Supporting Information

Access to Chiral β-Amino Sulfones from Acrylamides and Sulfur Dioxide by Iron Catalysis

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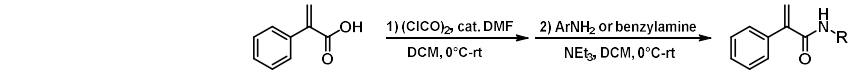
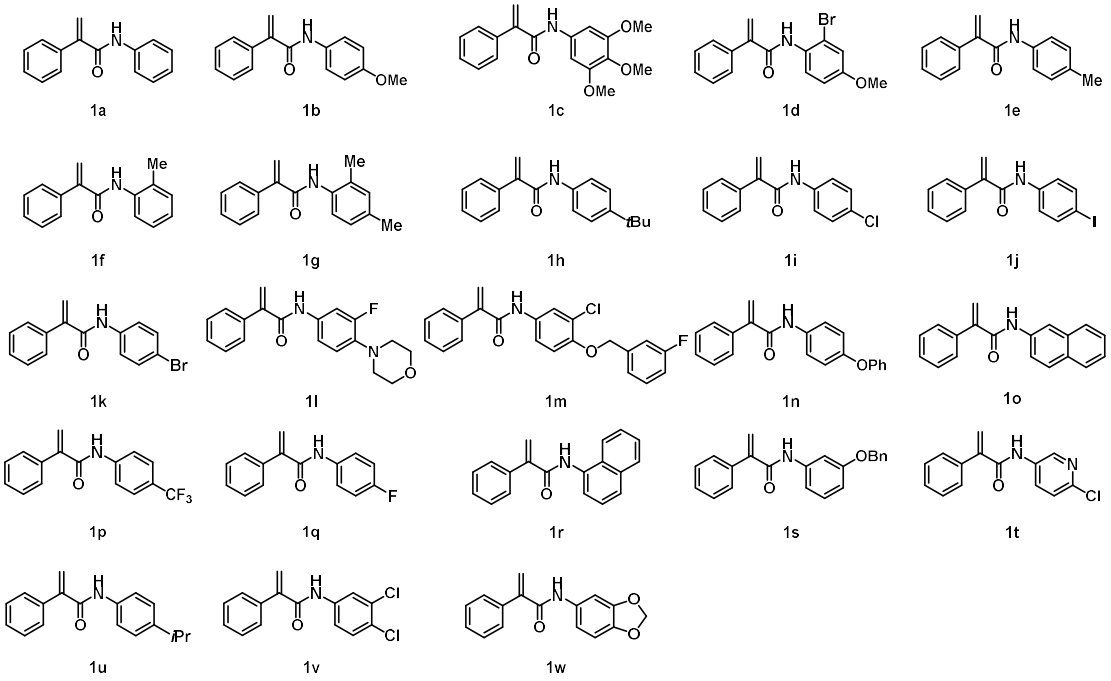
1.General information

Unless otherwise noted, all reactions or reagents were obtained from commercial suppliers and used as received. Unless otherwise noted, all catalytic reactions were set up in an argon atmosphere glovebox (Vigor, SGI800-750TS-F). The substrates and reagents for catalytic reactions were degassed and stored in the glovebox, unless otherwise noted.

Thin Layer Chromatography analysis was performed on silica gel coated glass plates (0.25 mm) with fluorescence indicator UV254. For detection of spots, irradiation of UV light at 254 nm or staining reagent using phosphomolybdic acid solution was used. Flash column chromatography was conducted with silica gel 60 (particle size 230–400 mesh, Huanghai) at room temperature and under elevated pressure All work-up and purification procedures were carried out with reagent-grade solvents in air.^{1}H, ^{19}F, ^{13}C NMR spectra were recorded in $CDCl_3$ on Bruker Avance 400 MHz spectrometers. High-resolution mass spectrometric measurements were provided by the Department of The State Key Laboratory of Biotherapy, Sichuan University. The molecular ion $[M+H]^+$,$[M+K]^+$ and $[M+Na]^+$ are given in m/z units. Column chromatography was generally performed on silica gel (200-300 mesh) and reactions were monitored by thin layer chromatography (TLC) using UV light to visualize the course of the reactions. Daltonics Inc. Enantiomer ratios were determined by UHPLC (Chiralpak IC-H, IA-H, IB-H columns were purchased from Daicel Chemical Industries, LTD.). Optical rotations were measured on an INESA SGW-1polarimeter, and reported as $[\alpha]\lambda$ T (concentration (c): g/100 mL, in $CHCl_3$).

2. General Procedure for the Synthesis of α, β-unsaturated amides



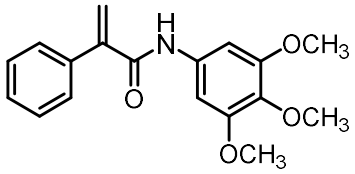


To a solution of the 2-aryl acrylic acid (5.0 mmol, 1.0 equiv.) in dry DCM (30 mL) at 0°C under N_2 was added dropwise oxalyl chloride (10.0 mmol, 2.0 equiv.) followed by a catalytic amount of dry DMF (3 drops). The reaction mixture was stirred at room temperature 3 hours. The volatiles were evaporated under reduced pressure and the resulting crude acid chloride was used directly for the next reaction without further purification.

To a solution of aniline (5.0 mmol, 1.0 equiv.) and Et_3N (1.1 mL, 7.5 mmol, 1.5 equiv) in DCM (50.0 mL) at 0°C was added dropwise a solution of acyl chloride (5.0 mmol, 1.0 equiv.) in DCM, the resulting mixture was stirred at room temperature for 3 hours. The mixture was diluted with DCM (20.0 mL) and washed with saturated $NaHCO_3$ (aq. 30.0 mL) and brine (30.0 mL) sequentially. The organic extracts were dried with anhydrous Na_2SO_4, filtered, and concentrated under reduced pressure to give the corresponding 2-aryl acrylic amide, which was purified by flash chromatography (PE/EA = 10:1 to 5:1).

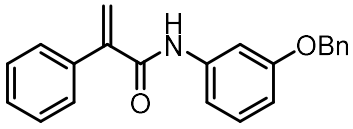
α, β-unsaturated amides **1a-1w** were synthesized in above-mentioned method. Spectral and physical data of **1a-1b**[1], **1d**[2], **1e**[3], **1f**[4], **1g-1o**[5], **1p**[6],**1q**[7],**1r**[8]match literature reported values.

2-phenyl-*N*-(3,4,5-trimethoxyphenyl)acrylamide (1c):



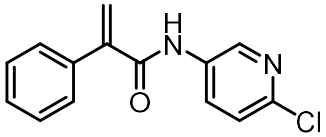
Isolated as white solid using petroleum ether/EtOAc (10:1) as eluent (1.28g, yield 85%). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 7.46 – 7.42 (m, 5H), 7.31 (s, 1H), 6.83 (s, 2H), 6.28 (s, 1H), 5.73 (s, 1H), 3.84 (s, 6H), 3.81 (s, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 165.3, 153.5, 145.2, 136.8, 135.1, 133.9, 129.2, 129.1, 128.5, 123.7, 97.7, 61.1, 56.3; **HRMS**(ESI-TOF): *m/z* calculated for $C_{18}H_{19}NO_4$ $[M+H]^+$: 314.1387, found: 314.1385.

***N*-(3-(benzyloxy)phenyl)-2-phenylacrylamide (1s)**:



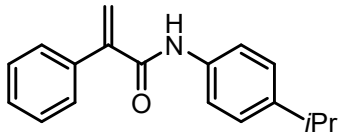
Isolated as white solid using petroleum ether/EtOAc (10:1) as eluent (1.19g, yield 72%). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 7.45 – 7.31 (m, 13H), 7.22 – 7.18 (m, 1H), 6.95 (dd, *J* = 7.6, 2.0 Hz, 1H), 6.75 (dd, *J* = 8.0, 2.4 Hz, 1H), 6.29 (s, 1H), 5.73 (s, 1H), 5.07 (s, 2H); **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 165.3, 159.5, 145.2, 139.0, 137.0, 136.7, 129.8, 129.1, 129.0, 128.7, 128.4, 128.1, 127.7, 123.6, 112.4, 111.5, 106.6, 70.2; **HRMS**(ESI-TOF): *m/z* calculated for $C_{22}H_{19}NO_2$ $[M+H]^+$: 330.1489, found: 330.1489.

***N*-(6-chloropyridin-3-yl)-2-phenylacrylamide (1t):**



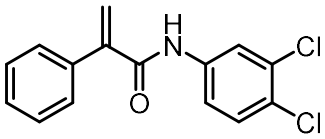
Isolated as yellow solid using petroleum ether/EtOAc (10:1) as eluent (880 mg, yield 72%). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.30 (dd, *J* = 2.8, 0.4 Hz, 1H), 8.19 (dd, *J* = 8.4, 2.8 Hz, 1H), 7.50 – 7.41 (m, 6H), 7.30 (d, *J* = 8.8 Hz, 1H), 6.33 (d, *J* = 0.8 Hz, 1H), 5.77 (d, *J* = 0.8 Hz, 1H). **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 165.6, 146.4, 144.4, 140.9, 136.3, 133.8, 130.4, 129.3, 128.4, 124.8, 124.4. **HRMS**(ESI-TOF): *m/z* calculated for $C_{14}H_{11}ClN_2O$ $[M+H]^+$: 259.0633, found: 259.0635.

***N*-(4-isopropylphenyl)-2-phenylacrylamide (1u):**



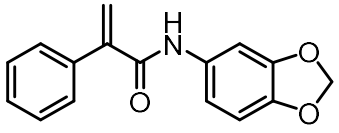
Isolated as white solid using petroleum ether/EtOAc (10:1) as eluent (915 mg, yield 69%) **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 7.46 – 7.40 (m, 7H), 7.34 (s, 1H), 7.18 (d, *J* = 8.4 Hz, 2H), 6.28 (d, *J* = 1.2 Hz, 1H), 5.72 (d, *J* = 1.2 Hz, 1H), 2.91 – 2.84 (m, 1H), 1.24 (s, 3H), 1.23 (d, *J* = 6.8 Hz, 6H); **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 165.2, 145.5, 145.3, 136.8, 135.4, 129.0, 128.9, 128.4, 127.0, 123.3, 120.2, 33.7, 24.1; **HRMS**(ESI-TOF): *m/z* calculated for $C_{18}H_{19}NO$ $[M+H]^+$: 266.1539, found: 266.1539.

***N*-(3,4-dichlorophenyl)-2-phenylacrylamide(1v):**



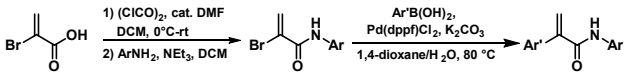
Isolated as pale yellow solid using petroleum ether/ EtOAc (10:1) as eluent (1.14g, yield 78%). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 7.76 (m, 1H), 7.47 – 7.39 (m, 6H), 7.35 (d, *J* = 1.6 Hz, 2H), 6.29 (d, *J* = 1.2 Hz, 1H), 5.75 (d, *J* = 1.2 Hz, 1H); **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 165.4, 144.7, 137.2, 136.4, 132.9, 130.6, 129.23, 129.21, 128.4, 127.9, 124.3, 121.7, 119.3; **HRMS**(ESI-TOF): *m/z* calculated for $C_{15}H_{11}Cl_2NO$ $[M+H]^+$: 292.0290, found: 292.0293.

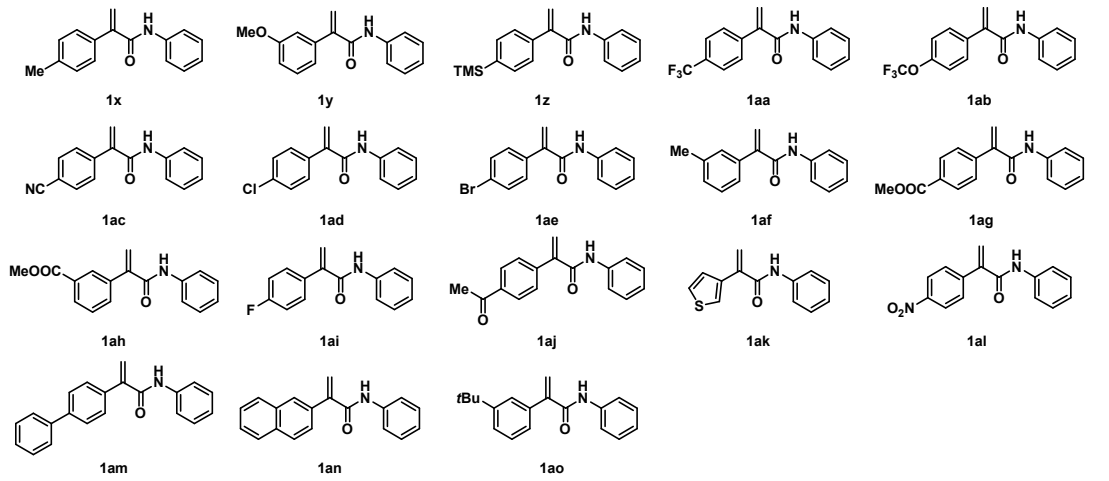
***N*-(benzo[d][1,3]dioxol-5-yl)-2-phenylacrylamide(1w):**



Isolated as brown solid using petroleum ether/EtOAc (10:1) as eluent (868mg, yield 65%). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 7.45 – 7.40 (m, 5H), 7.30 – 7.28 (m, 2H), 6.77 – 6.70 (m, 2H), 6.28 (d, *J* = 1.2 Hz, 1H), 5.94 (s, 2H), 5.71 (d, *J* = 1.2 Hz, 1H); **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 165.2, 148.0, 145.1, 144.7, 136.8, 132.0, 129.1, 129.0, 128.4, 123.5, 113.3, 108.2, 102.9, 101.5; **HRMS**(ESI-TOF): *m/z* calculated for $C_{16}H_{13}NO_3$ $[M+H]^+$: 268.0968, found: 268.0969.

3.General procedure for the synthesis of substrates.





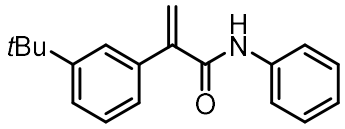
To a solution of the 2-bromoacrylic acid (755 mg, 5.0 mmol, 1.0 equiv) in dry DCM (20 mL) at 0℃ under N_2 was added dropwise oxalyl chloride (0.85 mL, 10.0 mmol, 2.0 equiv) followed by a catalytic amount of DMF (2 drops). The reaction mixture was stirred at room temperature for 2 hours. The volatiles were evaporated under reduced pressure and the resulting crude acyl chloride was used directly for the next reaction without further purification.

To a solution of aniline (5.0 mmol, 1.0 equiv) and Et_3N (1.1 mL, 7.5 mmol, 1.5 equiv) in DCM (20.0 mL) at 0°C was added dropwise a solution of acyl chloride (5.0 mmol, 1.0 equiv) in DCM (5.0 mL), the resulting mixture was stirred at room temperature for 2 hours. The mixture was washed by H_2O, and the organic extracts were dried with anhydrous Na_2SO_4, filtered, and concentrated under reduced pressure. Finally, the residue was purified by column chromatography (PE/EA = 10:1) to give the desired 2-bromoacrylic amide (472 mg, 50% yield).

In glovebox, to a pressure bottle was added the 2-bromoacrylic amide (378 mg, 2.0 mmol, 1.0 equiv), aryl boronic acid (2.4 mmol, 1.2 equiv), $Pd(dppf)Cl_2$ (29 mg, 0.04 mmol, 0.02 equiv), K_2CO_3 (331 mg, 2.4 mmol, 1.2 equiv), dioxane (5.0 mL) and water (5.0 mL). The bottle was sealed and removed out of the glovebox and heated to 80°C for 6 hours in an oil bath. After 6 hours, the bottle was cooled to room temperature. The mixture was washed by brine, dried, concentrated and purified by column chromatography (PE/EA) to give the desired product.

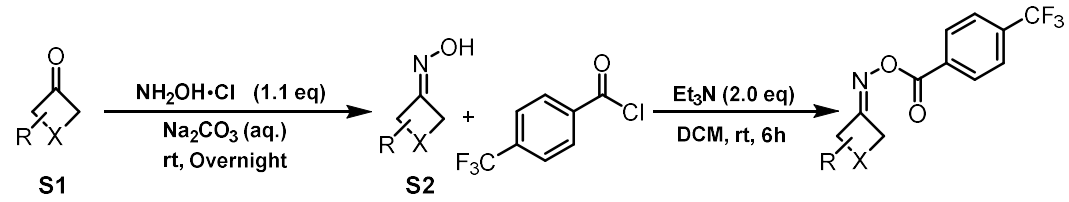
α, β-unsaturated amides **1x-1ao** were synthesized in above-mentioned method. Spectral and physical data of **1x-1ab[3],1ac[4],1ad-1ae[8],1af-1ak[9],1al[10],1am[6],1an[11]** match literature reported values.

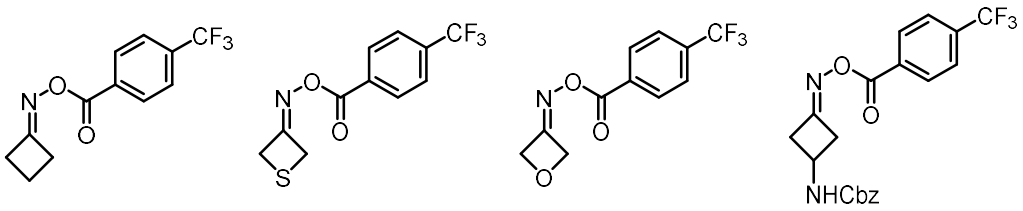
2-(3-(*tert*-butyl)phenyl)-*N*-phenylacrylamide (1ao):

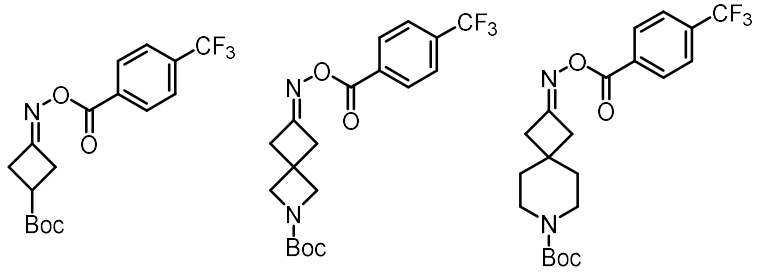


Isolated as white solid using petroleum ether/EtOAc (10:1) as eluent (1.01 g, yield 75%). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 7.53 – 7.50 (m, 2H), 7.47 – 7.46 (m, 1H), 7.45 – 7.44 (m, 1H), 7.40 – 7.36 (m, 1H), 7.35 – 7.30 (m, 2H), 7.27 – 7.25 (m, 1H), 7.14 – 7.10 (m, 1H), 6.34 (d, *J* = 1.2 Hz, 1H), 5.73 (d, *J* = 1.2 Hz, 1H), 1.36 (s, 9H); **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 165.3, 152.2, 145.5, 137.8, 136.6, 129.1, 128.8, 126.0, 125.7, 125.5, 124.7, 123.8, 120.0, 34.9, 31.5; **HRMS**(ESI-TOF): *m/z* calculated for $C_{19}H_{21}NO$ $[M+H]^+$: 280.1696, found: 280.1696.

4.General procedure for the synthesis of Cycloketone Oxime Esters





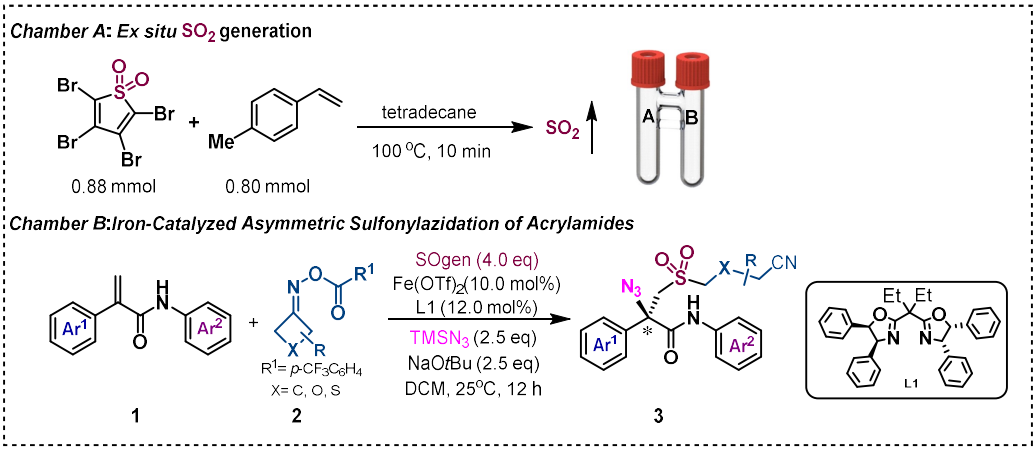


The ketone **S1** (5.0 mmol, 1.0 equiv.) and hydroxylamine hydrochloride (5.5 mmol, 1.1 equiv.) were placed in a 100 mL flask equipped with stirrer. The pH of the solution was held at 7–8 by adding saturated aq. sodium carbonate (10 mL). The resulting solution was stirred at 40°C. After extraction with DCM, the solution was dried over Na_2SO_4 and evaporated to provide crude products **S2** which were used in the next step without further purification.

At 0°C, to a solution of ketoxime **S2** (1.0 equiv.) in ultra-dry DCM was added Et_3N (2.0 equiv.), then add trifluoromethylbenzoyl chloride dropwise. The mixture was stirred at room temperature under Ar until the reaction was complete (TLC monitoring). The mixture was diluted with water and extracted with DCM. The aqueous layer was extracted with DCM and the combined organic extracts were washed with brine, dried over Na_2SO_4, the solvent was removed under vacuum and the residue was subjected to column chromatography on SiO_2 with EtOAc-Petroleum ether as an eluent to give cycloketone oxime esters substrates.

Cycloketone oxime esters substrate **2a-2g** were synthesized in above-mentioned method. Spectral and physical data of **2a,2c-2d,2g**[12], **2b**[13],**2e**[14],**2f**[15] match literature reported values.

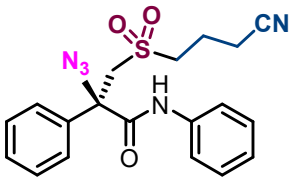
5. General procedure for the synthesis of compounds 3a-3au:



In the glovebox, **1** (0.2 mmol, 1.0 equiv), **2** (0.3 mmol, 1.5 equiv), $Fe(OTf)_2$ (7.0 mg, 10.0 mol%), NaO*t*Bu (48.0 mg, 2.5 equiv), chiral ligand **L1**(12.2mg, 12.0 mol%) were added to chamber B. Tetrabromothiophene *S*,*S*-dioxides (0.88 mmol, 380 mg) in tetradecane (1.0 mL) was added to chamber A, followed by addition of 4-methylphenylene (0.80 mmol, 105 µl). The chamber A was sealed and removed out of the glovebox and heated to 100°C in heating mantle for 10 min. $TMSN_3$ (57.6 mg, 65.7ul, 2.5 equiv) resolved in DCM (1.0 mL) was added into chamber B. Then chamber B heated to 25°C in heating mantle for 12 hours. Upon completion, The residue was purified by flash silica gel column chromatography using petroleum ether/ethyl acetate as eluent to afford pure product **3**.

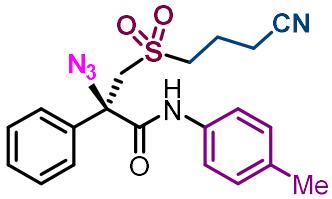
6.Characterization data of compounds (3a-3au):

(*R*)-2-azido-3-((3-cyanopropyl)sulfonyl)-*N*,2-diphenylpropanamide(3a):



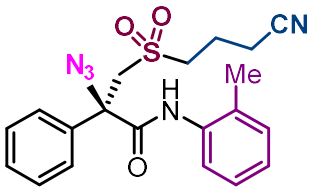
Isolated as white solid using PE/ EA (2:1) as eluent (75.5 mg, yield 95%, 93:7 er), $[\alpha]D^{15.2}$ -9.7 (c 0.58, $CHCl_3$). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.51 (s, 1H), 7.53 – 7.49 (m, 5H), 7.47 – 7.46 (m, 1H), 7.45 – 7.44 (m, 1H), 7.36 – 7.31 (m, 2H), 7.18 – 7.14 (m, 1H), 4.67 (d, *J* = 14.4 Hz, 1H), 3.85 (d, *J* = 14.4 Hz, 1H), 3.25 – 3.17 (m, 2H), 2.58 – 2.50 (m, 2H), 2.25 – 2.17 (m, 2H); **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 166.2, 136.7, 136.6, 129.9, 129.8, 129.3, 125.7, 125.6, 120.7, 118.3, 69.6, 58.2, 53.6, 18.3, 16.3; **HRMS**(ESI): *m/z* calculated for $C_{19}H_{19}N_5O_3S$ $[M+H]^+$: 398.1281, found: 398.1286. **HPLC** (Daicel Chirapak IB column, hexane/isopropanol = 50/50, flow 1.0 mL/min, detection at 210 nm) retention time = 14.13 min (major) and 17.10 min (minor).

(*R*)-2-azido-3-((3-cyanopropyl)sulfonyl)-2-phenyl-*N*-(*p*-tolyl)propanamide(3b):



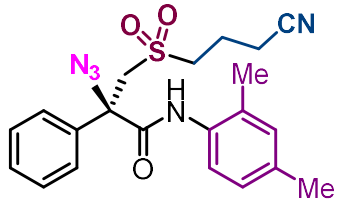
Isolated as white solid using PE/ EA (2:1) as eluent (77.4 mg, yield 94%, 91:9 er), $[\alpha]D^{15.3}$ -4.7 (c 0.86, $CHCl_3$). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.52 (s, 1H), 7.52 – 7.48 (m, 2H), 7.47 – 7.41 (m, 3H), 7.38 (d, *J* = 2.0 Hz, 1H), 7.37 (d, *J* = 2.0 Hz, 1H), 7.13 – 7.10 (m, 2H), 4.73 (d, *J* = 14.4 Hz, 1H), 3.83 (d, *J* = 14.4 Hz, 1H), 3.25 – 3.12 (m, 2H), 2.51 – 2.36 (m, 2H), 2.31 (s, 3H), 2.19 – 2.11 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 166.2, 136.7, 135.3, 134.1, 129.8, 129.7, 129.6, 125.7, 120.7, 118.4, 69.4, 57.8, 53.5, 21.0, 18.2, 16.1; **HRMS**(ESI): *m/z* calculated for $C_{20}H_{21}N_5O_3S$ $[M+H]^+$: 412.1438, found: 412.1439. **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 30/70, flow 1.0 mL/min, detection at 210 nm) retention time = 24.23 min (major) and 15.16 min (minor).

(*R*)-2-azido-3-((3-cyanopropyl)sulfonyl)-2-phenyl-*N*-(*o*-tolyl)propanamide(3c)



Isolated as white solid using PE/ EA (2:1) as eluent (76.5 mg, yield 93%, 90:10 er), $[\alpha]D^{15.2}$ -5.6 (c 0.72, $CHCl_3$). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.39 (s, 1H), 7.68 – 7.66 (m, 1H), 7.55 – 7.52 (m, 2H), 7.50 – 7.42 (m, 3H), 7.21 – 7.18 (m, 2H), 7.13 – 7.09 (m, 1H), 4.72 (d, *J* = 14.4 Hz, 1H), 3.84 (d, *J* = 14.4 Hz, 1H), 3.25 – 3.12 (m, 2H), 2.58 – 2.43 (m, 2H), 2.25 (s, 3H), 2.22 – 2.14 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 166.3, 136.8, 134.7, 130.8, 130.3, 129.9, 129.7, 126.9, 126.2, 125.7, 123.2, 118.3, 69.7, 58.0, 53.6, 18.3, 17.6, 16.2; **HRMS**(ESI): *m/z* calculated for $C_{20}H_{21}N_5O_3S$ $[M+H]^+$: 412.1438 found: 412.1440. **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 30/70, flow 1.0 mL/min, detection at 210 nm) retention time = 16.29 min (major) and 10.35 min (minor).

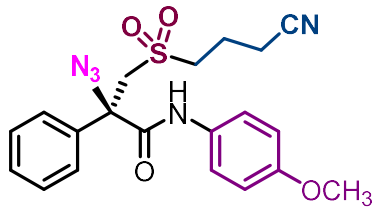
(*R*)-2-azido-3-((3-cyanopropyl)sulfonyl)-*N*-(2,4-dimethylphenyl)-2-phenylpropanamide(3d)



Isolated as white solid using PE/ EA (2:1) as eluent (79.9 mg, yield 94%, 88:12 er), $[\alpha]D^{16.4}$ -15.4 (c 0.57, $CHCl_3$). **^{1}H NMR (400 MHz, CDCl3)** δ 8.31 (s, 1H), 7.55 – 7.52 (m, 2H), 7.49 – 7.45 (m, 4H), 7.00 – 6.98 (m, 2H), 4.71 (d, *J* = 14.4 Hz, 1H), 3.82 (d, *J* = 14.4 Hz, 1H), 3.23 – 3.13 (m, 2H), 2.55 – 2.44 (m, 2H), 2.28 (s, 3H), 2.21 – 2.14 (m, 5H); **^{13}C NMR (100 MHz, CDCl3)** δ 166.3, 136.8, 136.1, 132.0, 131.4, 130.5, 129.8, 129.7, 127.4, 125.7, 123.4, 118.3, 69.7, 58.1, 53.6, 21.0, 18.4, 17.5, 16.2; **HRMS**(ESI): *m/z* calculated for

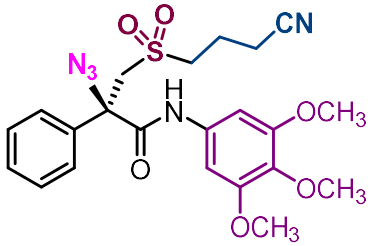
$C_{21}H_{23}N_5O_3S$ $[M+H]^+$: 426.1594, found: 426.1597. **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 30/70, flow 1.0 mL/min, detection at 210 nm) retention time = 20.76 min (major) and 17.67 min (minor).

(*R*)-2-azido-3-((3-cyanopropyl)sulfonyl)-*N*-(4-methoxyphenyl)-2-phenylpropanamide(3e):



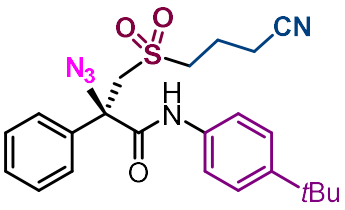
Isolated as white solid using PE/ EA (2:1) as eluent (81.2 mg, yield 95%, 90:10 er), $[\alpha]D^{15.2}$ -9.7 (c 0.58, $CHCl_3$). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.44 (s, 1H), 7.52 – 7.42 (m, 5H), 7.39 (d, *J* = 8.8 Hz, 2H), 6.85 (d, *J* = 8.8, 2H), 4.66 (d, *J* = 14.4, 1H), 3.84 (d, *J* = 14.4, 1H), 3.77 (s, 3H), 3.27 – 3.14 (m, 2H), 2.59 – 2.46 (m, 2H), 2.23 – 2.16 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 166.2, 157.4, 136.7, 129.8, 129.7, 125.7, 122.6, 118.3, 114.4, 69.5, 58.1, 55.6, 53.5, 18.3, 16.3; **HRMS**(ESI): *m/z* calculated for $C_{20}H_{21}N_5O_4S$ $[M+H]^+$: 428.1387, found: 428.1388. **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 30/70, flow 1.0 mL/min, detection at 210 nm) retention time = 18.34 min (major) and 27.57 min (minor).

(*R*)-2-azido-3-((3-cyanopropyl)sulfonyl)-2-phenyl-*N*-(3,4,5-trimethoxyphenyl)propenamide(3f):



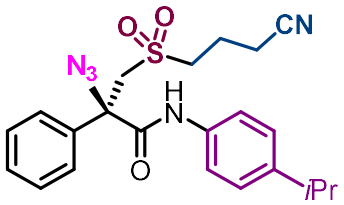
Isolated as white solid using PE/ EA (2:1) as eluent (92.6mg, yield 95%, 90:10 er), $[\alpha]D^{16.1}$ -18.7 (c 0.47, $CHCl_3$). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.49 (s, 1H), 7.49 – 7.43 (m, 5H), 6.83 (s, 2H), 4.63 (d, *J* = 14.4 Hz, 1H), 3.87 (d, *J* = 14.4 Hz, 1H), 3.82 (s, 6H), 3.79 (s, 3H), 3.27 – 3.22 (m, 2H), 2.61 – 2.58 (m, 2H), 2.28 – 2.21 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 166.0, 153.5, 136.5, 135.5, 132.9, 130.0, 129.8, 125.7, 118.2, 98.1, 69.5, 61.1, 58.1, 56.3, 53.6, 18.3, 16.4; **HRMS**(ESI): *m/z* calculated for $C_{22}H_{25}N_5O_6S$ $[M+H]^+$: 488.1598, found: 488.1602; **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 50/50, flow 1.0 mL/min, detection at 210 nm) retention time = 15.51 min (major) and 9.54 min (minor).

(*R*)-2-azido-*N*-(4-(*tert*-butyl)phenyl)-3-((3-cyanopropyl)sulfonyl)-2-phenylpropanamide(3g):



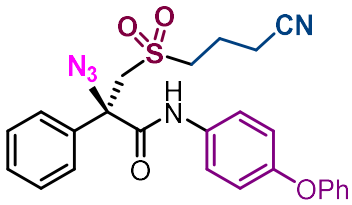
Isolated as white solid using PE/ EA (2:1) as eluent (84.3 mg, yield 93%, 91:9 er), $[\alpha]D^{16.4}$ -11.2 (c 0.67, $CHCl_3$). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.48 (s, 1H), 7.50 – 7.41 (m, 7H), 7.35 – 7.33 (m, 2H), 4.67 (d, *J* = 14.4 Hz, 1H), 3.86 (d, *J* = 14.4 Hz, 1H), 3.26 – 3.17 (m, 2H), 2.57 – 2.51 (m, 2H), 2.24 – 2.17 (m, 2H), 1.29 (s, 9H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 166.1, 148.6, 136.6, 134.1, 129.9, 129.7, 126.1, 125.7, 120.3, 118.3, 69.5, 58.1, 53.6, 34.6, 31.4, 18.4, 16.3; **HRMS**(ESI): *m/z* calculated for $C_{23}H_{27}N_5O_3S$ $[M+H]^+$: 454.1907, found: 454.1911. **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 30/70, flow 1.0 mL/min, detection at 210 nm) retention time = 21.69 min (major) and 12.82 min (minor).

(*R*)-2-azido-3-((3-cyanopropyl)sulfonyl)-*N*-(4-isopropylphenyl)-2-phenylpropanamide(3h):



Isolated as white solid using PE/ EA (2:1) as eluent (80.8 mg, yield 92%, 90:10 er), $[\alpha]D^{15.5}$ –4.4 (c 0.68, $CHCl_3$). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.48 (s, 1H), 7.52 – 7.49 (m, 2H), 7.48 – 7.40 (m, 5H), 7.21 – 7.17 (m, 2H), 4.68 (d, *J* = 14.4 Hz, 1H), 3.85 (d, *J* = 14.4 Hz, 1H), 3.27 – 3.14 (m, 2H), 2.91 – 2.84 (m, 1H), 2.57 – 2.45 (m, 2H), 2.24 – 2.16 (m, 2H), 1.22 (s, 3H), 1.21 (s, 3H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 166.1, 146.4, 136.6, 134.4, 129.8, 129.7, 127.2, 125.7, 120.7, 118.3, 69.5, 58.1, 53.5, 33.8, 24.1, 18.3, 16.3; **HRMS**(ESI): *m/z* calculated for $C_{22}H_{25}N_5O_3S$ $[M+H]^+$:440.1751, found:440.1754. **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 30/70, flow 1.0 mL/min, detection at 210 nm) retention time = 23.25 min (major) and 13.46 min (minor).

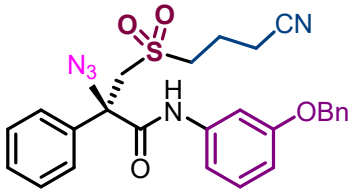
(*R*)-2-azido-3-((3-cyanopropyl)sulfonyl)-*N*-(4-phenoxyphenyl)-2phenylpropanamide(3i):



Isolated as white solid using PE/ EA (2:1) as eluent (88.1 mg, yield 90%, 89:11 er), $[\alpha]D^{16.4}$ -20.3(c 0.45, $CHCl_3$). **^{1}H NMR (400 MHz, $CDCl_3$)** δ = 8.49 (s, 1H), 7.53 – 7.42 (m, 7H), 7.34 – 7.30 (m, 2H), 7.11 – 7.07 (m, 1H), 6.98 (d, *J* = 8.8 Hz, 4H), 4.65 (d, *J* =14.4 Hz, 1H), 3.86 (d, *J* =14.4 Hz, 1H), 3.30 – 3.19 (m, 2H), 2.65 – 2.53 (m, 2H), 2.28 – 2.21 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 166.2, 157.3, 154.7, 136.5, 132.1, 129.93, 129.90, 129.8, 125.7, 123.5, 122.5, 119.7, 118.8, 118.2, 69.5, 58.3, 53.6, 18.4, 16.4; **HRMS**(ESI): *m/z*

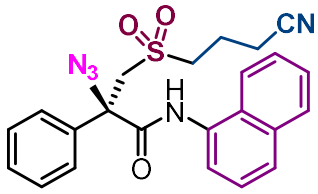
calculated for $C_{25}H_{23}N_5O_4S$ $[M+H]^+$: 490.1544, found: 490.1546. **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 30/70, flow 1.0 mL/min, detection at 210 nm) retention time = 28.67 min (major) and 15.04 min (minor).

(*R*)-2-azido-*N*-(3-(benzyloxy)phenyl)-3-((3-cyanopropyl)sulfonyl)-2-phenylpropanamide(3j):



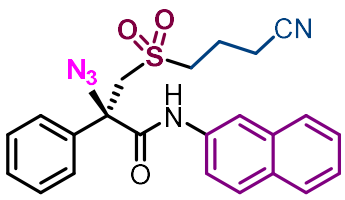
Isolated as white solid using PE/ EA (2:1) as eluent (78.4 mg, yield 78%, 90:10 er), $[\alpha]D^{13.9}$ -10.1 (c 0.95, $CHCl_3$). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.62 (s, 1H), 7.55 – 7.52 (m, 2H), 7.51 – 7.33 (m, 9H), 7.30 – 7.23 (m, 1H), 7.09 (dd, *J* = 7.6, 2.0 Hz, 1H), 6.81 (dd, *J* = 8.0, 2.4 Hz, 1H), 5.06 (s, 2H), 4.74 (d, *J* = 14.4 Hz, 1H), 3.88 (d, *J* = 14.4 Hz, 1H), 3.29 – 3.16 (m, 2H), 2.56 – 2.42 (m, 2H), 2.23 – 2.15 (m, 2H); **^{13}C NMR (100 MHz, CDCl3)** δ 166.3, 159.4, 137.9, 136.8, 136.5, 130.0, 129.8, 129.7, 128.6, 128.1, 127.6, 125.7, 118.4, 113.0, 111.9, 107.4, 70.1, 69.5, 57.9, 53.5, 18.2, 16.1; **HRMS**(ESI): *m/z* calculated for $C_{26}H_{25}N_5O_4S$ $[M+H]^+$: 504.1700, found: 504.1703. **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 50/50, flow 1.0 mL/min, detection at 210 nm) retention time = 28.57 min (major) and 20.53 min (minor).

(*R*)-2-azido-3-((3-cyanopropyl)sulfonyl)-*N*-(naphthalen-1-yl)-2-phenylpropanamide(3k):



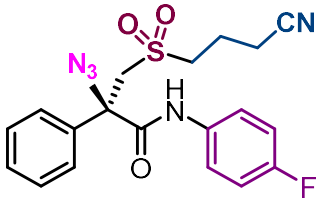
Isolated as white solid using PE/ EA (2:1) as eluent (85.0 mg, yield 95%, 93:7 er), $[\alpha]D^{16.0}$ -15.1 (c 0.32, $CHCl_3$). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.93 (s, 1H), 7.88 – 7.84 (m, 2H), 7.77 – 7.73 (m, 2H), 7.61 – 7.58 (m, 2H), 7.55 – 7.43 (m, 6H), 4.76 (d, *J* = 14.4 Hz, 1H), 3.84 (d, *J* = 14.4 Hz, 1H), 3.22 – 3.08 (m, 2H), 2.49 – 2.33 (m, 2H), 2.19 – 2.08 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 167.1, 136.8, 134.2, 131.3, 129.9, 129.8, 128.8, 127.8, 127.0, 126.5, 125.74, 125.66, 121.5, 121.0, 118.3, 69.8, 58.0, 53.6, 18.3, 16.1; **HRMS**(ESI): *m/z* calculated for $C_{23}H_{21}N_5O_3S$ $[M+H]^+$: 448.1438, found: 448.1440. **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 50/50, flow 1.0 mL/min, detection at 210 nm) retention time = 22.73 min (major) and 13.22 min (minor).

(*R*)-2-azido-3-((3-cyanopropyl)sulfonyl)-*N*-(naphthalen-2-yl)-2-phenylpropanamide(3l):



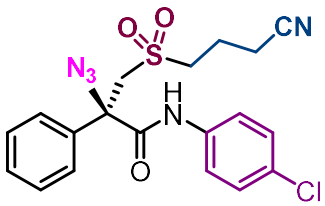
Isolated as white solid using PE/ EA (2:1) as eluent (85.0 mg, yield 95%, 93:7 er), $[\alpha]D^{13.8}$ -8.7 (c 0.73, $CHCl_3$). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.68 (s, 1H), 8.17 (d, *J* = 2.4 Hz, 1H), 7.82 – 7.76 (m, 3H), 7.56 – 7.54 (m, 2H), 7.51 – 7.40 (m, 6H), 4.69 (d, *J* = 14.4 Hz, 1H), 3.88 (d, *J* = 14.4 Hz, 1H), 3.29 – 3.17 (m, 2H), 2.60 – 2.49 (m, 2H), 2.26 – 2.18 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 166.4, 136.6, 134.1, 133.7, 131.3, 123.0, 129.8, 129.1, 127.9, 127.7, 126.9, 125.7, 120.2, 118.2, 117.8, 69.6, 58.3, 53.6, 18.4, 16.4; **HRMS**(ESI): *m/z* calculated for $C_{23}H_{21}N_5O_3S$ $[M+H]^+$: 448.1438, found: 448.1439. **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 50/50, flow 1.0 mL/min, detection at 210 nm) retention time = 40.55 min (major) and 26.33 min (minor).

(*R*)-2-azido-3-((3-cyanopropyl)sulfonyl)-*N*-(4-fluorophenyl)-2-phenylpropanamide(3m):



Isolated as white solid using PE/ EA (2:1) as eluent (78.9 mg, yield 95%, 91:9 er), $[\alpha]D^{16.4}$ -12.1 (c 0.81, $CHCl_3$). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.49 (s, 1H), 7.52 – 7.48 (m, 4H), 7.47 – 7.43 (m, 3H), 7.05 – 6.98 (m, 2H), 4.64 (d, *J* = 14.4 Hz, 1H), 3.86 (d, *J* = 14.4 Hz, 1H), 3.26 – 3.22 (m, 2H), 2.61 – 2.57 (m, 2H), 2.28 – 2.20 (m, 2H); **^{19}F NMR (376 MHz, $CDCl_3$)** δ -116.3; **^{13}C NMR (100 MHz, $CDCl_3$)** δ 166.4, 160.2(d, J_{C-F} = 244 Hz), 136.4, 132.7(d, J_{C-F} = 3 Hz), 130.0, 129.8, 125.7, 122.8(d, J_{C-F} = 8 Hz), 118.2, 116.0(d, J_{C-F} = 23 Hz), 69.5, 58.2, 53.6, 18.4, 16.4; **HRMS**(ESI): *m/z* calculated for $C_{19}H_{18}FN_5O_3S$ $[M+H]^+$: 416.1187, found:416.1188. **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 30/70, flow 1.0 mL/min, detection at 210 nm) retention time = 23.44 min (major) and 9.13 min (minor).

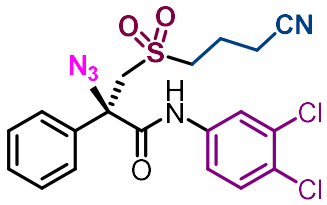
(*R*)-2-azido-*N*-(4-chlorophenyl)-3-((3-cyanopropyl)sulfonyl)-2-phenylpropanamide(3n):



Isolated as white solid using PE/ EA (2:1) as eluent (79.4 mg, yield 92%, 91:9 er), $[\alpha]D^{15.8}$ -10.6 (c 0.33, $CHCl_3$). **^{1}H NMR (400 MHz, $CDCl_3$)** δ = 8.35 (s,1H), 7.32 – 7.28 (m, 5H), 7.27 – 7.23 (m, 2H), 7.12 – 7.10 (m, 1H), 7.09 – 7.08 (m, 1H), 4.46 (d, *J* =14.4 Hz, 1H), 3.68 (d, *J* =14.4 Hz, 1H), 3.11 – 3.00 (m, 2H), 2.46 – 2.33 (m, 2H), 2.08 – 2.01 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 166.4, 136.4, 135.3, 130.7, 130.0, 129.8, 129.3, 125.7, 122.0, 118.2, 69.5,

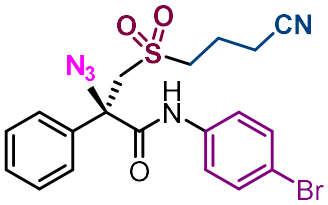
58.2, 53.6, 18.3, 16.4; **HRMS**(ESI): *m/z* calculated for $C_{19}H_{18}ClN_5O_3S[M+H]^+$: 524.0248, found: 524.0253. **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 30/70, flow 1.0 mL/min, detection at 210 nm) retention time = 29.57 min (major) and 11.72 min (minor).

(*R*)-2-azido-3-((3-cyanopropyl)sulfonyl)-*N*-(3,4-dichlorophenyl)-2-phenylpropanamide(3o):



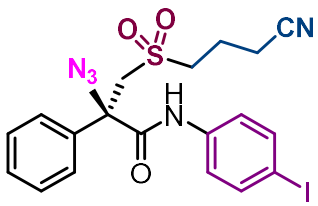
Isolated as white solid using PE/ EA (2:1) as eluent (82.1 mg, yield 88%, 88:12 er), $[\alpha]D^{14.7}$ -12.8 (c 0.72, $CHCl_3$). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.58 (s, 1H), 7.76 (s, 1H), 7.47 – 7.29 (m, 7H), 4.64 (d, *J* = 14.0 Hz, 1H), 3.86 (d, *J* = 14.0 Hz, 1H), 3.28 – 3.25 (m, 2H), 2.62 – 2.58 (m, 2H), 2.28 – 2.21 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 166.5, 136.22, 136.16, 133.0, 130.7, 130.1, 129.9, 128.8, 125.6, 122.3, 119.9, 118.2, 69.5, 58.1, 53.7, 18.3, 16.4; **HRMS**(ESI): *m/z* calculated for $C_{19}H_{17}Cl_2N_5O_3S$ $[M+H]^+$: 466.0502, found: 466.0506. **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 50/50, flow 1.0 mL/min, detection at 210 nm) retention time = 24.00 min (major) and 10.20 min (minor).

(*R*)-2-azido-*N*-(4-bromophenyl)-3-((3-cyanopropyl)sulfonyl)-2-phenylpropanamide(3p):



Isolated as white solid using PE/ EA (2:1) as eluent (89.5 mg, yield 94%, 90:10 er). $[\alpha]D^{16.4}$ -14.6 (c 0.62, $CHCl_3$) **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.53 (s, 1H), 7.50 – 7.47 (m, 4H), 7.46 – 7.44 (m, 1H), 7.43 – 7.39 (m, 4H), 4.64 (d, *J* = 14.4 Hz, 1H), 3.86 (d, *J* = 14.4 Hz, 1H), 3.28 – 3.20 (m, 2H), 2.60 – 2.55 (m, 2H), 2.27 – 2.19 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 166.4, 136.3, 135.8, 132.2, 130.0, 129.8, 125.6, 122.3, 118.3, 118.2, 69.5, 58.2, 53.6, 18.3, 16.4; **HRMS**(ESI): *m/z* calculated for $C_{19}H_{18}BrN_5O_3S$ $[M+H]^+$:476.0386, found: 476.0391. **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 30/70, flow 1.0 mL/min, detection at 210 nm) retention time = 32.25 min (major) and 13.08 min (minor).

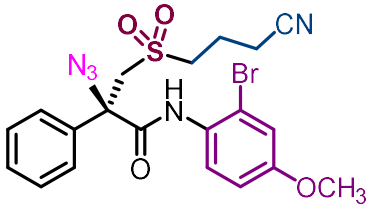
(*R*)-2-azido-3-((3-cyanopropyl)sulfonyl)-*N*-(4-iodophenyl)-2-phenylpropanamide(3q):



Isolated as white solid using PE/ EA (2:1) as eluent (98.3 mg, yield 94%, 94:6 er), $[\alpha]D^{15.8}$ -15.4 (c 0.23, $CHCl_3$). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.50 (s, 1H), 7.64 – 7.62 (d, *J* = 8.8 Hz, 2H), 7.50 – 7.47 (m, 4H), 7.46 – 7.43

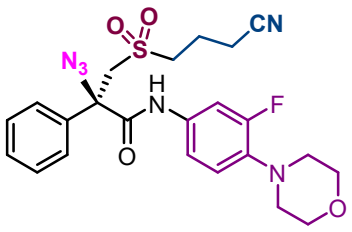
(m, 1H), 7.29 (d, J = 8.8 Hz, 2H), 4.63 (d, J = 14.4 Hz, 1H), 3.85 (d, J = 14.4 Hz, 1H), 3.26 – 3.21 (m, 2H), 2.61 – 2.57 (m, 2H), 2.27 – 2.20 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 166.3, 138.2, 136.6, 136.3, 130.0, 129.8, 125.6, 122.5, 118.2, 89.1, 69.6, 58.2, 53.6, 18.4, 16.4; **HRMS**(ESI): *m/z* calculated for $C_{19}H_{18}IN_5O_3S$ $[M+H]^+$: 524.0248, found: 524.0253. **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 30/70, flow 1.0 mL/min, detection at 210 nm) retention time = 37.09 min (major) and 15.43 min (minor).

(*R*)-2-azido-*N*-(2-bromo-4-methoxyphenyl)-3-((3-cyanopropyl)sulfonyl)-2-phenylpropanamide(3r):



Isolated as white solid using PE/ EA (2:1) as eluent (81.1mg, yield 80%, 92:8 er), $[\alpha]D^{16.4}$ -28.7 (c 0.95, $CHCl_3$). **^{1}H NMR (400 MHz, $CDCl_3$)** δ = 8.79 (s, 1H), 7.96 (d, J = 9.2 Hz, 1H), 7.55 – 7.52 (m, 2H), 7.50 – 7.41 (m, 3H), 7.10 (d, J = 2.8 Hz, 1H), 6.84 (dd, J = 9.2 ,2.8 Hz, 1H), 4.64 (d, J =14.4 Hz, 1H), 3.88 (d, J = 14.4 Hz, 1H), 3.77 (s, 3H), 3.29 – 3.17 (m, 2H), 2.62 – 2.54 (m, 2H), 2.28 – 2.18 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 166.1, 157.5, 136.3, 129.9, 129.8, 128.0, 125.8, 123.8, 118.2, 117.9, 116.0, 114.1, 69.7, 58.2, 55.9, 53.6, 18.4, 16.4; **HRMS**(ESI): *m/z* calculated for $C_{20}H_{20}BrN_5O_4S$ $[M+H]^+$: 506.0492, found: 506.0498. **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 30/70, flow 1.0 mL/min, detection at 210 nm) retention time = 25.66 min (major) and 20.32 min (minor).

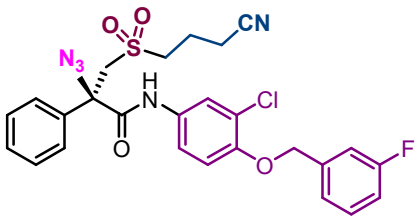
(*R*)-2-azido-3-((3-cyanopropyl)sulfonyl)-*N*-(3-fluoro-4-morpholinophenyl)-2-phenylpropanamide(3s):



Isolated as white solid using PE/ EA (2:1) as eluent (93.1 mg, yield 93%, 93:7 er), $[\alpha]D^{16.3}$ -16.0 (c 0.44, $CHCl_3$). **^{1}H NMR (400 MHz, $CDCl_3$)** δ = 8.49 (s, 1H), 7.50 – 7.45 (m, 4H), 7.44 – 7.38 (m, 2H), 7.13 – 7.09 (m, 1H), 6.89 – 6.85 (m, 1H), 4.64 (d, J =14.4 Hz, 1H), 3.87 – 3.83 (m, 5H), 3.29 – 3.18 (m, 2H), 3.04 – 3.01 (m, 4H), 2.62 – 2.51 (m, 2H), 2.28 – 2.17 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 166.2, 155.4 (d, J_{C-F} = 245 Hz), 137.5 (d, J_{C-F} = 9 Hz), 136.4, 131.7 (d, J_{C-F} = 11 Hz), 129.9, 129.8, 125.7, 118.9 (d, J_{C-F} = 4 Hz), 118.3, 116.6 (d, J_{C-F} = 3 Hz), 109.7 (d, J_{C-F} = 26.0 Hz), 69.5, 67.0, 58.1, 53.6, 51.0 (d, J_{C-F} = 3 Hz), 18.3, 16.3; **^{19}F NMR (376 MHz, $CDCl_3$)** δ -120.46; **HRMS**(ESI): *m/z* calculated for $C_{23}H_{25}FN_6O_4S$ $[M+H]^+$: 501.1715, found: 501.1717. **HPLC** (Daicel Chirapak IA

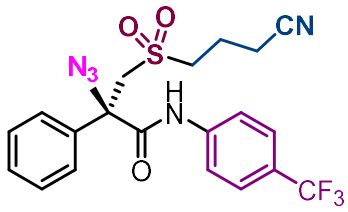
column, hexane/isopropanol = 30/70, flow 1.0 mL/min, detection at 210 nm) retention time = 22.20min (major) and 17.08 min (minor).

(*R*)-2-azido-*N*-(3-chloro-4-((3-fluorobenzyl)oxy)phenyl)-3-((3-cyanopropyl)sulfonyl)-2-phenylpropanamide(3t):



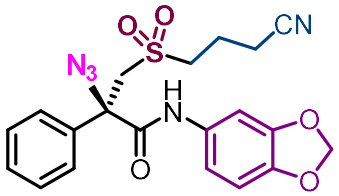
Isolated as white solid using PE/ EA (2:1) as eluent (104.5 mg, yield 94%, 90:10 er), $[\alpha]D^{16.4}$ -22.1 (c 0.40, $CHCl_3$). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.48 (s, 1H), 7.63 (d, *J* = 2.8 Hz, 1H), 7.50 – 7.46 (m, 4H), 7.45 – 7.43 (m, 1H), 7.36 – 7.32 (m, 1H), 7.30 – 7.27 (m, 1H), 7.20 – 7.14 (m, 2H), 7.02 – 6.97 (m, 1H), 6.86 (d, *J* = 8.8 Hz, 1H), 5.09 (s, 2H), 4.65 (d, *J* = 14.0 Hz, 1H), 3.85 (d, *J* = 14.0 Hz, 1H), 3.26 – 3.22 (m, 2H), 2.60 – 2.54 (m, 2H), 2.26 – 2.20 (m, 2H); **^{19}F NMR (376 MHz, $CDCl_3$)** δ -112.6; **^{13}C NMR (100 MHz, $CDCl_3$)** δ 166.4, 163.1 (d, J_{C-F} = 245 Hz), 151.6, 139.0 (d, J_{C-F} = 7 Hz), 136.4, 130.8, 130.3(d, J_{C-F} = 8 Hz), 130.0, 129.8, 125.6, 123.7, 123.3, 122.5 (d, J_{C-F} = 3 Hz), 120.4, 118.3, 115.1 (d, J_{C-F} = 21 Hz), 114.4, 114.1(d, J_{C-F} = 22 Hz), 70.4, 69.5, 58.1, 53.6, 18.3, 16.3; **HRMS**(ESI): *m/z* calculated for $C_{26}H_{23}ClFN_5O_4S$ $[M+H]^+$: 556.1216, found: 556.1220. **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 30/70, flow 0.7 mL/min, detection at 210 nm) retention time = 20.9 min (major) and 18.57 min (minor).

(*R*)-2-azido-3-((3-cyanopropyl)sulfonyl)-2-phenyl-*N*-(4-(trifluoromethyl)phenyl)propenamide(3u):



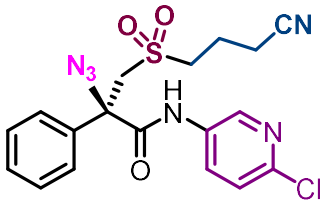
Isolated as white solid using PE/ EA (2:1) as eluent (86.6 mg, yield 93%, 88:12 er). $[\alpha]D^{15.6}$ -24.9 (c 0.37, $CHCl_3$). **^{1}H NMR (400 MHz, DMSO-*d*$_6$)** δ 10.35 (s, 1H), 7.88 (d, *J* = 6.8 Hz, 2H), 7.70 (d, *J* = 6.8 Hz, 2H), 7.63 – 7.61 (m, 2H), 7.55 – 7.50 (m, 2H), 7.48 – 7.44 (m, 1H), 4.64 (d, *J* = 14.8 Hz, 1H), 4.57 (d, *J* = 14.8 Hz, 1H), 3.48 – 3.38 (m, 2H), 2.73 – 2.69 (m, 2H), 2.16 – 2.06 (m, 2H). **^{19}F NMR (376 MHz, DMSO)** δ -60.5; **^{13}C NMR (100 MHz, DMSO)** δ 167.2, 141.8, 136.5, 129.5, 129.2, 126.3, 125.9 (q, J_{C-F} = 4 Hz), 124.4 (q, J_{C-F} = 32 Hz), 124.3 (q, J_{C-F} = 270 Hz), 120.8, 119.8, 69.7, 56.9, 53.7, 18.0, 15.3. **HRMS**(ESI): *m/z* calculated for $C_{20}H_{18}F_3N_5O_3S$ $[M+H]^+$: 466.1155, found: 466.1161. **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 50/50, flow 1.0 mL/min, detection at 210 nm) retention time = 12.05 min (major) and 40.98 min (minor).

(*R*)-2-azido-*N*-(benzo[d][1,3]dioxol-5-yl)-3-((3-cyanopropyl)sulfonyl)-2-phenylpropanamide(3v):



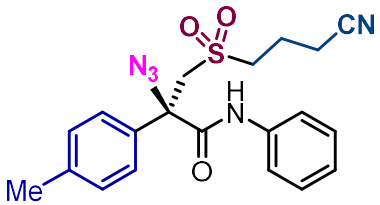
Isolated as white solid using PE/ EA (2:1) as eluent (74.1 mg, yield 84%, 90:10 er), $^{[\alpha]}D^{14.4}$ -19.3 (c 0.75, $CHCl_3$). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.43 (s, 1H), 7.51 – 7.42 (m, 5H), 7.15 (d, *J* = 2.4 Hz, 1H), 6.83 – 6.79 (m, 1H), 6.73 (dd, *J* = 8.4, 2.8 Hz, 1H), 5.94 (s, 2H), 4.65 (d, *J* = 14.4 Hz, 1H), 3.84 (d, *J* = 14.4 Hz, 1H), 3.28 – 3.16 (m, 2H), 2.60 – 2.49 (m, 2H), 2.25 – 2.18 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 166.2, 148.0, 145.3, 136.6, 130.8, 129.9, 129.7, 125.7, 118.3, 114.3, 108.3, 103.4, 101.6, 69.5, 58.1, 53.6, 18.3, 16.3; **HRMS**(ESI): *m/z* calculated for $C_{20}H_{19}N_5O_5S$ $[M+H]^+$: 442.1180, found: 442.1181. **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 30/70, flow 1.0 mL/min, detection at 210 nm) retention time = 24.91 min (major) and 14.78 min (minor).

(*R*)-2-azido-*N*-(5-chloropyridin-2-yl)-3-((3-cyanopropyl)sulfonyl)-2-phenylpropanamide(3w):



Isolated as white solid using PE/ EA (2:1) as eluent (77.0 mg, yield 89%, 86:14 er), $^{[\alpha]}D^{14.2}$ -15.1 (c 0.74, $CHCl_3$). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.68 (s, 1H), 8.45 (d, *J* = 2.8 Hz 1H), 8.02 (dd, *J* =8.8 Hz , 2.8 Hz, 1H), 7.51 – 7.43 (m, 5H), 7.28 (s, 1H), 4.63 (d, *J* = 14.4 Hz, 1H), 3.88 (d, *J* = 14.4 Hz, 1H), 3.30 – 3.26 (m, 2H), 2.63 – 2.59 (m, 2H), 2.29 – 2.21 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 167.0, 147.2, 141.8, 136.0, 132.9, 130.9, 130.2, 129.9, 125.6, 124.5, 118.2, 69.5, 58.2, 53.8, 18.3, 16.4; **HRMS**(ESI): *m/z* calculated for $C_{18}H_{17}ClN_6O_3S$ $[M+H]^+$: 433.0844, found: 433.0847. **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 50/50, flow 1.0 mL/min, detection at 210 nm) retention time = 32.03 min (major) and 13.17 min (minor).

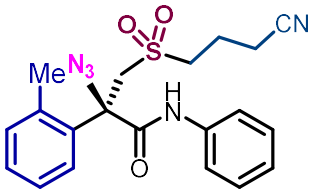
(*R*)-2-azido-3-((3-cyanopropyl)sulfonyl)-*N*-phenyl-2-(*p*-tolyl)propenamide(3x):



Isolated as white solid using PE/EA (2:1) as eluent (65.8 mg, yield 80%, 89:11 er), $^{[\alpha]}D^{16.1}$ -15.5 (c 0.70, $CHCl_3$). **^{1}H NMR (400 MHz, $CDCl_3$)** δ = 8.45 (s, 1H), 7.44 – 7.42 (m, 2H), 7.31 – 7.29 (m, 2H), 7.27 – 7.23 (m, 2H), 7.19 – 7.17 (m, 2H), 7.10 – 7.06 (m, 1H), 4.58 (d, *J* =14.4 Hz, 1H), 3.76 (d, *J* =14.4 Hz, 1H), 3.19 – 3.07 (m, 2H), 2.51 – 2.37 (m, 2H), 2.29 (s, 3H), 2.15 – 2.08 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 166.4, 140.0, 136.8, 133.6, 130.4, 129.2, 125.6, 125.5, 120.7, 118.3, 69.4, 58.1, 53.5, 21.2, 18.3, 16.3;

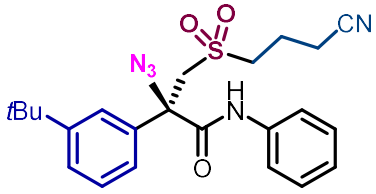
HRMS(ESI): *m/z* calculated for $C_{20}H_{21}N_5O_3S$ $[M+H]^+$: 412.1438, found:412.1440. **HPLC** (Daicel Chirapak IB column, hexane/isopropanol = 50/50, flow 1.0 mL/min, detection at 210 nm) retention time = 13.62 min (major) and 18.67 min (minor).

(*R*)-2-azido-3-((3-cyanopropyl)sulfonyl)-*N*-phenyl-2-(o-tolyl)propenamide(3y):



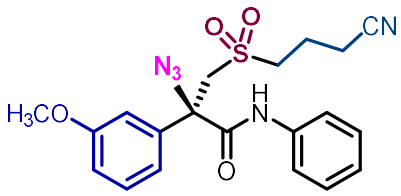
Isolated as white solid using PE/ EA (2:1) as eluent (62.5 mg, yield 76%, 92:8 er), $[\alpha]D^{16.1}$ -13.8 (c 0.53, $CHCl_3$). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.19 (s, 1H), 7.52 – 7.46 (m, 3H), 7.38 – 7.32 (m, 3H), 7.31 – 7.27 (m, 2H), 7.20 – 7.15 (m, 1H), 4.37 (d, *J* = 14.4 Hz, 1H), 4.00 (d, *J* = 14.4 Hz, 1H), 3.11 – 2.98 (m, 2H), 2.51 – 2.39 (m, 5H), 2.17 – 2.06 (m, 2H); **^{13}C NMR (100 MHz, Chloroform-*d*)** δ 166.2, 136.5, 136.3, 133.6, 133.5, 130.1, 129.4, 127.5, 126.9, 125.7, 120.6, 118.2, 69.5, 58.0, 53.3, 20.9, 18.1, 16.3; **HRMS**(ESI): *m/z* calculated for $C_{20}H_{21}N_5O_3S$ $[M+H]^+$: 412.1438, found:412.1440. **HPLC** (Daicel Chirapak IB column, hexane/isopropanol = 30/70, flow 1.0 mL/min, detection at 210 nm) retention time = 13.40 min (major) and 15.62 min (minor).

(*R*)-2-azido-2-(3-(tert-butyl)phenyl)-3-((3-cyanopropyl)sulfonyl)-*N*-phenylpropanamide(3z):



Isolated as white solid using PE/ EA (2:1) as eluent (82.5 mg, yield 91%, 88:12 er), $[\alpha]D^{16.4}$ -20.2(c 1.12, $CHCl_3$). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.52 (s, 1H), 7.52 – 7.49 (m, 3H), 7.47 – 7.44 (m, 1H), 7.40 – 7.29 (m, 4H), 7.18 – 7.14 (m, 1H), 4.66 (d, *J* = 14.4 Hz, 1H), 3.87 (d, *J* = 14.4 Hz, 1H), 3.27 – 3.14 (m, 2H), 2.58 – 2.47 (m, 2H), 2.24 – 2.15 (m, 2H), 1.33 (s, 9H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 166.4, 152.9, 136.8, 136.1, 129.4, 129.3, 127.0, 125.6, 122.9, 122.4, 120.8, 118.3, 69.8, 58.5, 53.5, 35.1, 31.4, 18.3, 16.3; **HRMS**(ESI): *m/z* calculated for $C_{23}H_{27}N_5O_3S$ $[M+H]^+$: 454.1907, found: 454.1911. **HPLC** (Daicel Chirapak IBcolumn, hexane/isopropanol = 50/50, flow 1.0 mL/min, detection at 210 nm) retention time = 8.08 min (major) and 11.03 min (minor).

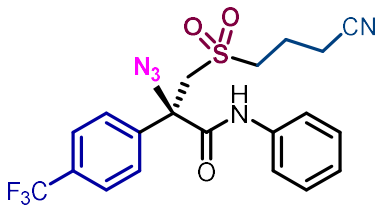
(*R*)-2-azido-3-((3-cyanopropyl)sulfonyl)-2-(3-methoxyphenyl)-*N*-phenylpropanamide(3aa):



Isolated as white solid using PE/ EA (2:1) as eluent (76.9 mg, yield 90%, 90:10 er), $[\alpha]D^{15.1}$ -11.0 (c 0.76, $CHCl_3$). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.51 (s, 1H), 7.51 – 7.46 (m, 2H), 7.39 – 7.31 (m, 3H), 7.17 – 7.13

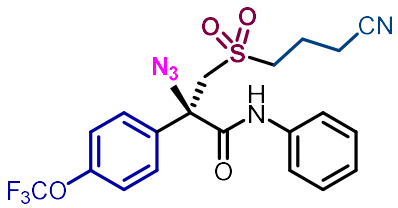
(m, 1H), 7.09 – 7.07 (m, 1H), 7.05 – 7.04 (m, 1H), 6.94 (dd, J = 8.0, 2.4 Hz, 1H), 4.68 (d, J = 14.4 Hz, 1H), 3.83 (d, J = 14.4 Hz, 1H), 3.80 (s, 3H), 3.25 – 3.13 (m, 2H), 2.55 – 2.44 (m, 2H), 2.22 – 2.14 (m, 2H); **^{13}C NMR (100 MHz, CDCl$_3$)** δ 166.2, 160.5, 138.0, 136.7, 130.8, 129.3, 125.6, 120.7, 118.3, 117.8, 114.6, 112.3, 69.4, 58.0, 55.6, 53.5, 18.3, 16.2; **HRMS**(ESI): *m/z* calculated for $C_{20}H_{21}N_5O_4S$ $[M+H]^+$: 428.1387, found: 428.1388. **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 30/70, flow 1.0 mL/min, detection at 210 nm) retention time =27.25 min (major) and 8.84 min (minor).

2-azido-3-((3-cyanopropyl)sulfonyl)-*N*-phenyl-2-(4-(trifluoromethyl)phenyl)propenamide(3ab):



Isolated as white solid using PE/ EA (2:1) as eluent (85.6 mg, yield 92%, 93:7 er), $[\alpha]D^{14.2}$ -4.3 (c 0.40, $CHCl_3$). **^{1}H NMR (400 MHz, CDCl$_3$)** δ 8.53 (s, 1H), 7.73 (d, J = 8.4 Hz, 2H), 7.68 (d, J = 8.4 Hz, 2H), 7.48 (d, J = 7.6 Hz, 2H), 7.36 – 7.31 (m, 2H), 7.19 – 7.15 (m, 1H), 4.73 (d, J = 14.4 Hz, 1H), 3.82 (d, J = 14.4 Hz, 1H), 3.33 – 3.20 (m, 2H), 2.61 – 2.46 (m, 2H), 2.27 – 2.18 (m, 2H); **^{19}F NMR (376 MHz, CDCl$_3$)** δ -63.0; **^{13}C NMR (100 MHz, CDCl$_3$)** δ 165.6, 140.6, 136.5, 132.1(q, J_{C-F} = 33 Hz), 129.4, 126.7(q, J_{C-F} = 4 Hz), 126.4, 125.9, 123.6(q, J_{C-F} = 271 Hz), 120.7, 118.2, 69.2, 57.9, 53.7, 18.3, 16.3; **HRMS**(ESI): *m/z* calculated for $C_{20}H_{18}F_3N_5O_3S$ $[M+H]^+$: 466.1155, found: 466.1158. **HPLC** (Daicel Chirapak IB column, hexane/isopropanol = 30/70, flow 1.0 mL/min, detection at 210 nm) retention time = 11.97 min (major) and 20.71 min (minor).

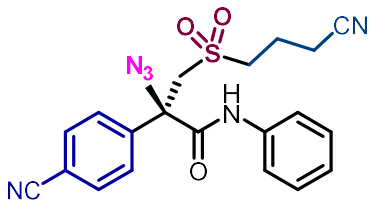
(*R*)-2-azido-3-((3-cyanopropyl)sulfonyl)-*N*-phenyl-2-(4-(trifluoromethoxy)phenyl)propenamide(3ac):



Isolated as white solid using PE/ EA (2:1) as eluent (86.7 mg, yield 90%, 92:8 er), $[\alpha]D^{14.2}$ -11.8 (c 0.95, $CHCl_3$). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.57 (s, 1H), 7.58 (d, J = 8.4 Hz, 2H), 7.49 (d, J = 8.0 Hz, 2H), 7.35 – 7.28 (m, 4H), 7.18-7.15 (m 1H), 4.75 (d, J = 14.0 Hz, 1H), 3.80 (d, J = 14.0 Hz, 1H), 3.30 – 3.16 (m, 2H), 2.56 – 2.39 (m, 2H), 2.23 – 2.13 (m, 2H); **^{19}F NMR (376 MHz, CDCl$_3$)** δ -57.8; **^{13}C NMR (100 MHz, CDCl$_3$)** δ 166.0, 150.0 (q, J_{C-F} = 2 Hz), 136.6, 135.3, 129.3, 127.6, 125.8, 121.8, 120.8, 120.4 (q, J_{C-F} = 256 Hz), 118.3, 69.0, 57.8, 53.6, 18.2, 16.2; **HRMS**(ESI): *m/z* calculated for $C_{20}H_{18}F_3N_5O_4S$ $[M+H]^+$: 482.1104, found: 482.1108. **HPLC** (Daicel

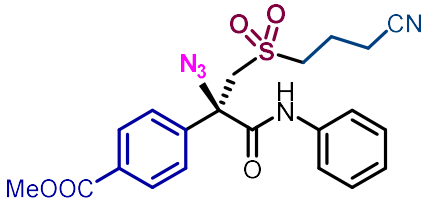
Chirapak IB column, hexane/isopropanol = 30/70, flow 1.0 mL/min, detection at 210 nm) retention time = 7.82 min (major) and 12.65 min (minor).

(*R*)-2-azido-2-(4-cyanophenyl)-3-((3-cyanopropyl)sulfonyl)-*N*-phenylpropanamide(3ad):



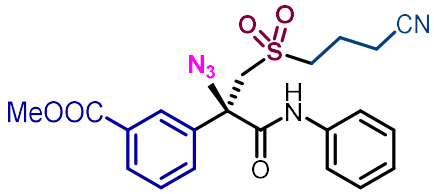
Isolated as white solid using PE/ EA (2:1) as eluent (75.2 mg, yield 85%, 93:7 er), $[\alpha]D^{15.6}$ -9.6 (c 0.57, $CHCl_3$). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.46 (s, 1H), 7.77 (d, *J* = 8.4 Hz, 2H), 7.68 (d, *J* = 8.4 Hz, 2H), 7.49 – 7.46 (m, 2H), 7.36 – 7.32 (m, 2H), 7.20 – 7.16 (m, 1H), 4.62 (d, *J* = 14.4 Hz, 1H), 3.82 (d, *J* = 14.4 Hz, 1H), 3.34 – 3.22 (m, 2H), 2.65 – 2.53 (m, 2H), 2.30 – 2.21 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 165.2, 141.5, 136.4, 133.4, 129.4, 126.7, 125.9, 120.7, 118.2, 117.8, 114.0, 69.2, 57.8, 53.7, 18.3, 16.3; **HRMS**(ESI): *m/z* calculated for $C_{20}H_{18}N_6O_3S$ $[M+H]^+$: 423.1234, found: 423.1234. **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 30/70, flow 0.7 mL/min, detection at 210 nm) retention time = 11.51 min (major) and 30.99 min (minor).

Methyl (*R*)-4-(2-azido-3-((3-cyanopropyl)sulfonyl)-1-oxo-1-(phenylamino)propan-2-yl)benzoat(3ae):



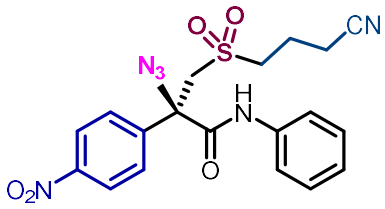
Isolated as white solid using PE/ EA (2:1) as eluent (68.3 mg, yield 75%, 93:7 er), $[\alpha]D^{14.4}$ -19.8 (c 0.99, $CHCl_3$). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.53 (s, 1H), 8.11 (dd, *J* = 6.8, 1.6 Hz, 2H), 7.61 (dd, *J* = 6.8, 2.0 Hz, 2H), 7.50 – 7.46 (m, 2H), 7.35 – 7.30 (m, 2H), 7.18 – 7.14 (m, 1H), 4.71 (d, *J* = 14.4 Hz, 1H), 3.93 (s, 3H), 3.84 (d, *J* = 14.0 Hz, 1H), 3.30 – 3.18 (m, 2H), 2.59 – 2.45 (m, 2H), 2.25 – 2.17 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 166.1, 165.7, 141.2, 136.6, 131.5, 130.8, 129.3, 125.9, 125.8, 120.8, 118.3, 69.4, 57.8, 53.6, 52.6, 18.3, 16.3; **HRMS**(ESI): *m/z* calculated for $C_{21}H_{21}N_5O_5S$ $[M+H]^+$: 428.1387, found: 428.1388. **HPLC** (Daicel Chirapak IB column, hexane/isopropanol = 30/70, flow 1.0 mL/min, detection at 210 nm) retention time = 15.48 min (major) and 22.56 min (minor).

Methyl(*R*)-3-(2-azido-3-((3-cyanopropyl)sulfonyl)-1-oxo-1-(phenylamino)propan-2-yl)benzoate(3af):



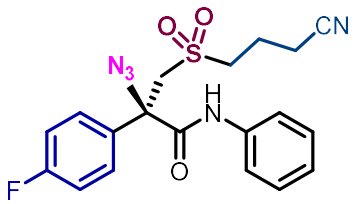
Isolated as white solid using PE/ EA (2:1) as eluent (76.9 mg, yield 85%, 93:7 er), $[\alpha]D^{14.4}$ -16.7 (c 0.78, $CHCl_3$). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.59 (s, 1H), 8.19 (s, 1H), 8.09 (d, *J* = 7.6 Hz, 1H), 7.73 (dd, *J* = 9.2, 1.2 Hz, 1H), 7.54 (d, *J* = 7.6 Hz, 1H), 7.49 (d, *J* = 7.6 Hz, 2H), 7.34 – 7.30 (m, 2H), 7.17 – 7.14 (m, 1H), 4.78 (d, *J* = 14.0 Hz, 1H), 3.91 (s, 3H), 3.86 (d, *J* = 14.0 Hz, 1H), 3.29 – 3.20 (m, 2H), 2.56 – 2.47 (m, 2H), 2.25 – 2.16 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 166.2, 165.9, 137.4, 136.6, 131.6, 130.9, 130.2, 129.9, 129.3, 126.8, 125.7, 120.8, 118.3, 69.2, 57.8, 53.7, 52.6, 18.3, 16.2; **HRMS**(ESI): *m/z* calculated for $C_{21}H_{21}N_5O_5S$ $[M+H]^+$: 456.1336, found: 456.1340. **HPLC** (Daicel Chirapak IB column, hexane/isopropanol = 50/50, flow 1.0 mL/min, detection at 210 nm) retention time =11.69 min (major) and 17.73 min (minor).

(*R*)-2-azido-3-((3-cyanopropyl)sulfonyl)-2-(4-nitrophenyl)-*N*-phenylpropanamide(3ag):



Isolated as white solid using PE/ EA (2:1) as eluent (75.2 mg, yield 85%, 93:7 er), $[\alpha]D^{14.4}$ -12.7 (c 1.20, $CHCl_3$) **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.54 (s, 1H), 8.30 – 8.27 (m, 2H), 7.77 – 7.73 (m, 2H), 7.48 – 7.45 (m, 2H), 7.34 – 7.29 (m, 2H), 7.19 – 7.15 (m, 1H), 4.70 (d, *J* = 14.4 Hz, 1H), 3.86 (d, *J* = 14.4 Hz, 1H), 3.34 – 3.21 (m, 2H), 2.62 – 2.47 (m, 2H), 2.26 – 2.18 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 165.2, 148.5, 143.3, 136.3, 129.4, 127.2, 126.0, 124.7, 120.8, 118.3, 69.1, 57.6, 53.7, 18.2, 16.3; **HRMS**(ESI): *m/z* calculated for $C_{19}H_{18}N_6O_5S$ $[M+H]^+$: 443.1132, found: 443.1134. **HPLC** (Daicel Chirapak IB column, hexane/isopropanol = 30/70, flow 1.0 mL/min, detection at 210 nm) retention time = 17.85 min (major) and 36.99 min (minor).

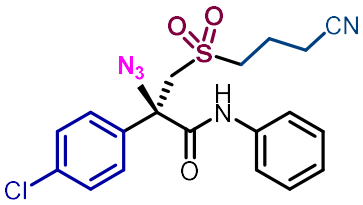
(*R*)-2-azido-3-((3-cyanopropyl)sulfonyl)-2-(4-fluorophenyl)-*N*-phenylpropanamide(3ah):



Isolated as white solid using PE/EA (2:1) as eluent (74.8mg, yield 90%, 90:10 er). $[\alpha]D^{14.1}$ -10.4 (c 0.84, $CHCl_3$). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.55 (s, 1H), 7.52 – 7.47 (m, 4H), 7.35 – 7.30 (m, 2H), 7.18 – 7.12 (m, 3H), 4.68 (d, *J* = 14.4 Hz, 1H), 3.82 (d, *J* = 14.4 Hz, 1H), 3.28 – 3.16 (m, 2H), 2.57 – 2.43 (m, 2H), 2.24 – 2.13 (m, 2H); **^{19}F NMR (376 MHz, $CDCl_3$)** δ -110.8; **^{13}C NMR (100 MHz, $CDCl_3$)** δ 166.2, 163.2(d, J_{C-F} = 249 Hz), 136.6, 132.6

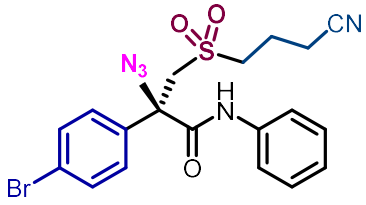
(d, $J_{C\text{-}F}$ = 3 Hz), 129.3, 127.9 (d, $J_{C\text{-}F}$ = 8 Hz), 125.7, 120.7, 118.4, 116.7 (d, $J_{C\text{-}F}$ = 21 Hz), 69.0, 57.8, 53.6, 18.2, 16.1; **HRMS**(ESI): *m/z* calculated for $C_{19}H_{18}FN_5O_3S$ $[M+H]^+$: 416.1187, found: 416.1186; **HPLC** (Daicel Chirapak IB column, hexane/isopropanol = 50/50, flow 1.0 mL/min, detection at 210 nm) retention time = 13.03 min (major) and 20.95 min (minor).

(*R*)-2-azido-2-(4-chlorophenyl)-3-((3-cyanopropyl)sulfonyl)-*N*-phenylpropanamide(3ai):



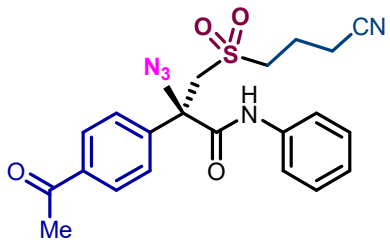
Isolated as white solid using PE/ EA (2:1) as eluent (79.4 mg, yield 92%, 92:8 er), $[\alpha]D^{16.4}$ -15.3 (c 0.70, $CHCl_3$). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.49 (s, 1H), 7.50 – 7.42 (m, 6H), 7.36 – 7.31 (m, 2H), 7.19 – 7.15 (m, 1H), 4.65 (d, *J* = 14.4 Hz, 1H), 3.80 (d, *J* = 14.4 Hz, 1H), 3.30 – 3.17 (m, 2H), 2.61 – 2.47 (m, 2H), 2.25 – 2.17 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 165.9, 136.6, 136.1, 135.2, 129.9, 129.3, 127.2, 125.8, 120.7, 118.2, 69.1, 57.9, 53.6, 18.3, 16.3; **HRMS**(ESI): *m/z* calculated for $C_{19}H_{18}ClN_5O_3S$ $[M+H]^+$: 432.0892, found: 432.0892. **HPLC** (Daicel Chirapak IB column, hexane/isopropanol = 50/50, flow 1.0 mL/min, detection at 210 nm) retention time = 14.17 min (major) and 23.00 min (minor).

(*R*)-2-azido-2-(4-bromophenyl)-3-((3-cyanopropyl)sulfonyl)-*N*-phenylpropanamide(3aj):



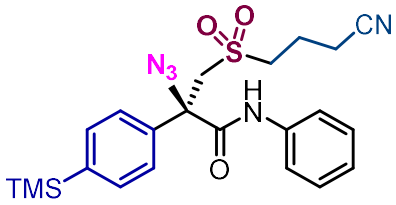
Isolated as white solid using PE/ EA (2:1) as eluent (85.7 mg, yield 90%, 92:8 er), $[\alpha]D^{16.0}$ -16.7 (c 0.73, $CHCl_3$). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.48 (s, 1H), 7.60 (dd, *J* = 6.8 Hz, 2.0 Hz, 2H), 7.50 – 7.47 (m, 2H), 7.40 (dd, *J* = 6.8, 2.0 Hz, 2H), 7.36 – 7.31 (m, 2H), 7.19 – 7.15 (m, 1H), 4.63 (d, *J* = 14.4 Hz, 1H), 3.79 (d, *J* = 14.4 Hz, 1H), 3.30 – 3.18 (m, 2H), 2.62 – 2.48 (m, 2H), 2.26 – 2.18 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 165.8, 136.6, 135.7, 132.9, 129.3, 127.4, 125.8, 124.4, 120.7, 118.2, 69.2, 57.9, 53.6, 18.3, 16.3; **HRMS**(ESI): *m/z* calculated for $C_{19}H_{18}BrN_5O_3S$ $[M+H]^+$: 476.0386, found: 476.0389. **HPLC** (Daicel Chirapak IB column, hexane/isopropanol = 50/50, flow 1.0 mL/min, detection at 210 nm) retention time = 15.83 min (major) and 25.13 min (minor).

(*R*)-2-(4-acetylphenyl)-2-azido-3-((3-cyanopropyl)sulfonyl)-*N*-phenylpropanamide(3ak):



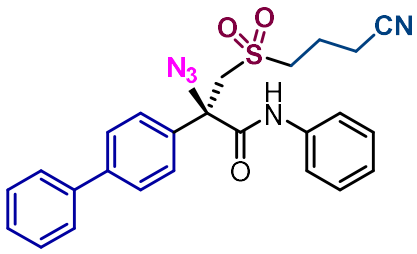
Isolated as white solid using PE/ EA (2:1) as eluent (75.6 mg, yield 86%, 94:6 er), $[\alpha]D^{14.3}$ -19.9 (c 0.51, $CHCl_3$). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.50 (s, 1H), 8.03 (d, *J* = 8.0 Hz, 2H), 7.64 (d, *J* = 8.4 Hz, 2H), 7.48 (d, *J* = 8.0 Hz, 2H), 7.35 – 7.31 (m, 2H), 7.19 – 7.15 (m, 1H), 4.69 (d, *J* = 14.4 Hz, 1H), 3.85 (d, *J* = 14.4 Hz, 1H), 3.31 – 3.18 (m, 2H), 2.61 (s, 3H), 2.58 – 2.49 (m, 2H), 2.26 – 2.19 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 197.1, 165.6, 141.2, 138.0, 136.5, 129.6, 129.3, 126.2, 125.8, 120.7, 118.2, 69.4, 57.9, 53.7, 26.9, 18.3, 16.3; **HRMS**(ESI): *m/z* calculated for $C_{21}H_{21}N_5O_4S$ $[M+H]^+$: 440.1387, found: 440.1389. **HPLC** (Daicel Chirapak IB column, hexane/isopropanol = 30/70, flow 1.0 mL/min, detection at 210 nm) retention time = 18.52 min (major) and 33.23 min (minor).

(*R*)-2-azido-3-((3-cyanopropyl)sulfonyl)-*N*-phenyl-2-(4-(trimethylsilyl)phenyl)propenamide(3al):



Isolated as white solid using PE/ EA (2:1) as eluent (79.8 mg, yield 85%, 91:9 er). $[\alpha]D^{16.3}$ -16.5 (c 0.88, $CHCl_3$). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.51 (s, 1H), 7.60 (d, *J* = 8.0 Hz, 2H), 7.52 – 7.47 (m, 4H), 7.35 – 7.31 (m, 2H), 7.17 – 7.13 (m, 1H), 4.69 (d, *J* = 14.4 Hz, 1H), 3.83 (d, *J* = 14.4 Hz, 1H), 3.27 – 3.18 (m, 2H), 2.59 – 2.50 (m, 2H), 2.25 – 2.18 (m, 2H), 0.27 (s, 9H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 166.2, 143.0, 136.9, 136.8, 134.7, 129.3, 125.5, 124.8, 120.6, 118.3, 69.6, 58.1, 53.5, 18.3, 16.3, -1.2; **HRMS**(ESI): *m/z* calculated for $C_{22}H_{27}N_5O_3SSi$ $[M+H]^+$: 470.1677, found: 470.1681. **HPLC** (Daicel Chirapak IB column, hexane/isopropanol = 50/50, flow 1.0 mL/min, detection at 210 nm) retention time = 10.21 min (major) and 15.52 min (minor).

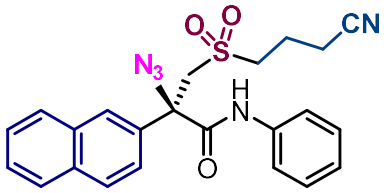
(*R*)-2-([1,1'-biphenyl]-4-yl)-2-azido-3-((3-cyanopropyl)sulfonyl)-*N*-phenylpropanamide(3am):



Isolated as white solid using PE/ EA (2:1) as eluent (80.5 mg, yield 85%, 90:10 er), $[\alpha]D^{16.4}$ -26.2 (c 0.43, $CHCl_3$). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.57 (s, 1H), 7.68 – 7.66 (m, 2H), 7.60 – 7.52 (m, 6H), 7.46 – 7.43 (m, 2H), 7.40 – 7.32 (m, 3H), 7.19 – 7.15 (m, 1H), 4.76 (d, *J* = 14.4 Hz, 1H), 3.88 (d, *J* = 14.4 Hz, 1H), 3.28 – 3.22 (m, 2H), 2.56

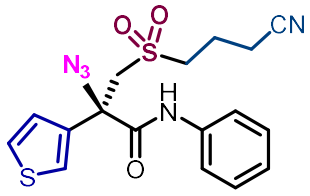
– 2.47 (m, 2H), 2.26 – 2.19 (m, 2H); **^{13}C NMR (100 MHz, CDCl$_3$)** δ 166.3, 142.8, 139.7, 136.7, 135.5, 129.3, 129.1, 128.3, 128.2, 127.3, 126.2, 125.6, 120.7, 118.3, 69.4, 58.1, 53.6, 18.3, 16.3; **HRMS**(ESI): *m/z* calculated for $C_{25}H_{23}N_5O_3S$ $[M+H]^+$: 474.1594, found: 474.1601. **HPLC** (Daicel Chirapak IB column, hexane/isopropanol = 50/50, flow 1.0 mL/min, detection at 210 nm) retention time = 23.58 min (major) and 37.23 min (minor).

(*R*)-2-azido-3-((3-cyanopropyl)sulfonyl)-2-(naphthalen-2-yl)-*N*-phenylpropanamide(3an):



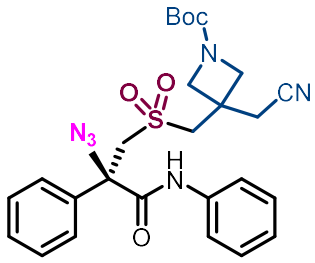
Isolated as white solid using PE/ EA (2:1) as eluent (75.1 mg, yield 84%, 90:10 er), $[\alpha]D^{16.3}$ -23.8 (c 0.87, $CHCl_3$). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.60 (s, 1H), 7.97 – 7.96 (m, 1H), 7.90 (d, *J* = 8.8 Hz, 1H), 7.86 – 7.84 (m, 2H), 7.62 – 7.50 (m, 5H), 7.36 – 7.30 (m, 2H), 7.18 – 7.12 (m, 1H), 4.83 (d, *J* = 14.4 Hz, 1H), 3.97 (d, *J* = 14.4 Hz, 1H), 3.28 – 3.20 (m, 2H), 2.55 – 2.45 (m, 2H), 2.24 – 2.17 (m, 2H); **^{13}C NMR (100 MHz, CDCl$_3$)** δ 166.3, 136.7, 133.8, 133.4, 133.1, 130.0, 129.3, 128.7, 127.8, 127.7, 127.3, 125.6, 125.5, 122.6, 120.7, 118.3, 69.7, 58.1, 53.6, 18.3, 16.3; **HRMS**(ESI): *m/z* calculated for $C_{23}H_{21}N_5O_3S$ $[M+H]^+$: 448.1438, found: 448.1440. **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 50/50, flow 1.0 mL/min, detection at 210 nm) retention time = 37.04 min (major) and 14.95 min (minor).

(*R*)-2-azido-3-((3-cyanopropyl)sulfonyl)-*N*-phenyl-2-(thiophen-3-yl)propenamide(3ao):



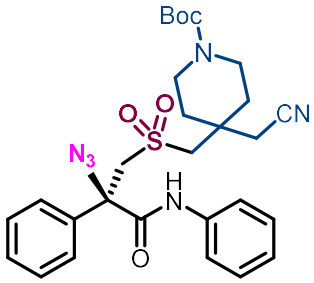
Isolated as white solid using PE/ EA (2:1) as eluent (71.8 mg, yield 89%, 83:17 er), $[\alpha]D^{14.2}$ -9.4 (c 0.86 $CHCl_3$). **^{1}H NMR (400 MHz, CDCl$_3$)** δ 8.57 (s, 1H), 7.52 – 7.50 (m, 2H), 7.44 – 7.42 (m, 2H), 7.36 – 7.31 (m, 2H), 7.18 – 7.14 (m, 2H), 4.62 (d, *J* = 14.4 Hz, 1H), 3.84 (d, *J* = 14.4 Hz, 1H), 3.26 – 3.15 (m, 2H), 2.57 – 2.43 (m, 2H), 2.23 – 2.14 (m, 2H); **^{13}C NMR (100 MHz, CDCl$_3$)** δ 165.8, 137.4, 136.7, 129.3, 128.3, 125.6, 125.0, 123.8, 120.7, 118.3, 67.5, 58.1, 53.5, 18.3, 16.2; **HRMS**(ESI): *m/z* calculated for $C_{17}H_{17}N_5O_3S_2$ $[M+H]^+$: 404.0846, found: 404 0845. **HPLC** (Daicel Chirapak IB column, hexane/isopropanol = 50/50, flow 1.0 mL/min, detection at 210 nm) retention time = 15.47 min (major) and 18.57 min (minor).

***Tert*-butyl (*R*)-3-(((2-azido-3-oxo-2-phenyl-3-(phenylamino)propyl)sulfonyl)methyl)-3-(cyanomethyl)azetidine-1-carboxylate (3ap):**



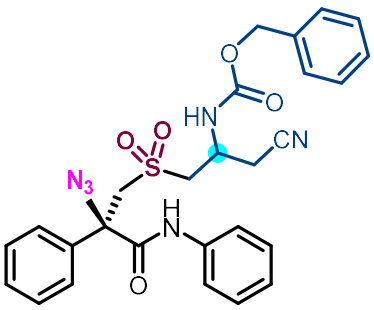
Isolated as white solid using PE/ EA (2:1) as eluent (99.1 mg, yield 92%, 87:13 er), $[\alpha]D^{14.2}$ -12.0 (c 1.24, $CHCl_3$). **^{1}H NMR (600 MHz, Chloroform-*d*)** δ 8.49 (s, 1H), 7.51 – 7.43 (m, 7H), 7.34 – 7.32 (m, 2H), 7.17 – 7.15 (m, 1H), 4.6 (d, *J* = 14.4 Hz, 1H), 3.98 – 3.96 (m, 2H), 3.91 (d, *J* = 15.0 Hz, 1H), 3.81 – 3.78 (m, 2H), 3.62 (d, *J* = 13.8 Hz, 1H), 3.50 – 3.47 (m, 1H), 3.08 (q, *J* = 16.8 Hz, 2H), 1.43 (s, 9H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 166.2, 155.9, 136.7, 136.3, 130.0, 129.8, 129.3, 125.72, 125.66, 120.7, 116.7, 80.6, 69.7, 60.6, 59.2, 33.6, 28.4, 25.9; **HRMS**(ESI): *m/z* calculated for $C_{26}H_{30}N_6O_5S$ $[M+Na]^+$: 561.1891, found: 561.1891. **HPLC** (Daicel Chirapak IB column, hexane/isopropanol = 50/50, flow 1.0 mL/min, detection at 210 nm) retention time = 26.95 min (major) and 11.75 min (minor).

***tert*-butyl (*R*)-4-(((2-azido-3-oxo-2-phenyl-3-(phenylamino)propyl)sulfonyl)methyl)-4-(cyanomethyl)piperidine-1-carboxylate(3aq):**



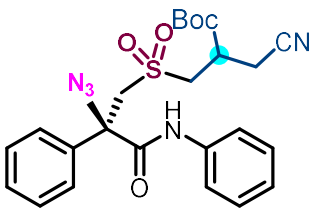
Isolated as white solid using PE/ EA (2:1) as eluent (103.1mg, yield 91%, 82:18 er), $[\alpha]D^{14.3}$ -12.1 (c 0.77, $CHCl_3$). **^{1}H NMR (600 MHz, $CDCl_3$)** δ 8.56 (s, 1H), 7.52 – 7.50 (m, 4H), 7.46 – 7.40 (m, 3H), 7.33 – 7.71 (m, 2H), 7.16 – 7.13 (m, 1H), 4.67 (d, *J* = 14.4 Hz, 1H), 3.90 (d, *J* = 14.4 Hz, 1H), 3.55 – 3.45 (m, 2H), 3.38 (d, *J* = 14.4 Hz, 1H), 3.26 – 3.18 (m, 3H), 3.00 (d, *J* = 11.2 Hz, 1H), 2.76 (d, *J* = 11.6 Hz,), 1.86 – 1.75 (m, 2H), 1.61 – 1.55 (m, 2H), 1.44 (s, 9H); **^{13}C NMR (150 MHz, $CDCl_3$)** δ 166.3, 166.2, 154.6, 136.7, 136.5, 129.8, 129.7, 129.2, 125.8, 125.5, 120.6, 117.2, 80.1, 69.7, 60.9, 58.1, 36.1, 34.2, 28.5, 26.9; **HRMS**(ESI): *m/z* calculated for $C_{28}H_{34}N_6O_5S$ $[M+Na]^+$: 589.2204, found: 589.2205. **HPLC** (Daicel Chirapak IB column, hexane/isopropanol = 50/50, flow 1.0 mL/min, detection at 210 nm) retention time = 10.70 min (major) and 8.93 min (minor).

Benzyl (1-(((*R*)-2-azido-3-oxo-2-phenyl-3-(phenylamino)propyl)sulfonyl)-3-cyanopropan-2-yl)carbamate (3ar):



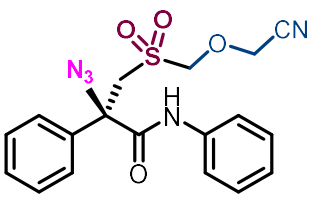
Isolated as white solid using PE/ EA (2:1) as eluent (81.2 mg, yield 95%, 90:10 er/90:10 er, d.r.=1:1). $[\alpha]D^{14.3}$ -11.0 (c1.04, $CHCl_3$).**^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.57 (d, *J* = 6.8 Hz, 2H), 7.49 – 7.45 (m, 8H), 7.43 – 7.39 (m, 6H), 7.32 – 7.29 (m, 14H), 7.17 – 7.13 (m, 2H), 6.02 (d, *J* = 8.0 Hz, 1H), 5.73 (d, *J* = 8.0 Hz, 1H), 5.10 – 5.09 (m, 4H), 4.87 (d, *J* = 14.4 Hz, 1H), 4.79 (d, *J* = 14.4 Hz, 1H), 4.52 – 4.44 (m, 2H), 3.89 (d, *J* = 14.4 Hz, 1H), 3.83 (d, *J* = 14.4 Hz, 1H), 3.59 – 3.54 (m, 2H), 3.51 – 3.46 (m, 1H), 3.37 – 3.24 (m, 2H), 2.82 – 2.76 (m, 1H), 2.70 – 2.66 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 166.6, 166.5, 155.6, 136.7, 136.6, 136.51, 136.48, 135.91, 135.86, 129.8, 129.7, 129.34, 129.32, 128.7, 128.50, 128.45, 128.3, 128.2, 125.80, 125.76, 125.72, 125.68, 120.9, 116.6, 69.5, 67.6, 67.5, 59.0, 58.5, 57.1, 57.0, 44.2, 43.9, 23.2, 23.1; **HRMS**(ESI): *m/z* calculated for $C_{27}H_{26}N_6O_5S$ $[M+H]^+$: 547.1758, found: 547.1763. **HPLC** (Daicel Chirapak IB column, hexane/isopropanol = 50/50, flow 0.5 mL/min, detection at 210 nm) retention time = 30.18 min (major), 33.65 min (major), 51.60 min (minor), 27.35min (minor)

***tert*-butyl 3-(((*R*)-2-azido-3-oxo-2-phenyl-3-(phenylamino)propyl)sulfonyl)-2-(cyanomethyl)propanoate (3as):**



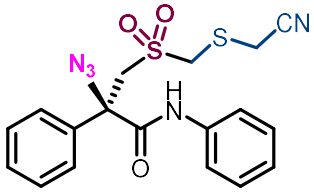
Isolated as white solid using PE/ EA (2:1) as eluent (90.6 mg, yield 91%, 87:13 er/89:11 er, d.r.=1:1). $[\alpha]D^{15.6}$ -5.5 (c 1.34, $CHCl_3$) **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.52 (s, 2H), 7.52 – 7.48 (m, 10H), 7.47 – 7.46 (m, 2H), 7.45 – 7.43 (m, 2H), 7.34 – 7.30 (m, 4H), 7.17 – 7.12 (m, 2H), 4.73 (d, *J* = 10.8 Hz, 1H), 4.70 (d, *J* = 10.8 Hz, 1H), 3.95 (d, *J* = 11.2 Hz, 1H), 3.92 (d, *J* = 11.2 Hz, 1H), 3.77 – 3.72 (m, 2H), 3.37 – 3.29 (m, 4H), 2.91 – 2.84 (m, 4H), 1.49 (s, 9H), 1.47 (s, 9H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 168.6, 168.5, 166.2, 166.1, 136.8, 136.7, 136.5, 136.3, 129.9, 129.8, 129.71, 129.69, 129.2, 125.73, 125.70, 125.5, 120.7, 84.2, 84.1, 69.61, 69.59, 59.3, 58.8, 55.0, 54.9, 36.81, 36.76, 27.90, 27.87, 19.8, 19.7; **HRMS**(ESI): *m/z* calculated for $C_{24}H_{27}N_5O_5S$ $[M+H]^+$: 498.1806, found: 498.1808. **HPLC** (Daicel Chirapak IC column, hexane/isopropanol = 50/50, flow 1.0 mL/min, detection at 210 nm) retention time = 10.96 min (major), 12.75 min (major), 41.67 min (minor), 24.36 min (minor).

(*R*)-2-azido-3-(((cyanomethoxy)methyl)sulfonyl)-*N*,2-diphenylpropanamide(3at):

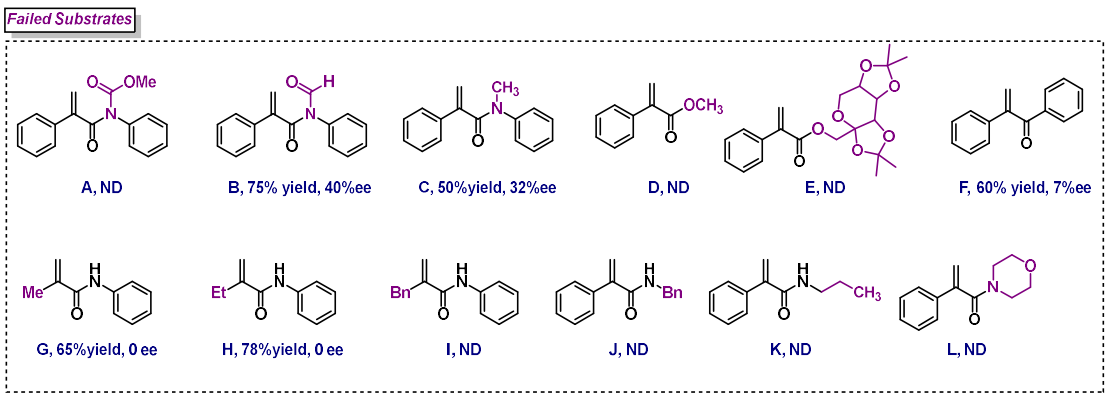


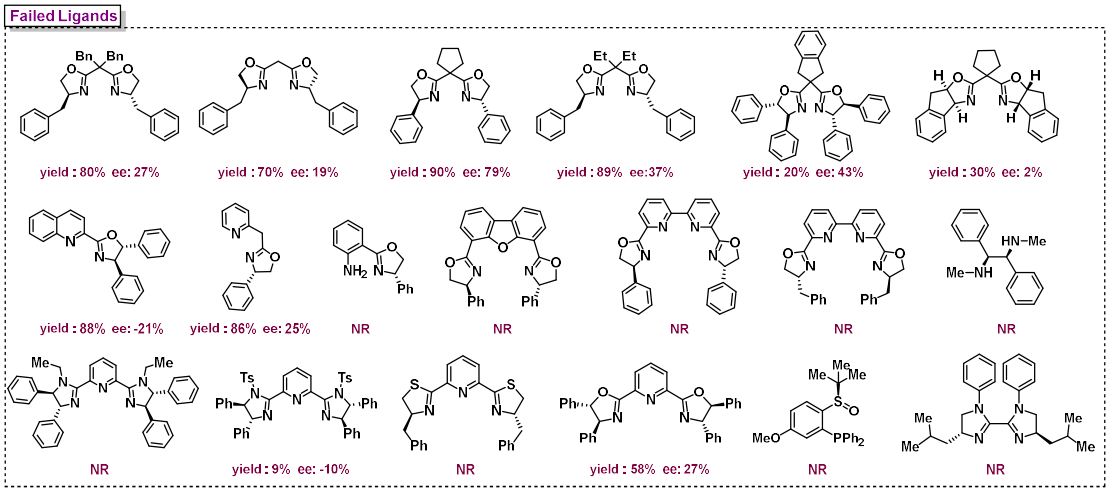
Isolated as white solid using PE/ EA (2:1) as eluent (73.5 mg, yield 92%, 91:9 er). $[\alpha]D^{14.4}$ -12.8 (c 0.72, $CHCl_3$) **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.45 (s, 1H), 7.52 – 7.41 (m, 7H), 7.35-7.31 (m, 2H), 7.18 – 7.14 (m, 1H), 4.75 (d, *J* = 14.4 Hz, 1H), 4.67 (s, 2H), 4.64 (d, *J* = 13.2 Hz, 1H), 4.54 (d, *J* = 13.2 Hz, 1H), 3.87 (d, *J* = 14.4 Hz, 1H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 166.0, 136.8, 136.2, 130.0, 129.7, 129.3, 125.7, 125.5, 120.6, 114.5, 83.7, 69.6, 57.3, 55.1; **HRMS**(ESI): *m/z* calculated for $C_{18}H_{17}N_5O_4S$ $[M+H]^+$: 400.1074, found: 400.1073. **HPLC** (Daicel Chirapak IB column, hexane/isopropanol = 50/50, flow 1.0 mL/min, detection at 210 nm) retention time = 12.37 min (major) and 15.61 min (minor).

(*R*)-2-azido-3-((((cyanomethyl)thio)methyl)sulfonyl)-*N*,2-diphenylpropanamide(3au):



Isolated as white solid using PE/ EA (2:1) as eluent (59.8 mg, yield 72%, 90:10 er). $[\alpha]D^{15.6}$ -8.3 (c 0.58, $CHCl_3$) **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.44 (s, 1H), 7.54 – 7.44 (m, 7H), 7.36 – 7.32 (m, 2H), 7.19 – 7.14 (m, 1H), 4.82 (d, *J* = 14.8 Hz, 1H), 4.17 (d, *J* = 15.2 Hz, 1H), 4.04 – 3.97 (m, 2H), 3.76 – 3.66 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 166.2, 136.7, 136.2, 130.0, 129.8, 129.3, 125.8, 125.7, 120.7, 115.4, 69.9, 55.4, 53.6, 17.8; **HRMS**(ESI): *m/z* calculated for $C_{18}H_{17}N_5O_3S_2$ $[M+H]^+$:416.0846, found: 416.0847. **HPLC** (Daicel Chirapak IC column, hexane/isopropanol = 30/70, flow 1.0 mL/min, detection at 210 nm) retention time = 9.31 min (major) and 15.14 min (minor).

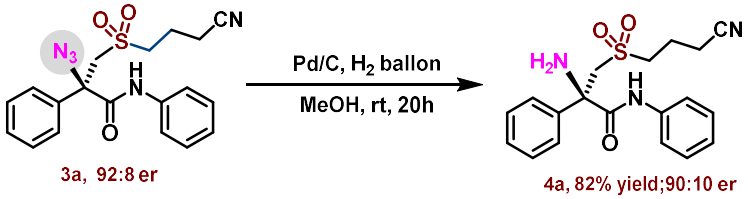




Other Nu

$TMSCF_3$	TMSCl	$AgSCF_3$	$PhB(OH)_2$	TMS—≡—Ph
ND	ND	ND	trace	trace

7.Derivative reactions

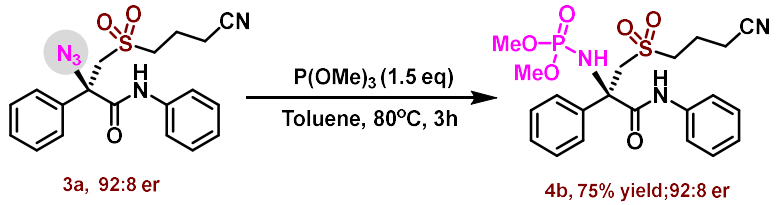


To a vial equipped with a stir bar was added **3a** (80 mg, 0.2 mmol), MeOH (2 mL) and 10% Pd/C(8mg), After using hydrogen balloon for change of air, the mixture was stirred at 80 ℃ for 20 h. The solution was allowed to cool, diluted with ethyl acetate and filtered by diatomite, then evaporated. The residue was purified by flash silica gel column chromatography using petroleum ether/ethyl acetate as eluent to afford pure product **4a** as a white solid (60.8 mg, 82%)[16].

(*R*)-2-amino-3-((3-cyanopropyl)sulfonyl)-*N*,2-diphenylpropanamide(4a):

Isolated as white solid using PE/ EA (2:1) as eluent (60.9 mg, yield 82%, 90:10 er), $[\alpha]D^{15.6}$ 30.6 (c 1.24, $CHCl_3$). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.57 (s, 1H), 7.57 – 7.53 (m, 4H), 7.42 – 7.39 (m, 2H), 7.37 – 7.30 (m, 3H), 7.12 – 7.08 (m, 1H), 4.51 (d, *J* = 14.8 Hz, 1H), 3.46 (d, *J* = 14.8 Hz, 1H), 3.30 – 3.14 (m, 2H), 2.80 (s, 2H), 2.57 – 2.53 (m, 2H), 2.28 – 2.15 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 170.4, 140.2, 137.3, 129.4, 129.2, 128.8, 124.9, 119.9, 118.3, 63.2, 62.5, 54.1, 18.5, 16.4; **HRMS**(ESI): *m/z* calculated for $C_{19}H_{21}N_3O_3S$ $[M+H]^+$: 372.1376, found: 372.1378. **HPLC** (Daicel

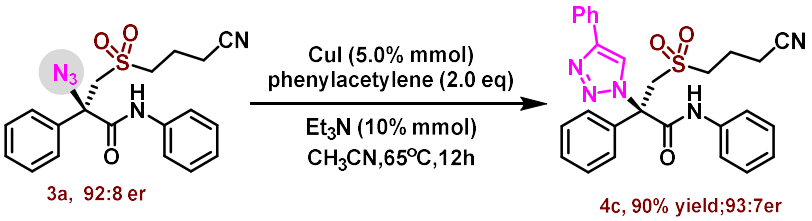
Chirapak IA column, hexane/isopropanol = 50/50, flow 1.0 mL/min, detection at 210 nm) retention time = 24.02 min (major) and 10.94 min (minor).



The mixture solution of **3a** (0.2 mmol, 80mg,1.0 equiv) and $P(OMe)_3$ (1.5 equiv) in toluene (1 mL) was heated at 80 °C for 3 h. After completion, the organic solvent was evaporated under reduced pressure and the residue was purified by flash silica gel column chromatography using petroleum ether/ethyl acetate as eluent to afford pure product **4b** as a white solid (71.8 mg, 75% yield)[17].

Dimethyl (*R*)-(3-((3-cyanopropyl)sulfonyl)-1-oxo-2-phenyl-1-(phenylamino)propan-2-yl)phosphoramidate(4b):

Isolated as white solid using PE/ EA (2:1) as eluent (71.9 mg, yield 75%, 92:8 er), $[\alpha]D^{15.6}$ -7.8 (c 0.81, $CHCl_3$). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 10.41 (s, 1H), 7.62 (d, *J* = 8.0 Hz, 2H), 7.47 – 7.45 (m, 2H), 7.42 – 7.31 (m, 5H), 7.17 – 7.13 (m, 1H), 5.51 (d, *J* = 7.6 Hz, 1H), 4.24 (dd, *J* = 15.2, 3.6 Hz, 1H), 3.74 (d, *J* = 15.2 Hz, 1H), 3.64 (d, *J* = 11.6 Hz, 3H), 3.49 (d, *J* = 11.6 Hz, 3H), 3.40 – 3.30 (m, 2H), 2.56 (t, *J* = 7.2 Hz, 2H), 2.28 – 2.16 (m, 2H); **^{13}C NMR (100 MHz,$CDCl_3$)** δ 169.9, 137.9, 137.6, 129.5, 129.2, 129.0, 127.1, 125.0, 120.2, 118.3, 67.2, 62.4 (d, J_{C-P} = 7.0 Hz), 54.4 (d, J_{C-P} = 6.0 Hz), 54.3, 53.9 (d, J_{C-P} = 6.0 Hz), 18.6, 16.4; **^{31}P NMR (162 MHz, $CDCl_3$)** δ 9.2; **HRMS**(ESI): *m/z* calculated for $C_{21}H_{26}N_3O_6PS$ $[M+H]^+$: 480.1353, found: 480.1356. **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 50/50, flow 1.0 mL/min, detection at 210 nm) retention time = 19.85 min (major) and 12.10 min (minor).

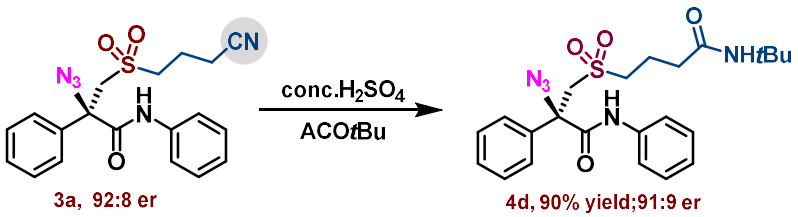


To a vial equipped with a stir bar was added **3a** (40 mg, 0.1 mmol,1.0 equiv.), Phenylacetylene (22ul, 2.0 equiv.), CuI (5 mol%), Et_3N (10 mol%), CH_3CN (2 mL). The mixture was stirred at 60 ℃ for 4 h. After cooling to rt, the solvent was evaporated and the residue was dissolved in EtOAc, washed with H_2O and brine. The organic layer

was dried over Na_2SO_4, filtered, and concentrated under reduced pressure. The residue was purified by flash silica gel column chromatography using petroleum ether/ethyl acetate as eluent to afford pure product **4c** as a white solid (44.9 mg, 90%)[18].

(*R*)-3-((3-cyanopropyl)sulfonyl)-*N*,2-diphenyl-2-(4-phenyl-1H-1,2,3-triazol-1-yl)propenamide(4c):

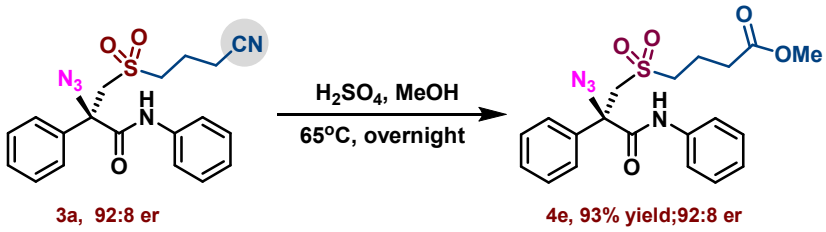
Isolated as white solid using PE/ EA (2:1) as eluent (85.9 mg, yield 90%, 93:7 er), $[\alpha]D^{15.6}$ -17.4 (c 0.50, $CHCl_3$). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 10.32 (s, 1H), 8.51 (s, 1H), 7.93 – 7.90 (m, 2H), 7.58 – 7.55 (m, 2H), 7.49 – 7.45 (m, 2H), 7.42 – 7.33 (m, 6H), 7.20 – 7.16 (m, 1H), 7.04 – 7.02 (m, 2H), 4.79 (d, *J* = 16.0 Hz, 1H), 4.19 (d, *J* = 16.0 Hz, 1H), 3.62 – 3.55 (m, 1H), 3.41 – 3.34 (m, 1H), 2.67 – 2.53 (m, 2H), 2.30 – 2.22 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 164.6, 147.7, 138.3, 136.9, 129.9, 129.8, 129.5, 129.3, 129.2, 129.0, 126.2, 125.7, 125.3, 122.5, 121.2, 118.2, 74.5, 62.8, 54.7, 18.4, 16.4; **HRMS**(ESI): *m/z* calculated for $C_{27}H_{25}N_5O_3S$ $[M+H]^+$: 500.1751, found: 500.1753. **HPLC** (Daicel Chirapak IC column, hexane/isopropanol = 30/70, flow 1.0 mL/min, detection at 210 nm) retention time = 23.28 min (major) and 42.10 min (minor).



To a vial equipped with a stir bar was added 3a (40 mg, 0.1 mmol,1.0 equiv.), tert-butyl acetate (0.4 ml), H_2SO_4 (10ul). The mixture was stirred at 42℃ for 2 h. After cooling to rt, the solvent was washed with H_2O and EtOAc. The organic layer was dried over Na_2SO_4, filtered, and concentrated under reduced pressure. The residue was purified by flash silica gel column chromatography using petroleum ether/ethyl acetate as eluent to afford pure product **4d** as a white solid (42.4 mg, 90%)[19].

(*R*)-4-((2-azido-3-oxo-2-phenyl-3-(phenylamino)propyl)sulfonyl)-*N*-(tert-butyl)butanamide(4d): Isolated as white solid using PE/ EA (2:1) as eluent (84.5 mg, yield 90%, 91:9 er), $[\alpha]D^{15.5}$ -7.6 (c 0.99, $CHCl_3$). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.57 (s, 1H), 7.52 – 7.49 (m, 4H), 7.47 – 7.38 (m, 3H), 7.32 – 7.28 (m, 2H), 7.14 – 7.10 (m, 1H), 5.53 (s, 1H), 4.66 (d, *J* = 14.0 Hz, 1H), 3.81 (d, *J* = 14.0 Hz, 1H), 3.22 – 3.09 (m, 2H), 2.30 – 2.24 (m, 2H), 2.21 – 2.12 (m, 2H), 1.29 (s, 9H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 170.6, 166.3, 136.9, 136.8, 129.7, 129.6, 129.1, 125.7, 125.3, 120.7, 69.5, 57.3, 54.6, 51.4, 34.8, 28.8, 18.5; **HRMS**(ESI): *m/z* calculated for $C_{23}H_{29}N_5O_4S$

$[M+H]^+$: 472.2013, found: 472.2017. **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 50/50, flow 1.0 mL/min, detection at 210 nm) retention time = 5.81 min (major) and 5.29 min (minor).



3a, 92:8 er　　4e, 93% yield;92:8 er

To a vial equipped with a stir bar was added **3a** (40 mg, 0.1 mmol,1.0 equiv.), MeOH (0.8 mL), After cooling to 0℃ added H_2SO_4 (0.4 ml) slowly. The mixture was stirred at 65 ℃ for 12 h. After cooling to rt, the solvent was washed with cold water and EtOAc. The organic layer was dried over Na_2SO_4, filtered, and concentrated under reduced pressure. The residue was purified by flash silica gel column chromatography using petroleum ether/ethyl acetate as eluent to afford pure product **4e** as a white solid (40.0 mg, 93%)[20].

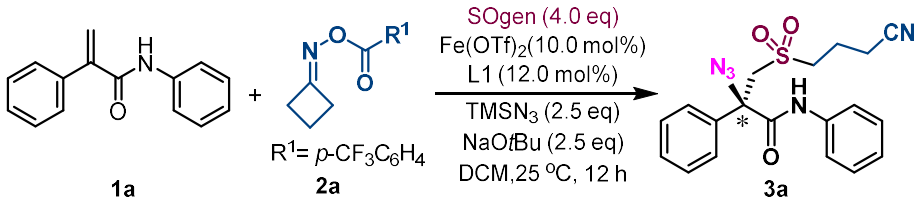
Methyl(*R*)-4-((2-azido-3-oxo-2-phenyl-3-(phenylamino)propyl)sulfonyl)butanoate (4e):

Isolated as white solid using PE/ EA (2:1) as eluent (80.0 mg, yield 93%, 92:8 er), $[\alpha]D^{15.4}$ -5.0 (c 0.50, $CHCl_3$). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.50 (s, 1H), 7.53 – 7.50 (m, 4H), 7.49 – 7.45 (m, 2H), 7.44 – 7.39 (m, 1H), 7.34 – 7.30 (m, 2H), 7.16 – 7.12 (m, 1H), 4.64 (d, *J* = 14.4 Hz, 1H), 3.83 (d, *J* = 14.4 Hz, 1H), 3.68 (s, 3H), 3.19-3.15 (m, 2H), 2.52 – 2.48 (m, 2H), 2.23 – 2.15 (m, 2H); **^{13}C NMR (100 MHz, $CDCl_3$)** δ 172.7, 166.2, 136.9, 136.8, 129.8, 129.7, 129.2, 125.7, 125.4, 120.7, 69.5, 57.4, 54.7, 52.0, 32.0, 17.7; **HRMS**(ESI): *m/z* calculated for $C_{20}H_{22}N_4O_5S$ $[M+H]^+$: 431.1384, found: 431.1386. **HPLC** (Daicel Chirapak IA column, hexane/isopropanol = 50/50, flow 1.0 mL/min, detection at 210 nm) retention time = 22.17 min (major) and 14.26 min (minor).

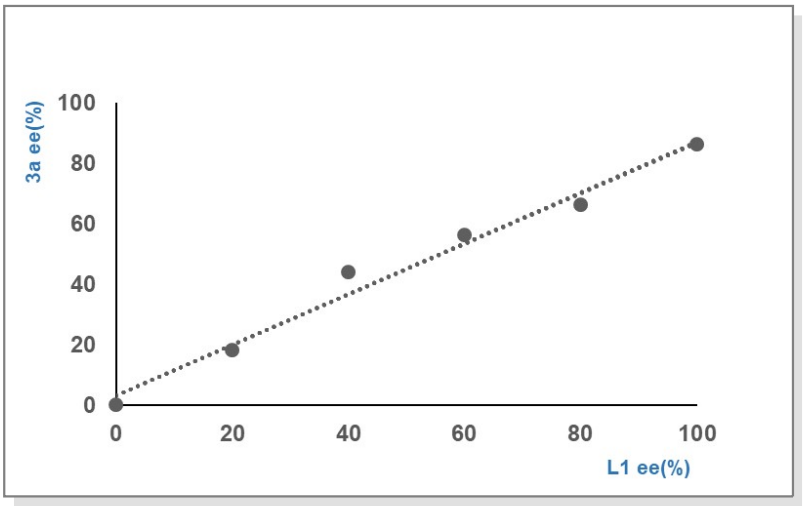
8. Nonlinear effect studies

Investigation into non-linear effects was conducted by comparing the ee value of the chiral ligand **L1** and that of the product **3a**. 6 reactions containing **L1** of racemic, 20%, 40%, 60%, 80%, and > 99% optical purity were run in parallel. The nonlinear effect study revealed a linear relationship between the ee of the product **3a** and the enantiopurity of the **L1**, indicating that in the transition state produced by the reaction process, the active intermediate is a monomer iron complex containing a single chiral ligand.

In an argon fulfilled glovebox, **1a** (44.6mg,0.2 mmol), **2a** (77.6 mg,1.5 equiv), $Fe(OTf)_2$ (7.0, 10.0 mol%), NaO*t*Bu (48 mg, 2.5 equiv), **L1** with different ee values (12.0 mol%, 12.2 mg) were added to chamber B. Tetrabromothiophene *S*,*S*-dioxides (0.88 mmol, 380 mg) in tetradecane (1.0 mL) was added to chamber A, followed by addition of 4-methylphenylene (0.80 mmol, 105 µl). The chamber A was sealed and removed out of the glovebox and heated to 100 °C in heating mantle for 10 min. $TMSN_3$ (57.6 mg, 65.7ul, 2.5 equiv) resolved in DCM (1.0 mL) was added into chamber B. Then chamber B heated to 25 °C in heating mantle for 12 hours. The mixture was purified by by flash silica gel column chromatography using petroleum ether/ethyl acetate as eluent to afford pure products **3a**. The product was diluted with isopropanol and injected onto a chiral HPLC analysis on Daicel Chirapak IB column (hexane/isopropanol = 50/50, flow rate 1.0 mL/min, T = 25 °C), UV 210 nm, t_1 = 14.13 min, t_2 = 17.10 min. A graph of ee of product vs. ee of ligand was then plotted.



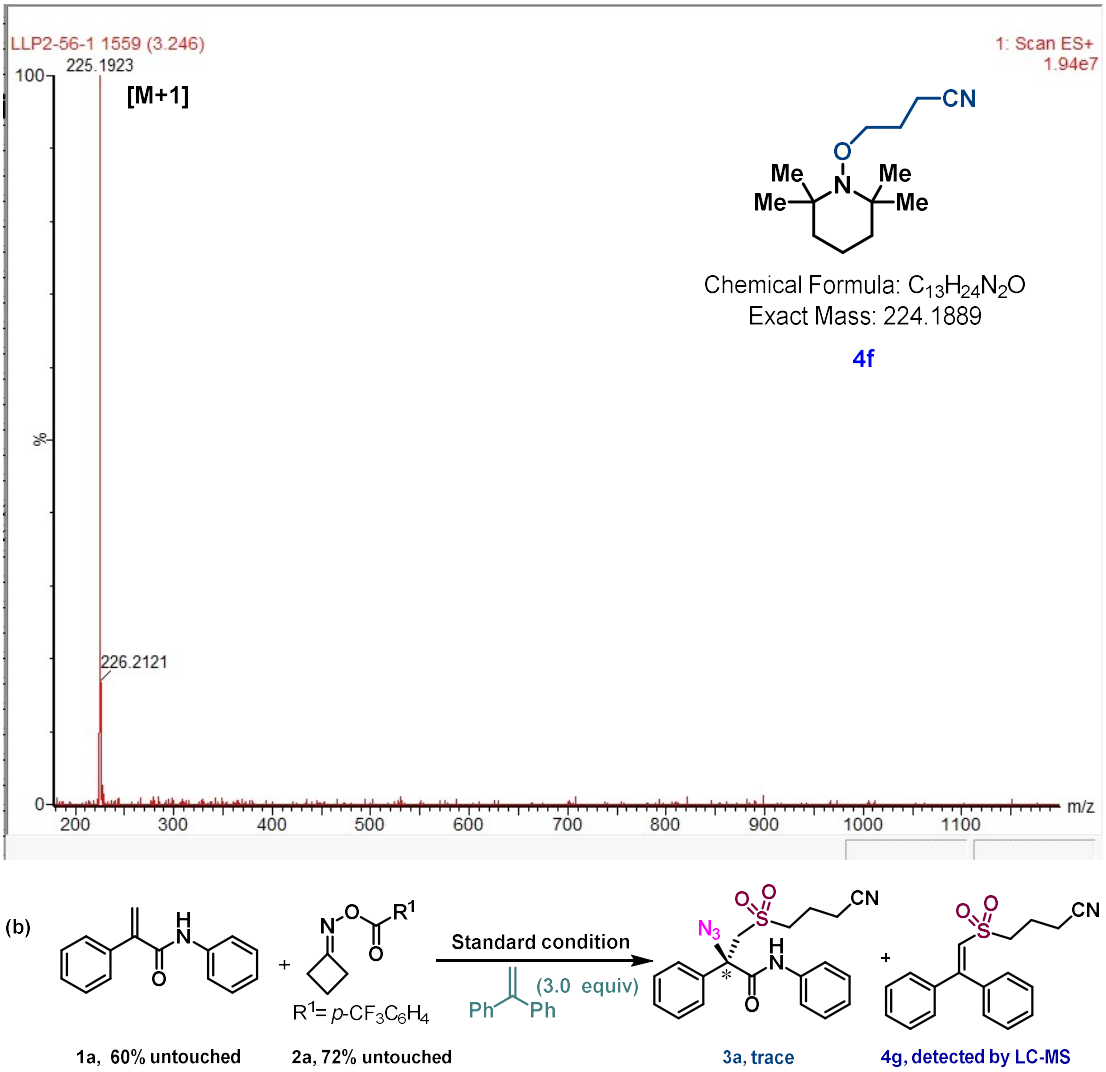
entry	ee of L1 (%)	ee of 3a (%)
1	0	0
2	20	18
3	40	44
4	60	56
5	80	66
6	>99	86



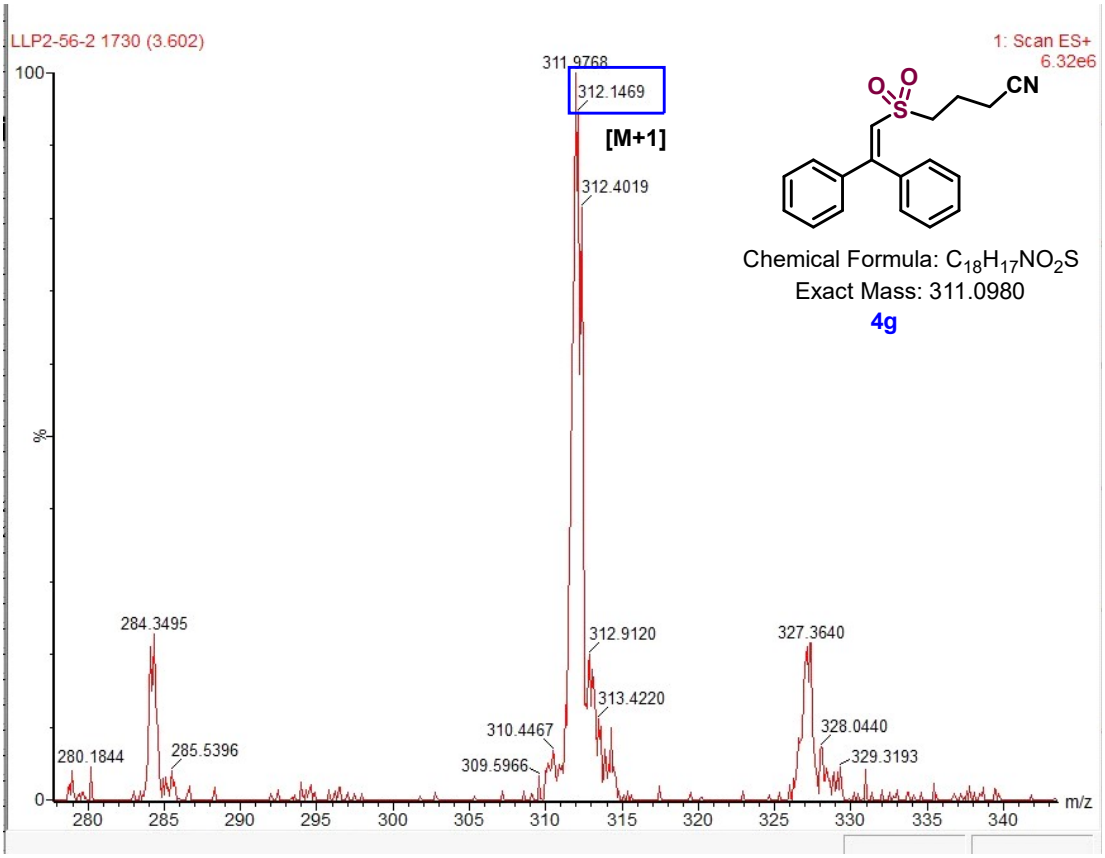
Nonlinear effect studies

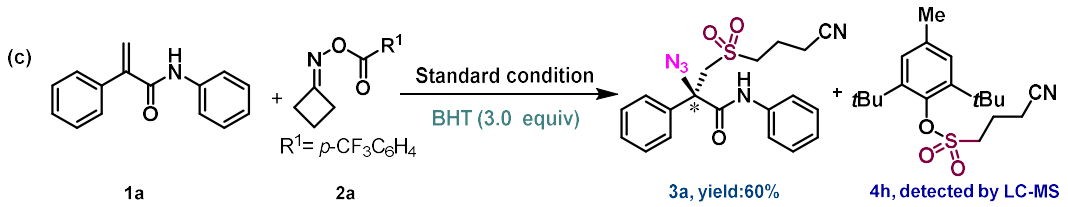
9. Radical trapping experiment

In the glovebox, **1a** (0.2 mmol, 1.0 equiv), **2a** (0.3 mmol, 1.5 equiv), $Fe(OTf)_2$ (7.0 mg, 10.0 mol%), NaO*t*Bu (48.0 mg, 2.5 equiv), chiral ligand L7(12.2mg, 12.0 mol%),TEMPO (0.6 mmol, 93.6 mg) were added to chamber B. Tetrabromothiophene *S*,*S*-dioxides (0.88 mmol, 380 mg) in tetradecane (1.0 mL) was added to chamber A, followed by addition of 4-methylphenylene (0.80 mmol, 105 µl). The chamber A was sealed and removed out of the glovebox and heated to 100 °C in heating mantle for 10 min. $TMSN_3$ (57.6 mg,65.7ul, 2.5 equiv) resolved in DCM (1.0 mL) was added into chamber B. Then chamber B heated to 25 °C in heating mantle for 12 hours. Then chamber B were added 1,3,5-Trimethoxybenzene (33.6 mg, 0.2 mmol) as internal standard, TLC, ^1H NMR and LC-MS analysis demonstrated the product **3a** is not founded. The Cyanoalkyl radical combined with TEMPO 4f were detected by LC-MS.

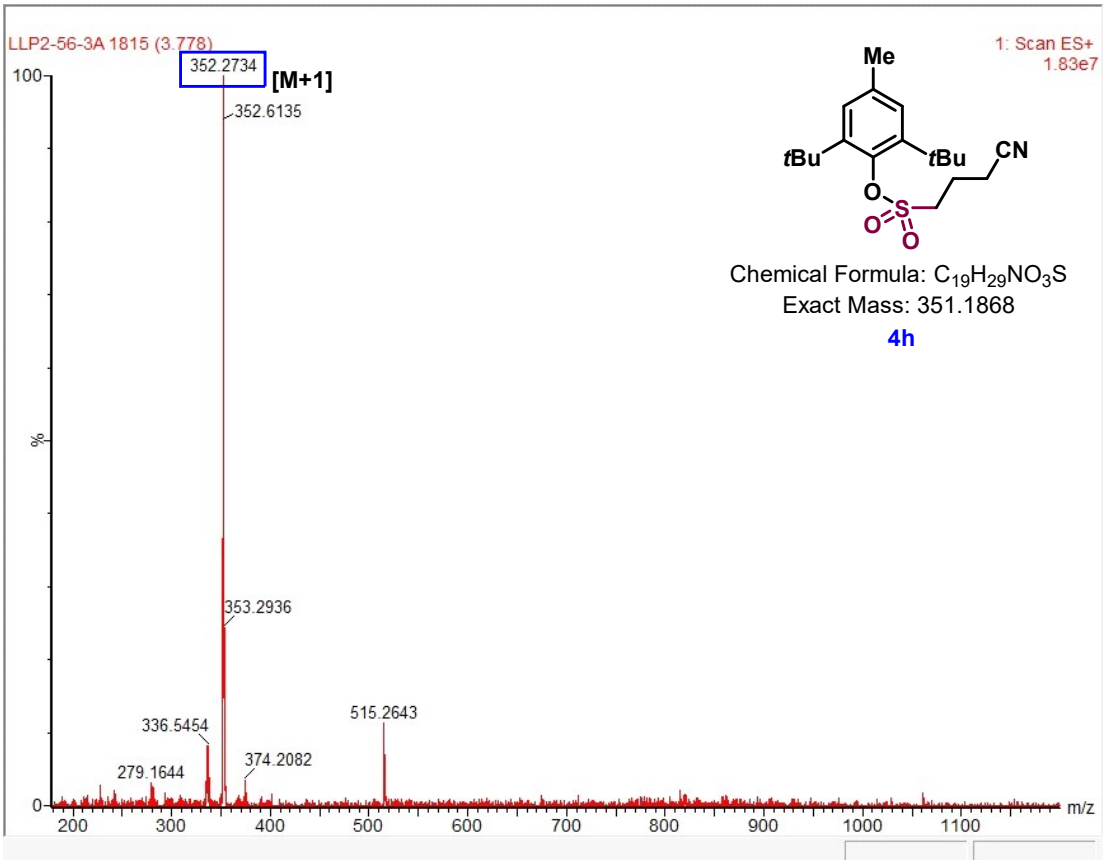


In the glovebox, **1a** (0.2 mmol, 1.0 equiv), **2a** (0.3 mmol, 1.5 equiv), $Fe(OTf)_2$ (7.0 mg, 10.0 mol%), NaO*t*Bu (48.0 mg, 2.5 equiv), chiral ligand L7(12.2mg, 12.0 mol%), 1,1- diphenylethylene (0.6 mmol, 108 mg) were added to chamber B. Tetrabromothiophene *S*,*S*-dioxides (0.88 mmol, 380 mg) in tetradecane (1.0 mL) was added to chamber A, followed by addition of 4-methylphenylene (0.80 mmol, 105 μl). The chamber A was sealed and removed out of the glovebox and heated to 100 °C in heating mantle for 10 min. $TMSN_3$ (57.6 mg,65.7ul, 2.5 equiv) resolved in DCM (1.0 mL) was added into chamber B. Then chamber B heated to 25 °C in heating mantle for 12 hours. Then chamber B were added 1,3,5-Trimethoxybenzene (33.6 mg, 0.2 mmol) as internal standard, TLC, ^1H NMR and LC-MS analysis demonstrated the product **3a** is trace. The alkyl sulfonyl radical combined with 1,1- diphenylethylene 4g were detected by LC-MS.





In the glovebox, **1a** (0.2 mmol, 1.0 equiv), **2a** (0.3 mmol, 1.5 equiv), $Fe(OTf)_2$ (7.0 mg, 10.0 mol%), NaO*t*Bu (48.0 mg, 2.5 equiv), chiral ligand L7(12.2mg, 12.0 mol%), BHT(0.6 mmol, 132.2 mg) were added to chamber B. Tetrabromothiophene *S*,*S*-dioxides (0.88 mmol, 380 mg) in tetradecane (1.0 mL) was added to chamber A, followed by addition of 4-methylphenylene (0.80 mmol, 105 µl). The chamber A was sealed and removed out of the glovebox and heated to 100 °C in heating mantle for 10 min. $TMSN_3$ (57.6 mg,65.7ul, 2.5 equiv) resolved in DCM (1.0 mL) was added into chamber B. Then chamber B heated to 25 °C in heating mantle for 12 hours. Then chamber B were added 1,3,5-Trimethoxybenzene (33.6 mg, 0.2 mmol) as internal standard, TLC, ^1H NMR and LC-MS analysis demonstrated the product 3a is 80% yield. The alkyl sulfonyl radical combined with BHT 4h were detected by LC-MS.

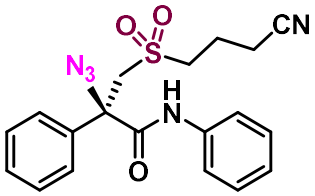


Chemical Formula: $C_{19}H_{29}NO_3S$
Exact Mass: 351.1868

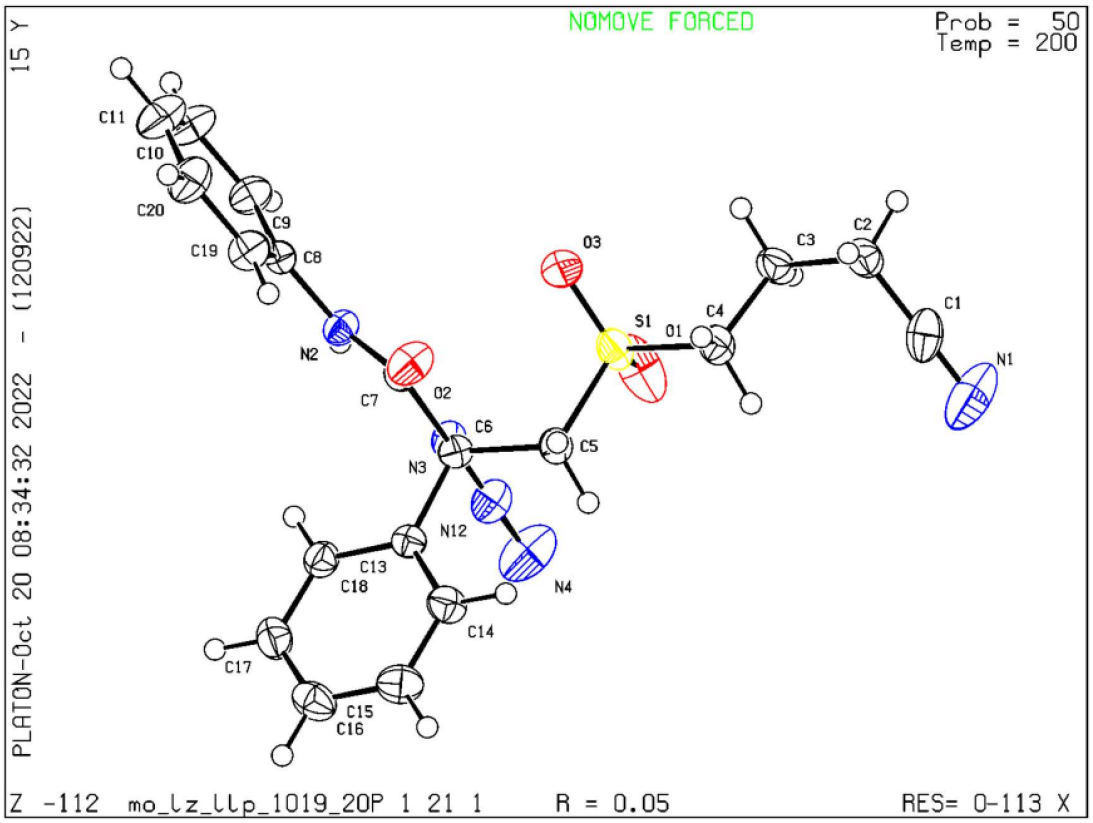
4h

10. X-ray Crystal Structure of Compound 3a

Crystal data and structure refinement for (**R**)-**3a** (**CCDC 2250116**), Thermal ellipsoids are shown at 50% probability level. A crystal of 3a was obtained by recrystallization from PE/EA.



3a



A suitable crystal of compound **3a** was obtained by slowly evaporating a mixture of n-hexane and acetone solution at ambient temperature. It was selected and analyzed on a Xcalibur, Eos diffractometer. The crystal was kept at 200.00 K during data collection. Using Olex2[21], the structure was solved with the Superflip[22] structure solution program using Charge Flipping and refined with the ShelXL[23] refinement package using Least Squares minimisation.

Crystal Data for $C_{19}H_{19}N_5O_3S$ (M = 397.45 g/mol): monoclinic, space group $P2_1$ (no.4), a = 11.061(3) Å, b = 5.7868(13) Å, c = 16.069(5) Å, β = 109.379(9°, V = 970.3(5) Å^3, Z = 2, T = 200.0 K, μ(MoKα) = 0.197 mm^{-1}, *Dcalc* = 1.360 g/cm^3, 9135 reflections measured (3.904° ≤ 2Θ ≤ 55.078°), 4227 unique (R_{int} = 0.0556, R_{sigma} = 0.0743) which

were used in all calculations. The final R_1 was 0.0514 ($I > 2\sigma(I)$) and $wR2$ was 0.1121.

Table S1 Crystal data and structure refinement for 3a

Identification code	mo_lz_llp_1019_200k_0ma_a
Empirical formula	$C_{19}H_{19}N_5O_3S$
Formula weight	397.45
Temperature/K	200.0
Crystal system	monoclinic
Space group	$P2_1$
a/Å	11.061(3)
b/Å	5.7868(13)
c/Å	16.069(5)
α/°	90
β/°	109.379(9)
γ/°	90
Volume/Å^3	970.3(5)
Z	2
ρ_{calc}g/cm^3	1.360
μ/mm^{-1}	0.197
F(000)	416.0
Crystal size/mm^3	0.38 × 0.09 × 0.04
Radiation	MoKα (λ = 0.71073)
2Θrange for data collection/°	3.904 to 55.078
Index ranges	$-14 \le h \le 14$, $-7 \le k \le 7$, $-20 \le l \le 20$
Reflections collected	9135
Independent reflections	4227 [R_{int} = 0.0556, R_{sigma} = 0.0743]
Data/restraints/parameters	4227/1/253
Goodness-of-fit on F^2	1.031
Final R indexes [I>=2σ (I)]	R_1 = 0.0514, wR_2 = 0.1013
Final R indexes [all data]	R_1 = 0.0708, wR_2 = 0.1121
Largest diff. peak/hole / e Å^{-3}	0.23/-0.26
Flack parameter	0.06(8)

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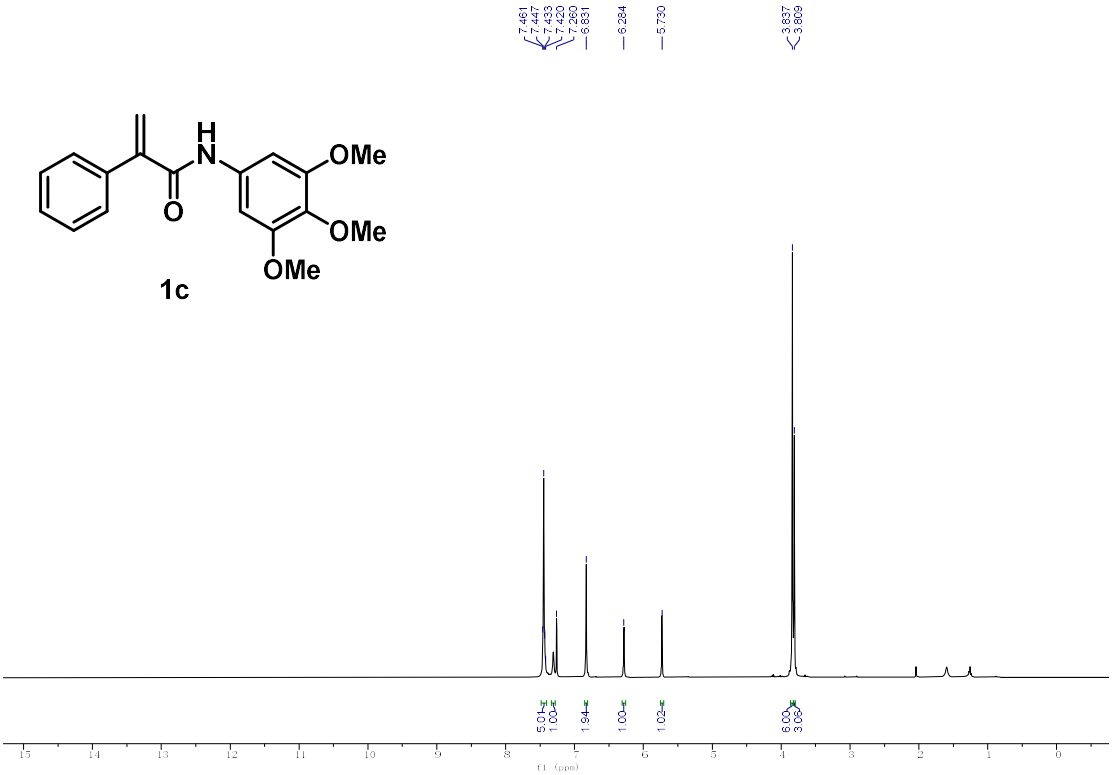
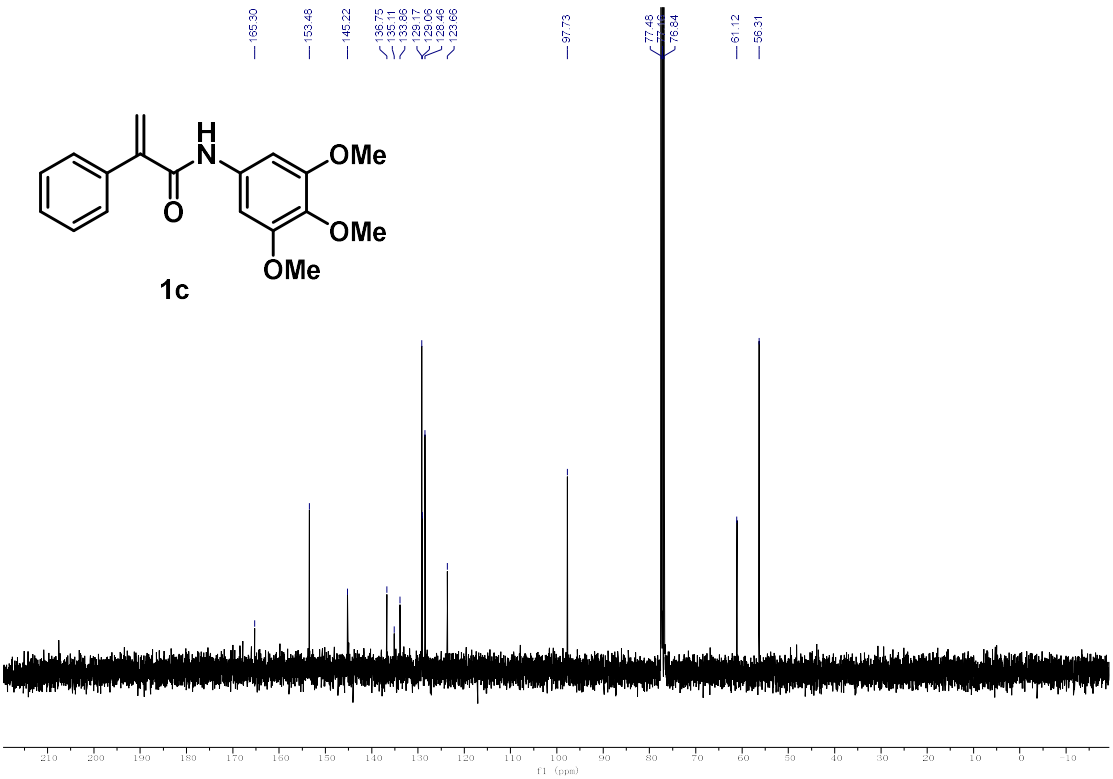
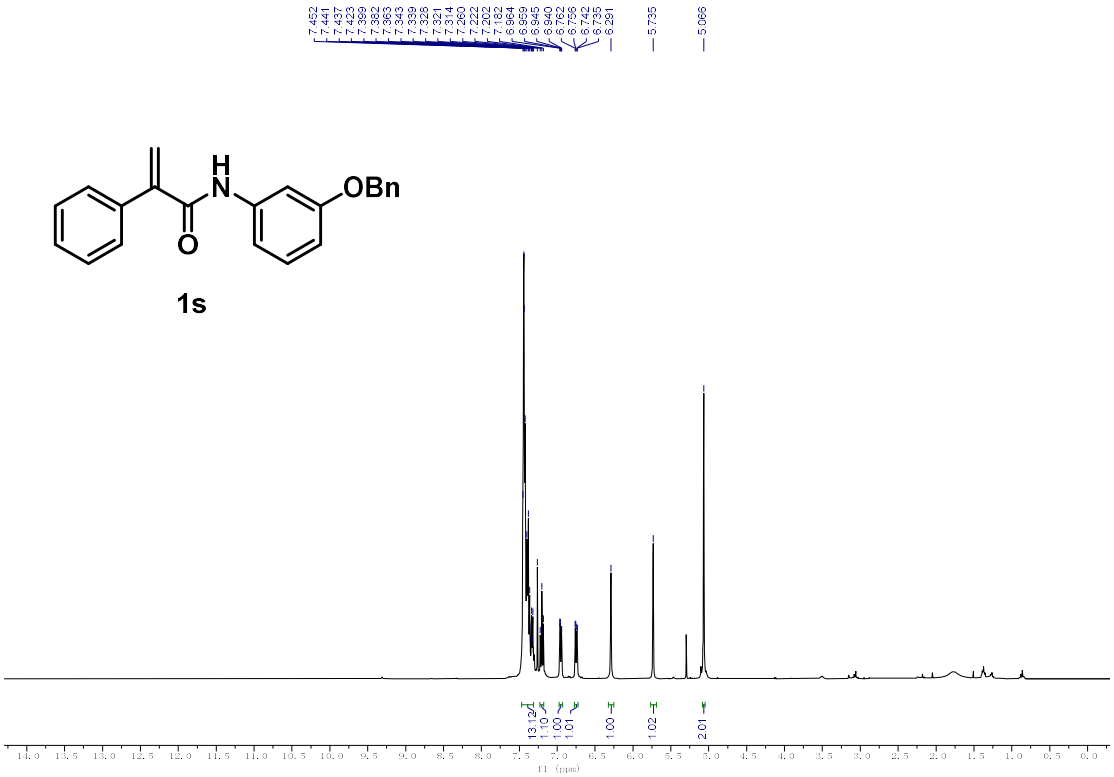
12. NMR Spectra



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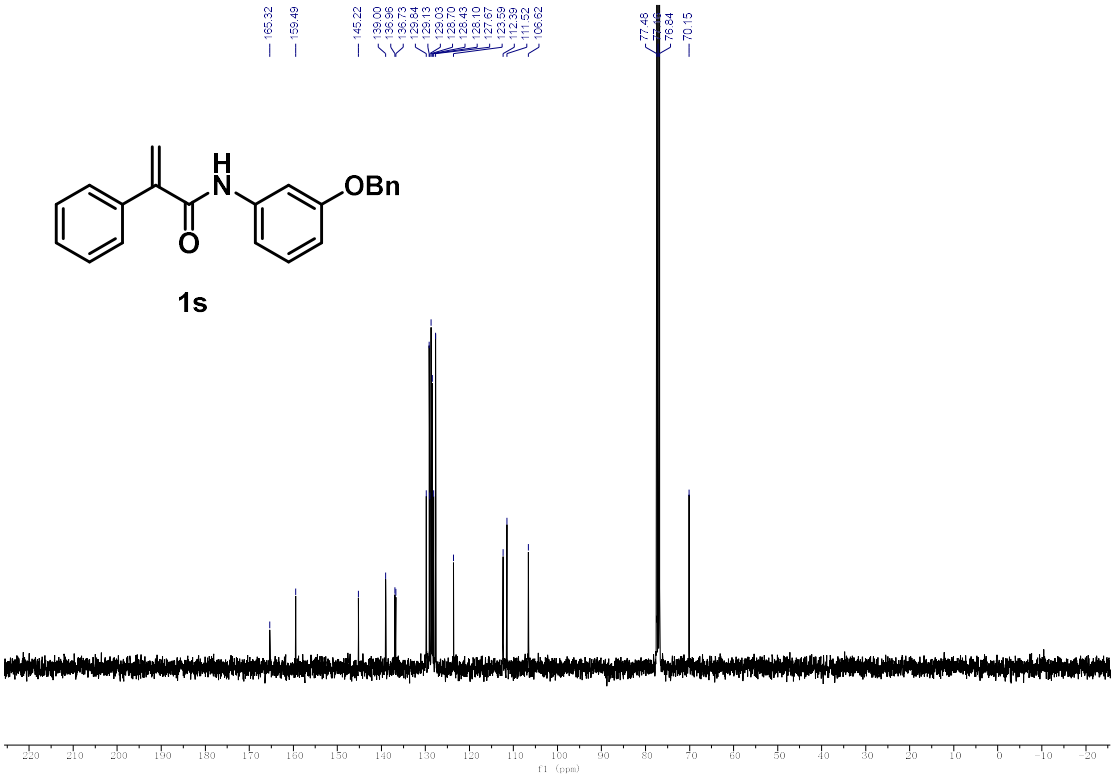
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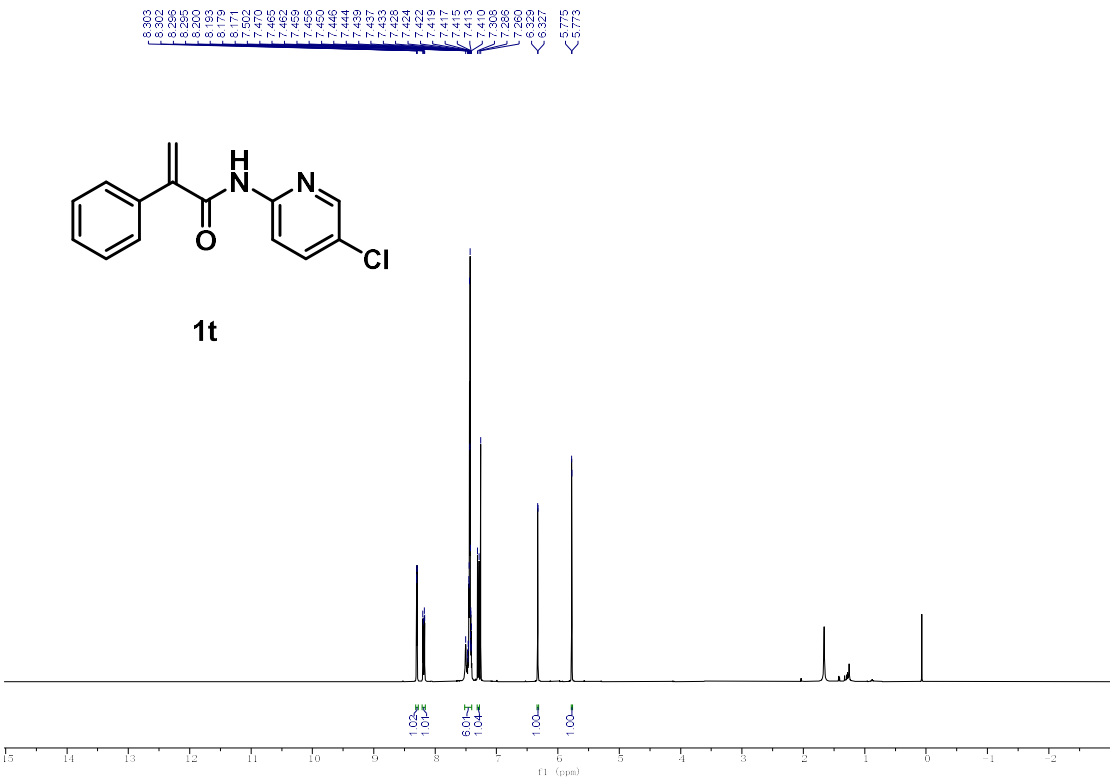
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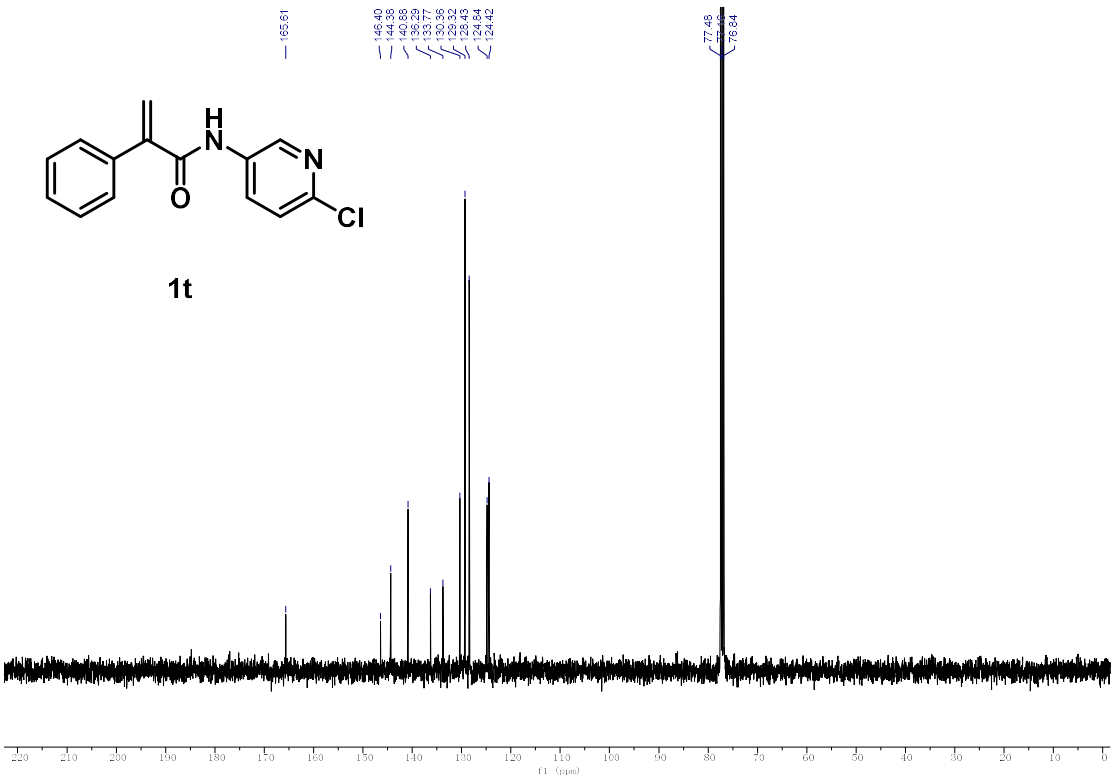
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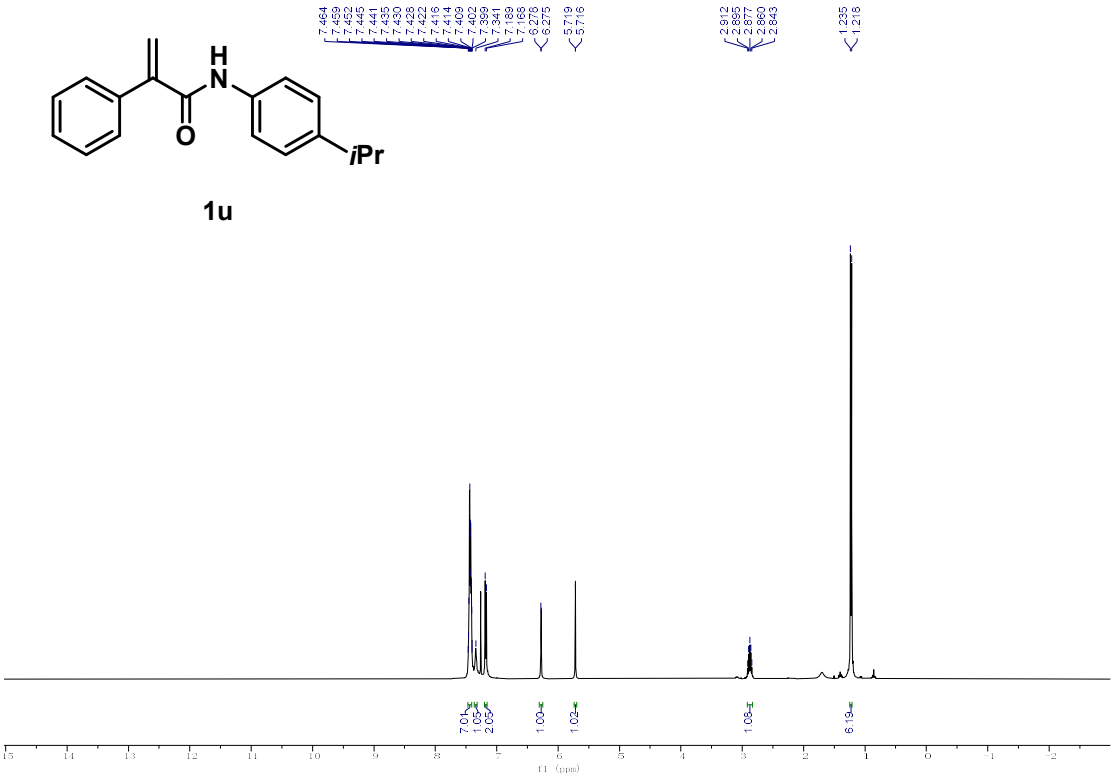
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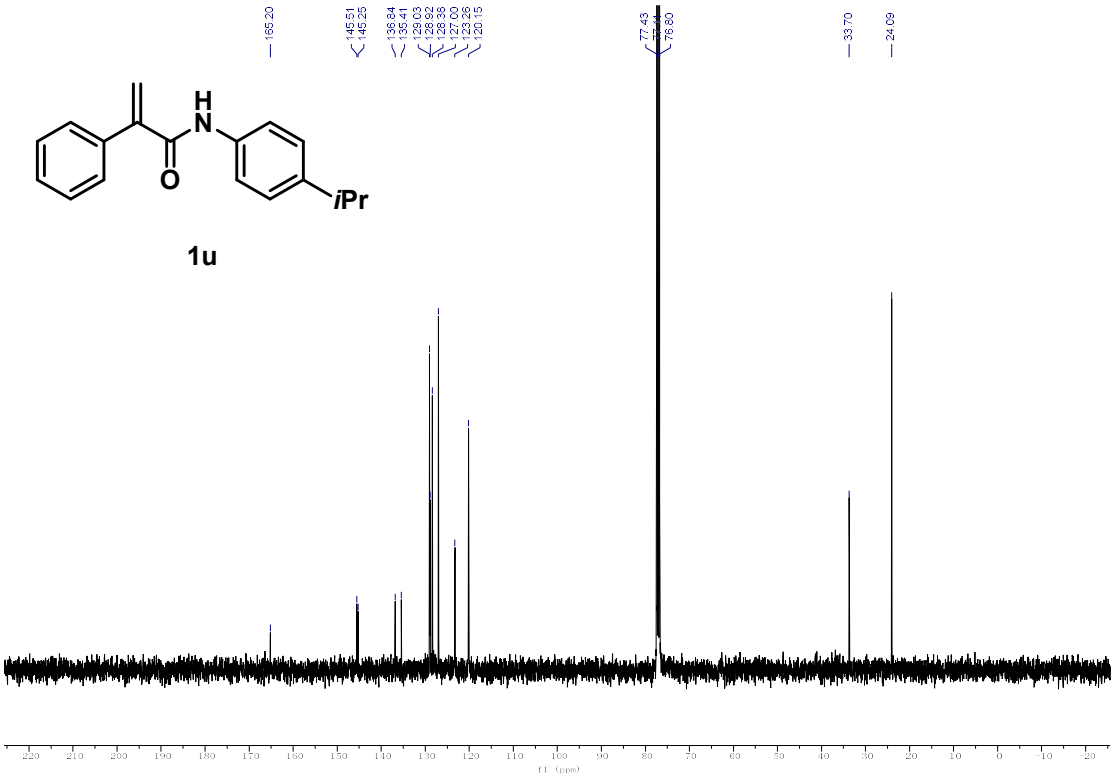
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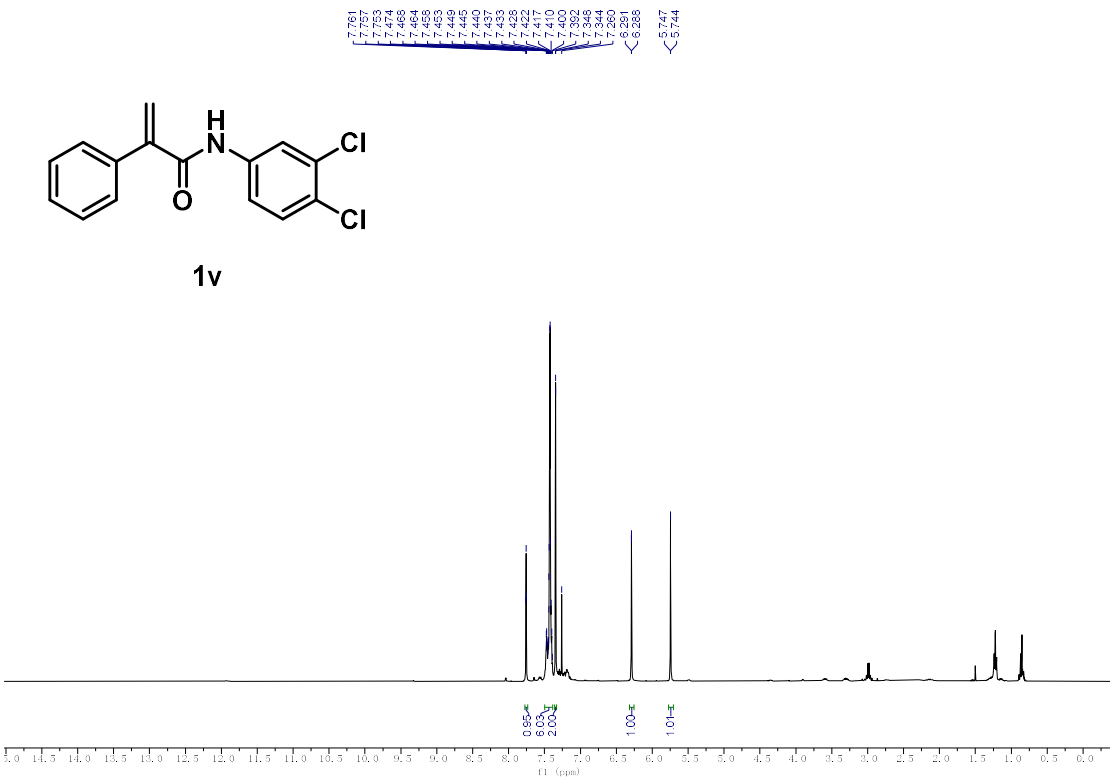
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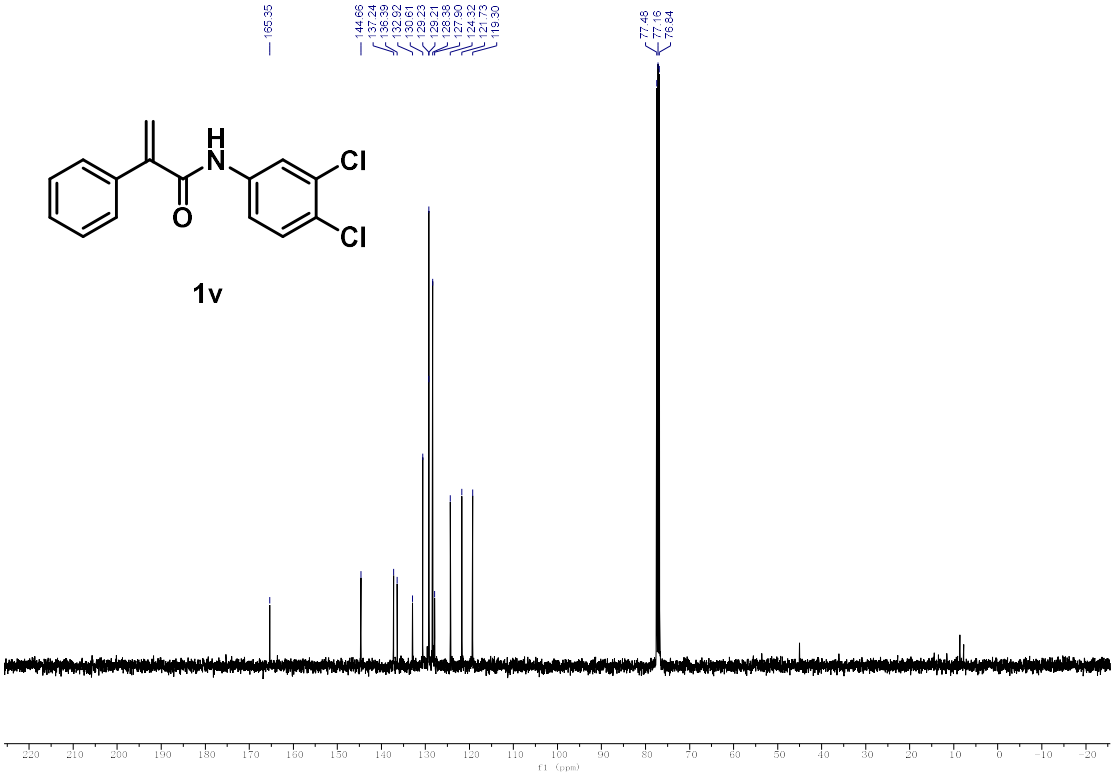
f1 (ppm)


165.35
144.66
137.24
136.39
132.82
130.61
130.13
129.21
128.38
127.90
124.32
121.73
119.30
77.46
77.16
76.84
H
N
O
Cl
Cl
1v
f1 (ppm)


7.448
7.442
7.437
7.435
7.428
7.419
7.416
7.412
7.407
7.403
7.389
7.382
7.287
7.282
7.260
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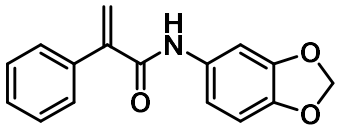
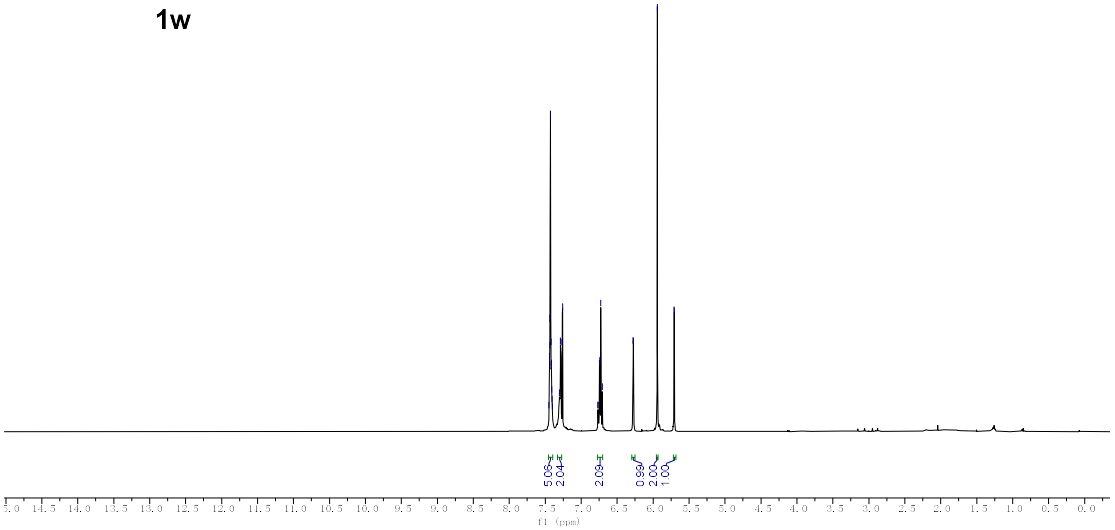
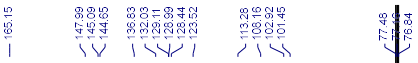
6.747
6.742
6.727
6.706
6.277
6.274
5.942
5.708
5.705


H
N
O
O
O

1w


5.06
2.04
2.09
0.99
2.00
1.00
f1 (ppm)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147.99
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144.65
136.83
132.03
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128.99
128.44
123.52
113.28
108.16
102.92
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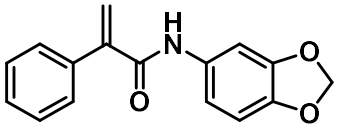
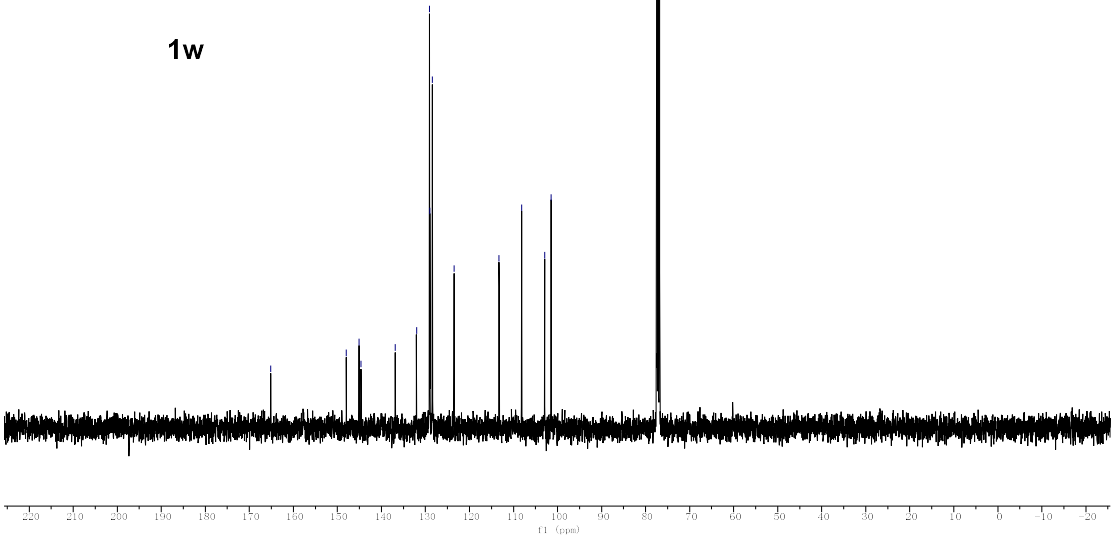
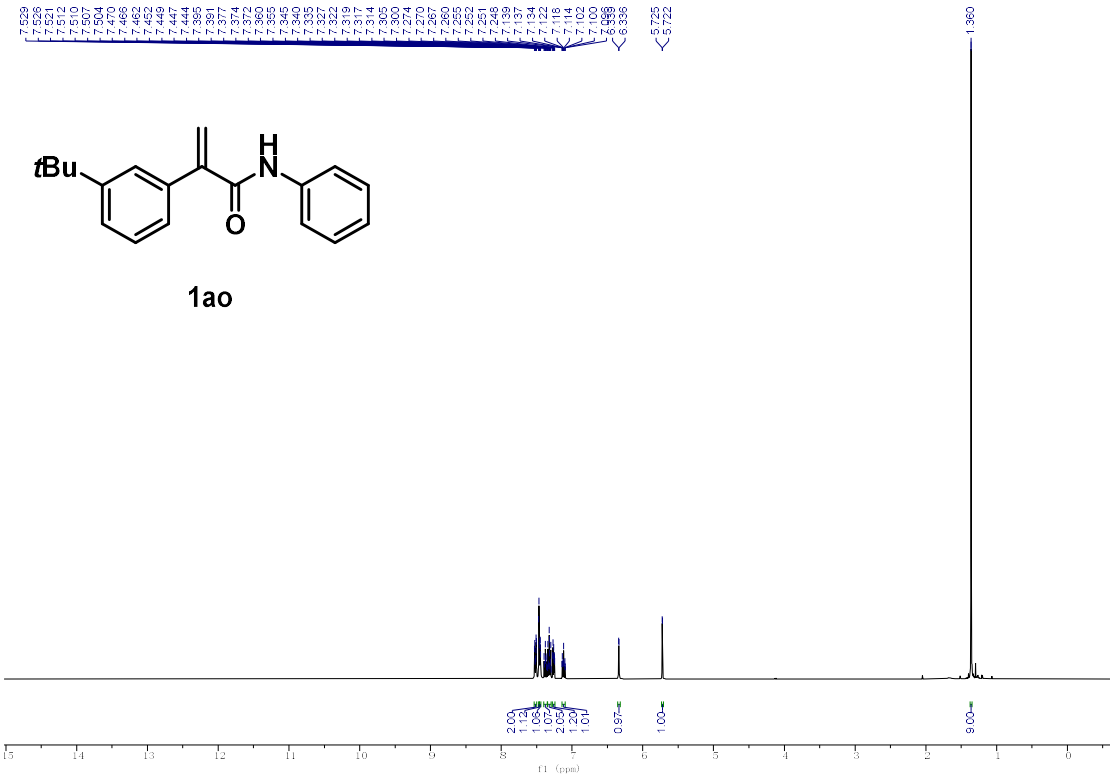
77.48
77.16
76.84

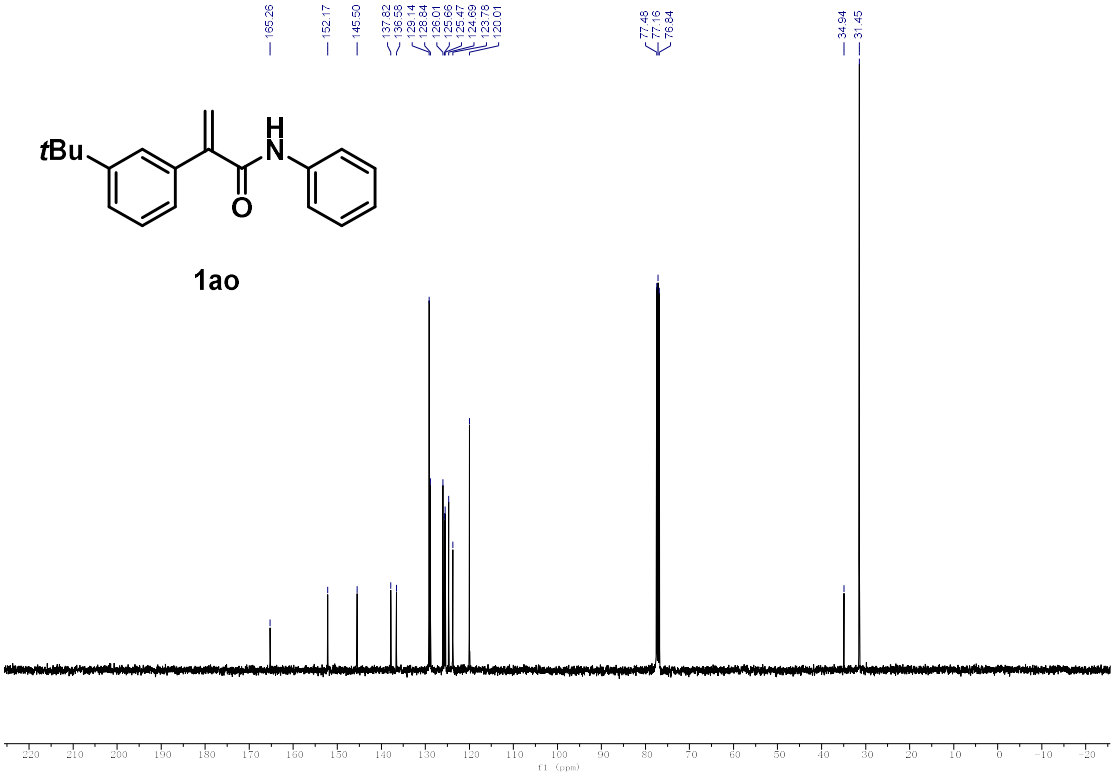

H
N
O
O
O

1w


f1 (ppm)



1ao



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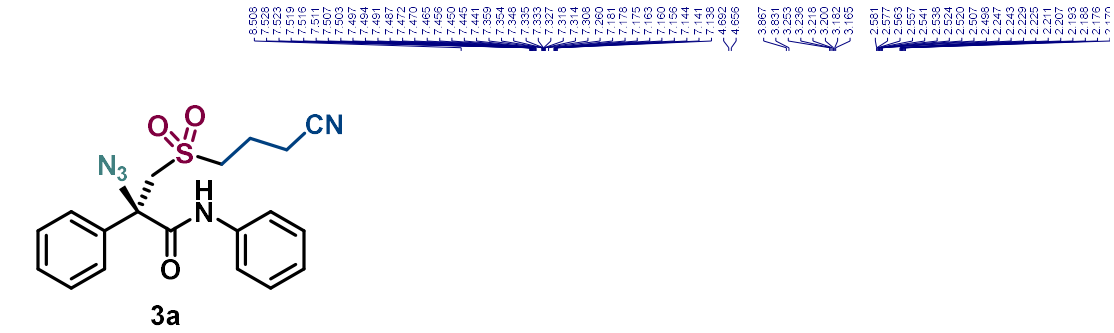

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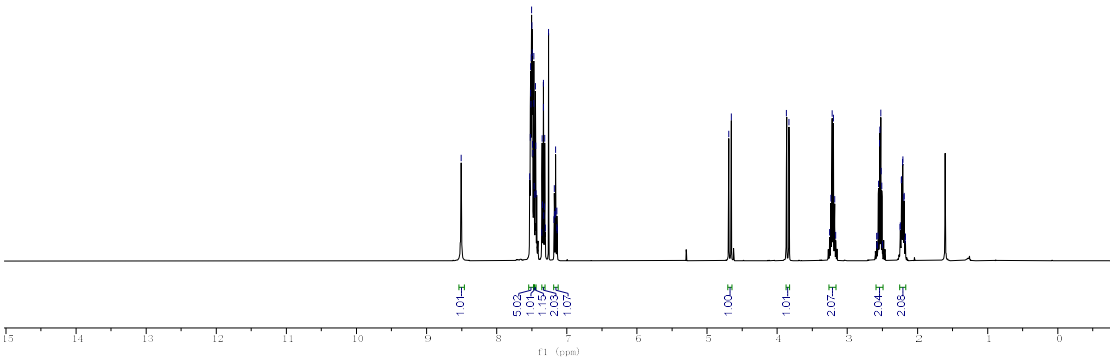

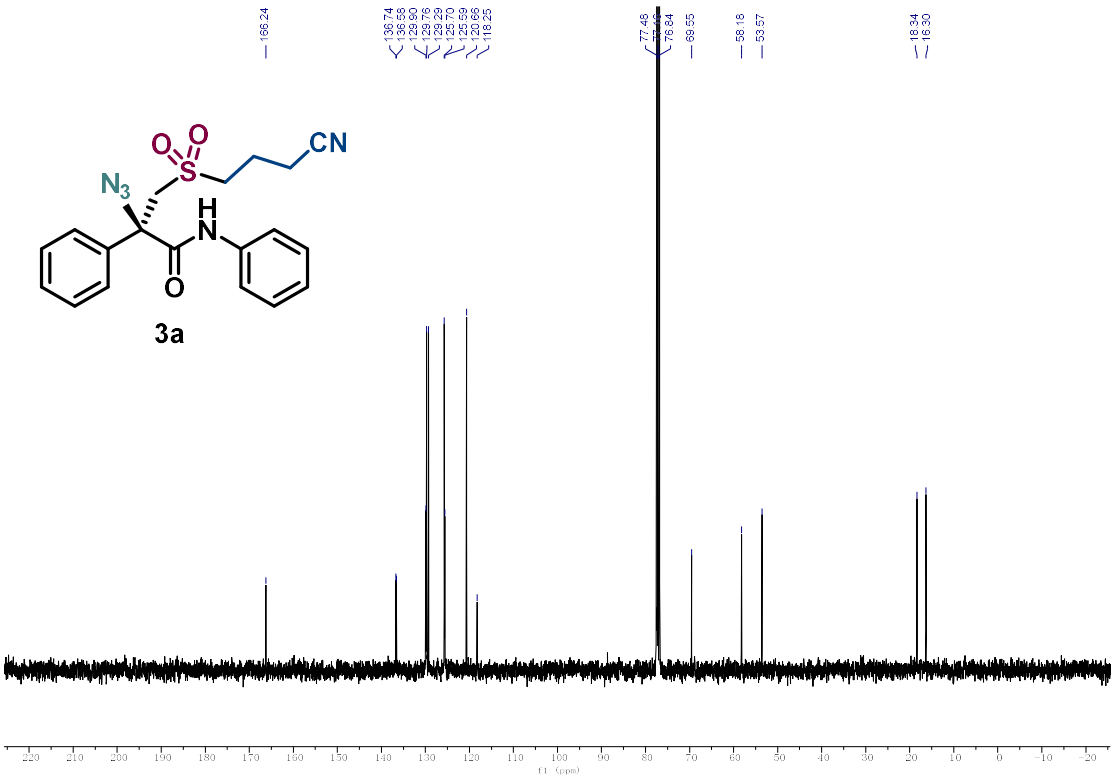

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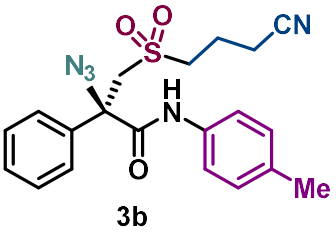

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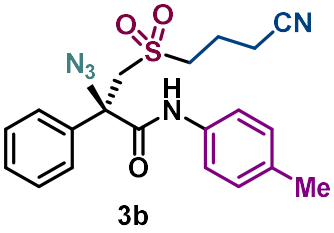

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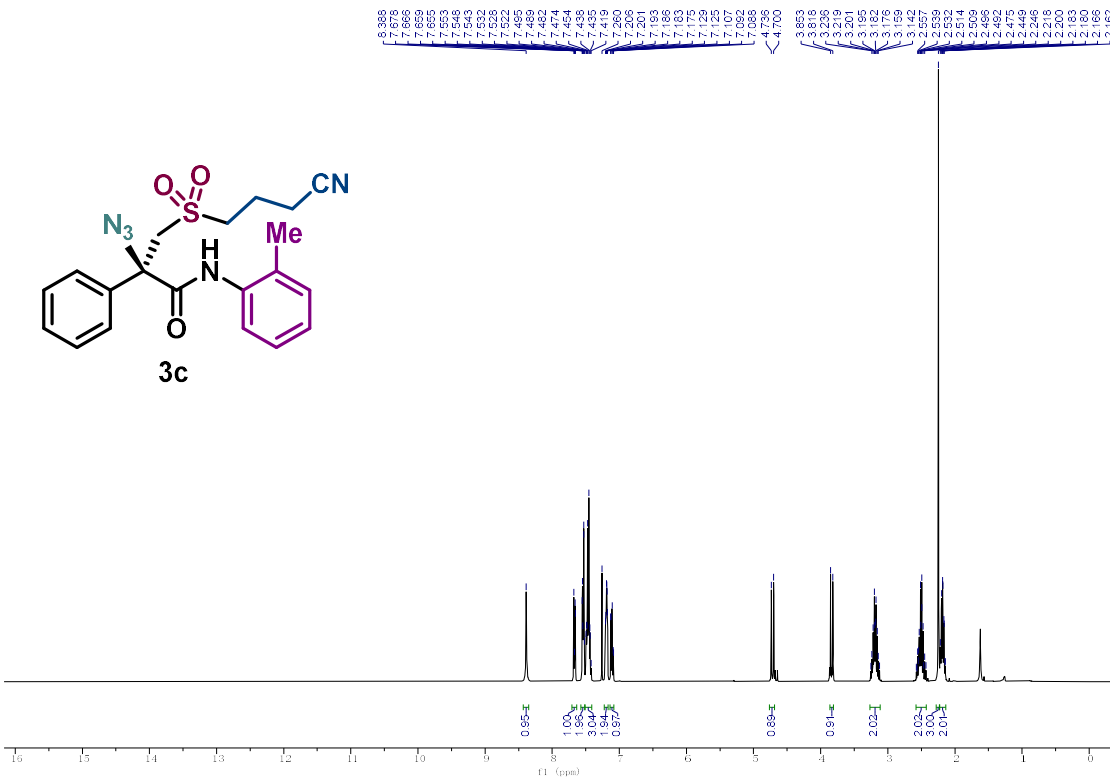
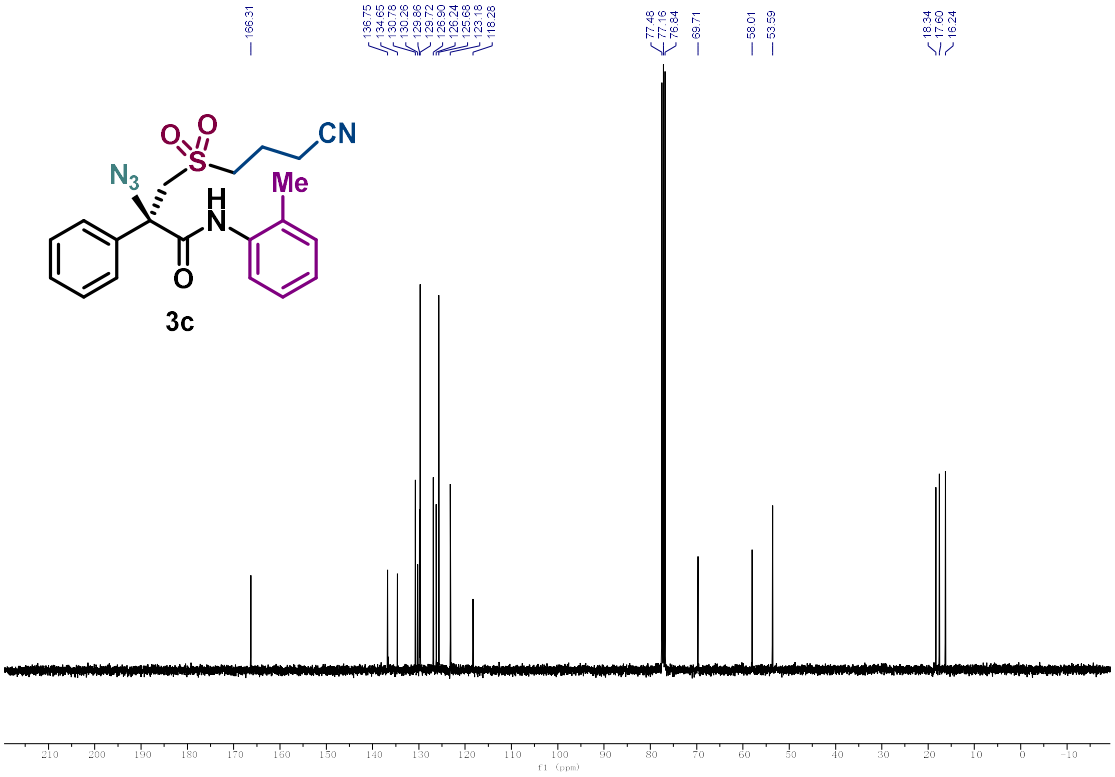
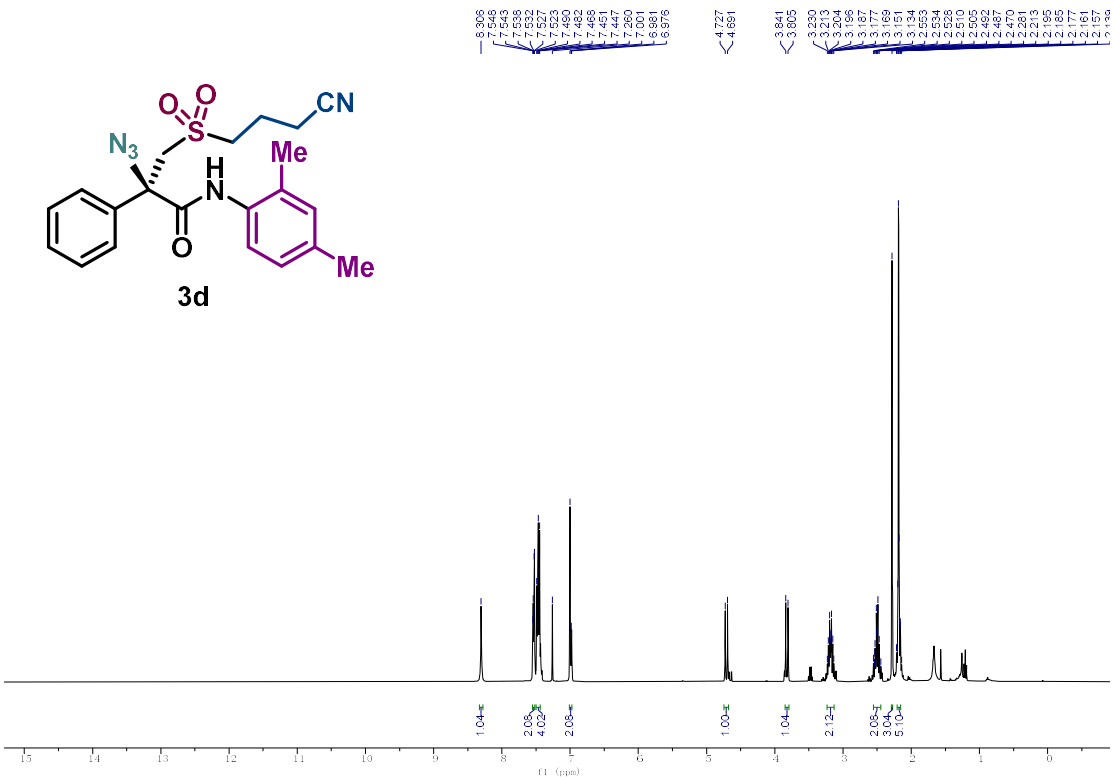

CN
O
O
S
N3
H
N
Me
O
3c


CN
O
O
S
N3
H
N
Me
O
3c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7.543
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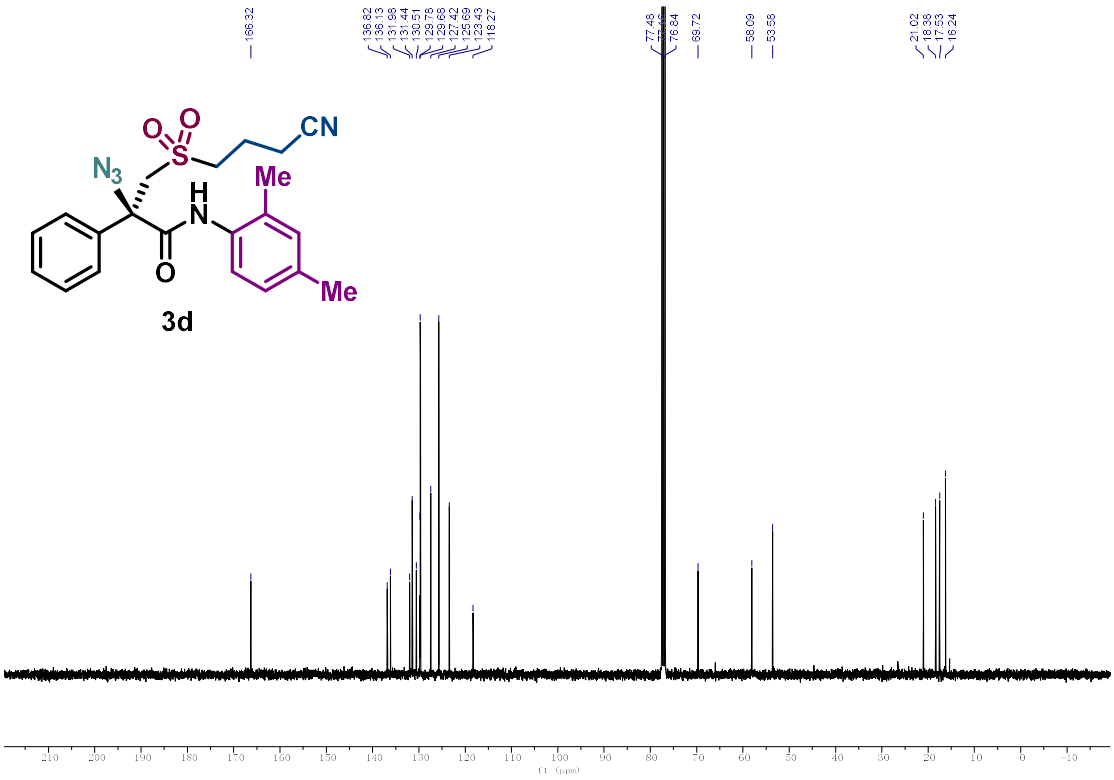
7.532
7.527
7.523
7.490
7.482
7.468
7.451
7.447
7.260
7.001
6.981
6.976
4.727
4.691
3.841
3.805
3.230
3.213
3.204
3.196
3.187
3.177
3.169
3.161
3.134
3.553
2.534
2.528
2.510
2.505
2.492
2.487
2.470
2.261
2.213
2.195
2.185
2.177
2.161
2.157
1.104
1.04
2.05
4.02
2.08
1.00
1.04
2.12
2.05
3.04
5.10
f1 (ppm)
O
O
S
CN
N3
H
N
Me
O
Me
3d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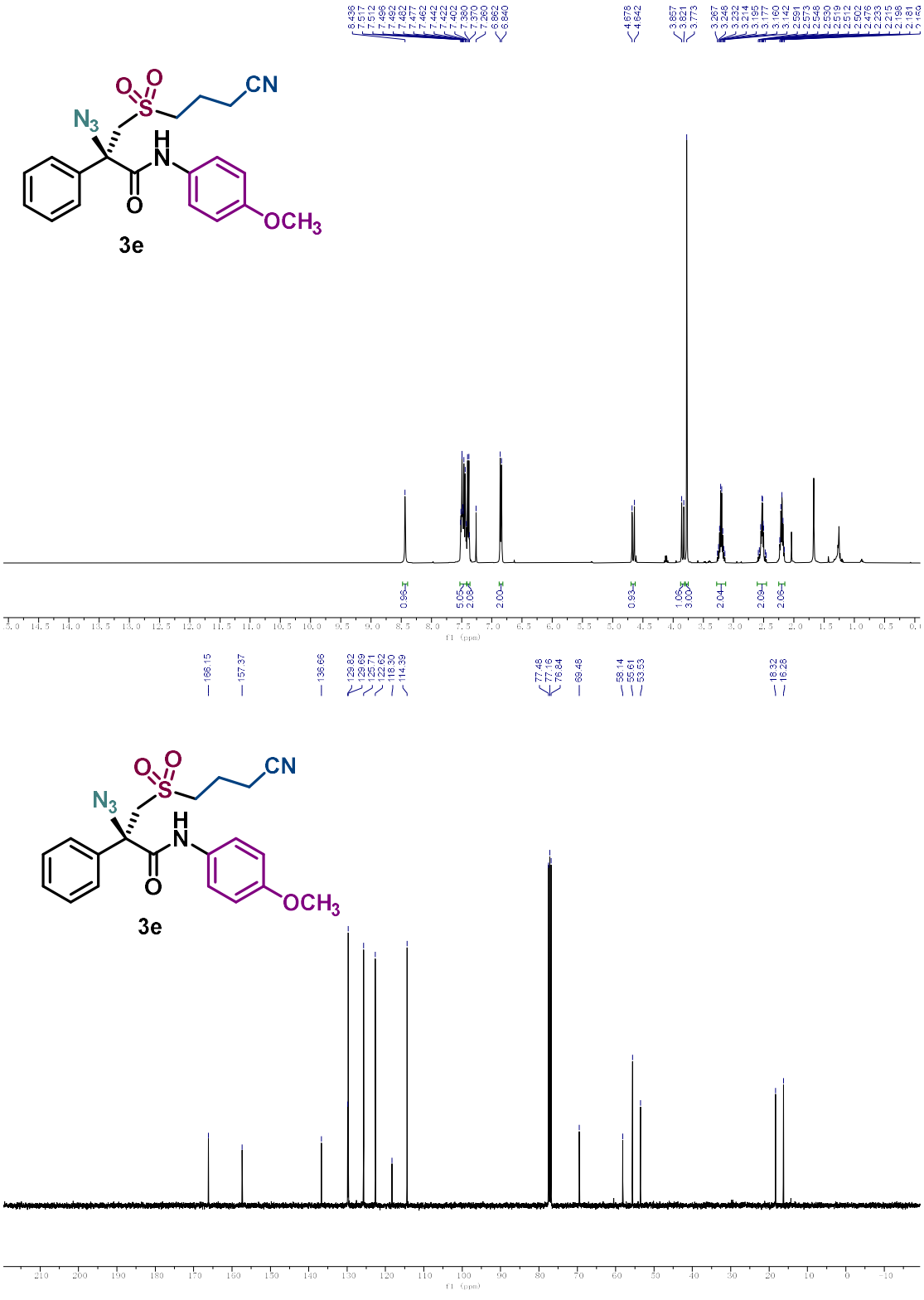
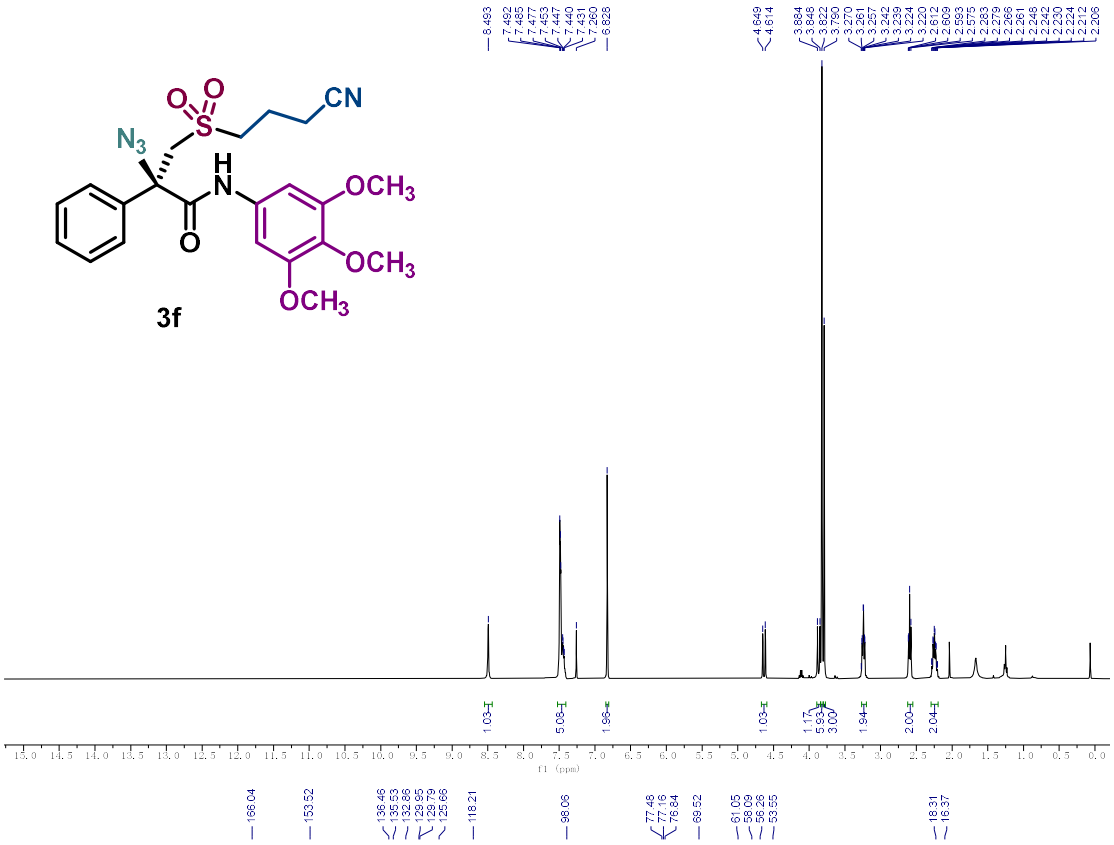
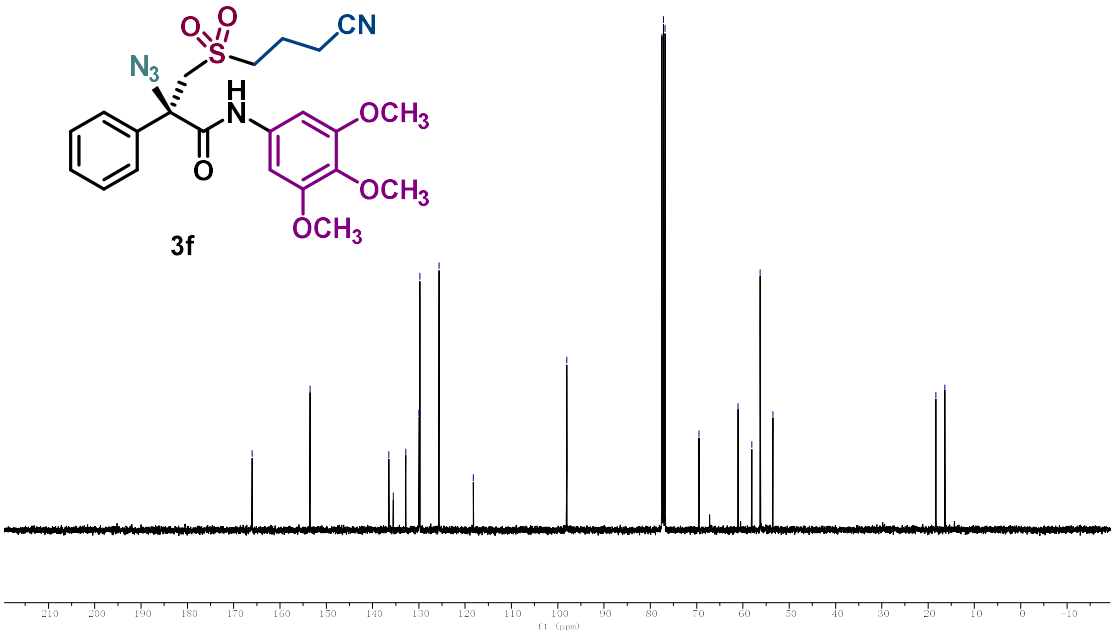
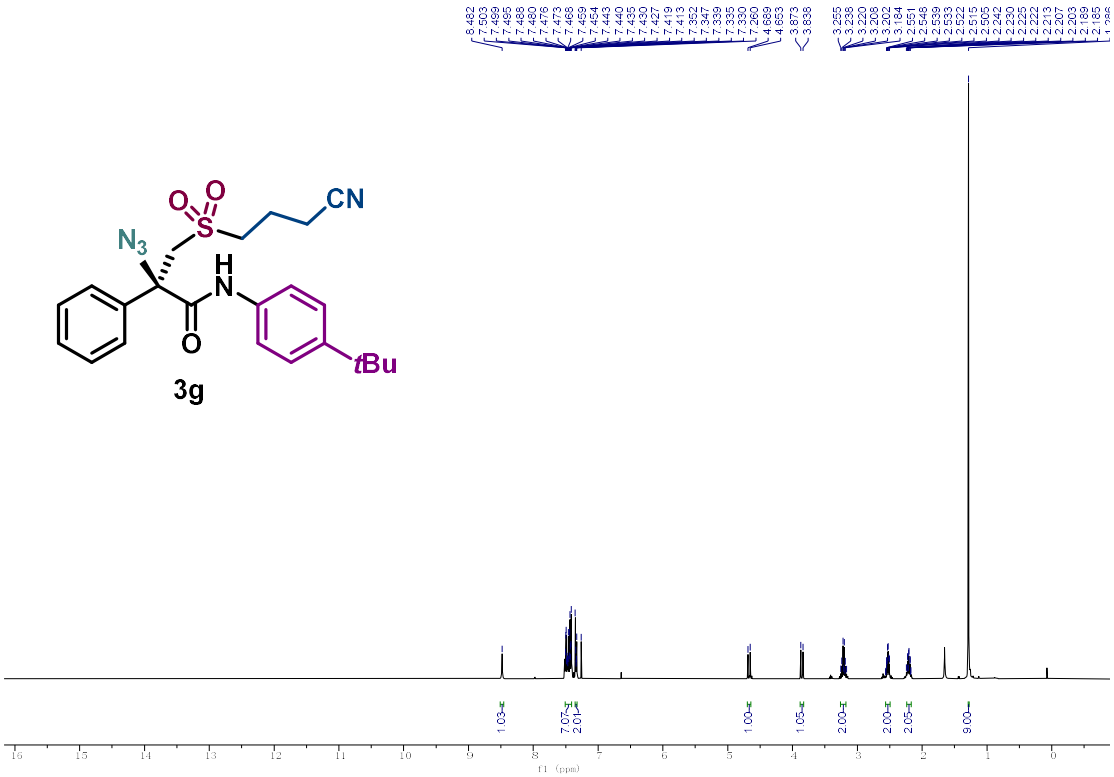
136.82
136.53
131.98
131.44
130.51
129.78
129.66
127.42
125.69
123.43
118.27
77.48
77.16
76.84
69.72
58.09
53.58
21.02
19.38
17.53
16.24
f1 (ppm)
O
O
S
CN
N3
H
N
Me
O
Me
3d


3e
3e


CN
N3
H
N
O
OCH3
OCH3
OCH3
3f


CN
N3
H
N
O
OCH3
OCH3
OCH3
3f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7.473
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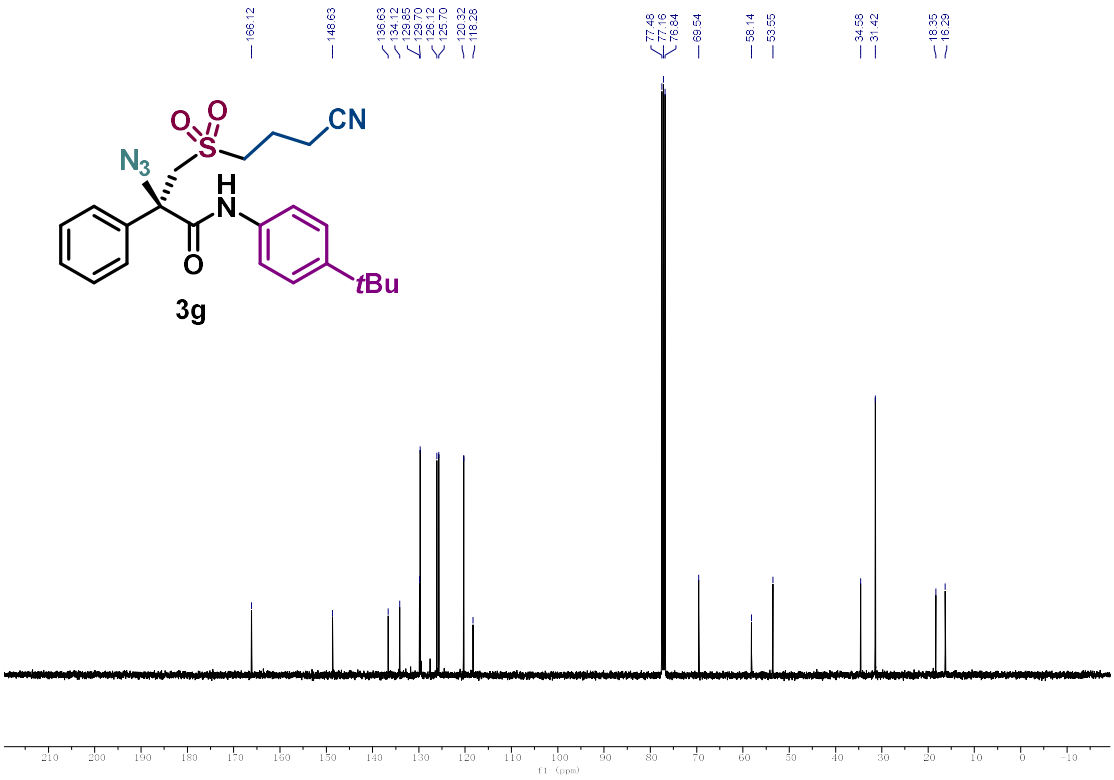
7.459
7.454
7.443
7.440
7.435
7.430
7.427
7.419
7.413
7.352
7.347
7.339
7.335
7.330
7.260
4.689
4.653
3.873
3.838
3.255
3.238
3.220
3.208
3.202
3.154
3.151
2.548
2.539
2.533
2.522
2.515
2.505
2.242
2.230
2.220
2.213
2.207
2.203
2.189
2.185
1.998
1.03
7.07
2.01
1.00
1.05
2.00
2.00
2.05
9.00
f1 (ppm)
O
O
S
CN
N3
H
N
O
tBu
3g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129.70
126.12
125.70
120.32
118.26
77.48
77.16
76.84
69.54
58.14
53.55
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f1 (ppm)
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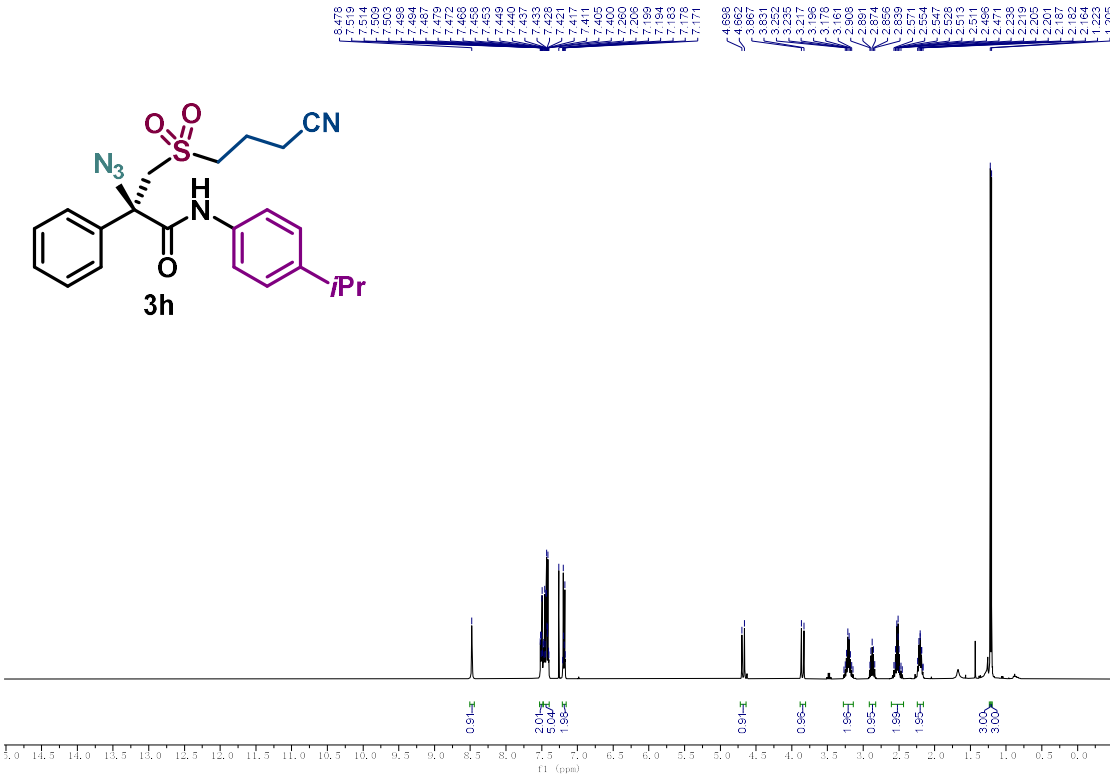
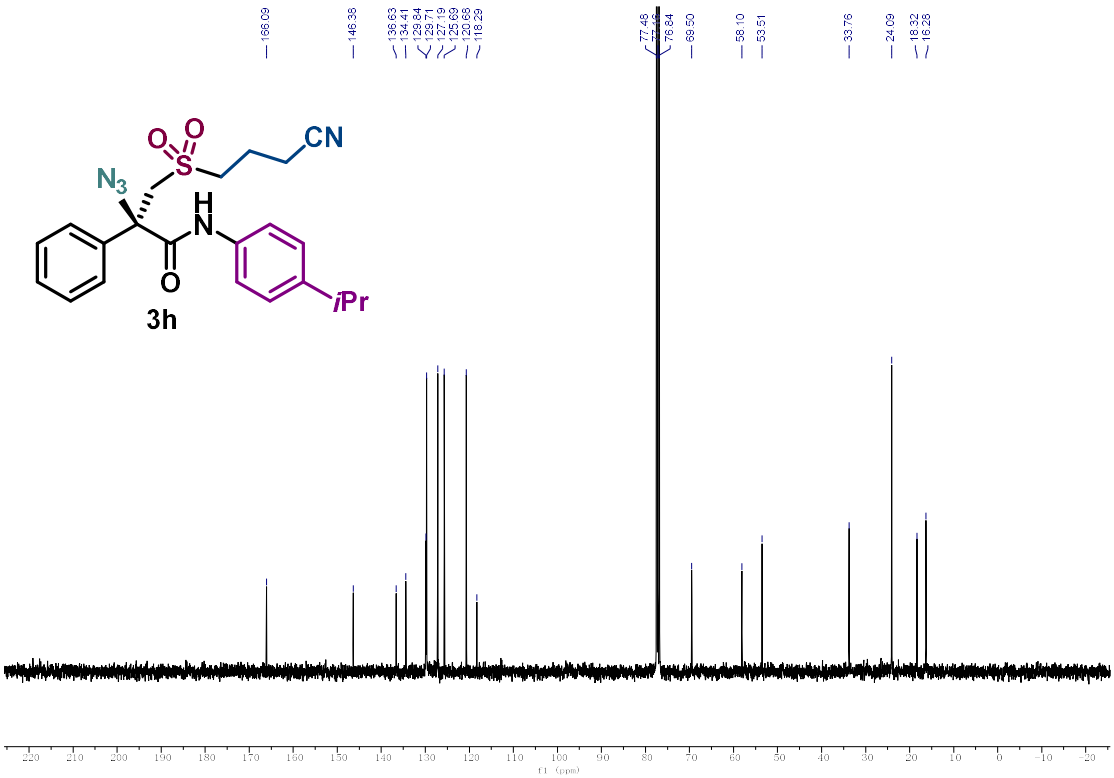
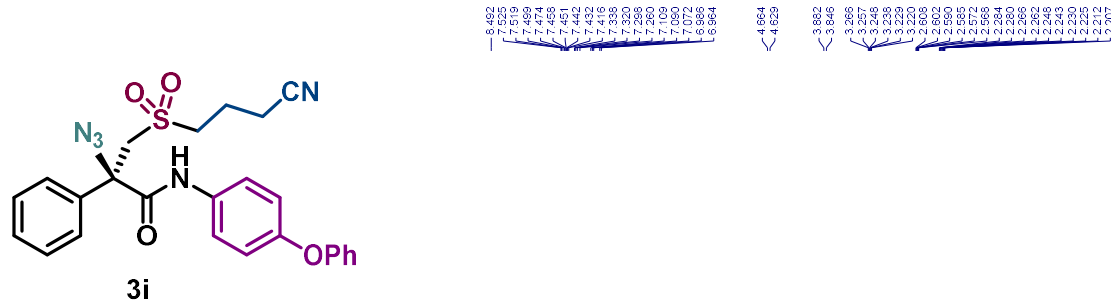
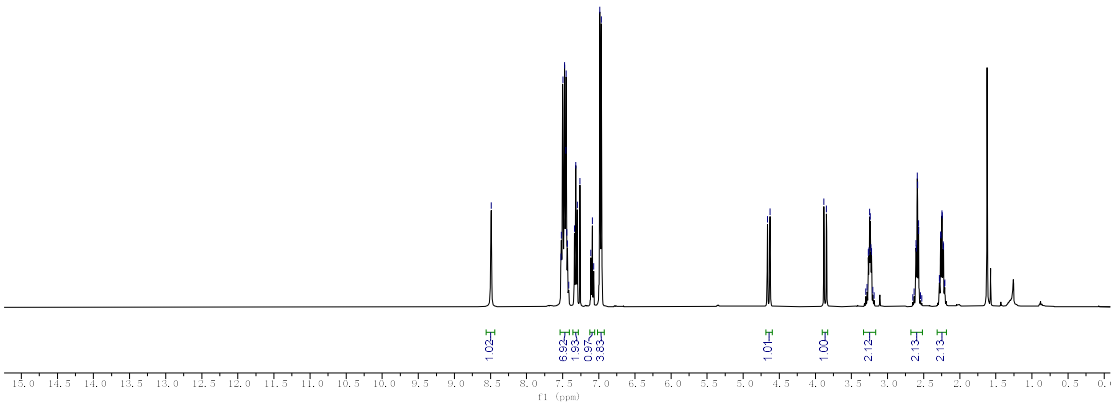
O
S
CN
N3
H
N
O
tBu
3g

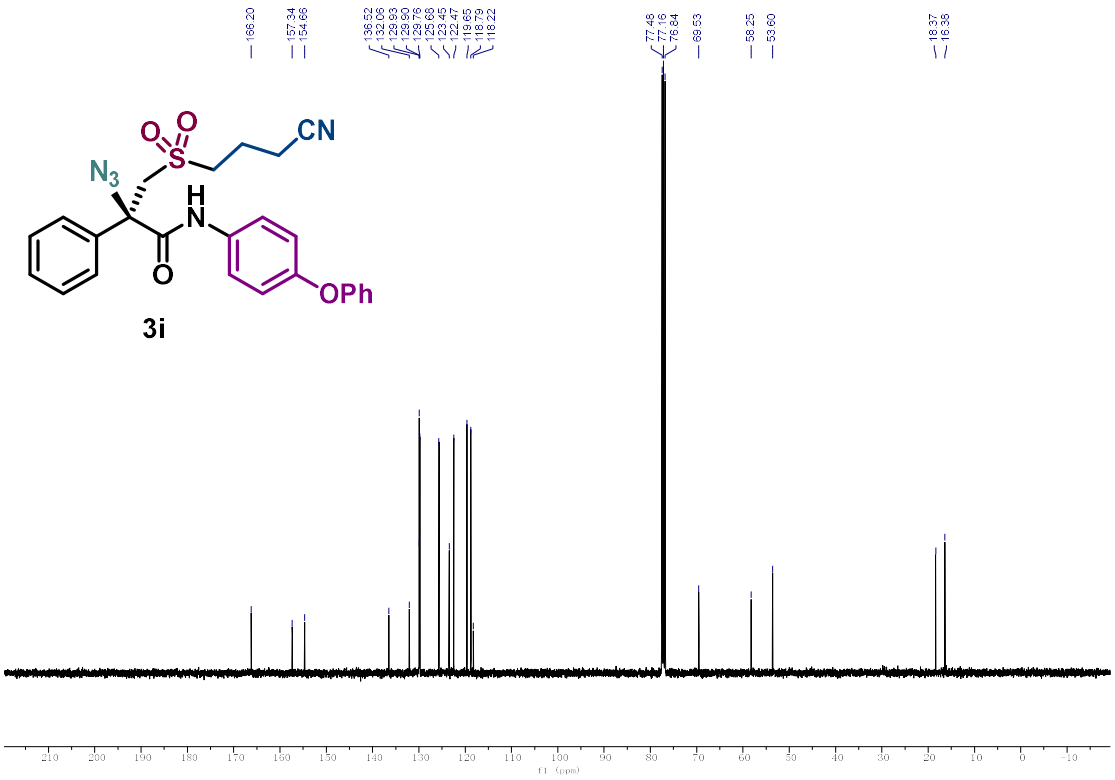

CN
N3
H
N
O
iPr
3h


CN
N3
H
N
O
iPr
3h

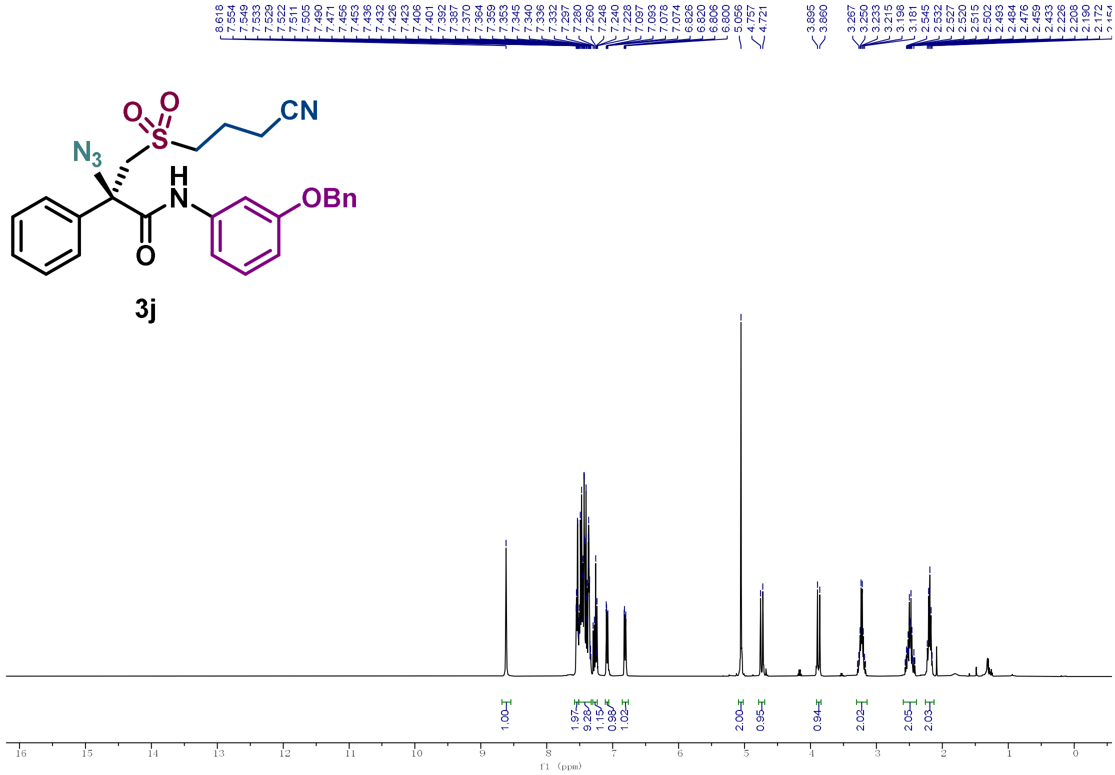


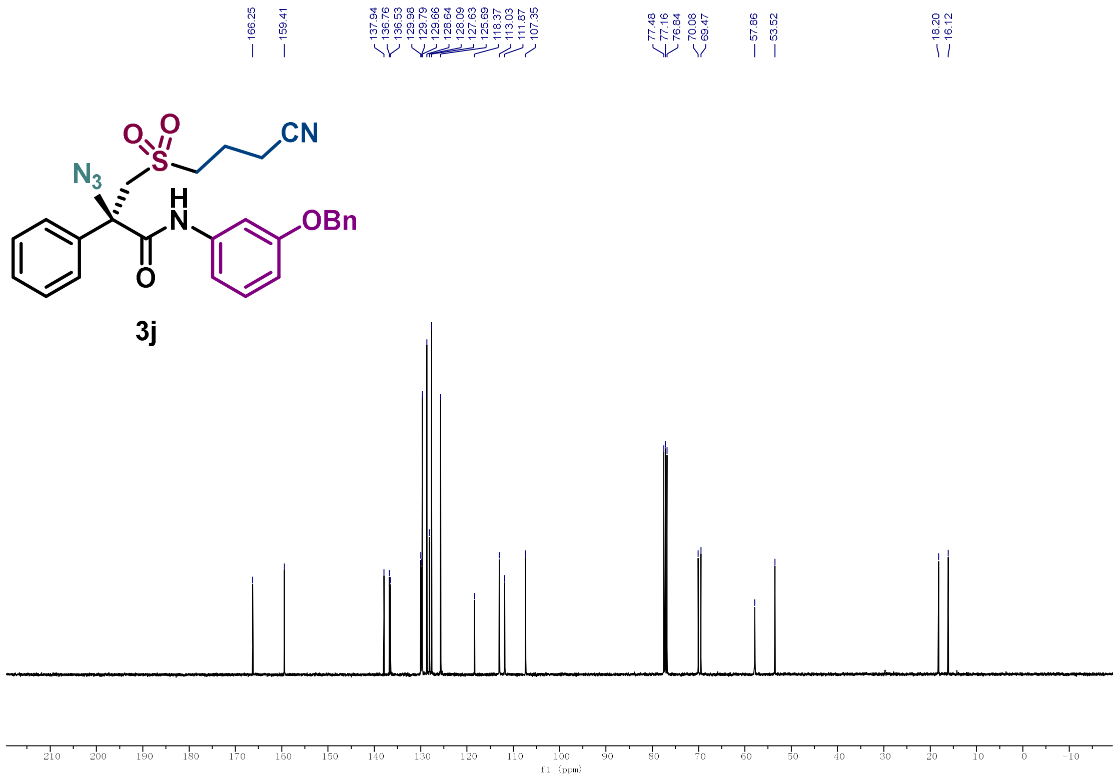
3i





3i


CN
N3
H
N
OBn
O
3j
f1 (ppm)


166.25
159.41
137.94
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129.66
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128.09
127.63
125.69
118.37
113.03
111.87
107.35
77.48
77.16
76.84
70.08
69.47
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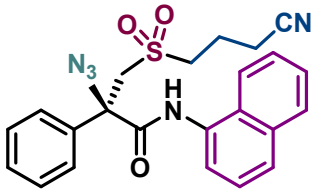
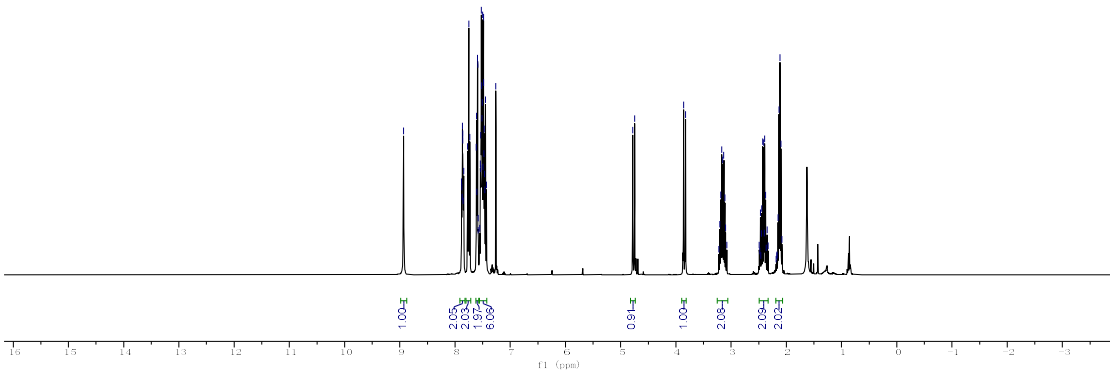
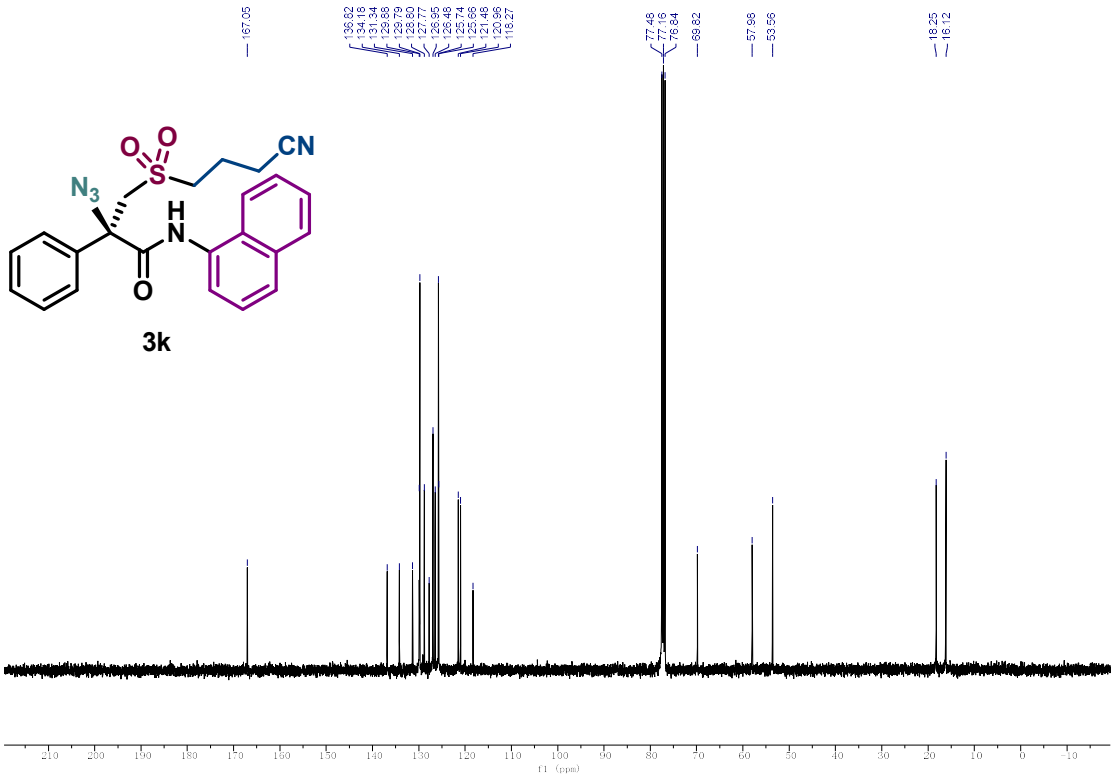
53.52
18.20
16.12
CN
N3
H
N
OBn
O
3j
f1 (ppm)

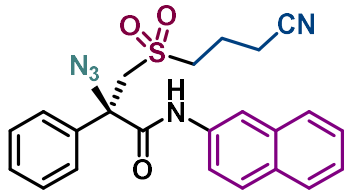


3k

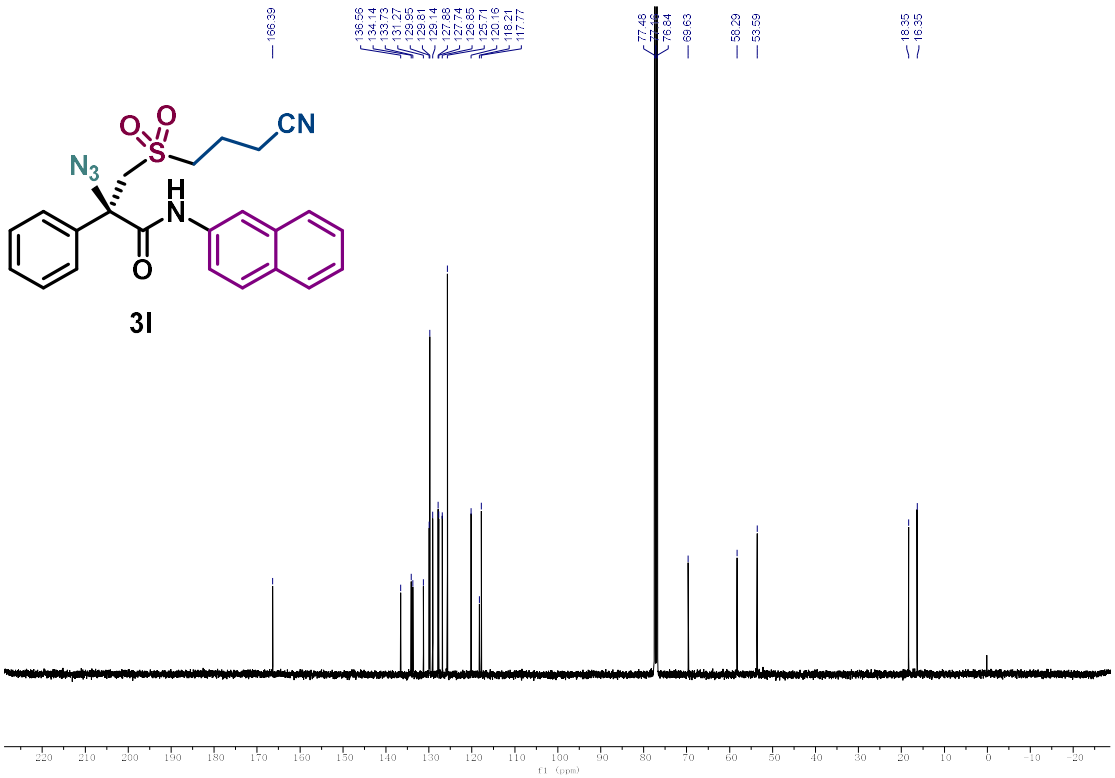




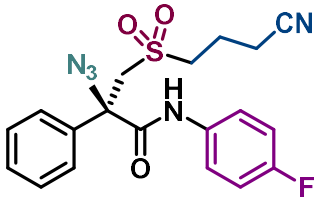
3k



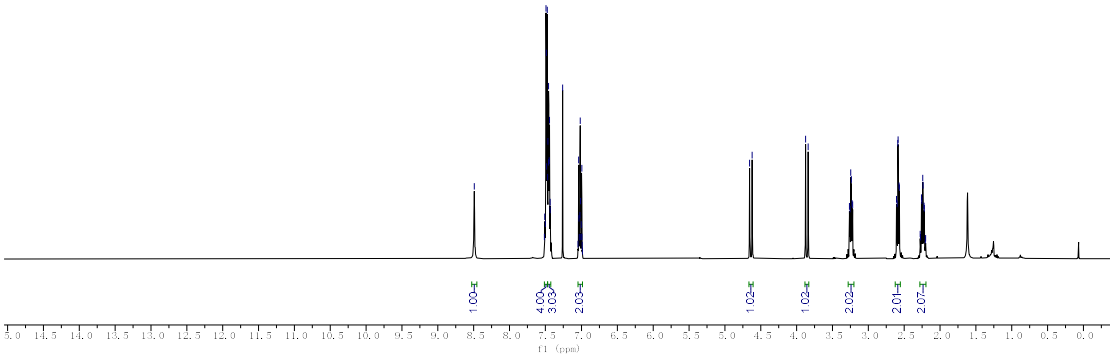
3l

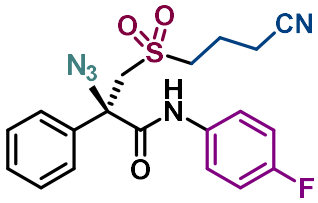


3l

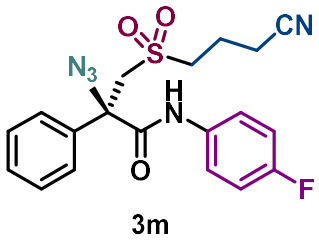


3m



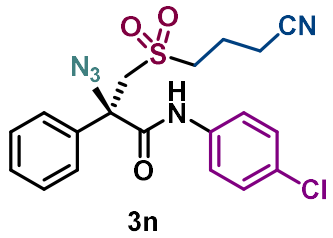


3m

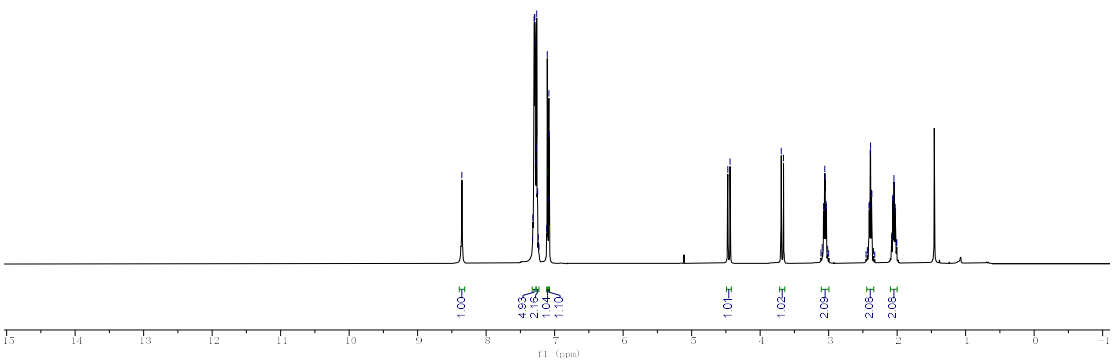

O
O
CN
S
N3
H
N
O
F

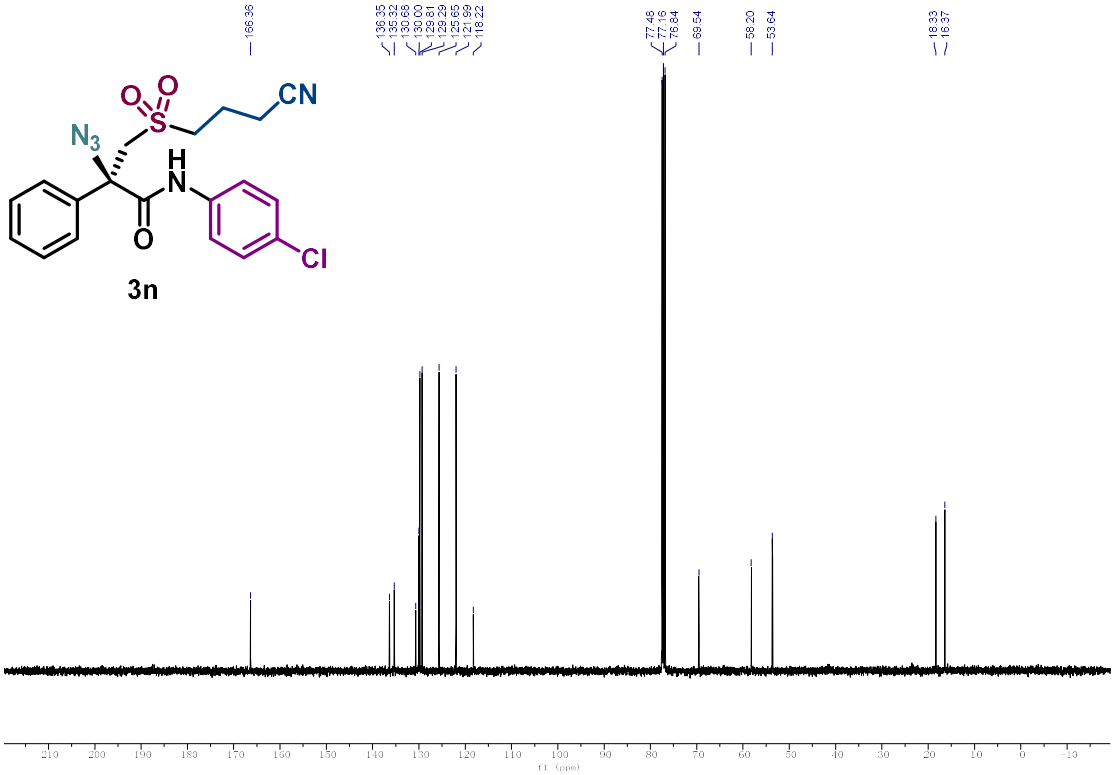
3m

-116.313
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
-170
-180
-190
-200
-210
f1 (ppm)



3n





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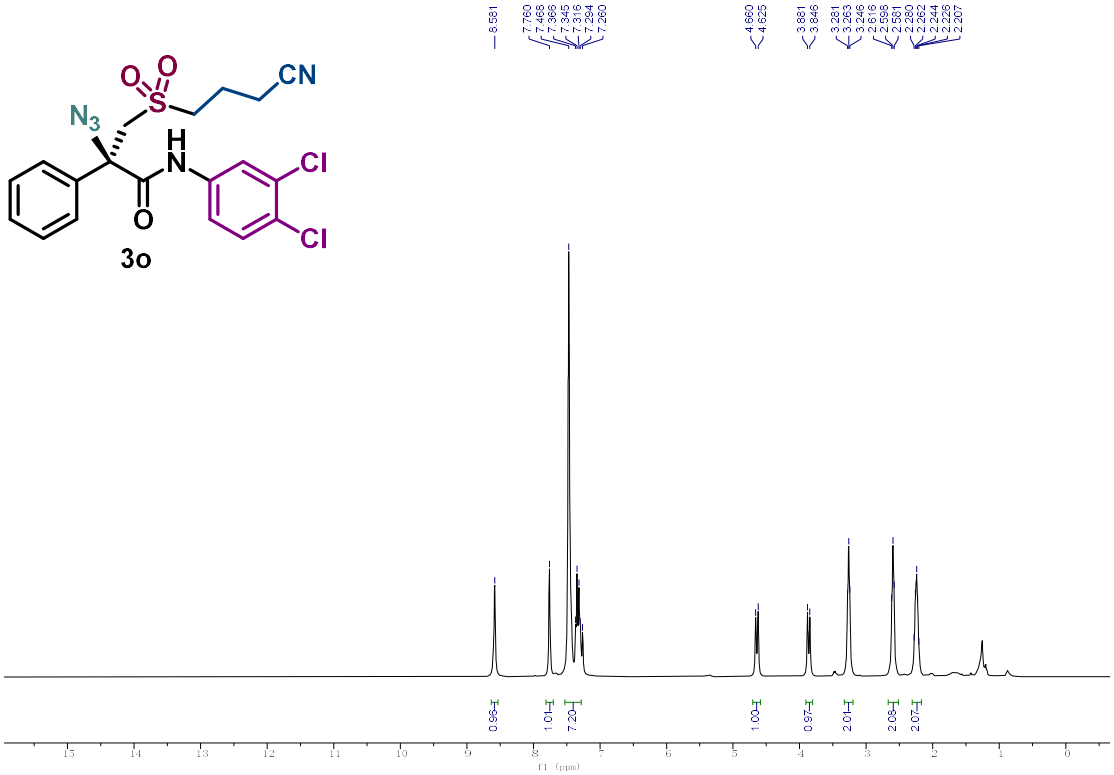
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7.316
7.294
7.260
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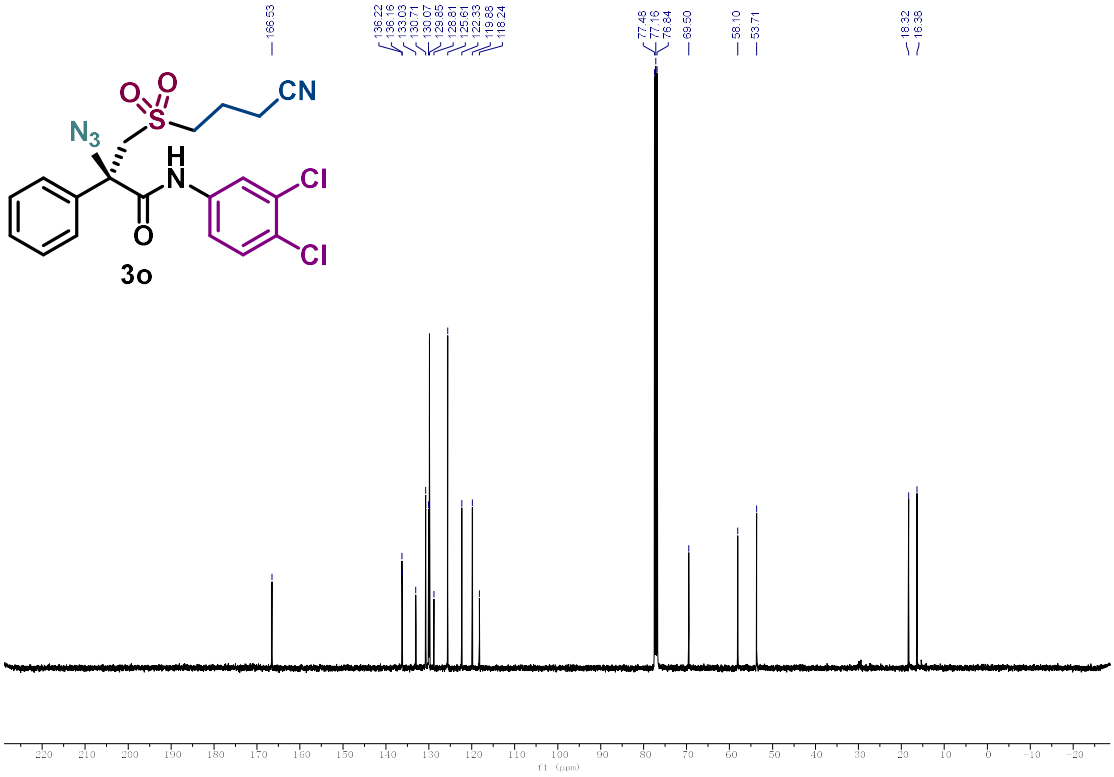
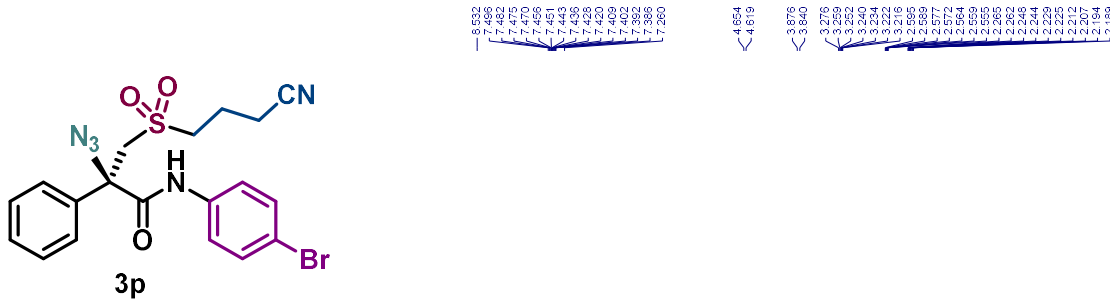
3.846
3.281
3.263
3.246
2.616
2.598
2.581
2.280
2.262
2.244
2.226
2.207
0.99
1.01
7.20
1.00
0.97
2.01
2.08
2.07
f1 (ppm)
O
O
S
CN
N3
H
N
Cl
Cl
O
3o


166.53
136.22
136.16
133.03
130.71
130.07
129.85
128.81
125.64
122.33
119.86
118.24
77.48
77.16
76.84
69.50
58.10
53.71
18.32
16.38
f1 (ppm)
O
O
S
CN
N3
H
N
Cl
Cl
O
3o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O
S
N3
H
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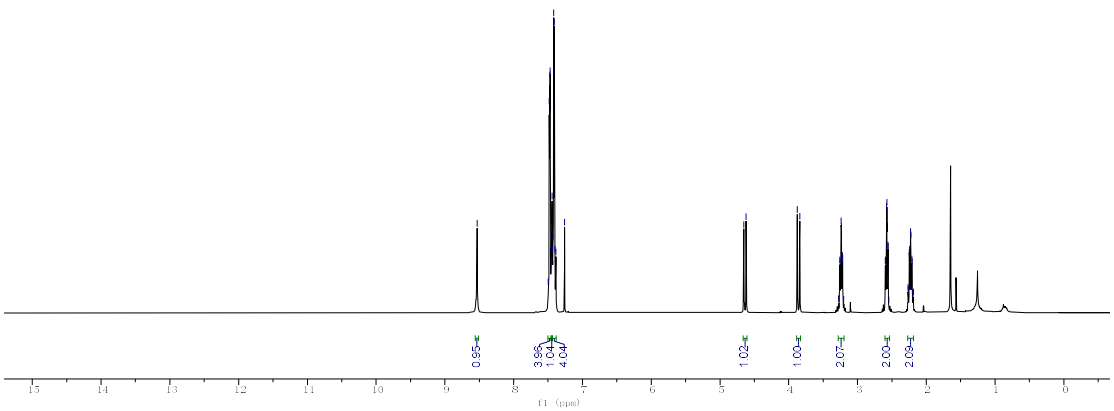
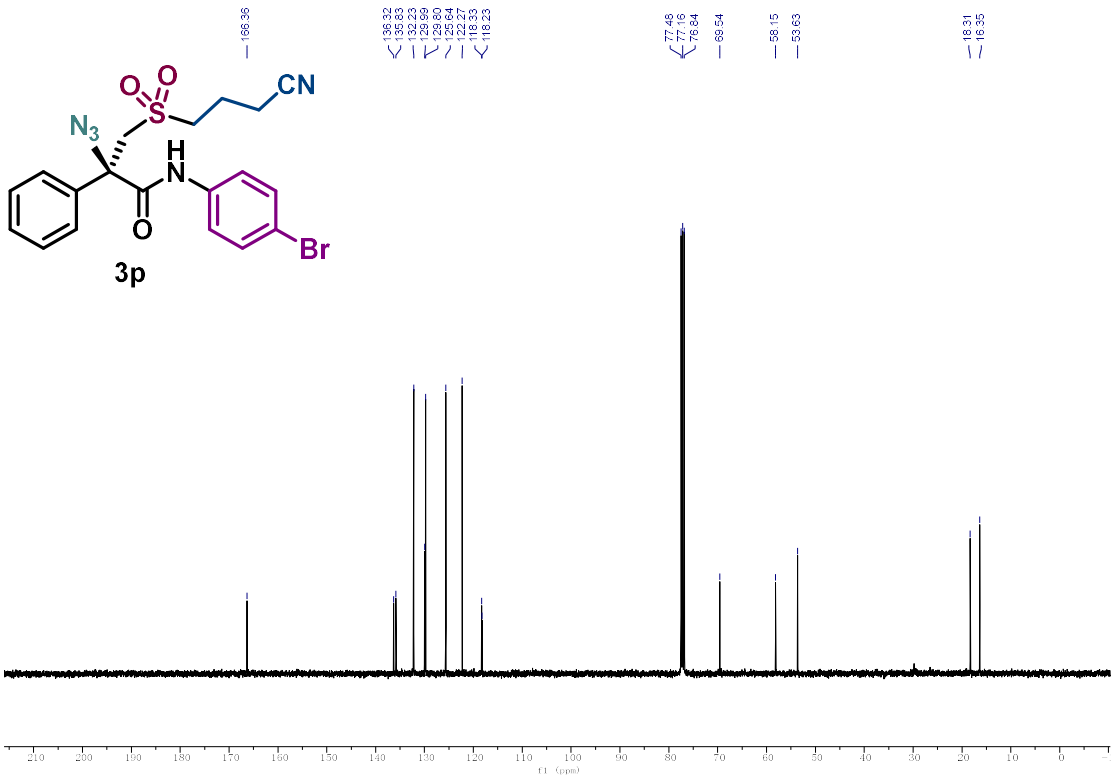
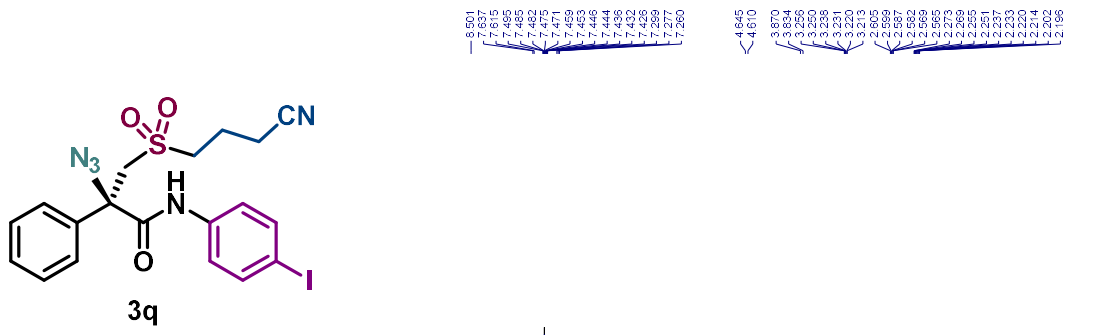
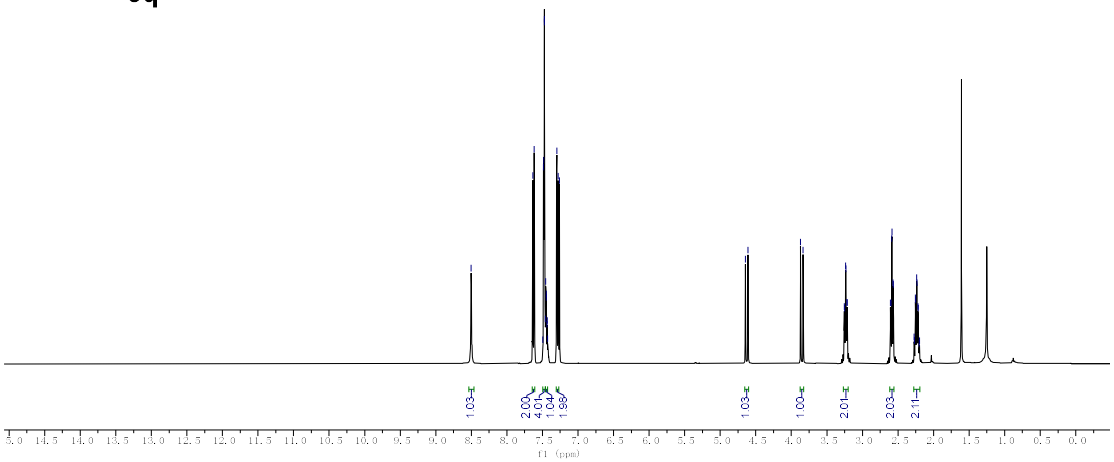
O
Br
3p

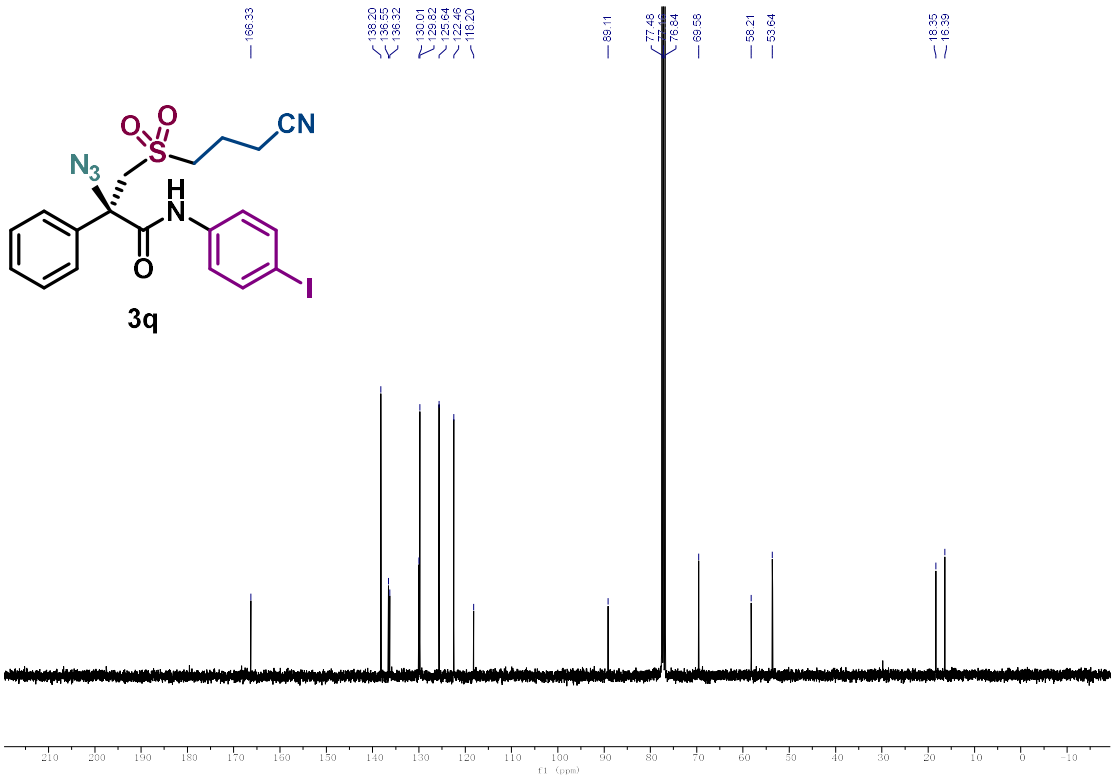



CN
O
O
S
N3
H
N
O
Br
3p

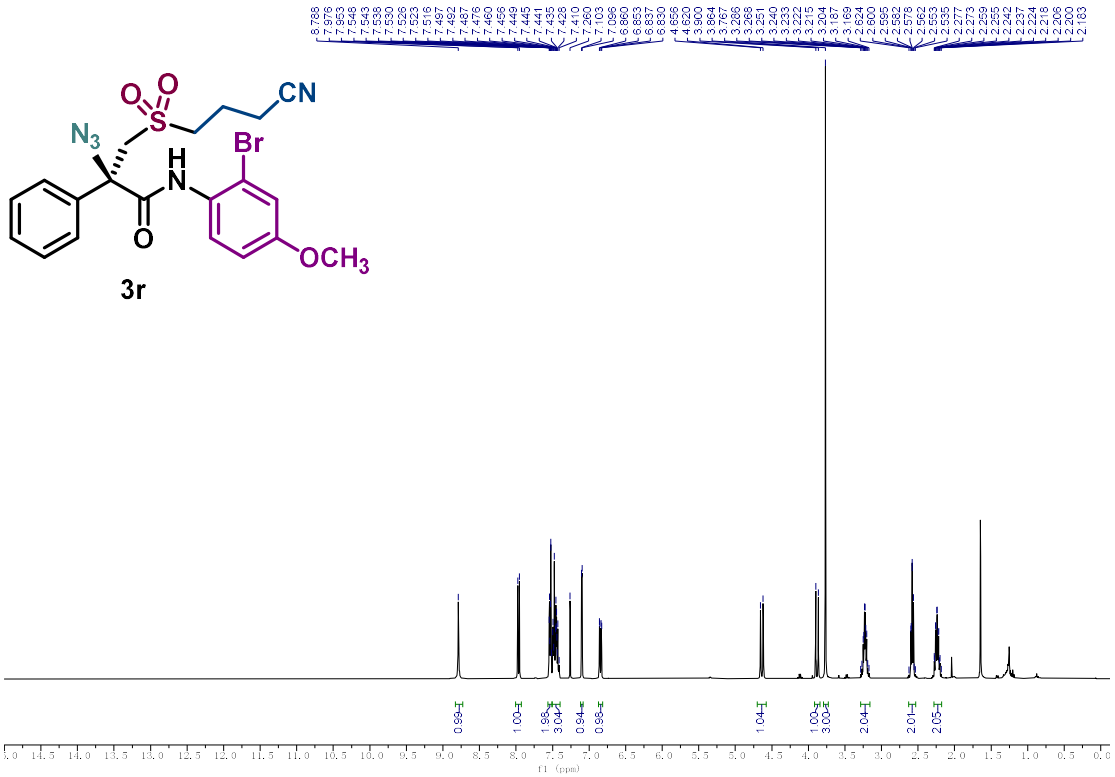


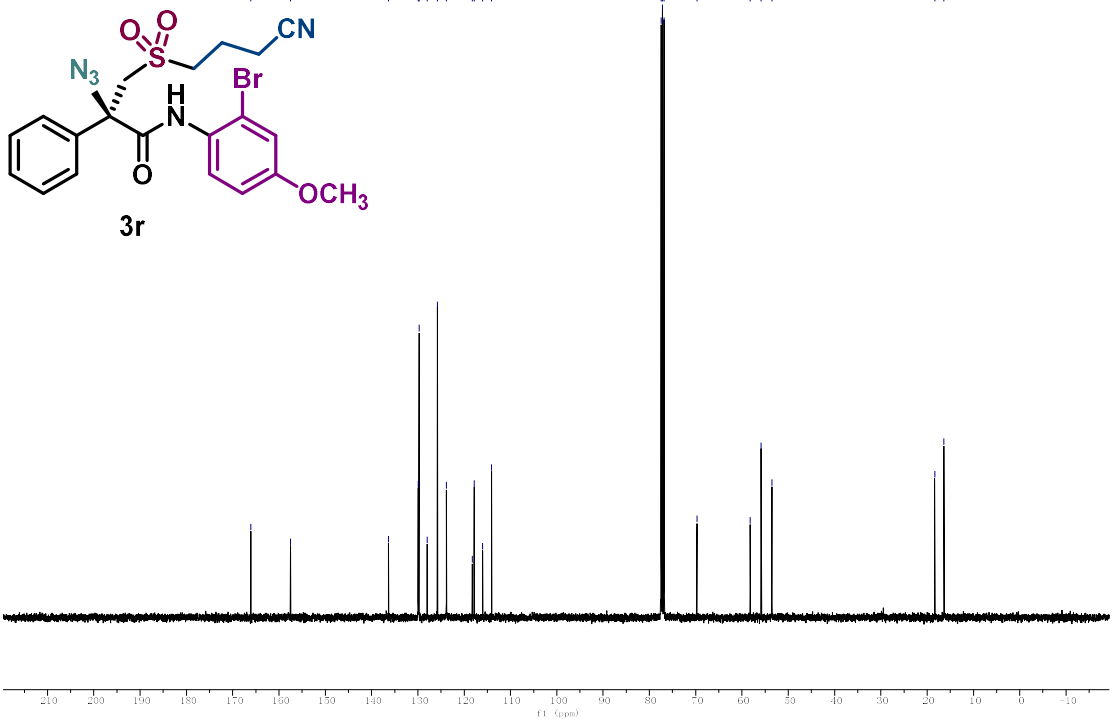
3q





3q


8.788
7.976
7.963
7.548
7.543
7.536
7.530
7.526
7.523
7.516
7.497
7.492
7.487
7.478
7.460
7.456
7.449
7.445
7.441
7.435
7.428
7.410
7.260
7.103
7.096
6.860
6.853
6.837
6.830
4.626
4.620
3.900
3.864
3.767
3.286
3.268
3.251
3.240
3.233
3.222
3.215
3.204
3.187
3.169
2.624
2.600
2.585
2.582
2.576
2.562
2.553
2.535
2.277
2.273
2.259
2.255
2.242
2.237
2.224
2.218
2.206
2.200
2.183
0.99
1.00
1.98
3.04
0.94
0.96
1.04
1.03
3.00
2.04
2.01
2.05
f1 (ppm)


166.08
157.49
136.33
129.93
129.75
127.99
125.80
123.83
118.24
117.55
116.02
114.06
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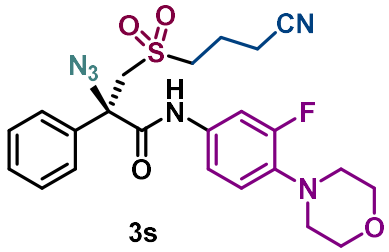
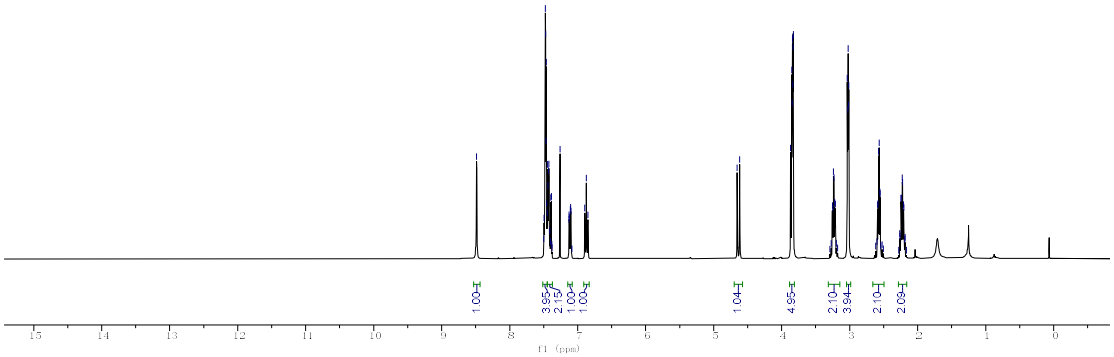
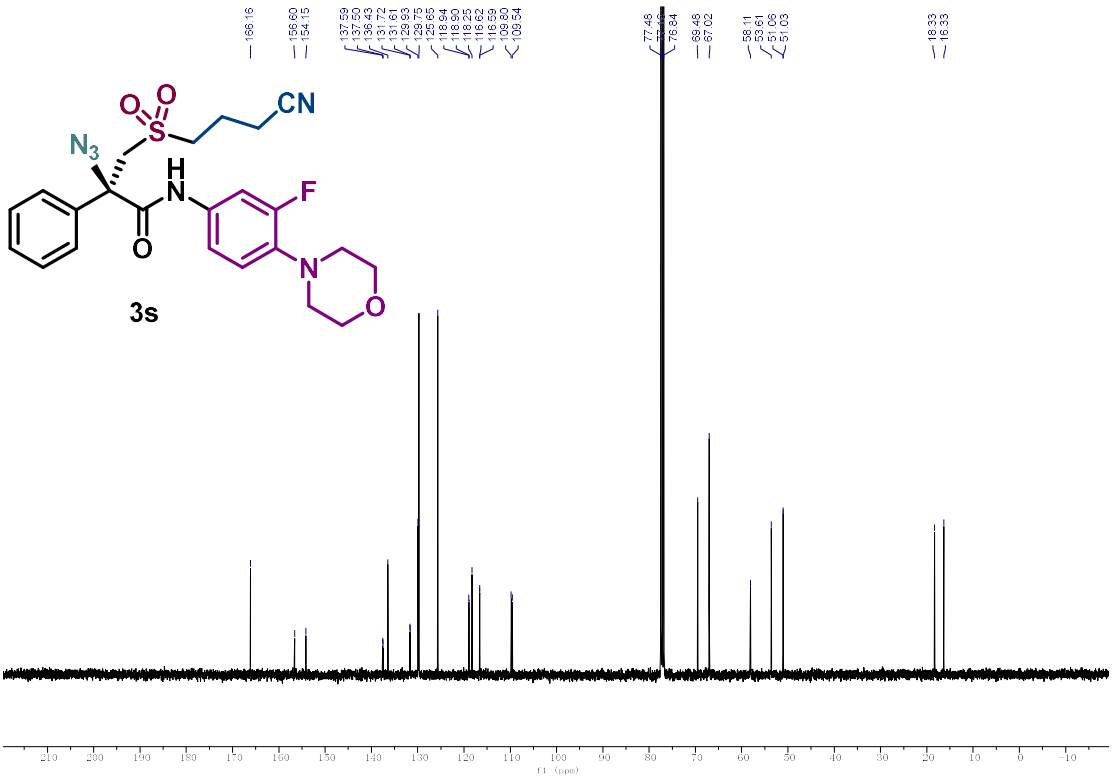
55.87
53.55
18.37
16.38
f1 (ppm)

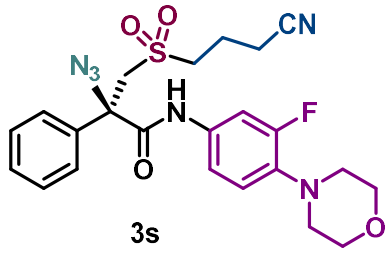


3s



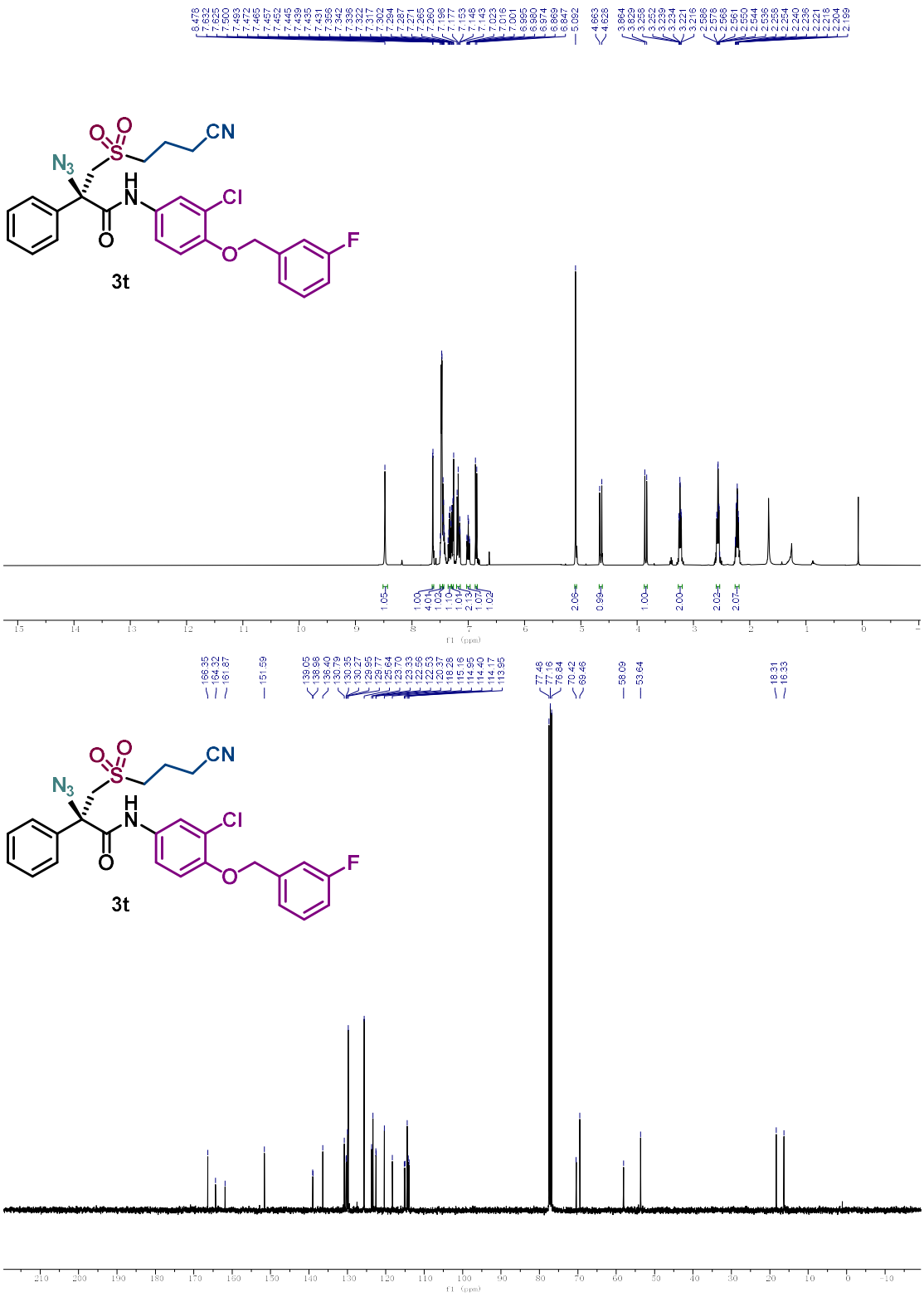


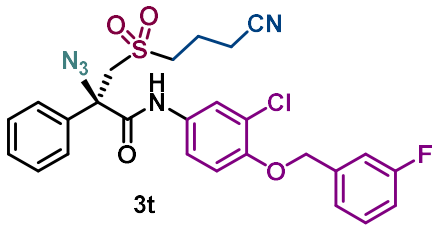
3s


O
O
S
CN
N3
H
N
F
O
N
O

3s

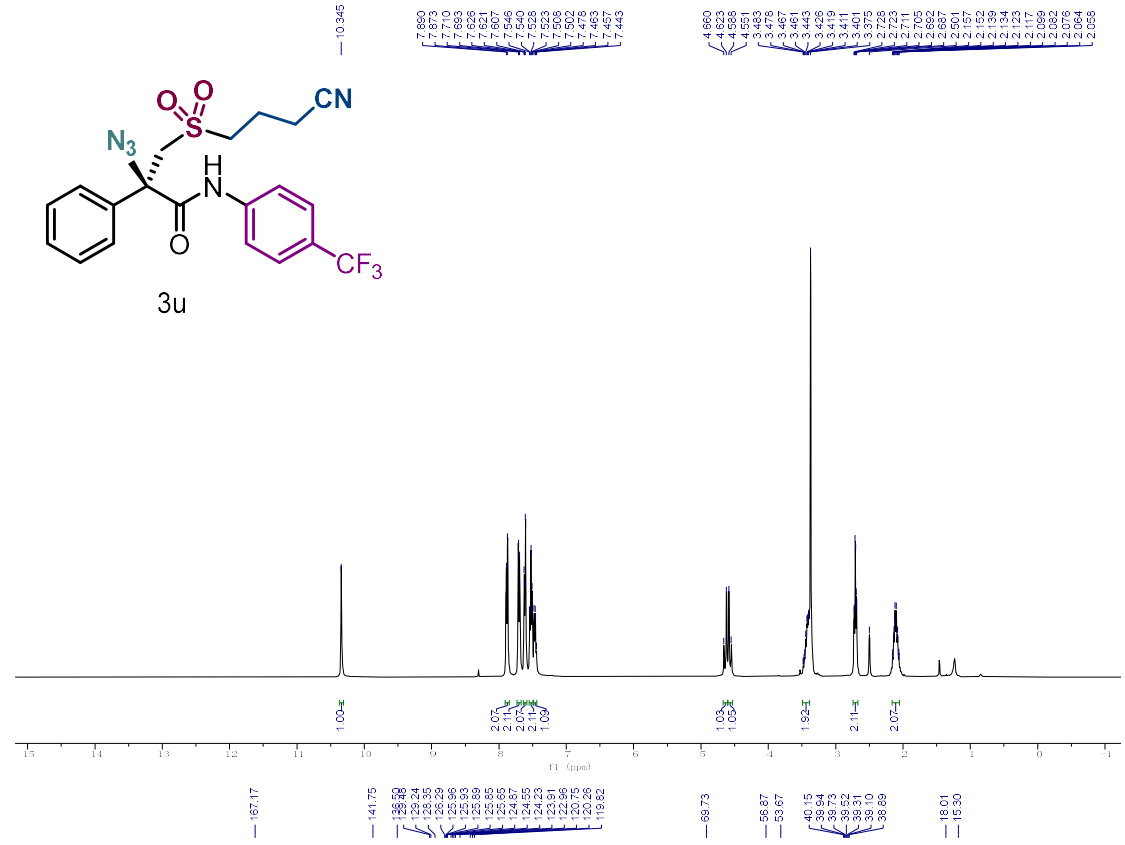
-120.458
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
-170
-180
-190
-200
-210
f1 (ppm)

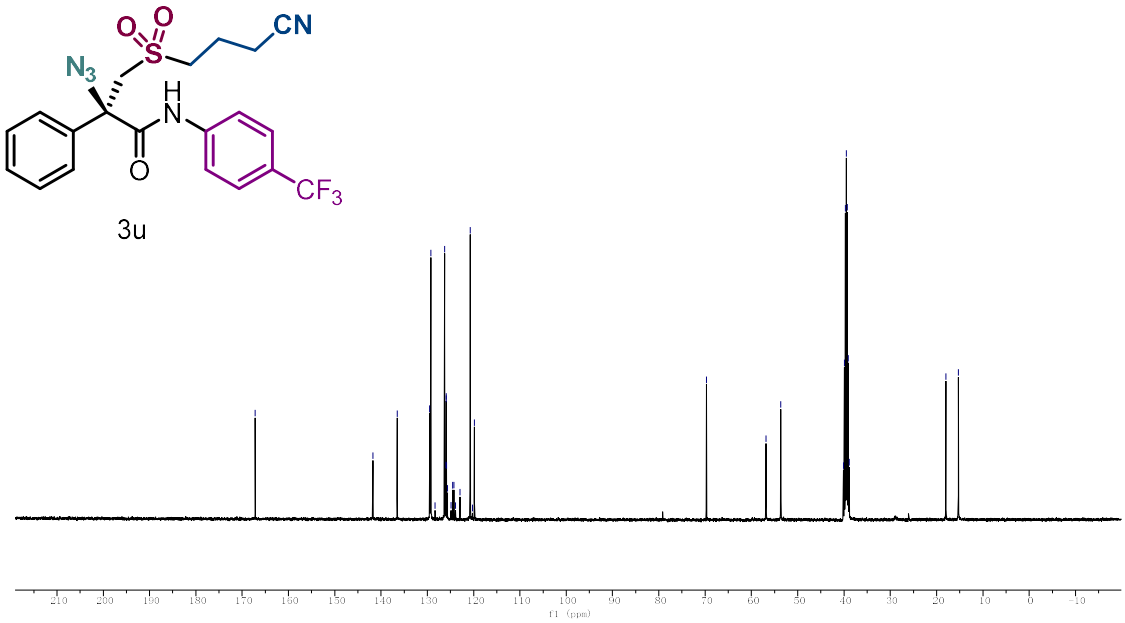

3t
3t

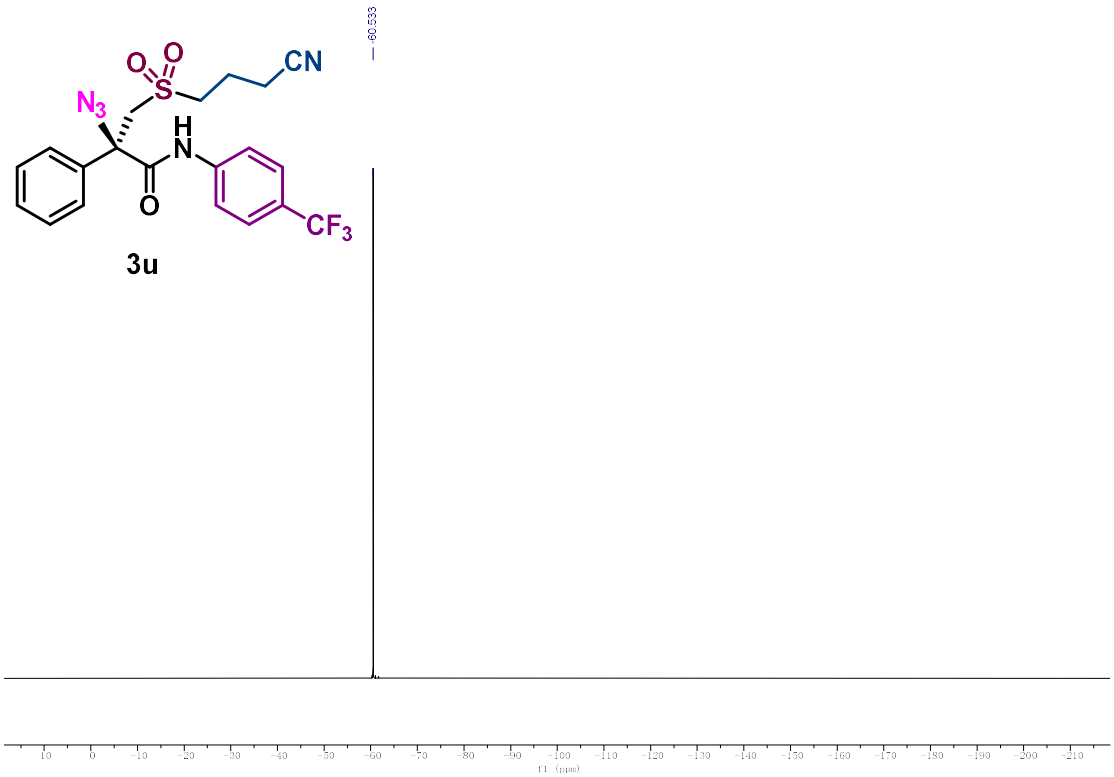

O
O
S
CN
N3
H
N
Cl
O
F
O

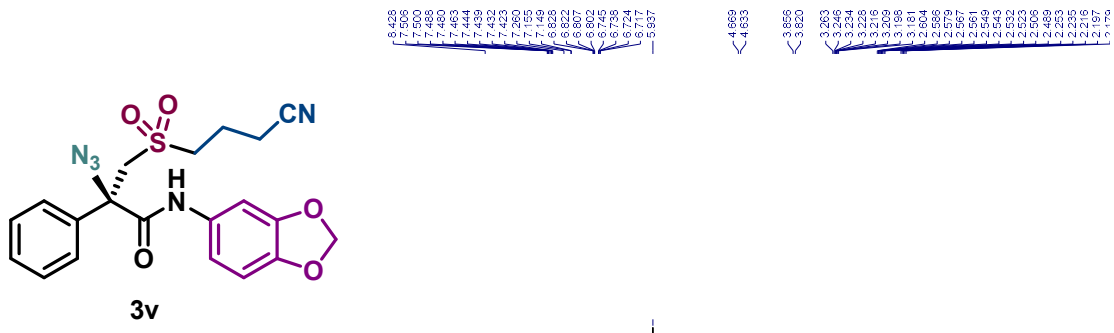
3t

-112.573
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
-170
-180
-190
-200
-210
f1 (ppm)

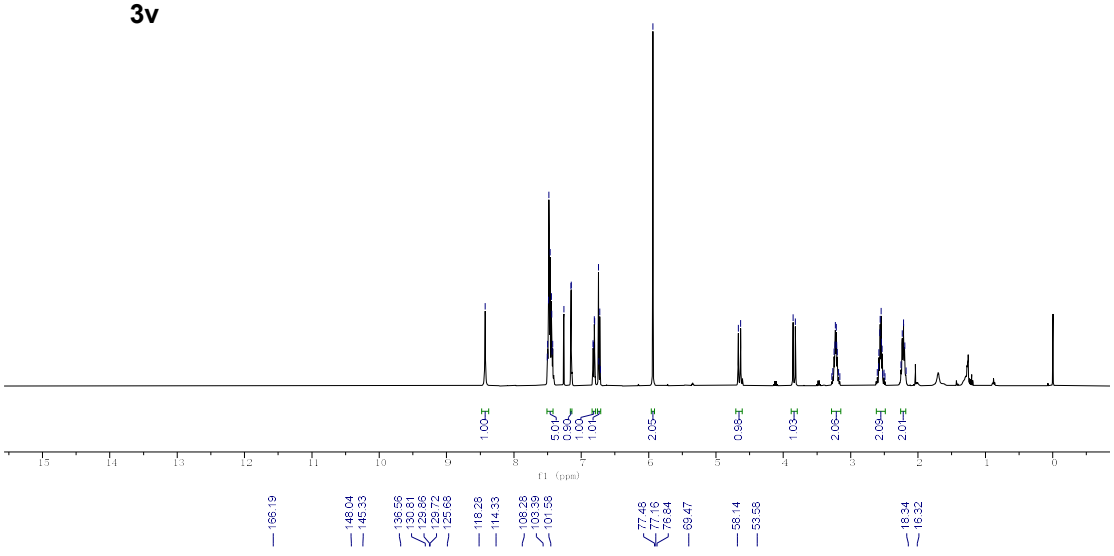

3u

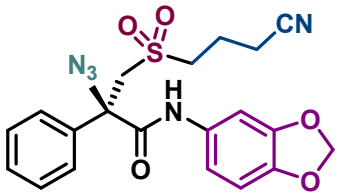

3u


-60.533
O
O
S
CN
N3
H
N
O
CF3
3u
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
-170
-180
-190
-200
-210
f1 (ppm)

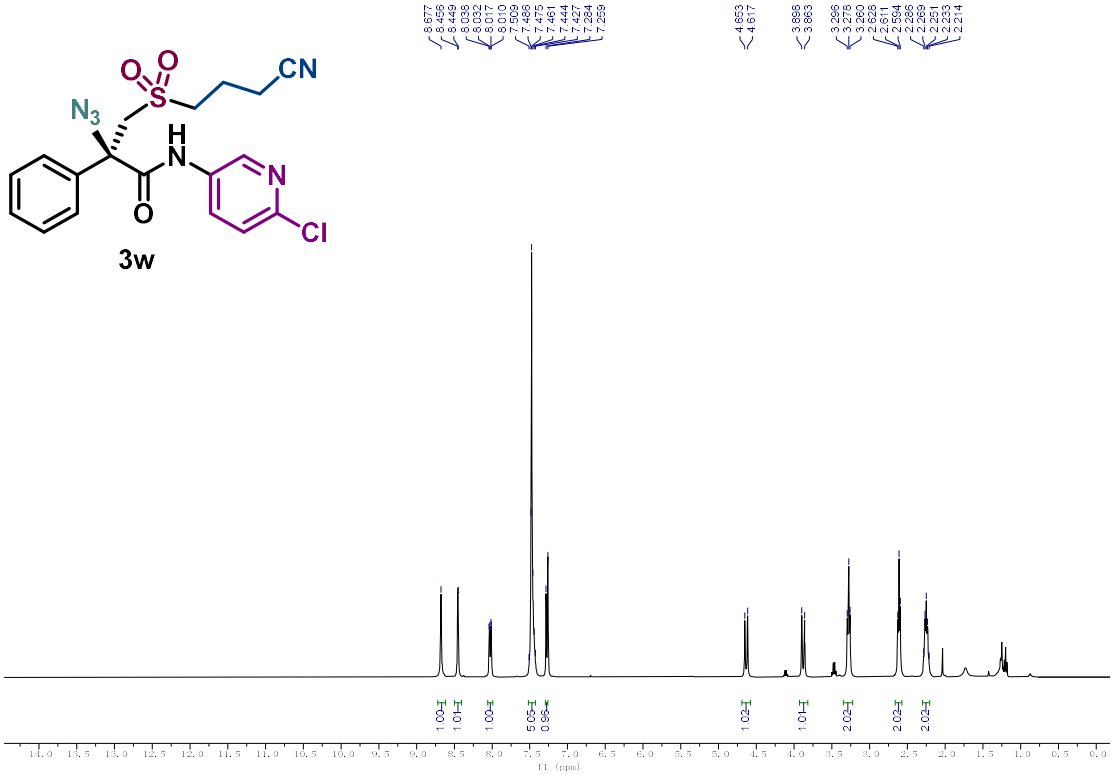


3v





3v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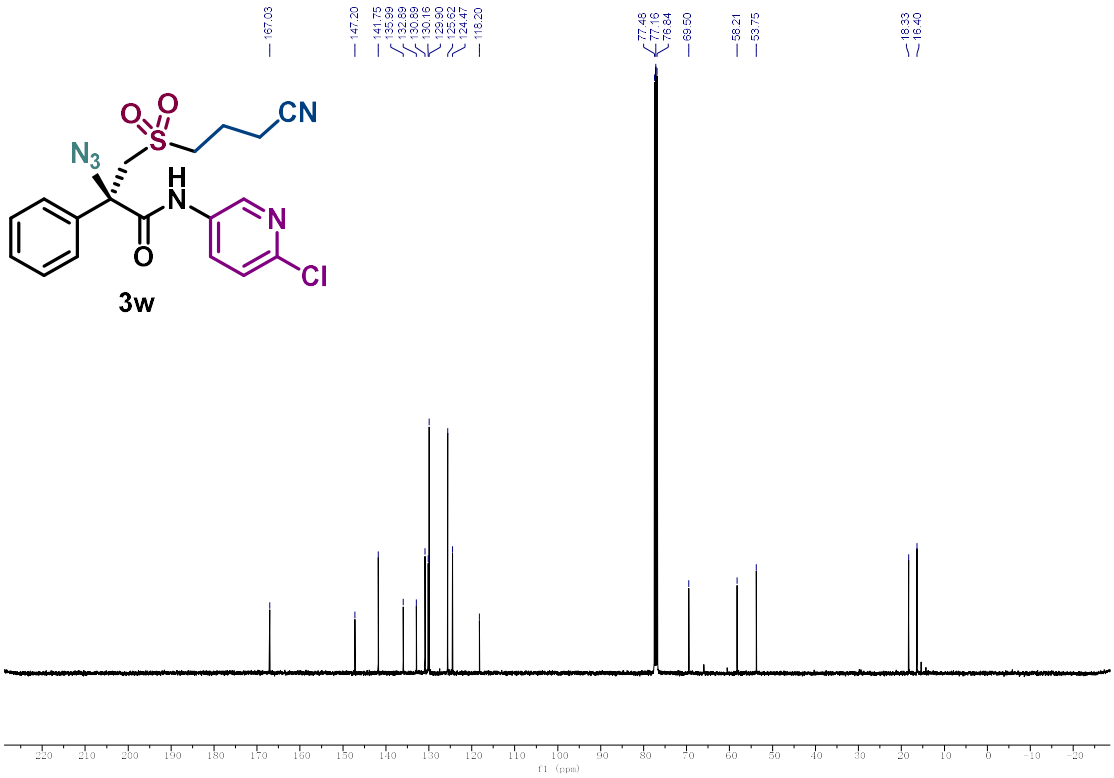
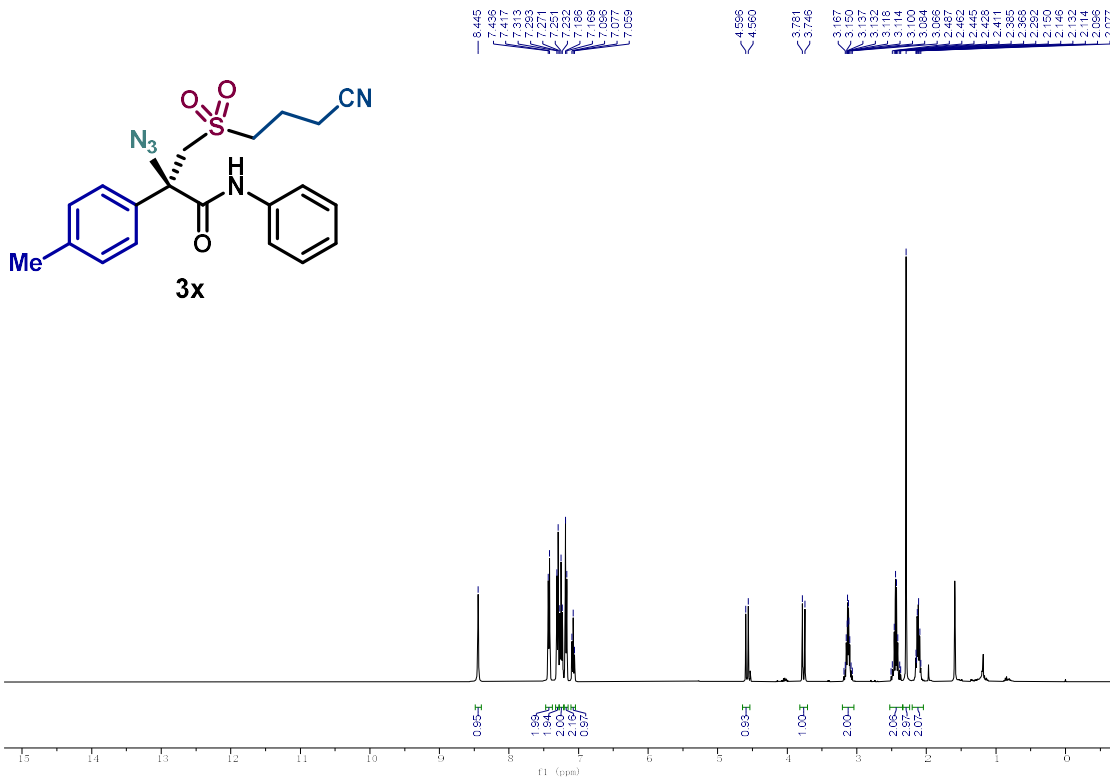
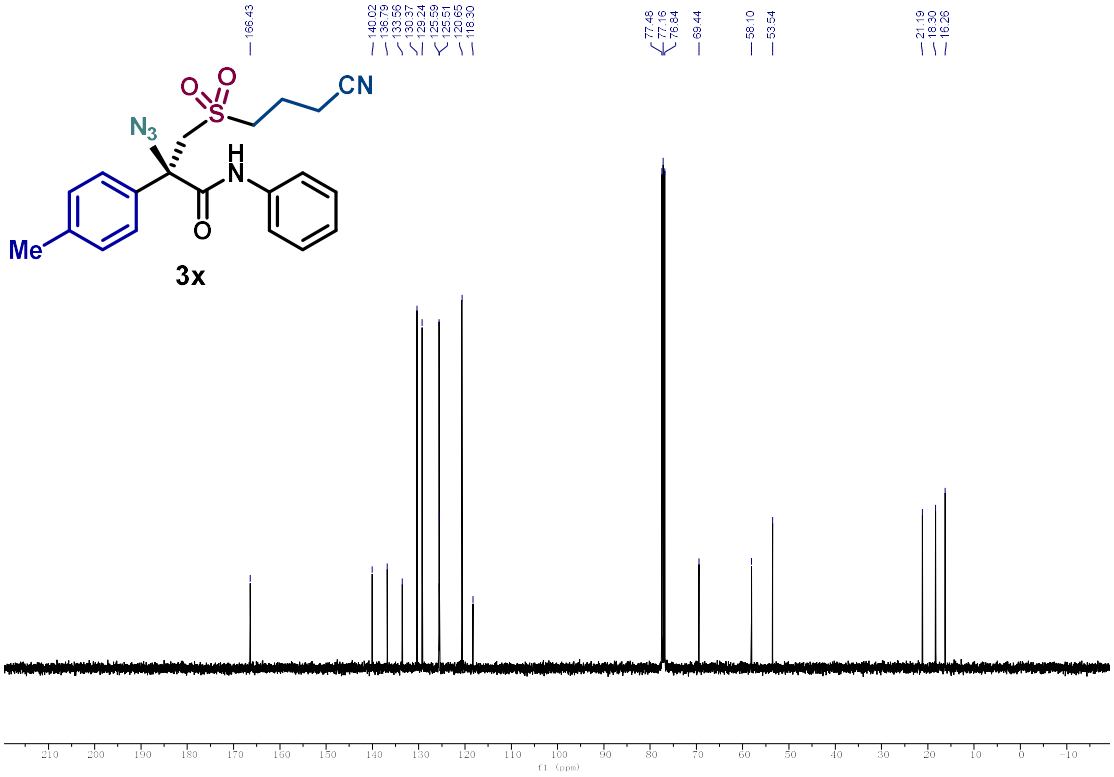
8.038
8.032
8.017
8.010
7.509
7.486
7.475
7.461
7.444
7.427
7.264
7.259
4.653
4.617
3.888
3.863
3.296
3.278
3.260
2.628
2.611
2.504
2.286
2.269
2.251
2.233
2.214
1.00
1.01
1.00
5.05
0.96
1.02
1.01
2.02
2.02
2.02
f1 (ppm)
O
O
S
CN
N3
H
N
O
N
Cl
3w


167.03
147.20
141.75
135.99
132.89
130.89
130.16
129.90
125.62
124.47
118.20
77.48
77.16
76.84
69.50
58.21
53.75
18.33
16.40
f1 (ppm)
O
O
S
CN
N3
H
N
O
N
Cl
3w


8.445
7.435
7.417
7.313
7.293
7.271
7.251
7.232
7.188
7.169
7.096
7.077
7.059
4.596
4.560
3.781
3.746
3.167
3.150
3.137
3.132
3.116
3.114
3.100
3.084
3.066
2.487
2.462
2.445
2.428
2.411
2.365
2.292
2.150
2.146
2.132
2.114
2.096
1.977
0.95
1.99
1.94
2.00
2.18
0.97
0.93
1.00
2.00
2.06
2.97
2.07
f1 (ppm)
CN
N3
H
N
O
Me
3x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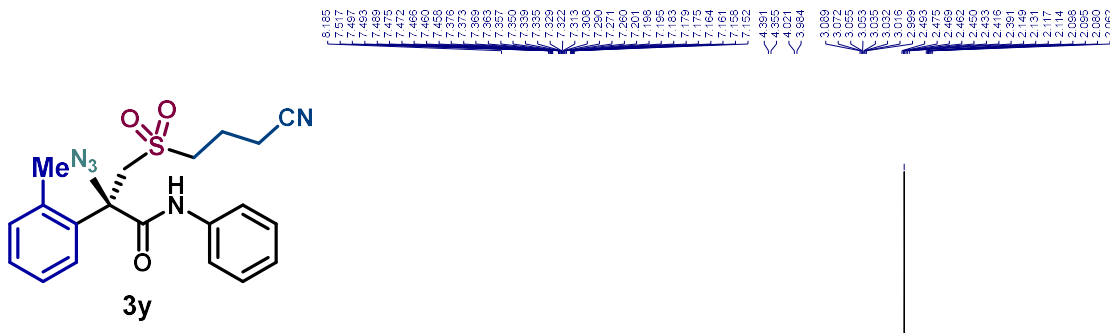
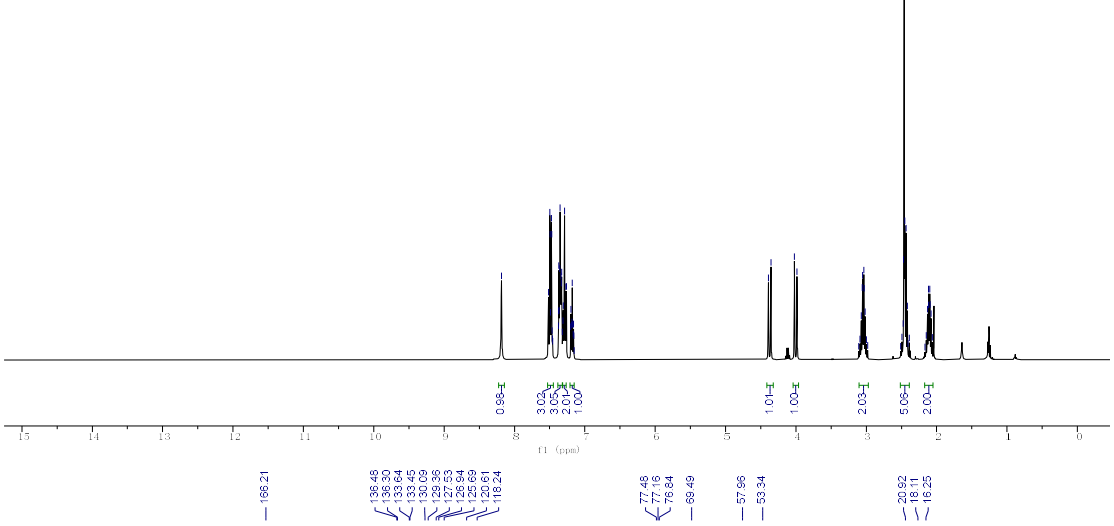
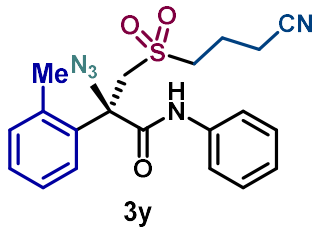
140.02
136.79
133.56
130.37
129.34
126.59
125.51
120.65
118.30
77.48
77.16
76.84
69.44
58.10
53.54
21.19
18.30
16.26
f1 (ppm)
CN
N3
H
N
O
Me
3x

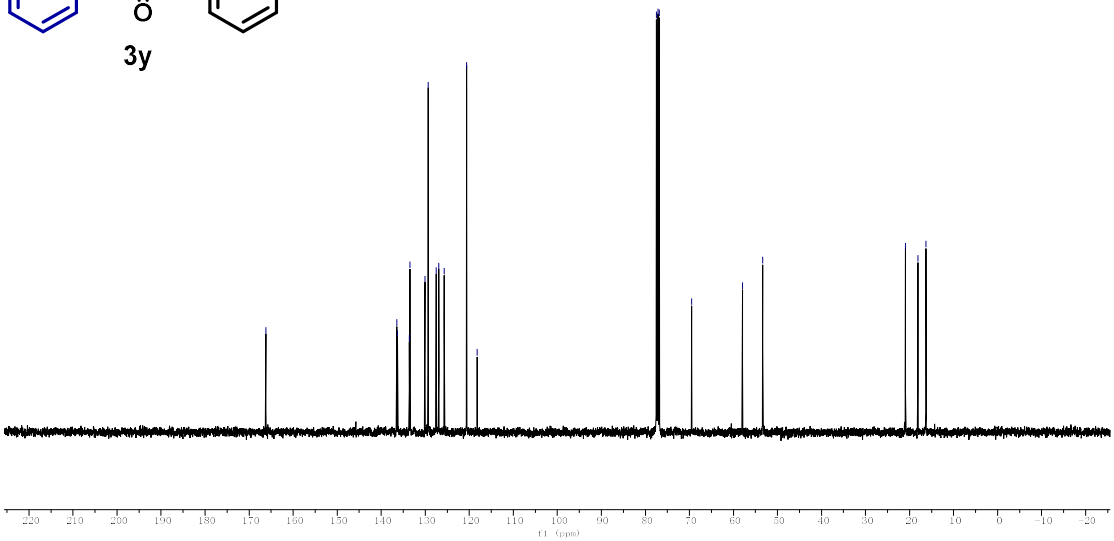


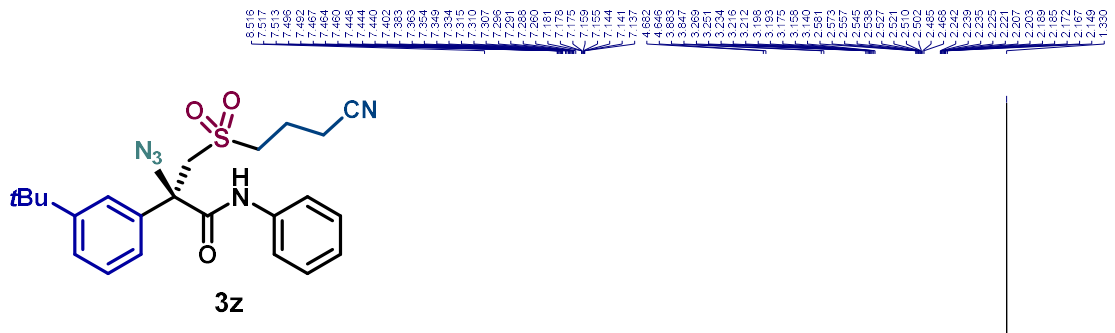
3y



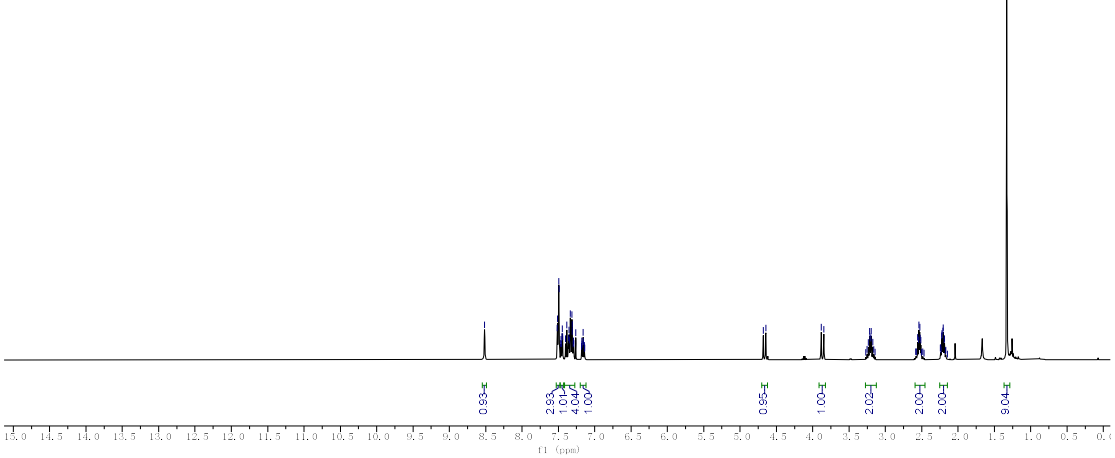


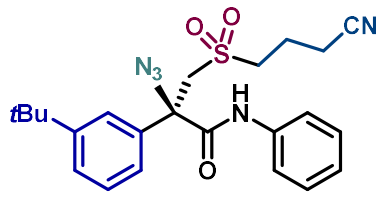
3y



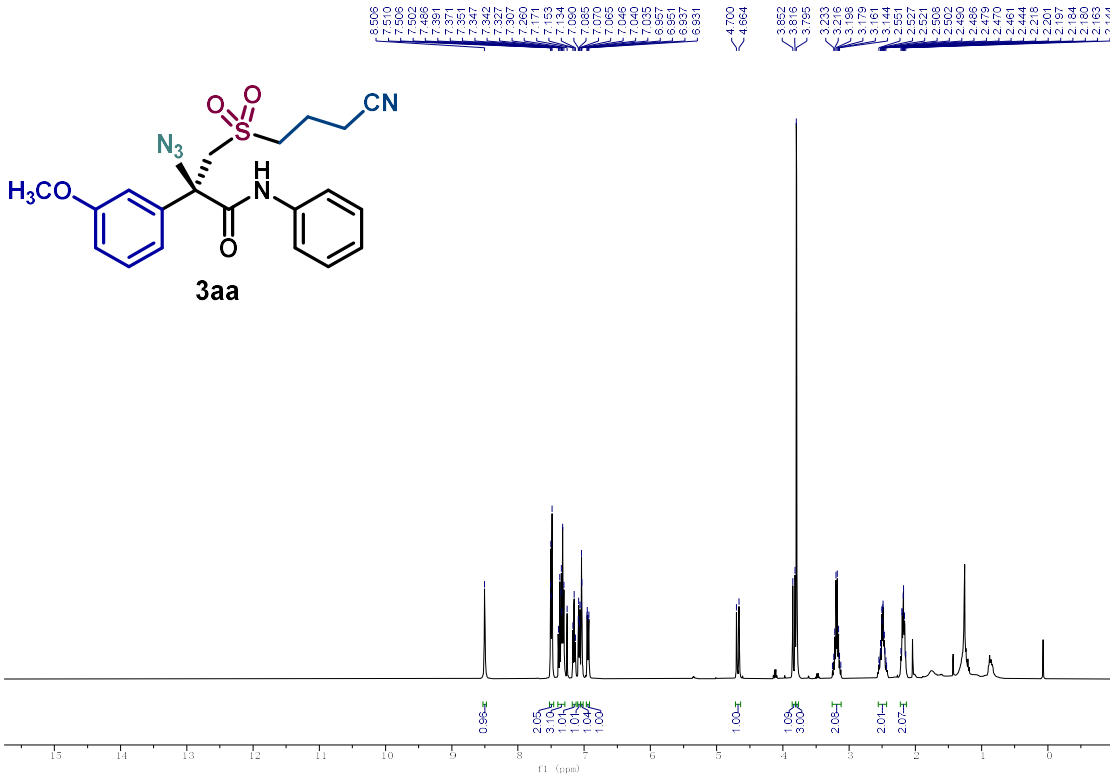


3z





3z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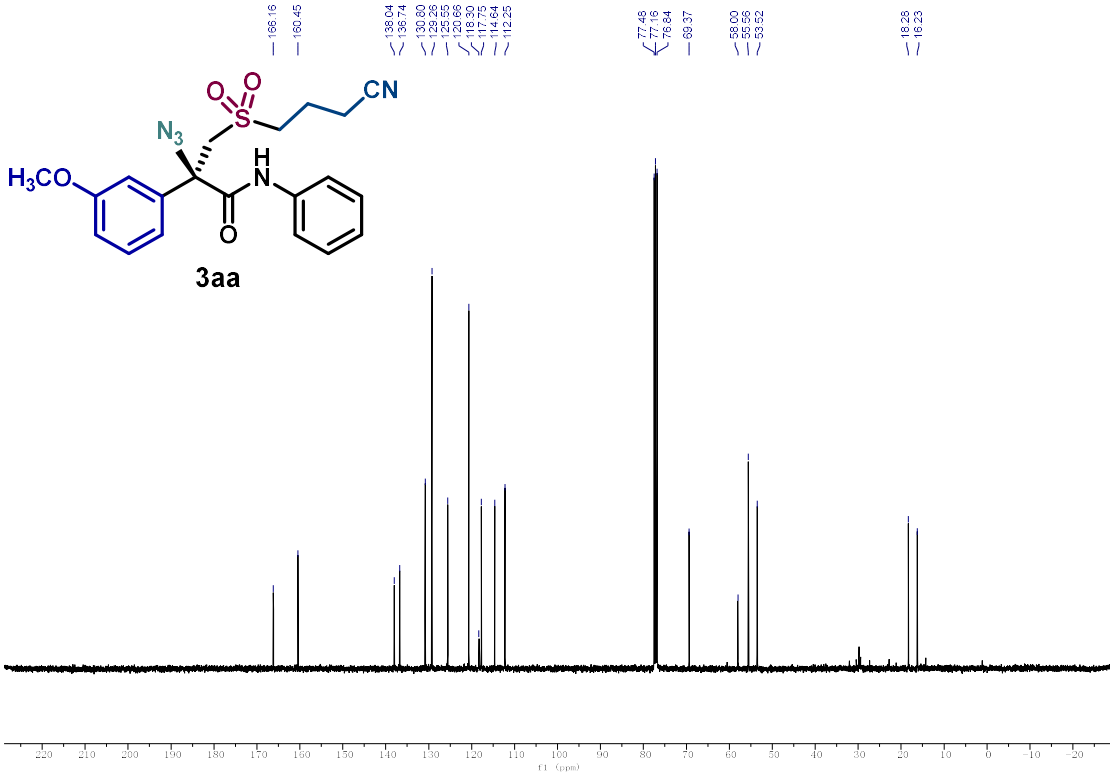
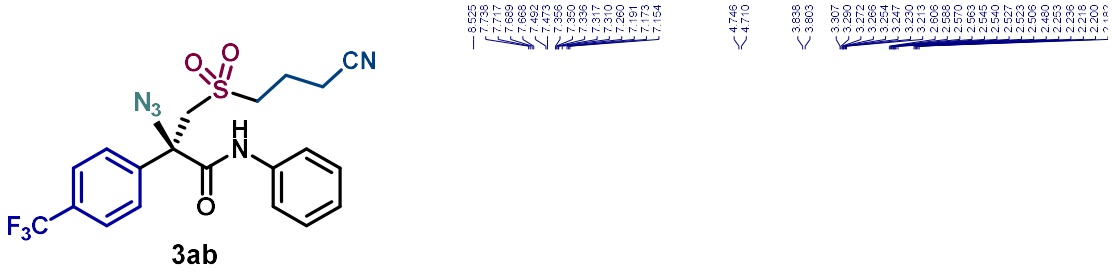

3aa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7.717
7.689
7.682
7.473
7.366
7.350
7.336
7.317
7.310
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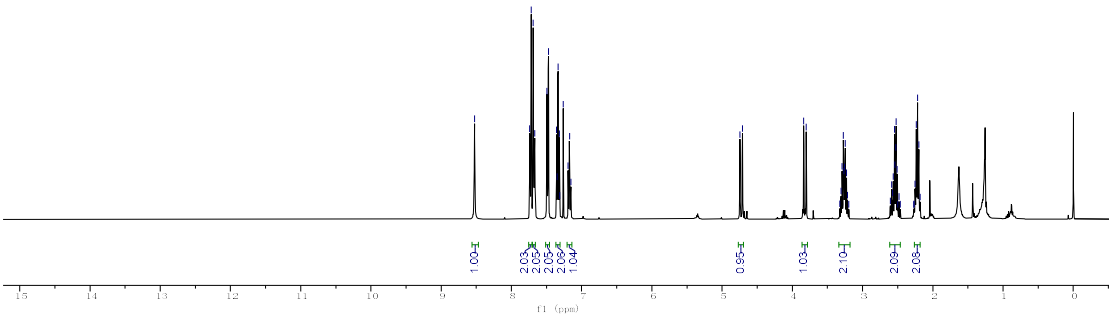
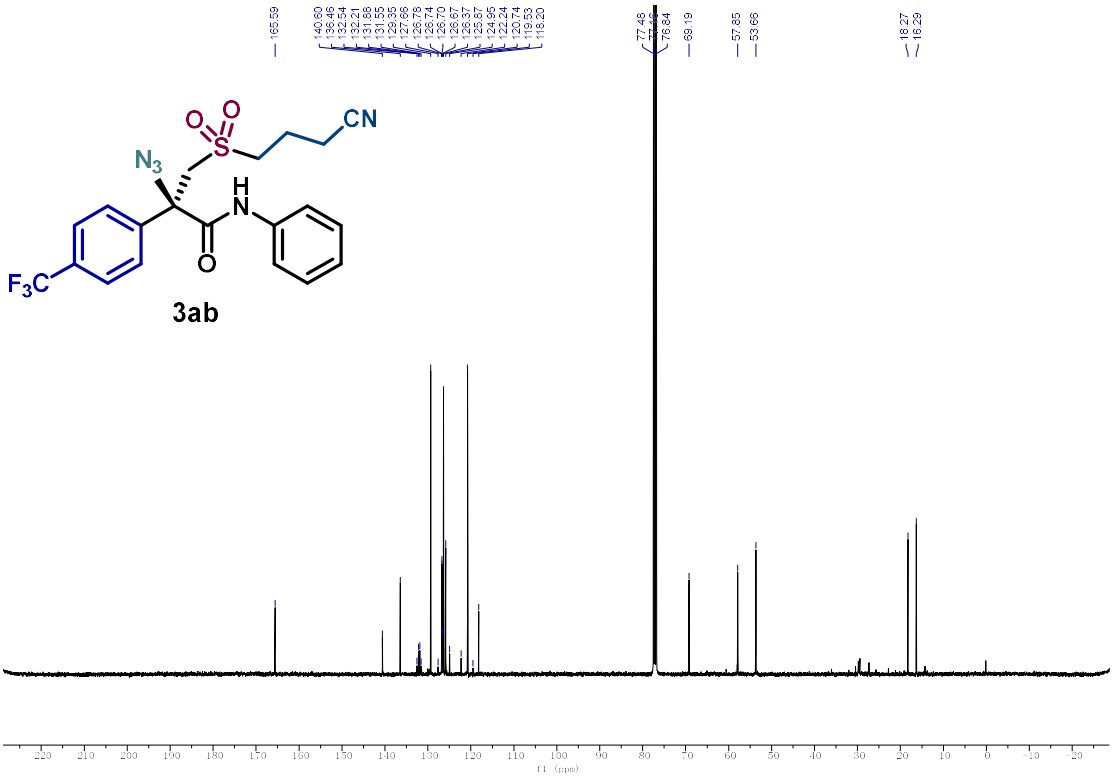
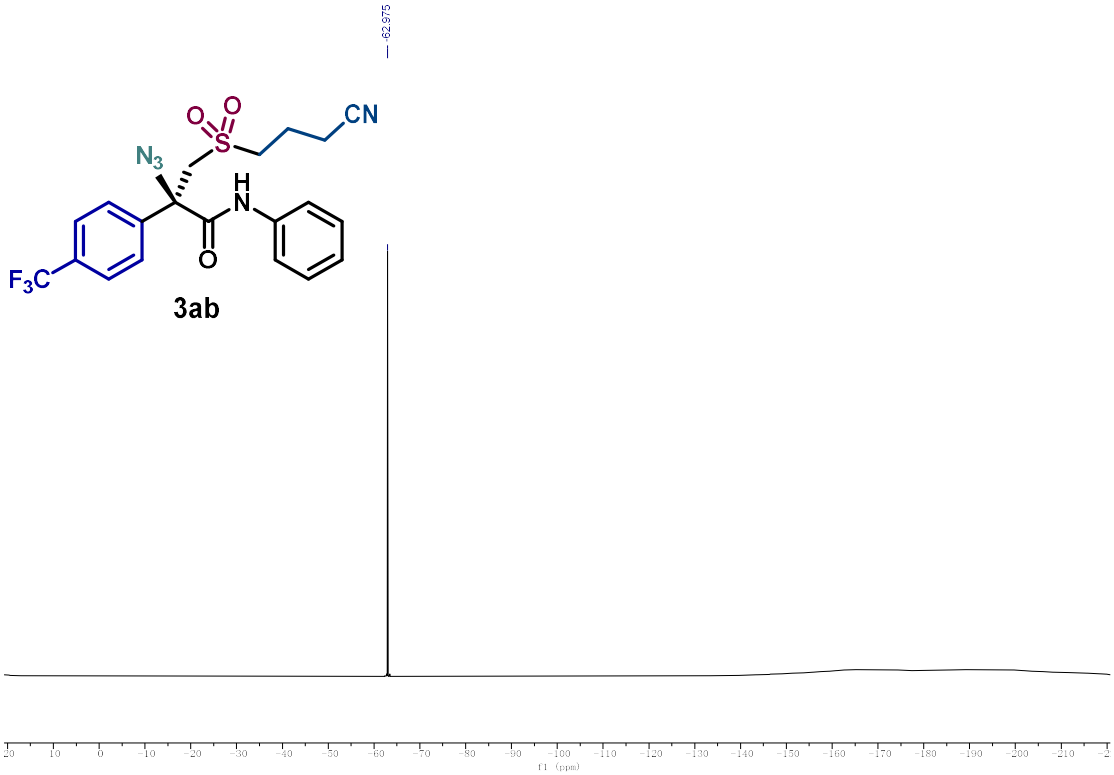
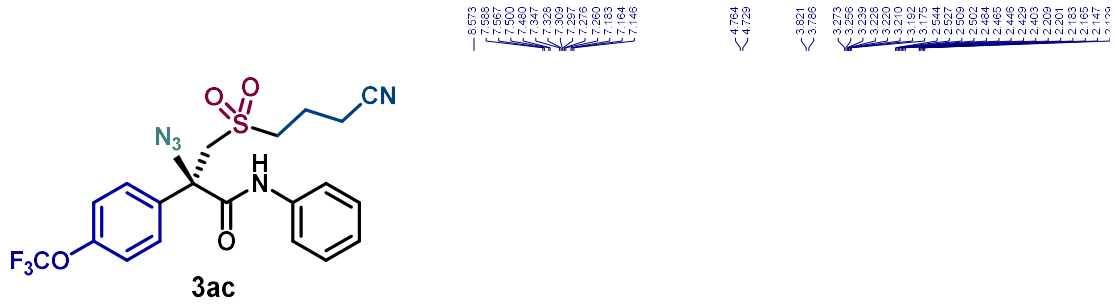
7.191
7.173
7.154
4.746
4.710
3.838
3.803
3.307
3.290
3.272
3.266
3.254
3.247
3.230
3.213
2.606
2.588
2.570
2.563
2.545
2.540
2.527
2.523
2.506
2.480
2.253
2.236
2.218
2.200
1.00
2.03
2.05
2.05
2.04
0.95
1.03
2.10
2.09
2.06
f1 (ppm)




165.59
140.60
136.46
132.54
132.21
131.86
131.55
131.35
127.66
126.74
126.70
126.67
126.37
125.87
125.65
122.54
120.74
119.53
118.20
77.48
77.16
76.84
69.19
57.85
53.66
18.27
16.29
f1 (ppm)

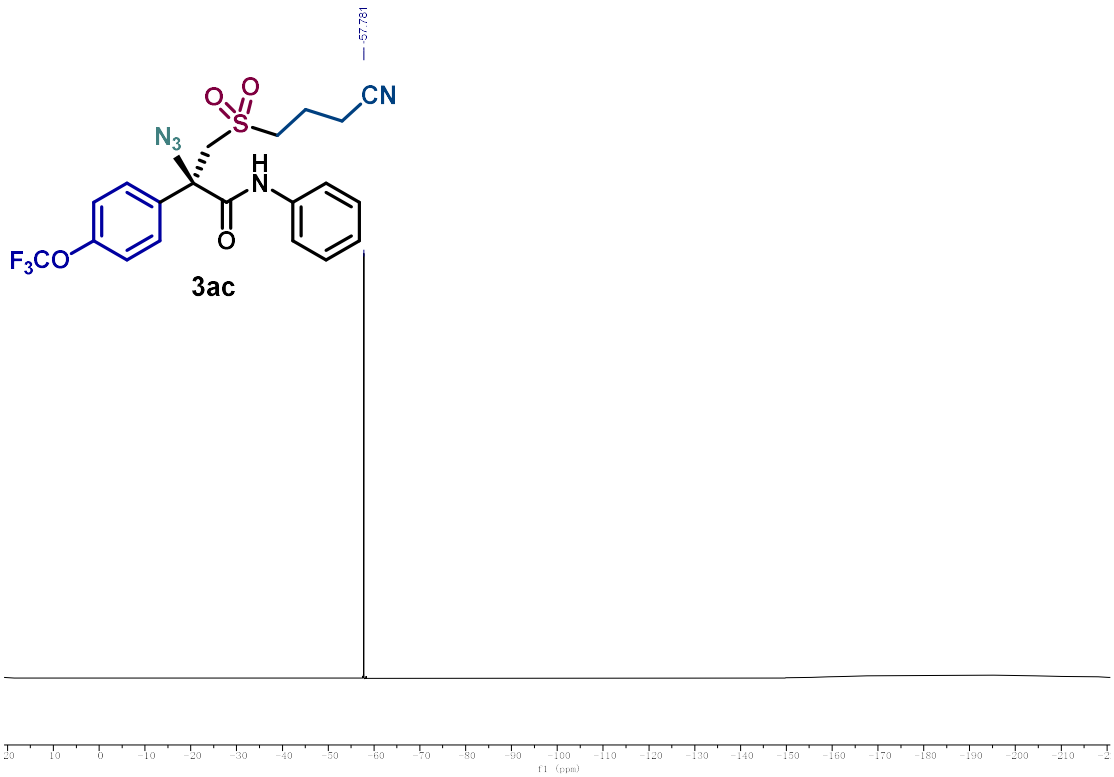
3ab

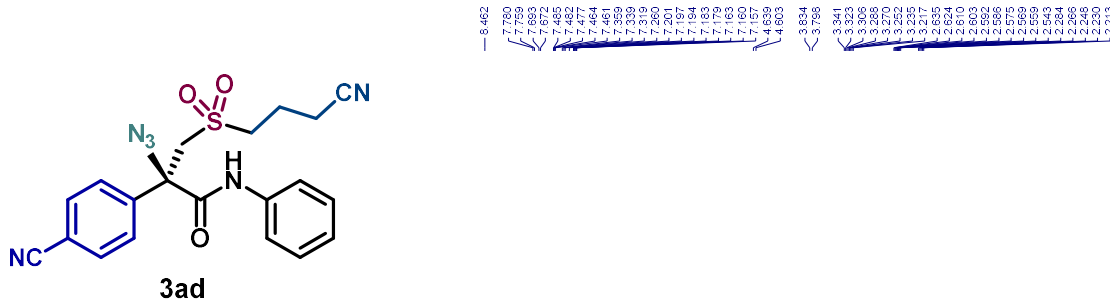

-62.975
CN
O
O
S
N3
H
N
O
F3C
3ab
20 10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)



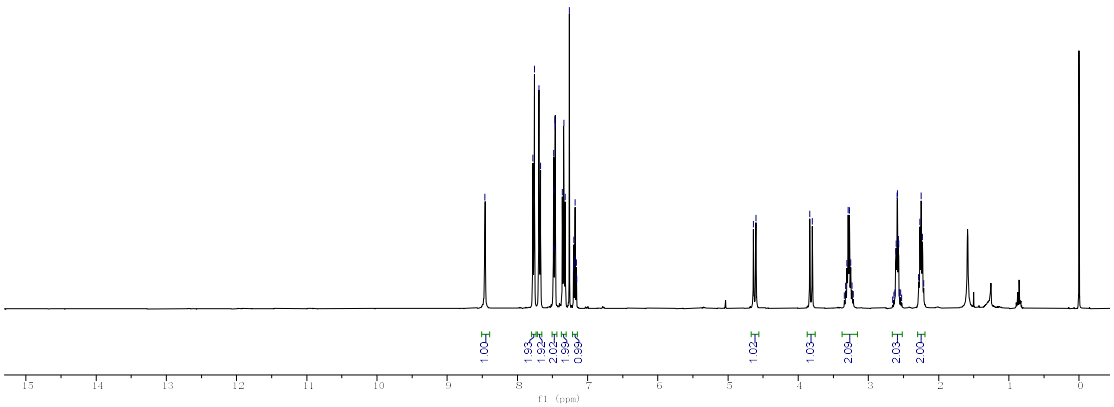
3ac

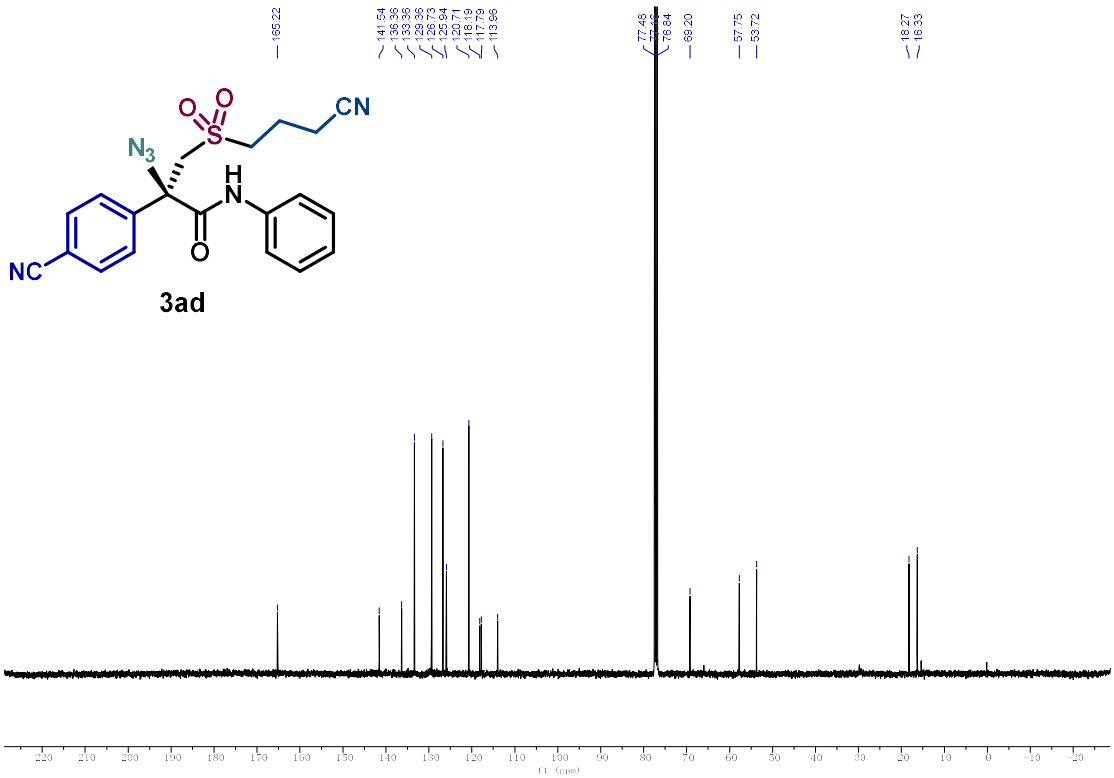
3ac


-57.781
CN
O
O
S
N3
H
N
O
F3CO
3ac
20
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
-100
-110
-120
-130
-140
-150
-160
-170
-180
-190
-200
-210
f1 (ppm)

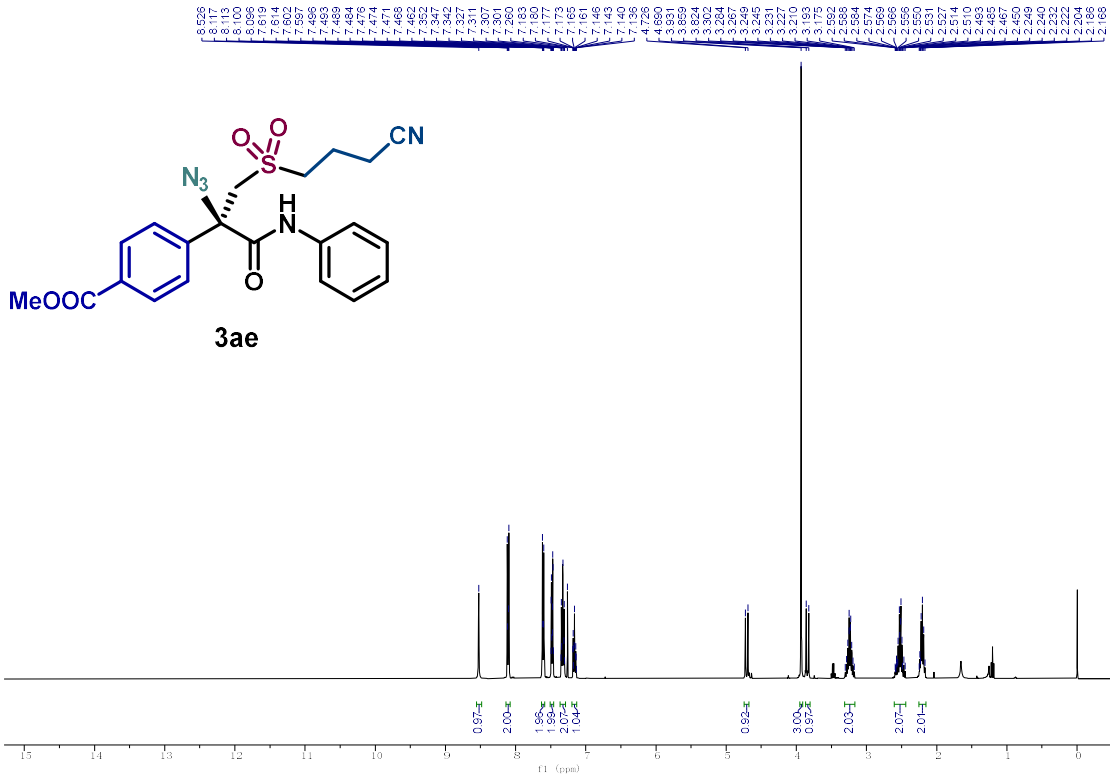


3ad





3ad


8.526
8.117
8.113
8.100
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7.619
7.614
7.602
7.597
7.496
7.493
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7.484
7.476
7.474
7.471
7.468
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7.342
7.327
7.311
7.307
7.301
7.260
7.183
7.170
7.177
7.173
7.165
7.161
7.146
7.143
7.140
7.138
7.136
4.650
3.931
3.859
3.824
3.302
3.284
3.267
3.249
3.245
3.231
3.227
3.210
3.193
3.175
2.592
2.588
2.584
2.574
2.569
2.566
2.556
2.550
2.531
2.527
2.514
2.510
2.493
2.485
2.467
2.450
2.249
2.240
2.232
2.222
2.204
2.186
2.168
CN
O
O
S
N3
H
N
O
MeOOC
3ae
0.97
2.00
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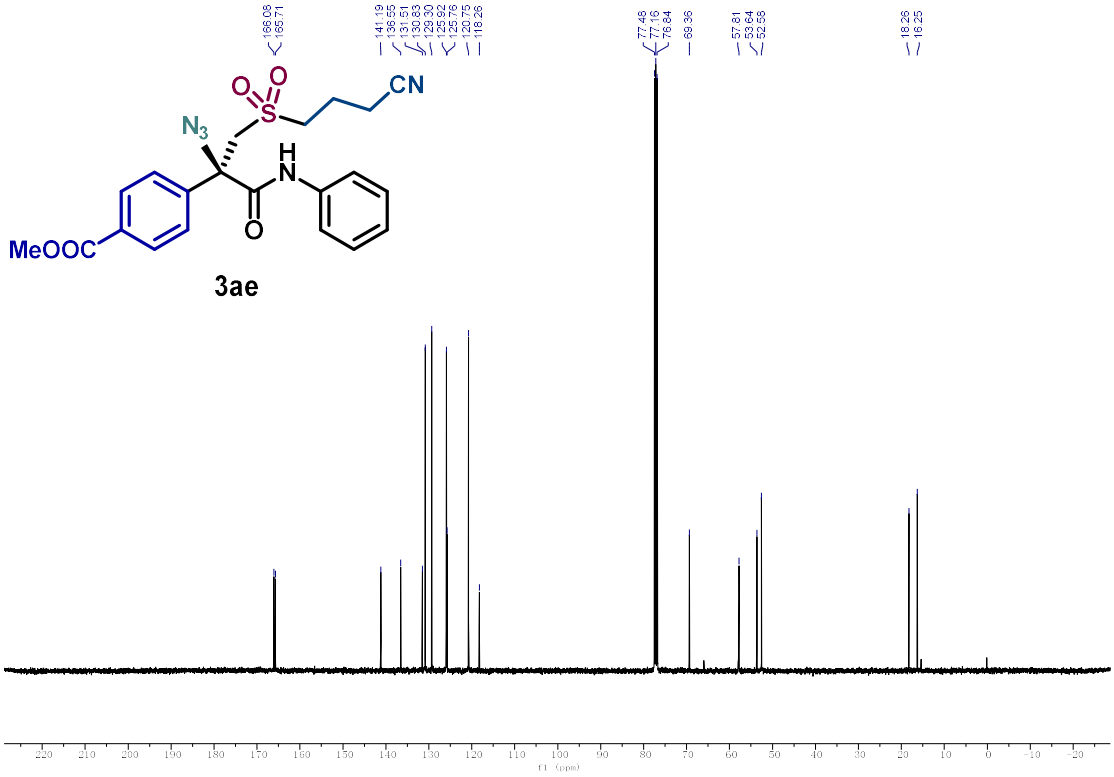
1.99
2.07
1.04
0.92
3.00
0.97
2.03
2.07
2.01
f1 (ppm)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120.75
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77.16
76.84
69.36
57.81
53.64
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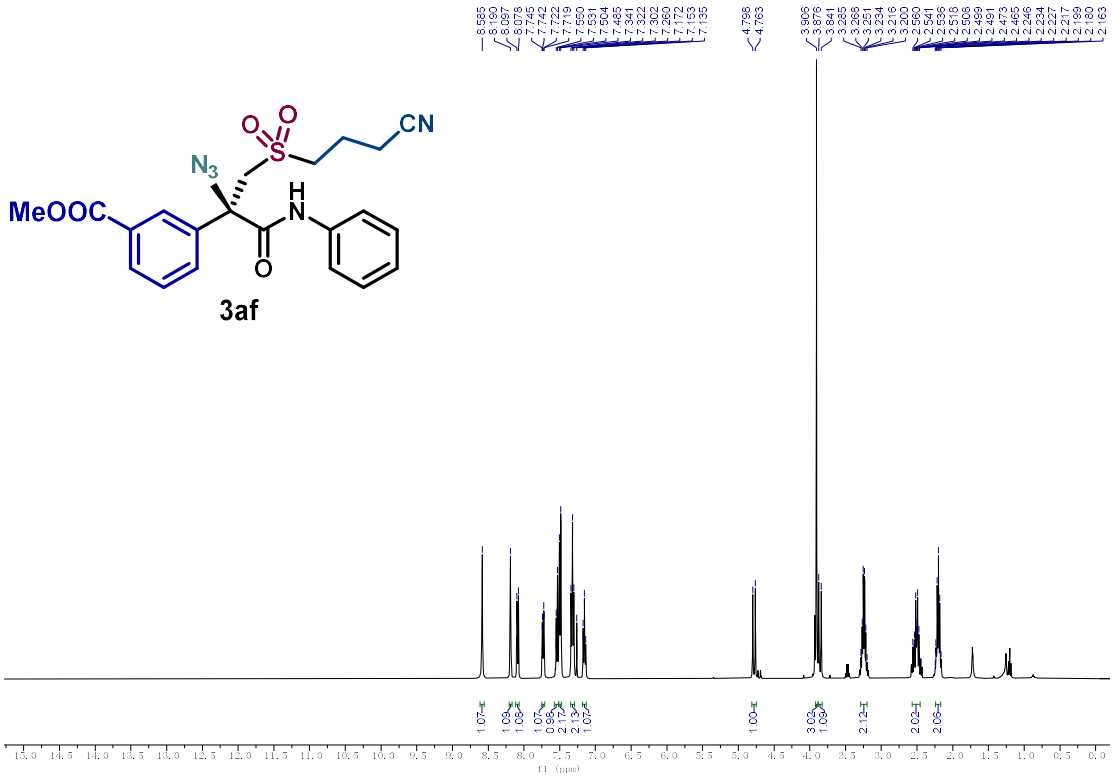
16.25
CN
O
O
S
N3
H
N
O
MeOOC
3ae
f1 (ppm)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N3
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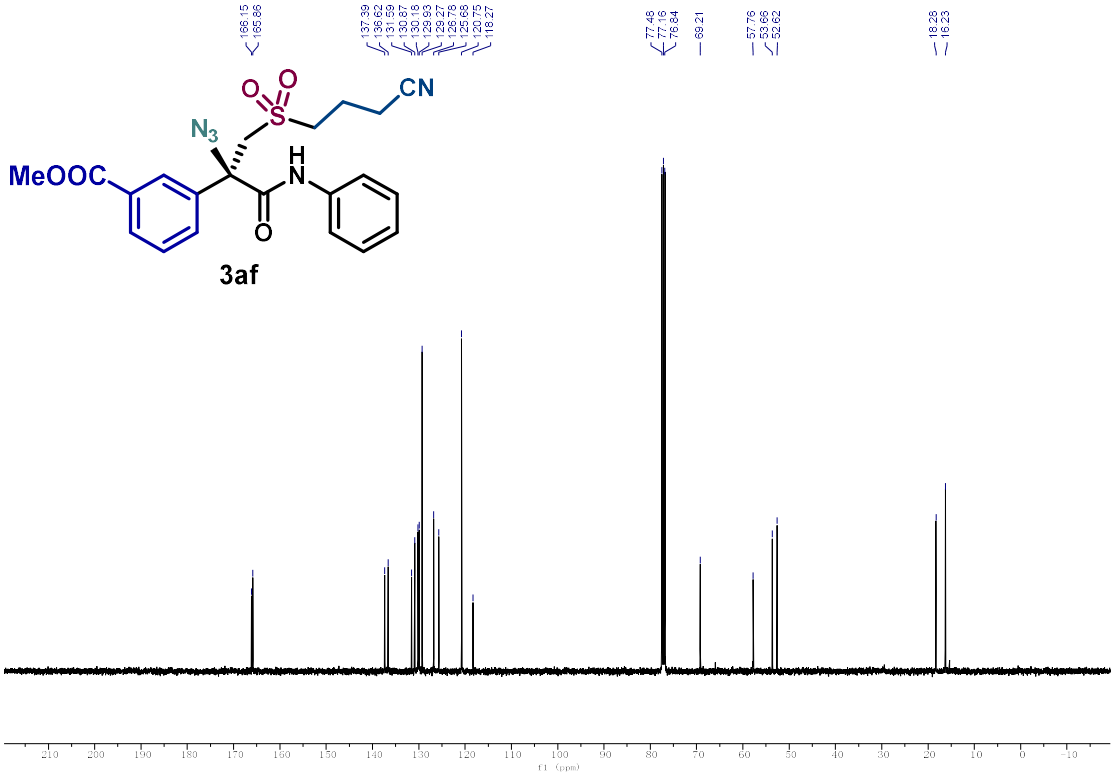
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N3
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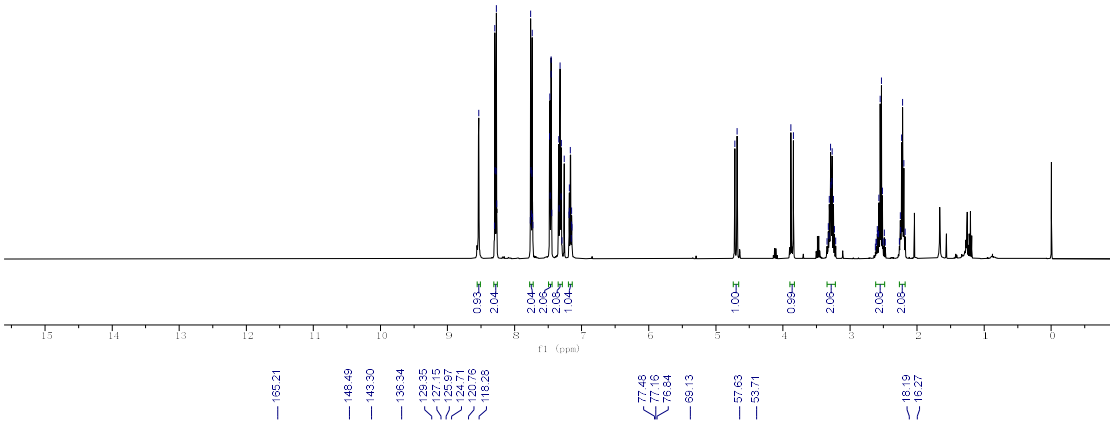
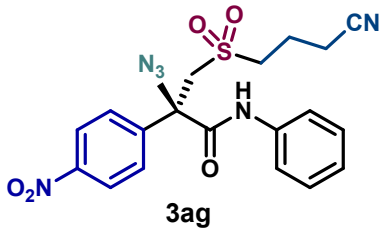
S
O
CN
H
N
O
3af

3ag





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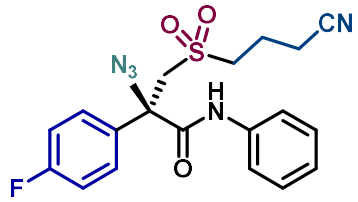

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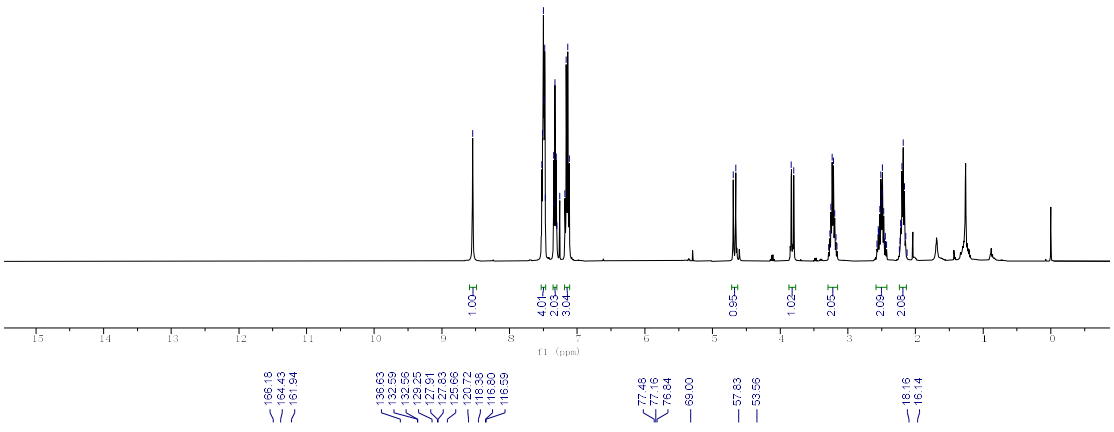

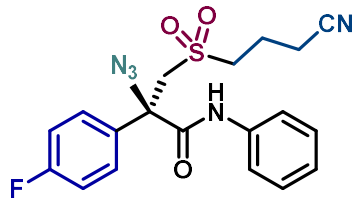

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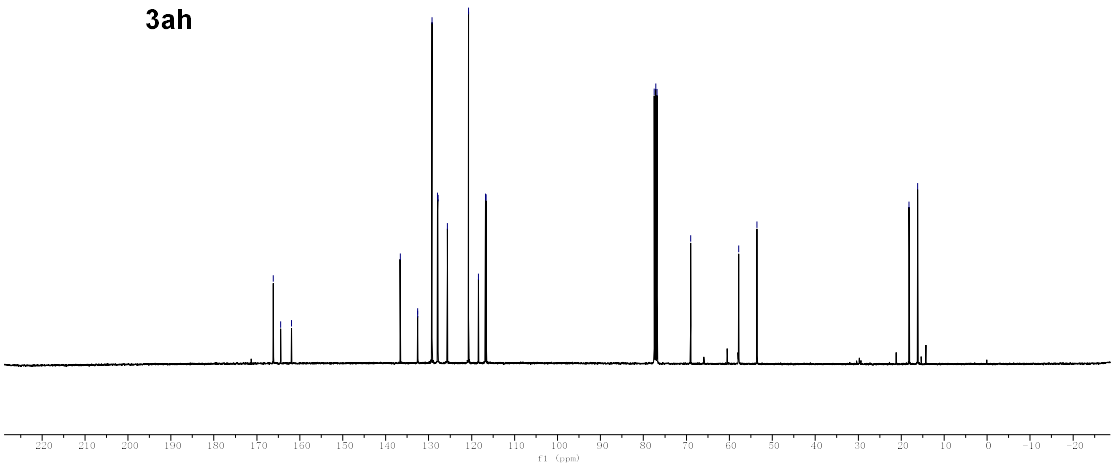

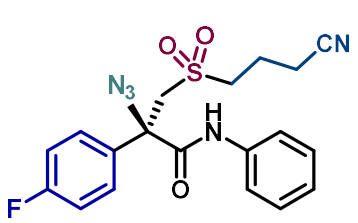
O
O
S
CN
N3
H
N
O
F

3ah

-110.768
10
0
-10
-20
-30
-40
-50
-60
-70
-80
-90
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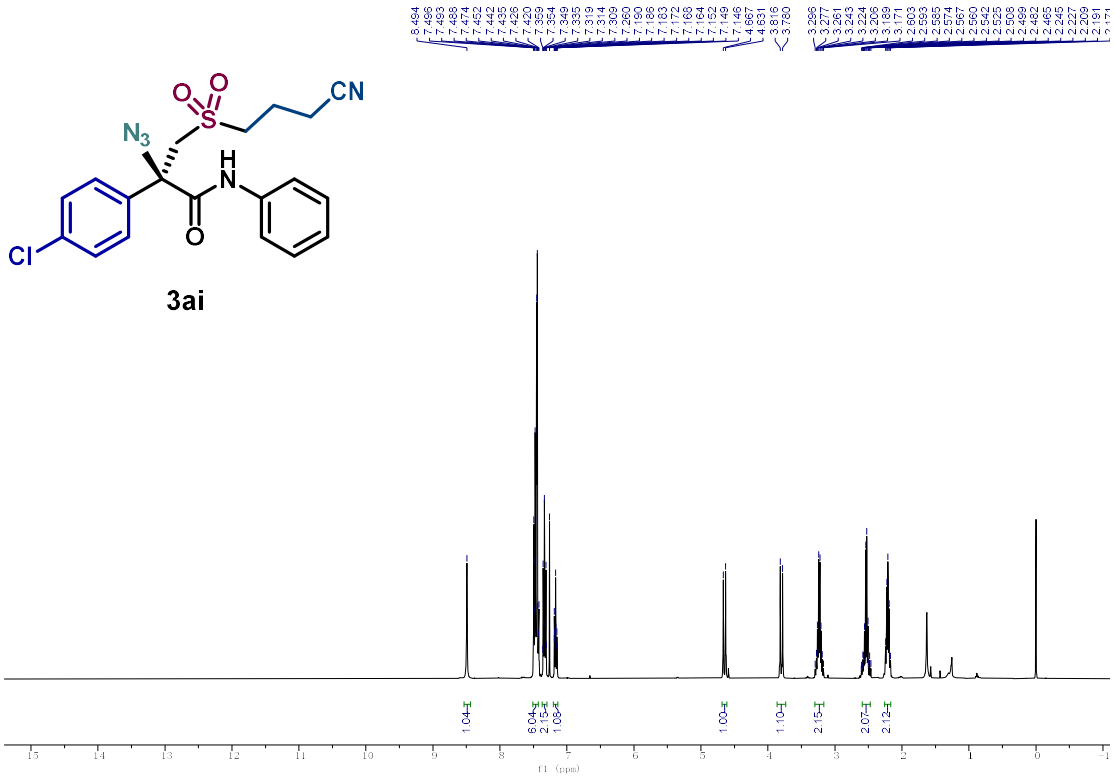
-110
-120
-130
-140
-150
-160
-170
-180
-190
-200
-210
f1 (ppm)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7.420
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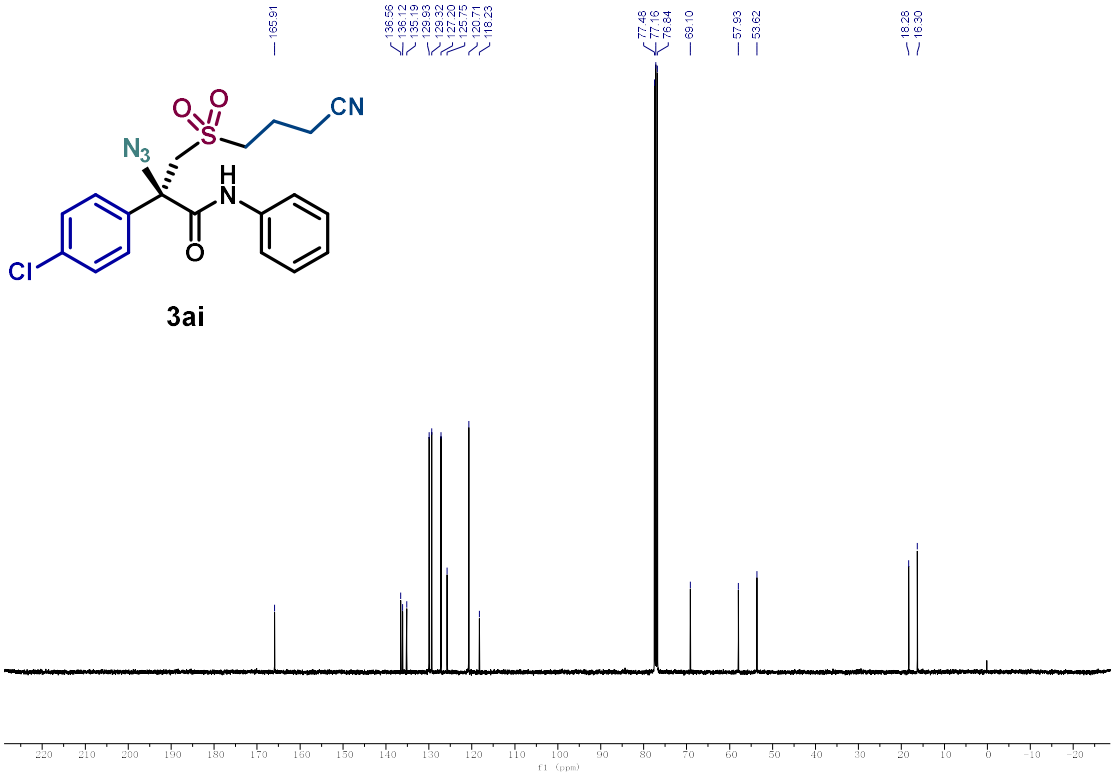
7.335
7.319
7.314
7.309
7.260
7.190
7.186
7.183
7.172
7.168
7.164
7.152
7.149
7.146
4.667
4.631
3.816
3.760
3.266
3.277
3.261
3.243
3.224
3.206
3.189
3.171
3.073
2.593
2.585
2.574
2.567
2.560
2.542
2.525
2.509
2.489
2.482
2.465
2.245
2.227
2.209
2.191
1.971
1.04
6.04
2.15
1.08
1.00
1.10
2.15
2.07
2.12
f1 (ppm)
O
O
S
CN
N3
H
N
O
Cl
3ai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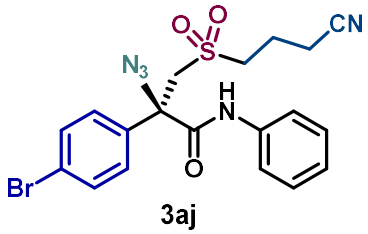
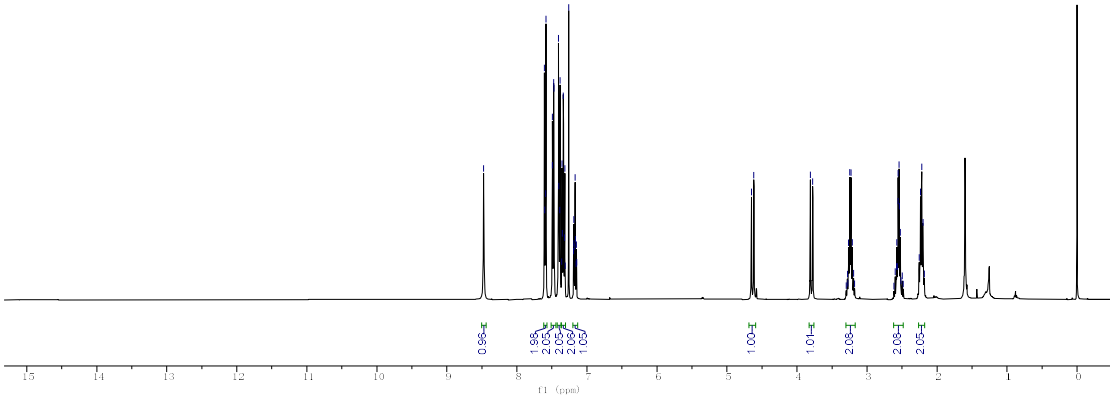
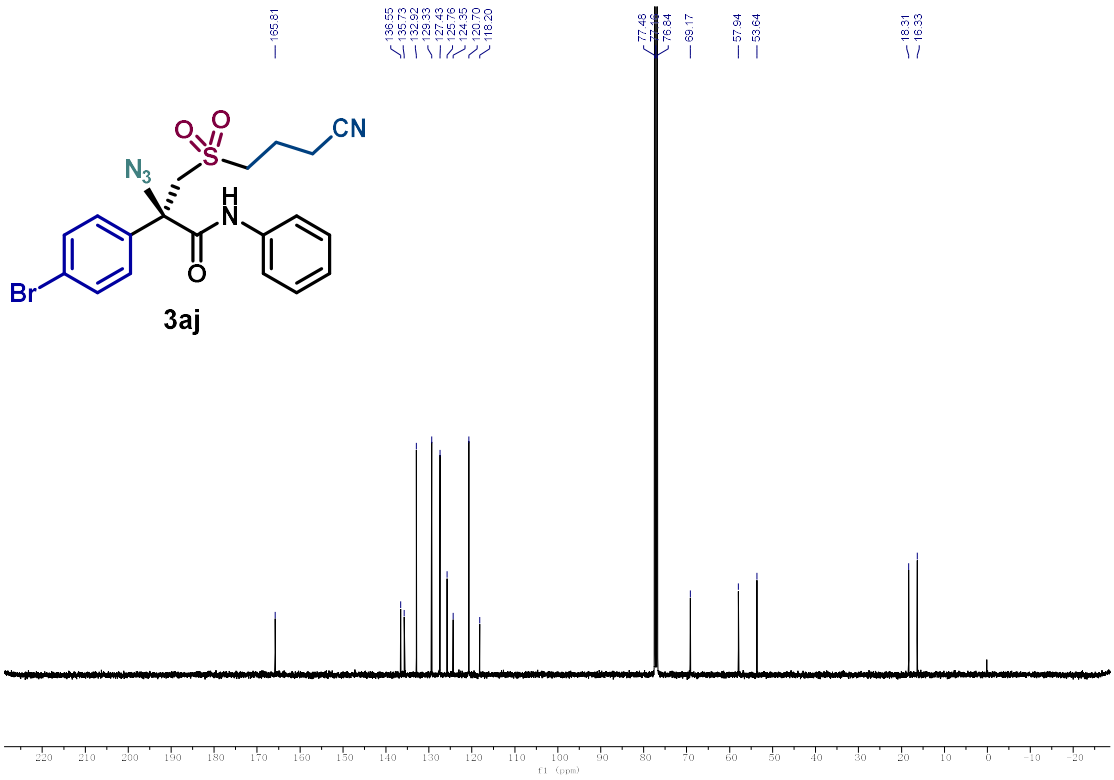
136.56
136.12
135.19
129.83
129.32
127.20
125.75
120.71
118.23
77.48
77.16
76.84
69.10
57.93
53.62
18.28
16.30
f1 (ppm)
O
O
S
CN
N3
H
N
O
Cl
3ai



3aj





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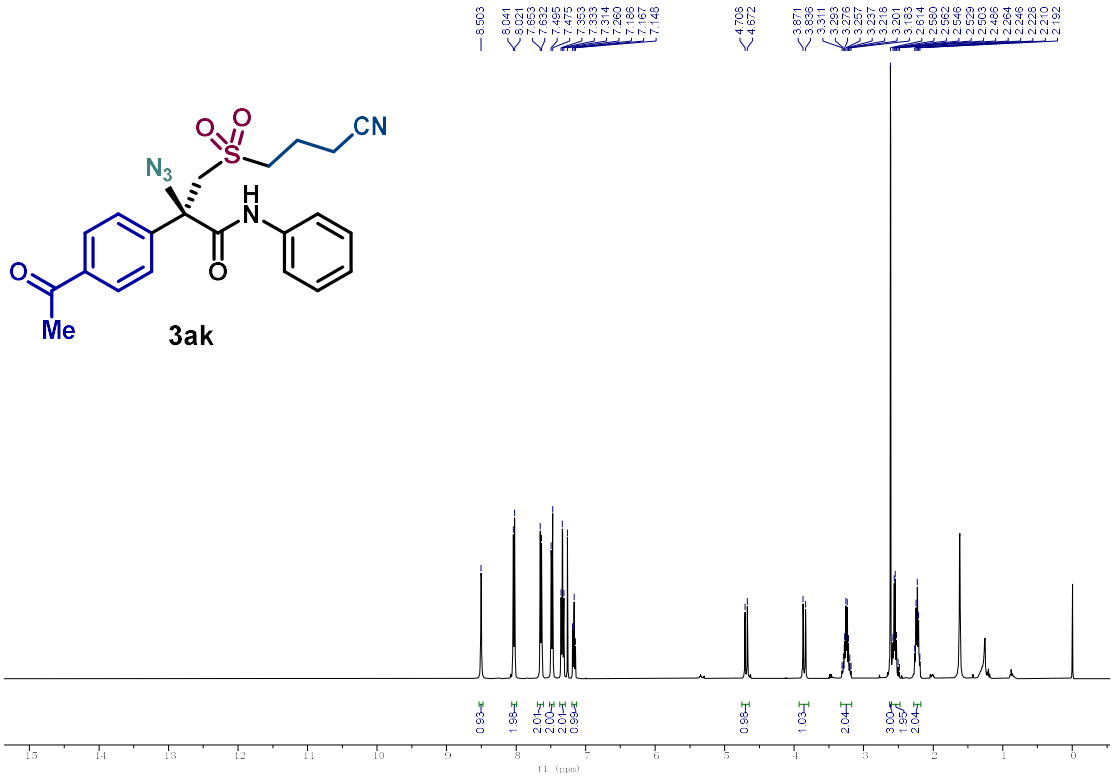
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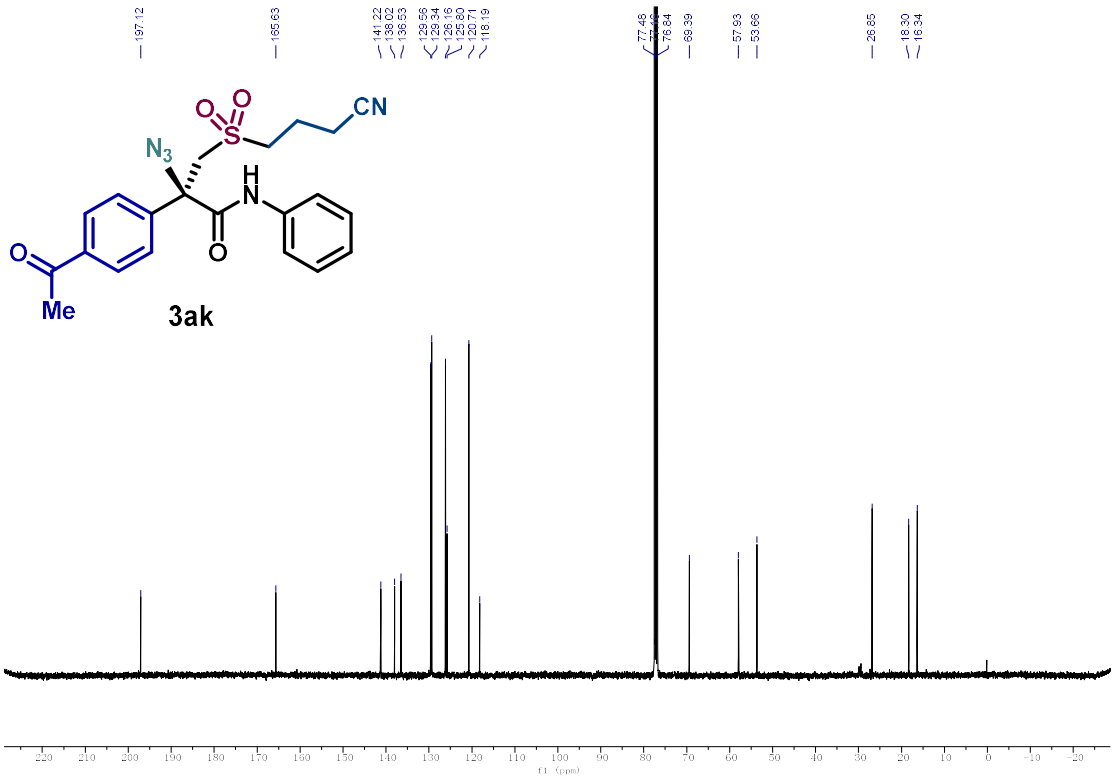
7.495
7.475
7.353
7.333
7.314
7.289
7.188
7.167
7.146
4.708
4.672
3.871
3.836
3.311
3.293
3.276
3.257
3.237
3.218
3.201
3.183
2.614
2.580
2.562
2.546
2.529
2.513
2.498
2.264
2.246
2.228
2.210
2.192
0.93
1.98
2.01
2.00
2.01
0.98
0.96
1.03
2.04
3.00
1.95
2.04
f1 (ppm)
CN
N3
H
N
O
Me
3ak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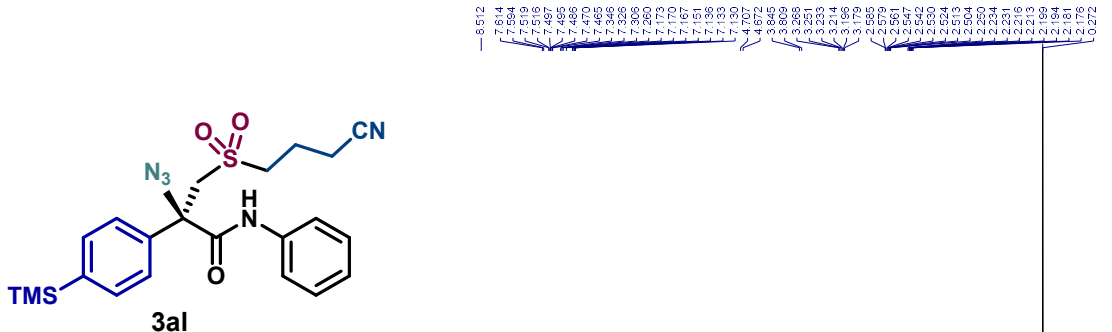
138.02
136.53
129.56
129.34
126.16
125.80
120.71
118.19
77.48
77.16
76.84
69.39
57.93
53.66
26.85
18.30
16.34
f1 (ppm)
CN
N3
H
N
O
Me
3ak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7.495
7.486
7.470
7.465
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7.326
7.306
7.260
7.173
7.170
7.167
7.151
7.136
7.133
7.130
4.707
4.672
3.865
3.859
3.268
3.251
3.233
3.214
3.196
3.179
2.585
2.579
2.561
2.547
2.542
2.530
2.524
2.513
2.504
2.234
2.231
2.216
2.213
2.199
2.194
2.181
2.176
0.272
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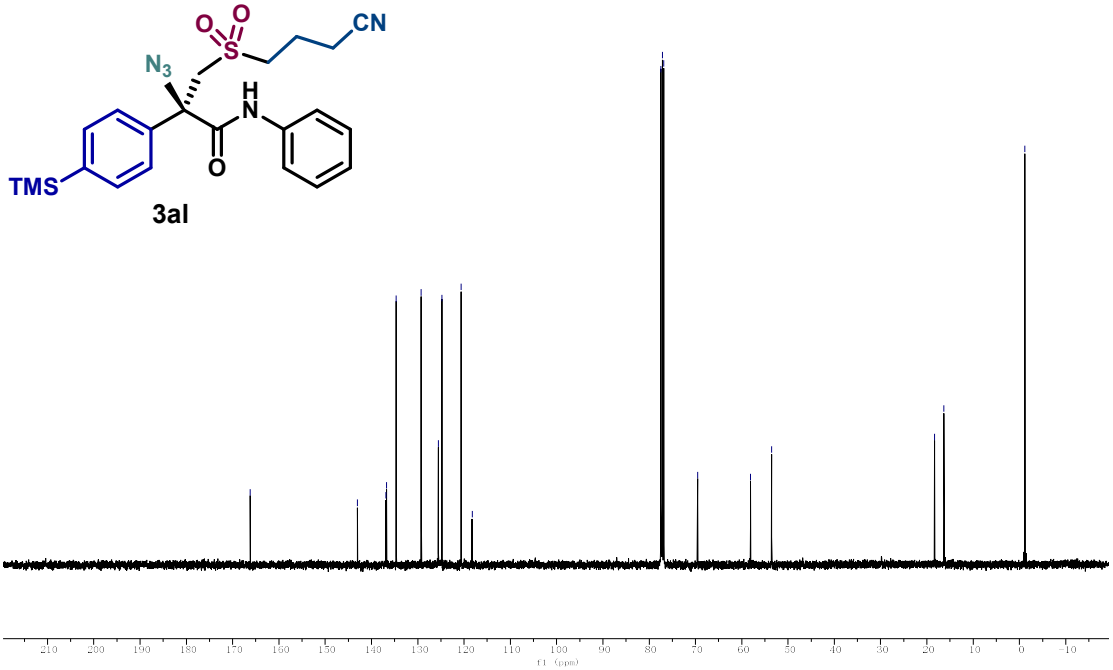
2.06
4.05
2.01
1.02
1.02
1.08
2.05
2.09
2.06
9.04
f1 (ppm)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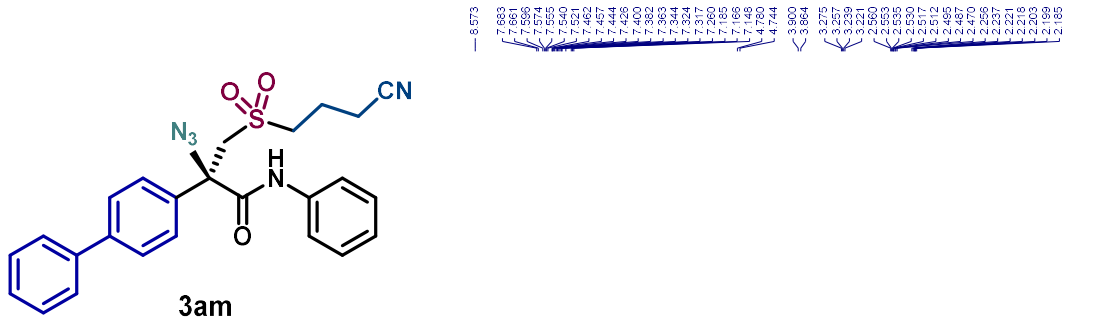
136.90
136.75
134.66
129.26
125.54
124.78
120.61
118.25
77.48
77.16
76.84
69.55
58.12
53.54
18.33
18.31
-1.16
f1 (ppm)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7.521
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7.457
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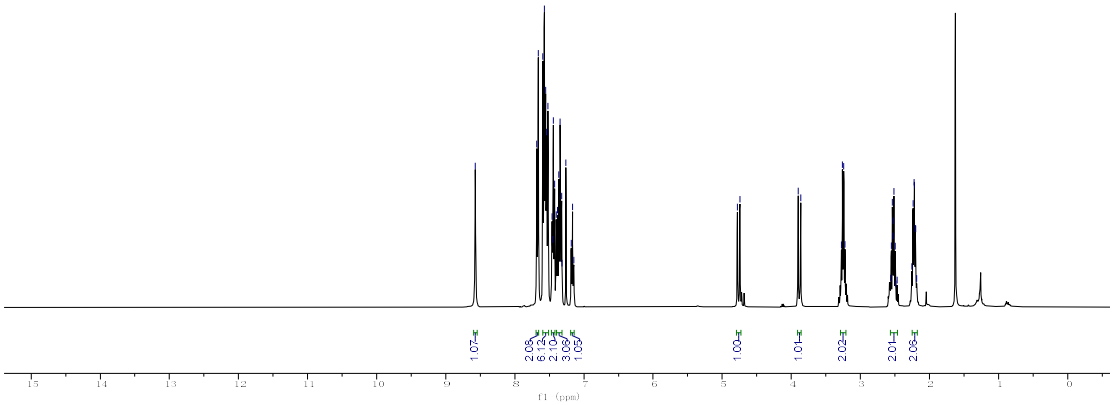
7.426
7.400
7.382
7.363
7.344
7.334
7.317
7.260
7.185
7.166
7.148
4.780
4.744
3.900
3.864
3.275
3.257
3.239
3.221
2.560
2.553
2.535
2.530
2.517
2.512
2.495
2.487
2.470
2.256
2.237
2.221
2.218
2.203
2.199
2.185
CN
N3
H
N
O
O
O
S
3am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2.08
6.12
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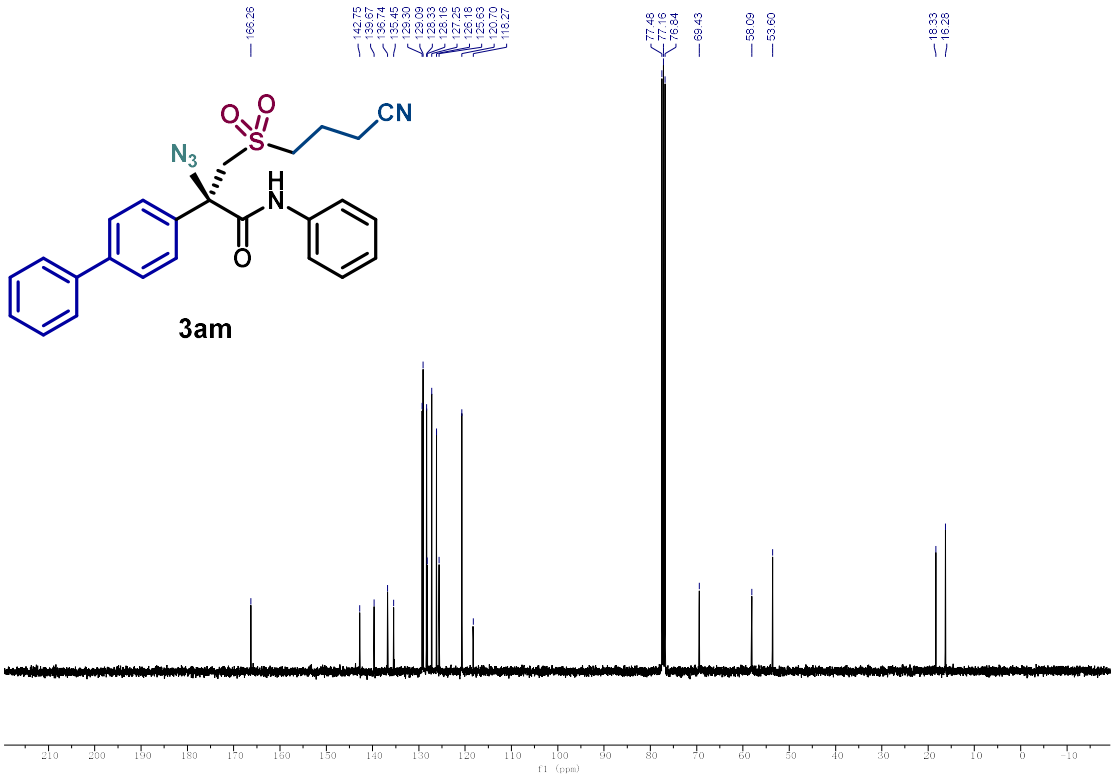
3.09
1.05
1.00
1.01
2.02
2.01
2.06
f1 (ppm)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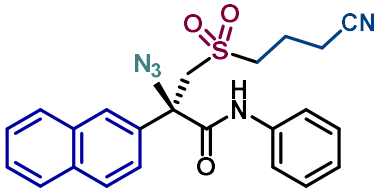
135.45
129.30
129.09
128.33
128.16
127.25
126.18
125.53
123.70
118.27
77.48
77.16
76.84
69.43
58.09
53.60
18.33
18.28
CN
N3
H
N
O
O
O
S
3am
f1 (ppm)



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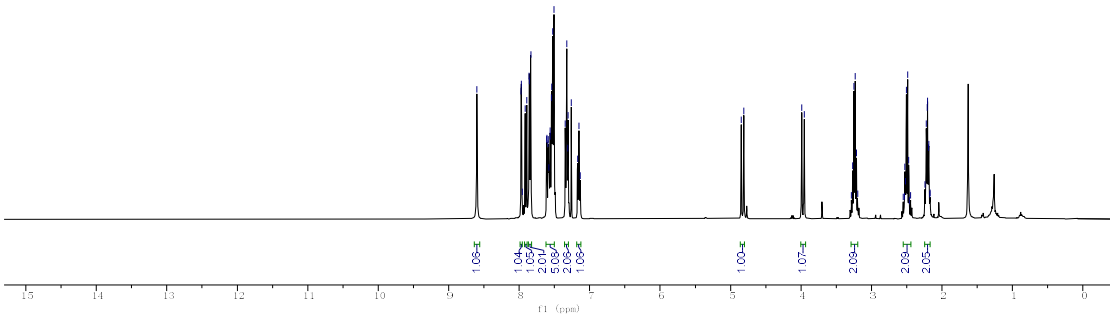

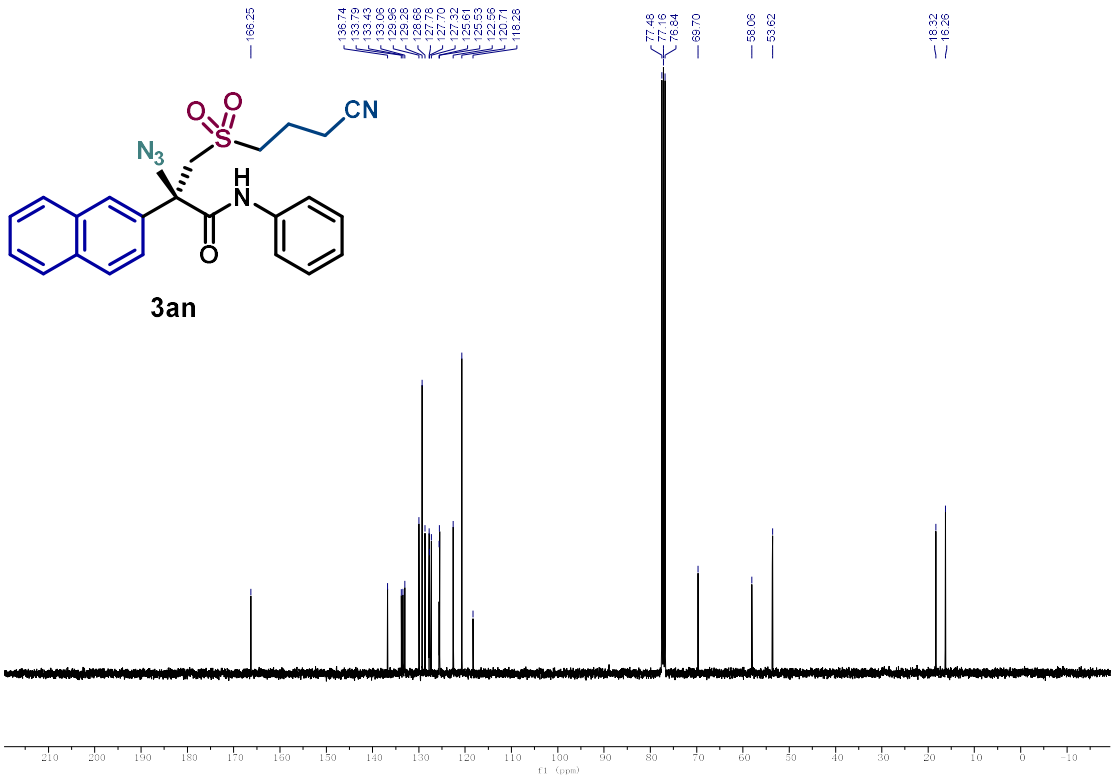

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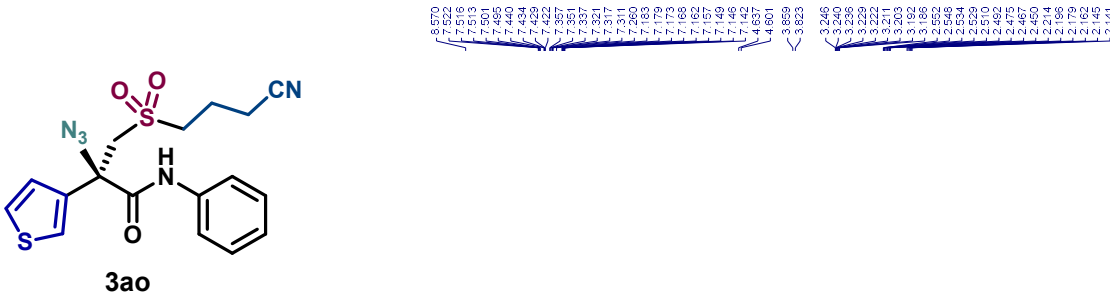

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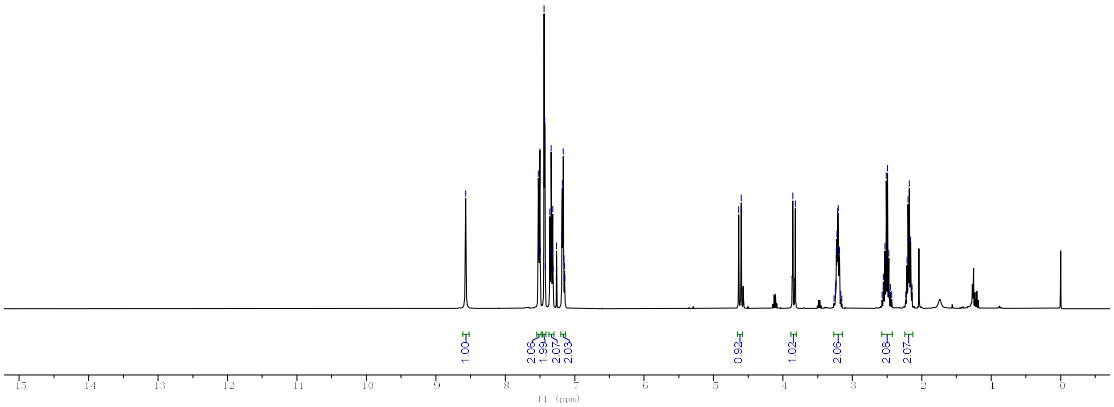
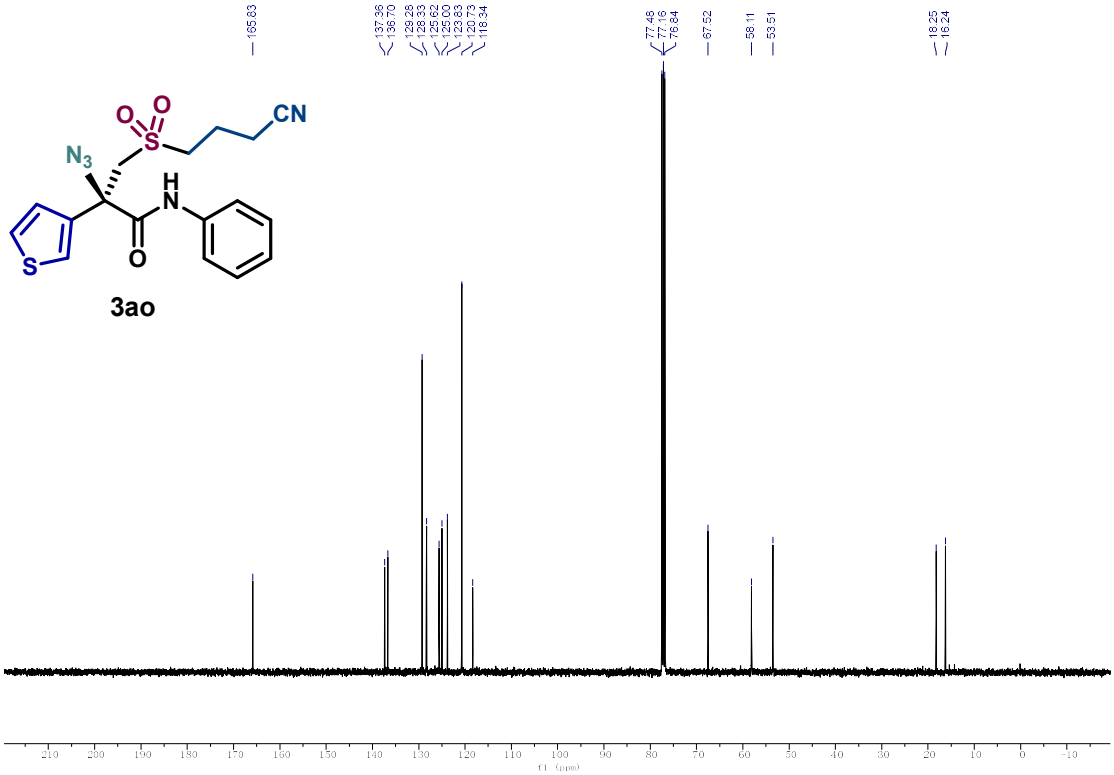
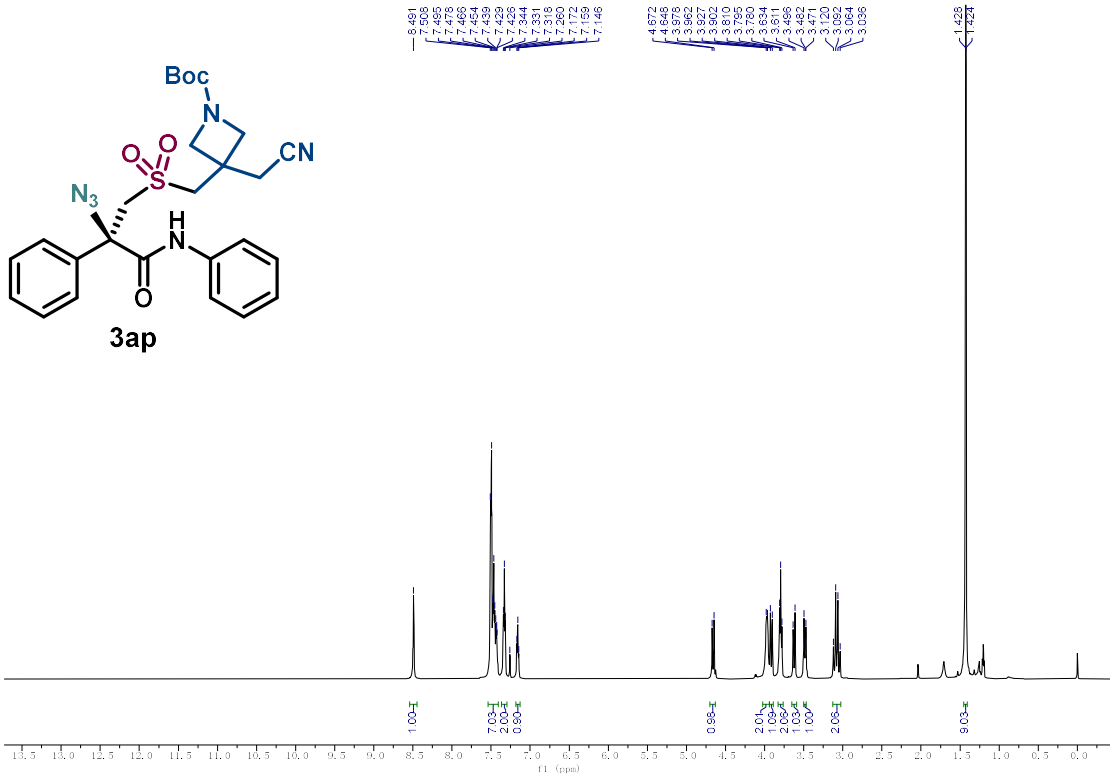
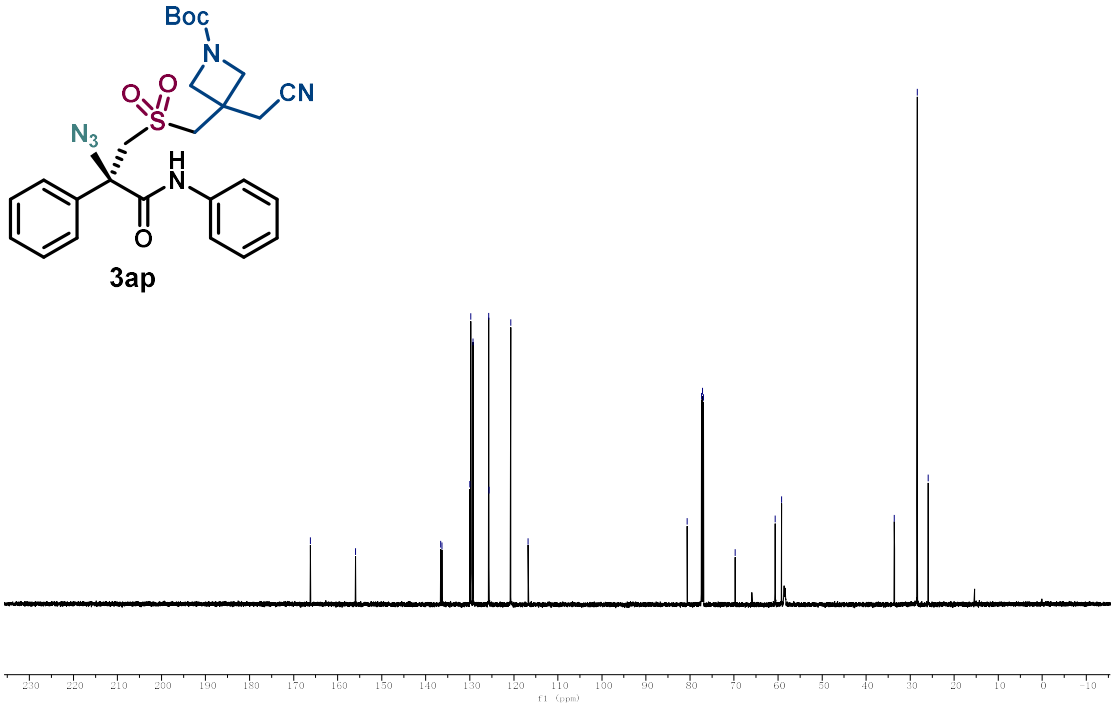




3ao


Boc
N
CN
O
O
S
N3
H
N
O
3ap


Boc
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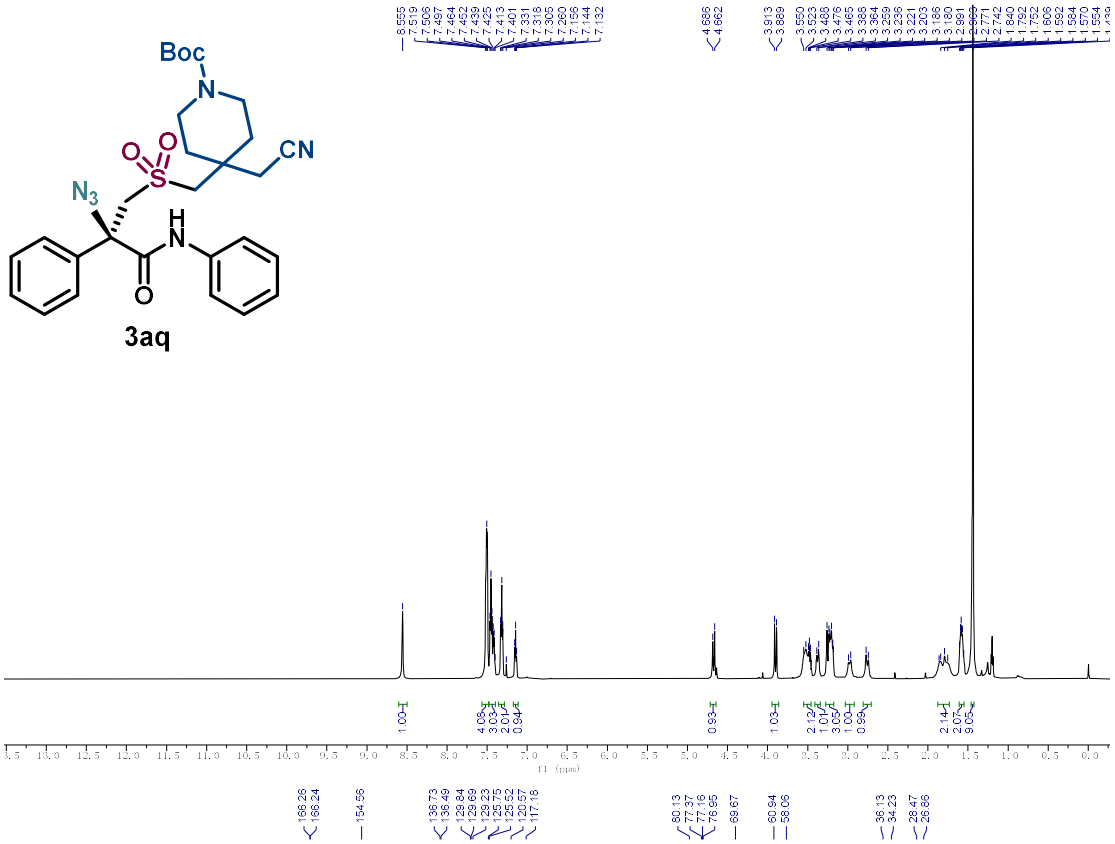
O
O
S
N3
H
N
O
3ap



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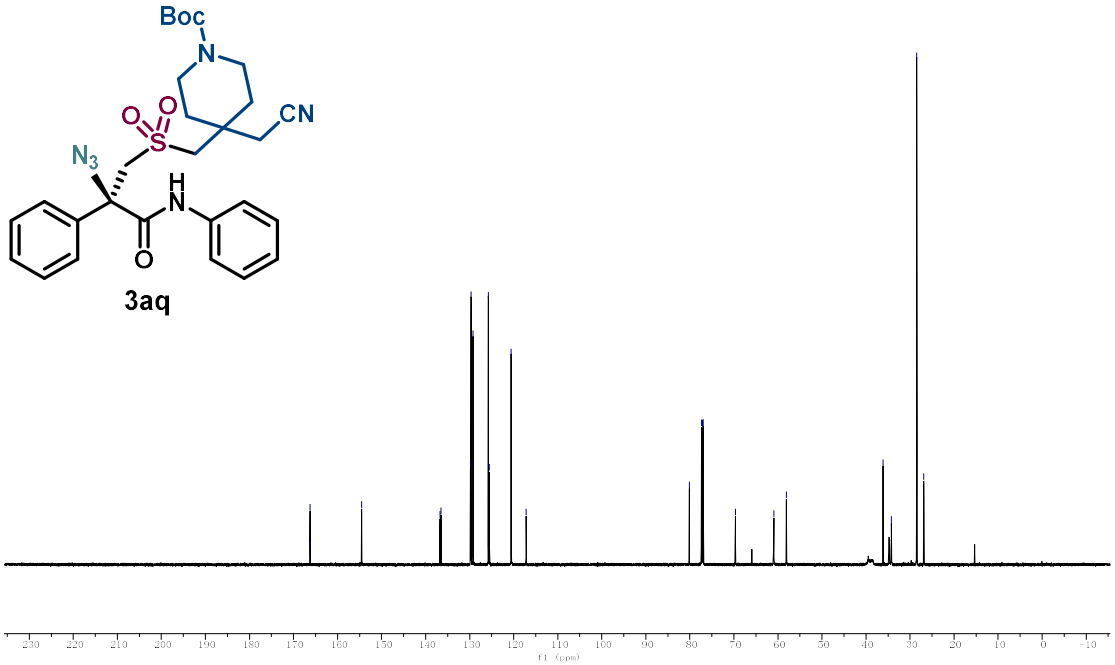

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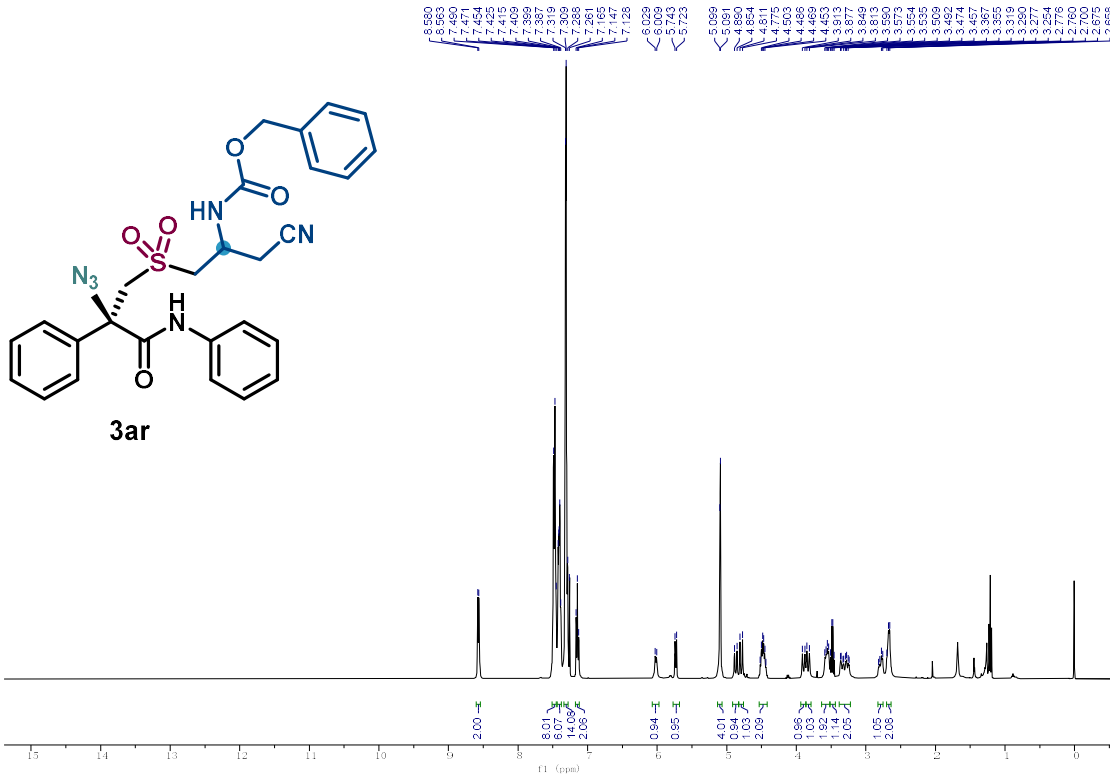
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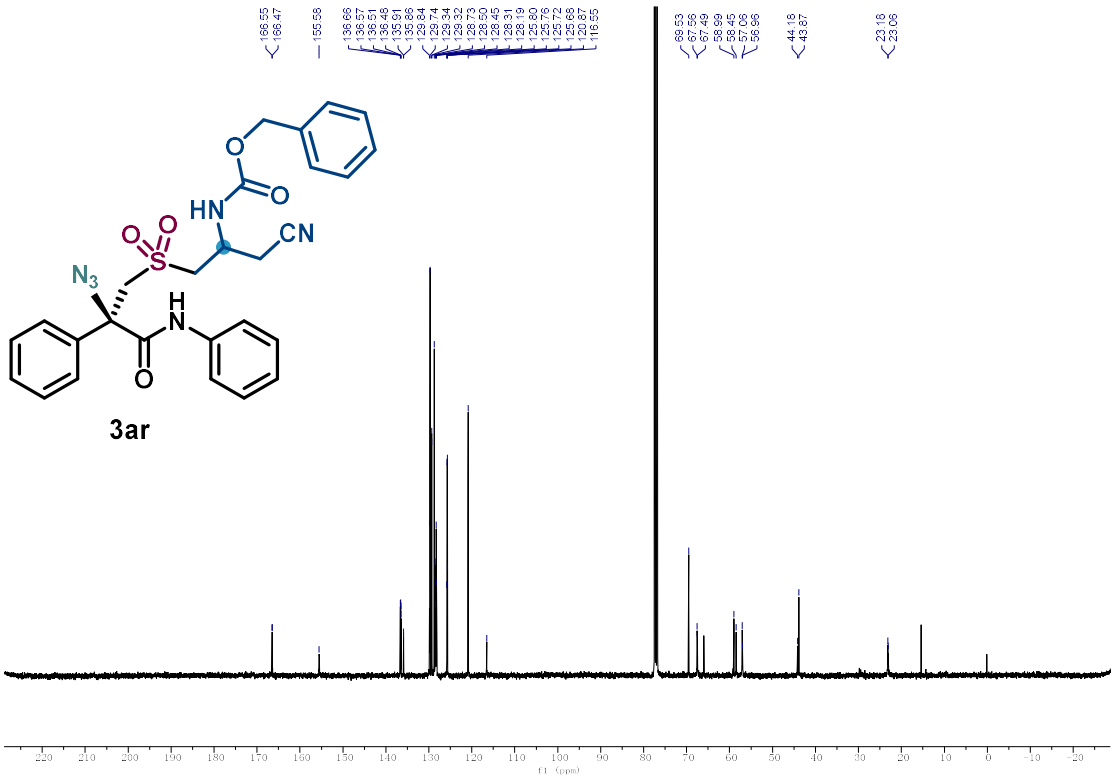
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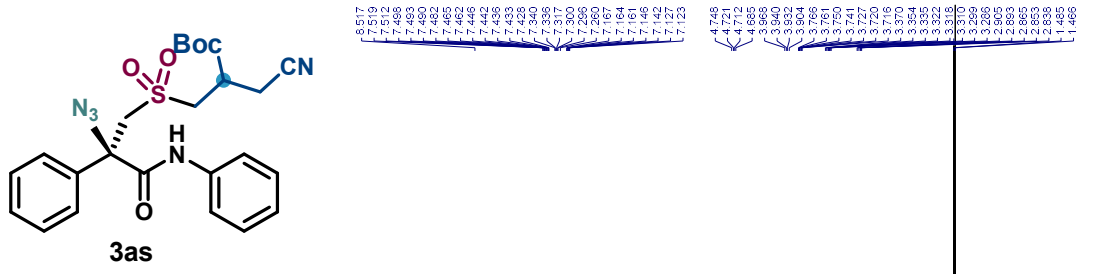

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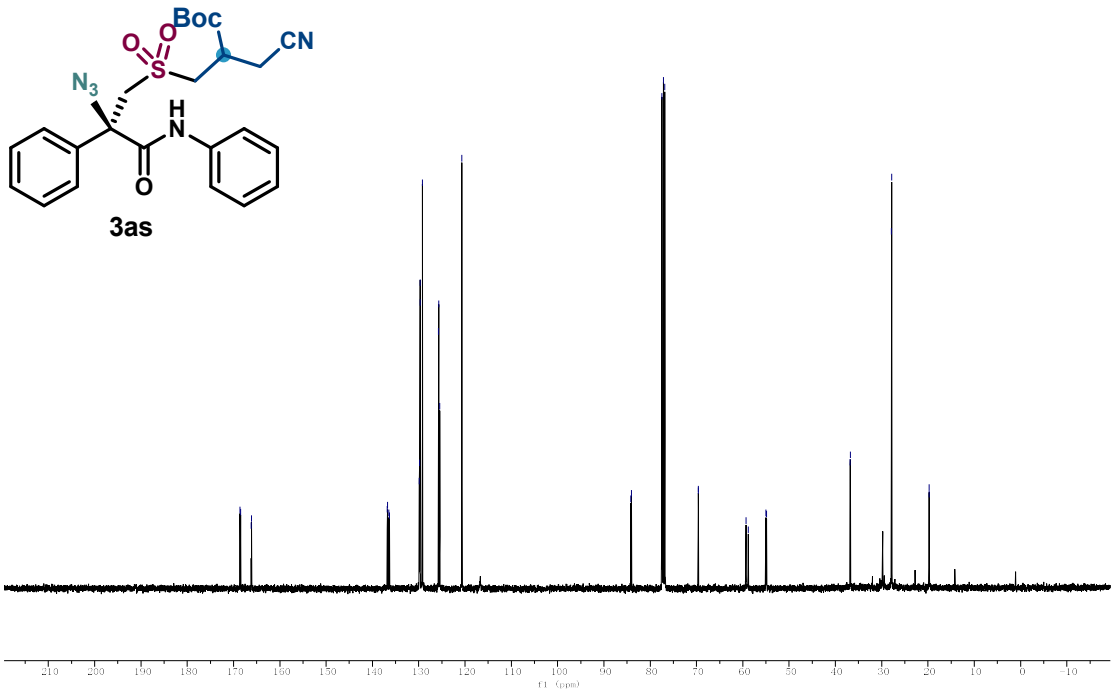
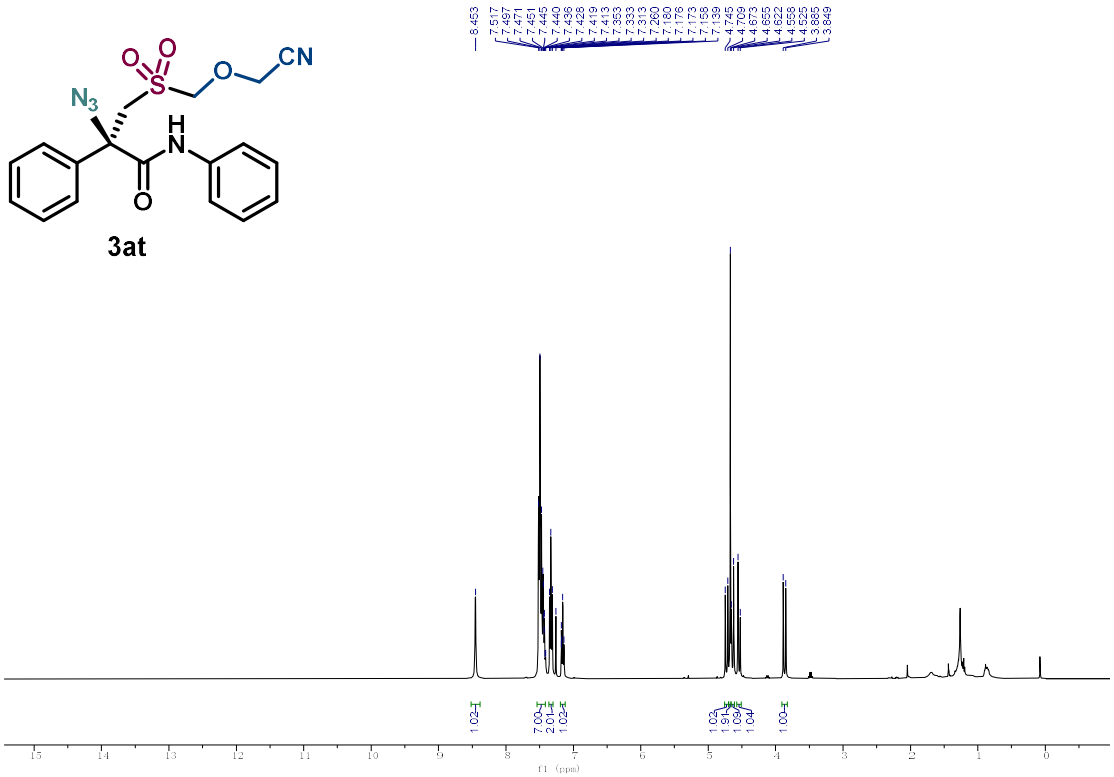


3as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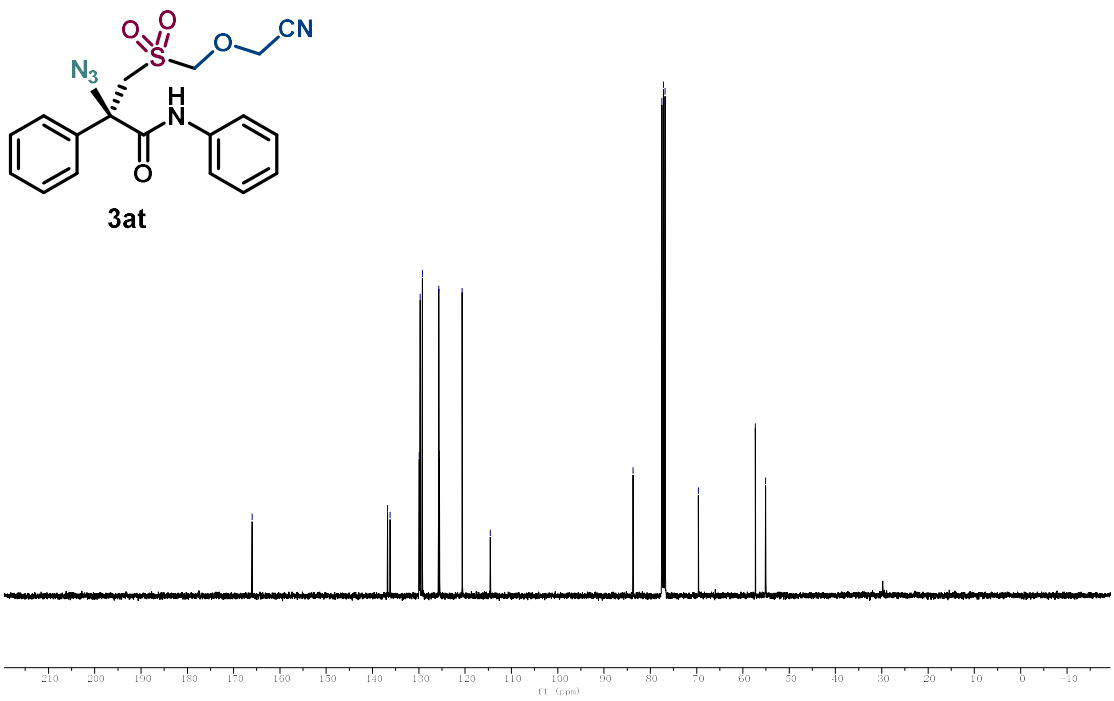
7.313
7.260
7.180
7.176
7.173
7.158
7.139
4.745
4.709
4.673
4.655
4.622
4.558
4.525
3.885
3.849
1.02
7.00
2.01
1.02
1.03
1.91
1.09
1.04
1.00
f1 (ppm)
O
O
S
O
CN
N3
H
N
O
3at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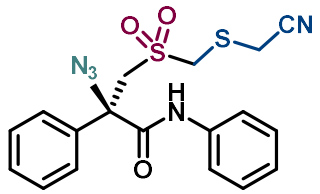
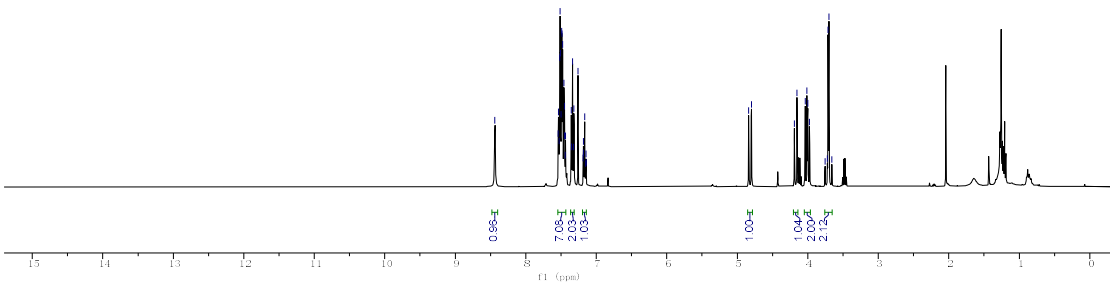
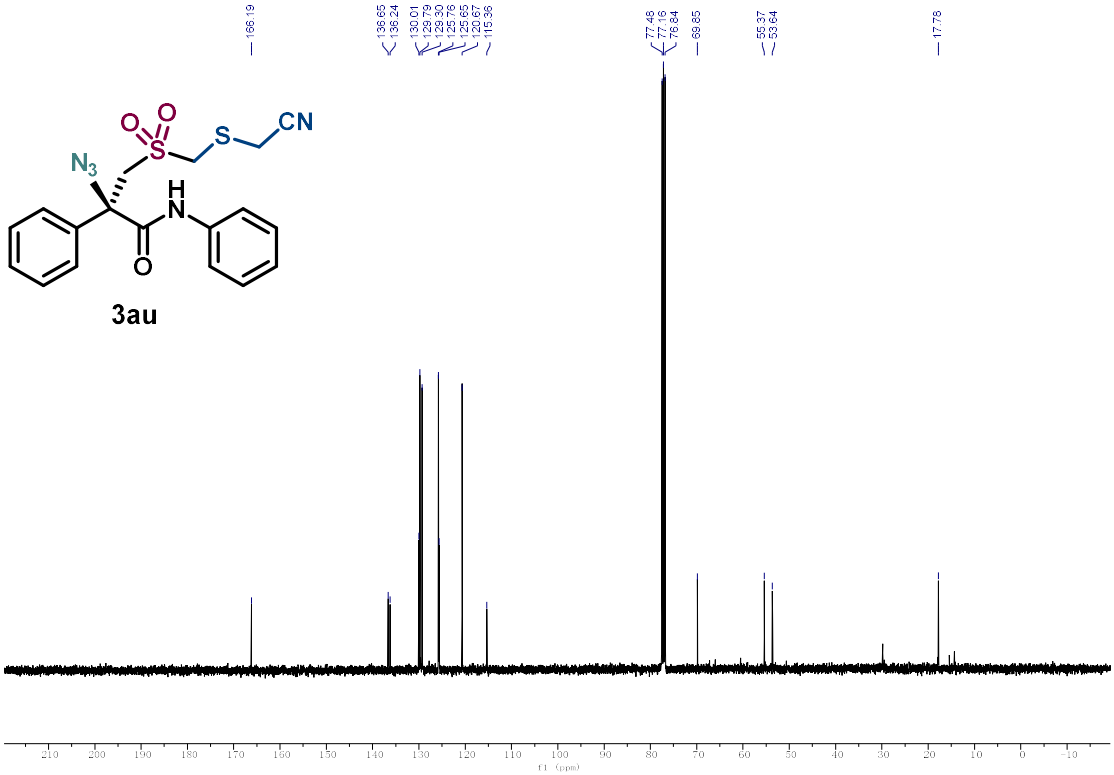
125.53
120.62
114.54
83.71
77.48
77.16
76.84
69.60
57.30
55.08
f1 (ppm)
O
O
S
O
CN
N3
H
N
O
3at



3au





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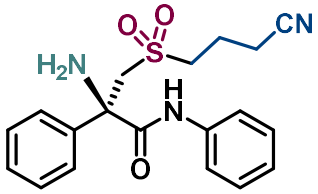

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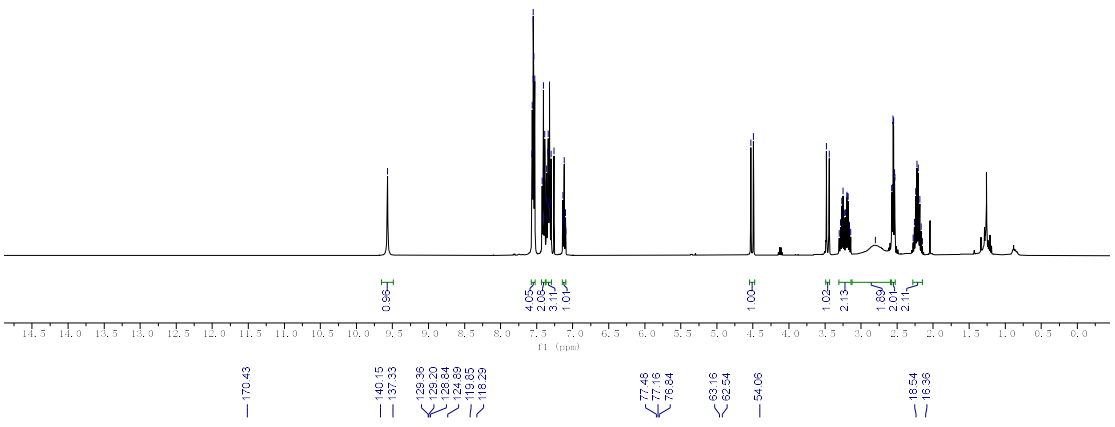

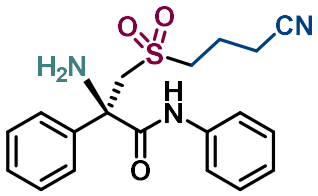

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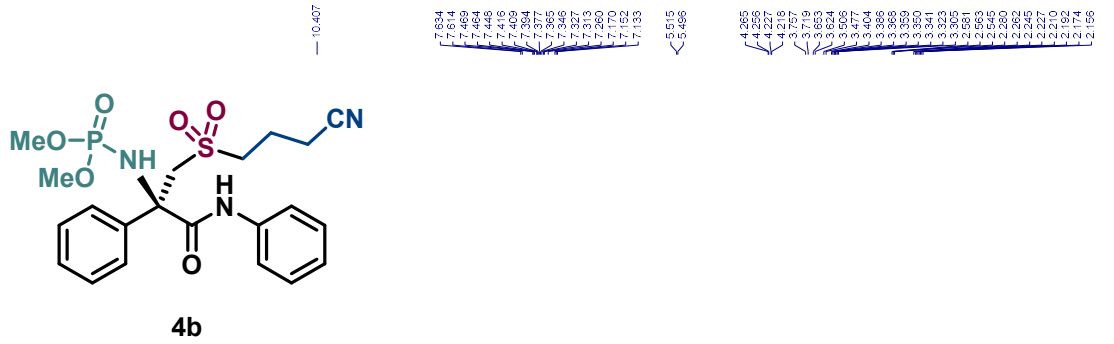

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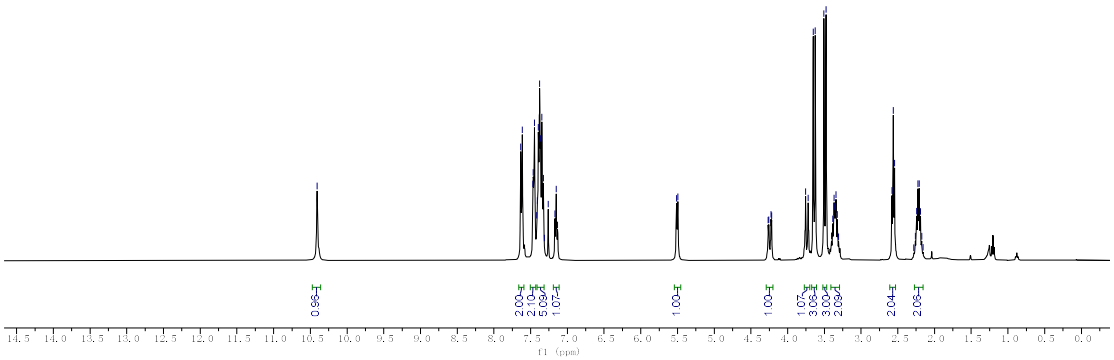

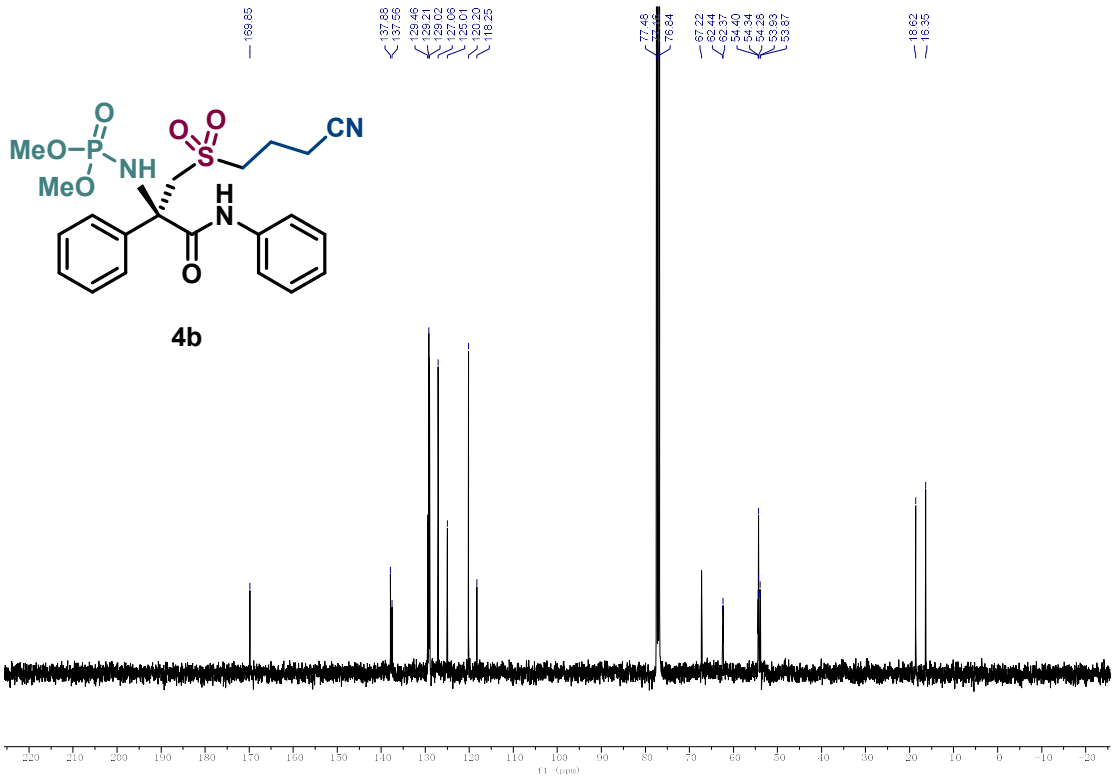

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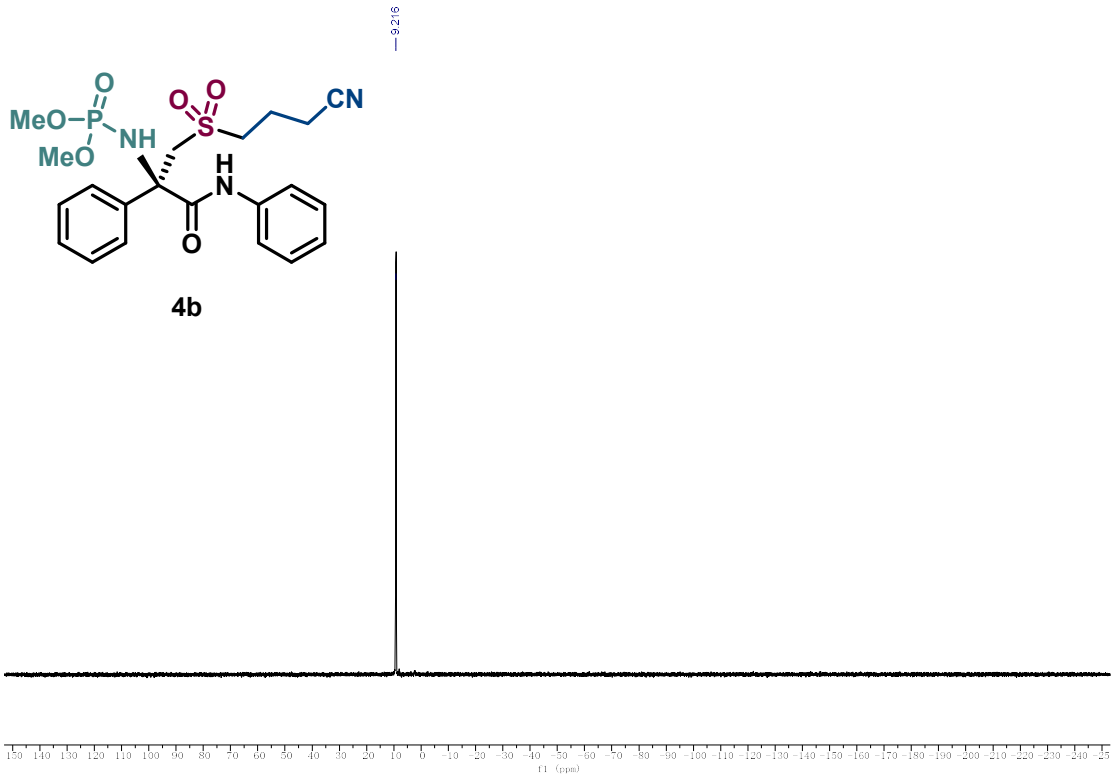
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P
O
NH
MeO
O
S
O
CN
H
N
O
4b
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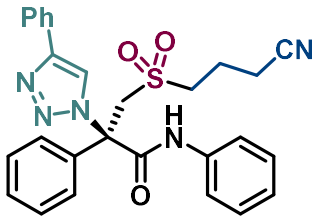
f1 (ppm)



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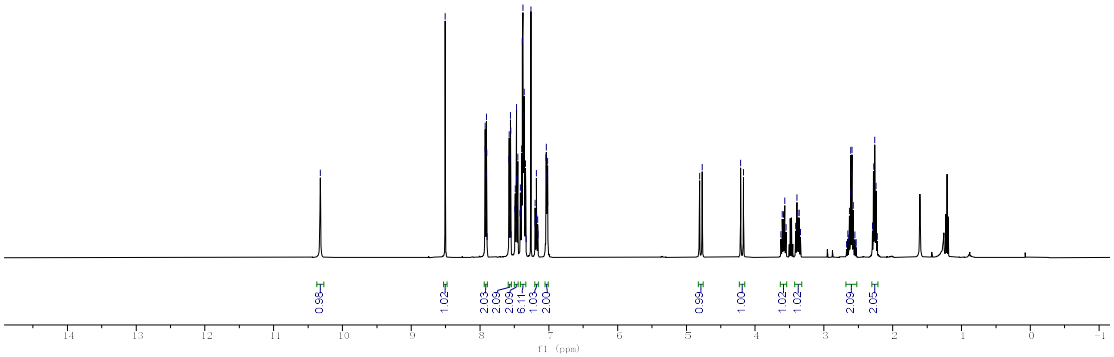

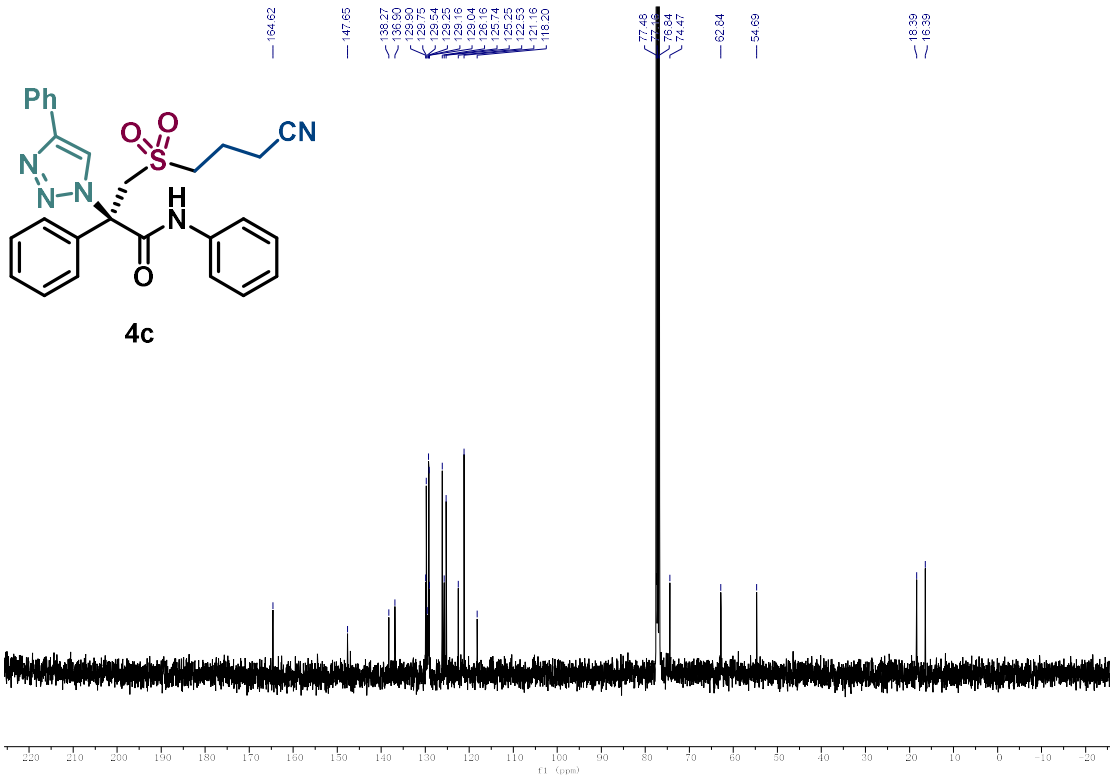

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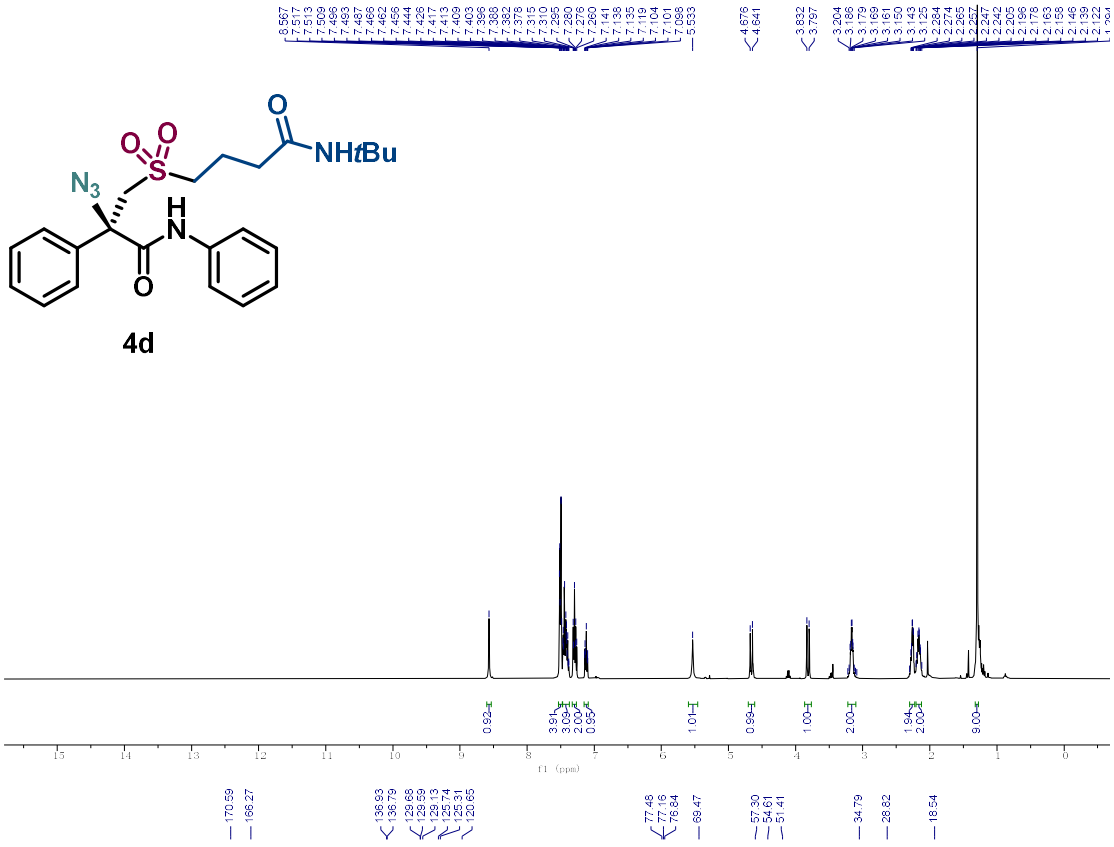
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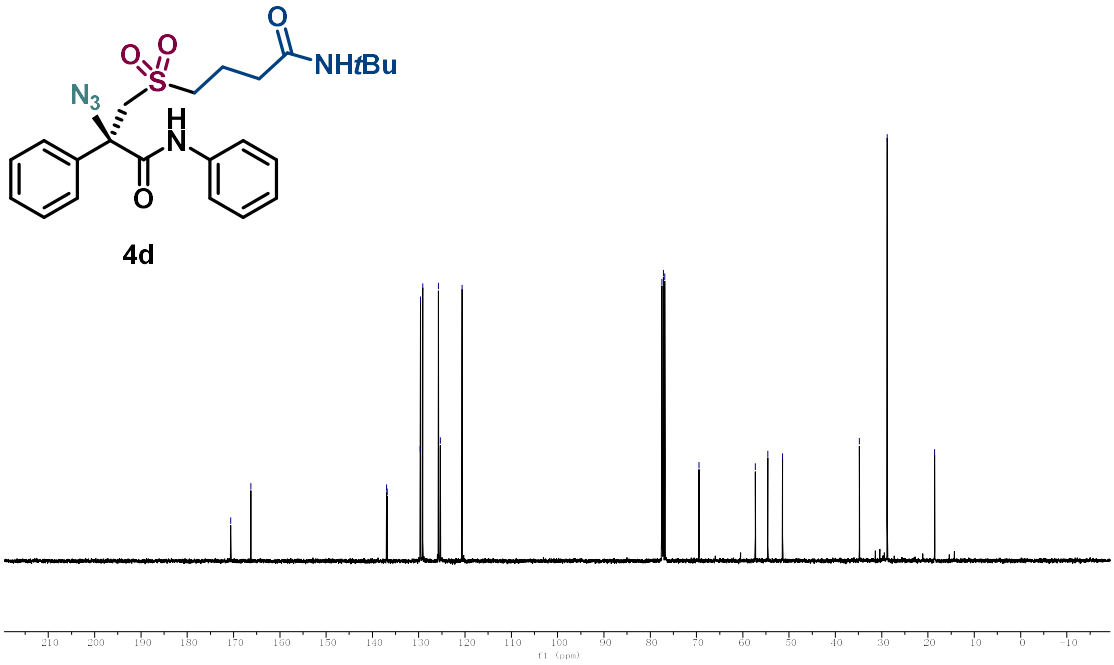
4d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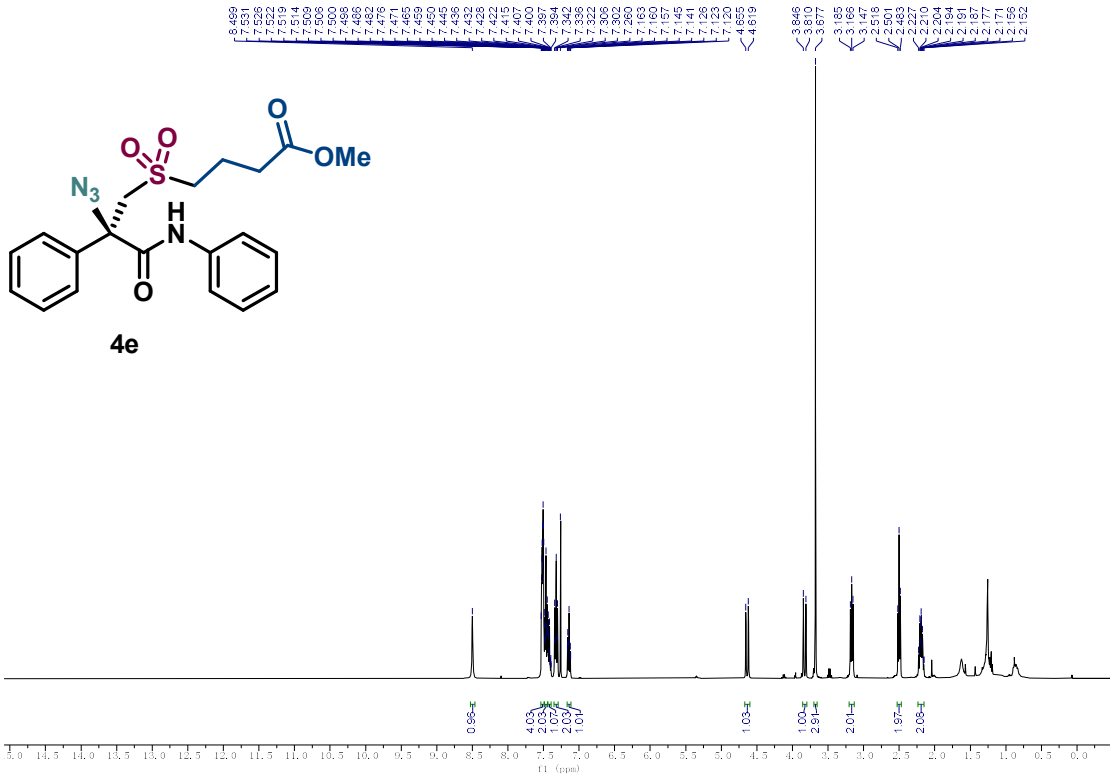
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2.156
2.152
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O
O
OMe
N3
H
N
O
4e
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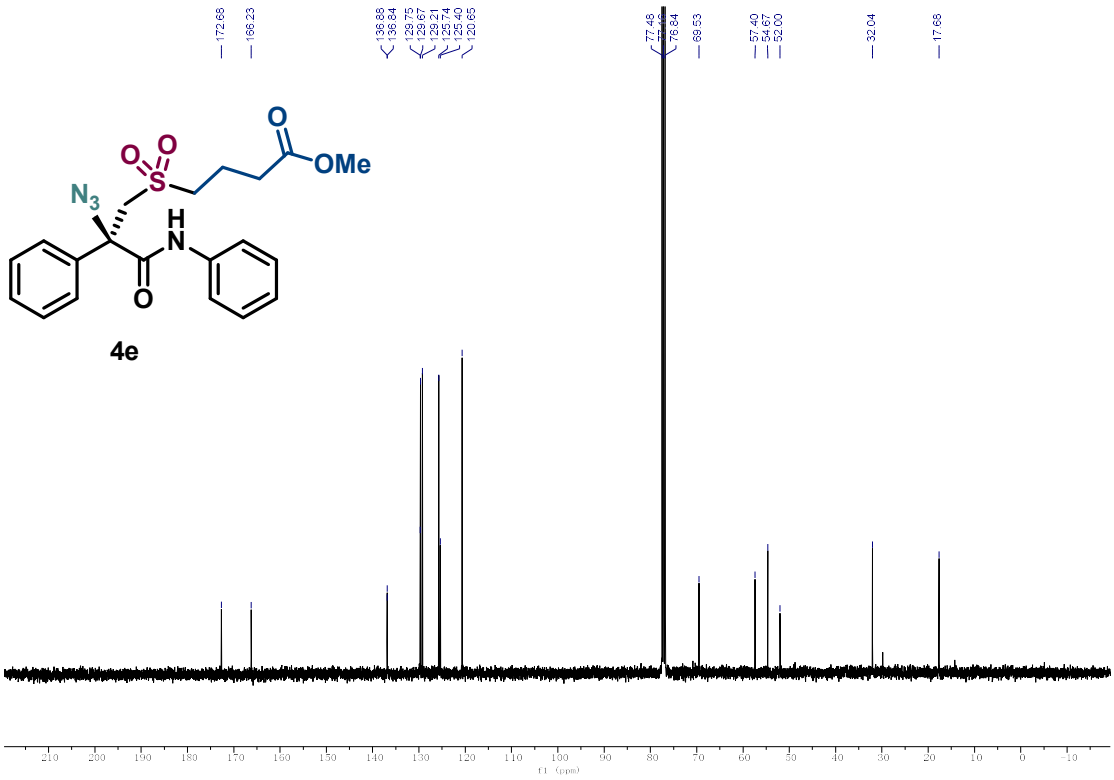
2.01
1.97
2.06
f1 (ppm)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54.67
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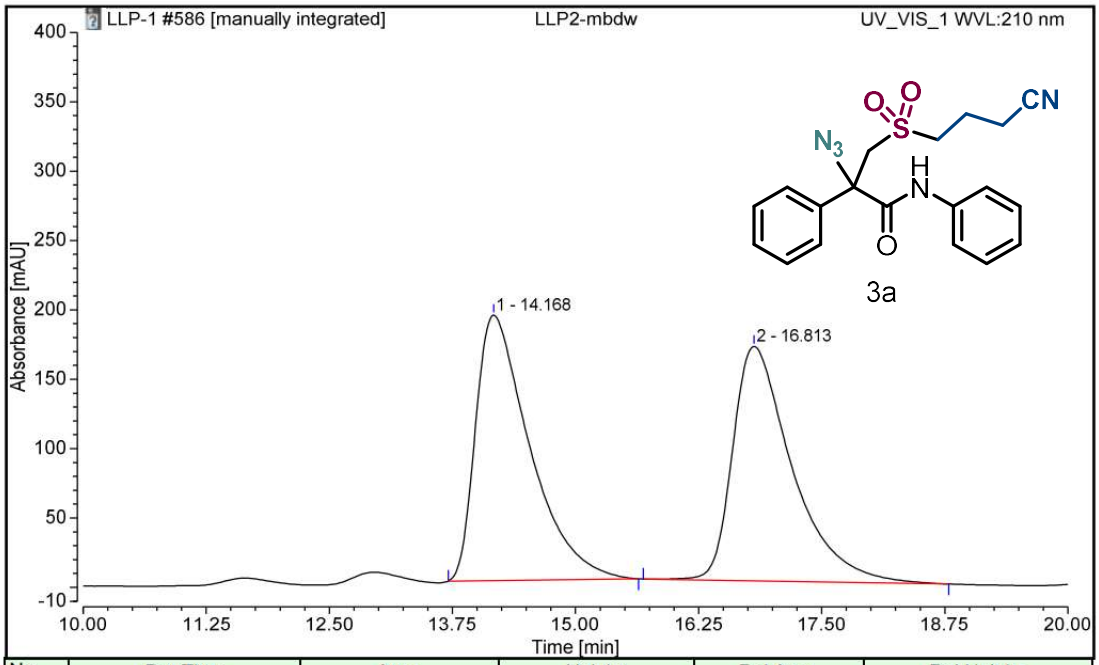
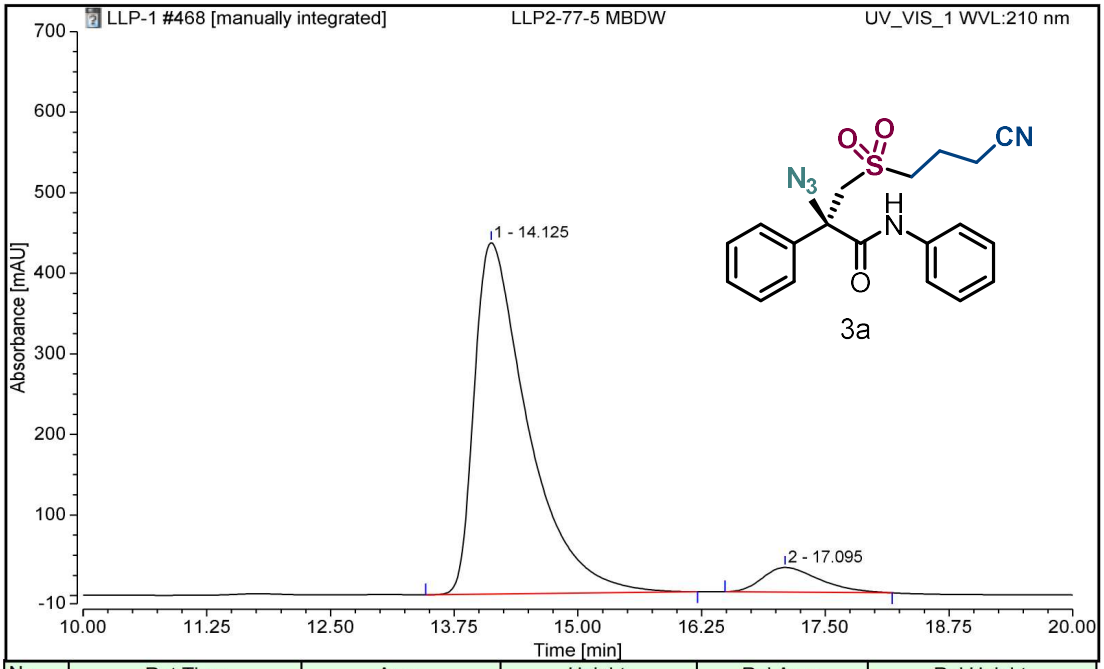
32.04
17.68
O
O
O
OMe
N3
H
N
O
4e
f1 (ppm)

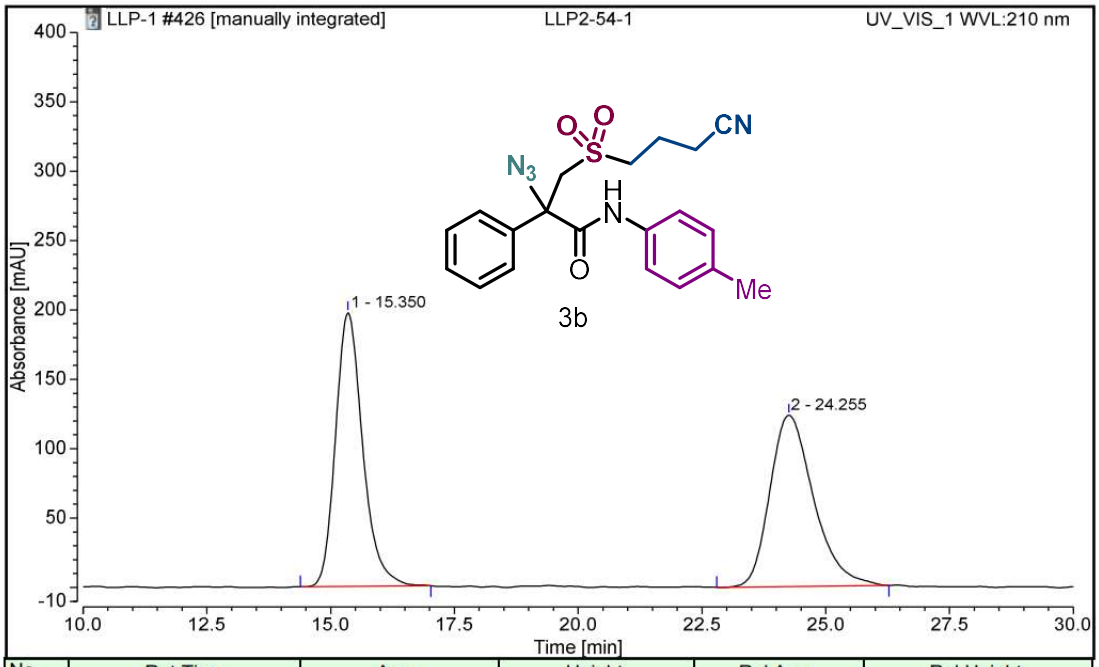
13.HPLC Analysis of the Products



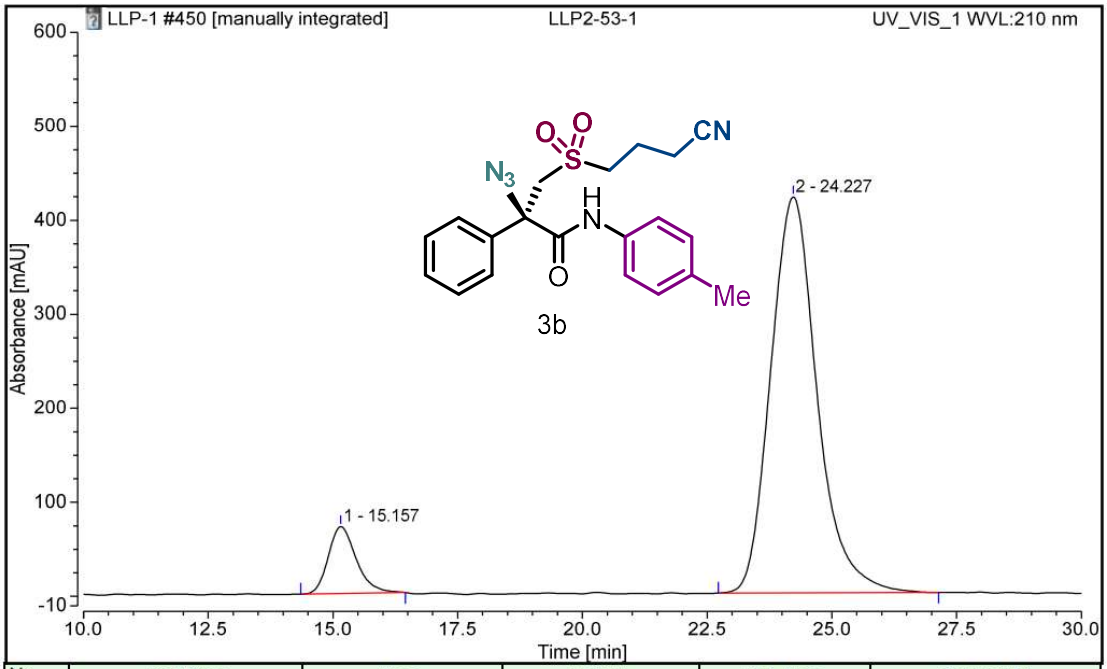
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	14.168	121.727	191.249	50.71	53.09
2	16.813	118.334	168.999	49.29	46.91
Total:		**240.060**	**360.249**	**100.00**	**100.00**



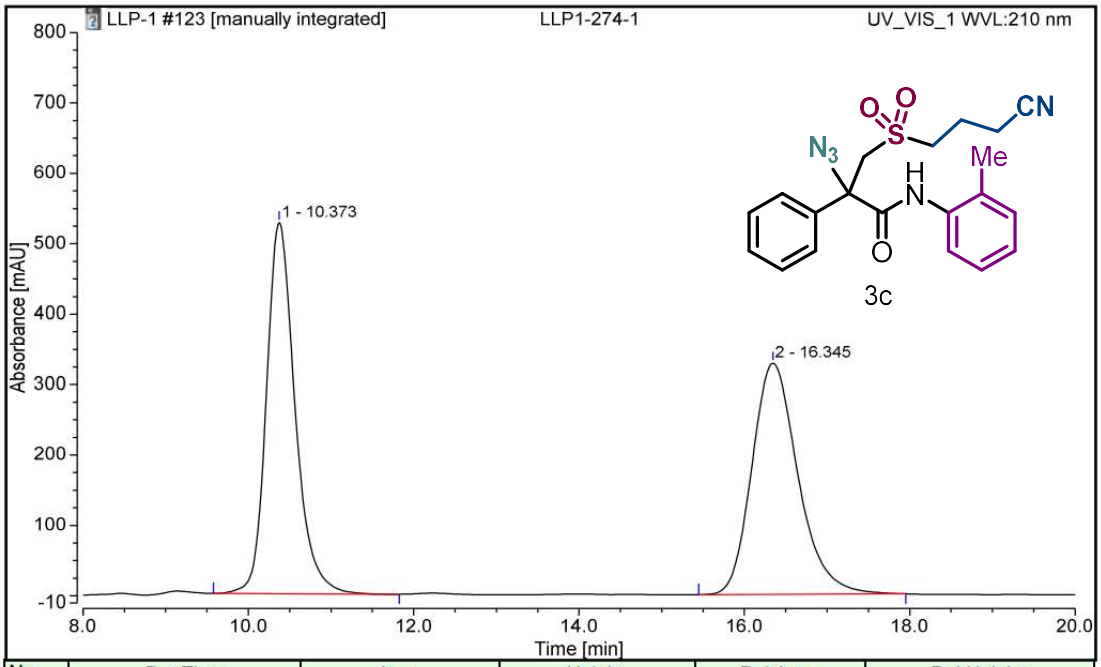
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	14.125	273.855	436.184	93.04	93.42
2	17.095	20.485	30.706	6.96	6.58
Total:		**294.340**	**466.890**	**100.00**	**100.00**



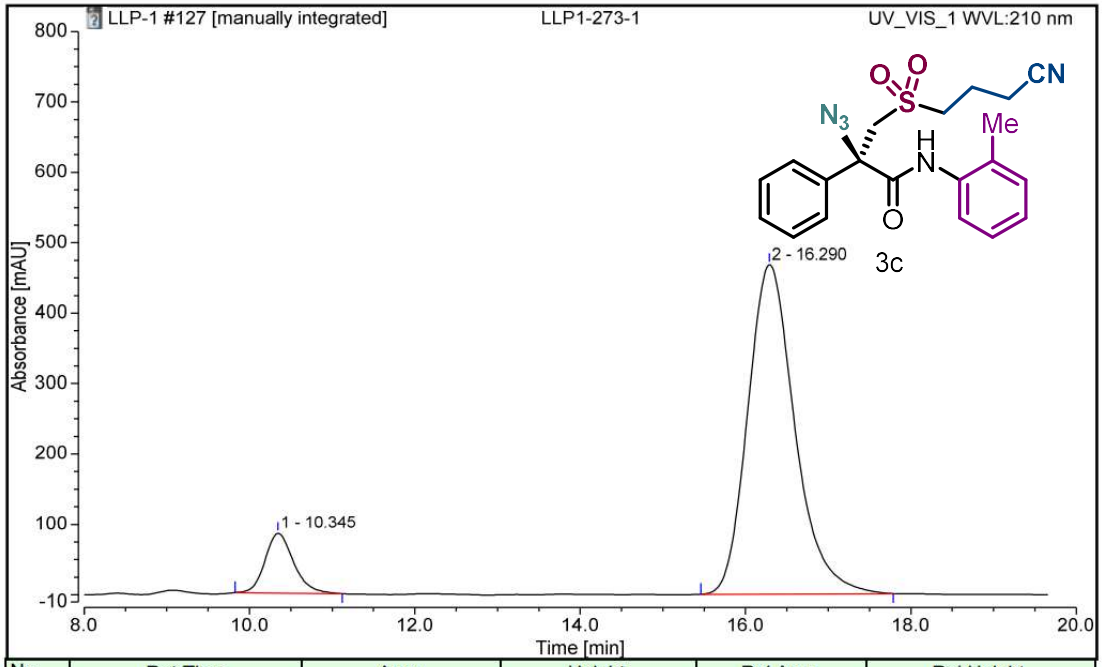
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	15.350	125.482	197.236	49.85	61.51
2	24.255	126.258	123.446	50.15	38.49
Total:		**251.740**	**320.682**	**100.00**	**100.00**



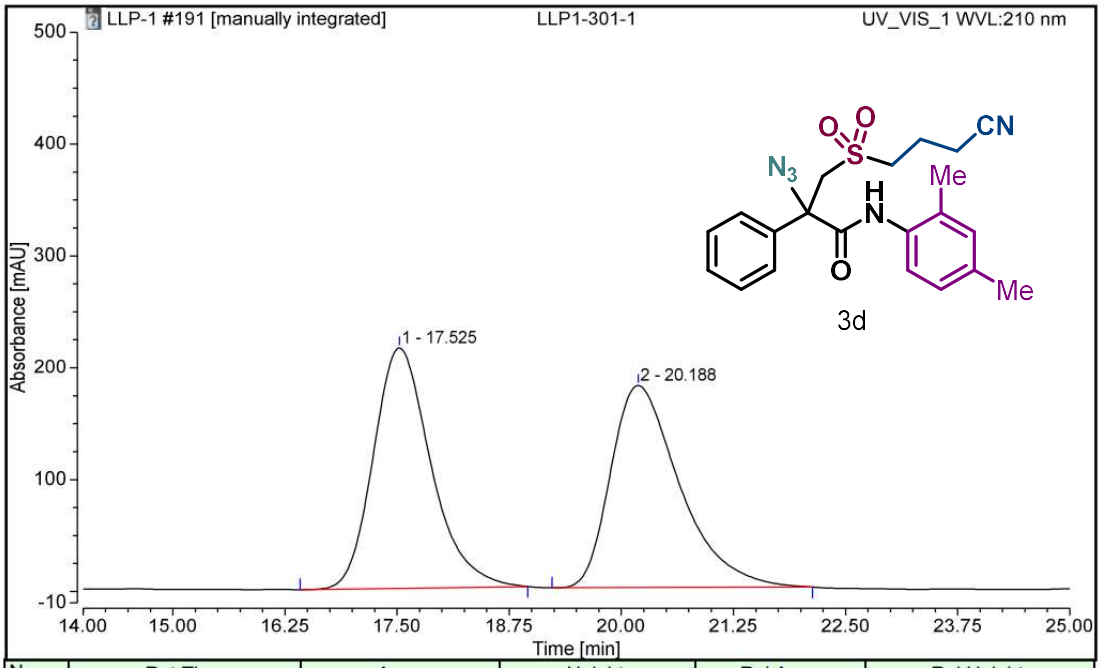
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	15.157	46.252	71.214	9.25	14.46
2	24.227	453.693	421.260	90.75	85.54
Total:		**499.945**	**492.474**	**100.00**	**100.00**



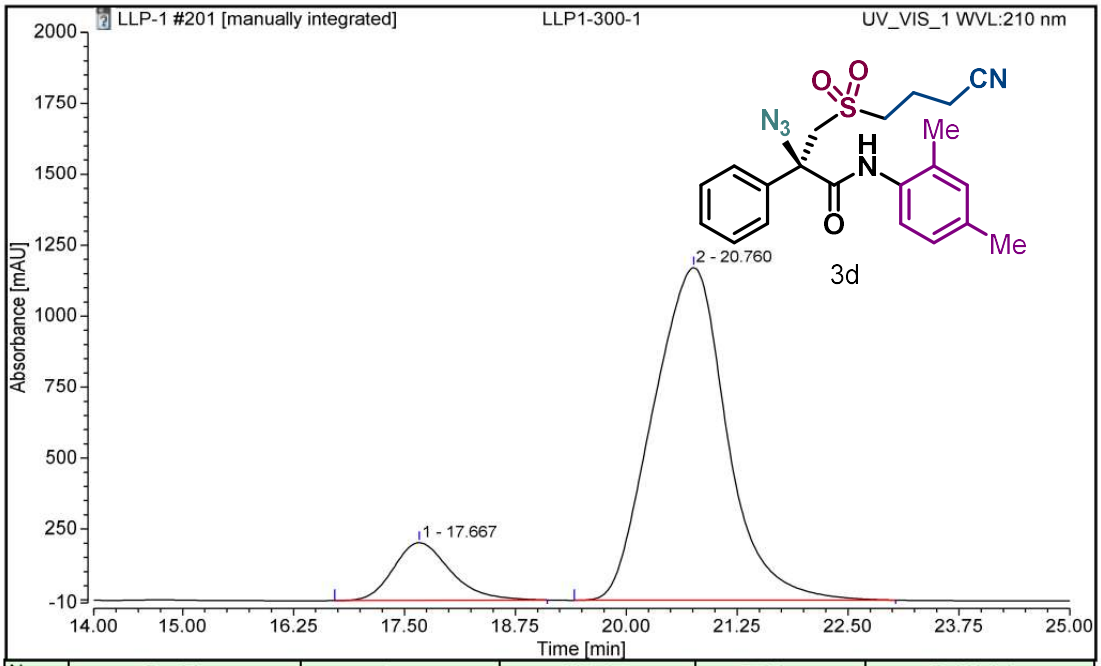
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	10.373	211.713	527.501	49.97	61.62
2	16.345	211.970	328.536	50.03	38.38
Total:		**423.683**	**856.037**	**100.00**	**100.00**



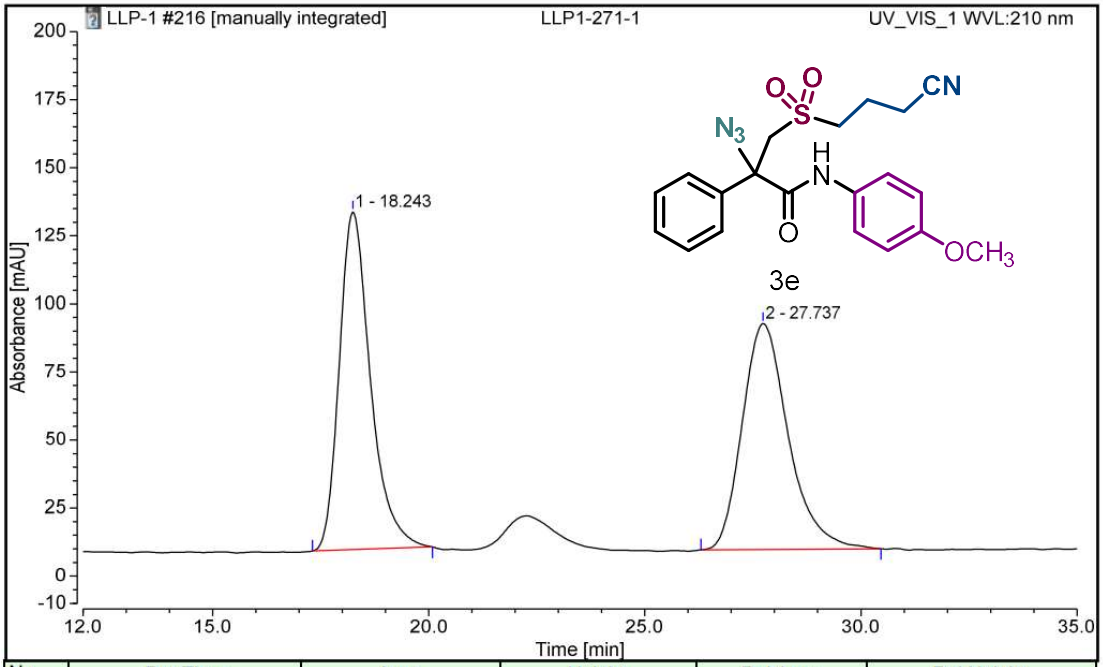
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	10.345	34.153	84.920	9.99	15.36
2	16.290	307.892	467.904	90.01	84.64
Total:		**342.045**	**552.823**	**100.00**	**100.00**



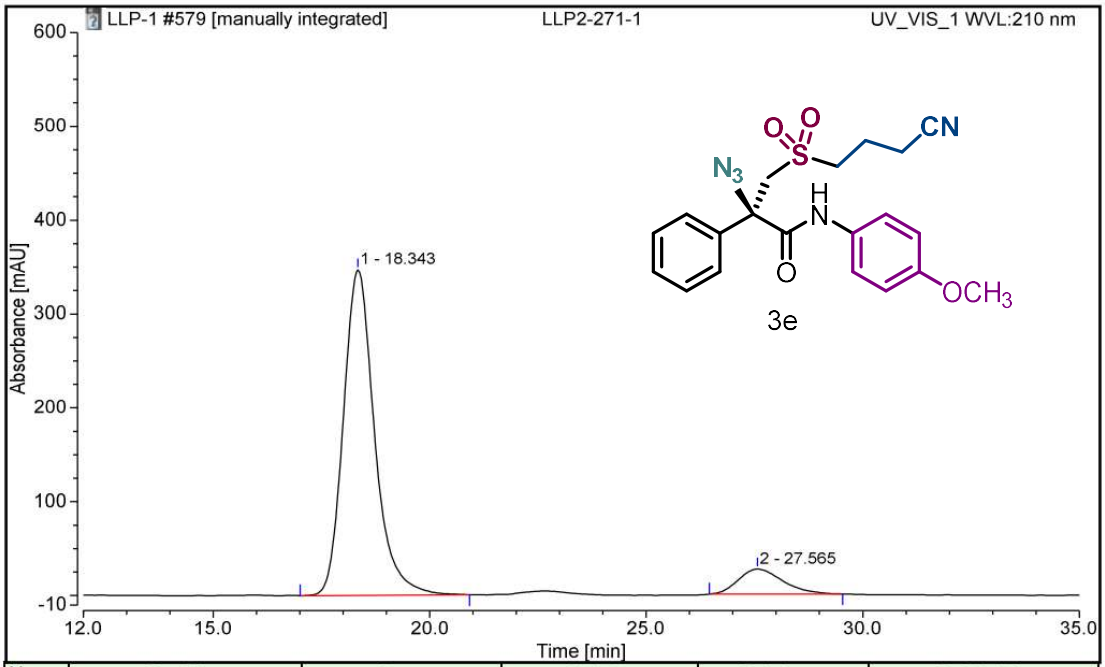
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	17.525	159.956	214.918	49.79	54.33
2	20.188	161.329	180.656	50.21	45.67
Total:		**321.285**	**395.574**	**100.00**	**100.00**



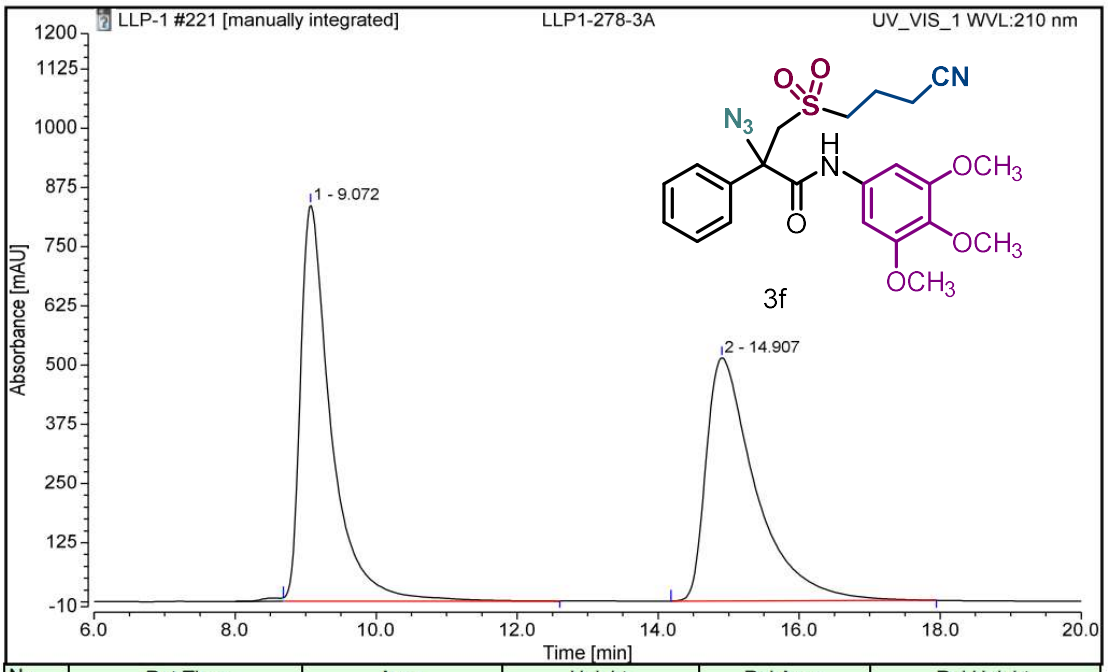
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	17.667	151.473	203.386	11.82	14.79
2	20.760	1130.106	1171.804	88.18	85.21
Total:		**1281.578**	**1375.190**	**100.00**	**100.00**



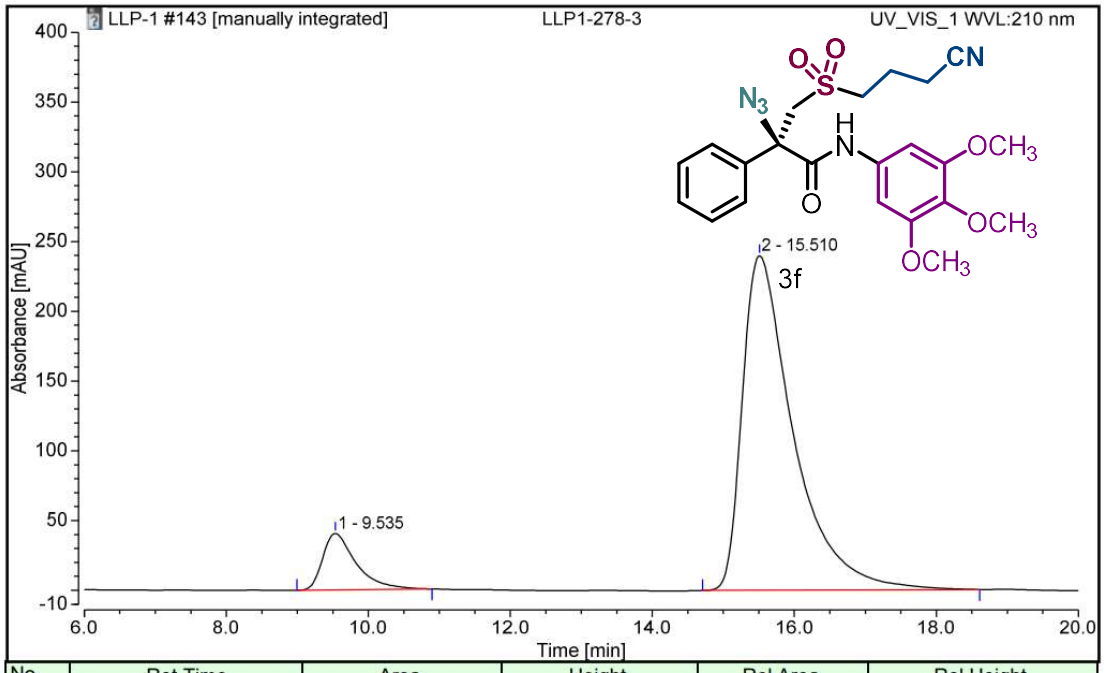
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	18.243	103.750	124.082	50.32	59.94
2	27.737	102.444	82.924	49.68	40.06
Total:		**206.194**	**207.006**	**100.00**	**100.00**



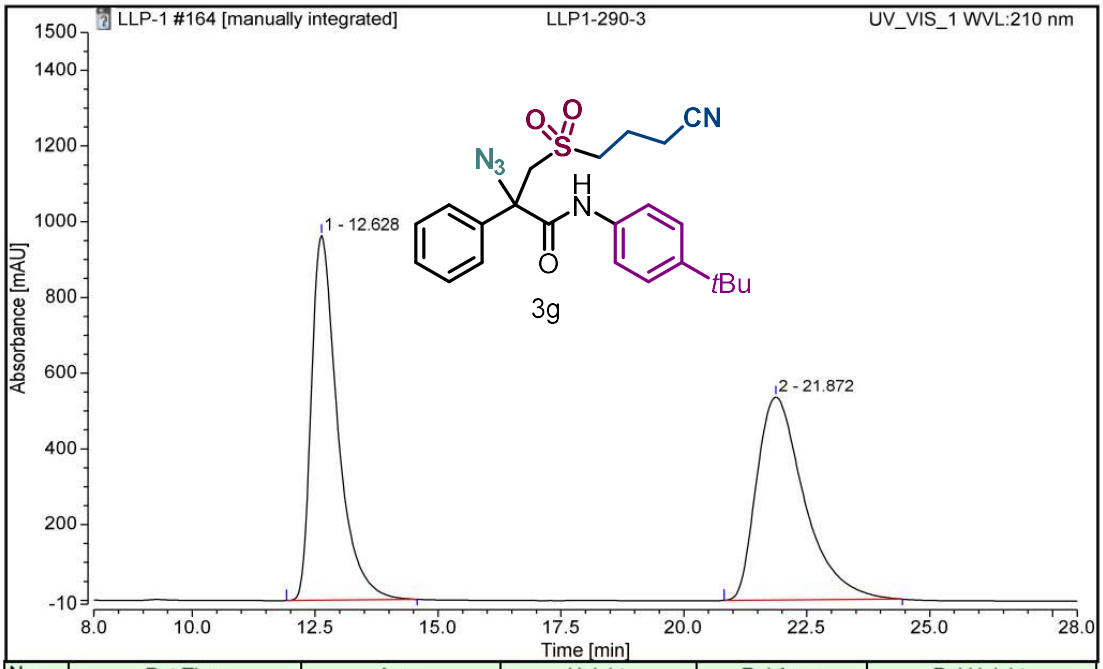
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	18.343	288.738	346.898	90.19	92.90
2	27.565	31.389	26.530	9.81	7.10
Total:		**320.127**	**373.428**	**100.00**	**100.00**



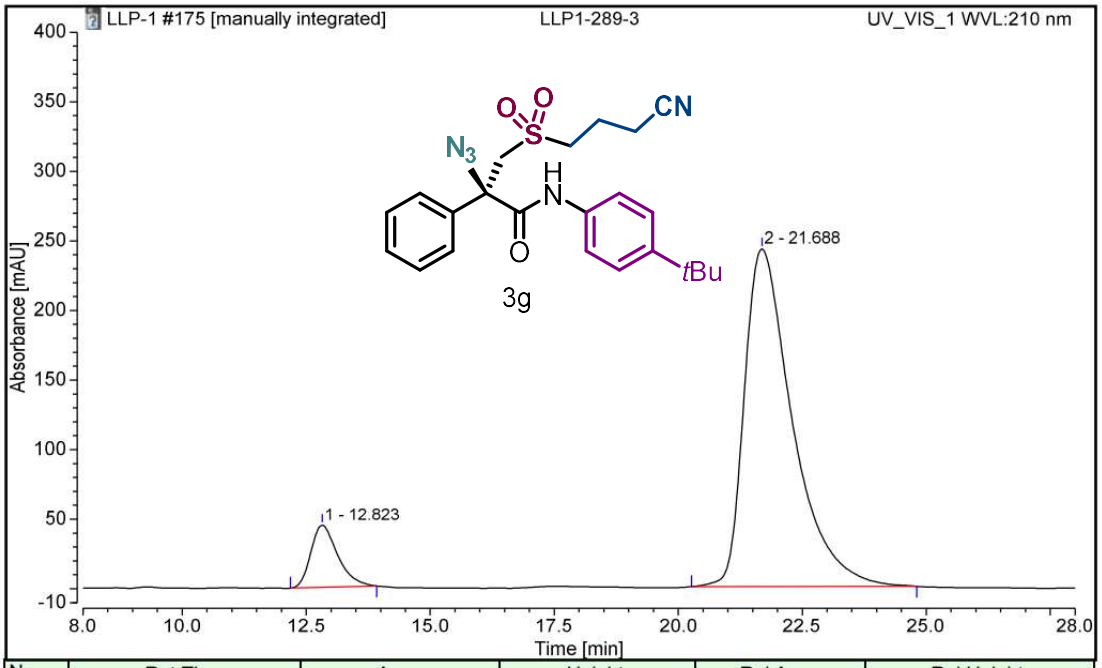
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	9.072	418.141	836.591	50.07	61.98
2	14.907	416.913	513.162	49.93	38.02
Total:		**835.054**	**1349.753**	**100.00**	**100.00**



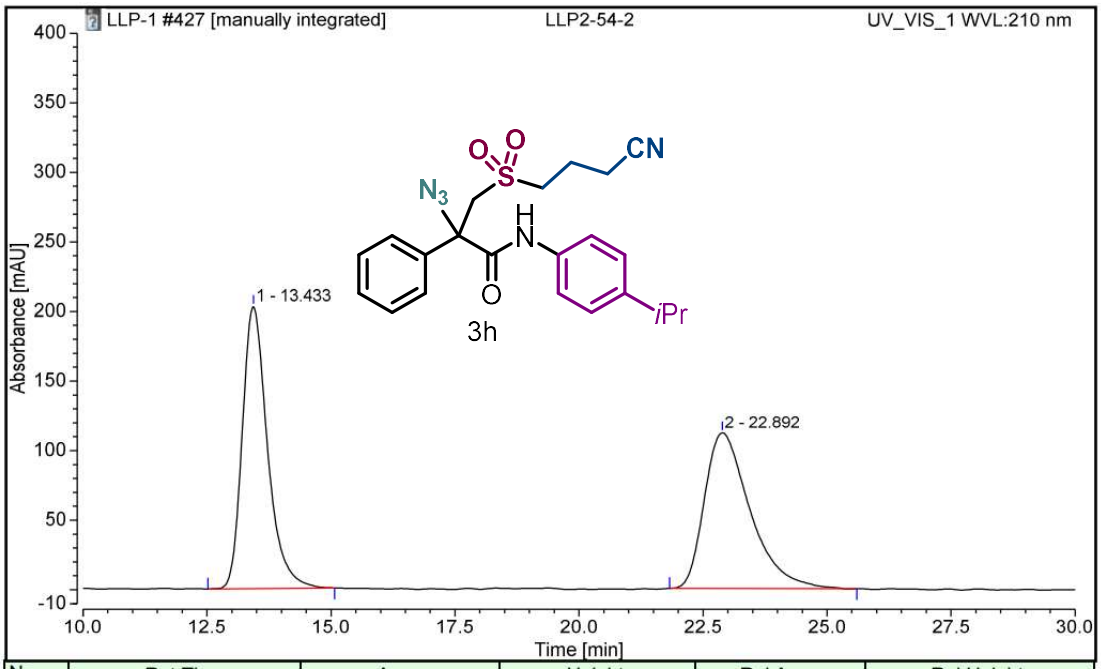
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	9.535	21.962	40.489	9.92	14.44
2	15.510	199.402	239.818	90.08	85.56
Total:		**221.363**	**280.307**	**100.00**	**100.00**



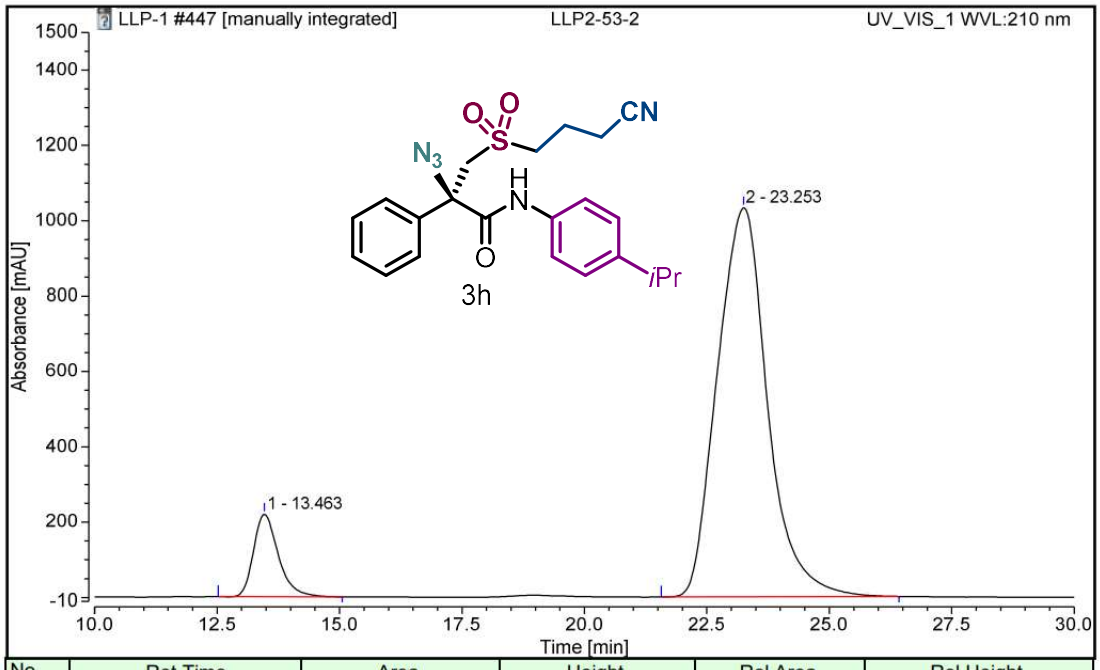
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	12.628	597.914	963.825	49.69	64.25
2	21.872	605.379	536.312	50.31	35.75
Total:		**1203.293**	**1500.137**	**100.00**	**100.00**



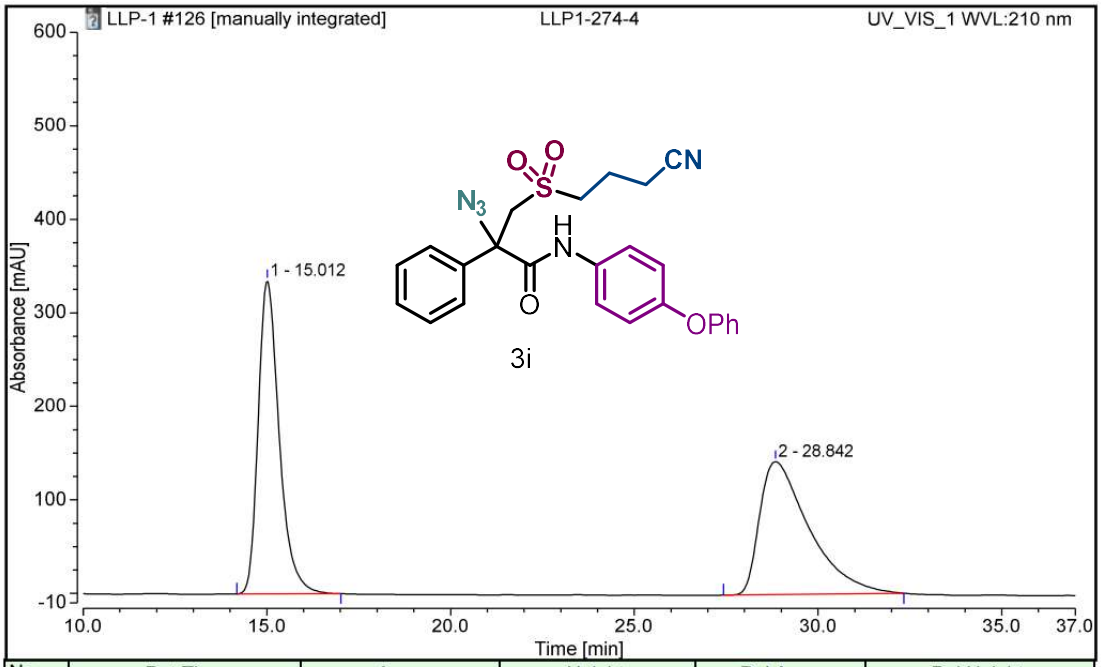
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	12.823	28.134	44.706	9.35	15.55
2	21.688	272.784	242.745	90.65	84.45
Total:		**300.918**	**287.451**	**100.00**	**100.00**



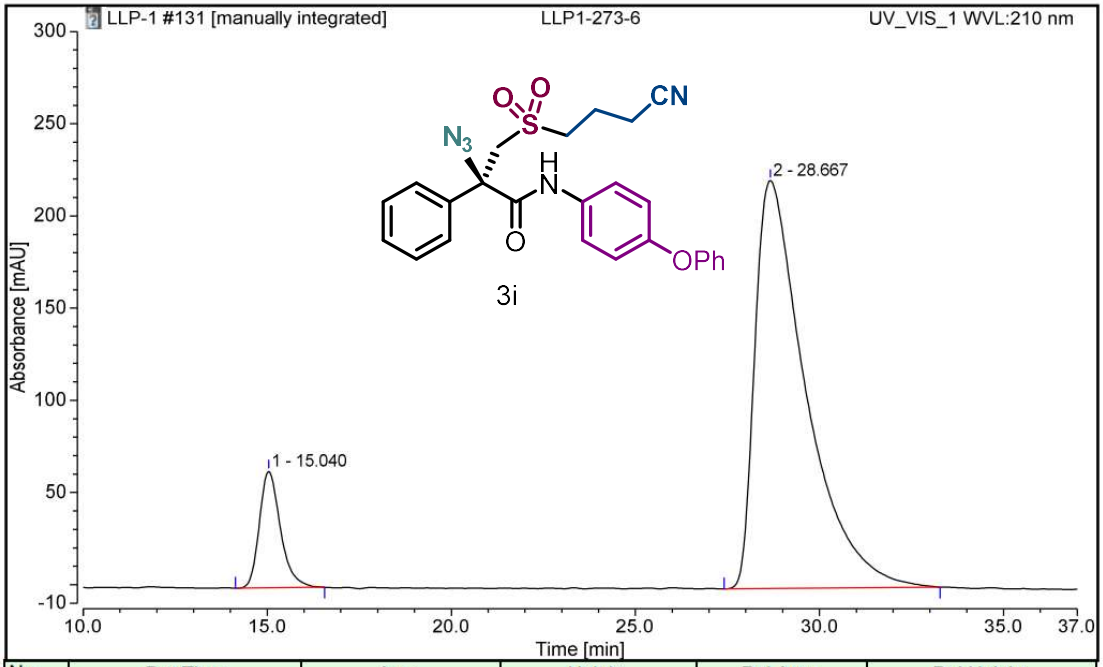
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	13.433	119.560	202.840	50.04	64.47
2	22.892	119.349	111.795	49.96	35.53
Total:		**238.909**	**314.635**	**100.00**	**100.00**



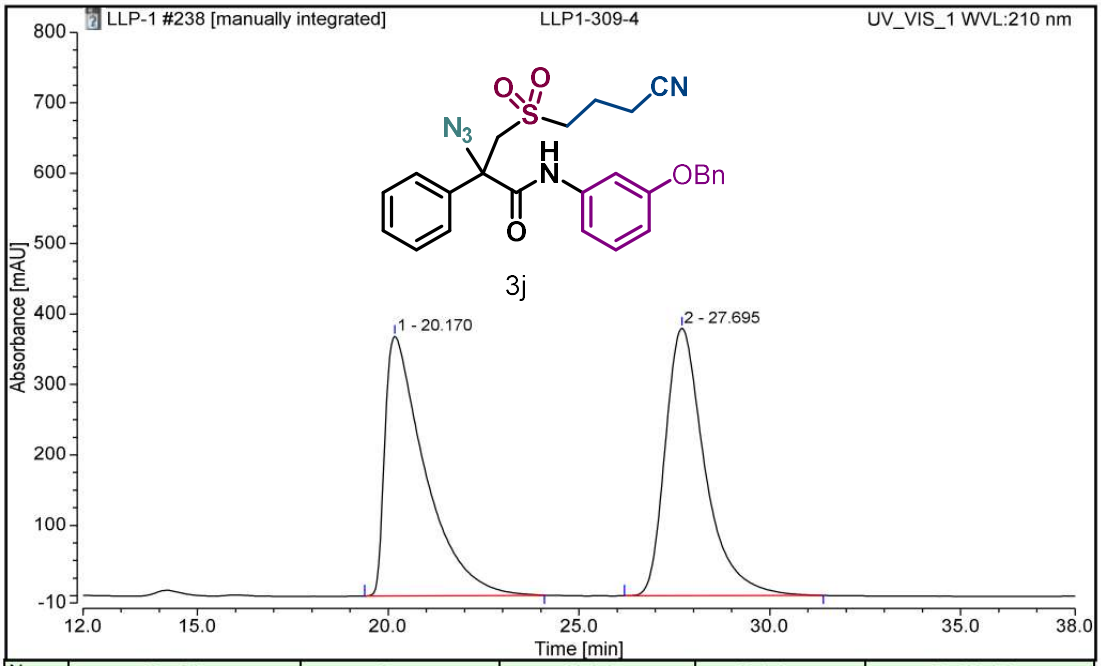
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	13.463	128.743	219.226	9.52	17.51
2	23.253	1223.690	1032.945	90.48	82.49
Total:		**1352.433**	**1252.170**	**100.00**	**100.00**



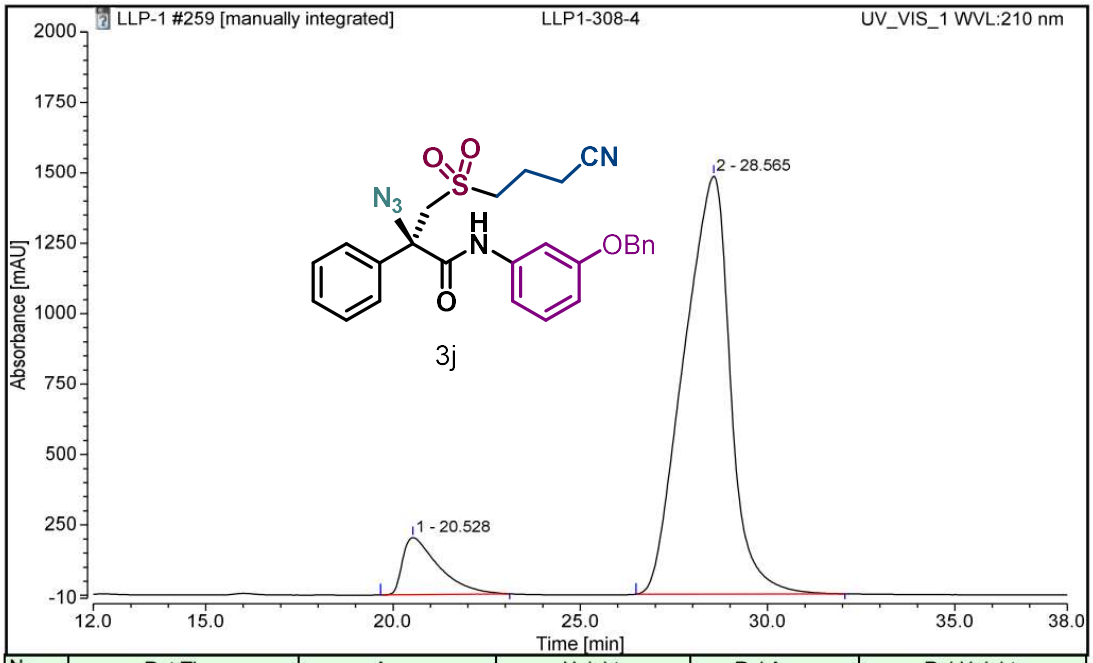
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	15.012	221.824	334.855	50.01	70.20
2	28.842	221.742	142.136	49.99	29.80
Total:		**443.565**	**476.991**	**100.00**	**100.00**



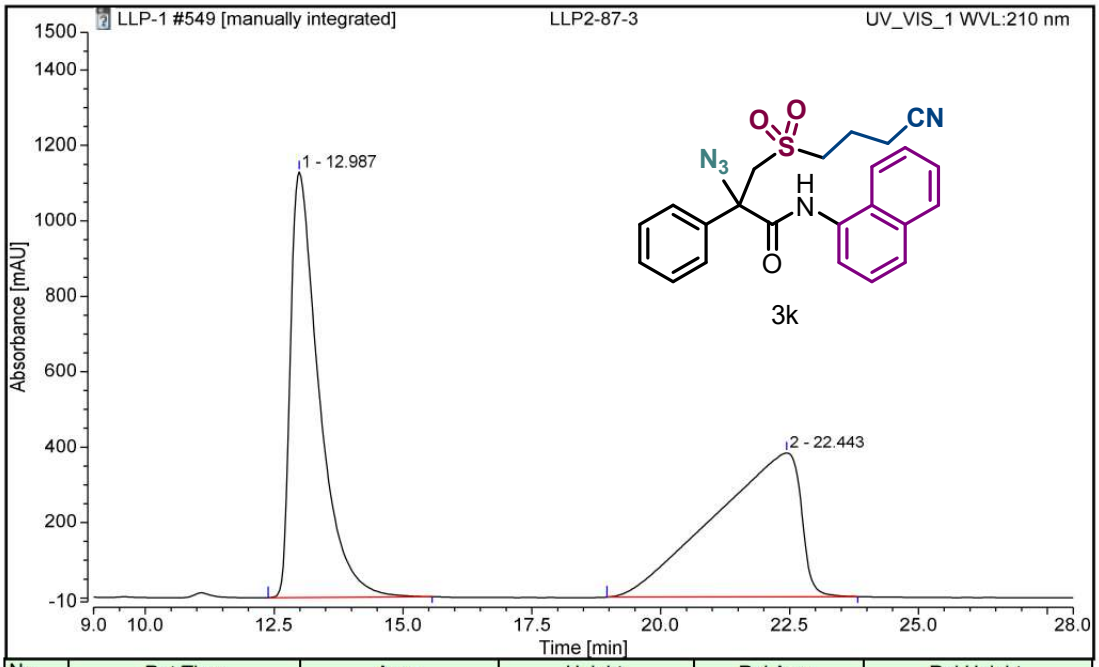
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	15.040	42.001	63.304	10.59	22.24
2	28.667	354.568	221.291	89.41	77.76
Total:		**396.569**	**284.594**	**100.00**	**100.00**



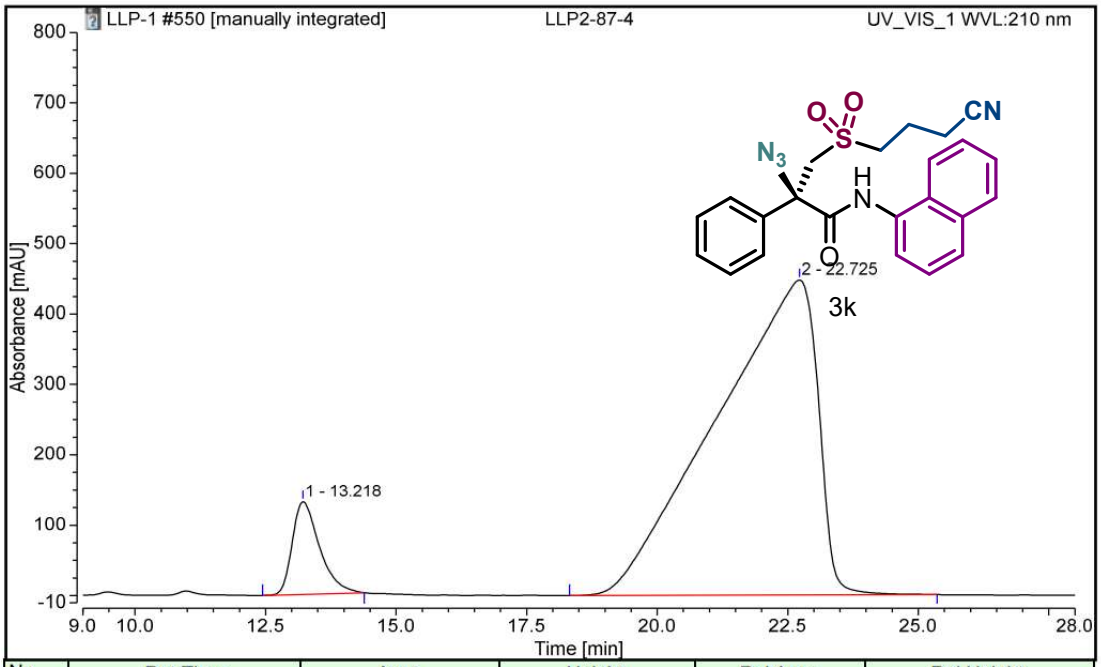
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	20.170	449.429	368.651	49.60	49.24
2	27.695	456.628	379.981	50.40	50.76
Total:		**906.057**	**748.632**	**100.00**	**100.00**



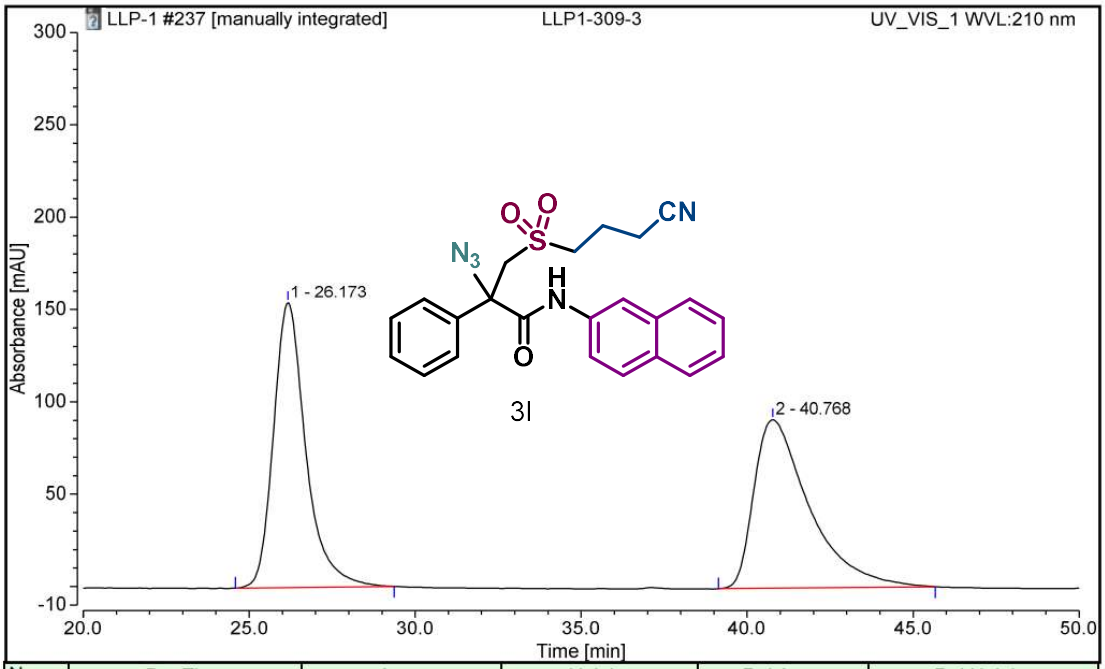
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	20.528	226.307	202.383	9.60	12.00
2	28.565	2132.146	1483.667	90.40	88.00
Total:		**2358.452**	**1686.051**	**100.00**	**100.00**



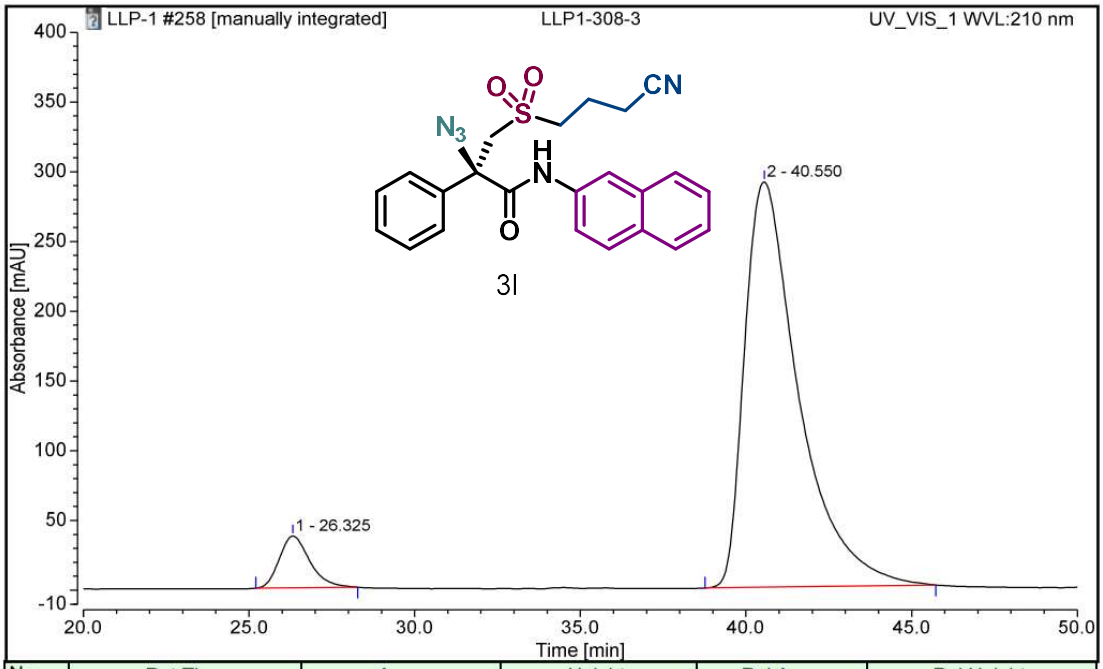
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	12.987	726.310	1128.722	49.55	74.75
2	22.443	739.549	381.334	50.45	25.25
Total:		**1465.859**	**1510.055**	**100.00**	**100.00**



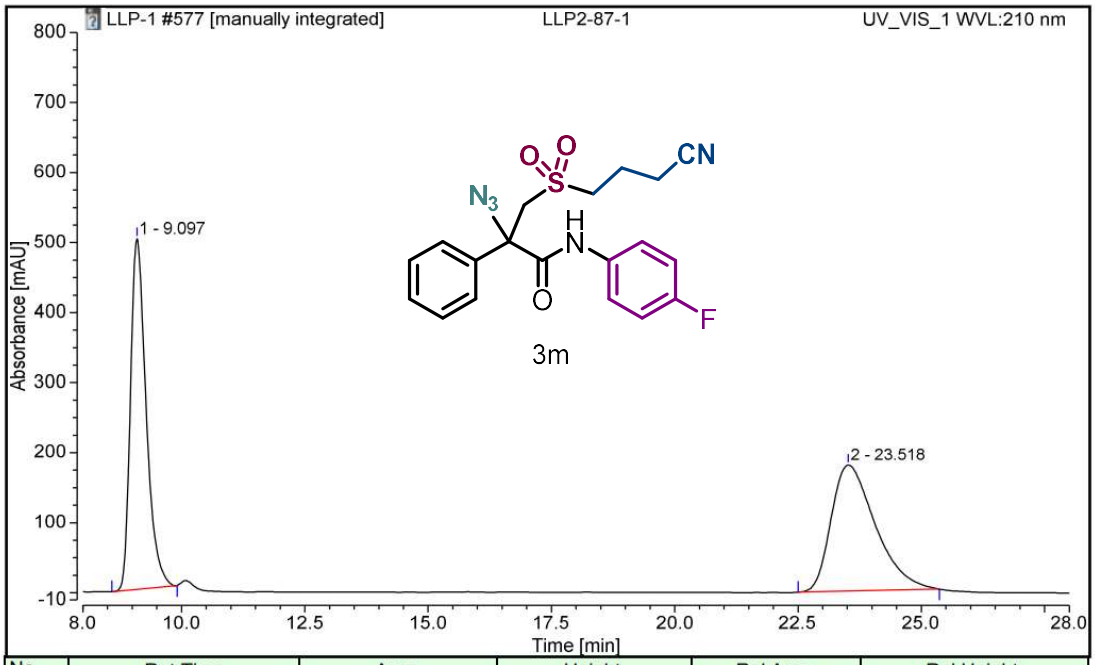
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	13.218	79.575	131.710	7.33	22.74
2	22.725	1006.426	447.458	92.67	77.26
Total:		**1086.001**	**579.169**	**100.00**	**100.00**



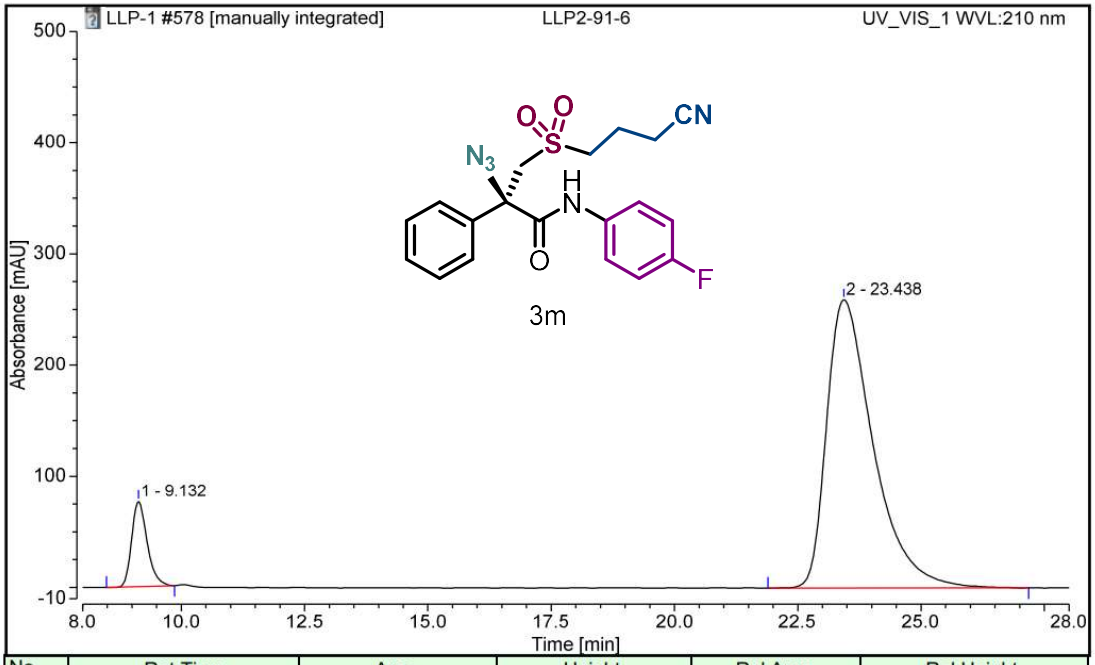
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	26.173	174.142	154.381	49.64	62.84
2	40.768	176.662	91.277	50.36	37.16
Total:		**350.804**	**245.659**	**100.00**	**100.00**



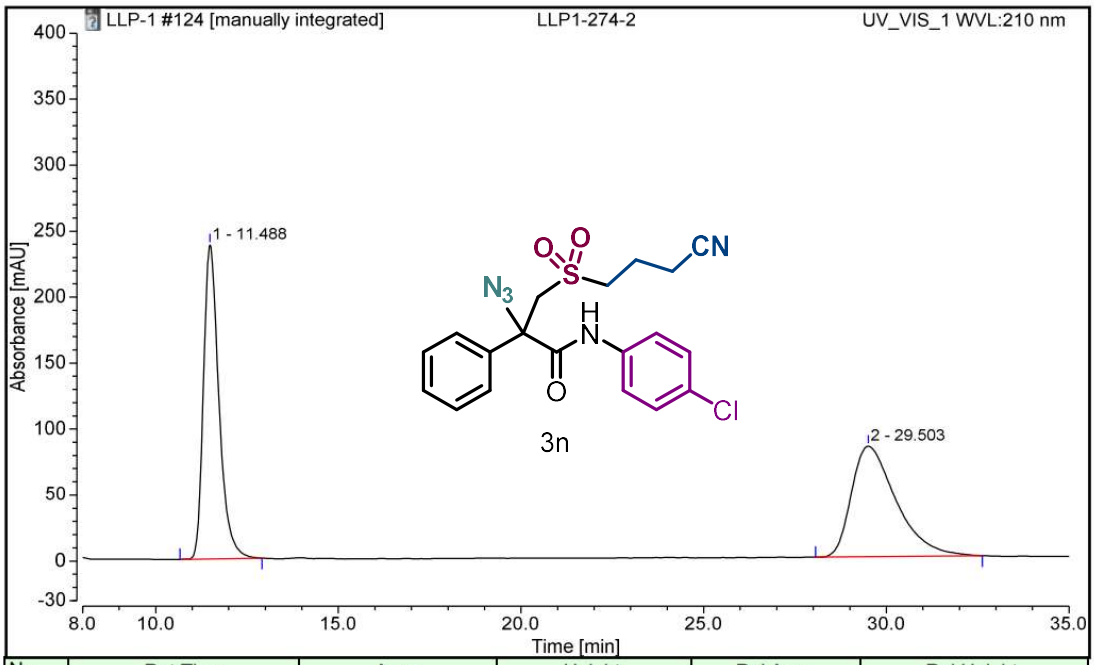
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	26.325	39.641	37.194	6.72	11.34
2	40.550	549.904	290.665	93.28	88.66
Total:		**589.545**	**327.859**	**100.00**	**100.00**



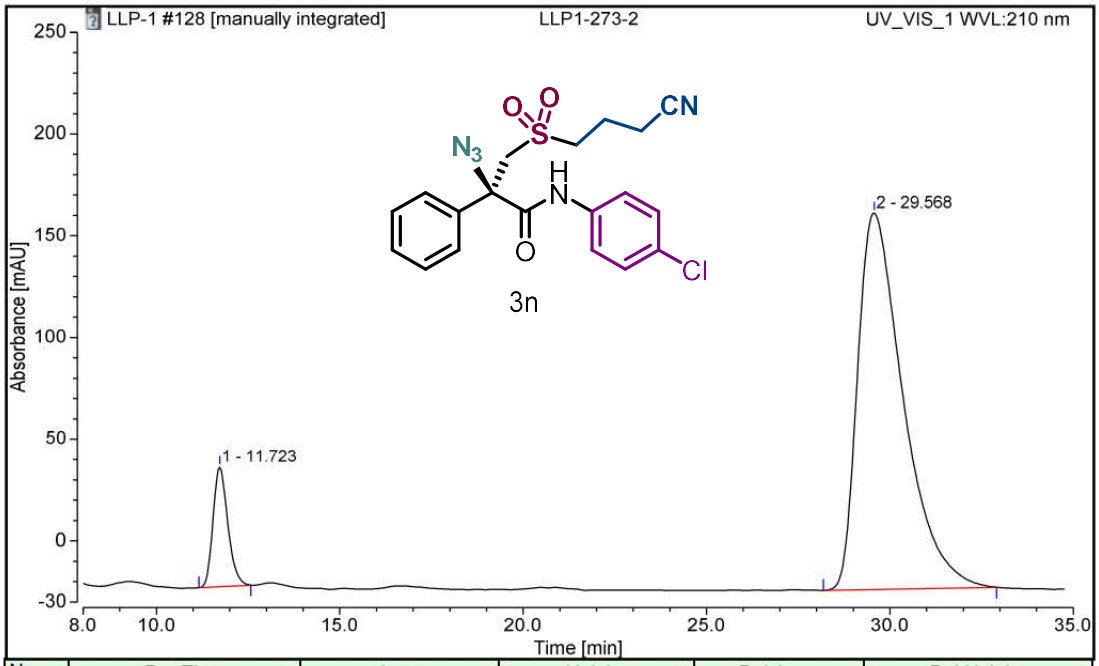
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	9.097	183.903	500.431	49.61	73.56
2	23.518	186.814	179.896	50.39	26.44
Total:		**370.717**	**680.327**	**100.00**	**100.00**



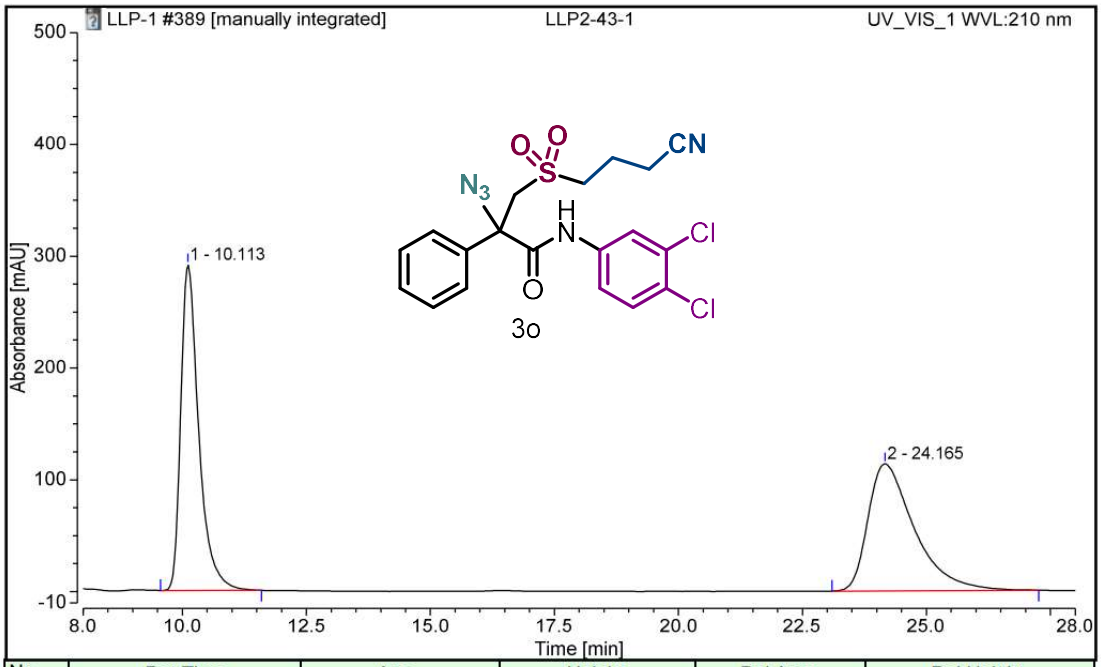
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	9.132	27.984	76.716	8.97	22.83
2	23.438	284.015	259.290	91.03	77.17
Total:		**311.999**	**336.006**	**100.00**	**100.00**



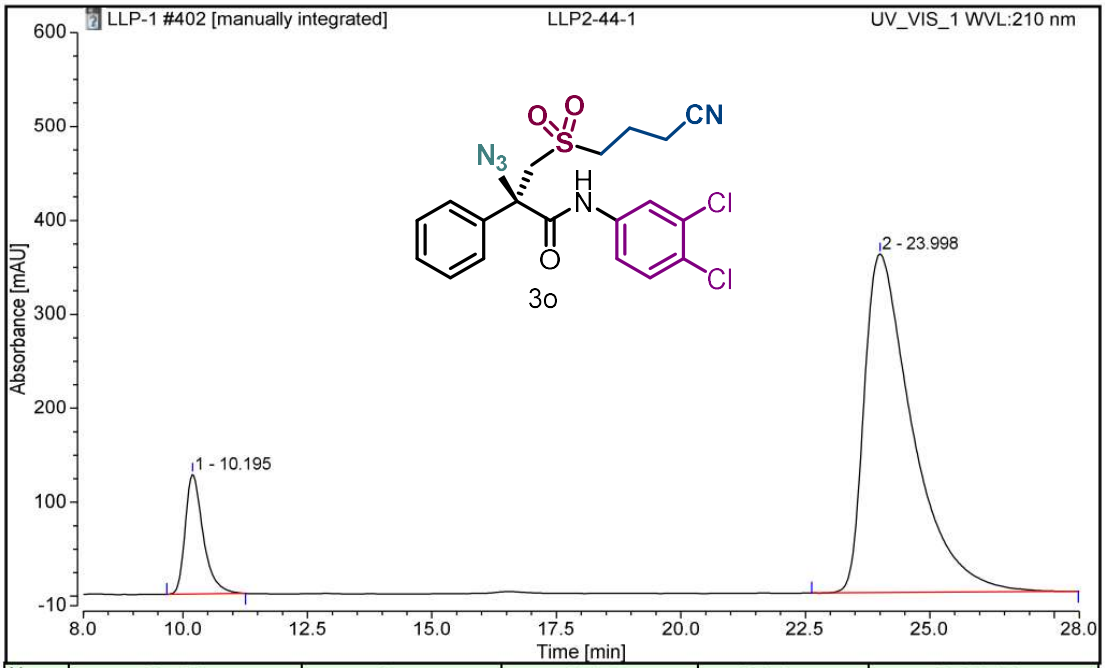
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	11.488	116.571	237.993	49.54	73.96
2	29.503	118.748	83.790	50.46	26.04
Total:		**235.319**	**321.783**	**100.00**	**100.00**



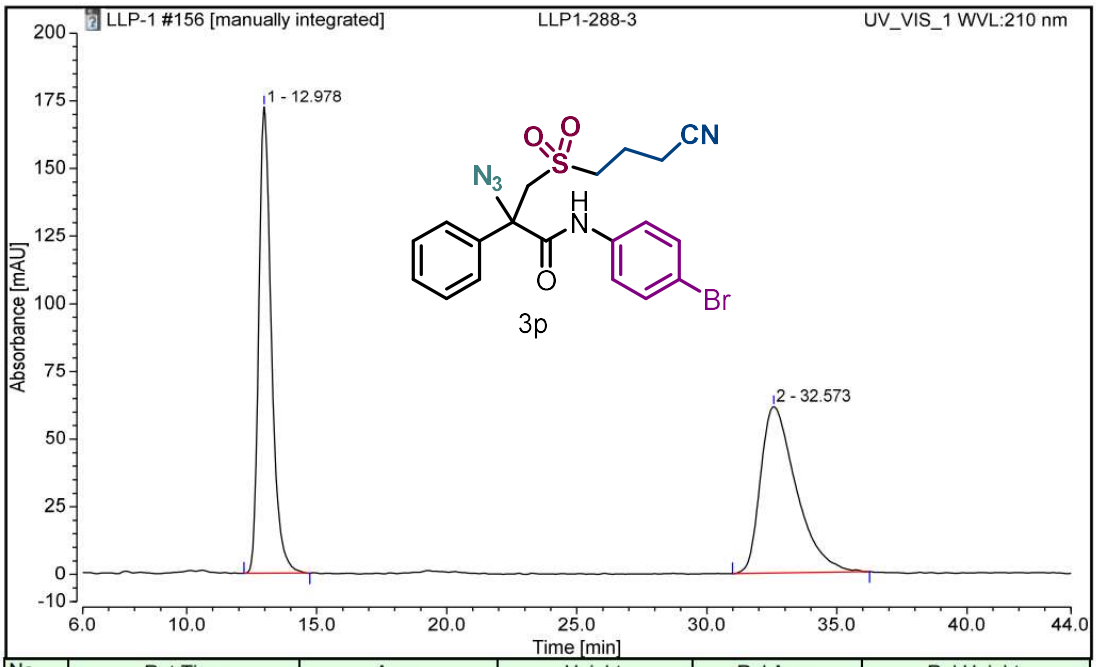
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	11.723	27.479	58.786	9.37	24.10
2	29.568	265.939	185.109	90.63	75.90
Total:		**293.418**	**243.894**	**100.00**	**100.00**



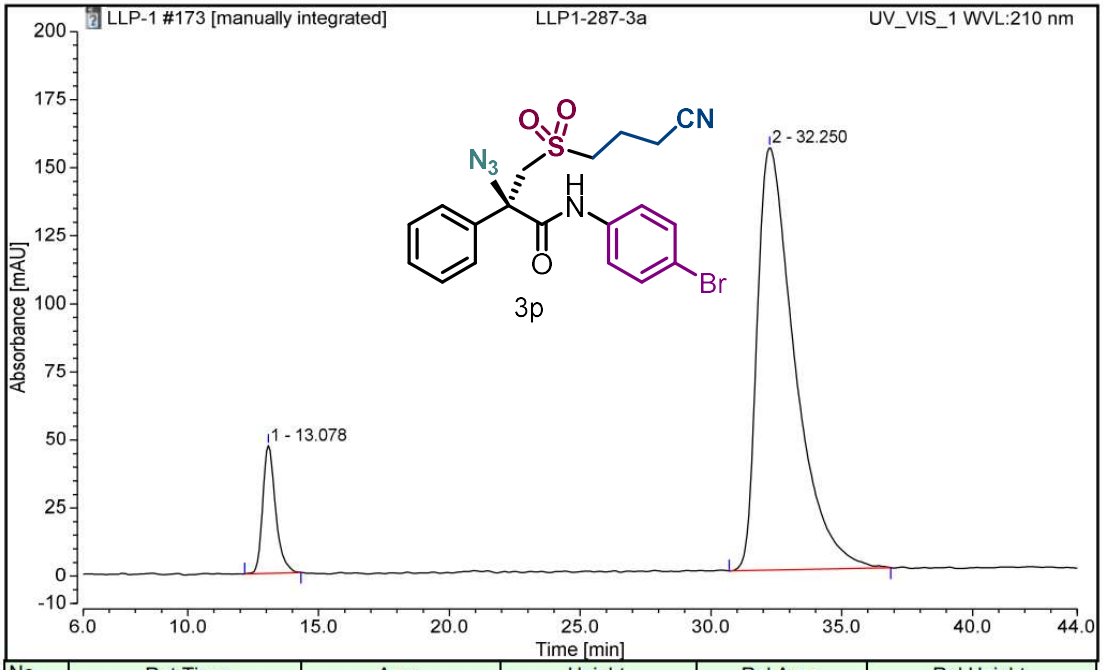
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	10.113	122.322	291.315	49.90	71.95
2	24.165	122.817	113.582	50.10	28.05
Total:		**245.139**	**404.897**	**100.00**	**100.00**



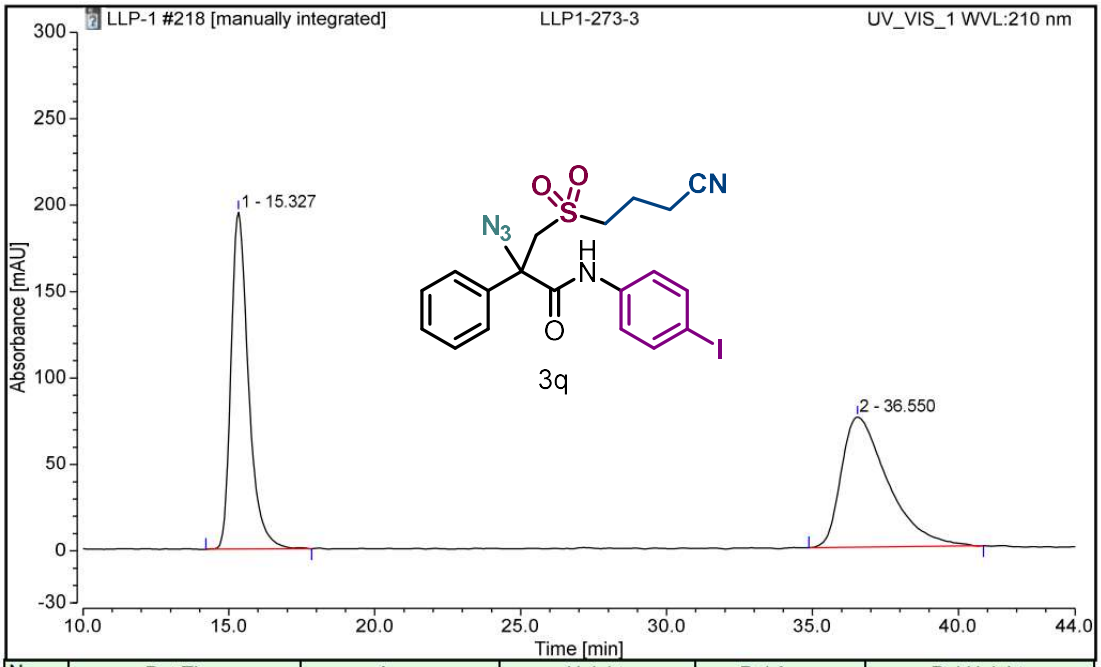
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	10.195	53.408	127.407	11.67	26.12
2	23.998	404.158	360.452	88.33	73.88
Total:		**457.567**	**487.860**	**100.00**	**100.00**



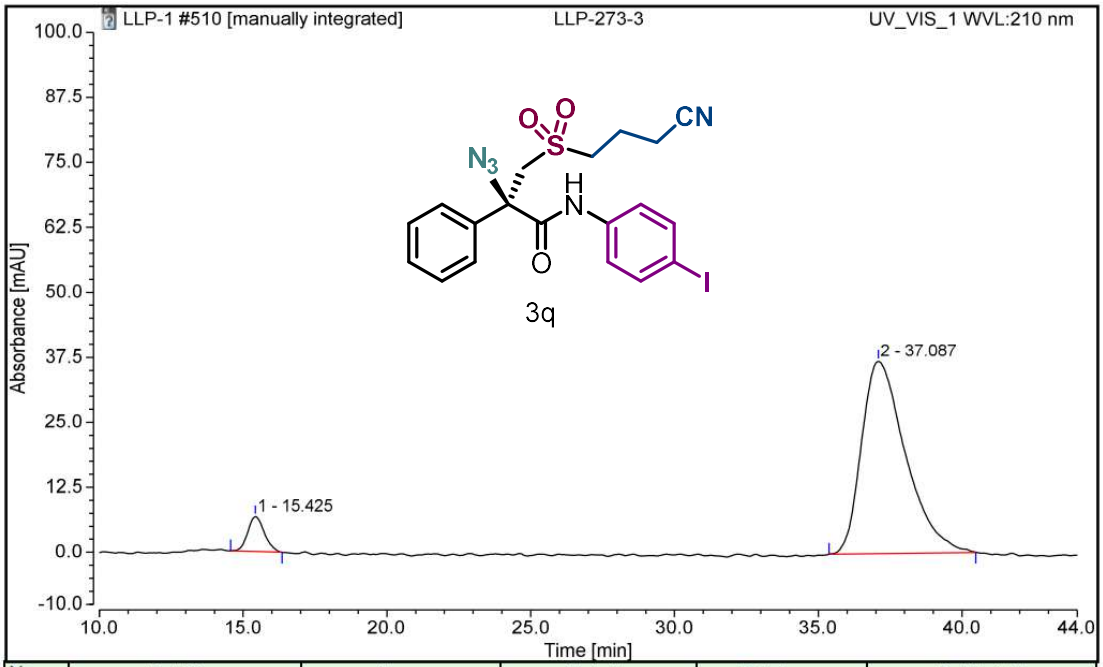
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	12.978	97.133	172.261	49.78	73.69
2	32.573	97.994	61.496	50.22	26.31
Total:		**195.128**	**233.757**	**100.00**	**100.00**



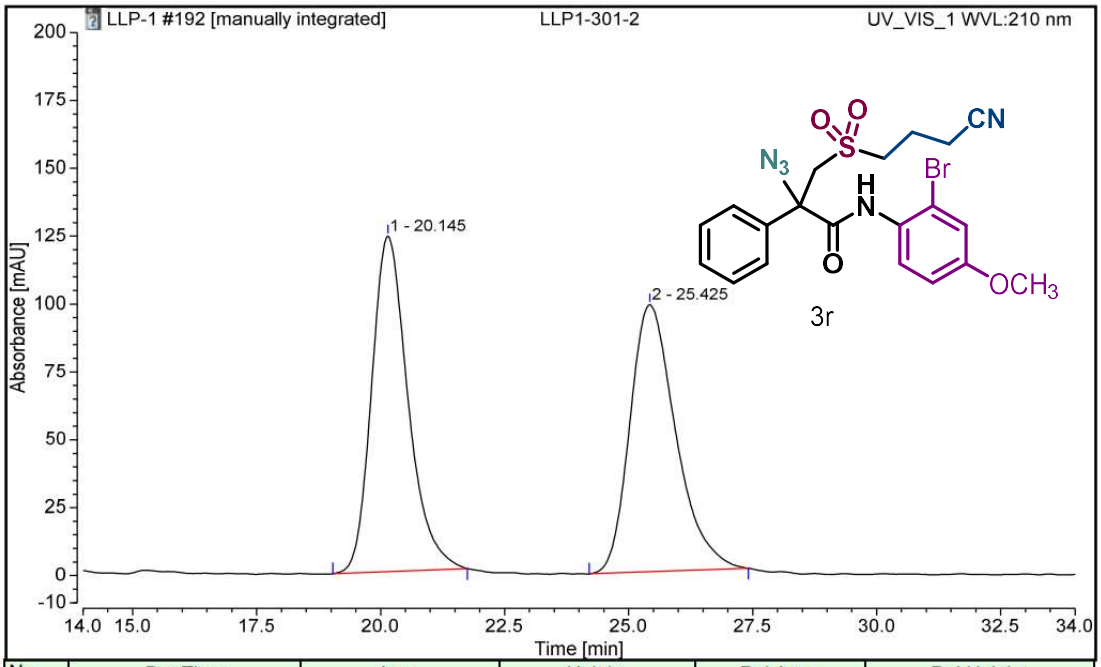
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	13.078	26.860	46.926	9.43	23.22
2	32.250	257.977	155.181	90.57	76.78
Total:		**284.837**	**202.107**	**100.00**	**100.00**



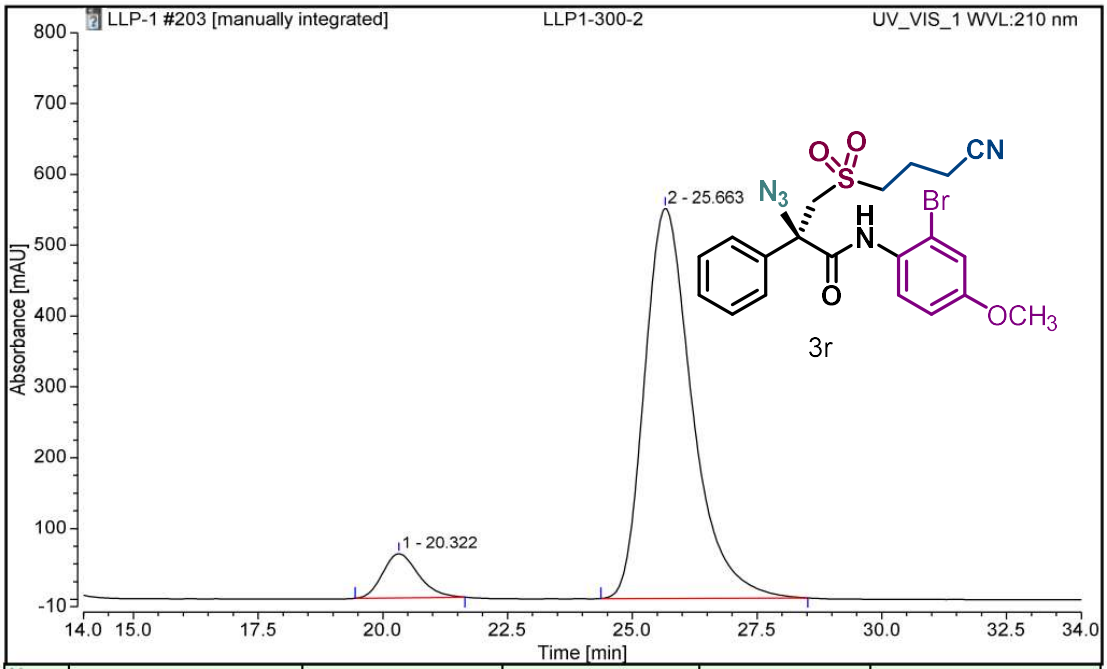
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	15.327	135.498	194.968	49.28	72.15
2	36.550	139.454	75.251	50.72	27.85
Total:		**274.952**	**270.219**	**100.00**	**100.00**



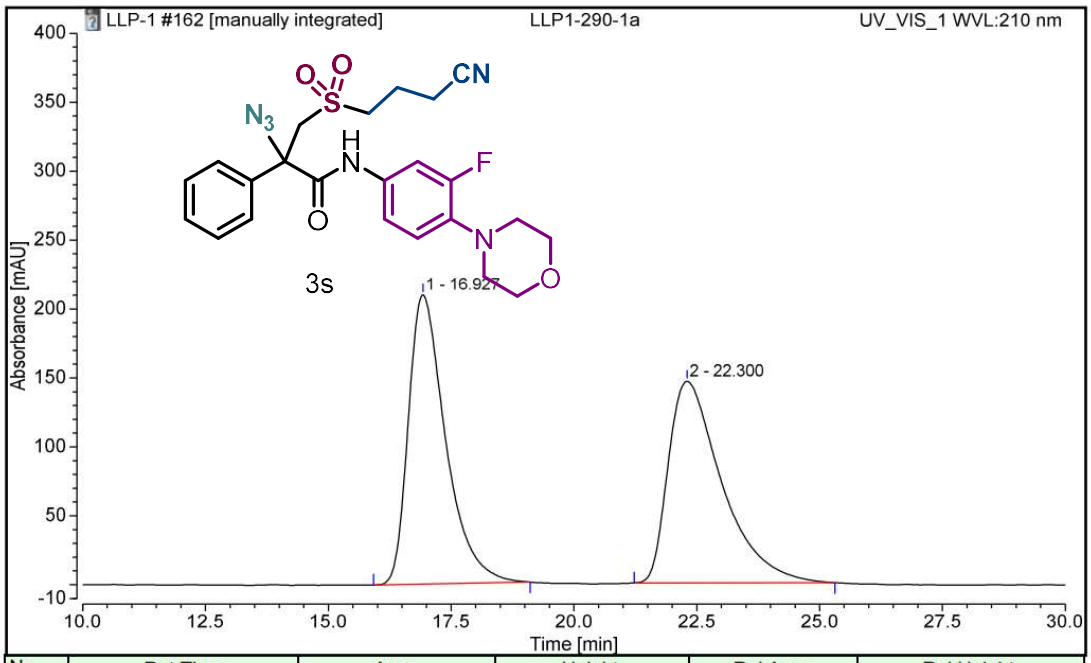
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	15.425	4.502	6.716	6.42	15.36
2	37.087	65.655	37.012	93.58	84.64
Total:		**70.157**	**43.728**	**100.00**	**100.00**



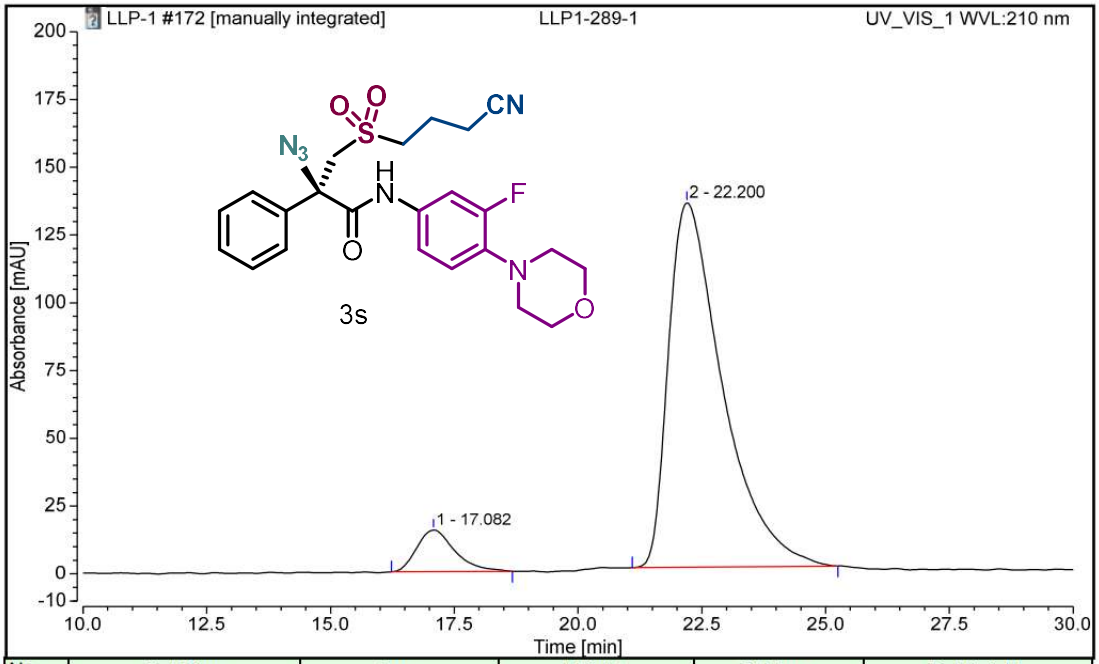
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	20.145	104.936	123.586	49.90	55.68
2	25.425	105.343	98.359	50.10	44.32
Total:		**210.279**	**221.944**	**100.00**	**100.00**



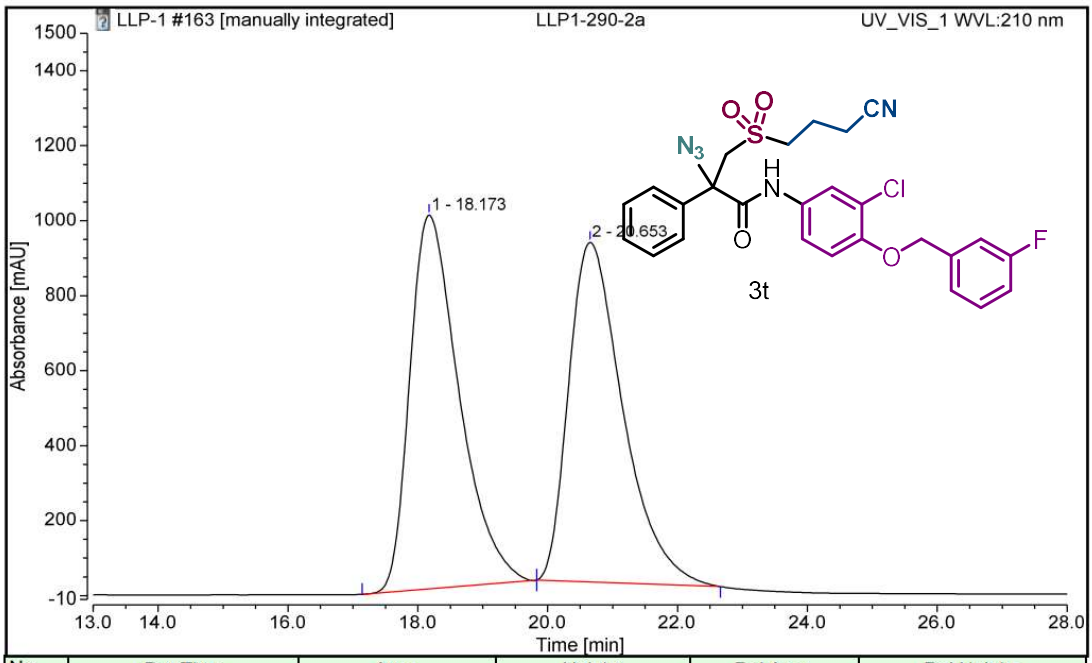
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	20.322	51.728	62.325	7.82	10.17
2	25.663	609.584	550.459	92.18	89.83
Total:		**661.312**	**612.785**	**100.00**	**100.00**



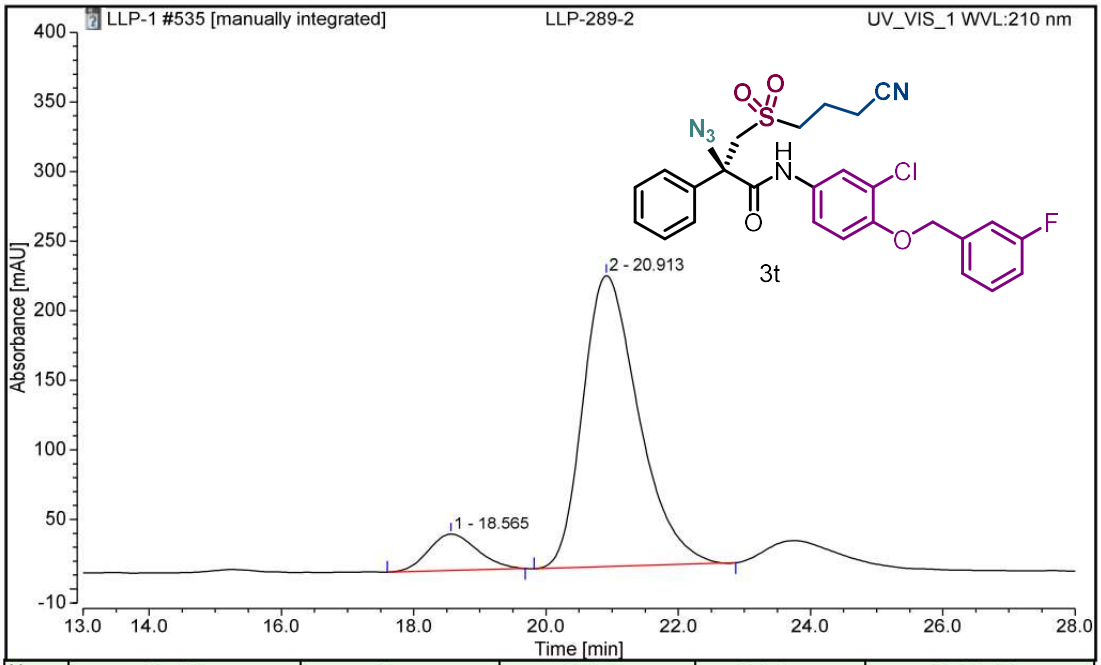
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	16.927	184.585	209.930	49.95	58.93
2	22.300	184.976	146.291	50.05	41.07
Total:		**369.561**	**356.221**	**100.00**	**100.00**



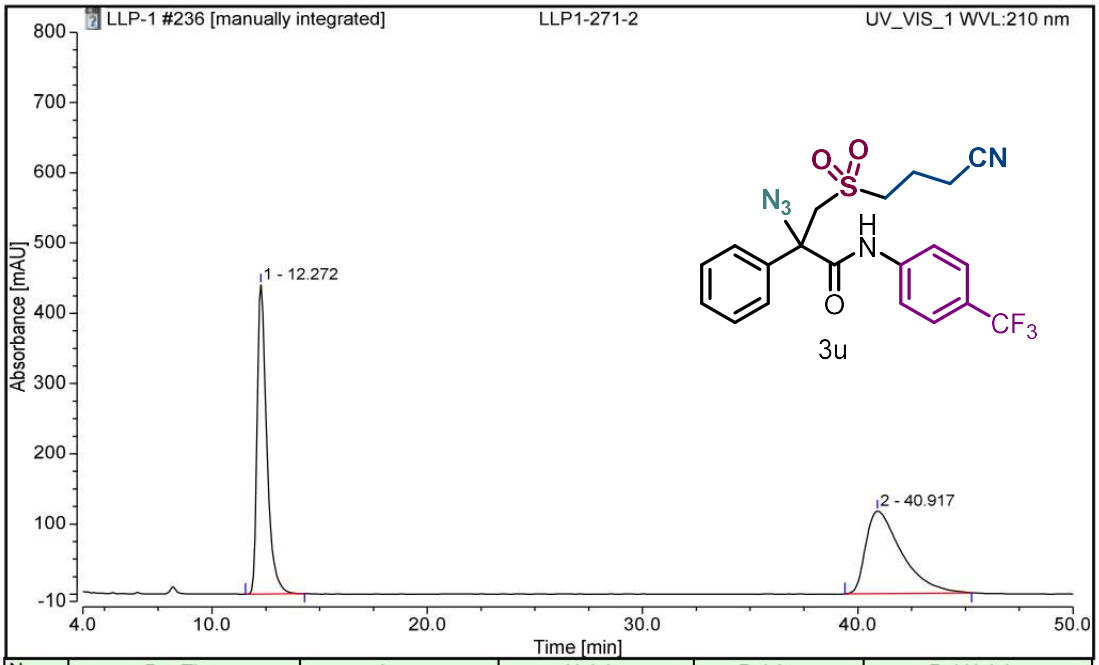
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	17.082	13.628	15.322	7.40	10.23
2	22.200	170.584	134.441	92.60	89.77
Total:		**184.212**	**149.763**	**100.00**	**100.00**



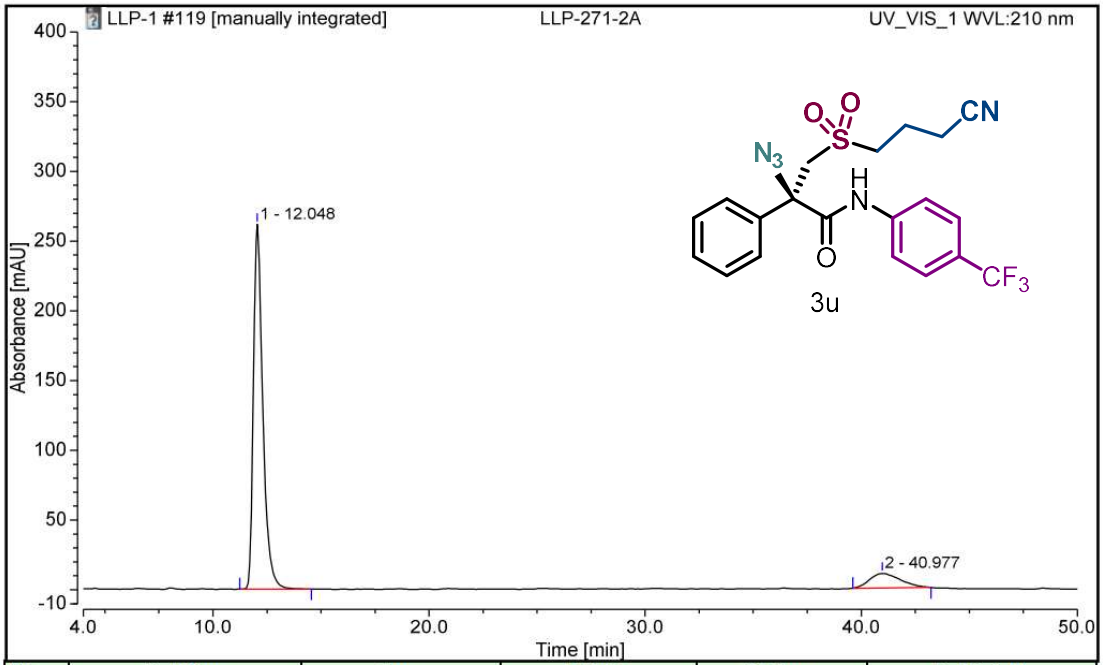
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	18.173	874.163	997.135	49.92	52.39
2	20.653	877.051	906.202	50.08	47.61
Total:		**1751.213**	**1903.337**	**100.00**	**100.00**



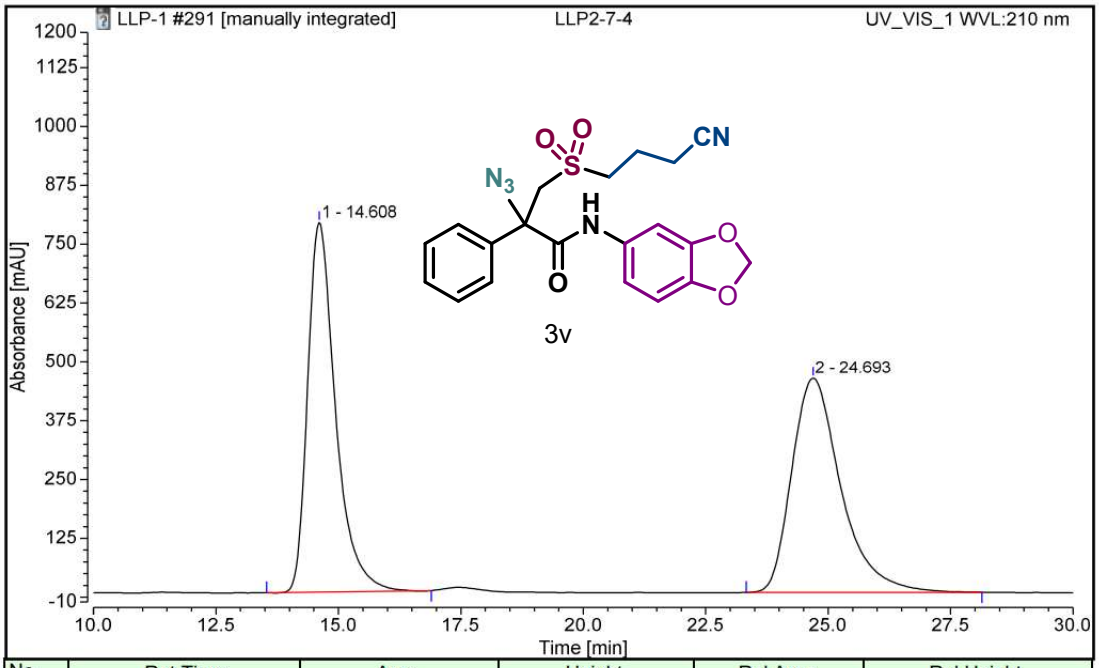
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	18.565	22.305	26.183	9.87	11.13
2	20.913	203.672	209.129	90.13	88.87
Total:		**225.977**	**235.312**	**100.00**	**100.00**



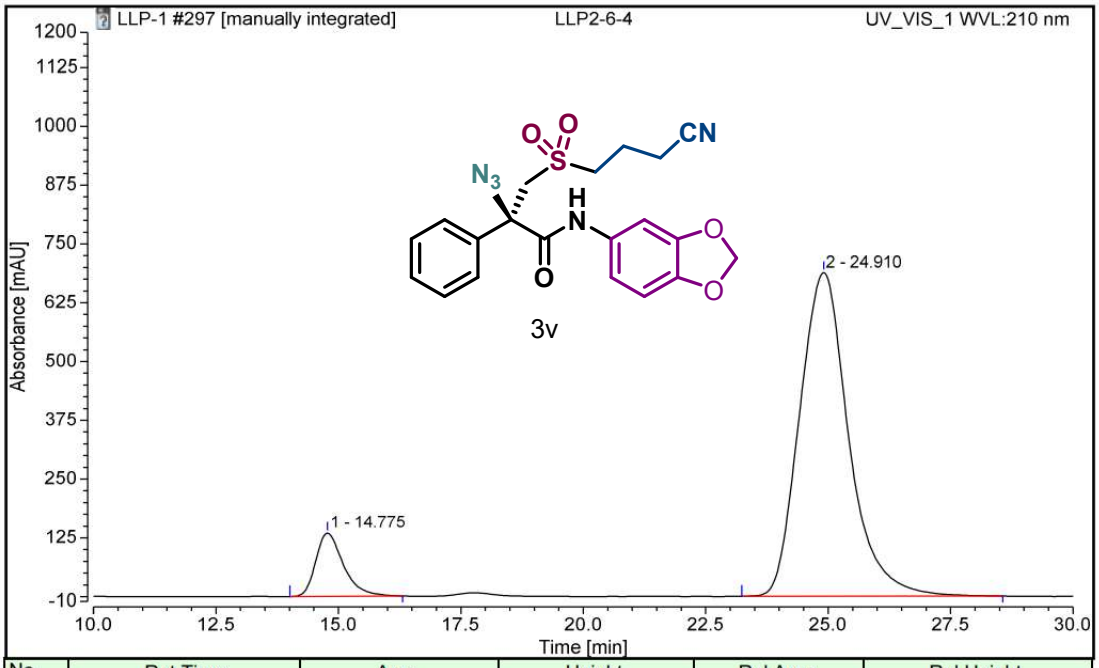
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	12.272	228.230	439.823	50.17	78.93
2	40.917	226.690	117.411	49.83	21.07
Total:		**454.920**	**557.234**	**100.00**	**100.00**



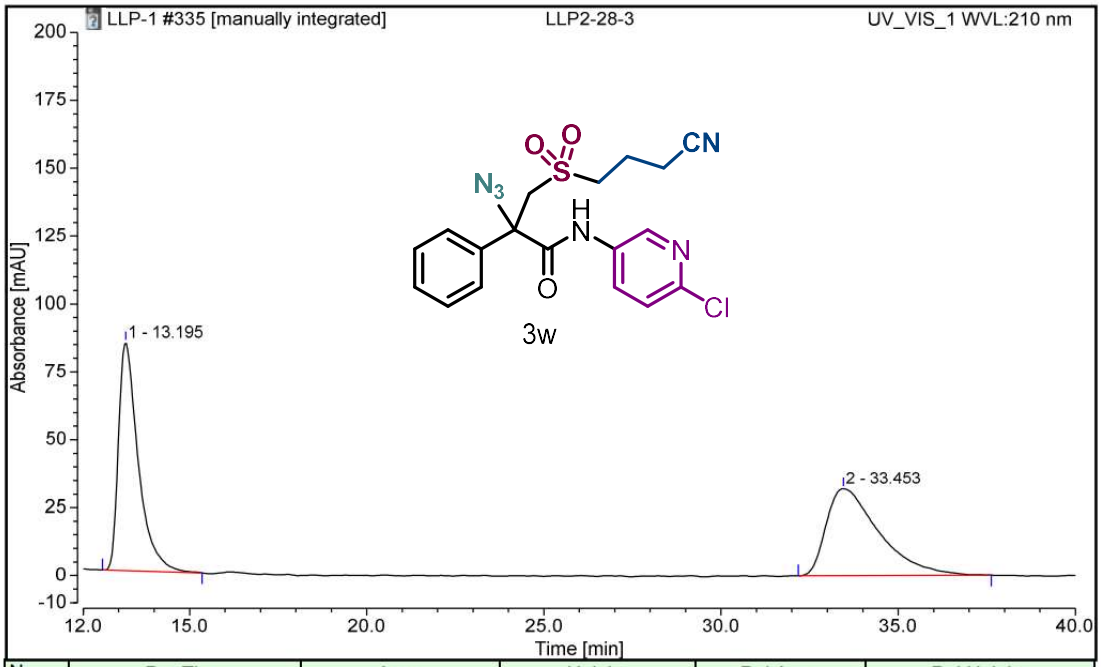
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	12.048	131.947	261.414	88.54	96.18
2	40.977	17.072	10.373	11.46	3.82
Total:		**149.019**	**271.788**	**100.00**	**100.00**



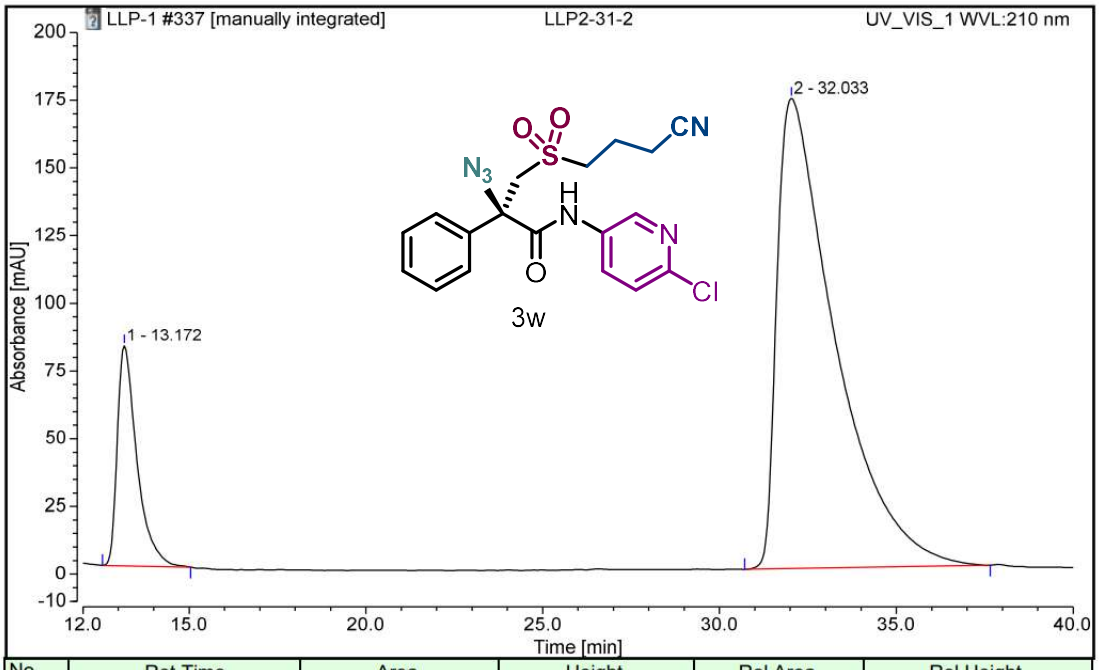
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	14.608	517.274	785.080	49.46	63.31
2	24.693	528.565	455.067	50.54	36.69
Total:		**1045.839**	**1240.147**	**100.00**	**100.00**



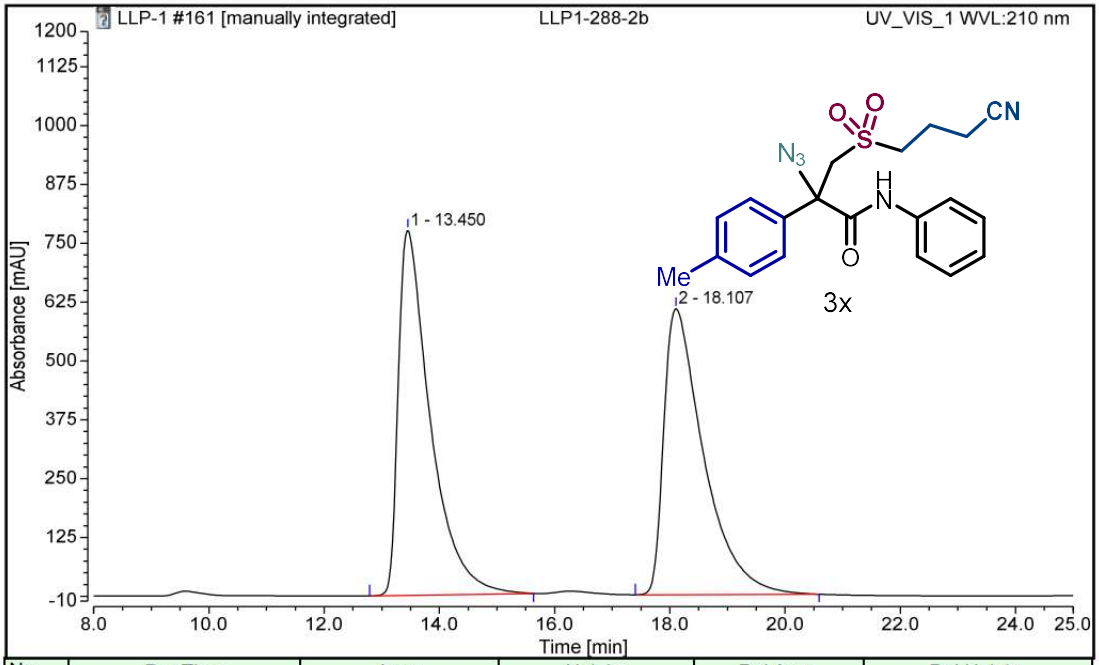
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	14.775	88.134	134.741	9.96	16.37
2	24.910	796.352	688.390	90.04	83.63
Total:		**884.486**	**823.130**	**100.00**	**100.00**



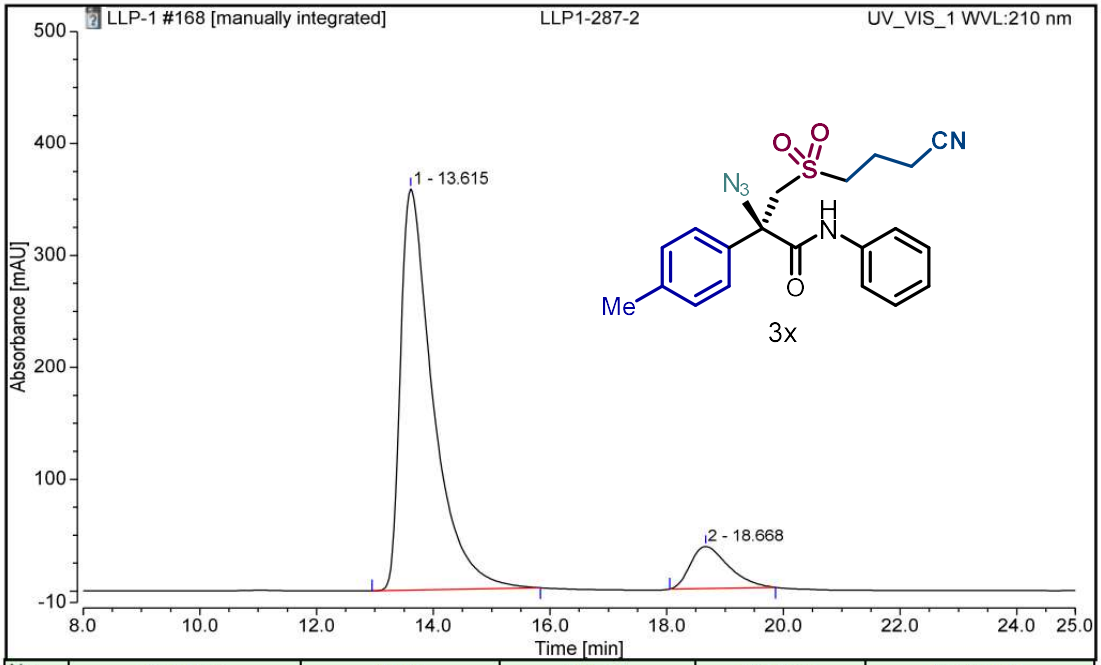
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	13.195	54.198	83.976	49.60	72.42
2	33.453	55.074	31.984	50.40	27.58
Total:		**109.272**	**115.960**	**100.00**	**100.00**



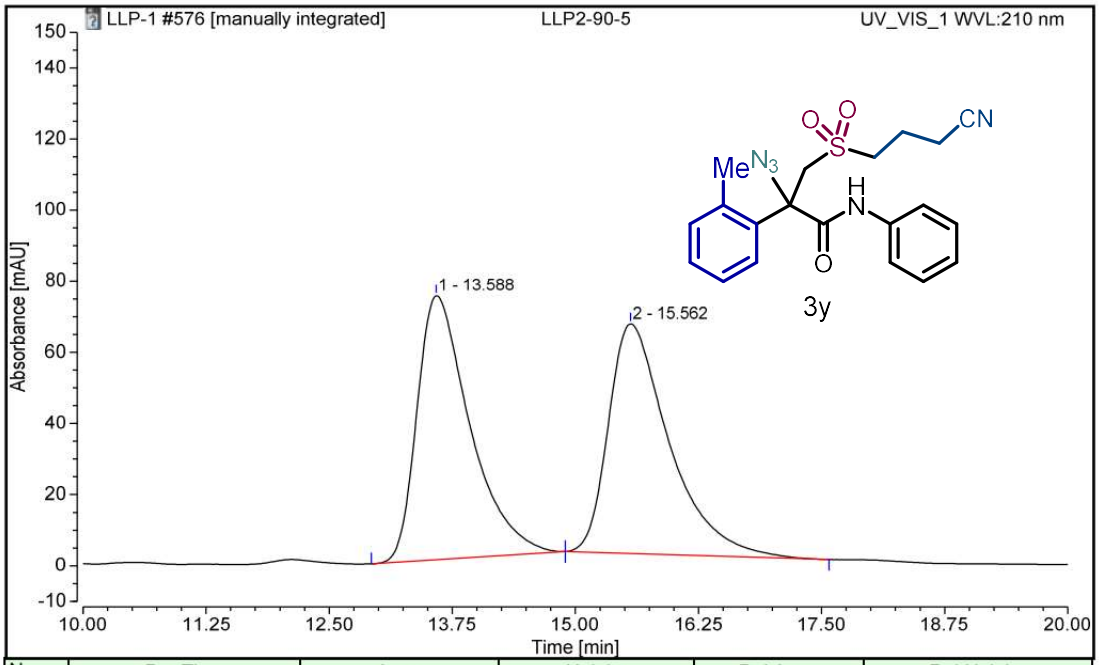
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	13.172	52.200	81.181	13.66	31.87
2	32.033	330.015	173.576	86.34	68.13
Total:		**382.214**	**254.757**	**100.00**	**100.00**



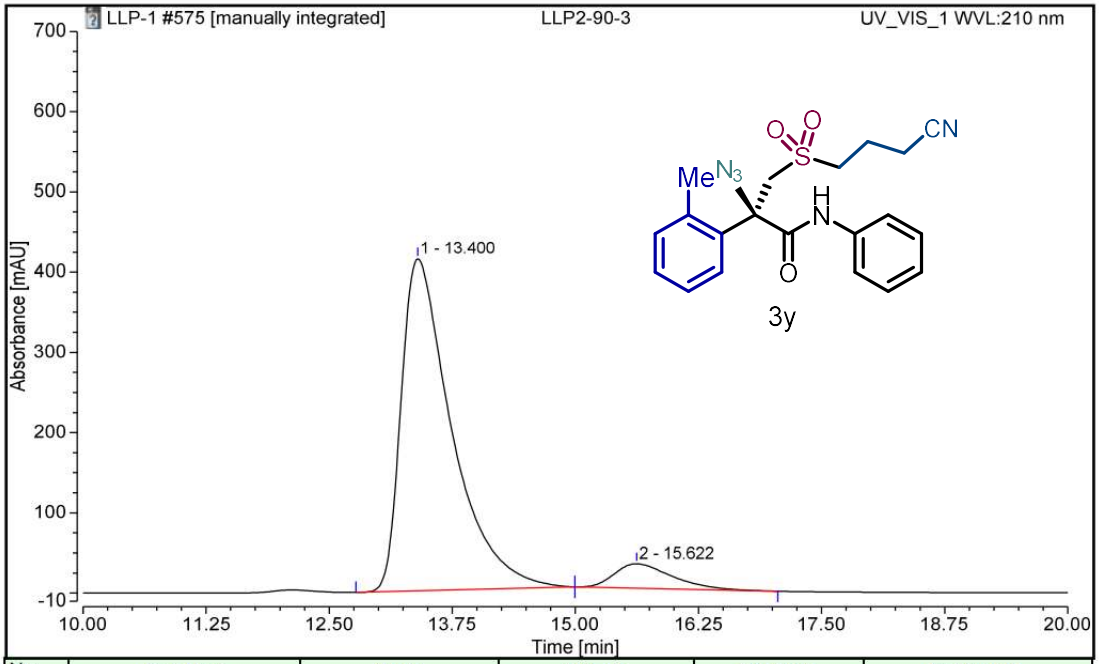
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	13.450	497.979	775.887	50.62	56.07
2	18.107	485.834	607.920	49.38	43.93
Total:		**983.813**	**1383.807**	**100.00**	**100.00**



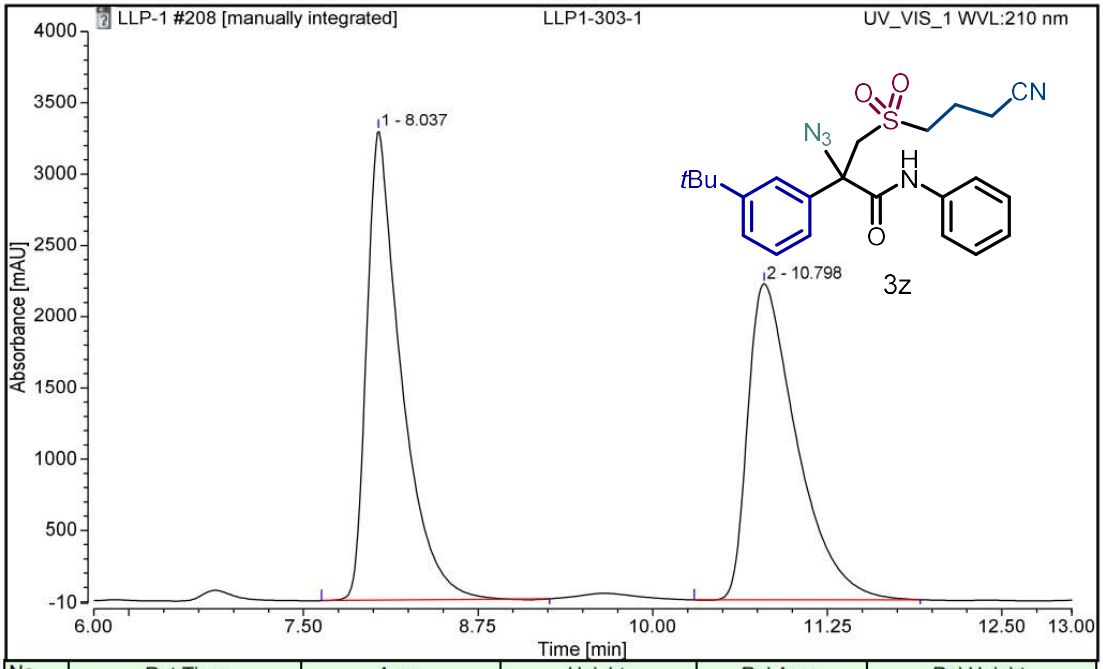
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	13.615	231.740	358.567	89.10	90.54
2	18.668	28.346	37.461	10.90	9.46
Total:		**260.086**	**396.028**	**100.00**	**100.00**



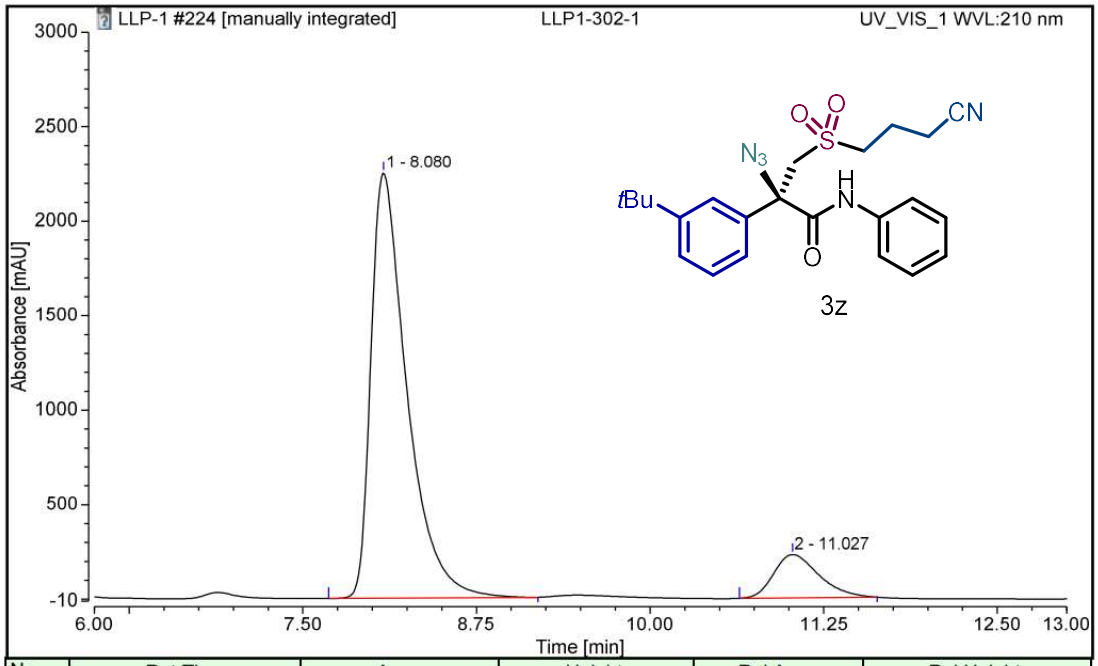
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	13.588	46.213	74.252	49.99	53.47
2	15.562	46.227	64.602	50.01	46.53
Total:		**92.441**	**138.854**	**100.00**	**100.00**



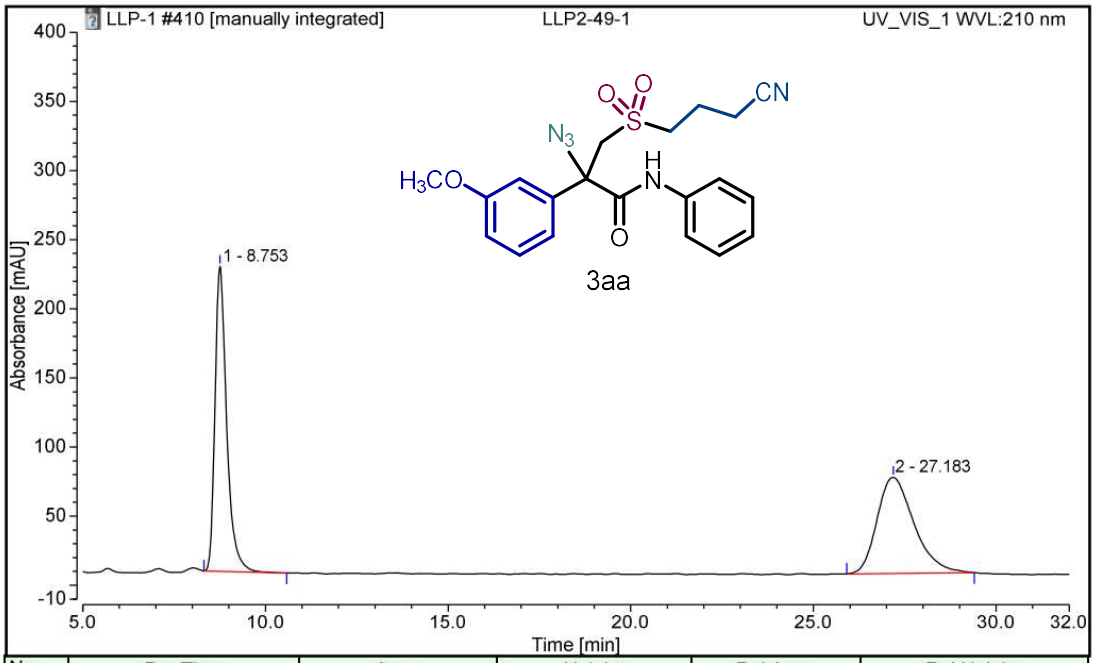
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	13.400	245.604	413.850	92.26	93.16
2	15.622	20.606	30.377	7.74	6.84
Total:		**266.210**	**444.227**	**100.00**	**100.00**



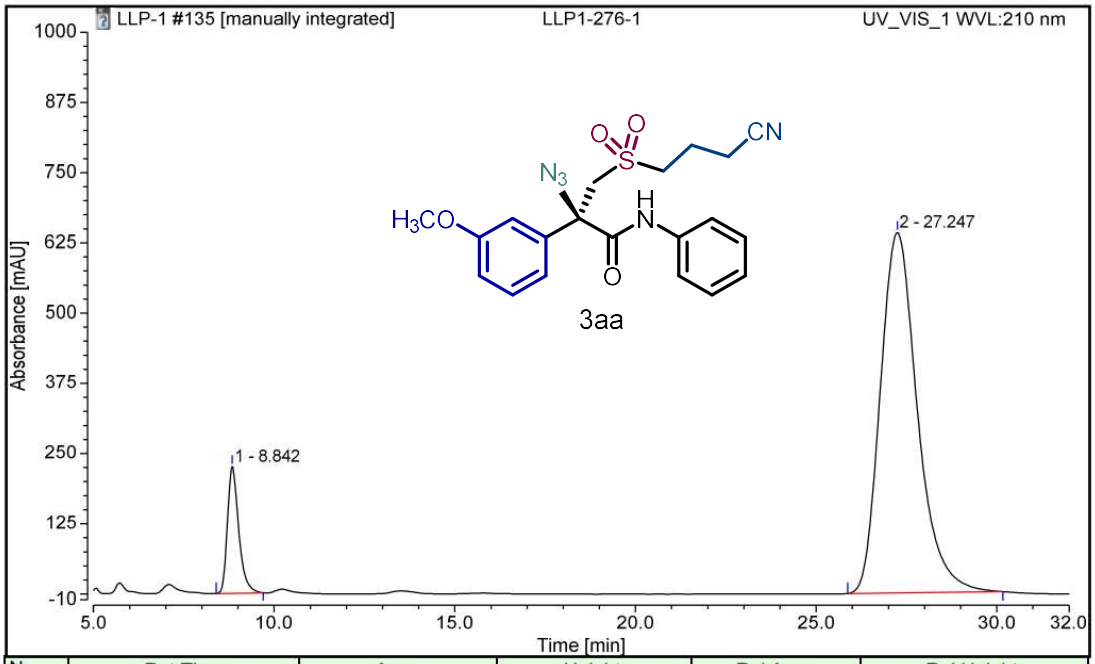
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	8.037	940.669	3294.492	51.00	59.75
2	10.798	903.956	2219.168	49.00	40.25
Total:		**1844.625**	**5513.660**	**100.00**	**100.00**



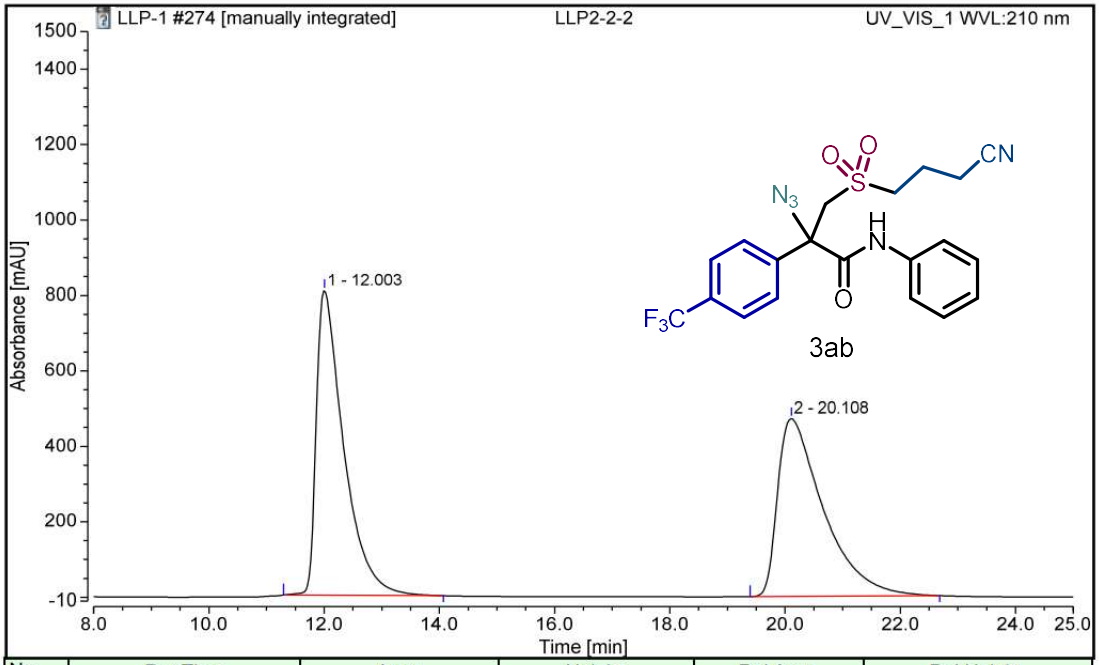
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	8.080	675.192	2250.401	88.64	90.75
2	11.027	86.496	229.405	11.36	9.25
Total:		**761.688**	**2479.806**	**100.00**	**100.00**



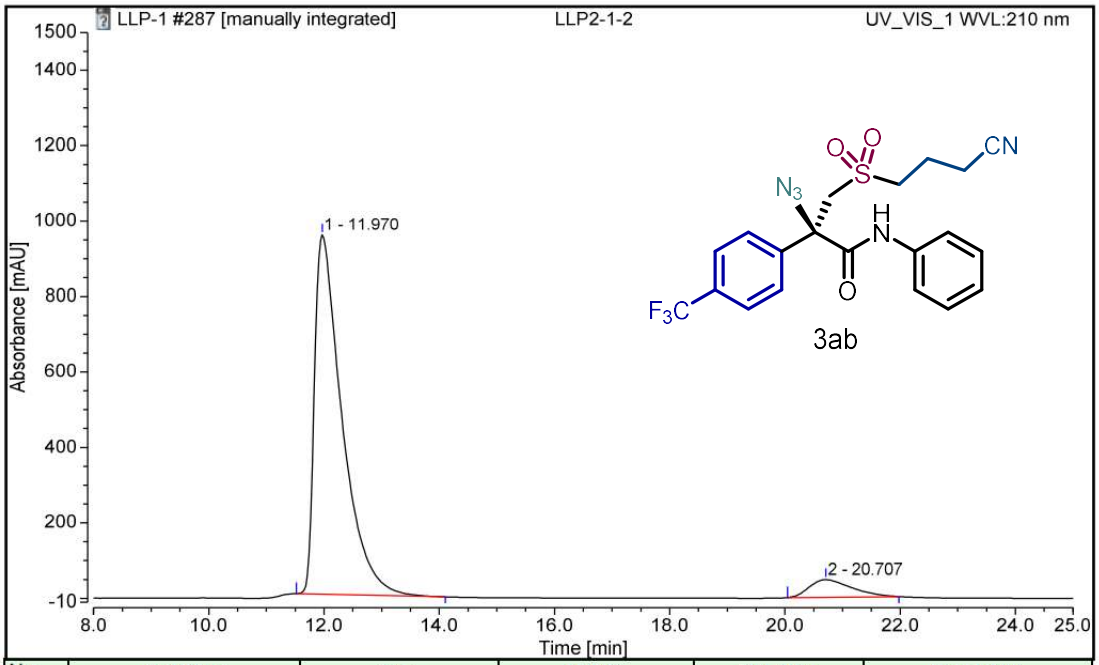
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	8.753	81.342	220.602	49.99	76.03
2	27.183	81.365	69.544	50.01	23.97
Total:		**162.706**	**290.146**	**100.00**	**100.00**



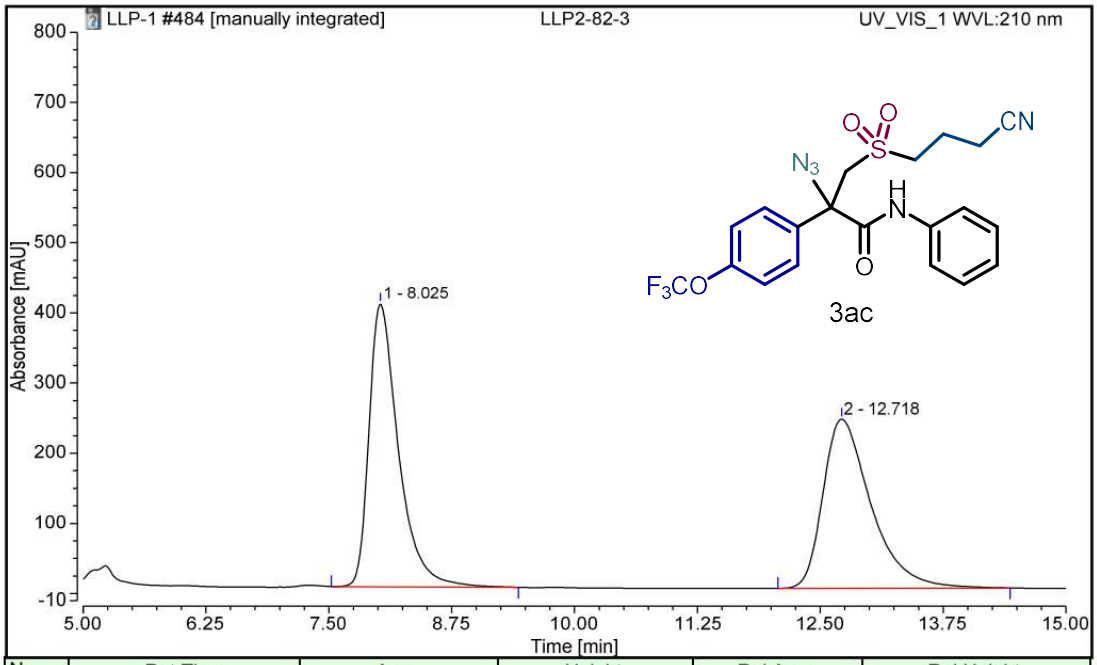
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	8.842	81.519	225.621	9.68	26.01
2	27.247	760.213	641.790	90.32	73.99
Total:		**841.732**	**867.411**	**100.00**	**100.00**



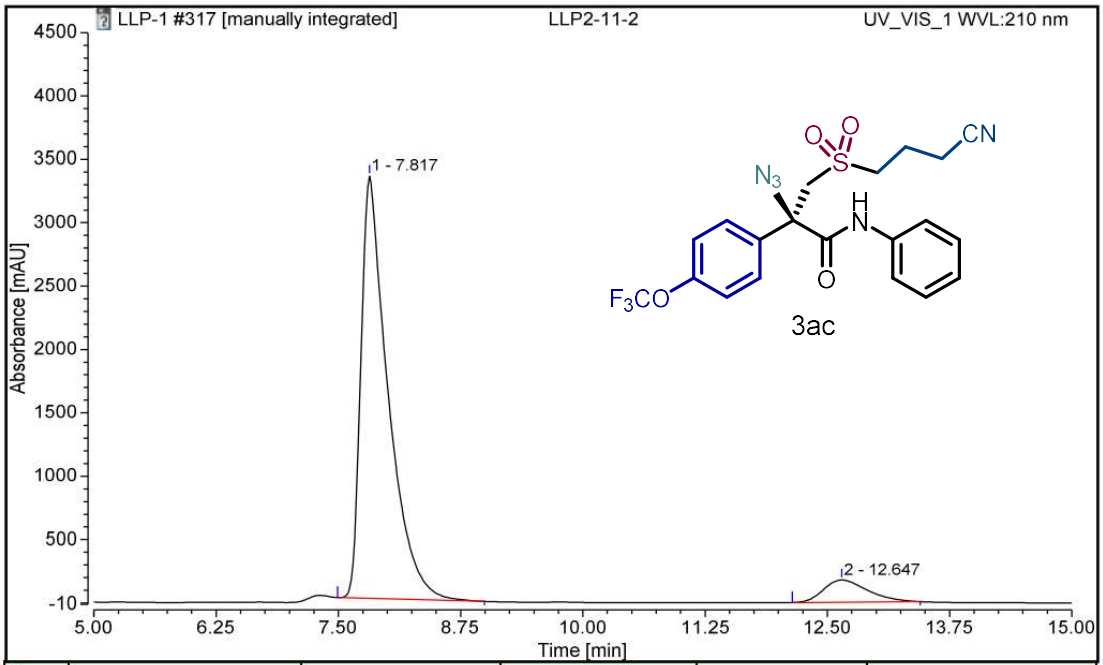
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	12.003	444.793	807.722	50.66	63.14
2	20.108	433.257	471.505	49.34	36.86
Total:		**878.049**	**1279.226**	**100.00**	**100.00**



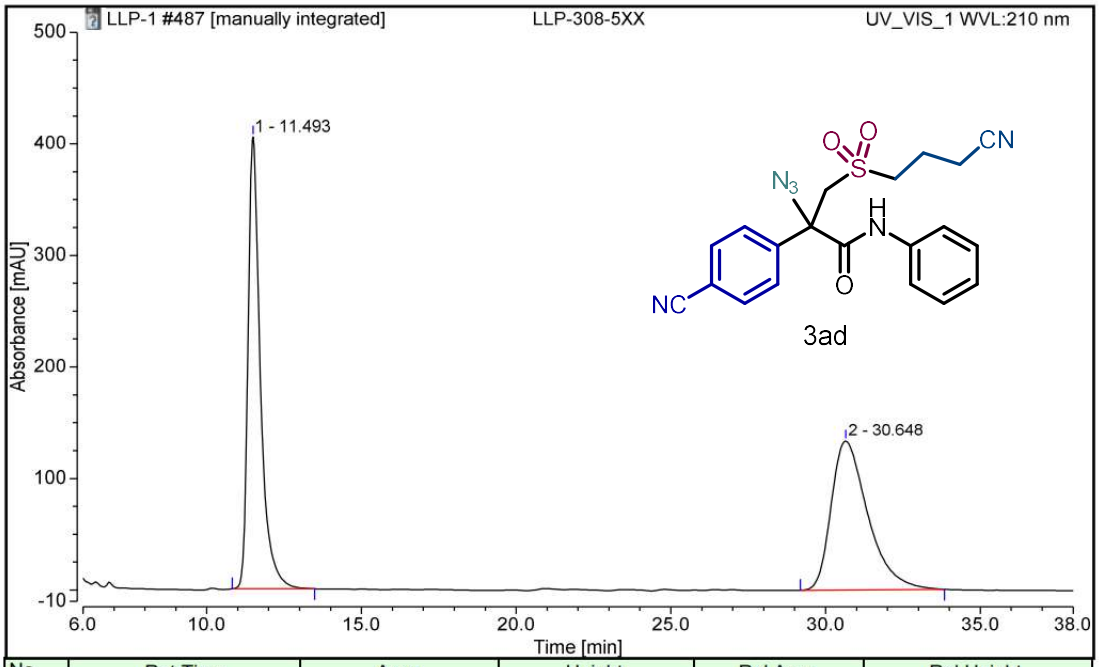
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	11.970	526.992	952.390	93.00	95.33
2	20.707	39.678	46.665	7.00	4.67
Total:		**566.670**	**999.055**	**100.00**	**100.00**



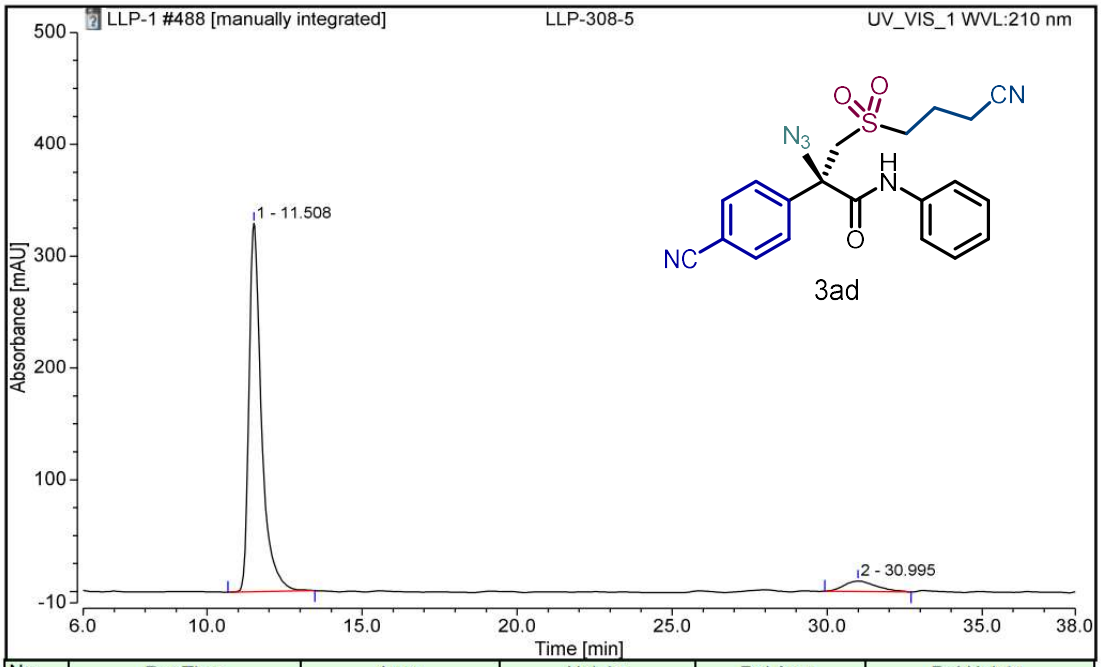
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	8.025	140.267	403.137	50.65	62.57
2	12.718	136.682	241.123	49.35	37.43
Total:		**276.950**	**644.260**	**100.00**	**100.00**



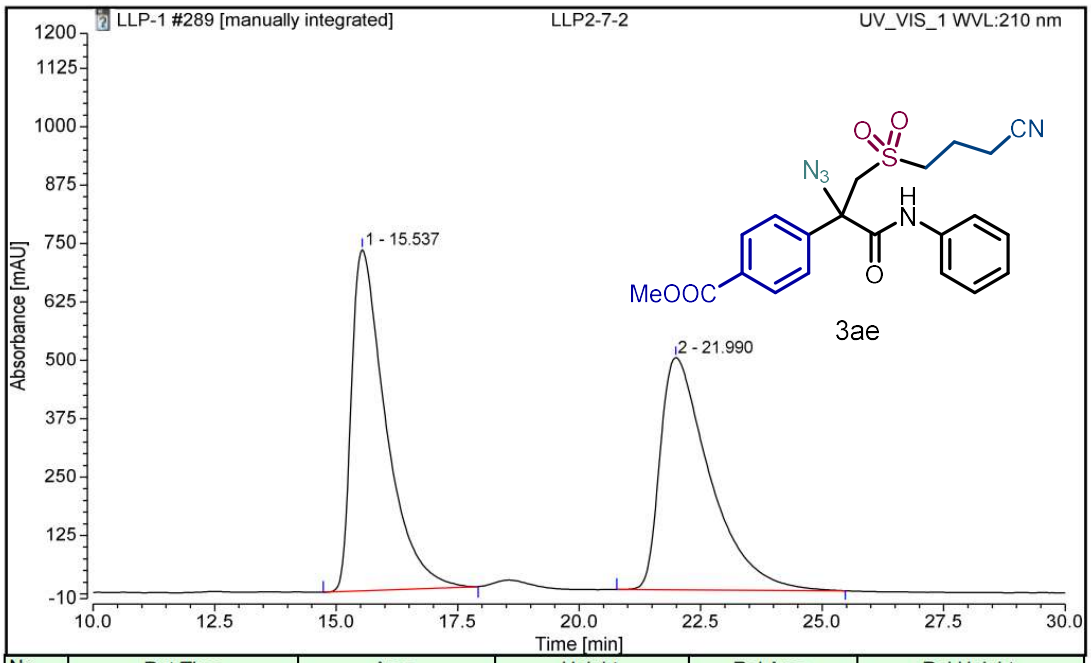
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	7.817	1068.700	3331.737	92.13	95.01
2	12.647	91.286	174.942	7.87	4.99
Total:		**1159.987**	**3506.679**	**100.00**	**100.00**



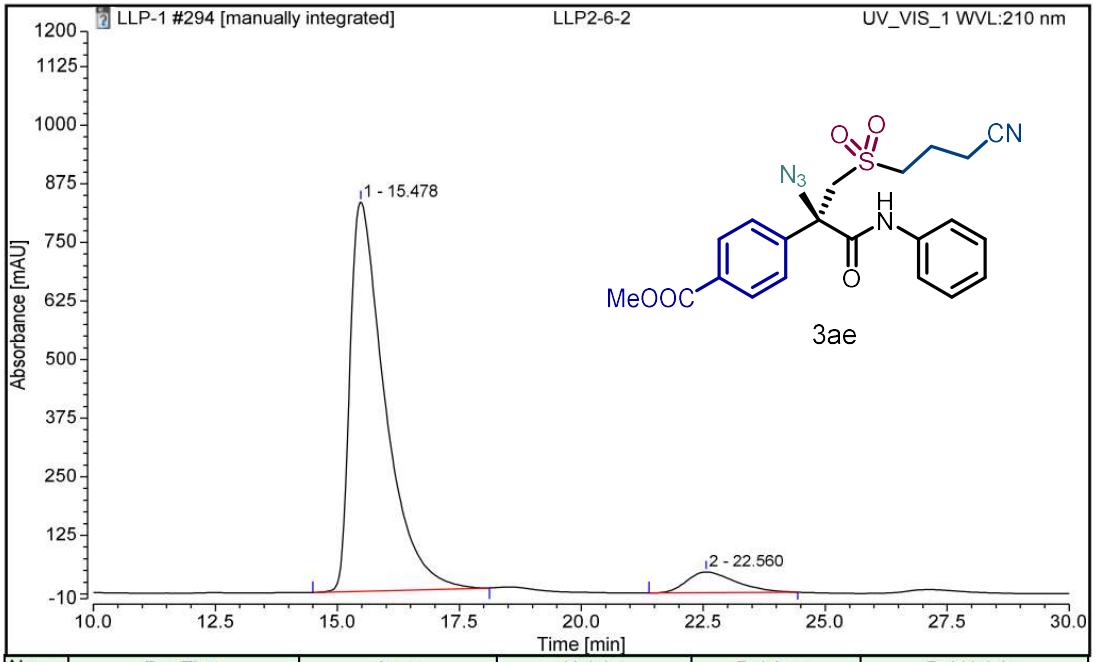
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	11.493	187.927	404.939	50.59	75.21
2	30.648	183.533	133.502	49.41	24.79
Total:		**371.460**	**538.440**	**100.00**	**100.00**



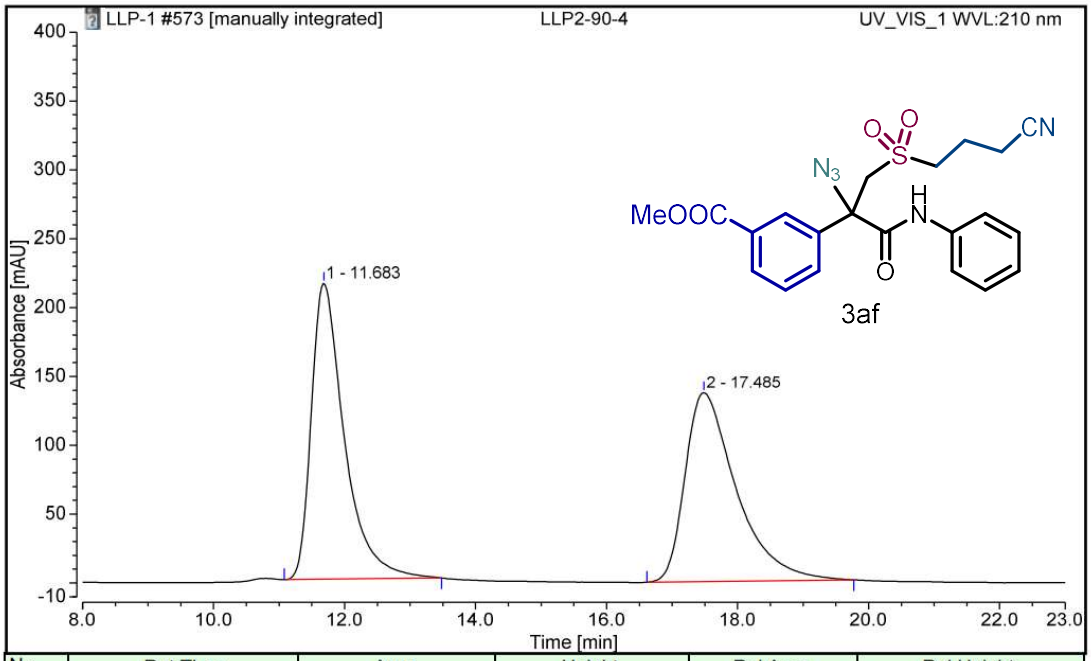
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	11.508	154.525	329.363	93.14	97.24
2	30.995	11.385	9.331	6.86	2.76
Total:		**165.910**	**338.694**	**100.00**	**100.00**



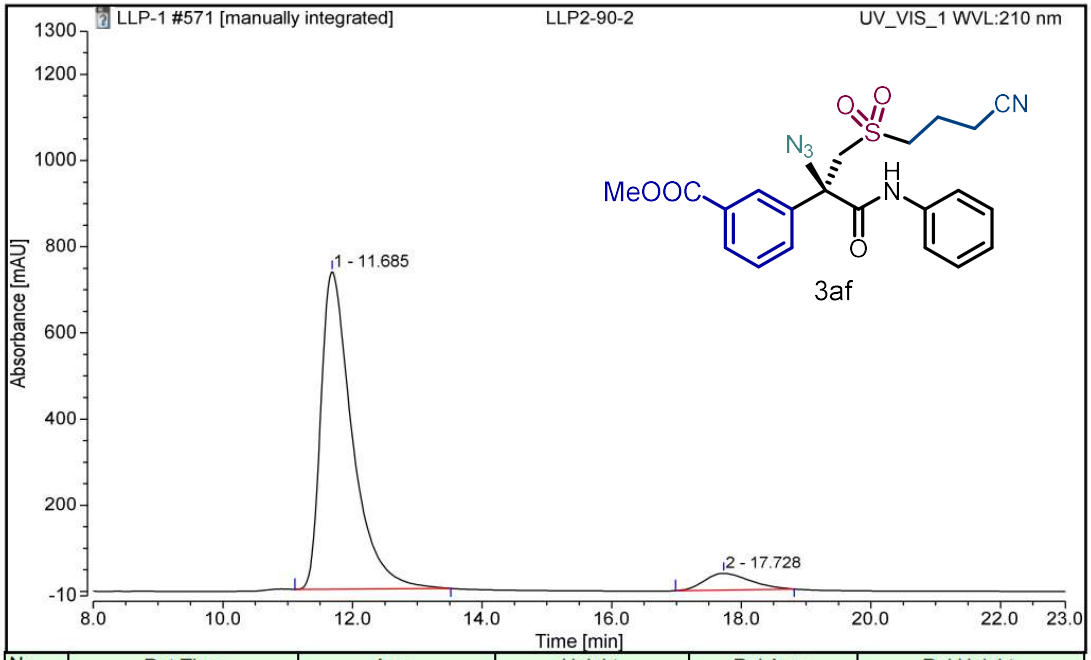
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	15.537	599.307	730.295	50.37	59.50
2	21.990	590.455	497.102	49.63	40.50
Total:		**1189.761**	**1227.397**	**100.00**	**100.00**



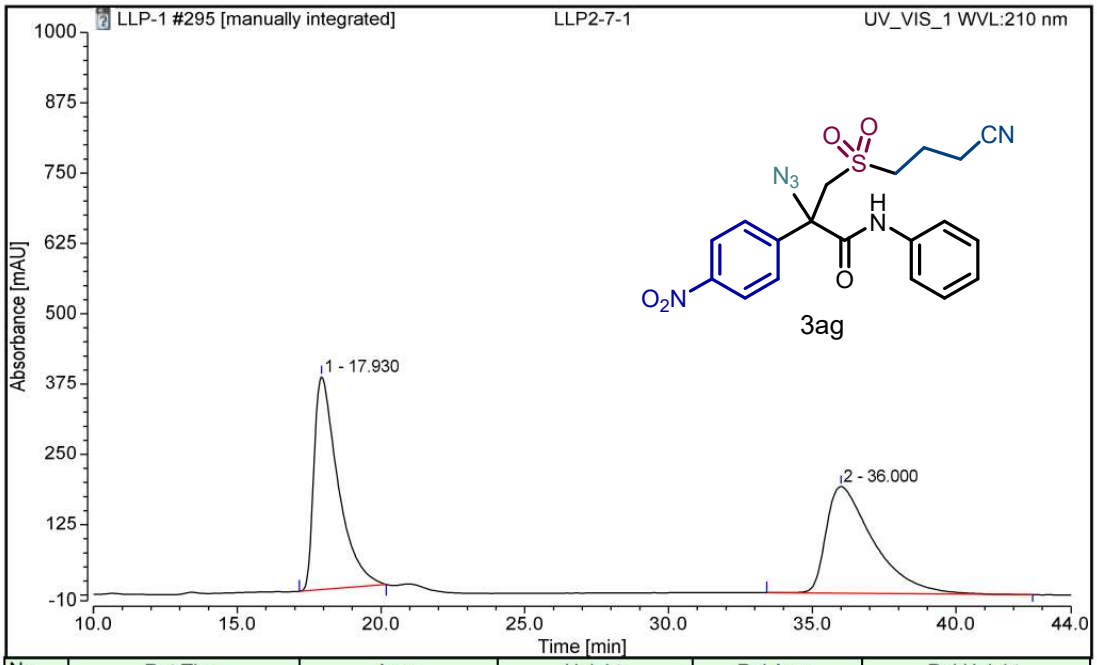
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	15.478	689.065	830.988	93.06	94.95
2	22.560	51.386	44.159	6.94	5.05
Total:		**740.451**	**875.147**	**100.00**	**100.00**



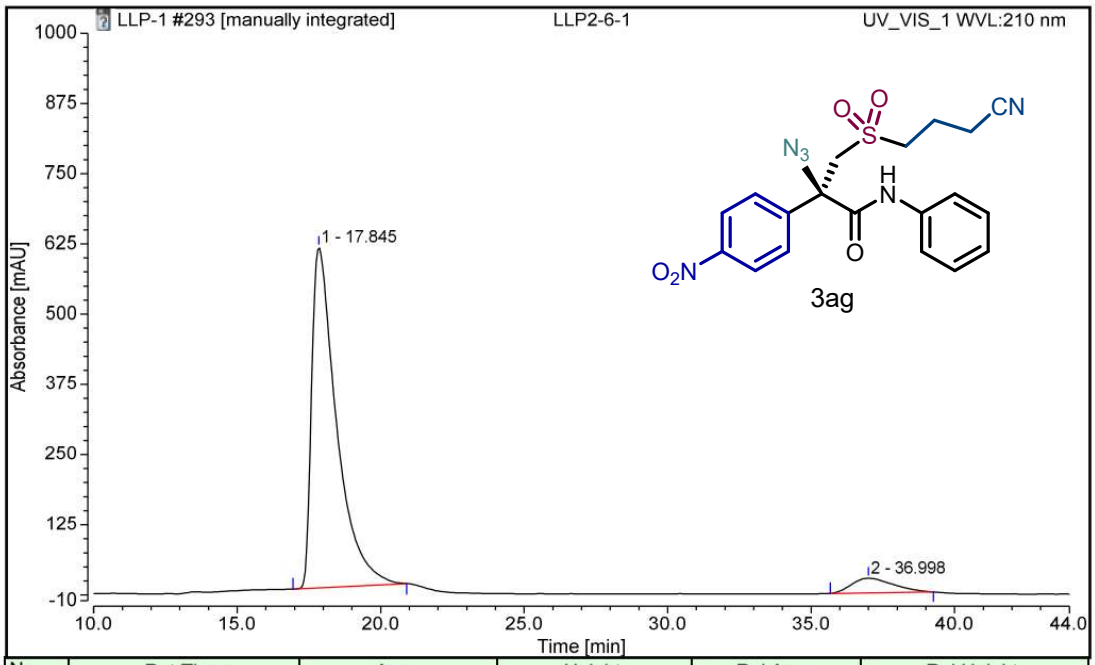
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	11.683	125.559	214.910	50.28	61.04
2	17.485	124.164	137.142	49.72	38.96
Total:		**249.723**	**352.053**	**100.00**	**100.00**



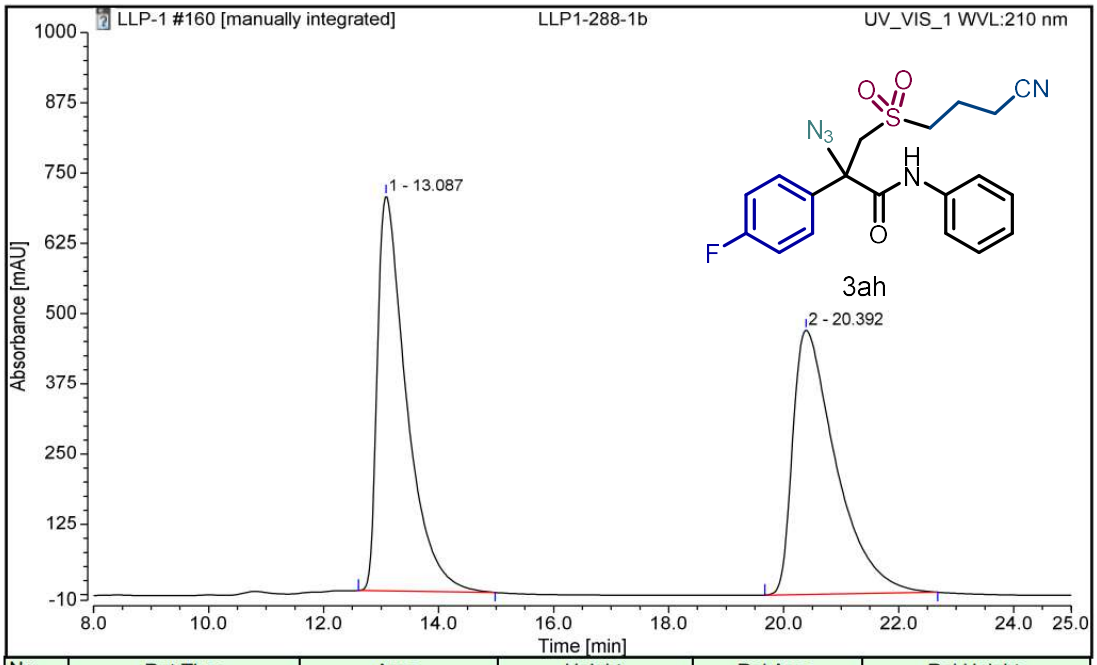
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	11.685	414.027	736.526	92.92	95.02
2	17.728	31.569	38.641	7.08	4.98
Total:		**445.596**	**775.167**	**100.00**	**100.00**



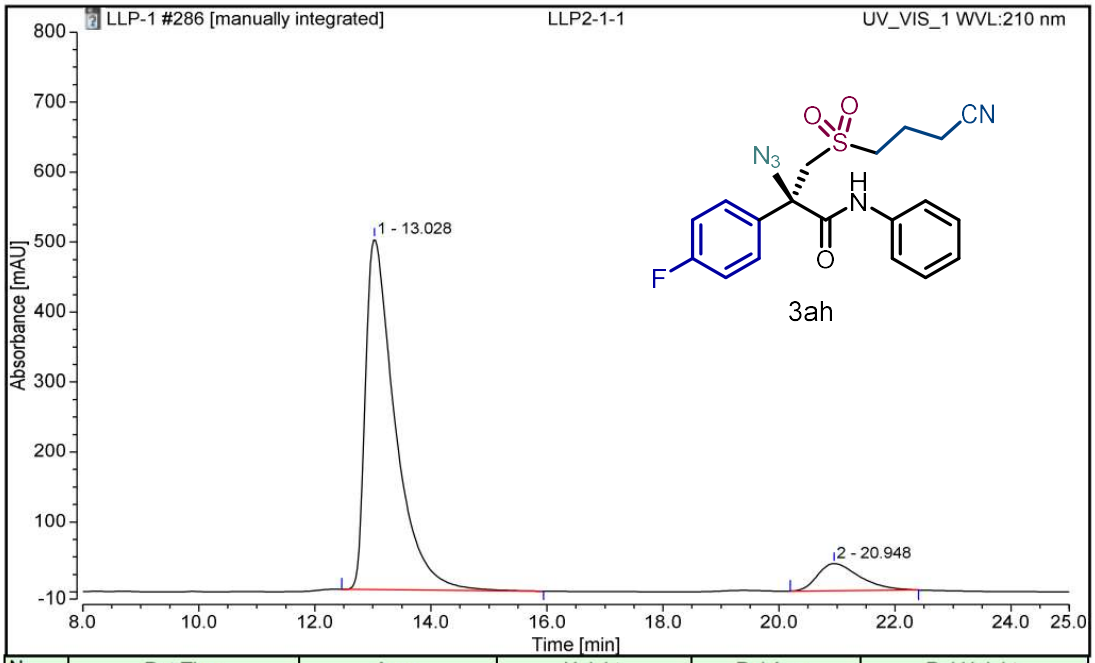
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	17.930	367.621	378.241	50.50	66.65
2	36.000	360.321	189.265	49.50	33.35
Total:		**727.942**	**567.506**	**100.00**	**100.00**



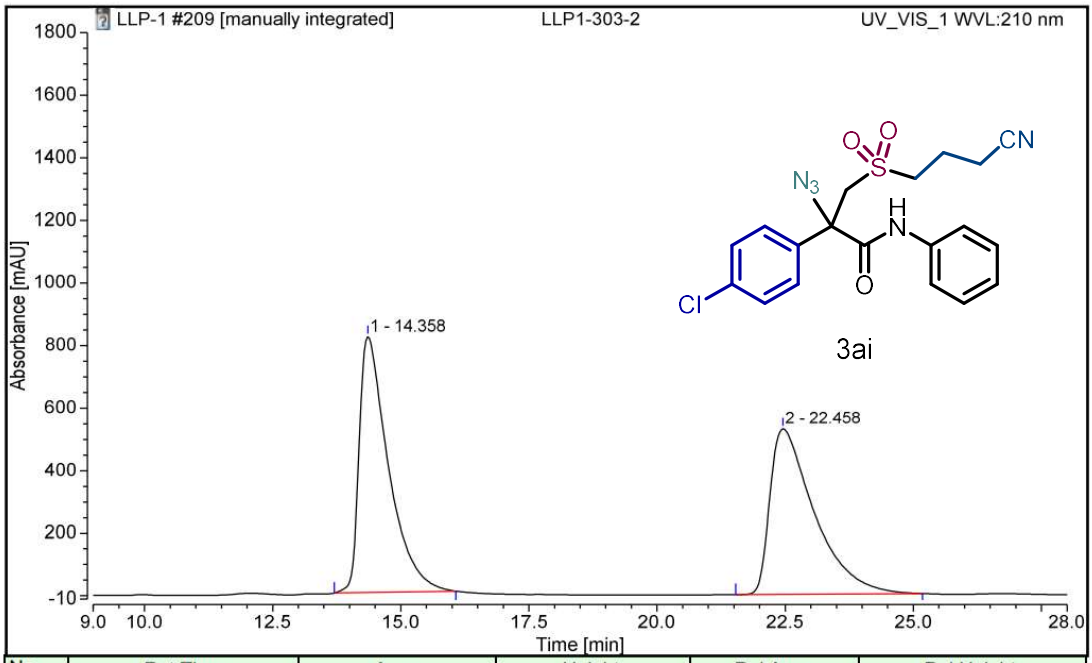
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	17.845	608.097	605.889	93.27	95.87
2	36.998	43.896	26.111	6.73	4.13
Total:		**651.993**	**632.000**	**100.00**	**100.00**



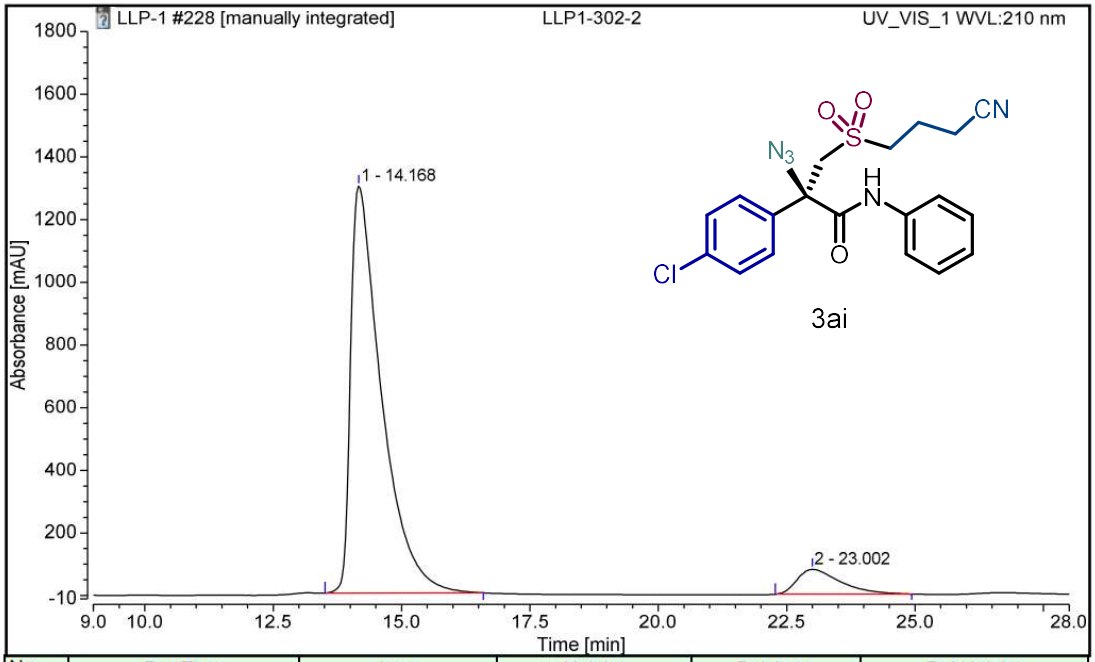
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	13.087	411.444	702.791	50.12	59.91
2	20.392	409.431	470.251	49.88	40.09
Total:		**820.875**	**1173.042**	**100.00**	**100.00**



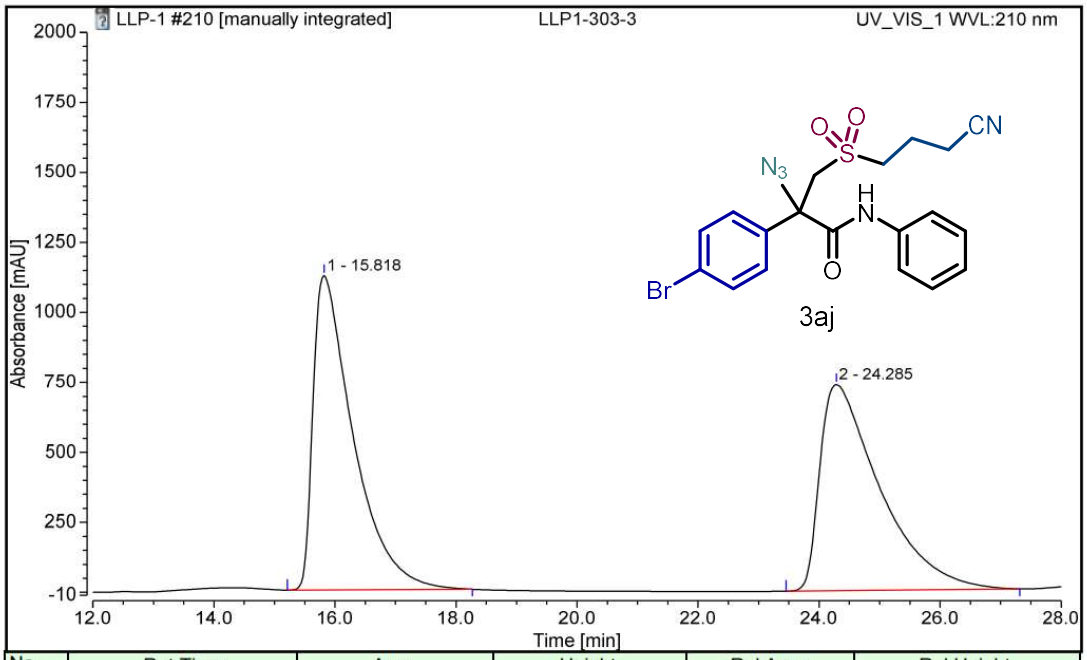
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	13.028	295.807	500.778	90.09	92.80
2	20.948	32.539	38.832	9.91	7.20
Total:		**328.346**	**539.610**	**100.00**	**100.00**



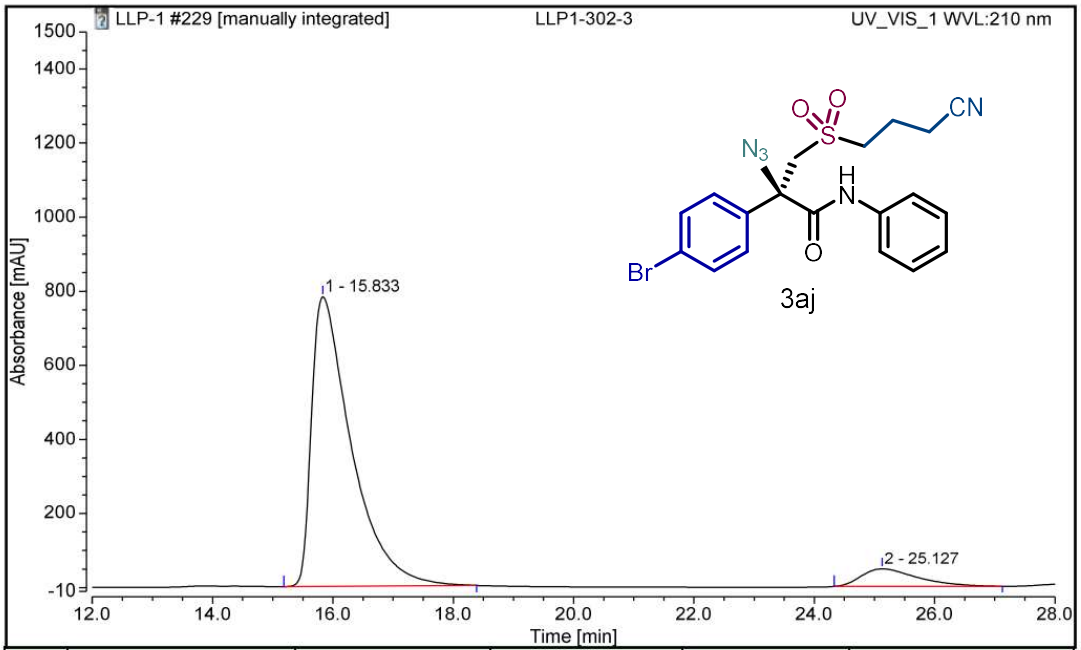
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	14.358	541.155	817.936	50.49	60.72
2	22.458	530.691	529.065	49.51	39.28
Total:		**1071.846**	**1347.001**	**100.00**	**100.00**



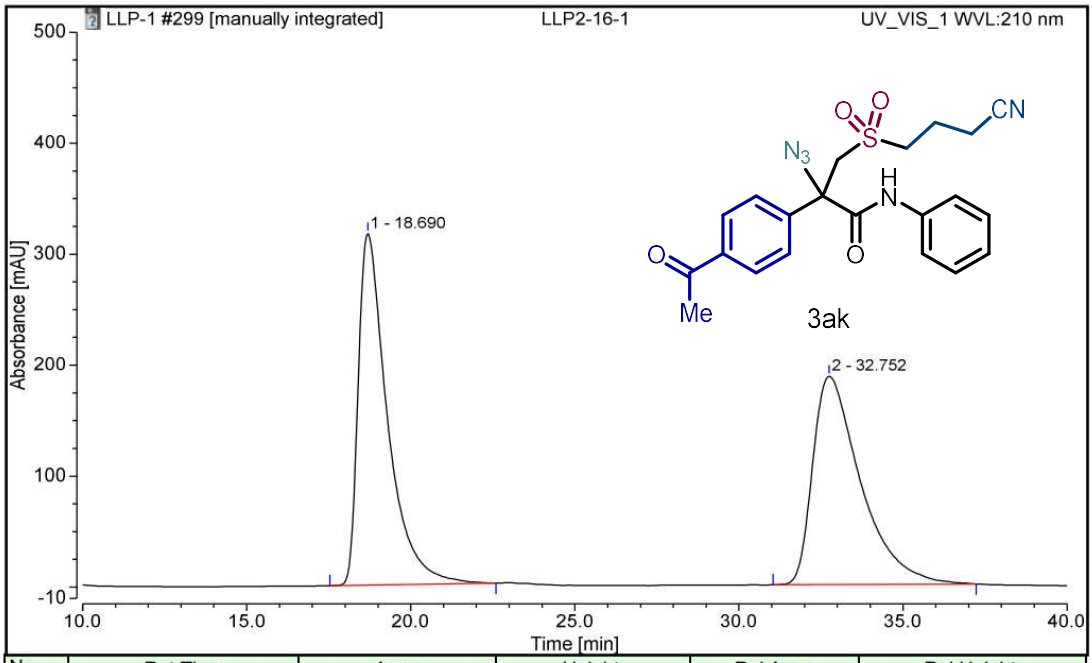
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	14.168	904.856	1298.436	92.16	94.25
2	23.002	77.012	79.159	7.84	5.75
Total:		**981.869**	**1377.595**	**100.00**	**100.00**



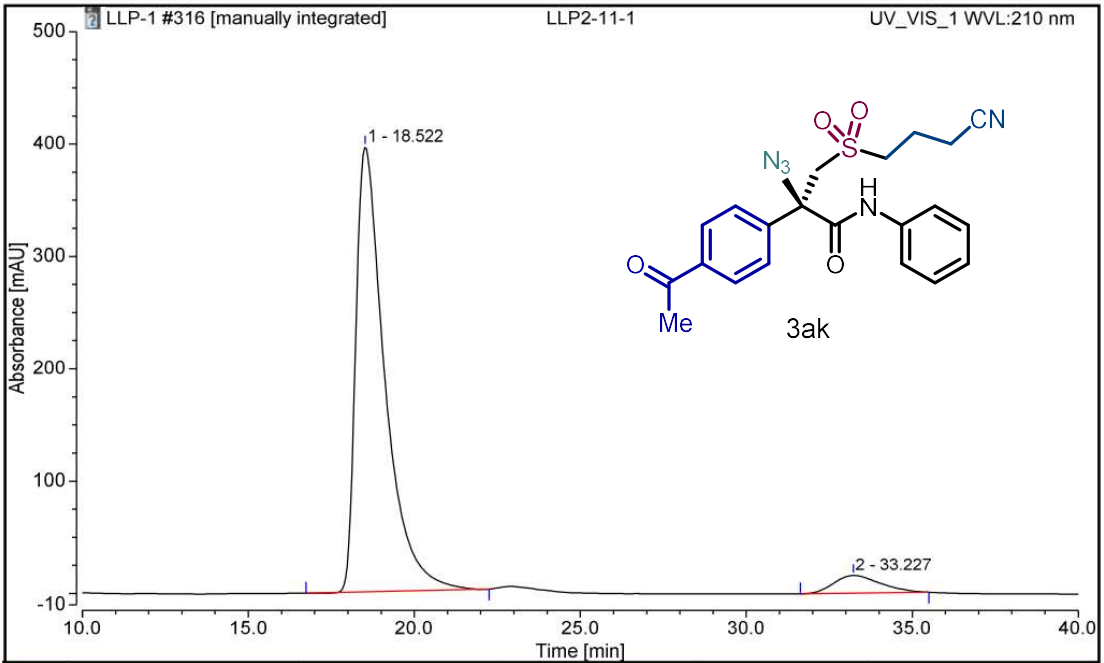
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	15.818	863.629	1123.064	50.52	60.39
2	24.285	845.754	736.695	49.48	39.61
Total:		**1709.382**	**1859.759**	**100.00**	**100.00**



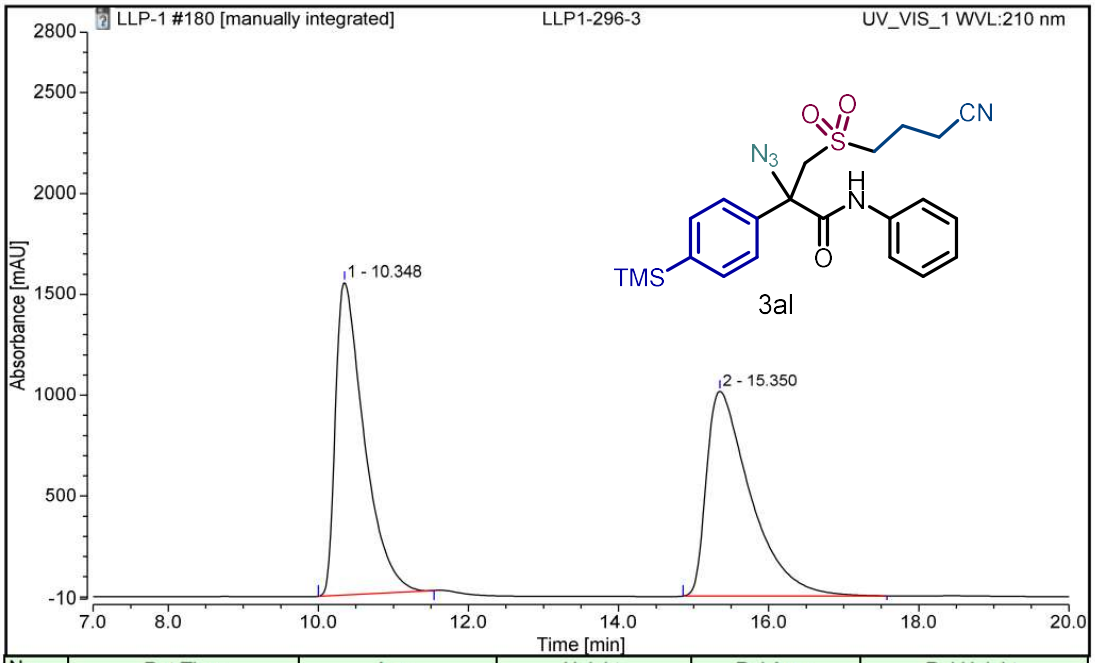
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	15.833	598.227	782.210	92.13	94.29
2	25.127	51.087	47.352	7.87	5.71
Total:		**649.314**	**829.562**	**100.00**	**100.00**



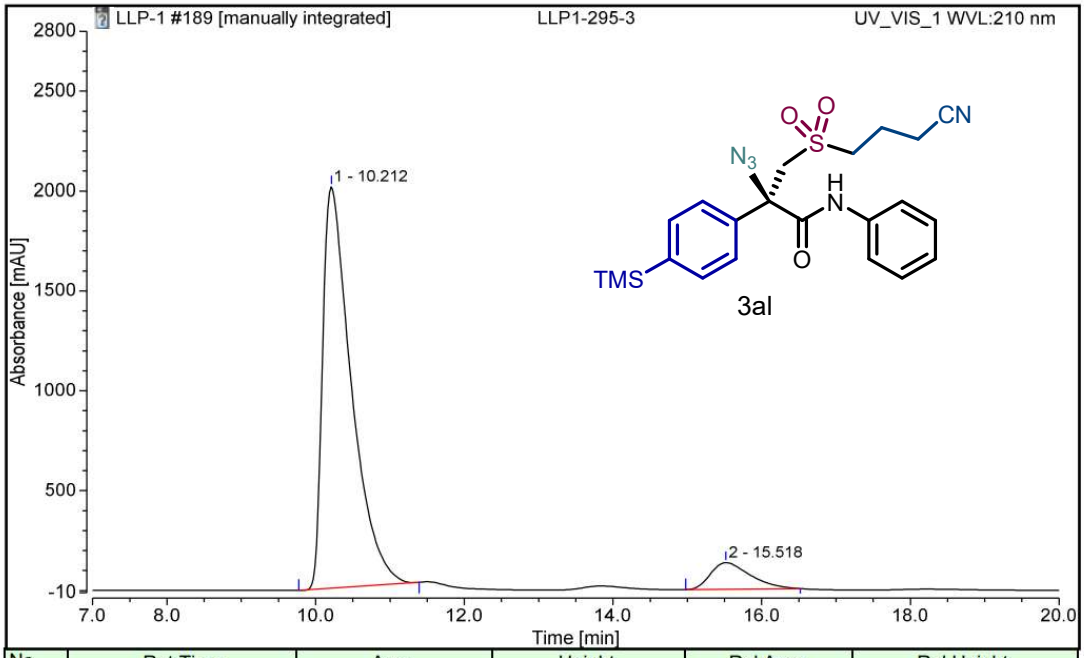
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	18.690	327.291	316.823	50.71	62.78
2	32.752	318.082	187.826	49.29	37.22
Total:		**645.373**	**504.650**	**100.00**	**100.00**



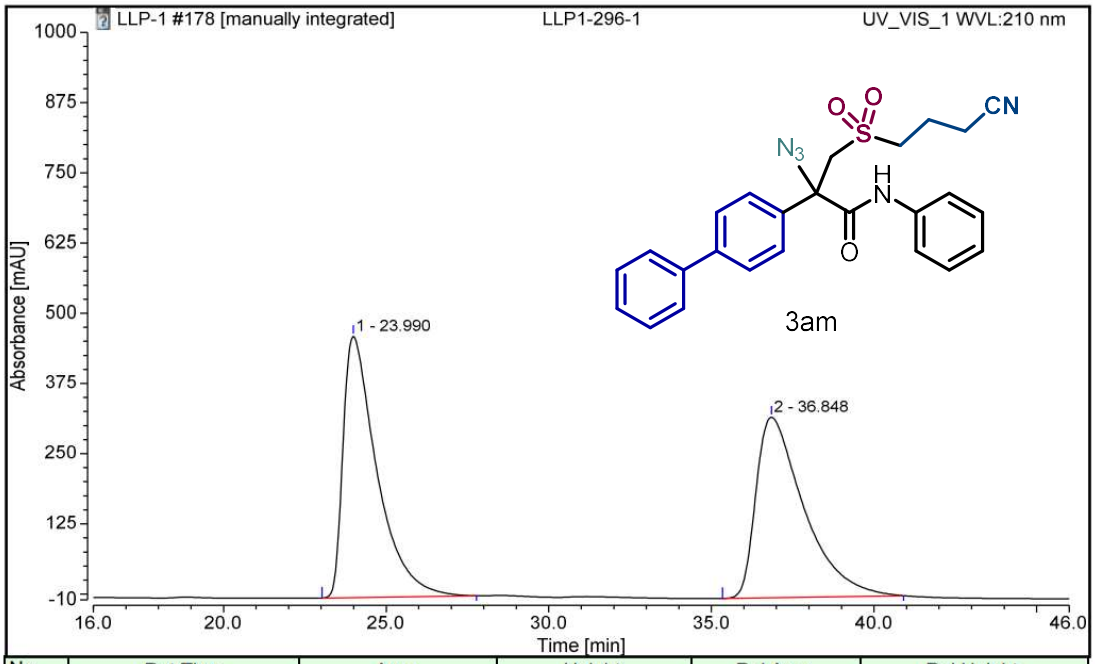
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	18.522	401.695	395.939	94.00	96.17
2	33.227	25.620	15.790	6.00	3.83
Total:		**427.315**	**411.729**	**100.00**	**100.00**



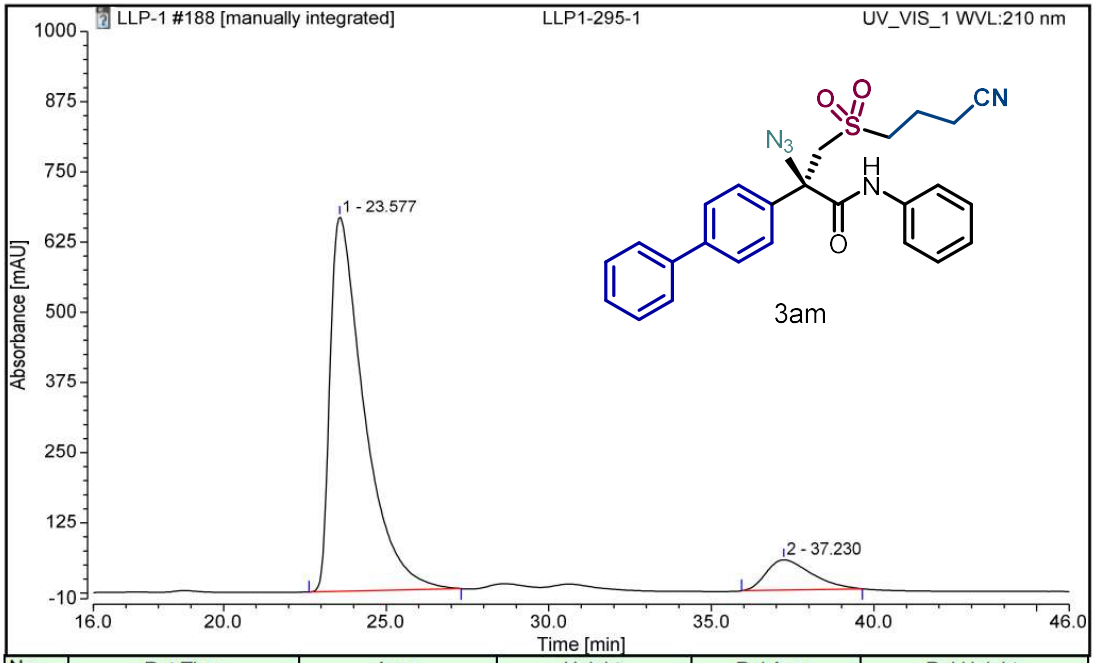
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	10.348	685.997	1550.288	49.78	60.42
2	15.350	692.106	1015.598	50.22	39.58
Total:		**1378.104**	**2565.885**	**100.00**	**100.00**



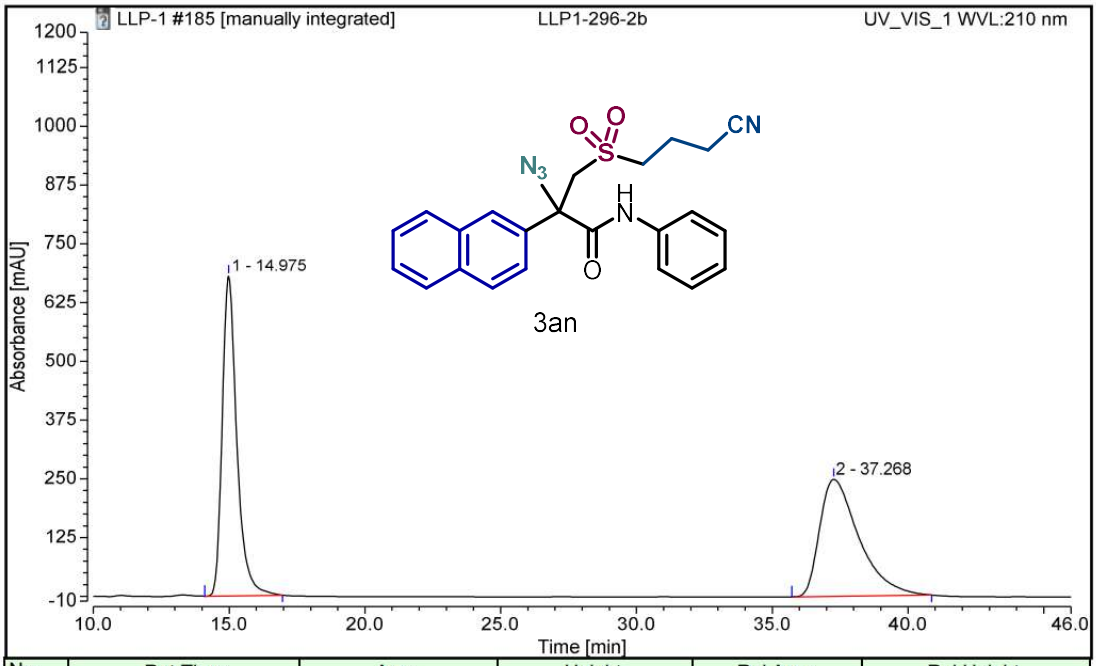
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	10.212	898.496	2009.775	91.57	93.78
2	15.518	82.693	133.220	8.43	6.22
Total:		**981.189**	**2142.995**	**100.00**	**100.00**



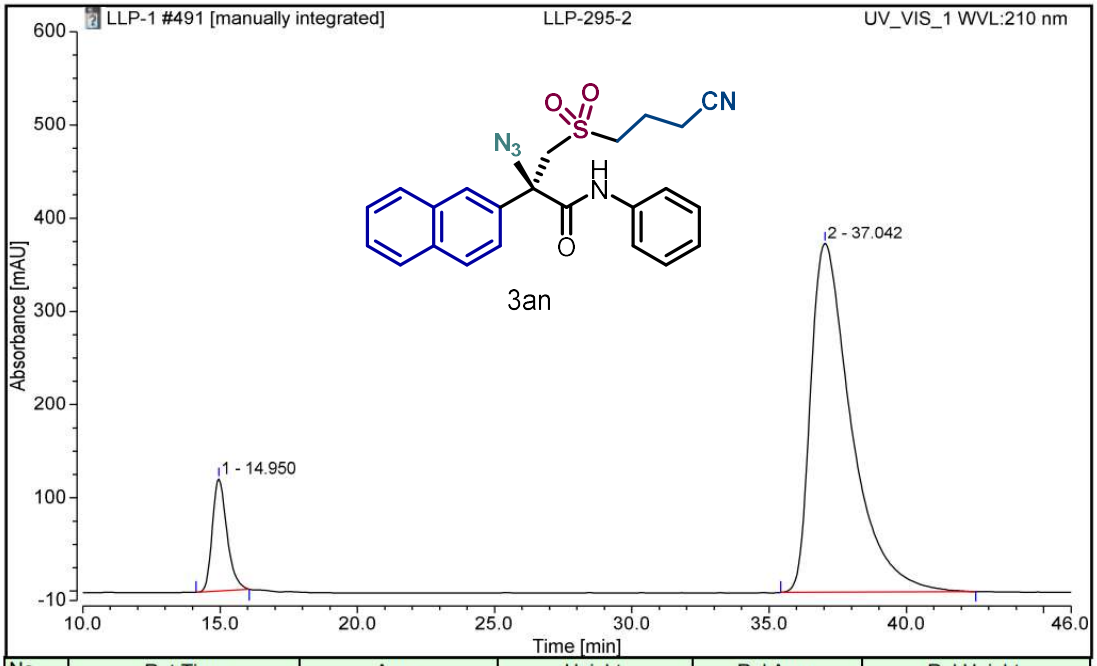
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	23.990	560.088	465.047	50.50	59.14
2	36.848	548.922	321.337	49.50	40.86
Total:		**1109.010**	**786.384**	**100.00**	**100.00**



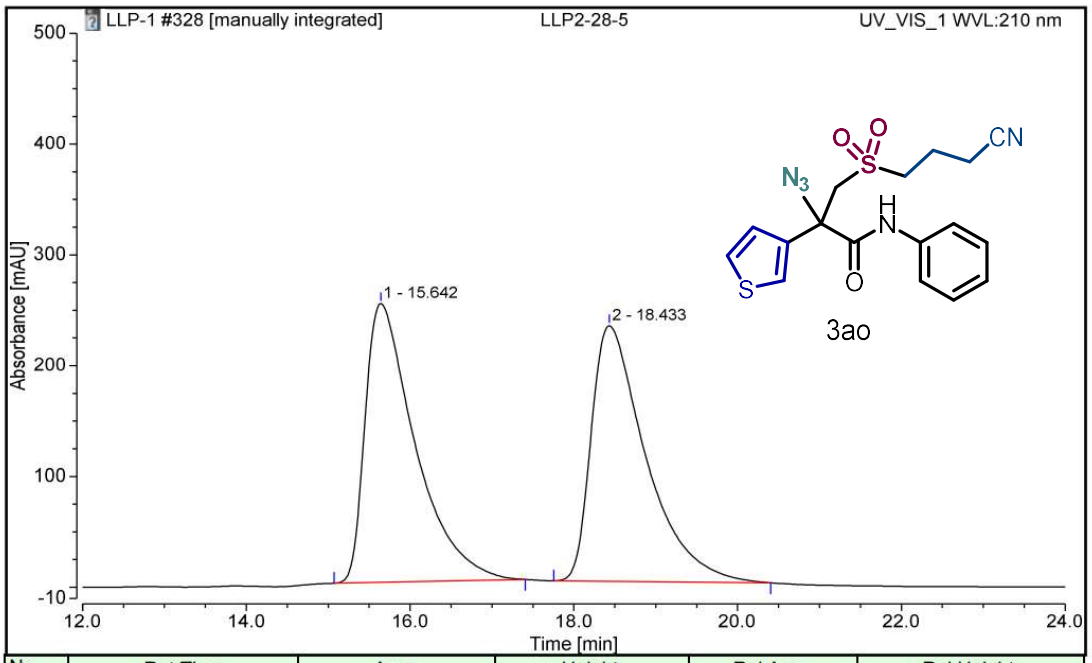
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	23.577	808.418	666.426	90.34	92.52
2	37.230	86.408	53.868	9.66	7.48
Total:		**894.826**	**720.294**	**100.00**	**100.00**



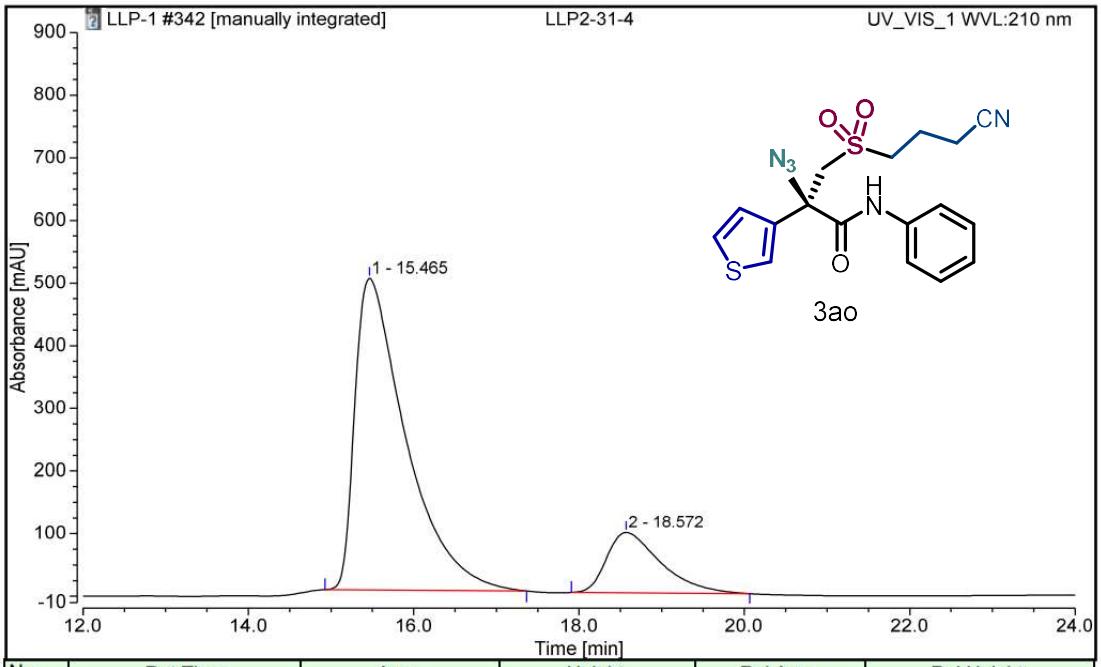
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	14.975	424.032	680.260	50.38	73.21
2	37.268	417.616	248.939	49.62	26.79
Total:		**841.648**	**929.199**	**100.00**	**100.00**



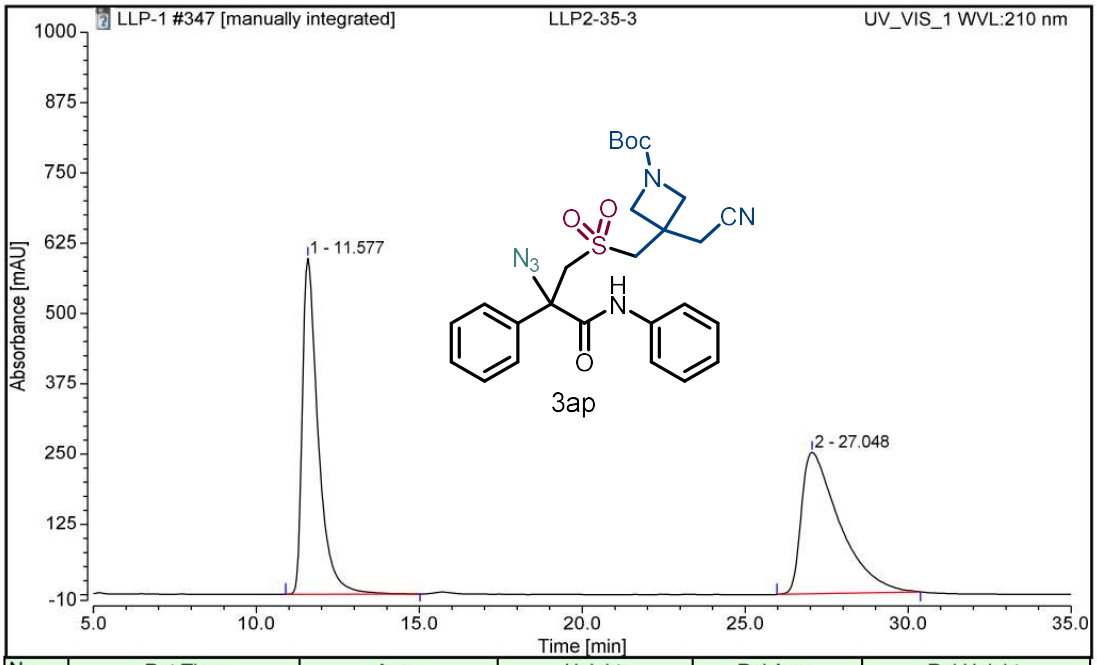
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	14.950	74.445	120.250	10.41	24.31
2	37.042	640.968	374.357	89.59	75.69
Total:		**715.413**	**494.608**	**100.00**	**100.00**



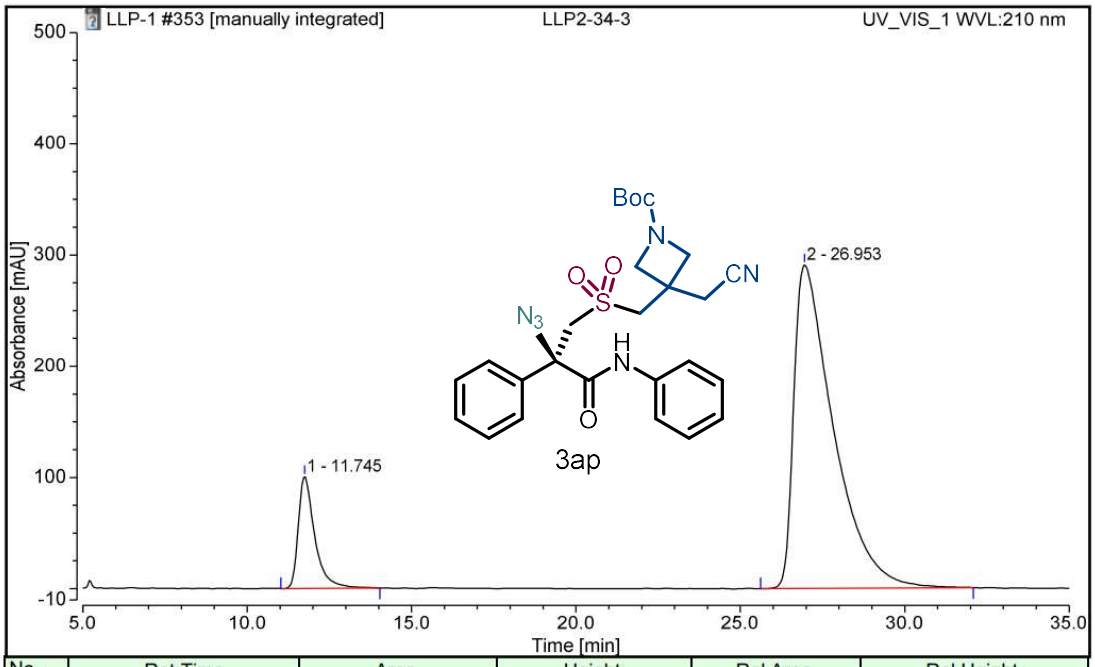
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	15.642	177.993	251.654	50.02	52.16
2	18.433	177.829	230.798	49.98	47.84
Total:		**355.822**	**482.452**	**100.00**	**100.00**



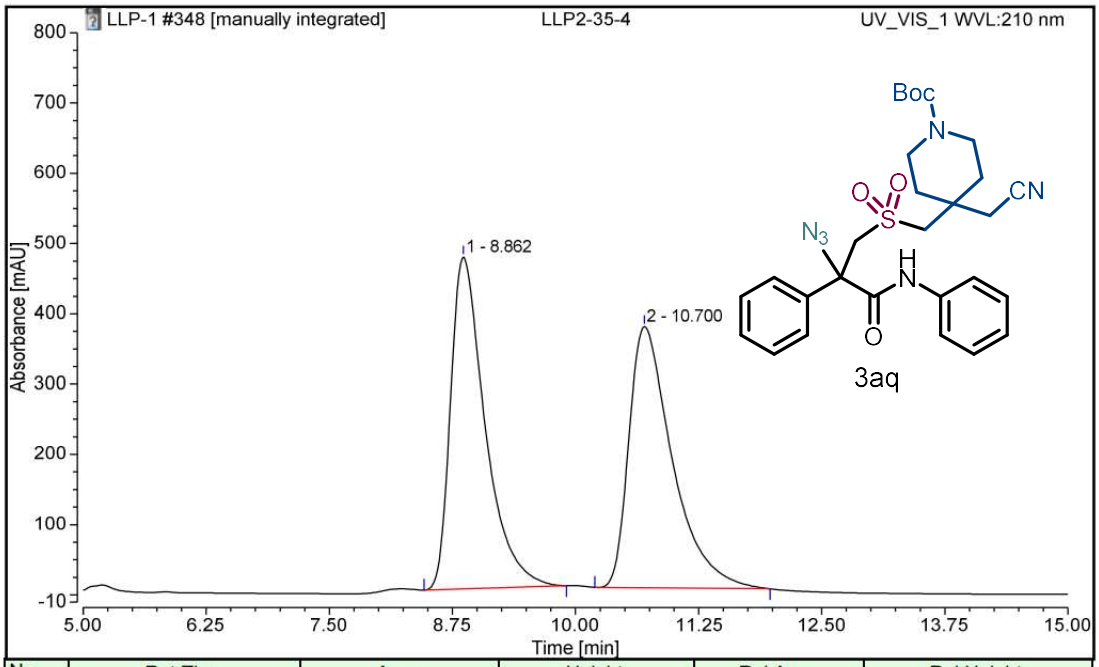
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	15.465	354.715	497.330	82.94	83.78
2	18.572	72.944	96.319	17.06	16.22
Total:		**427.659**	**593.649**	**100.00**	**100.00**



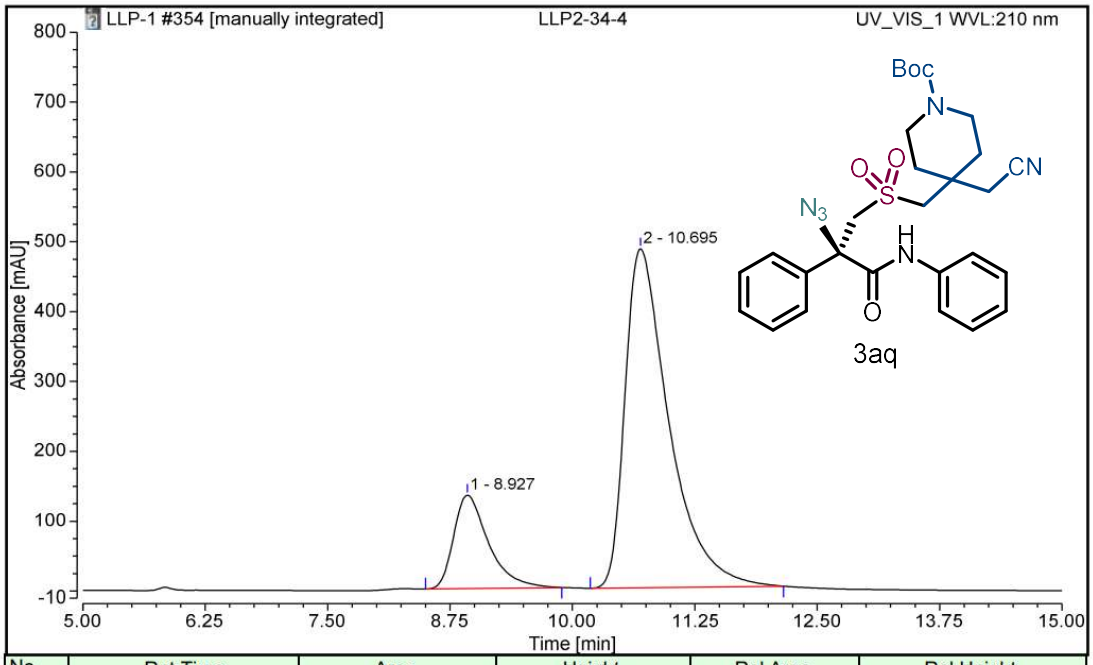
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	11.577	337.567	597.390	49.57	70.37
2	27.048	343.397	251.499	50.43	29.63
Total:		**680.963**	**848.889**	**100.00**	**100.00**



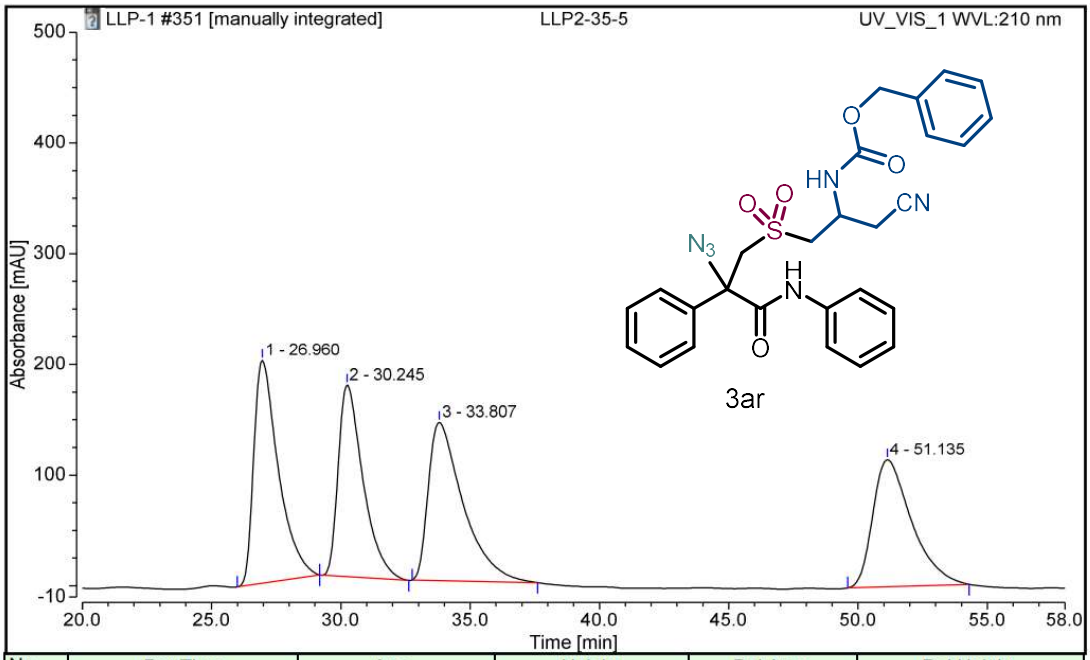
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	11.745	58.561	100.619	12.62	25.69
2	26.953	405.656	290.975	87.38	74.31
Total:		**464.217**	**391.594**	**100.00**	**100.00**



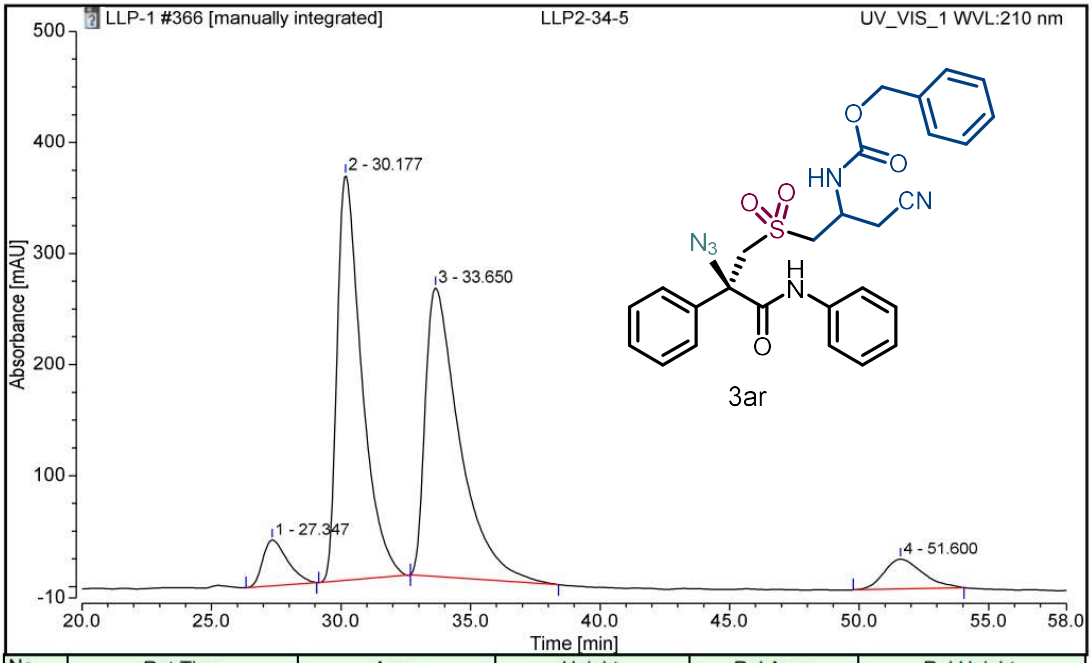
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	8.862	191.568	472.178	50.21	55.95
2	10.700	189.936	371.684	49.79	44.05
Total:		**381.503**	**843.861**	**100.00**	**100.00**



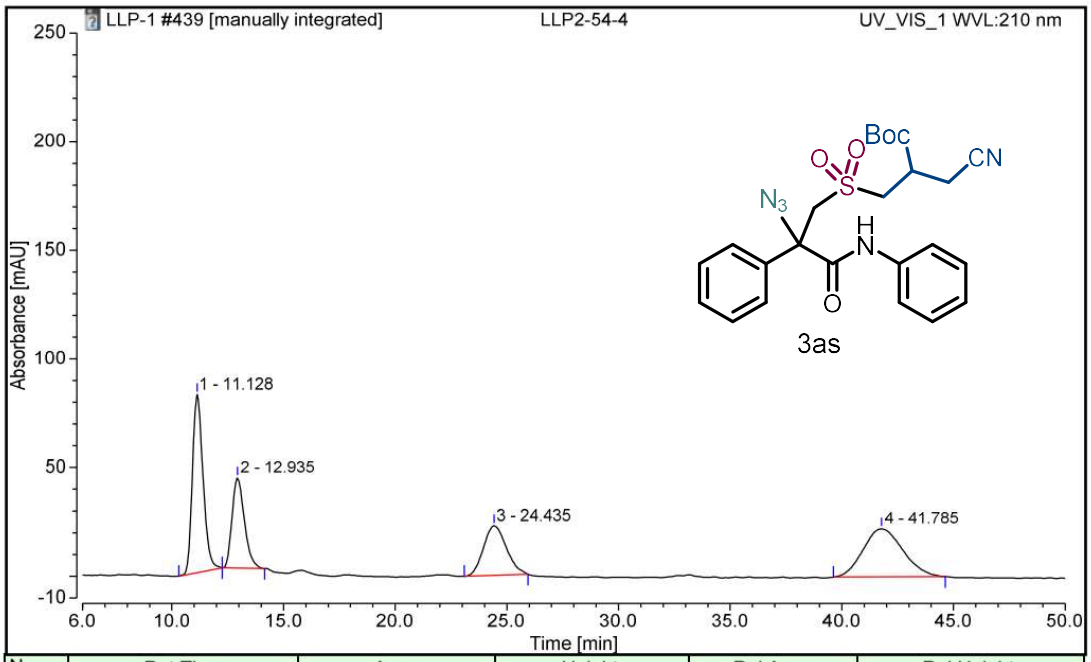
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	8.927	55.236	133.811	17.94	21.62
2	10.695	252.681	485.250	82.06	78.38
Total:		**307.917**	**619.061**	**100.00**	**100.00**



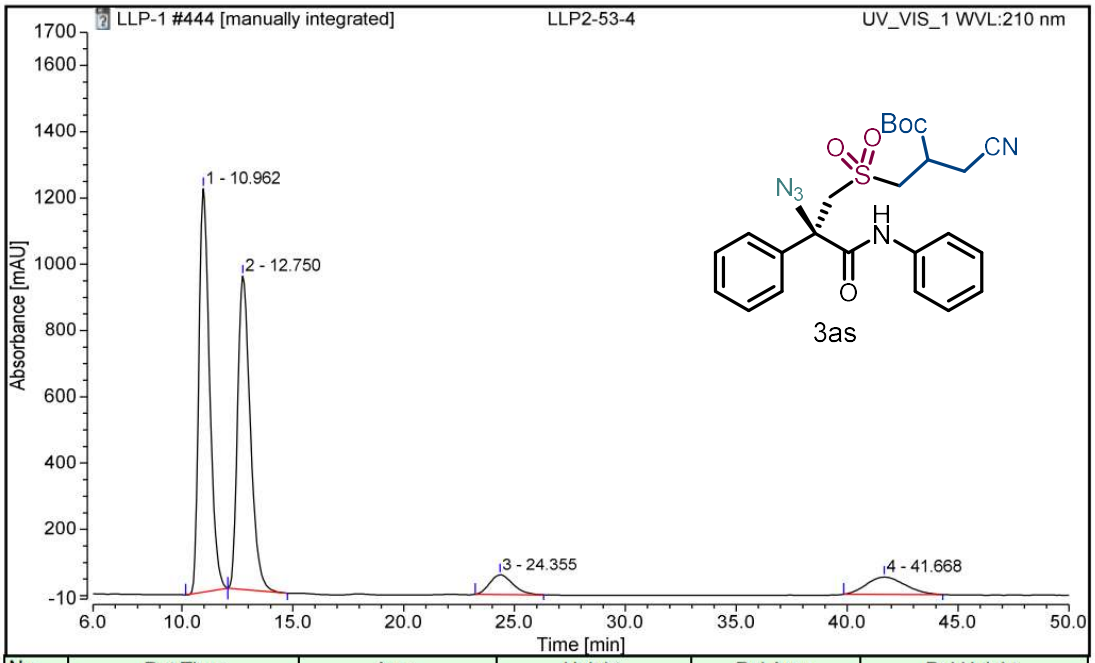
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	26.960	222.701	201.436	26.59	31.86
2	30.245	192.983	173.146	23.04	27.38
3	33.807	222.320	142.976	26.54	22.61
4	51.135	199.527	114.754	23.82	18.15
Total:		**837.531**	**632.312**	**100.00**	**100.00**



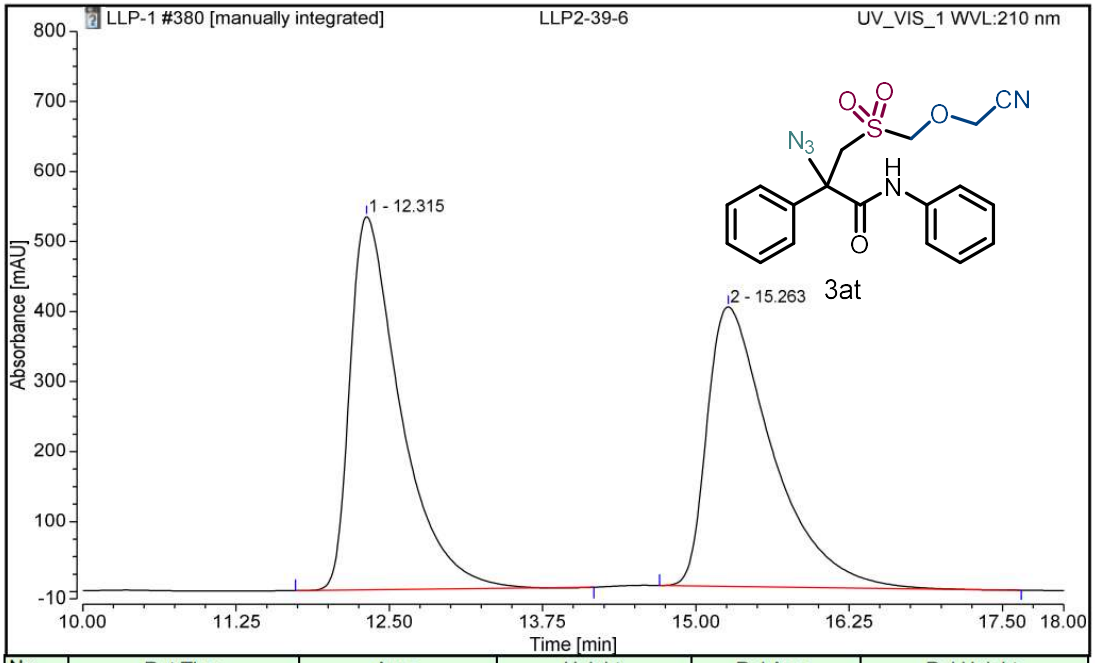
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	27.347	45.694	41.262	5.10	5.96
2	30.177	402.307	364.743	44.94	52.66
3	33.650	401.028	259.970	44.80	37.53
4	51.600	46.172	26.709	5.16	3.86
Total:		**895.200**	**692.685**	**100.00**	**100.00**



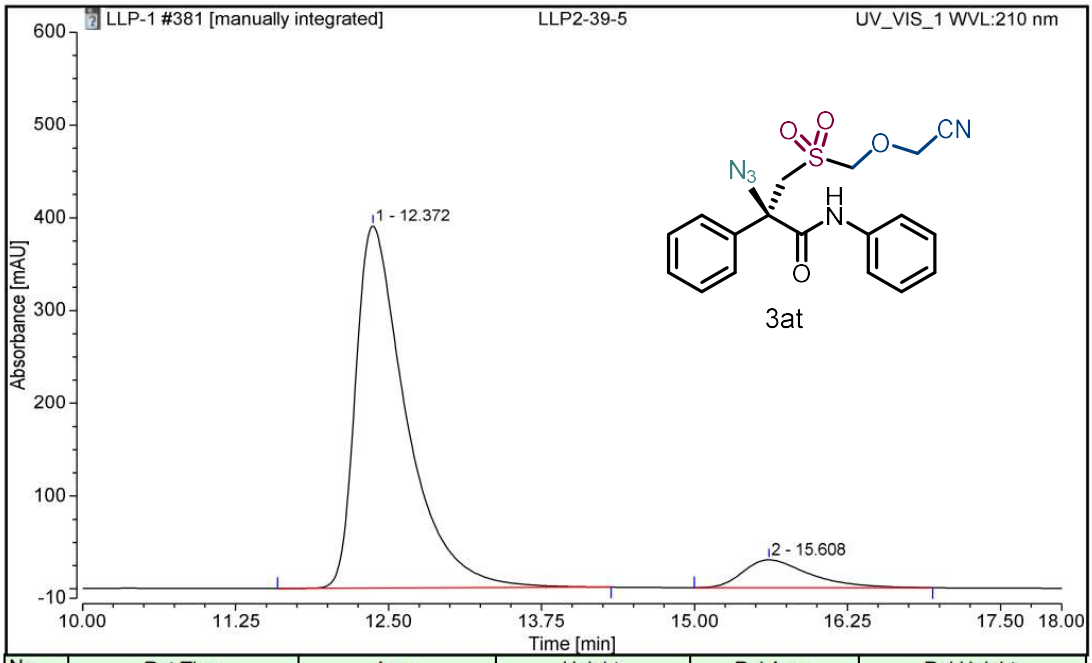
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	11.128	45.554	82.007	31.45	48.71
2	12.935	26.548	41.468	18.33	24.63
3	24.435	27.110	22.798	18.71	13.54
4	41.785	45.650	22.099	31.51	13.13
Total:		**144.862**	**168.373**	**100.00**	**100.00**



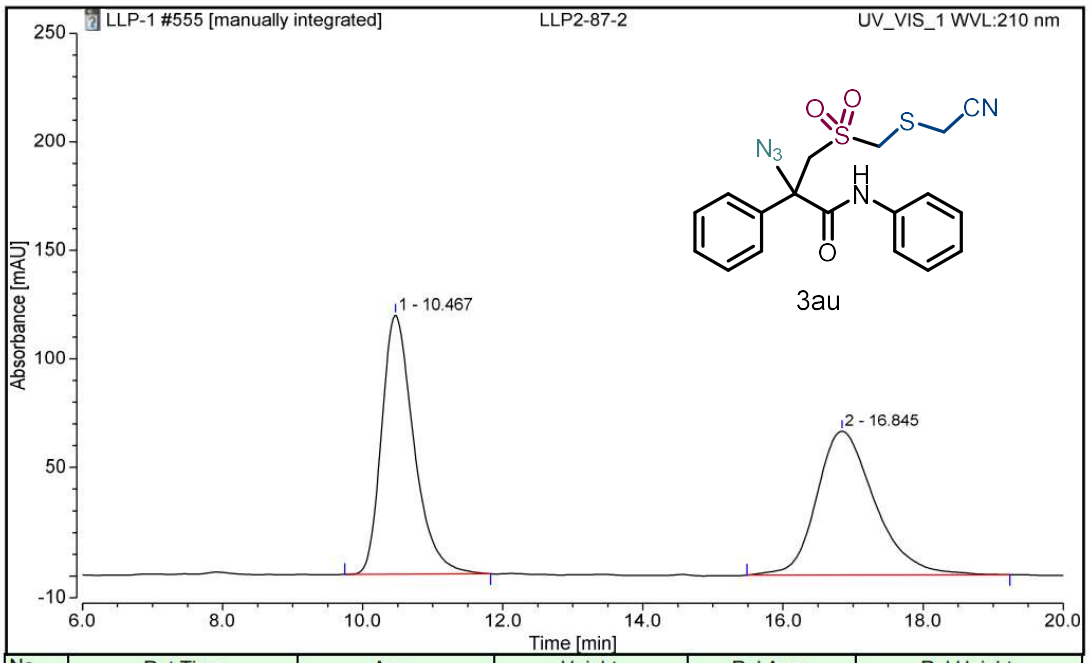
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	10.962	681.988	1217.935	45.88	53.52
2	12.750	628.130	946.062	42.26	41.58
3	24.355	71.876	59.322	4.84	2.61
4	41.668	104.507	52.149	7.03	2.29
Total:		**1486.502**	**2275.468**	**100.00**	**100.00**



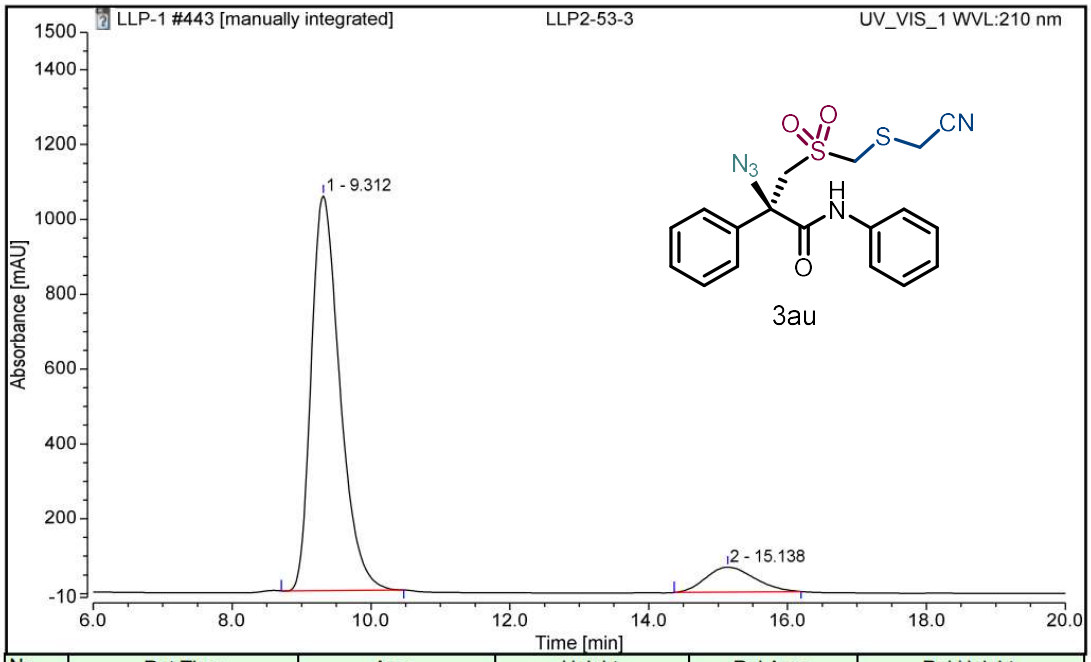
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	12.315	253.886	532.795	50.78	57.17
2	15.263	246.071	399.095	49.22	42.83
Total:		**499.957**	**931.890**	**100.00**	**100.00**



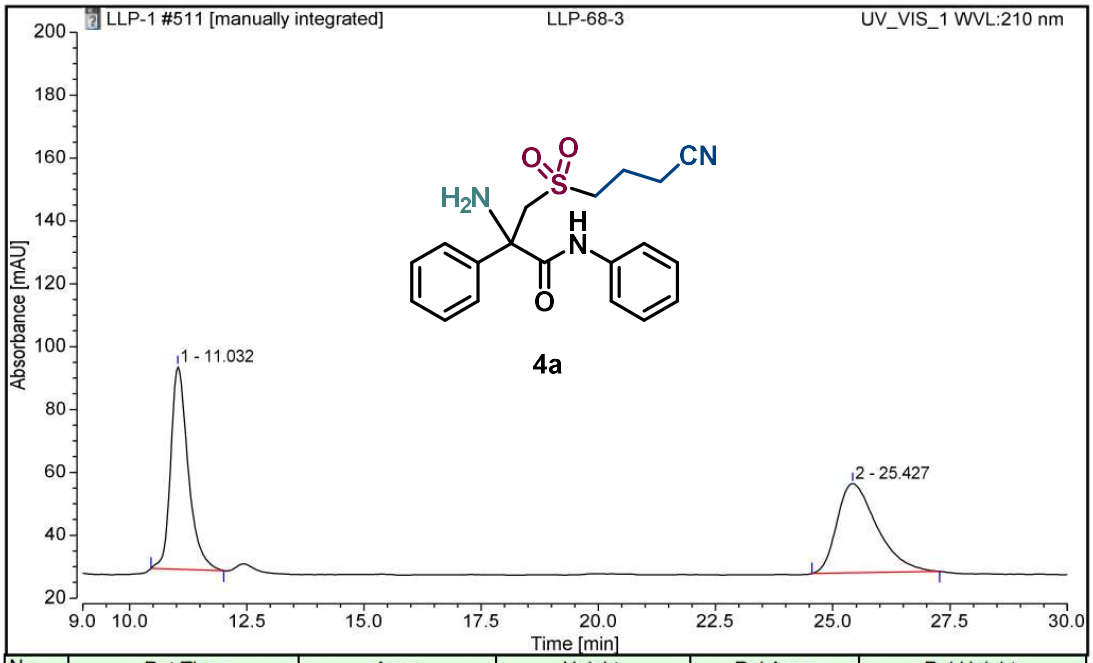
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	12.372	187.186	390.312	90.82	92.85
2	15.608	18.929	30.060	9.18	7.15
Total:		**206.116**	**420.372**	**100.00**	**100.00**



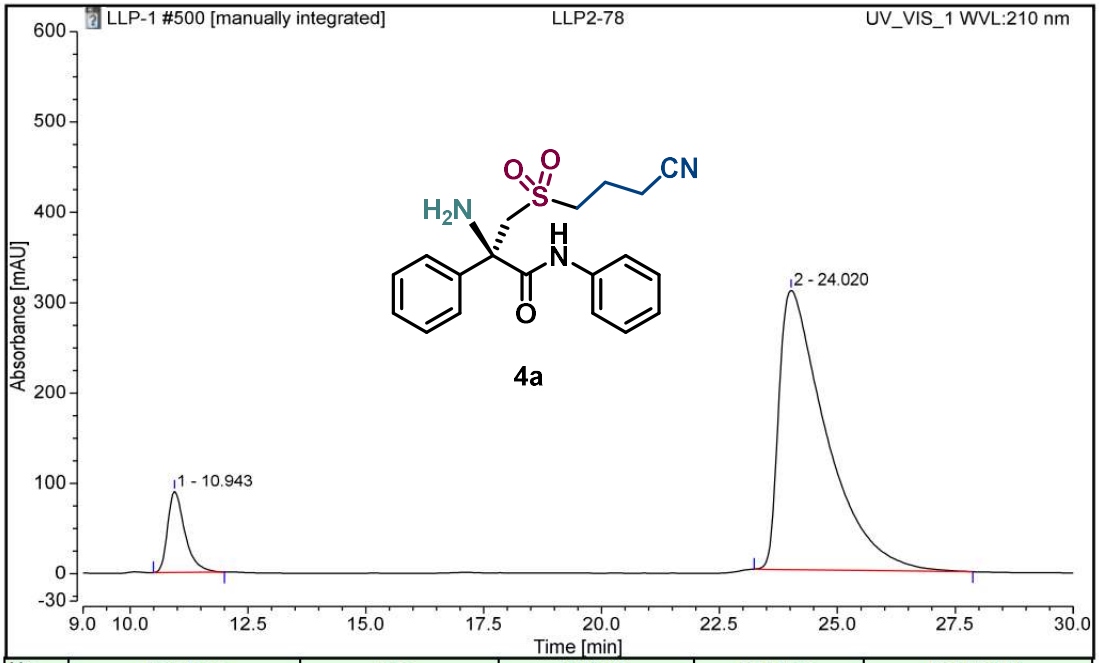
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	10.467	63.698	119.348	50.02	64.30
2	16.845	63.658	66.270	49.98	35.70
Total:		**127.356**	**185.618**	**100.00**	**100.00**



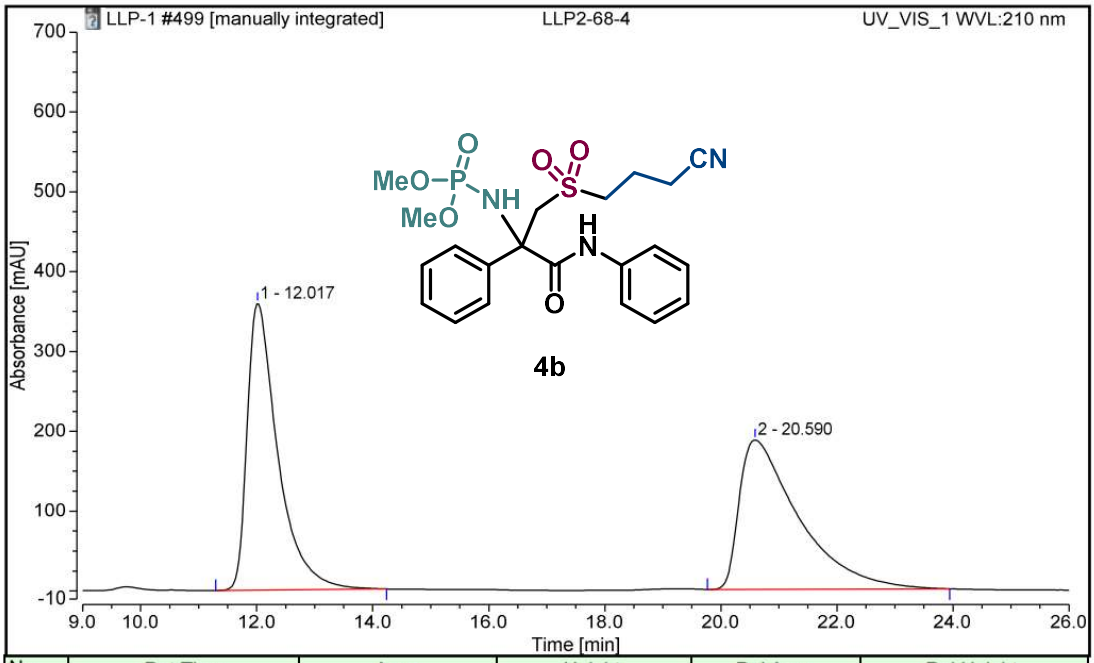
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	9.312	503.155	1054.796	90.29	94.04
2	15.138	54.092	66.826	9.71	5.96
Total:		**557.247**	**1121.622**	**100.00**	**100.00**



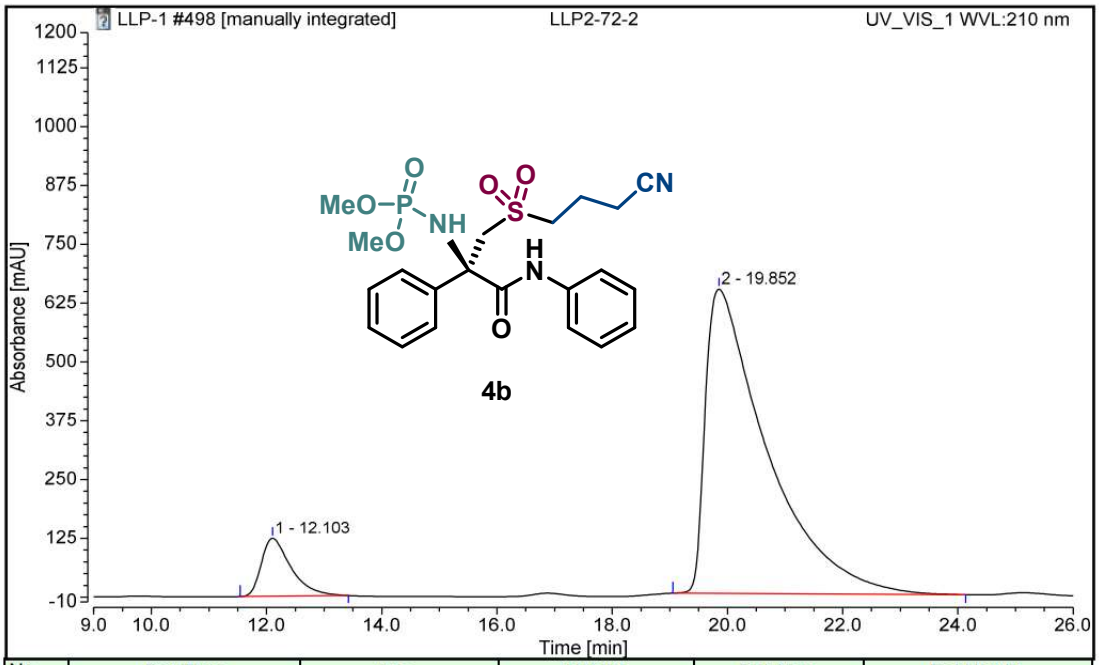
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	11.032	27.879	64.405	49.83	69.41
2	25.427	28.073	28.388	50.17	30.59
Total:		**55.952**	**92.794**	**100.00**	**100.00**



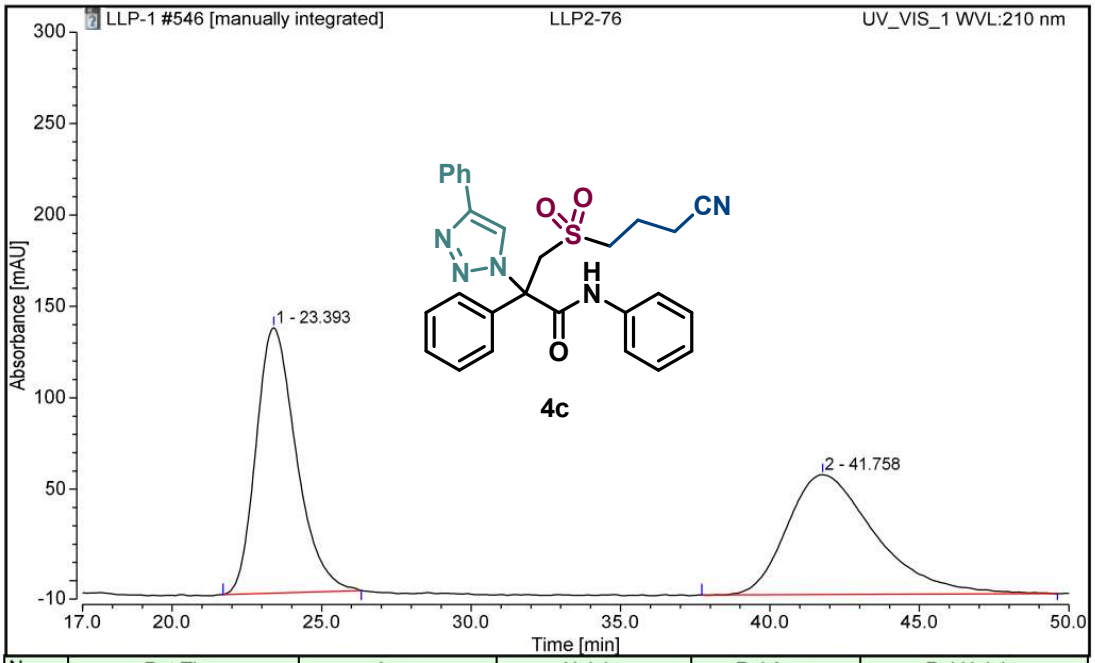
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	10.943	38.180	89.717	9.60	22.50
2	24.020	359.583	308.971	90.40	77.50
Total:		**397.763**	**398.688**	**100.00**	**100.00**



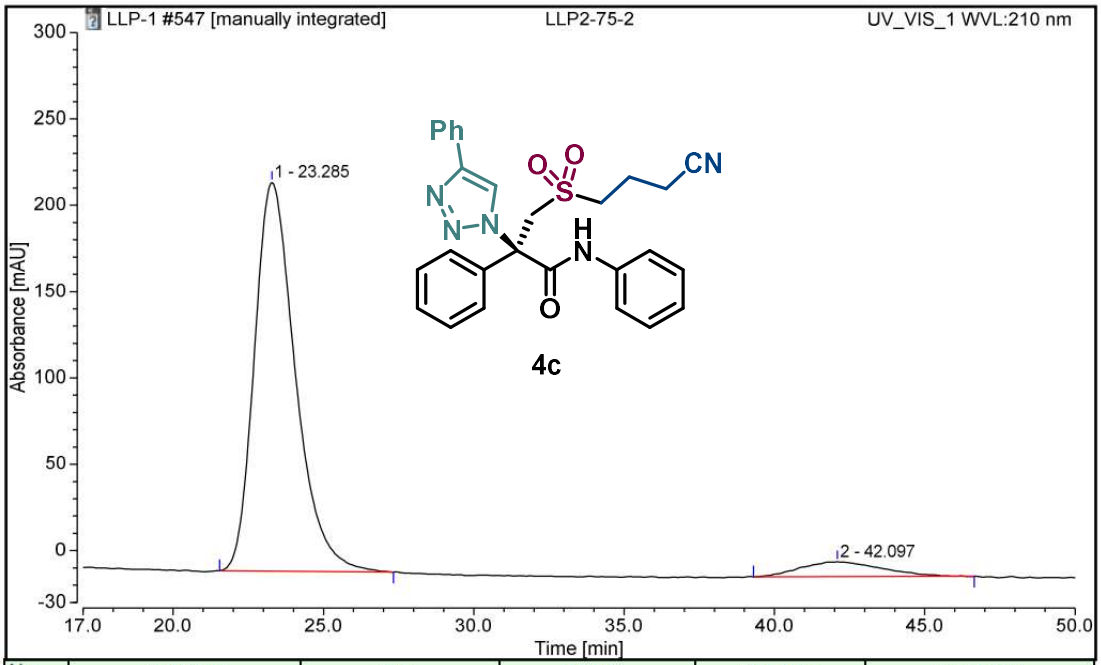
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	12.017	220.311	359.230	49.82	65.75
2	20.590	221.903	187.109	50.18	34.25
Total:		**442.214**	**546.339**	**100.00**	**100.00**



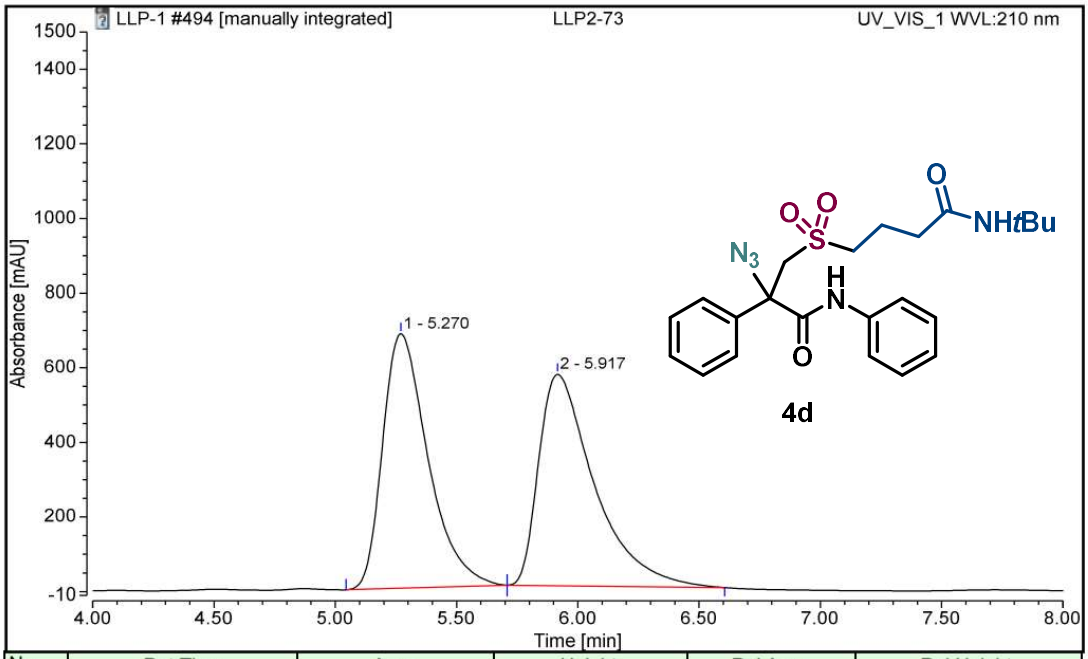
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	12.103	73.619	123.140	8.44	15.99
2	19.852	799.035	647.029	91.56	84.01
Total:		**872.654**	**770.168**	**100.00**	**100.00**



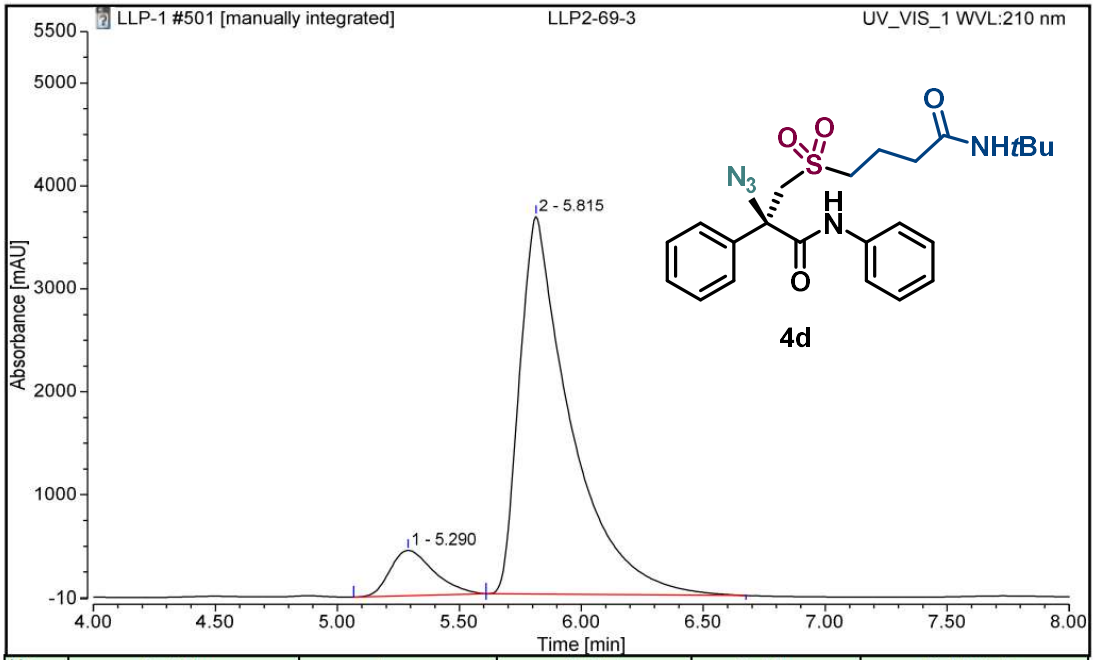
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	23.393	226.098	145.036	50.14	68.88
2	41.758	224.797	65.530	49.86	31.12
Total:		**450.895**	**210.566**	**100.00**	**100.00**



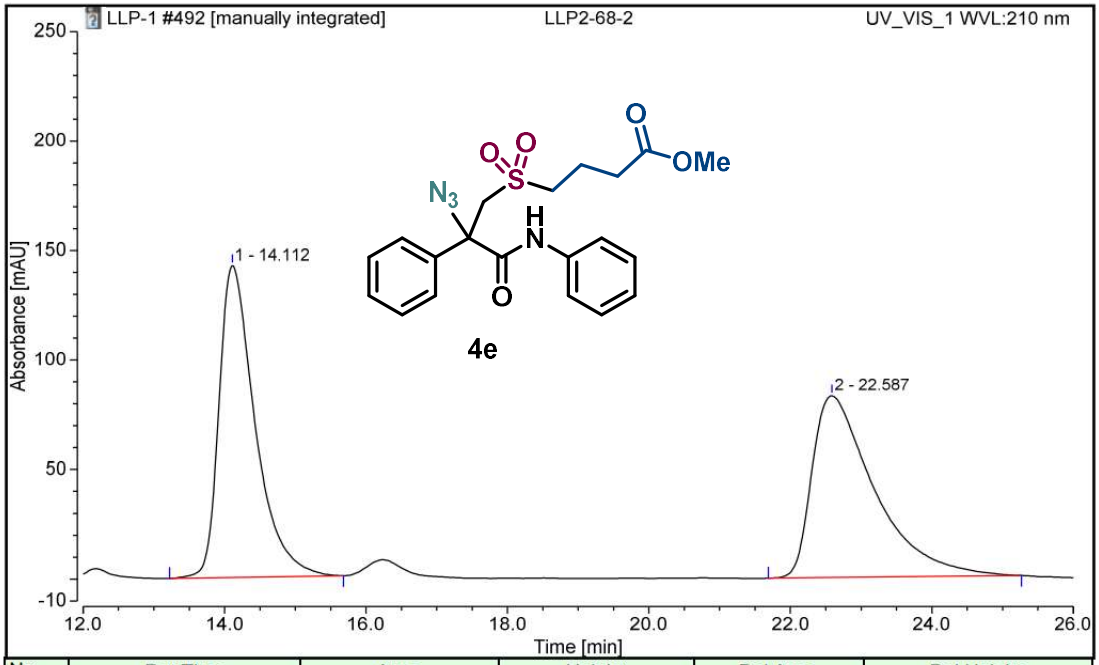
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	23.285	354.535	224.969	93.01	96.36
2	42.097	26.654	8.496	6.99	3.64
Total:		**381.189**	**233.465**	**100.00**	**100.00**



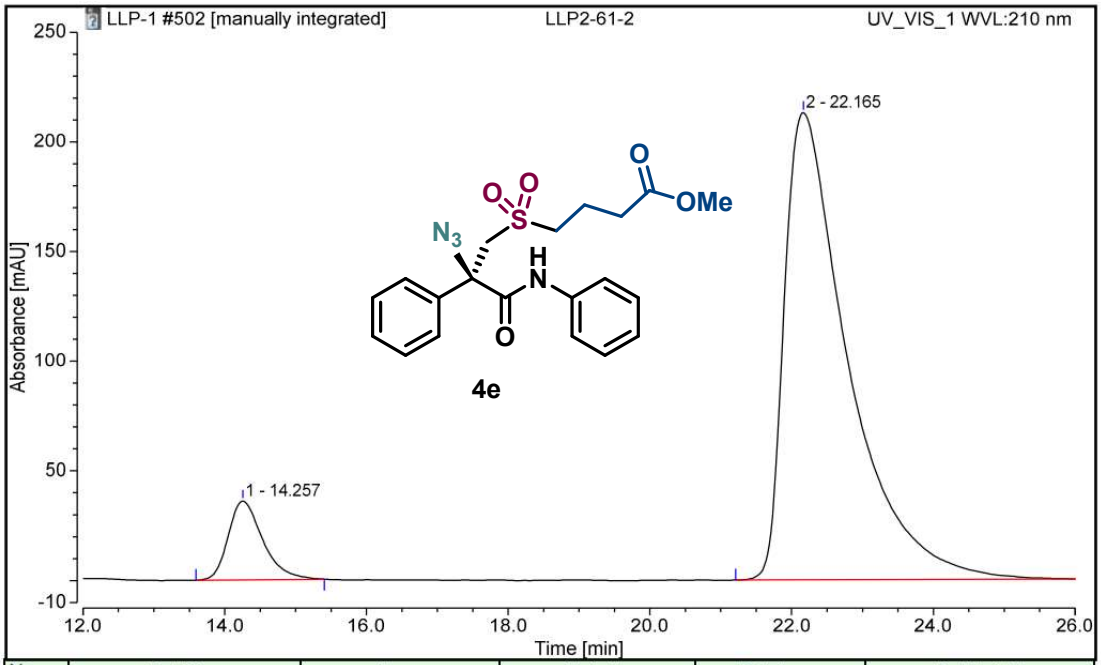
No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	5.270	147.447	681.999	49.49	54.62
2	5.917	150.478	566.566	50.51	45.38
Total:		**297.925**	**1248.564**	**100.00**	**100.00**



No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	5.290	92.129	441.549	9.38	10.74
2	5.815	889.862	3669.248	90.62	89.26
Total:		**981.991**	**4110.797**	**100.00**	**100.00**



No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	14.112	83.941	142.485	49.75	63.18
2	22.587	84.792	83.021	50.25	36.82
Total:		**168.733**	**225.506**	**100.00**	**100.00**



No.	Ret.Time min	Area mAU*min	Height mAU	Rel.Area %	Rel.Height %
1	14.257	20.296	35.980	8.41	14.45
2	22.165	221.119	213.091	91.59	85.55
Total:		**241.415**	**249.071**	**100.00**	**100.00**