Supporting Information

Brønsted Base Catalyzed Reppe Sulfonylation Reaction

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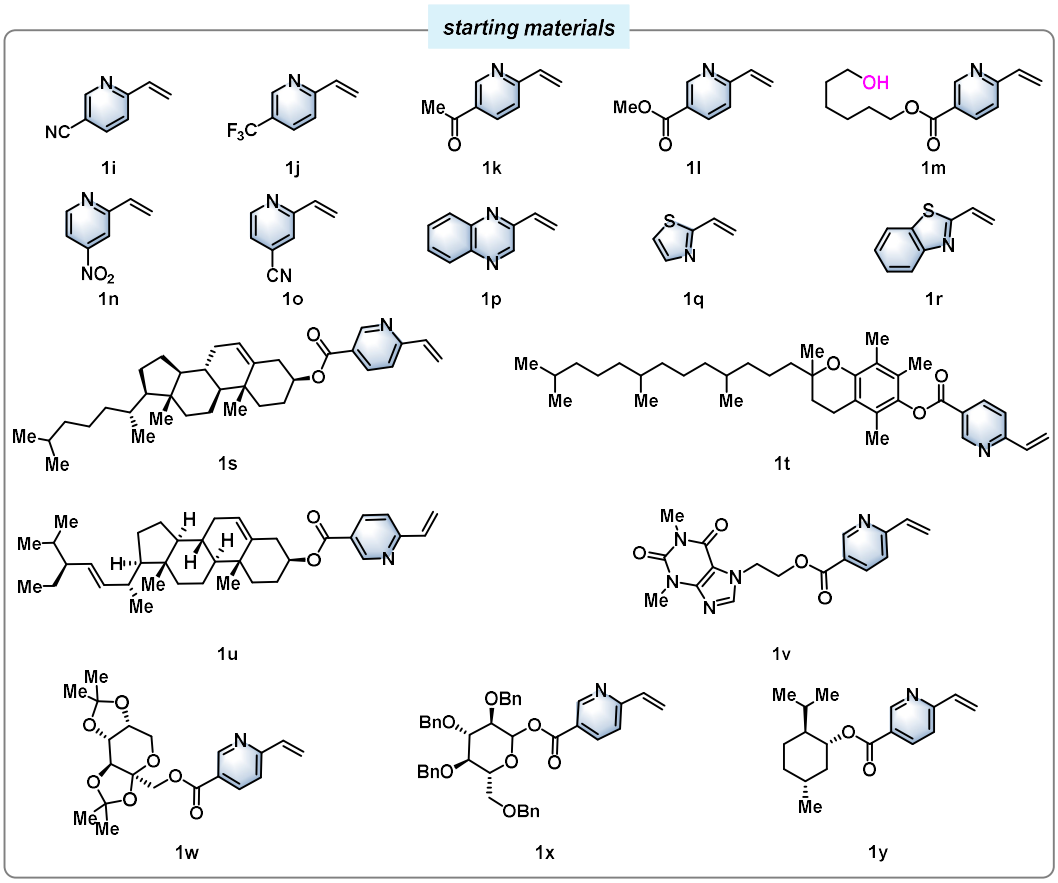
1. General information

Unless otherwise noted, all procedures were carried out in oven dried two-chamber in an argon fulfilled glovebox (Vigor, SGI800-750TS-F). All purification procedures are performed in the air. Unless otherwise noted, all reagents were purchased from commercial suppliers and used as received. Super dry solvents were purchased from Energy, Innochem, et al.

Reactions requiring heat were heated either with a Heidolph magnetic stirring apparatus or in an oil bath. Thin Layer Chromatography (TLC) analysis was conducted on silica gel-coated glass plates (0.25 mm thickness) with a UV254 fluorescence indicator. Spots were visualized either under UV light at 254 nm or by staining with a phosphomolybdic acid solution. Flash column chromatography was carried out at room temperature and under elevated pressure using silica gel (particle size 200-300 mesh).

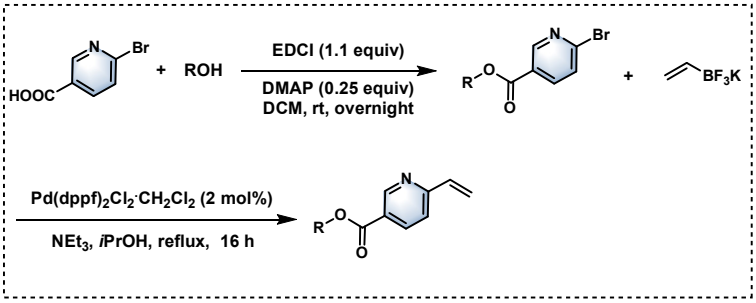
Gas chromatography (GC) analysis was conducted using a Shimadzu GC-2030 instrument equipped with a Rtx-5 column (30 m x 0.25 mm) and dodecane as an internal standard. GC-MS analysis was performed on an Agilent 5977B GC/MSD instrument with an HP-5MS UI column (30 m x 0.25 mm). ^{1}H NMR, ^{13}C NMR, and 19F NMR spectra were recorded on a Bruker Avance 400 MHz spectrometer, using $CDCl_3$ or $[(CD_3)_2SO]$ as solvents at room temperature. Air-sensitive NMR spectra were acquired under a nitrogen atmosphere. High-resolution mass spectrometry (HRMS) data were obtained using a SHIMADZU LCMS-IT-TOF mass spectrometer, with molecular ions $[M+H]^+$, $[M+Na]^+$, and $[M+K]^+$ reported in *m/z* units.

2. Preparation of starting materials



Unless otherwise noted, commercial reagents were purchased from Sigma-Aldrich, TCI, Energy, Alfa Aesar and Bide used as received. **1i**, **1j**, **1k**, **1l**, **1n, 1o** were prepared according to the literature procedure[1]. **1p**, **1q**, **1r** were prepared according to the literature procedure[2]. **1m**, **1s**, **1t**, **1u**, **1v**, **1w**, **1x**, **1y** were synthesized by **method A**.

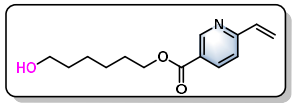
Method A [1,3]**:**



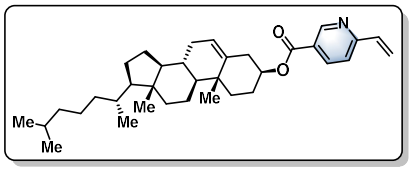
(1) A mixture of 6-bromonicotinic acid (5.0 mmol, 1.01 g), natural product (5.0 mmol), EDCI (1.1 equiv., 1.05 g), DMAP (0.25 equiv, 52.7 mg) in dry DCM (30 mL) were added to a 100 mL bottom flask equipped with a magnetic stirred bar. The mixture was then stirred at room temperature. After completion (monitored by TLC), the reaction was quenched with saturated aqueous $NaHCO_3$ (30 mL) and extracted with DCM (30 mL). The extract was washed by brine (15 mL) and dried over anhydrous Na_2SO_4. After filtering, the filtrate was concentrated and the residue was purified by flash column chromatography to give the desired product

(petroleum ether/ethyl acetate = 50/1) to give the 2-bromopyridine derivative.

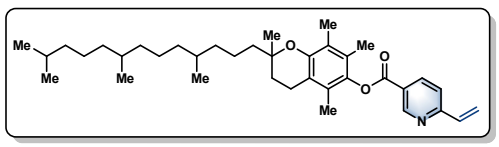
(2) A solution of 2-bromopyridine derivative (5.0 mmol), potassium vinyltrifluoroborate (5.0 mmol, 1.90 g), $Pd(dppf)_2Cl_2 \cdot CH_2Cl_2$ (2 mol%), and NEt_3 (1.2 equiv) in *i*PrOH (30 mL) were heated to reflux for 16 h under an Ar atmosphere. After completion, the mixture was cooled to room temperature, and then the reaction was quenched with water (30 mL) and extracted with DCM (30 mL). The extract was washed by brine (15 mL) and dried over anhydrous Na_2SO_4. After filtering, the filtrate was concentrated and the residue was purified by flash column chromatography to give the desired product (petroleum ether/ethyl acetate = 50/1) to give the desired product.



6-hydroxyhexyl 6-vinylnicotinate (1m) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (581.6 mg, 47%) as a yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.03 (s, 1H), 8.13 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.31 (d, *J* = 8.0 Hz, 1H), 6.75 (dd, *J* = 16.0, 12.4 Hz, 1H), 6.22 (d, *J* = 17.6 Hz, 1H), 5.51 (d, *J* = 10.4 Hz, 1H), 4.23 (t, *J* = 6.4 Hz, 2H), 3.54 (t, *J* = 6.0 Hz, 2H), 3.34 (s, 1H), 1.71 – 1.65 (m, 2H), 1.53 – 1.48 (m, 2H), 1.36 – 1.32 (m, 4H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 165.1, 159.0, 150.5, 137.6, 136.0, 124.7, 121.1, 120.7, 65.3, 62.2, 32.5, 28.5, 25.7, 25.4. HRMS (ESI) *m/z*: Calculated for $C_{14}H_{20}NO_3$ $[M+H]^+$: 250.1438; found: 250.1439.

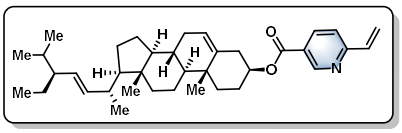


2-(3*S*,8*S*,9*S*,10*R*,13*R*,14*S*,17*R*)-10,13-dimethyl-17-((*R*)-6-methylheptan-2-yl)-2,3,4,7,8,9,10,11,12,13,14,15,16,17-tetradecahydro-1H-cyclopenta[a]phenanthren-3-yl 6-vinylnicotinate (1s) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 50:1) to afford the desired product (288.9 mg, 11%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.15 (s, 1H), 8.23 (dd, *J* = 8.0, 2.0 Hz, 1H), 7.38 (d, *J* = 8.4 Hz, 1H), 6.86 (dd, *J* = 17.6, 10.8 Hz, 1H), 6.33 (d, *J* = 17.2 Hz, 1H), 5.60 (d, *J* = 10.8 Hz, 1H), 5.42 (d, *J* = 4.0 Hz, 1H), 4.91 – 4.83 (m, 1H), 2.46 (d, *J* = 8.0 Hz, 2H), 2.03 – 1.90 (m, 4H), 1.86 – 1.73 (m, 2H), 1.59 – 1.45 (m, 5H), 1.38 – 1.28 (m, 4H), 1.21 – 1.0 (m, 14H), 0.92 (d, *J* = 6.4 Hz, 3H), 0.87 – 0.85 (m, 6H), 0.68 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 159.9, 154.3, 146.1, 134.7, 132.9, 131.5, 120.4, 118.2, 116.1, 115.8, 70.3, 52.0, 51.4, 45.3, 37.6, 35.0, 34.8, 33.4, 32.3, 31.9, 31.5, 31.1, 27.2, 27.1, 23.5, 23.3, 23.1, 19.6, 19.1, 18.1, 17.8, 16.3, 14.6, 14.0, 7.1. HRMS (ESI) *m/z*: Calculated for $C_{35}H_{52}NO_2$ $[M+H]^+$: 518.3993; found: 518.3994.

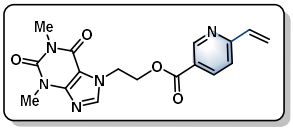


2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)chroman-6-yl 6-vinylnicotinate (1t) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 50:1) to afford the desired product (1180.0 mg, 42%) as a yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.41 (s, 1H), 8.44 (dd, *J* = 8.4, 2.4 Hz, 1H), 7.47 (d, *J* = 8.0 Hz, 1H), 6.92 (dd, *J* = 17.2, 10.8 Hz, 1H), 6.41 (d, *J* = 17.6 Hz, 1H), 5.66 (d, *J* = 11.6 Hz, 1H), 2.64 (t, *J* = 6.8 Hz, 2H), 2.15 (s, 3H), 2.08 (s, 3H), 2.03 (s, 3H), 1.89 – 1.79 (m, 2H), 1.61 – 1.51 (m, 3H), 1.47 – 1.39 (m, 4H), 1.32 – 1.23 (m, 11H), 1.18 – 1.05 (m, 6H), 0.89 – 0.86 (m, 12H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 163.9, 159.7, 151.3, 149.7, 140.4, 138.2, 136.2, 126.8, 125.1, 124.0, 123.3, 121.4, 121.0, 117.6, 75.2, 39.4, 37.60, 37.58, 37.5, 37.4, 37.3, 32.83, 32.82, 32.7, 28.0, 24.9, 24.5, 22.8,

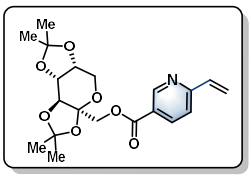
22.7, 21.1, 20.7, 19.8, 19.7, 13.1, 12.3, 11.9. HRMS (ESI) *m/z*: Calculated for $C_{37}H_{56}NO_3$ $[M+H]^+$: 562.4255; found: 562.4258.



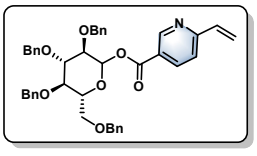
(3*S*,8*S*,9*S*,10*R*,13*R*,14*S*,17*R*)-17-((2*R*,5*S*,*E*)-5-ethyl-6-methylhept-3-en-2-yl)-10,13-dimethyl-2,3,4,7,8,9,10,11,12,13,14,15,16,17-tetradecahydro-1H-cyclopenta[a]phenanthren-3-yl 6-vinylnicotinate (1u) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 50:1) to afford the desired product (1110.0 mg, 41%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.14 (d, *J* = 1.6 Hz, 1H), 8.21 (dd, *J* = 8.0, 2.0 Hz, 1H), 7.36 (d, *J* = 8.0 Hz, 1H), 6.84 (dd, *J* = 17.6, 10.8 Hz, 1H), 6.32 (d, *J* = 18.0 Hz, 1H), 5.59 (d, *J* = 10.8 Hz, 1H), 5.40 (d, *J* = 4.0 Hz, 1H), 5.14 (dd, *J* = 15.2, 8.4 Hz, 1H), 5.01 (dd, *J* = 15.2, 8.8 Hz, 1H), 4.90 – 4.82 (m, 1H), 2.45 (d, *J* = 7.6 Hz, 2H), 2.08 – 1.90 (m, 5H), 1.78 – 1.66 (m, 2H), 1.58 – 1.36 (m, 8H), 1.29 – 1.14 (m, 5H), 1.06 – 0.95 (m, 9H), 0.84 – 0.78 (m, 9H), 0.69 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.6, 159.0, 150.9, 139.4, 138.3, 137.6, 136.3, 129.3, 125.1, 123.0, 120.9, 120.6, 75.1, 56.8, 55.9, 51.3, 50.1, 42.2, 40.5, 39.6, 38.2, 37.0, 36.7, 31.93, 31.90, 31.87, 28.9, 27.9, 25.4, 24.4, 21.3, 21.12, 21.06, 19.4, 19.0, 12.3, 12.1. HRMS (ESI) *m/z*: Calculated for $C_{37}H_{54}NO_2$ $[M+H]^+$: 544.4149; found: 544.4150.



2-(1,3-dimethyl-2,6-dioxo-1,2,3,6-tetrahydro-7H-purin-7-yl)ethyl 6-vinylnicotinate (1v) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 1:2) to afford the desired product (1420.0 mg, 80%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.05 (d, *J* = 8.8 Hz, 1H), 8.13 (dt, *J* = 7.6, 4.0 Hz, 1H), 7.57 (s, 1H), 7.37 (t, *J* = 8.0 Hz, 1H), 6.88 – 6.79 (m, 1H), 6.34 (dd, *J* = 17.6, 6.8 Hz, 1H), 5.63 (dd, *J* = 10.8, 7.2 Hz, 1H), 4.72 – 4.70 (m, 4H), 3.57 (d, *J* = 7.2 Hz, 3H), 3.39 (d, *J* = 7.6 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.6, 159.7, 155.3, 151.6, 150.7, 149.1, 141.5, 137.6, 136.0, 123.6, 121.6, 120.9, 106.8, 63.4, 46.1, 29.8, 28.0. HRMS (ESI) *m/z*: Calculated for $C_{17}H_{18}N_5O_4$ $[M+H]^+$: 356.1353; found: 356.1353.

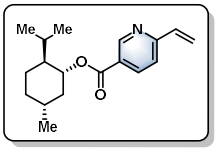


((3a*S*,5a*R*,8a*R*,8b*S*)-2,2,7,7-tetramethyltetrahydro-3aH-bis([1,3]dioxolo)[4,5-b:4',5'-d]pyran-3a-yl)methyl 6-vinylnicotinate (1w) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 20:1) to afford the desired product (1210.0 mg, 62%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.19 (d, *J* = 2.0 Hz, 1H), 8.27 (dd, *J* = 8.4, 2.0 Hz, 1H), 7.40 (d, *J* = 8.4 Hz, 1H), 6.85 (dd, *J* = 17.6, 10.8 Hz, 1H), 6.34 (d, *J* = 17.2 Hz, 1H), 5.62 (d, *J* = 10.8 Hz, 1H), 4.68 (d, J = 11.6 Hz, 1H), 4.64 (dd, J = 8.0, 2.4 Hz, 1H), 4.44 (d, *J* = 2.4 Hz, 1H), 4.35 (d, *J* = 12.0 Hz, 1H), 4.25 (d, *J* = 8.0 Hz, 1H), 3.95 (dd, *J* = 12.8, 1.6 Hz, 1H), 3.79 (d, *J* = 13.2 Hz, 1H), 1.54 (s, 3H), 1.45 (s, 3H), 1.37 (s, 3H), 1.34 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.7, 159.4, 150.9, 137.9, 136.1, 124.3, 121.3, 120.7, 109.2, 108.9, 101.5, 70.8, 70.6, 70.1, 65.5, 61.4, 26.5, 25.9, 25.6, 24.0. HRMS (ESI) *m/z*: Calculated for $C_{20}H_{26}NO_7$ $[M+H]^+$: 392.1704; found: 392.1706.



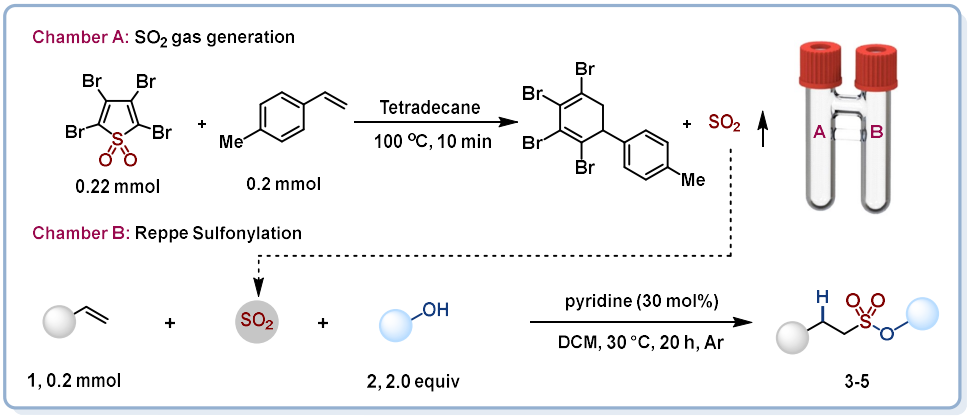
(3*R*,4*S*,5*R*,6*R*)-3,4,5-tris(benzyloxy)-6-((benzyloxy)methyl)tetrahydro-2H-pyran-2-yl 6-vinylnicotinate (1x) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 5:1) to afford the desired product (1440.0 mg, 43%) as a yellow

liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.27 (s, 1H), 8.28 (dd, J = 8.4, 2.0 Hz, 1H), 7.43 (d, *J* = 8.0 Hz, 1H), 7.42 – 7.29 (m, 18H), 7.21 – 7.19 (m, 2H), 6.91 (dd, *J* = 17.6, 10.8 Hz, 1H), 6.63 (d, *J* = 2.8 Hz, 1H), 6.41 (d, *J* = 17.6 Hz, 1H), 5.67 (d, *J* = 10.8 Hz, 1H), 5.00 (d, *J* = 10.8 Hz, 1H), 4.89 (t, *J* = 11.6 Hz, 2H), 4.75 (dd, J = 16.0, 11.6 Hz, 2H), 4.57 (dt, *J* = 36.4, 12.0 Hz, 3H), 4.07 (t, J = 9.6 Hz, 1H), 3.99 (d, J = 10.0 Hz, 1H), 3.87 – 3.78 (m, 3H), 3.69 (d, *J* = 10.8 Hz, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 163.7, 159.7, 151.2, 138.6, 138.12, 138.07, 137.9, 137.7, 136.2, 128.57, 128.55, 128.5, 128.4, 128.14, 128.06, 128.0, 127.95, 127.9, 127.8, 124.2, 121.6, 121.0, 91.2, 81.9, 79.0, 77.6, 77.3, 76.9, 75.9, 75.4, 73.7, 73.5, 73.3, 68.1. HRMS (ESI) *m/z*: Calculated for $C_{42}H_{41}NO_7$ [M+Na]$^{+}$: 694.2775; found: 694.2772.



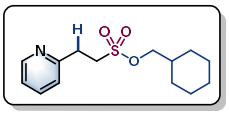
(1*R*,2*S*,5*R*)-2-isopropyl-5-methylcyclohexyl 6-vinylnicotinate (1y) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 20:1) to afford the desired product (940.0 mg, 65%) as a yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.14 (s, 1H), 8.21 (dd, J = 8.4, 1.6 Hz, 1H), 7.37 (d, *J* = 8.4 Hz, 1H), 6.84 (dd, *J* = 17.2, 10.4 Hz, 1H), 6.31 (d, *J* = 17.2 Hz, 1H), 5.58 (d, *J* = 10.8 Hz, 1H), 4.92 (td, *J* = 10.8, 4.4 Hz, 1H), 2.13 – 2.08 (m, 1H), 1.95 – 1.87 (m, 1H), 1.73 – 1.68 (m, 2H), 1.57 – 1.50 (m, 2H), 1.16 – 1.05 (m, 3H), 0.90 (t, *J* = 6.8 Hz, 6H), 0.77 (d, *J* = 6.8 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.7, 159.0, 150.8, 137.6, 136.2, 125.1, 120.8, 120.7, 75.4, 47.2, 40.9, 34.2, 31.4, 26.6, 23.7, 22.0, 20.7, 16.6. HRMS (ESI) *m/z*: Calculated for $C_{18}H_{26}NO_2$ [M+H]$^{+}$: 288.1958; found: 288.1959.

3. General procedures

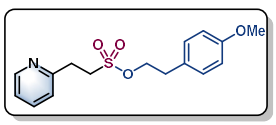


In an argon fulfilled glovebox, alkenyl *N*-heteroarene (0.2 mmol, 1.0 equiv), alcohol (0.4 mmol, 2.0 equiv), pyridine (30 mol%, 4.8 μL) were added successively into chamber B with a magnetic stirring bar, followed by the addition of DCM (0.25 mL). Subsequently, SOgen (tetrabromothiophene S,S-dioxide) (0.44 mmol, 2.2 equiv), 1-methyl-4-vinylbenzene (0.4 mmol, 2.0 equiv), were successively introduced into chamber A with a magnetic stirring bar, followed by the addition of tetradecane (1.0 mL). The two-chamber was sealed and removed out of the glovebox. Then chamber A was stirred for 10 min at 100 °C with 600 rpm stirring speed. After that, the chamber B was allowed to stir at 30 °C for 20 h. Upon completion, the two-chamber was cooled to RT. The reaction mixture was concentrated and then purified by flash silica gel column chromatography using petroleum ether/ethyl acetate as eluent to give the desired product **3-5**.

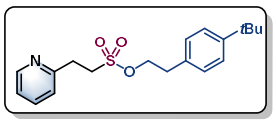
4. Characterization data of products



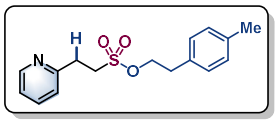
cyclohexylmethyl 2-(pyridin-2-yl)ethane-1-sulfonate (3a) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (47.5 mg, 84%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.54 (d, *J* = 4.4 Hz, 1H), 7.64 (t, *J* = 7.6 Hz, 1H), 7.25 – 7.14 (m, 2H), 3.97 (d, *J* = 6.2 Hz, 2H), 3.67 – 3.57 (m, 2H), 3.37 – 3.27 (m, 2H), 1.73 – 1.71 (m, 5H), 1.28 – 1.13 (m, 4H), 0.95 (q, *J* = 11.6 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.1, 149.4, 136.8, 123.4, 122.1, 74.8, 49.0, 37.4, 31.7, 29.1, 26.1, 25.4. HRMS (ESI) *m/z*: Calculated for $C_{14}H_{22}NO_3S$ $[M+H]^+$: 284.1315; found: 284.1316.



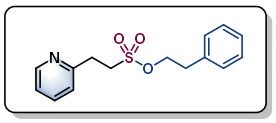
2-(4-methoxycyclohexyl)ethyl 2-(pyridin-2-yl)ethane-1-sulfonate (3b) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (52.2 mg, 81%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.51 (d, *J* = 4.4 Hz, 1H), 7.61 (td, *J* = 7.6, 1.6 Hz, 1H), 7.22 – 7.06 (m, 4H), 6.81 (d, *J* = 8.8 Hz, 2H), 4.31 (t, *J* = 7.0 Hz, 2H), 3.74 (s, 3H), 3.55 – 3.51 (m, 2H), 3.20 – 3.16 (m, 2H), 2.90 (t, *J* = 6.8 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 158.6, 157.0, 149.4, 136.7, 130.0, 128.3, 123.4, 122.1, 114.1, 70.5, 55.2, 49.0, 34.7, 31.5. HRMS (ESI) *m/z*: Calculated for $C_{16}H_{20}NO_4S$ $[M+H]^+$: 322.1108; found: 322.1102.



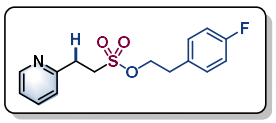
2-(4-(tert-butyl)cyclohexyl)ethyl 2-(pyridin-2-yl)ethane-1-sulfonate (3c) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (46.7 mg, 67%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.52 (d, *J* = 4.4 Hz, 1H), 7.62 (td, *J* = 7.6, 1.6 Hz, 1H), 7.32 (d, *J* = 8.4 Hz, 2H), 7.21 – 7.11 (m, 4H), 4.36 (t, *J* = 7.2 Hz, 2H), 3.58 – 3.54 (m, 2H), 3.25 – 3.19 (m, 2H), 2.95 (t, *J* = 7.2 Hz, 2H), 1.28 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.0, 149.9, 149.3, 136.8, 133.2, 128.7, 125.6, 123.4, 122.1, 70.3, 49.1, 35.1, 34.4, 31.5, 31.3. HRMS (ESI) *m/z*: Calculated for $C_{19}H_{26}NO_3S$ $[M+H]^+$: 348.1628; found: 348.1628.



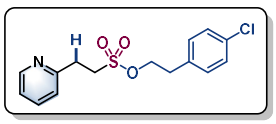
4-methylphenethyl 2-(pyridin-2-yl)ethane-1-sulfonate (3d) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (42.6 mg, 70%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.52 (d, *J* = 4.4 Hz, 1H), 7.62 (td, *J* = 7.6, 1.6 Hz, 1H), 7.21 – 7.02 (m, 6H), 4.34 (t, *J* = 7.2 Hz, 2H), 3.56 – 3.52 (m, 2H), 3.21 – 3.17 (m, 2H), 2.93 (t, *J* = 7.2 Hz, 2H), 2.29 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.0, 149.4, 136.7, 136.6, 133.2, 129.4, 128.9, 123.3, 122.0, 70.4, 49.0, 35.2, 31.5, 21.0. HRMS (ESI) *m/z*: Calculated for $C_{16}H_{20}NO_3S$ $[M+H]^+$: 306.1158; found: 306.1157.



phenethyl 2-(pyridin-2-yl)ethane-1-sulfonate (3e) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (41.0 mg, 70%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.44 (d, *J* = 4.4 Hz, 1H), 7.55 (td, *J* = 7.6, 1.6 Hz, 1H), 7.21 (m, 2H), 7.18 – 7.05 (m, 5H), 4.30 (t, *J* = 7.2 Hz, 2H), 3.50 – 3.46 (m, 2H), 3.15 – 3.11 (m, 2H), 2.90 (t, *J* = 7.2 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.9, 149.3, 136.9, 136.3, 129.0, 128.7, 127.0, 123.5, 122.1, 70.2, 49.1, 35.6, 31.4. HRMS (ESI) *m/z*: Calculated for $C_{15}H_{18}NO_3S$ $[M+H]^+$: 292.1002; found: 292.0999.

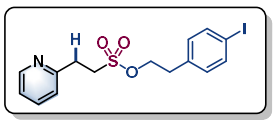


4-fluorophenethyl 2-(pyridin-2-yl)ethane-1-sulfonate (3f) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (45.5 mg, 74%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.51 (d, *J* = 4.8 Hz, 1H), 7.61 (td, *J* = 7.6, 1.6 Hz, 1H), 7.17 – 7.14 (m, 4H), 6.99 – 6.95 (m, 2H), 4.33 (t, *J* = 6.8 Hz, 2H), 3.57 – 3.53 (m, 2H), 3.22 – 3.18 (m, 2H), 2.94 (t, *J* = 6.8 Hz, 2H). ^{19}F NMR (376 MHz, Chloroform-*d*) δ -115.75. ^{13}C NMR (101 MHz, Chloroform-*d*) δ 161.92 (d, *J* = 245.3 Hz), 156.86, 149.43, 136.77, 132.05 (d, *J* = 3.3 Hz), 130.49 (d, *J* = 8.0 Hz), 123.35, 122.10, 115.53 (d, *J* = 21.3 Hz), 70.02 (d, *J* = 1.5 Hz), 49.04, 34.82, 31.47. HRMS (ESI) *m/z*: Calculated for $C_{15}H_{17}FNO_3S$ $[M+H]^+$: 310.0908; found: 310.0904.

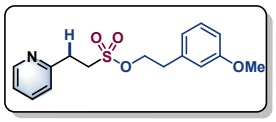


4-chlorophenethyl 2-(pyridin-2-yl)ethane-1-sulfonate (3g) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (41.6 mg, 64%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.44 (d, *J* = 4.0 Hz, 1H), 7.55 (t, *J* = 7.6 Hz, 1H), 7.19 (d, *J* = 8.2 Hz, 2H), 7.14 – 7.02 (m, 4H), 4.27 (t, *J* = 6.8 Hz,

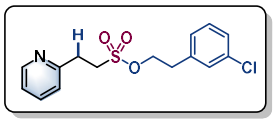
2H), 3.54 – 3.44 (m, 2H), 3.19 – 3.07 (m, 2H), 2.87 (t, *J* = 6.8 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.8, 149.3, 136.9, 134.9, 132.9, 130.3, 128.8, 123.4, 122.1, 69.8, 49.0, 35.0, 31.4. HRMS (ESI) *m/z*: Calculated for $C_{15}H_{17}ClNO_3S$ $[M+H]^+$: 326.0612; found: 326.0615.



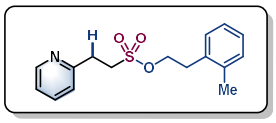
4-iodophenethyl 2-(pyridin-2-yl)ethane-1-sulfonate (3h) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (51.0 mg, 61%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.51 (d, *J* = 4.0 Hz, 1H), 7.63 – 7.59 (m, 3H), 7.17 – 7.12 (m, 2H), 6.94 (d, *J* = 8.0 Hz, 2H), 4.33 (t, *J* = 6.8 Hz, 2H), 3.57 – 3.53 (m, 2H), 3.20 – 3.16 (m, 2H), 2.91 (t, *J* = 6.8 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.8, 149.4, 137.8, 136.8, 136.1, 131.0, 123.4, 122.1, 92.4, 69.6, 49.0, 35.1, 31.5. HRMS (ESI) *m/z*: Calculated for $C_{15}H_{17}INO_3S$ $[M+H]^+$: 417.9968; found: 417.9969.



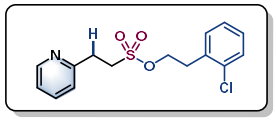
3-methoxyphenethyl 2-(pyridin-2-yl)ethane-1-sulfonate (3i) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (41.1 mg, 64%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.51 (d, *J* = 4.4 Hz, 1H), 7.61 (td, *J* = 7.6, 1.6 Hz, 1H), 7.22 – 7.13 (m, 3H), 6.79 – 6.75 (m, 3H), 4.36 (t, *J* = 7.2 Hz, 2H), 3.77 (s, 3H), 3.57 – 3.54 (m, 2H), 3.22 – 3.18 (m, 2H), 2.94 (t, *J* = 7.0 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 159.8, 157.0, 149.4, 137.8, 136.7, 129.7, 123.4, 122.1, 121.3, 114.7, 112.4, 70.1, 55.2, 49.1, 35.6, 31.5. HRMS (ESI) *m/z*: Calculated for $C_{16}H_{20}NO_4S$ $[M+H]^+$: 322.1108; found: 322.1103.



3-chlorophenethyl 2-(pyridin-2-yl)ethane-1-sulfonate (3j) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (41.5 mg, 64%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.52 (d, *J* = 4.8 Hz, 1H), 7.62 (td, *J* = 7.6, 1.6 Hz, 1H), 7.25 – 7.14 (m, 5H), 7.09 – 7.07 (m, 1H), 4.34 (t, *J* = 6.8 Hz, 2H), 3.60 – 3.56 (m, 2H), 3.23 – 3.19 (m, 2H), 2.94 (t, *J* = 6.8 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.8, 149.4, 138.4, 136.8, 134.4, 130.0, 129.1, 127.3, 127.2, 123.4, 122.1, 69.5, 49.1, 35.2, 31.5. HRMS (ESI) *m/z*: Calculated for $C_{15}H_{17}ClNO_3S$ $[M+H]^+$: 326.0612; found: 326.0613.

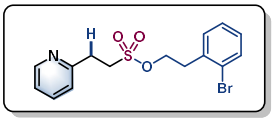


2-methylphenethyl 2-(pyridin-2-yl)ethane-1-sulfonate (3k) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (44.9 mg, 74%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.52 (d, *J* = 4.8 Hz, 1H), 7.62 (td, *J* = 7.6, 1.8 Hz, 1H), 7.18 – 7.13 (m, 6H), 4.33 (t, *J* = 7.4 Hz, 2H), 3.59 – 3.55 (m, 2H), 3.24 – 3.20 (m, 2H), 3.00 (t, *J* = 7.4 Hz, 2H), 2.32 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.0, 149.5, 136.9, 136.6, 134.4, 130.6, 129.7, 127.3, 126.4, 123.5, 122.2, 69.3, 49.2, 33.0, 31.6, 19.5. HRMS (ESI) *m/z*: Calculated for $C_{16}H_{20}NO_3S$ $[M+H]^+$: 306.1158; found: 306.1 150.

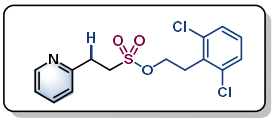


2-chlorophenethyl 2-(pyridin-2-yl)ethane-1-sulfonate (3l) was purified by silica gel column chromatography (petroleum ether/ethyl

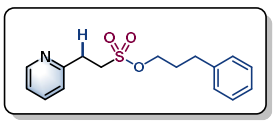
acetate = 2:1) to afford the desired product (32.9 mg, 51%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.50 (d, *J* = 4.6 Hz, 1H), 7.60 (td, *J* = 7.6, 1.6 Hz, 1H), 7.34 – 7.32 (m, 1H), 7.25 – 7.13 (m, 5H), 4.38 (t, *J* = 7.2 Hz, 2H), 3.58 – 3.54 (m, 2H), 3.22 – 3.19 (m, 2H), 3.11 (t, *J* = 7.2 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.0, 149.6, 136.8, 134.2, 134.0, 131.6, 129.8, 128.7, 127.2, 123.5, 122.1, 68.4, 49.2, 33.6, 31.6. HRMS (ESI) *m/z*: Calculated for $C_{15}H_{17}ClNO_3S$ $[M+H]^+$: 326.0612; found: 326.0613.



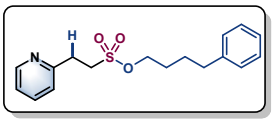
2-bromophenethyl 2-(pyridin-2-yl)ethane-1-sulfonate (3m) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (47.6 mg, 65%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.51 (d, *J* = 4.4 Hz, 1H), 7.60 (td, *J* = 7.6, 2.0 Hz, 1H), 7.52 (d, *J* = 7.6 Hz, 1H), 7.25 – 7.23 (m, 2H), 7.17 – 7.07 (m, 3H), 4.38 (t, *J* = 6.9 Hz, 2H), 3.60 – 3.53 (m, 2H), 3.25 – 3.18 (m, 2H), 3.12 (t, *J* = 6.8 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.9, 149.5, 136.7, 135.6, 133.0, 131.5, 128.9, 127.7, 124.5, 123.4, 122.1, 68.4, 49.1, 35.9, 31.5. HRMS (ESI) *m/z*: Calculated for $C_{15}H_{17}BrNO_3S$ $[M+H]^+$: 370.0107; found: 370.0111.



2,6-dichlorophenethyl 2-(pyridin-2-yl)ethane-1-sulfonate (3n) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (44.4 mg, 62%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.52 (d, *J* = 4.4 Hz, 1H), 7.61 (td, *J* = 7.6, 1.8 Hz, 1H), 7.29 – 7.27 (m, 2H), 7.19 – 7.10 (m, 3H), 4.36 (t, *J* = 7.2 Hz, 2H), 3.63 – 3.59 (m, 2H), 3.36 (t, *J* = 7.2 Hz, 2H), 3.29 – 3.25 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.9, 149.5, 136.7, 136.0, 132.1, 129.0, 128.4, 123.4, 122.1, 66.6, 49.2, 31.6, 31.0. HRMS (ESI) *m/z*: Calculated for $C_{15}H_{16}Cl_2NO_3S$ $[M+H]^+$: 360.0222; found: 360.0220.

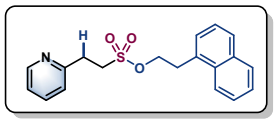


3-phenylpropyl 2-(pyridin-2-yl)ethane-1-sulfonate (3o) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (44.4 mg, 73%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.45 (d, *J* = 4.4 Hz, 1H), 7.55 (td, *J* = 7.6, 1.8 Hz, 1H), 7.22 – 7.18 (m, 2H), 7.15 – 7.07 (m, 5H), 4.10 (t, *J* = 6.4 Hz, 2H), 3.58 – 3.54 (m, 2H), 3.26 – 3.22 (m, 2H), 2.61 (t, *J* = 7.2 Hz, 2H), 1.94 – 1.87 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.0, 149.5, 140.4, 136.8, 128.6, 128.5, 126.3, 123.4, 122.1, 69.2, 49.1, 31.7, 31.6, 30.7. HRMS (ESI) *m/z*: Calculated for $C_{16}H_{20}NO_3S$ $[M+H]^+$: 306.1158; found: 306.1150.

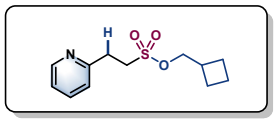


4-phenylbutyl 2-(pyridin-2-yl)ethane-1-sulfonate (3p) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (40.3 mg, 63%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.48 (d, *J* = 4.4 Hz, 1H), 7.57 (td, *J* = 7.6, 1.6 Hz, 1H), 7.23 (d, *J* = 7.2 Hz, 2H), 7.17 – 7.10 (m, 5H), 4.14 (t, *J* = 5.8 Hz, 2H), 3.61 – 3.57 (m, 2H), 3.28 – 3.25 (m, 2H), 2.59 (t, *J* = 6.4 Hz, 2H), 1.65 (p, *J* = 3.2 Hz, 4H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.0, 149.5, 141.6, 136.8, 128.4, 128.4, 126.0, 123.4, 122.1, 69.9, 49.0, 35.2, 31.7, 28.6, 27.2. HRMS (ESI) *m/z*: Calculated for $C_{17}H_{22}NO_3S$ $[M+H]^+$:

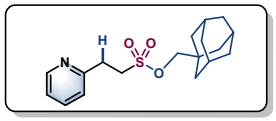
320.1315; found: 320.1318.



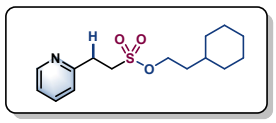
2-(naphthalen-1-yl)ethyl 2-(pyridin-2-yl)ethane-1-sulfonate (3q) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (56.2 mg, 82%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.49 (d, *J* = 4.4 Hz, 1H), 7.99 (d, *J* = 8.4 Hz, 1H), 7.85 (d, *J* = 8.0 Hz, 1H), 7.76 (d, *J* = 8.0 Hz, 1H), 7.60 – 7.48 (m, 3H), 7.43 – 7.36 (m, 2H), 7.15 – 7.12 (m, 1H), 7.08 (d, *J* = 7.6 Hz, 1H), 4.49 (t, *J* = 7.2 Hz, 2H), 3.56 – 3.52 (m, 2H), 3.47 (t, *J* = 7.2 Hz, 2H), 3.20 – 3.16 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.9, 149.4, 136.7, 133.9, 132.1, 131.8, 129.0, 127.9, 127.4, 126.5, 125.8, 125.5, 123.3, 123.2, 122.0, 69.5, 49.2, 32.8, 31.5. HRMS (ESI) *m/z*: Calculated for $C_{19}H_{20}NO_3S$ $[M+H]^+$: 342.1158; found: 342.1156.



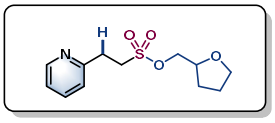
cyclobutylmethyl 2-(pyridin-2-yl)ethane-1-sulfonate (3r) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (33.6 mg, 66%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.54 (d, *J* = 4.8 Hz, 1H), 7.64 (td, *J* = 7.6, 1.6 Hz, 1H), 7.23 – 7.16 (m, 2H), 4.14 (d, *J* = 6.8 Hz, 2H), 3.65 – 3.61 (m, 2H), 3.33 – 3.29 (m, 2H), 2.69 – 2.57 (m, 1H), 2.10 – 2.02 (m, 2H), 1.98 – 1.74 (m, 4H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.1, 149.5, 136.8, 123.4, 122.1, 73.5, 49.1, 34.1, 31.7, 24.3, 18.2. HRMS (ESI) *m/z*: Calculated for $C_{12}H_{18}NO_3S$ $[M+H]^+$: 256.1002; found: 256.1004.



(adamantan-1-yl)methyl 2-(pyridin-2-yl)ethane-1-sulfonate (3s) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (46.6 mg, 70%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.54 – 8.53 (m, 1H), 7.63 (td, *J* = 7.6, 1.6 Hz, 1H), 7.23 – 7.16 (m, 2H), 3.74 (s, 2H), 3.64 – 3.60 (m, 2H), 3.33 – 3.29 (m, 2H), 1.98 (s, 3H), 1.73 – 1.60 (m, 6H), 1.51 (s, 6H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.1, 149.5, 136.9, 123.5, 122.2, 79.3, 48.9, 38.7, 36.8, 33.5, 31.7, 27.9. HRMS (ESI) *m/z*: Calculated for $C_{18}H_{26}NO_3S$ $[M+H]^+$: 336.1628; found: 336.1629.

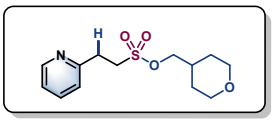


2-cyclohexylethyl 2-(pyridin-2-yl)ethane-1-sulfonate (3t) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (44.0 mg, 74%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.52 (d, *J* = 4.4 Hz, 1H), 7.62 (td, *J* = 7.6, 1.6 Hz, 1H), 7.22 – 7.15 (m, 2H), 4.20 (t, *J* = 6.8 Hz, 2H), 3.61 (dd, *J* = 9.0, 6.6 Hz, 2H), 3.29 (dd, *J* = 9.0, 6.6 Hz, 2H), 1.72 – 1.62 (m, 5H), 1.53 (q, *J* = 6.8 Hz, 2H), 1.42 – 1.30 (m, 1H), 1.26 – 1.14 (m, 3H), 0.94 – 0.85 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ ^{13}C NMR (101 MHz, $CDCl_3$) δ 157.1, 149.5, 136.8, 123.4, 122.1, 68.4, 49.0, 36.4, 33.9, 32.9, 31.7, 26.4, 26.0. HRMS (ESI) *m/z*: Calculated for $C_{15}H_{24}NO_3S$ $[M+H]^+$: 298.1471; found: 298.1474.

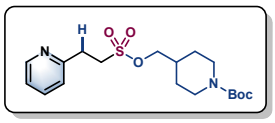


(tetrahydrofuran-2-yl)methyl 2-(pyridin-2-yl)ethane-1-sulfonate (3u) was purified by silica gel column chromatography (petroleum

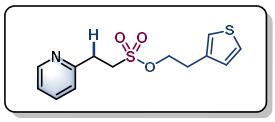
ether/ethyl acetate = 1:1) to afford the desired product (29.7 mg, 55%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.51 (d, *J* = 4.0 Hz, 1H), 7.64 – 7.59 (m, 1H), 7.21 – 7.14 (m, 2H), 4.20 – 4.07 (m, 3H), 3.88 – 3.82 (m, 1H), 3.79 – 3.73 (m, 1H), 3.69 – 3.65 (m, 2H), 3.37 – 3.26 (m, 2H), 2.08 – 1.93 (m, 1H), 1.90 – 1.83 (m, 2H), 1.69 – 1.60 (m, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.0, 149.5, 136.8, 123.3, 122.1, 76.2, 71.3, 68.6, 49.3, 31.6, 27.7, 25.7. HRMS (ESI) *m/z*: Calculated for $C_{12}H_{18}NO_4S$ $[M+H]^+$: 272.0951; found: 272.0956.



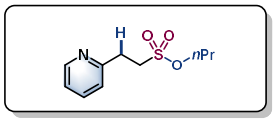
(tetrahydro-2H-pyran-4-yl)methyl 2-(pyridin-2-yl)ethane-1-sulfonate (3v) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 1:2) to afford the desired product (31.6 mg, 55%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.53 (d, *J* = 4.8 Hz, 1H), 7.63 (td, *J* = 7.6, 1.6 Hz, 1H), 7.23 – 7.16 (m, 2H), 4.00 (d, *J* = 6.4 Hz, 2H), 3.96 (dd, *J* = 11.2, 4.0 Hz, 2H), 3.66 – 3.62 (m, 2H), 3.39 – 3.29 (m, 4H), 1.97 – 1.86 (m, 1H), 1.63 – 1.58 (m, 2H), 1.37 – 1.27 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.9, 149.5, 136.8, 123.4, 122.1, 73.6, 67.2, 49.1, 34.9, 31.6, 29.0. HRMS (ESI) *m/z*: Calculated for $C_{13}H_{20}NO_4S$ $[M+H]^+$: 286.1108; found: 286.1108.



tert-butyl 4-((((2-(pyridin-2-yl)ethyl)sulfonyl)oxy)methyl)piperidine-1-carboxylate (3w) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 1:1) to afford the desired product (39.3 mg, 51%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.53 (d, *J* = 4.4 Hz, 1H), 7.63 (td, *J* = 7.6, 1.6 Hz, 1H), 7.22 – 7.16 (m, 2H), 4.11 (s, 1H), 4.00 (d, *J* = 6.4 Hz, 2H), 3.66 – 3.62 (m, 2H), 3.32 – 3.28 (m, 2H), 2.66 (t, *J* = 12.0 Hz, 2H), 1.85 – 1.75 (m, 2H), 1.68 – 1.63 (m, 2H), 1.44 (s, 9H), 1.19 – 1.09 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.9, 154.7, 149.5, 136.8, 123.4, 122.1, 79.6, 73.4, 49.0, 43.2, 36.0, 31.6, 28.4, 28.2. HRMS (ESI) *m/z*: Calculated for $C_{18}H_{29}N_2O_5S$ $[M+H]^+$: 385.1792; found: 385.1793.

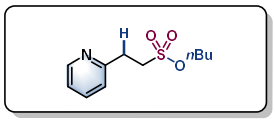


2-(thiophen-3-yl)ethyl 2-(pyridin-2-yl)ethane-1-sulfonate (3x) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (41.1 mg, 69%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.45 (d, *J* = 3.6 Hz, 1H), 7.57 – 7.53 (m, 1H), 7.21 – 7.19 (m, 1H), 7.11 – 7.09 (m, 2H), 6.99 – 6.98 (m, 1H), 6.89 (d, *J* = 4.8 Hz, 1H), 4.30 (t, *J* = 6.8 Hz, 2H), 3.53 – 3.49 (m, 2H), 3.18 – 3.14 (m, 2H), 2.94 (t, *J* = 6.8 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.9, 149.4, 136.8, 136.5, 128.1, 126.0, 123.4, 122.3, 122.1, 69.5, 49.1, 31.5, 30.1. HRMS (ESI) *m/z*: Calculated for $C_{13}H_{16}NO_3S_2$ $[M+H]^+$: 298.0566; found: 298.0572.

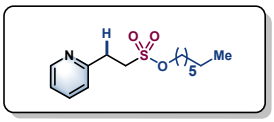


propyl 2-(pyridin-2-yl)ethane-1-sulfonate (3y) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 5:1) to afford the desired product (21.3 mg, 47%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.53 (d, *J* = 4.8 Hz, 1H), 7.63 (td, *J* = 7.6, 2.0 Hz, 1H), 7.23 – 7.16 (m, 2H), 4.14 (t, *J* = 6.8 Hz, 2H), 3.65 – 3.61 (m, 2H), 3.33 – 3.30 (dd, *J* = 9.1, 6.6 Hz, 2H), 1.74 – 1.65 (m, 2H), 0.95 (t, *J* = 7.2 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.1, 149.6, 136.9, 123.5, 122.2, 71.7, 49.1, 31.8, 22.7, 10.1. HRMS (ESI) *m/z*: Calculated for $C_{10}H_{16}NO_3S$

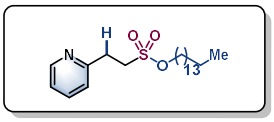
[M+H]$^+$: 230.0845; found: 280.0844.



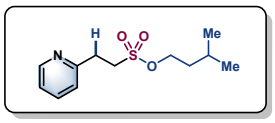
butyl 2-(pyridin-2-yl)ethane-1-sulfonate (3z) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 5:1) to afford the desired product (24.8 mg, 51%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.53 (d, *J* = 4.4 Hz, 1H), 7.63 (td, *J* = 7.6, 2.0 Hz, 1H), 7.22 – 7.15 (m, 2H), 4.17 (t, *J* = 6.8 Hz, 2H), 3.64 – 3.60 (m, 2H), 3.32 – 3.28 (m, 2H), 1.63 (p, *J* = 6.8 Hz, 2H), 1.42 – 1.35 (m, 2H), 0.91 (t, *J* = 7.6 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.1, 149.6, 136.9, 123.5, 122.2, 70.0, 49.1, 31.8, 31.1, 18.7, 13.6. HRMS (ESI) *m/z*: Calculated for $C_{11}H_{18}NO_3S$ [M+H]$^+$: 244.1002; found: 244.1006.



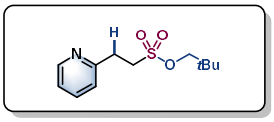
heptyl 2-(pyridin-2-yl)ethane-1-sulfonate (4a) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (39.8 mg, 70%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.51 (d, *J* = 4.8 Hz, 1H), 7.62 (td, *J* = 7.6, 2.0 Hz, 1H), 7.20 (d, *J* = 8.0 Hz, 1H), 7.17 – 7.14 (m, 1H), 4.15 (t, *J* = 6.8 Hz, 2H), 3.63 – 3.59 (m, 2H), 3.31 – 3.27 (m, 2H), 1.63 (p, *J* = 6.8 Hz, 2H), 1.33 – 1.25 (m, 8H), 0.86 (t, *J* = 6.4 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.0, 149.4, 136.8, 123.4, 122.1, 70.2, 49.0, 31.7, 31.6, 29.1, 28.7, 25.3, 22.5, 14.0. HRMS (ESI) *m/z*: Calculated for $C_{14}H_{23}NO_3SNa$ [M+ Na]$^+$: 308.1291; found: 308.1301.



pentadecyl 2-(pyridin-2-yl)ethane-1-sulfonate (4b) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 5:1) to afford the desired product (46.5 mg, 59%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.52 (d, *J* = 4.0 Hz, 1H), 7.62 (td, *J* = 7.6, 1.6 Hz, 1H), 7.21 (d, *J* = 8.0 Hz, 1H), 7.18 – 7.15 (m, 1H), 4.16 (t, *J* = 6.8 Hz, 2H), 3.64 – 3.60 (m, 2H), 3.32 – 3.28 (m, 2H), 1.68 – 1.61 (m, 2H), 1.32 – 1.24 (m, 24H), 0.86 (t, *J* = 6.8 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.1, 149.5, 136.7, 123.4, 122.1, 70.2, 49.0, 31.9, 31.7, 29.7, 29.68, 29.66, 29.6, 29.5, 29.4, 29.4, 29.1, 29.0, 25.4, 22.7, 14.1. HRMS (ESI) *m/z*: Calculated for $C_{22}H_{40}NO_3S$ [M+H]$^+$: 398.2723; found: 398.2723.

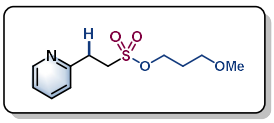


isopentyl 2-(pyridin-2-yl)ethane-1-sulfonate (4c) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 1:1) to afford the desired product (31.2 mg, 61%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.53 (d, *J* = 4.4 Hz, 1H), 7.63 (td, *J* = 7.6, 2.0 Hz, 1H), 7.21 (d, *J* = 8.0 Hz, 1H), 7.19 – 7.16 (m, 1H), 4.20 (t, *J* = 6.8 Hz, 2H), 3.64 – 3.60 (m, 2H), 3.32 – 3.28 (m, 2H), 1.74 – 1.64 (m, 1H), 1.53 (q, *J* = 6.8 Hz, 2H), 0.90 (d, *J* = 6.8 Hz, 6H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.1, 149.5, 136.8, 123.4, 122.1, 68.7, 49.1, 37.7, 31.7, 24.6, 22.3. HRMS (ESI) *m/z*: Calculated for $C_{12}H_{20}NO_3S$ [M+H]$^+$: 258.1158; found: 258.1154.

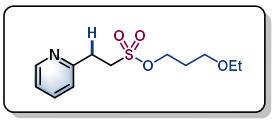


neopentyl 2-(pyridin-2-yl)ethane-1-sulfonate (4d) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (38.0 mg, 74%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.52 (d, *J* = 5.2 Hz, 1H), 7.62 (td, *J* = 7.6, 2.0 Hz, 1H), 7.21 (d, *J* = 7.6 Hz, 1H), 7.18 – 7.15 (m, 1H), 3.83 (s, 2H), 3.65 – 3.61 (m, 2H), 3.33 – 3.29 (m, 2H), 0.93

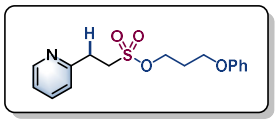
(s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.0, 149.5, 136.8, 123.4, 122.1, 78.9, 48.9, 31.7, 31.6, 26.0. HRMS (ESI) *m/z*: Calculated for $C_{12}H_{20}NO_3S$ $[M+H]^+$: 258.1158; found: 258.1164.



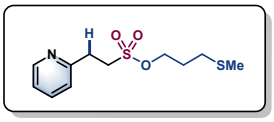
3-methoxypropyl 2-(pyridin-2-yl)ethane-1-sulfonate (4e) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (27.4 mg, 53%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.52 (d, *J* = 4.4 Hz, 1H), 7.63 (td, *J* = 7.6, 1.6 Hz, 1H), 7.21 (d, *J* = 7.6 Hz, 1H), 7.20 – 7.15 (m, 1H), 4.28 (t, *J* = 6.4 Hz, 2H), 3.65 – 3.61 (m, 2H), 3.43 (t, *J* = 6.0 Hz, 2H), 3.32 – 3.28 (m, 5H), 1.92 (p, *J* = 6.0 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ157.0, 149.5, 136.8, 123.4, 122.1, 68.0, 67.3, 58.7, 49.0, 31.6, 29.5. HRMS (ESI) *m/z*: Calculated for $C_{11}H_{18}NO_4S$ $[M+H]^+$: 260.0951; found: 260.0961.



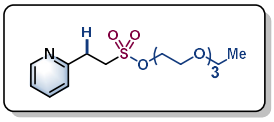
3-ethoxypropyl 2-(pyridin-2-yl)ethane-1-sulfonate (4f) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (36.0 mg, 66%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.51 (d, *J* = 4.8 Hz, 1H), 7.62 (td, *J* = 7.6, 1.6 Hz, 1H), 7.20 (d, *J* = 8.0 Hz, 1H), 7.17 – 7.14 (dd, *J* = 7.2, 5.2 Hz, 1H), 4.28 (t, *J* = 6.3 Hz, 2H), 3.67 – 3.57 (m, 2H), 3.50 – 3.38 (m, 4H), 3.33 – 3.25 (m, 2H), 1.91 (p, *J* = 6.2 Hz, 2H), 1.15 (t, *J* = 7.0 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.0, 149.5, 136.8, 123.4, 122.1, 67.5, 66.4, 65.8, 48.9, 31.6, 29.6, 15.1. HRMS (ESI) *m/z*: Calculated for $C_{12}H_{20}NO_4S$ $[M+H]^+$: 274.1108; found: 274.1115.



3-phenoxypropyl 2-(pyridin-2-yl)ethane-1-sulfonate (4g) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (42.5 mg, 66%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.43 (d, *J* = 3.6 Hz, 1H), 7.51 (td, *J* = 7.6, 1.6 Hz, 1H), 7.21 – 7.17 (m, 2H), 7.07 – 7.05 (m, 2H), 6.86 (t, *J* = 7.2 Hz, 1H), 6.80 (d, *J* = 8.0 Hz, 2H), 4.32 (t, *J* = 6.2 Hz, 2H), 3.95 (t, *J* = 6.0 Hz, 2H), 3.59 – 3.55 (m, 2H), 3.23 – 3.19 (m, 2H), 2.07 (p, *J* = 6.0 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 158.5, 156.9, 149.4, 136.8, 129.5, 123.4, 122.1, 121.0, 114.5, 66.9, 63.2, 49.0, 31.6, 29.2. HRMS (ESI) *m/z*: Calculated for $C_{16}H_{20}NO_4S$ $[M+H]^+$: 322.1108; found: 322.1101.

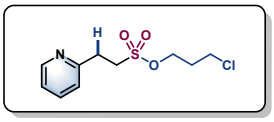


3-(methylthio)propyl 2-(pyridin-2-yl)ethane-1-sulfonate (4h) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (38.0 mg, 69%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.47 (d, *J* = 4.4 Hz, 1H), 7.57 (td, *J* = 7.6, 1.6 Hz, 1H), 7.16 (d, *J* = 7.6 Hz, 1H), 7.13 – 7.10 (m, 1H), 4.23 (t, *J* = 6.1 Hz, 2H), 3.63 – 3.55 (m, 2H), 3.28 – 3.21 (m, 2H), 2.48 (t, *J* = 7.1 Hz, 2H), 2.02 (s, 3H), 1.88 (p, *J* = 6.6 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.9, 149.5, 136.8, 123.4, 122.1, 68.4, 49.1, 31.6, 29.9, 28.5, 15.4. HRMS (ESI) *m/z*: Calculated for $C_{11}H_{18}NO_3S_2$ $[M+H]^+$: 276.0723; found: 276.0725.

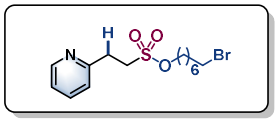


2-(2-(2-ethoxyethoxy)ethoxy)ethyl 2-(pyridin-2-yl)ethane-1-sulfonate (4i) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 1:2) to afford the desired product (47.6 mg, 69%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.52 (d, *J* = 4.8 Hz, 1H),

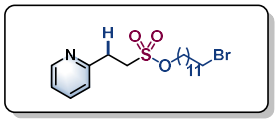
7.62 (td, *J* = 7.6, 1.6 Hz, 1H), 7.22 – 7.15 (m, 2H), 4.35 – 4.33 (m, 2H), 3.73 – 3.58 (m, 10H), 3.55 – 3.47 (m, 4H), 3.34 – 3.30 (m, 2H), 1.18 (t, *J* = 7.2 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.1, 149.4, 136.7, 123.4, 122.0, 70.74, 70.68, 70.5, 69.8, 69.1, 69.0, 66.6, 49.3, 31.6, 15.1. HRMS (ESI) *m/z*: Calculated for $C_{15}H_{26}NO_6S$ $[M+H]^+$: 348.1475; found: 348.1473.



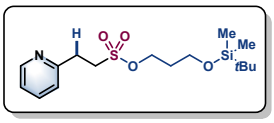
3-chloropropyl 2-(pyridin-2-yl)ethane-1-sulfonate (4j) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (29.3 mg, 56%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.53 (d, *J* = 4.4 Hz, 1H), 7.64 (td, *J* = 7.6, 1.6 Hz, 1H), 7.23 – 7.16 (m, 2H), 4.34 (t, *J* = 6.0 Hz, 2H), 3.69 – 3.65 (m, 2H), 3.59 (t, *J* = 6.4 Hz, 2H), 3.33 – 3.29 (m, 2H), 2.11 (p, *J* = 6.0 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.8, 149.5, 136.8, 123.4, 122.2, 66.4, 49.1, 40.3, 32.0, 31.6. HRMS (ESI) *m/z*: Calculated for $C_{10}H_{15}ClNO_3S$ $[M+H]^+$: 264.0456; found: 264.0452.



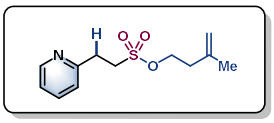
7-bromoheptyl 2-(pyridin-2-yl)ethane-1-sulfonate (4k) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (44.7 mg, 61%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.54 (d, *J* = 4.4 Hz, 1H), 7.64 (td, *J* = 7.6, 2.0 Hz, 1H), 7.23 – 7.16 (m, 2H), 4.17 (t, *J* = 6.4 Hz, 2H), 3.65 – 3.61 (m, 2H), 3.40 (t, *J* = 6.8 Hz, 2H), 3.33 – 3.29 m, 2H), 1.84 (p, *J* = 6.8 Hz, 2H), 1.70 – 1.63 (m, 4H), 1.47 – 1.41 (m, 2H), 1.40 – 1.28 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.0, 149.5, 136.8, 123.4, 122.1, 70.0, 49.1, 33.8, 32.6, 31.7, 29.0, 28.2, 27.9, 25.3. HRMS (ESI) *m/z*: Calculated for $C_{14}H_{22}BrNO_3SNa$ $[M+Na]^+$: 386.0396; found: 386.0404.



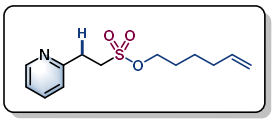
12-bromododecyl 2-(pyridin-2-yl)ethane-1-sulfonate (4l) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (53.3 mg, 62%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.56 – 8.50 (m, 1H), 7.65 – 7619 (m, 1H), 7.22 (d, J = 7.6 Hz, 1H), 7.19 – 7.16 (m, 1H), 4.18 – 4.14 (m, 2H), 3.64 – 3.60 (m, 2H), 3.42 – 3.38 (m, 2H), 3.33 – 3.28 (m, 2H), 1.84 (p, *J* = 6.8 Hz, 2H), 1.69 – 1.62 (m, 2H), 1.43 – 1.38 (m, 2H), 1.33 – 1.26 (m, 14H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.1, 149.5, 136.8, 123.4, 122.1, 70.2, 49.0, 34.1, 32.8, 31.7, 29.46, 29.45, 29.4, 29.38, 29.1, 29.0, 28.8, 28.2, 25.4. HRMS (ESI) *m/z*: Calculated for $C_{19}H_{33}BrNO_3S$ $[M+H]^+$: 434.1359; found: 434.1360.



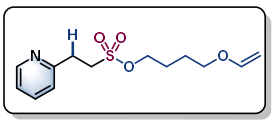
3-((tert-butyldimethylsilyl)oxy)propyl 2-(pyridin-2-yl)ethane-1-sulfonate (4m) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (33.2 mg, 46%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.53 (d, *J* = 4.8 Hz, 1H), 7.63 (td, *J* = 7.6, 1.6 Hz, 1H), 7.21 (d, J = 8.0 Hz, 1H), 7.17 (dd, J = 7.6, 5.1 Hz, 1H), 4.30 (t, *J* = 6.4 Hz, 2H), 3.69 – 3.62 (m, 4H), 3.33 – 3.29 (m, 2H), 1.86 (p, *J* = 6.0 Hz, 2H), 0.86 (s, 9H), 0.03 (s, 6H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.0, 149.5, 136.7, 123.4, 122.1, 67.2, 58.5, 49.0, 32.3, 31.6, 25.9, 18.2, -5.4. HRMS (ESI) *m/z*: Calculated for $C_{16}H_{30}NO_4SSi$ $[M+H]^+$: 360.1659; found: 360.1653.



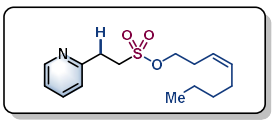
3-methylbut-3-en-1-yl 2-(pyridin-2-yl)ethane-1-sulfonate (4n) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (38.7 mg, 76%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.52 (d, *J* = 4.0 Hz, 1H), 7.65 – 7.60 (m, 1H), 7.20 (d, J = 8.0 Hz, 1H), 7.18 – 7.15 (m, 1H), 4.79 (d, *J* = 35.2 Hz, 2H), 4.26 (t, *J* = 6.8 Hz, 2H), 3.63 (t, *J* = 7.6 Hz, 2H), 3.30 (t, *J* = 8.0 Hz, 2H), 2.36 (t, *J* = 6.8 Hz, 2H), 1.73 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.0, 149.5, 140.2, 136.8, 123.4, 122.1, 113.2, 67.9, 49.2, 37.0, 31.6, 22.4. HRMS (ESI) *m/z*: Calculated for $C_{12}H_{18}NO_3S$ $[M+H]^+$: 256.1002; found: 256.1005.



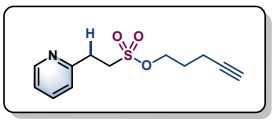
hex-5-en-1-yl 2-(pyridin-2-yl)ethane-1-sulfonate (4o) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (43.5 mg, 81%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.52 (d, *J* = 4.4 Hz, 1H), 7.62 (td, *J* = 7.6, 2.0 Hz, 1H), 7.20 (d, J = 8.0 Hz, 1H), 7.16 (dd, J = 7.2, 4.8 Hz, 1H), 5.80 – 5.69 (m, 1H), 5.01 – 4.94 (m, 2H), 4.16 (t, *J* = 6.4 Hz, 2H), 3.64 – 3.60 (m, 2H), 3.31 – 3.28 (m, 2H), 2.05 (q, *J* = 7.2 Hz, 2H), 1.69 – 1.62 (m, 2H), 1.48 – 1.40 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.0, 149.5, 137.9, 136.8, 123.4, 122.1, 115.2, 69.9, 49.0, 33.0, 31.7, 28.5, 24.6. HRMS (ESI) *m/z*: Calculated for $C_{13}H_{20}NO_3S$ $[M+H]^+$: 270.1158; found: 270.1163.



4-(vinyloxy)butyl 2-(pyridin-2-yl)ethane-1-sulfonate (4p) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (34.0 mg, 60%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.53 (d, *J* = 4.4 Hz, 1H), 7.63 (td, *J* = 7.6, 1.6 Hz, 1H), 7.22 (d, J = 7.6 Hz, 1H), 7.18 (dd, J = 6.4, 4.8 Hz, 1H), 6.44 (dd, *J* = 14.0, 6.8 Hz, 1H), 4.22 (t, *J* = 6.4 Hz, 2H), 4.16 (dd, *J* = 14.4, 2.0 Hz, 1H), 3.99 (dd, *J* = 6.8, 2.0 Hz, 1H), 3.70 – 3.62 (m, 4H), 3.31 (t, *J* = 8.0 Hz, 2H), 1.82 – 1.69 (m, 4H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.0, 151.7, 149.5, 136.8, 123.4, 122.1, 86.6, 69.6, 66.9, 49.1, 31.7, 26.0, 25.1. HRMS (ESI) *m/z*: Calculated for $C_{13}H_{20}NO_4S$ $[M+H]^+$: 286.1108; found: 286.1107.

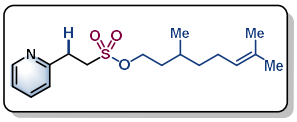


(*Z*)-oct-3-en-1-yl 2-(pyridin-2-yl)ethane-1-sulfonate (4q) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (36.6 mg, 62%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.52 (d, *J* = 4.4 Hz, 1H), 7.62 (td, *J* = 7.6, 1.6 Hz, 1H), 7.21 – 7.15 (m, 2H), 5.56 – 5.48 (m, 1H), 5.31 – 5.24 (m, 1H), 4.14 (t, *J* = 6.8 Hz, 2H), 3.62 (t, *J* = 7.6 Hz, 2H), 3.30 (t, *J* = 8.0 Hz, 2H), 2.41 (q, *J* = 6.8 Hz, 2H), 2.04 – 1.99 (m, 2H), 1.36 – 1.27 (m, 4H), 0.88 (t, *J* = 7.2 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.0, 149.5, 136.8, 134.1, 123.4, 122.6, 122.1, 69.3, 49.1, 31.64, 31.62, 27.3, 27.1, 22.3, 13.9. HRMS (ESI) *m/z*: Calculated for $C_{15}H_{24}NO_3S$ $[M+H]^+$: 298.1471; found: 298.1473.

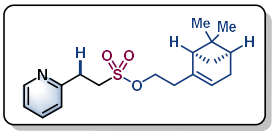


pent-4-yn-1-yl 2-(pyridin-2-yl)ethane-1-sulfonate (4r) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (32.6 mg, 64%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.53 (d, *J* = 3.6 Hz, 1H), 7.63 (td, *J* = 7.6, 2.0 Hz, 1H), 7.21 (d, J = 7.6 Hz, 1H), 7.17 (dd, J = 6.8, 5.2 Hz, 1H), 4.29 (t, *J* = 6.4 Hz, 2H), 3.65 (t, *J* =

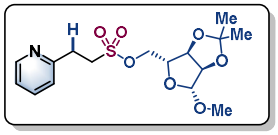
7.6, 2H), 3.31 (t, *J* = 7.2, 2H), 2.28 (td, *J* = 7.2, 2.8 Hz, 2H), 1.97 (t, *J* = 2.4 Hz, 1H), 1.87 (p, *J* = 6.8 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.9, 149.5, 136.8, 123.4, 122.1, 82.2, 69.7, 68.3, 49.0, 31.6, 27.9, 14.7. HRMS (ESI) *m/z*: Calculated for $C_{12}H_{16}NO_3S$ $[M+H]^+$: 254.0845; found: 254.0845.



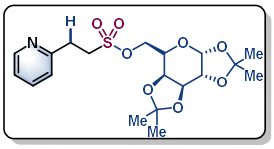
3,7-dimethyloct-6-en-1-yl 2-(pyridin-2-yl)ethane-1-sulfonate (4s) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 5:1) to afford the desired product (39.3 mg, 60%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.53 (d, *J* = 4.4 Hz, 1H), 7.63 (td, *J* = 8.0, 2.0 Hz, 1H), 7.22 (d, J = 7.6 Hz, 1H), 7.17 (dd, J = 7.2, 5.6 Hz, 1H), 5.06 (t, *J* = 6.8 Hz, 1H), 4.25 – 4.16 (m, 2H), 3.63 (t, *J* = 7.6 Hz, 2H), 3.31 (t, *J* = 7.2 Hz, 2H), 2.04 – 1.88 (m, 2H), 1.72 – 1.67 (m, 4H), 1.59 – 1.51 (m, 4H), 1.50 – 1.41 (m, 1H), 1.36 – 1.27 (m, 1H), 1.21 – 1.13 (m, 1H), 0.89 (d, *J* = 6.8 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.1, 149.6, 136.9, 131.7, 124.4, 123.5, 122.2, 68.7, 49.1, 36.9, 36.0, 31.8, 29.0, 25.8, 25.4, 19.2, 17.8. HRMS (ESI) *m/z*: Calculated for $C_{17}H_{28}NO_3S$ $[M+H]^+$: 326.1784; found: 326.1785.



2-((1*R*, 5*S*)-6,6-dimethylbicyclo[3.1.1]hept-2-en-2-yl)ethyl 2-(pyridin-2-yl)ethane-1-sulfonate (4t) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 5:1) to afford the desired product (45.3 mg, 68%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.53 (d, *J* = 4.8 Hz, 1H), 7.63 (td, *J* = 7.6, 1.6 Hz, 1H), 7.21 (d, J = 8.0 Hz, 1H), 7.17 (dd, J = 6.8, 5.2 Hz, 1H), 5.32 – 5.30 (m, 1H), 4.16 (t, *J* = 7.2 Hz, 2H), 3.62 (t, J = 7.6 Hz, 2H), 3.31 (t, *J* = 7.2 Hz, 2H), 2.38 – 2.27 (m, 3H), 2.23 – 2.15 (m, 2H), 2.10– 2.05 (m, 1H), 2.00 (t, *J* = 5.2 Hz, 1H), 1.26 (s, 3H), 1.13 (d, *J* = 8.4 Hz, 1H), 0.81 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.1, 149.6, 142.6, 136.9, 123.5, 122.2, 120.0, 68.1, 49.2, 45.6, 40.7, 38.1, 36.5, 31.72, 31.68, 31.4, 26.3, 21.2. HRMS (ESI) *m/z*: Calculated for $C_{18}H_{26}NO_3S$ $[M+H]^+$: 336.1628; found: 336.1630.

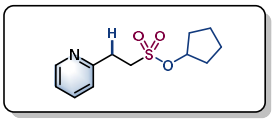


((3a*R*, 4*R*, 6*R*, 6a*R*)-6-methoxy-2,2-dimethyltetrahydrofuro[3,4-d][1,3]dioxol-4-yl)methyl 2-(pyridin-2-yl)ethane-1-sulfonate (4u) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (35.8 mg, 48%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.54 (d, *J* = 4.8 Hz, 1H), 7.64 (td, *J* = 7.6, 1.6 Hz, 1H), 7.22 (d, J = 8.0 Hz, 1H), 7.18 (dd, J = 7.6, 5.2 Hz, 1H), 4.96 (s, 1H), 4.60 (dd, *J* = 16.0, 5.6 Hz, 2H), 4.30 (t, *J* = 7.2 Hz, 1H), 4.17 – 4.12 (m, 2H), 3.69 (t, *J* = 7.6 Hz, 2H), 3.36 – 3.32 (m, 5H), 1.46 (s, 3H), 1.30 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.8, 149.5, 136.9, 123.4, 122.2, 112.8, 109.5, 84.9, 83.7, 81.4, 68.4, 55.2, 49.3, 31.5, 26.4, 24.9. HRMS (ESI) *m/z*: Calculated for $C_{16}H_{24}NO_7S$ $[M+H]^+$: 374.1268; found: 374.1270.

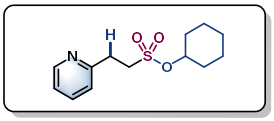


((3a*R*, 5*S*, 5a*S*, 8a*S*, 8b*R*)-2,2,7,7-tetramethyltetrahydro-5H-bis([1,3]dioxolo)[4,5-b:4',5'-d]pyran-5-yl)methyl 2-(pyridin-2-yl)ethane-1-sulfonate (4v) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (42.9 mg, 50%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.52

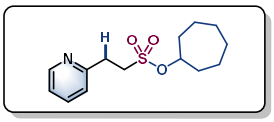
(d, *J* = 4.4 Hz, 1H), 7.62 (td, *J* = 7.6, 2.0 Hz, 1H), 7.22 (d, *J* = 7.6 Hz, 1H), 7.16 (dd, *J* = 7.2, 5.2 Hz, 1H), 5.50 (d, *J* = 4.8 Hz, 1H), 4.62 (dd, *J* = 7.6, 2.6 Hz, 1H), 4.36 – 4.31 (m, 3H), 4.23 (dd, *J* = 7.6, 1.6 Hz, 1H), 4.12 – 4.08 (m, 1H), 3.70 (t, *J* = 8.0 Hz, 2H), 3.36 – 3.32 (m, 2H), 1.52 (s, 3H), 1.43 (s, 3H), 1.31 (s, 6H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.2, 149.4, 136.7, 123.3, 122.0, 109.8, 109.0, 96.2, 70.7, 70.6, 70.3, 68.7, 66.3, 49.3, 31.5, 26.0, 25.9, 24.9, 24.4. HRMS (ESI) *m/z*: Calculated for $C_{19}H_{28}NO_8S$ $[M+H]^+$: 430.1530; found: 430.1536.



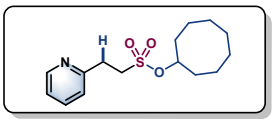
cyclopentyl 2-(pyridin-2-yl)ethane-1-sulfonate (4w) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (24.1 mg, 47%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.52 (d, *J* = 4.6 Hz, 1H), 7.62 (td, *J* = 7.6, 1.6 Hz, 1H), 7.20 (d, *J* = 7.8 Hz, 2H), 7.16 (dd, *J* = 7.2, 5.0 Hz, 1H), 5.15 – 5.11 (m, 1H), 3.63 – 3.53 (m, 2H), 3.31 – 3.27 (m, 1H), 1.91 – 1.80 (m, 4H), 1.78 – 1.67 (m, 2H), 1.63 – 1.53 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.2, 149.5, 136.7, 123.4, 122.0, 85.1, 50.1, 33.5, 31.8, 23.1. HRMS (ESI) *m/z*: Calculated for $C_{12}H_{18}NO_3S$ $[M+H]^+$: 256.1002; found: 256.1002.



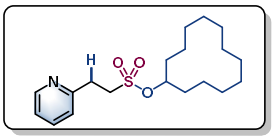
cyclohexyl 2-(pyridin-2-yl)ethane-1-sulfonate (4x) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (36.4 mg, 68%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.52 (d, *J* = 4.4 Hz, 1H), 7.63 (td, *J* = 7.6, 1.6 Hz, 1H), 7.21 (d, *J* = 7.8 Hz, 1H), 7.16 (dd, *J* = 7.2, 5.0 Hz, 1H), 4.74 – 4.62 (m, 1H), 3.60 – 3.56 (m, 2H), 3.33 – 3.29 (m, 2H), 2.00 – 1.87 (m, 2H), 1.79 – 1.67 (m, 2H), 1.64 – 1.53 (m, 2H), 1.42 – 1.16 (m, 4H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.1, 149.4, 136.9, 123.4, 122.1, 81.3, 50.4, 32.7, 31.8, 24.9, 23.5. HRMS (ESI) *m/z*: Calculated for $C_{13}H_{20}NO_3S$ $[M+H]^+$: 270.1158; found: 270.1161.



cycloheptyl 2-(pyridin-2-yl)ethane-1-sulfonate (4y) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (29.9 mg, 53%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.53 (d, *J* = 4.2 Hz, 1H), 7.62 (td, *J* = 7.6, 1.8 Hz, 1H), 7.21 (d, *J* = 7.8 Hz, 1H), 7.16 (dd, *J* = 7.2, 5.0 Hz, 1H), 4.92 – 4.82 (m, 1H), 3.58 – 3.55 (m, 2H), 3.32 – 3.28 (m, 2H), 2.05 – 1.97 (m, 2H), 1.87 – 1.78 (m, 2H), 1.68 – 1.62 (m, 2H), 1.57 – 1.52 (m, 4H), 1.46 – 1.38 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.2, 149.5, 136.8, 123.4, 122.0, 84.0, 50.4, 35.0, 31.8, 28.1, 22.2. HRMS (ESI) *m/z*: Calculated for $C_{14}H_{22}NO_3S$ $[M+H]^+$: 284.1315; found: 284.1315.

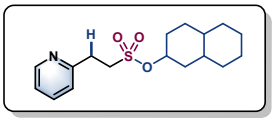


cyclooctyl 2-(pyridin-2-yl)ethane-1-sulfonate (4z) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (33.8 mg, 57%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.52 (d, *J* = 4.2 Hz, 1H), 7.61 (td, *J* = 7.6, 1.6 Hz, 1H), 7.21 – 7.14 (m, 2H), 4.87 (tt, *J* = 8.2, 4.2 Hz, 1H), 3.58 – 3.54 (m, 2H), 3.31 – 3.27 (m, 2H), 1.99 – 1.84 (m, 4H), 1.74 – 1.63 (m, 2H), 1.59 – 1.41 (m, 8H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.2, 149.5, 136.7, 123.3, 122.0, 84.3, 50.4, 32.1, 31.8, 27.0, 25.0, 22.3. HRMS (ESI) *m/z*: Calculated for $C_{15}H_{24}NO_3S$ $[M+H]^+$: 298.1471; found: 298.1473.

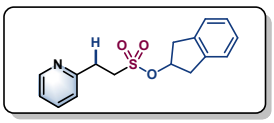


cyclododecyl 2-(pyridin-2-yl)ethane-1-sulfonate (5a) was purified by

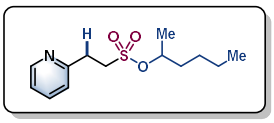
silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (32.7 mg, 46%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.53 (d, *J* = 4.2 Hz, 1H), 7.62 (td, *J* = 7.6, 1.8 Hz, 1H), 7.23 – 7.12 (m, 2H), 4.92 – 4.83 (m, 1H), 3.62 – 3.53 (m, 2H), 3.31 (dd, *J* = 9.4, 6.5 Hz, 2H), 1.87 – 1.78 (m, 2H), 1.67 – 1.61 (m, 2H), 1.44 – 1.32 (m, 18H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.2, 149.5, 136.7, 123.4, 122.0, 81.9, 50.5, 31.8, 30.0, 24.2, 24.0, 23.2, 23.0, 20.5. HRMS (ESI) *m/z*: Calculated for $C_{19}H_{32}NO_3S$ $[M+H]^+$: 354.2097; found: 354.2096.



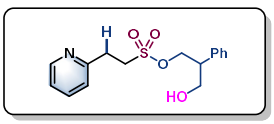
decahydronaphthalen-2-yl 2-(pyridin-2-yl)ethane-1-sulfonate (5b) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (35.1 mg, 54%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.53 (d, *J* = 4.4 Hz, 1H), 7.63 (td, *J* = 7.6, 1.6 Hz, 1H), 7.24 – 7.14 (m, 2H), 4.67 – 4.56 (m, 1H), 3.58 (dd, *J* = 9.4, 6.4 Hz, 2H), 3.31 (dd, *J* = 9.4, 6.4 Hz, 2H), 2.16 – 2.07 (m, 1H), 2.04 – 1.97 (m, 1H), 1.75 – 1.57 (m, 5H), 1.55 – 1.41 (m, 1H), 1.29 – 1.16 (m, 3H), 1.11 – 0.95 (m, 3H), 0.91 – 0.80 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.2, 149.5, 136.7, 123.4, 122.0, 81.6, 50.5, 41.8, 41.1, 40.2, 33.5, 33.1, 32.9, 31.9, 31.7, 26.3, 26.0. HRMS (ESI) *m/z*: Calculated for $C_{17}H_{26}NO_3S$ $[M+H]^+$: 324.1628; found: 324.1630.



2,3-dihydro-1H-inden-2-yl 2-(pyridin-2-yl)ethane-1-sulfonate (5c) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (35.1 mg, 58%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.52 (d, *J* = 4.6 Hz, 1H), 7.60 (td, *J* = 7.6, 1.6 Hz, 1H), 7.25 – 7.13 (m, 6H), 5.53 – 5.46 (m, 1H), 3.66 – 3.62 (m, 2H), 3.31 (td, *J* = 10.0, 9.2, 4.6 Hz, 4H), 3.17 (dd, *J* = 17.0, 3.2 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.0, 149.5, 139.2, 136.8, 127.1, 124.6, 123.4, 122.1, 81.9, 50.2, 40.2, 31.7. HRMS (ESI) *m/z*: Calculated for $C_{16}H_{18}NO_3S$ $[M+H]^+$: 304.1002; found: 304.1001.

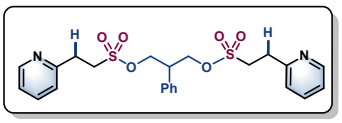


hexan-2-yl 2-(pyridin-2-yl)ethane-1-sulfonate (5d) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (23.3 mg, 43%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.52 (d, *J* = 4.2 Hz, 1H), 7.62 (td, *J* = 7.6, 1.6 Hz, 1H), 7.20 (d, *J* = 8.0 Hz, 1H), 7.15 (dd, *J* = 7.0, 5.2 Hz, 1H), 4.80 (h, *J* = 6.2 Hz, 1H), 3.58 (dd, *J* = 9.4, 6.4 Hz, 2H), 3.31 (dd, *J* = 9.4, 6.4 Hz, 2H), 1.75 – 1.62 (m, 1H), 1.62 – 1.50 (m, 1H), 1.41 – 1.26 (m, 7H), 0.88 (t, *J* = 6.9 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.2, 149.5, 136.7, 123.3, 122.0, 80.2, 50.4, 36.4, 31.8, 27.2, 22.4, 21.1, 13.9. HRMS (ESI) *m/z*: Calculated for $C_{13}H_{22}NO_3S$ $[M+H]^+$: 272.1315; found: 272.1317.

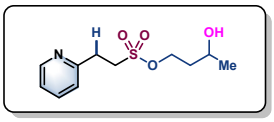


3-hydroxy-2-phenylpropyl 2-(pyridin-2-yl)ethane-1-sulfonate (5e) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 1:1) to afford the desired product (19.5 mg, 30%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.44 (dd, *J* = 5.2, 2.0 Hz, 1H), 7.59 (td, *J* = 7.6, 1.8 Hz, 1H), 7.30 – 7.16 (m, 5H), 7.17 – 7.09 (m, 2H), 4.52 – 4.43 (m, 2H), 3.95 – 3.83 (m, 2H), 3.58 – 3.49 (m, 2H), 3.19 (dd, *J* = 9.4, 6.6 Hz, 2H), 3.14 – 3.08 (m, 1H),. ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.8, 149.4, 138.2, 137.3, 128.8, 128.2, 127.6, 123.6, 122.3, 70.3,

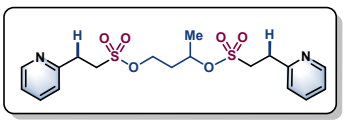
62.1, 48.8, 46.9, 32.0. HRMS (ESI) *m/z*: Calculated for $C_{16}H_{20}NO_4S$ $[M+H]^+$: 322.1108; found: 322.1107.



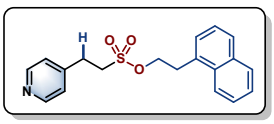
2-phenylpropane-1,3-diyl bis(2-(pyridin-2-yl)ethane-1-sulfonate) (5e') was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (42.7 mg, 44%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.44 (d, *J* = 3.6 Hz, 2H), 7.55 (td, *J* = 7.6, 1.8 Hz, 2H), 7.30 – 7.17 (m, 3H), 7.16 – 7.04 (m, 6H), 4.41 – 4.25 (m, 4H), 3.58 – 3.49 (m, 4H), 3.24 (p, *J* = 6.4 Hz, 1H), 3.14 (dd, *J* = 9.0, 6.4 Hz, 4H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.8, 149.4, 136.8, 135.8, 129.0, 128.2, 128.0, 123.4, 122.1, 68.7, 49.1, 44.6, 31.4. HRMS (ESI) *m/z*: Calculated for $C_{23}H_{27}N_2O_6S_2$ $[M+H]^+$: 491.1305; found: 491.1303.



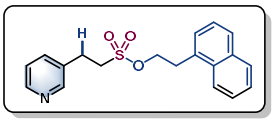
3-hydroxybutyl 2-(pyridin-2-yl)ethane-1-sulfonate (5f) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (21.7 mg, 42%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.46 (d, *J* = 4.6 Hz, 1H), 7.60 (td, *J* = 7.6, 1.6 Hz, 1H), 7.22 – 7.11 (m, 2H), 4.40 (td, *J* = 10.0, 4.0 Hz, 1H), 4.23 (dt, *J* = 9.6, 4.6 Hz, 1H), 4.01 – 3.90 (m, 1H), 3.68 – 3.58 (m, 1H), 3.47 (dt, *J* = 14.4, 7.8 Hz, 1H), 3.23 (t, *J* = 8.0 Hz, 2H), 1.89 – 1.78 (m, 1H), 1.68 – 1.57 (m, 1H), 1.17 (d, *J* = 6.2 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.9, 149.5, 137.2, 123.6, 122.3, 67.9, 63.0, 48.7, 37.8, 32.0, 24.0. HRMS (ESI) *m/z*: Calculated for $C_{11}H_{18}NO_4S$ $[M+H]^+$: 260.0951; found: 260.0953.



butane-1,3-diyl bis(2-(pyridin-2-yl)ethane-1-sulfonate) (5f') was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (11.7 mg, 14%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.53 (s, 2H), 7.63 (t, *J* = 7.6 Hz, 2H), 7.23 (t, *J* = 6.0 Hz, 2H), 7.17 (t, *J* = 6.0 Hz, 2H), 4.94 (dt, *J* = 12.4, 6.2 Hz, 1H), 4.35 – 4.21 (m, 2H), 3.72 – 3.60 (m, 4H), 3.37 – 3.28 (m, 4H), 2.00 (q, *J* = 6.0 Hz, 2H), 1.43 (d, *J* = 6.2 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.92, 156.89, 149.5, 149.4, 136.8, 123.4, 123.3, 122.09, 122.08, 75.3, 65.5, 50.4, 49.2, 36.2, 31.7, 31.5, 21.3. HRMS (ESI) *m/z*: Calculated for $C_{18}H_{25}N_2O_6S_2$ $[M+H]^+$: 429.1149; found: 429.1156.

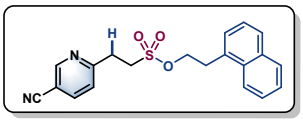


2-(naphthalen-1-yl)ethyl 2-(pyridin-4-yl)ethane-1-sulfonate (5g) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (33.4 mg, 49%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.45 (d, *J* = 3.6 Hz, 2H), 7.95 (d, *J* = 8.3 Hz, 1H), 7.81 (d, *J* = 8.0 Hz, 1H), 7.71 (d, *J* = 7.6 Hz, 1H), 7.52 (t, *J* = 7.0 Hz, 1H), 7.46 (t, *J* = 7.0 Hz, 1H), 7.39 – 7.33 (m, 2H), 6.83 (d, *J* = 4.6 Hz, 2H), 4.48 (t, *J* = 7.0 Hz, 2H), 3.43 (t, *J* = 6.8 Hz, 2H), 3.10 – 2.98 (m, 2H), 2.84 – 2.73 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 150.0, 146.2, 133.9, 132.2, 131.7, 129.1, 128.0, 127.6, 126.6, 125.9, 125.6, 123.5, 123.2, 69.9, 49.9, 32.6, 28.7. HRMS (ESI) *m/z*: Calculated for $C_{19}H_{20}NO_3S$ $[M+H]^+$: 342.1158; found: 342.1159.

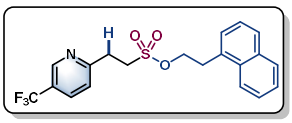


2-(naphthalen-1-yl)ethyl 2-(pyridin-3-yl)ethane-1-sulfonate (5h)

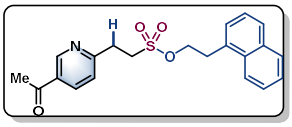
was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (27.6 mg, 40%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.34 (s, 2H), 7.84 (d, *J* = 8.2 Hz, 1H), 7.70 (d, *J* = 8.0 Hz, 1H), 7.60 (d, *J* = 7.4 Hz, 1H), 7.41 (t, *J* = 7.0 Hz, 1H), 7.35 (t, *J* = 7.0 Hz, 1H), 7.25 (d, *J* = 8.8 Hz, 2H), 6.73 (s, 2H), 4.38 (t, *J* = 5.8 Hz, 2H), 3.33 (t, *J* = 5.4 Hz, 2H), 2.99 – 2.86 (m, 2H), 2.76 – 2.63 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 150.0, 146.2, 133.9, 132.2, 131.7, 129.1, 128.0, 127.6, 126.6, 125.9, 125.6, 123.5, 123.1, 69.9, 49.98, 49.96, 32.6, 28.7. HRMS (ESI) *m/z*: Calculated for $C_{19}H_{20}NO_3S$ $[M+H]^+$: 342.1158; found: 342.1161.



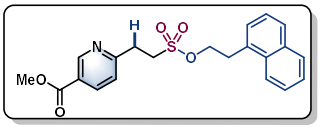
2-(naphthalen-1-yl)ethyl 2-(5-cyanopyridin-2-yl)ethane-1-sulfonate (5i) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (55.6 mg, 76%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.69 (s, 1H), 7.99 (d, *J* = 8.4 Hz, 1H), 7.85 (d, *J* = 8.0 Hz, 1H), 7.82 – 7.72 (m, 2H), 7.56 (t, *J* = 6.8, 1H), 7.50 (t, *J* = 6.8, 1H), 7.43 – 7.36 (m, 2H), 7.11 (d, *J* = 8.0 Hz, 1H), 4.52 (t, *J* = 7.0 Hz, 2H), 3.55 – 3.44 (m, 4H), 3.13 (t, *J* = 7.6 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 161.2, 152.0, 139.6, 133.9, 132.1, 131.8, 129.0, 128.0, 127.5, 126.6, 125.9, 125.5, 123.4, 123.1, 116.5, 108.2, 69.7, 48.2, 32.7, 31.6. HRMS (ESI) *m/z*: Calculated for $C_{20}H_{18}N_2O_3SNa$ $[M+Na]^+$: 389.0930; found: 389.0930.



2-(naphthalen-1-yl)ethyl 2-(5-(trifluoromethyl)pyridin-2-yl)ethane-1-sulfonate (5j) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (37.6 mg, 46%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.75 (s, 1H), 8.03 – 7.96 (m, 1H), 7.88 – 7.78 (m, 2H), 7.75 (d, *J* = 7.8 Hz, 1H), 7.59 – 7.46 (m, 2H), 7.45 – 7.35 (m, 2H), 7.16 (d, *J* = 8.1 Hz, 1H), 4.53 (t, *J* = 7.2 Hz, 2H), 3.50 (td, *J* = 7.3, 2.9 Hz, 4H), 3.22 – 3.12 (m, 2H). ^{19}F NMR (376 MHz, Chloroform-*d*) δ -62.33. ^{13}C NMR (101 MHz, Chloroform-*d*) δ 160.83, 146.31 (q, *J* = 4.0 Hz), 133.89, 133.73 (q, *J* = 3.5 Hz), 132.06, 131.77, 128.99, 127.99, 127.48, 126.55, 125.88, 125.53, 125.14 (d, *J* = 33.0 Hz), 127.63 – 119.36 (m), 123.13, 123.06, 69.63, 48.52, 32.77, 31.37. HRMS (ESI) *m/z*: Calculated for $C_{20}H_{19}F_3NO_3S$ $[M+H]^+$: 410.1032; found: 410.1032.

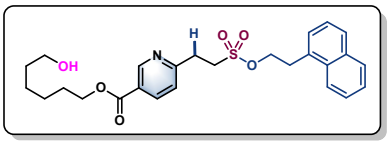


2-(naphthalen-1-yl)ethyl 2-(5-acetylpyridin-2-yl)ethane-1-sulfonate (5k) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (62.5 mg, 82%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.00 (s, 1H), 8.09 (dd, *J* = 8.0, 2.0 Hz, 1H), 7.98 (d, *J* = 8.4 Hz, 1H), 7.84 (d, *J* = 8.0 Hz, 1H), 7.74 (d, *J* = 8.0 Hz, 1H), 7.51 (dt, *J* = 21.6, 7.4 Hz, 2H), 7.43 – 7.33 (m, 2H), 7.12 (d, *J* = 8.0 Hz, 1H), 4.51 (t, *J* = 7.2 Hz, 2H), 3.53 (t, *J* = 7.2 Hz, 2H), 3.47 (t, *J* = 7.2 Hz, 2H), 3.16 (t, *J* = 8.0 Hz, 2H), 2.57 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 196.3, 161.4, 149.6, 136.2, 133.9, 132.1, 131.8, 130.8, 129.0, 128.0, 127.4, 126.5, 125.9, 125.5, 123.3, 123.1, 69.6, 48.6, 32.7, 31.5, 26.7. HRMS (ESI) *m/z*: Calculated for $C_{21}H_{22}NO_4S$ $[M+H]^+$: 384.1264; found: 384.1270.

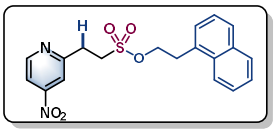


methyl 6-(2-((2-(naphthalen-1-yl)ethoxy)sulfonyl)ethyl)nicotinate (5l) was purified by silica gel

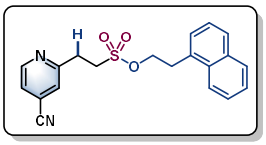
column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (66.6 mg, 83%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.07 (d, *J* = 1.6 Hz, 1H), 8.16 (dt, *J* = 8.0, 2.0 Hz, 1H), 7.98 (d, *J* = 8.4 Hz, 1H), 7.84 (d, *J* = 8.0 Hz, 1H), 7.74 (d, *J* = 7.9 Hz, 1H), 7.51 (dt, *J* = 23.4, 7.2 Hz, 2H), 7.44 – 7.33 (m, 2H), 7.10 (d, *J* = 8.0 Hz, 1H), 4.50 (t, *J* = 7.0 Hz, 2H), 3.93 (s, 3H), 3.50 (dt, *J* = 22.6, 7.2 Hz, 4H), 3.22 – 3.12 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ ^{13}C NMR (101 MHz, $CDCl_3$) δ 165.6, 161.3, 150.6, 137.7, 133.9, 132.1, 131.8, 129.0, 128.0, 127.4, 126.5, 125.8, 125.5, 124.5, 123.1, 123.0, 69.6, 52.4, 48.6, 32.8, 31.5. HRMS (ESI) *m/z*: Calculated for $C_{21}H_{22}NO_5S$ $[M+H]^+$: 400.1213; found: 400.1219.



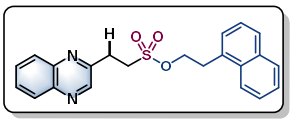
6-hydroxyhexyl 6-(2-((2-(naphthalen-1-yl)ethoxy)sulfonyl)ethyl)nicotinate (5m) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 1:1) to afford the desired product (39.7 mg, 41%) as a pale yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.07 (d, *J* = 2.0 Hz, 1H), 8.17 (dd, *J* = 8.0, 2.2 Hz, 1H), 7.98 (d, *J* = 8.2 Hz, 1H), 7.84 (d, *J* = 8.0 Hz, 1H), 7.75 (d, *J* = 8.0 Hz, 1H), 7.58 – 7.46 (m, 2H), 7.43 – 7.34 (m, 2H), 7.12 (d, *J* = 8.0 Hz, 1H), 4.51 (t, *J* = 7.2 Hz, 2H), 4.33 (t, *J* = 6.6 Hz, 2H), 3.64 (t, *J* = 6.4 Hz, 2H), 3.50 (dt, *J* = 19.8, 7.0 Hz, 4H), 3.22 – 3.12 (m, 2H), 1.78 (p, *J* = 6.6 Hz, 2H), 1.58 (p, *J* = 6.6 Hz, 2H), 1.52 – 1.38 (m, 4H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 165.1, 161.2, 150.6, 137.7, 133.9, 132.1, 131.8, 129.0, 128.0, 127.4, 126.5, 125.8, 125.5, 124.8, 123.1, 123.0, 69.6, 65.4, 62.7, 48.6, 32.8, 32.6, 31.5, 28.6, 25.8, 25.4. ^{13}C NMR (101 MHz, Chloroform-*d*) δ HRMS (ESI) *m/z*: Calculated for $C_{26}H_{32}NO_6S$ $[M+H]^+$: 486.1945; found: 486.1951.



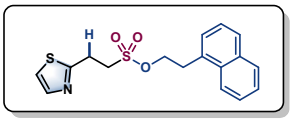
2-(naphthalen-1-yl)ethyl 2-(4-nitropyridin-2-yl)ethane-1-sulfonate (5n) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (40.1 mg, 52%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.69 (d, *J* = 5.4 Hz, 1H), 7.98 (d, *J* = 8.4 Hz, 1H), 7.85 (d, *J* = 8.0 Hz, 1H), 7.81 – 7.73 (m, 2H), 7.70 – 7.67 (m, 1H), 7.59 – 7.46 (m, 2H), 7.45 – 7.34 (m, 2H), 4.54 (t, *J* = 7.0 Hz, 2H), 3.49 (t, *J* = 7.2 Hz, 4H), 3.19 – 3.09 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 160.2, 154.0, 151.5, 133.9, 132.2, 131.7, 129.0, 128.0, 127.5, 126.6, 125.9, 125.6, 123.1, 115.8, 114.5, 69.8, 48.3, 32.7, 31.5. HRMS (ESI) *m/z*: Calculated for $C_{19}H_{19}N_2O_5S$ $[M+H]^+$: 387.1009; found: 387.1009.



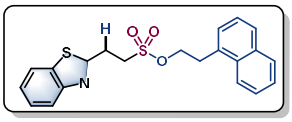
2-(naphthalen-1-yl)ethyl 2-(4-cyanopyridin-2-yl)ethane-1-sulfonate (5o) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (47.8 mg, 65%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.58 (d, *J* = 5.0 Hz, 1H), 7.99 (d, *J* = 8.2 Hz, 1H), 7.87 (d, *J* = 7.8 Hz, 1H), 7.77 (d, *J* = 8.0 Hz, 1H), 7.60 – 7.47 (m, 2H), 7.40 (dt, *J* = 13.6, 6.8 Hz, 2H), 7.30 (d, *J* = 4.6 Hz, 1H), 7.13 (s, 1H), 4.52 (t, *J* = 7.0 Hz, 2H), 3.47 (dt, *J* = 15.6, 7.4 Hz, 4H), 3.11 – 2.98 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 158.5, 150.3, 133.9, 132.2, 131.8, 129.0, 128.0, 127.6, 126.6, 126.0, 125.6, 124.8, 123.4, 123.2, 120.9, 116.3, 69.7, 48.3, 32.7, 31.2. HRMS (ESI) *m/z*: Calculated for $C_{20}H_{18}N_2O_3SNa$ $[M+Na]^+$: 389.0930; found: 389.0929.



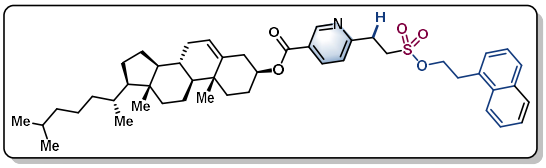
2-(naphthalen-1-yl)ethyl 2-(quinoxalin-2-yl)ethane-1-sulfonate (5p) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (42.3 mg, 54%) as a yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.57 (s, 1H), 8.12 – 8.06 (m, 1H), 7.99 – 7.93 (m, 2H), 7.79 – 7.73 (m, 3H), 7.69 (d, *J* = 8.0 Hz, 1H), 7.52 (t, *J* = 7.2 Hz, 1H), 7.47 – 7.32 (m, 3H), 4.56 (t, *J* = 7.0 Hz, 2H), 3.65 – 3.57 (m, 2H), 3.46 (t, *J* = 7.0 Hz, 2H), 3.28 – 3.16 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 152.1, 145.3, 141.8, 141.5, 133.8, 132.1, 131.7, 130.3, 129.7, 129.3, 128.9, 128.9, 128.0, 127.5, 126.5, 125.8, 125.5, 123.1, 69.8, 47.8, 32.6, 29.2. HRMS (ESI) *m/z*: Calculated for $C_{22}H_{21}N_2O_3S$ $[M+H]^+$: 393.1267; found: 393.1272.



2-(naphthalen-1-yl)ethyl 2-(thiazol-2-yl)ethane-1-sulfonate (5q) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (38.2 mg, 55%) as a yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.00 (d, *J* = 8.4 Hz, 1H), 7.86 (d, *J* = 8.0 Hz, 1H), 7.76 (d, *J* = 7.6 Hz, 1H), 7.66 (d, *J* = 3.2 Hz, 1H), 7.59 – 7.45 (m, 2H), 7.45 – 7.35 (m, 2H), 7.22 (d, *J* = 3.2 Hz, 1H), 4.53 (t, *J* = 7.2 Hz, 2H), 3.58 – 3.47 (m, 4H), 3.36 (dd, *J* = 9.2, 6.4 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 165.1, 142.6, 133.9, 132.0, 131.8, 129.0, 128.0, 127.5, 126.6, 125.9, 125.5, 123.1, 119.2, 69.8, 49.0, 32.8, 27.0. HRMS (ESI) *m/z*: Calculated for $C_{17}H_{18}NO_3S_2$ $[M+H]^+$: 348.0723; found: 348.0724.

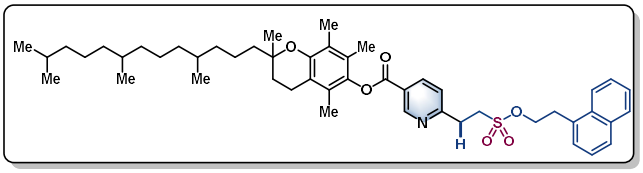


2-(naphthalen-1-yl)ethyl 2-(benzo[d]thiazol-2-yl)ethane-1-sulfonate (5r) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (41.3 mg, 52%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.96 (t, *J* = 9.2 Hz, 2H), 7.84 (dd, *J* = 12.8, 8.0 Hz 2H), 7.74 (d, *J* = 8.0 Hz, 1H), 7.58 – 7.52 (m, 1H), 7.51 – 7.45 (m, 2H), 7.43 – 7.37 (m, 2H), 7.34 (d, *J* = 6.6 Hz, 1H), 4.56 (t, *J* = 7.4 Hz, 2H), 3.62 (dd, *J* = 9.6, 6.4 Hz, 2H), 3.48 (t, *J* = 7.4 Hz, 2H), 3.42 (dd, *J* = 9.0, 6.8 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 166.0, 152.9, 135.1, 133.9, 131.9, 131.7, 129.0, 128.0, 127.4, 126.6, 126.3, 125.9, 125.5, 125.3, 123.1, 122.8, 121.6, 69.9, 48.5, 32.7, 28.0. HRMS (ESI) *m/z*: Calculated for $C_{21}H_{19}NO_3S_2Na$ $[M+Na]^+$: 420.0699; found: 420.0698.

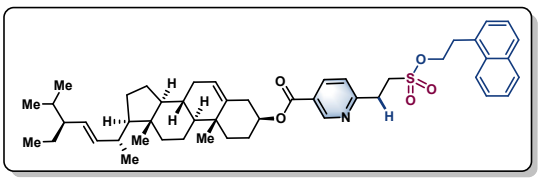


(3*S*, 8*S*, 9*S*, 10*R*, 13*R*, 14*S*, 17*R*)-10,13-dimethyl-17-((*R*)-6-methylheptan-2-yl)-2,3,4,7,8,9,10,11,12,13,14,15,16,17-tetradecahydro-1H-cyclopenta[a]phenanthren-3-yl 6-(2-((2-(naphthalen-1-yl)ethoxy)sulfonyl)ethyl)nicotinate (5s) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 5:1) to afford the desired product (60.2 mg, 40%) as a yellow solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.08 (d, *J* = 1.6 Hz, 1H), 8.18 (dd, *J* = 8.0, 2.2 Hz, 1H), 7.99 (d, *J* = 8.6 Hz, 1H), 7.85 (d, *J* = 8.0 Hz, 1H), 7.75 (d, *J* = 8.0 Hz, 1H), 7.58 – 7.47 (m, 2H), 7.43 – 7.36 (m, 2H), 7.11 (d, *J* = 8.2 Hz, 1H), 5.43 (d, *J* = 4.0 Hz, 1H), 4.92 – 4.82 (m, 1H), 4.51 (t, *J* = 7.4 Hz, 2H), 3.55 – 3.46 (m, 4H), 3.18 (t, *J* = 8.0 Hz, 2H), 2.46 (d, *J* = 7.6 Hz, 2H), 2.09 – 1.66 (m, 6H), 1.64 – 1.44 (m, 6H), 1.43 – 1.30 (m, 3H), 1.21 – 1.09 (m, 7H), 1.07 (s, 3H),1.05 – 0.95 (m, 4H), 0.92 (d, *J* = 6.5 Hz, 3H), 0.87 (dd, *J* = 6.8, 2.0 Hz, 6H), 0.69 (s, 3H). ^{13}C

NMR (101 MHz, Chloroform-*d*) δ 164.5, 161.1, 150.6, 139.4, 137.7, 133.9, 132.1, 131.8, 129.0, 128.0, 127.4, 126.5, 125.9, 125.5, 125.1, 123.2, 123.1, 122.9, 75.2, 69.6, 56.7, 56.2, 50.1, 48.7, 42.3, 39.7, 39.5, 38.2, 37.0, 36.7, 36.2, 35.8, 32.8, 31.9, 31.9, 31.5, 28.3, 28.0, 27.9, 24.3, 23.9, 22.9, 22.6, 21.1, 19.4, 18.7, 11.9. HRMS (ESI) *m/z*: Calculated for $C_{47}H_{64}NO_5S$ $[M+H]^+$: 754.4500; found: 754.4496.

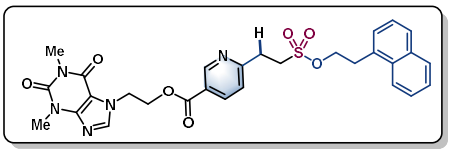


2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)chroman-6-yl 6-(2-((2-(naphthalen-1-yl)ethoxy)sulfonyl)ethyl)nicotinate (5t) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 5:1) to afford the desired product (116.8 mg, 73%) as a colorless liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.36 – 9.30 (m, 1H), 8.40 (dd, *J* = 8.1, 2.1 Hz, 1H), 8.03 (d, *J* = 8.4 Hz, 1H), 7.86 (d, *J* = 8.1 Hz, 1H), 7.77 (d, *J* = 7.6 Hz, 1H), 7.54 (dt, *J* = 27.2, 7.0 Hz, 2H), 7.47 – 7.37 (m, 2H), 7.21 (d, *J* = 8.1 Hz, 1H), 4.56 (t, *J* = 7.3 Hz, 2H), 3.55 (dt, *J* = 21.3, 7.3 Hz, 4H), 3.28 – 3.19 (m, 2H), 2.63 (t, *J* = 6.6 Hz, 2H), 2.14 (s, 3H), 2.06 (s, 3H), 2.02 (s, 3H), 1.92 – 1.75 (m, 3H), 1.65 – 1.47 (m, 3H), 1.50 – 1.36 (m, 4H), 1.34 – 1.23 (m, 11H), 1.21 – 1.05 (m, 6H), 0.93 – 0.84 (m, 12H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 162.7, 160.8, 150.0, 148.7, 139.2, 137.2, 132.9, 131.0, 130.7, 127.9, 126.9, 126.4, 125.7, 125.5, 124.8, 124.5, 123.9, 123.0, 122.3, 122.13, 122.11, 116.6, 74.2, 68.6, 47.6, 38.3, 36.53, 36.51, 36.43, 36.36, 36.26, 31.74, 31.67, 30.6, 27.0, 23.8, 23.4, 21.7, 21.6, 20.0, 19.6, 18.74, 18.68, 18.65, 18.62, 18.59, 12.0, 11.2, 10.8. HRMS (ESI) *m/z*: Calculated for $C_{49}H_{68}NO_6S$ $[M+H]^+$: 798.4762; found: 798.4761.

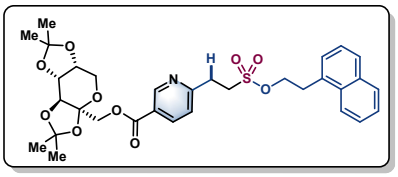


(3*S*, 8*S*, 9*S*, 10*R*, 13*R*, 14*S*, 17*R*)-17-((2*R*, 5*S*, *E*)-5-ethyl-6-methylhept-3-en-2-yl)-10,13-dimethyl-2,3,4,7,8,9,10,11,12,13,14,15,16,17-tetradecahydro-1H-cyclopenta[a]phenanthren-3-yl 6-(2-((2-(naphthalen-1-yl)ethoxy)sulfonyl)ethyl)nicotinate (5u) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 5:1) to afford the desired product (91.3 mg, 59%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.09 (d, *J* = 2.0 Hz, 1H), 8.18 (dd, *J* = 8.2, 2.2 Hz, 1H), 7.99 (d, *J* = 8.4 Hz, 1H), 7.85 (d, *J* = 8.0 Hz, 1H), 7.75 (d, *J* = 8.0 Hz, 1H), 7.57 – 7.47 (m, 2H), 7.43 – 7.36 (m, 2H), 7.11 (d, *J* = 8.0 Hz, 1H), 5.42 (d, *J* = 4.4 Hz, 1H), 5.16 (dd, *J* = 14.8, 8.4 Hz, 1H), 5.02 (dd, *J* = 15.2, 8.8 Hz, 1H), 4.92 – 4.84 (m, 1H), 4.51 (t, *J* = 6.0 Hz, 2H), 3.53 (dd, *J* = 8.8, 7.2 Hz, 2H), 3.48 (t, *J* = 7.6 Hz, 2H), 3.18 (t, *J* = 8.0 Hz, 2H), 2.46 (d, *J* = 7.8 Hz, 2H), 2.11 – 1.89 (m, 5H), 1.81 – 1.67 (m, 2H), 1.62 – 1.54 (m, 3H), 1.54 – 1.48 (m, 3H), 1.48 – 1.37 (m, 2H), 1.33 – 1.23 (m, 2H), 1.22 – 1.12 (m, 2H), 1.10 – 0.98 (m, 9H), 0.89 – 0.78 (m, 10H), 0.71 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.5, 161.1, 150.6, 139.4, 138.3, 137.6, 133.9, 132.1, 131.8, 129.3, 129.0, 128.0, 127.4, 126.5, 125.9, 125.5, 125.1, 123.2, 123.1, 122.9, 75.2, 69.6, 56.8, 56.0, 51.3, 50.1, 48.7, 42.2, 40.5, 39.6, 38.2, 37.0, 36.7, 32.8, 31.94, 31.92, 31.88, 31.5, 29.0, 27.9, 25.4, 24.4, 21.3, 21.14, 21.07, 19.4, 19.0, 12.3, 12.1. HRMS (ESI) *m/z*: Calculated for $C_{49}H_{66}NO_5S$ $[M+H]^+$: 780.4656; found: 780.4653.

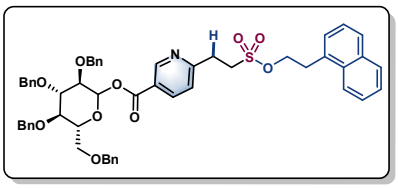
2-(1,3-dimethyl-2,6-dioxo-1,2,3,6-tetrahydro-7H-purin-7-yl)ethyl 6-(2-((2-(naphthalen-1-



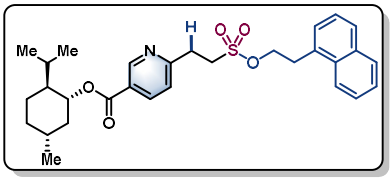
yl)ethoxy)sulfonyl)ethyl)nicotinate (5v) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 1:2) to afford the desired product (99.3 mg, 84%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.95 (d, *J* = 2.2 Hz, 1H), 8.05 (dd, *J* = 8.1, 2.2 Hz, 1H), 7.95 (d, *J* = 8.4 Hz, 1H), 7.81 (d, *J* = 8.0 Hz, 1H), 7.71 (d, *J* = 8.0 Hz, 1H), 7.54 (s, 1H), 7.53 – 7.44 (m, 2H), 7.39 – 7.33 (m, 2H), 7.08 (d, *J* = 8.1 Hz, 1H), 4.75 – 4.60 (m, 4H), 4.49 (t, *J* = 7.2 Hz, 2H), 3.58 – 3.42 (m, 7H), 3.36 (s, 3H), 3.17 – 3.11 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.5, 161.9, 155.2, 151.6, 150.5, 149.1, 141.5, 137.6, 133.8, 132.1, 131.7, 129.0, 127.9, 127.4, 126.5, 125.8, 125.5, 123.7, 123.1, 123.1, 106.8, 69.6, 63.5, 48.5, 46.0, 32.7, 31.5, 29.8, 28.0. HRMS (ESI) *m/z*: Calculated for $C_{29}H_{30}N_5O_7S$ $[M+H]^+$: 592.1860; found: 592.1862.



((3a*S*, 5a*R*, 8a*R*, 8b*S*)-2,2,7,7-tetramethyltetrahydro-3aH-bis([1,3]dioxolo)[4,5-b:4',5'-d]pyran-3a-yl)methyl 6-(2-((2-(naphthalen-1-yl)ethoxy)sulfonyl)ethyl)nicotinate (5w) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (95.7 mg, 76%) as a yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.11 (d, *J* = 1.6 Hz, 1H), 8.21 (dd, *J* = 8.0, 2.2 Hz, 1H), 7.98 (d, *J* = 8.4 Hz, 1H), 7.84 (d, *J* = 8.0 Hz, 1H), 7.74 (d, *J* = 7.8 Hz, 1H), 7.59 – 7.45 (m, 2H), 7.43 – 7.34 (m, 2H), 7.11 (d, *J* = 8.0 Hz, 1H), 4.71 – 4.61 (m, 2H), 4.51 (t, *J* = 7.2 Hz, 2H), 4.42 (d, *J* = 2.6 Hz, 1H), 4.35 (d, *J* = 11.8 Hz, 1H), 4.26 (d, *J* = 8.0 Hz, 1H), 3.95 (dd, *J* = 13.0, 1.7 Hz, 1H), 3.80 (d, *J* = 13.0 Hz, 1H), 3.55 – 3.44 (m, 4H), 3.21 – 3.12 (m, 2H), 1.54 (s, 3H), 1.46 (s, 3H), 1.353 (s, 3H), 1.346 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.5, 161.5, 150.7, 137.9, 133.9, 132.1, 131.8, 129.0, 128.0, 127.5, 126.5, 125.9, 125.5, 124.3, 123.1, 123.0, 109.2, 108.9, 101.5, 70.7, 70.6, 70.1, 69.6, 65.8, 61.4, 48.6, 32.8, 31.5, 26.5, 25.9, 25.5, 24.0. HRMS (ESI) *m/z*: Calculated for $C_{32}H_{38}NO_{10}S$ $[M+H]^+$: 628.2211; found: 628.2217.

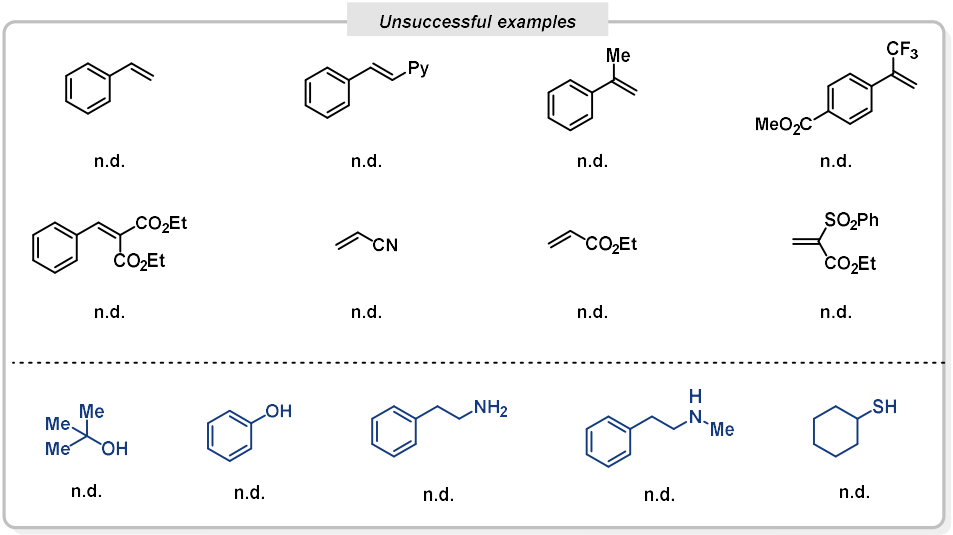


(3*R*, 4*S*, 5*R*, 6*R*)-3,4,5-tris(benzyloxy)-6-((benzyloxy)methyl)tetrahydro-2H-pyran-2-yl 6-(2-((2-(naphthalen-1-yl)ethoxy)sulfonyl)ethyl)nicotinate (5x) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 2:1) to afford the desired product (120.6 mg, 66%) as a white solid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.08 (s, 1H), 8.17 – 8.10 (m, 1H), 7.93 (d, *J* = 8.4 Hz, 1H), 7.76 (d, *J* = 8.0 Hz, 1H), 7.67 (d, *J* = 7.8 Hz, 1H), 7.48 (t, *J* = 8.0, 1H), 7.41 (t, *J* = 8.8, 1H), 7.37 – 7.17 (m, 20H), 7.14 – 7.08 (m, 2H), 7.06 (d, *J* = 8.4 Hz, 1H), 6.57 – 6.54 (m, 1H), 4.95 – 4.88 (m, 1H), 4.86 – 4.77 (m, 2H), 4.72 – 4.59 (m, 2H), 4.57 – 4.39 (m, 5H), 4.03 – 3.86 (m, 2H), 3.81 – 3.66 (m, 3H), 3.63 – 3.55 (m, 1H), 3.50 – 3.38 (m, 4H), 3.11 (t, *J* = 7.8 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ ^{13}C NMR (101 MHz, $CDCl_3$) δ 163.6, 161.8, 150.9, 138.5, 138.0, 137.8, 137.6, 133.9, 132.1, 131.8, 129.0, 128.55, 128.51, 128.14, 128.11, 128.04, 127.98, 127.9, 127.8, 127.5, 126.6, 125.9, 125.6, 124.2, 123.2, 123.1, 91.3, 81.9, 79.0, 75.8, 75.5, 73.7, 73.5, 73.4, 69.7, 68.1, 48.6, 32.8, 31.6. HRMS (ESI) *m/z*: Calculated for $C_{54}H_{54}NO_{10}S$ $[M+H]^+$: 908.3463; found: 908.3461.

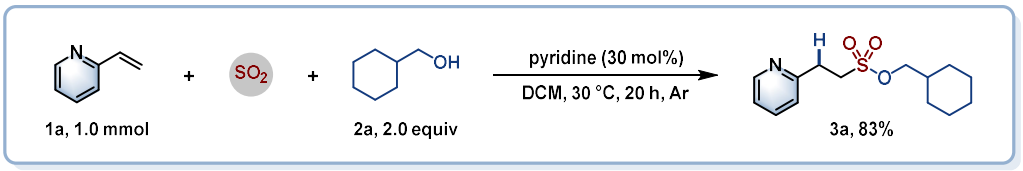


(1*R*, 2*S*, 5*R*)-2-isopropyl-5-methylcyclohexyl 6-(2-((2-(naphthalen-1-yl)ethoxy)sulfonyl)ethyl)nicotinate (5y) was purified by silica gel column chromatography (petroleum ether/ethyl acetate = 5:1) to afford the desired product (84.7 mg, 81%) as a pale yellow liquid. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.09 (s, 1H), 8.19 (dd, *J* = 8.0, 2.0 Hz, 1H), 7.99 (d, *J* = 8.4 Hz, 1H), 7.84 (d, *J* = 8.0 Hz, 1H), 7.75 (d, *J* = 8.0 Hz, 1H), 7.55 (t, *J* = 6.8 Hz, 1H), 7.49 (t, *J* = 6.8 Hz, 1H), 7.44 – 7.34 (m, 2H), 7.12 (d, *J* = 8.0 Hz, 1H), 4.95 (td, *J* = 10.8, 4.4 Hz, 1H), 4.52 (t, *J* = 7.2 Hz, 2H), 3.55 – 3.47 (m, 4H), 3.24 – 3.14 (m, 2H), 2.16 – 2.07 (m, 1H), 1.97 – 1.86 (m, 1H), 1.80 – 1.69 (m, 3H), 1.61 – 1.50 (m, 2H), 1.19 – 1.06 (m, 2H), 0.94 (d, *J* = 6.4 Hz, 3H), 0.91 (d, *J* = 6.8 Hz, 3H), 0.79 (d, *J* = 6.8 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.6, 161.0, 150.6, 137.7, 133.9, 132.1, 131.8, 129.0, 128.0, 127.5, 126.5, 125.9, 125.5, 125.1, 123.2, 122.9, 75.6, 69.6, 48.7, 47.2, 40.9, 34.2, 32.8, 31.5, 31.46, 26.6, 23.6, 22.0, 20.7, 16.5. HRMS (ESI) *m/z*: Calculated for $C_{30}H_{38}NO_5S$ $[M+H]^+$: 524.2465; found: 524.2466.

Unsuccessful examples

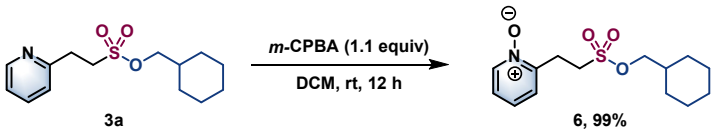


5. Sale-up reaction

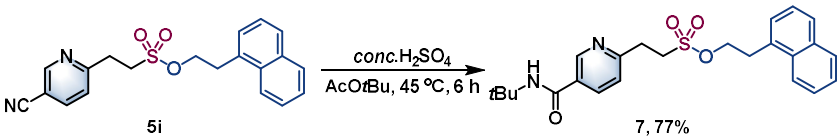


In an argon fulfilled glovebox, 2-vinylpyridine (1.0 mmol, 1.0 equiv, 108 μL), cyclohexanemethanol (2.0 mmol, 2.0 equiv, 246.1 μL), pyridine (30 mol%, 24.0 μL) were added successively into chamber B with a magnetic stirring bar, followed by the addition of DCM (1.25 ml). Subsequently, SOgen (tetrabromothiophene S,S-dioxide) (2.2 mmol, 2.2 equiv, 948.2 mg), 1-methyl-4-vinylbenzene (2.0 mmol, 2.0 equiv, 263.5 μL), were successively introduced into chamber A with a magnetic stirring bar, followed by the addition of tetradecane (1.0 mL). The two-chamber was sealed and removed out of the glovebox. Then chamber A was stirred for 10 min at 100 °C with 600 rpm stirring speed. After that, the chamber B was allowed to stir at 30 °C for 20 h. Upon completion, the two-chamber was cooled to RT. The reaction mixture was concentrated and then purified by flash silica gel column chromatography using petroleum ether/ethyl acetate as eluent to give the desired product **3a** as a colorless liquid (235 mg, 83%).

6. Synthetic applications



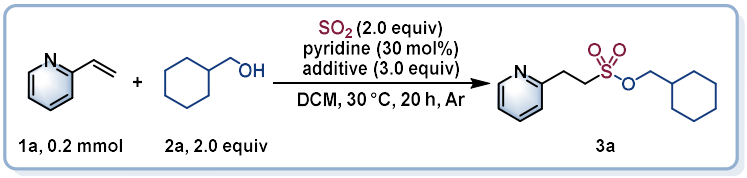
3a (0.2 mmol, 56,6 mg) and *m*-CPBA (1.1 equiv, 44.7 mg) was added into a 4 ml dry vial, then DCM (1.0 mL) was added to this system. The mixture was stirred at room temperature for 12 h. The reaction mixture was concentrated and the residue was purified by flash column chromatography to give the desired product **6** as a colorless liquid (59.0 mg, 99%). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.17 (s, 1H), 7.32 (t, *J* = 5.2 Hz, 1H), 7.19 – 7.17 (m, 2H), 3.91 (d, *J* = 6.0 Hz, 2H), 3.62 (t, *J* = 6.8 Hz, 2H), 3.32 (t, *J* = 6.8 Hz, 2H), 1.68 – 1.51 (m, 6H), 1.21 – 1.01 (m, 3H), 0.93 – 0.83 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 147.6, 139.7, 127.5, 125.9, 124.9, 75.4, 45.2, 37.4, 29.1, 26.8, 26.1, 25.4. HRMS (ESI) *m/z*: Calculated for $C_{14}H_{22}NO_4S$ $[M+H]^+$: 300.1264; found: 300.1266.



5i (0.1 mmol, 36.6 mg) was dissolved in *tert*-butyl acetate (0.4 mL) in a 4 ml dry vial with a magnetic stirring bar. The vial was sealed by a rubber stopper. Then conc. sulfuric acid (10 μL) was slowly drop to the reaction system at room temperature. The resulting solution was stirred at 45°C for 6.0 h to complete the reaction. The reaction mixture was poured into cold aqueous 20% $KHCO_3$ solution (20 mL) to neutralize the acid and precipitate the product. The product was filtered, washed with cold water, dried under vacuum and the residue was purified by flash column chromatography to give the amide **7** as a white solid (33.7 mg, 77% yield). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.79 (d, *J* = 2.4 Hz, 1H), 8.00 (d, *J* = 8.4 Hz, 1H), 7.94 (dd, *J* = 8.0, 2.4 Hz, 1H), 7.85 (d, *J* = 8.4 Hz, 1H), 7.76 (d, *J* = 8.0 Hz, 1H), 7.58 – 7.48 (m, 2H), 7.43 – 7.37 (m, 2H), 7.09 (d, *J* = 8.0 Hz, 1H), 5.88 (s, 1H), 4.52 (t, *J* = 7.2 Hz, 2H), 3.53 – 3.48 (m, 4H), 3.16 (t, *J* = 8.0 Hz, 2H), 1.47 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.7, 159.5, 147.5, 135.5, 133.9, 132.1, 131.8, 129.8, 129.0, 128.0, 127.5, 126.5, 125.9, 125.5, 123.2, 122.9, 69.6, 52.1, 48.8, 32.8, 31.3, 28.8. HRMS (ESI) *m/z*: Calculated for $C_{24}H_{29}N_2O_4S$ $[M+H]^+$: 441.1843; found: 441.1844.

7. Mechanistic studies

7.1 *Radical Scavenger Tests*

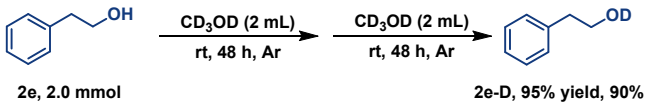


Entry	Additive	Yield of 3a
1	TEMPO	62%
2	1,1-Diphenylethylene	55%

In an argon fulfilled glovebox, 2-vinylpyridine **1a** (0.2 mmol, 1.0 equiv, 21.6 μL), cyclohexanemethanol **2a** (0.4 mmol, 2.0 equiv), additive (TEMPO, 1,1-Diphenylethylene) (3.0 equiv), pyridine (30 mol%, 4.8 μL) were added successively into chamber B with a magnetic stirring bar, followed by the addition of DCM (0.25 mL). Subsequently, SOgen (tetrabromothiophene S,S-dioxide) (2.2 equiv, 190.0 mg), 1-methyl-4-vinylbenzene (2.0 equiv, 52.7 μL), were successively introduced into chamber A with a magnetic stirring bar, followed by the addition of tetradecane (1.0 mL). The two-chamber was sealed and removed out of the glovebox. Then chamber A was stirred for 10 min at 100 °C with 600 rpm stirring speed. After that, the chamber B was allowed to stir at 30 °C for 20 h. Upon completion, the two-chamber was cooled to RT. Yields were determined by 1H NMR using 1,1,1,2,-tetrachlorethane as the internal standard.

7.2 *K.I.E. experiments*

Preparation of 2-phenyl ethanol-*d1* (2e-D)[4]

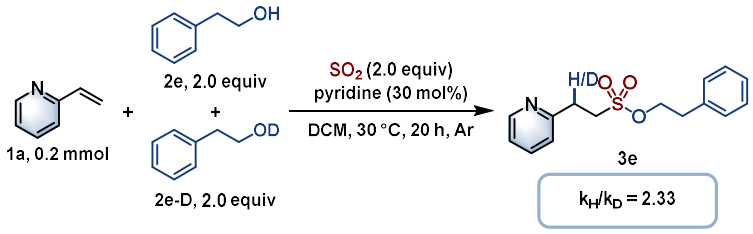


In an argon fulfilled glovebox, 2-phenylethanol **2e** (2.0 mmol, 244.4 mg) was added to CD_3OD (2 mL) in a vial and then the mixture was stirred at room temperature for 48 h. Subsequently, the reaction mixture underwent filtration, and the solvent was evaporated. Following this, a second portion of CD_3OD (2 mL) was added, and a subsequent reaction was conducted at rt for 48 h. Upon completion, the reaction mixture was again filtered and the solvent evaporated. The final product **2e-D** was achieved with a 95% yield (233.8 mg) as a colorless liquid exhibiting a 90% deuterium incorporation within the hydroxyl group as evidenced by ^{1}H NMR analysis.

Competition K.I.E. experiment

In an argon fulfilled glovebox, 2-vinylpyridine **1a** (0.2 mmol, 21.6 μL), 2-phenylethanol **2e** (0.35 mmol, 43.9 mg), 2-phenylethanol **2e-D** (0.44 mmol, 54.1 mg), pyridine (30 mol%, 4.8 μL) were added successively into chamber B with a magnetic stirring bar, followed by the addition of

DCM (0.25 mL). Subsequently, SOgen (tetrabromothiophene S,S-dioxide) (2.2 equiv, 190.0 mg), 1-methyl-4-vinylbenzene (2.0 equiv, 52.7 μL), were successively introduced into chamber A with a magnetic stirring bar, followed by the addition of tetradecane (1.0 mL). The two-chamber was sealed and removed out of the glovebox. Then chamber A was stirred for 10 min at 100 °C with 600 rpm stirring speed. After that, the chamber B was allowed to stir at 30 °C for 20 h. Upon completion, the two-chamber was cooled to RT. The reaction mixture was concentrated *in vacuo* and analyzed by ^{1}H NMR.



Ratio of the product			
Rxn	**3e**	**3e-D**	k_H/k_D
1	0.7	0.3	2.33
2	0.7	0.3	2.33

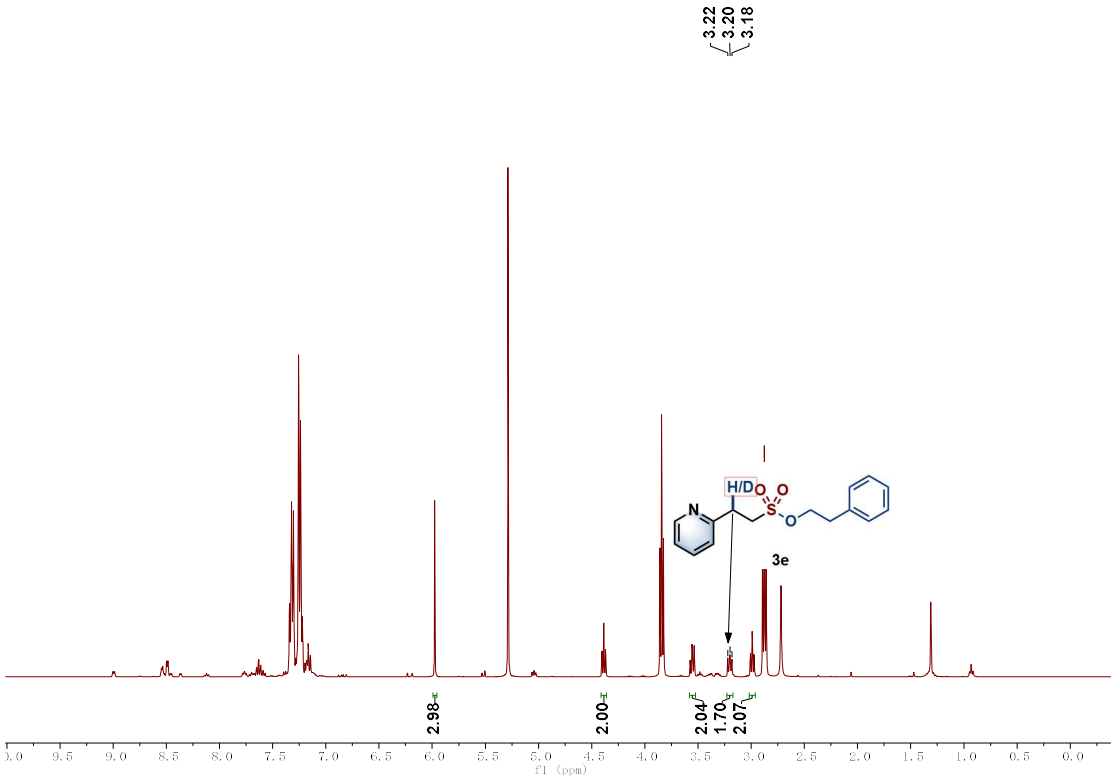


Fig. S1 Competition K.I.E. experiment

Parallel K.I.E. experiments

In an argon fulfilled glovebox, 2-vinylpyridine **1a** (0.8 mmol, 86.3 μL), 2-phenylethanol **2e** (2.0 equiv, 195.5 mg) or 2-phenylethanol **2e-D** (2.0 equiv, 195.5 mg), pyridine (30 mol%, 19.2 μL), dodecane (0.8 mmol, 181.7 μL) were added successively into chamber B with a magnetic stirring bar, followed by the addition of DCM (1.0 mL). The two-chamber was sealed and removed out of the glovebox. Then chamber A was stirred for 10 min at 100 °C with 600 rpm stirring speed. After that, the chamber B was allowed to stir at 30 °C. To take an aliquot: the reaction mixture was collected at one-hour intervals over a period of 10 hours. At the allotted time 15 μL of solvent was removed by syringe. The aliquot was added to a vial and diluted by EtOAc (1.0 mL). Yields were determined by GC using dodecane as the internal standard.

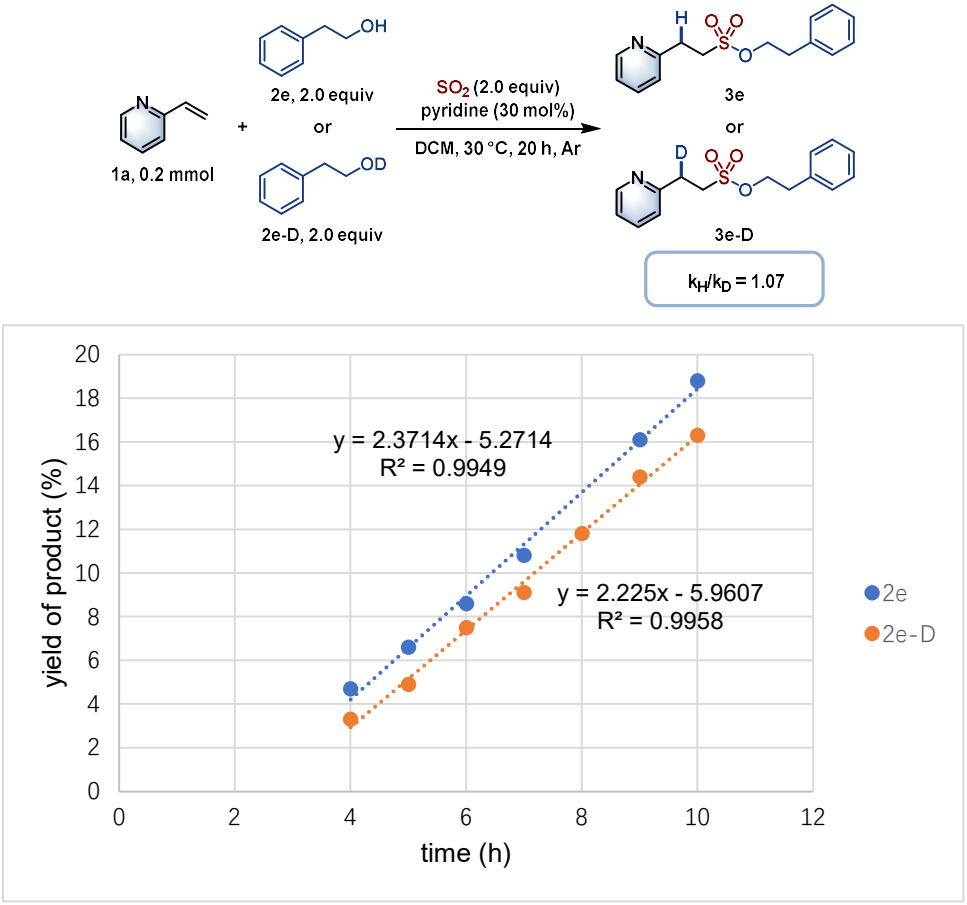


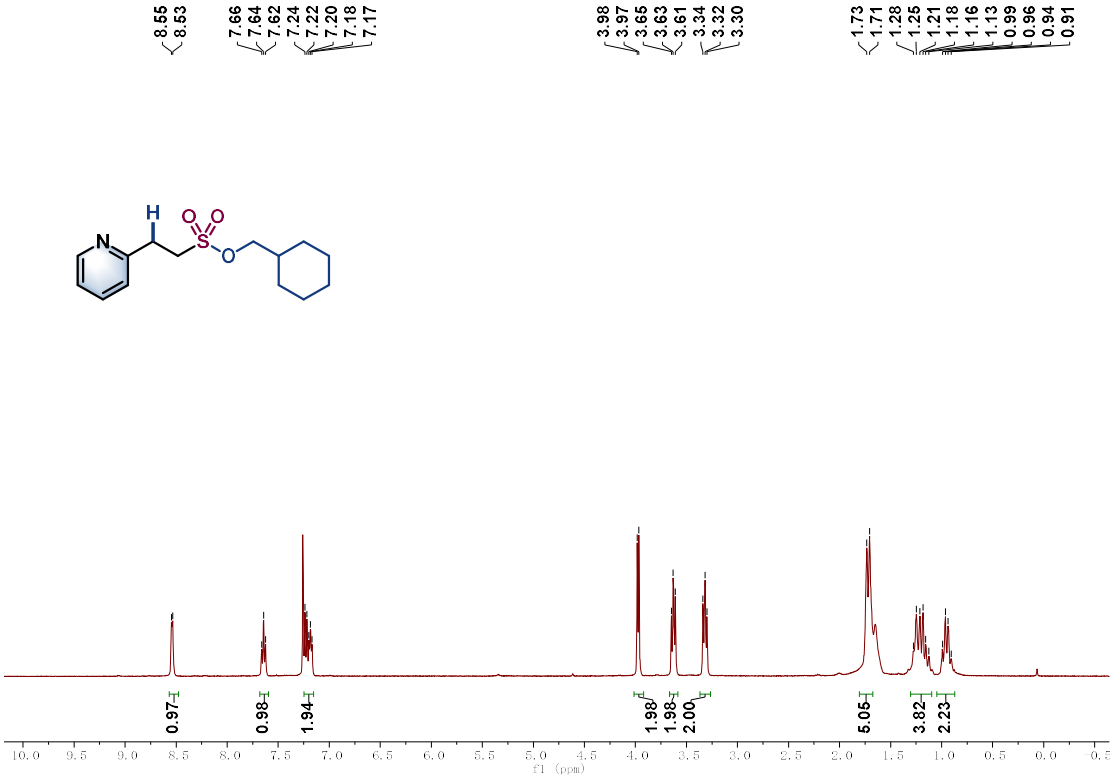
Fig. S2 Parallel KIE experiments

8. References

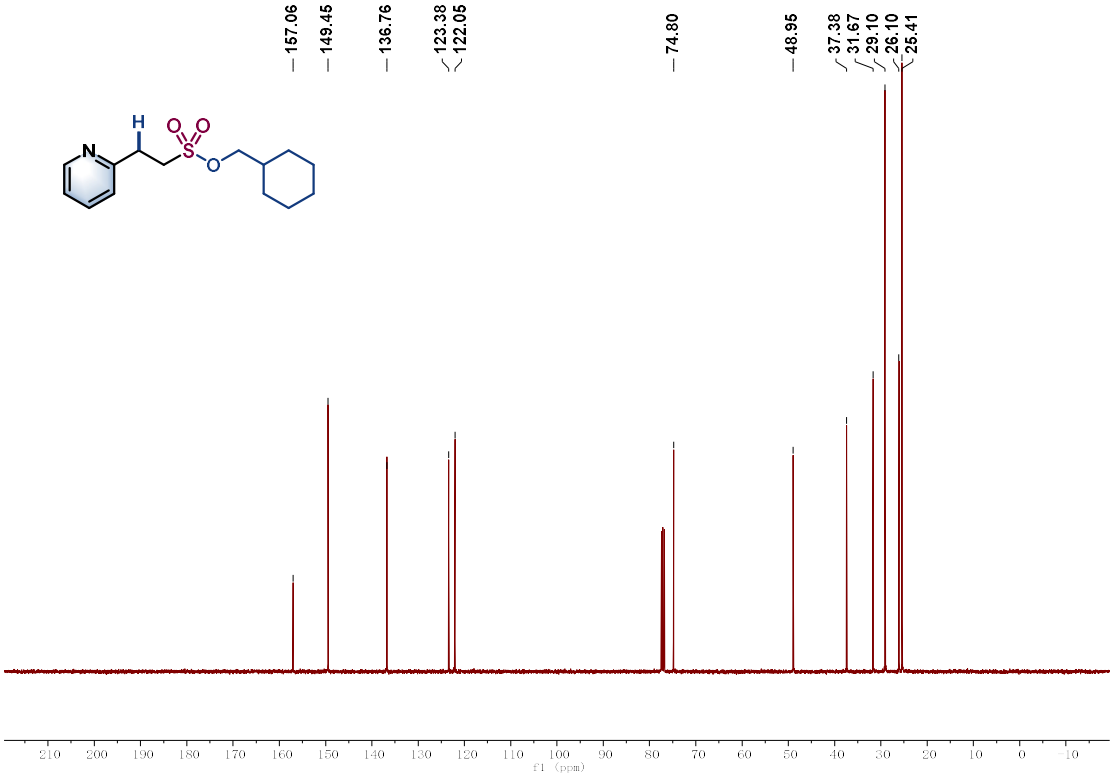
1. A. Saxena, B. Choi, H. W. Lam, *J. Am. Chem. Soc*. **2012**, *134*, 8428-8431.
2. X.-Y. Liu, Y. Xuan, X.-W. Tan, H. Xu, Y.-H. Wang, P. Tian, G.-Q. Lin, *Org. Lett*. **2020**, *22*, 4038-4042.
3. E. M. Kwon, C. G. Kim, A. R. Goh, J. S. Park. J.-G. Jun, *Bull. Korean Chem. Soc*. **2012**, *33*, 1939-1944.
4. M. Utsunomiya, R. Kondo, T. Oshima, M. Safumi, T. Suzuki, Y. Obora, *Chem. Commun*. **2021**, *57*, 5139-5142.

9. NMR spectra

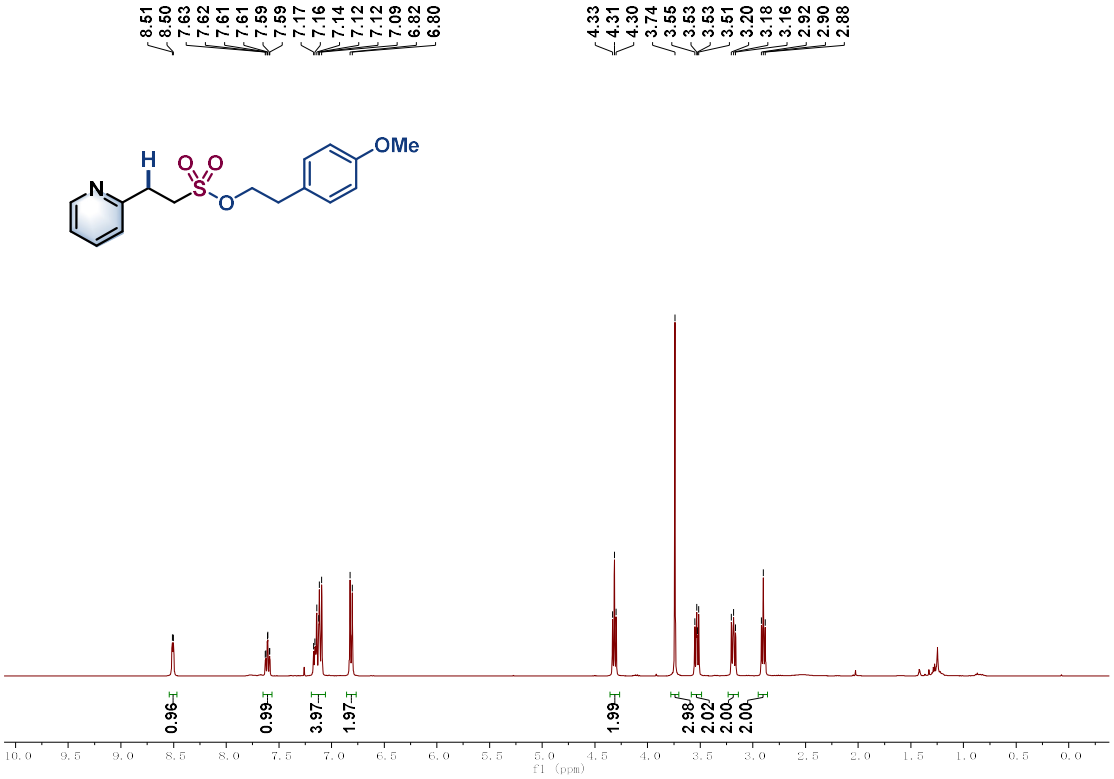
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3a**



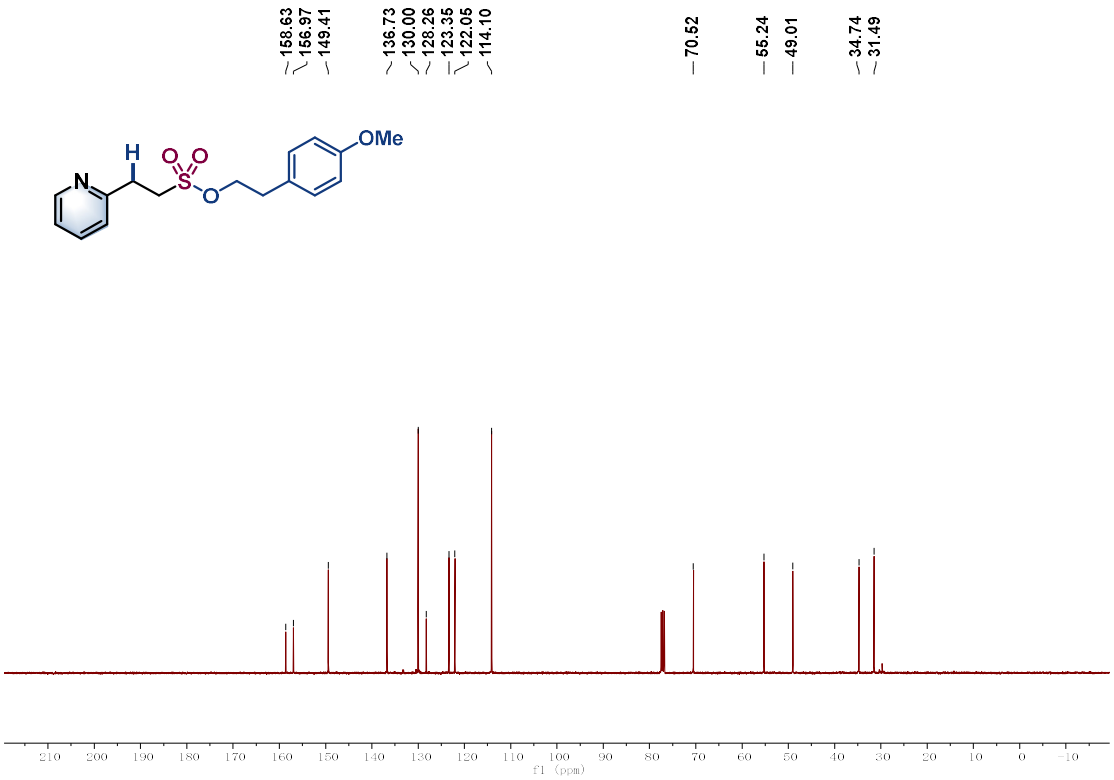
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3a**



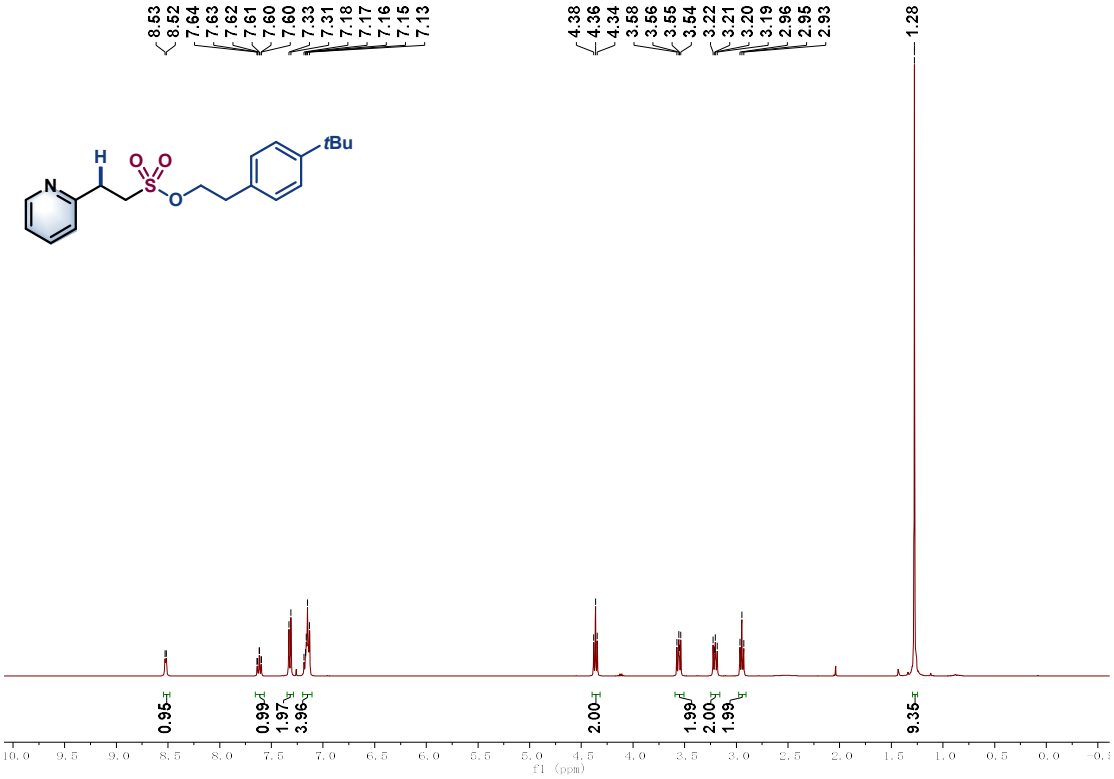
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3b**



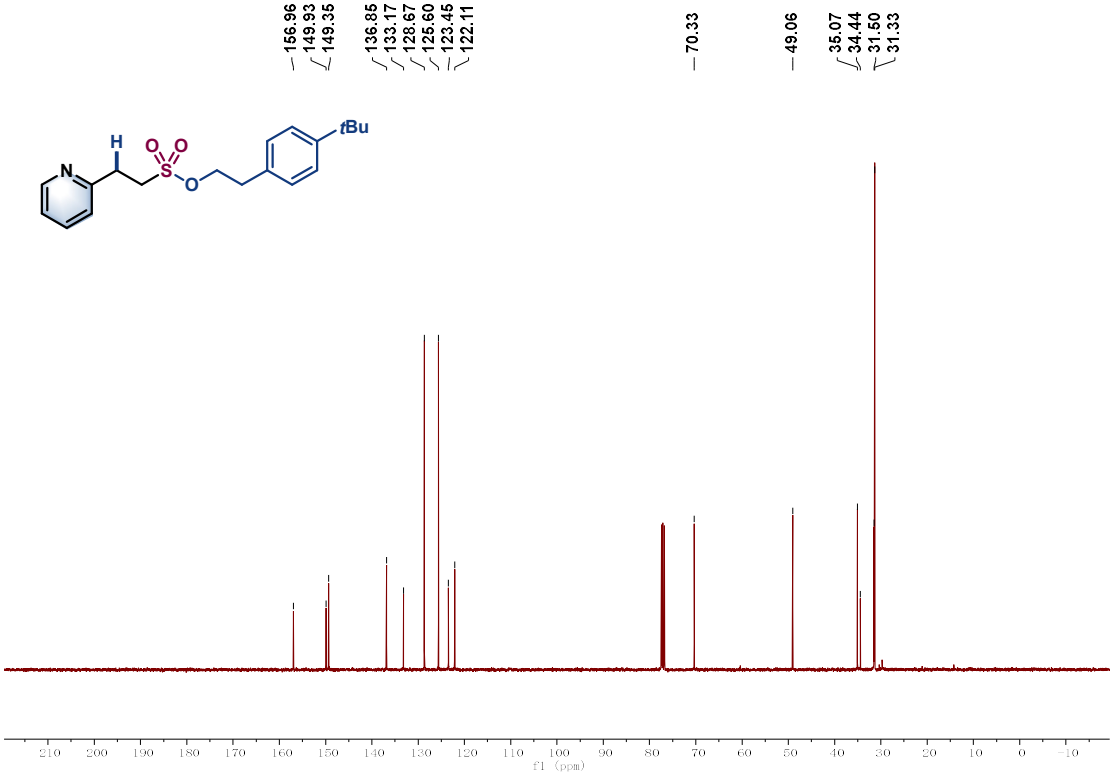
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3b**



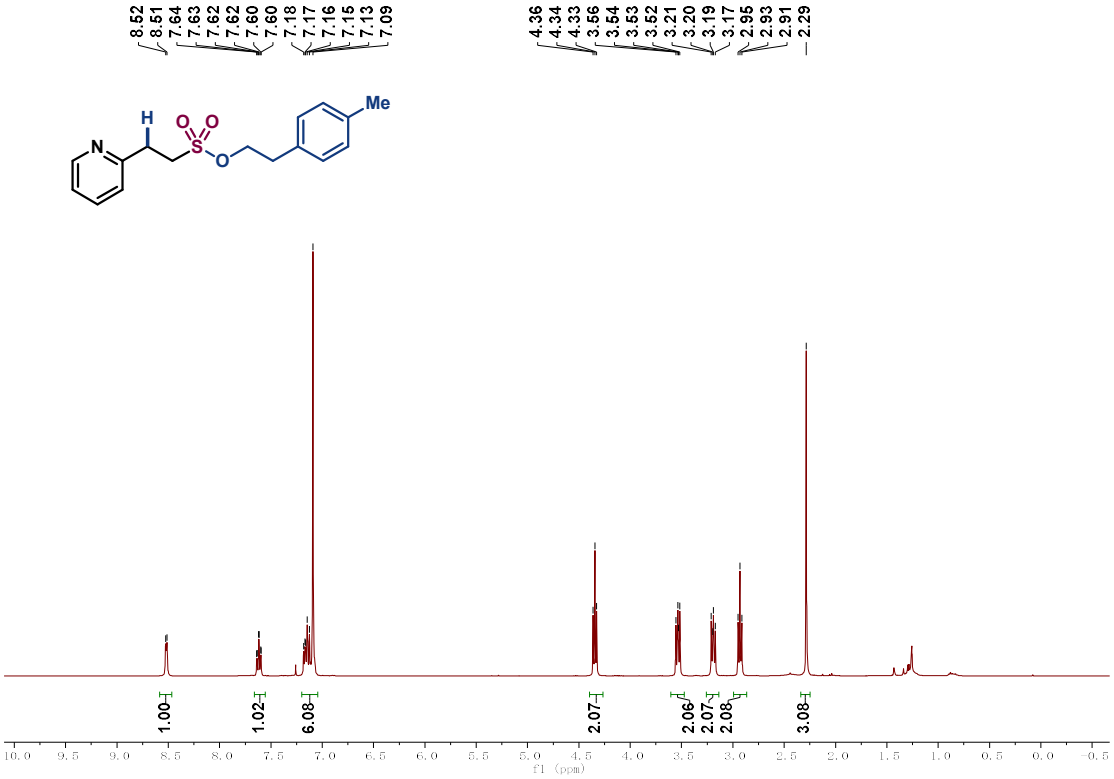
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3c**



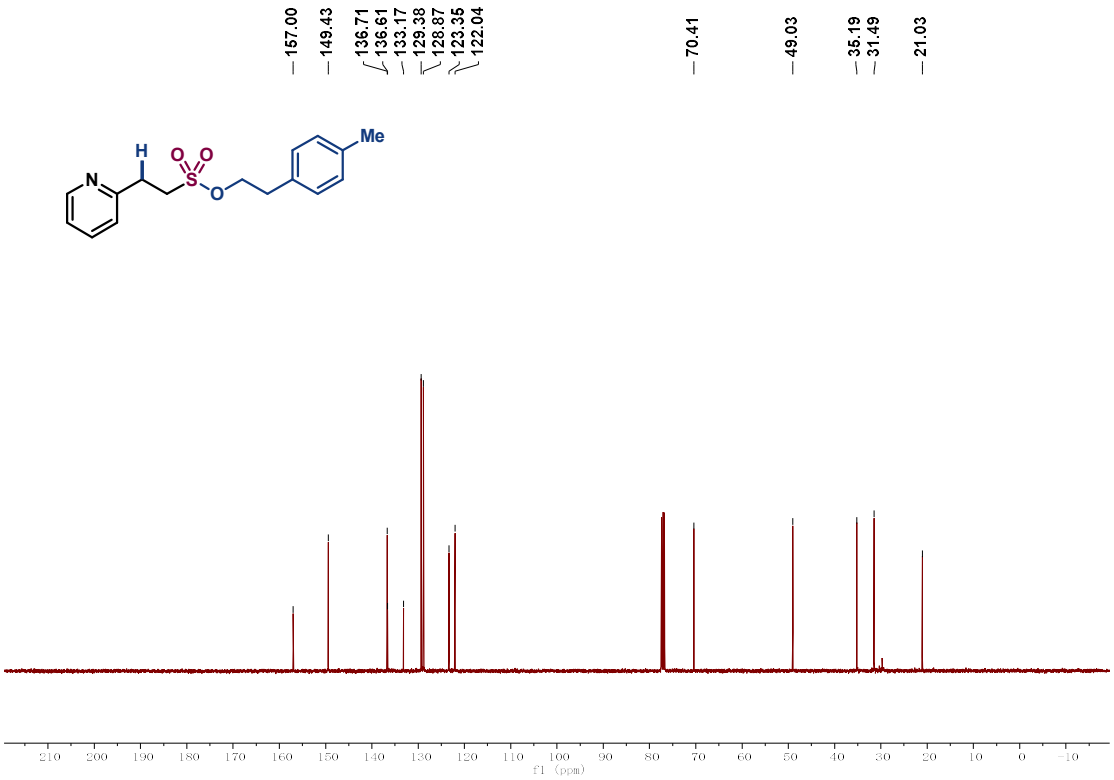
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3c**



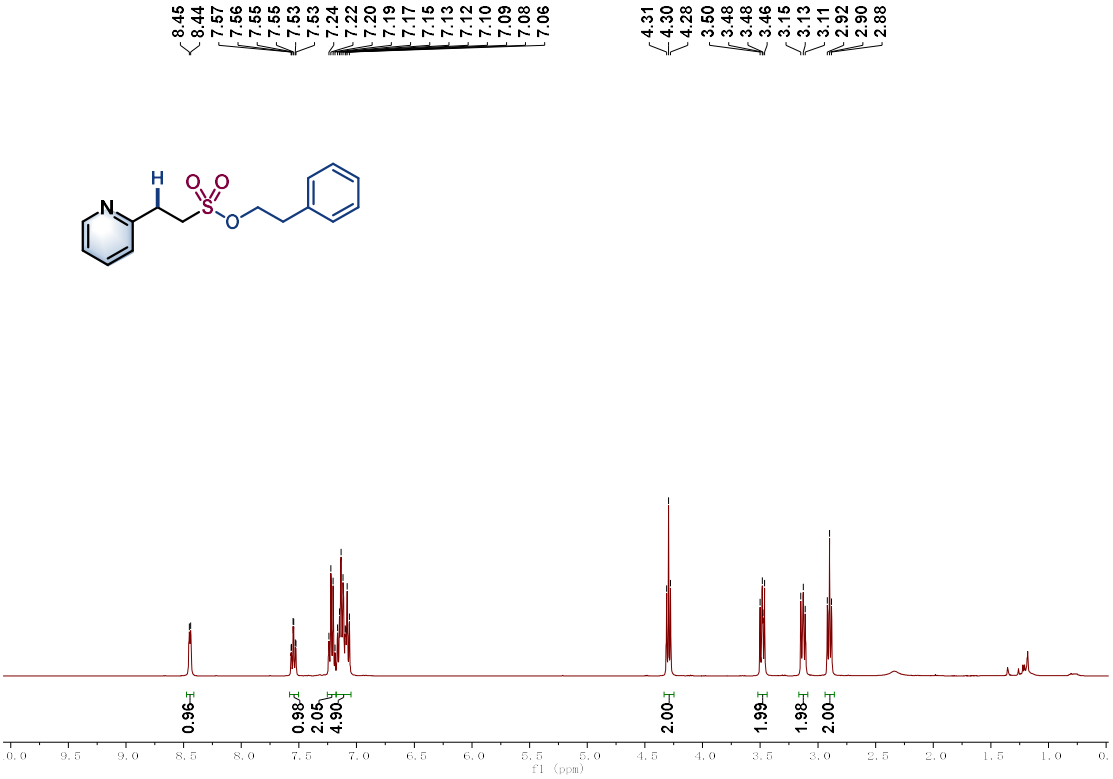
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3d**



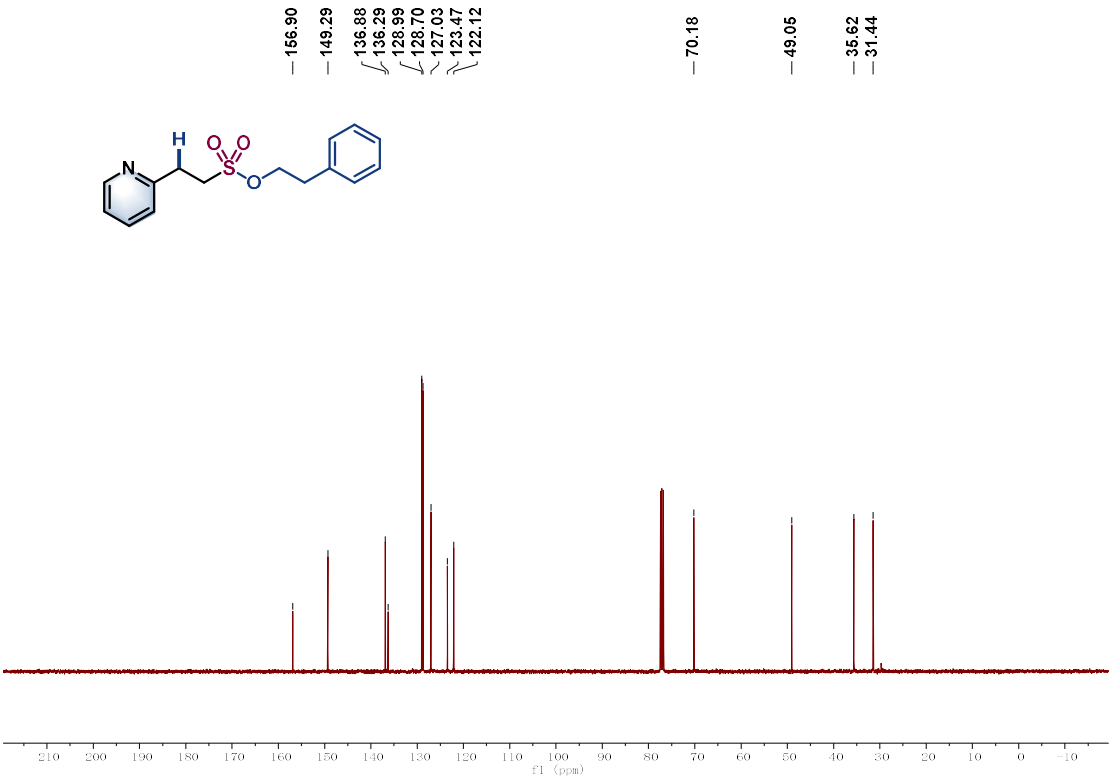
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3d**



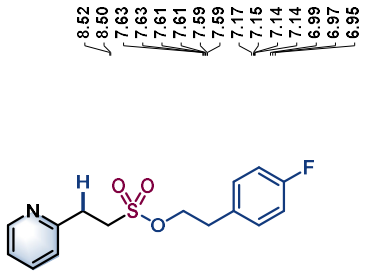
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3e**

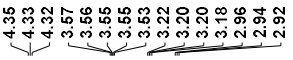


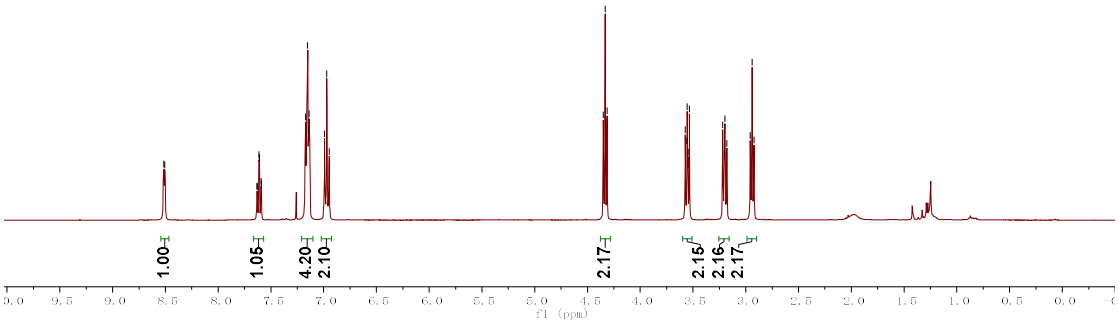
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3e**



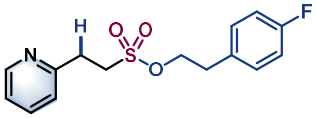
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3f**



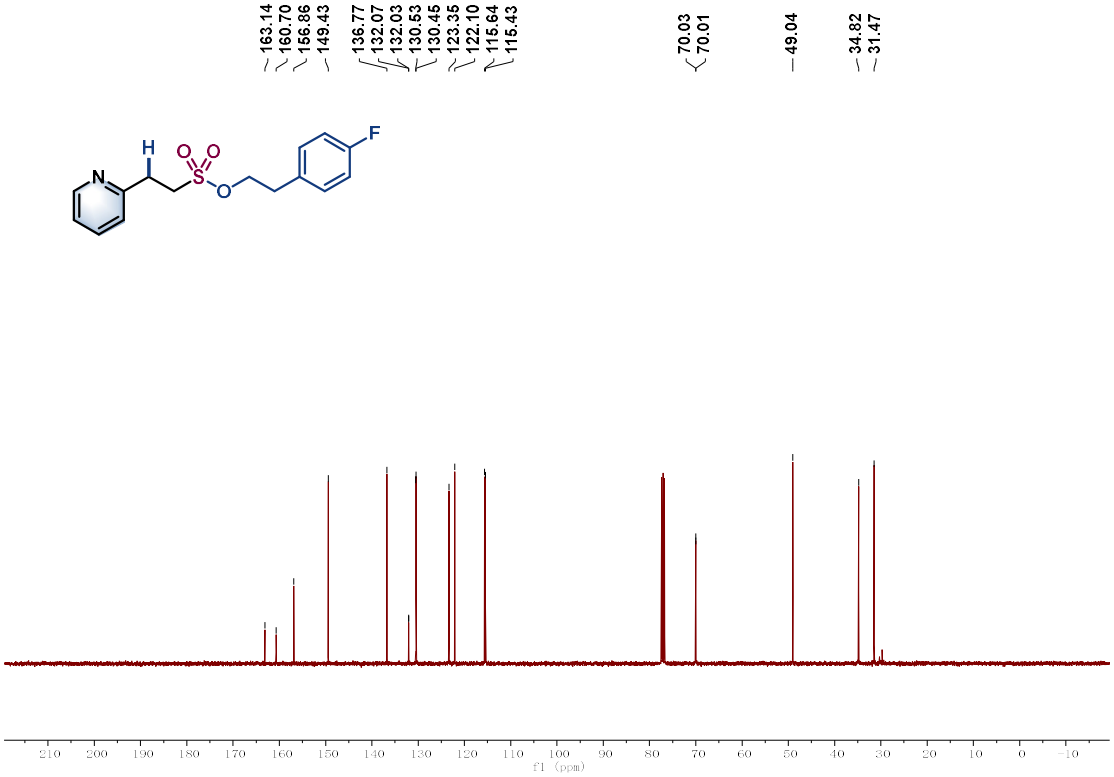




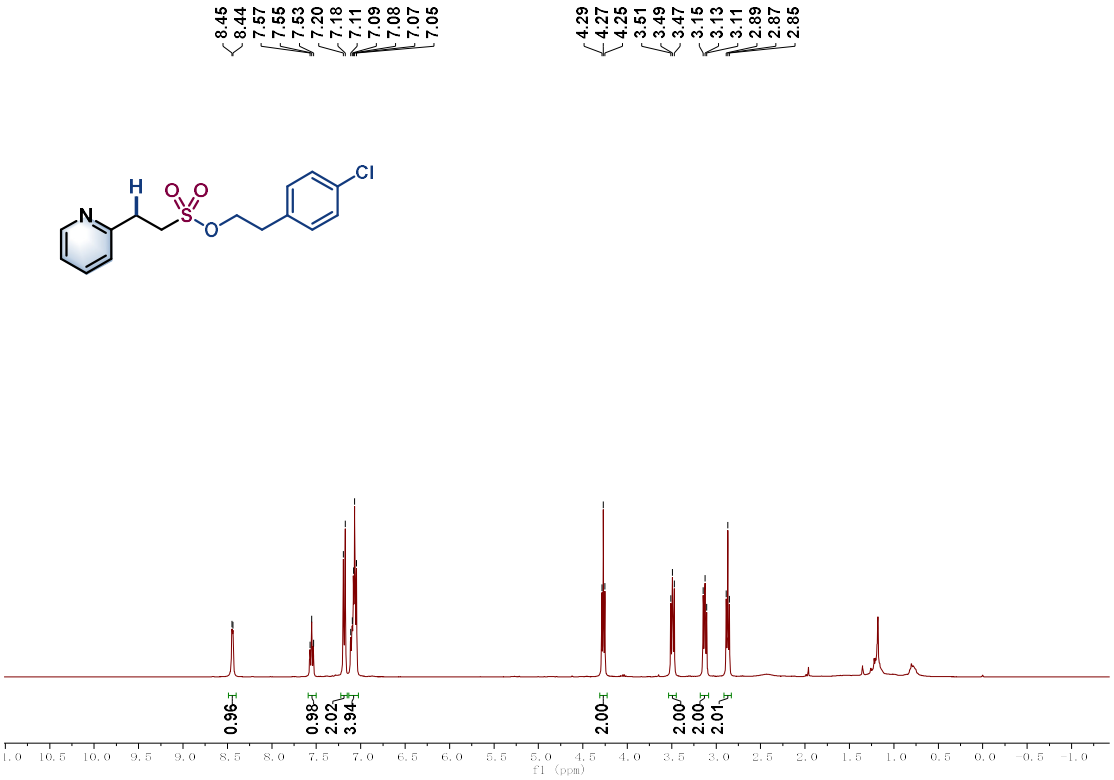
^{19}F NMR-spectrum (376 MHz, $CDCl_3$) of **3f**



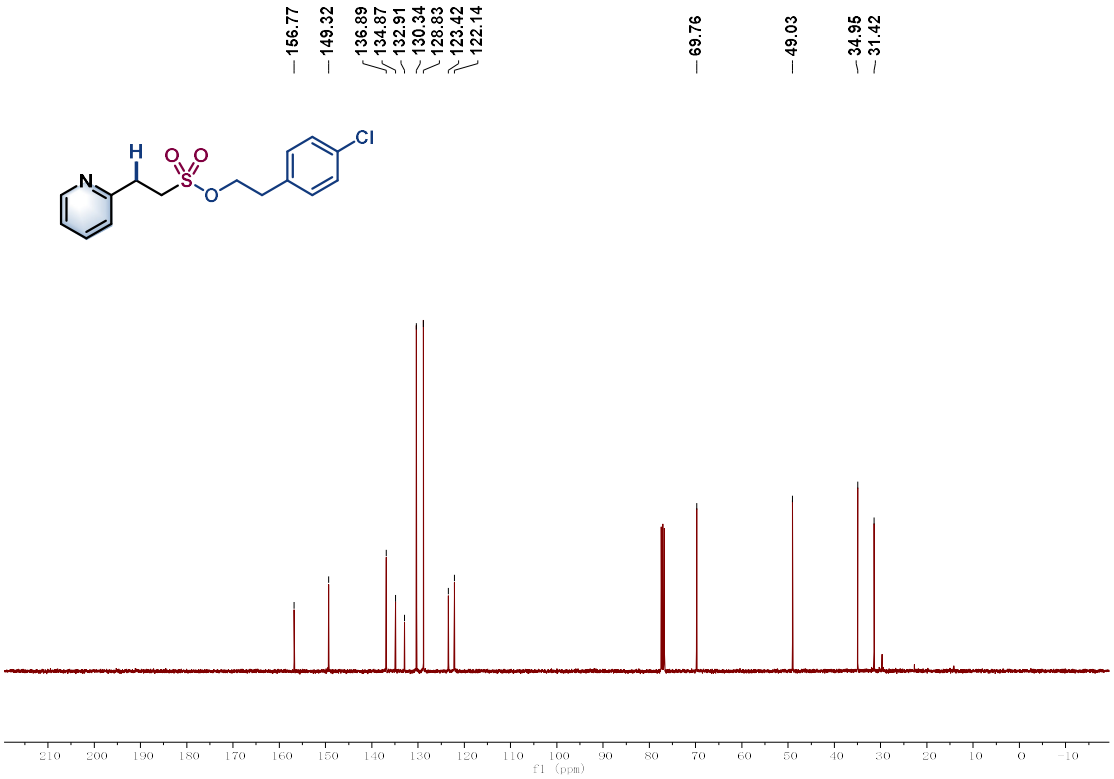
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3f**



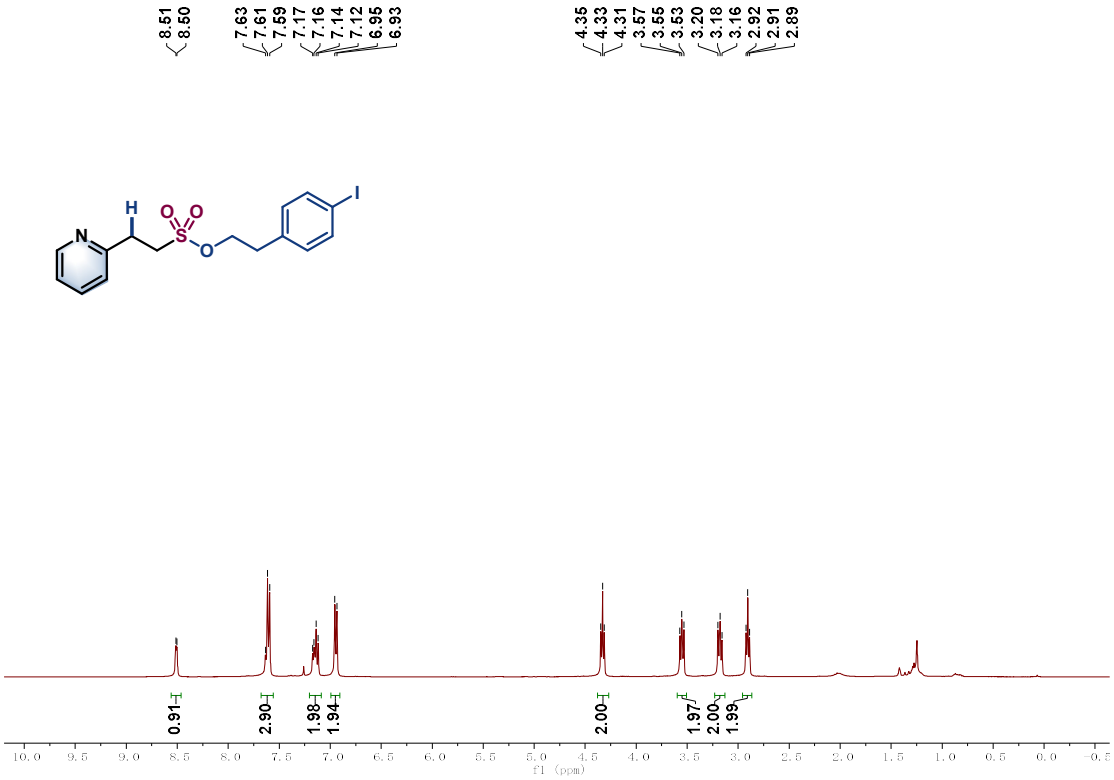
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3g**



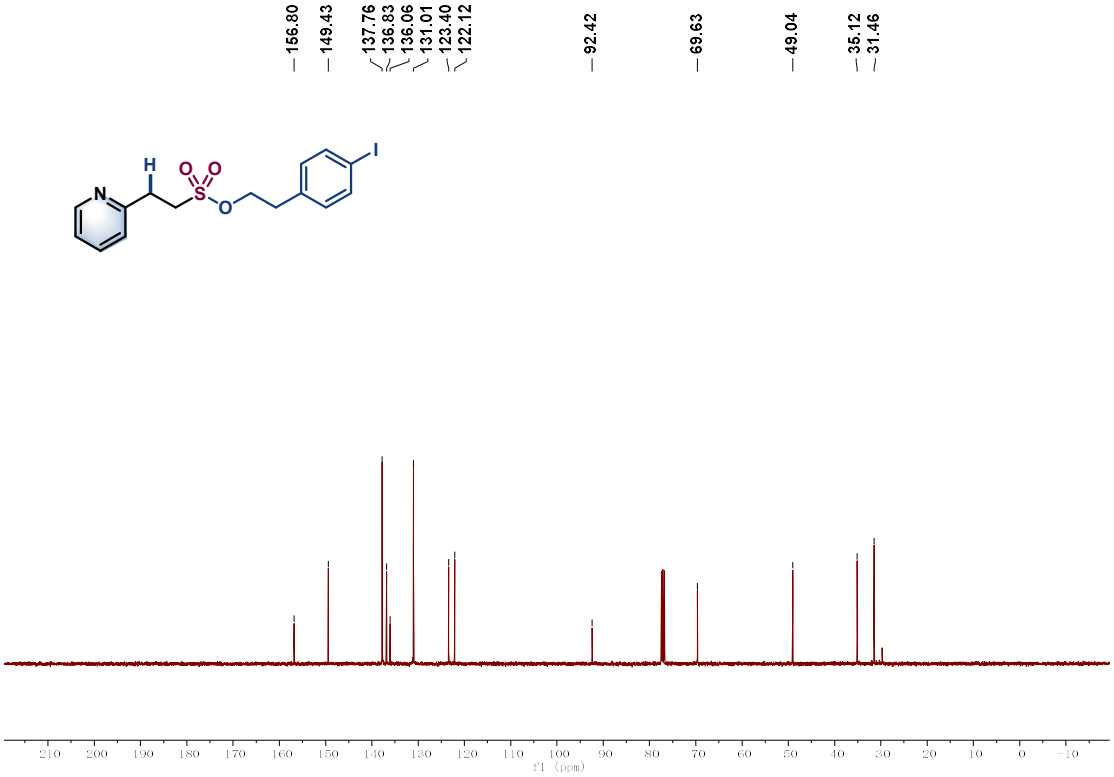
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3g**



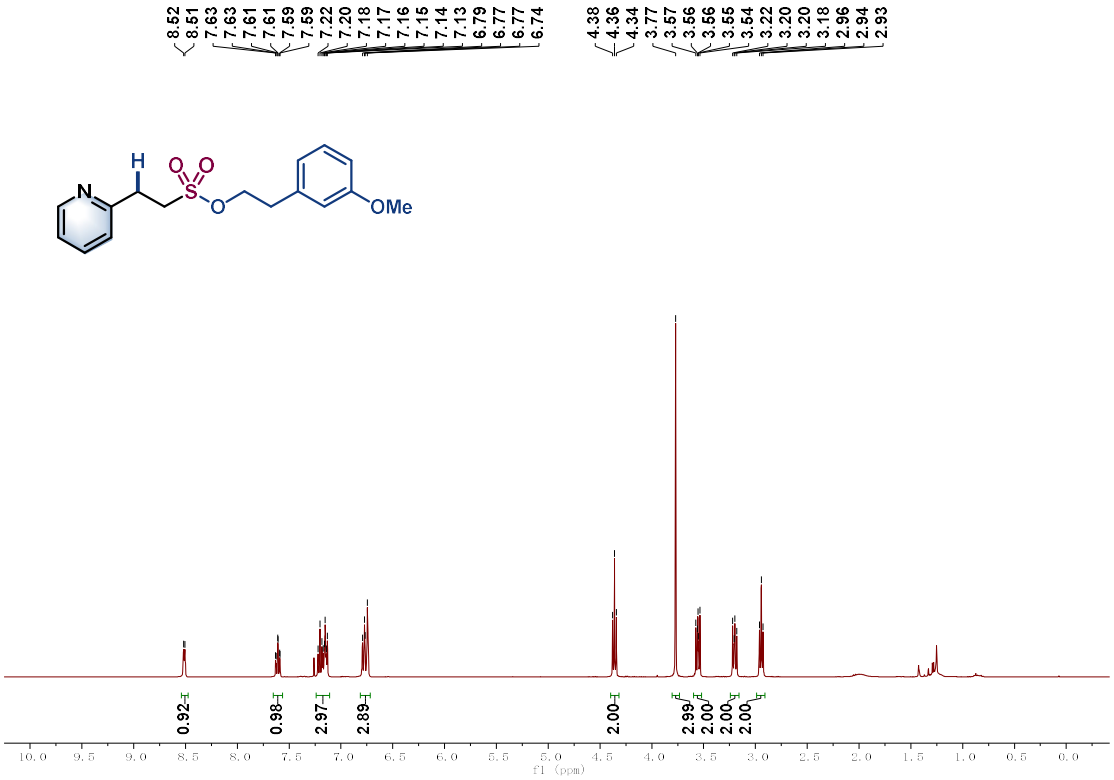
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3h**



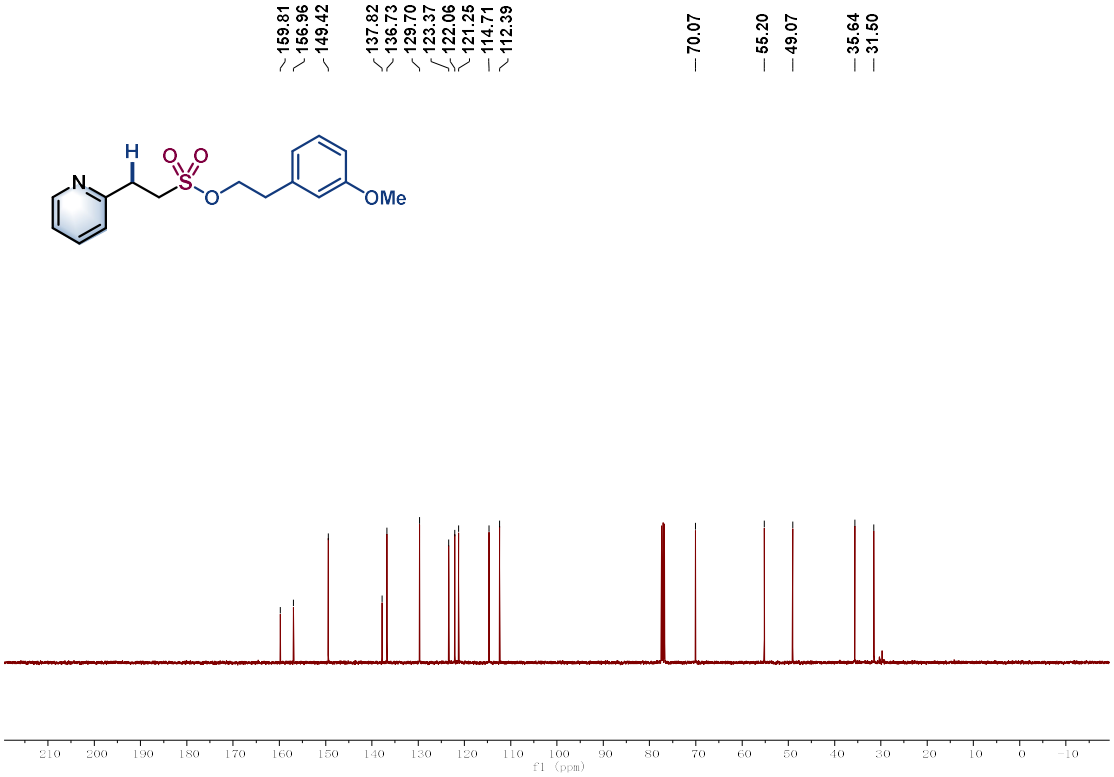
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3h**



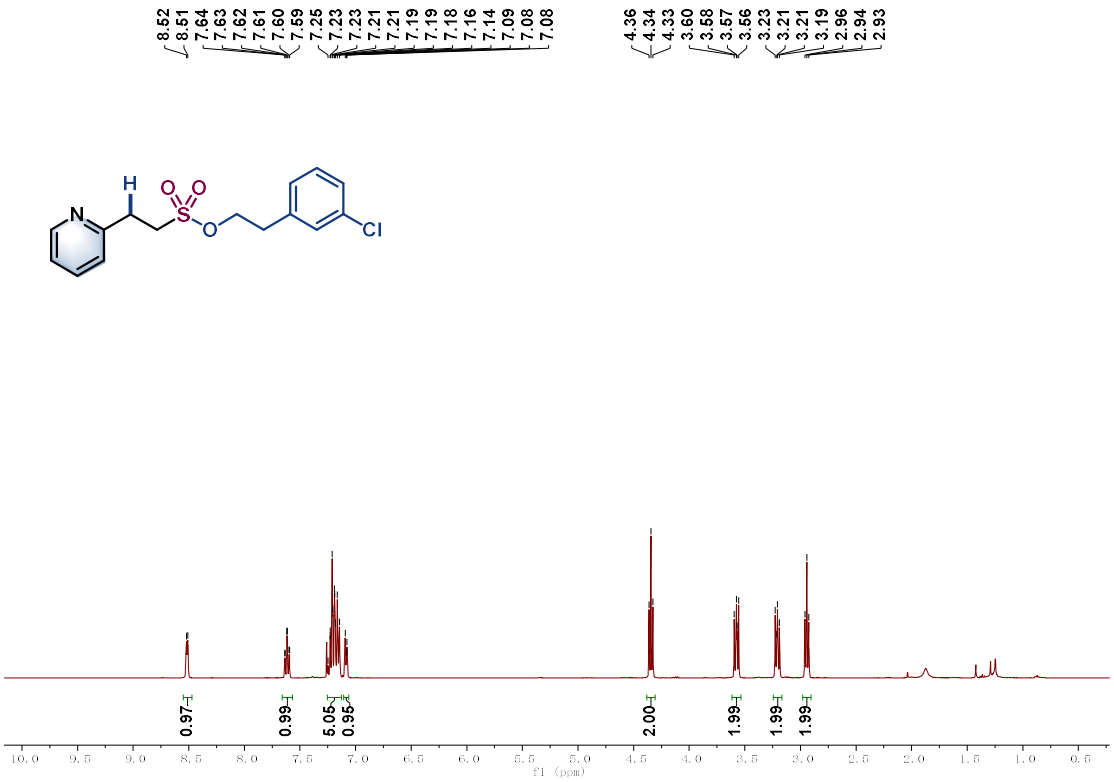
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3i**



^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3i**



^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3j**



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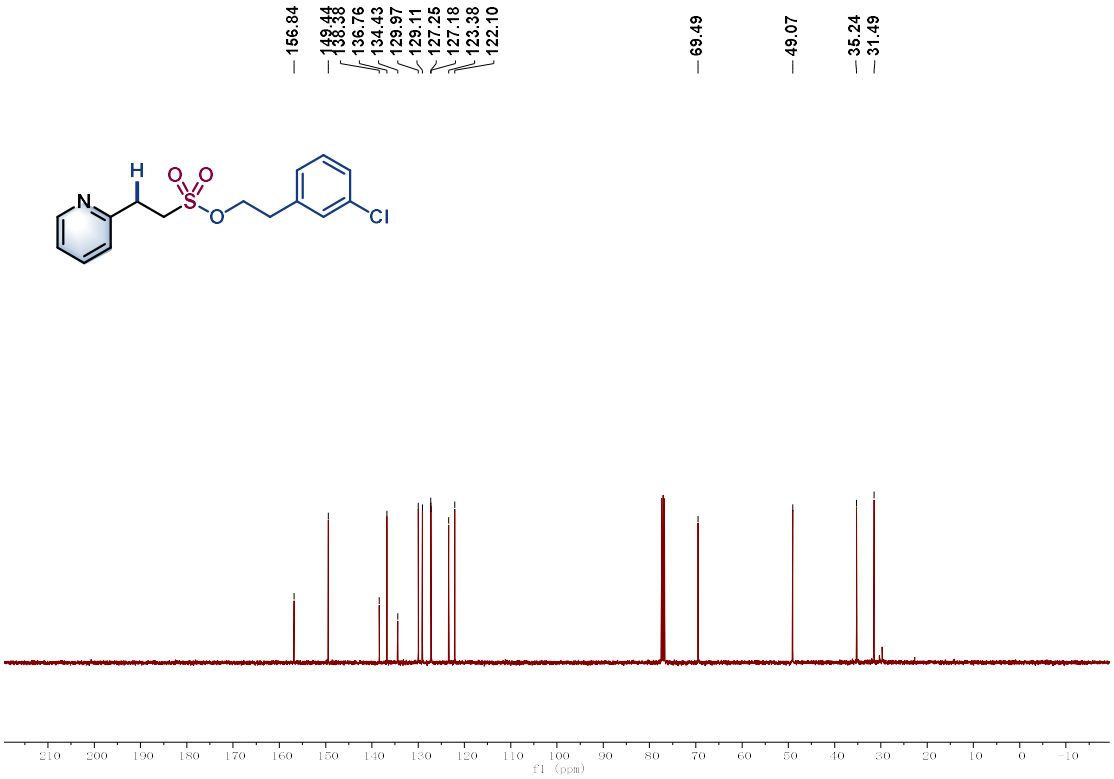

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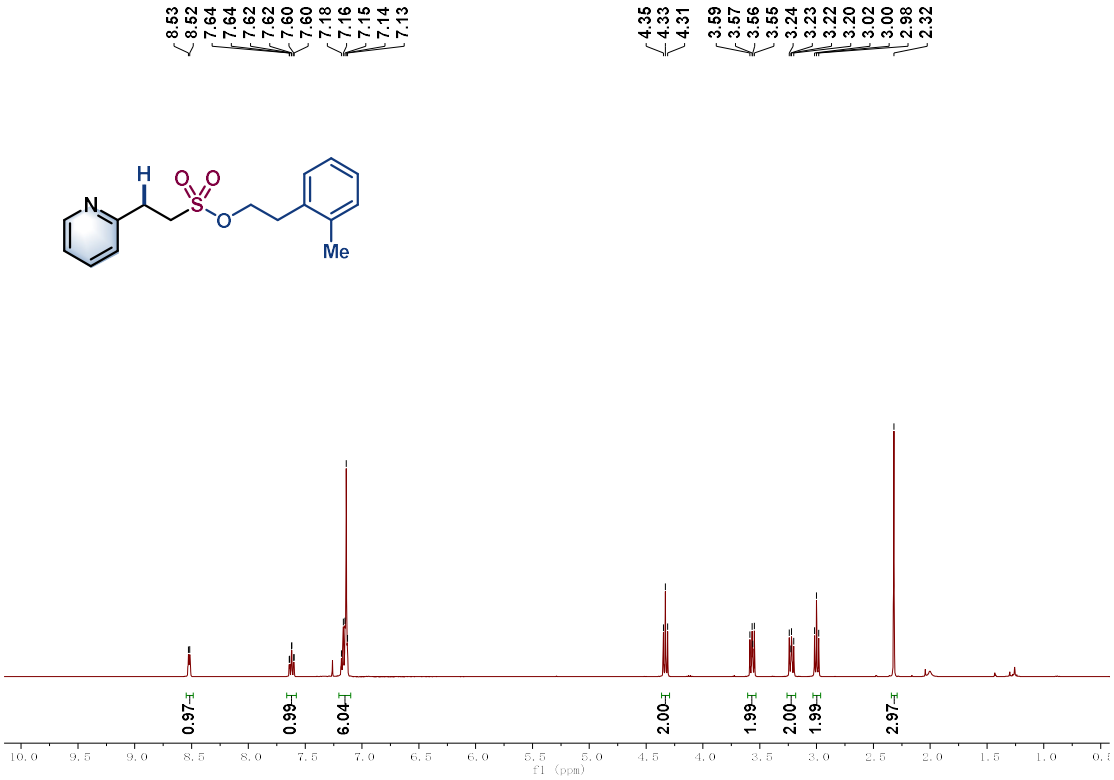

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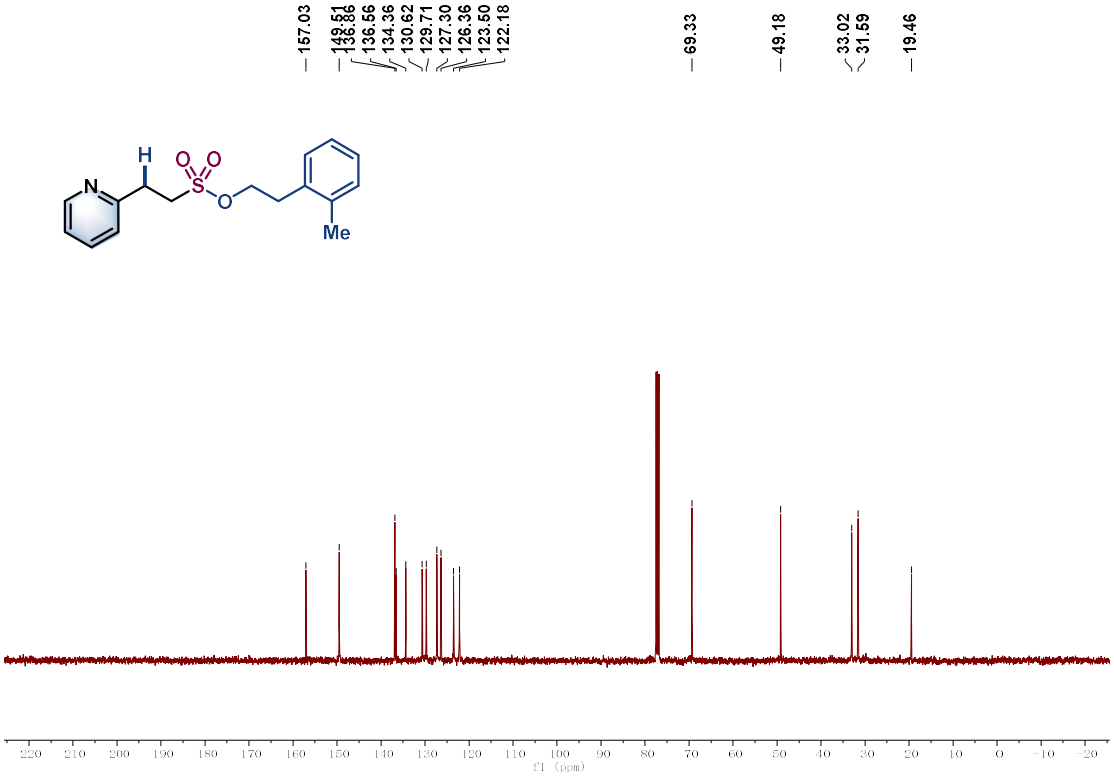
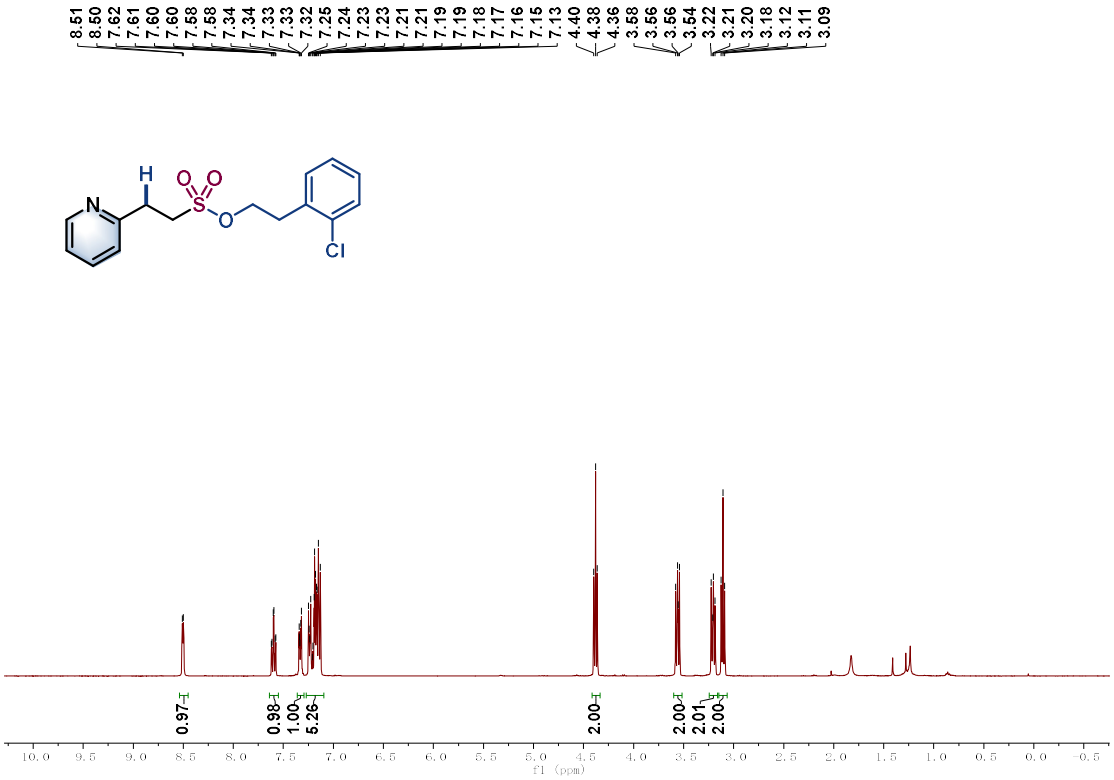


^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3l**



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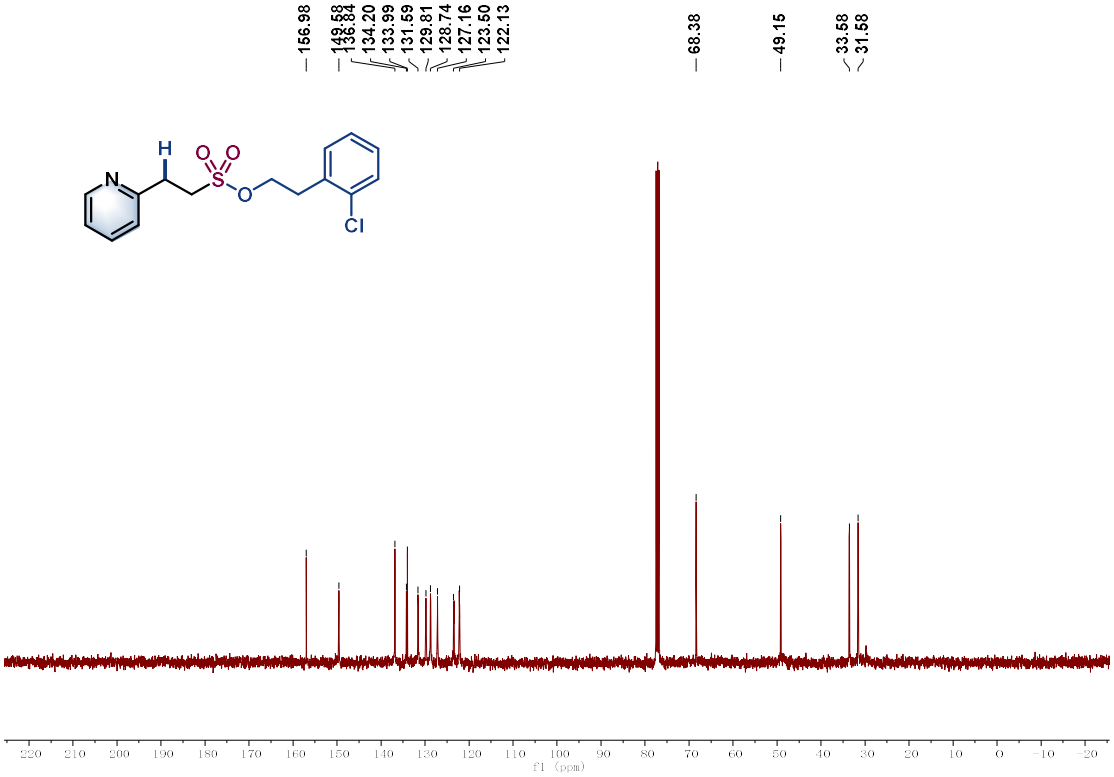
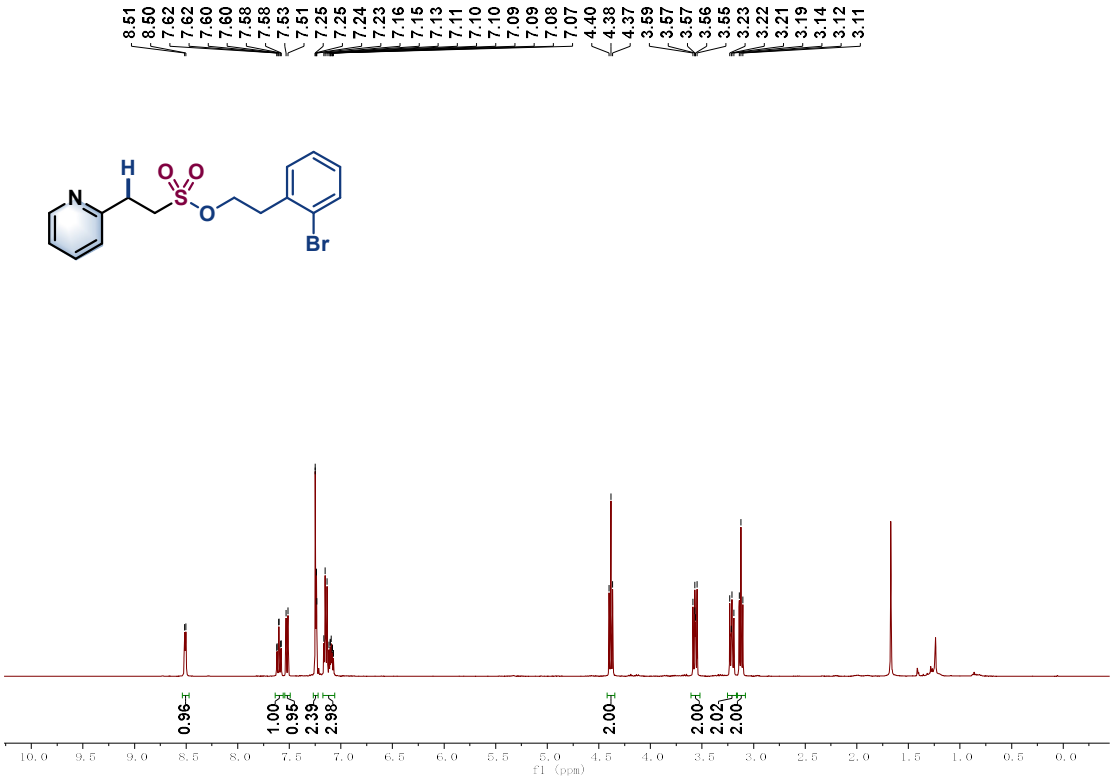
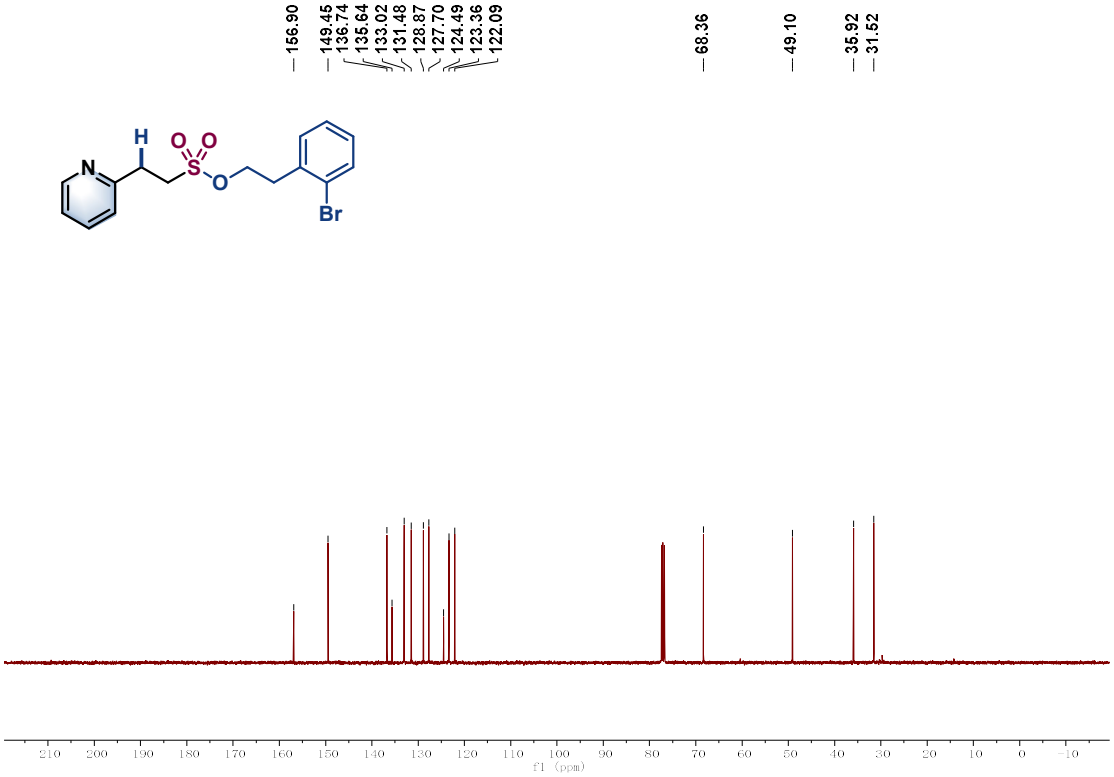


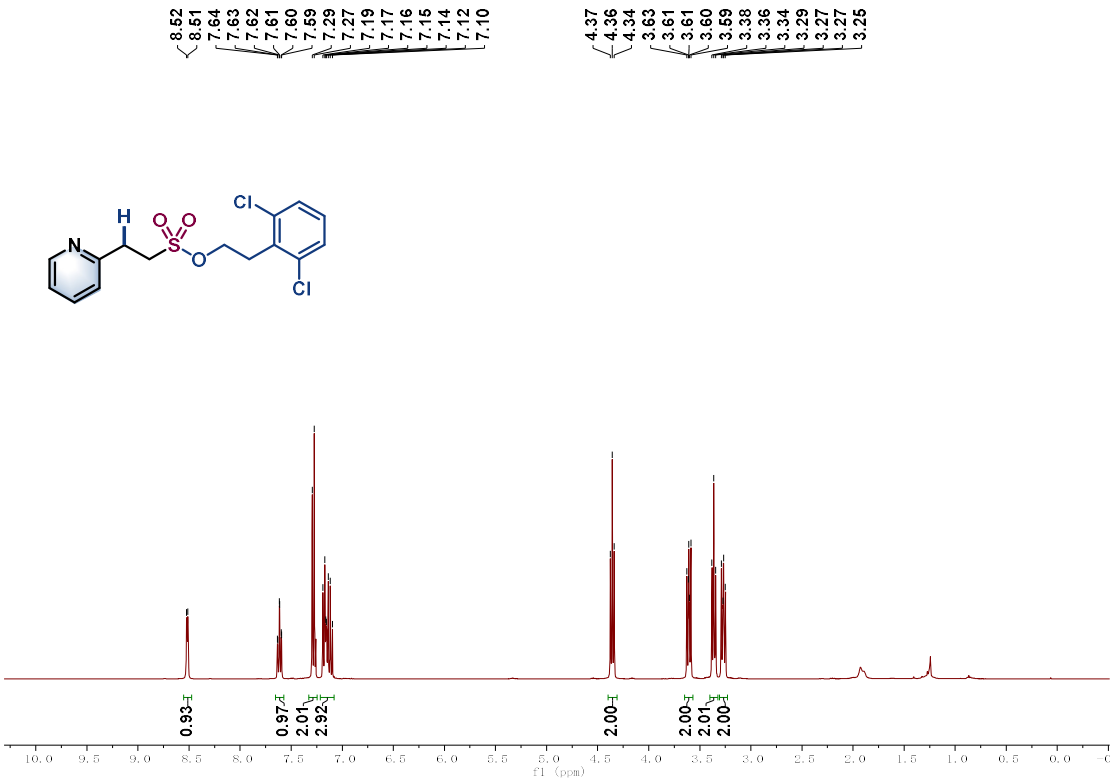
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3m**



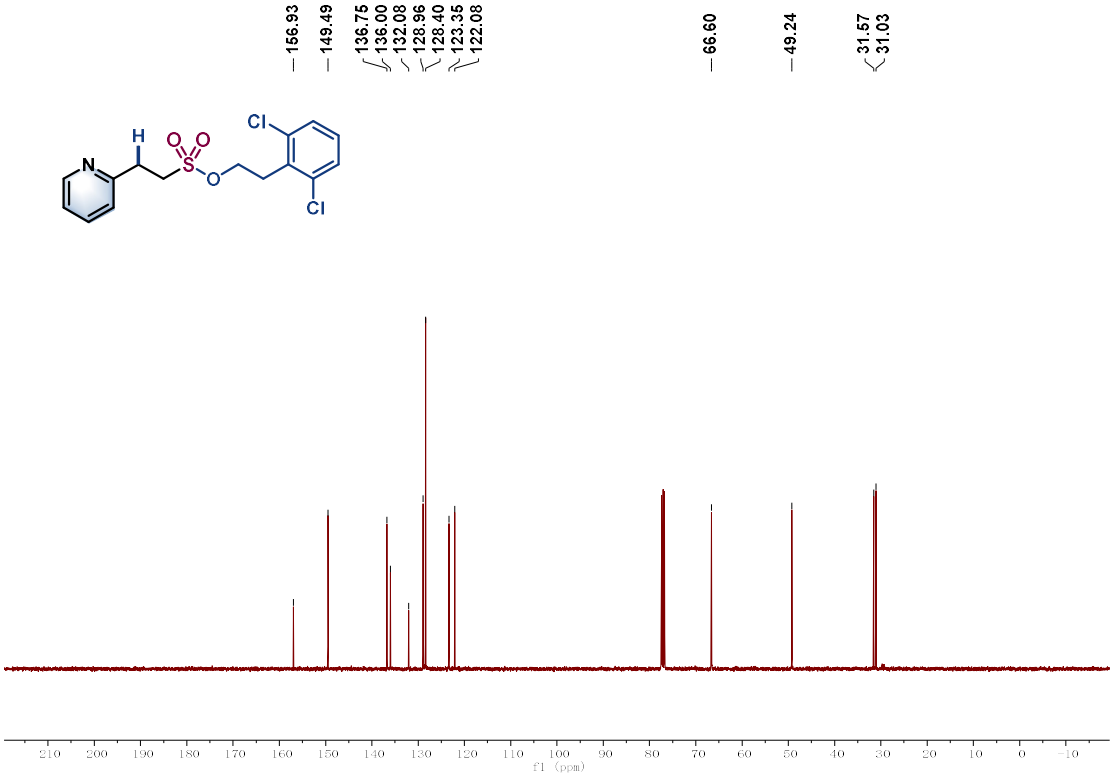
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3m**



^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3n**



^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3n**



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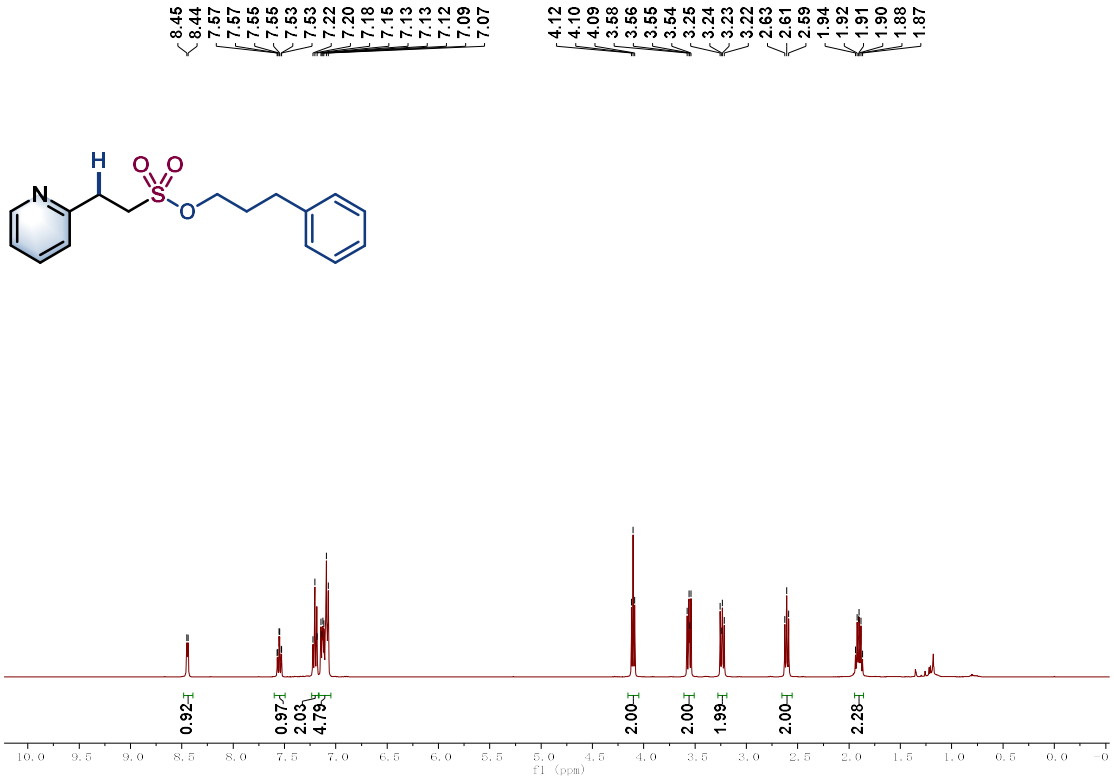

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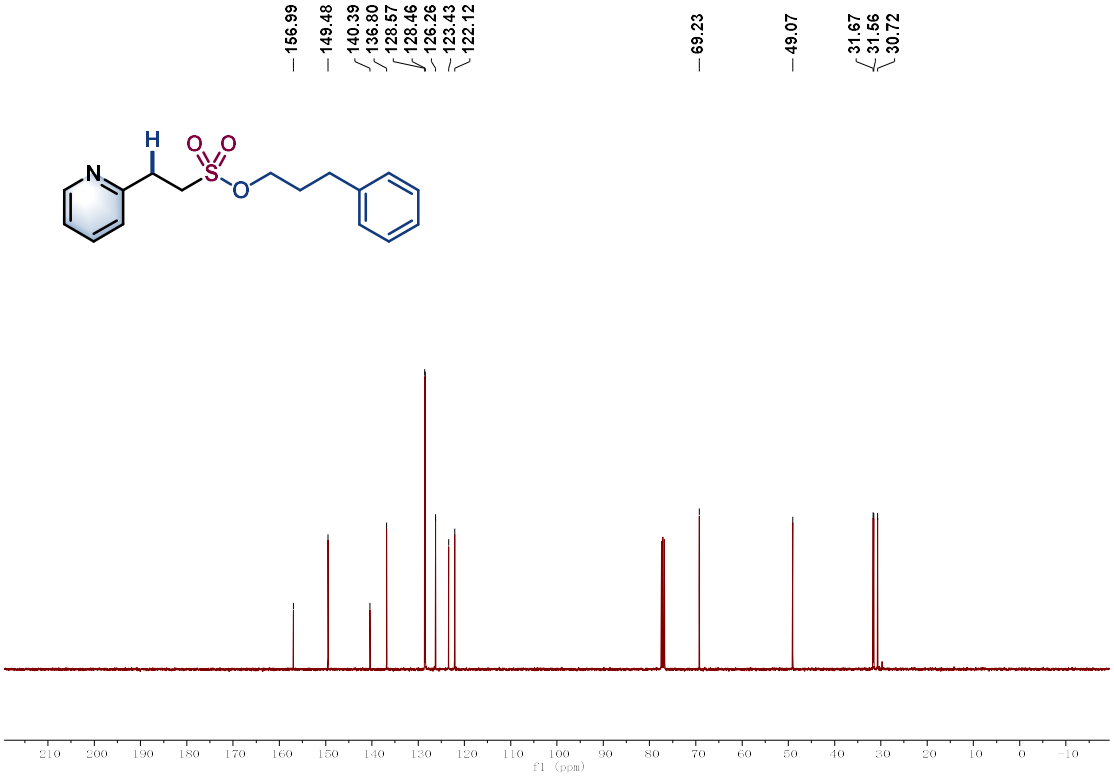
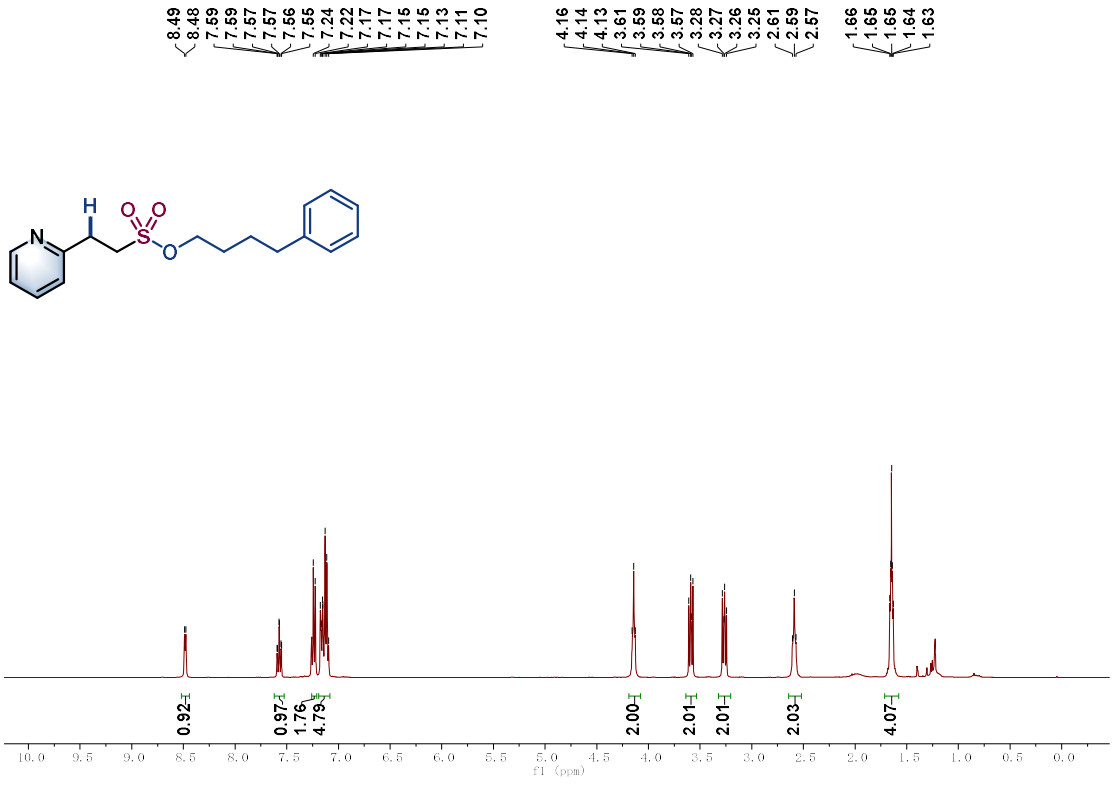


^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3p**



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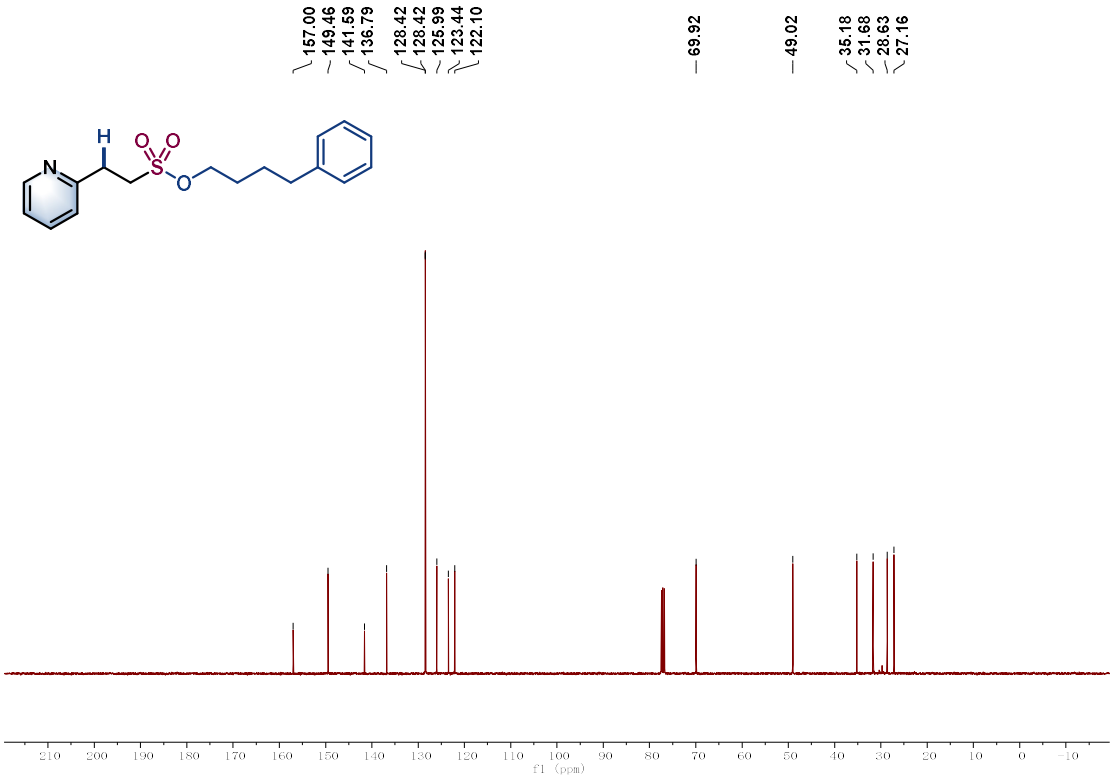
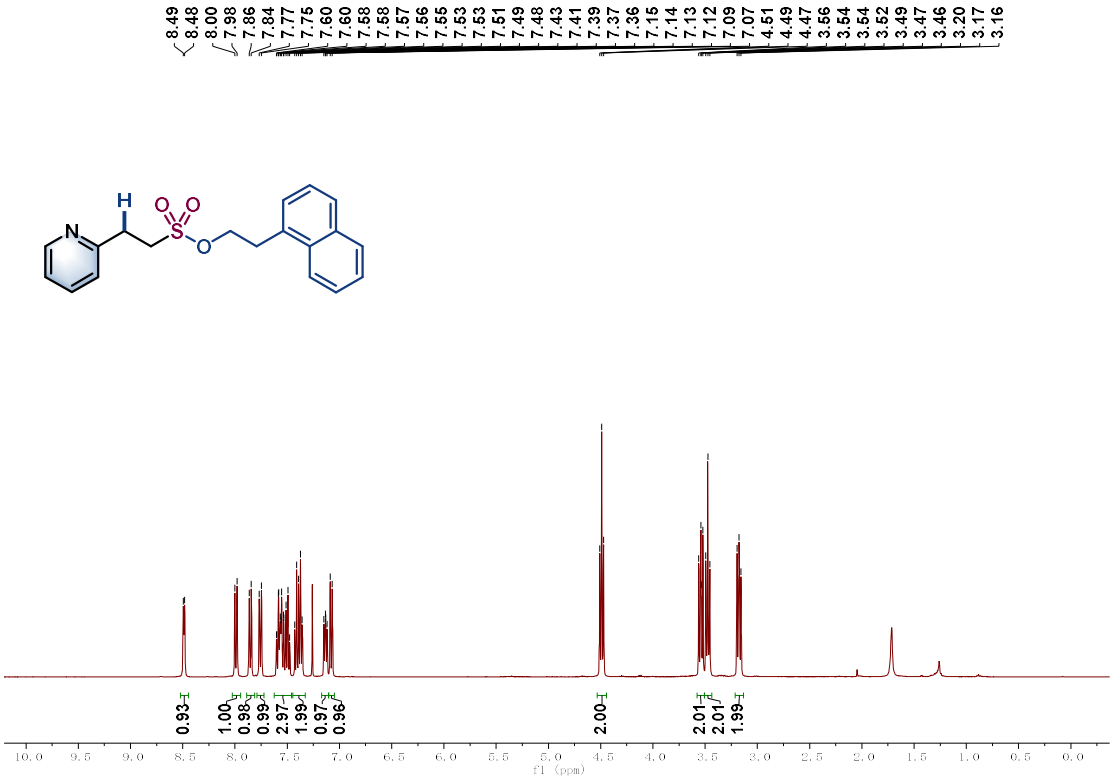


^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3q**



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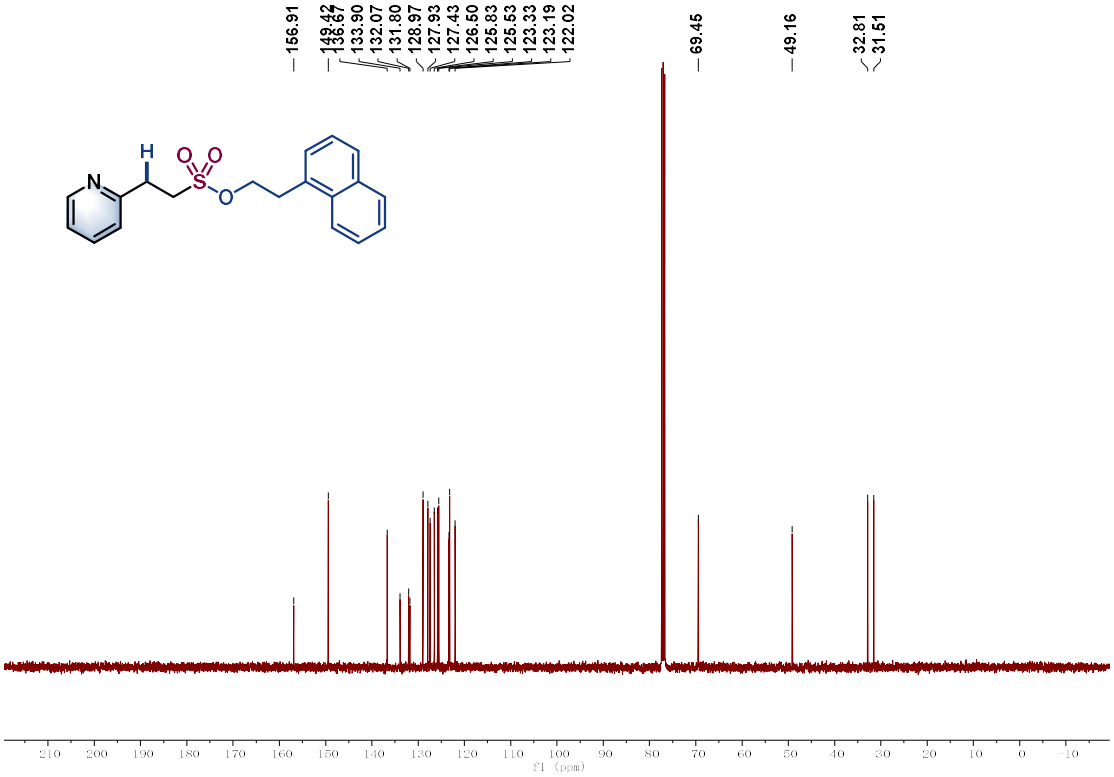
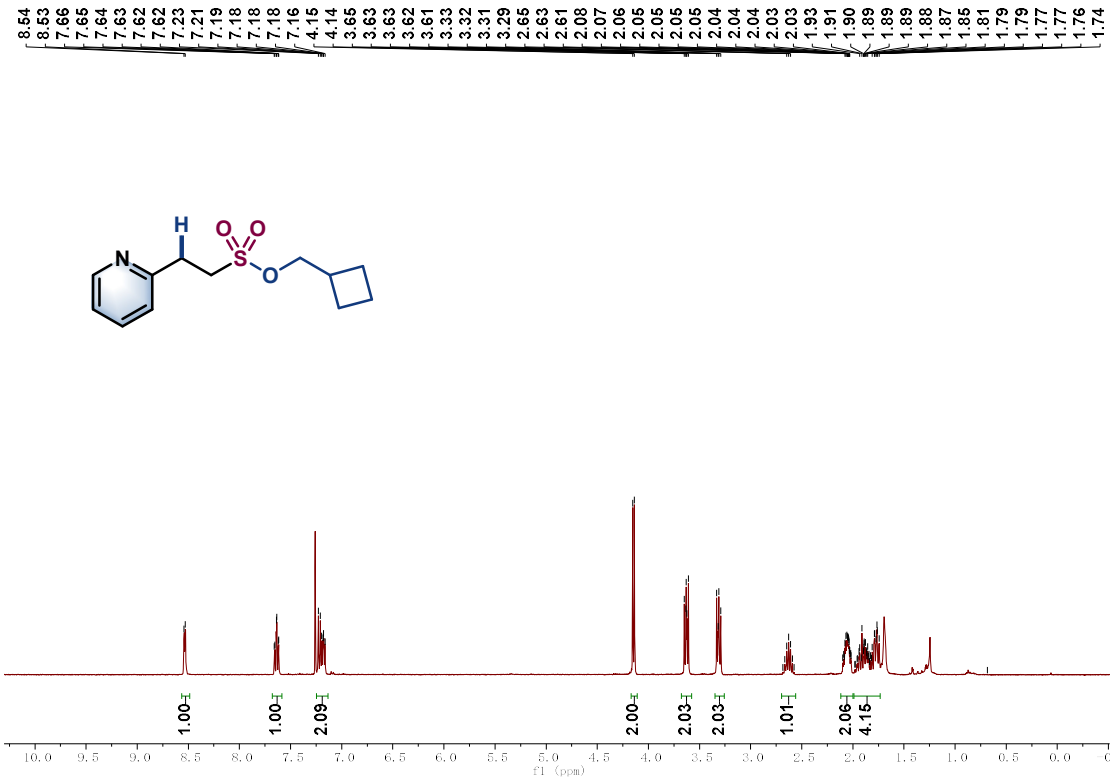
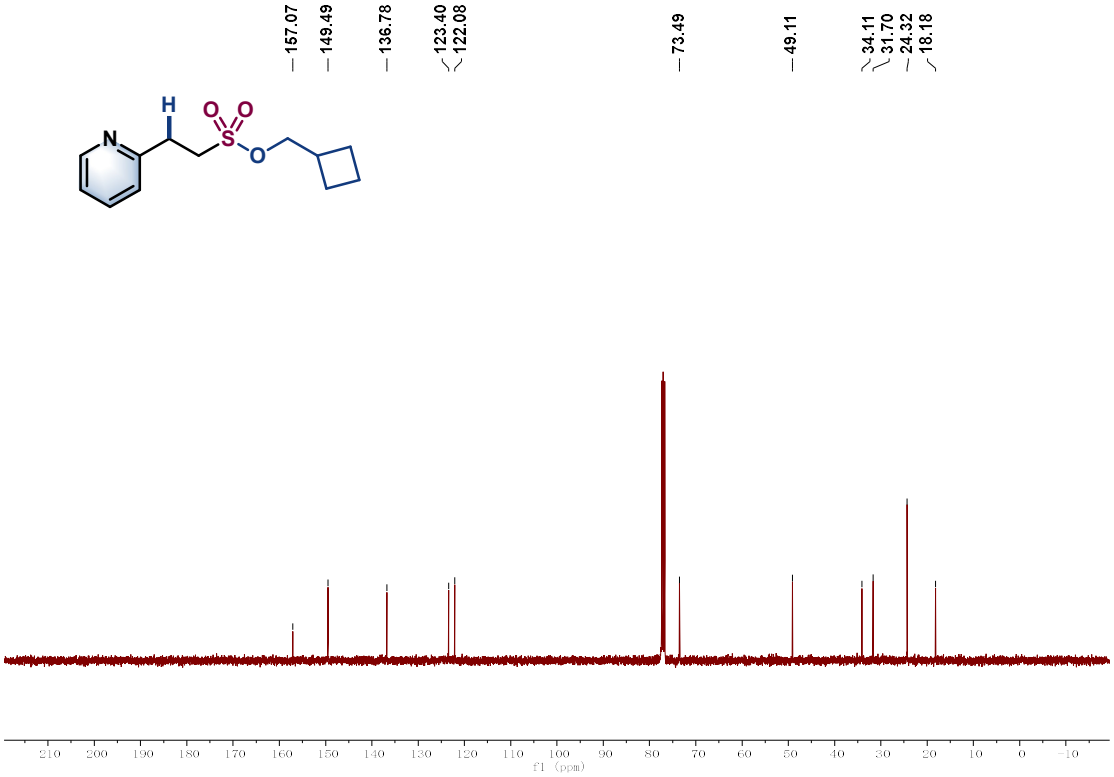


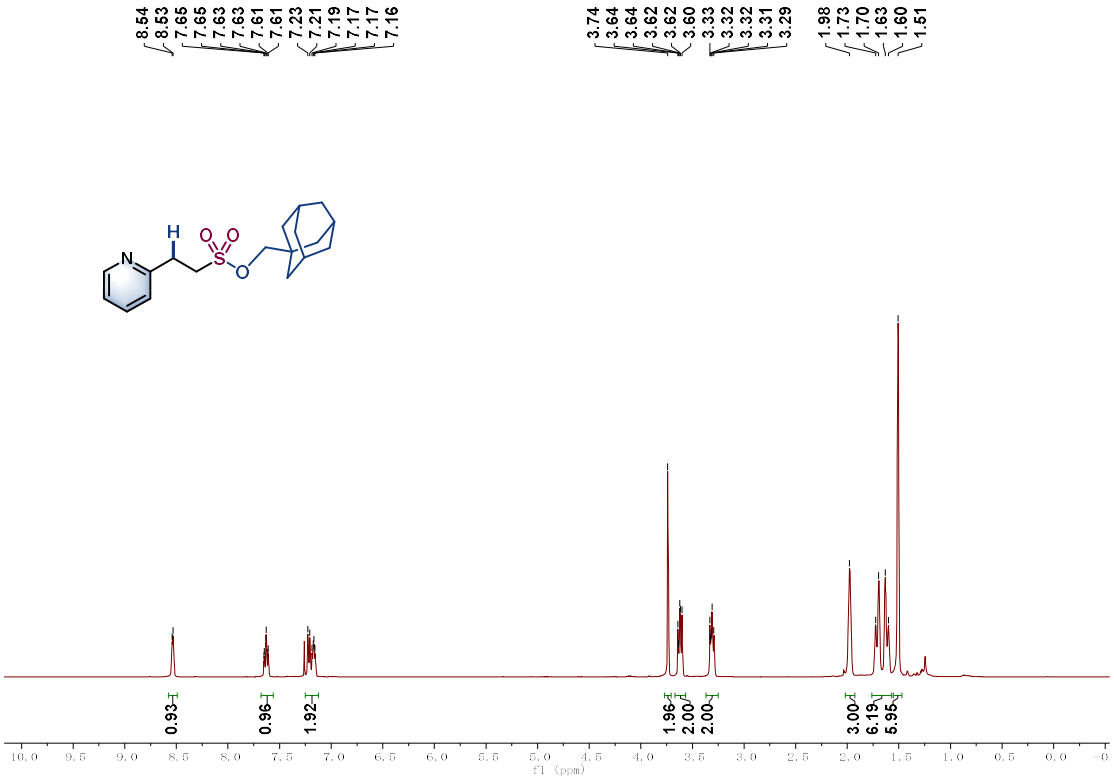
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3r**



^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3r**



^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3s**



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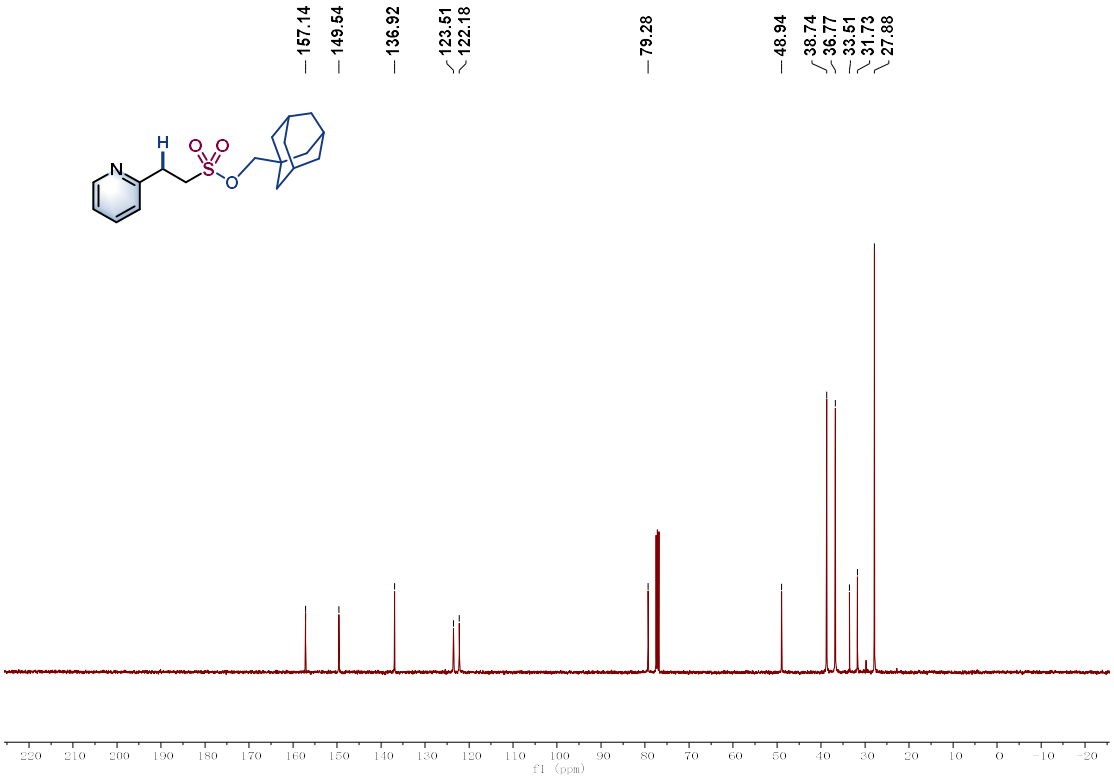
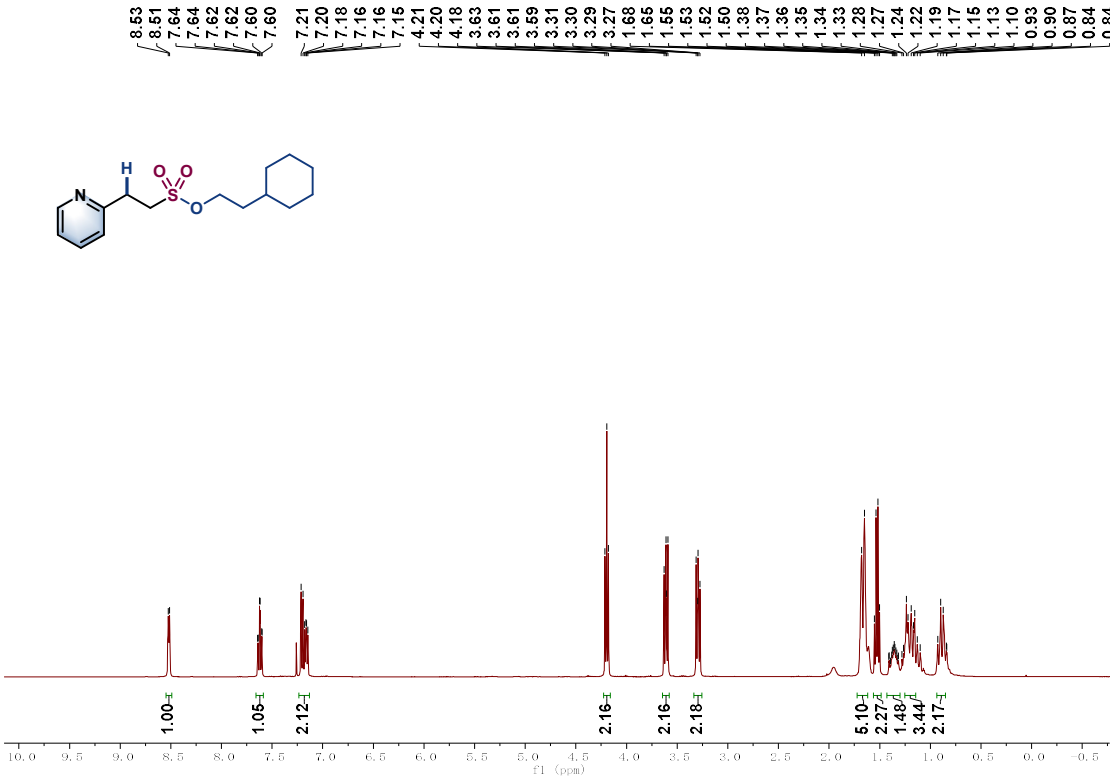
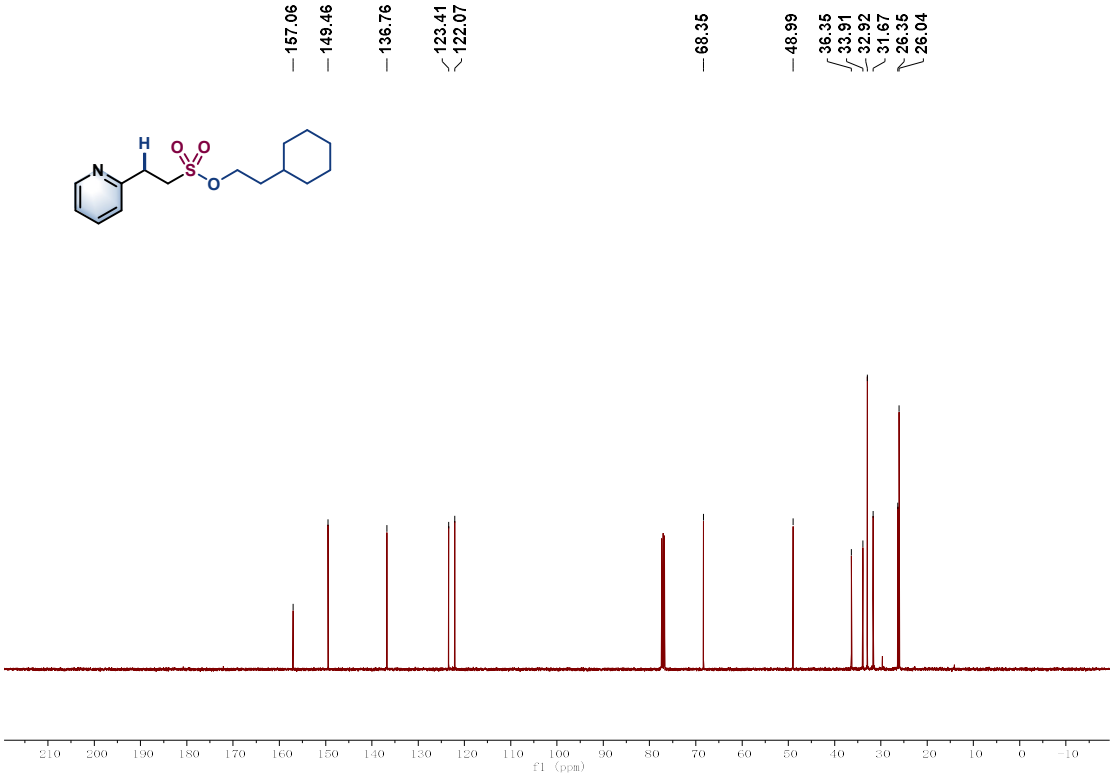


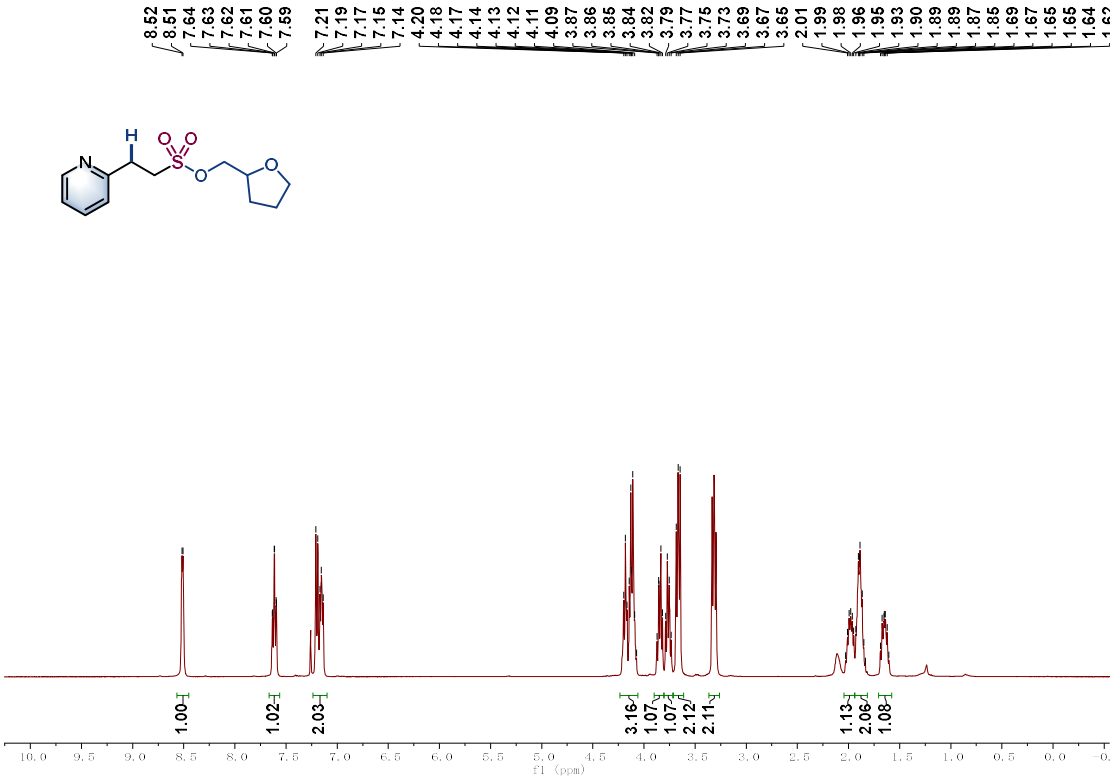
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3t**



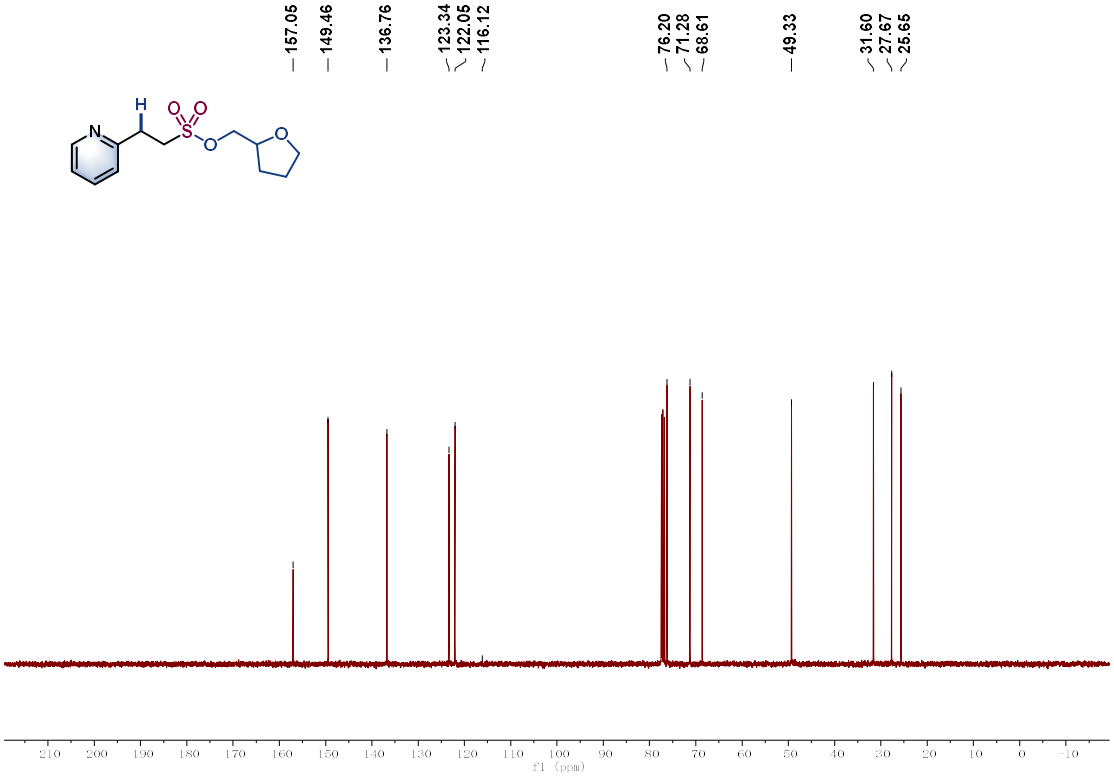
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3t**



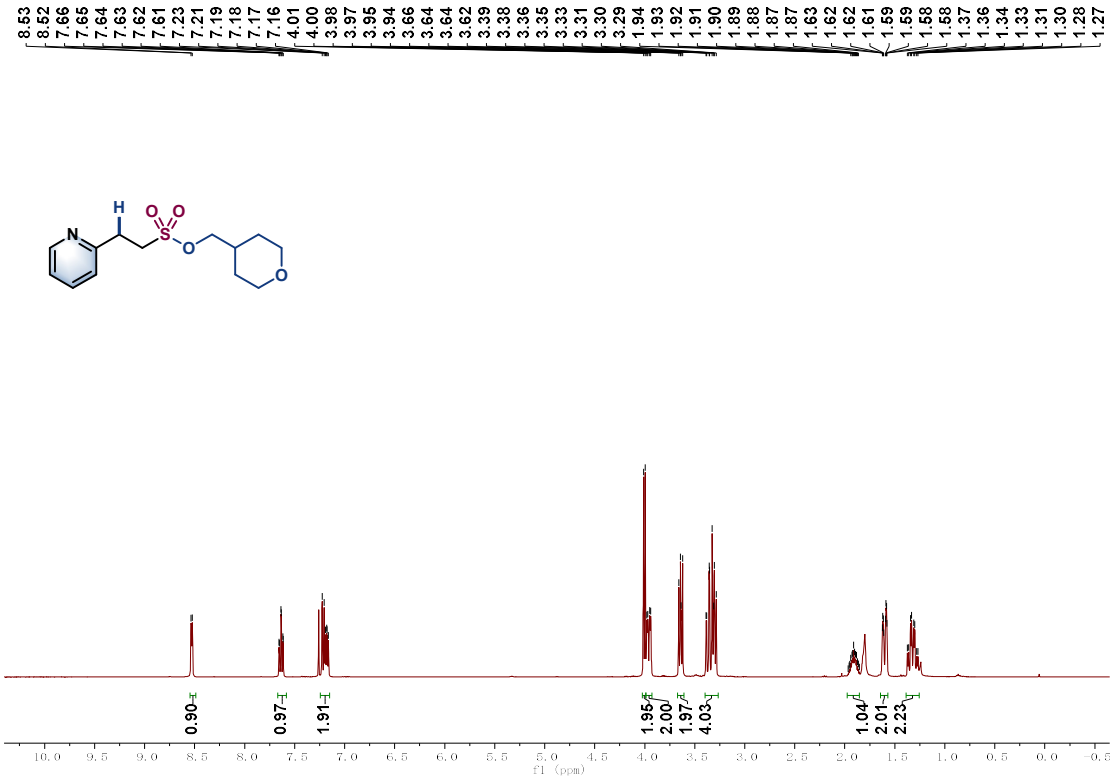
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3u**



^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3u**



^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3v**



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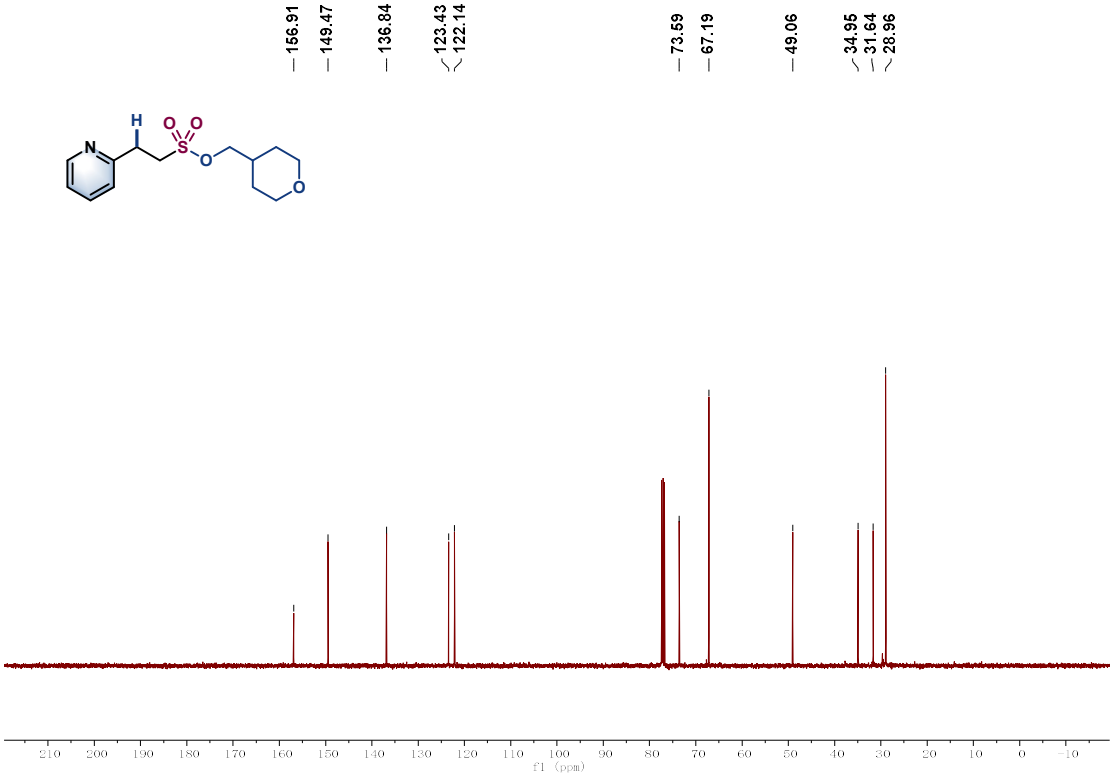
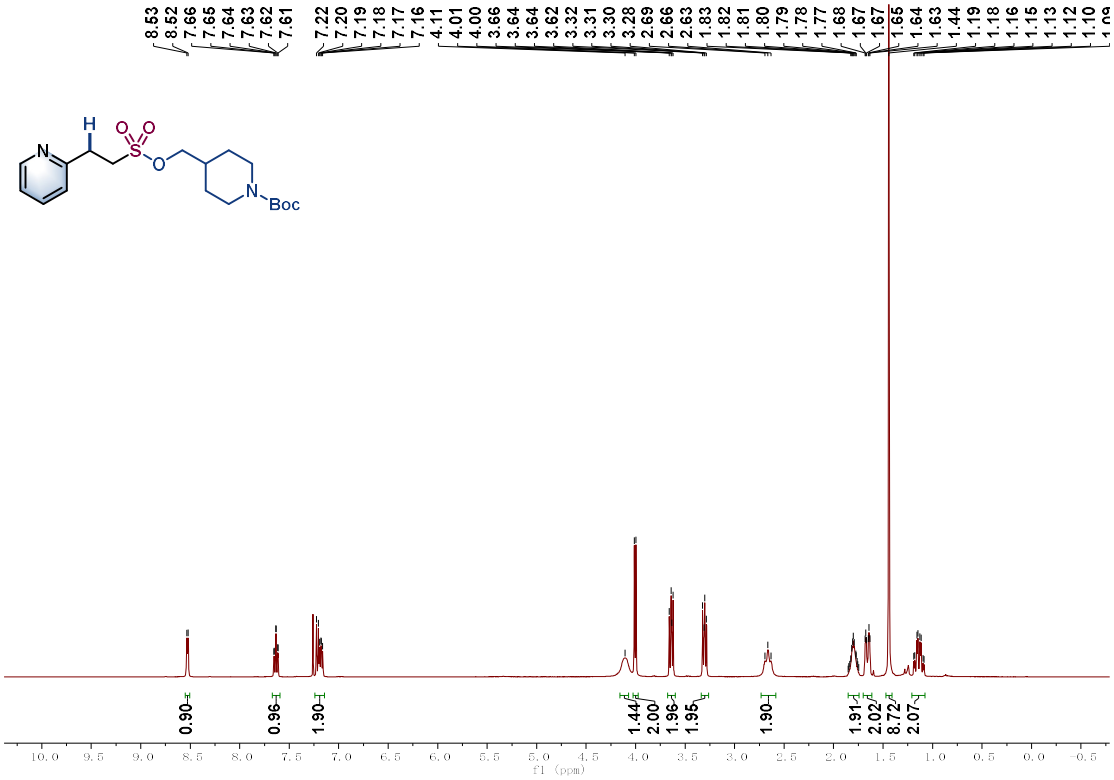
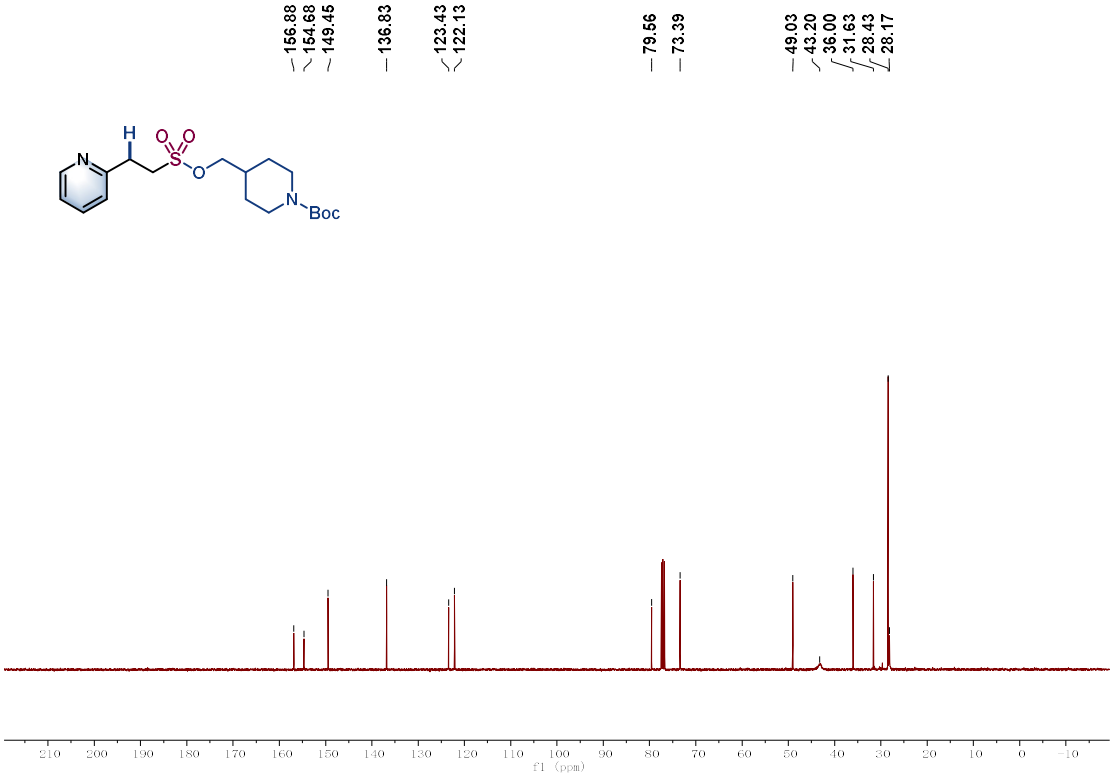


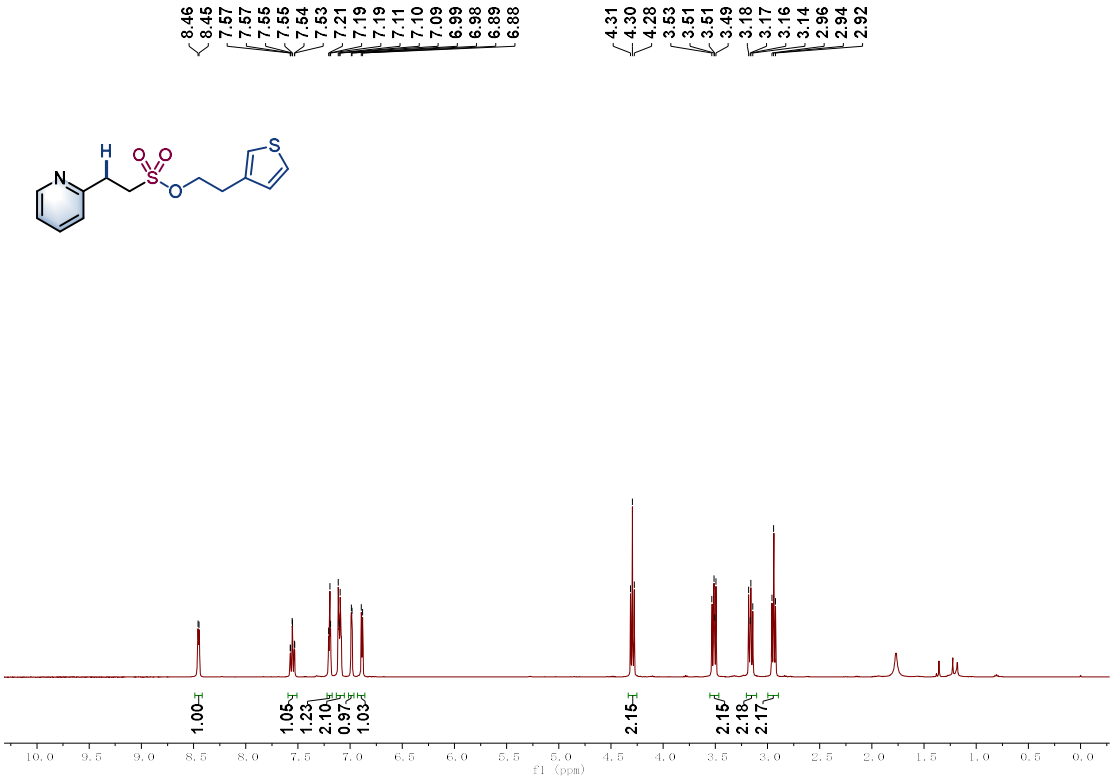
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3w**



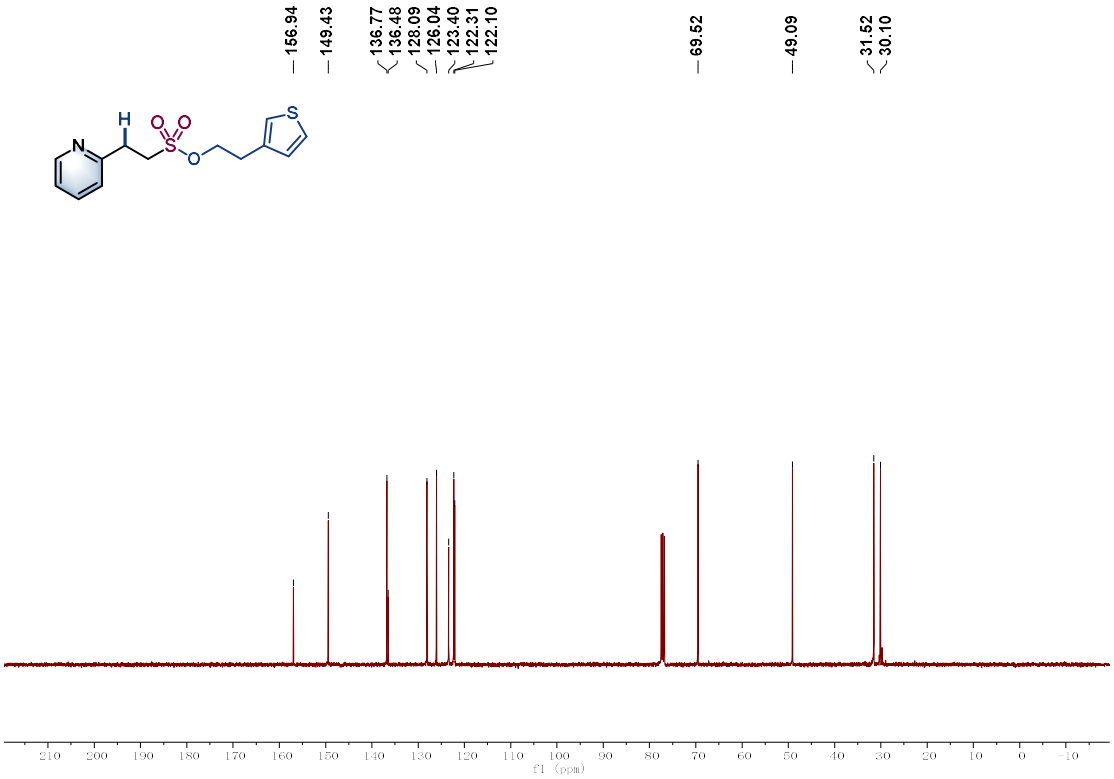
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3w**



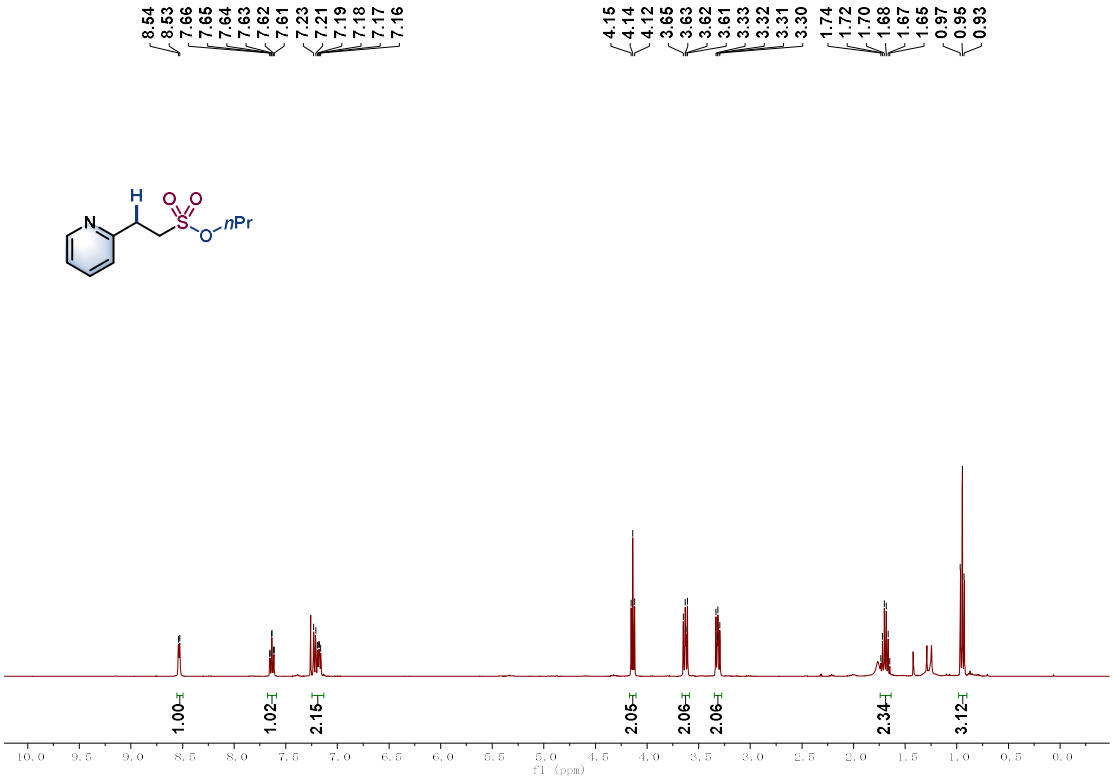
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3x**



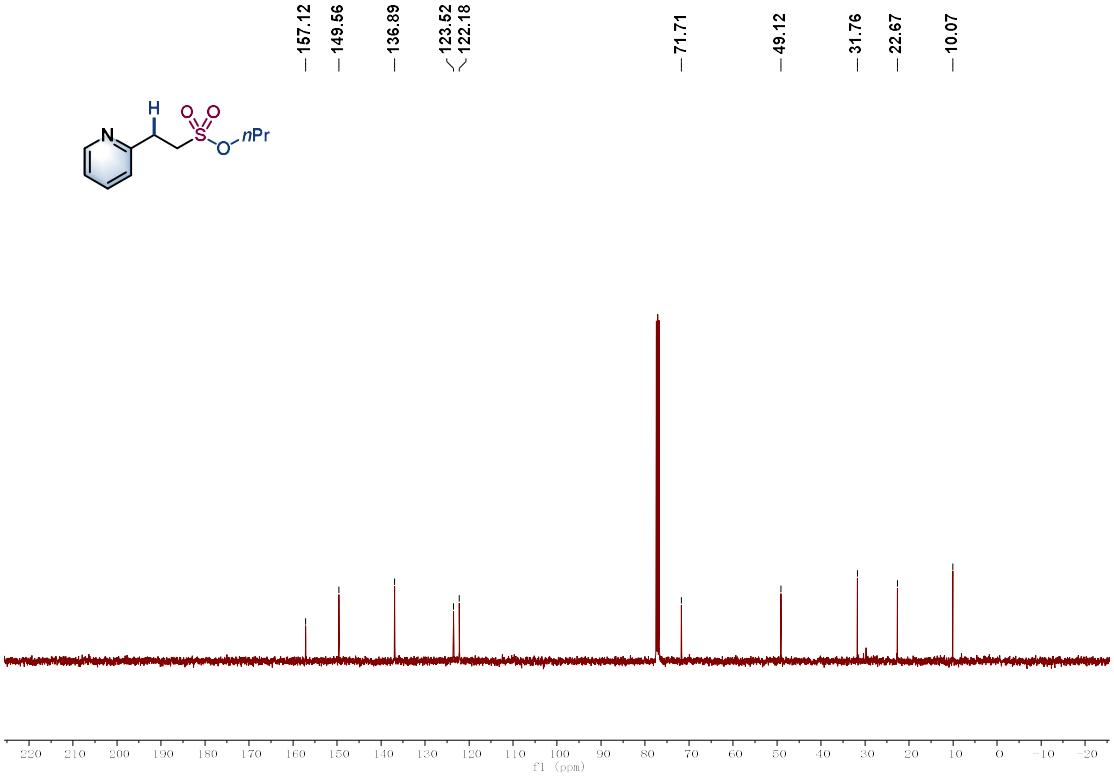
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3x**



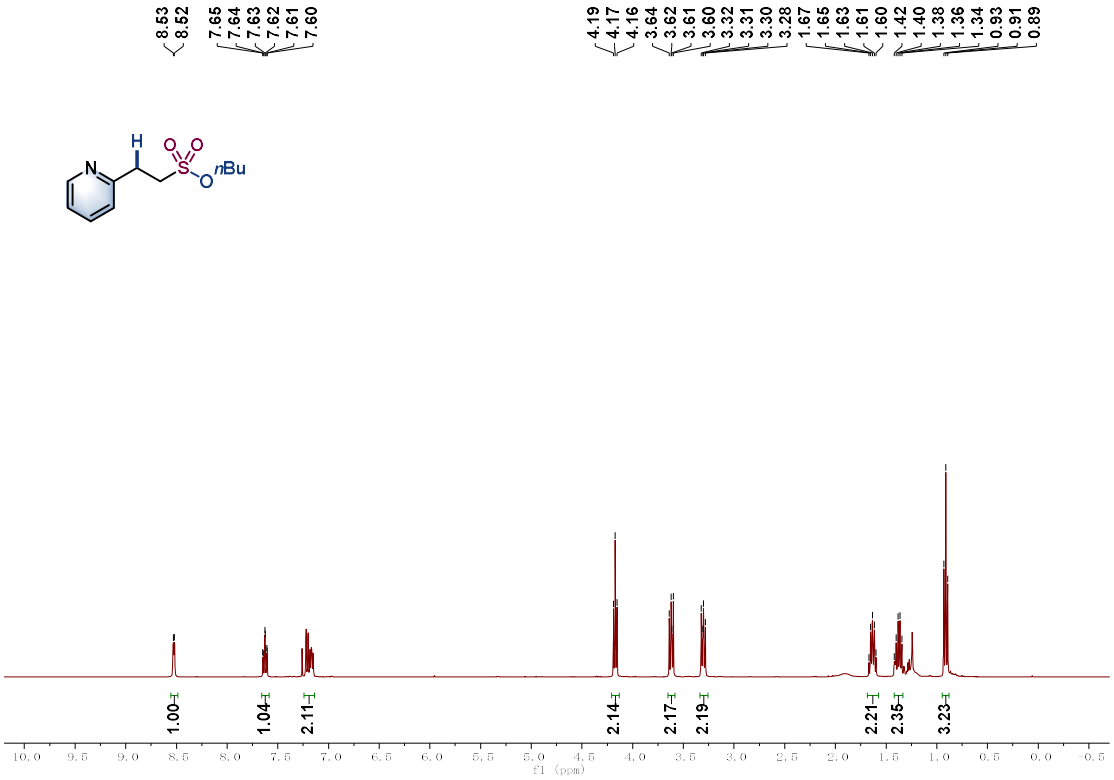
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3y**



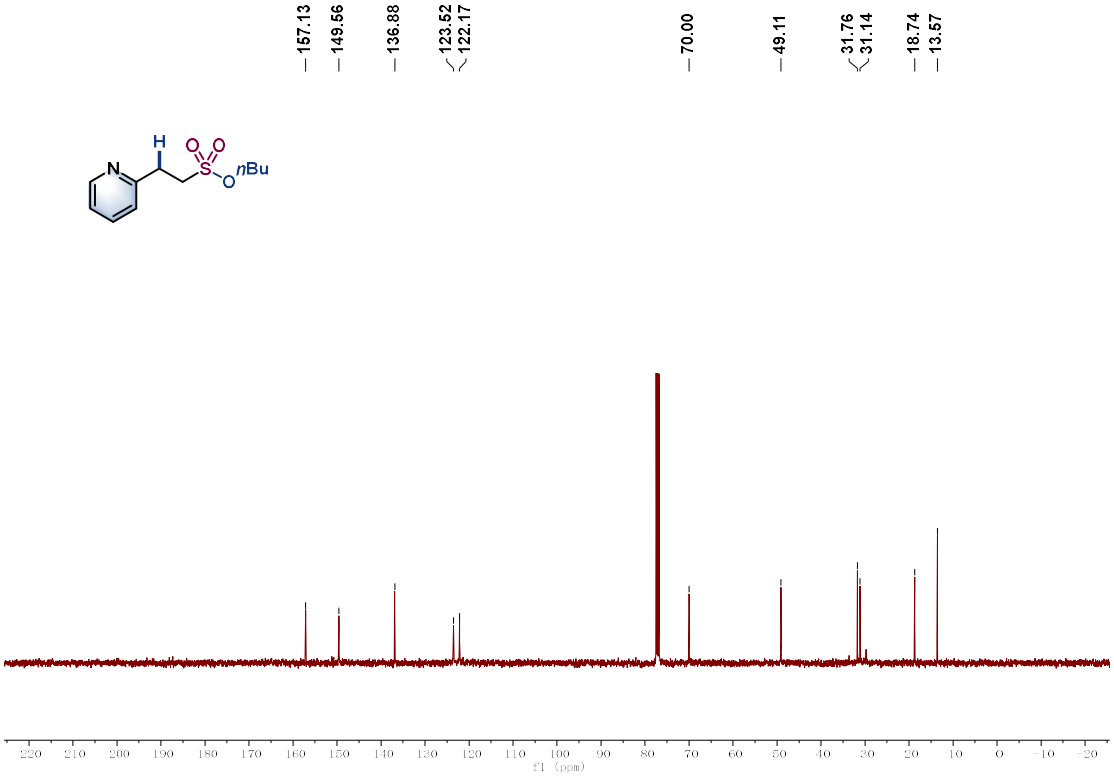
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3y**



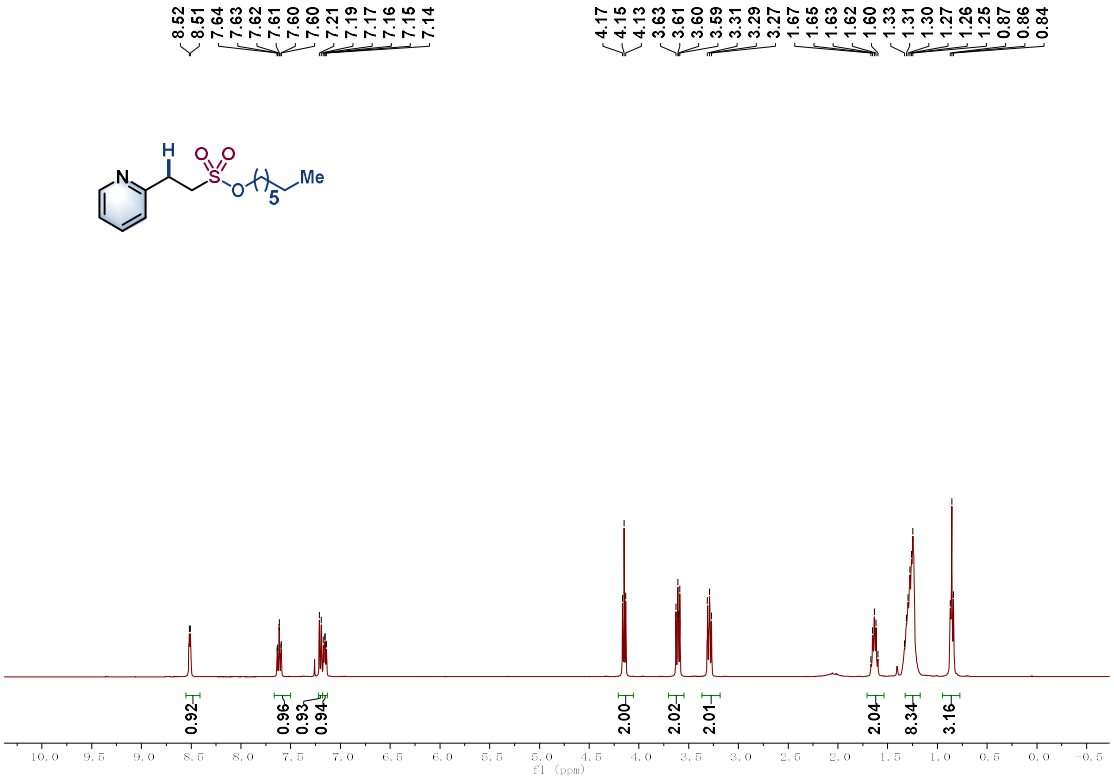
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **3z**



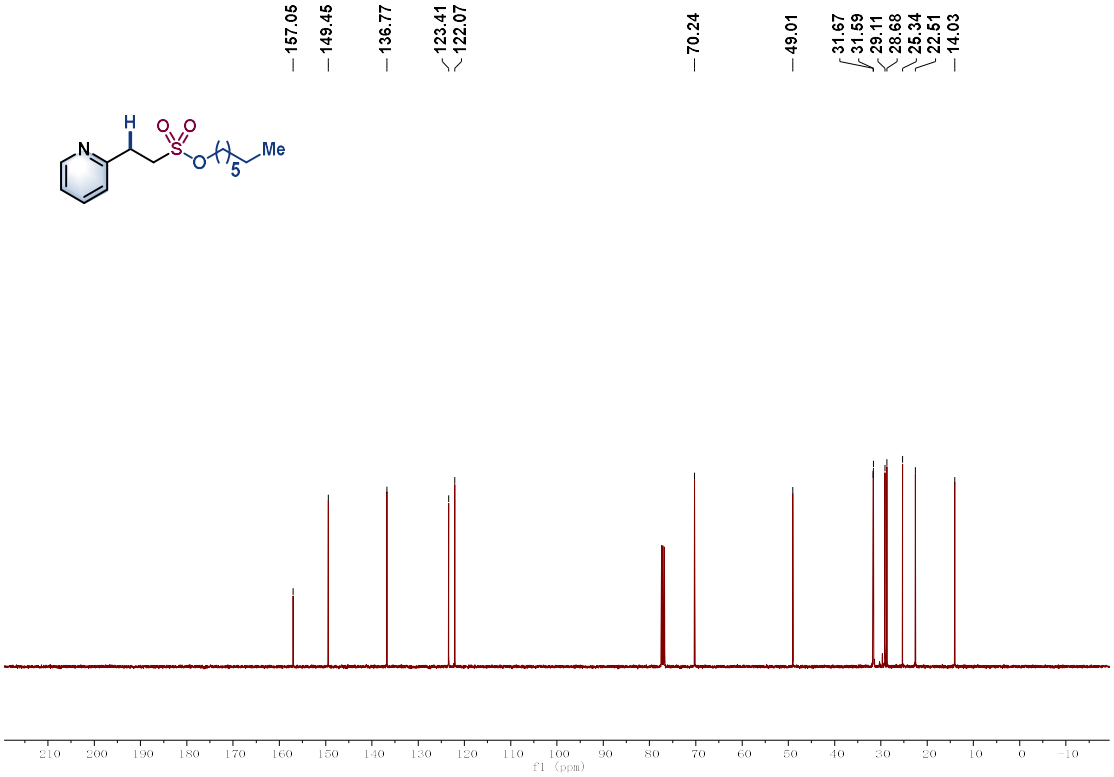
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3z**



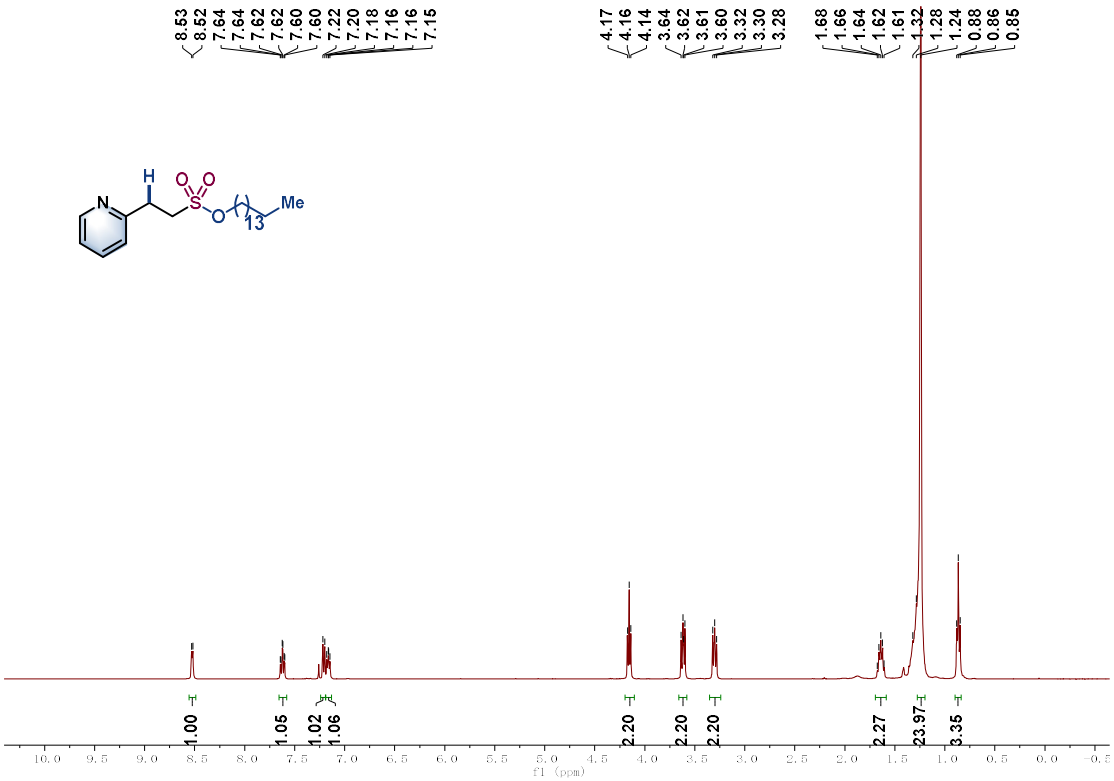
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **4a**



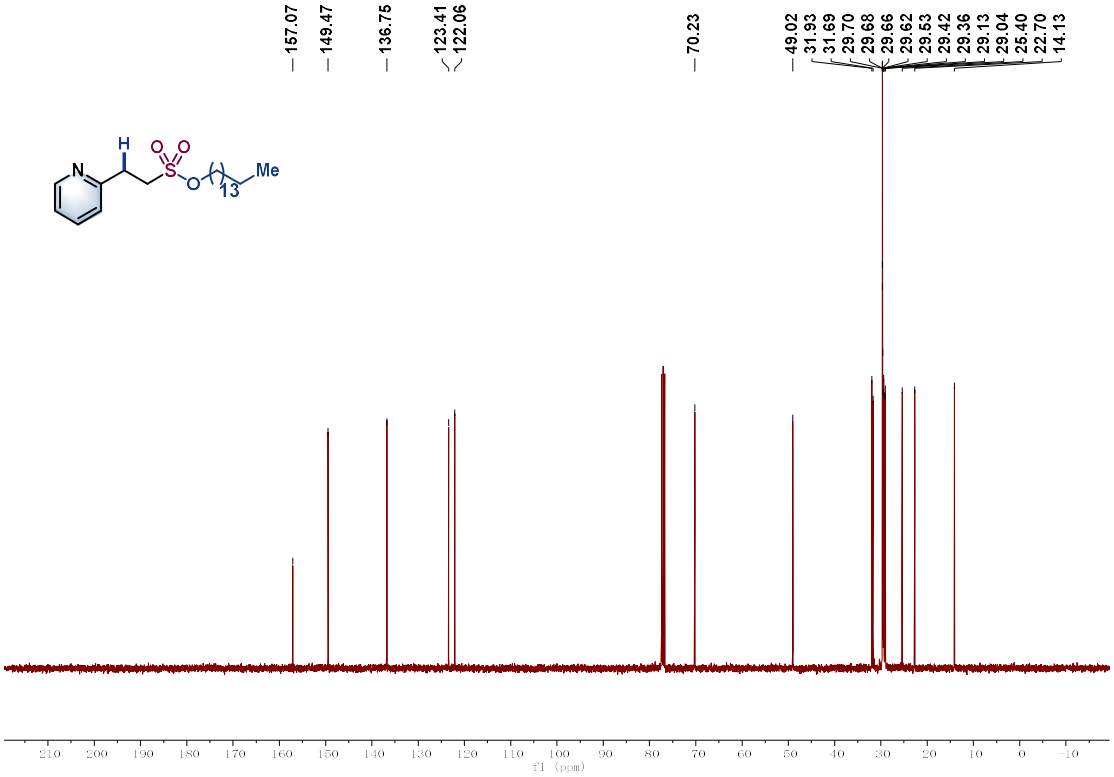
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4a**



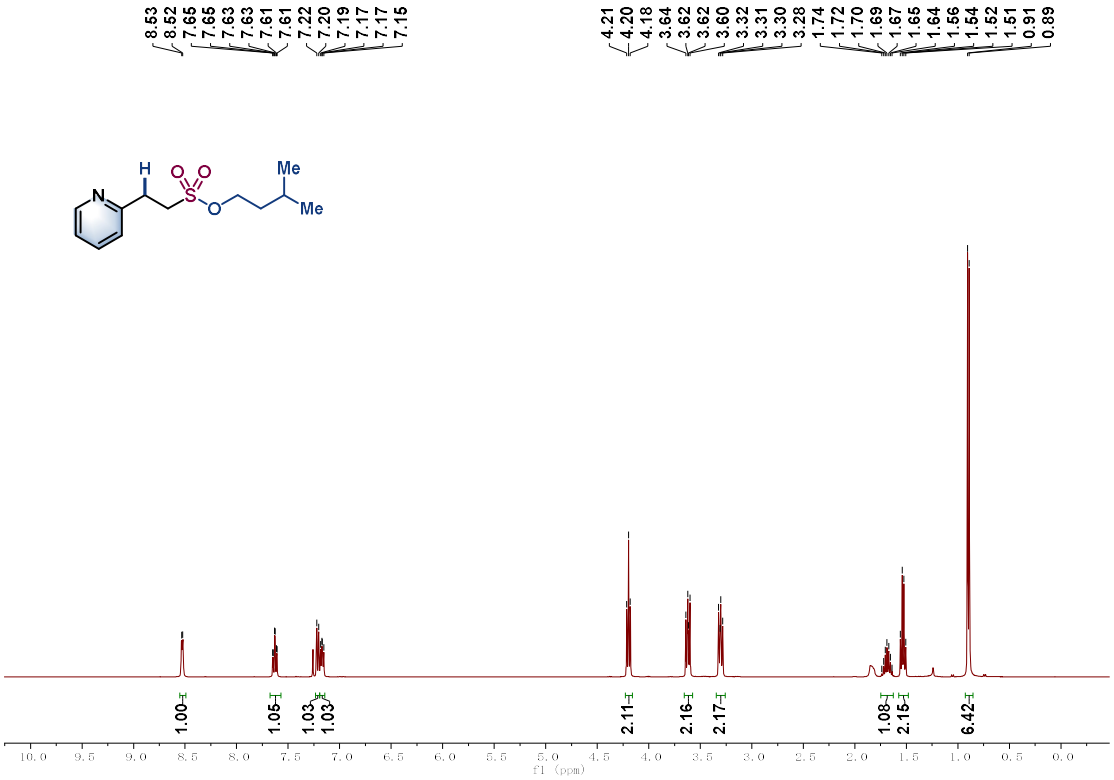
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **4b**



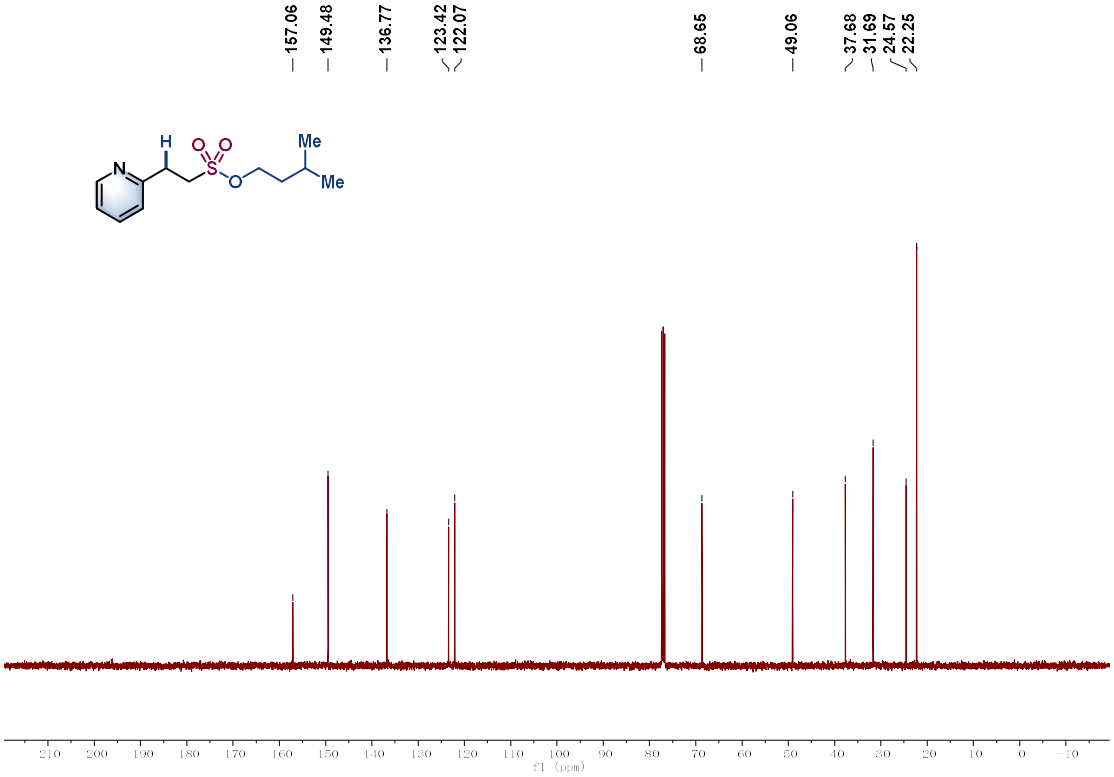
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4b**



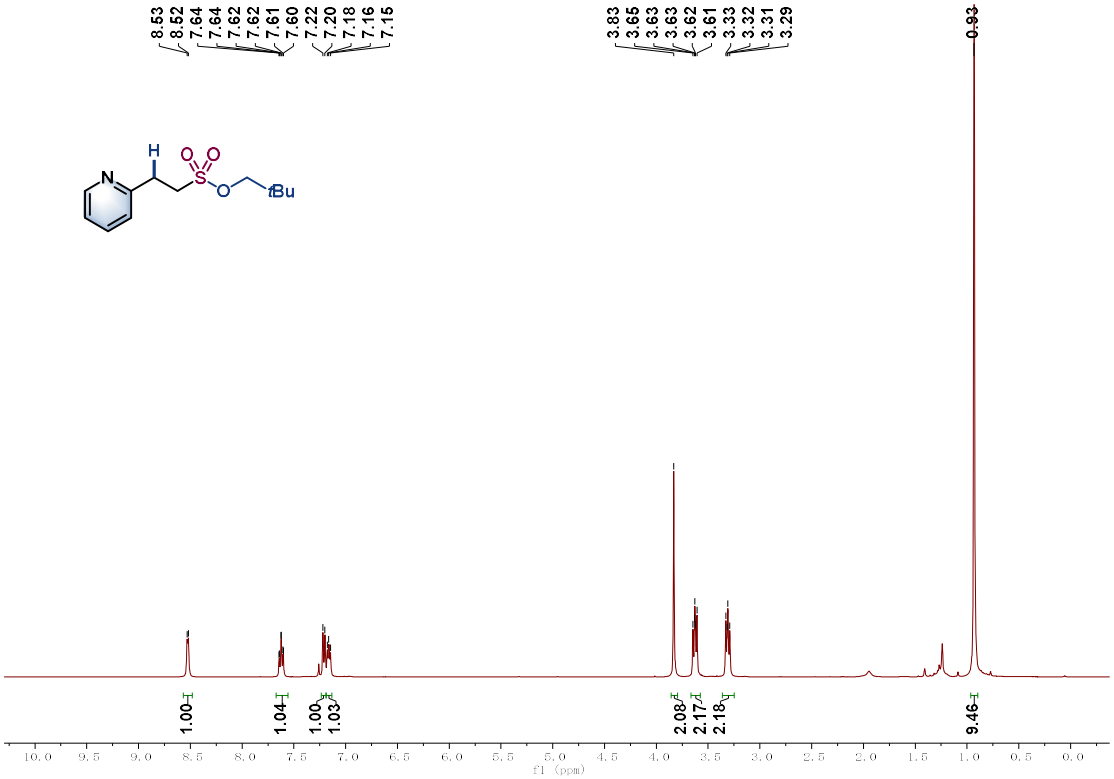
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **4c**



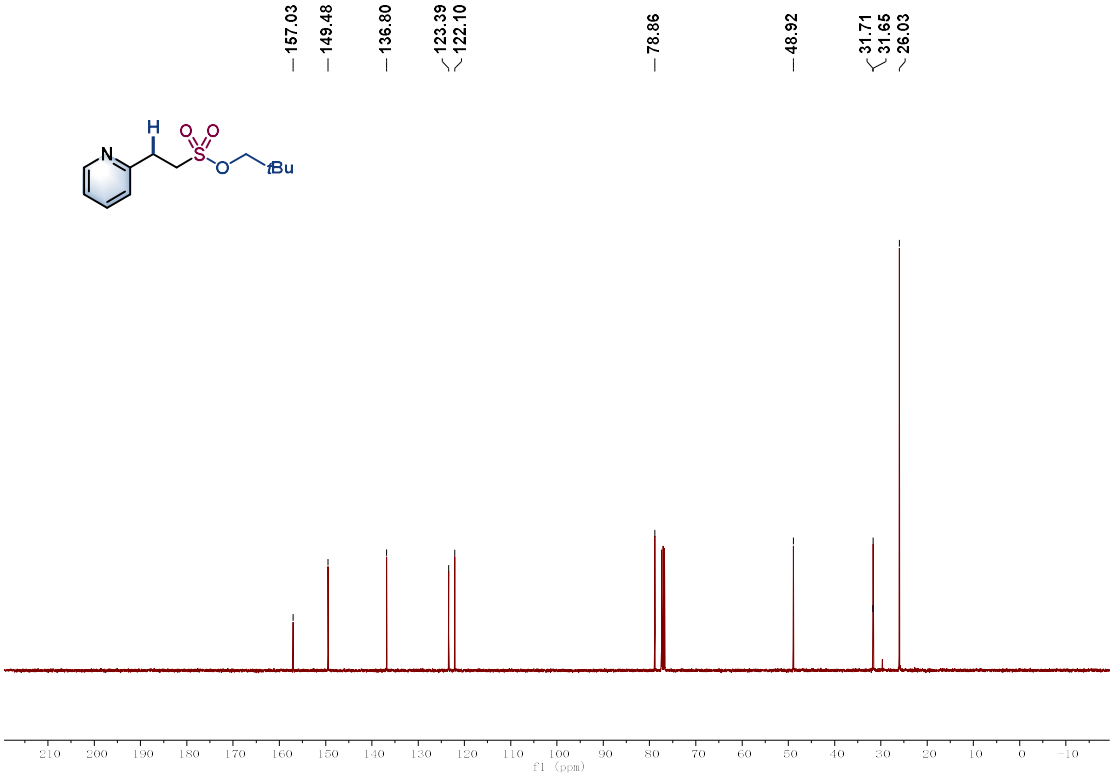
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4c**



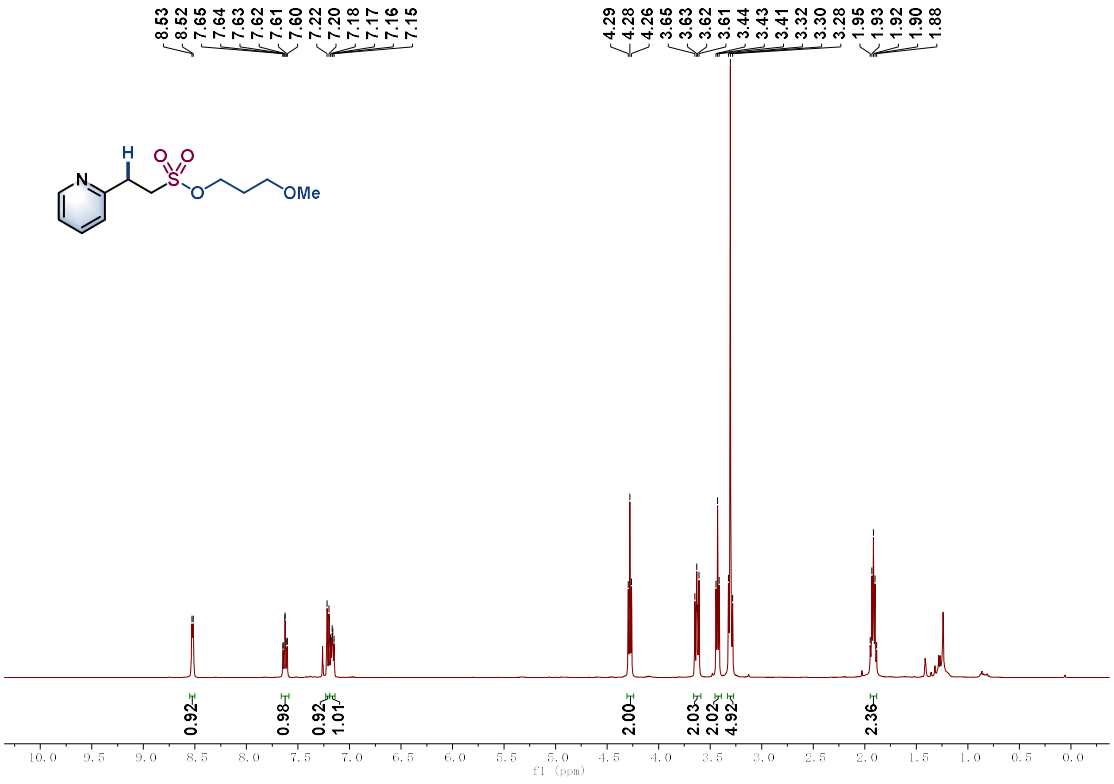
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **4d**



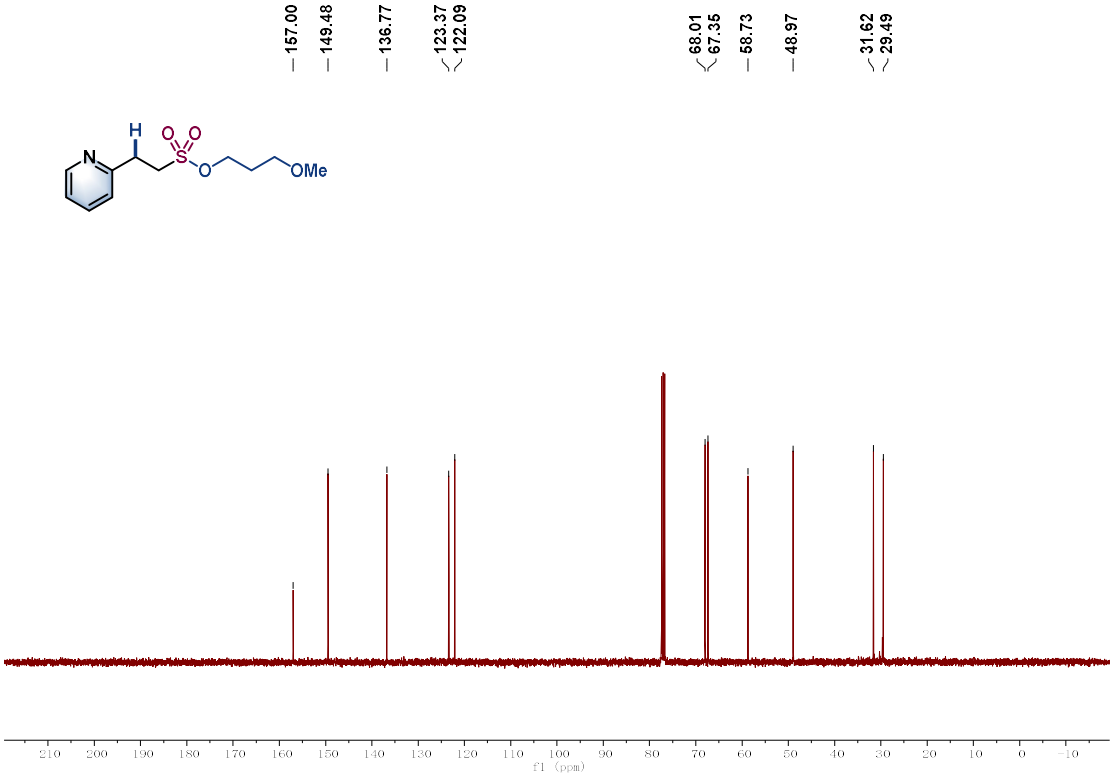
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4d**



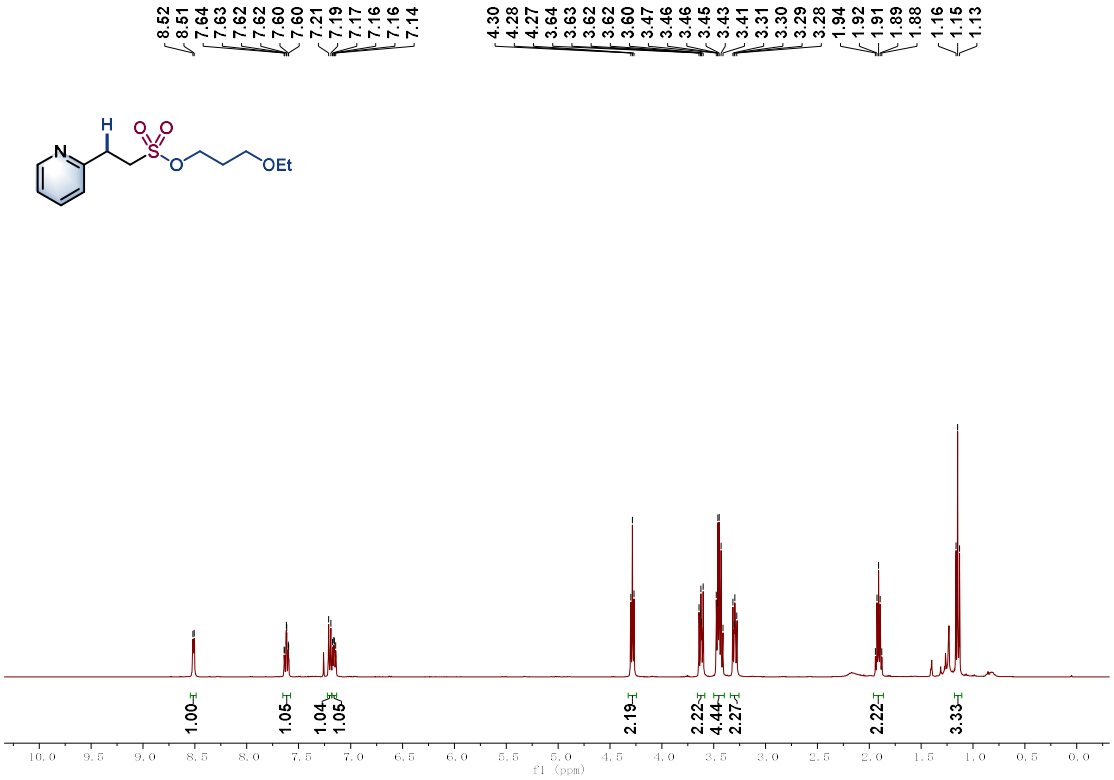
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **4e**



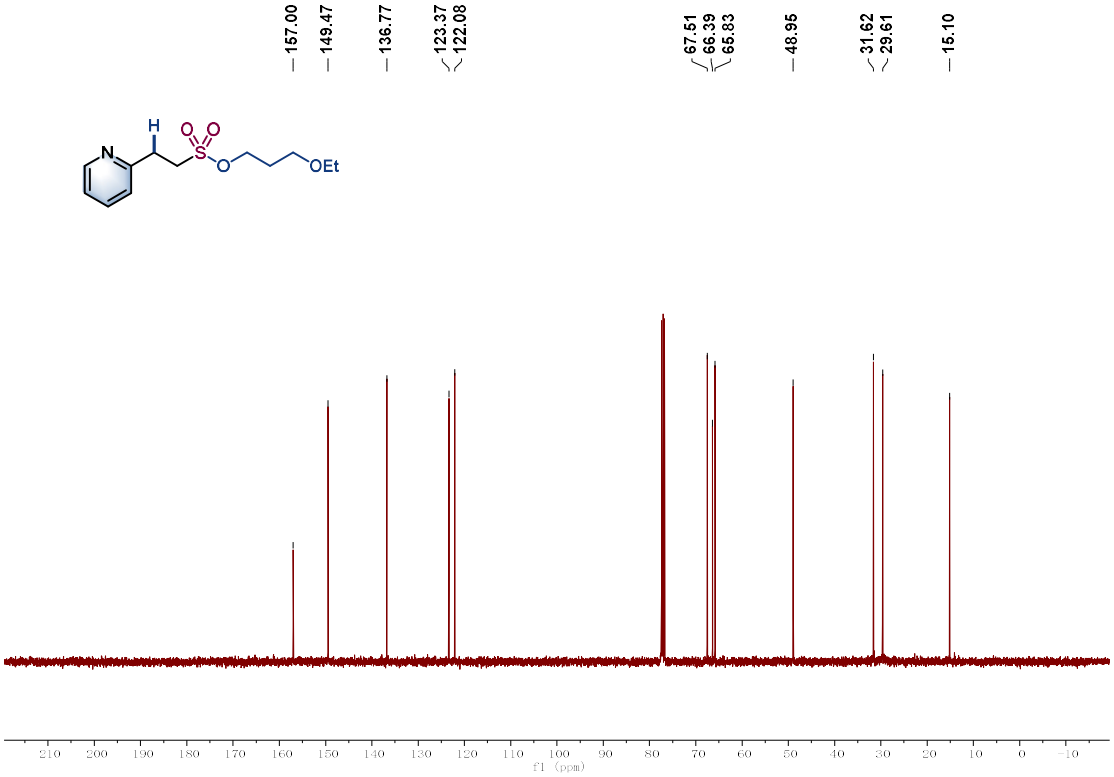
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4e**



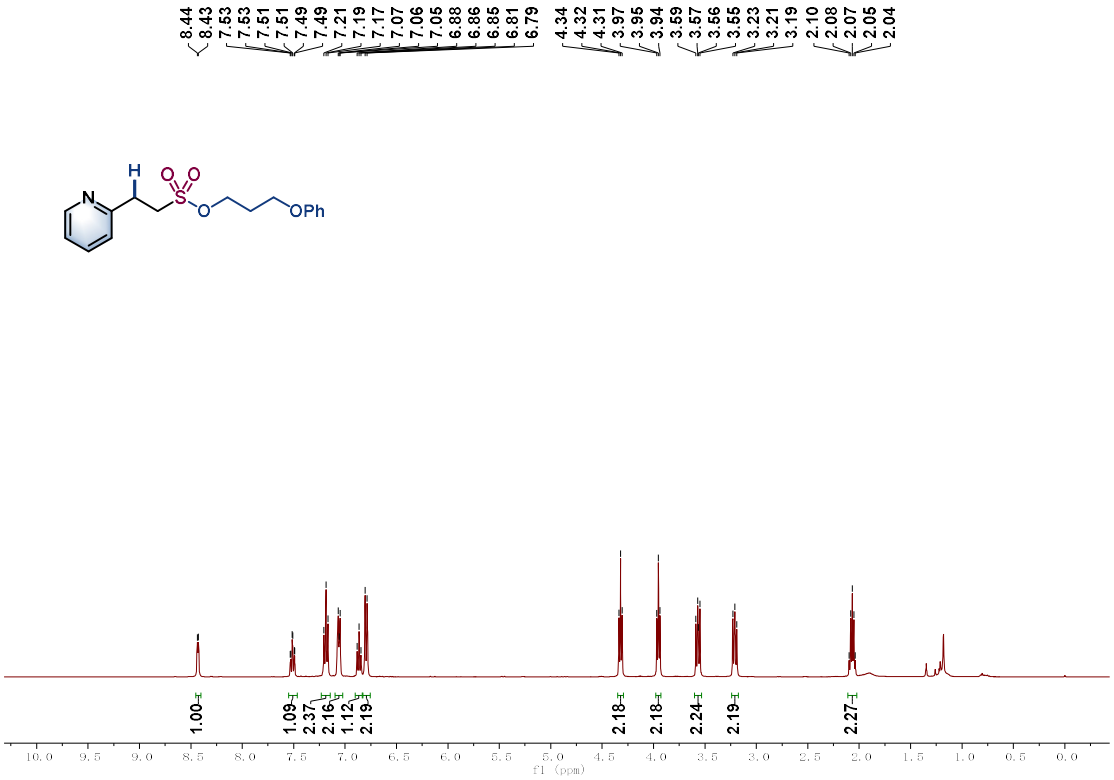
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **4f**



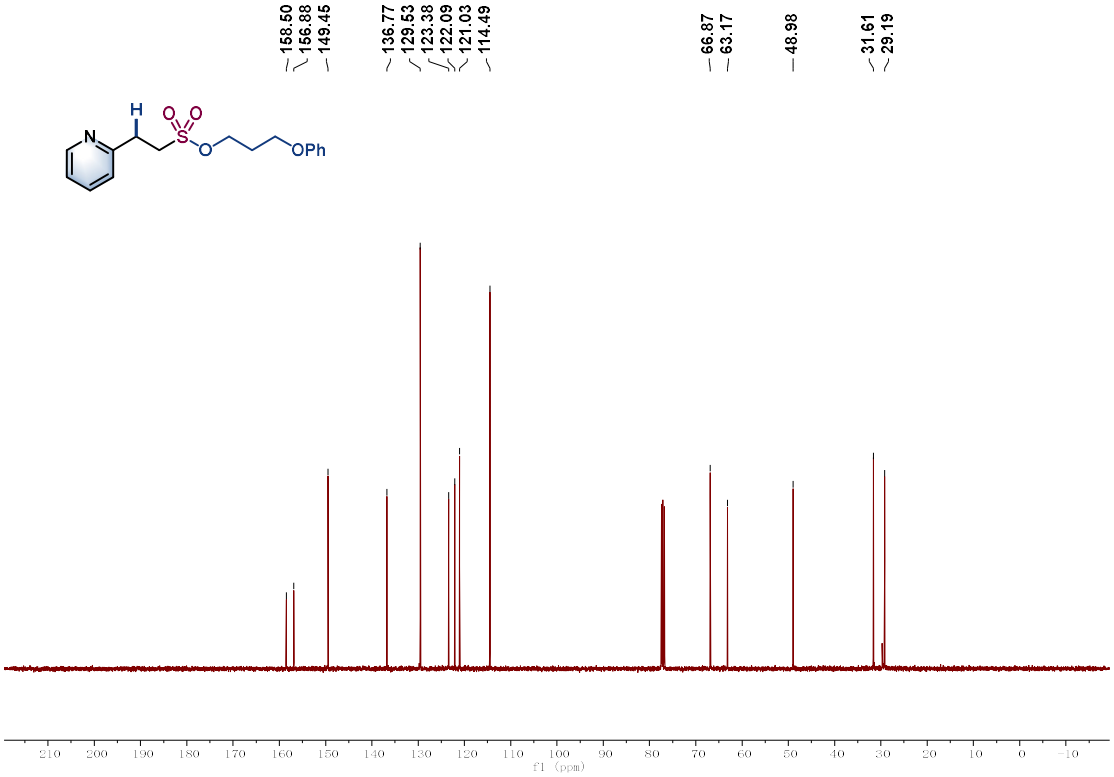
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4f**



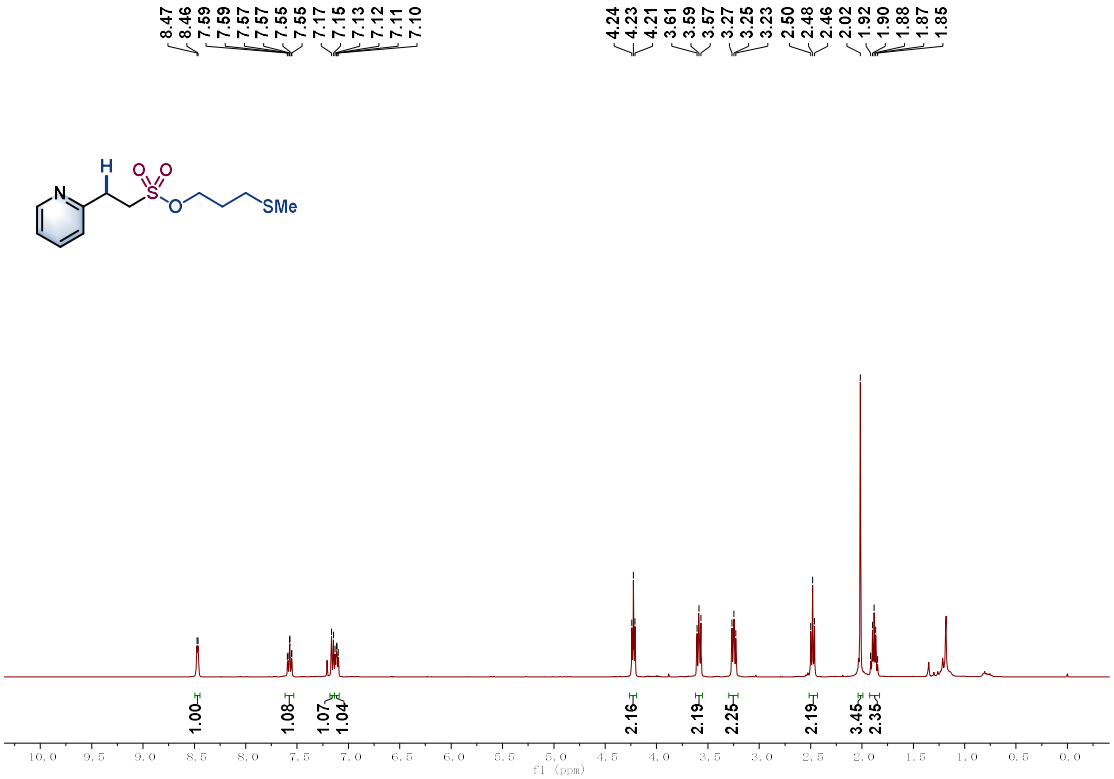
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **4g**



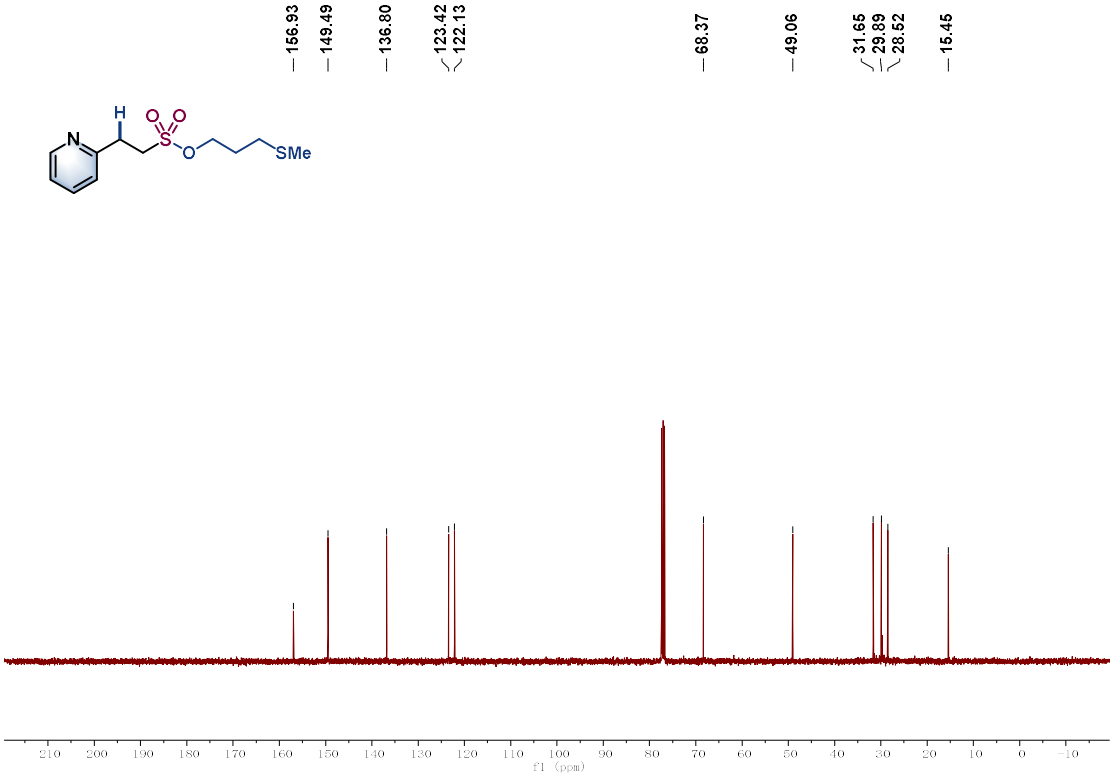
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4g**



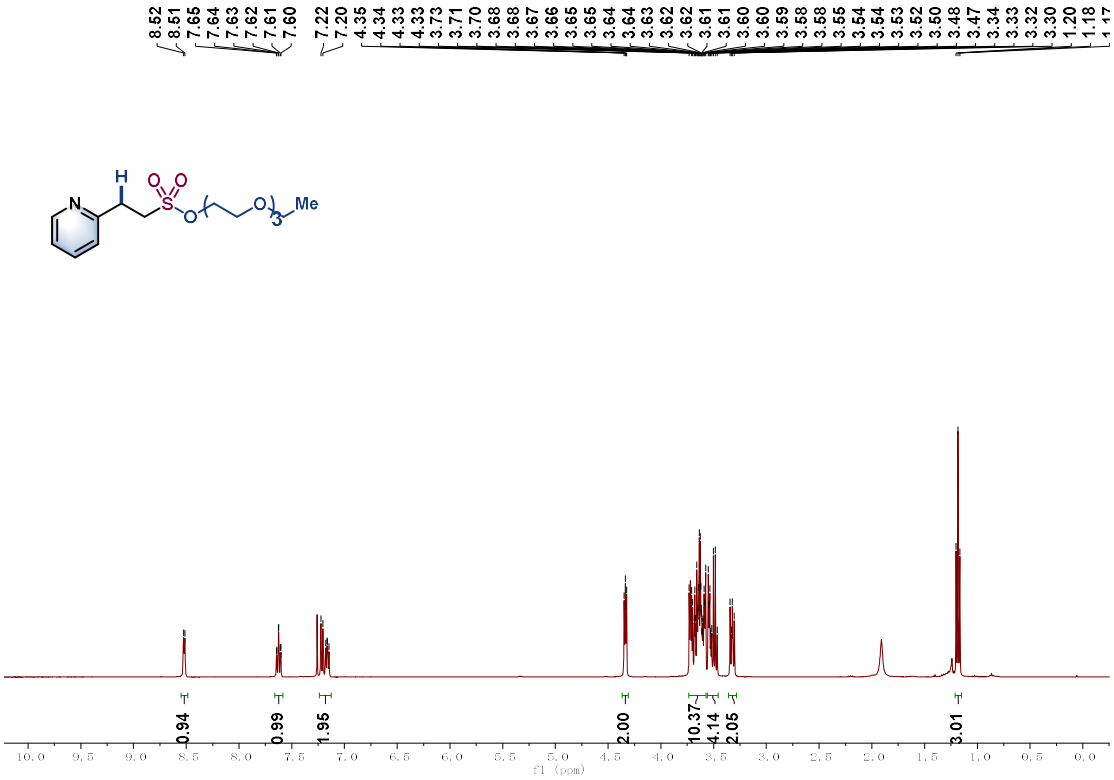
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **4h**



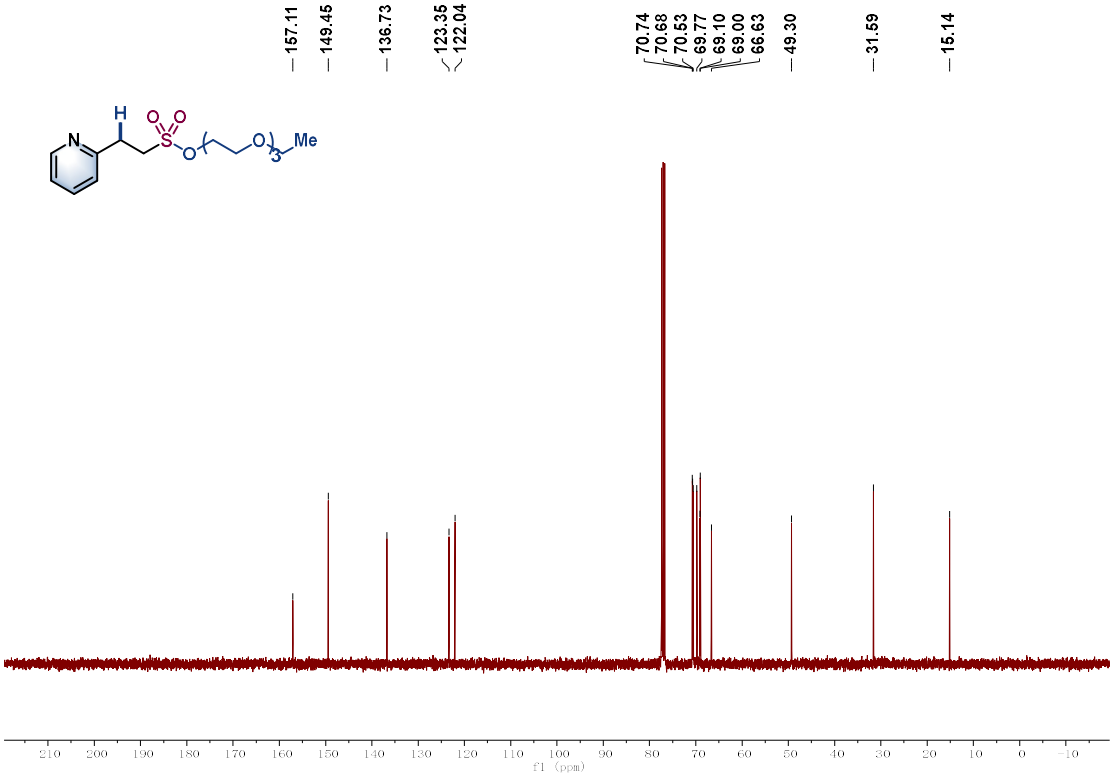
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4h**



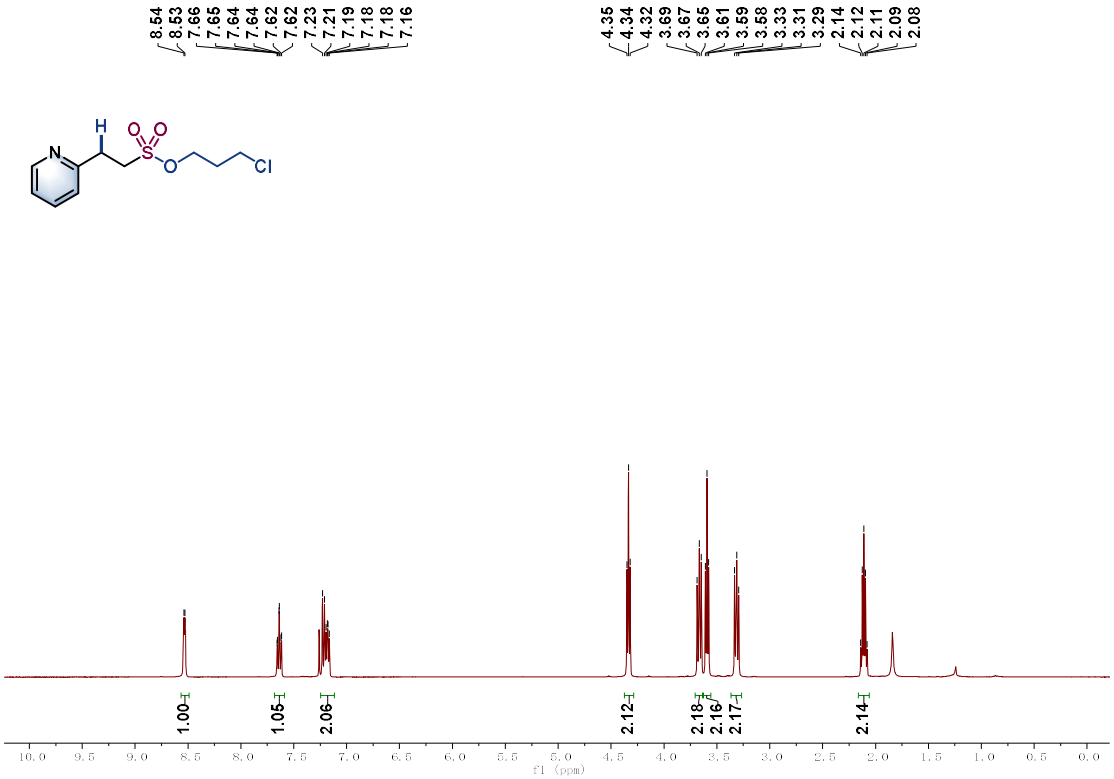
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **4i**



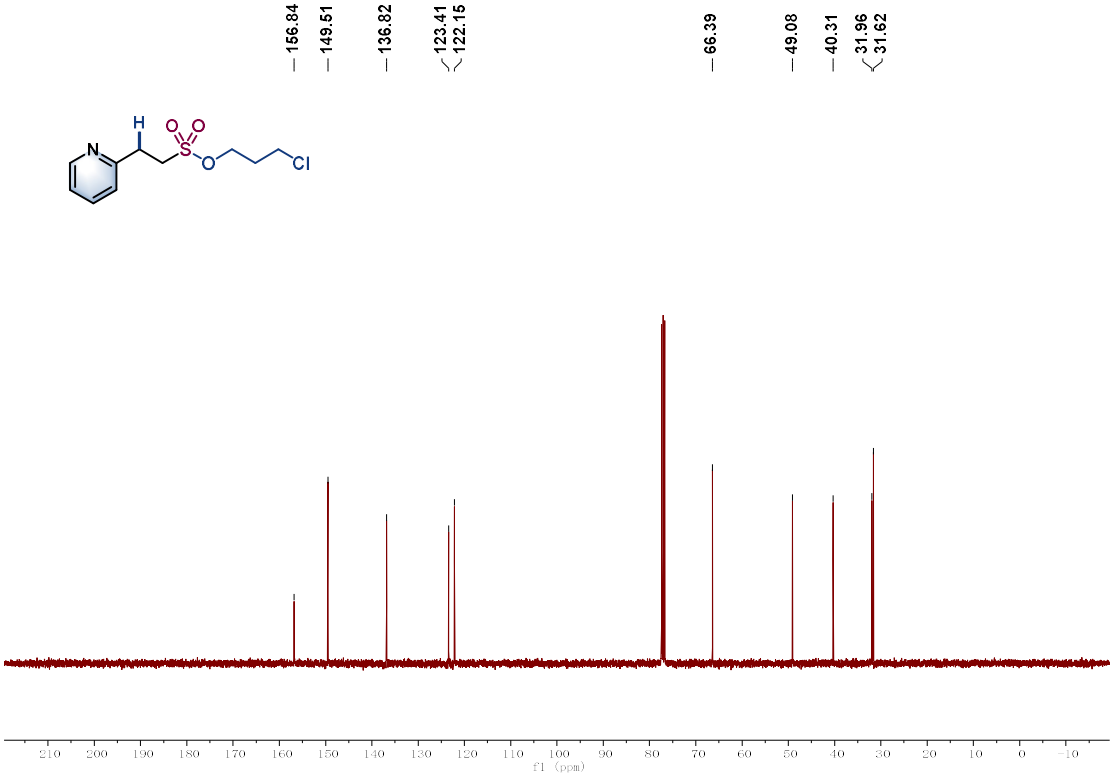
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4i**



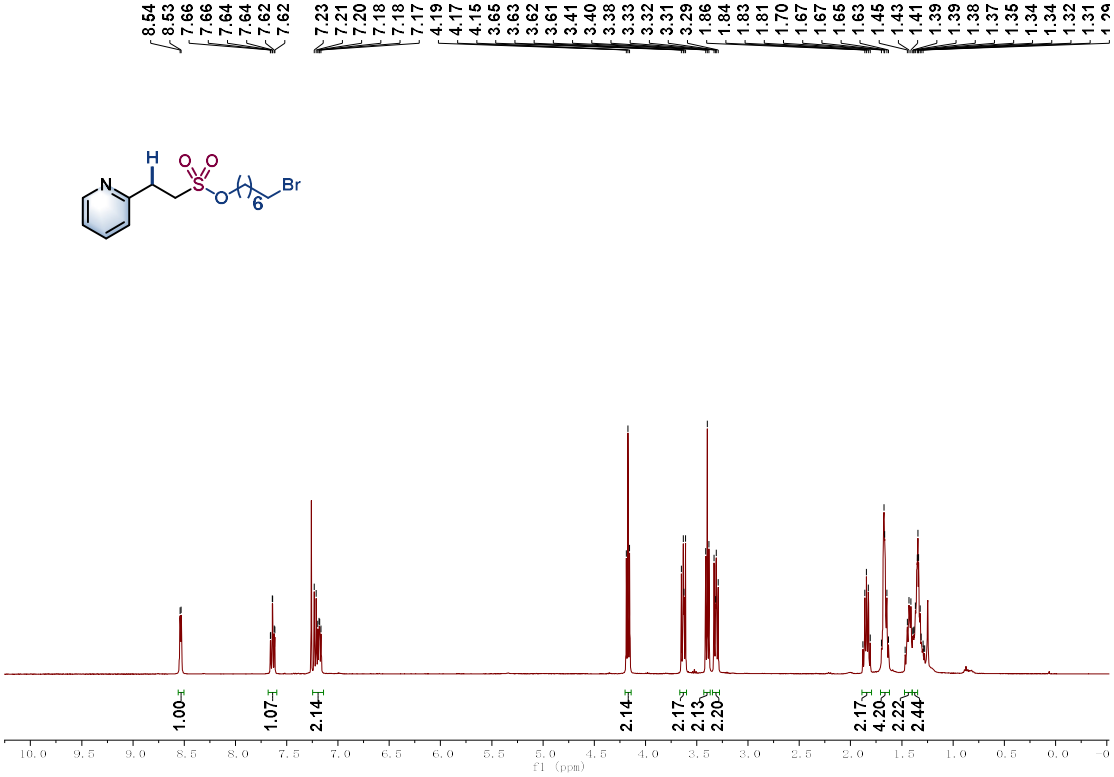
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **4j**



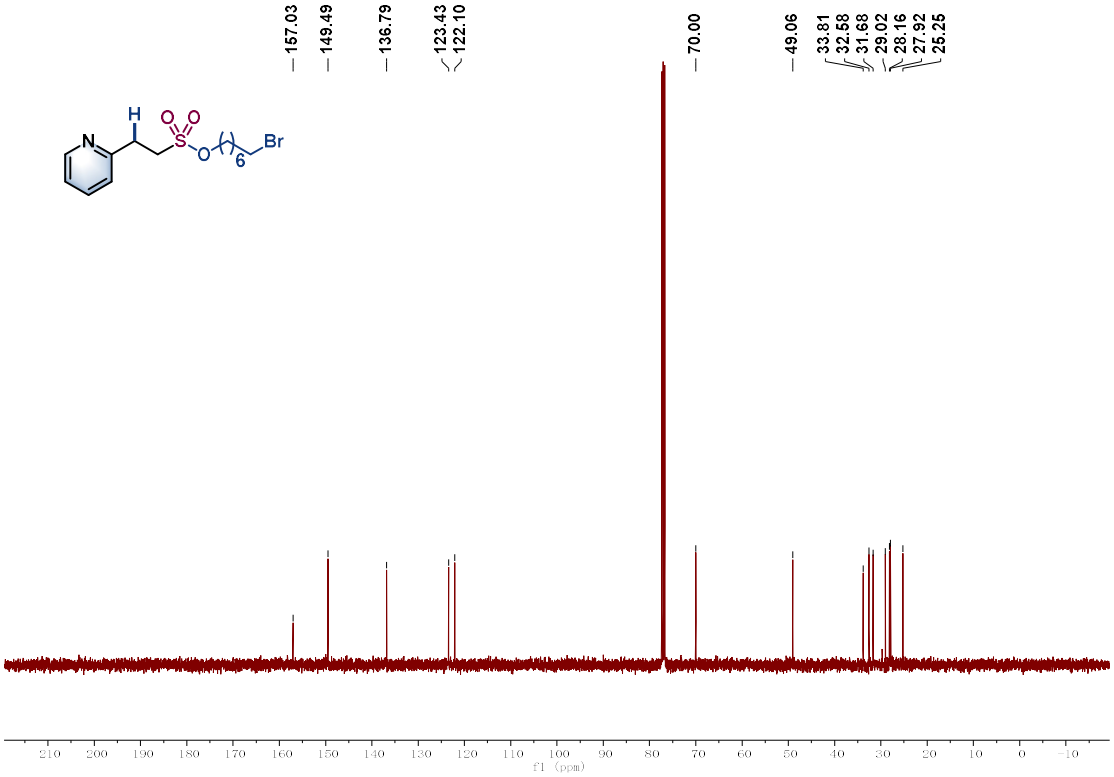
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4j**



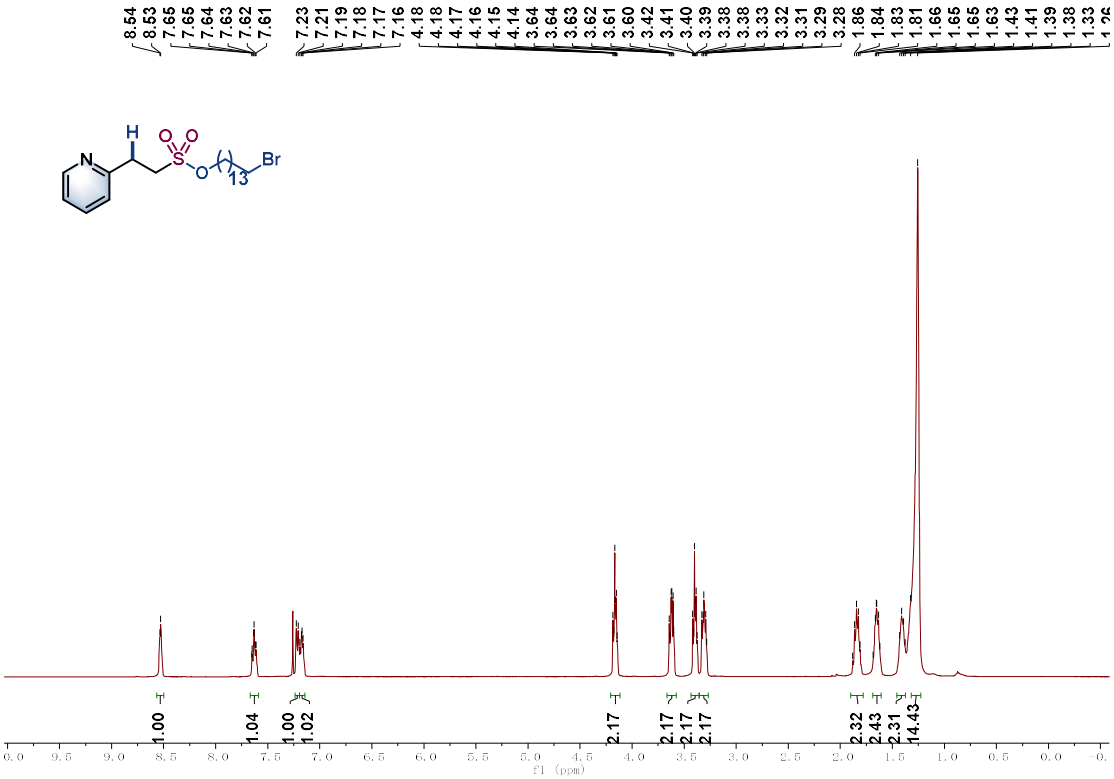
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **4k**



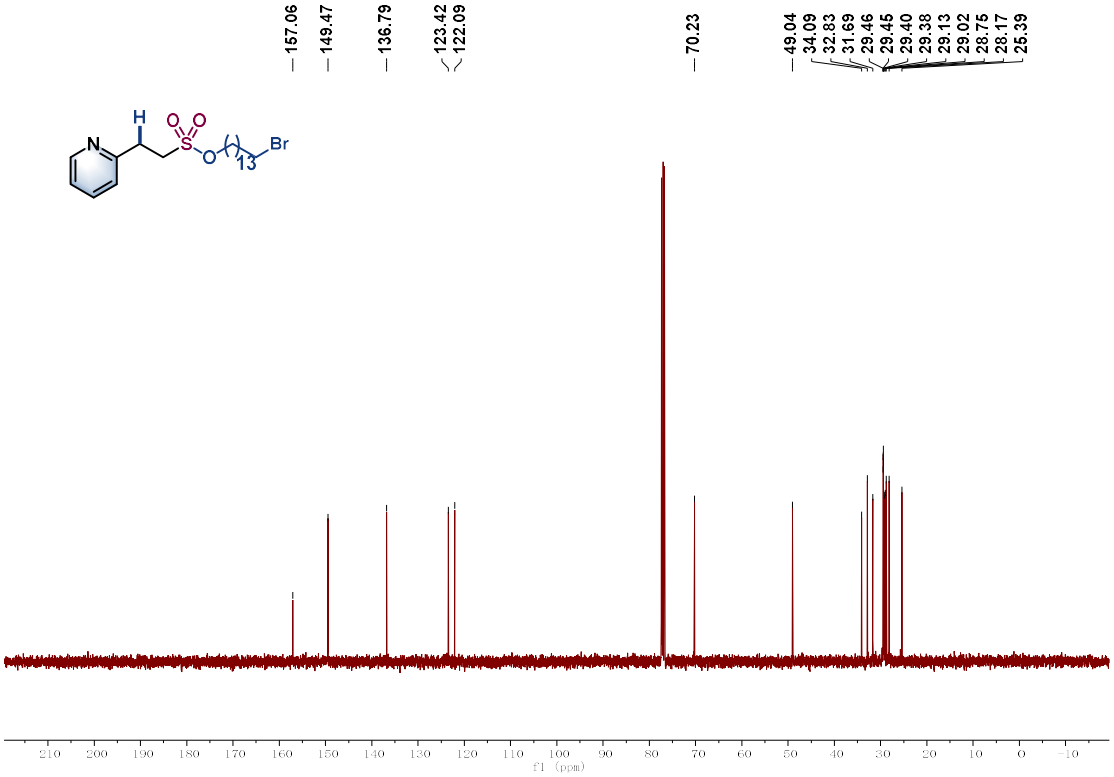
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4k**



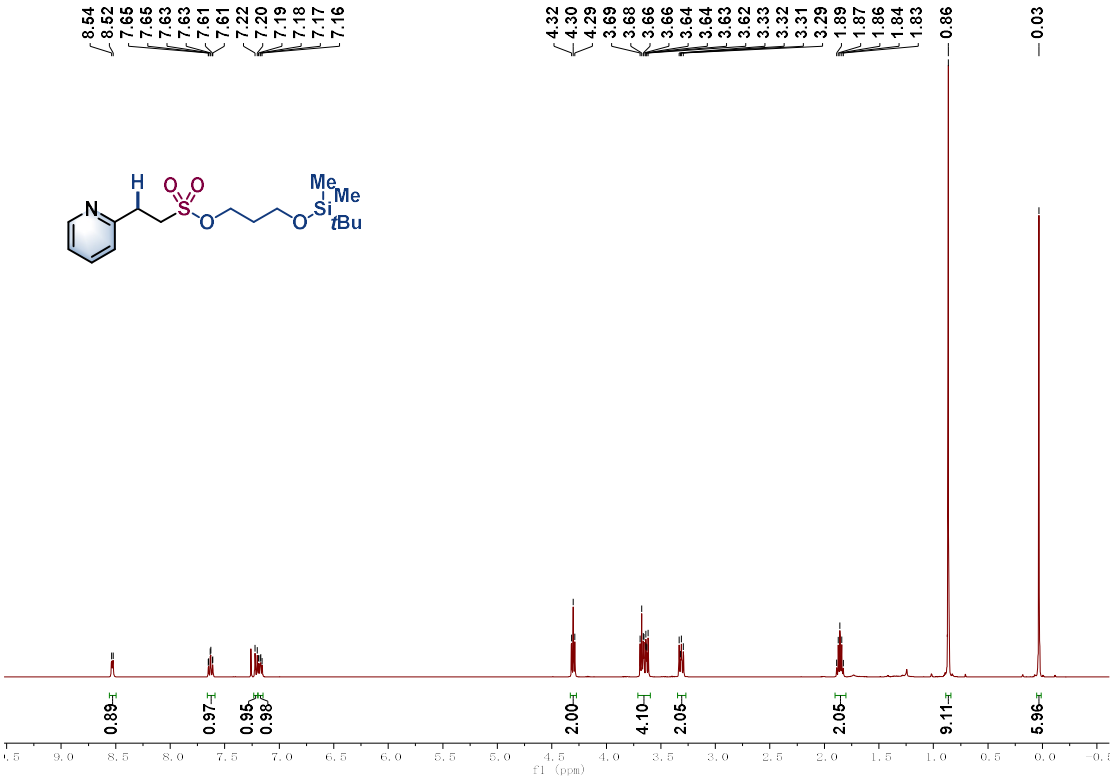
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **4l**



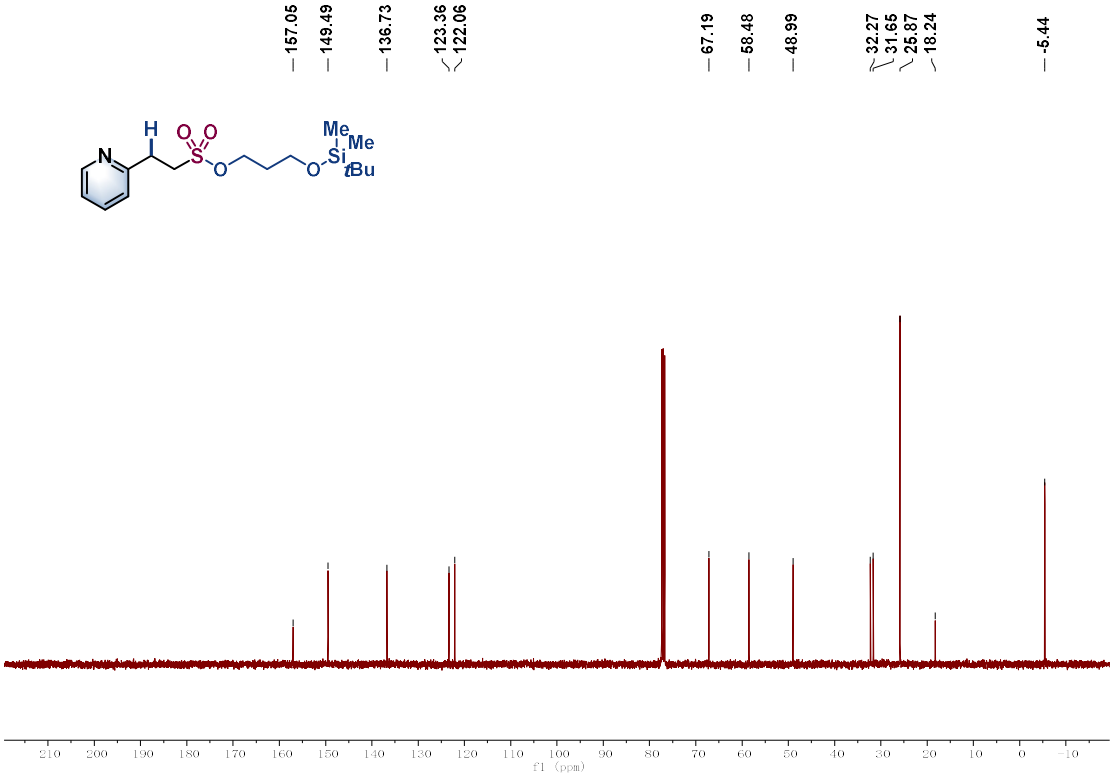
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4l**



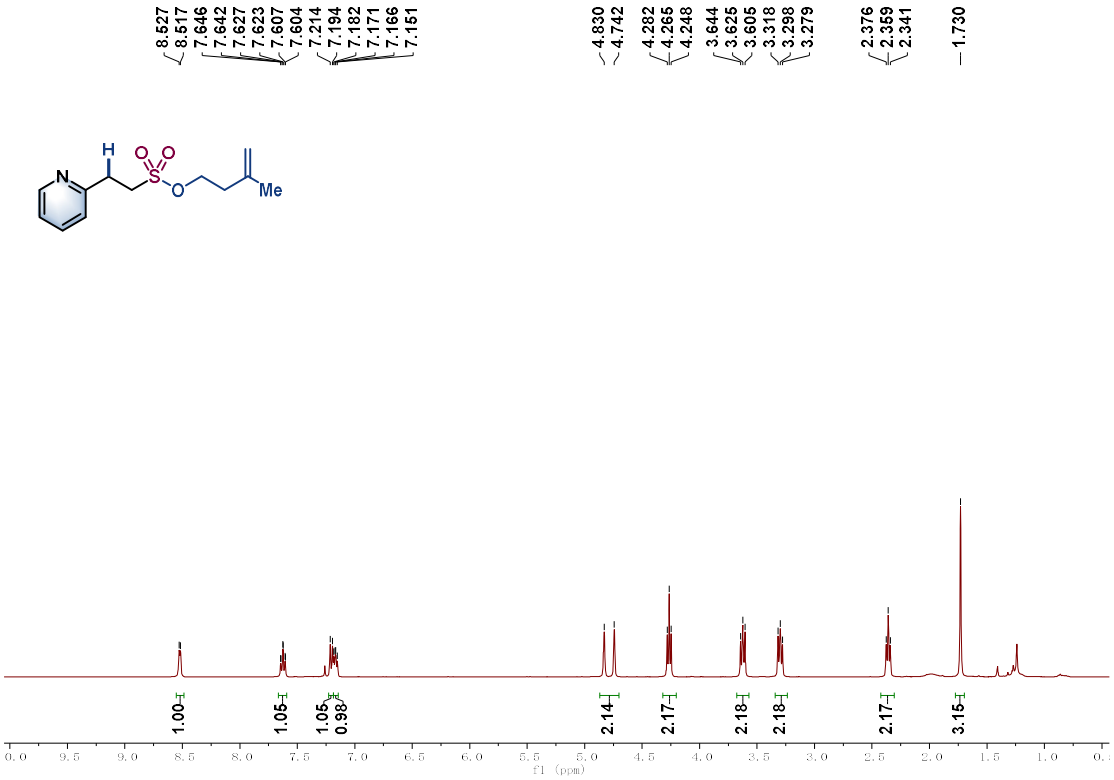
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **4m**



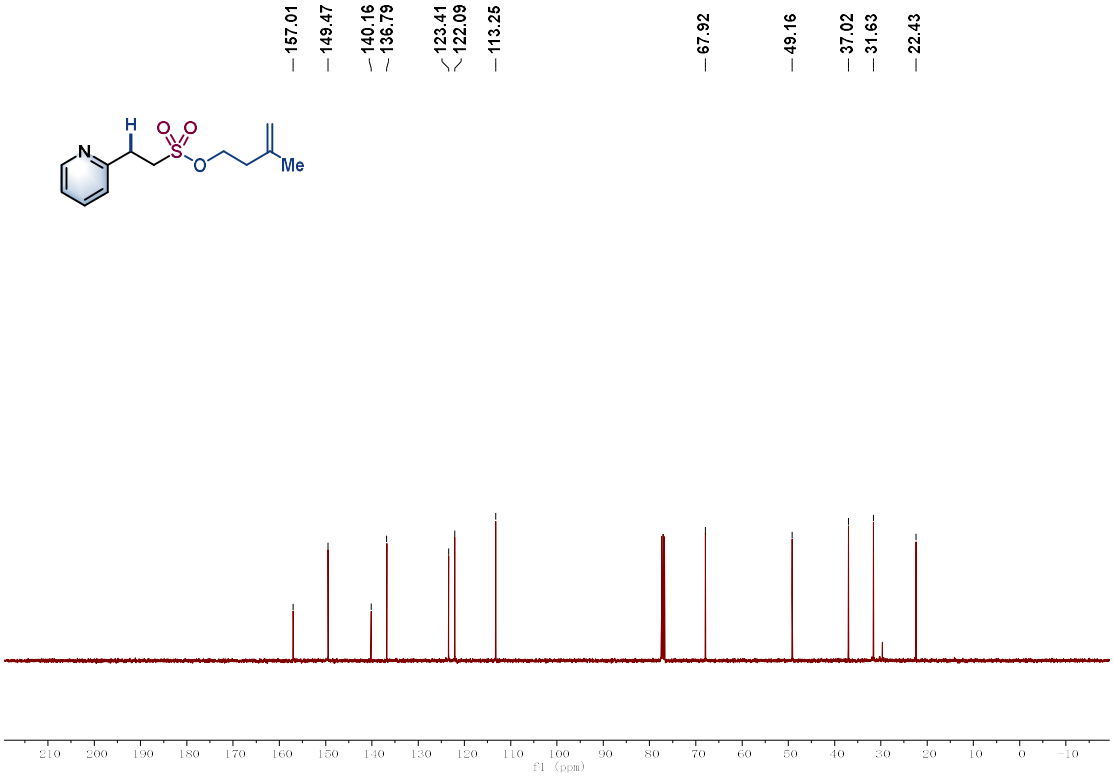
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **3x**



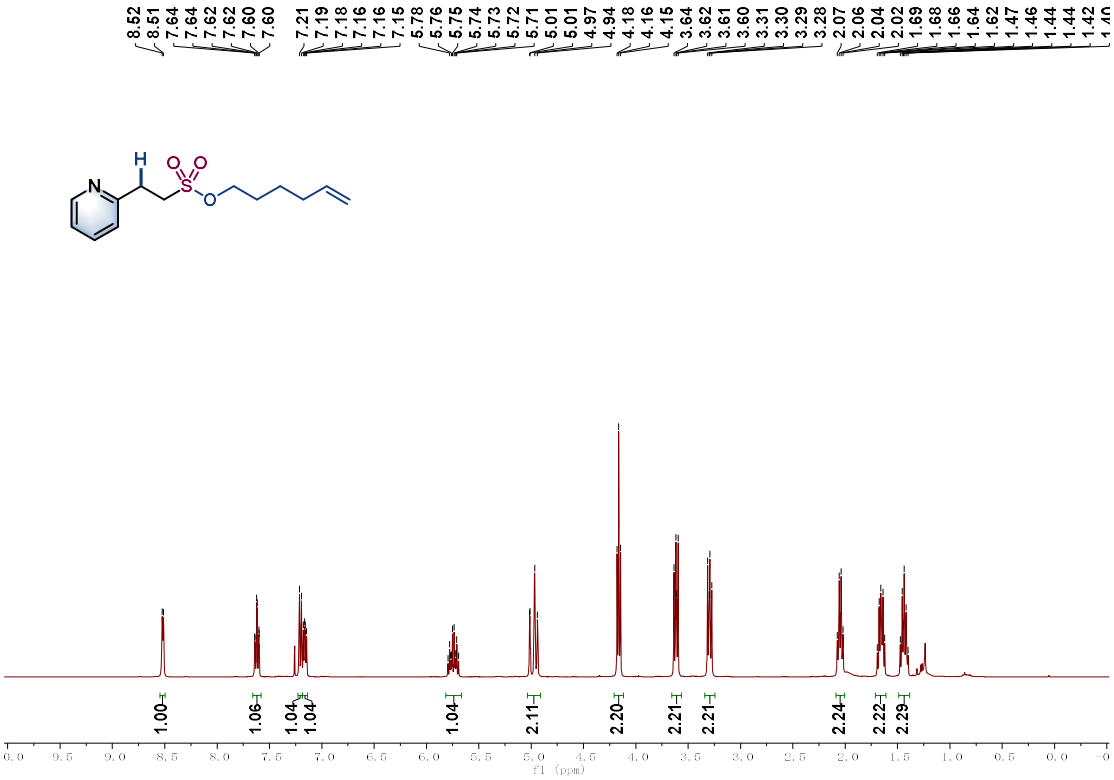
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **4n**



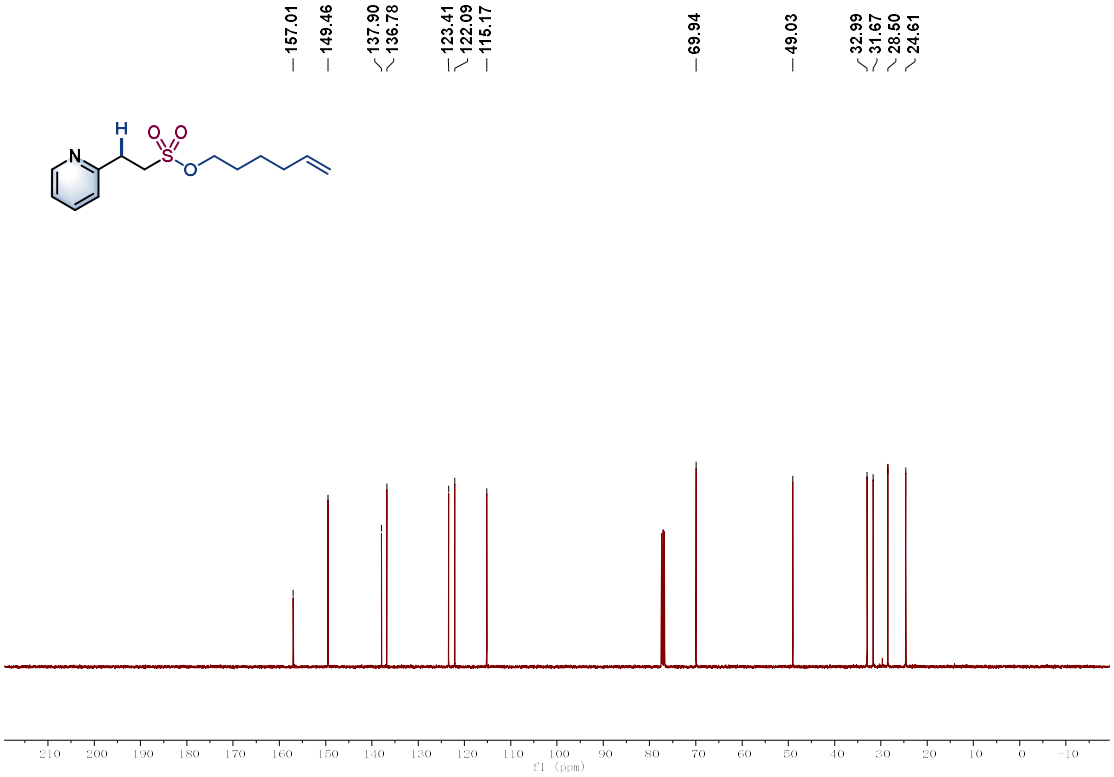
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4n**



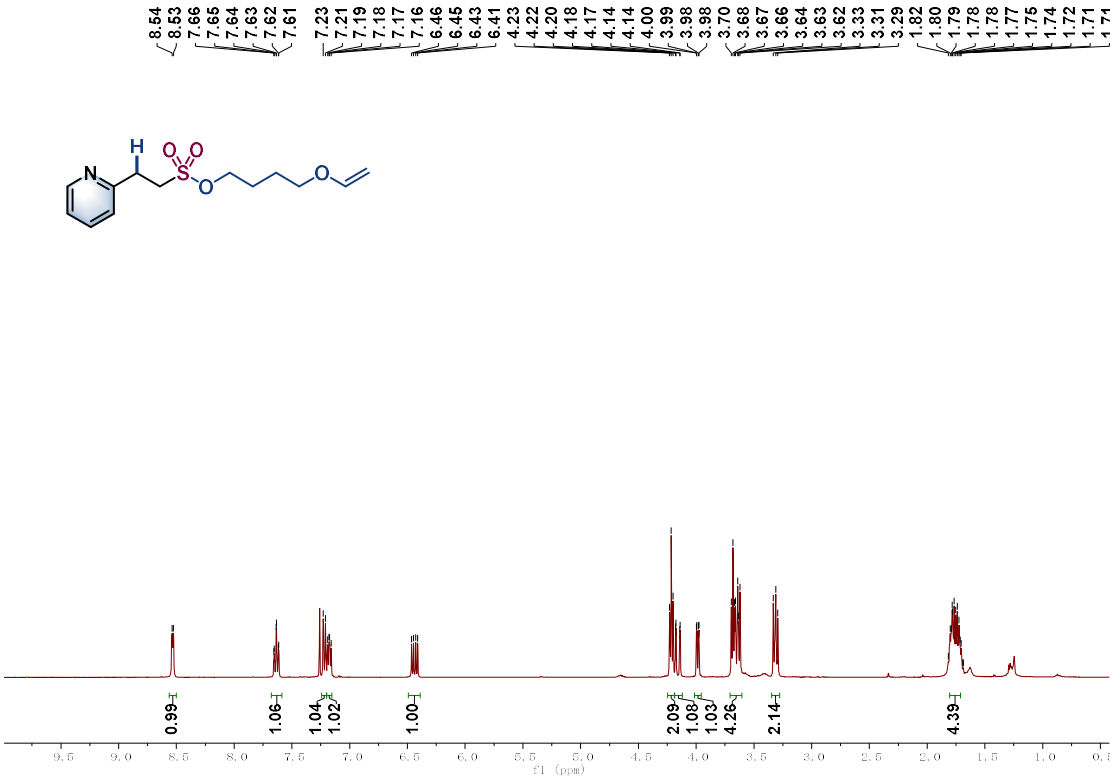
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **4o**



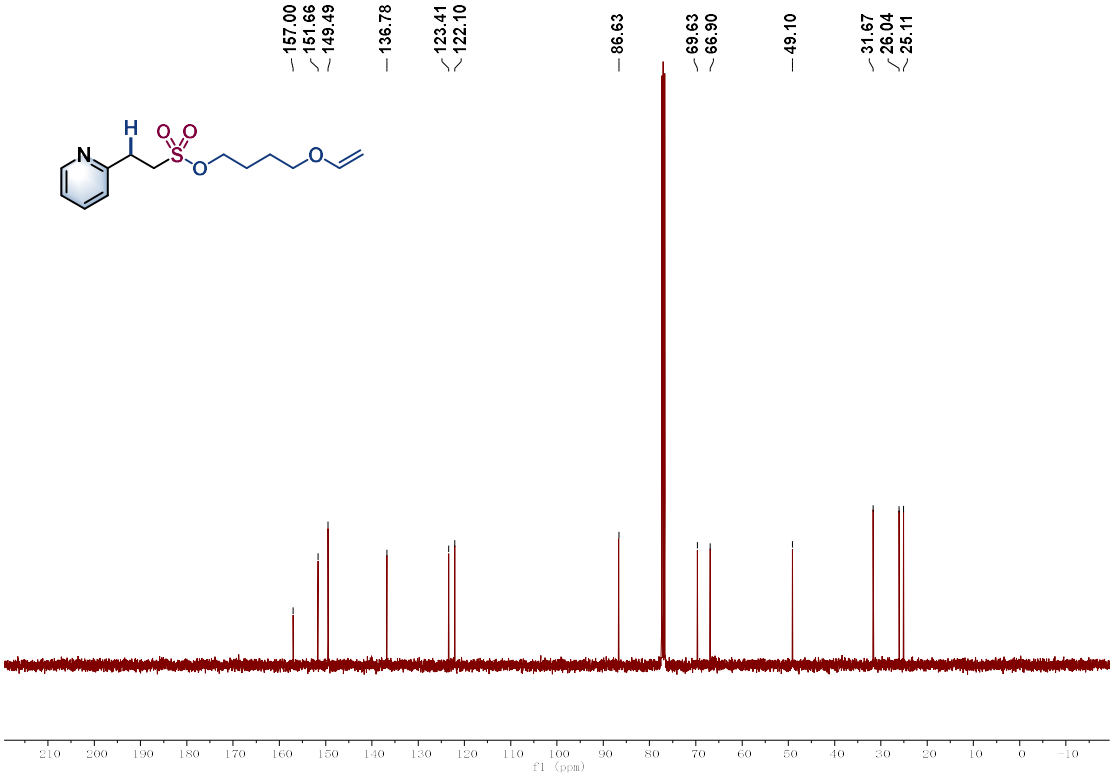
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4o**



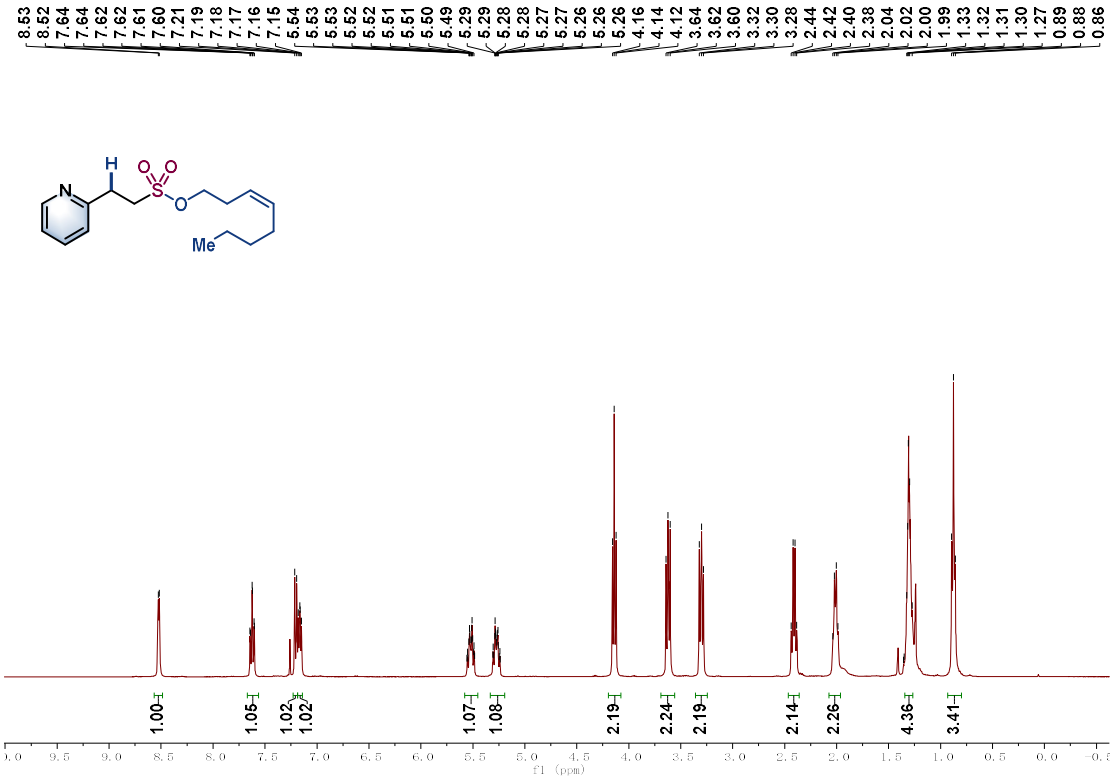
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **4p**



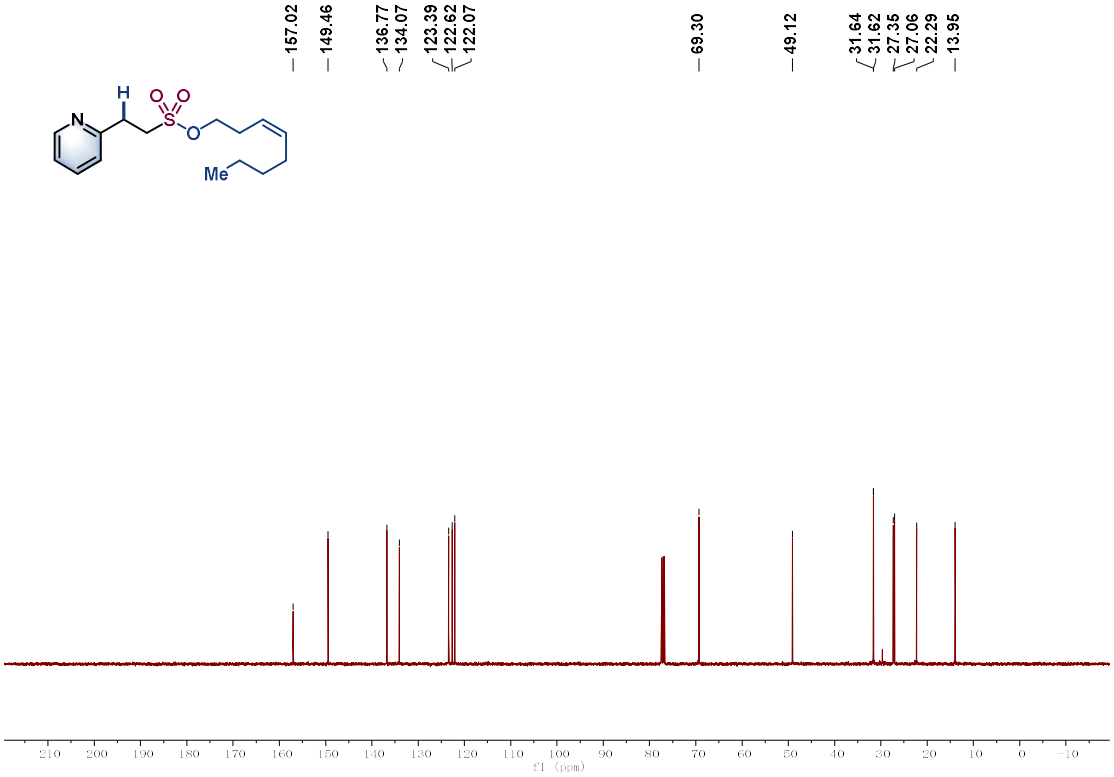
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4p**



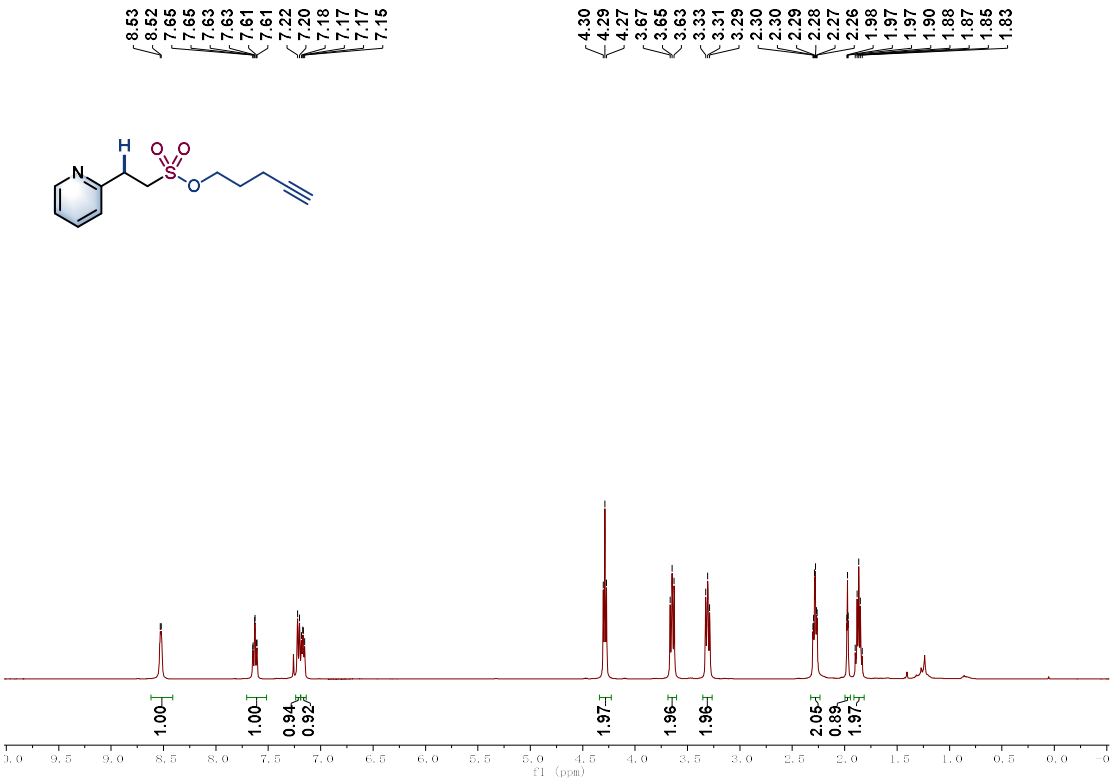
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **4q**



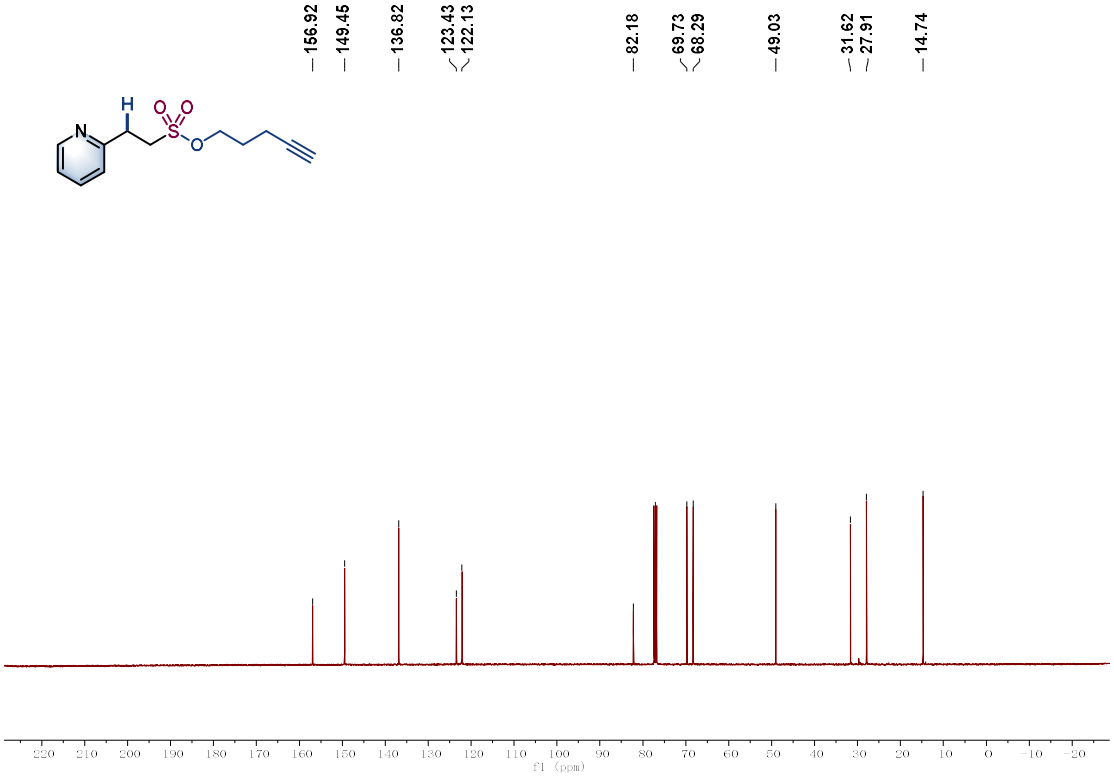
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4q**



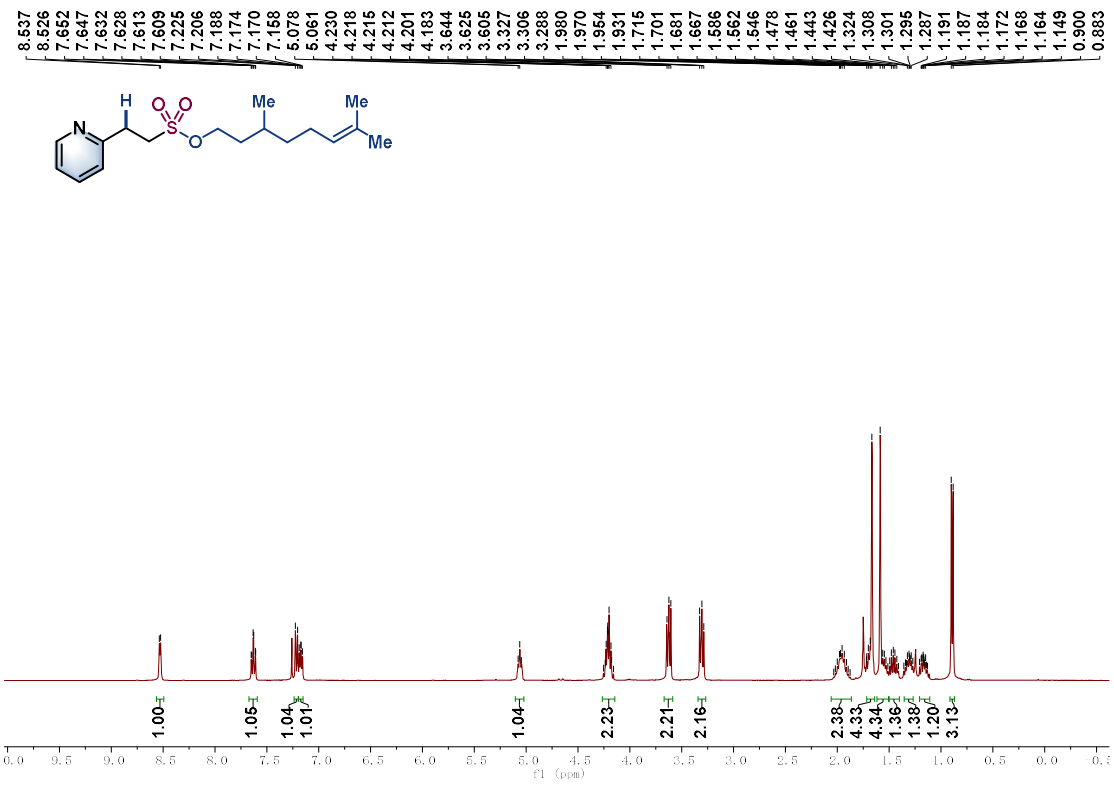
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **4r**



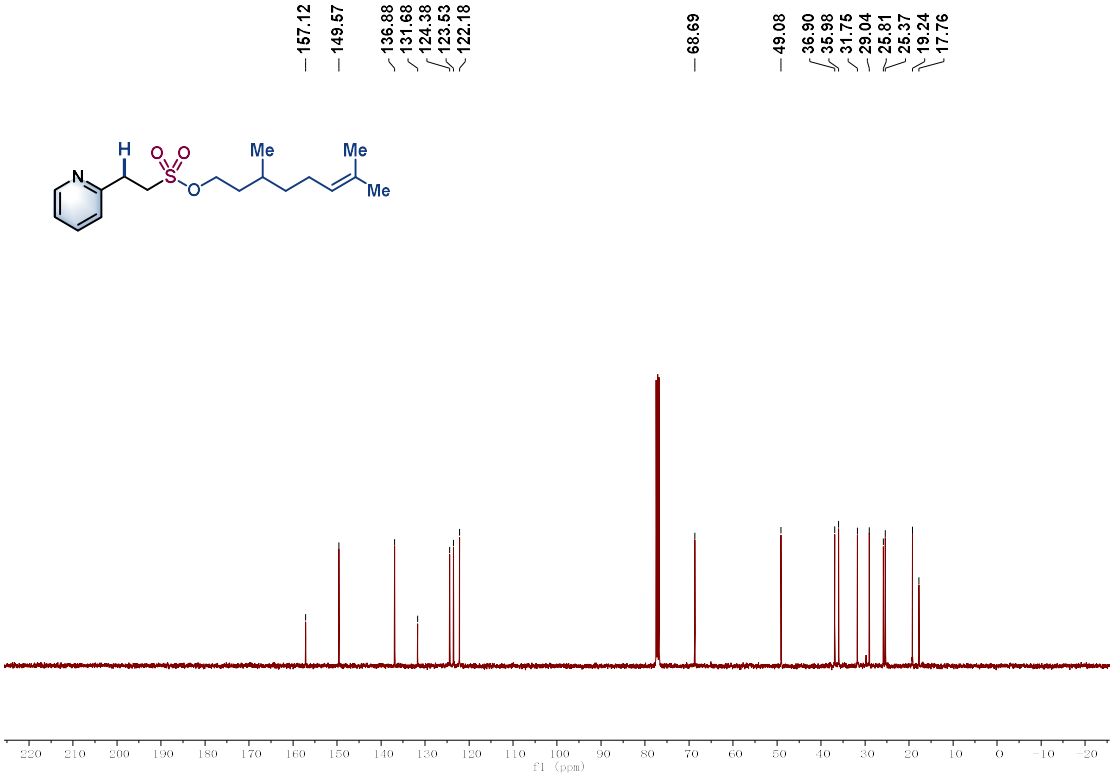
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4r**



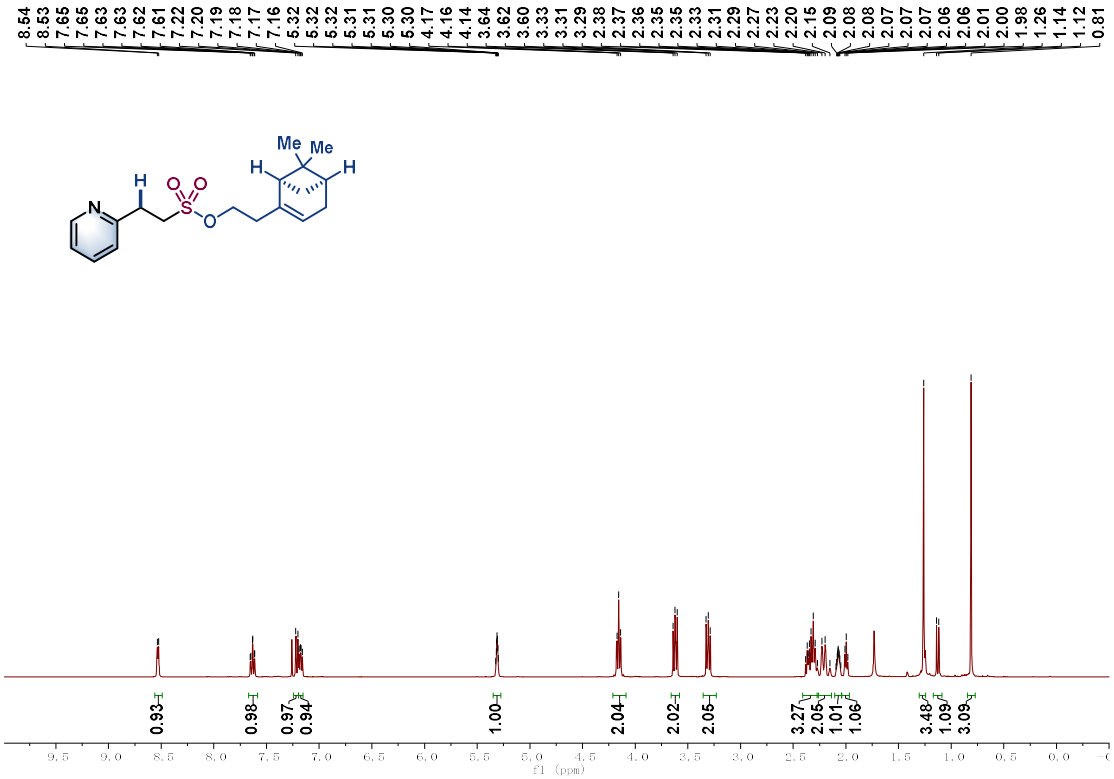
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **4s**



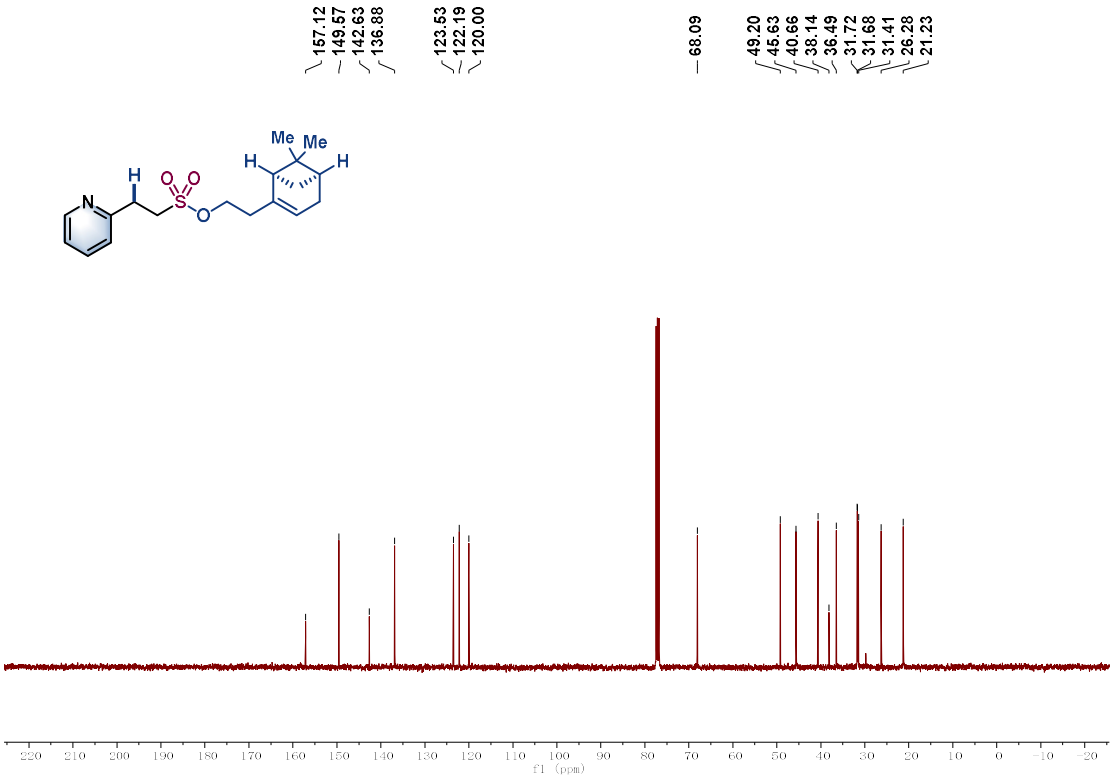
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4s**



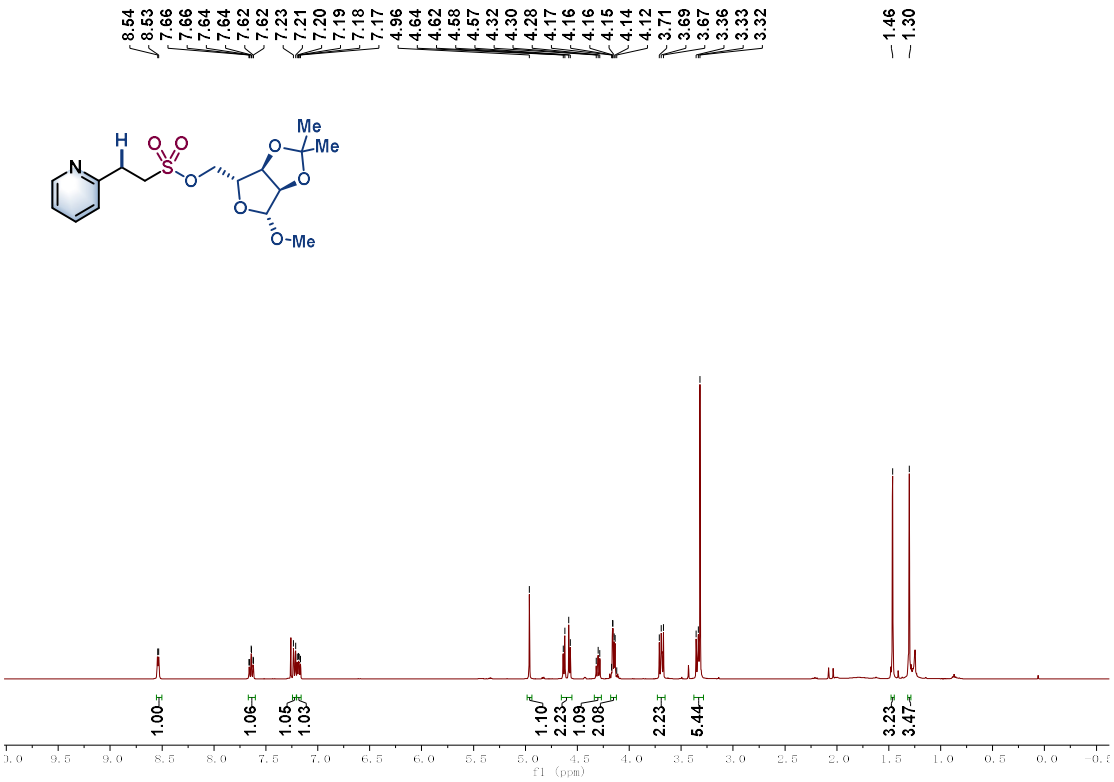
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **4t**



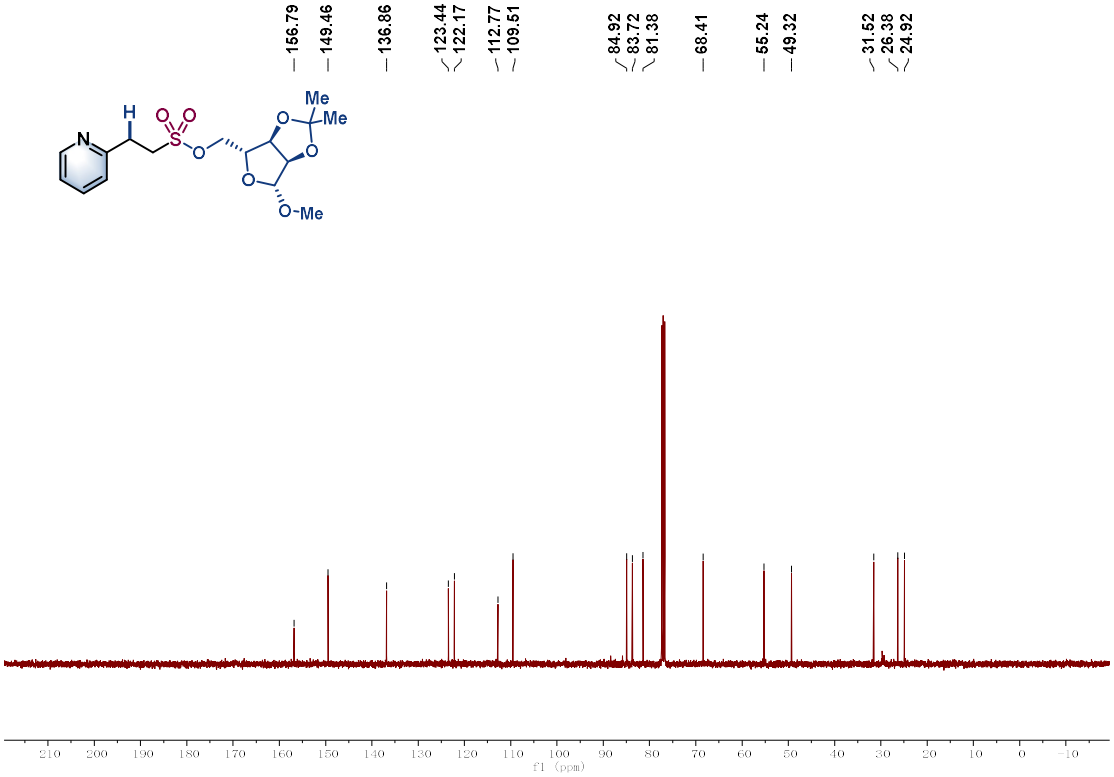
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4t**



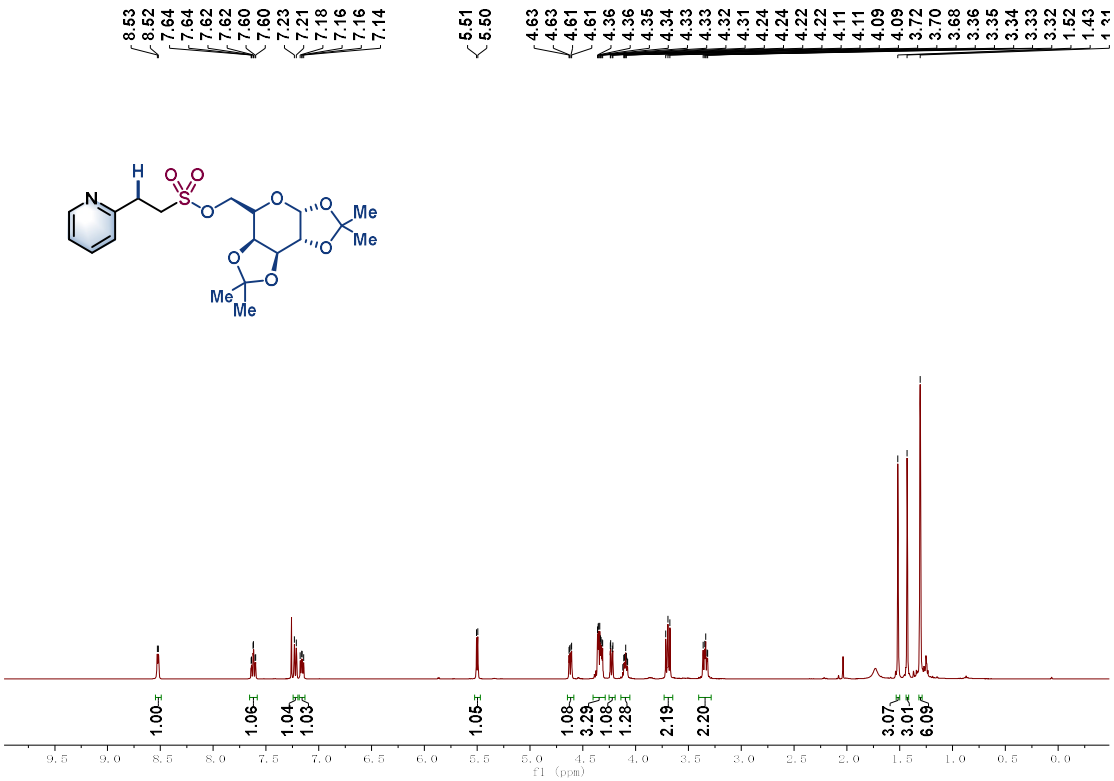
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **4u**



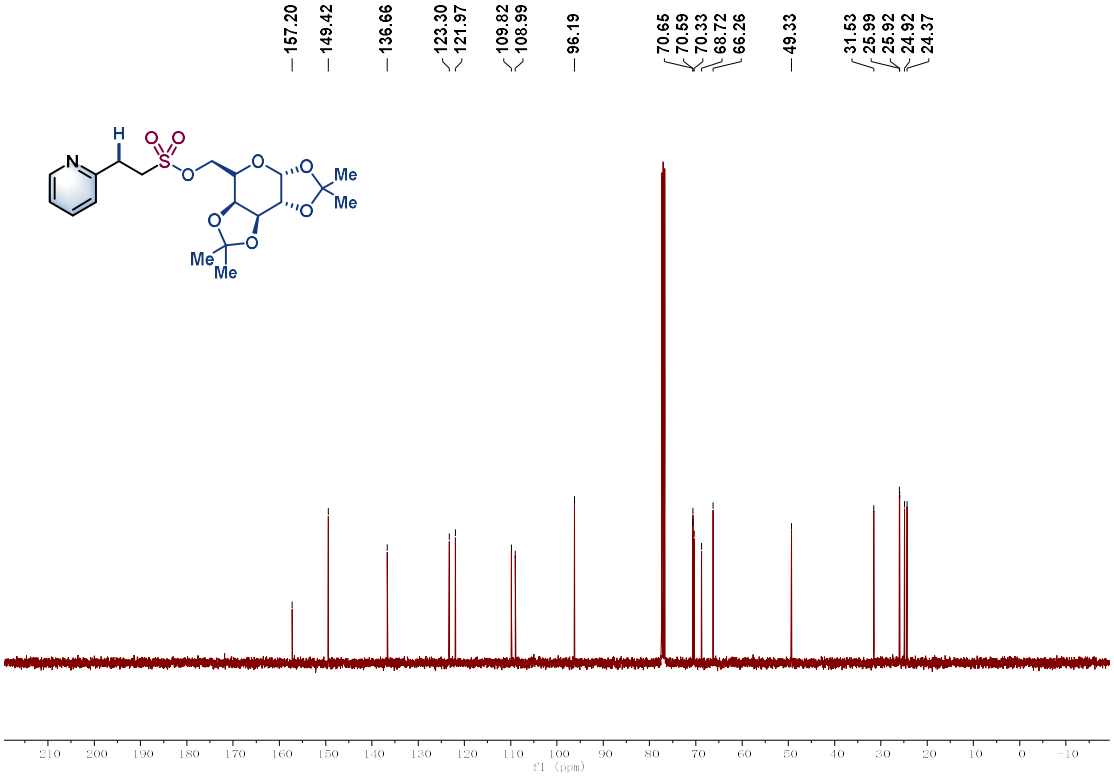
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4u**



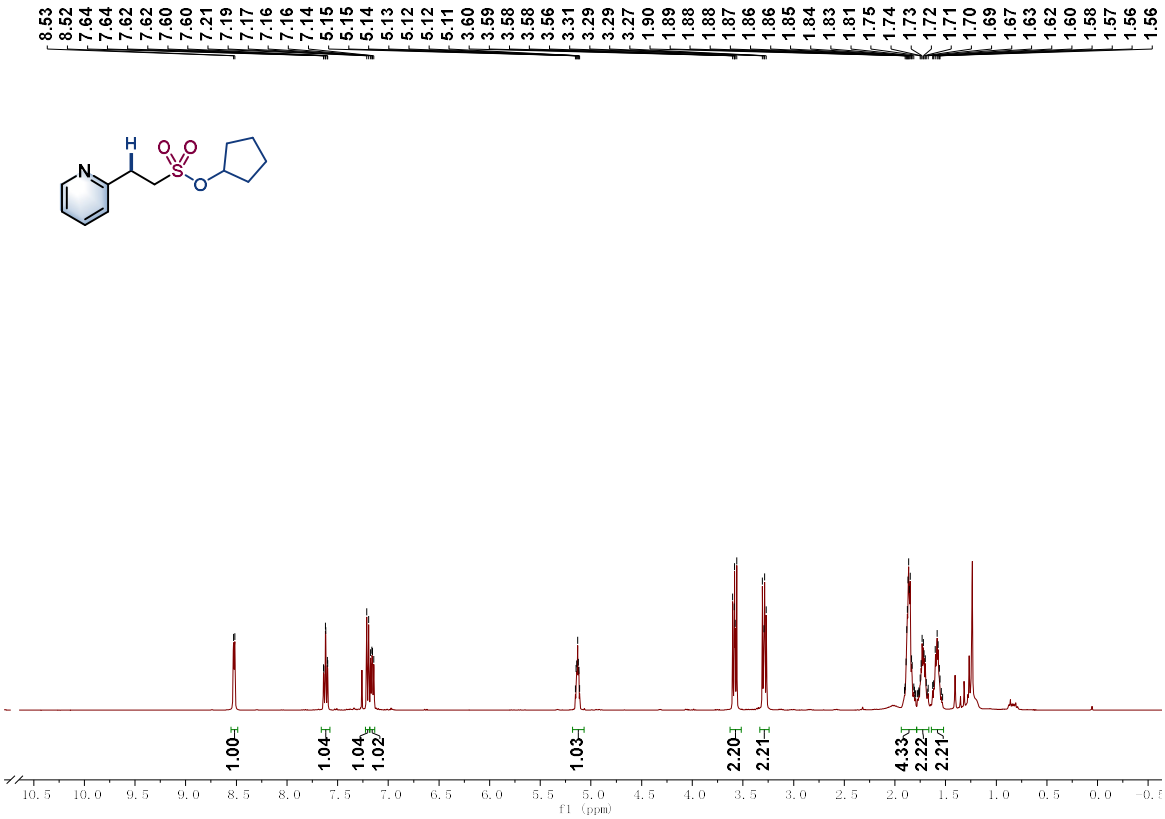
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **4v**



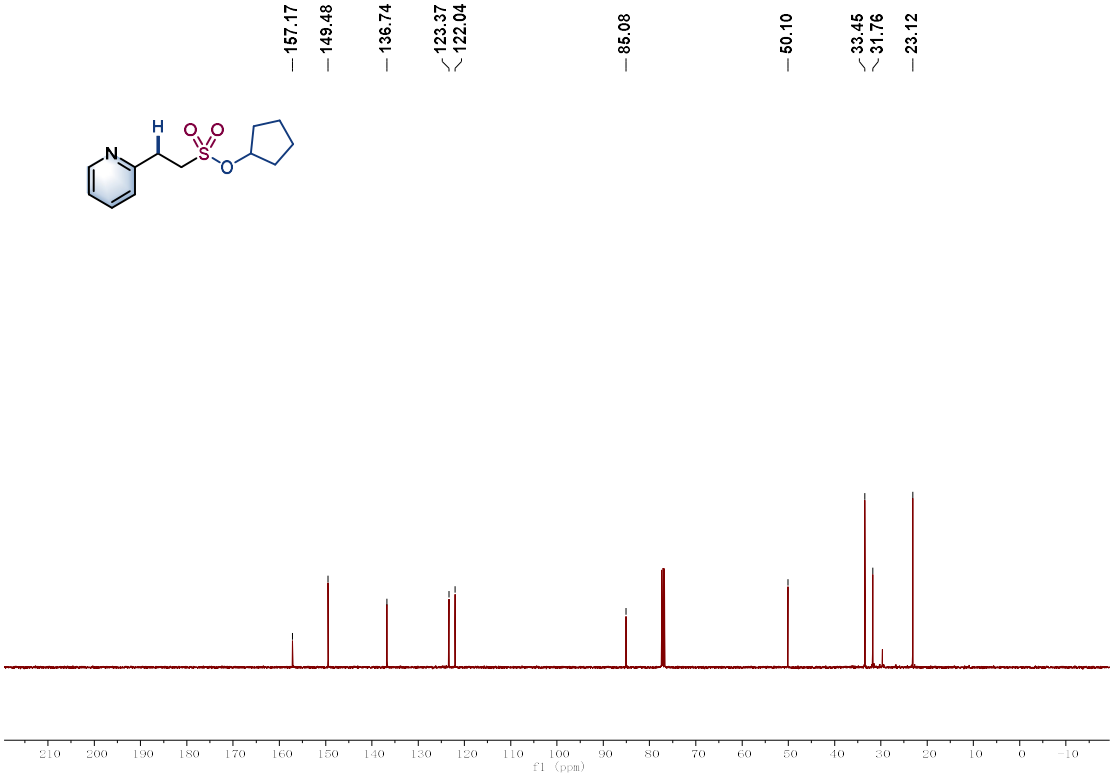
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4v**



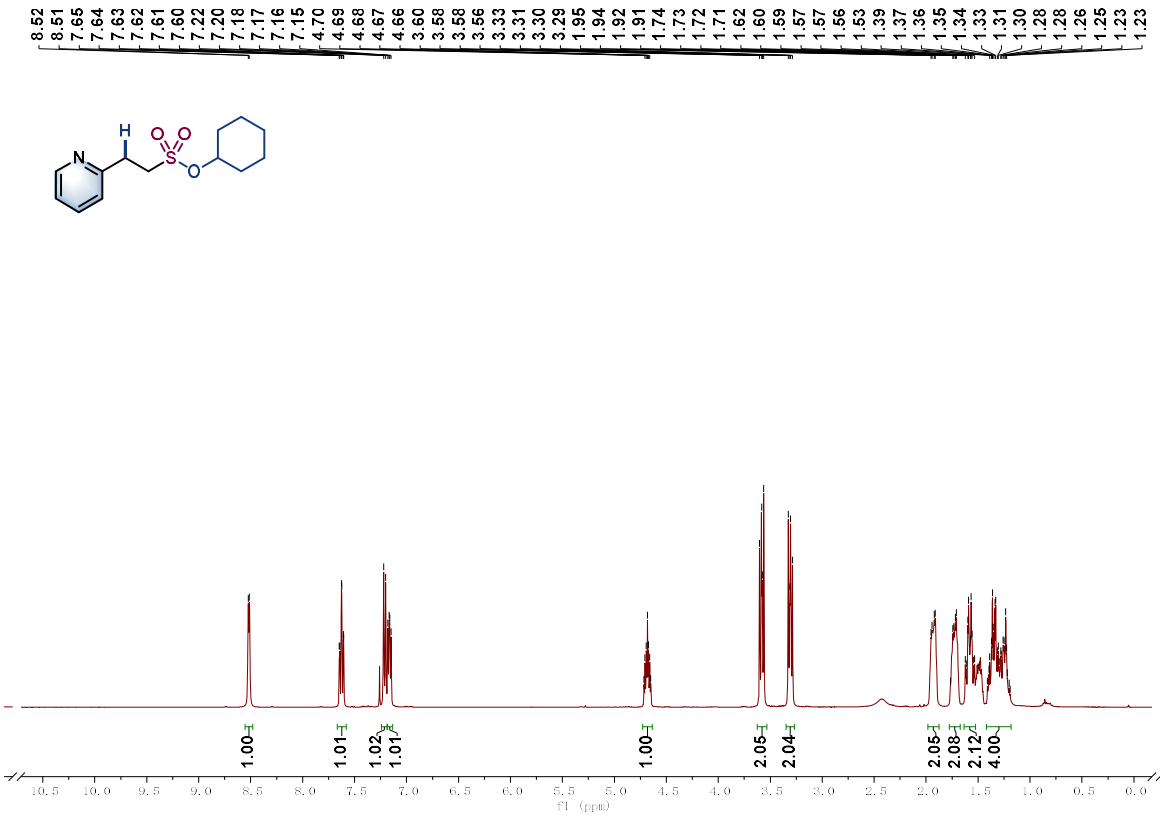
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **4w**



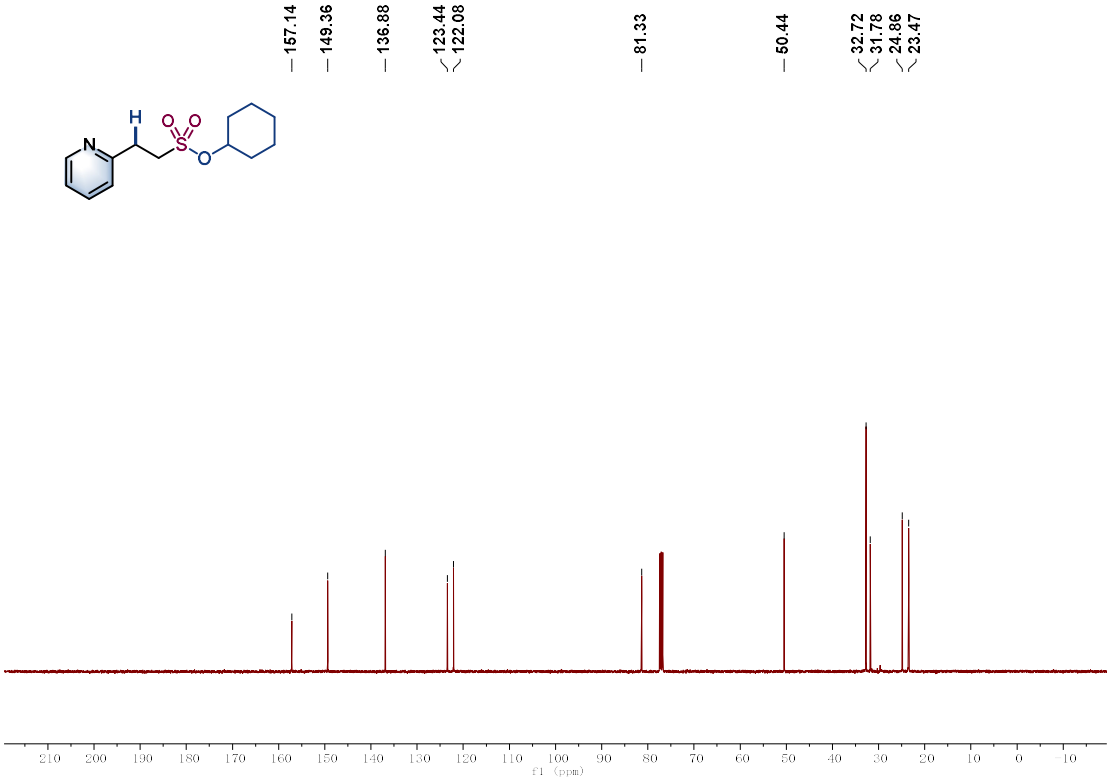
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4w**



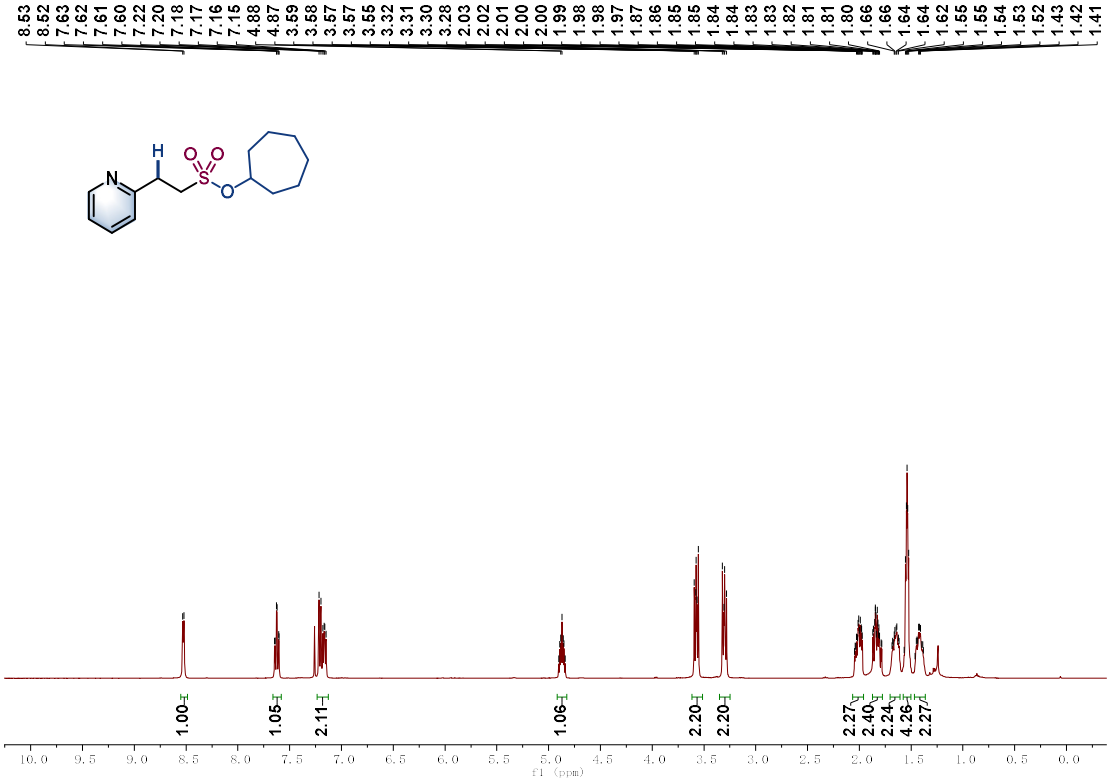
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **4x**



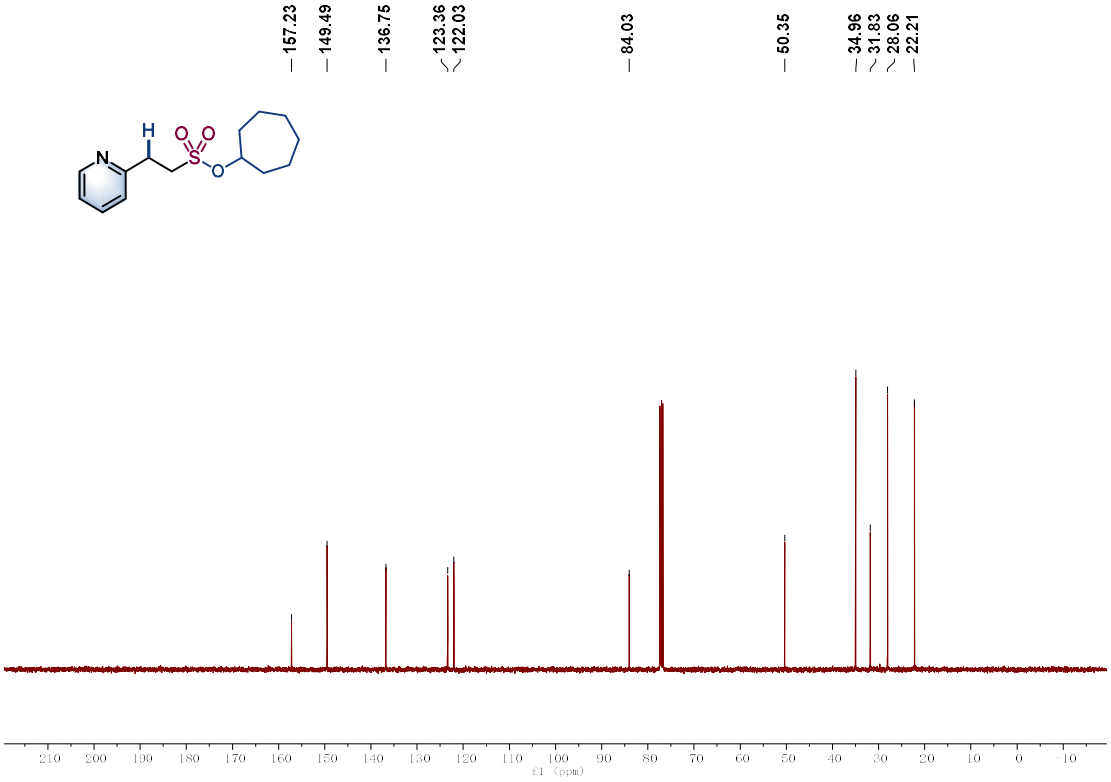
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4x**



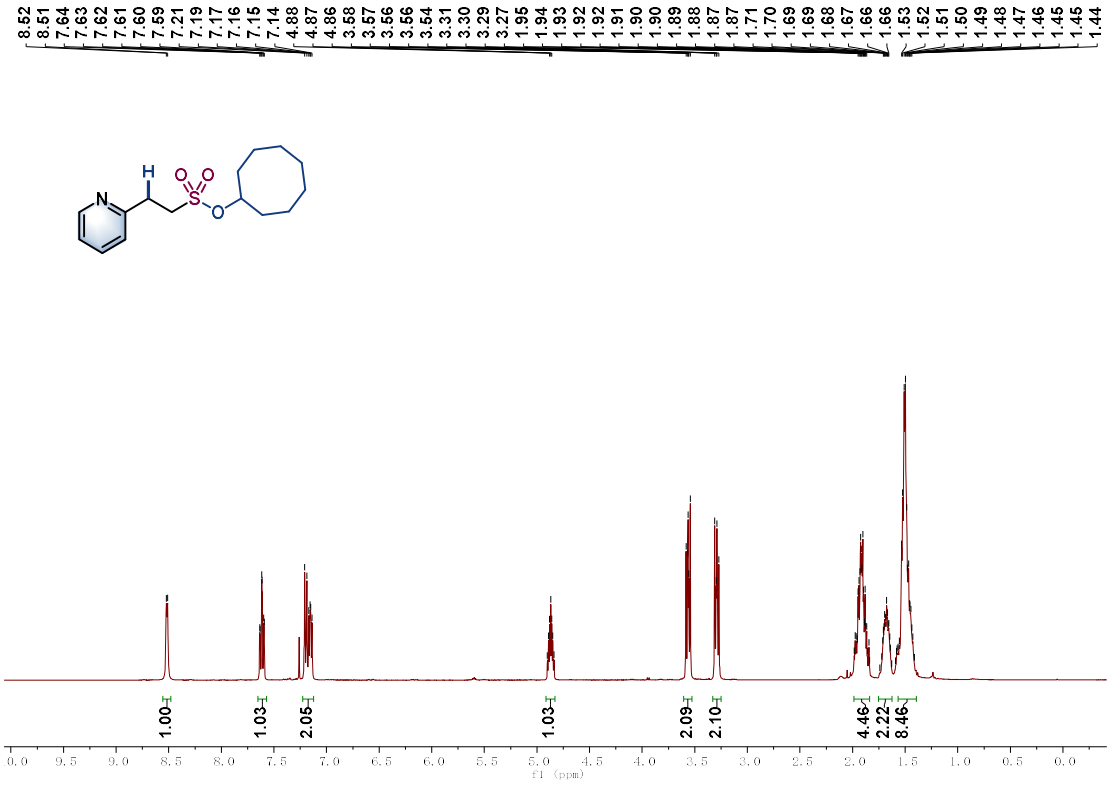
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **4y**



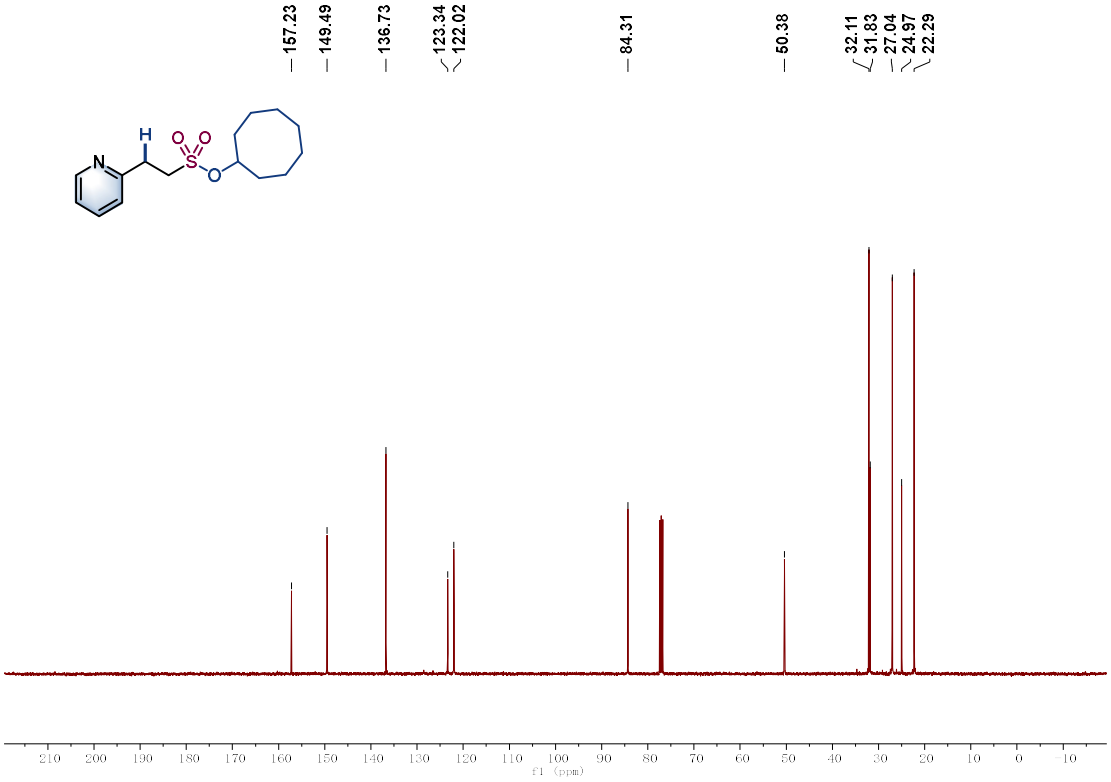
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4y**



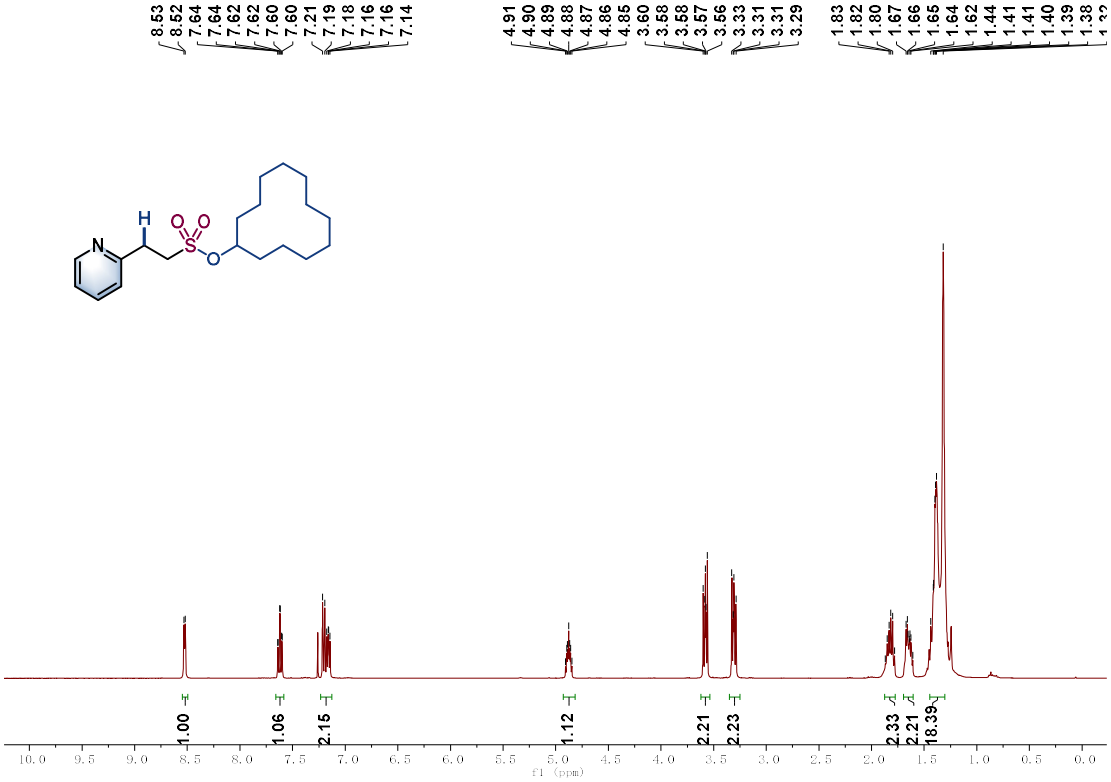
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **4z**



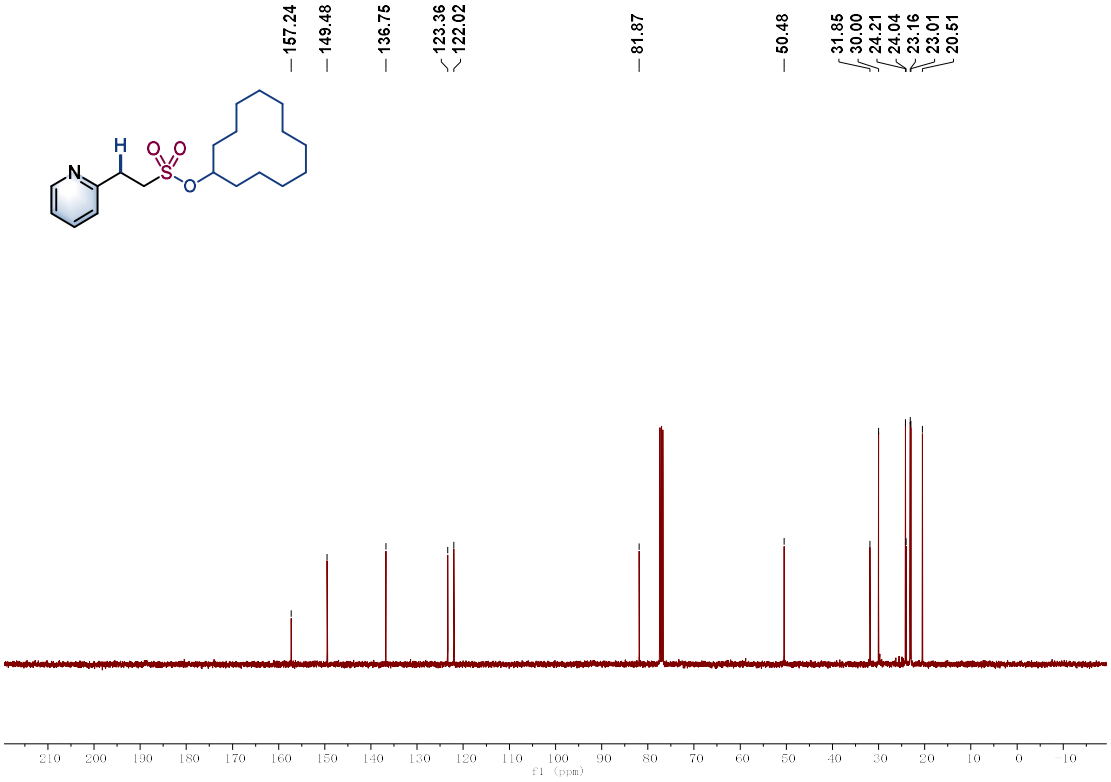
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **4z**



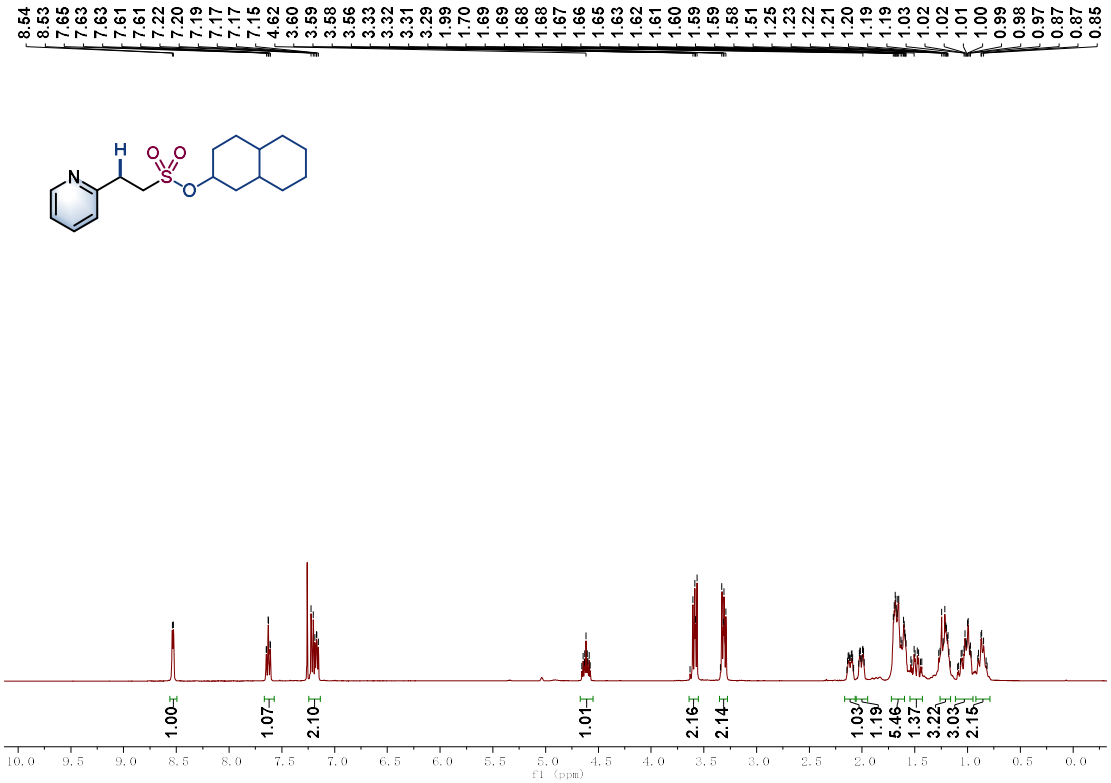
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **5a**



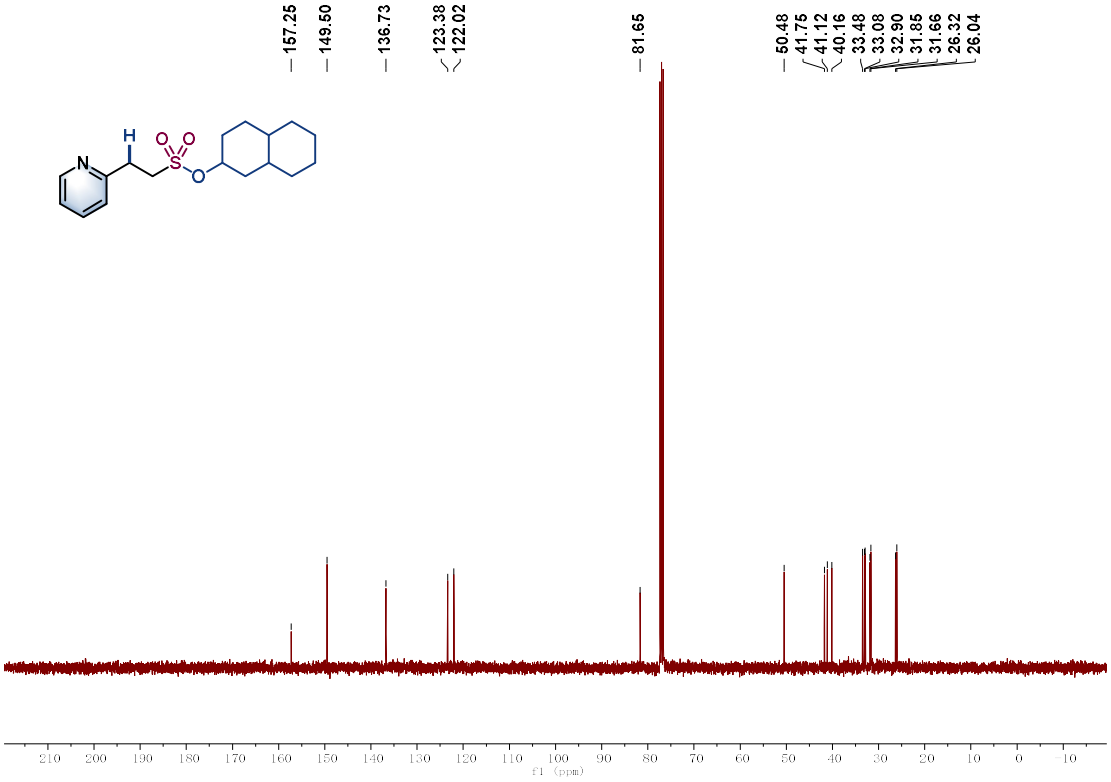
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **5a**



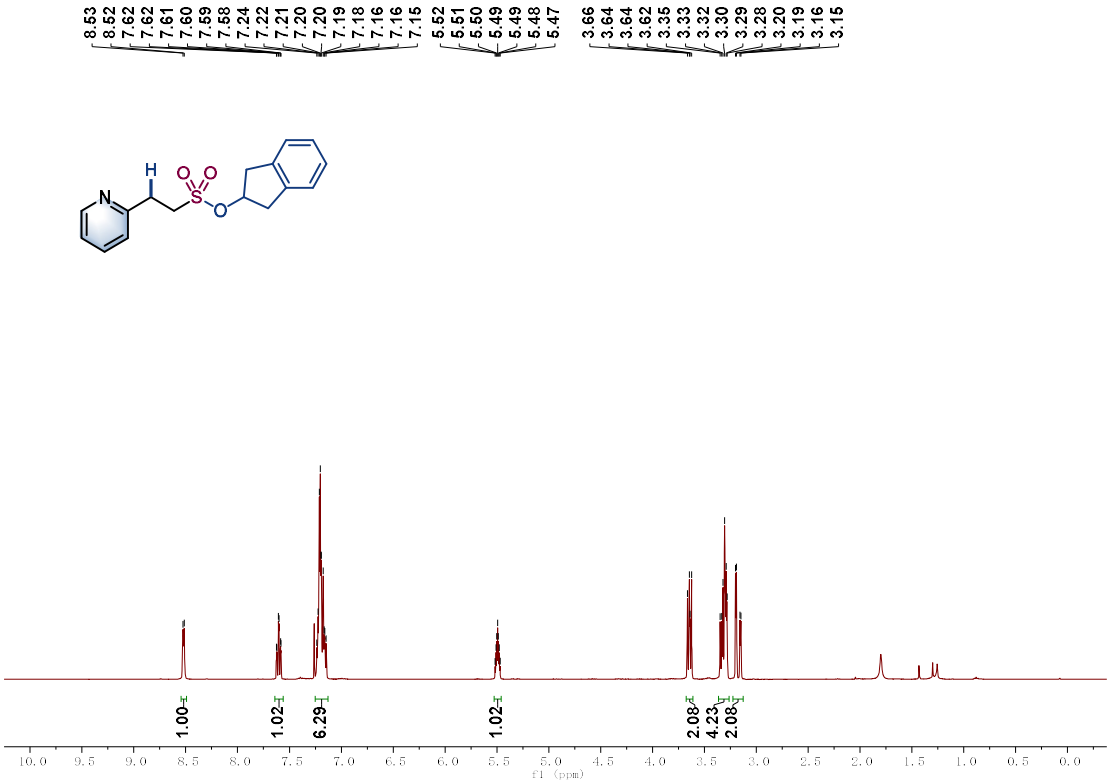
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **5b**



^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **5b**



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



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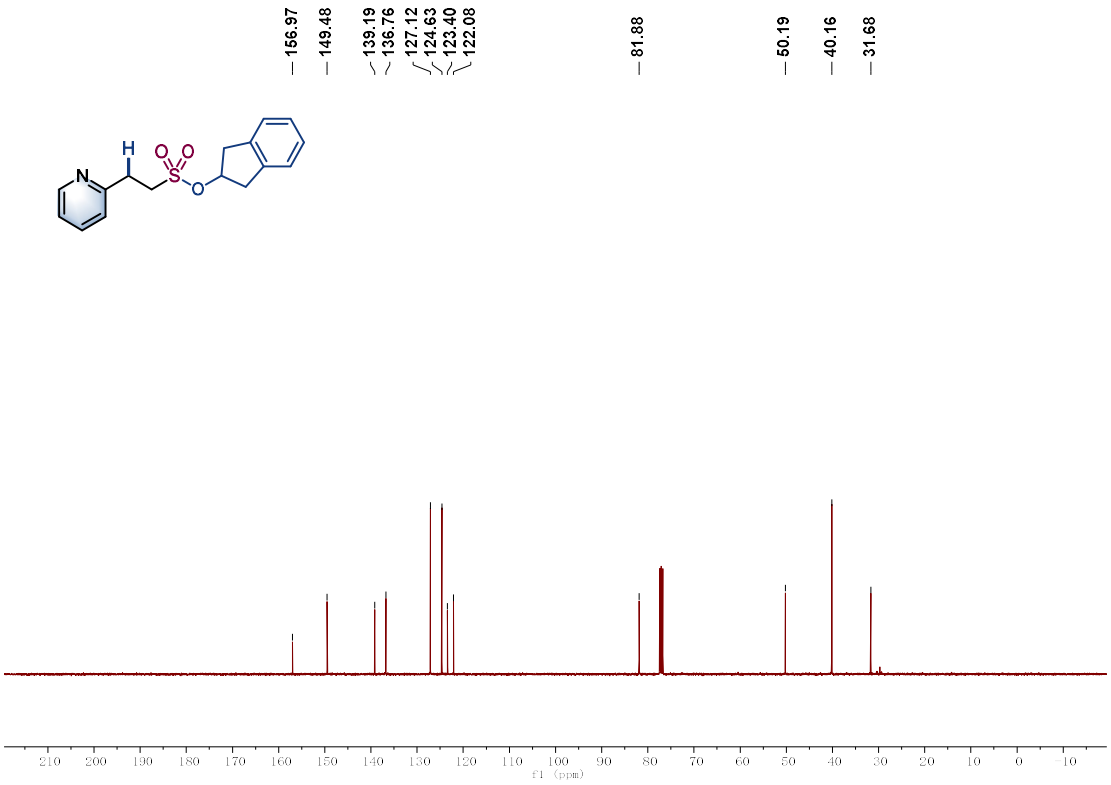
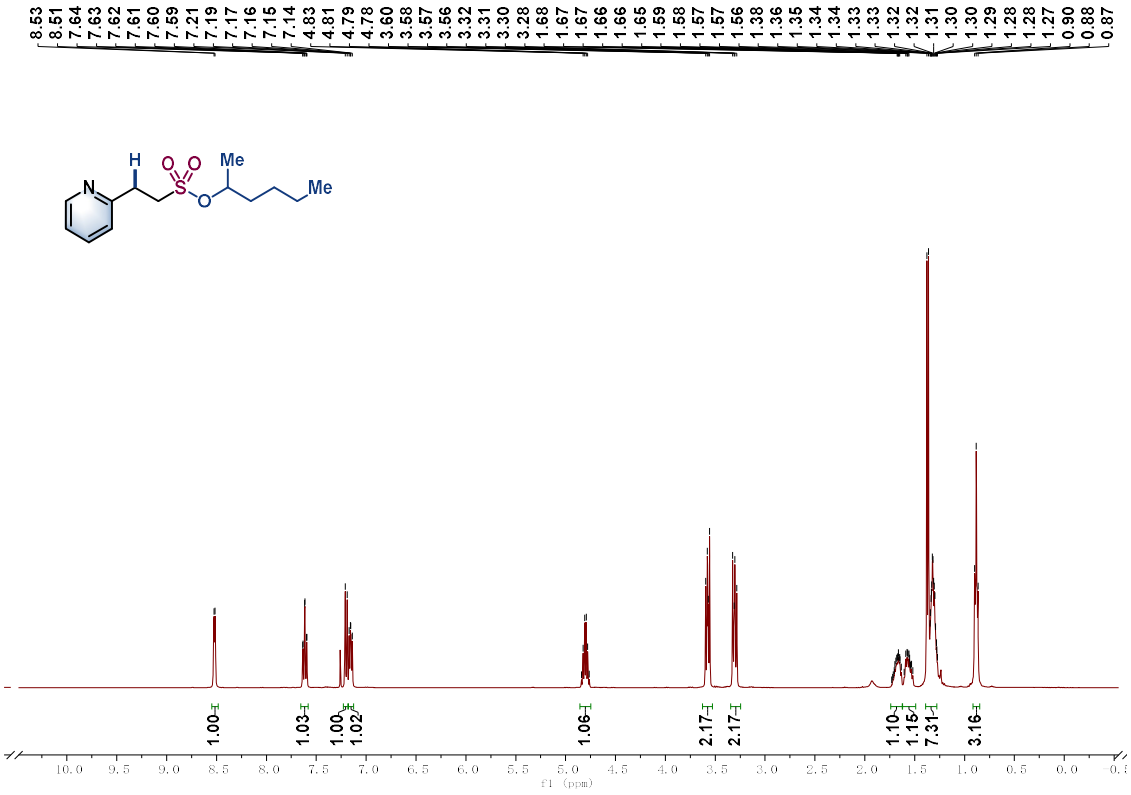
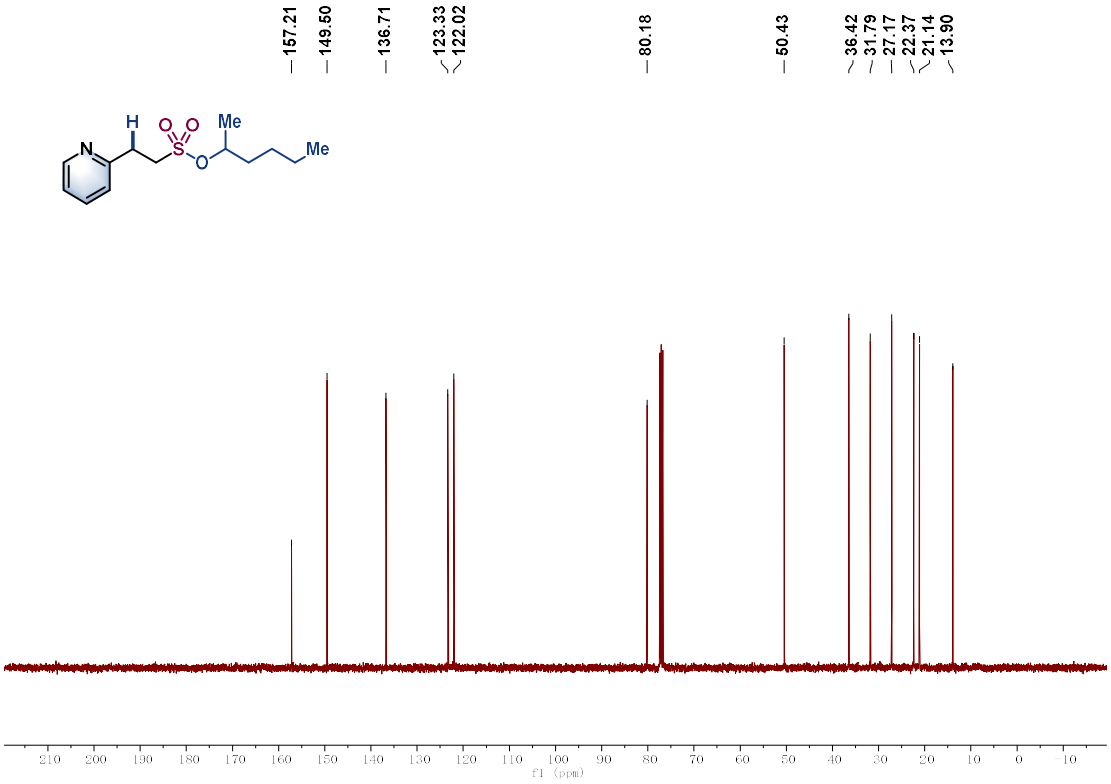


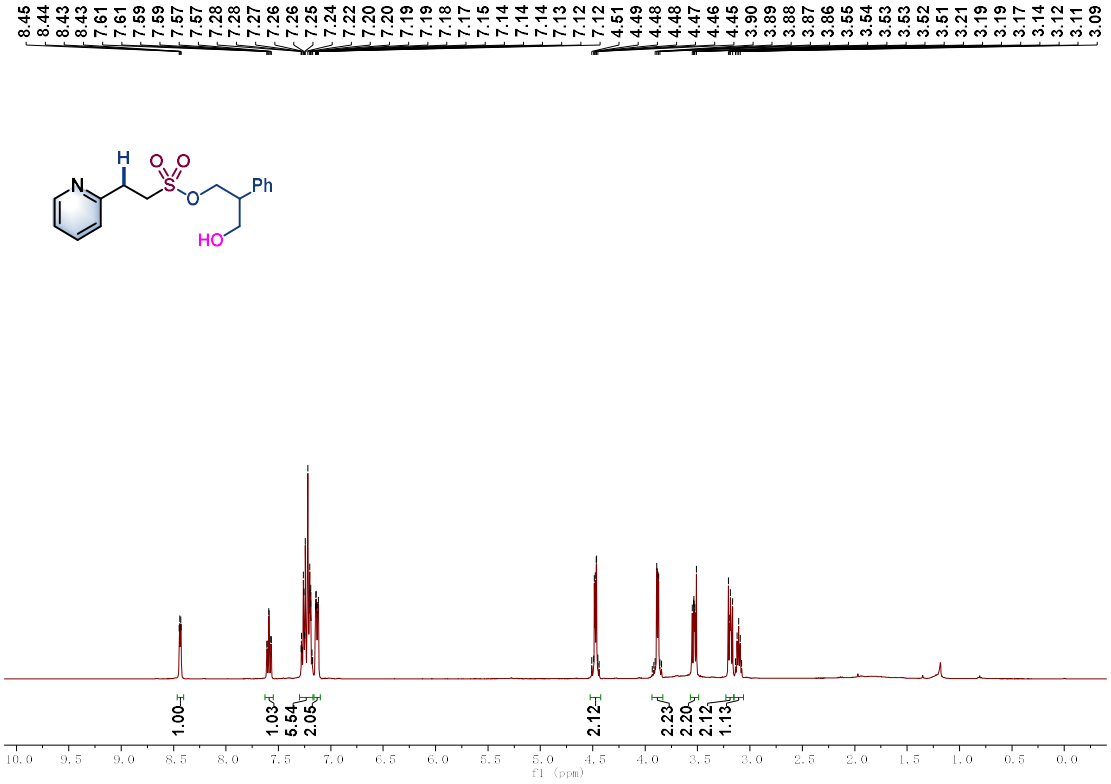
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **5d**



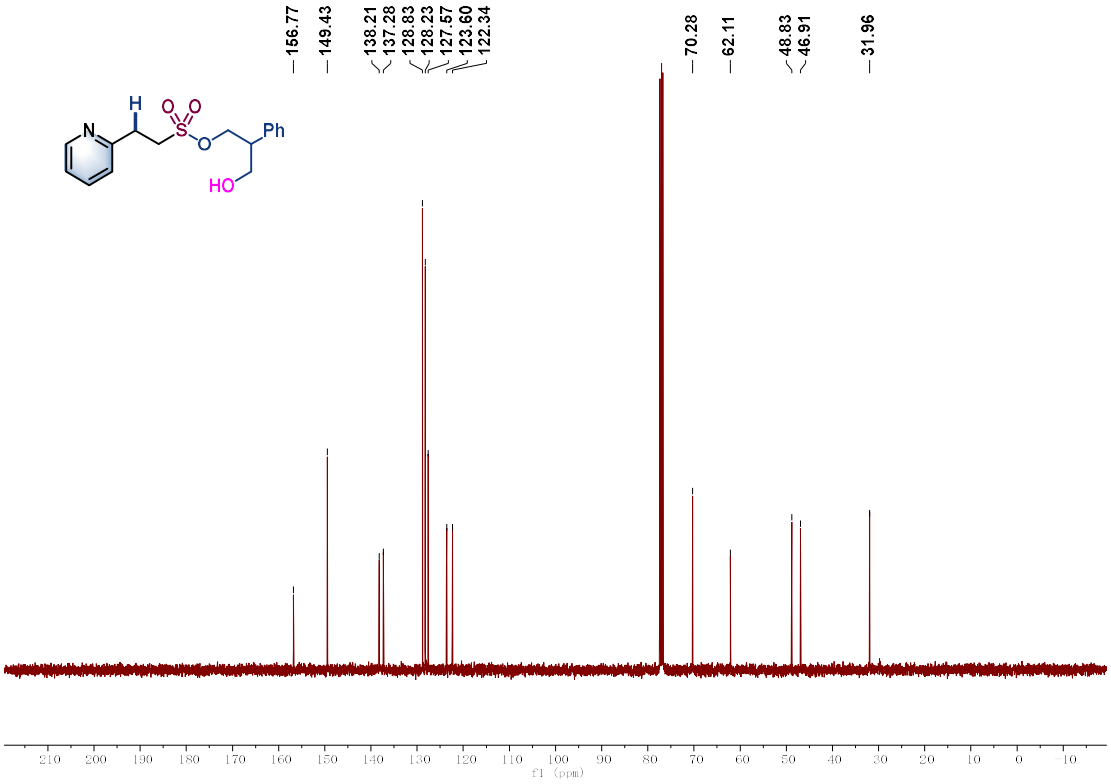
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **5d**



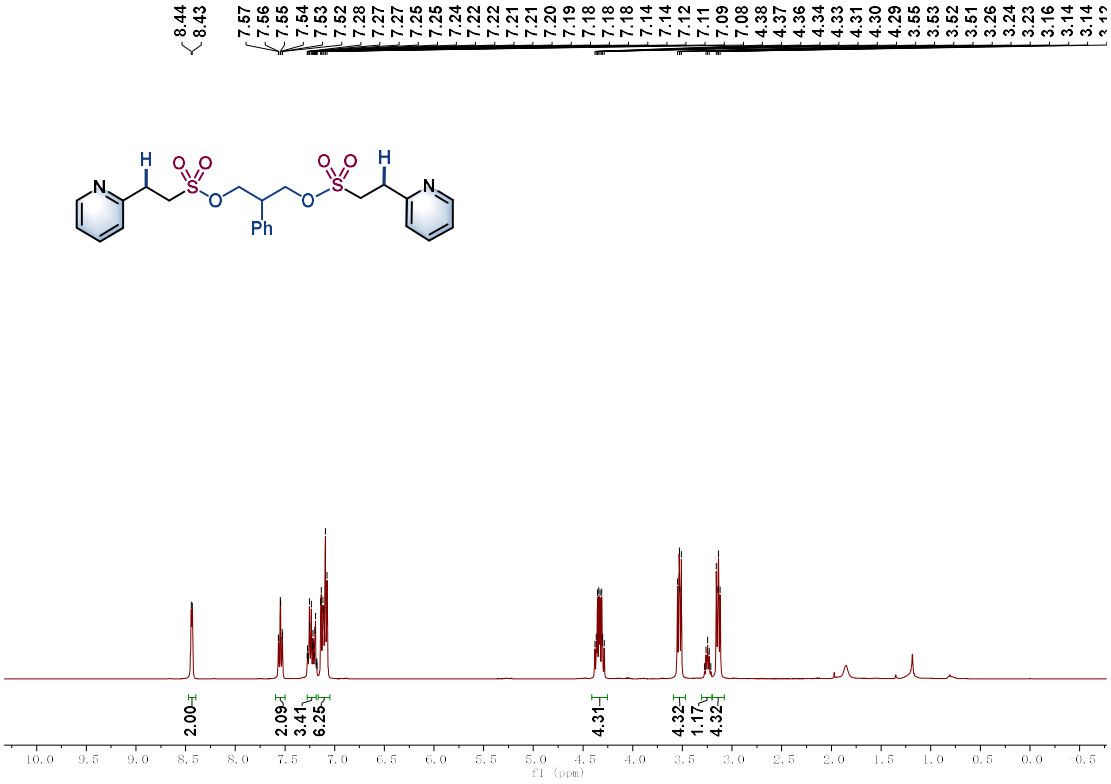
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **5e**



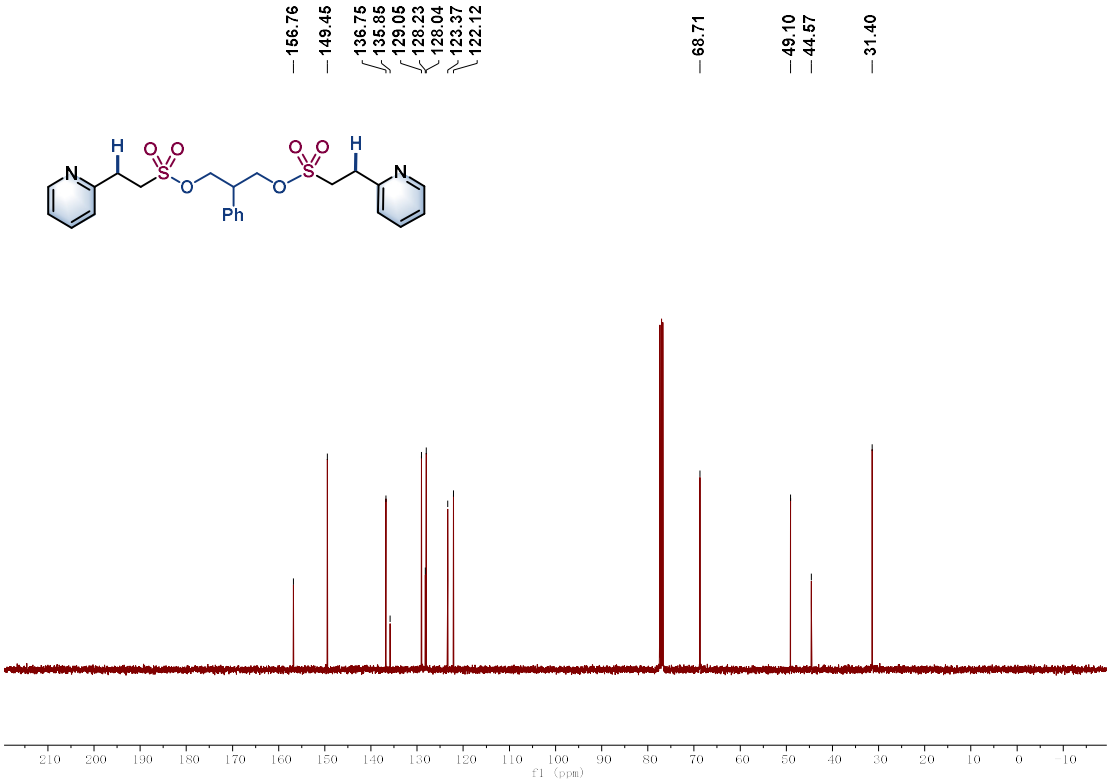
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **5e**



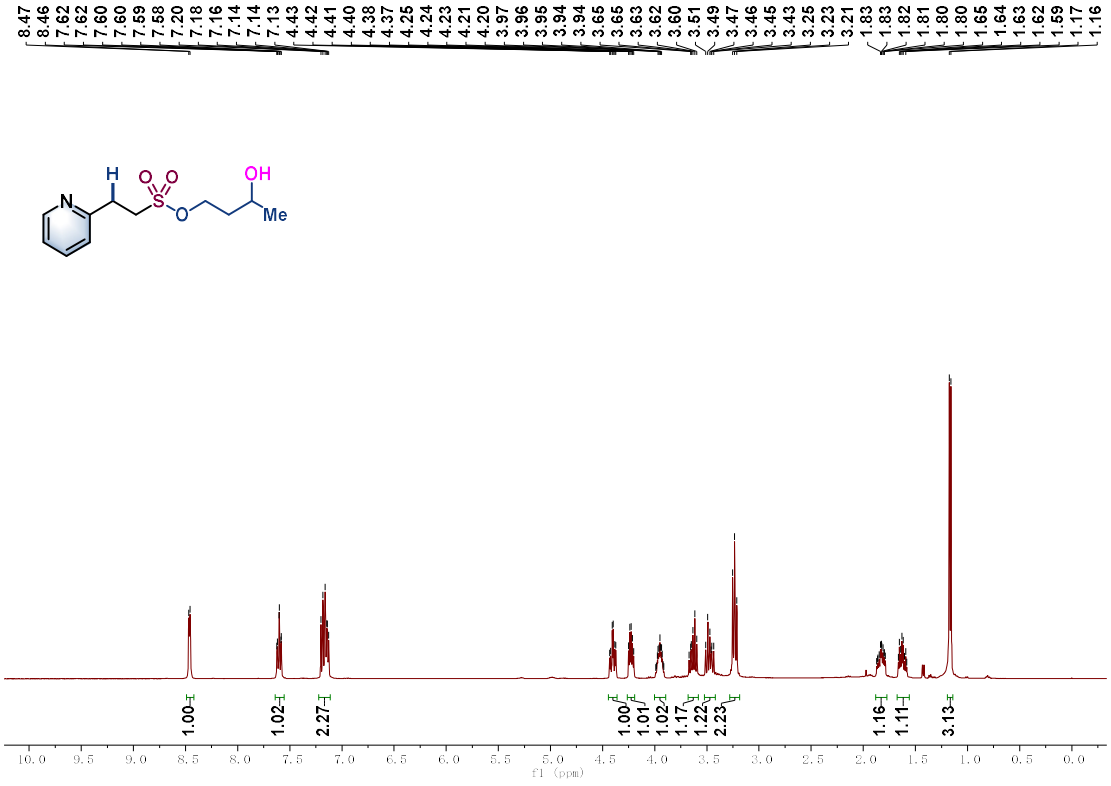
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **5e'**



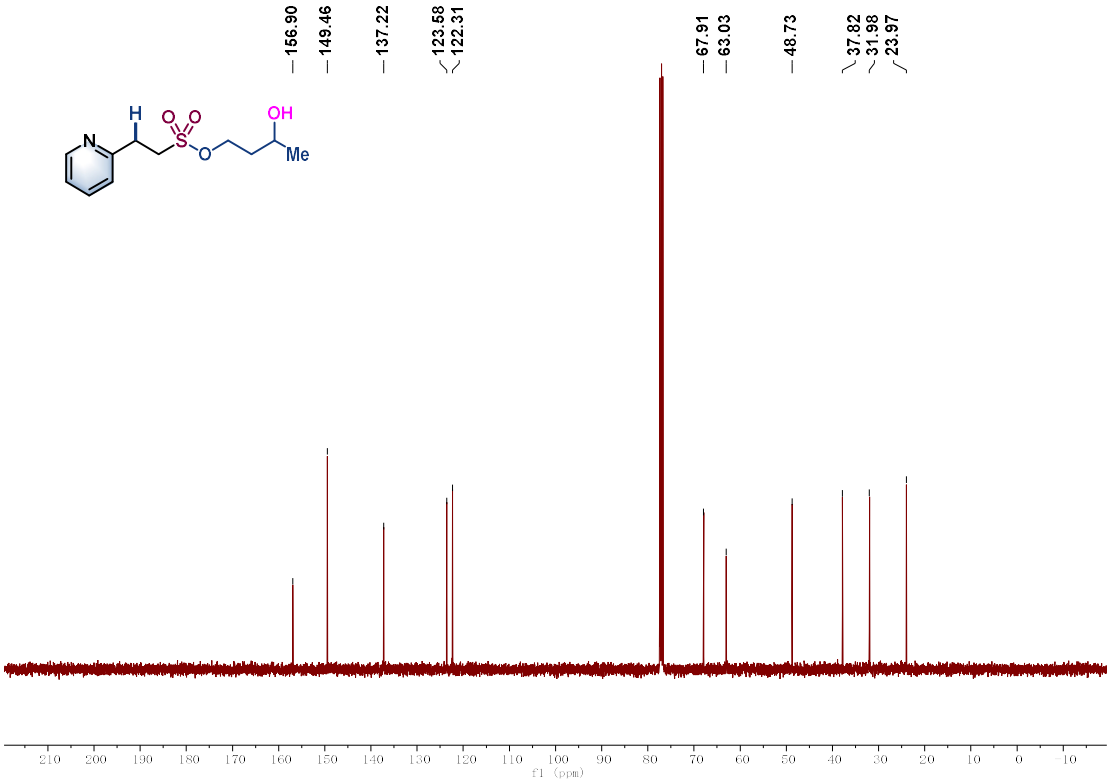
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **5e'**



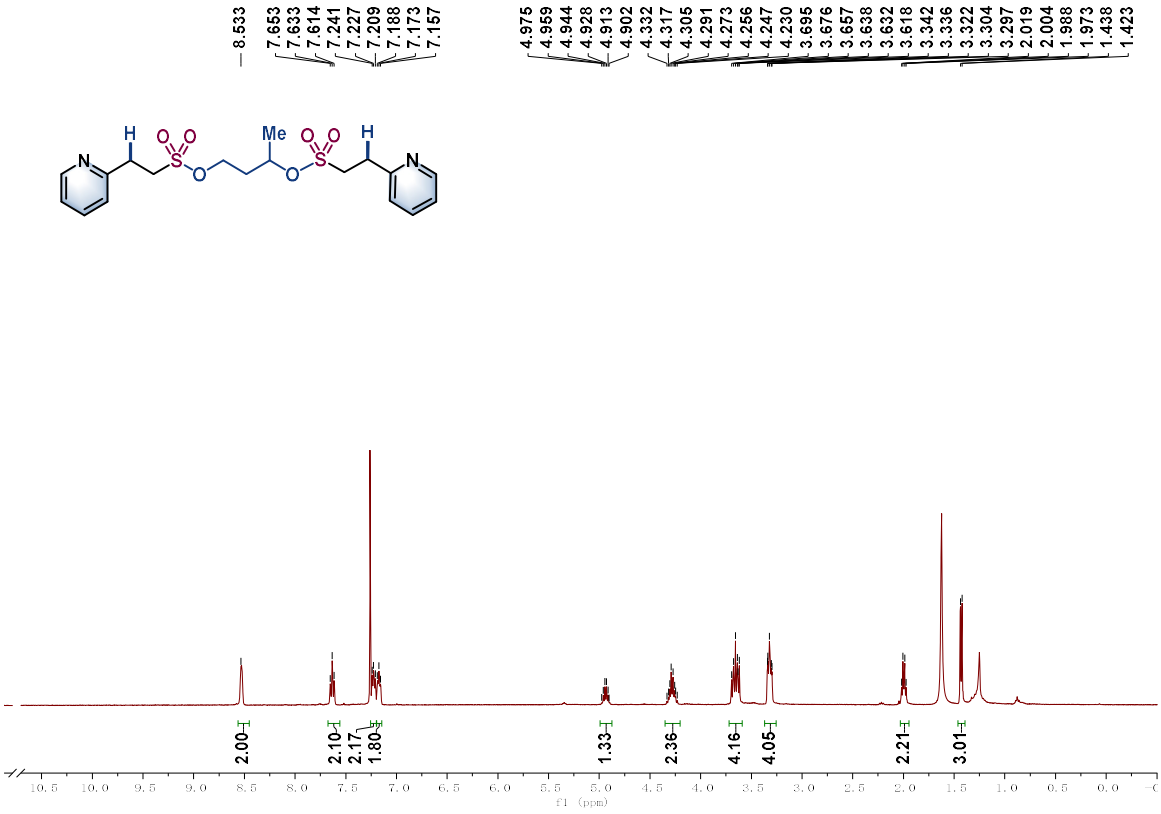
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **5f**



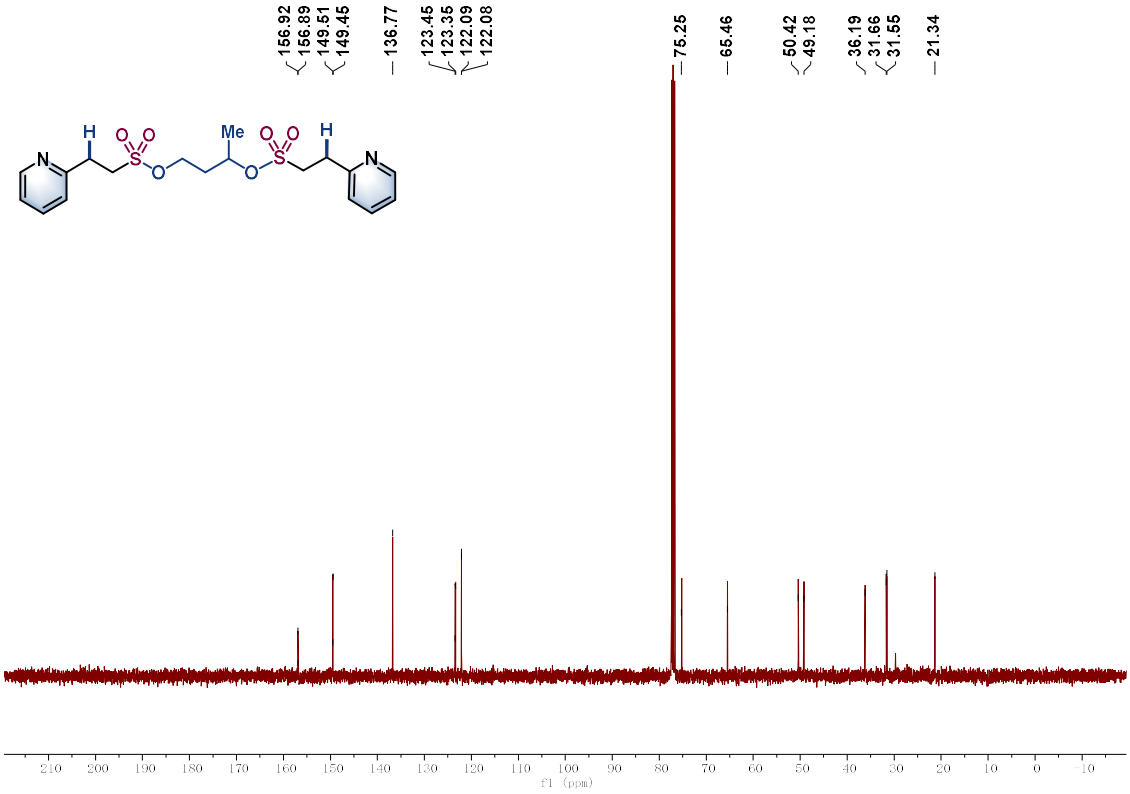
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **5f**



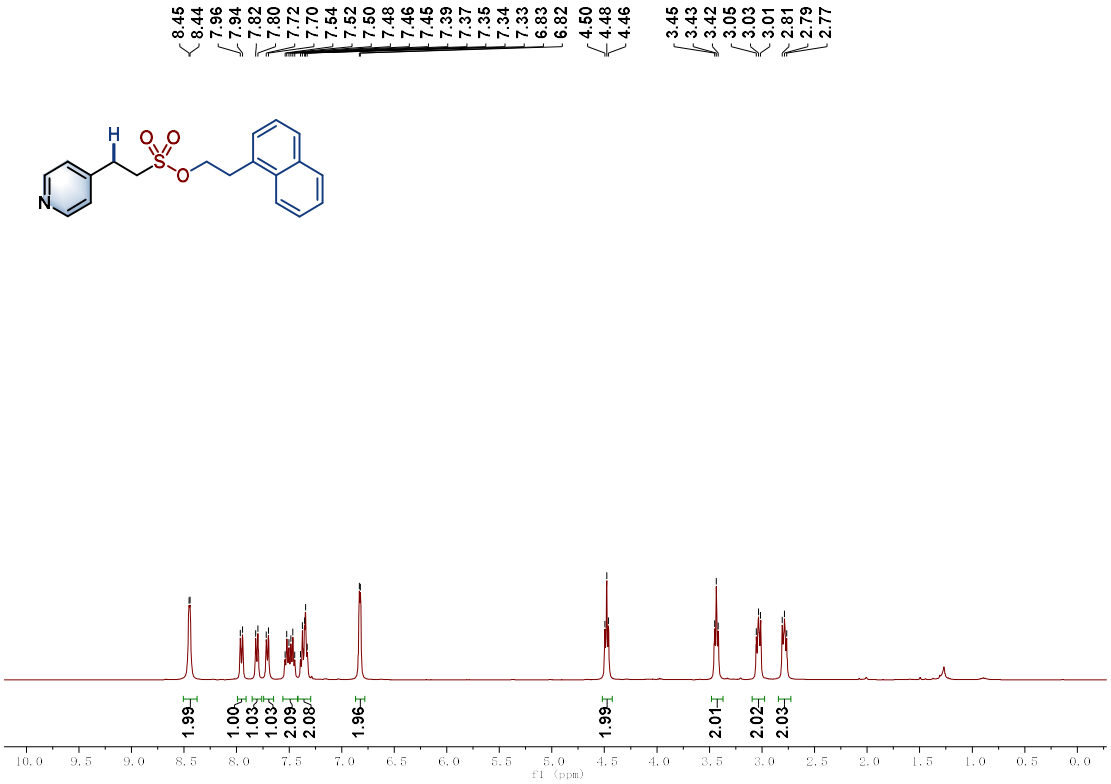
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **5f'**



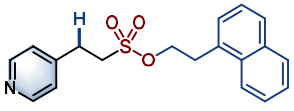
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **5f'**

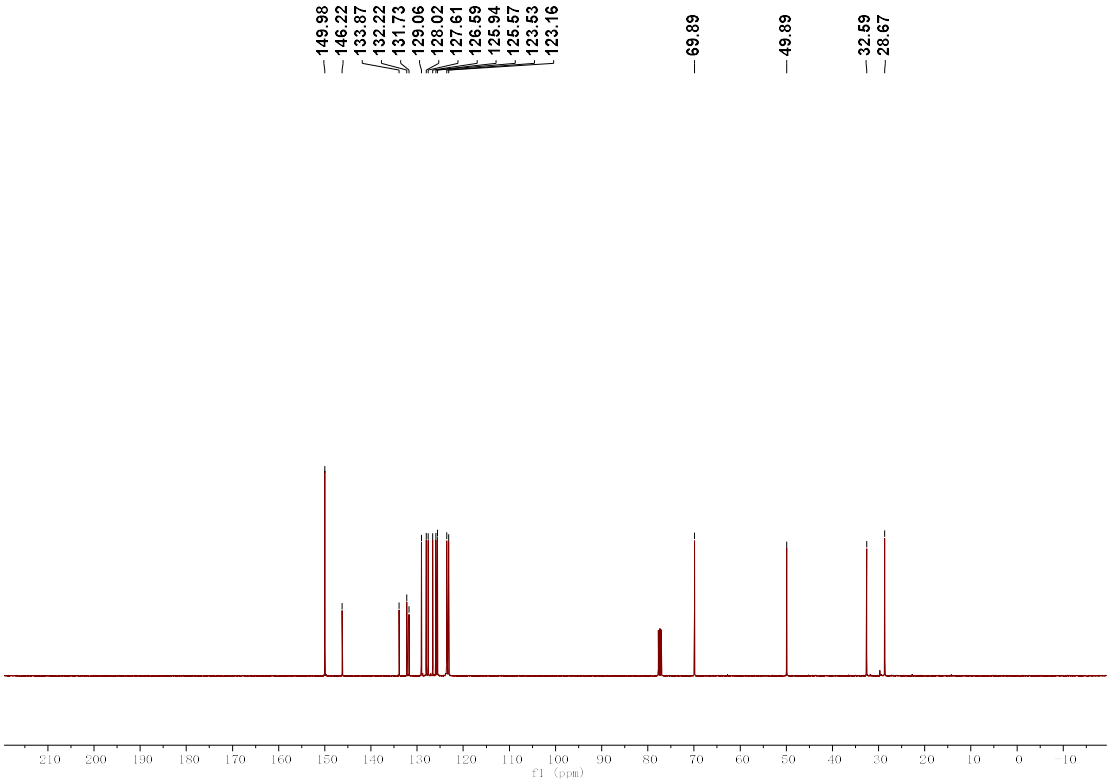


^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **5g**

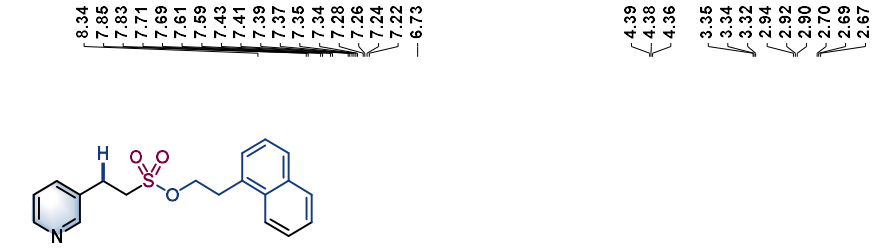


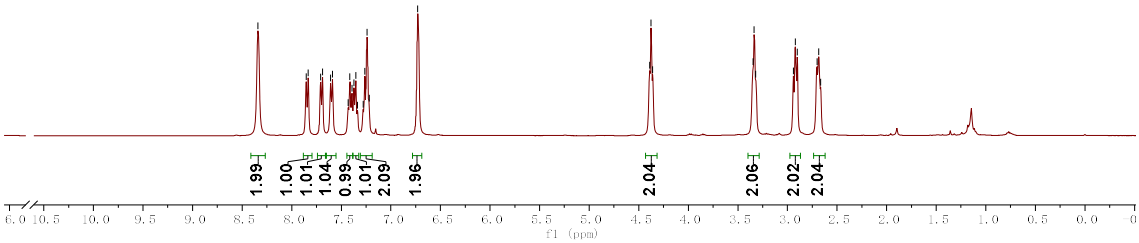
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **5g**



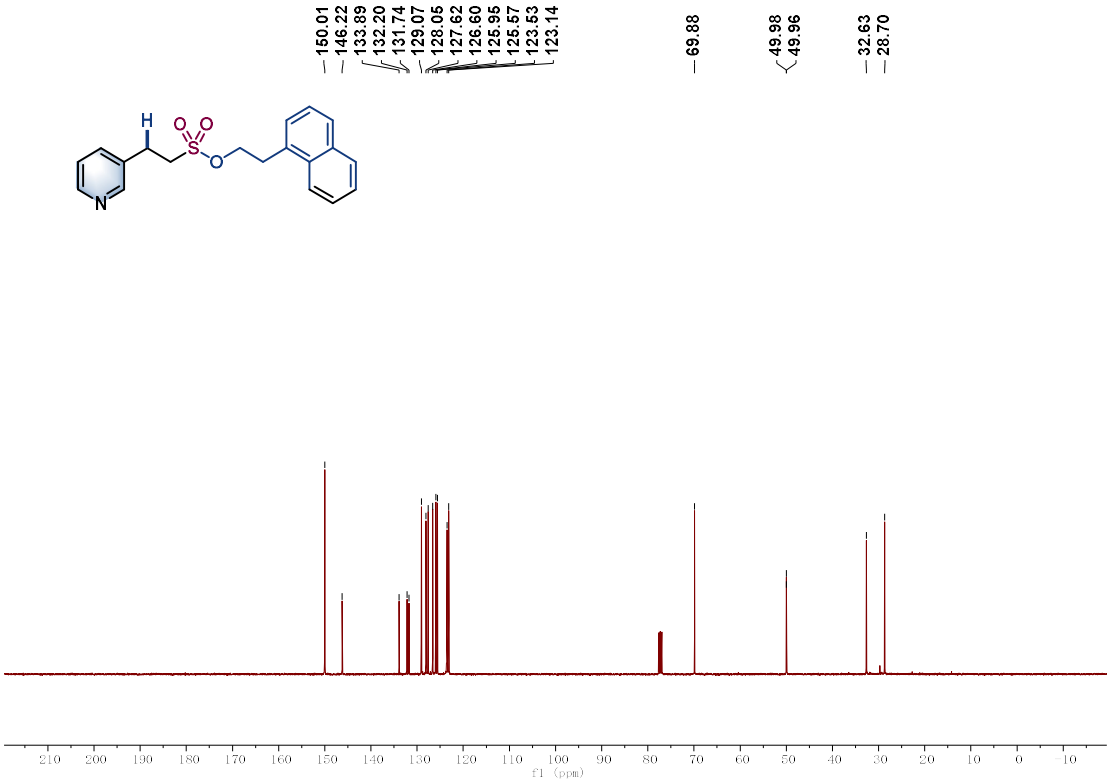


^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **5h**

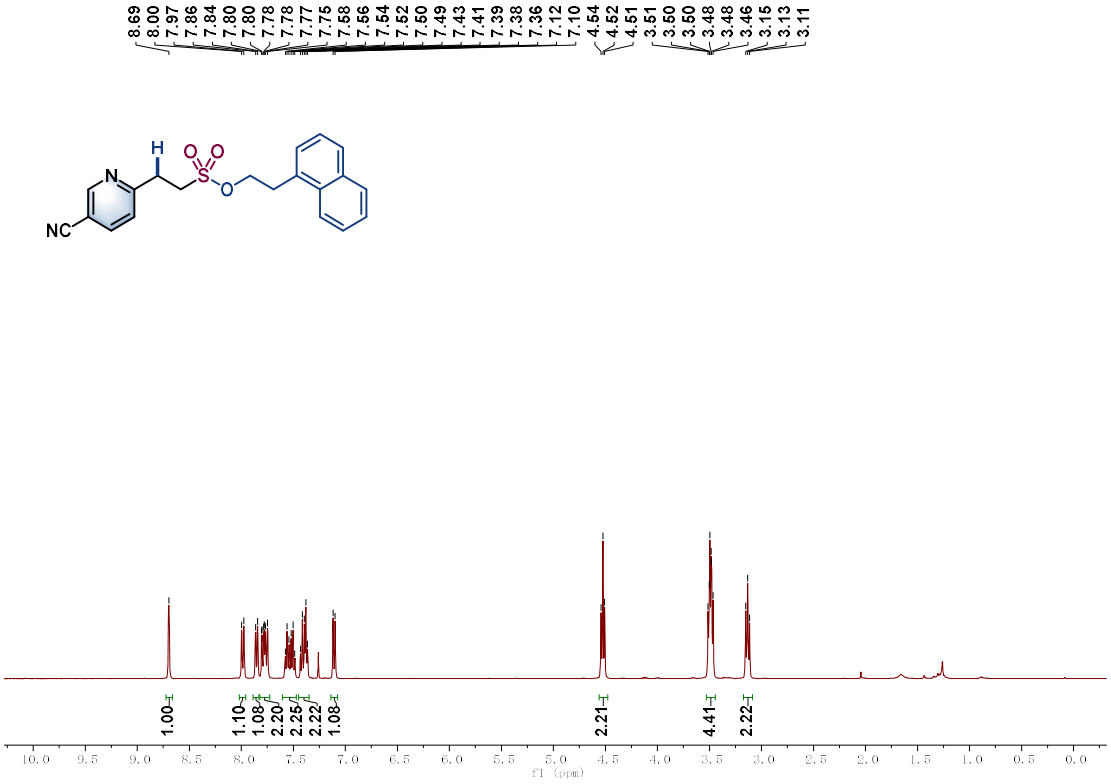




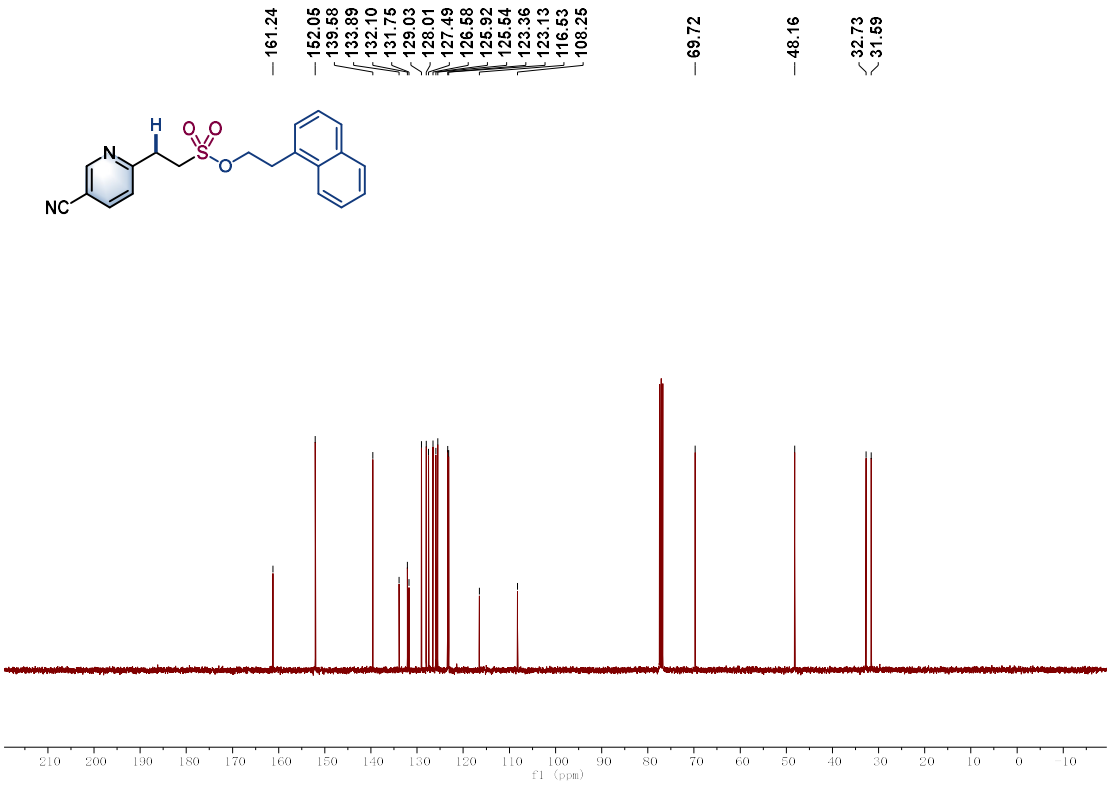
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **5h**



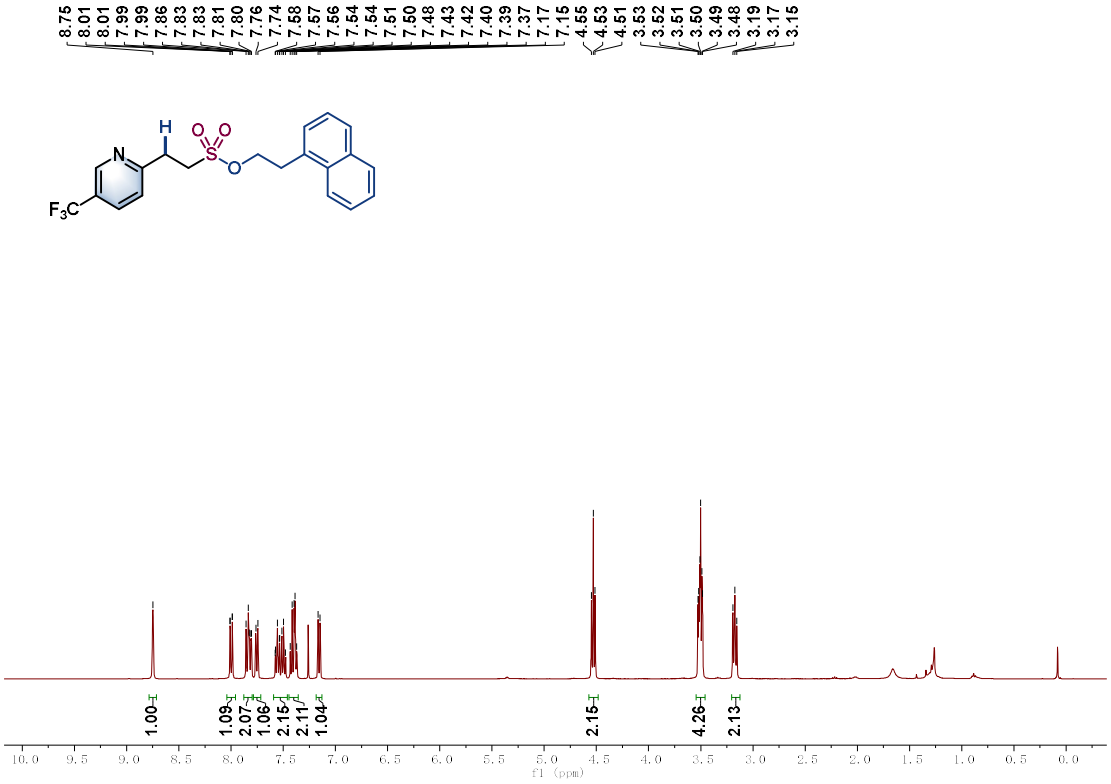
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **5i**



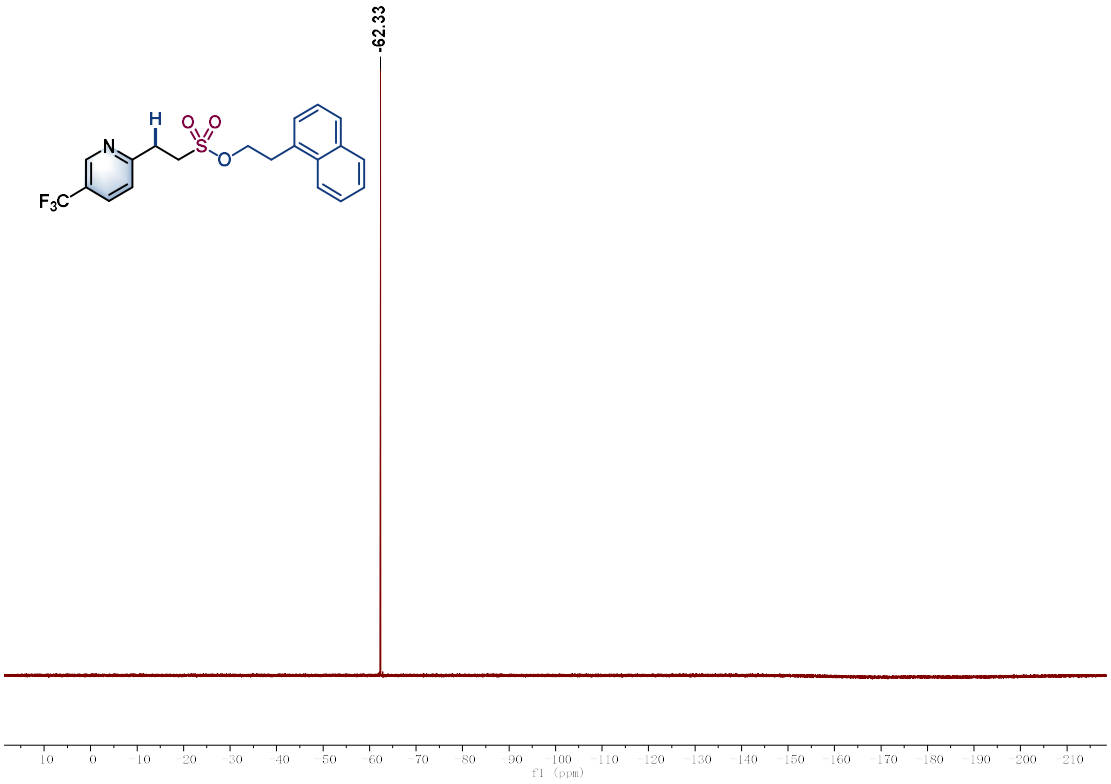
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **5i**



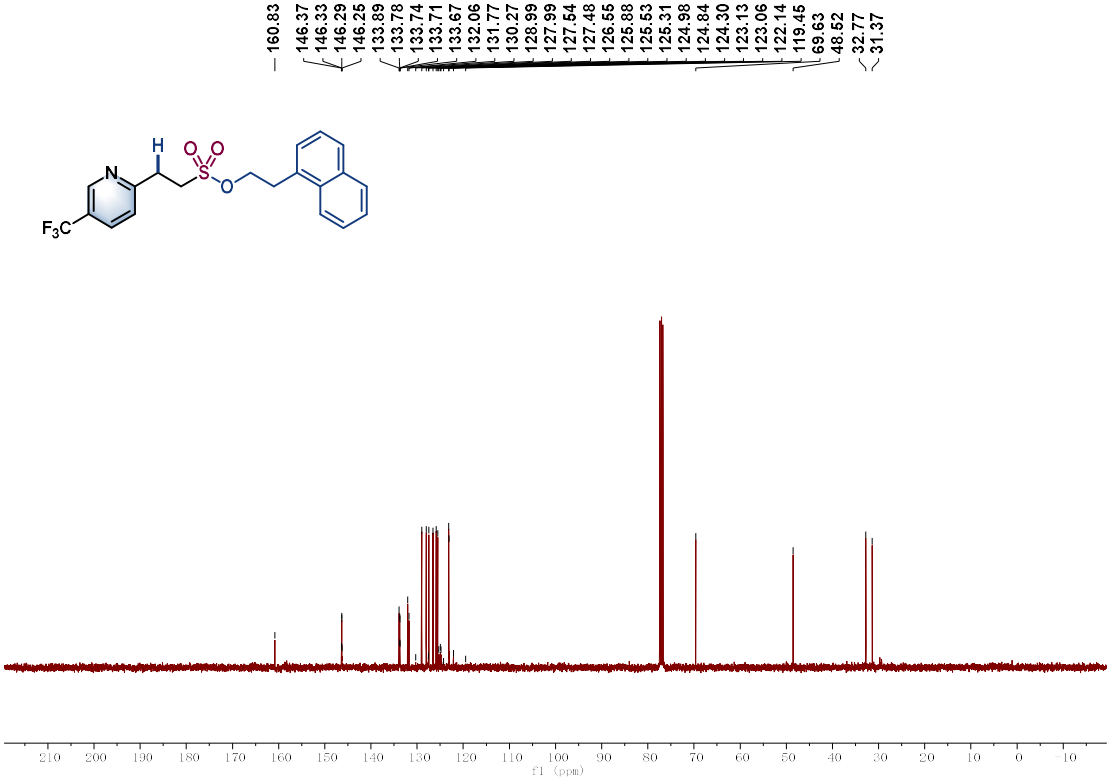
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **5j**



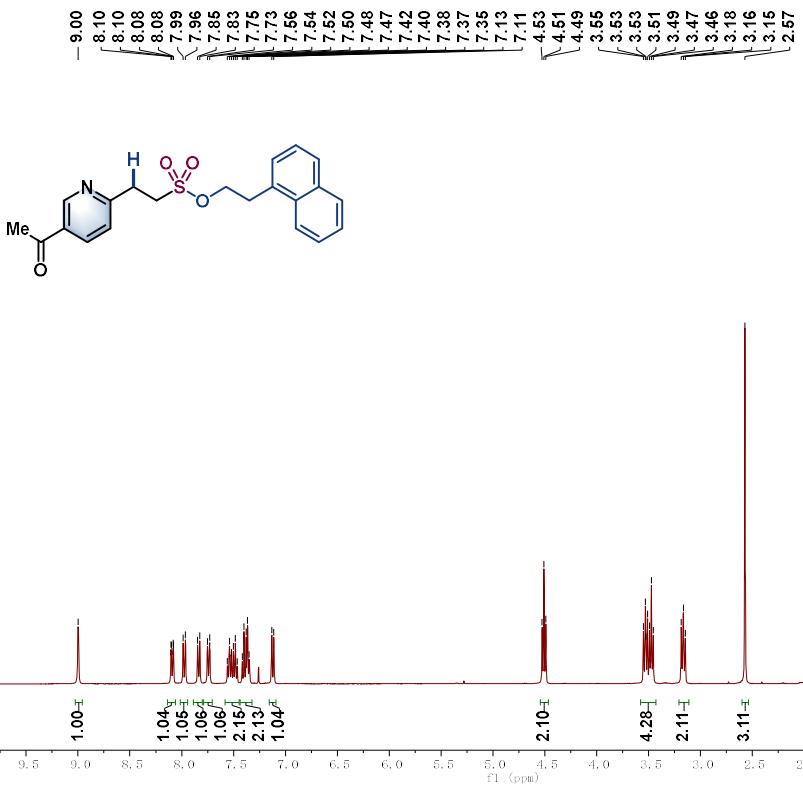
^{19}F NMR-spectrum (376 MHz, $CDCl_3$) of **5j**



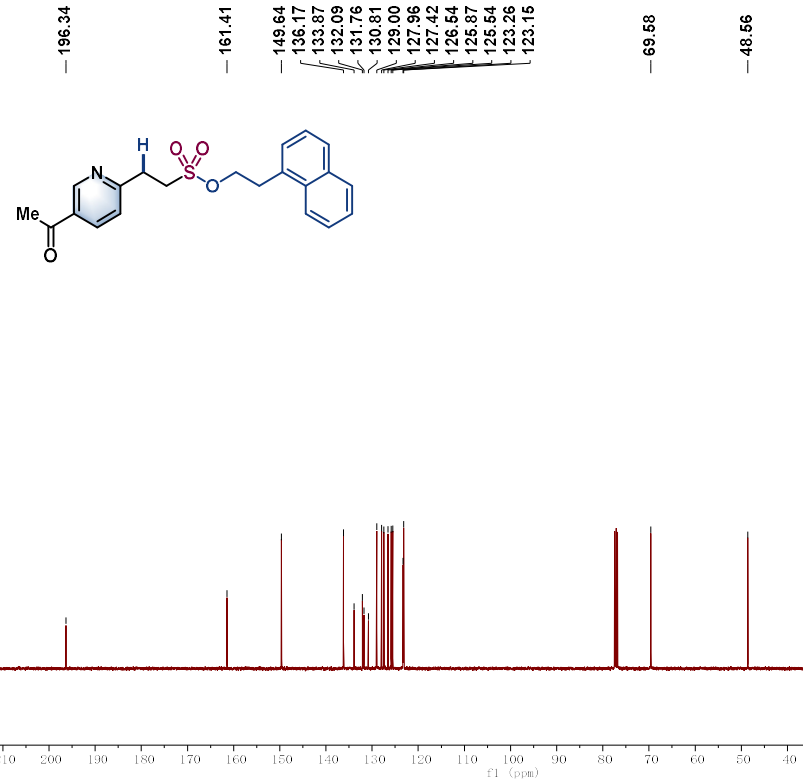
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **5j**



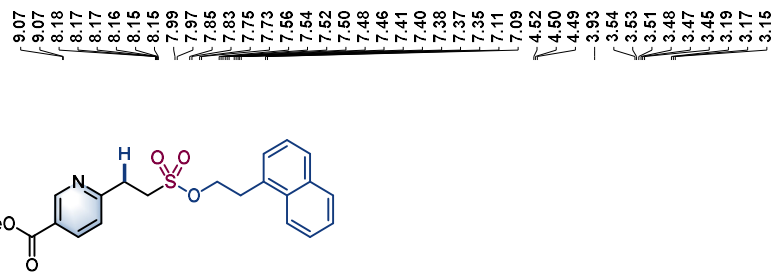
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **5k**

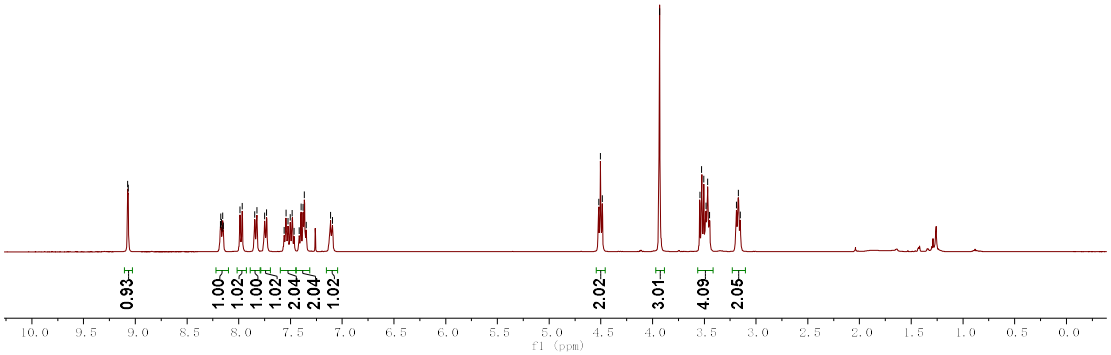


^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **5k**

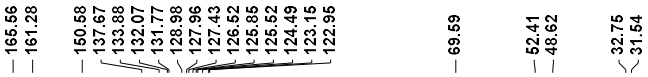


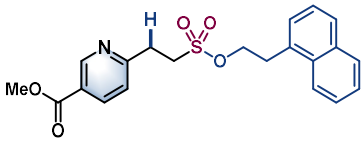
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **5l**

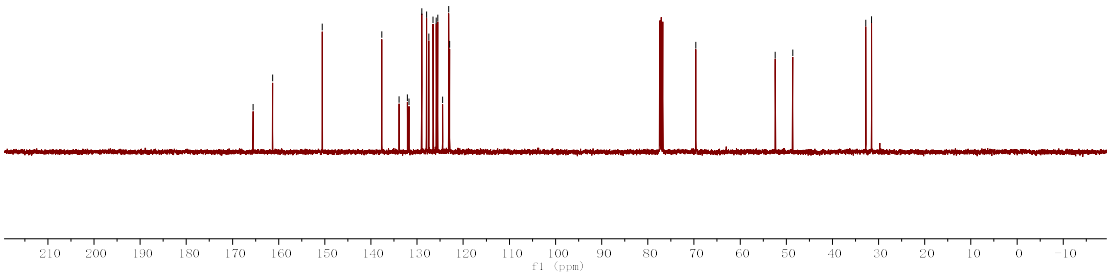




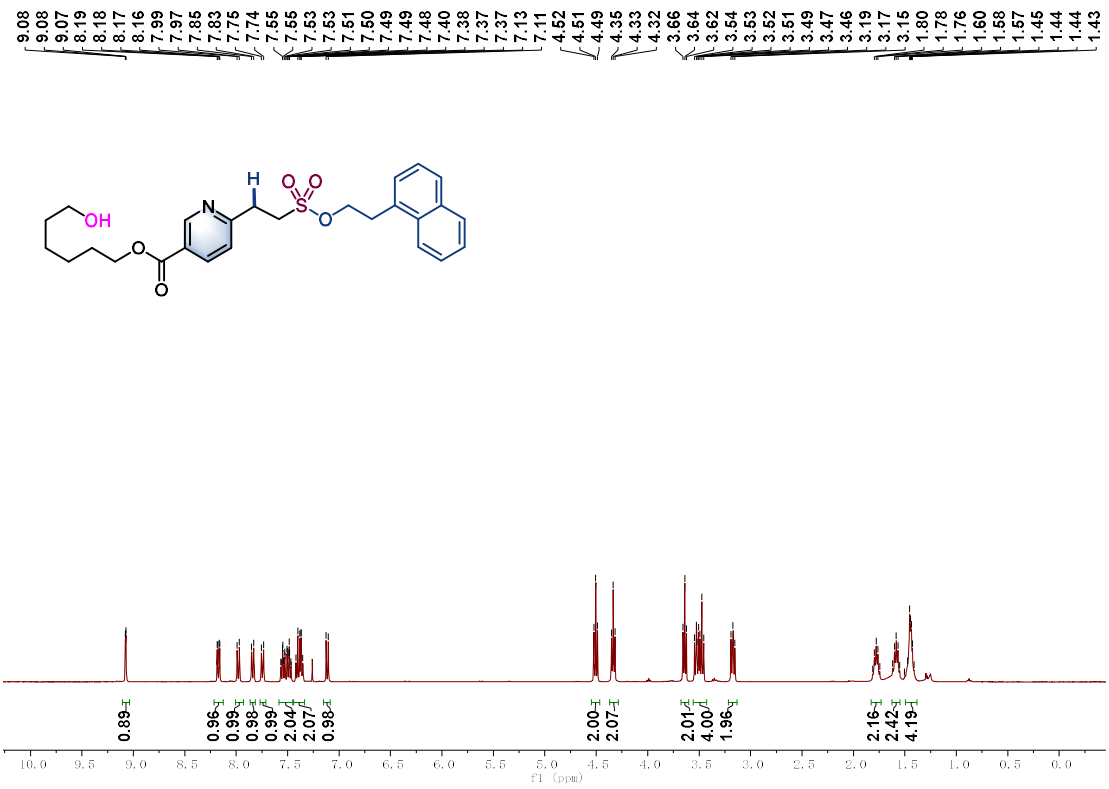
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **5l**



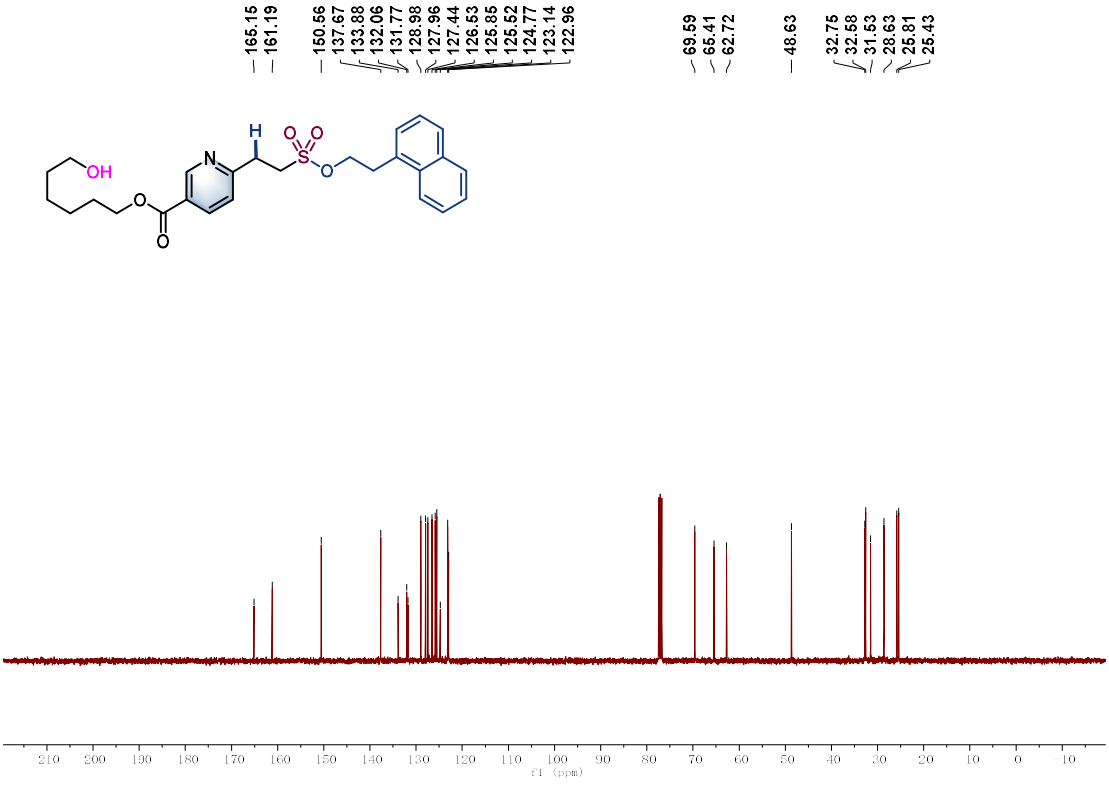




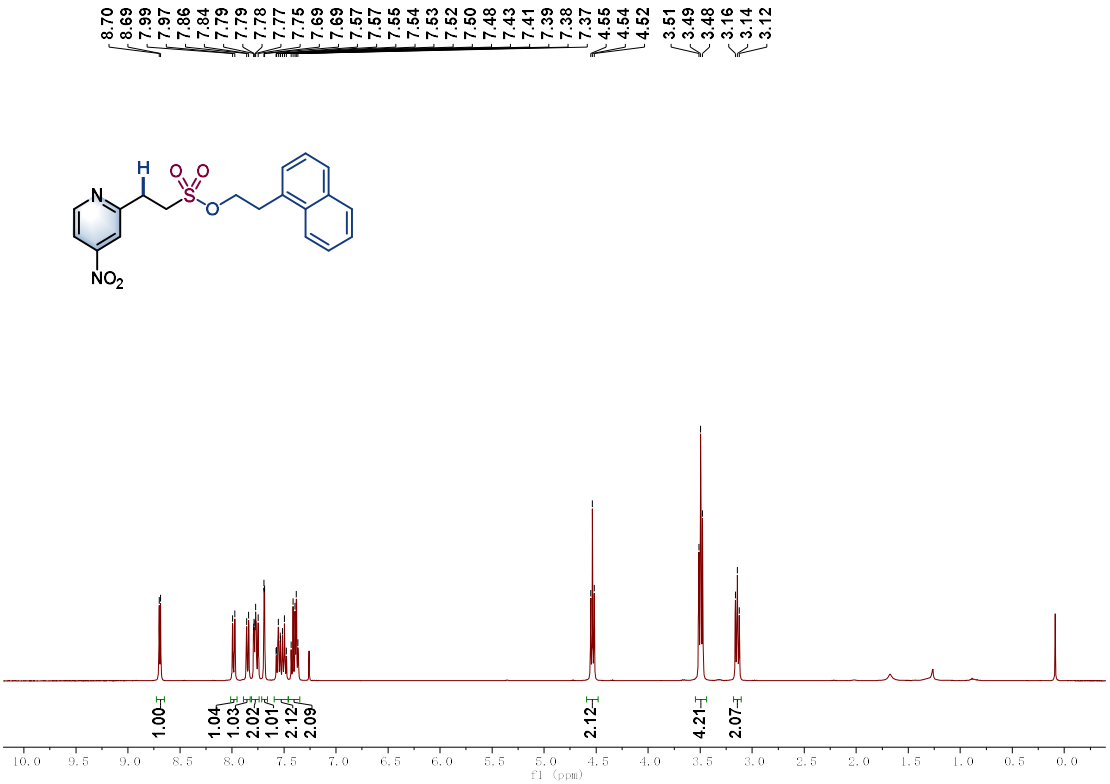
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **5m**



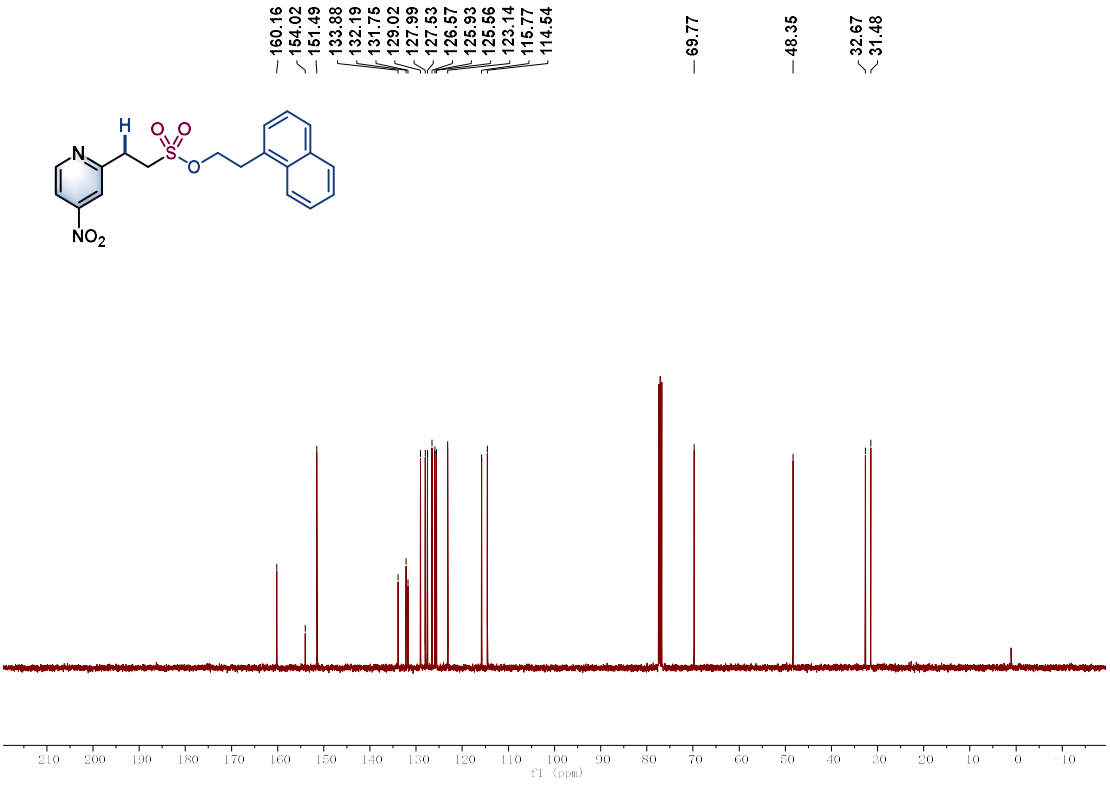
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **5m**



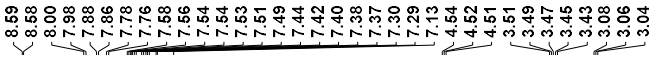
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **5n**

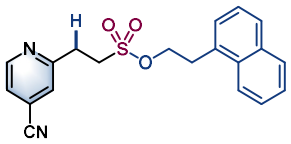


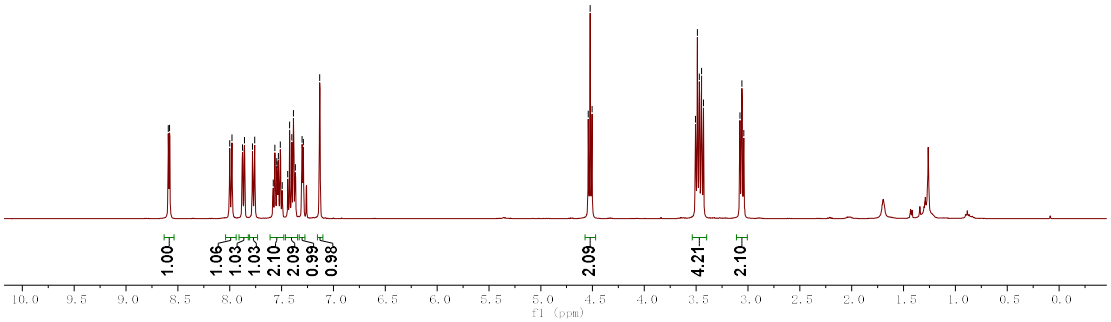
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **5n**



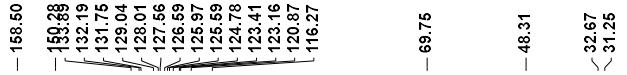
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **5o**

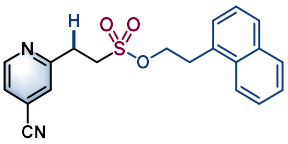


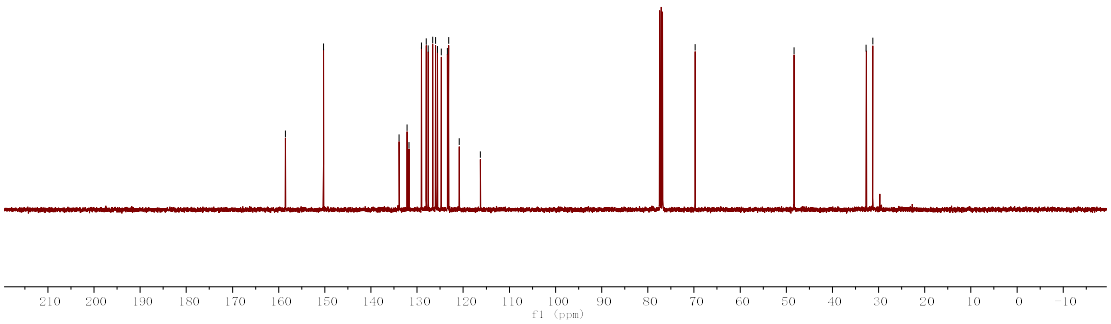




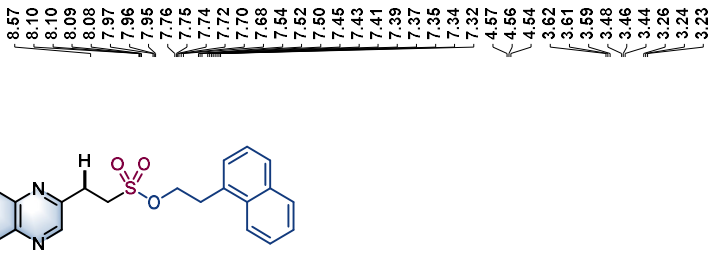
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **5o**



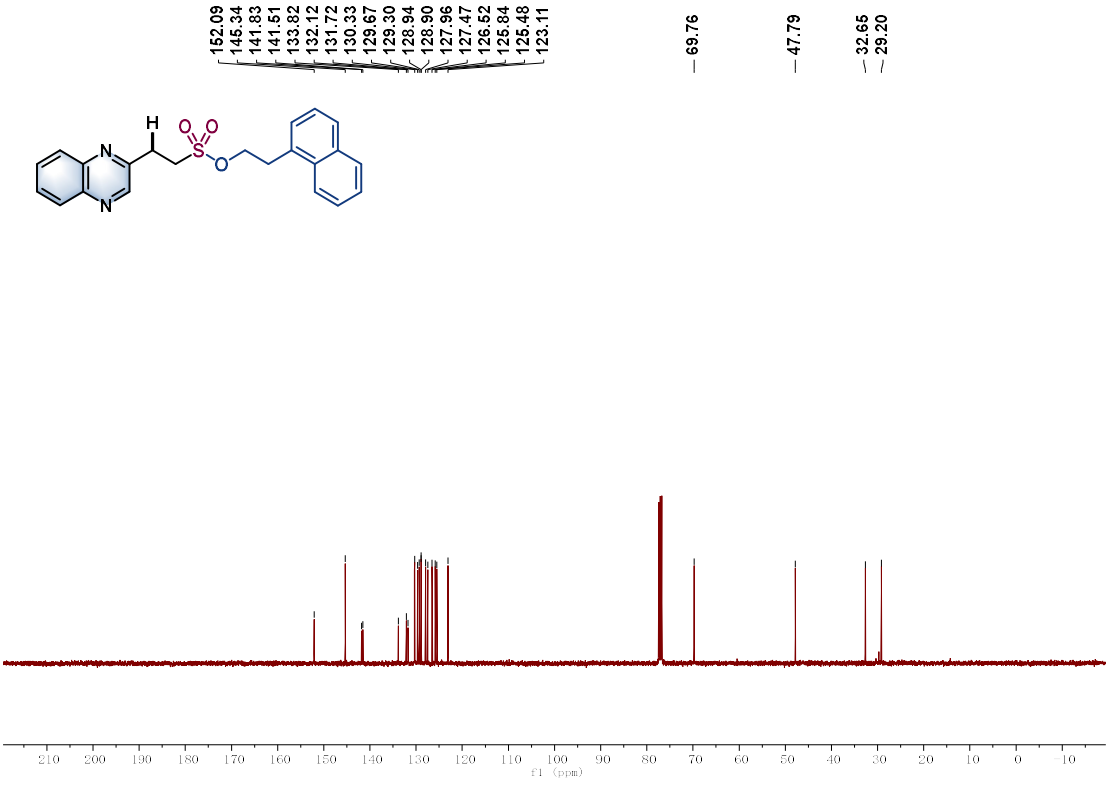




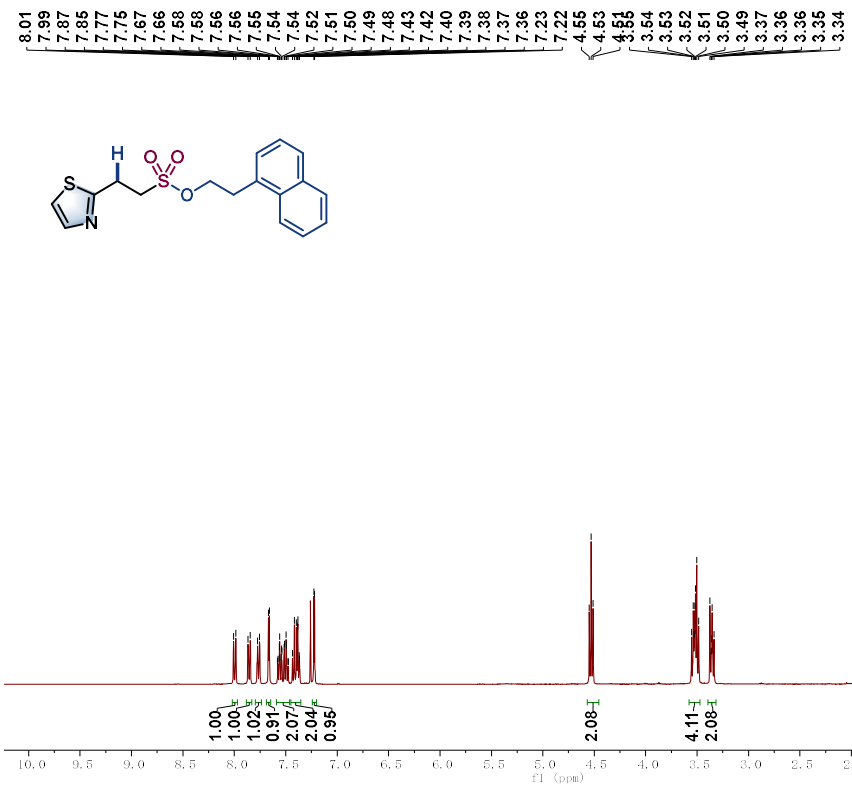
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **5p**



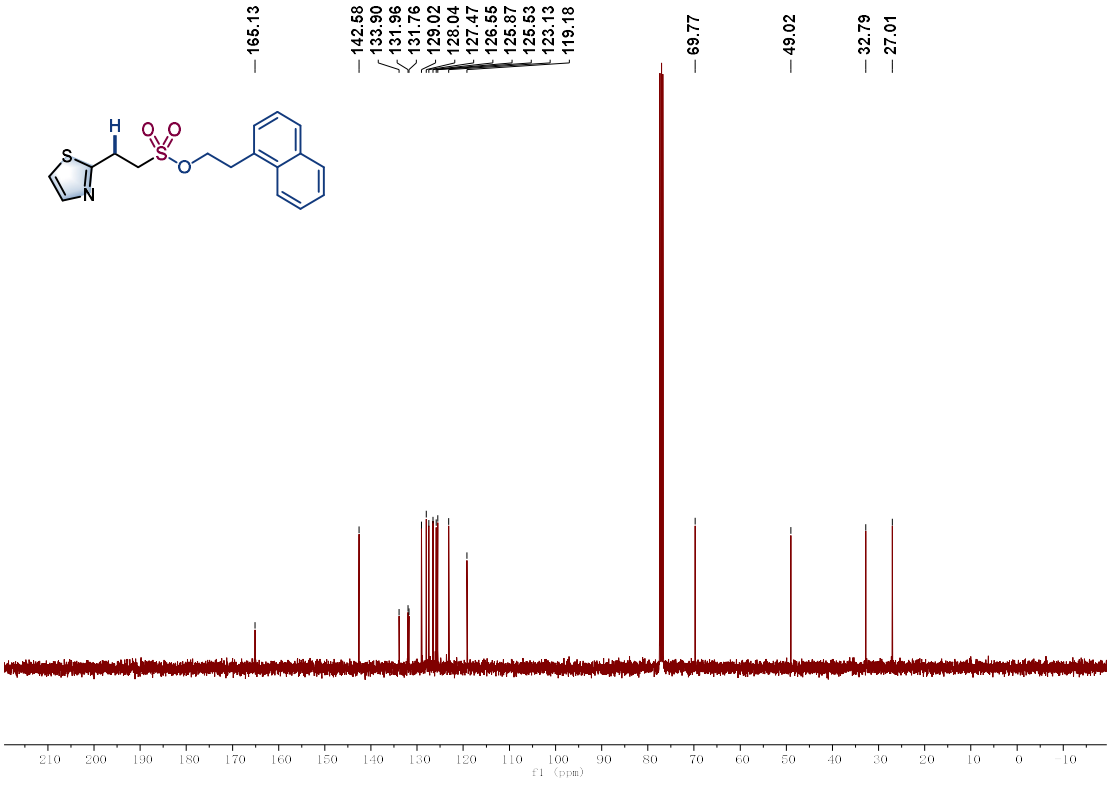
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **5p**



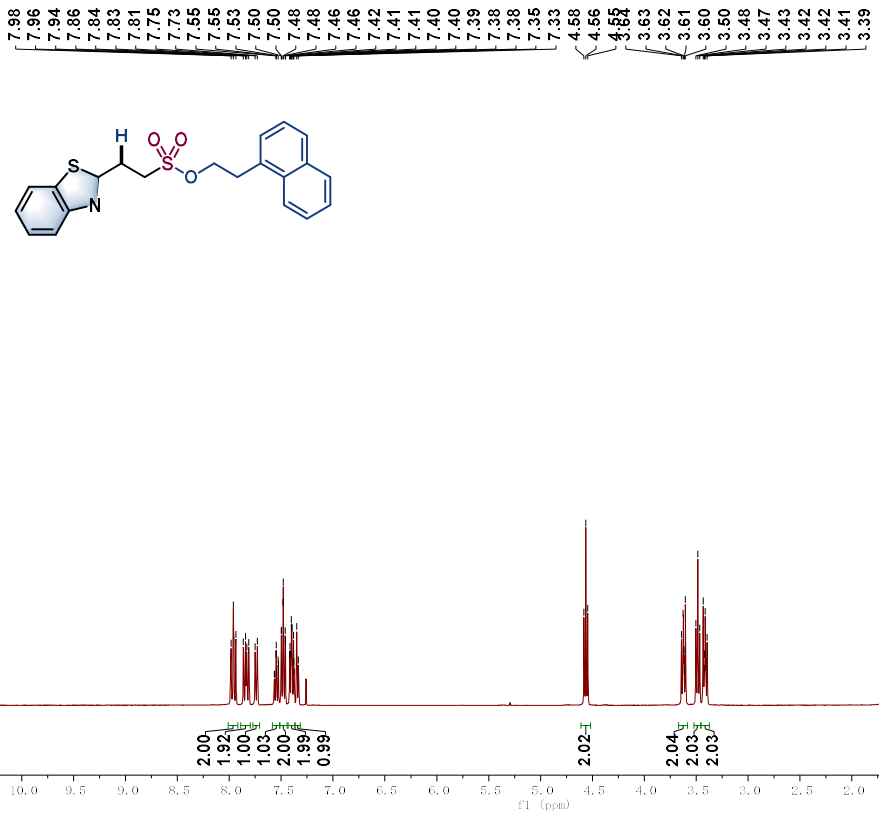
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **5q**



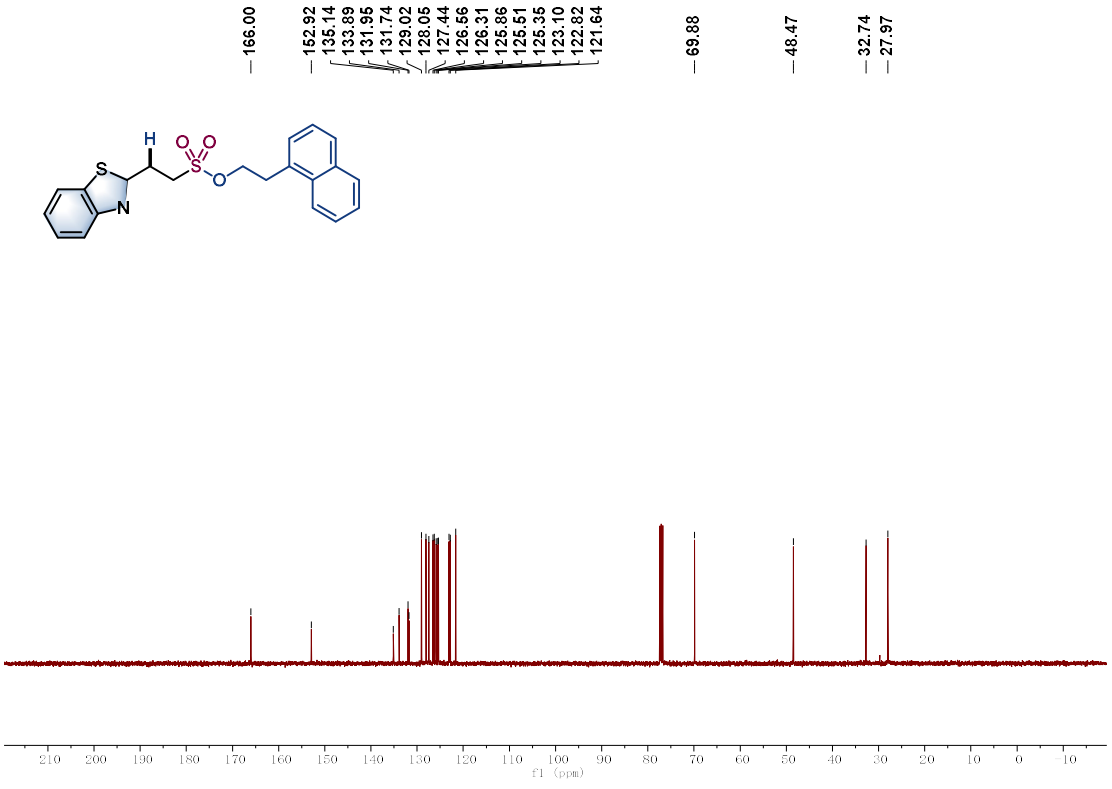
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **5q**



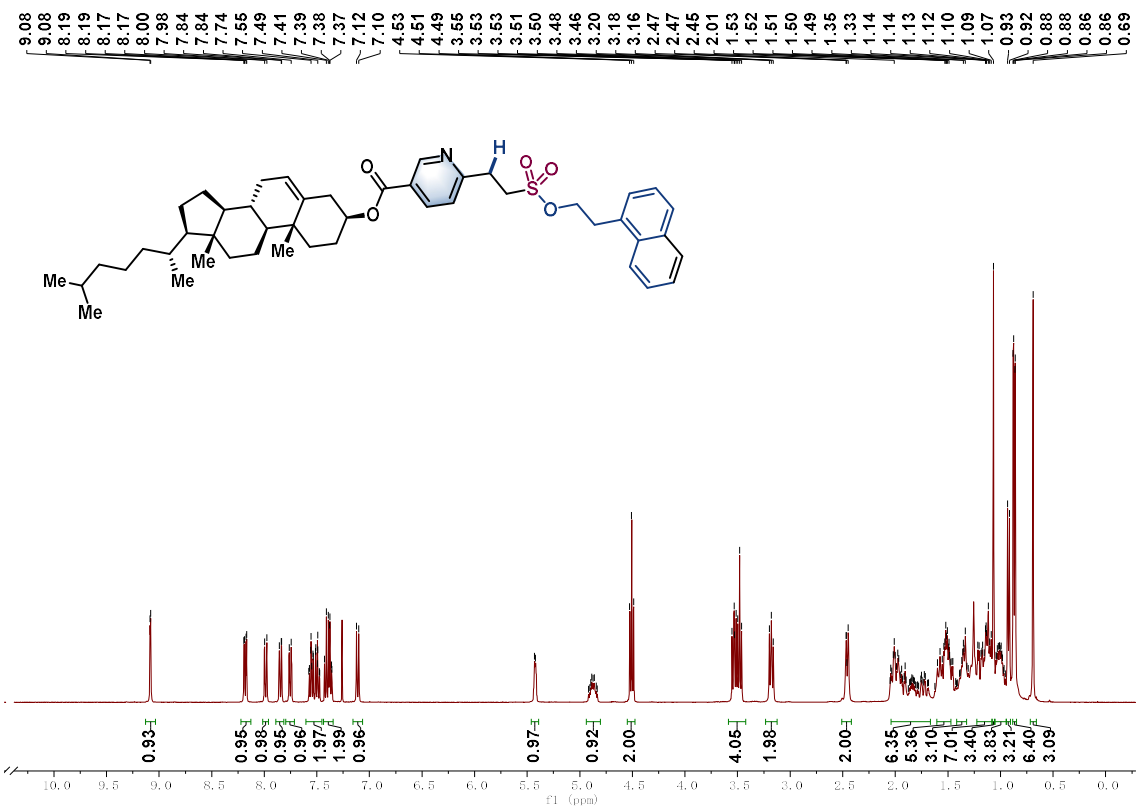
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **5r**



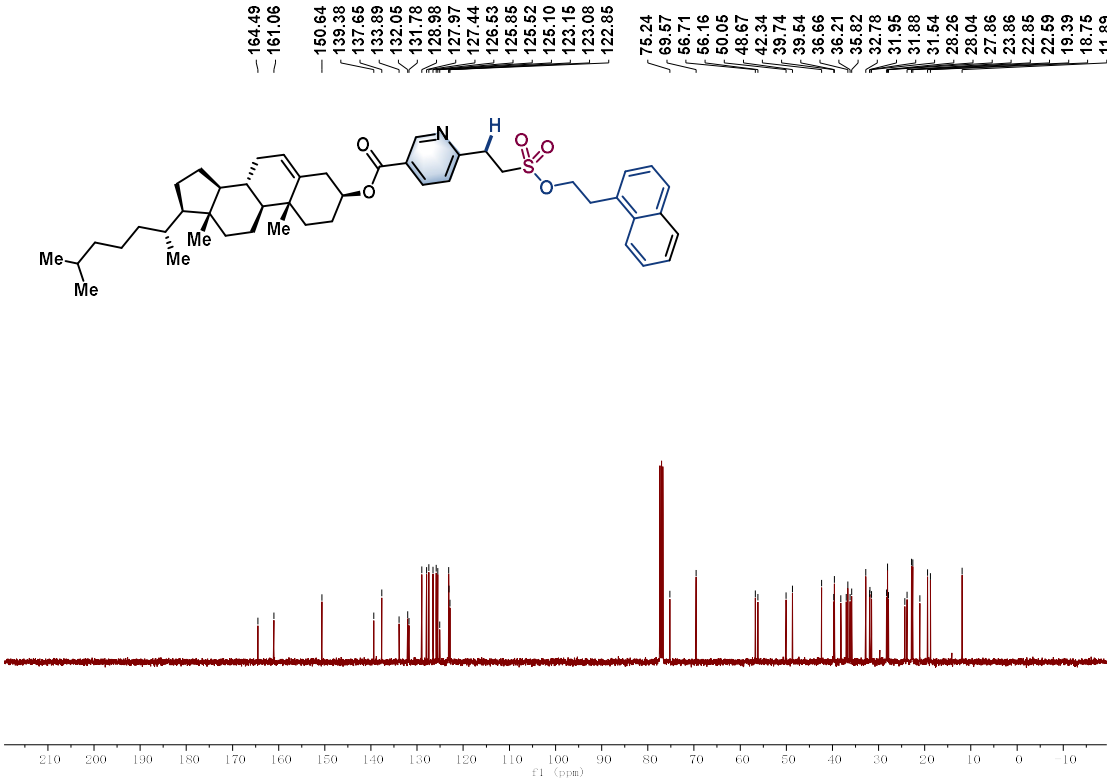
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **5r**



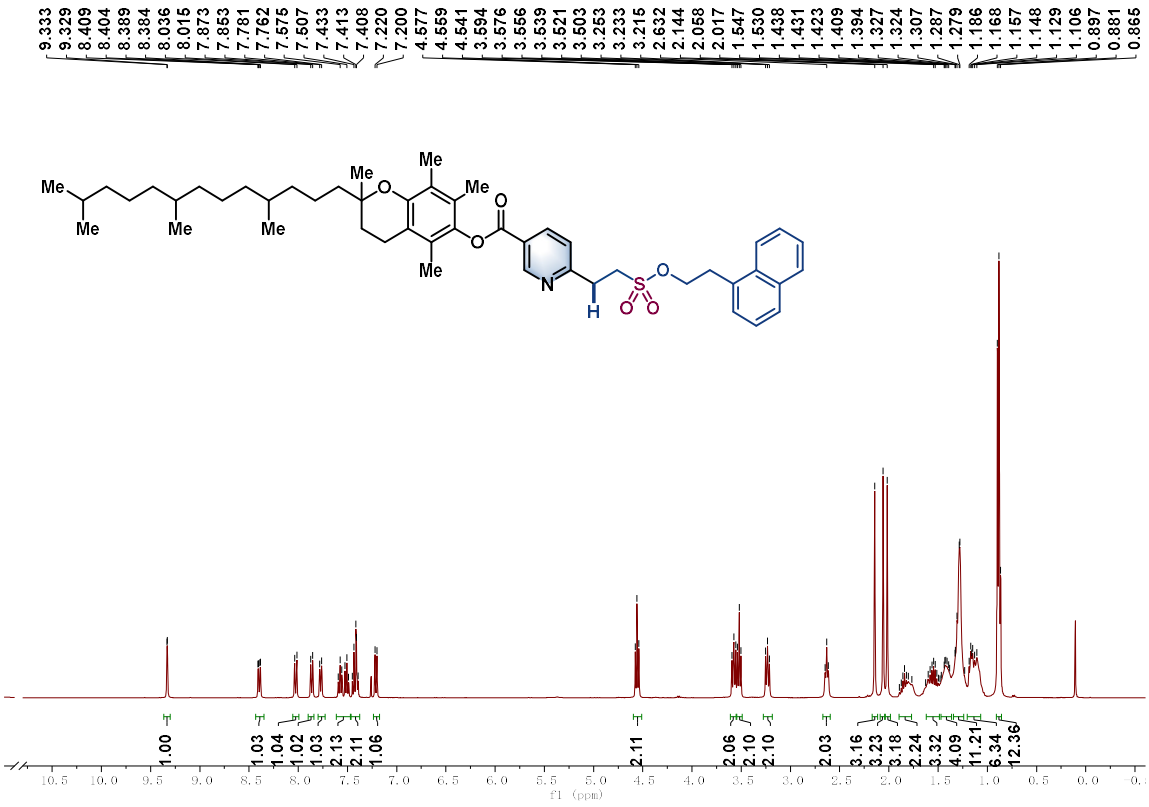
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **5s**



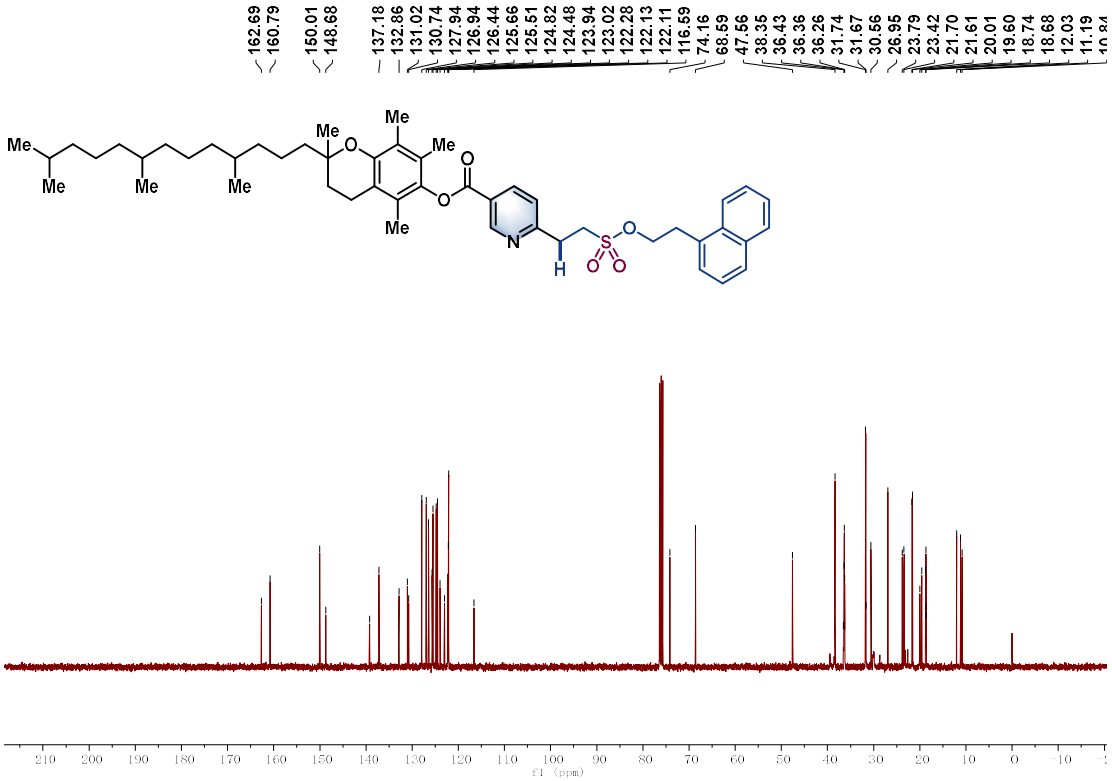
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **5s**



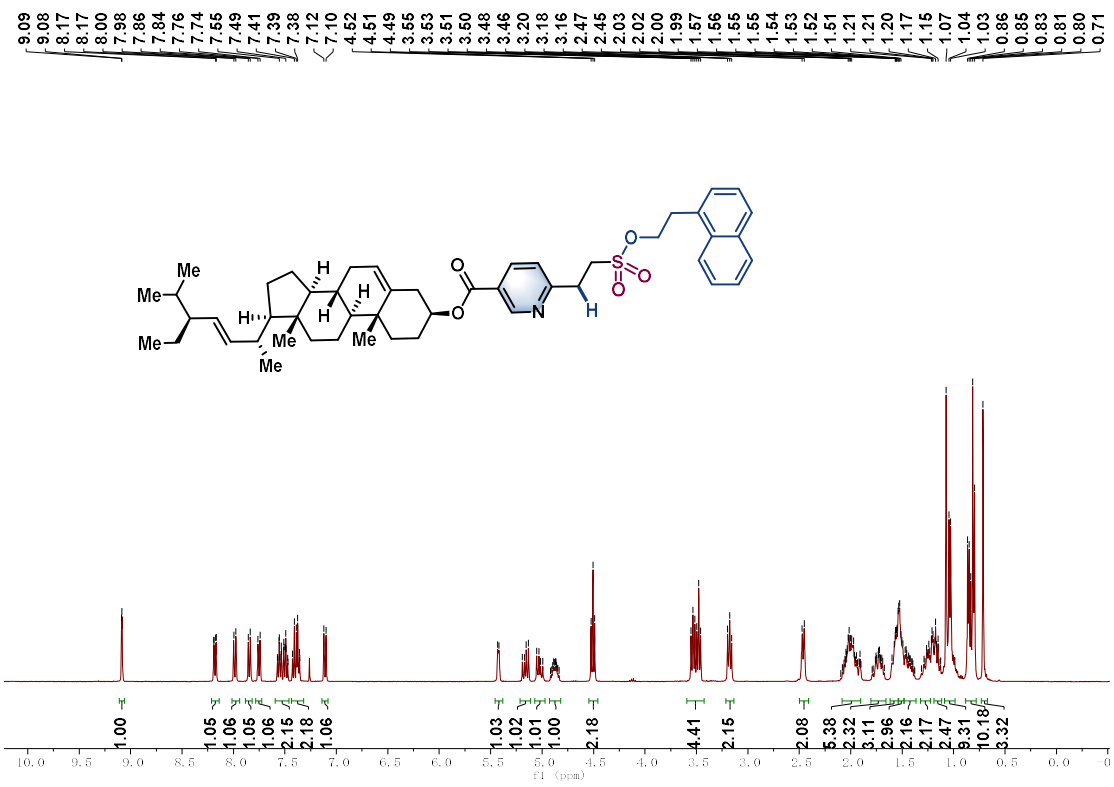
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **5t**



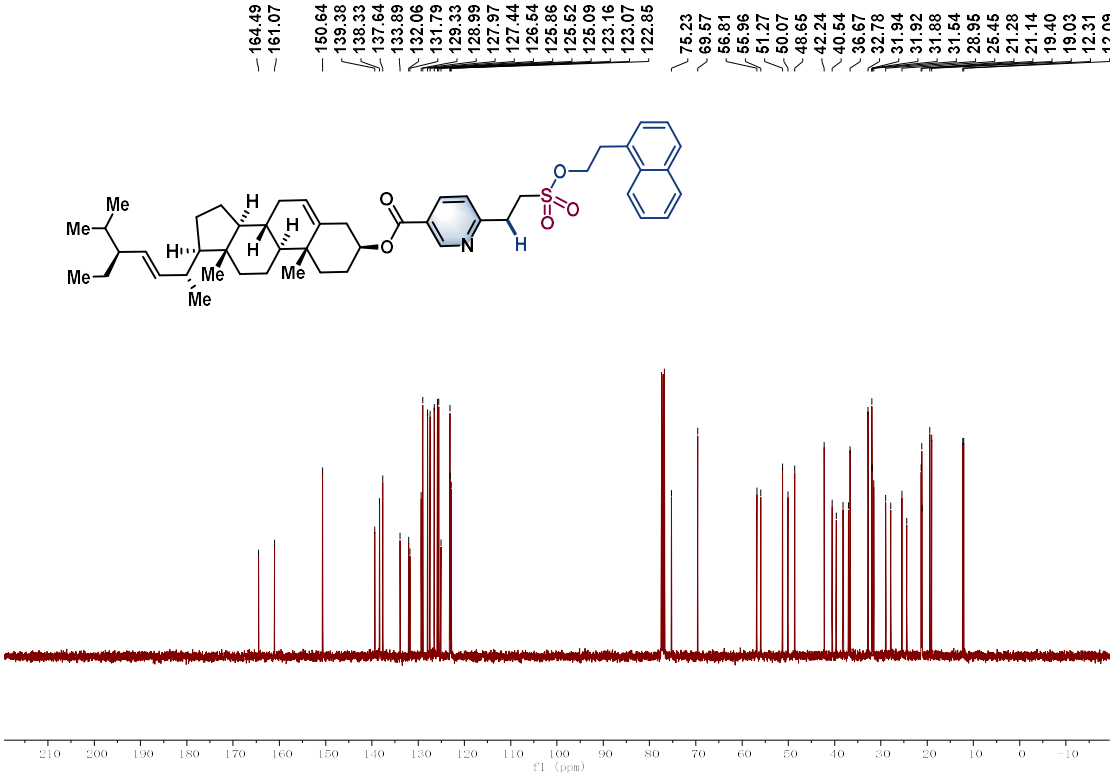
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **5t**



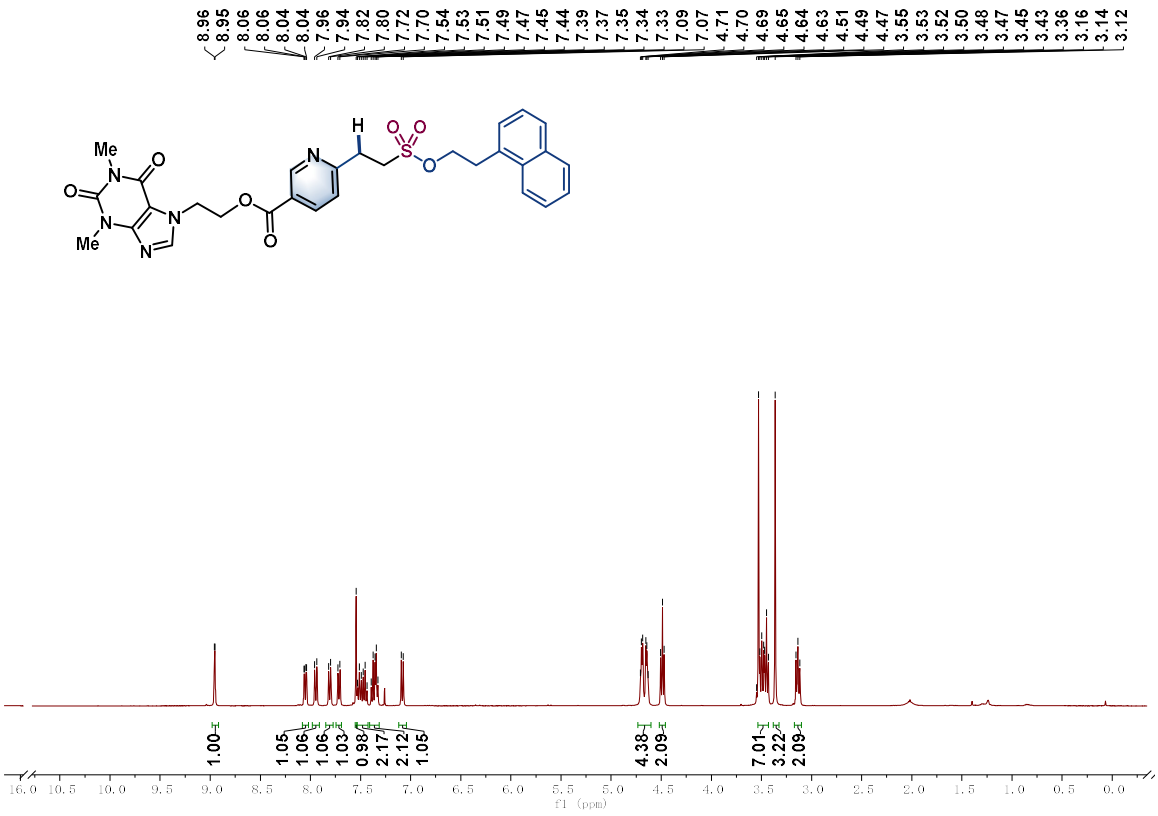
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **5u**



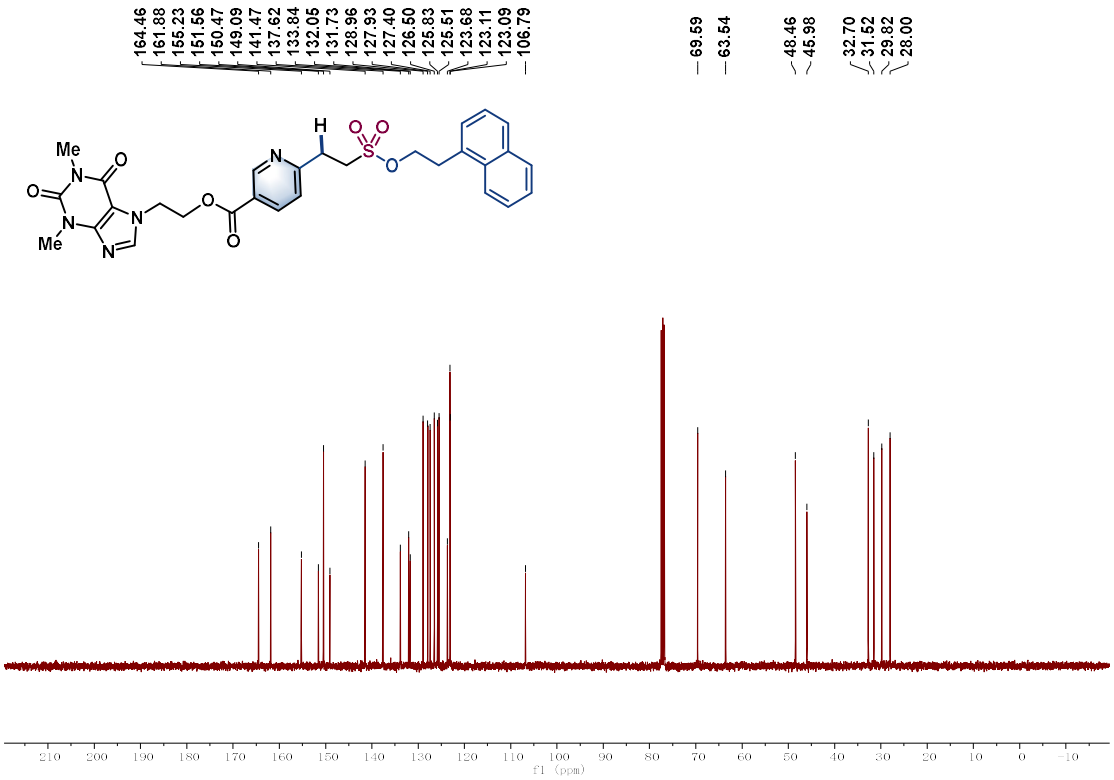
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **5u**



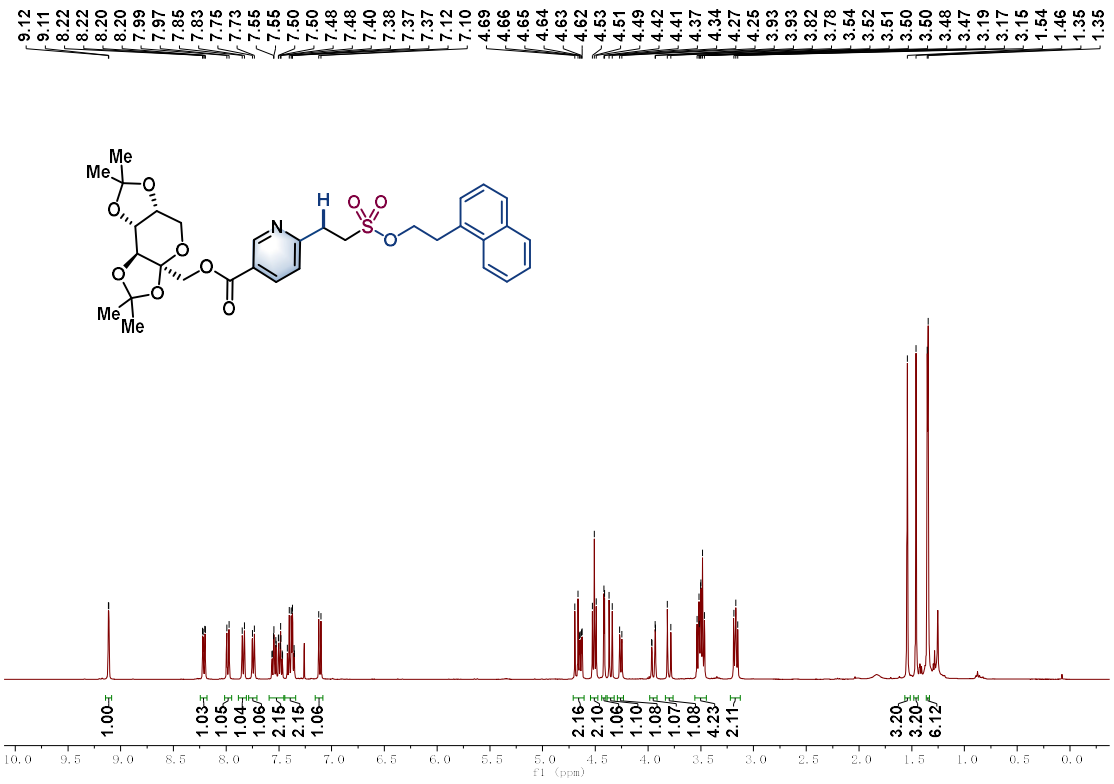
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **5v**



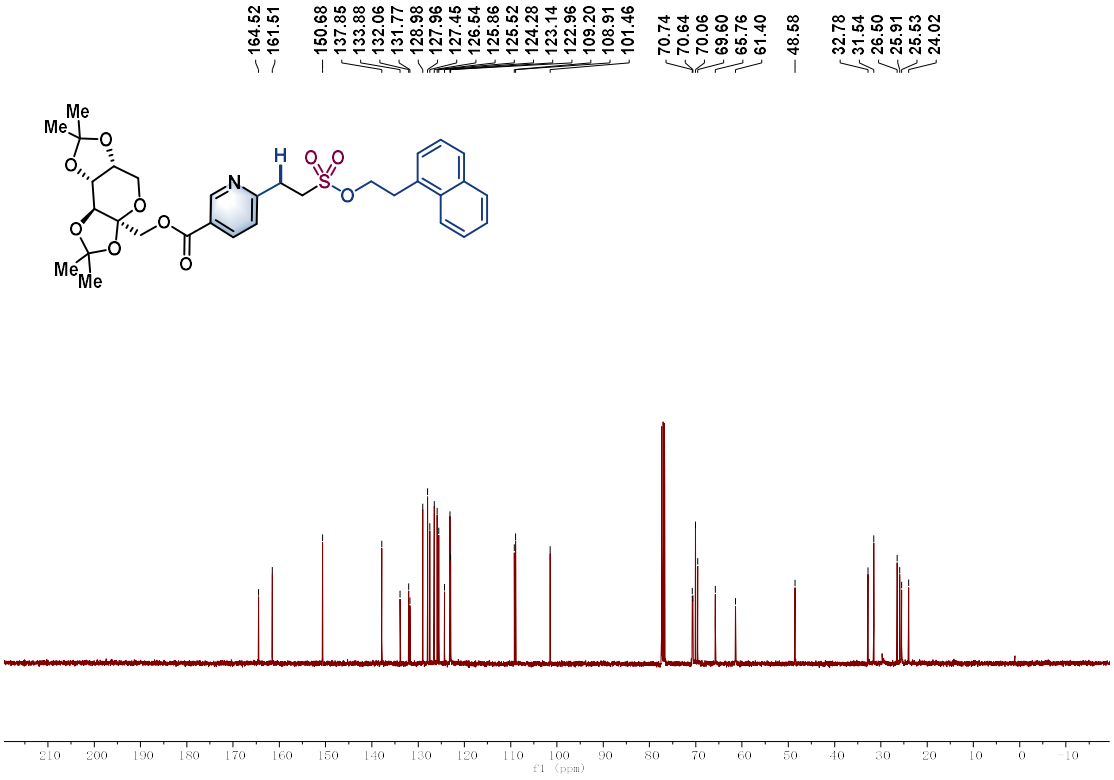
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **5v**



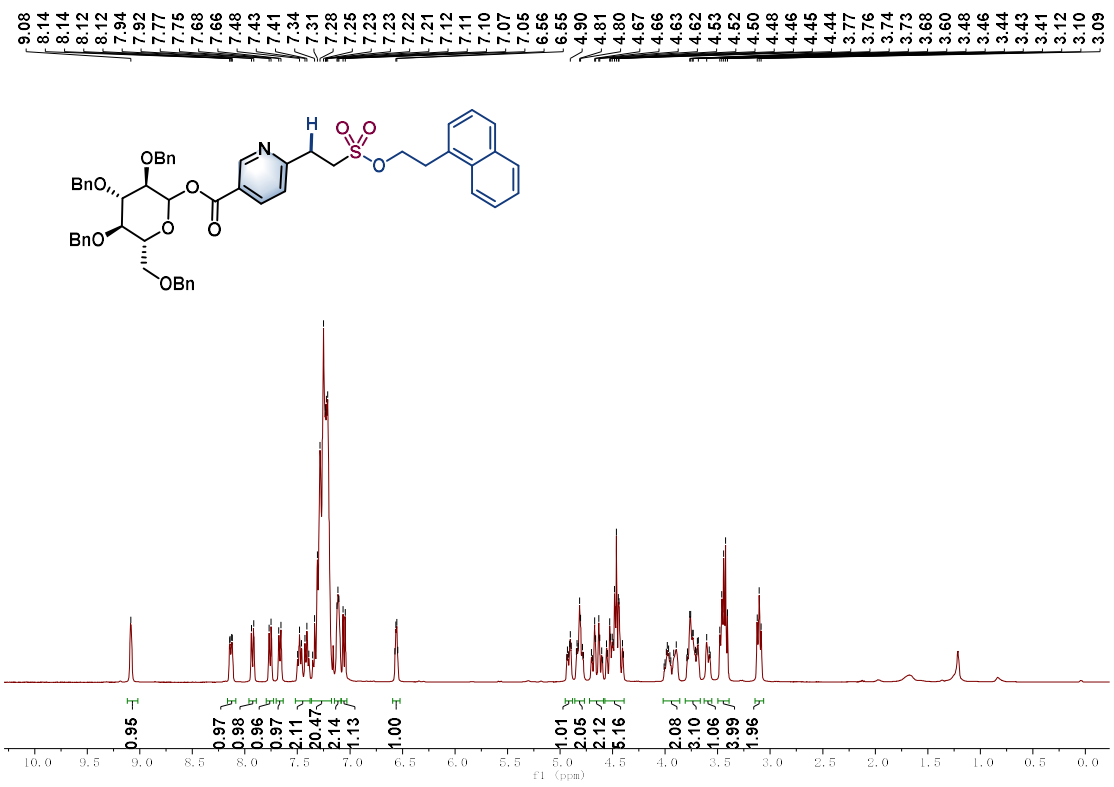
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **5w**



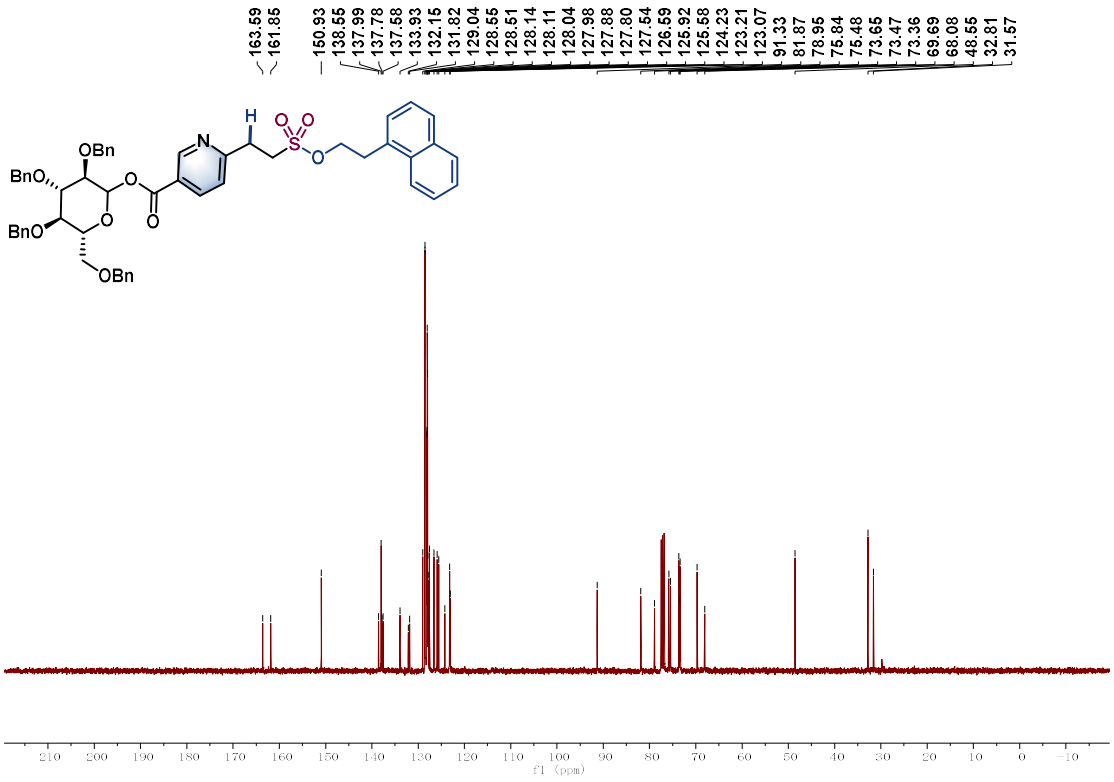
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **5w**



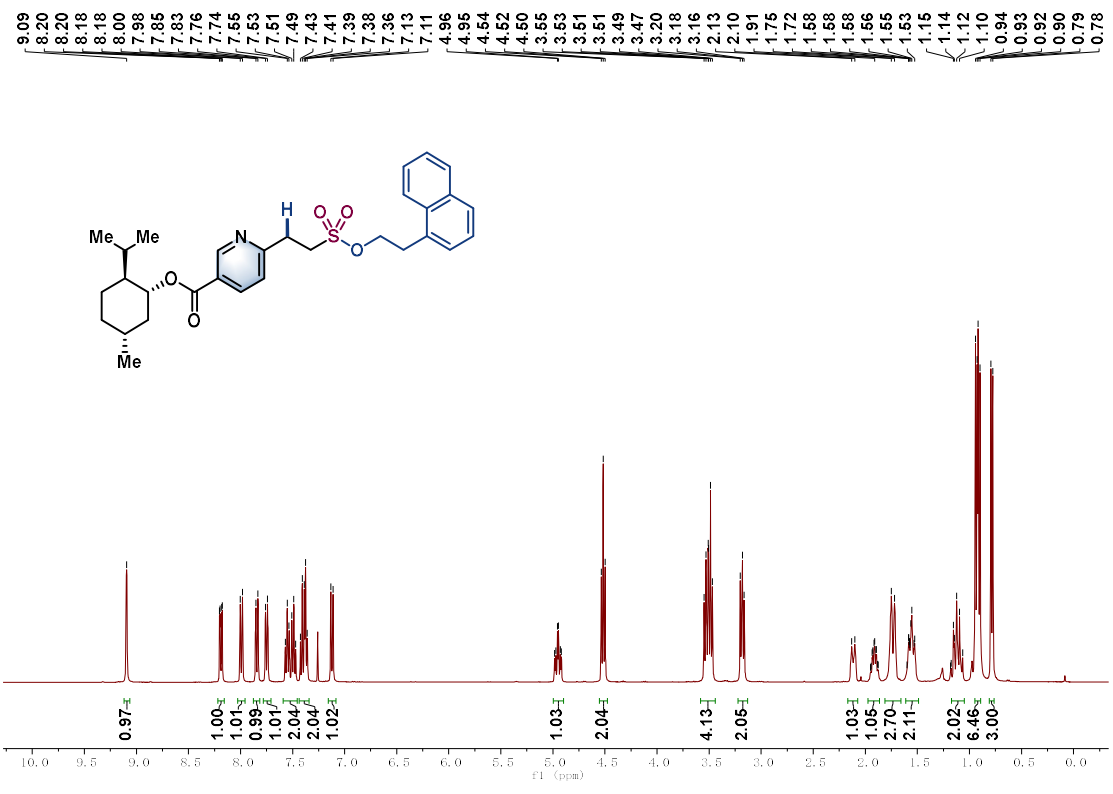
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **5x**



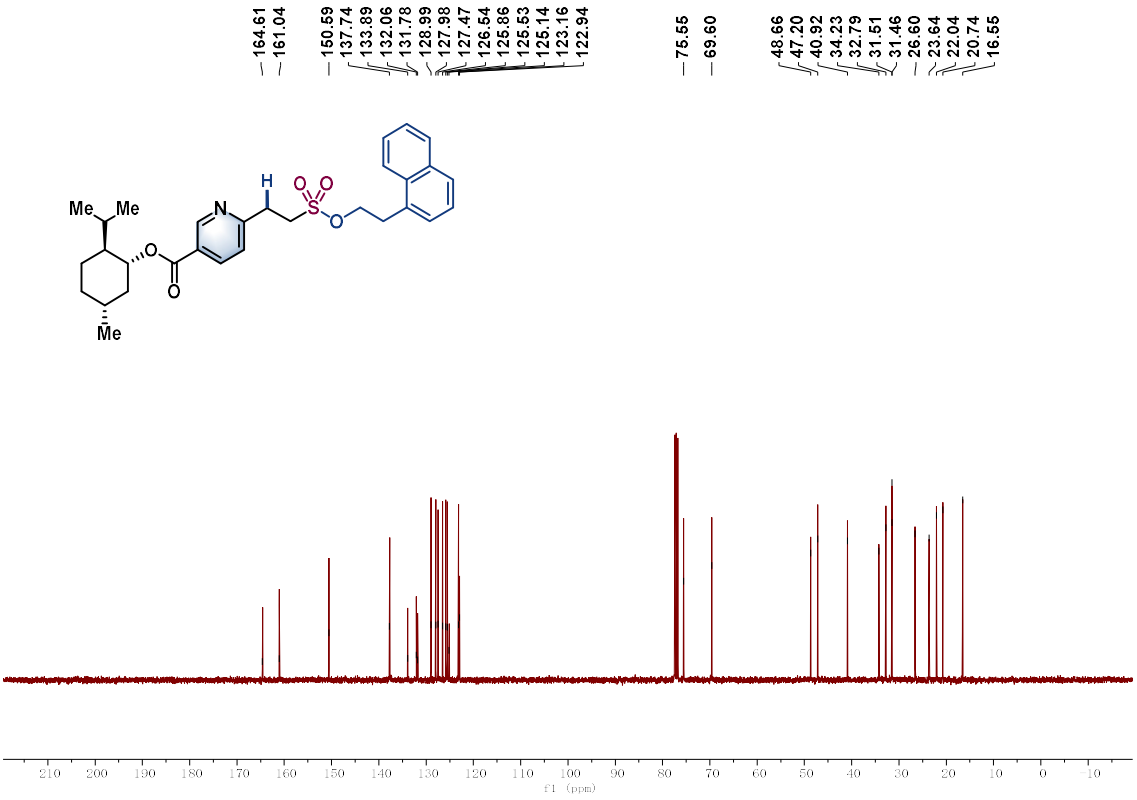
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **5x**



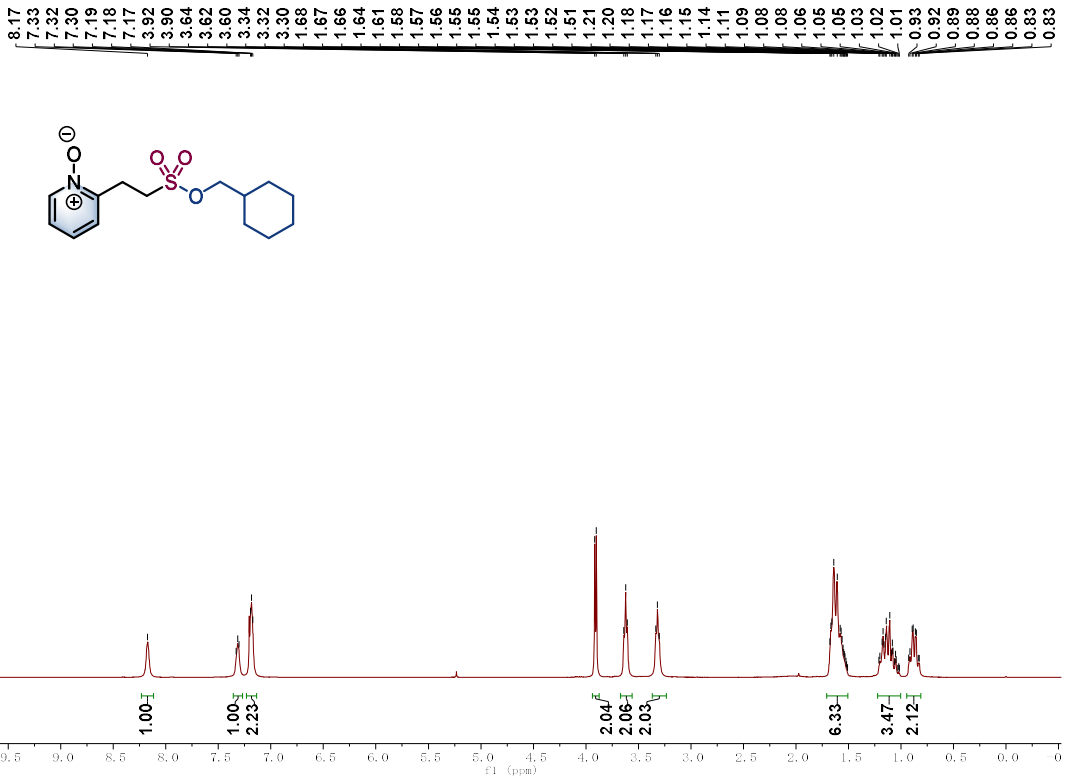
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **5y**



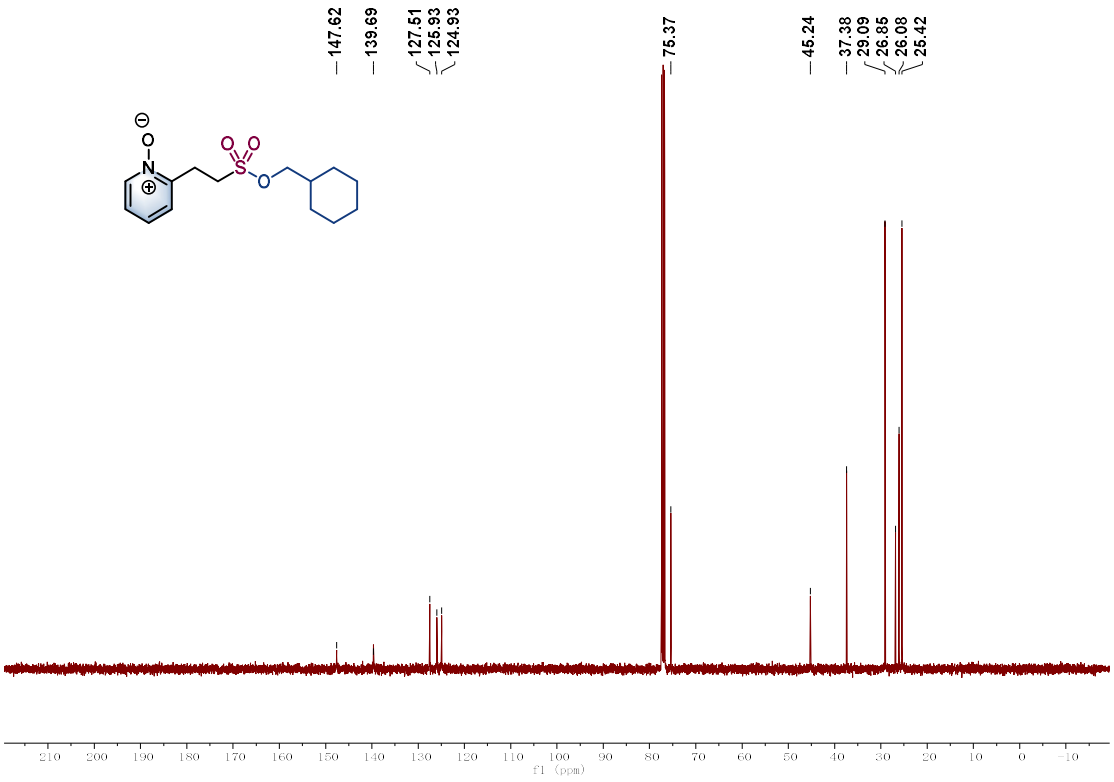
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **5y**



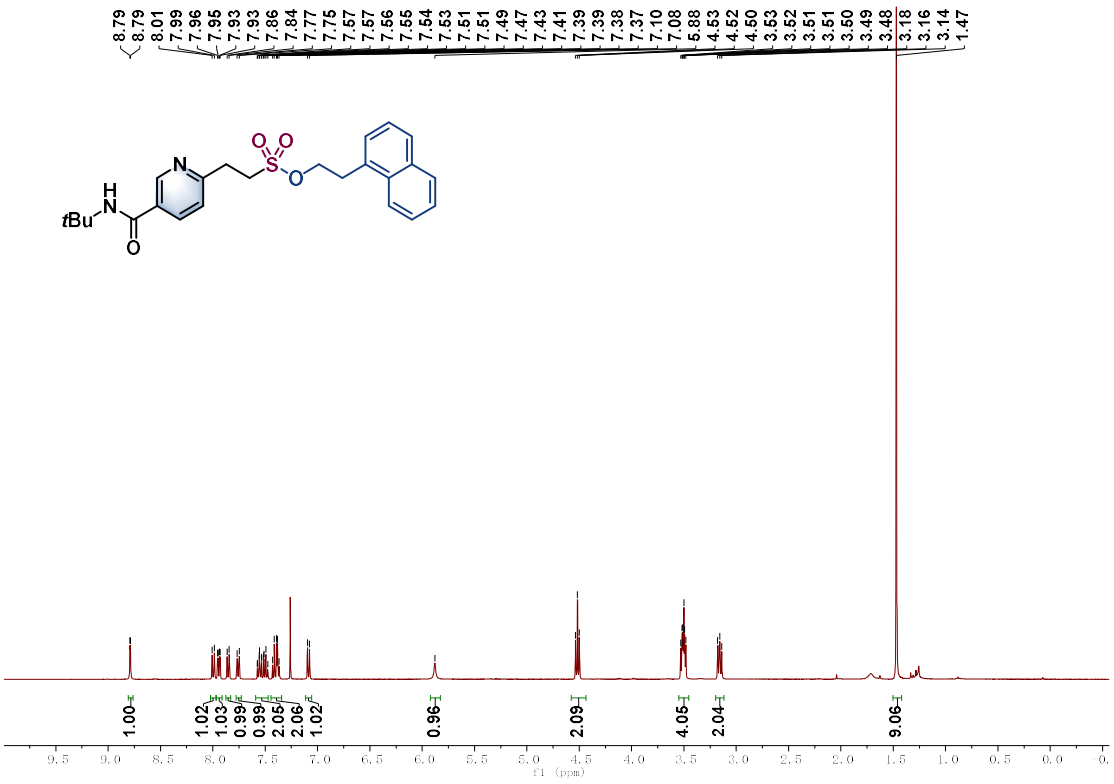
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **6**



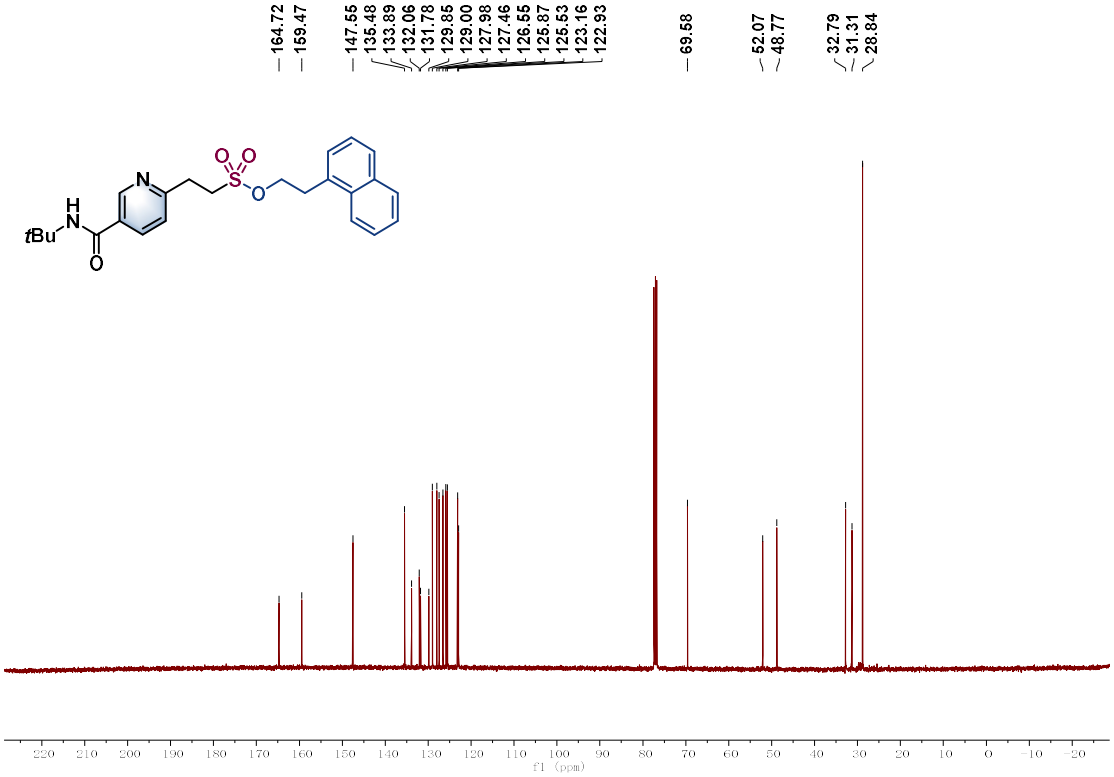
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **6**



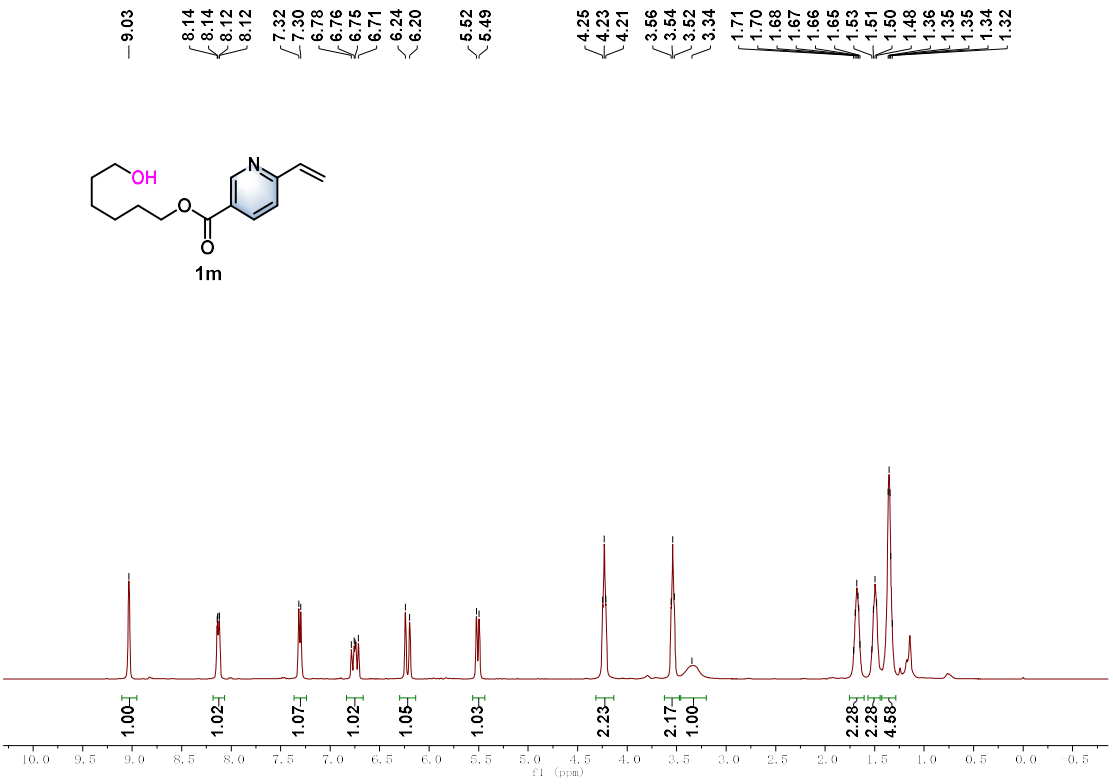
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **7**



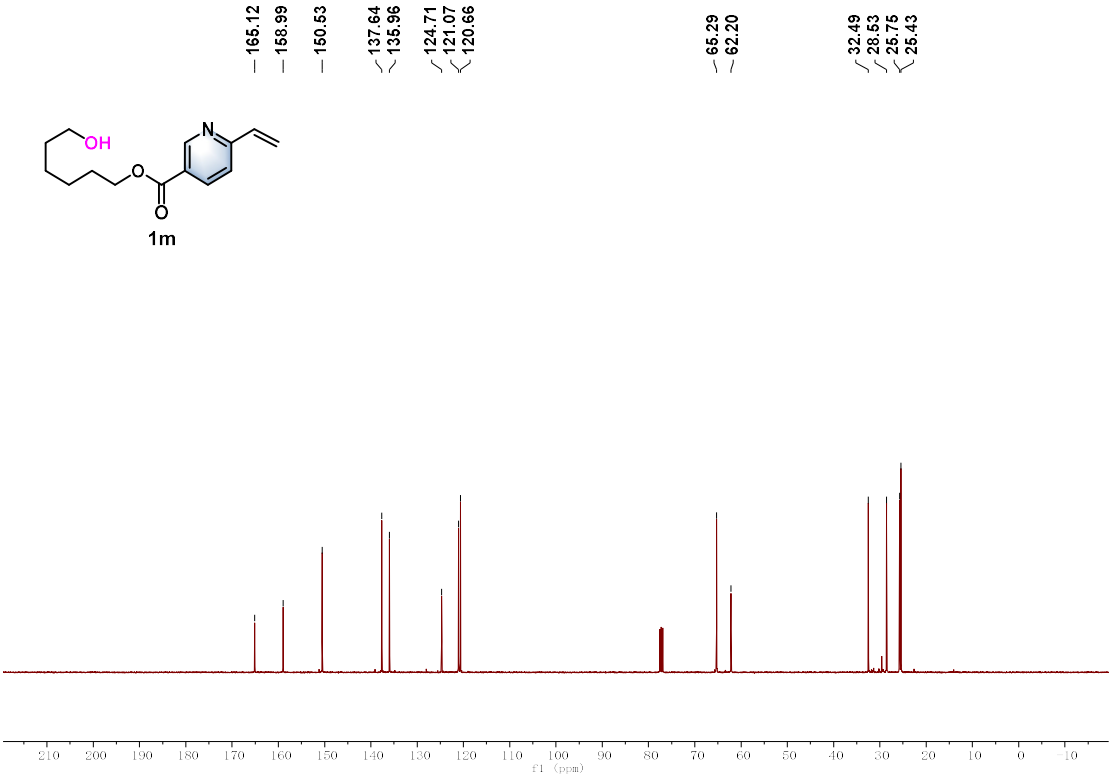
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **7**



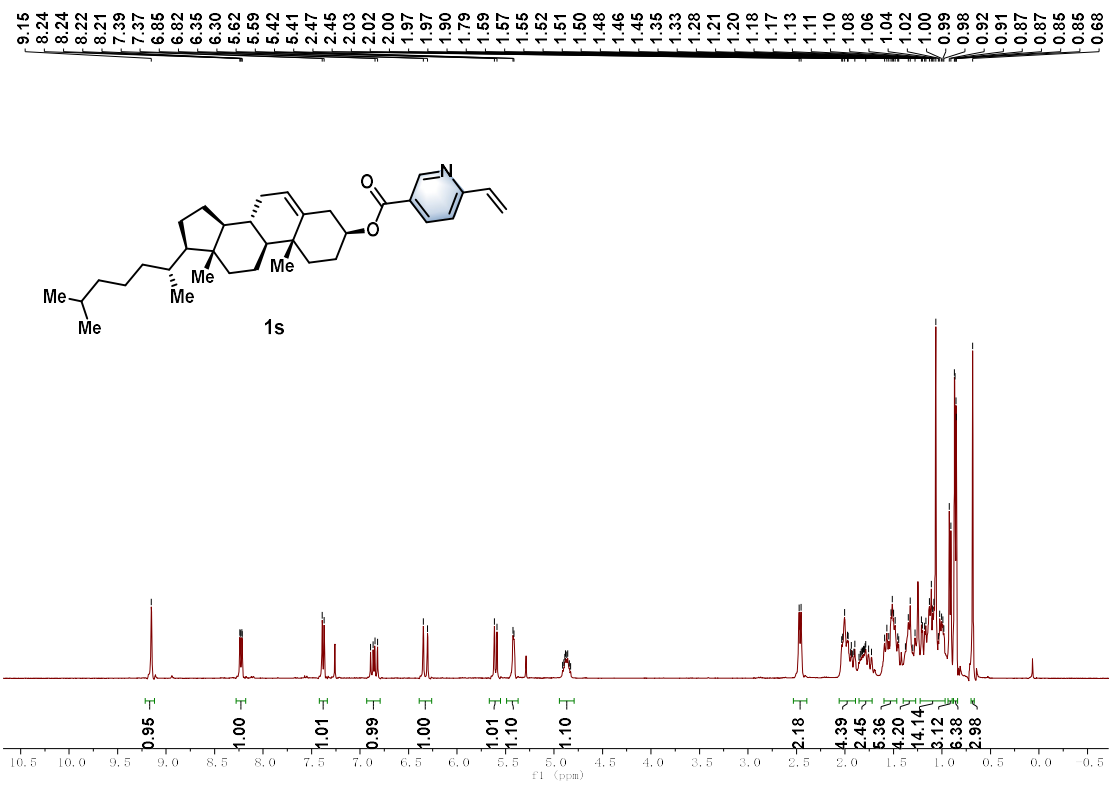
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **1m**



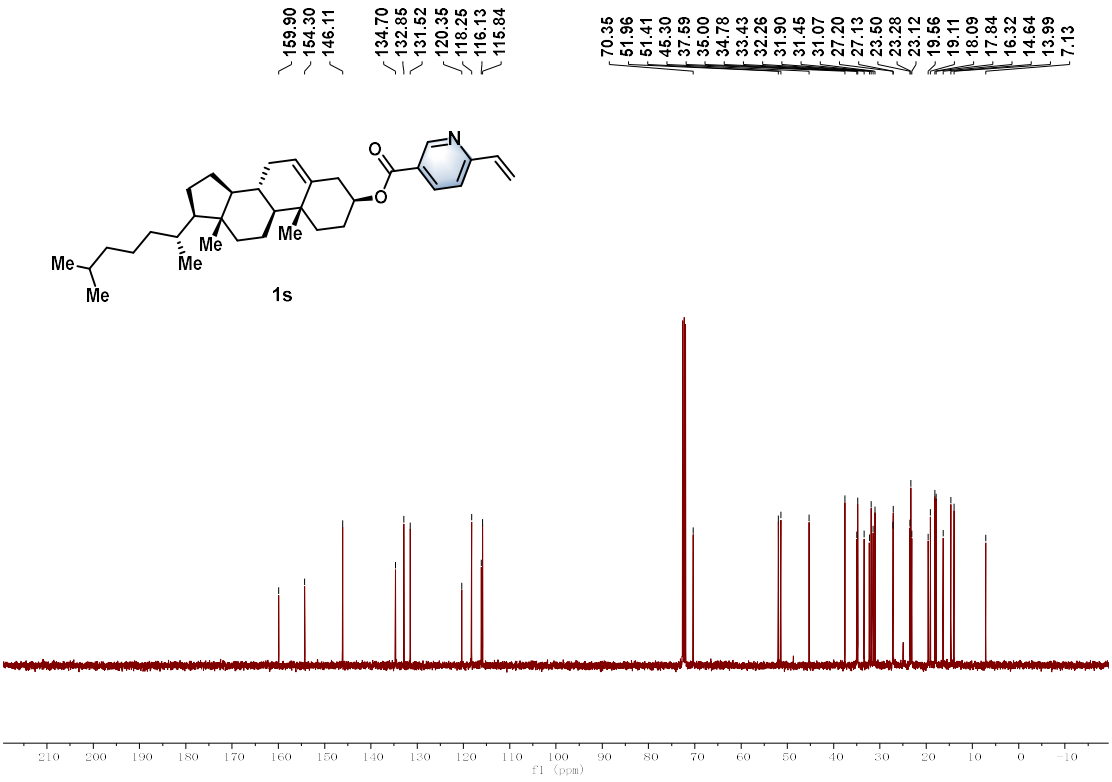
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **1m**



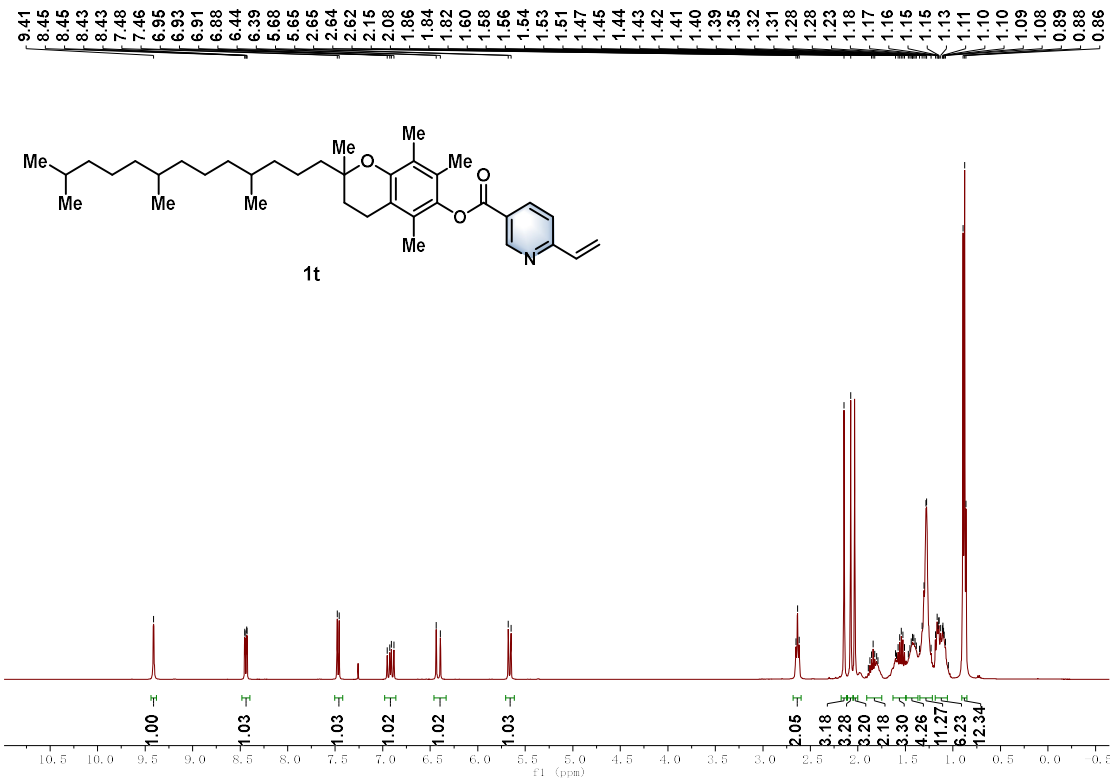
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **1s**



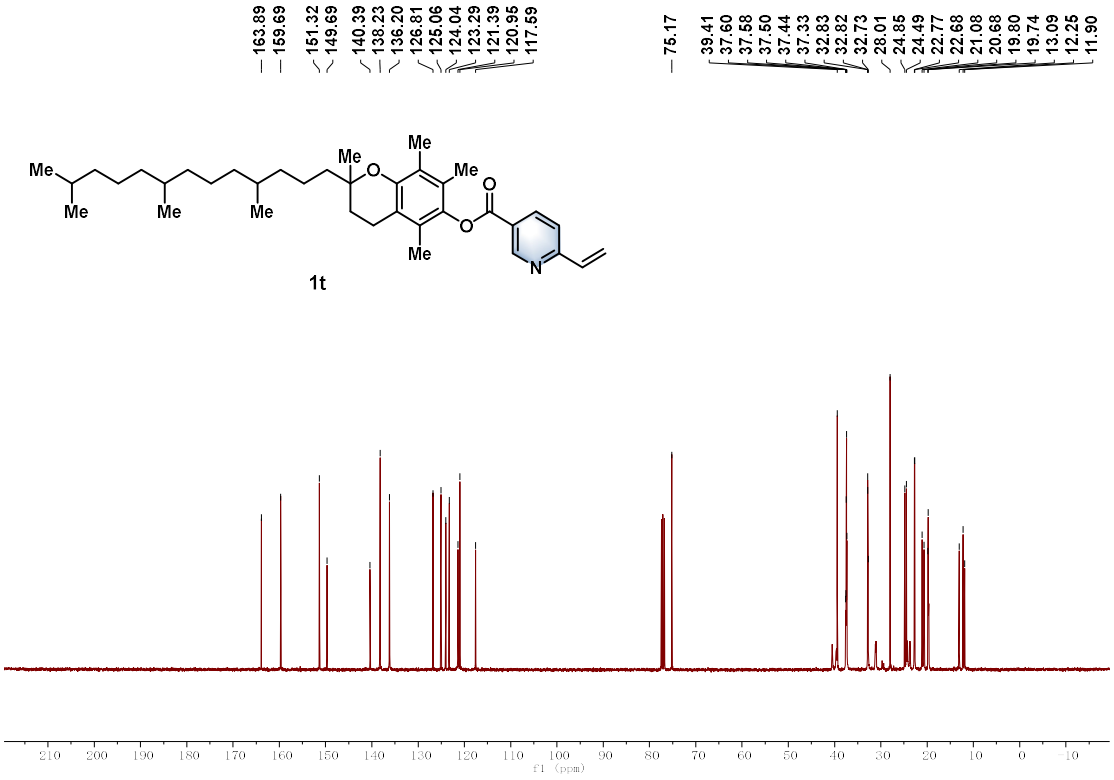
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **1s**



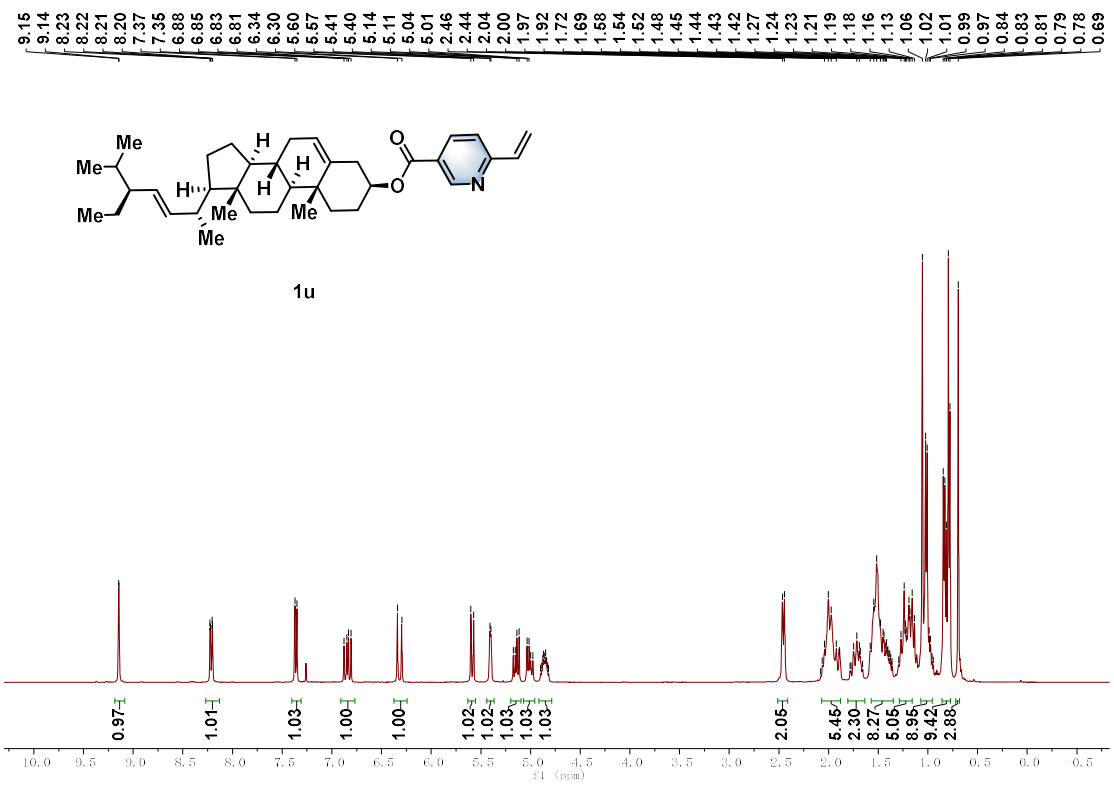
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **1t**



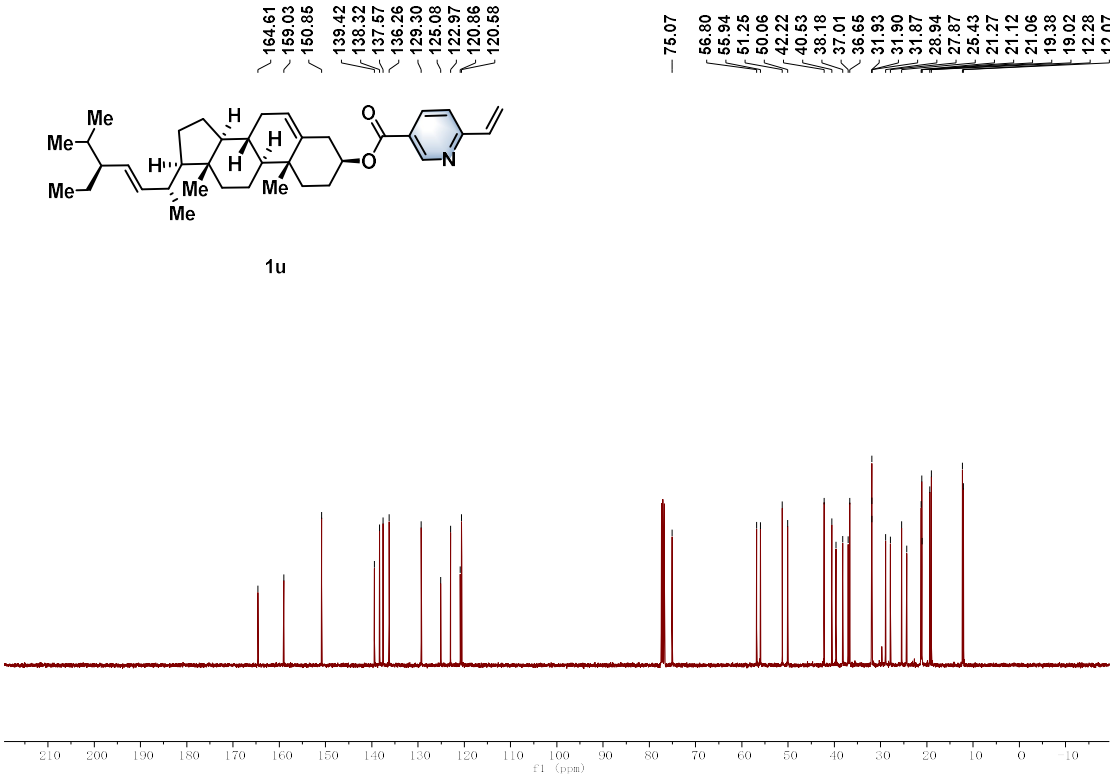
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **1t**



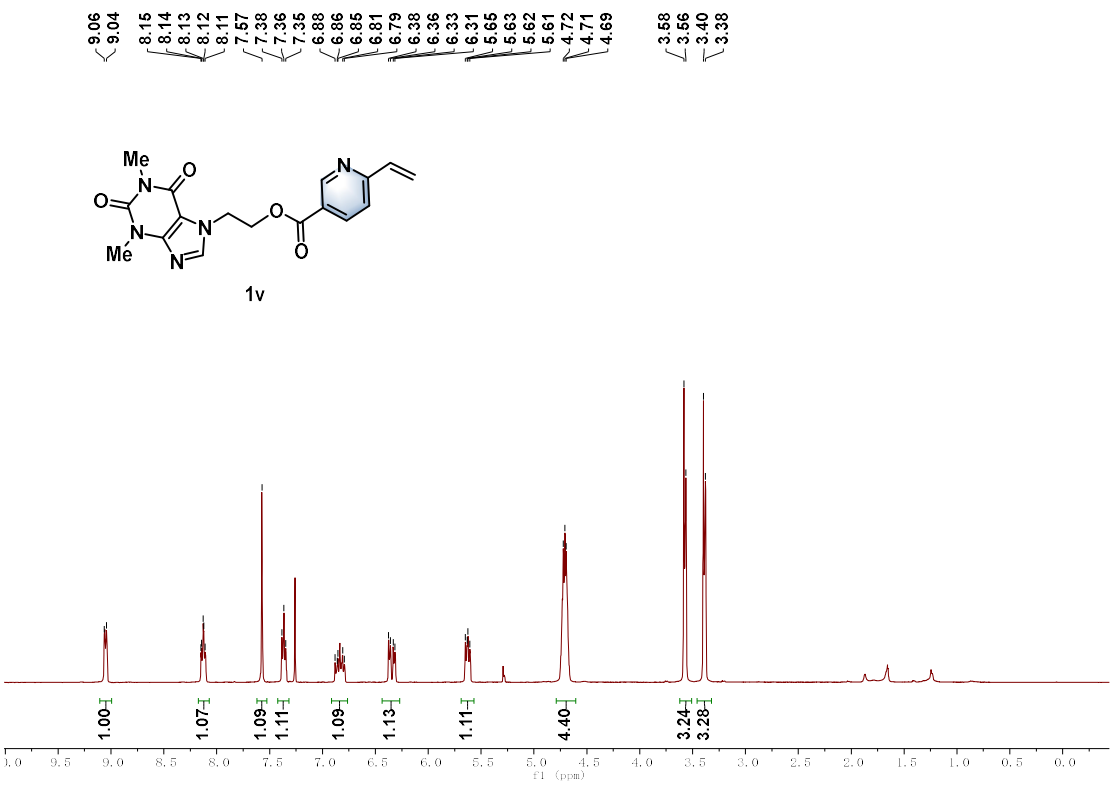
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **1u**



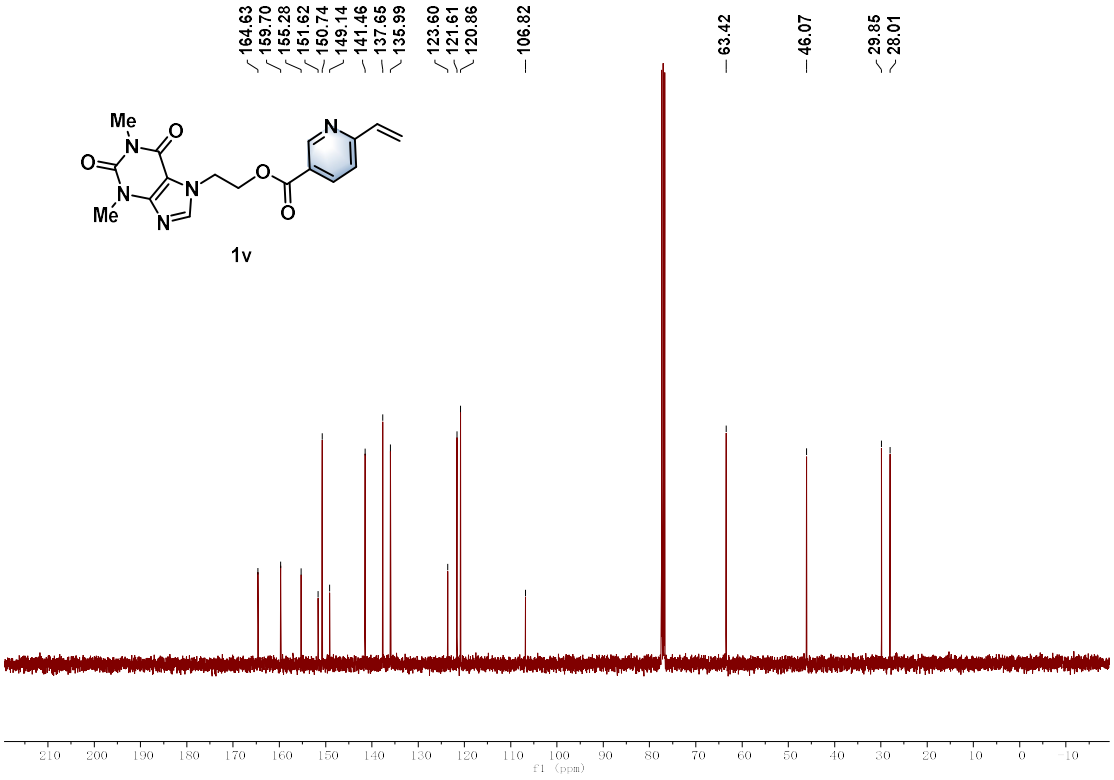
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **1u**



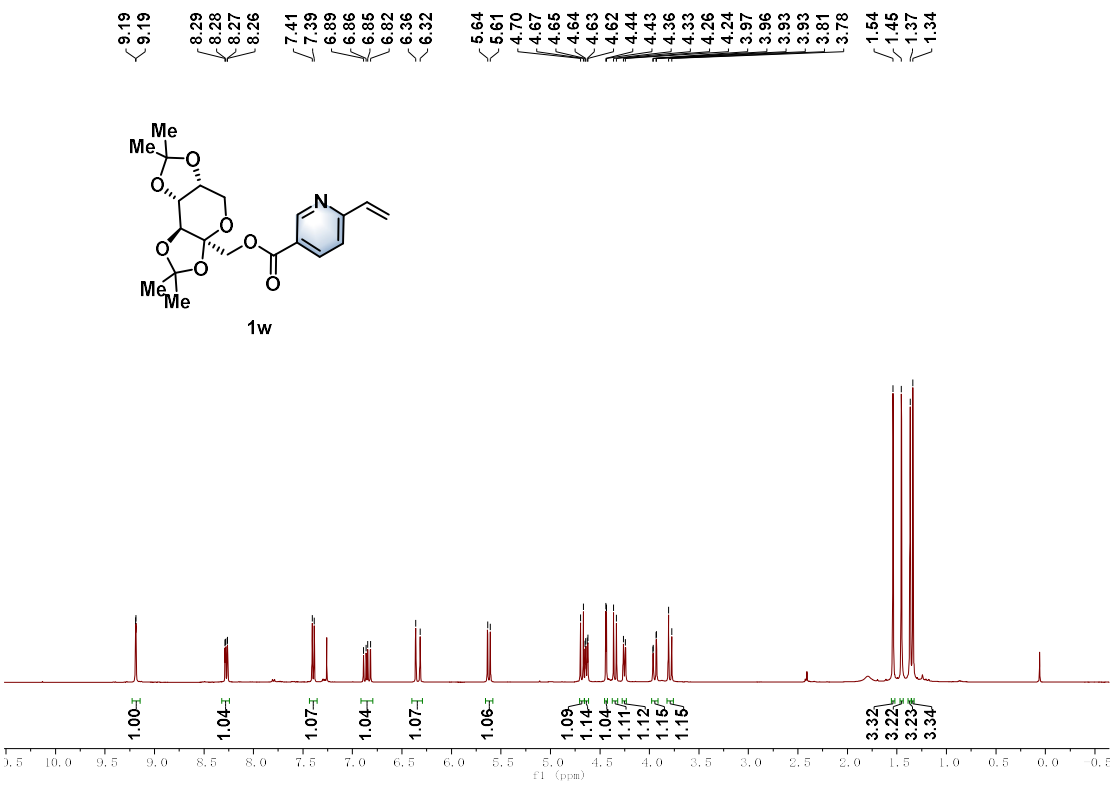
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **1v**



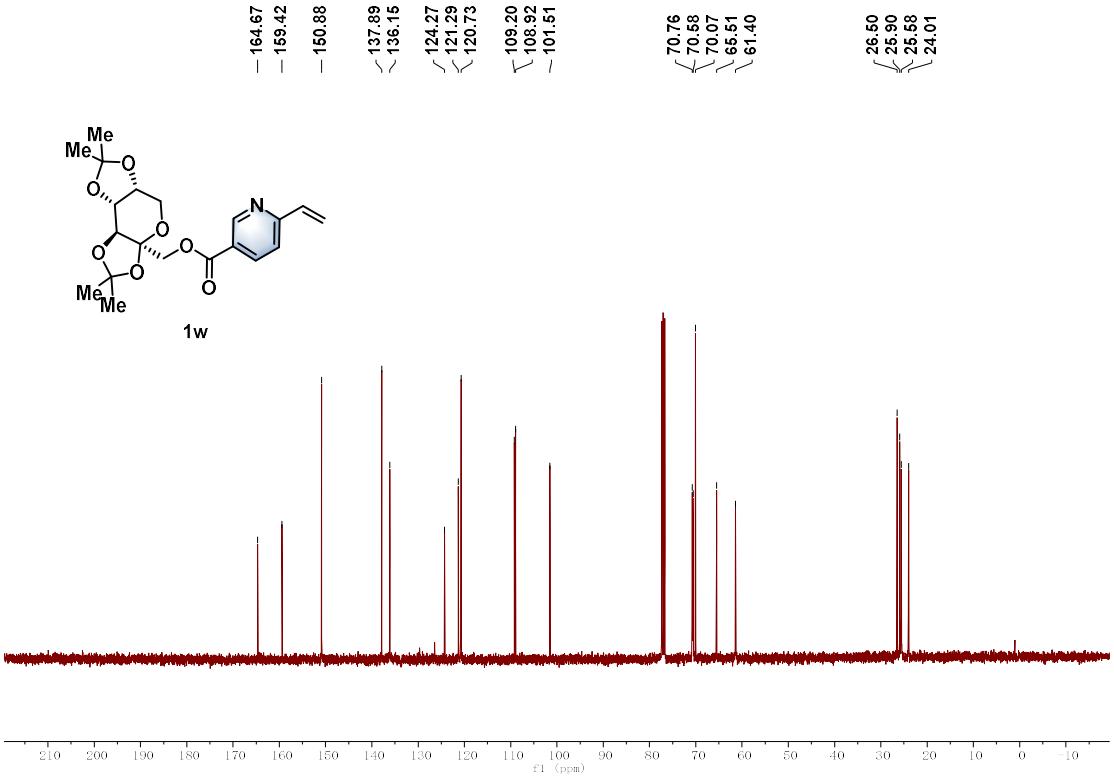
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **1v**



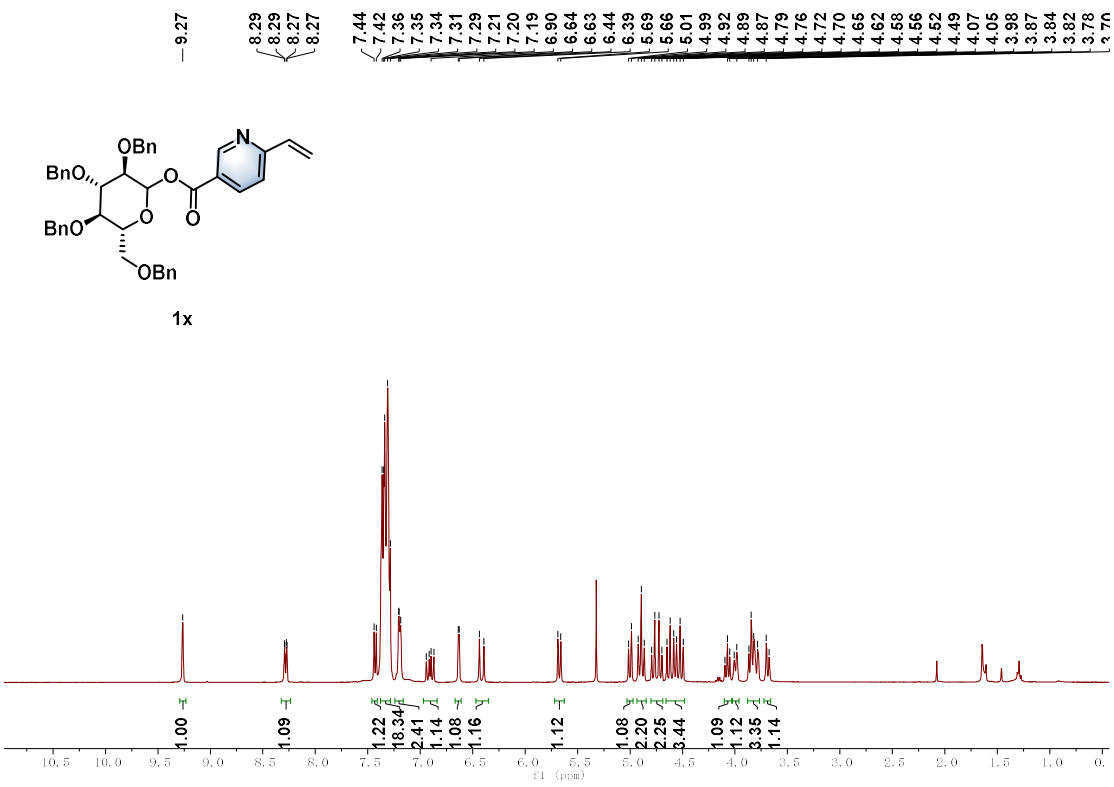
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **1w**



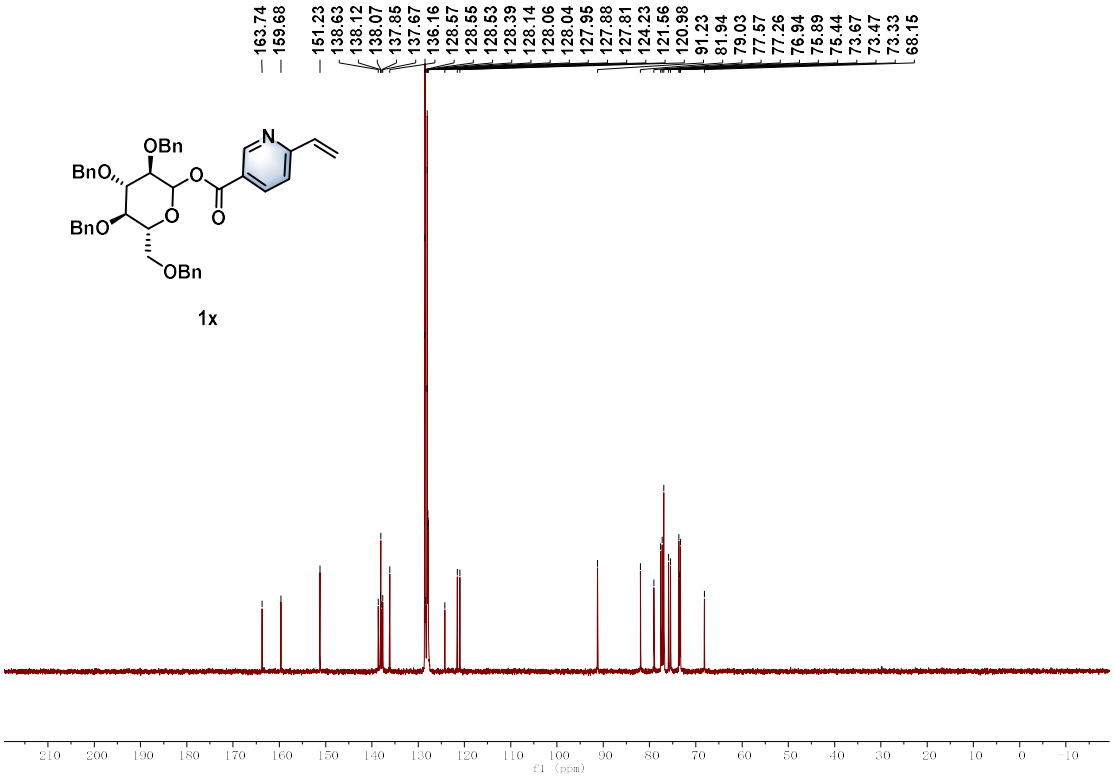
^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **1w**



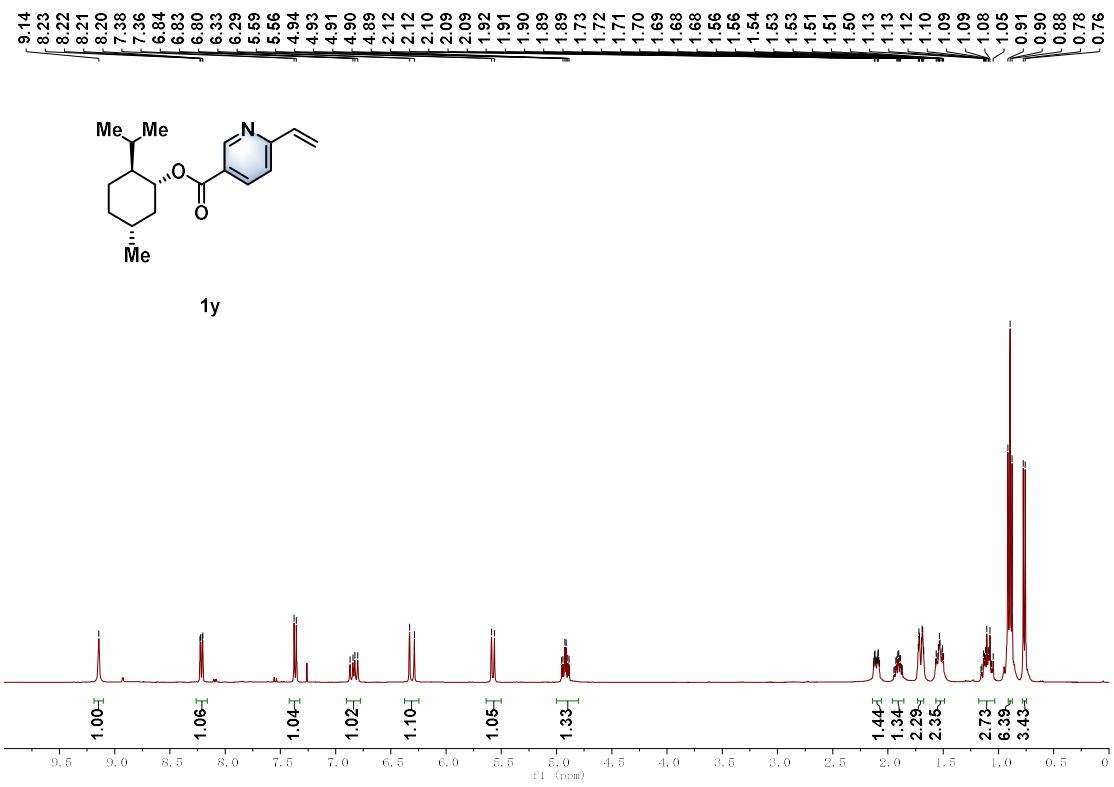
^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **1x**



^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **1x**



^{1}H NMR-spectrum (400 MHz, $CDCl_3$) of **1y**



^{13}C NMR-spectrum (101 MHz, $CDCl_3$) of **1y**