154.7 (d, *J* = 12.5), 143.9, 133.6, 131.8 (d, *J* = 3.8), 129.7 (d, *J* = 10.8), 122.9, 122.6, 117.9, 116.8, 114.3 (d, *J* = 22.3), 111.5 (d, *J* = 22.3), 83.6, 65.4, 61.0, 27.7, 21.7, 20.4. ^{19}F NMR (376.87 MHz, $CDCl_3$): δ −102.8. HRMS (ESI) *m/z* calcd. for $C_{18}H_{19}FNO_4S$ $[M + H]^+$: 364.1019, found 364.1021. Anal. calcd. for $C_{18}H_{18}FNO_4S$: C, 59.49; H, 4.99; N, 3.85; found: C, 59.31; H, 4.85; N, 3.96.

12-Fluoro-3,7,7-trimethyl-6a,7-dihydro-6*H*-benzo[*b*]benzo[5,6][1,4]oxazino[4,3-*e*][1,4,5]oxathiazepine 13,13-dioxide (1k)

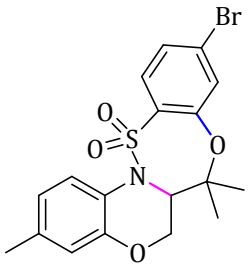


1k

Following the **general procedure C**, the title compound **1k** was synthesized from epoxide **5k** (77 mg, 0.2 mmol). Colorless solid (mp: 182-183 °C). Yield: 87% (63 mg). ^{1}H NMR (400

MHz, $CDCl_3$): δ 7.63 (d, *J* = 8.2 Hz, 1H), 7.39 (td, *J* = 8.2, 5.9 Hz, 1H), 7.00 (t, *J* = 9.1 Hz, 1H), 6.86 (d, *J* = 8.2 Hz, 1H), 6.67-6.63 (m, 2H), 4.91 (d, *J* = 1.8 Hz, 1H), 4.49 (dd, *J* = 12.4, 0.9 Hz, 1H), 4.41 (dd, *J* = 12.4, 3.7 Hz, 1H), 2.20 (s, 3H), 1.63 (s, 3H), 0.96 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 159.4 (d, *J* = 260.7), 154.1, 143.8, 134.1 (d, *J* = 10.8), 133.7, 124.5 (d, *J* = 11.5), 122.9, 122.7, 122.5 (d, *J* = 3.1), 117.8, 116.9, 113.3 (d, *J* = 23.1), 83.3, 65.2, 61.1, 27.8, 21.7, 20.4. ^{19}F NMR (376.87 MHz, $CDCl_3$): δ −111.8. HRMS (ESI) *m*/*z* calcd. for $C_{18}H_{19}FNO_4S$ [M + H]$^+$: 364.1019, found 364.1017. Anal. calcd. for $C_{18}H_{18}FNO_4S$: C, 59.49; H, 4.99; N, 3.85; found: C, 59.65; H, 4.89; N, 3.81.

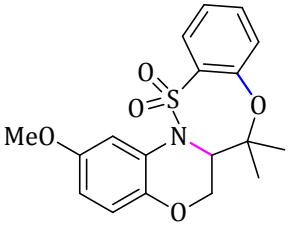
10-Bromo-3,7,7-trimethyl-6a,7-dihydro-6*H*-benzo[*b*]benzo[5,6][1,4]oxazino[4,3-*e*][1,4,5]oxathiazepine 13,13-dioxide (1l)



1l

Following the **general procedure C**, the title compound **1l** was synthesized from epoxide **5l** (89 mg, 0.2 mmol). Colorless solid (mp: 198-199 °C). Yield: 89% (75 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.84 (d, *J* = 8.2, 6.4 Hz, 1H), 7.55 (d, *J* = 9.2, 6.4 Hz, 1H), 7.42 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.27 (m, 1H), 6.63-6.61 (m, 2H), 4.91 (d, *J* = 1.8 Hz, 1H), 4.51 (dd, *J* = 12.4, 1.4 Hz, 1H), 4.41 (dd, *J* = 11.9, 3.2 Hz, 1H), 2.20 (s, 3H), 1.64 (s, 3H), 0.94 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 153.2, 143.9, 134.5, 133.7, 129.8, 128.9, 128.1, 127.5, 122.8, 122.6, 117.9, 116.8, 83.4, 65.4, 61.1, 27.7, 21.8, 20.4. Anal. calcd. for $C_{18}H_{18}BrNO_4S$: C, 50.95; H, 4.28; N, 3.30; found: C, 50.79; H, 4.15; N, 3.37.

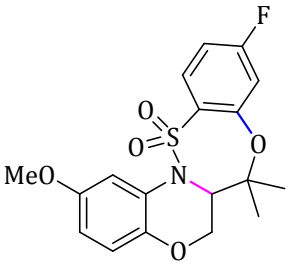
2-Methoxy-7,7-dimethyl-6a,7-dihydro-6*H*-benzo[*b*]benzo[5,6][1,4]oxazino[4,3-*e*][1,4,5]oxathiazepine 13,13-dioxide (1m)



1m

Following the **general procedure C**, the title compound **1m** was synthesized from epoxide **5m** (76 mg, 0.2 mmol). Colorless solid (mp: 137-138 °C). Yield: 86% (62 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.99 (dd, *J* = 7.8, 6.4 Hz, 1H), 7.49 (td, *J* = 7.8, 1.8 Hz, 1H), 7.38 (d, *J* = 2.7 Hz, 1H), 7.29-7.25 (m, 1H), 7.09 (d, *J* = 8.2 Hz, 1H), 6.72 (d, *J* = 8.7 Hz, 1H), 6.45 (dd, *J* = 9.1, 2.7 Hz, 1H), 4.93 (d, *J* = 1.4 Hz, 1H), 4.50 (dd, *J* = 11.9, 1.4 Hz, 1H), 4.37 (dd, *J* = 11.9, 3.2 Hz, 1H), 3.71 (s, 3H), 1.65 (s, 3H), 0.92 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 154.0, 152.5, 138.2, 135.1, 134.4, 127.9, 126.7, 125.8, 124.2, 117.6, 108.8, 103.4, 82.6, 65.3, 61.3, 55.5, 27.6, 21.6. HRMS (ESI) *m*/*z* calcd. for $C_{18}H_{20}NO_5S$ $[M + H]^+$: 362.1062, found 362.1222. Anal. calcd. for $C_{18}H_{19}NO_5S$: C, 59.82; H, 5.30; N, 3.88; found: C, 60.07; H, 5.22; N, 3.92.

10-Fluoro-2-methoxy-7,7-dimethyl-6a,7-dihydro-6*H*-benzo[*b*]benzo[5,6][1,4] oxazino[4,3-*e*][1,4,5]oxathiazepine 13,13-dioxide (1n)

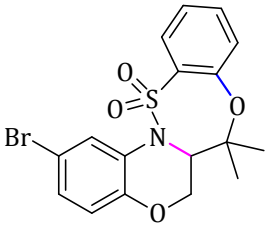


1n

Following the **general procedure C**, the title compound **1n** was synthesized from epoxide **5n** (80 mg, 0.2 mmol). Colorless solid (mp: 145-146 °C). Yield: 87% (66 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.98 (dd, *J* = 8.7, 6.4 Hz, 1H), 7.34 (d, *J* = 2.7 Hz, 1H), 6.98 (td, *J* = 8.7, 2.3 Hz, 1H), 6.81 (dd, *J* = 9.1, 2.3 Hz, 1H), 6.73 (d, *J* = 8.7 Hz, 1H), 6.46 (dd, *J* = 8.7, 2.7 Hz, 1H), 4.91 (d, *J* = 1.4 Hz, 1H), 4.49 (dd, *J* = 12.4, 1.4 Hz, 1H), 4.37 (dd, *J* = 12.4, 3.7 Hz, 1H), 3.71 (s, 3H), 1.65 (s, 3H), 0.96 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 165.8 (d, *J* = 256.9), 154.7 (d, *J* = 12.5), 154.1, 138.2, 131.7 (d, *J* = 3.8), 129.6 (d, *J* = 10.5), 125.7, 117.7, 114.4 (d, *J* = 23.0), 111.5 (d, *J* = 22.0), 108.8, 103.5, 83.8, 65.3, 61.2, 55.6, 27.6, 21.7. ^{19}F NMR (376.87 MHz, $CDCl_3$): δ −102.5. HRMS (ESI) *m*/*z* calcd. for $C_{18}H_{19}FNO_5S$ $[M + H]^+$: 380.0968, found

380.0972. Anal. calcd. for $C_{18}H_{18}FNO_5S$: C, 56.98; H, 4.78; N, 3.69; found: C, 57.75; H, 4.72; N, 3.80.

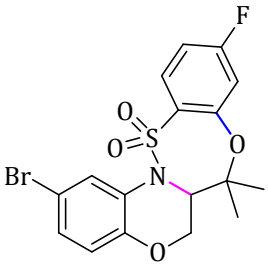
2-Bromo-7,7-dimethyl-6a,7-dihydro-6*H*-benzo[*b*]benzo[5,6][1,4]oxazino[4,3-*e*][1,4,5]oxathiazepine 13,13-dioxide (1o)



1o

Following the **general procedure C**, the title compound **1o** was synthesized from epoxide **5o** (86 mg, 0.2 mmol). White solid (mp: 191-192 °C). Yield: 85% (70 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.00 (dd, *J* = 7.8, 1.4 Hz, 1H), 7.89 (d, *J* = 2.3 Hz, 1H), 7.52 (td, *J* = 8.2, 1.8 Hz, 1H), 7.31 (t, *J* = 7.8 Hz, 1H), 7.10 (d, *J* = 7.8 Hz, 1H), 6.98 (dd, *J* = 8.7, 2.3 Hz, 1H), 6.68 (d, *J* = 8.7 Hz, 1H), 4.94 (d, *J* = 1.8 Hz, 1H), 4.54 (dd, *J* = 12.4, 1.4 Hz, 1H), 4.38 (dd, *J* = 12.4, 3.7 Hz, 1H), 1.65 (s, 3H), 0.91 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 152.4, 143.2, 134.74, 134.71, 128.1, 126.8, 126.7, 126.3, 124.5, 120.0, 118.8, 113.9, 82.5, 65.3, 61.0, 27.7, 21.6. HRMS (ESI) *m/z* calcd. for $C_{17}H_{17}BrNO_4S$ $[M + H]^+$: 410.0062, found 410.0069. Anal. calcd. for $C_{17}H_{16}BrNO_4S$: C, 49.77; H, 3.93; N, 3.41; found: C, 49.90; H, 3.99; N, 3.31.

2-Bromo-10-fluoro-7,7-dimethyl-6a,7-dihydro-6*H*-benzo[*b*]benzo[5,6][1,4]oxazino[4,3-*e*][1,4,5]oxathiazepine 13,13-dioxide (1p)



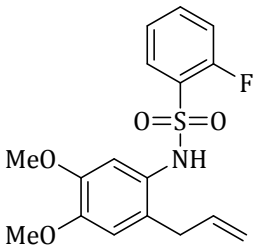
1p

Following the **general procedure C**, the title compound **1p** was synthesized from epoxide **5p** (90 mg, 0.2 mmol). White solid (mp: 196-197 °C). Yield: 84% (72 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 8.00 (dd, *J* = 8.7, 6.4 Hz, 1H), 7.87 (d, *J* = 1.8 Hz, 1H), 7.05-6.99 (m, 2H), 6.82 (dd, *J* = 9.1, 2.3 Hz, 1H), 6.70 (d, *J* = 8.7 Hz, 1H), 4.94 (d, *J* = 1.8 Hz, 1H), 4.54 (dd, *J* = 11.3, 1.4

Hz, 1H), 4.38 (dd, *J* = 11.9, 3.2 Hz, 1H), 1.65 (s, 3H), 0.95 (s, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 166.0 (d, *J* = 256.9), 154.5 (d, *J* = 12.3), 143.2, 131.2 (d, *J* = 3.8), 129.8 (d, *J* = 11.5), 126.6, 126.5, 119.9, 118.9, 114.5 (d, *J* = 23.1), 114, 111.9 (d, *J* = 22.3), 83.6, 65.3, 60.9, 27.6, 21.7. ^{19}F NMR (376.87 MHz, $CDCl_3$): δ −101.9. HRMS (ESI) *m/z* calcd. for $C_{17}H_{16}BrFNO_4S$ $[M + H]^+$: 427.9967, found 427.9967. Anal. calcd. for $C_{17}H_{15}BrFNO_4S$: C, 47.68; H, 3.53; N, 3.27; found: C, 47.52; H, 3.64; N, 3.33.

4. Synthesis of indoline-fused benzothiaoxazepine-1,1-dioxides

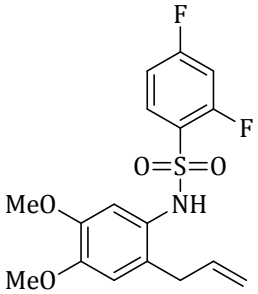
***N*-(2-Allyl-4,5-dimethoxyphenyl)-2-fluorobenzenesulfonamide (12q)**



12q

Following the steps II and III of the **general procedure A**, the title compound **12q** was synthesized from **13** (223 mg, 1.0 mmol). White solid (mp: 102-103 °C). Yield: 85% (299 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.79-7.75 (m, 1H), 7.60-7.55 (m, 1H), 7.25-7.19 (m, 2H), 6.77 (s, 1H), 6.63 (s, 1H), 6.59 (s, 1H), 5.88-5.78 (m, 1H), 5.11 (dd, *J* = 10.1, 1.4 Hz, 1H), 5.01 (dd, *J* = 16.9, 1.4 Hz, 1H), 3.81 (s, 3H), 3.72 (s, 3H), 3.23 (d, *J* = 6.4 Hz, 2H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 158.8 (d, *J* = 255.9 Hz), 147.71, 147.66, 135.9, 135.3 (d, *J* = 10.5 Hz), 130.9, 127.9 (d, *J* = 12.5 Hz), 126.4, 126.2, 124.5 (d, *J* = 1.9 Hz), 116.9 (d, J = 22.0 Hz), 116.6, 112.8, 109.3, 55.9, 35.5. Anal. calcd. for $C_{17}H_{18}FNO_4S$: C, 58.11; H, 5.16; N, 3.99; found: C, 58.26; H, 5.19; N, 3.88.

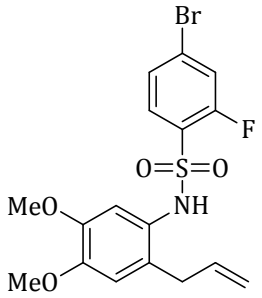
***N*-(2-Allyl-4,5-dimethoxyphenyl)-2,4-difluorobenzenesulfonamide (12r)**



12r

Following the steps II and III of the **general procedure A**, the title compound **7r** was synthesized from **13** (223 mg, 1.0 mmol). White solid (mp: 108-109 °C). Yield: 83% (306 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.80-7.75 (m, 1H), 6.99-6.91 (m, 2H), 6.80 (s, 1H), 6.68 (s, 1H), 6.59 (s, 1H), 5.87-5.77 (m, 1H), 5.11 (d, *J* = 10.1 Hz, 1H), 5.01 (d, *J* = 17.4 Hz, 1H), 3.81 (s, 3H), 3.75 (s, 3H), 3.20 (d, *J* = 5.9 Hz, 2H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 165.9 (dd, *J* = 257.8, 11.5 Hz), 159.6 (dd, *J* = 257.8, 12.5 Hz), 147.8, 147.7, 135.8, 132.7 (d, *J* = 10.5 Hz), 126.2, 126.0, 124.3 (dd, *J* = 13.4, 3.8 Hz), 116.6, 112.8, 111.9 (dd, *J* = 22.0, 3.8 Hz), 109.4, 105.5 (t, *J* = 25.4 Hz), 55.9, 35.4. Anal. calcd. for $C_{17}H_{17}F_2NO_4S$: C, 55.28; H, 4.64; N, 3.79; found: C, 55.47; H, 4.56; N, 3.84.

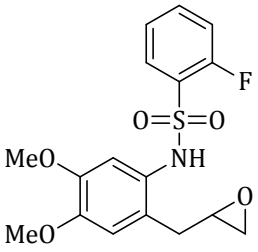
***N*-(2-Allyl-4,5-dimethoxyphenyl)-4-bromo-2-fluorobenzenesulfonamide (12s)**



12s

Following the steps II and III of the **general procedure A**, the title compound **7s** was synthesized from **13** (223 mg, 1.0 mmol). White solid (mp: 128-129 °C). Yield: 83% (357 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.62 (t, *J* = 7.8 Hz, 1H), 7.41 (dd, *J* = 9.1, 1.4 Hz, 1H), 7.36 (dd, *J* = 8.2, 1.4 Hz, 1H), 6.80 (s, 1H), 6.71 (br s, 1H), 6.59 (s, 1H), 5.87-5.77 (m, 1H), 5.11 (dd, *J* = 10.1, 1.4 Hz, 1H), 4.99 (dd, *J* = 16.9, 1.4 Hz, 1H), 3.82 (s, 3H), 3.76 (s, 3H), 3.20 (d, *J* = 5.9 Hz, 2H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 158.4 (d, *J* = 259.7 Hz), 147.8, 147.7, 135.8, 131.8 (d, *J* = 2.8 Hz), 128.6 (d, *J* = 8.6 Hz), 127.9 (d, *J* = 3.8 Hz), 127.0 (d, *J* = 14.4 Hz), 126.2, 125.9, 120.6 (d, *J* = 24.9 Hz), 116.7, 112.8, 109.4, 56.0, 35.5. Anal. calcd. for $C_{17}H_{17}BrFNO_4S$: C, 47.45; H, 3.98; N, 3.26; found: 47.53; H, 3.92; N, 3.35.

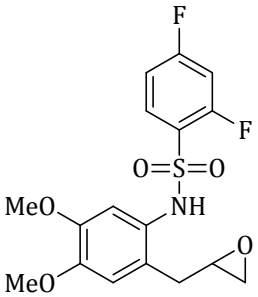
***N*-(4,5-Dimethoxy-2-(oxiran-2-ylmethyl)phenyl)-2-fluorobenzenesulfonamide (5q)**



5q

Following the **general procedure B**, the title compound **5q** was synthesized from **12q** (176 mg, 1.0 mmol). White solid (mp: 121-122 °C). Yield: 60% (110 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.88-7.84 (m, 1H), 7.54-7.49 (m, 1H), 7.20 (t, *J* = 7.8 Hz, 1H), 7.13-7.08 (m, 2H), 6.61 (s, 1H), 4.71-4.65 (m, 1H), 3.87 (s, 3H), 3.79 (s, 3H), 3.76 (d, *J* = 5.5 Hz, 2H), 3.08 (dd, *J* = 16.0, 9.6 Hz, 1H), 2.69 (dd, *J* = 15.6, 2.3 Hz, 1H), 2.23 (br s, 1H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 158.8 (d, *J* = 256.9 Hz), 148.6, 146.9, 135.5 (d, *J* = 8.6 Hz), 133.9, 131.7, 125.6 (d, *J* = 14.4 Hz), 124.4 (d, *J* = 3.8 Hz), 122.8, 117.3 (d, *J* = 22.0 Hz), 108.0, 101.7, 64.4 (d, *J* = 3.8 Hz), 56.2 (d, *J* = 6.7 Hz), 31.3. Anal. calcd. for $C_{17}H_{18}FNO_5S$: C, 55.58; H, 4.94; N, 3.81; found: C, 55.43; H, 4.99; N, 3.85.

N-(4,5-Dimethoxy-2-(oxiran-2-ylmethyl)phenyl)-2,4-difluorobenzenesulfonamide (5r)

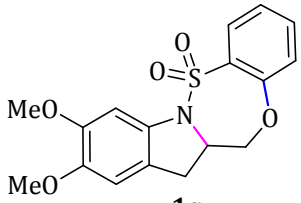


5r

Following the **general procedure B**, the title compound **5r** was synthesized from **12r** (185 mg, 1.0 mmol). White solid (mp: 129-130 °C). Yield: 64% (119 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.87-7.81 (m, 1H), 7.08 (s, 1H), 6.92-6.80 (m, 2H), 6.61 (s, 1H), 4.63-4.58 (m, 1H), 3.83 (s, 3H), 3.77 (s, 3H), 3.74-3.69 (m, 2H), 3.05 (dd, *J* = 16.0, 9.6 Hz, 1H), 2.70 (dd, *J* = 15.6, 2.3 Hz, 1H), 2.52 (br s, 1H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 165.8 (dd, *J* = 258.8, 11.5 Hz), 159.5 (dd, *J* = 258.8, 12.5 Hz), 148.5, 146.9, 133.3 (dd, *J* = 10.5, 1.9 Hz), 132.9, 122.8, 121.9 (dd, *J* = 15.3, 3.8 Hz), 112.9 (dd, *J* = 22.0, 3.8 Hz), 108.0, 105.7 (t, *J* = 25.9 Hz), 101.6, 64.3 (d,

J = 3.8 Hz), 56.1 (d, *J* = 8.6 Hz), 31.1. Anal. calcd. for $C_{17}H_{17}F_2NO_5S$: C, 52.98; H, 4.45; N, 3.63; found: C, 53.11; H, 4.54; N, 3.69.

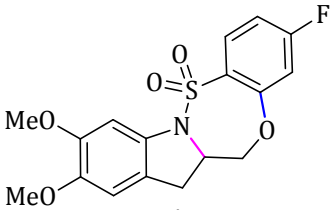
2,3-Dimethoxy-12a,13-dihydro-12*H*-benzo[2,3][1,4,5]oxathiazepino[5,6-*a*]indole 6,6-dioxide (1q)



1q

Following the **General Procedure C**, the title compound **1q** was synthesized from epoxide **5q** (73 mg, 0.2 mmol). White solid (mp: 158-159 °C). Yield: 90% (62 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.97 (dd, *J* = 7.8, 1.4 Hz, 1H), 7.44 (d, *J* = 7.8, 1.4 Hz, 1H), 7.23 (t, *J* = 7.3 Hz, 1H), 7.10 (d, *J* = 8.2, 1.4 Hz, 1H), 7.04 (s, 1H), 6.70 (s, 1H), 4.98-4.94 (m, 1H), 4.54 (dd, *J* = 12.8, 2.3 Hz, 1H), 3.83-3.78 (m, 7H), 3.39 (dd, *J* = 15.6, 9.2 Hz, 1H), 2.64 (d, *J* = 15.6 Hz, 1H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 156.1, 148.9, 145.6, 134.5, 133.9, 133.5, 129.0, 124.2, 123.1, 120.1, 109.0, 98.8, 73.5, 62.9, 56.4, 56.1, 30.9. HRMS (ESI) *m*/*z* calcd. for $C_{17}H_{18}NO_5S$ [M + H]$^+$: 348.0906, found 348.0909. Anal. calcd. for $C_{17}H_{17}NO_5S$: C, 58.78; H, 4.93; N, 4.03; found: C, 58.94; H, 4.96; N, 4.10.

9-fluoro-2,3-dimethoxy-12a,13-dihydro-12*H*-benzo[2,3][1,4,5]oxathiazepino[5,6-*a*]indole 6,6-dioxide (1r)

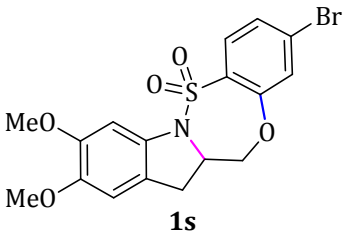


1r

Following the **General Procedure C**, the title compound **1p** was synthesized from epoxide **5r** (77 mg, 0.2 mmol). White solid (mp: 162-163 °C). Yield: 88% (65 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.97 (dd, *J* = 8.7, 6.4 Hz, 1H), 7.01 (s, 1H), 6.94 (td, *J* = 8.7, 2.3 Hz, 1H), 6.80 (dd, *J* = 9.1, 2.3 Hz, 1H), 6.71 (s, 1H), 4.95-4.91 (m, 1H), 4.64 (dd, *J* = 13.3, 2.7 Hz, 1H), 3.90-3.85 (m, 7H), 3.41 (dd, *J* = 15.6, 9.6 Hz, 1H), 2.72 (d, *J* = 15.6 Hz, 1H). HRMS (ESI) *m*/*z* calcd.

for $C_{17}H_{17}FNO_5S$ $[M + H]^+$: 366.0811, found 366.0810. Anal. calcd. for $C_{17}H_{16}FNO_5S$: C, 55.88; H, 4.41; N, 3.83; found: C, 55.78; H, 4.39; N, 3.88.

9-Bromo-2,3-dimethoxy-12a,13-dihydro-12*H*-benzo[2,3][1,4,5]oxathiazepino[5,6-*a*]indole 6,6-dioxide (1s)

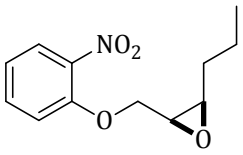


1s

Following the **General Procedure C**, the title compound **1s** was synthesized from epoxide **5s** (89 mg, 0.2 mmol). White solid (mp: 176-177 °C). Yield: 90% (77 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.82 (d, *J* = 8.2 Hz, 1H), 7.37 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.28-7.27 (m, 1H; overlapped with the residual $CHCl_3$ peak), 7.00 (s, 1H), 6.71 (s, 1H), 4.95-4.91 (m, 1H), 4.62 (dd, *J* = 12.8, 1.8 Hz, 1H), 3.88-3.80 (m, 7H), 3.41 (dd, *J* = 16.0, 9.6 Hz, 1H), 2.70 (d, *J* = 15.6 Hz, 1H). Anal. calcd. for $C_{17}H_{16}BrNO_5S$: C, 47.90; H, 3.78; N, 3.29; found: C, 47.72; H, 3.86; N, 3.24.

4. Synthesis of 1,4-benzoxazine -fused benzothiaoxazepine-1,1-dioxides using preformed epoxide building block

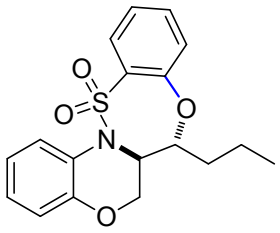
(2*S,3*R**)-2-((2-Nitrophenoxy)methyl)-3-propyloxirane (14)**



12

Following the first step of the **general procedure A**, the title compound **12** was synthesized from 2-nitrophenol **11a** (139 mg, 1.0 mmol, 1.0 equiv) and epoxy tosylate **7** (324 mg, 1.2 mmol, 1.2 equiv). Yellow gum. Yield: 95% (225 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.85 (dd, *J* = 7.8, 0.9 Hz, 1H), 7.57-7.53 (m, 1H), 7.17 (d, *J* = 8.2 Hz, 1H), 6.70 (t, *J* = 7.8 Hz, 1H), 4.32 (dd, *J* = 11.0, 4.1 Hz, 1H), 4.24 (dd, *J* = 11.0, 5.9 Hz, 1H), 3.38 (q, *J* = 5.5 Hz, 1H), 3.13-3.11 (m, 1H), 1.63-1.47 (m, 4H), 0.98 (t, *J* =7.3 Hz, 3H). Anal. calcd. for $C_{12}H_{15}NO_4$: C, 60.75; H, 6.37; N, 5.90; found: C, 60.64; H, 6.33; N, 5.83.

(6a*R,7*R**)-7-Propyl-6a,7-dihydro-6*H*-benzo[*b*]benzo[5,6][1,4]oxazino[4,3-*e*][1,4,5] oxathiazepine 13,13-dioxide (1t)**



1t

To a stirred solution of substrate **14** (200 mg, 0.84 mmol) and 10% Pd-C (25 mg) in MeOH (3 mL) was added triethylsilane (0.402 mL, 2.52 mmol) under a nitrogen-filled balloon. After 30 min, the mixture was filtered through celite and the solvent was removed in vacuo. The crude product thus obtained was dissolved in pyridine (3 mL) at 0 °C. A solution of 2-fluorobenzenesulfonyl chloride (163 mg, 0.84 mmol) was then added. The mixture was stirred for 12 h at room temperature. The reaction was quenched by adding 5N HCl solution at 0 °C. The mixture was extracted with CH_2Cl_2 (20 mL). The organic layer was separated, washed with brine, dried ($MgSO_4$), filtered, and evaporated under reduced pressure. The resulting residue was dissolved in dry DMF (2.0 mL) under nitrogen atmosphere and NaH (23 mg, 1.00 mmol) was then added to it. The resulting mixture was stirred at rt for 4 h. The reaction mixture was then diluted with EtOAc (10 mL) and H_2O (10 mL). The mixture was then stirred vigorously for 5 min. The organic layer was separated, dried over Na_2SO_4 and concentrated under reduced pressure. The crude product was further purified by silica gel chromatography to isolate **1t** as colorless solid. Yield: 72% (209 mg). ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.98 (dd, *J* = 7.8, 0.9 Hz, 1H), 7.74 (d, *J* = 8.2 Hz, 1H), 7.45 (td, *J* = 7.8, 0.9 Hz, 1H), 7.25-7.22 (m, 1H), 7.09 (d, *J* = 8.2 Hz, 1H), 6.89-6.76 (m, 3H), 4.84 (d, *J* = 8.7 Hz, 1H), 4.51 (dd, *J* = 11.9, 1.8 Hz, 1H), 4.35 (dd, *J* = 11.9, 1.8 Hz, 1H), 3.38 (td, *J* = 9.6, 2.7 Hz, 1H), 1.89-1.72 (m, 3H), 1.59-1.50 (m, 1H),1.00 (t, *J* =7.1 Hz, 3H). ^{13}C NMR ($CDCl_3$, 100 MHz): δ 155.8, 144.9, 135.1, 133.7, 129.6, 124.4, 124.2, 124.02, 123.98, 122.0, 118.8, 117.6, 79.9, 65.8, 59.7, 33.6, 18.5, 13.8. Anal. calcd. for $C_{18}H_{19}NO_4S$: C, 62.59; H, 5.54; N, 4.06; C, 62.76; H, 5.65; N, 4.09.

6. *X-ray crystallography*

X-ray reflections were collected on a Bruker APEX-II, CCD diffractometer using Mo Kα (λ = 0.71073 Å) radiation. Data reduction was performed using Bruker SAINT Software.[1] Intensities for absorption were corrected using SADABS. Structures were solved and

refined using SHELXL-2014 with anisotropic displacement parameters for non-H atoms. Hydrogen atom on O was experimentally located in the crystal structure. All C–H atoms were fixed geometrically using the HFIX command in SHELX-TL.[2] A check of the final CIF file using PLATON did not show any missed symmetry.[3,4] Compound **1l** is crystallized in Tetragonal space group *I -4*. Figure ESI-1 shows the The ORTEP diagram of **1l** with 35% probability ellipsoid.

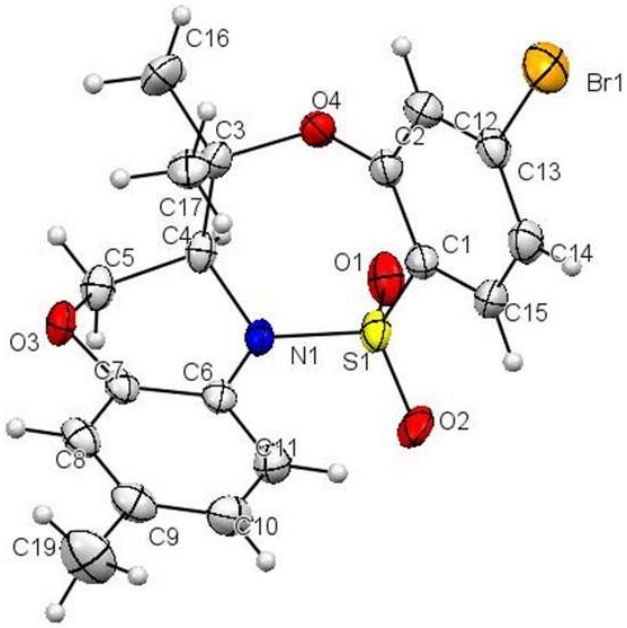


Figure ESI-1. ORTEP diagram of **1l** with 35% probability ellipsoid.

Table ESI-1 shows the summarizes the crystallographic parameters for the structure.

Table ESI-1: Crystallographic data of **1l**

Crystal Data	
Formula unit	$C_{18}H_{18}NO_4SBr$
Formula wt.	424.29
Crystal system	Tetragonal
T [K]	100
a [Å]	18.5372(6)
b [Å]	18.5372(6)
c [Å]	10.4950(4)

α [°]	90
β [°]	90
γ [°]	90
Volume [Å^3]	3606.4(3)
Space group	*I -4*
Z	4
D_{calc} [mg/m^3]	1.563
μ/mm^{-1}	2.418
Reflns. Collected	52966
Unique reflns.	3188
Observed reflns.	2672
R_1 [I>2σ(I)], wR_2	0.0292; 0.0558
GOF	1.034
Instrument	Bruker APEX-II
X-ray	MoK\α;λ=0.71073
CCDC Reference No.	1966259

References

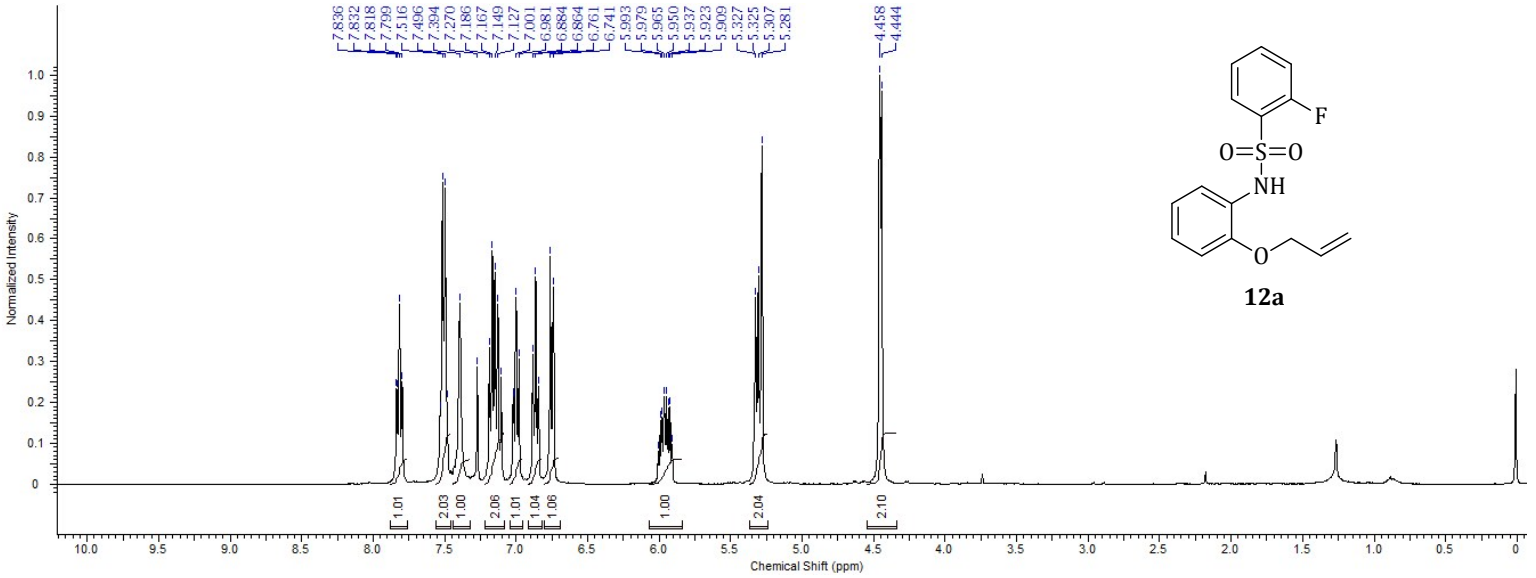
1. SAINT Plus, Bruker AXS Inc.: Madison, WI, 2008; BRUKER AXS (v 6.14).

2. Bruker AXS Inc.: Madison, WI, 2008.

3. PLATON, A Multipurpose Crystallographic Tool; A. L. Spek, Utrecht University: Utrecht, Netherland, 2002.

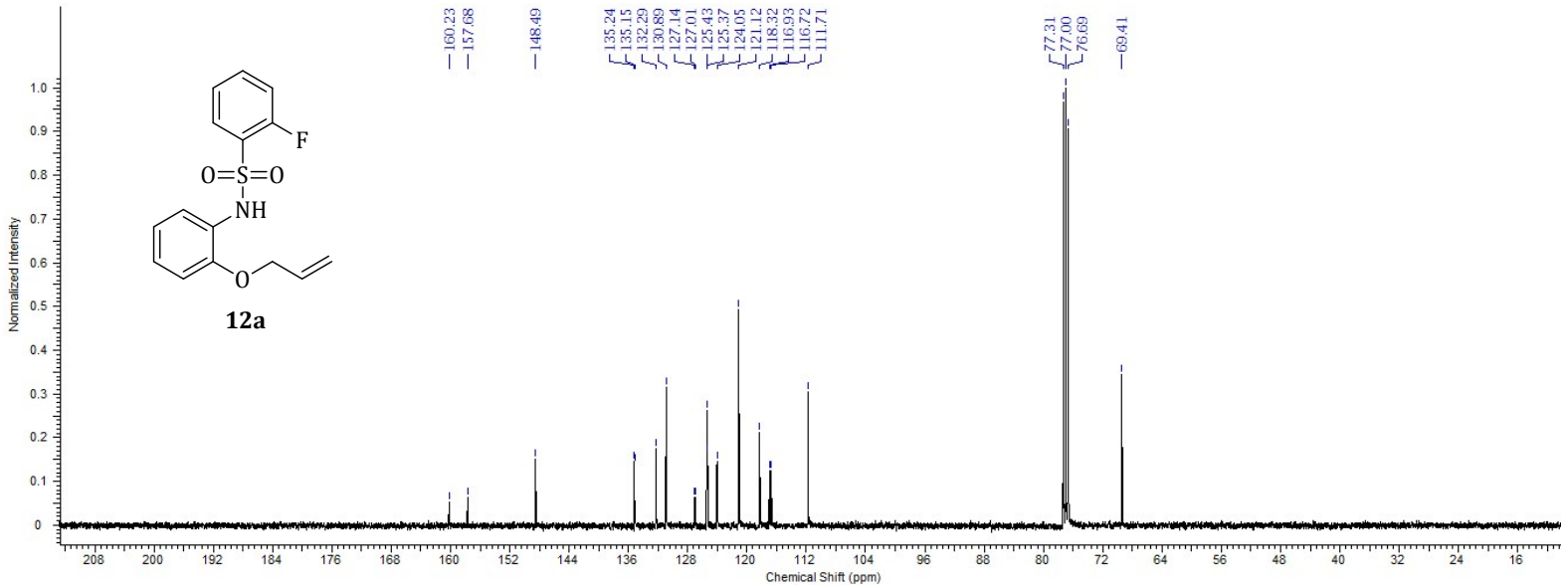
4. A. L. Spek, *J. Appl. Crystallogr*., 2003, **36**, 7–13.

6. Copies of ^{1}H and ^{13}C NMR spectra of all new compounds



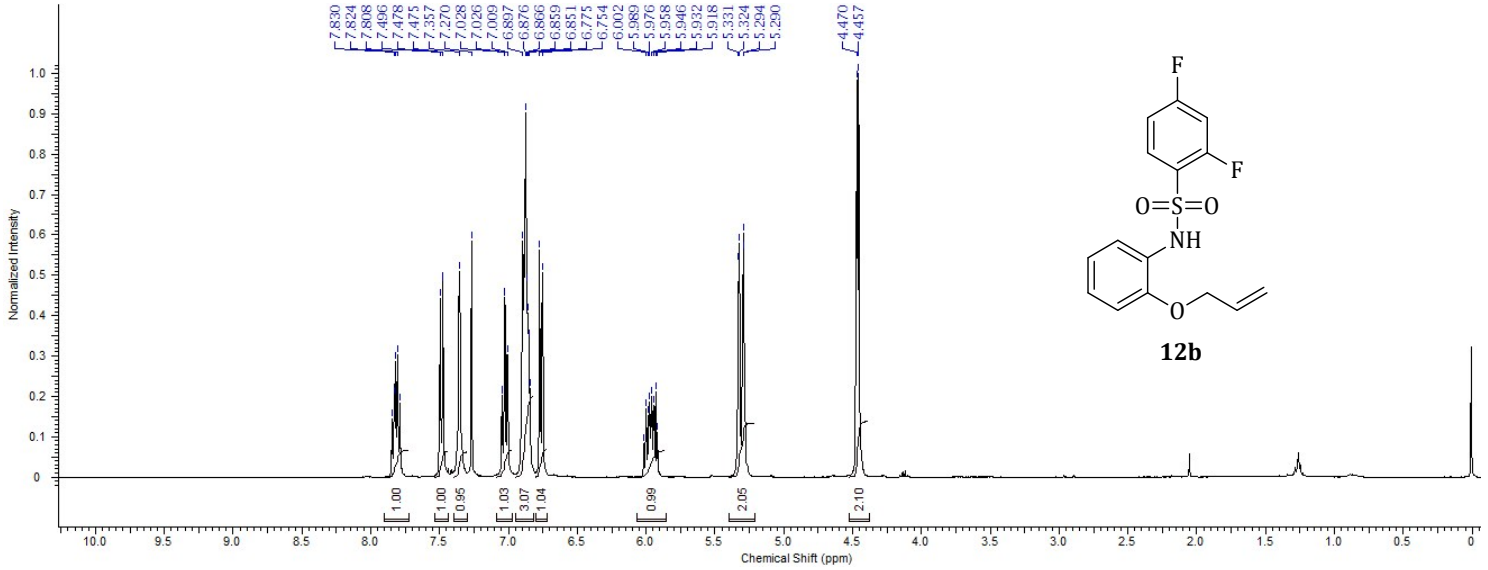
12a

^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 12a



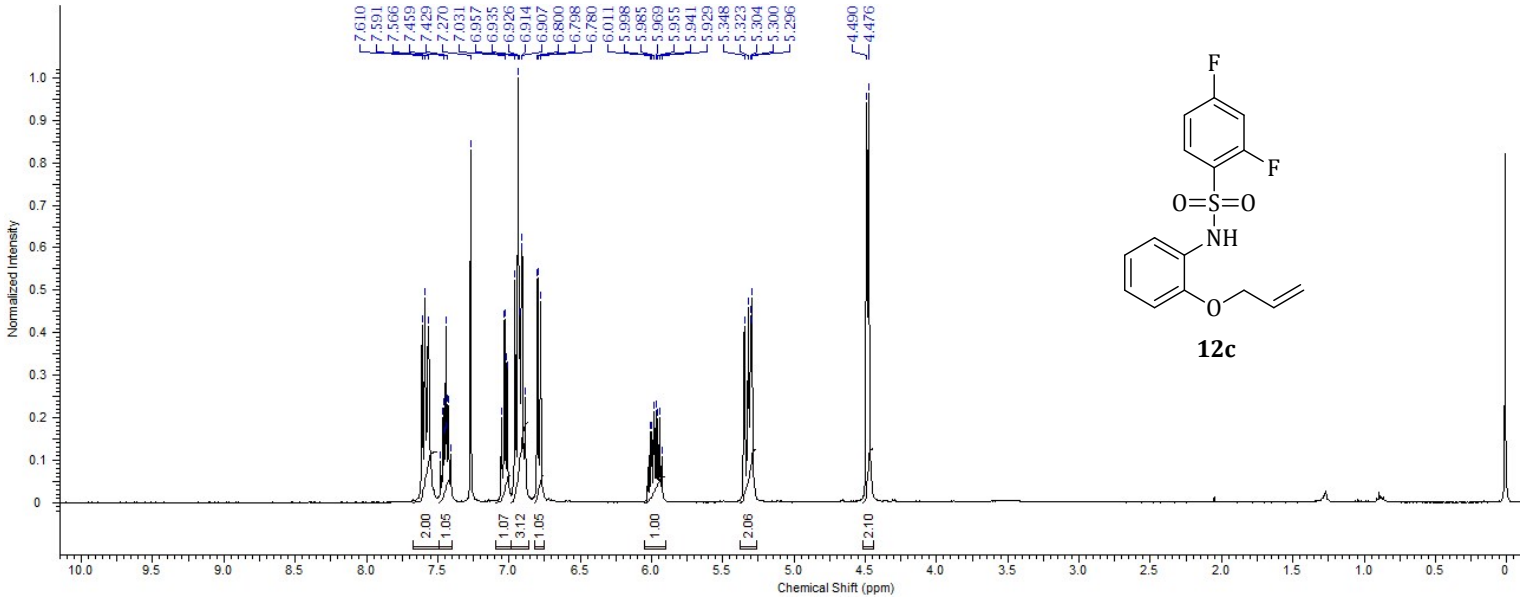
12a

^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 12a



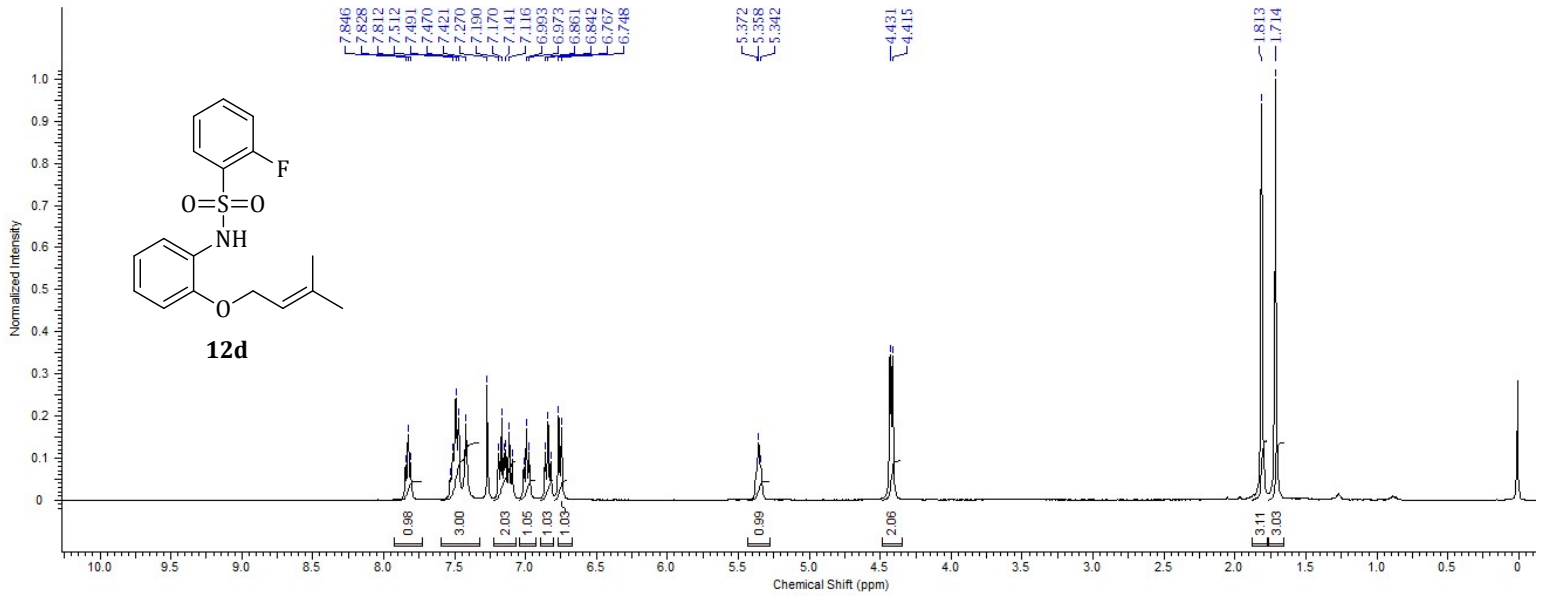
12b

^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 12b

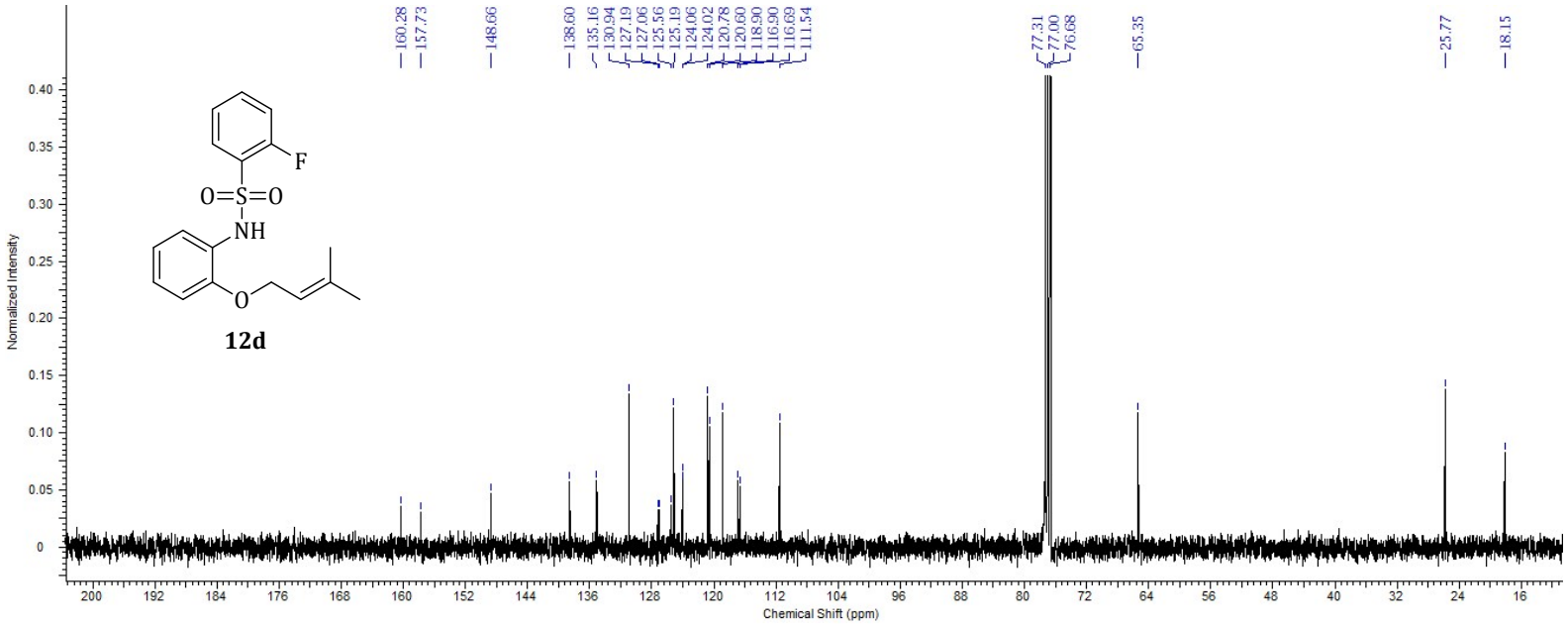


12c

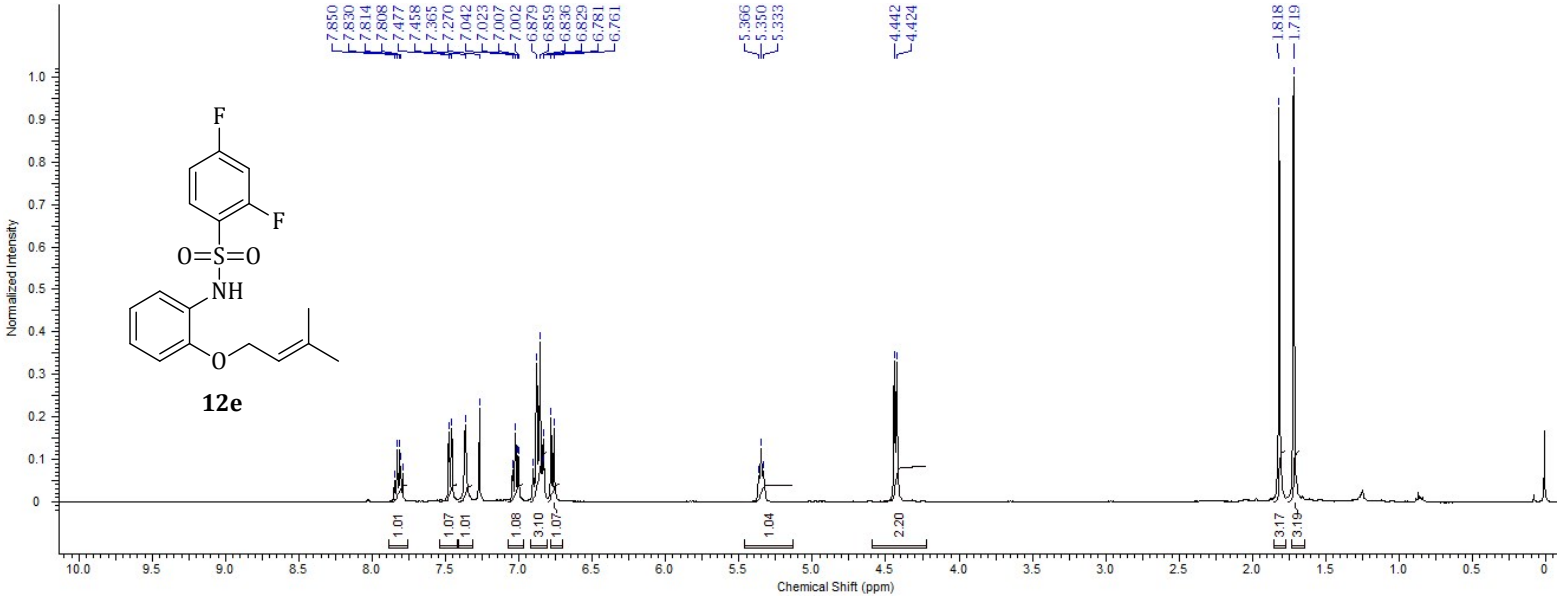
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 12c



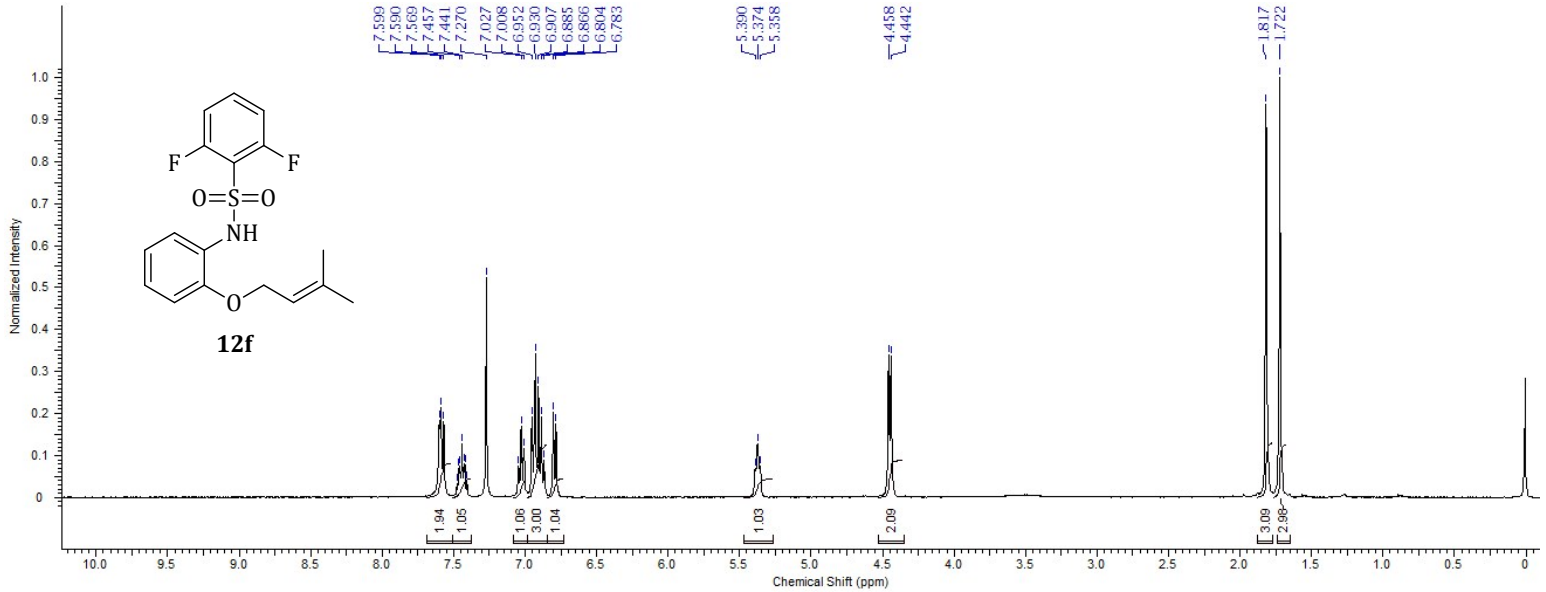
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 12d



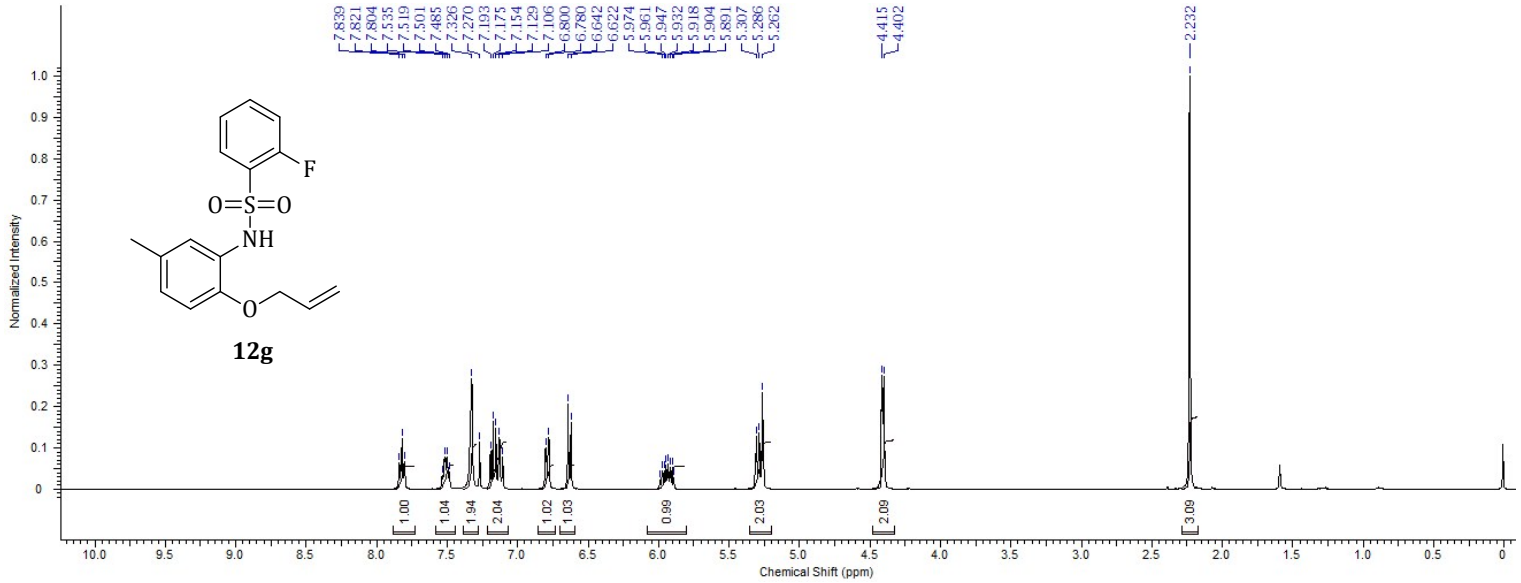
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 12d



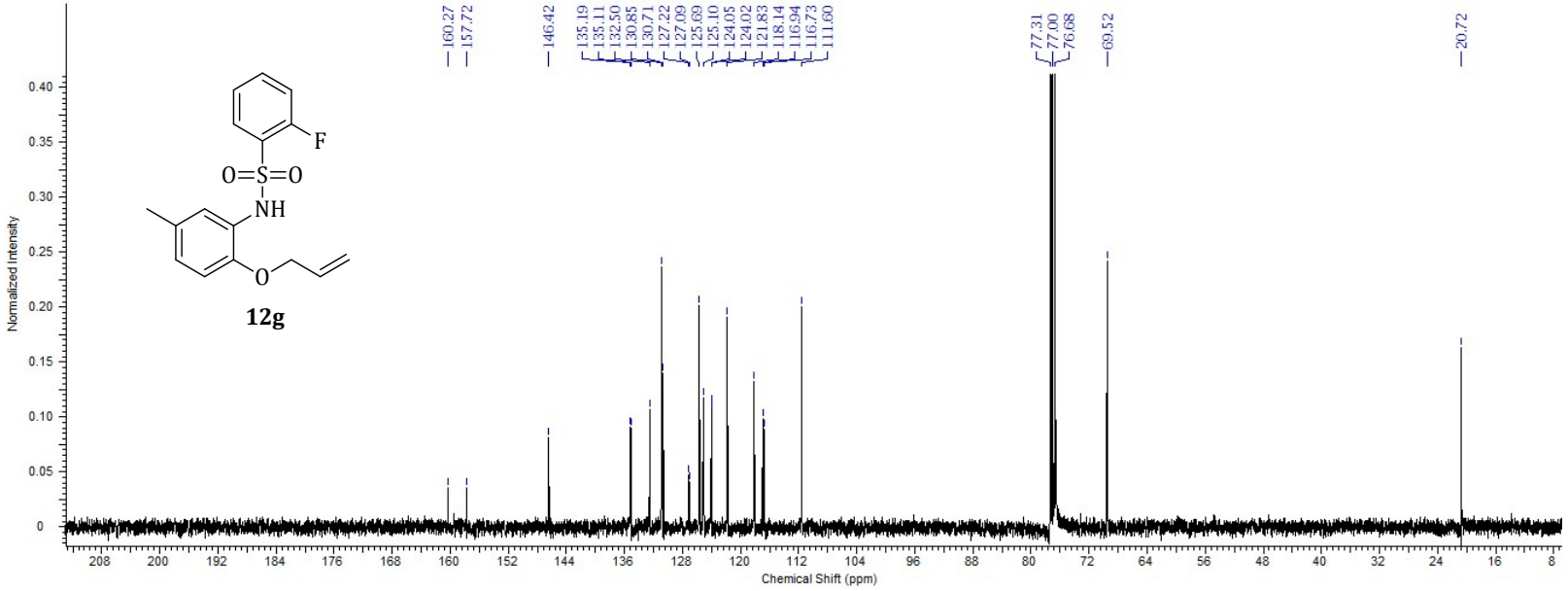
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 12e



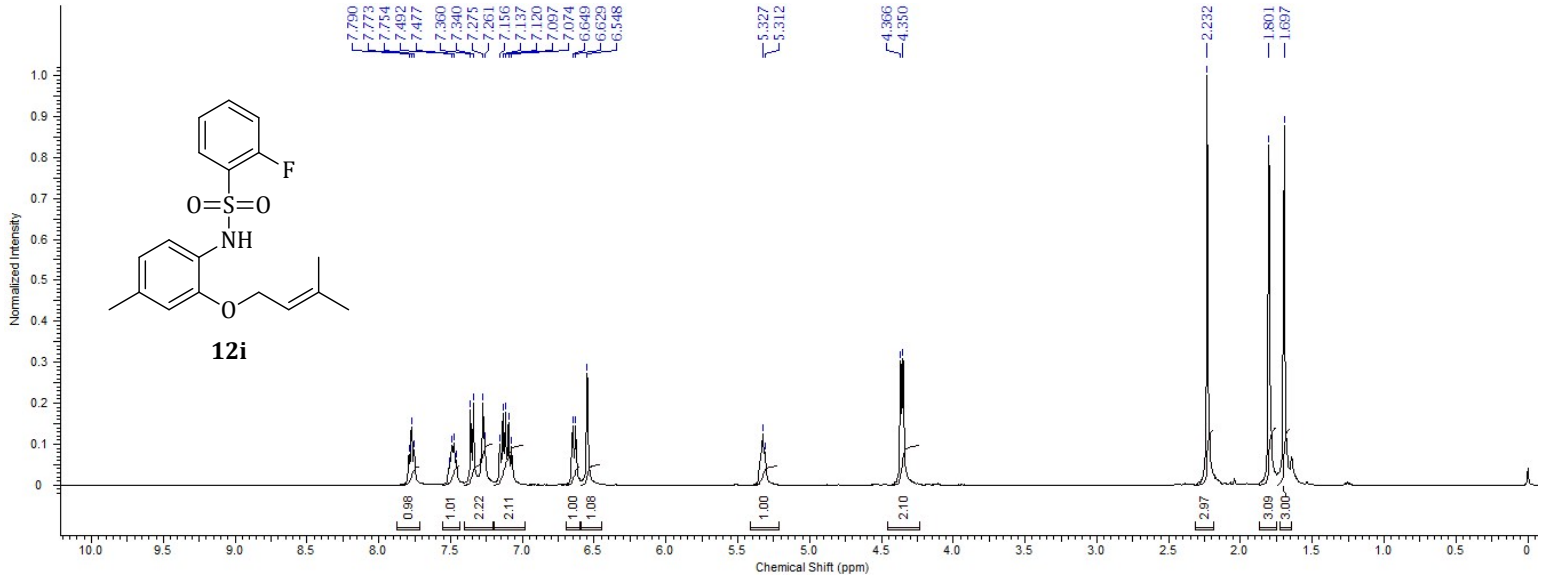
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 12f



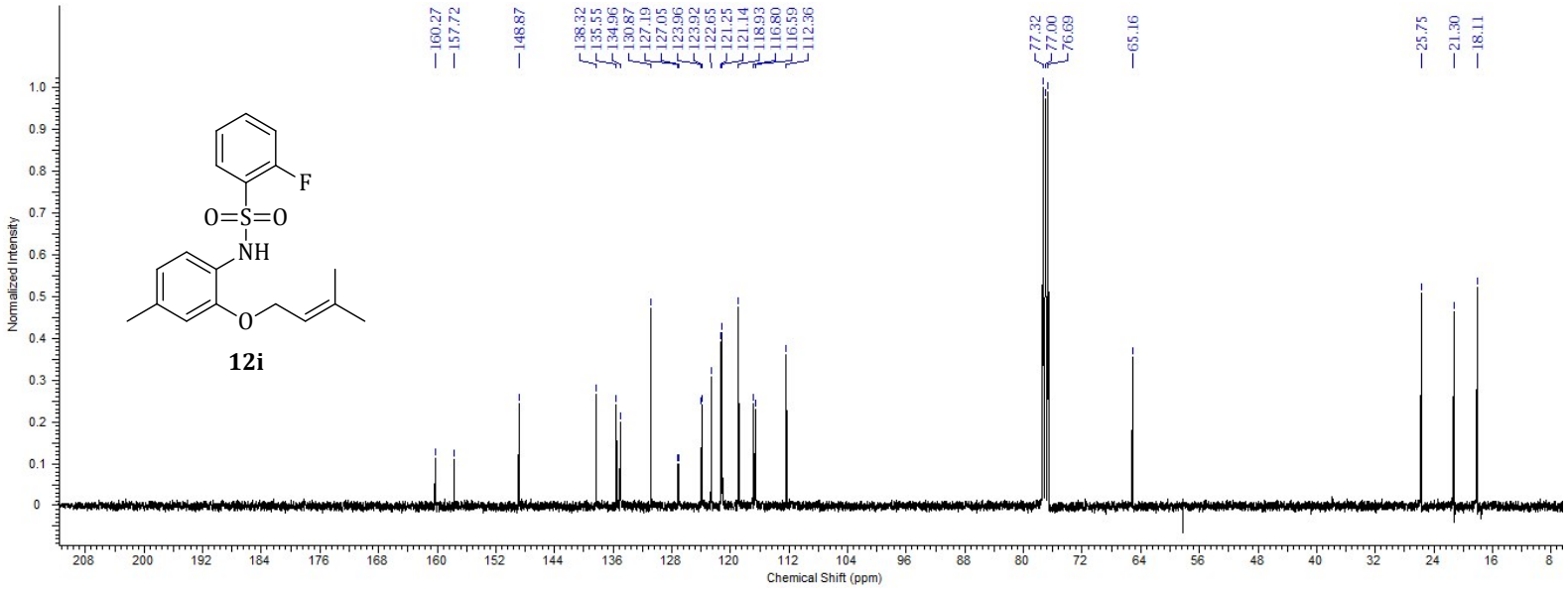
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 12g



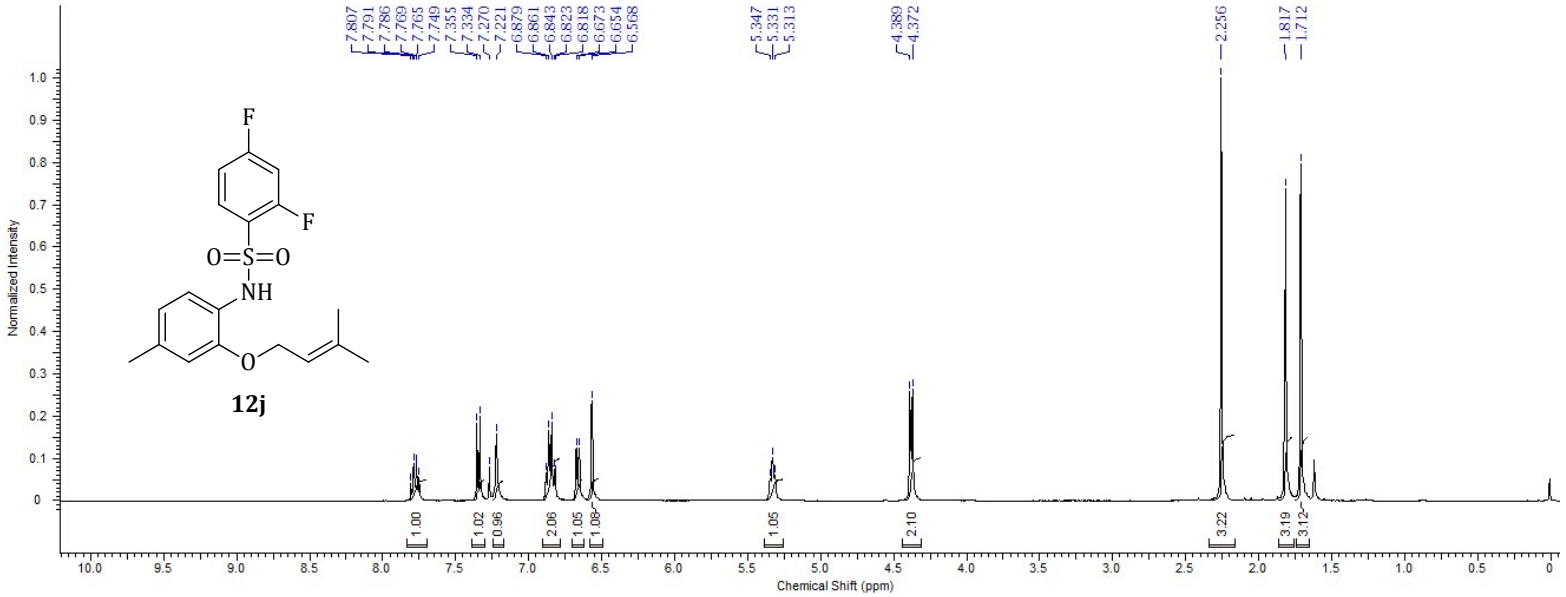
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 12g



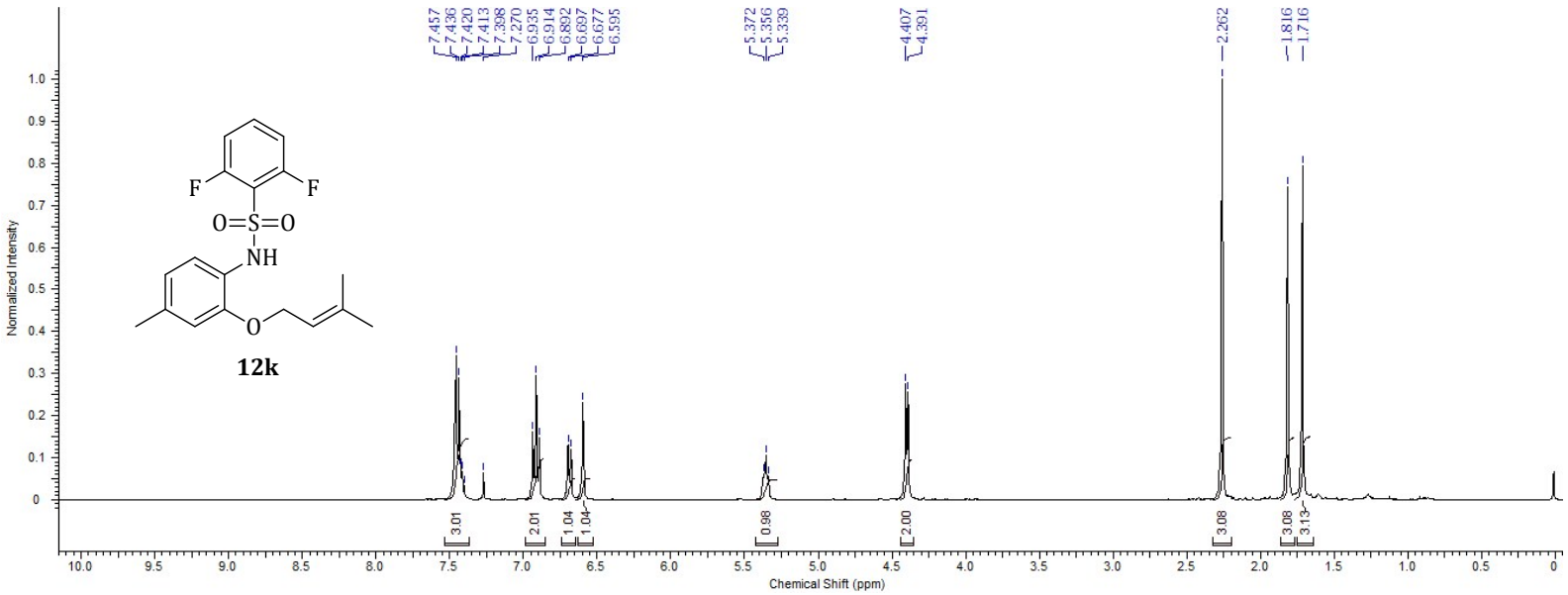
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 12i



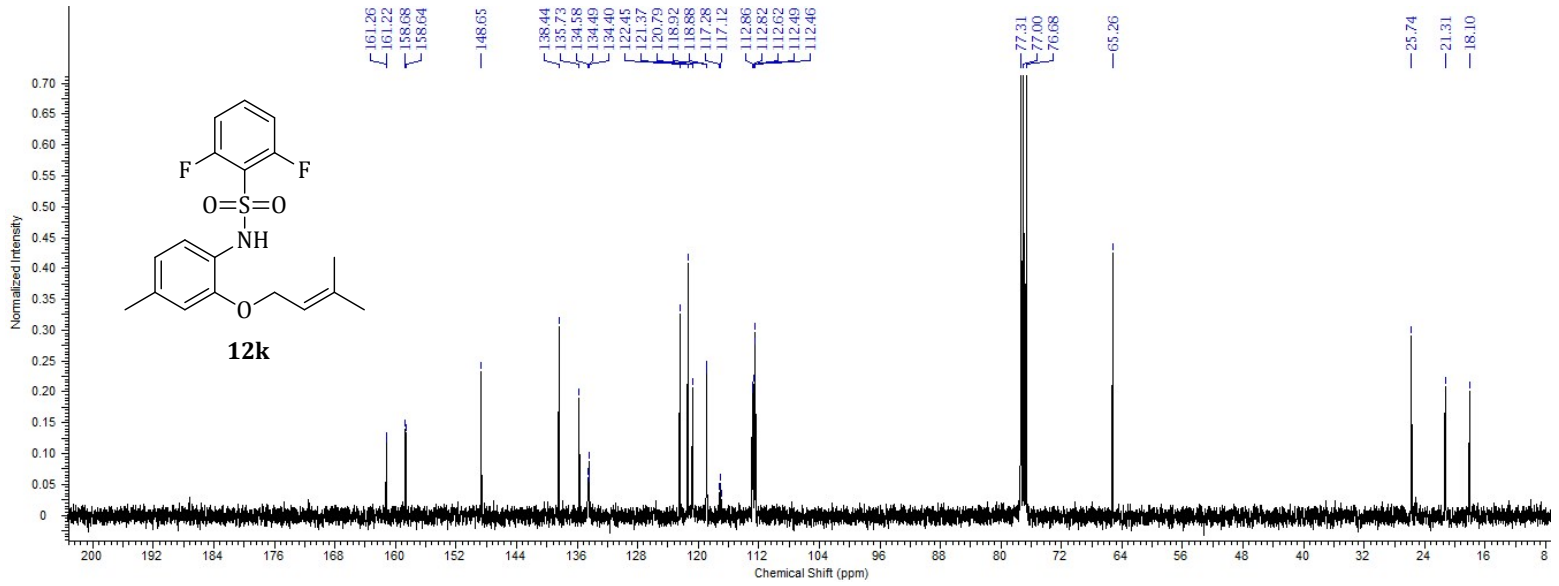
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 12i



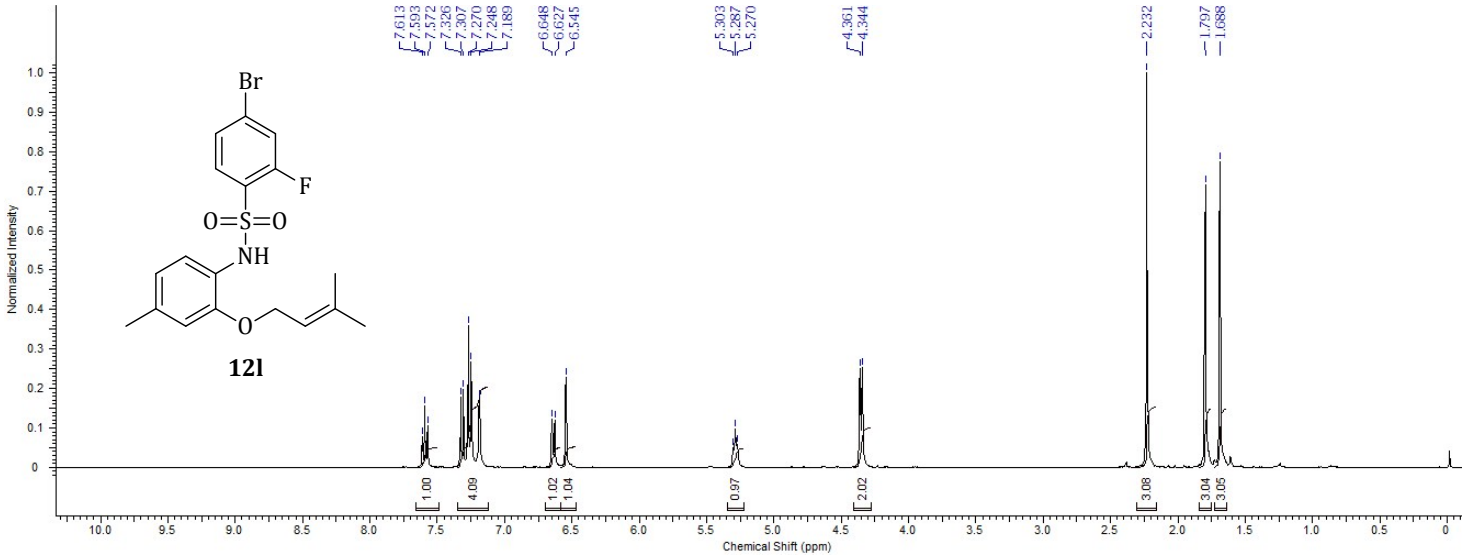
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 12j



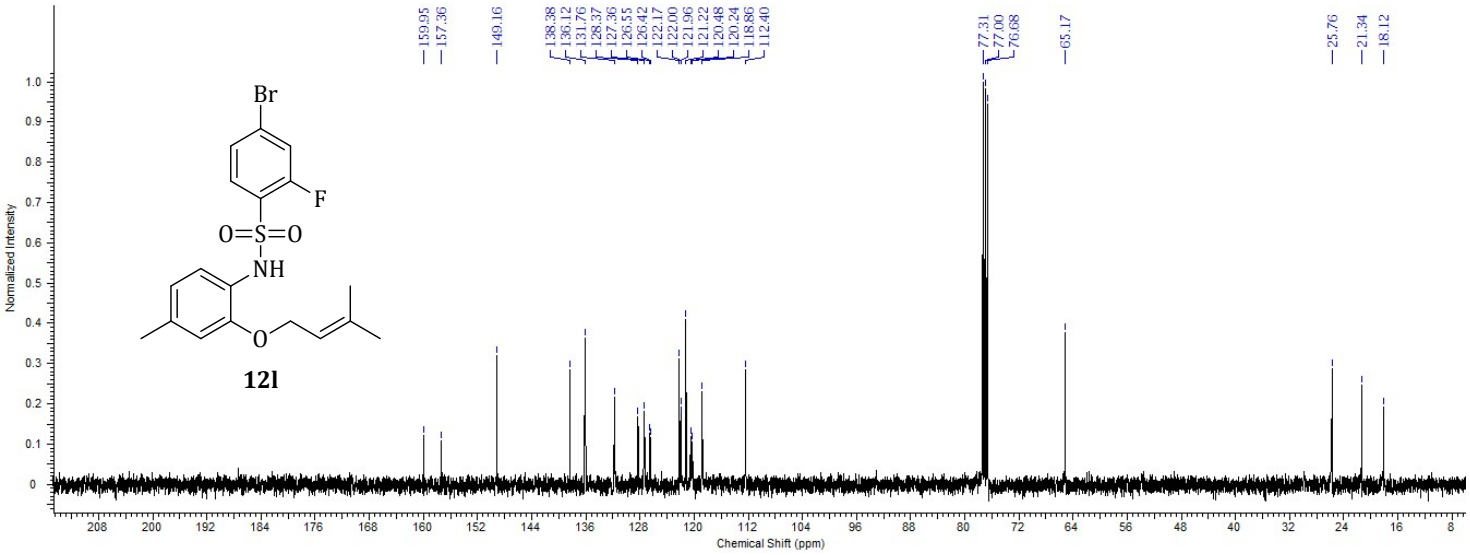
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 12k



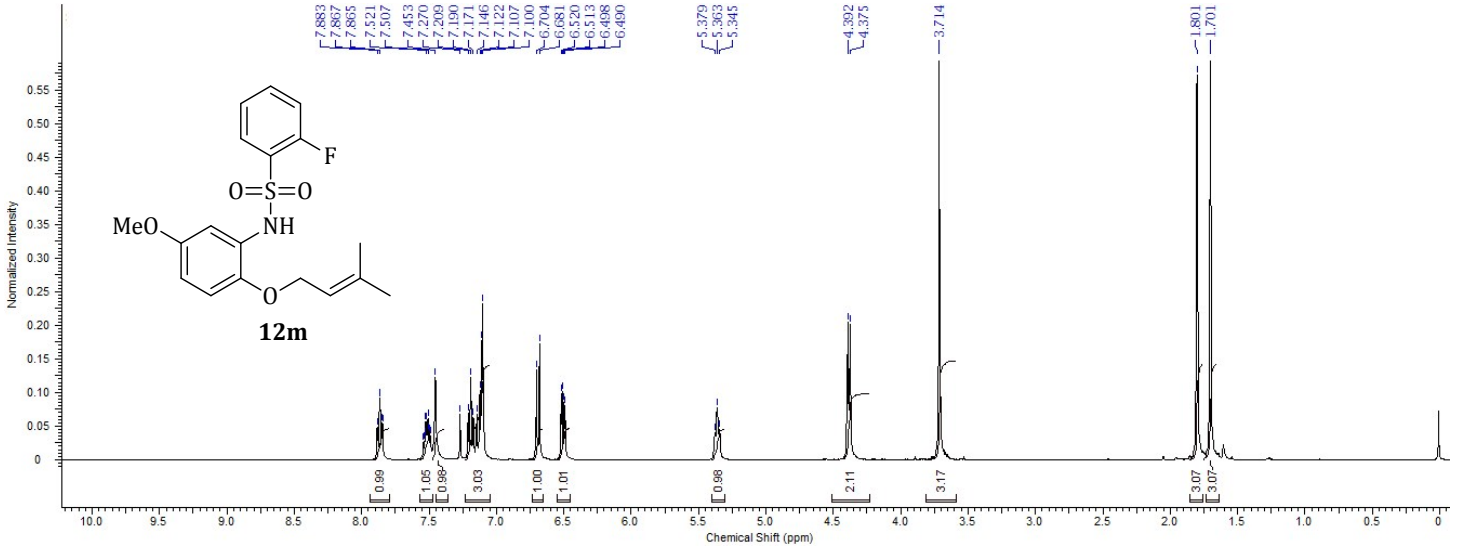
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 12k



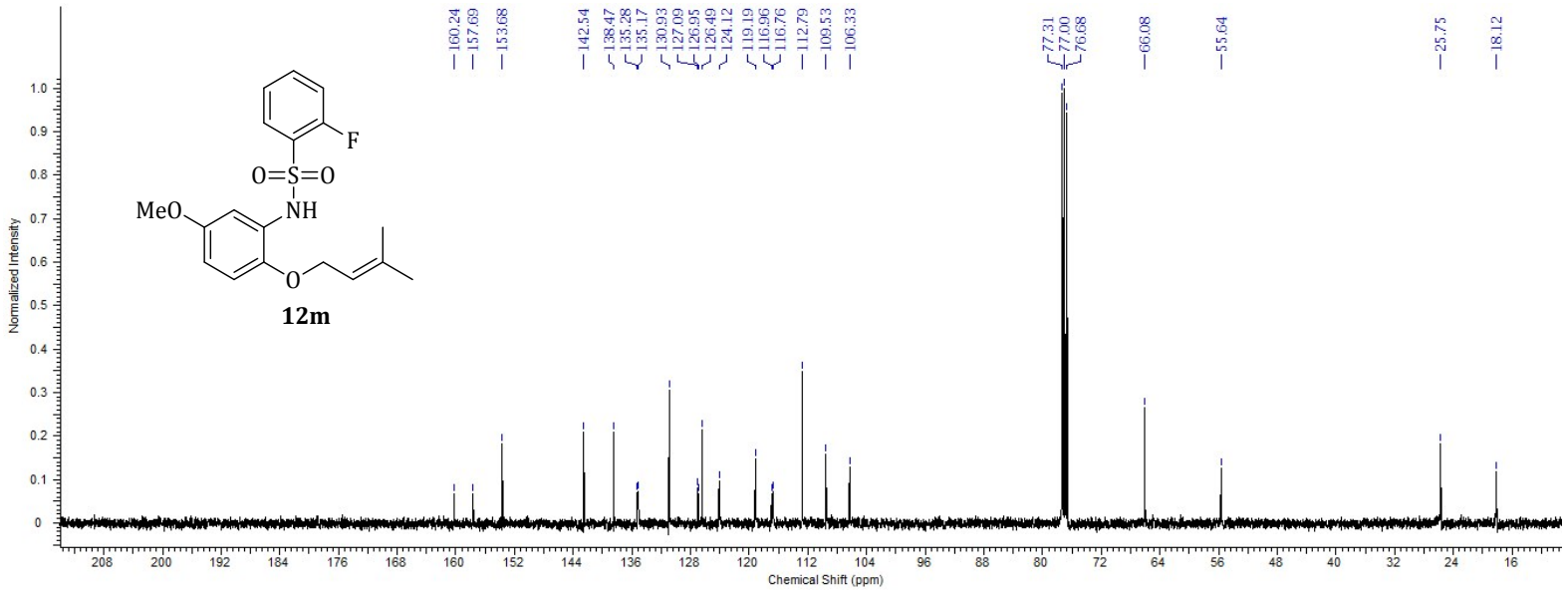
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 12l



^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 12l



^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 12m



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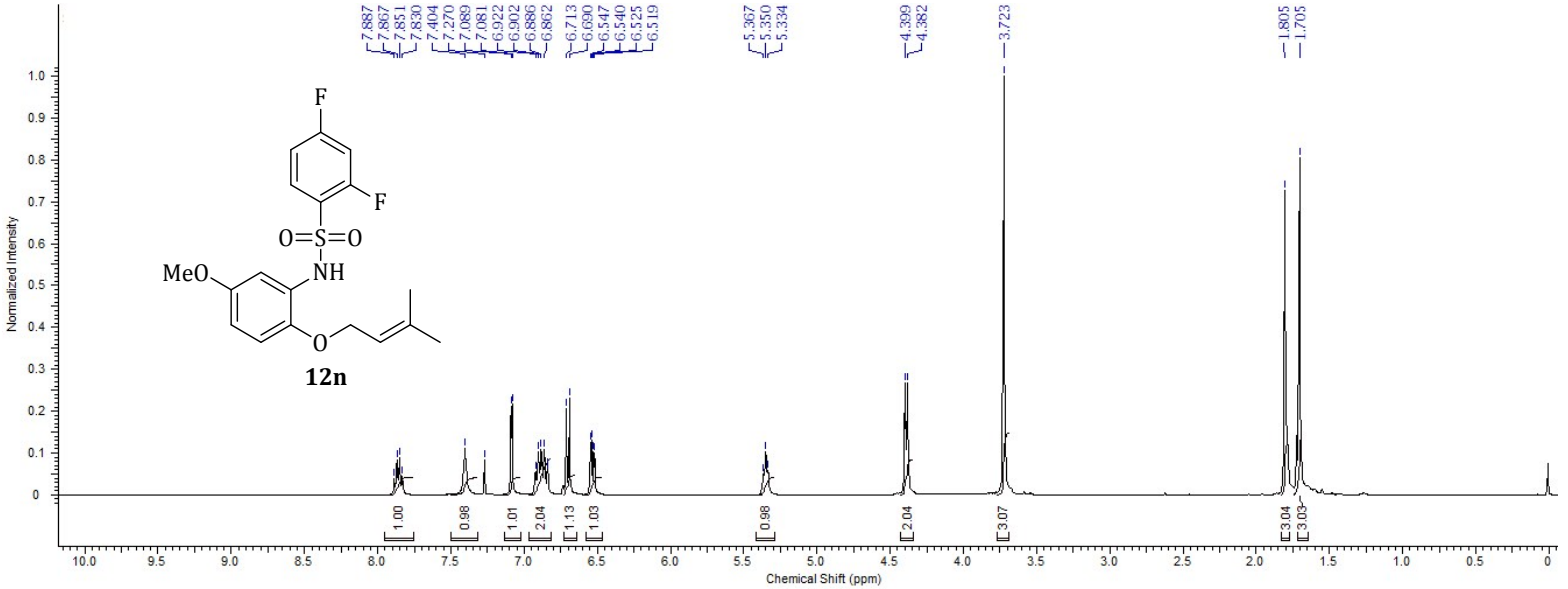
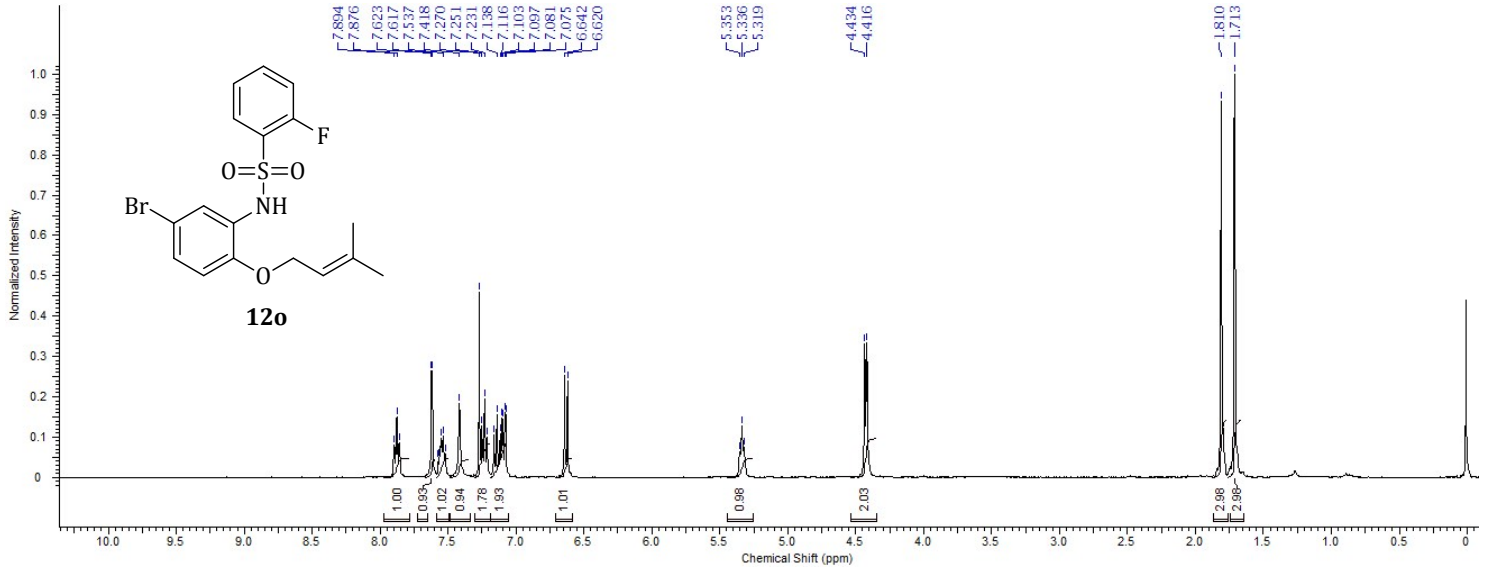
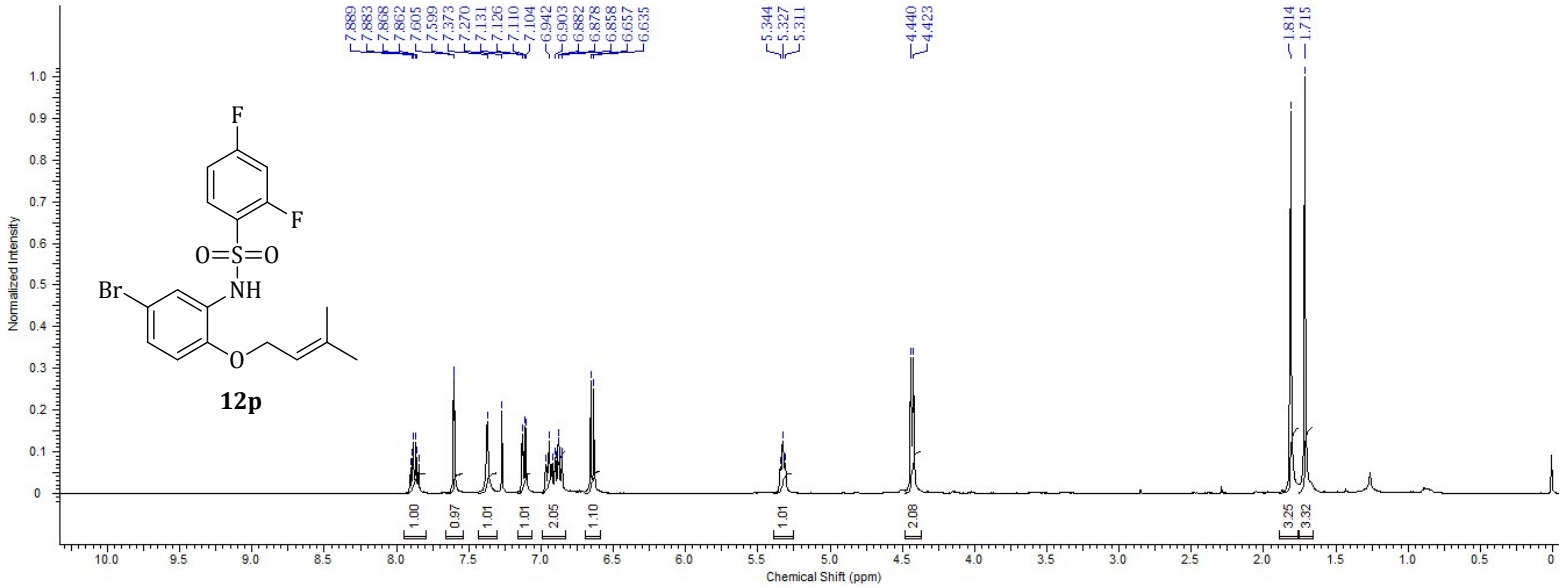


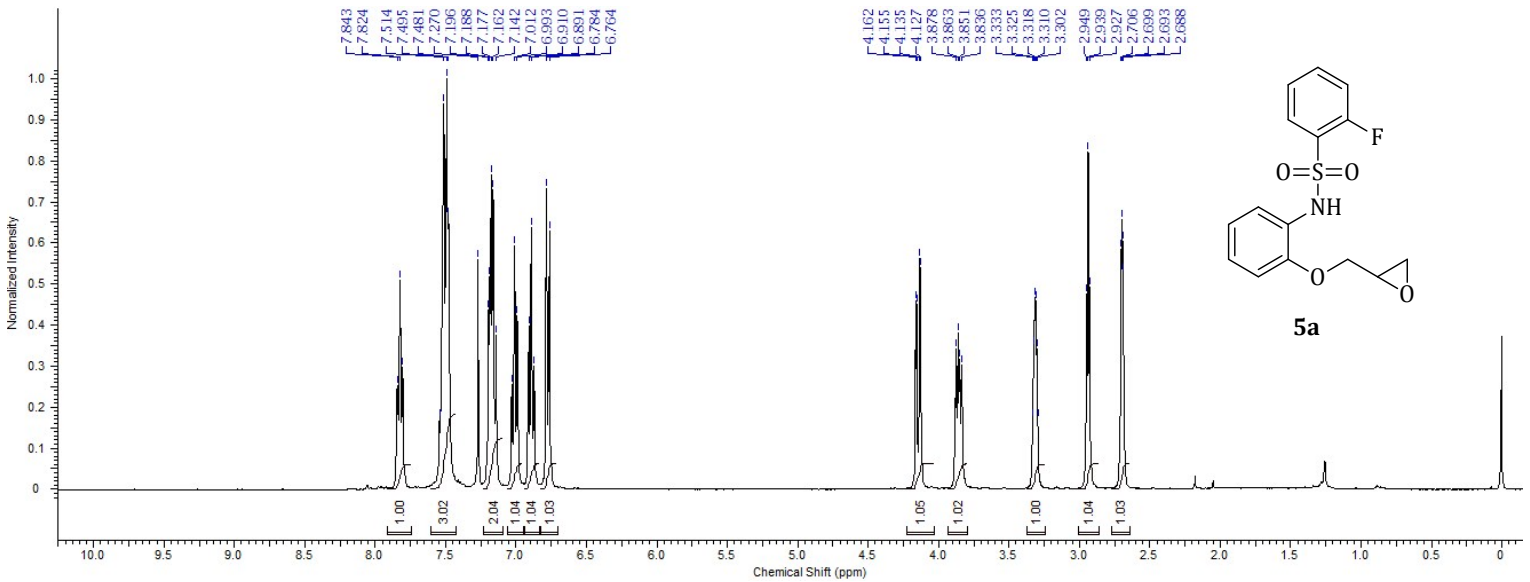
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 12n



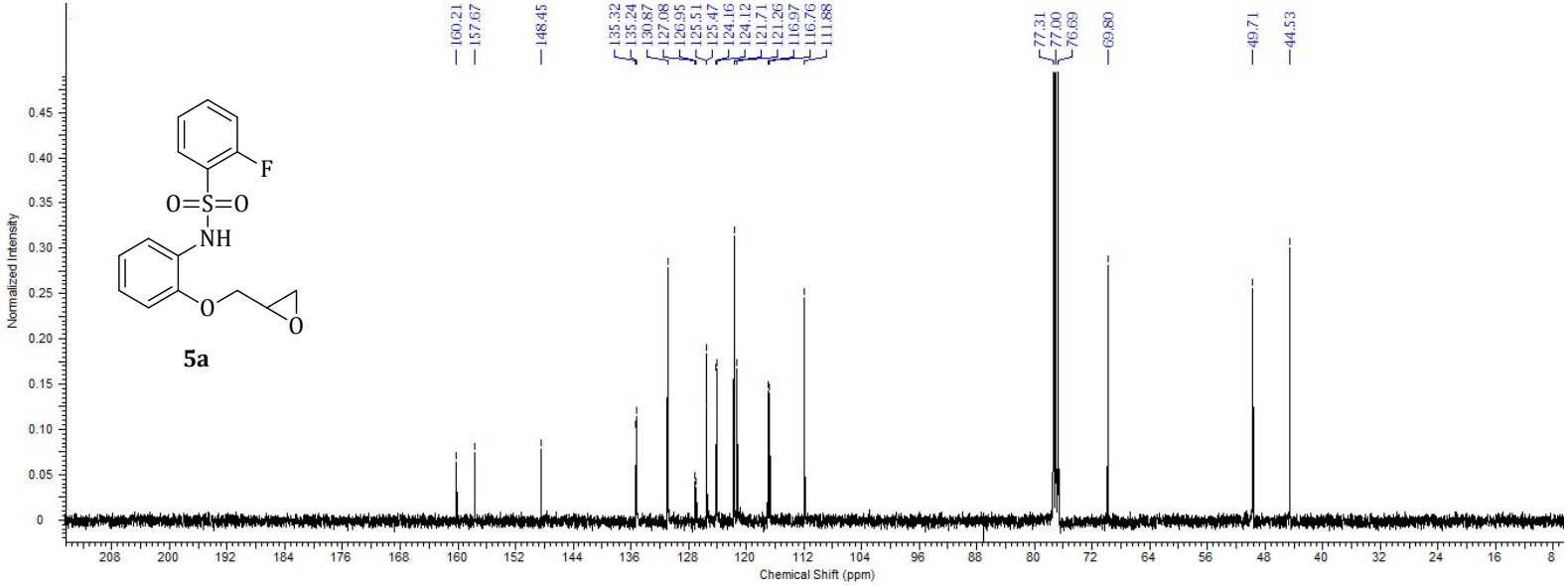
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 12o



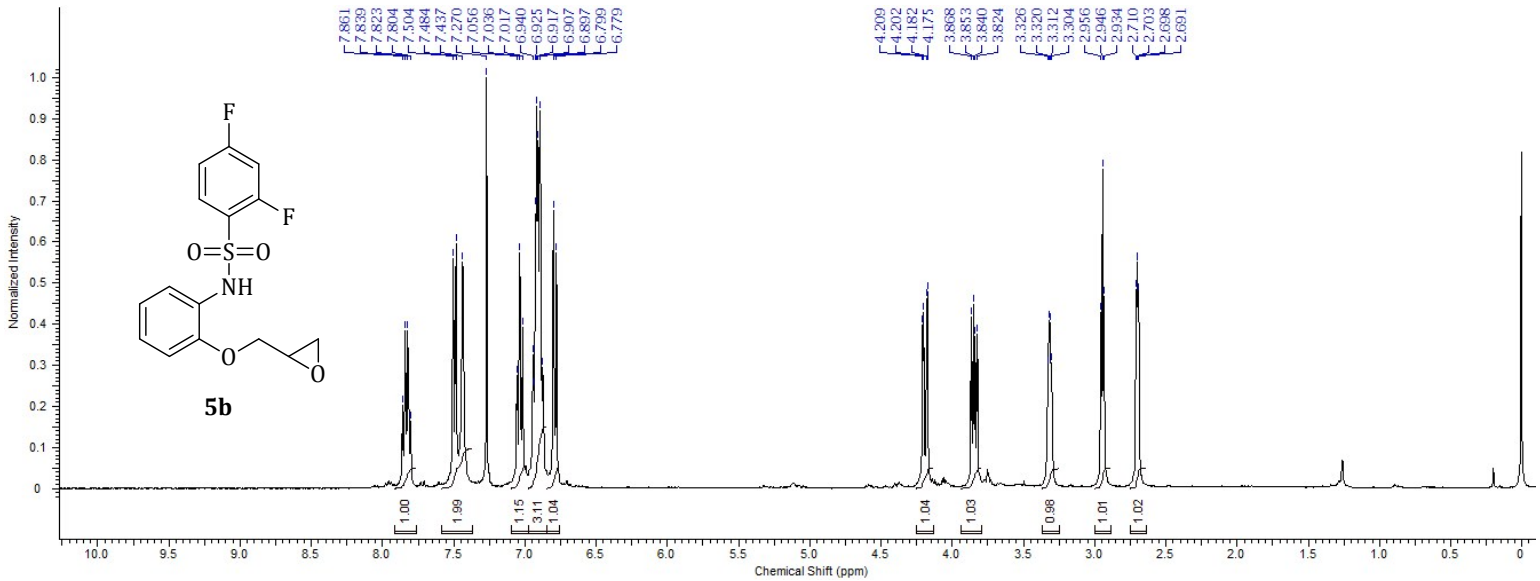
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 12p



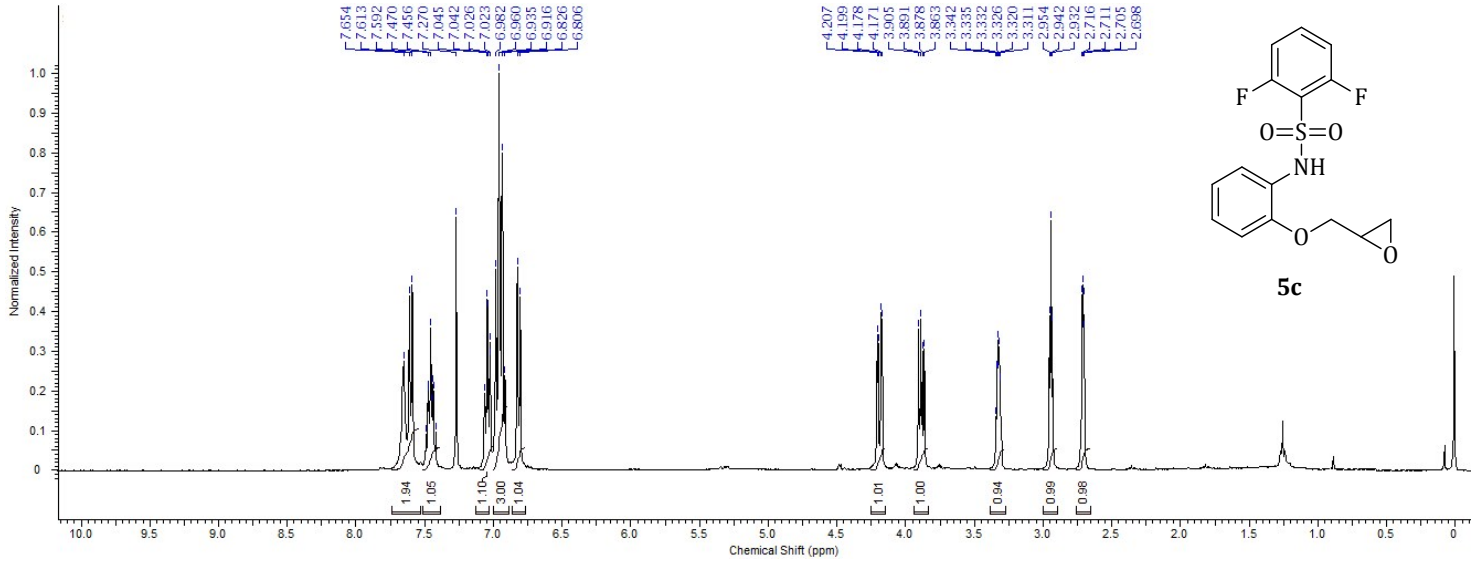
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 5a



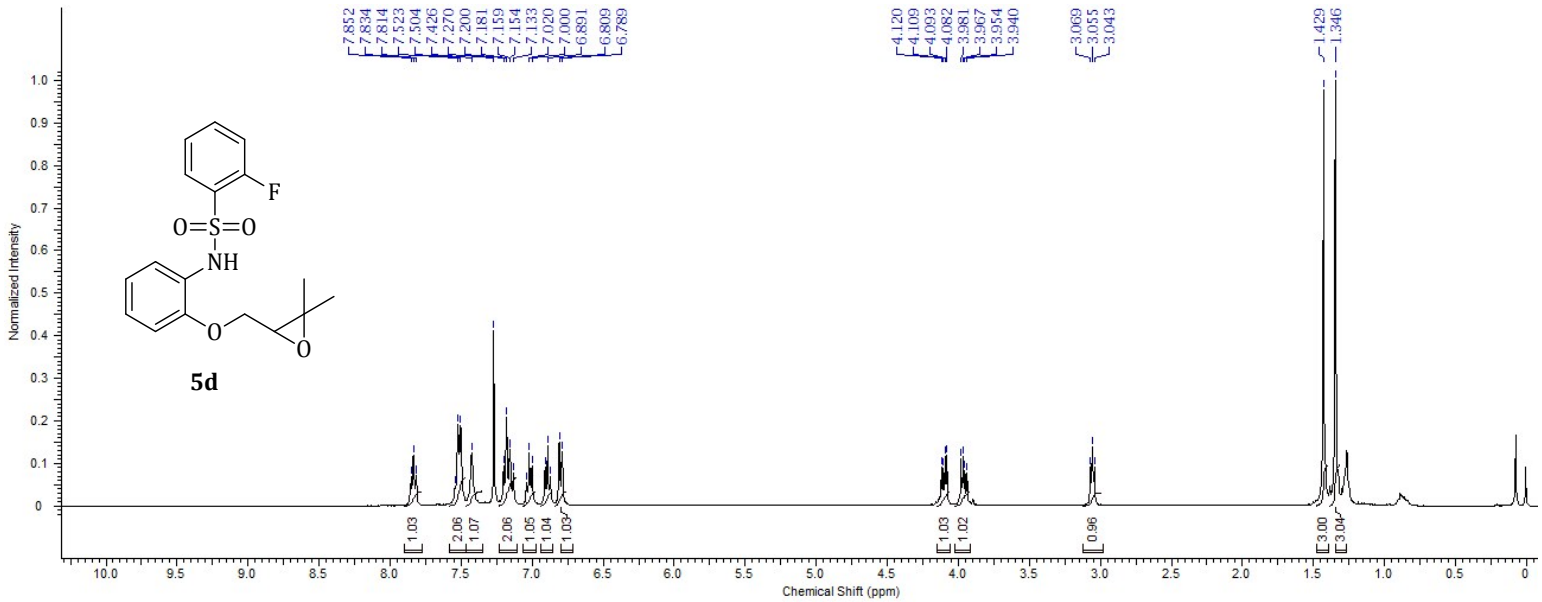
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 5a



^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 5b



^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 5c



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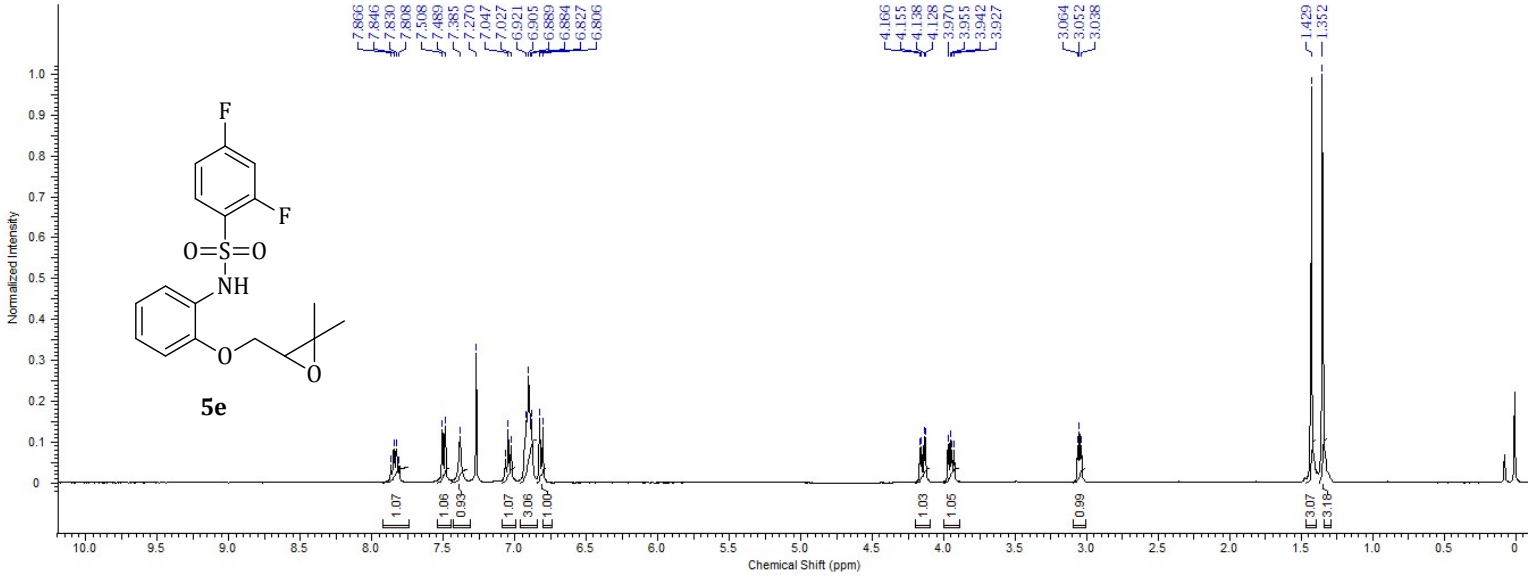
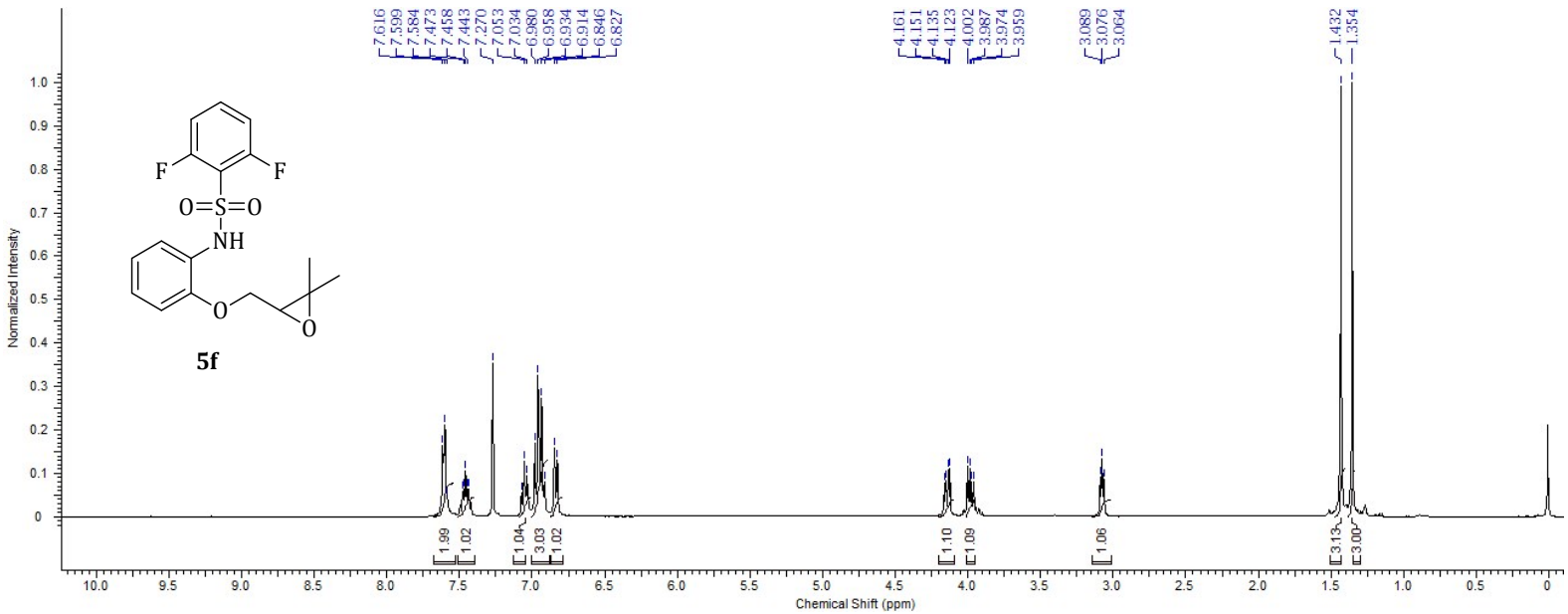
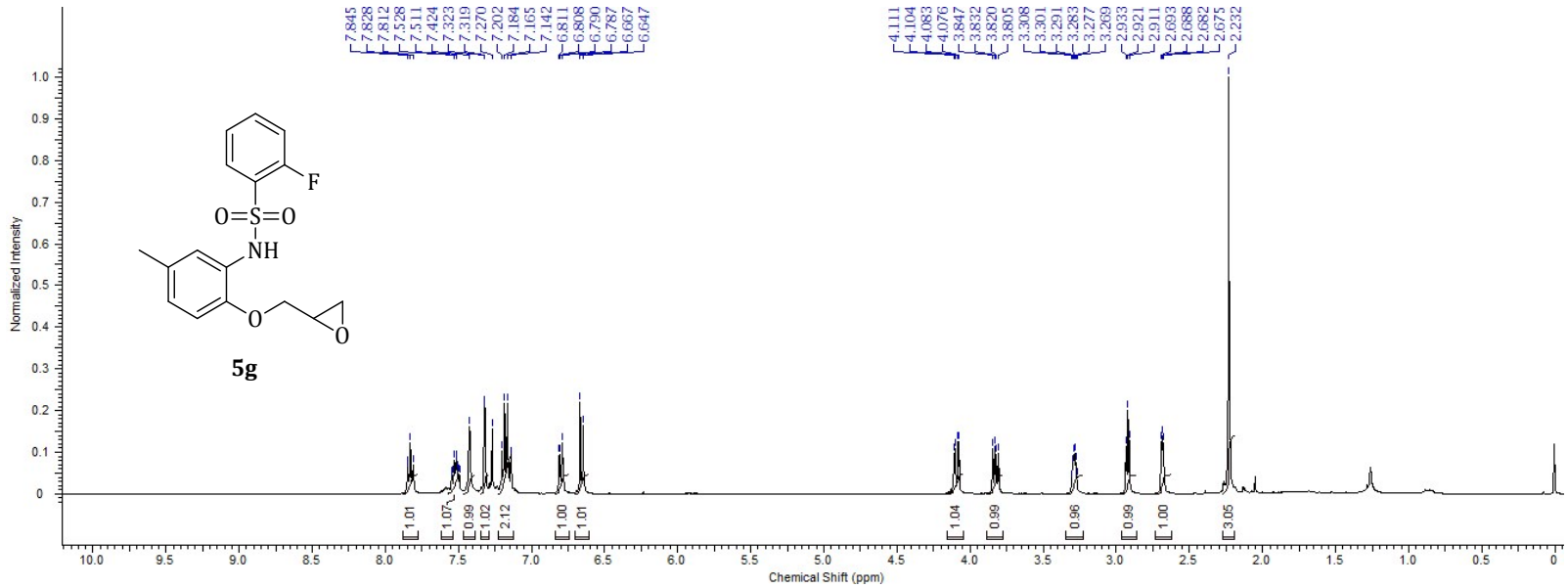


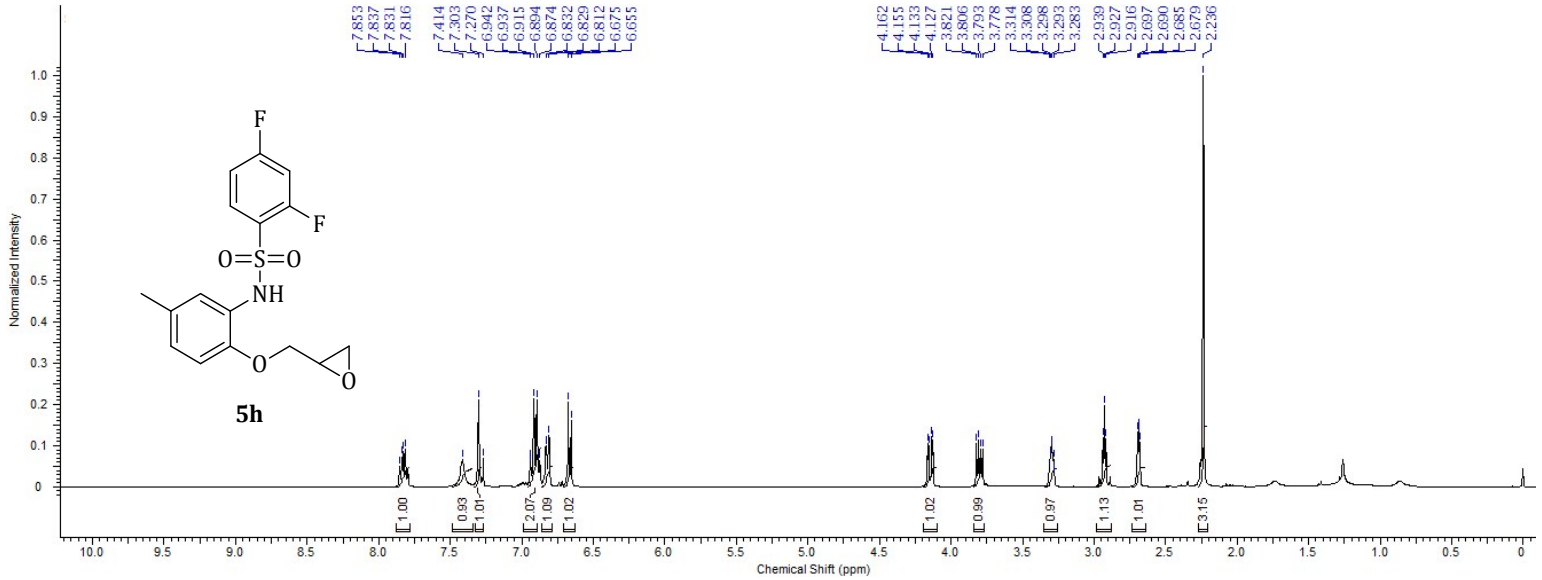
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 5e



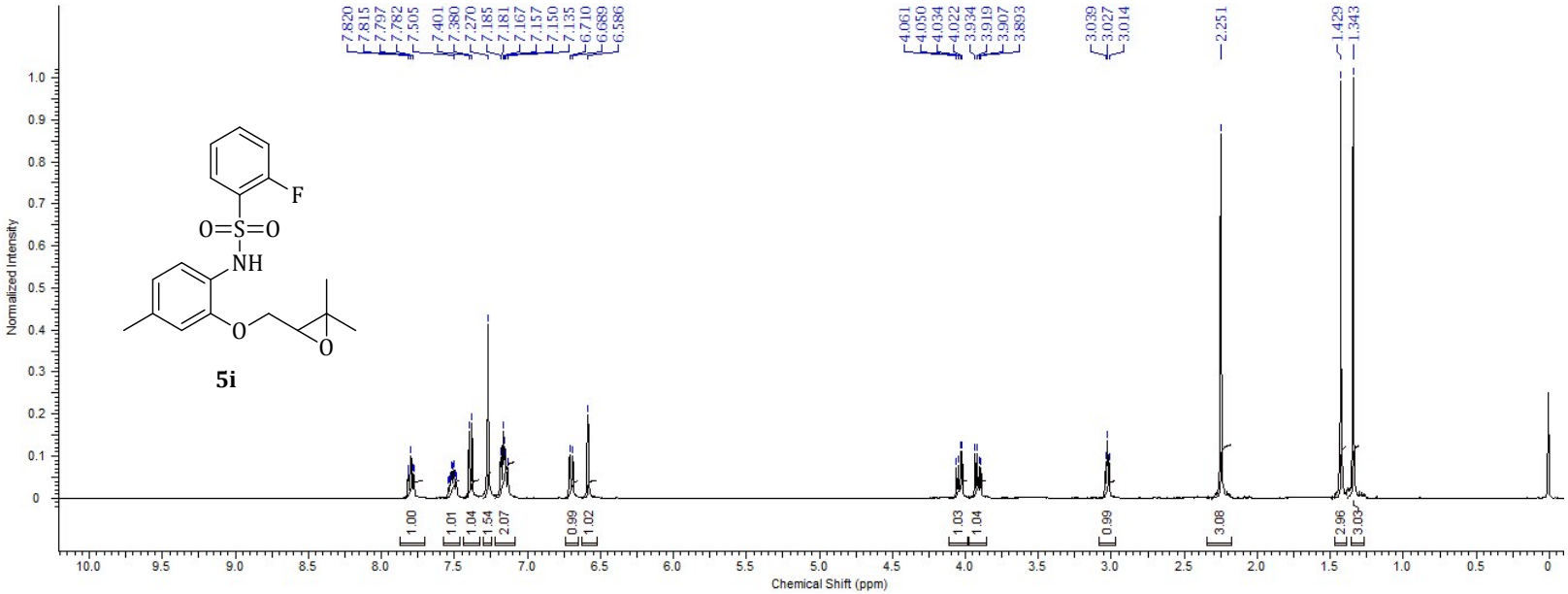
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 5f



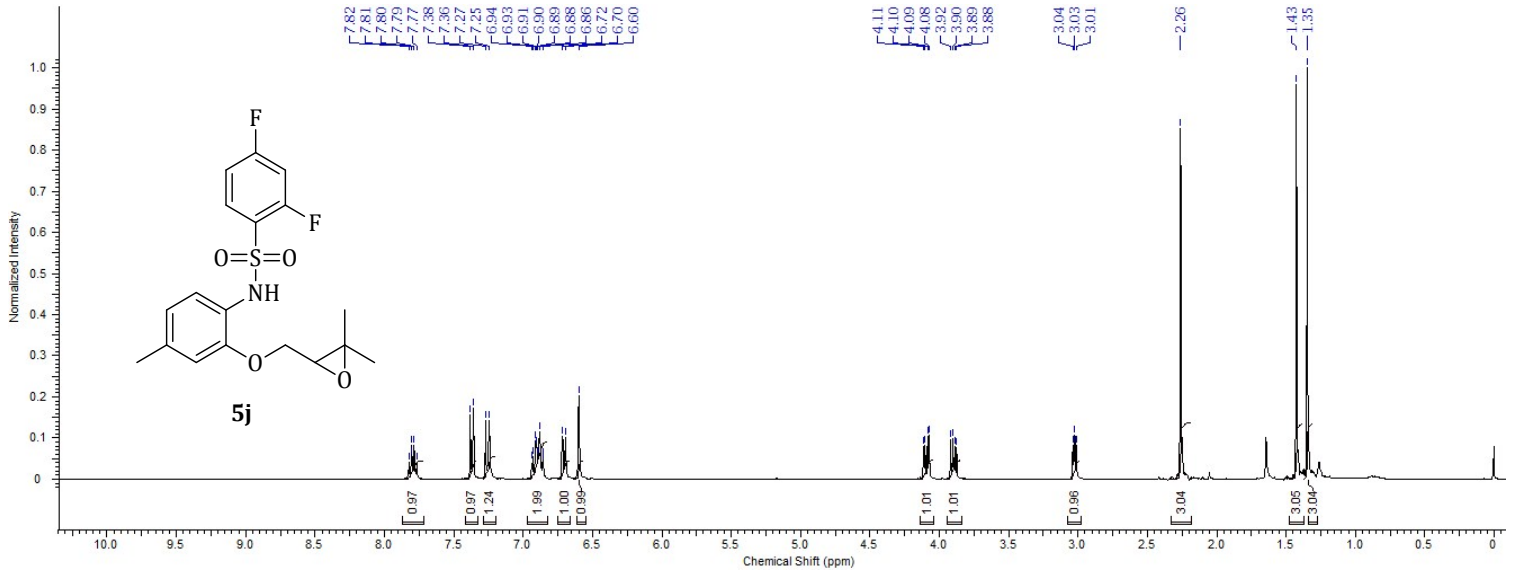
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 5g



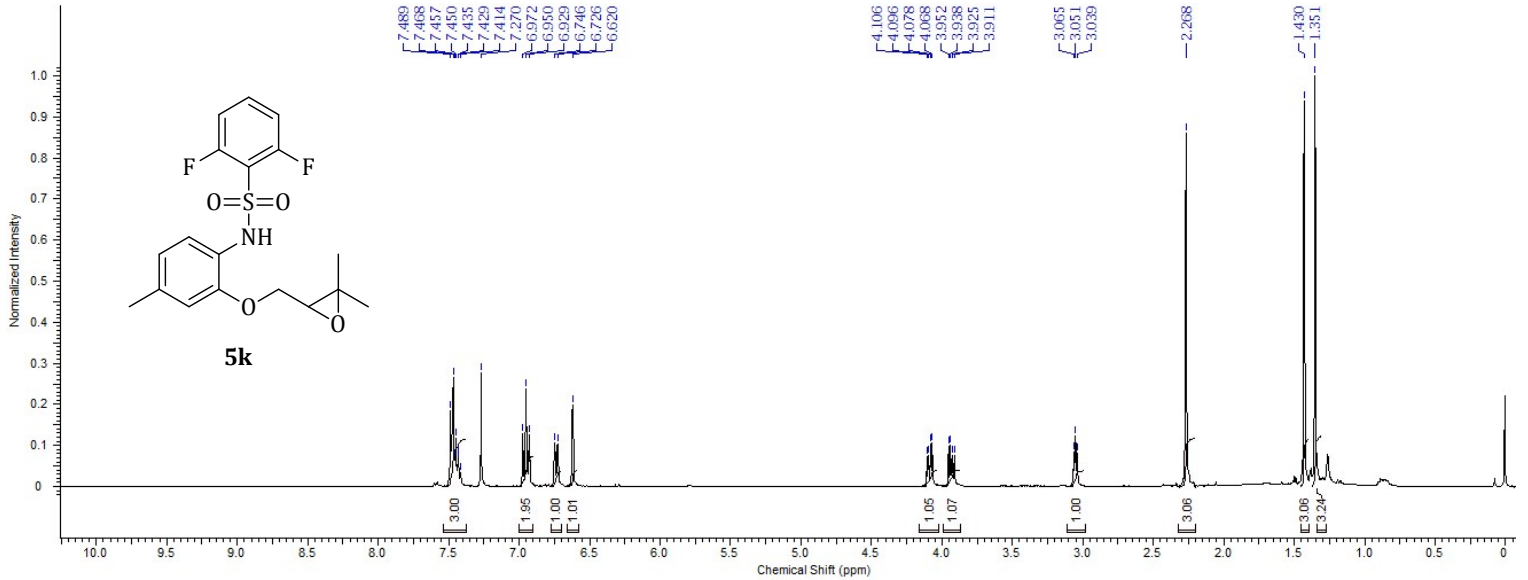
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 5h



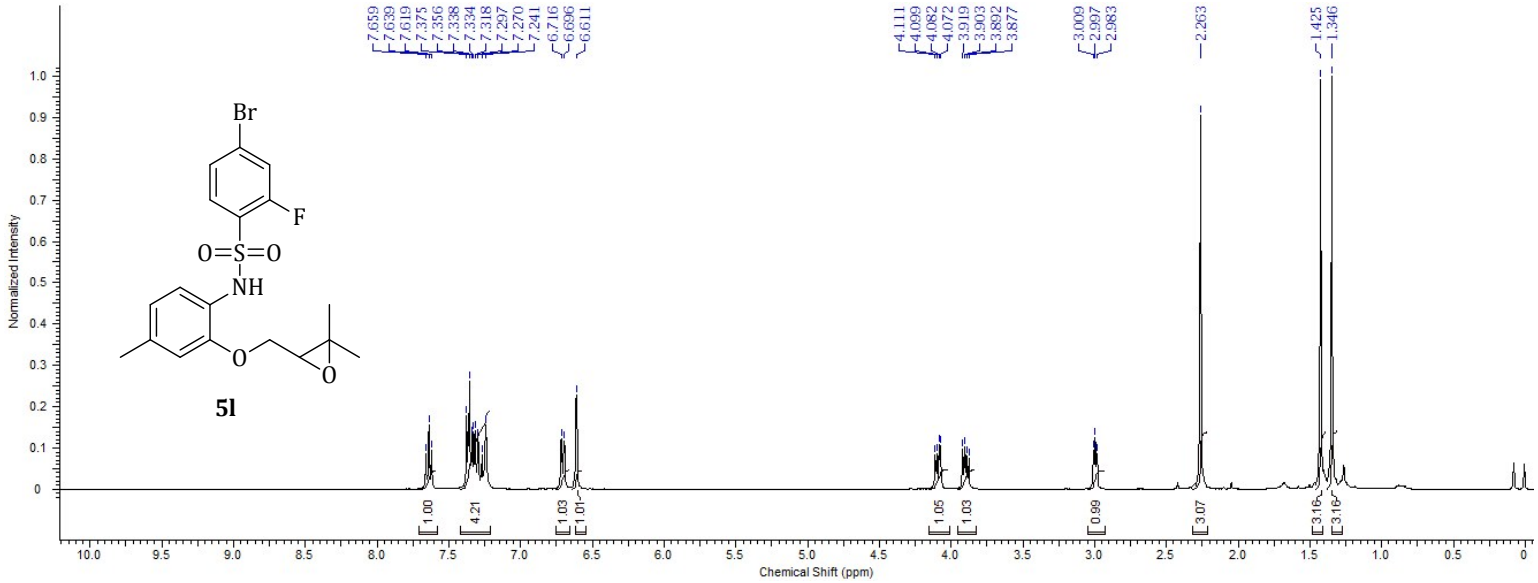
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 5i



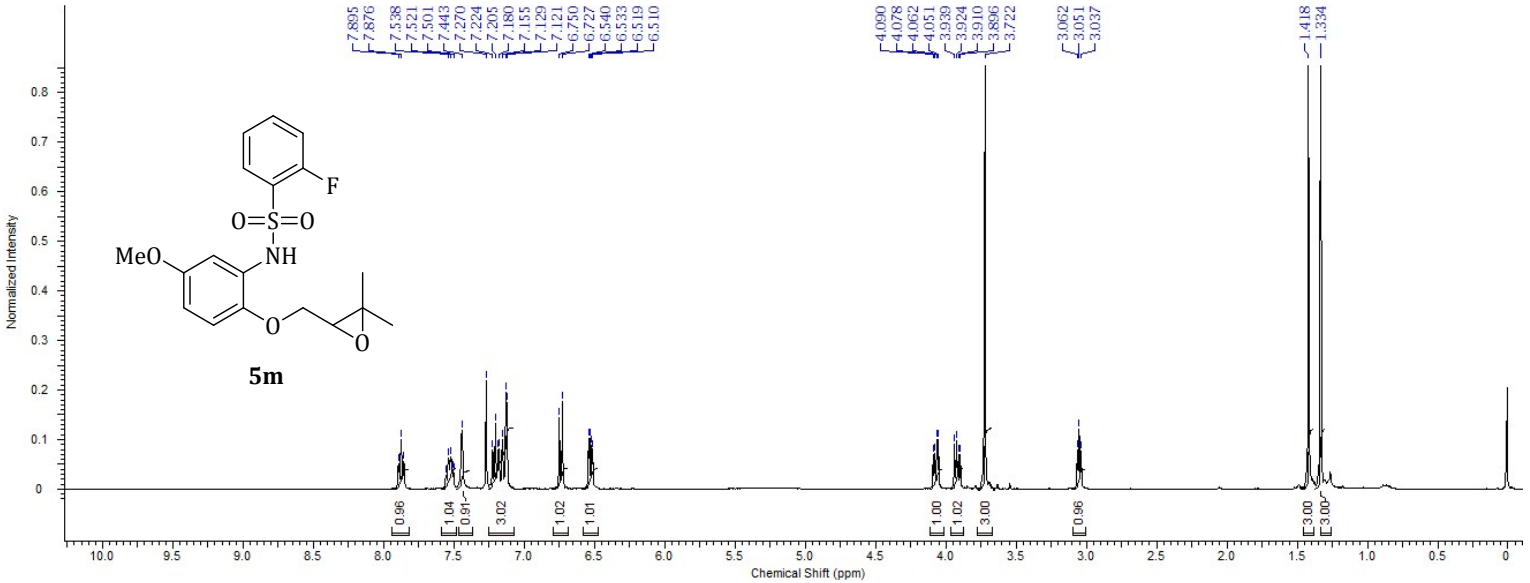
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 5j



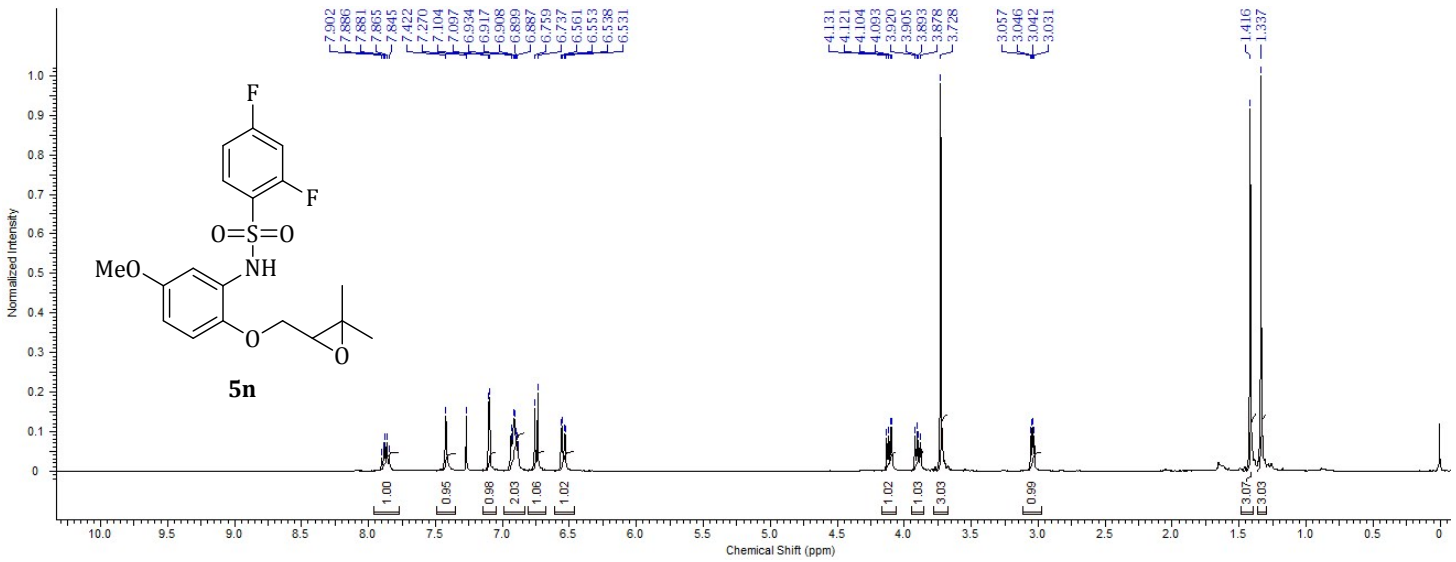
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 5k



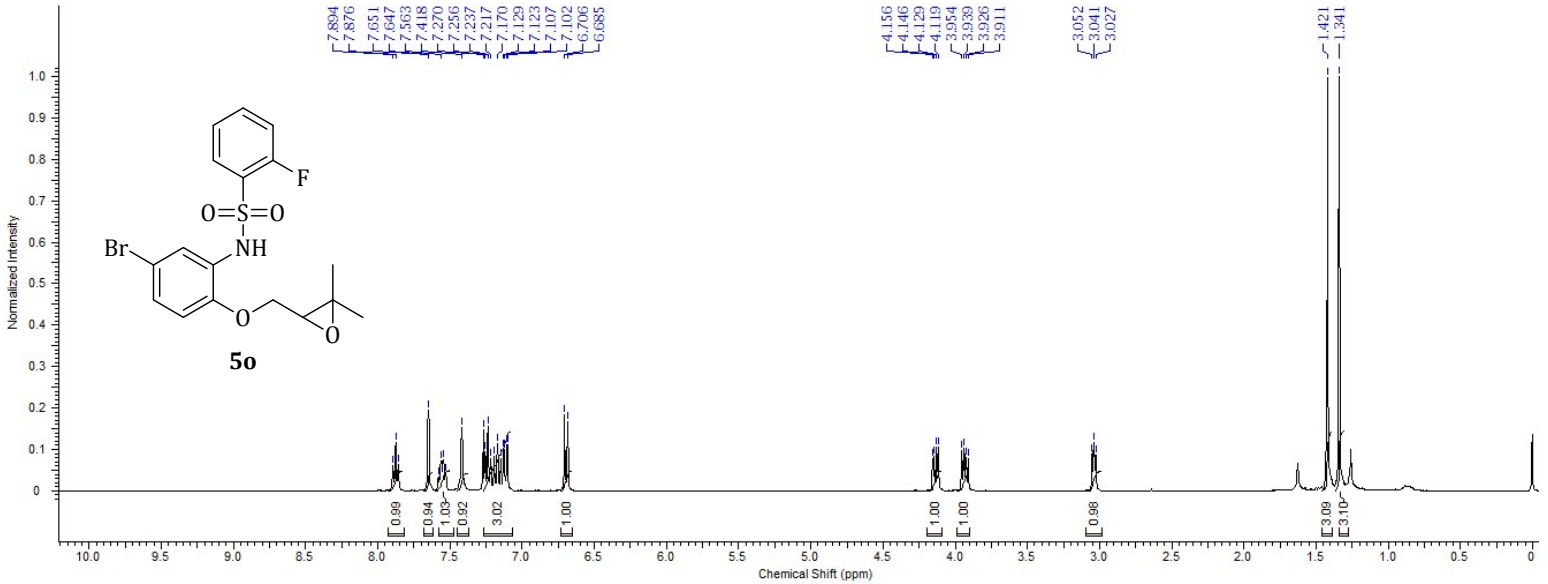
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 5l



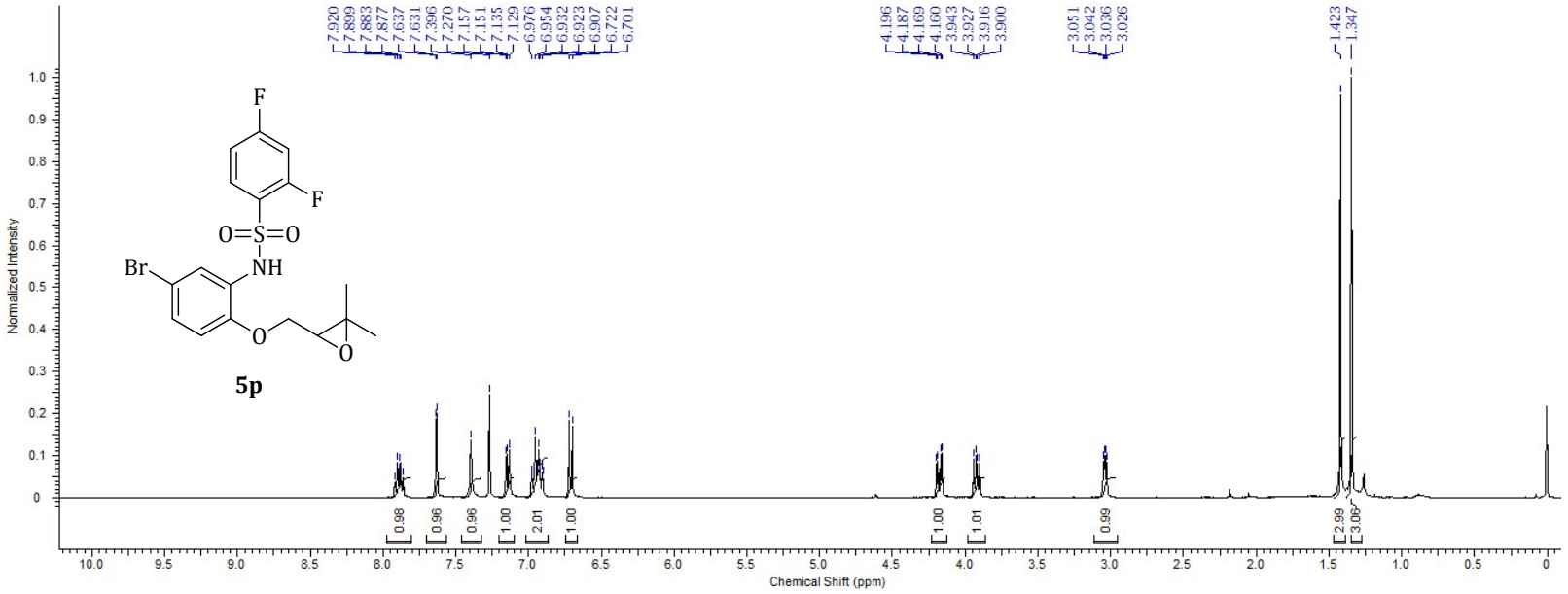
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 5m



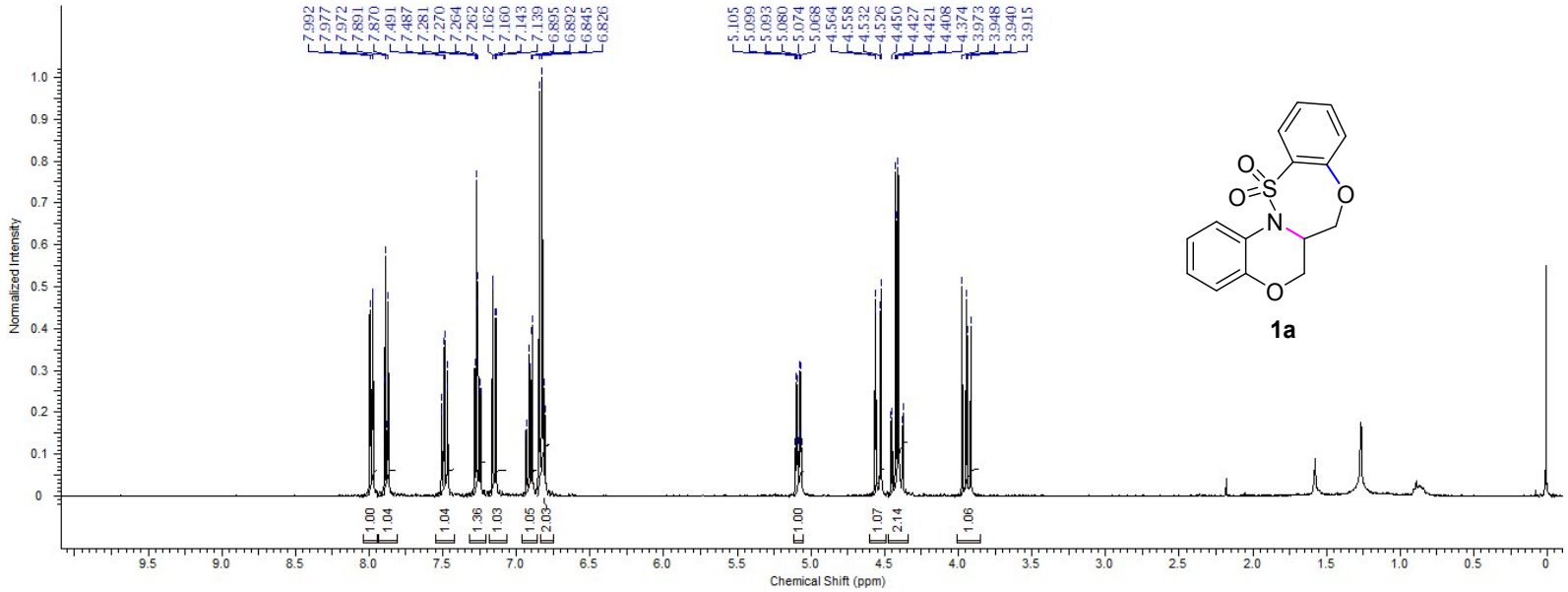
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 5n



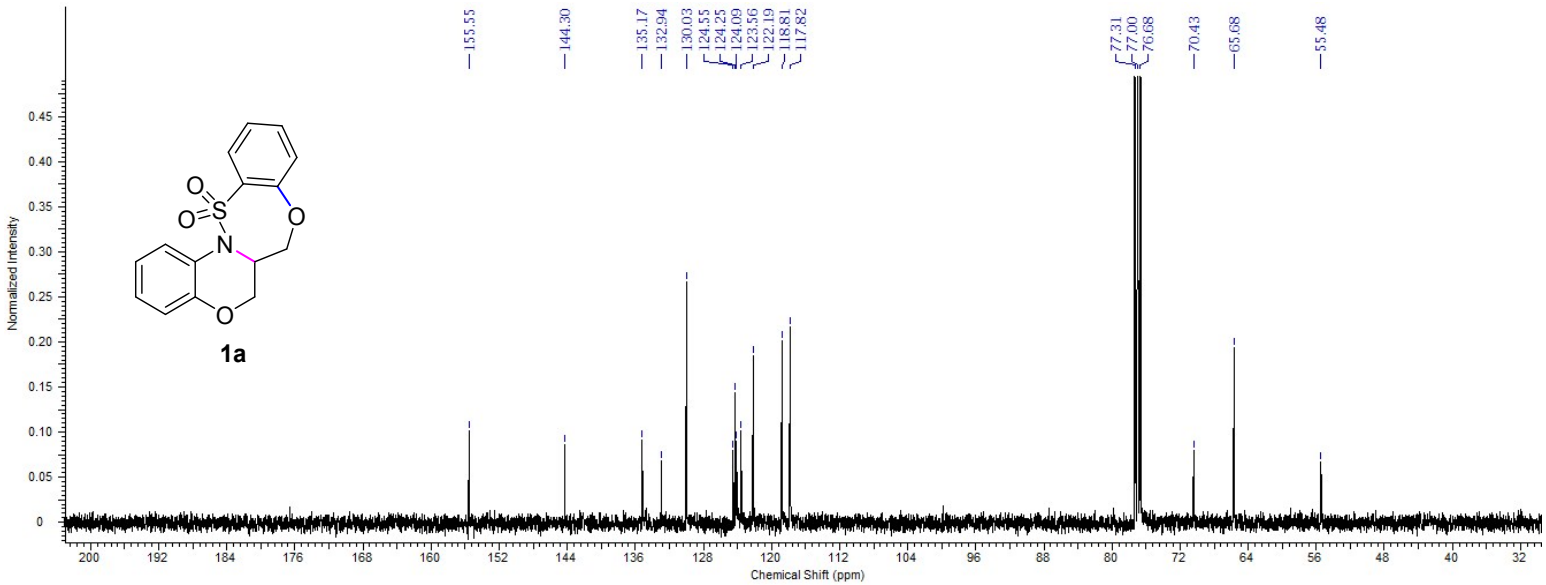
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 5o



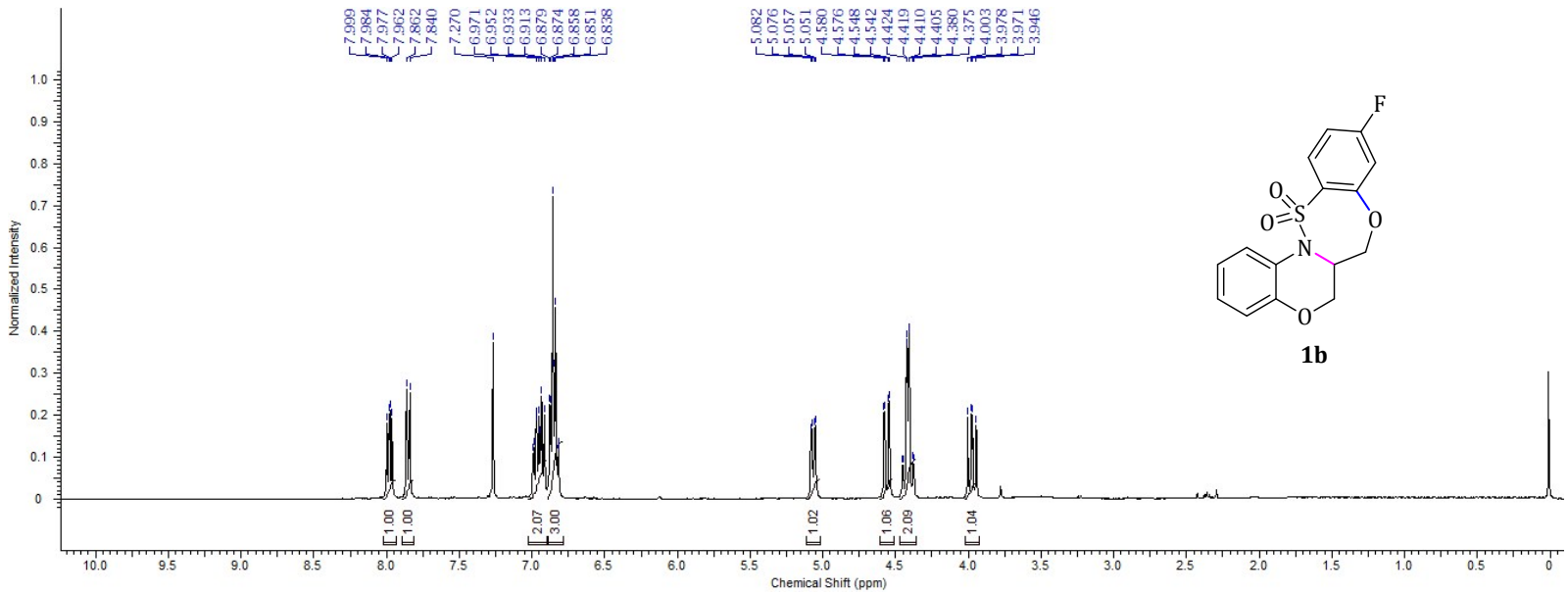
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 5p



^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 1a

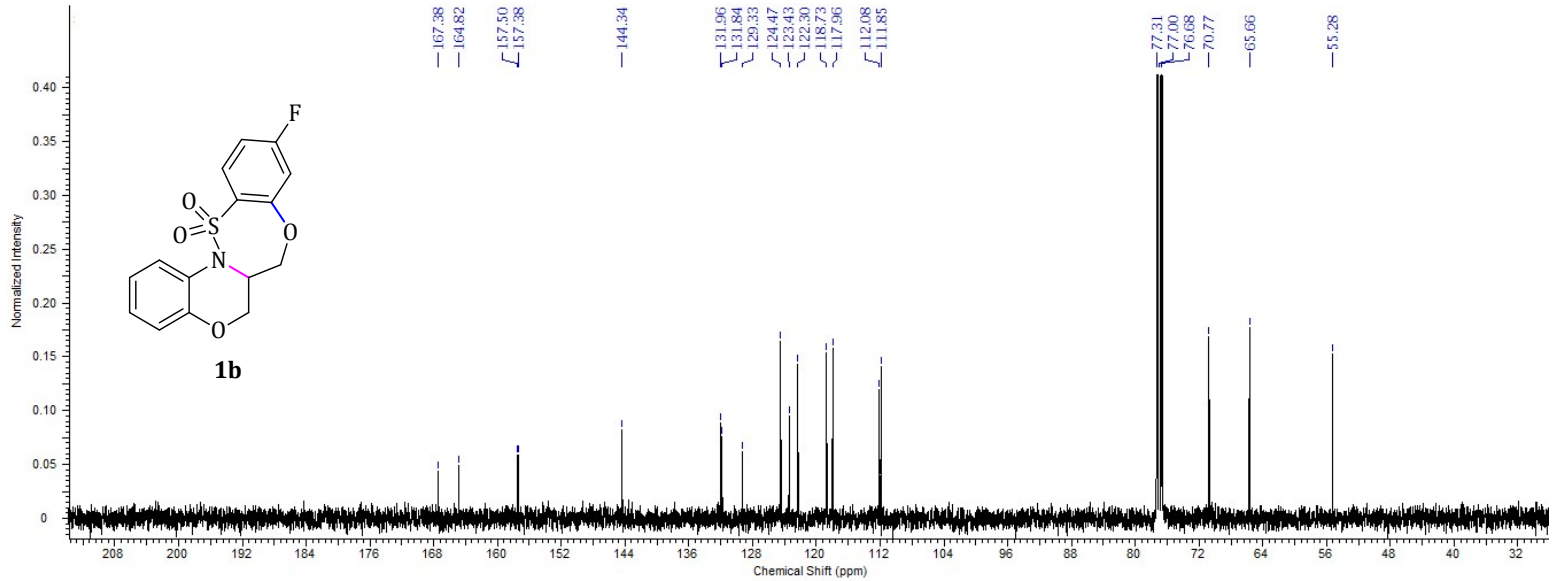


^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 1a



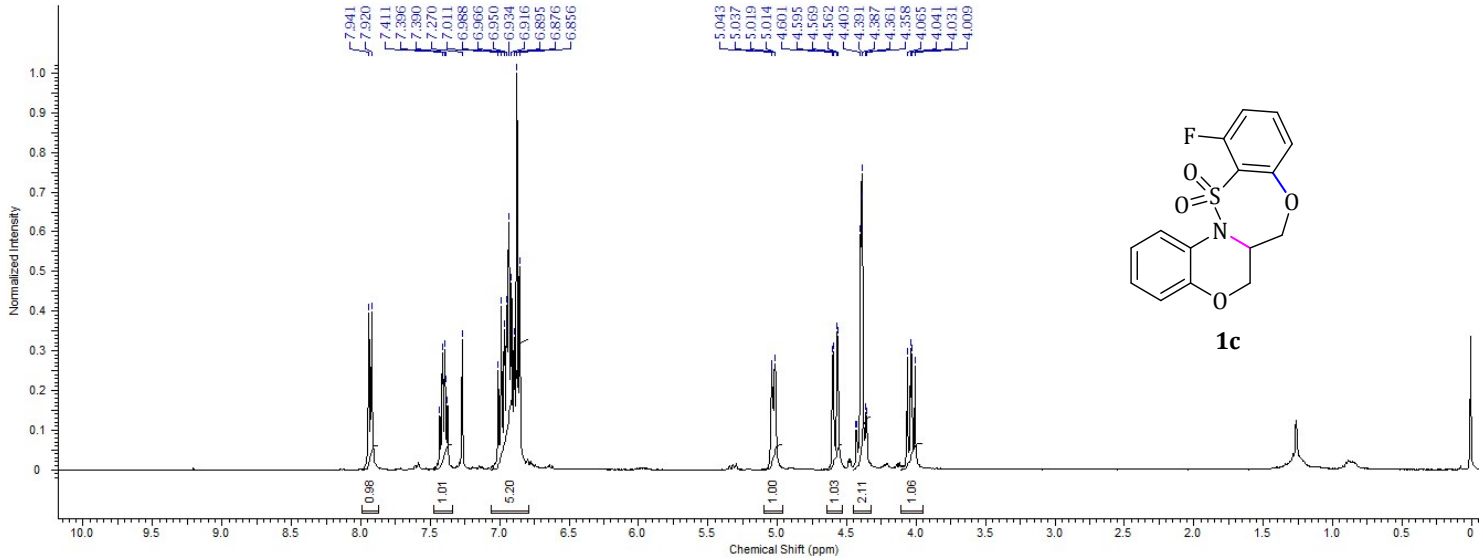
1b

^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 1b

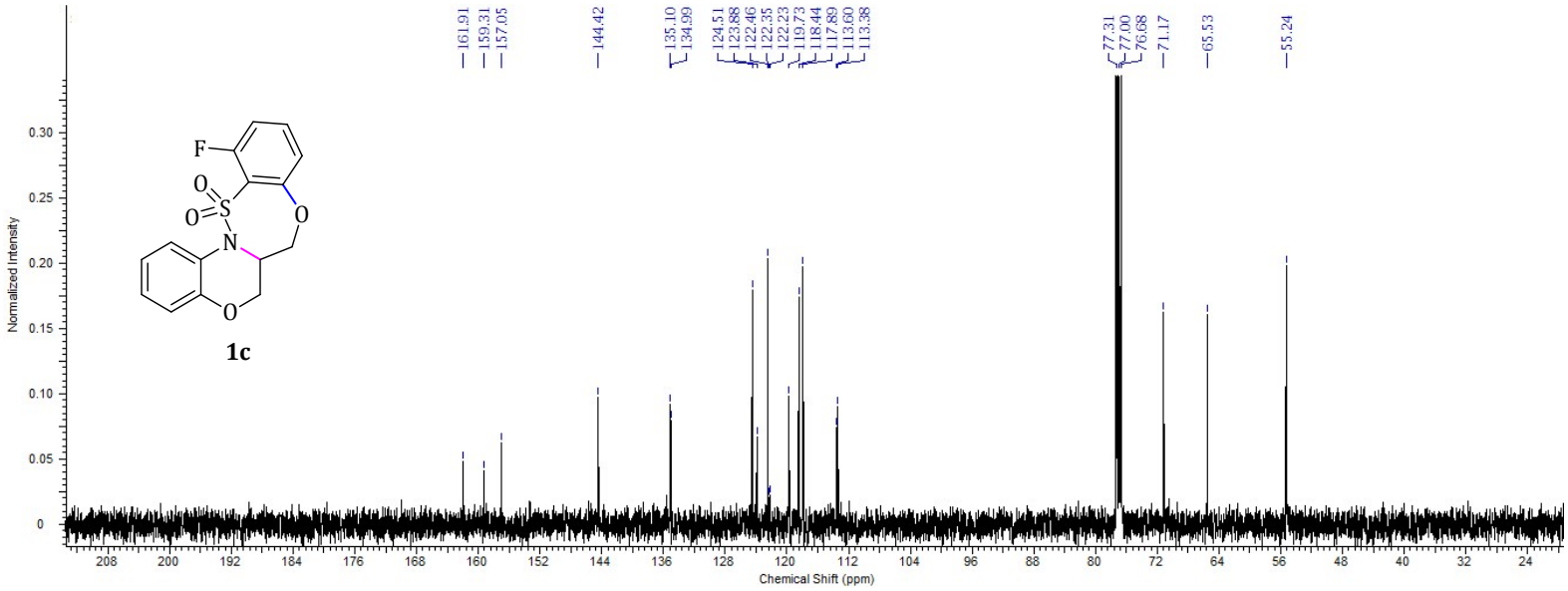


1b

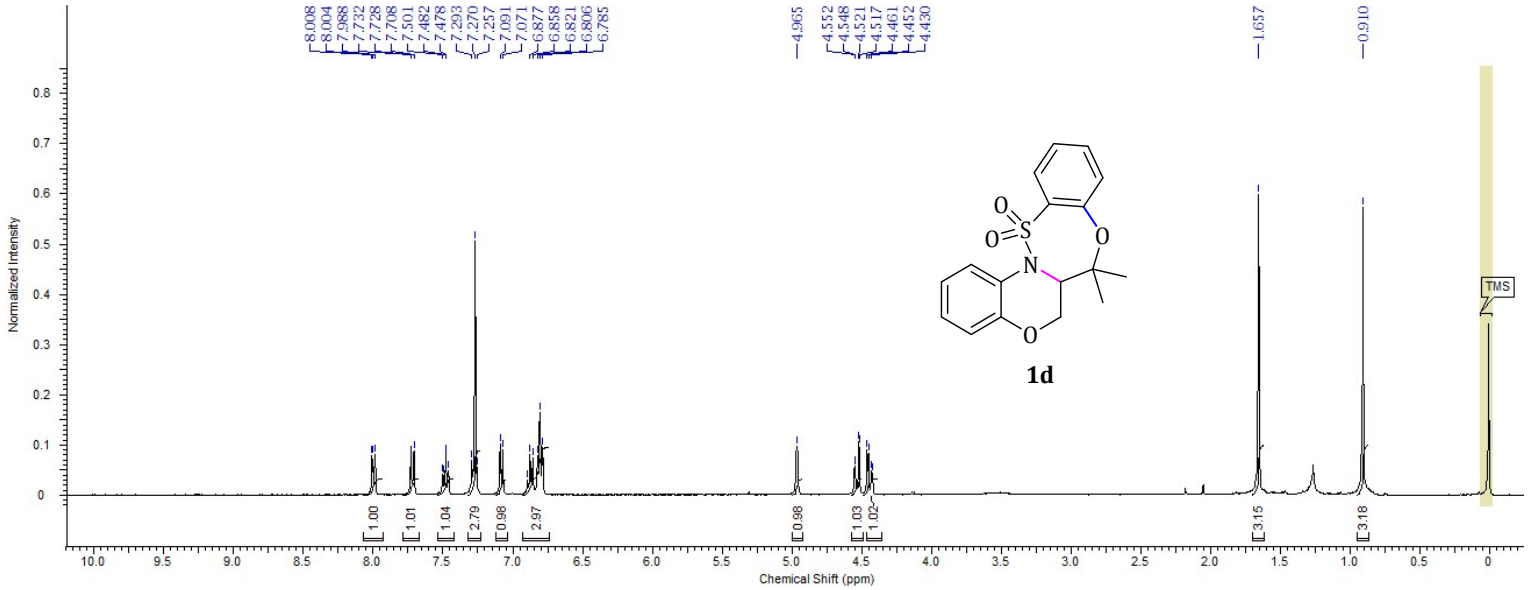
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 1b



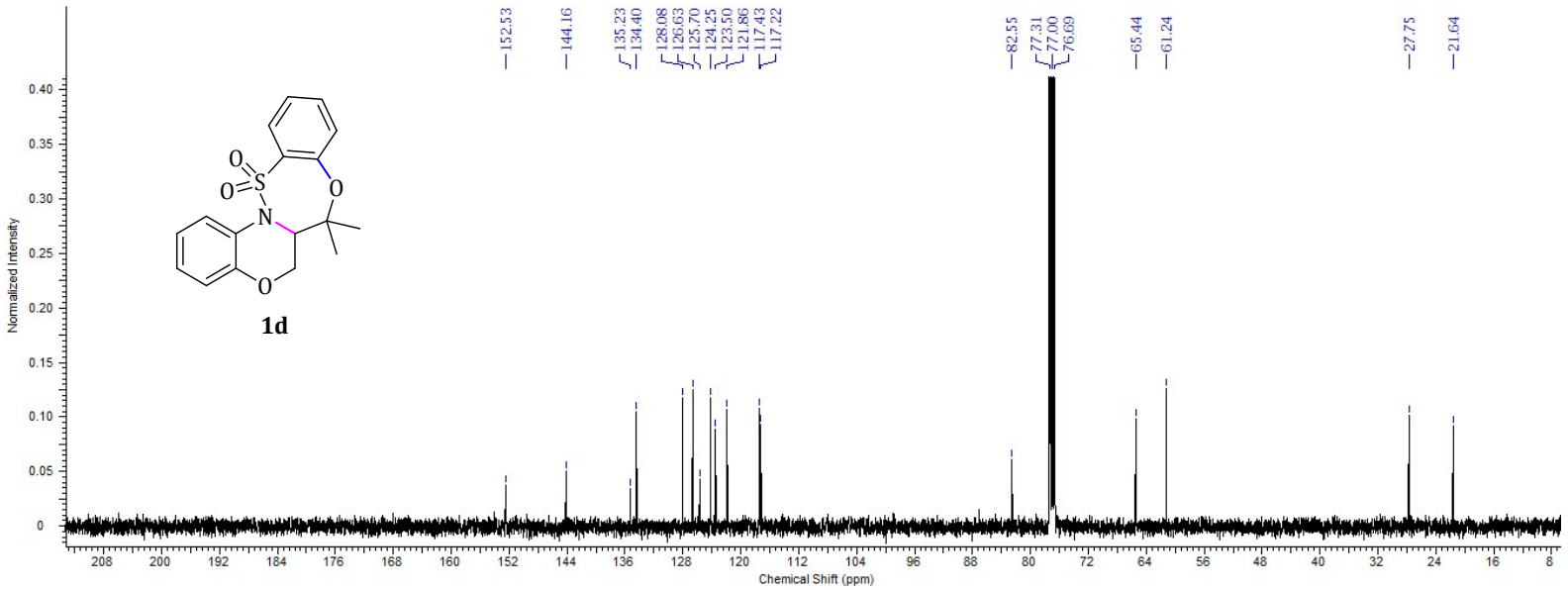
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 1c



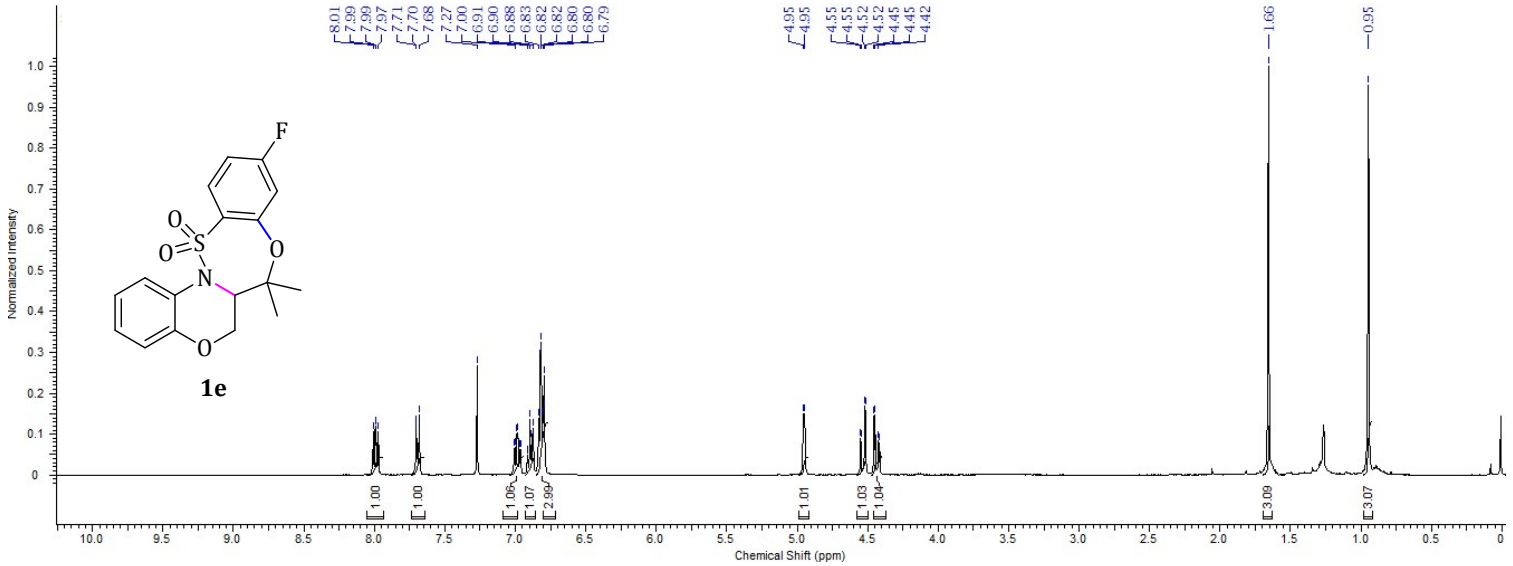
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 1c



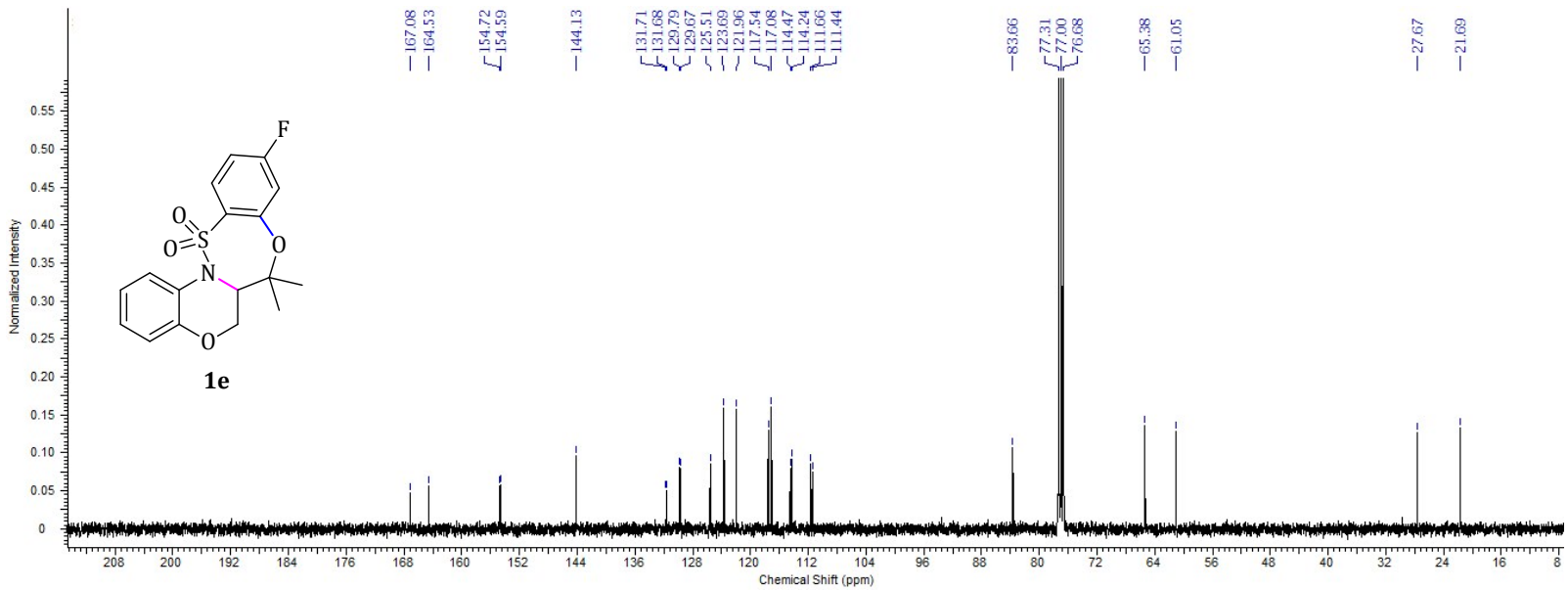
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 1d



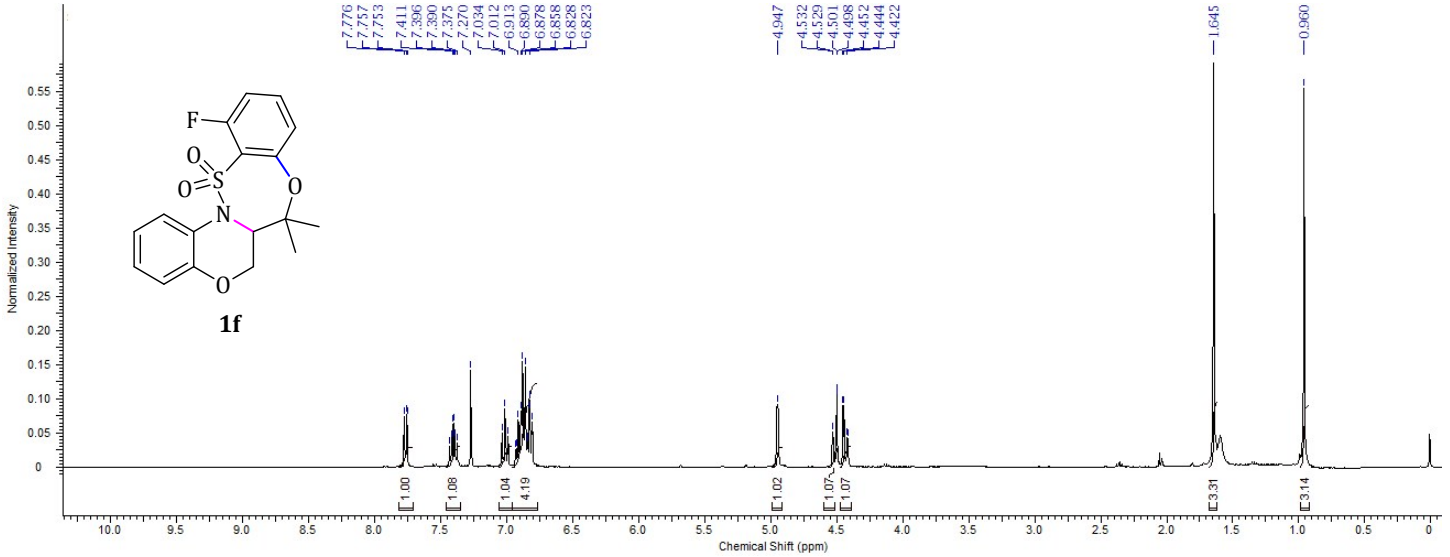
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 1d



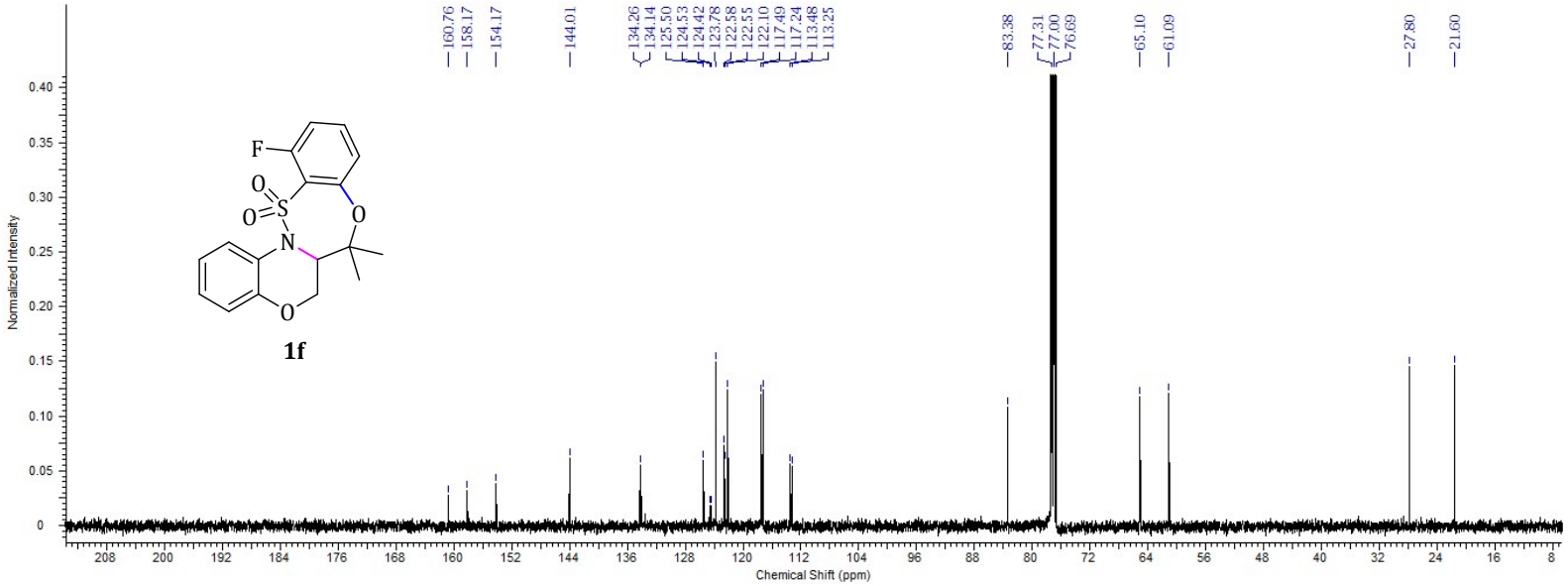
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 1e



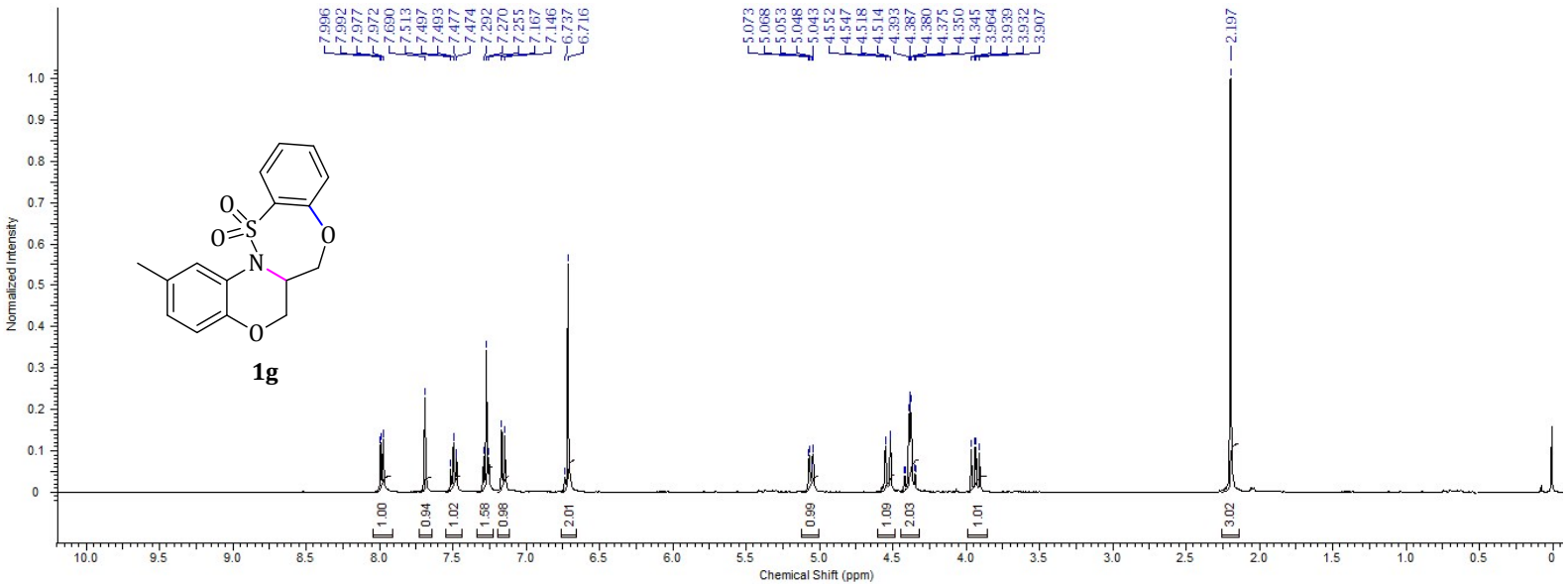
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 1e



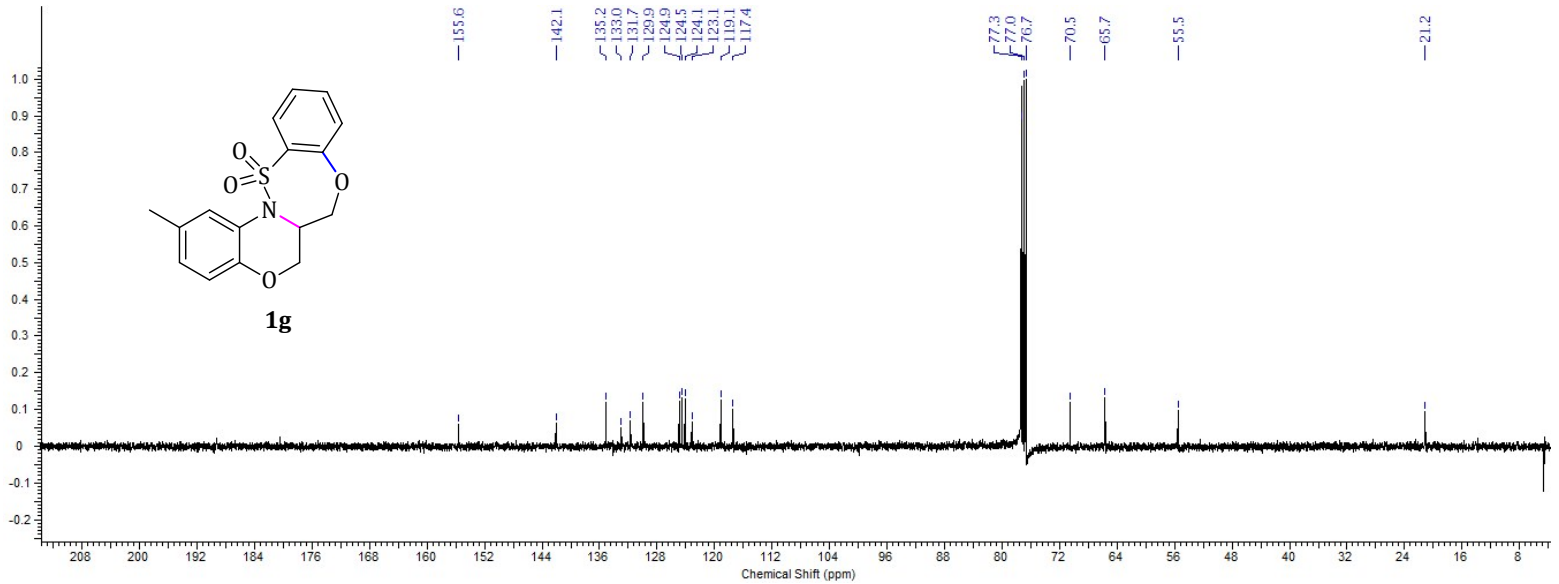
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 1f



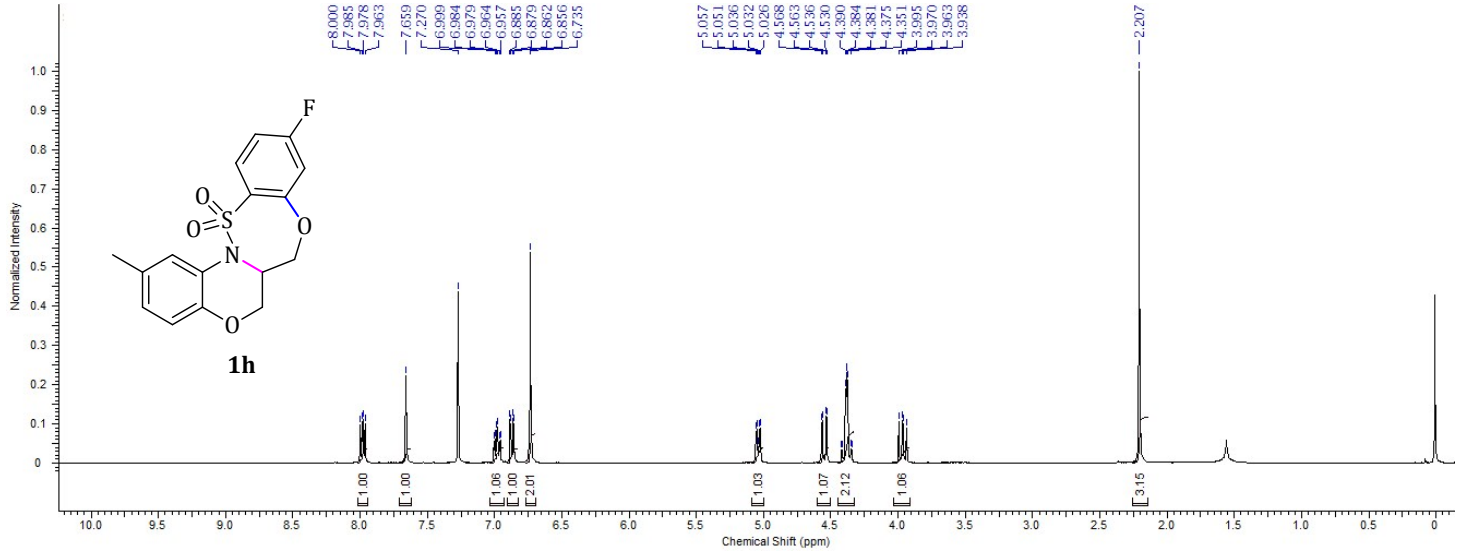
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 1f



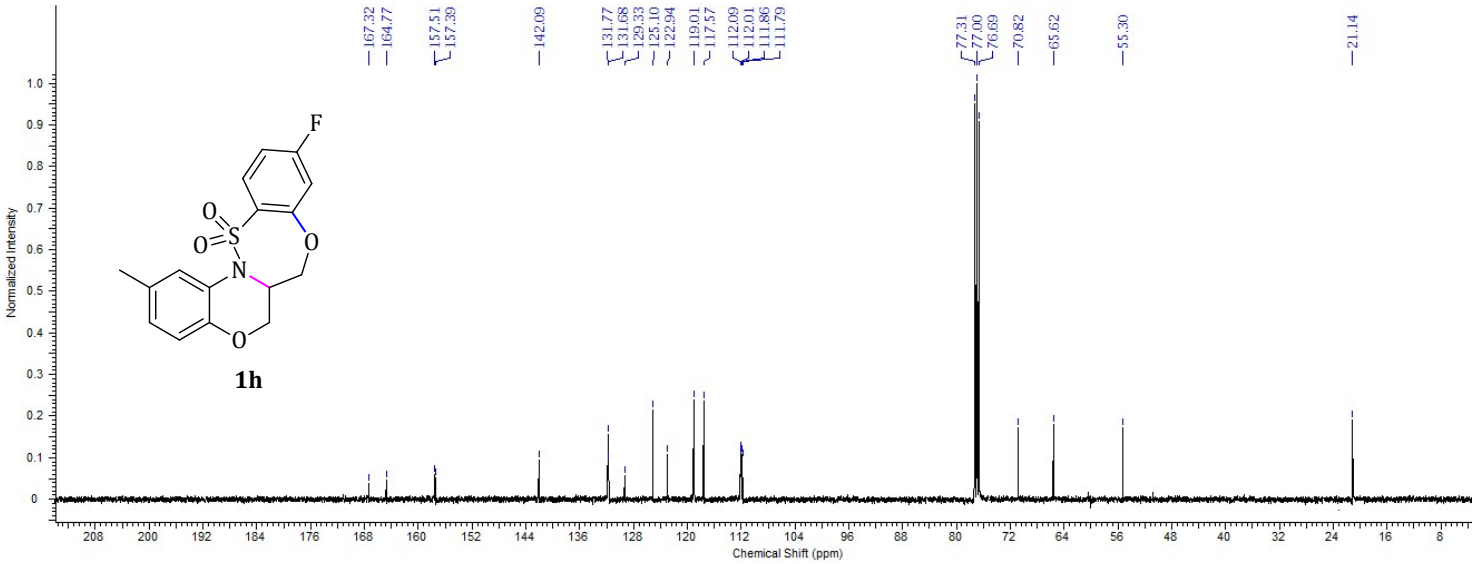
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 1g



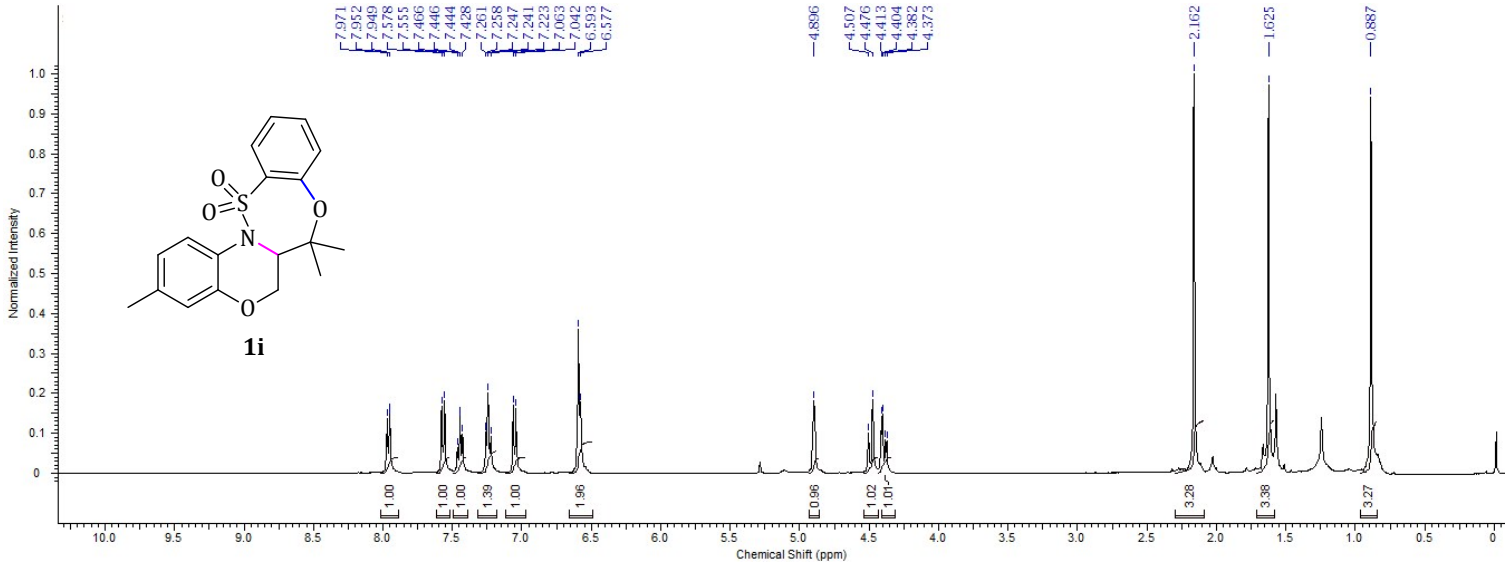
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 1g



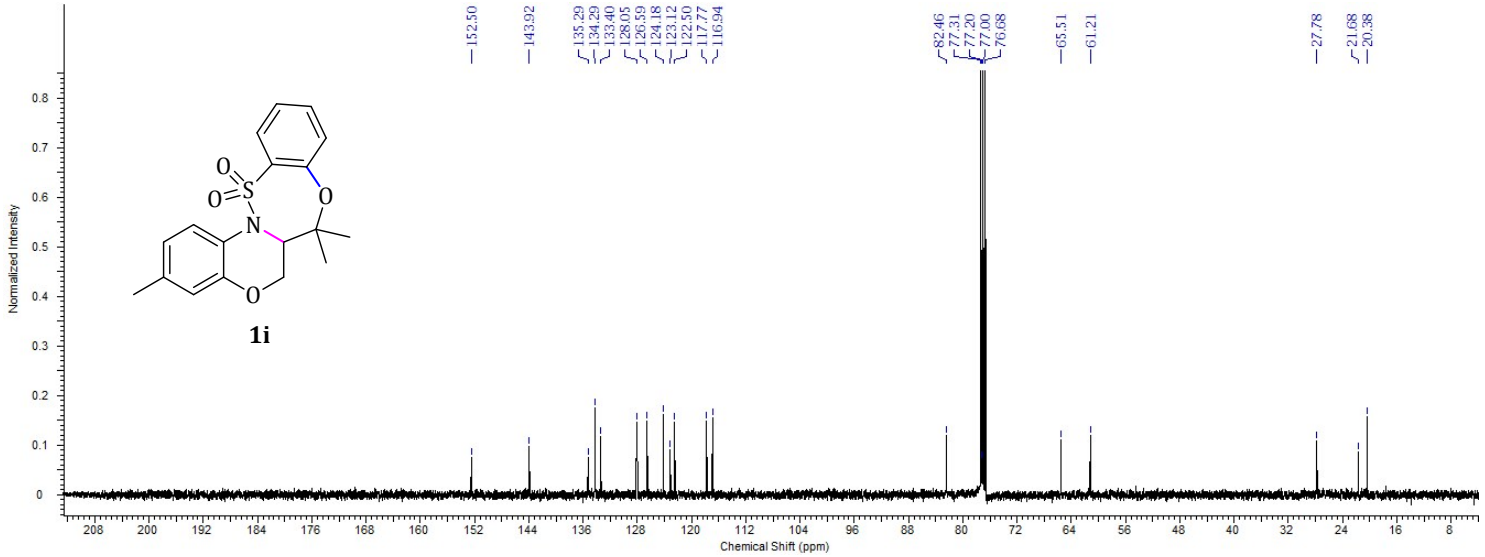
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 1h



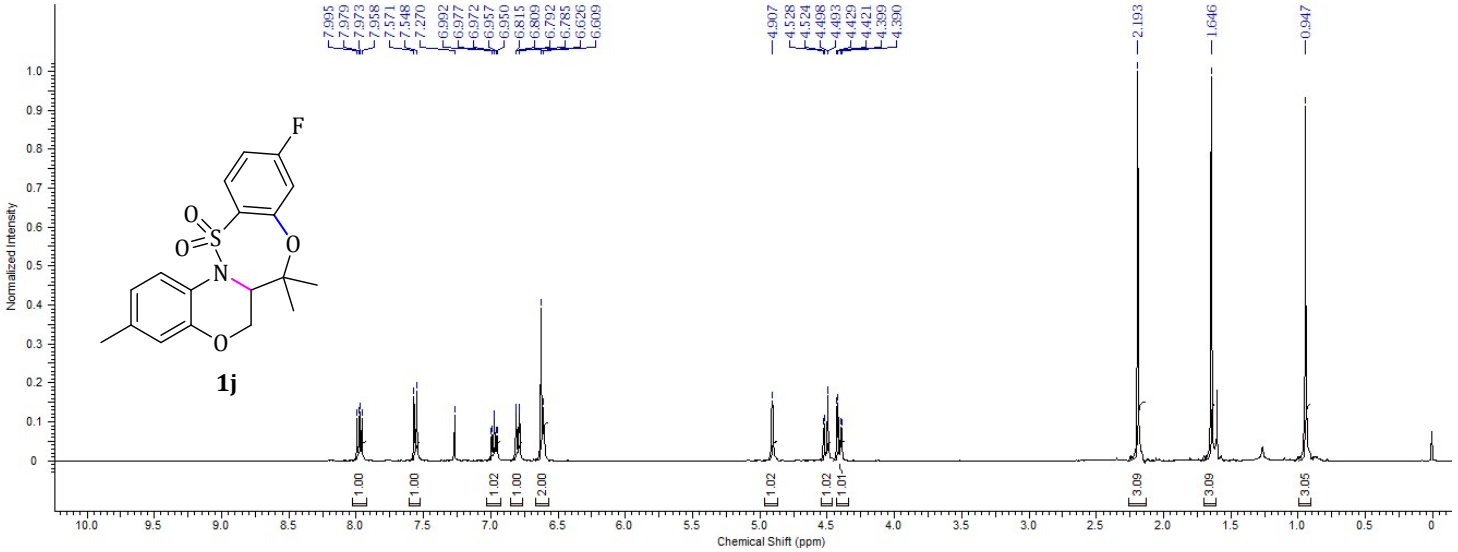
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 1h



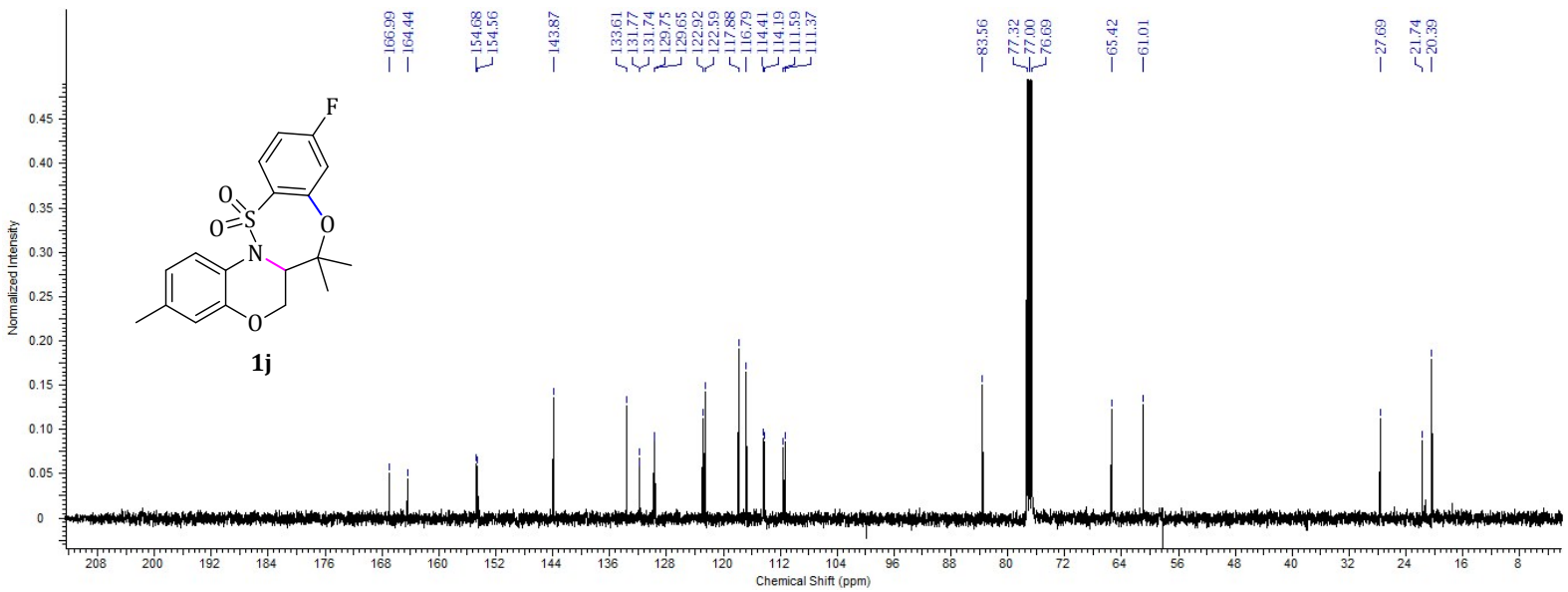
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 1i



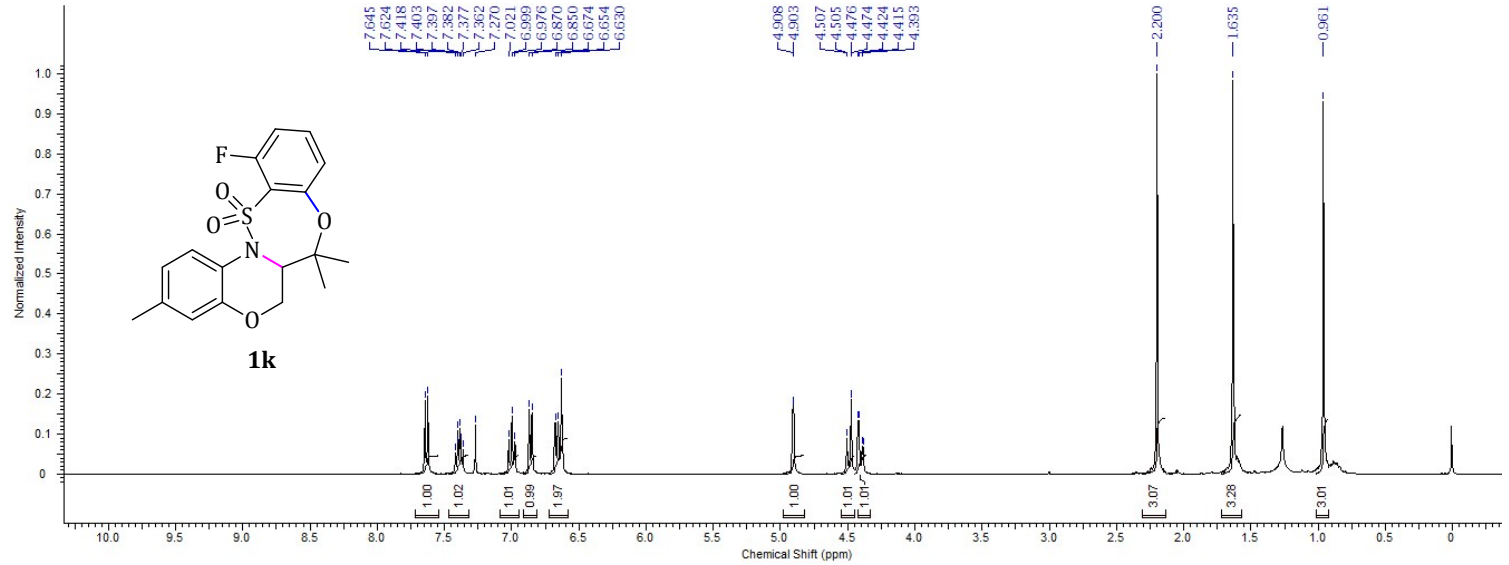
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 1i



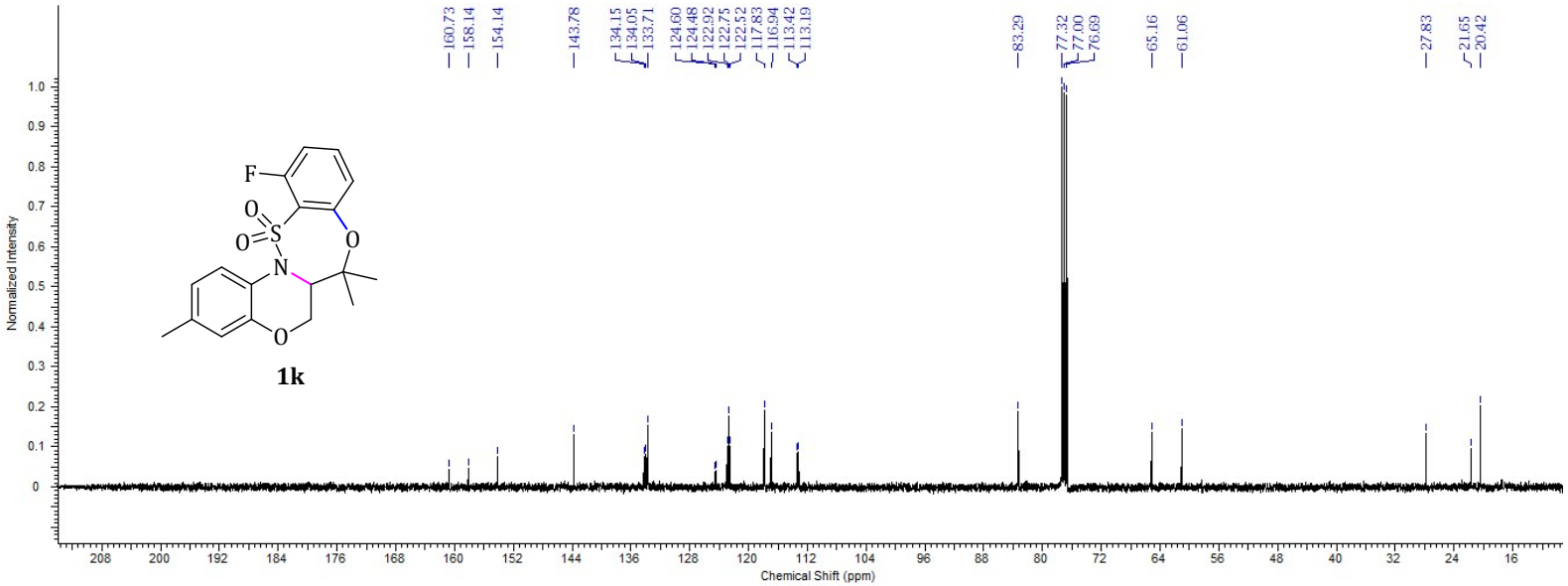
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 1j



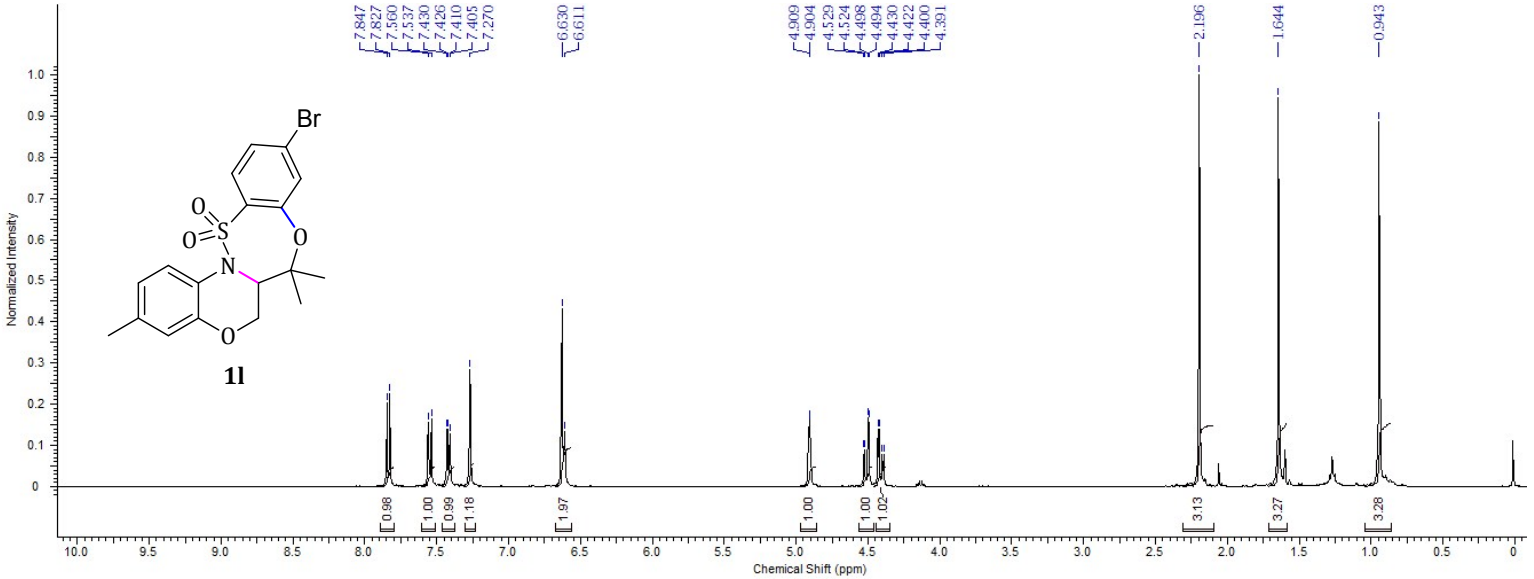
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 1j



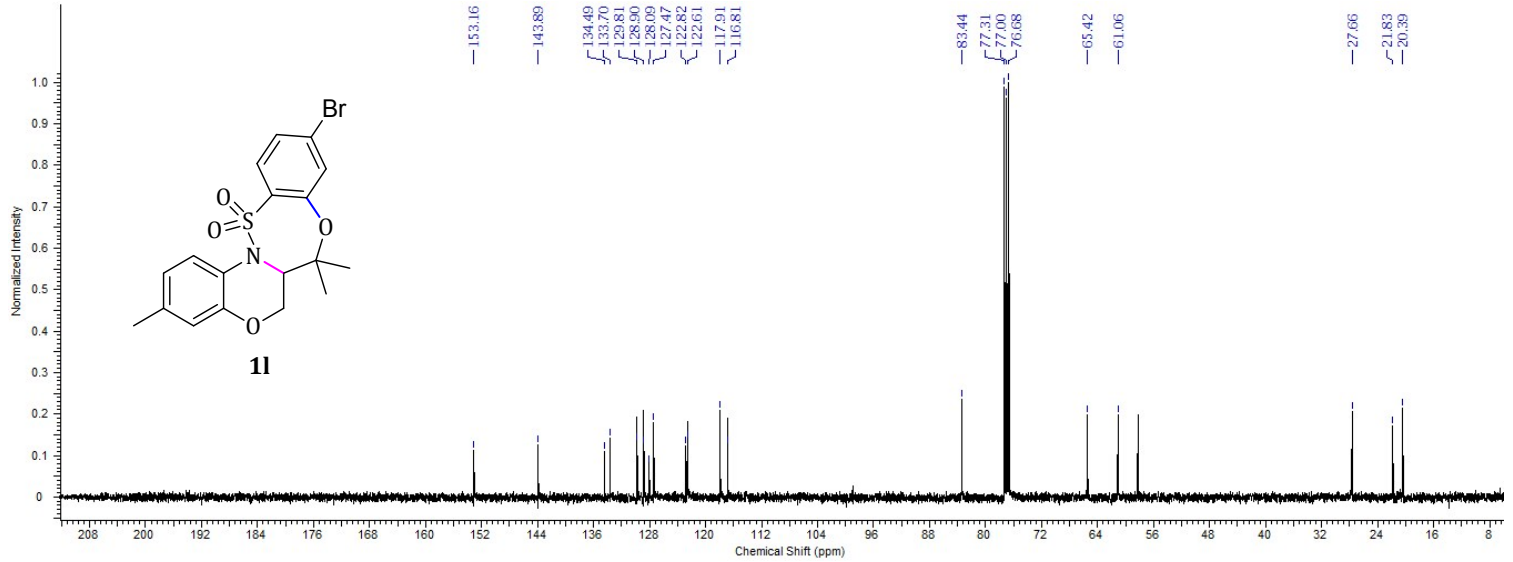
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 1k



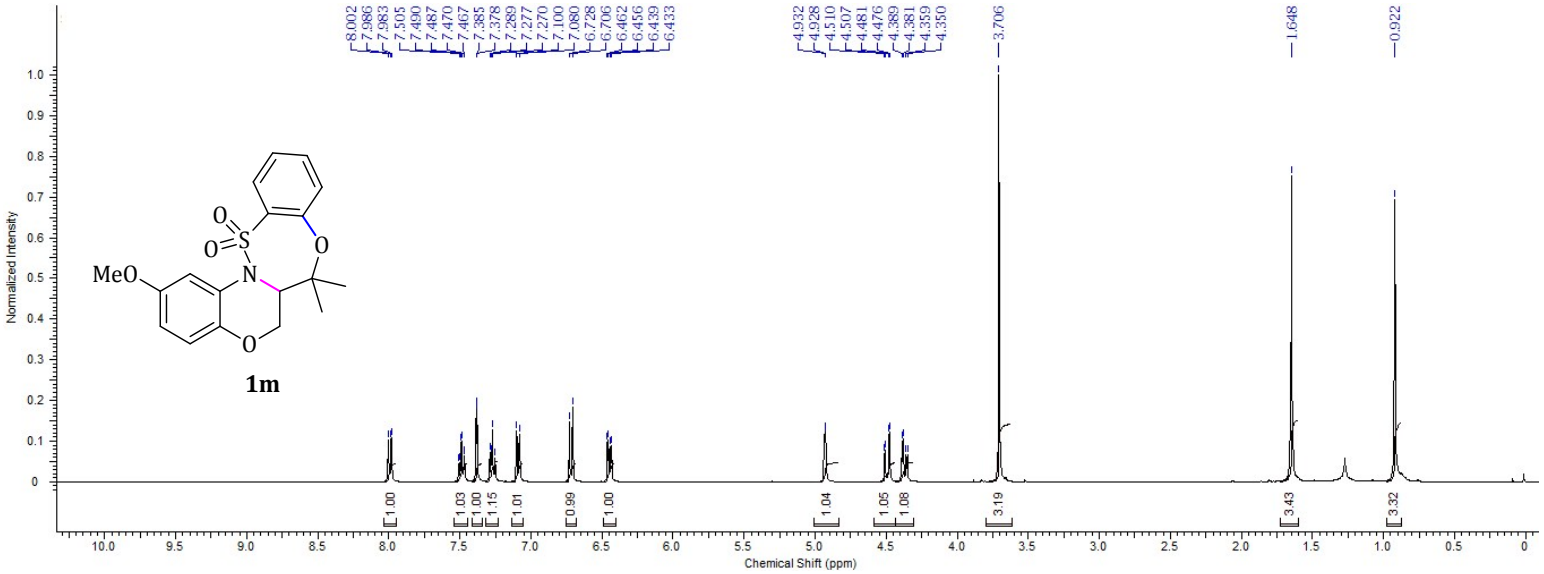
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 1k



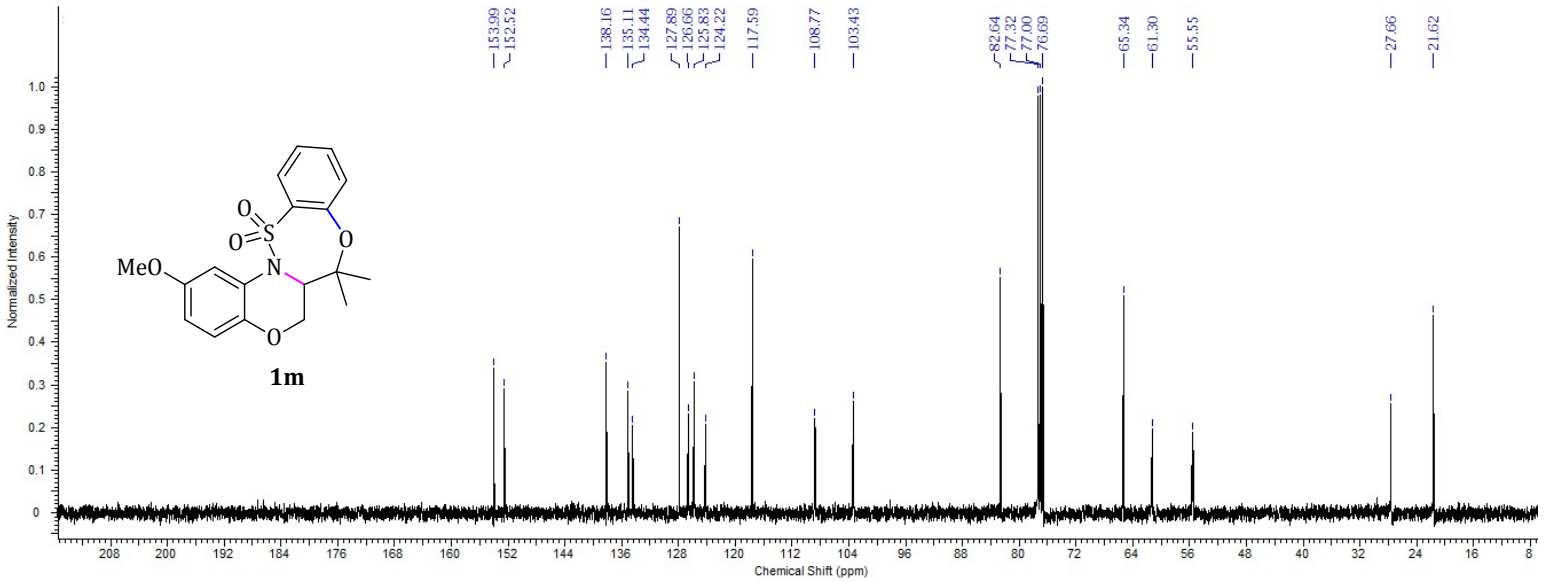
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 1l



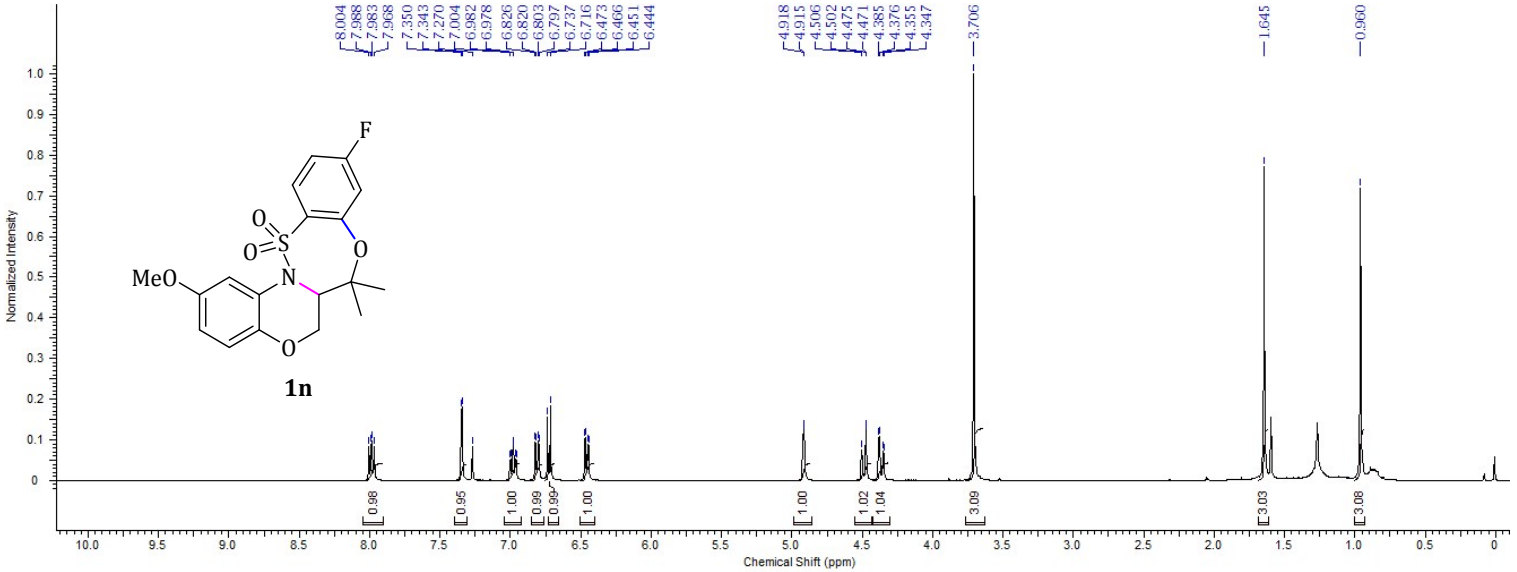
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 1l



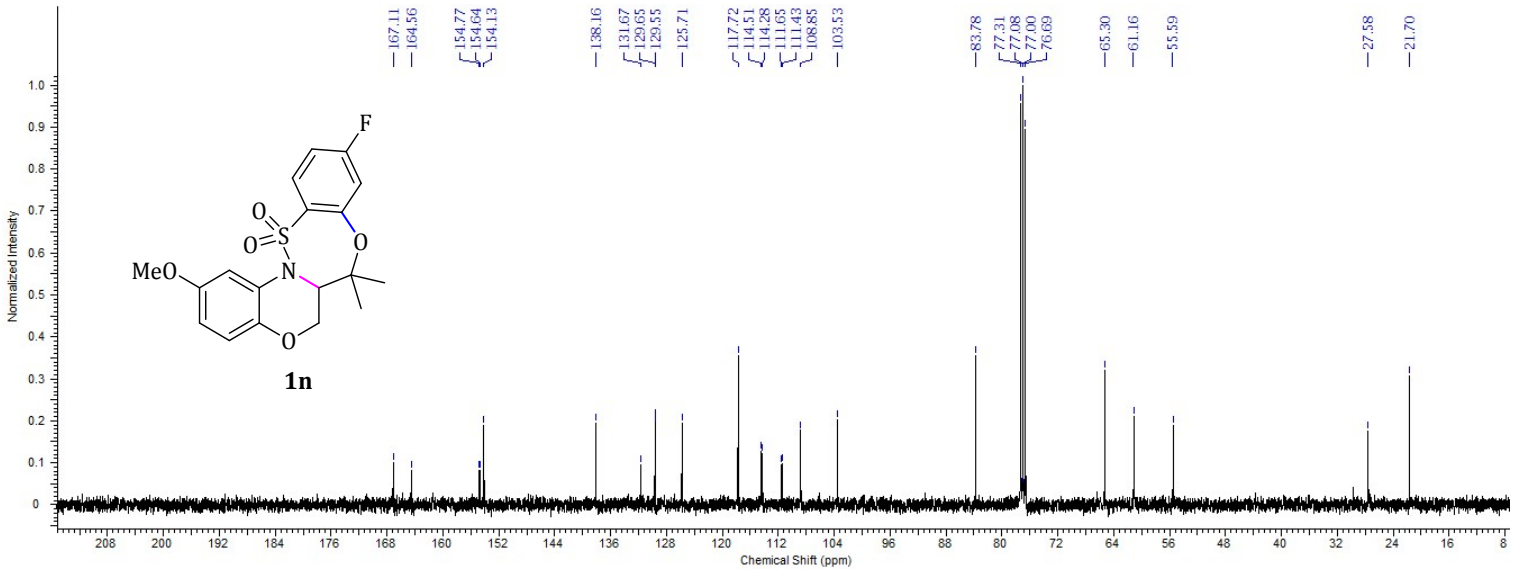
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 1m



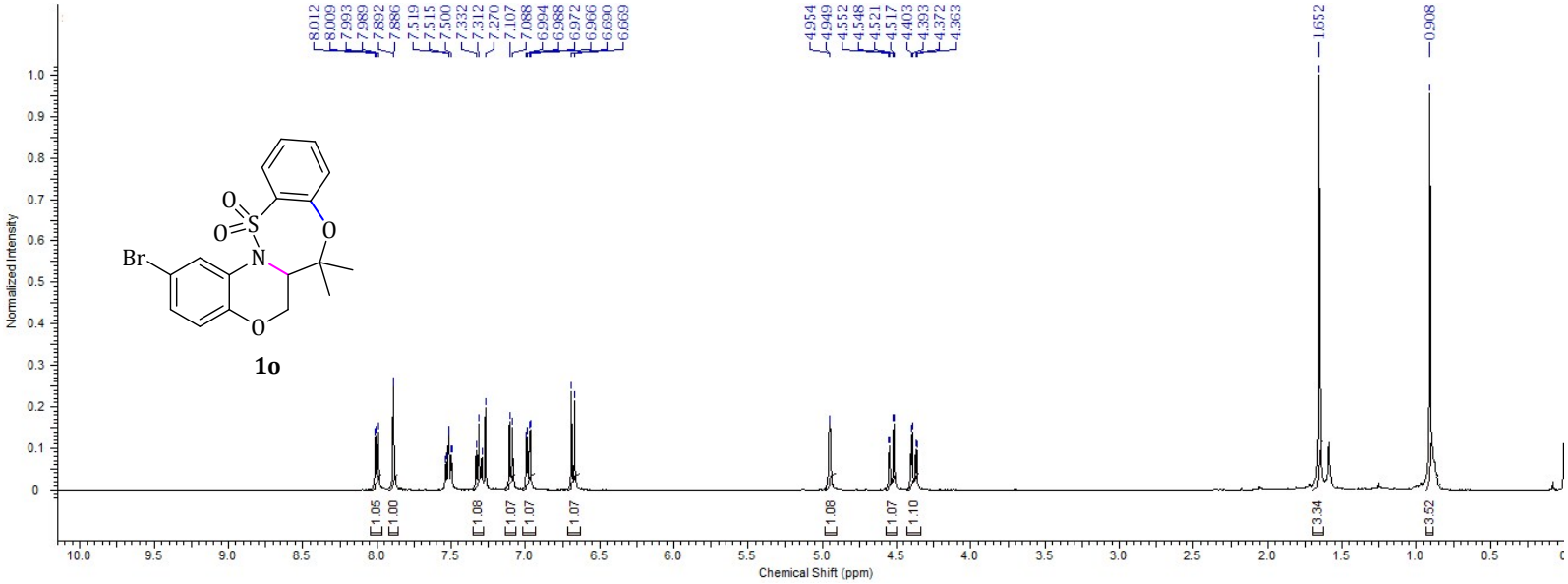
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 1m



^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 1n

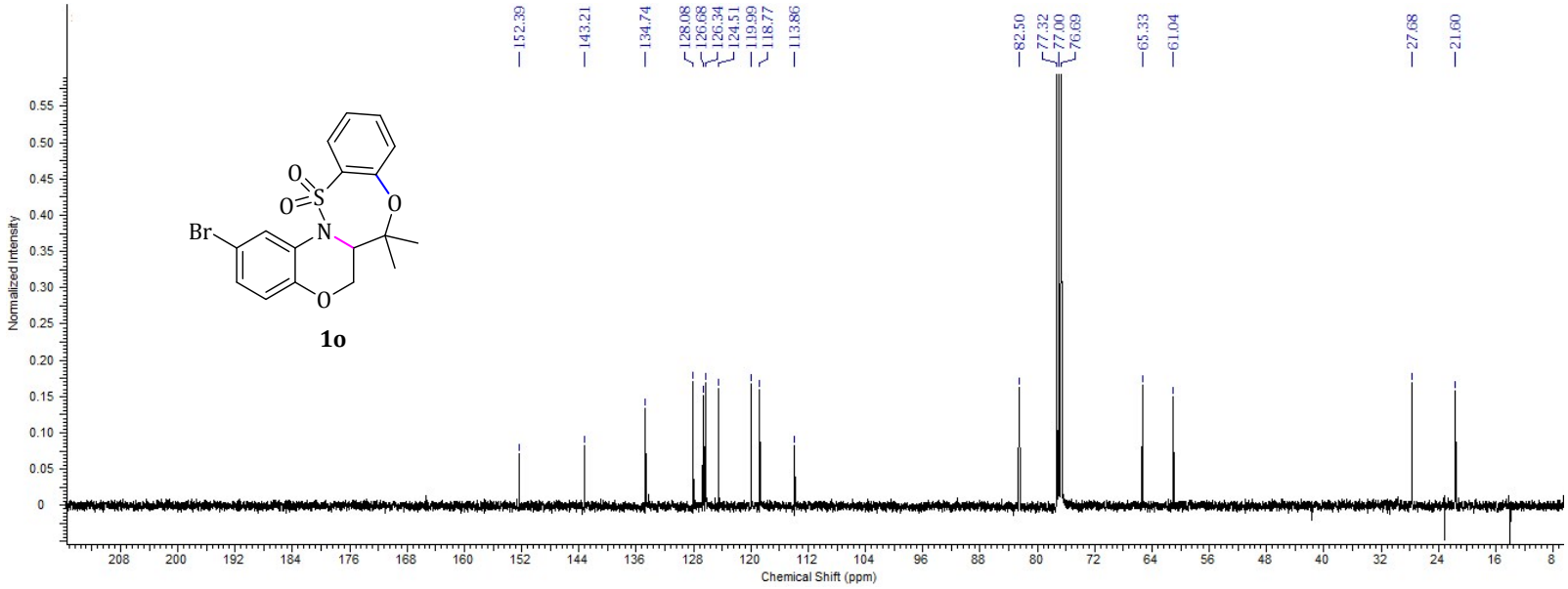


^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 1n



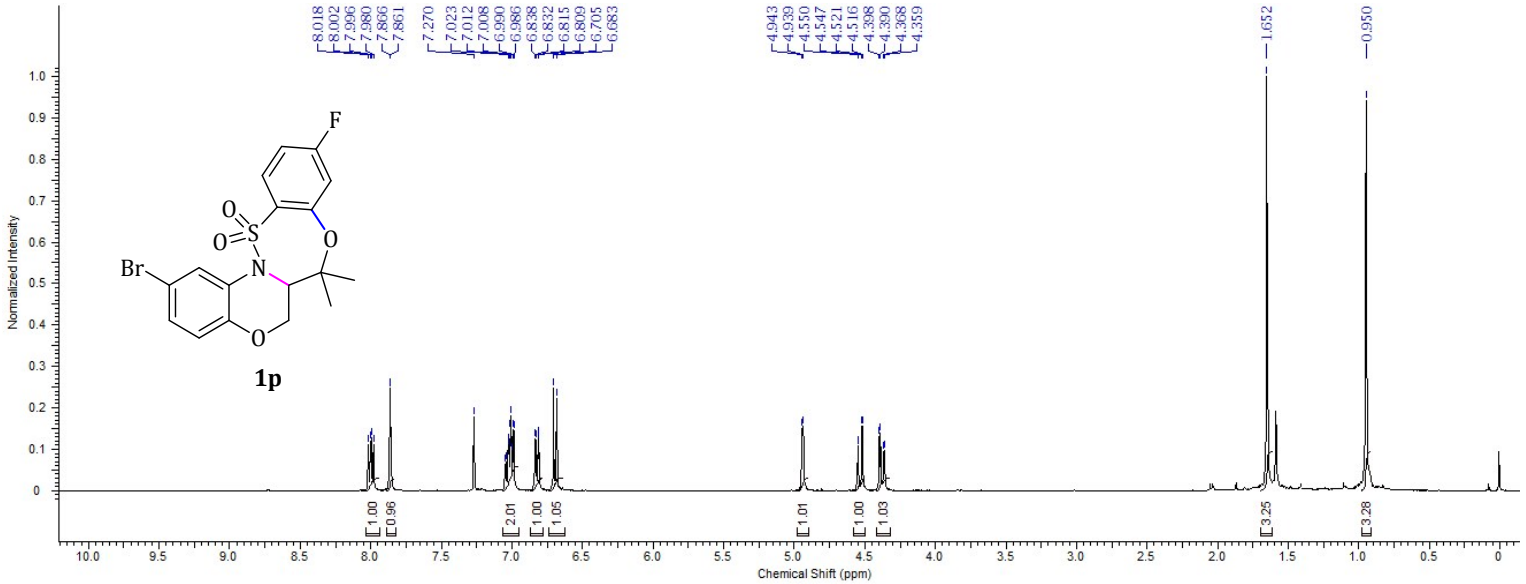
1o

^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 1o

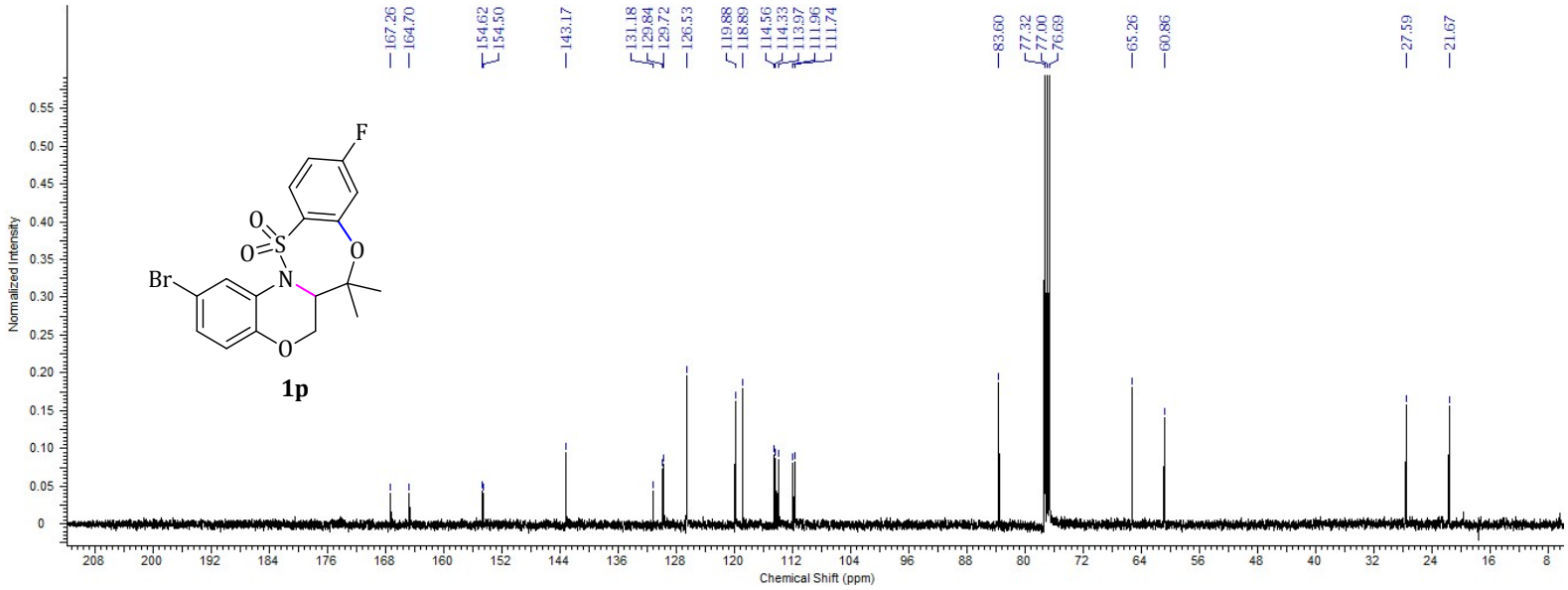


1o

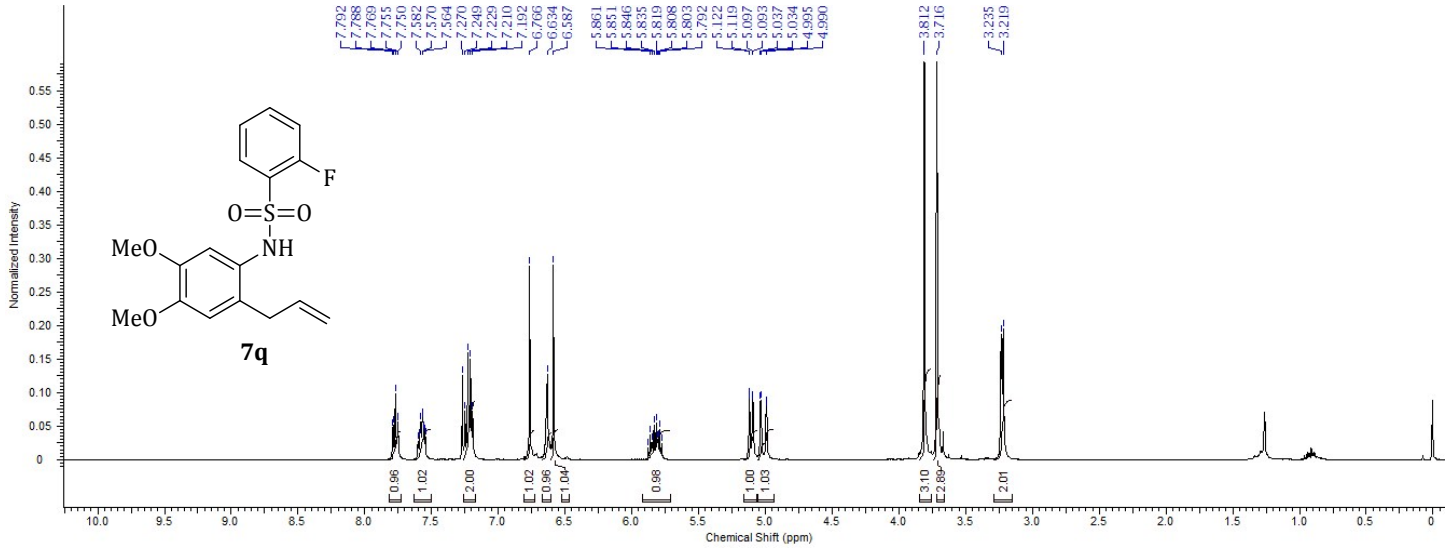
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 1o



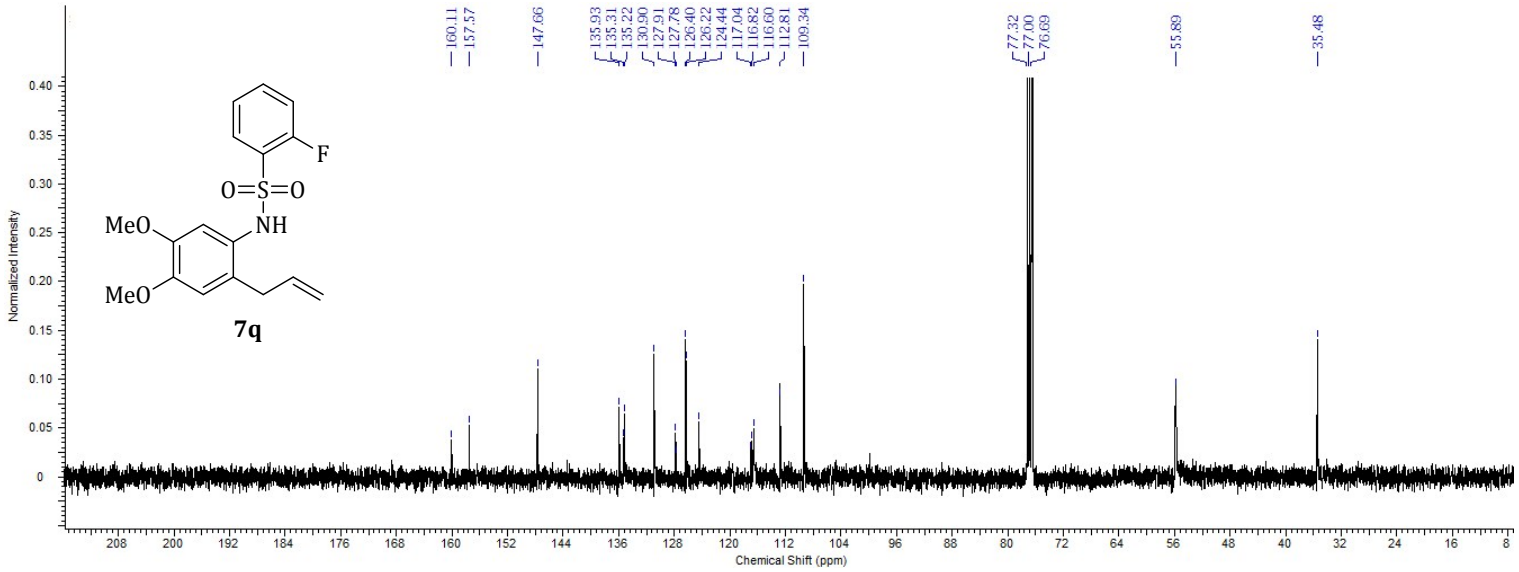
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 1p



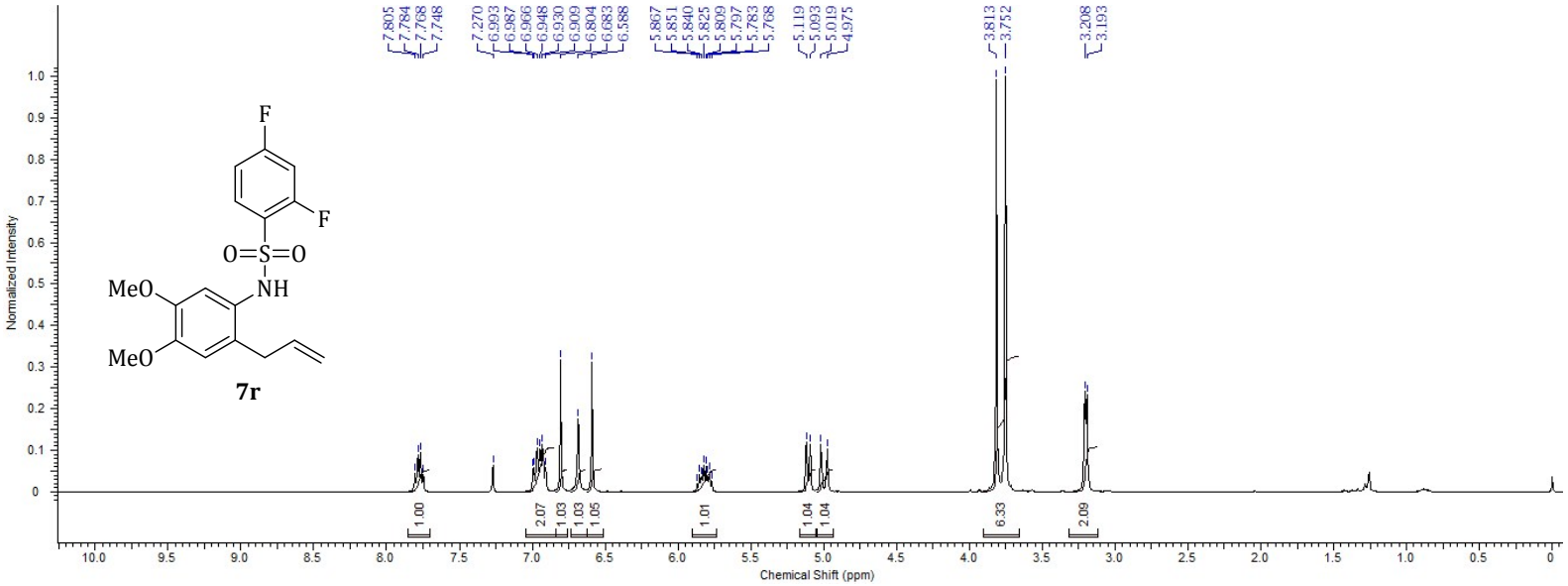
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 1p



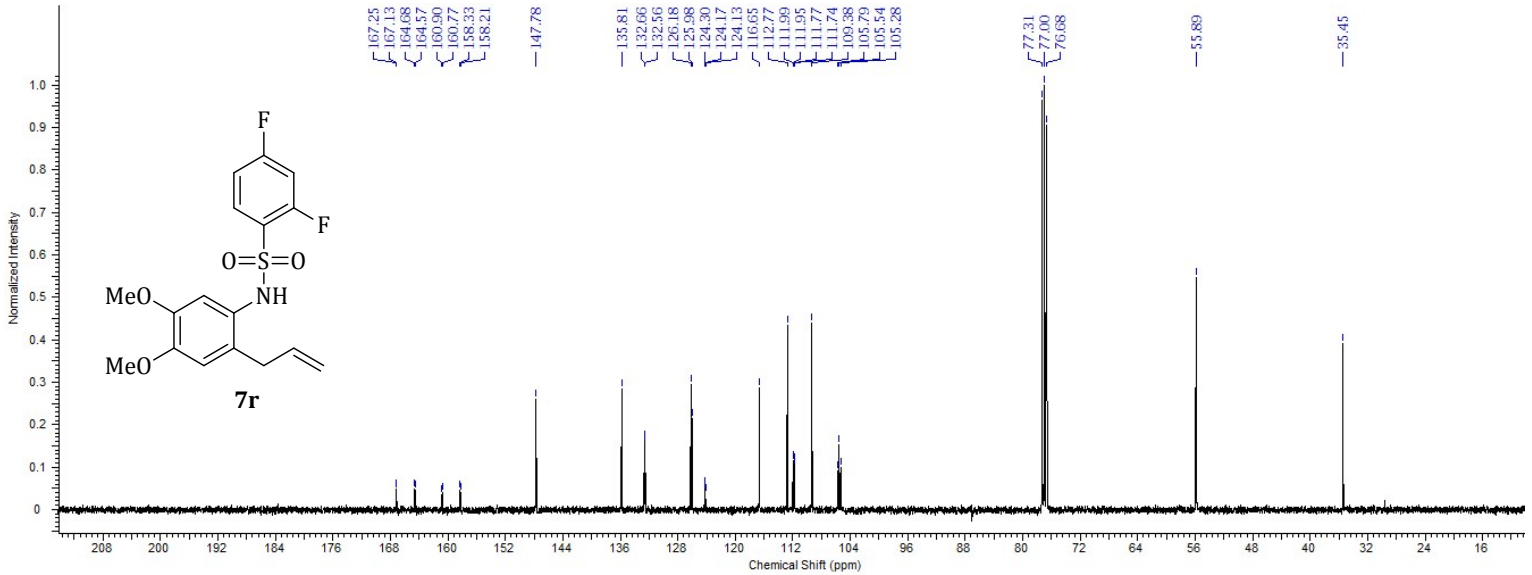
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 7q



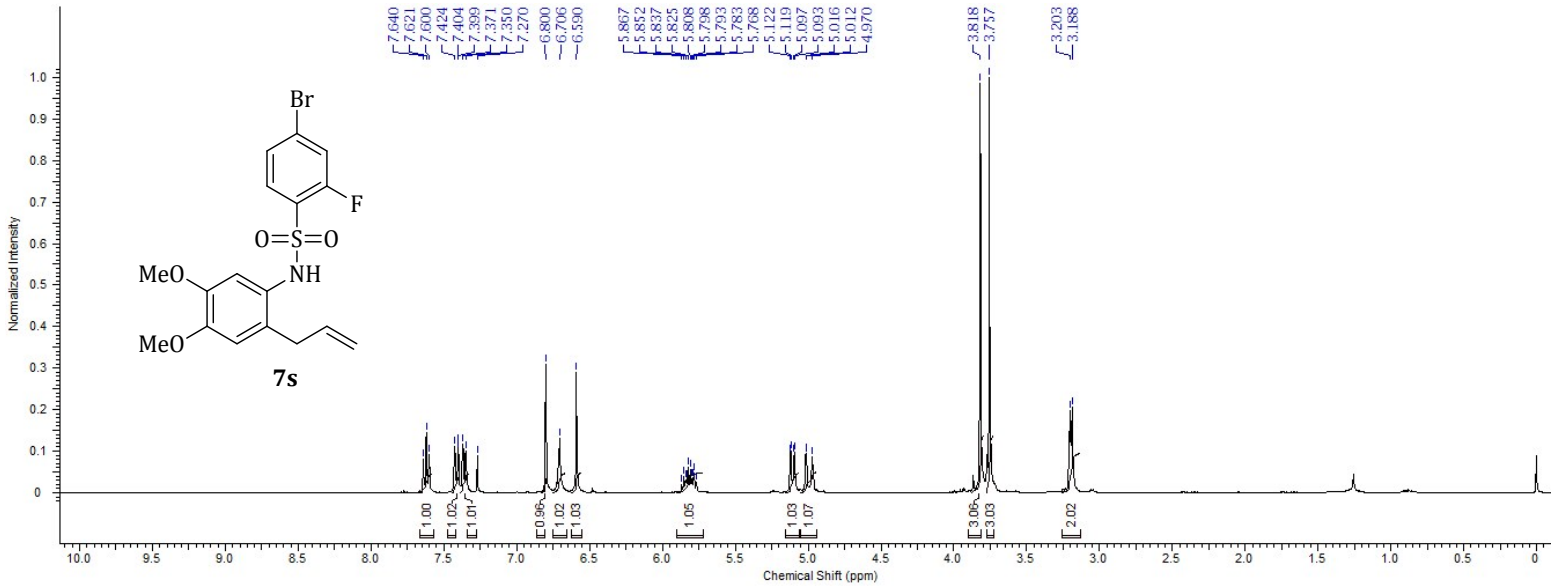
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 7q



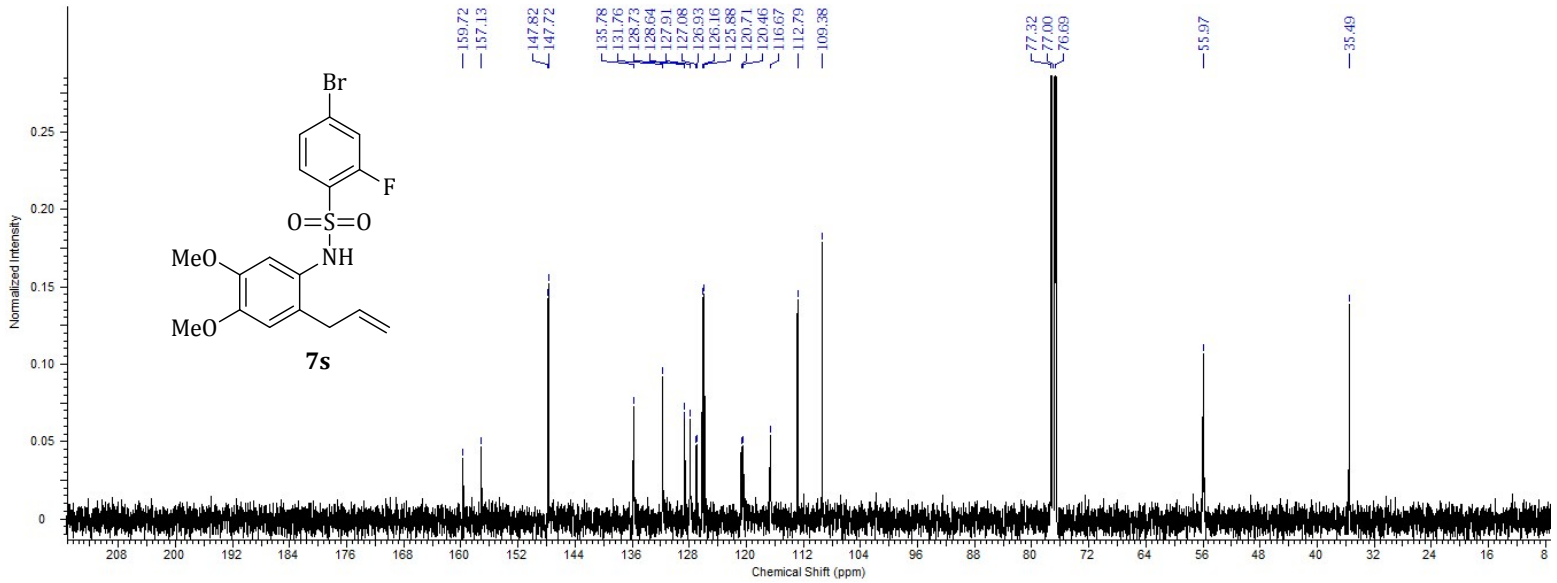
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 7r



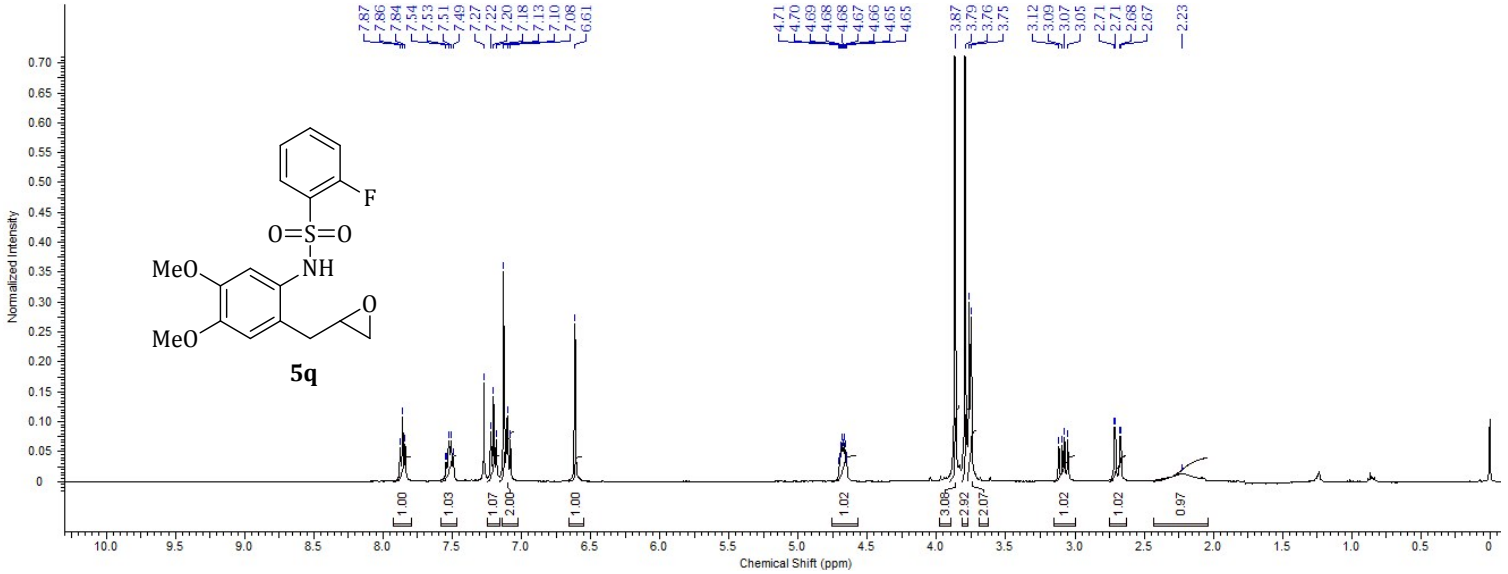
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 7r



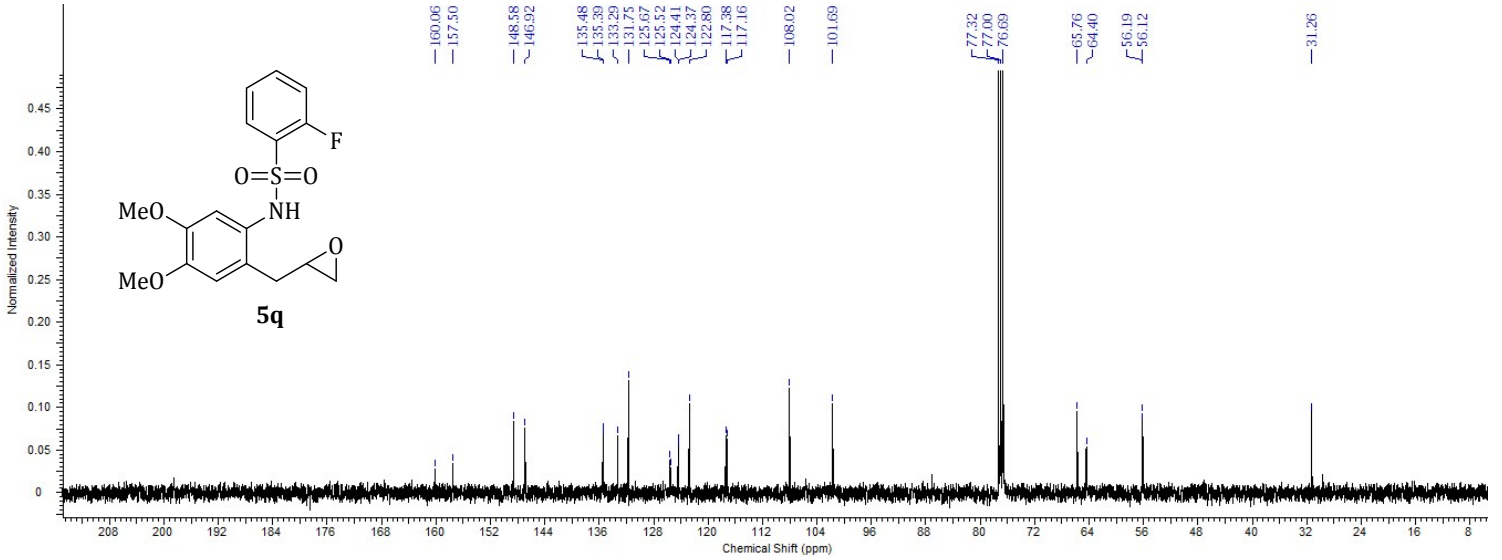
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 7s



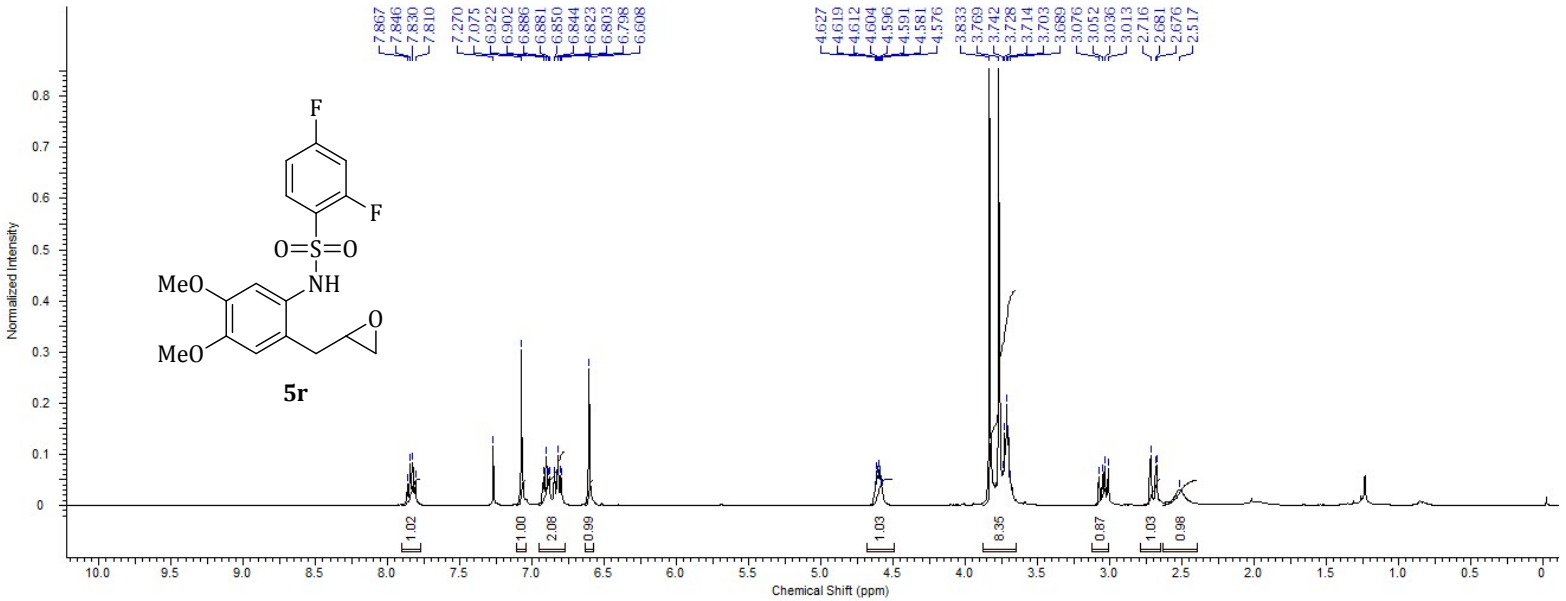
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 7s



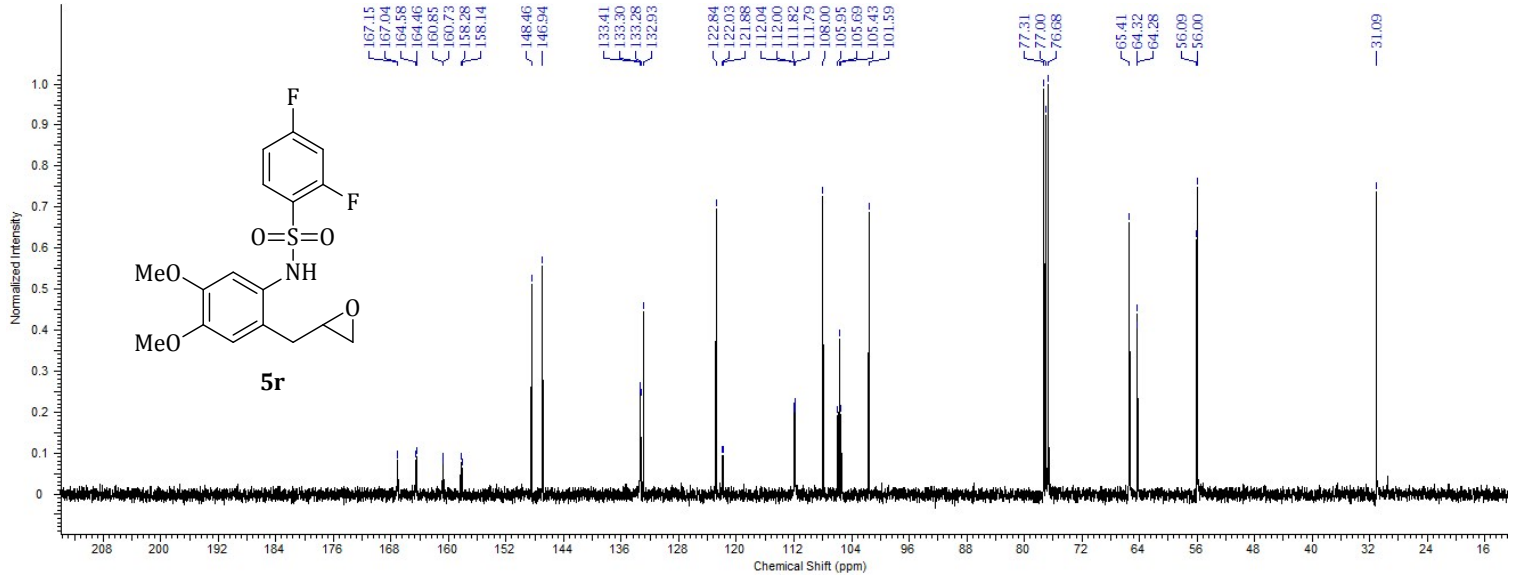
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 5q



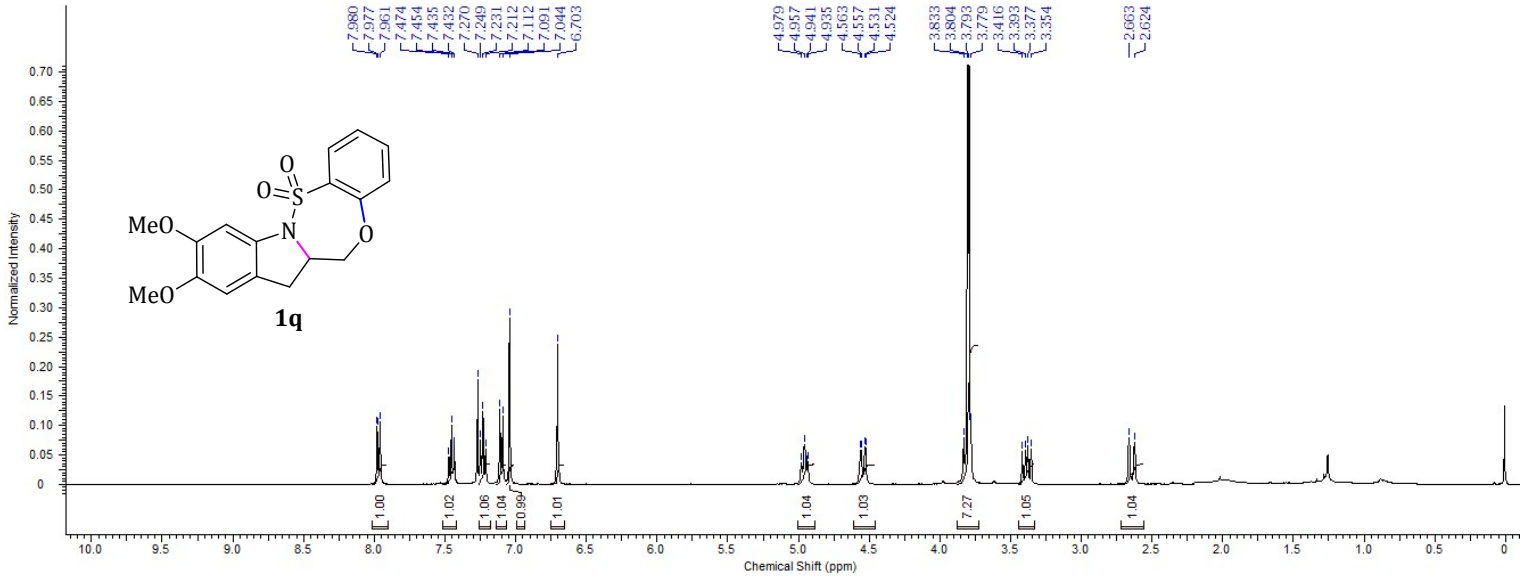
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 5q



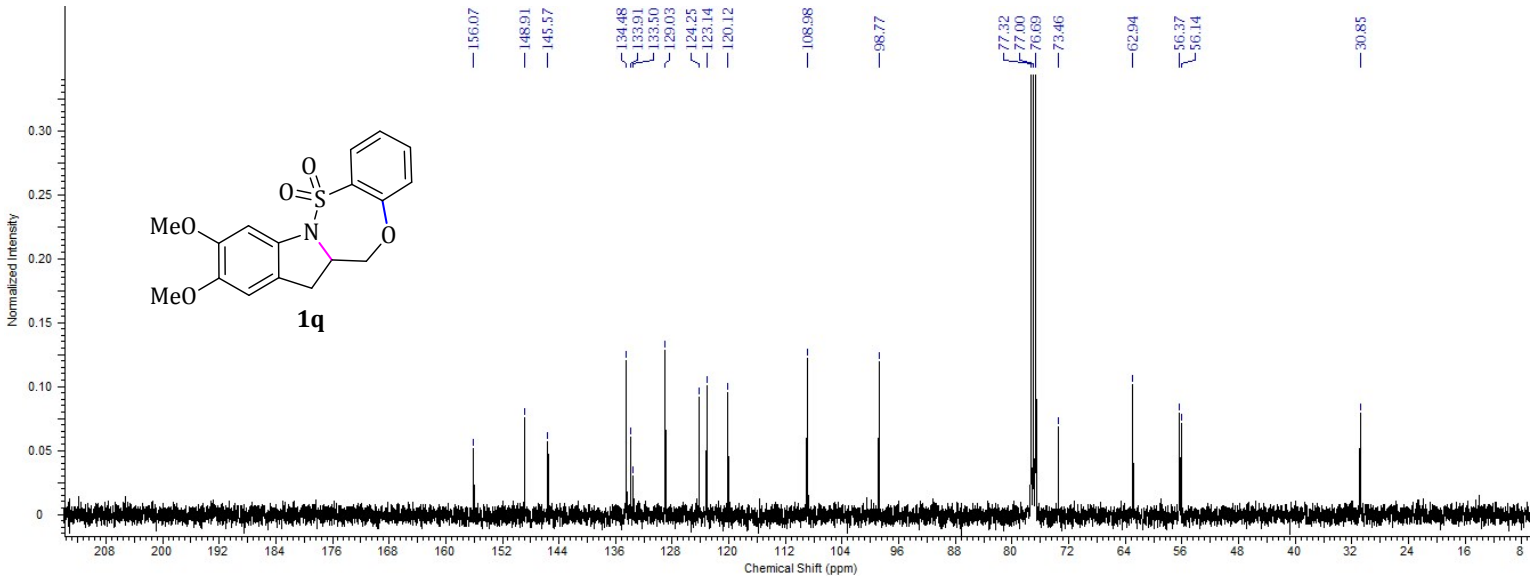
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 5r



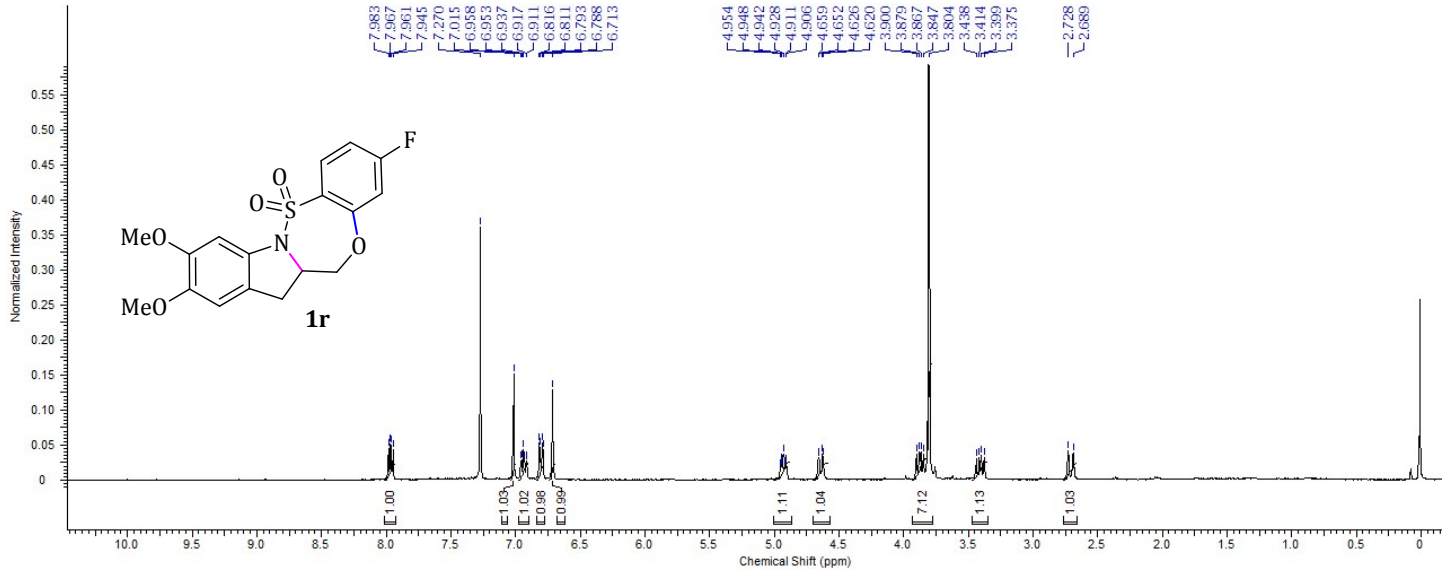
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 5r



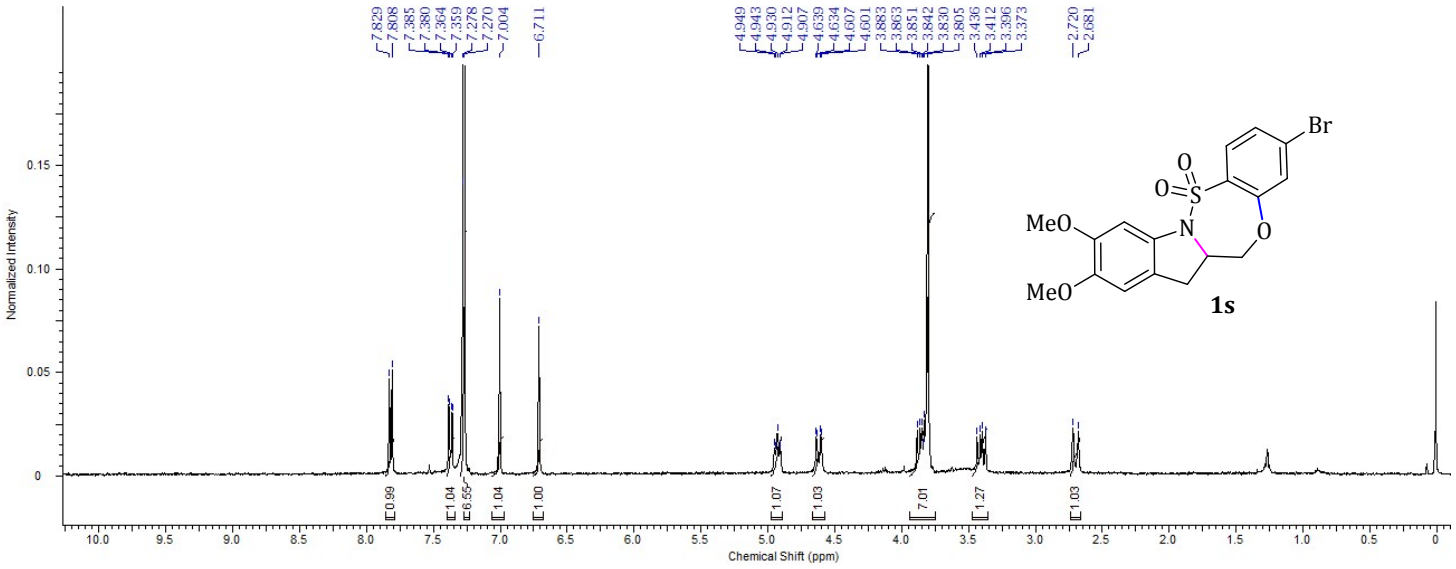
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 1q



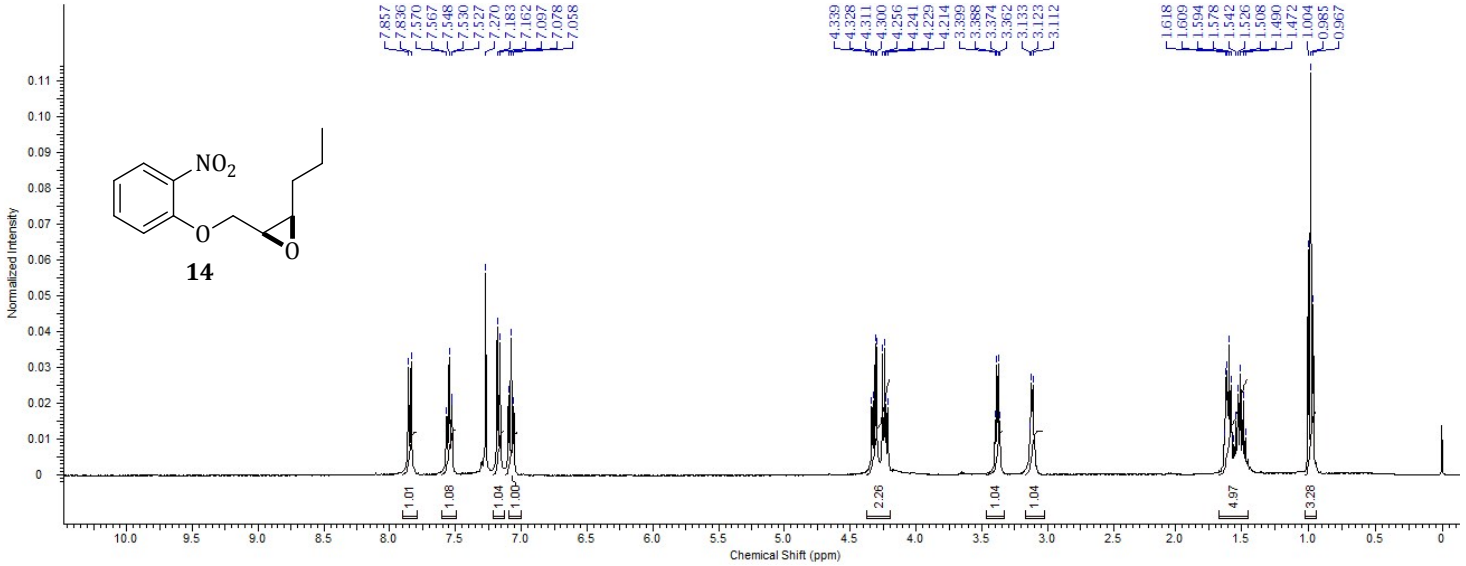
^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 1q



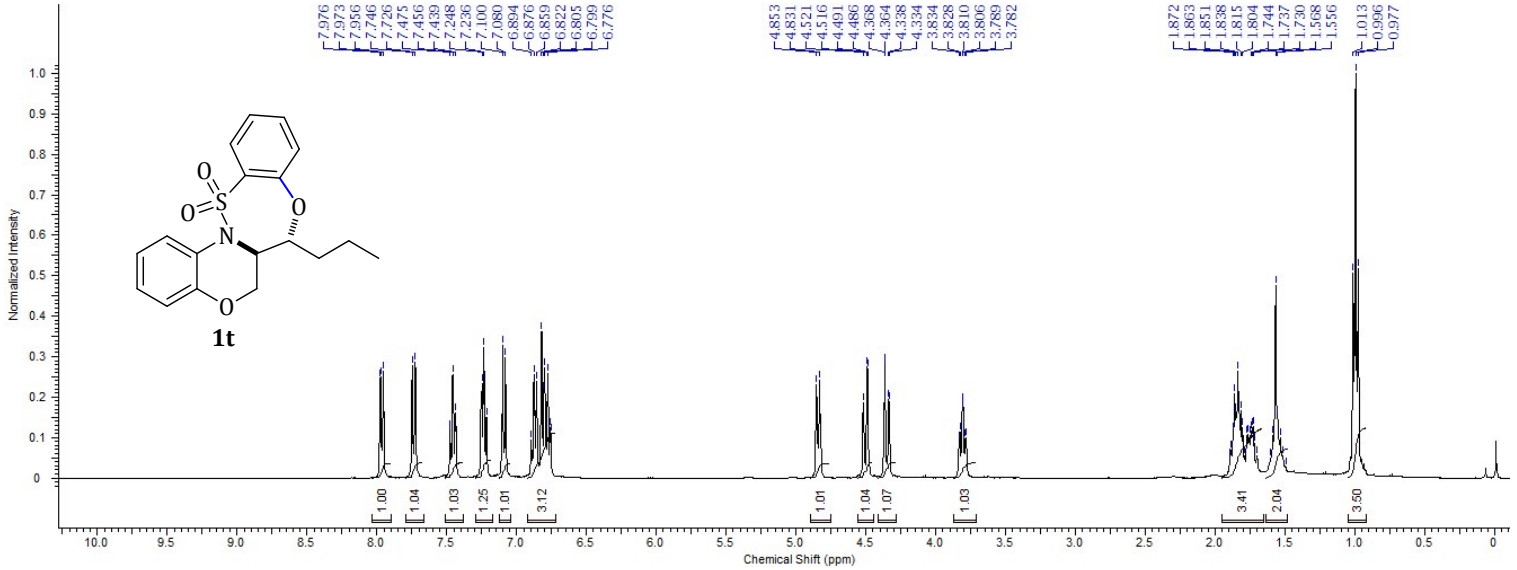
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 1r



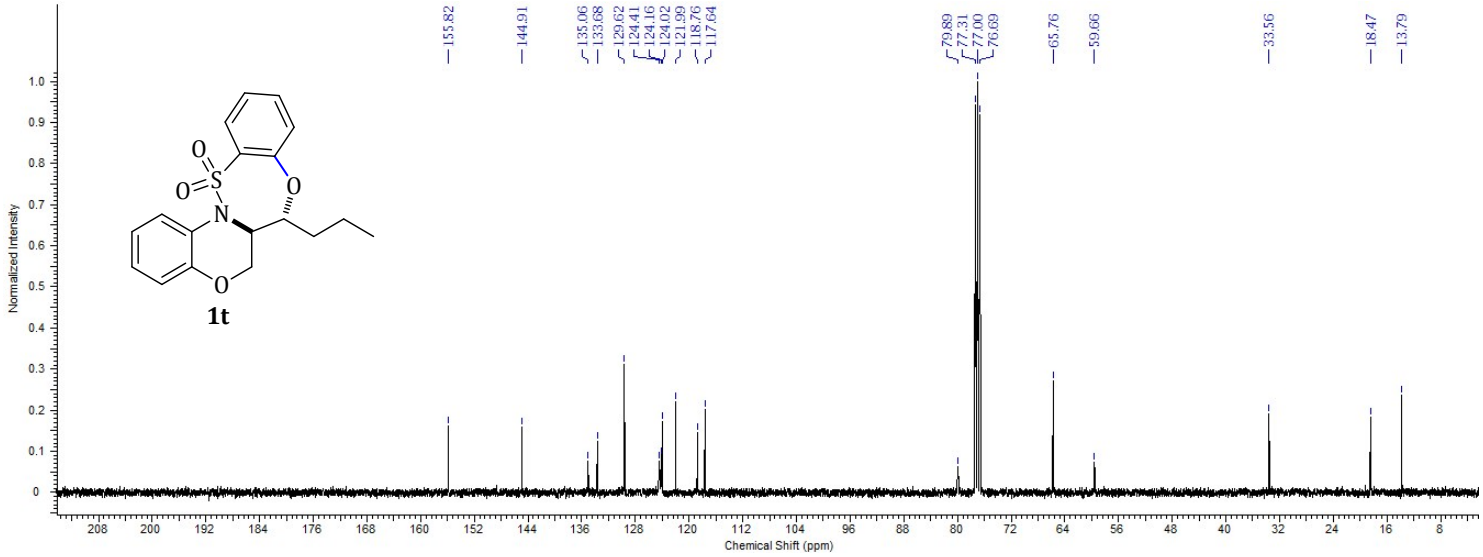
^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 1s



^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 14

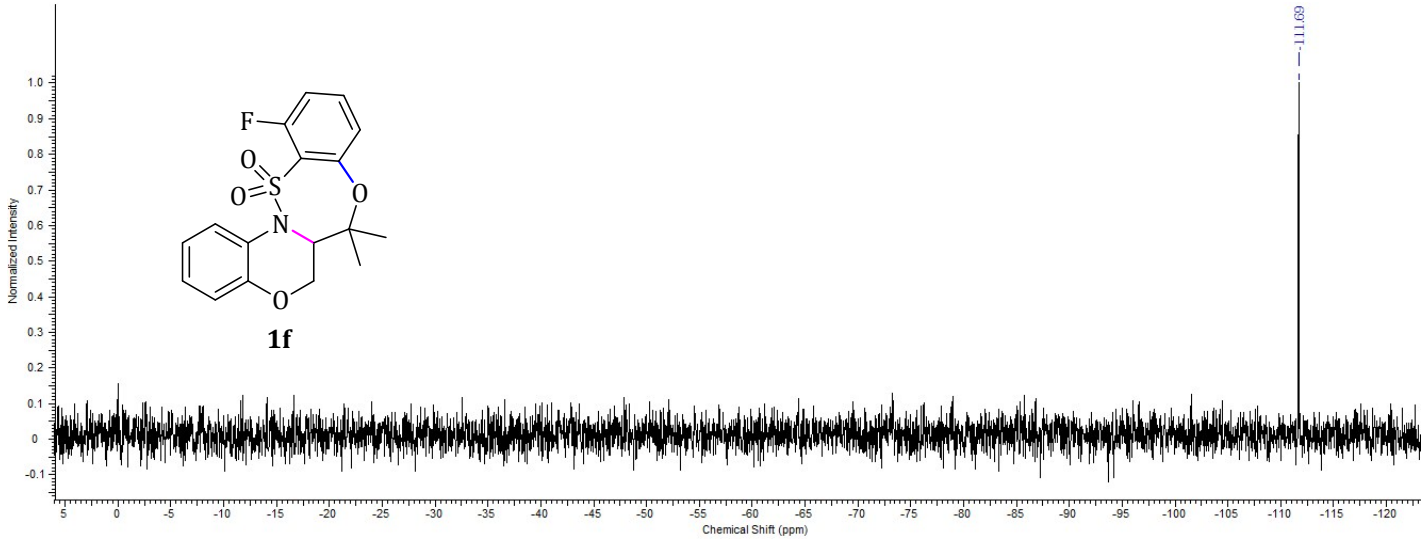


^{1}H NMR spectrum (400 MHz, $CDCl_3$) of 1t

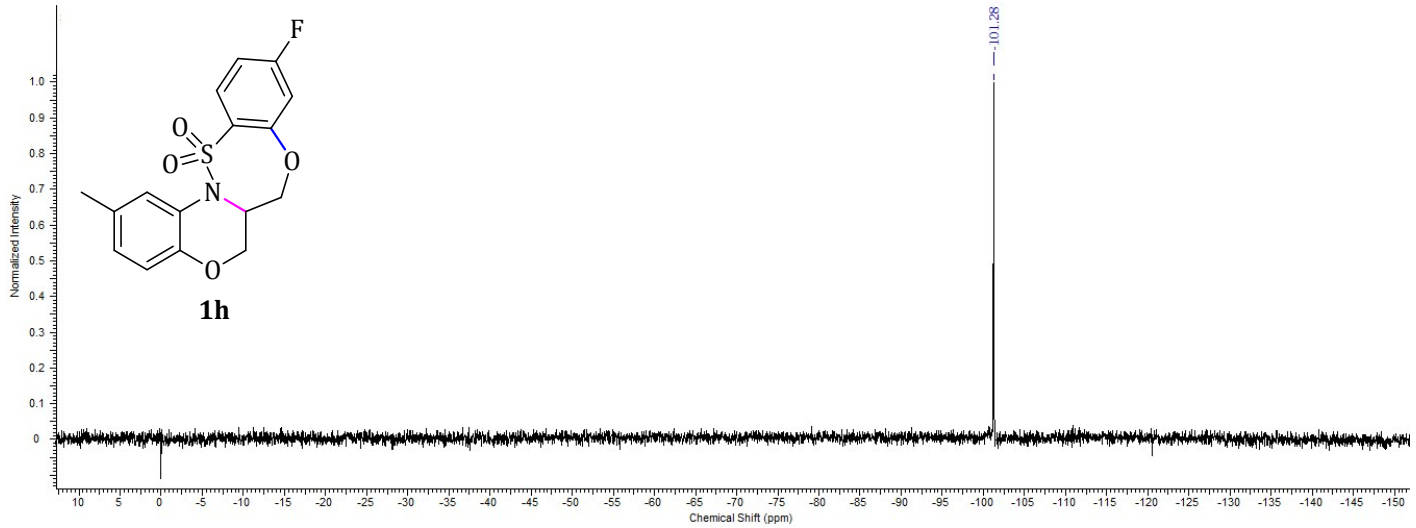


^{13}C NMR spectrum (100 MHz, $CDCl_3$) of 1t

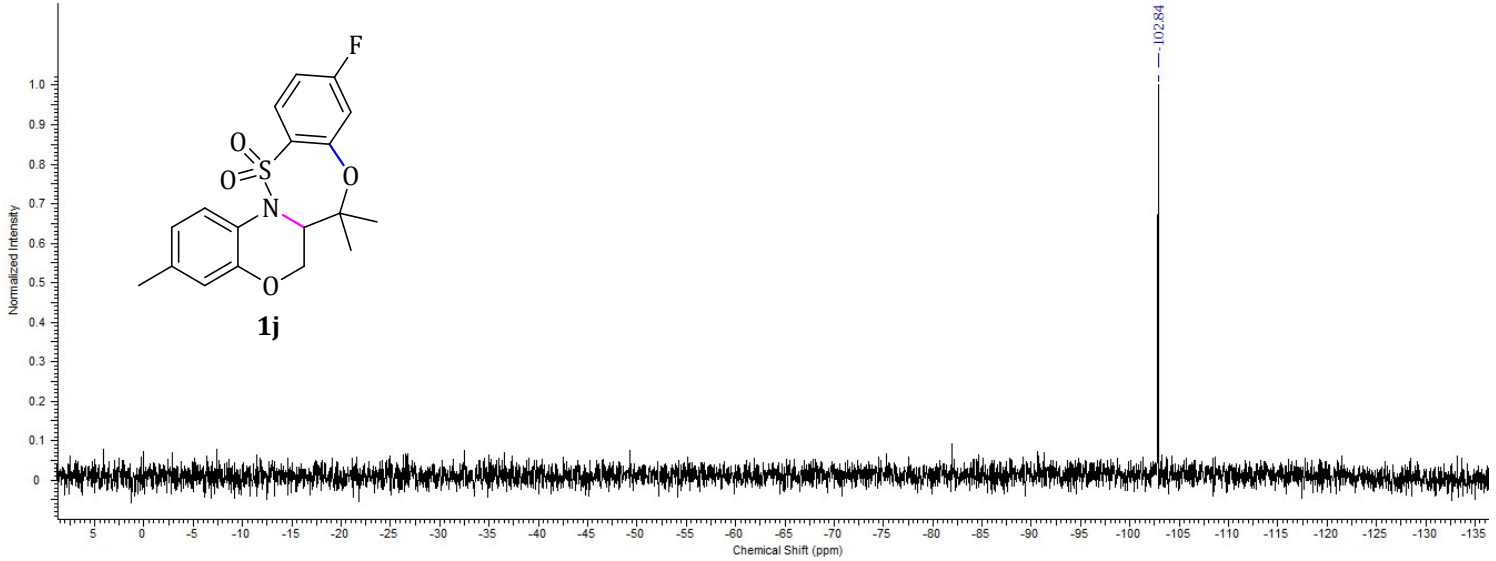
8. Copies of ^{19}F spectra of selected final compounds



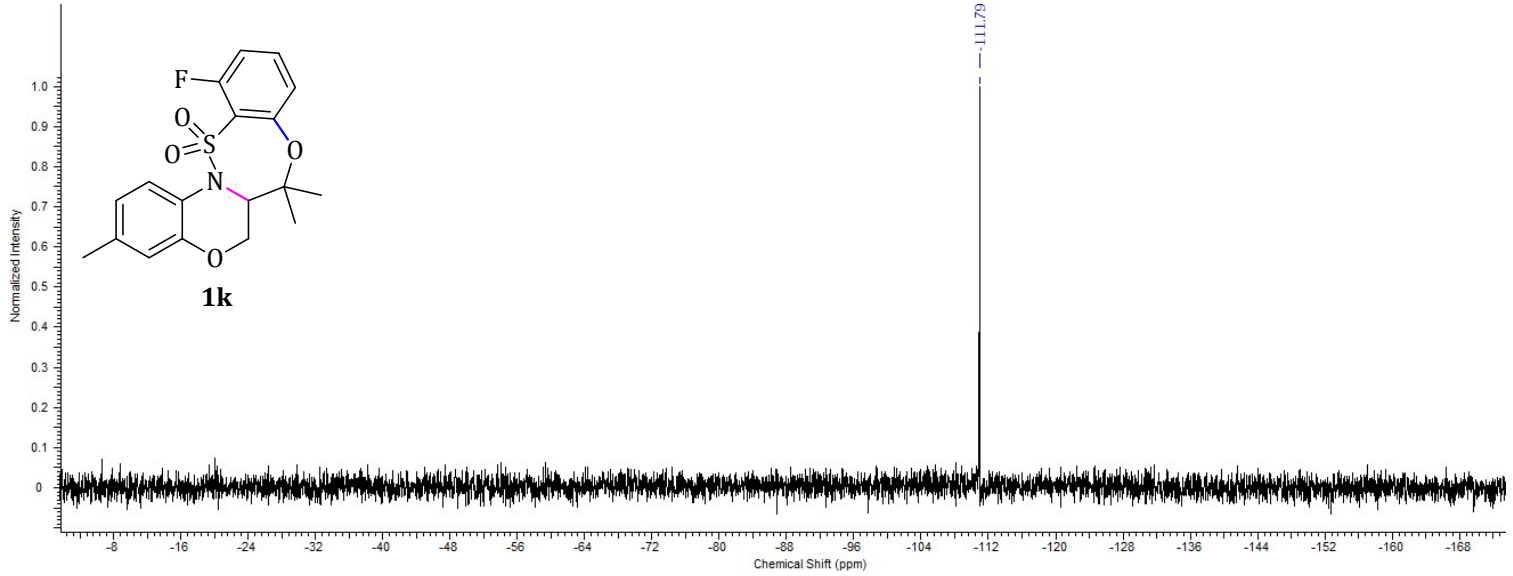
^{19}F NMR spectrum (376.87 MHz, $CDCl_3$) of 1f



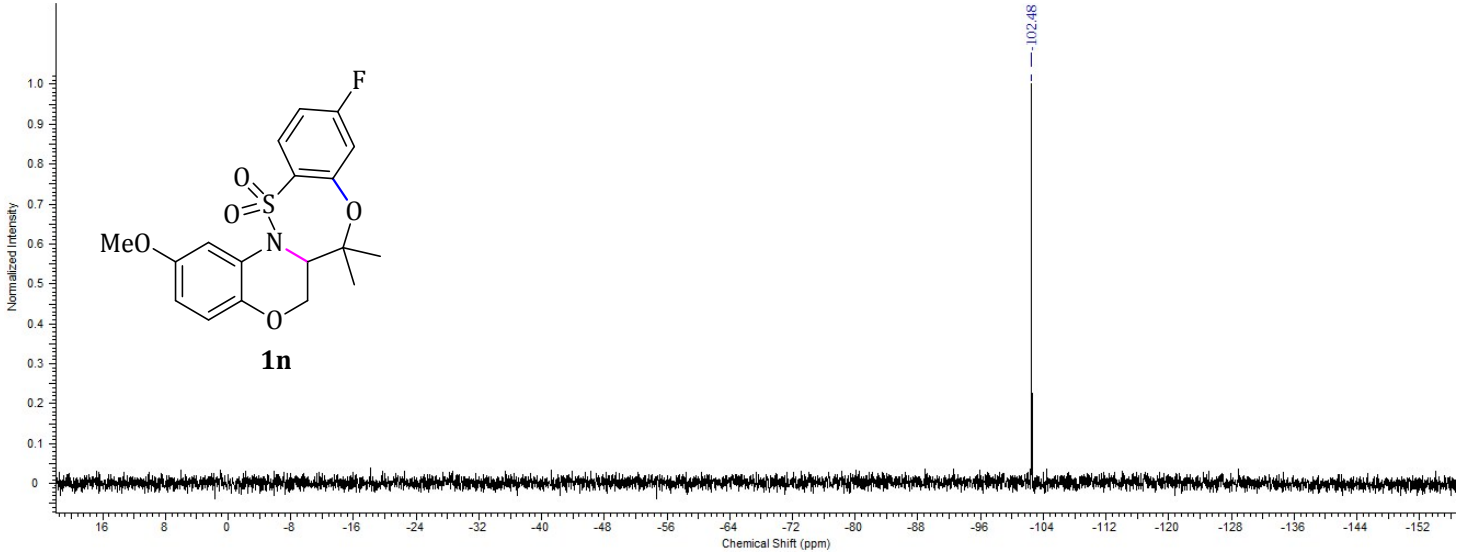
^{19}F NMR spectrum (376.87 MHz, $CDCl_3$) of 1h



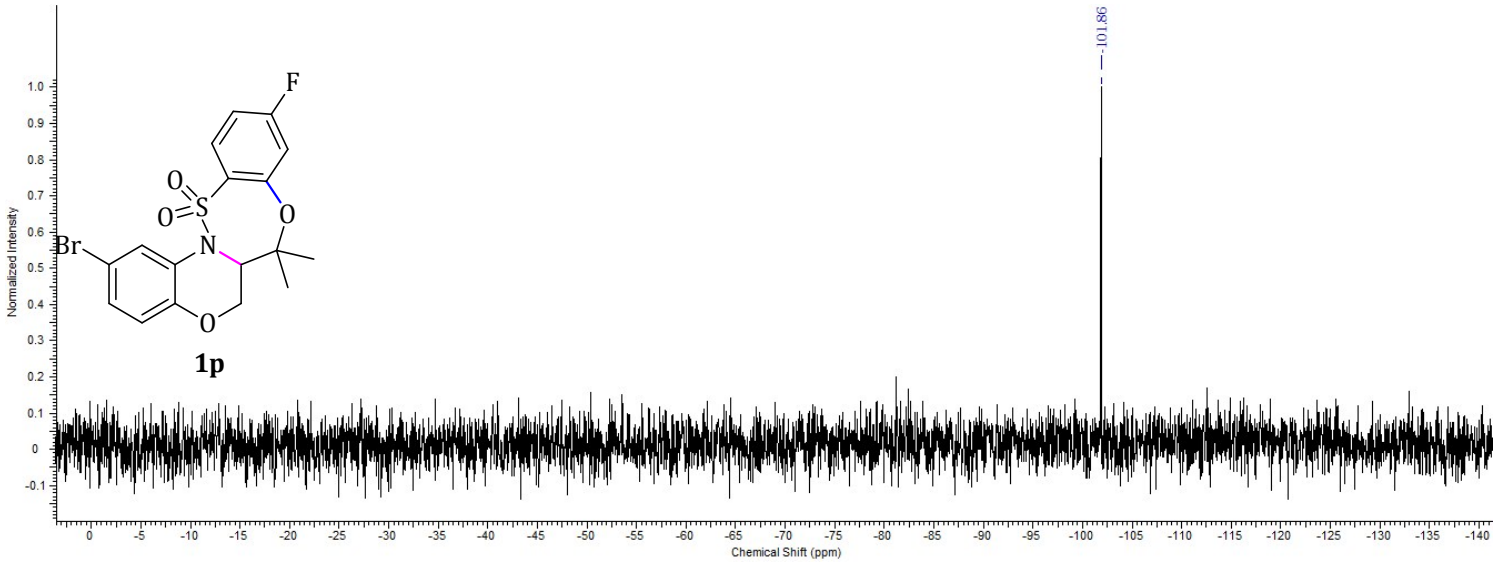
^{19}F NMR spectrum (376.87 MHz, $CDCl_3$) of 1j



^{19}F NMR spectrum (376.87 MHz, $CDCl_3$) of 1k

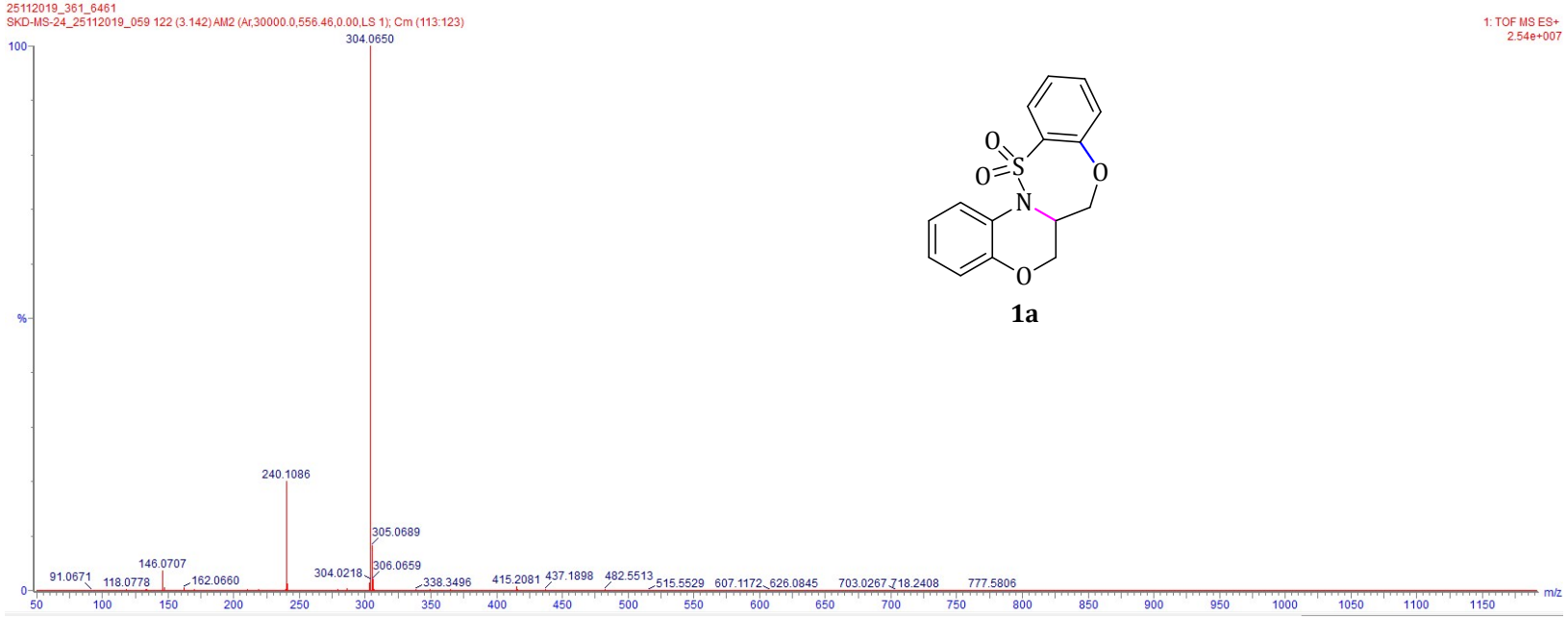


^{19}F NMR spectrum (376.87 MHz, $CDCl_3$) of 1n



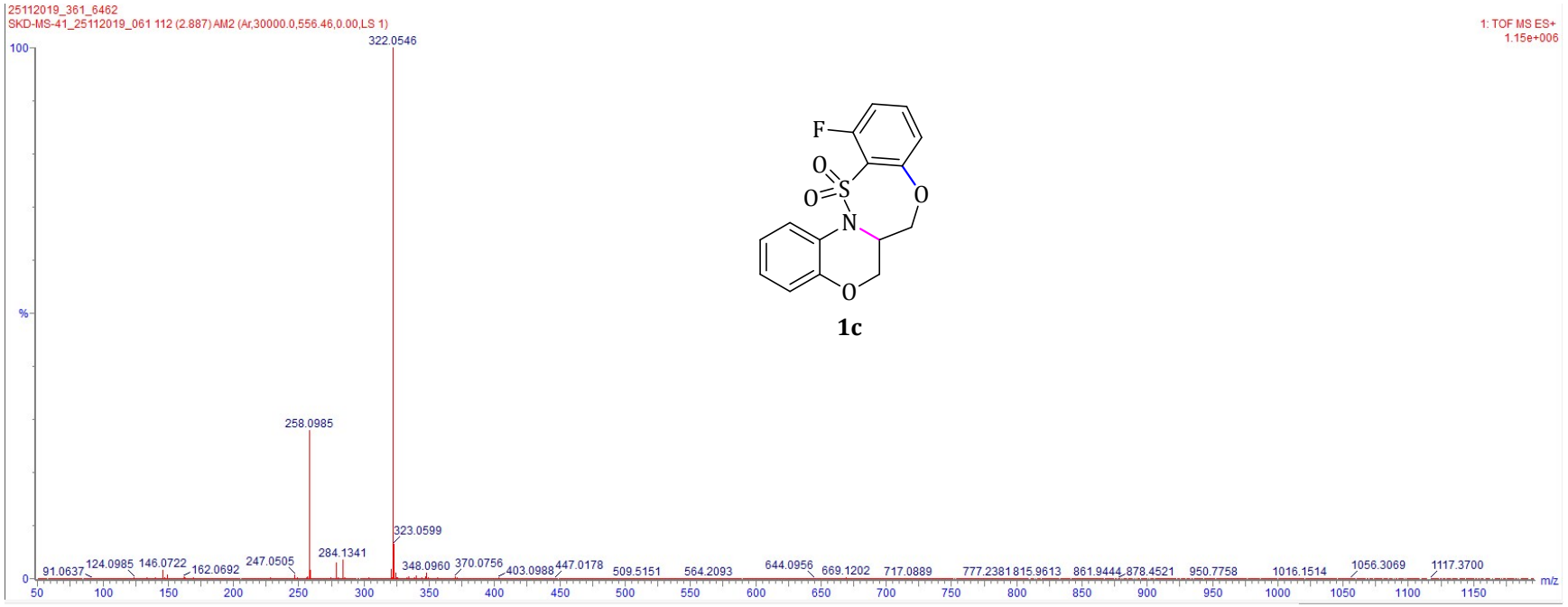
^{19}F NMR spectrum (376.87 MHz, $CDCl_3$) of 1p

9. HRMS data of final compounds



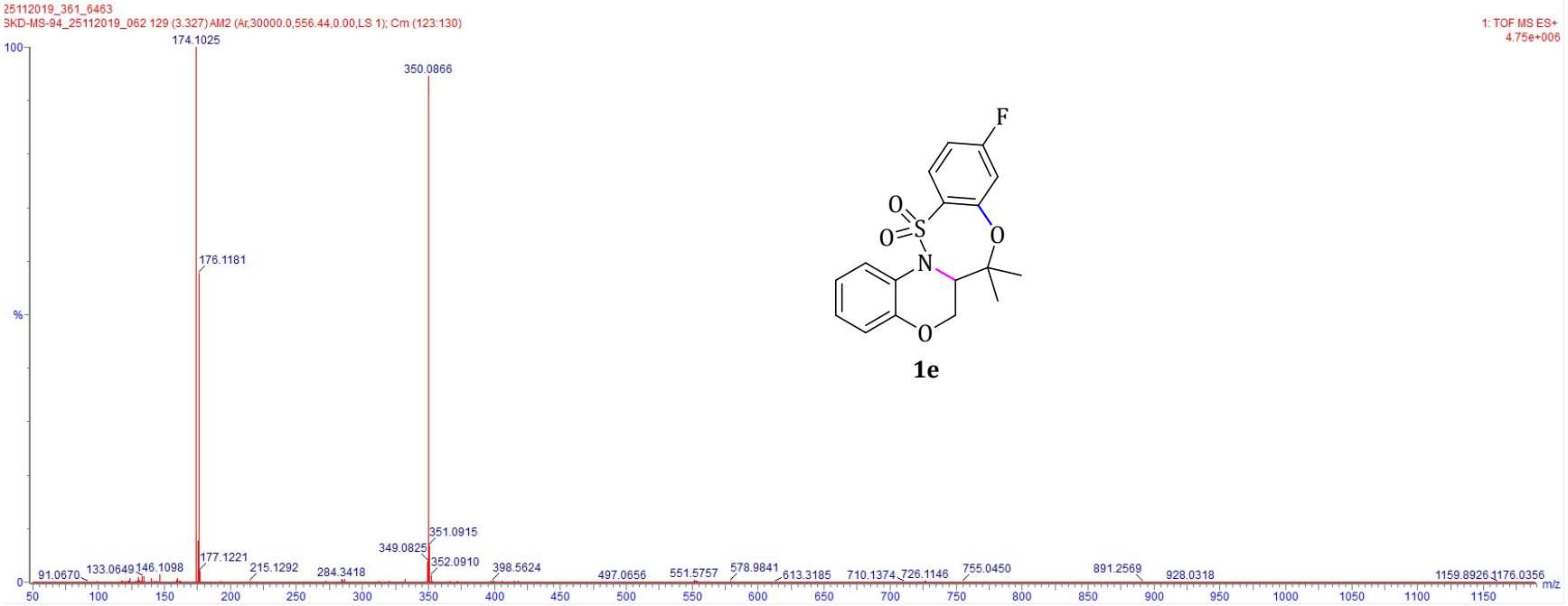
1a

HRMS of compound 1a



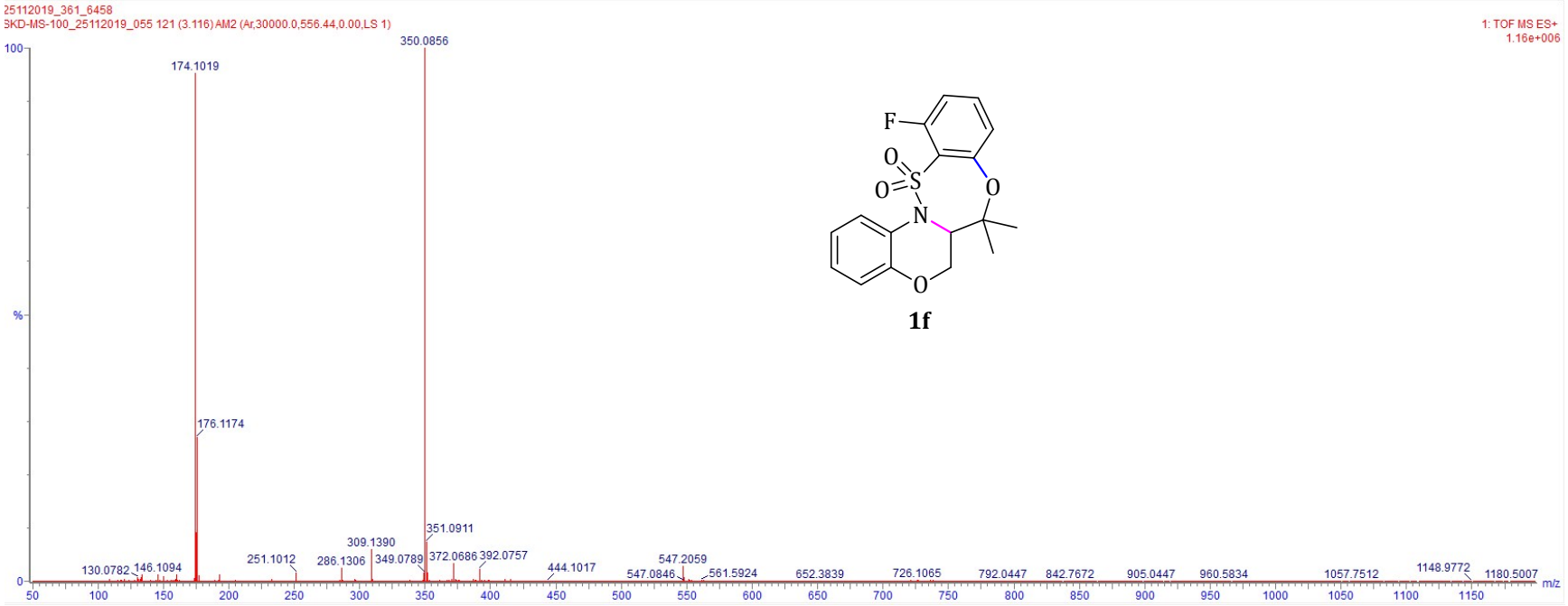
1c

HRMS of compound 1c

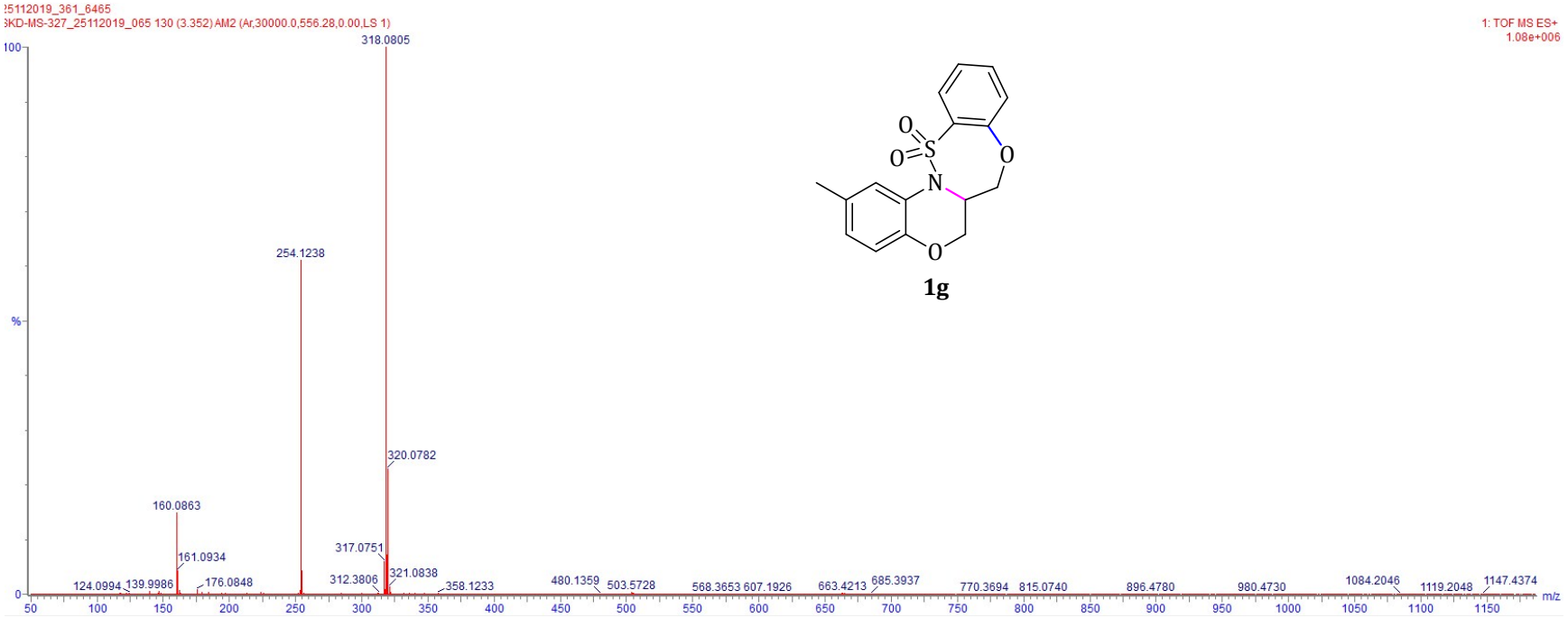


1e

HRMS of compound 1e

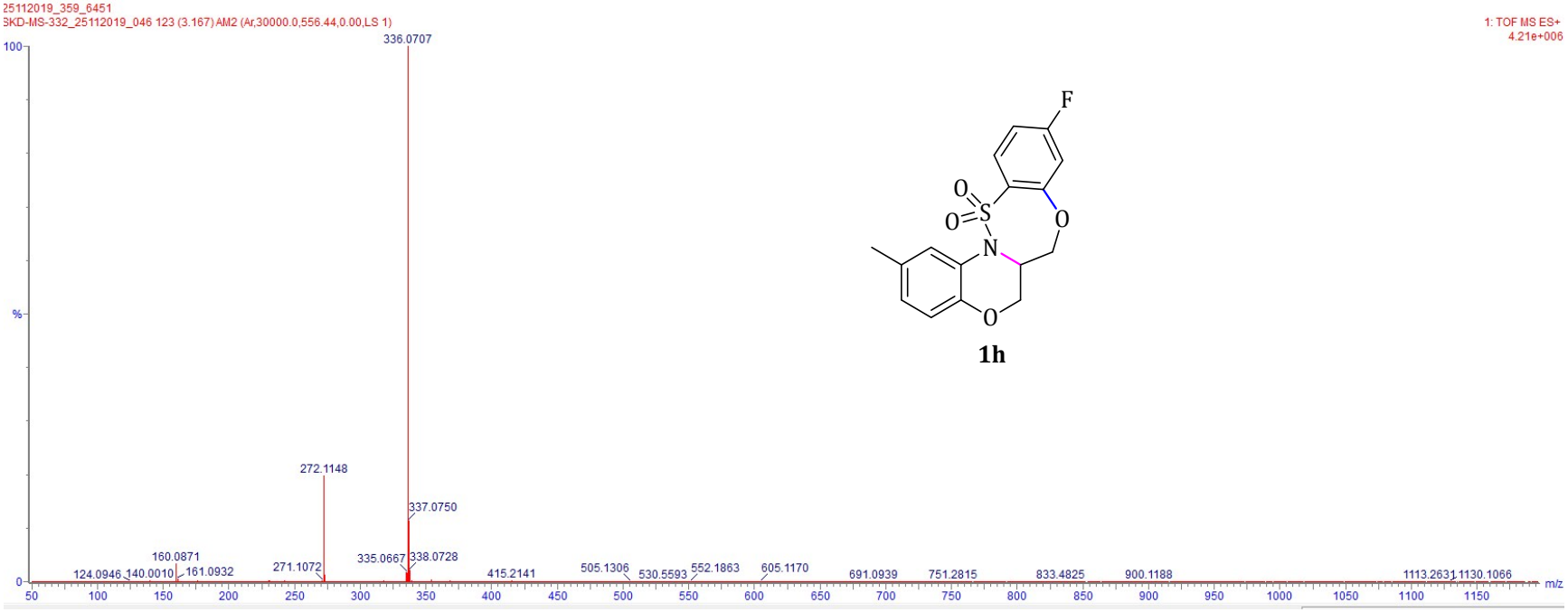


HRMS of compound 1f



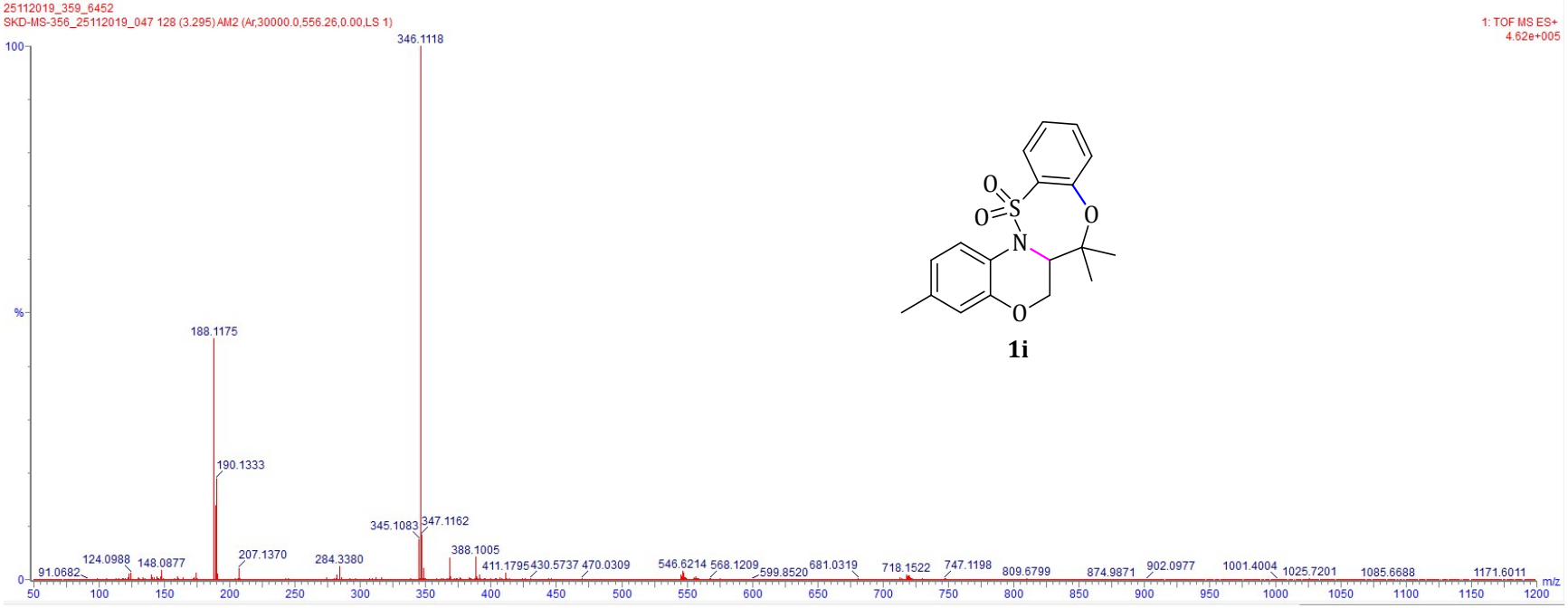
1g

HRMS of compound 1g

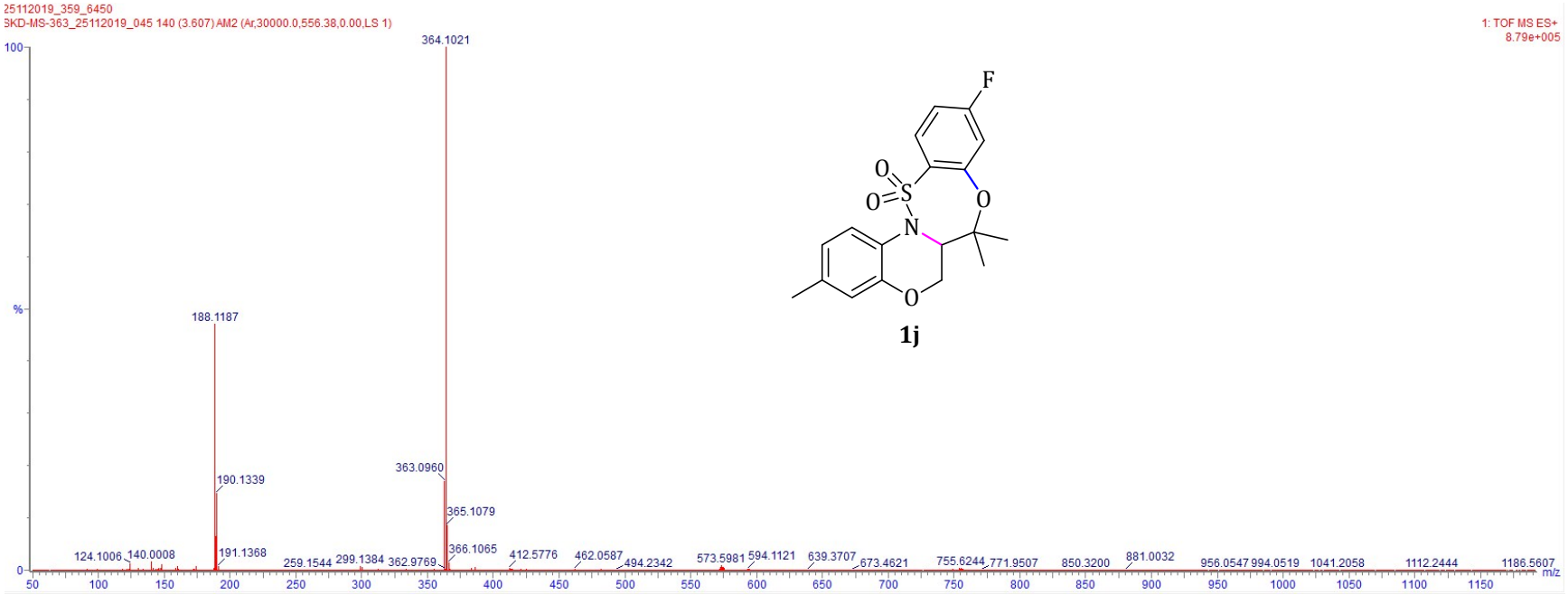


1h

HRMS of compound 1h

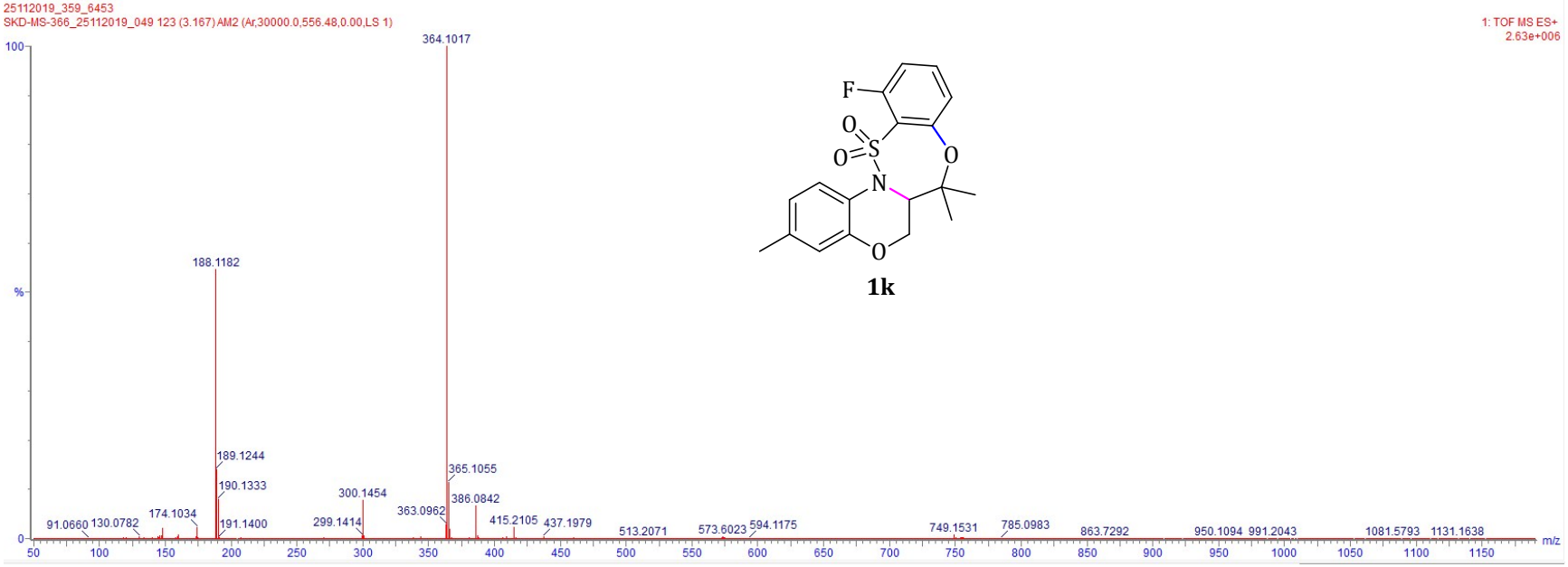


HRMS of compound 1i

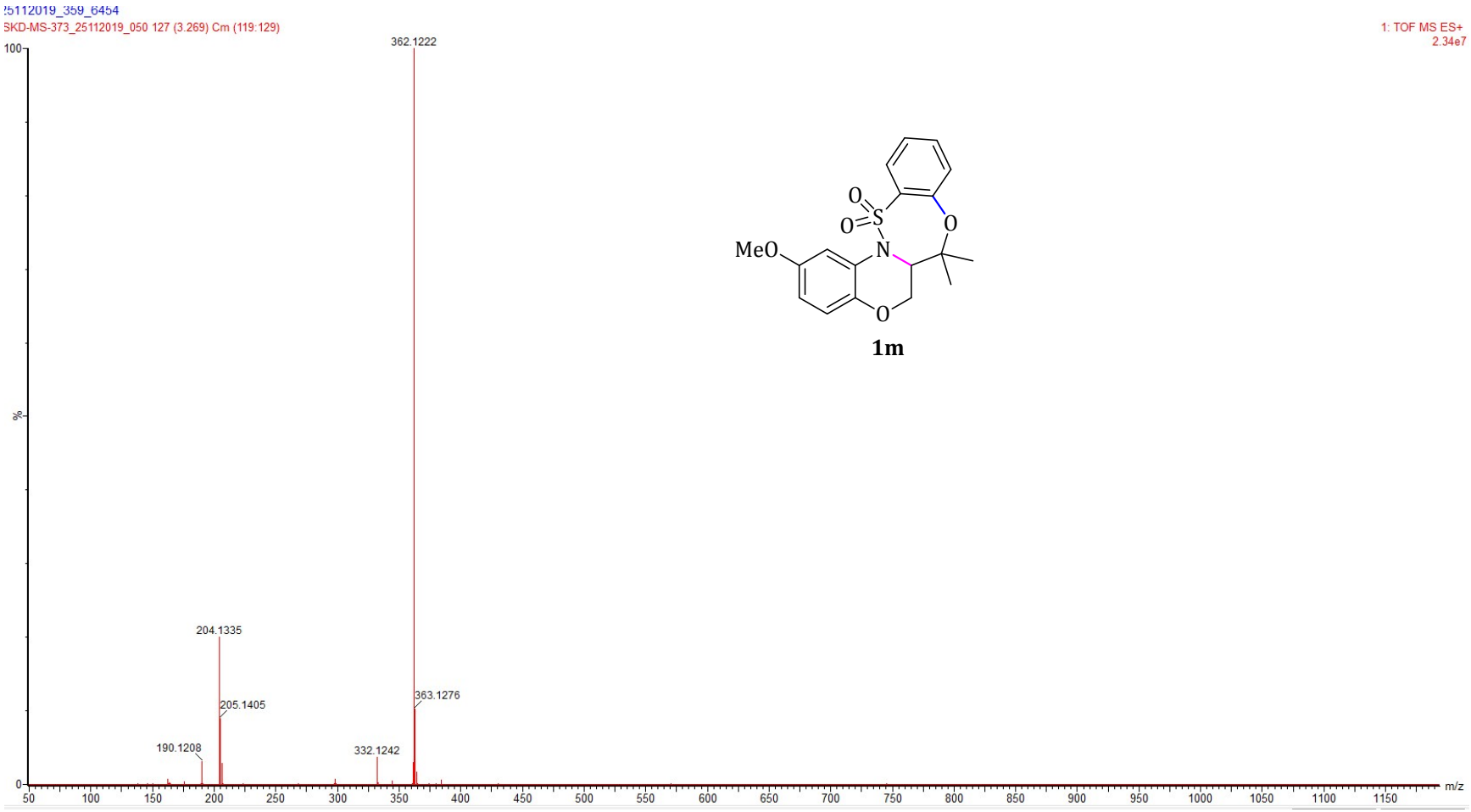


1j

HRMS of compound 1j

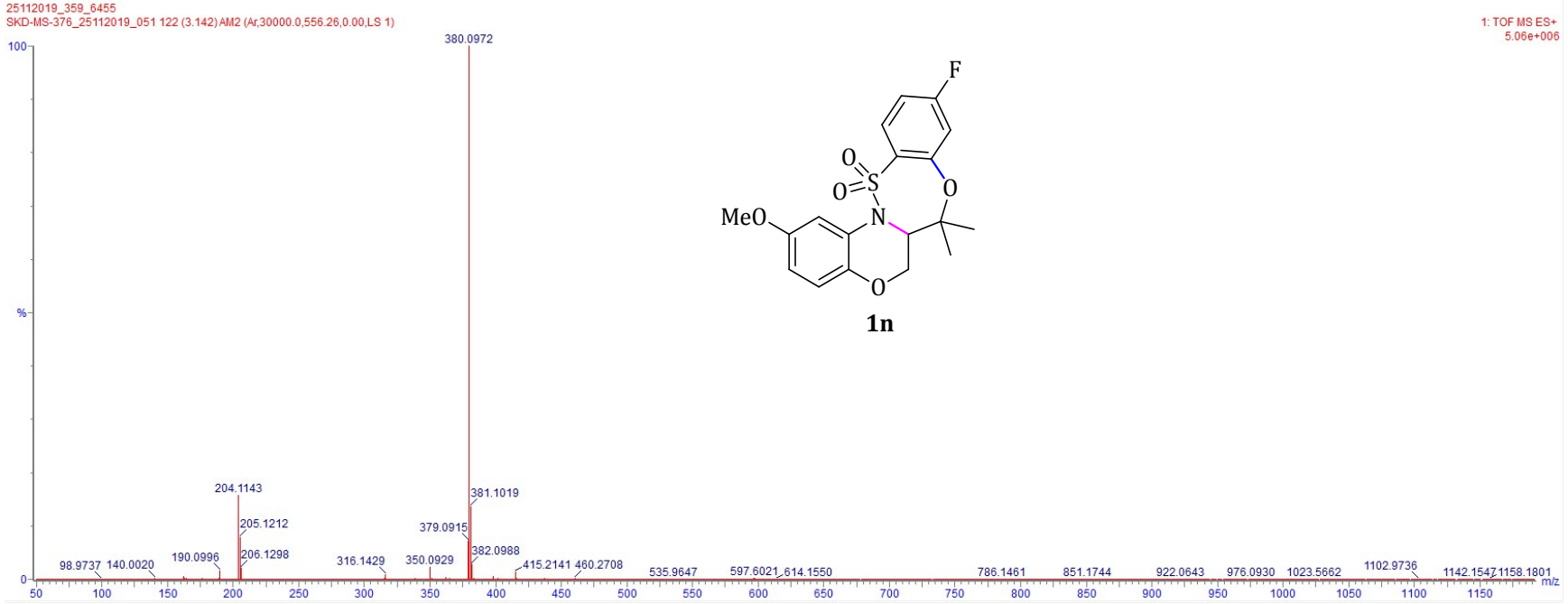


HRMS of compound 1k



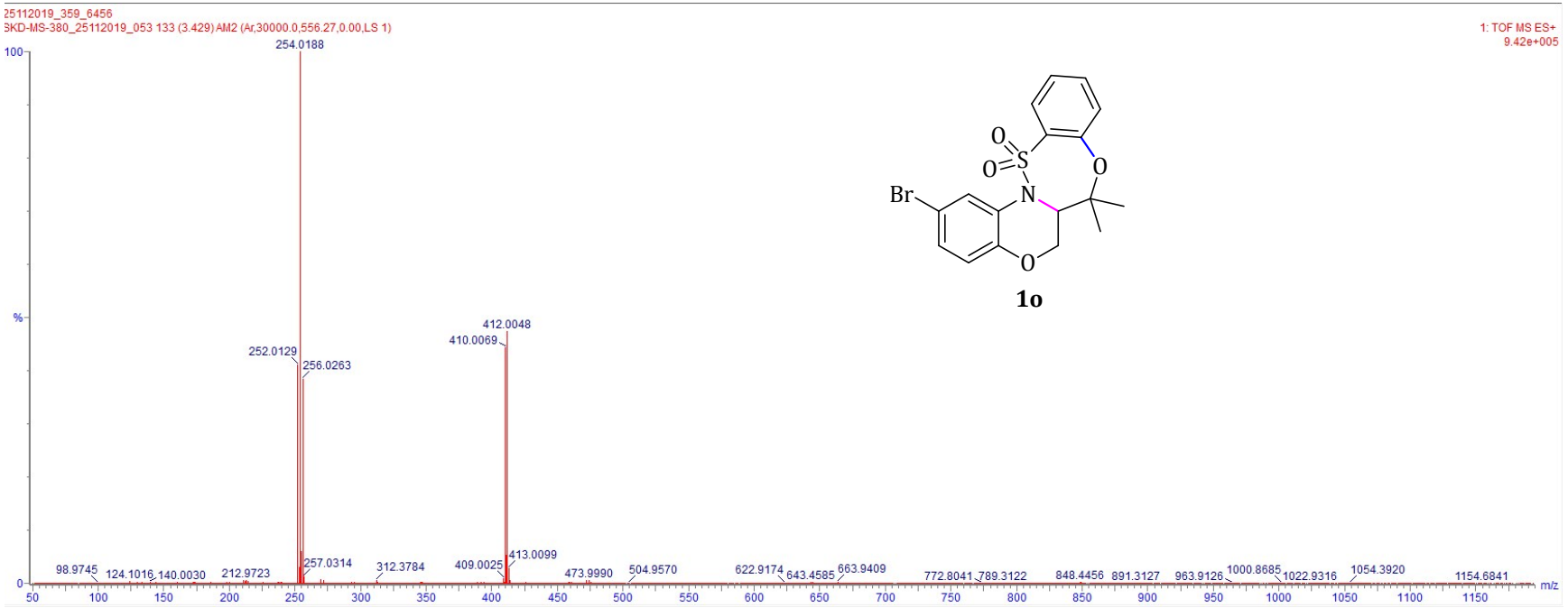
1m

HRMS of compound 1m

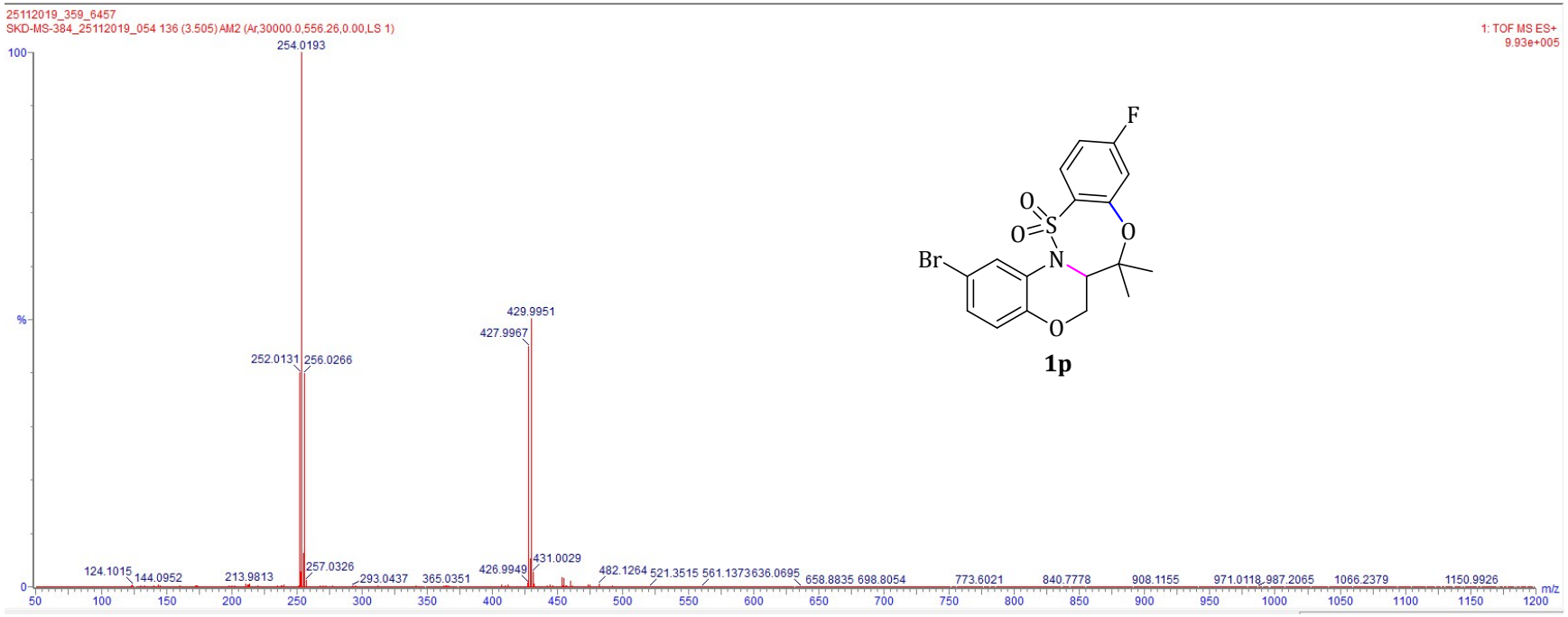


1n

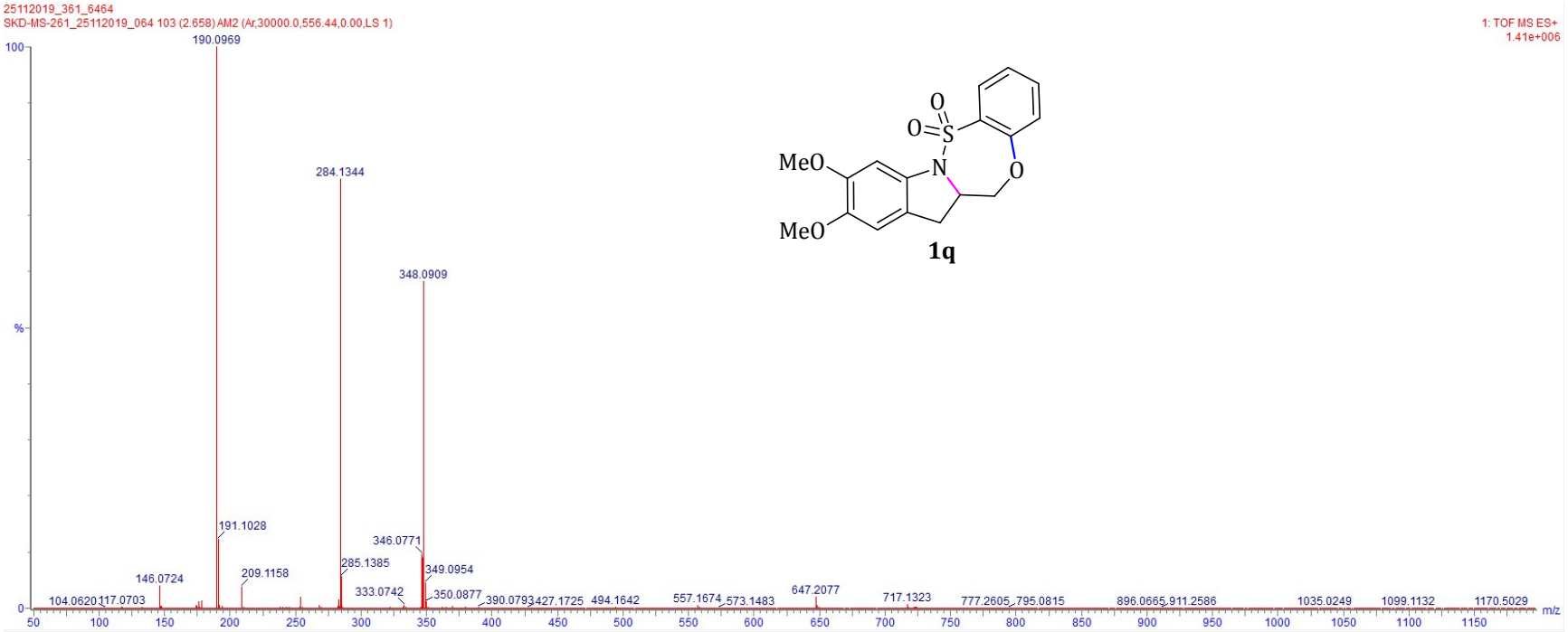
HRMS of compound 1n



HRMS of compound 1o

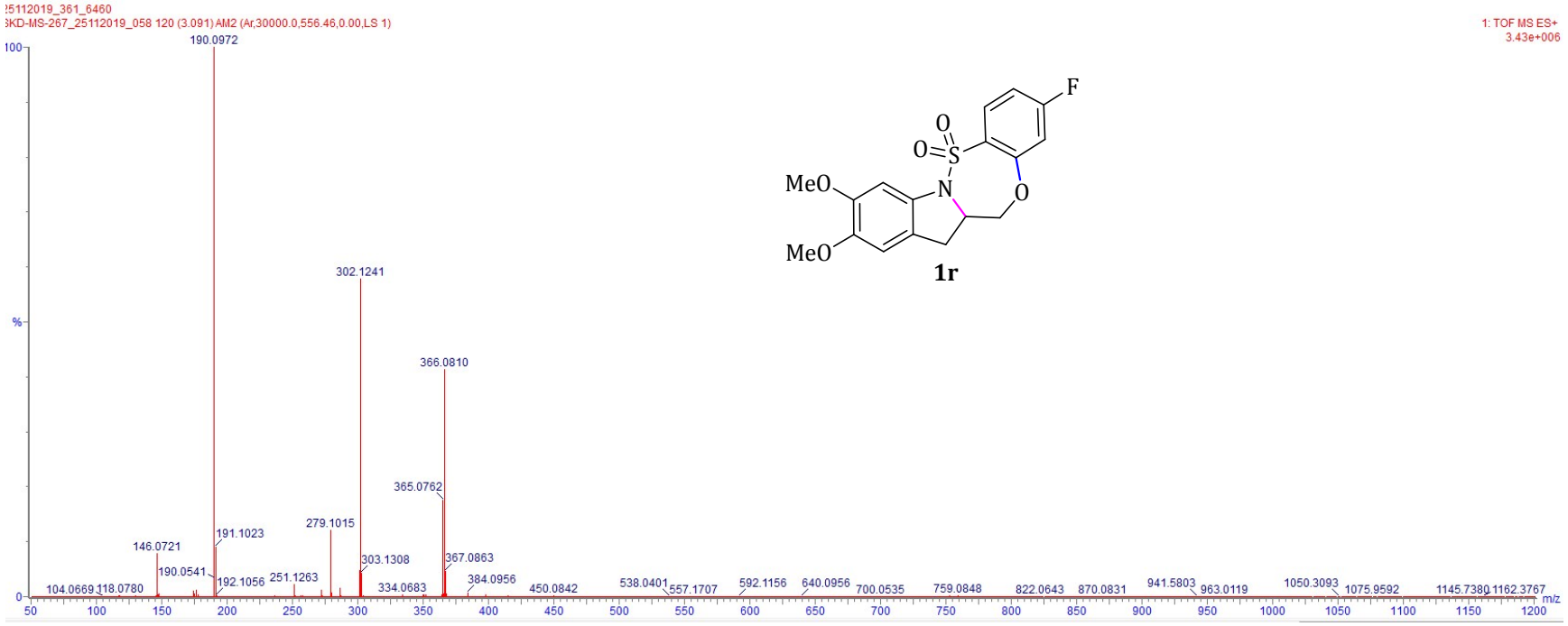


HRMS of compound 1p



1q

HRMS of compound 1q



HRMS of compound 1r