

Synthesis of functionalized amino epoxides by a three-component coupling involving aziridines, arynes and aldehydes

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1. General Information

Unless otherwise specified, all reactions were carried out under an atmosphere of argon in flame-dried reaction vessels with Teflon screw caps. 30 °C corresponds to the room temperature of the lab when the experiments were carried out. THF was freshly purified by distillation over Na-benzophenone and was transferred under argon. [18]-Crown-6 was recrystallized from dry CH₃CN and KF was dried by heating at 110 °C for 12 h and left to cool under argon and stored in argon filled glove box. The aldehydes were purchased from either Sigma Aldrich, Acros Organics or from other commercial sources and were purified either by distillation or washing with NaHCO₃ solution, prior to use. All the aziridines were prepared following the literature procedure.¹ The 2(trimethylsilyl)phenyl trifluoromethanesulfonate **2a** and the other symmetric and unsymmetric aryne precursors were synthesized following literature procedure.²

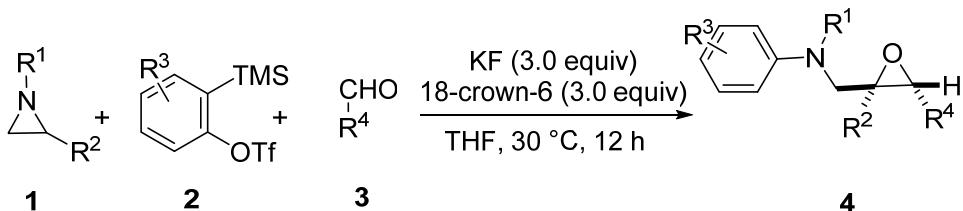
Analytical thin layer chromatography was performed on TLC Silica gel 60 F₂₅₄. Visualization was accomplished with short wave UV light. Chromatography was performed on silica gel (230-400 mesh) by standard techniques eluting with solvents as indicated.

All compounds were fully characterized. ¹H and ¹³C NMR spectra were recorded on Bruker AV 400, 500 in solvents as indicated. Chemical shifts (δ) are given in ppm. The residual solvent signals were used as references and the chemical shifts converted to the TMS scale (CDCl₃: δ H = 7.26 ppm, δ C = 77.16 ppm). Gas Chromatography was recorded on Agilent 7890 B GC. Infrared spectra were recorded on a Bruker Alpha-E Infrared Spectrophotometer. The wave numbers (n) of recorded IR-signals are quoted in cm⁻¹. HRMS data were recorded on either Thermo Scientific Q-Exactive, Accela 1250 pump or Waters SYNAPT G2 High Definition Mass Spectroscopy System. X-ray intensity data measurements of compound **4r** was carried out on a Bruker SMART APEX II CCD diffractometer with graphite-monochromatized (MoK_α= 0.71073 Å) radiation at room temperature.

¹ (a) K.-D.Gunderman, G. Holtzman, H. -J. Rose and H. Schulze, *Chem. Ber.*, 1960, **93**, 1632

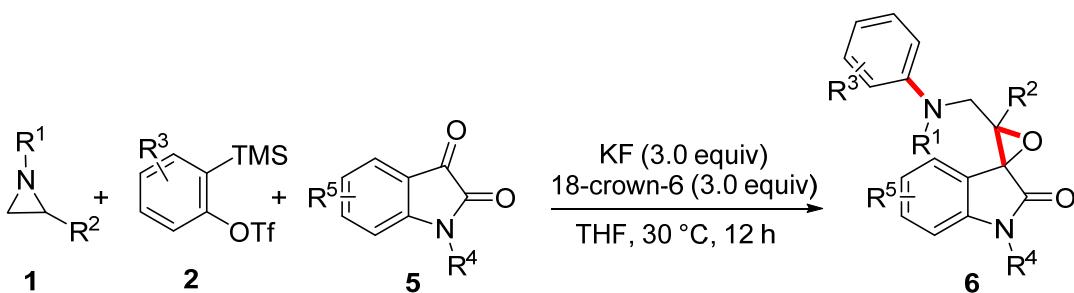
² (a) Y. Sato, T. Tamura, A. Kinbara, M. Morib, *Adv. Synth. Catal.* 2007, **349**, 647; (b) D. Peña, A. Cobas, D. Pérez, E. Guitián, *Synthesis* 2002, 1454.

2. General Procedure for the Reaction Involving Aziridines, Arynes and Aldehydes



To a flame-dried screw-capped test tube equipped with a magnetic stir bar was added KF (87 mg, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) inside a glove-box. Aldehyde **3** (0.50 mmol) followed by THF (2.0 mL) was added outside the glove-box under argon atmosphere (*solid* aldehydes were weighed in air and transferred to the screw-capped test tube by closing the argon flow and *liquid* aldehydes were transferred via syringe with argon flow). To this solution was added aziridine **1** (0.60 mmol) and continued stirring for five minutes at 30 °C. After five minutes of stirring, aryne precursor **2** (0.75 mmol) was added. Then the reaction mixture was kept stirring for 12 h at 30 °C. After 12 h, the reaction was stopped, the solvent was evaporated and the crude residue pre-adsorbed on silica gel and purified by flash column chromatography (Pet. ether /EtOAc = 98/02) on silica gel to afford the corresponding amino epoxides **4** in moderate to good yields. It may be mentioned that the reaction works well without glove-box techniques maintaining the isolated yield of **4**.

3. General Procedure for the Reaction Involving Aziridines, Arynes and Isatins



To a flame-dried screw-capped test tube equipped with a magnetic stir bar was added KF (87 mg, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) inside a glove-box. Isatin **5** (0.50 mmol) followed by THF (2.0 mL) was added outside the glove-box under argon atmosphere. To this solution was added aziridine **1** (0.60 mmol) and continued stirring for five minutes at 30 °C. After five minutes of stirring, aryne precursor **2** (0.75 mmol) was added. Then the reaction mixture was kept stirring for 12 h at 30 °C. After 12 h, the reaction was stopped, the solvent was evaporated and the crude residue pre-adsorbed on silica gel and purified by flash column chromatography (Pet. ether /EtOAc = 90/10) on silica gel to afford the corresponding spiro amino epoxides **6** in moderate to good yields.

4. X-ray data of **4r** and **4r'**

X-ray intensity data measurements of compound **4r** and **4r'** were carried out on a Bruker SMART APEX II CCD diffractometer with graphite-monochromatized ($\text{MoK}_\alpha = 0.71073\text{\AA}$) radiation at room temperature. The X-ray generator was operated at 50 kV and 30 mA. A preliminary set of cell constants and an orientation matrix were calculated from three sets of 36 frames. Data were collected with ω scan width of 0.5° at different settings of φ and 2θ with a frame time of 10 secs keeping the sample-to-detector distance fixed at 5.00 cm. The X-ray data collection was monitored by APEX2 program (Bruker, 2006).³ All the data were corrected for Lorentzian, polarization and absorption effects using SAINT and SADABS programs (Bruker, 2006). SHELX-97 was used for structure solution and full matrix least-squares refinement on F^2 .⁴ All the hydrogen atoms were placed in geometrically idealized position and constrained to ride on their parent atoms. An *ORTEP III*⁵ view of both compounds were drawn with 30% probability displacement ellipsoids and H atoms are shown as small spheres of arbitrary radii.

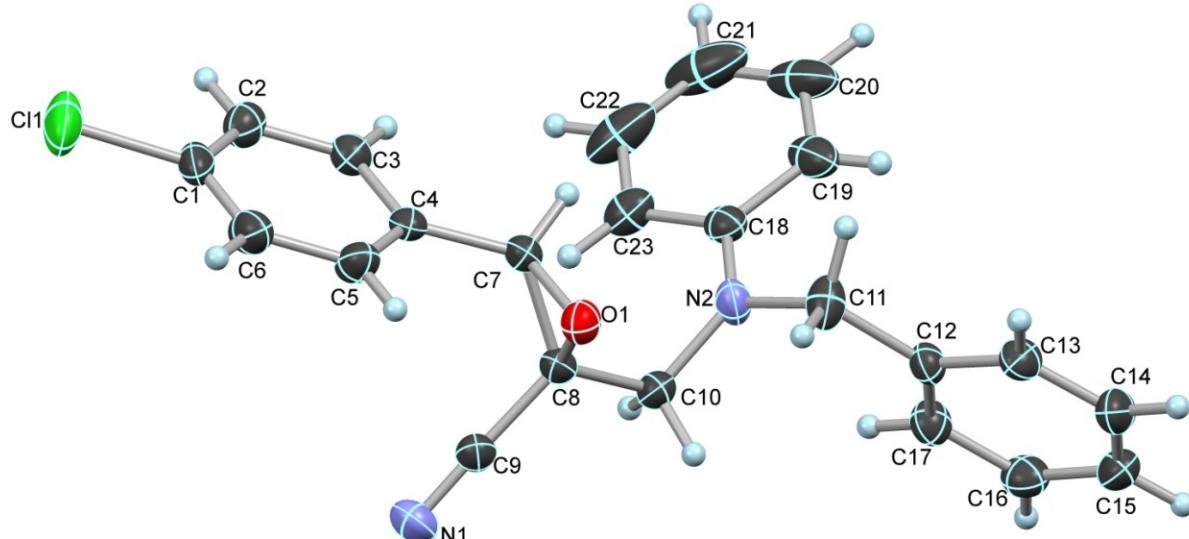
³ Bruker (2006). *APEX2, SAINT and SADABS*. Bruker AXS Inc., Madison, Wisconsin, USA.

⁴ G. M. Sheldrick, *Acta Crystallogr.*, 2008, **A64**, 112.

⁵ L. J. Farrugia, *J. Appl. Cryst.* 1997, **30**, 565–565.

Crystal data and structure refinement for Compound 4r

Crystal data of **4r** C₂₃H₁₉ClN₂O, M = 374.85, colorless block, 0.40 x 0.31 x 0.17 mm³, monoclinic, space group *P2₁/c*, *a* = 11.925(6) Å, *b* = 23.667(12) Å, *c* = 7.039(4) Å, β = 99.498(6) $^\circ$, *V* = 1959.4(17) Å³, Z = 4, *T* = 296(2) K, $2\theta_{\text{max}}=50.00^\circ$, *D_{calc}* (g cm⁻³) = 1.271, *F*(000) = 784, μ (mm⁻¹) = 0.209, 12071 reflections collected, 3345 unique reflections (*R_{int}*=0.0601), 2627 observed (*I* > 2 σ (*I*)) reflections, multi-scan absorption correction, *T_{min}* = 0.921, *T_{max}* = 0.965, 334 refined parameters, *S* = 1.416, *R*1 = 0.0559, *wR*2 = 0.1374 (all data *R* = 0.0722, *wR*2 = 0.1448), maximum and minimum residual electron densities; $\Delta\rho_{\text{max}}$ = 0.17, $\Delta\rho_{\text{min}}= -0.31$ (eÅ⁻³).

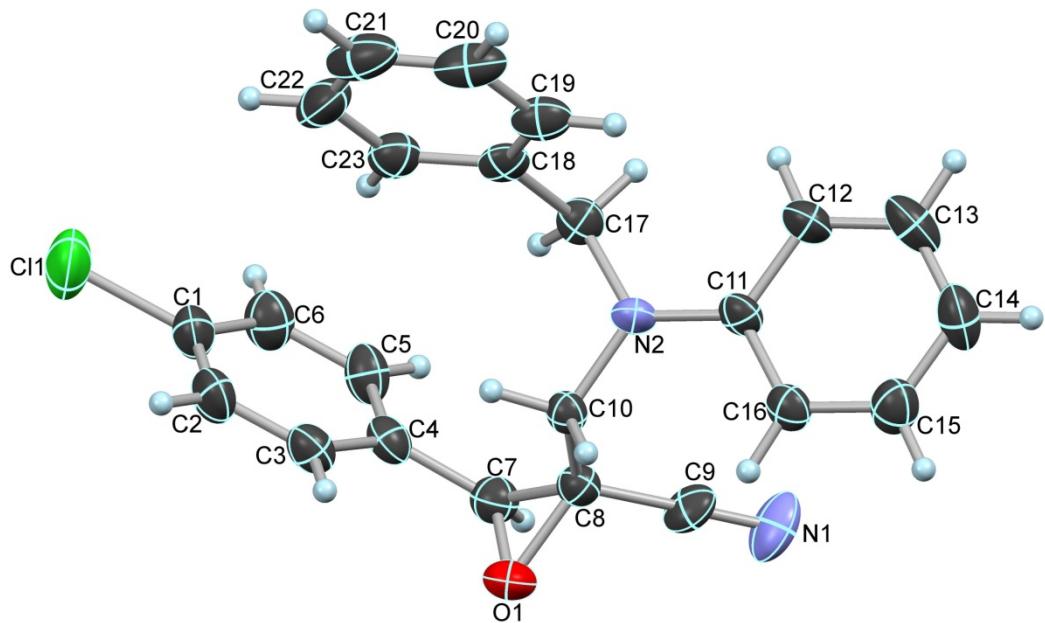


Crystal structure of **4r** (thermal ellipsoids are shown with 30% probability).

CCDC 1444745 (**4r**) contains the supplementary crystallographic data for this paper. These data can be obtained free of charge from The Cambridge Crystallographic Data Centre via www.ccdc.cam.ac.uk/data_request/cif.

Crystal data and structure refinement for Compound 4r'

Crystal data of **4r'** C₂₃H₁₉ClN₂O, M = 374.85, colorless block, 0.45 x 0.32 x 0.26 mm³, triclinic, space group *P*-1, *a* = 9.859(2) Å, *b* = 9.973(2) Å, *c* = 11.943(3) Å, α = 103.759(14) $^\circ$, β = 101.793(14) $^\circ$, γ = 114.317(12) $^\circ$, *V* = 976.9(4) Å³, Z = 2, *T* = 296(2) K, 2*θ*_{max} = 50.00 $^\circ$, *D*_{calc} (g cm⁻³) = 1.274, *F*(000) = 392, μ (mm⁻¹) = 0.210, 9717 reflections collected, 3288 unique reflections (*R*_{int}=0.0910), 1556 observed (*I* > 2 σ (*I*)) reflections, multi-scan absorption correction, *T*_{min} = 0.911, *T*_{max} = 0.947, 244 refined parameters, *S* = 1.295, *R*1 = 0.0923, *wR*2 = 0.2626 (all data *R* = 0.3420, *wR*2 = 0.4100), maximum and minimum residual electron densities; $\Delta\rho_{\text{max}} = 0.50$, $\Delta\rho_{\text{min}} = -0.57$ (eÅ⁻³).

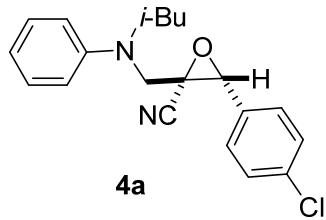


Crystal structure of **4r'** (thermal ellipsoids are shown with 30% probability).

CCDC 1444746 (**4r'**) contains the supplementary crystallographic data for this paper. These data can be obtained free of charge from The Cambridge Crystallographic Data Centre via www.ccdc.cam.ac.uk/data_request/cif.

5. Synthesis and Characterization of *N*-Aryl Amino Epoxides

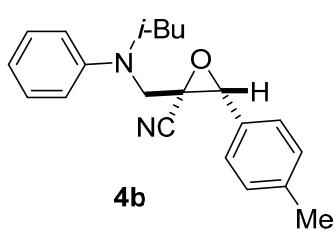
3-(4-Chlorophenyl)-2-((isobutyl(phenyl)amino)methyl)oxirane-2-carbonitrile (4a)



Following the general procedure, treatment of 1-isobutylaziridine-2-carbonitrile **1a** (0.075 g, 0.6 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μ L, 0.75 mmol) with 4-chlorobenzaldehyde **3a** (0.070 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 3-(4-chlorophenyl)-2-((isobutyl(phenyl)amino)methyl)oxirane-2-carbonitrile as a yellow oil **4a** (0.123 g, 72% yield). [the *dr* of crude reaction mixture determined using GC analysis is 90:10]

R_f (Pet. ether /EtOAc = 90/10): 0.53; **¹H NMR (400 MHz, CDCl₃)** δ 7.36 - 7.30 (m, 4H), 7.15 (d, *J* = 7.2 Hz, 2H) 6.85 (t, *J* = 7.2 Hz, 1H), 6.78 (d, *J* = 8.2 Hz, 2H), 4.06 (d, *J* = 16.0 Hz, 1H), 3.96 (d, *J* = 16.1 Hz, 1H), 3.91 (s, 1H), 3.34 (dd, *J* = 14.8 Hz, 6.1 Hz, 1H), 3.13 (dd, *J* = 14.8 Hz, 8.5 Hz, 1H), 2.16 - 2.06 (m, 1H), 0.96 (dd, *J* = 9.4 Hz, 6.8 Hz, 6H). **¹³C NMR (100 MHz, CDCl₃)** δ 147.51, 135.57, 130.37, 129.68, 128.97, 127.58, 118.36, 115.99, 113.47, 61.60, 60.46, 56.64, 53.75, 27.12, 20.54, 20.37. **HRMS (ESI)** calculated [M+H]⁺ for C₂₀H₂₂ClN₂O: 341.1415, found: 341.1413. **FTIR (cm⁻¹)** 3022, 2963, 2403, 1599, 1501, 1373, 1217, 1045, 764, 672.

((Isobutyl(phenyl)amino)methyl)-3-(*p*-tolyl)oxirane-2-carbonitrile (4b)

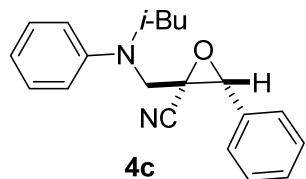


Following the general procedure, treatment of 1-isobutylaziridine-2-carbonitrile **1a** (0.075 g, 0.60 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μ L, 0.75 mmol) with p-tolualdehyde **3b** (0.60 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol)

in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded ((isobutyl(phenyl)amino)methyl)-3-(*p*-tolyl)oxirane-2-carbonitrile as a yellow oil **4b** (0.96 g, 60% yield). [the *dr* of crude reaction mixture determined using GC analysis is 90:10]

R_f (Pet. ether /EtOAc = 90/10): 0.58; **¹H NMR (400 MHz, CDCl₃)** δ 7.33 (t, *J* = 7.9 Hz, 2H), 7.20 (d, *J* = 8.1 Hz, 2H), 7.15 (d, *J* = 8.1 Hz, 2H), 6.86 (t, *J* = 7.3 Hz, 1H), 6.81 (d, *J* = 9.2 Hz, 2H), 4.01 (dd, *J* = 37.1 Hz, 16.7 Hz, 2H), 4.01 (s, 1H), 3.36 (dd, *J* = 14.8 Hz, 6.3 Hz, 1H), 3.36 (dd, *J* = 14.8 Hz, 6.3 Hz, 1H), 2.37 (s, 3H), 2.26 – 2.01 (m, 1H), 1.04 – 0.95 (m, 6H). **¹³C NMR (100 MHz, CDCl₃)** δ 147.63, 139.48, 129.58, 129.33, 128.74, 126.12, 118.15, 116.31, 113.43, 62.26, 60.32, 56.67, 53.96, 27.06, 21.39, 20.53, 20.37. **HRMS (ESI)** calculated [M+H]⁺ for C₂₁H₂₅N₂O: 321.1961, found: 321.1956. **FTIR (cm⁻¹)** 3021, 2963, 2404, 1600, 1505, 1465, 1217, 1039, 926, 768.

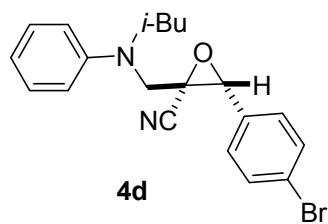
2-((*Iso*-Butyl(phenyl)amino)methyl)-3-phenyloxirane-2-carbonitrile (**4c**)



Following the general procedure, treatment of 1-isobutylaziridine-2-carbonitrile **1a** (0.075 g, 0.6 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μL, 0.75 mmol) with benzaldehyde **3c** (0.053 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-((*iso*-butyl(phenyl)amino)methyl)-3-phenyloxirane-2-carbonitrile as a yellow oil **4c** (0.090 g, 59% yield). [the *dr* of crude reaction mixture determined using GC analysis is 88:12]

R_f (Pet. ether /EtOAc = 90/10): 0.53; **¹H NMR (400 MHz, CDCl₃)** δ 7.42 - 7.40 (m, 3H), 7.37-7.33 (m, 2H), 7.28 - 7.25 (m, 2H), 6.90 - 6.86 (m, 1H), 6.83 (d, *J* = 8.2 Hz, 2H), 4.12 - 3.98 (m, 3H) 3.41 (dd, *J* = 6.2 Hz, 14.9 Hz, 1H), 3.21 (dd, *J* = 8.4 Hz, 14.8 Hz, 1H), 2.18 - 2.11 (m, 1H), 1.02 (dd, *J* = 6.6 Hz, 10.1 Hz, 6H). **¹³C NMR (100 MHz, CDCl₃)** δ 147.56, 131.77, 129.63, 129.55, 128.64, 126.19, 118.17, 116.19, 113.37, 62.16, 60.35, 56.65, 53.87, 27.08, 20.55, 20.38. **HRMS (ESI)** calculated [M+H]⁺ for C₂₀H₂₃N₂O: 307.1805, found: 307.1801. **FTIR (cm⁻¹)** 2404, 1733, 1599, 1502, 1464, 1374, 1217, 1129, 1039, 983, 920, 864, 678.

3-(4-Bromophenyl)-2-((isobutyl(phenyl)amino)methyl)oxirane-2-carbonitrile (**4d**)

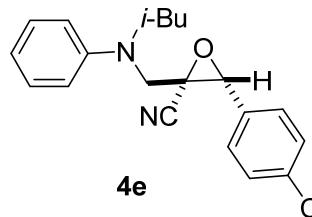


Following the general procedure, treatment of 1-isobutylaziridine-2-carbonitrile **1a** (0.075 g, 0.60 mmol) and 2-(trimethylsilyl)phenyl

trifluoromethane sulfonate **2a** (0.223 g, 182 μ L, 0.75 mmol) with 4-bromobenzaldehyde **3d** (0.93 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 3-(4-bromophenyl)-2-((isobutyl(phenyl)amino)methyl)oxirane-2-carbonitrile as a yellow oil **4d** (0.112 g, 58% yield). [the *dr* of crude reaction mixture determined using GC analysis is 89:11]

R_f (Pet. ether /EtOAc = 90/10): 0.55; **1H NMR (400 MHz, CDCl₃)** δ 7.66 (d, *J* = 8.3 Hz, 2H), 7.34 - 7.30 (m, 4H), 6.87 (t, *J* = 7.3 Hz, 1H), 6.77 (d, *J* = 8.3 Hz, 2H), 4.10 (d, *J* = 16.3 Hz, 1H), 3.99 (d, *J* = 15.5 Hz, 1H), 3.99 (s, 1H), 3.35 (dd, *J* = 14.9 Hz, 6.2 Hz, 1H), 3.12 (dd, *J* = 14.8 Hz, 8.5 Hz, 1H), 2.13 - 2.05 (m, 1H), 0.96 (dd, *J* = 9.2 Hz, 6.6 Hz, 6H). **13C NMR (100 MHz, CDCl₃)** δ 147.31, 137.09, 132.44, 129.74, 126.97, 118.52, 118.30, 115.56, 113.44, 61.17, 60.49, 56.67, 53.53, 27.09, 20.49, 20.32. **HRMS (ESI)** calculated [M+H]⁺ for C₂₀H₂₂BrN₂O: 385.0910, found: 385.0904. **FTIR (cm⁻¹)** 3022, 2965, 2235, 1645, 1599, 1504, 1218, 1122, 1036, 927, 767.

3-(4-Cyanophenyl)-2-((isobutyl(phenyl)amino)methyl)oxirane-2-carbonitrile (**4e**)

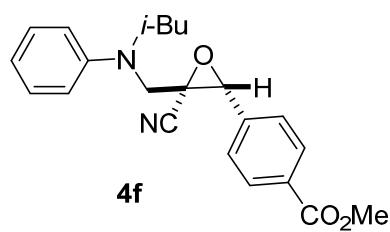


Following the general procedure, treatment of 1-isobutylaziridine-2-carbonitrile **1a** (0.075 g, 0.60 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μ L, 0.75 mmol) with 4-cyanobenzaldehyde **3e** (0.66 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 3-(4-cyanophenyl)-2-((isobutyl(phenyl)amino)methyl)oxirane-2-carbonitrile as a yellow oil **4e** (0.111 g, 67% yield). [the *dr* of crude reaction mixture determined using GC analysis is 87:13]

R_f (Pet. ether /EtOAc = 90/10): 0.41; **1H NMR (400 MHz, CDCl₃)** δ 7.51 (d, *J* = 8.4 Hz, 2H), 7.32 (t, *J* = 8.4 Hz, 2H), 7.09 (d, *J* = 8.4 Hz, 2H), 6.86 (t, *J* = 7.3 Hz, 1H), 6.78 (d, *J* = 8.2 Hz, 2H), 4.01 (dd, *J* = 42.8 Hz, 16.1 Hz, 2H), 3.90 (s, 1H), 3.35 (dd, *J* = 14.8 Hz, 6.1 Hz, 1H), 3.14 (dd, *J* = 14.8 Hz, 8.5 Hz, 1H), 2.15 - 2.06 (m, 1H), 0.97 (dd, *J* = 9.4 Hz, 6.7 Hz, 6H). **13C NMR (100 MHz, CDCl₃)** δ 147.45, 131.88, 130.87, 129.66, 127.82, 123.76, 118.33, 115.95, 113.41, 61.62, 60.42, 56.56, 53.69, 27.08, 20.52, 20.36. **HRMS (ESI)** calculated [M+H]⁺ for

$C_{21}H_{22}N_3O$: 332.1757, found: 332.1750. **FTIR (cm⁻¹)** 3021, 2962, 2404, 1599, 1500, 1372, 1217, 1125, 926, 763.

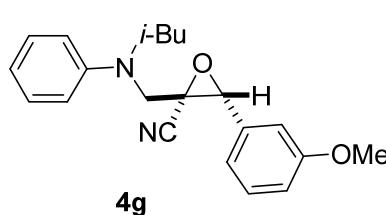
Methyl 4-(3-cyano-3-((isobutyl(phenyl)amino)methyl)oxiran-2-yl)benzoate (4f)



Following the general procedure, treatment of 1-isobutylaziridine-2-carbonitrile **1a** (0.075 g, 0.60 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μ L, 0.75 mmol) with methyl 4-formylbenzoate **3f** (0.82 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded methyl 4-(3-cyano-3-((isobutyl(phenyl)amino)methyl)oxiran-2-yl)benzoate as a yellow oil **4f** (0.126 g, 69% yield). [the *dr* of crude reaction mixture determined using GC analysis is 87:13]

R_f (Pet. ether /EtOAc = 90/10): 0.40; **¹H NMR (500 MHz, CDCl₃)** δ 8.04 (d, *J* = 8.1 Hz, 2H), 7.33 - 7.26 (m, 7.4 Hz, 4H), 6.86 (t, *J* = 7.2 Hz, 1H), 6.78 (d, *J* = 8.2 Hz, 2H), 4.08 (d, *J* = 16.2 Hz, 1H), 3.99 d, *J* = 16.0 Hz, 1H), 3.98 (s, 1H), 3.91 (s, 3H), 3.35 (dd, *J* = 14.8 Hz, 6.1 Hz, 1H), 3.13 (dd, *J* = 14.8 Hz, 8.5 Hz, 1H), 2.18 - 2.00 (m, 1H), 0.96 (dd, *J* = 12.6 Hz, 6.6 Hz, 6H). **¹³C NMR (125 MHz, CDCl₃)** δ 166.56, 147.41, 136.72, 131.23, 129.90, 129.70, 126.23, 118.35, 115.82, 113.38, 61.59, 60.42, 56.64, 53.70, 52.38, 27.09, 20.53, 20.35. **HRMS (ESI)** calculated [M+H]⁺ for C₂₂H₂₅N₂O₃: 365.1860, found: 365.1854. **FTIR (cm⁻¹)** 3022, 2963, 2404, 1720, 1601, 1504, 1433, 1373, 1283, 1217, 1113, 1035, 769.

2-((Isobutyl(phenyl)amino)methyl)-3-(3-methoxyphenyl)oxirane-2-carbonitrile (4g)

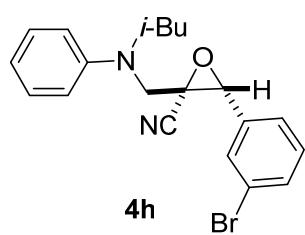


Following the general procedure, treatment of 1-isobutylaziridine-2-carbonitrile **1a** (0.075 g, 0.60 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μ L, 0.75 mmol) with 3-methoxybenzaldehyde **3g** (0.68 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel

afforded 2-((isobutyl(phenyl)amino)methyl)-3-(3-methoxyphenyl)oxirane-2-carbonitrile as a yellow oil **4g** (0.93 g, 55% yield). [the *dr* of crude reaction mixture determined using GC analysis is 87:13]

R_f (Pet. ether /EtOAc = 90/10): 0.50; **¹H NMR (400 MHz, CDCl₃)** δ 7.44 - 7.19 (m, 3H), 6.91 (dd, *J* = 8.2 Hz, 2.2 Hz, 1H), 6.88 - 6.72 (m, 5H), 4.01 (dd, *J* = 39.3 Hz, 16.1 Hz, 2H), 3.93 (s, 1H), 3.80 (s, 3H), 3.35 (dd, *J* = 14.8 Hz, 6.2 Hz, 1H), 3.16 (dd, *J* = 14.8 Hz, 8.4 Hz, 1H), 2.15 - 2.07 (m, 1H), 0.97 (dd, *J* = 9.5 Hz, 6.7 Hz, 6H). **¹³C NMR (100 MHz, CDCl₃)** δ 159.81, 147.59, 133.33, 129.78, 129.62, 118.57, 118.24, 116.17, 115.54, 113.49, 111.17, 62.12, 60.40, 56.56, 55.37, 53.93, 27.11, 20.54, 20.38. **HRMS (ESI)** calculated [M+H]⁺ for C₂₁H₂₅N₂O₂: 337.1911, found: 337.1903. **FTIR (cm⁻¹)** 3021, 2962, 2404, 1600, 1500, 1466, 1218, 1044, 871, 770.

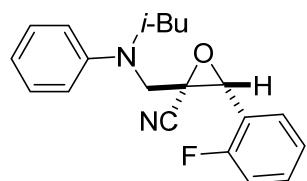
3-(3-Bromophenyl)-2-((iso-butyl(phenyl)amino)methyl)oxirane-2-carbonitrile (**4h**)



Following the general procedure, treatment of 1-isobutylaziridine-2-carbonitrile **1a** (0.075 g, 0.6 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μL, 0.75 mmol) with 3-bromobenzaldehyde **3h** (0.093 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 3-(3-bromophenyl)-2-((iso-butyl(phenyl)amino)methyl)oxirane-2-carbonitrile as a yellow oil **4h** (0.118 g, 61% yield). [the *dr* of crude reaction mixture determined using GC analysis is 85:15]

R_f (Pet. ether /EtOAc = 90/10): 0.53; **¹H NMR (400 MHz, CDCl₃)** δ 7.54 (d, *J* = 7.9 Hz, 1H), 7.37-7.33 (m, 3H), 7.29-7.25 (m, 1H), 7.19 (d, *J* = 7.6 Hz, 1H), 6.89 (t, *J* = 7.4 Hz, 1H), 6.82 (d, *J* = 8.3 Hz, 2H), 4.11 (d, *J* = 15.9 Hz, 1H), 4.01 (d, *J* = 16.6 Hz, 1H), 3.92 (s, 1H), 3.99 (dd, *J* = 6.2 Hz, 14.9 Hz, 1H), 3.18 (dd, *J* = 8.6 Hz, 14.8 Hz, 1H), 2.18 - 2.08 (m, 1H), 1.01 (dd, *J* = 6.6 Hz, 9.2 Hz, 6H). **¹³C NMR (100 MHz, CDCl₃)** δ 147.45, 134.17, 132.68, 130.25, 129.69, 129.33, 124.69, 122.74, 118.43, 113.49, 61.18, 60.43, 56.57, 53.74, 27.08, 20.53, 20.36. **HRMS (ESI)** calculated [M+H]⁺ for C₂₀H₂₂BrN₂O: 385.0910, found: 385.0912. **FTIR (cm⁻¹)** 2404, 1599, 1502, 1433, 1372, 1217, 1129, 1039, 920, 767, 678.

3-(2-Fluorophenyl)-2-((iso-butyl(phenyl)amino)methyl)oxirane-2-carbonitrile (4i)

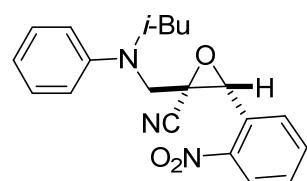


4i

Following the general procedure, treatment of 1-isobutylaziridine-2-carbonitrile **1a** (0.075 g, 0.6 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μ L, 0.75 mmol) with 2-fluorobenzaldehyde **3i** (0.062 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 3-(2-fluorophenyl)-2-((iso-butyl(phenyl)amino)methyl)oxirane-2-carbonitrile as a yellow oil **4i** (0.097 g, 60% yield). [the *dr* of crude reaction mixture determined using GC analysis is 87:13]

R_f (Pet. ether /EtOAc = 90/10): 0.57; **¹H NMR (400 MHz, CDCl₃)** δ 7.41 - 7.31 (m, 4H), 7.23 (t, *J* = 7.4 Hz, 1H), 7.11 (t, *J* = 8.9 Hz, 1H), 6.88-6.84 (m, 3H), 4.31 (s, 1H), 4.11 (d, *J* = 16.1 Hz, 1H), 4.05 (d, *J* = 16.1 Hz, 1H), 3.38 (dd, *J* = 6.7 Hz, 14.9 Hz, 1H), 3.27 (dd, *J* = 8.0 Hz, 14.9 Hz, 1H), 2.19 - 2.14 (m, 1H), 1.03 (dd, *J* = 6.8 Hz, 9.8 Hz, 6H). **¹³C NMR (100 MHz, CDCl₃)** δ 161.42 (d, *J* = 248.8 Hz), 147.56, 131.06 (d, *J* = 8.1 Hz), 129.50, 127.01 (d, *J* = 2.7 Hz), 124.48 (d, *J* = 3.4 Hz), 119.69 (d, *J* = 13.0 Hz), 118.19, 115.89, 115.39 (d, *J* = 20.4), 113.53, 60.04, 57.24 (d, *J* = 5.6 Hz), 56.46, 53.87, 26.87, 20.51, 20.38. **HRMS (ESI)** calculated [M+H]⁺ for C₂₀H₂₂FN₂O: 325.1711, found: 325.1705. **FTIR (cm⁻¹)** 2404, 1599, 1501, 1462, 1373, 1218, 1132, 1039, 981, 925, 869, 767, 675.

2-((iso-Butyl(phenyl)amino)methyl)-3-(2-nitrophenyl)oxirane-2-carbonitrile (4j)

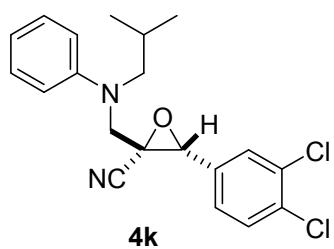


4j

Following the general procedure, treatment of 1-isobutylaziridine-2-carbonitrile **1a** (0.075 g, 0.6 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μ L, 0.75 mmol) with 2-nitrobenzaldehyde **3j** (0.76 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-((iso-butyl(phenyl)amino)methyl)-3-(2-nitrophenyl)oxirane-2-carbonitrile as a yellow solid **4j** (0.117 g, 67% yield). [the *dr* of crude reaction mixture determined using GC analysis is 70:30]

R_f (Pet. ether /EtOAc = 90/10): 0.37; **¹H NMR (400 MHz, CDCl₃)** δ 8.32 (d, *J* = 8.3 Hz, 1H), 7.80 - 7.61 (m, 3H), 7.34-7.28 (m, 2H), 6.97 (d, *J* = 8.0 Hz, 2H), 6.86-6.83 (m, 1H), 4.63 (s, 1H), 4.40 (d, *J* = 16.3 Hz, 1H), 3.98 (d, *J* = 16.3 Hz, 1H), 3.47 (dd, *J*₁ = 7.0 Hz, *J*₂ = 14.9 Hz, 1H), 3.35 (dd, *J*₁ = 7.5, *J*₂ = 15.1 Hz, 1H), 2.25 - 2.20 (m, 1H), 1.04 (d, *J* = 7.0 Hz, 6H). **¹³C NMR (100 MHz, CDCl₃)** δ 147.65, 146.98, 134.90, 130.29, 129.53, 129.32, 128.53, 125.27, 118.16, 115.64, 113.94, 59.94, 59.08, 57.20, 54.20, 26.53, 20.49, 20.43. **HRMS (ESI)** calculated [M+H]⁺ for C₂₀H₂₂N₃O₃: 352.1656, found: 352.1651. **FTIR (cm⁻¹)** 2405, 1600, 1520, 1352, 1304, 1216, 1132, 1038, 977, 923, 854, 750, 679.

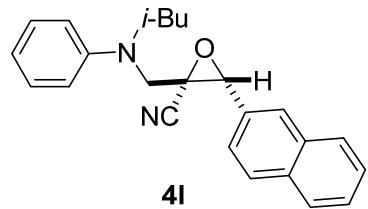
3-(3,4-Dichlorophenyl)-2-((isobutyl(phenyl)amino)methyl)oxirane-2-carbonitrile (**4k**)



Following the general procedure, treatment of 1-isobutylaziridine-2-carbonitrile **1a** (0.075 g, 0.6 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μL, 0.75 mmol) with 3,4-dichlorobenzaldehyde **3k** (0.88 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 3-(3,4-dichlorophenyl)-2-((isobutyl(phenyl)amino)methyl)oxirane-2-carbonitrile as a yellow oil **4k** (0.132 g, 70% yield). [the *dr* of crude reaction mixture determined using GC analysis is 87:13]

R_f (Pet. ether /EtOAc = 90/10): 0.56; **¹H NMR (400 MHz, CDCl₃)** δ 7.45 (d, *J* = 8.3 Hz, 1H), 7.32 (t, *J* = 8.0 Hz, 2H), 7.27 (t, *J* = 3.0 Hz, 1H), 7.04 (dd, *J* = 8.3 Hz, 1.8 Hz, 1H), 6.87 (t, *J* = 7.3 Hz, 1H), 6.77 (d, *J* = 8.2 Hz, 2H), 4.01 (dd, *J* = 45.5 Hz, 16.1 Hz, 2H), 3.87 (s, 1H), 3.33 (dd, *J* = 14.8 Hz, 6.1 Hz, 1H), 3.11 (dd, *J* = 14.8, 8.5 Hz, 1H), 2.21 - 2.01 (m, 1H), 0.96 (dd, *J* = 9.0 Hz, 6.7 Hz, 6H). **¹³C NMR (100 MHz, CDCl₃)** δ 147.42, 133.87, 133.15, 132.16, 130.83, 129.74, 128.30, 125.35, 118.57, 115.71, 113.57, 60.86, 60.53, 56.56, 53.66, 27.12, 20.53, 20.36. **HRMS (ESI)** calculated [M+H]⁺ for C₂₀H₂₁Cl₂N₂O: 375.1025, found: 375.1025. **FTIR (cm⁻¹)** 3022, 2967, 2403, 1599, 1522, 1427, 1217, 1043, 977, 772.

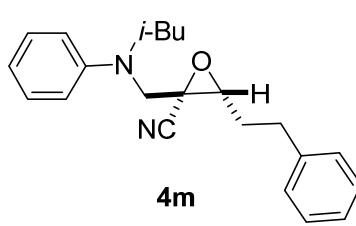
2-((*iso*-Butyl(phenyl)amino)methyl)-3-(naphthalen-1-yl)oxirane-2-carbonitrile (4l**)**



Following the general procedure, treatment of 1-isobutylaziridine-2-carbonitrile **1a** (0.075 g, 0.6 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μ L, 0.75 mmol) with 2-naphthaldehyde **3l** (0.78 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-((*iso*-butyl(phenyl)amino)methyl)-3-(naphthalen-1-yl)oxirane-2-carbonitrile as a yellow solid **4l** (0.132 g, 74% yield). [the *dr* of crude reaction mixture determined using GC analysis is 88:12]

R_f (Pet. ether /EtOAc = 90/10): 0.53; **1H NMR** (400 MHz, CDCl₃) δ 7.90 - 7.88 (m, 3H), 7.77 (s, 1H), 7.56-7.54 (m, 2H), 7.42-7.34 (m, 3H), 6.95-6.87 (m, 3H), 4.16-4.03 (m, 3H), 3.43 (dd, *J* = 6.2 Hz, 15.0 Hz, 1H), 3.23 (dd, *J* = 8.3 Hz, 14.7 Hz, 1H), 2.21 - 2.15 (m, 1H), 1.05 (dd, *J* = 6.7 Hz, 10.36 Hz, 6H). **13C NMR** (100 MHz, CDCl₃) δ 147.61, 133.85, 132.92, 129.66, 129.25, 128.58, 128.24, 127.94, 126.83, 126.70, 125.97, 123.10, 118.24, 116.23, 113.48, 62.34, 60.38, 56.85, 53.96, 27.08, 20.55, 20.38. **HRMS (ESI)** calculated [M+H]⁺ for C₂₄H₂₅N₂O: 357.1961, found 357.1953. **FTIR (cm⁻¹)** 2404, 1692, 1599, 1504, 1469, 1374, 1217, 1129, 1041, 977, 916, 863, 786.

2-((*iso*-Butyl(phenyl)amino)methyl)-3-phenethyloxirane-2-carbonitrile (4m**)**

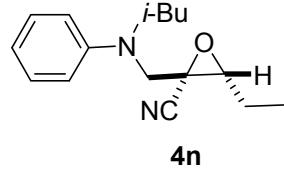


Following the general procedure, treatment of 1-isobutylaziridine-2-carbonitrile **1a** (0.075 g, 0.6 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μ L, 0.75 mmol) with 3-phenylpropanal **3m** (0.067 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-((*iso*-butyl(phenyl)amino)methyl)-3-phenethyloxirane-2-carbonitrile as a yellow oil **4m** (0.094g, 56% yield). [the *dr* of crude reaction mixture determined using GC analysis is >95:5]

R_f (Pet. ether /EtOAc = 90/10): 0.57; **1H NMR** (400 MHz, CDCl₃) δ 7.34 - 7.25 (m, 5H), 7.19 (d, *J* = 7.4 Hz, 2H), 6.83 (t, *J* = 6.9 Hz, 1H), 6.76 (d, *J* = 7.8 Hz, 2H), 3.89-3.81 (m, 2H), 3.28

(dd, $J = 6.4$ Hz, 14.4 Hz, 1H), 3.13 (dd, $J = 8.2$, Hz, 14.7 Hz, 1H), 3.02 (t, $J = 6.0$ Hz, 1H), 2.78 (t, $J = 7.8$ Hz, 2H), 2.15-2.04 (m, 3H), 0.97-0.94 (m, 6H). **^{13}C NMR (100 MHz, CDCl_3)** δ 147.63, 140.03, 129.50, 128.71, 128.46, 126.51, 118.04, 116.92, 113.46, 61.13, 60.09, 53.83, 53.75, 31.79, 31.58, 26.86, 20.49, 20.38. **HRMS (ESI)** calculated [M+H]⁺ for $\text{C}_{22}\text{H}_{27}\text{N}_2\text{O}$: 335.2118, found: 335.2114. **FTIR (cm⁻¹)** 2247, 1599, 1502, 1459, 1373, 1217, 1132, 1038, 984, 920, 870, 770, 677.

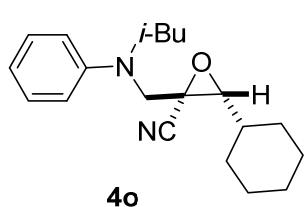
3-Ethyl-2-((*iso*-butyl(phenyl)amino)methyl)oxirane-2-carbonitrile (**4n**)



Following the general procedure, treatment of 1-isobutylaziridine-2-carbonitrile **1a** (0.075 g, 0.6 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μL , 0.75 mmol) with propionaldehyde **3n** (0.029 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 3-ethyl-2-((*iso*-butyl(phenyl)amino)methyl)oxirane-2-carbonitrile as a yellow oil **4n** (0.074 g, 57% yield). [the *dr* of crude reaction mixture determined using GC analysis is >95:5]

R_f (Pet. ether /EtOAc = 90/10): 0.60; **^1H NMR (400 MHz, CDCl_3)** δ 7.31 - 7.27 (m, 2H), 6.83-6.75 (m, 3H), 3.95 (d, $J = 16.1$ Hz, 1H), 3.88 (d, $J = 16.0$ Hz, 1H), 3.31 (dd, $J = 6.4$ Hz, 14.7 Hz, 1H), 3.16 (dd, $J_1 = 8.1$ Hz, 14.8 Hz, 1H), 2.95 (t, $J = 6.7$ Hz, 1H), 2.13-2.09 (m, 1H), 1.87-1.82 (m, 1H), 1.75-1.68 (m, 1H), 1.07 (t, $J = 7.5$ Hz, 3H), 0.99-0.95 (m, 6H). **^{13}C NMR (100 MHz, CDCl_3)** δ 147.62, 129.44, 117.93, 117.03, 113.36, 63.03, 60.10, 53.73, 53.42, 26.89, 23.35, 20.47, 20.35, 9.72. **HRMS (ESI)** calculated [M+H]⁺ for $\text{C}_{16}\text{H}_{23}\text{N}_2\text{O}$: 259.1805, found: 259.1803. **FTIR (cm⁻¹)** 2405, 1631, 1600, 1502, 1463, 1375, 1218, 1037, 917, 767, 674.

3-Cyclohexyl-2-((*iso*-butyl(phenyl)amino)methyl)oxirane-2-carbonitrile (**4o**)

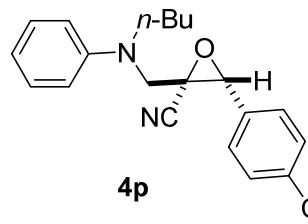


Following the general procedure, treatment of 1-isobutylaziridine-2-carbonitrile **1a** (0.075 g, 0.6 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μL , 0.75 mmol) with cyclohexanecarbaldehyde **3o** (0.056 g, 0.50 mmol) in the presence of

KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 3-cyclohexyl-2-((*iso*-butyl(phenyl)amino)methyl)oxirane-2-carbonitrile as a yellow oil **4o** (0.090 g, 58% yield). [the *dr* of crude reaction mixture determined using GC analysis is >95:5]

R_f (Pet. ether /EtOAc = 90/10): 0.70; **¹H NMR (400 MHz, CDCl₃)** δ 7.29 - 7.25 (m, 2H), 6.82-6.72 (m, 3H), 3.95 (d, *J* = 16.1 Hz, 1H), 3.85 (d, *J* = 16.0 Hz, 1H), 3.31 (dd, *J* = 6.4 Hz, 14.7 Hz, 1H), 3.14 (dd, *J* = 8.2 Hz, 14.8 Hz, 1H), 2.71 (d, *J* = 9.2 Hz, 1H), 2.15-2.05 (m, 1H), 1.92-1.90 (m, 1H), 1.73-1.67 (m, 5H), 1.46-1.11 (m, 5H), 0.97-0.94 (m, 6H). **¹³C NMR (100 MHz, CDCl₃)** δ 205.13, 147.51, 129.41, 117.84, 117.16, 113.28, 66.13, 60.06, 53.66, 52.97, 38.91, 29.81, 28.26, 26.91, 25.94, 25.14, 25.01, 20.47, 20.34. **HRMS (ESI)** calculated [M+H]⁺ for C₂₀H₂₉N₂O: 313.2274, found: 313.2271. **FTIR (cm⁻¹)** 2405, 1599, 1504, 1455, 1357, 1218, 1127, 1037, 982, 921, 767, 674.

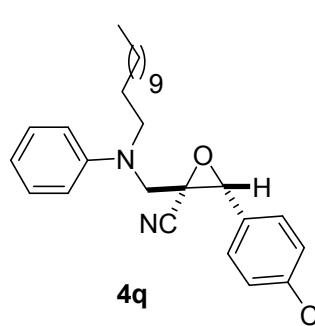
2-((Butyl(phenyl)amino)methyl)-3-(4-chlorophenyl)oxirane-2-carbonitrile (**4p**)



Following the general procedure, treatment of 1-butylaziridine-2-carbonitrile **1p** (0.075 g, 0.60 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μL, 0.75 mmol) with 4-chlorobenzaldehyde **3a** (0.070 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-((butyl(phenyl)amino)methyl)-3-(4-chlorophenyl)oxirane-2-carbonitrile as a yellow oil **4p** (0.121 g, 71% yield). [the *dr* of crude reaction mixture determined using GC analysis is 87:13]

R_f (Pet. ether /EtOAc = 90/10): 0.57; **¹H NMR (400 MHz, CDCl₃)** δ 7.38 - 7.29 (m, 4H), 7.20 (d, *J* = 8.5 Hz, 2H), 6.84 (t, *J* = 7.3 Hz, 1H), 6.74 (d, *J* = 8.1 Hz, 2H), 4.03 - 3.87 (m, 3H), 3.39 (dd, *J* = 9.0 Hz, 6.7 Hz, 2H), 1.66 – 1.57 (m, 2H), 1.45 - 1.33 (m, 2H), 0.98 (t, *J* = 7.3 Hz, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 147.34, 135.61, 130.40, 129.74, 129.01, 127.64, 118.16, 115.98, 112.82, 61.26, 56.76, 53.06, 52.28, 28.95, 20.29, 14.07. **HRMS (ESI)** calculated [M+H]⁺ for C₂₀H₂₂ClN₂O: 341.1415, found: 341.1410. **FTIR (cm⁻¹)** 3021, 2962, 2452, 1598, 1501, 1371, 1217, 1095, 1032, 768.

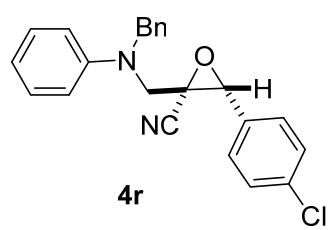
3-(4-Chlorophenyl)-2-((dodecyl(phenyl)amino)methyl)oxirane-2-carbonitrile (4q)



Following the general procedure, treatment of 1-dodecylaziridine-2-carbonitrile **1q** (0.142 g, 0.6 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μ L, 0.75 mmol) with 4-chlorobenzaldehyde **3a** (0.070 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 3-(4-chlorophenyl)-2-((dodecyl(phenyl)amino)methyl)oxirane-2-carbonitrile as a yellow oil **4q** (0.136 g, 60% yield). [the *dr* of crude reaction mixture determined using GC analysis is 88:12]

R_f (Pet. ether /EtOAc = 90/10): 0.60; **¹H NMR (400 MHz, CDCl₃)** δ 7.36 (d, *J* = 8.4 Hz, 2H), 7.31 (t, *J* = 7.9 Hz, 2H), 7.20 (d, *J* = 8.4 Hz, 2H), 6.84 (t, *J* = 7.3 Hz, 1H), 6.73 (d, *J* = 8.3 Hz, 2H), 4.00 - 3.91 (m, 3H), 3.38 (dd, *J* = 9.0 Hz, 6.6 Hz, 2H), 1.64 - 1.60 (m, 2H), 1.33 - 1.27 (m, 18H), 0.89 (t, *J* = 6.7 Hz, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 147.33, 135.62, 130.40, 129.75, 129.01, 127.65, 118.12, 115.99, 112.76, 61.25, 56.75, 53.03, 52.55, 32.05, 29.77, 29.72, 29.59, 29.48, 27.10, 26.82, 22.83, 14.27. **HRMS (ESI)** calculated [M+H]⁺ for C₂₈H₃₈ClN₂O: 453.2667, found: 453.2665. **FTIR (cm⁻¹)** 3021, 2962, 2404, 1737, 1599, 1500, 1430, 1372, 1219, 1094, 1045, 977, 769.

2-((Benzyl(phenyl)amino)methyl)-3-(4-chlorophenyl)oxirane-2-carbonitrile (4r)

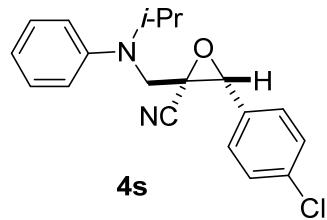


Following the general procedure, treatment of 1-benzylaziridine-2-carbonitrile **1r** (0.095 g, 0.60 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μ L, 0.75 mmol) with 4-chlorobenzaldehyde **3a** (0.070 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 2-((benzyl(phenyl)amino)methyl)-3-(4-chlorophenyl)oxirane-2-carbonitrile as a yellow oil **4r** (0.141 g, 75% yield). [the *dr* of crude reaction mixture determined using GC analysis is 88:12]

R_f (Pet. ether /EtOAc = 95/05): 0.58; **¹H NMR (400 MHz, CDCl₃)** δ 7.39 - 7.21 (m, 11H), 6.90 - 6.83 (m, 3H), 4.71 (dd, *J* = 38.8 Hz, 17.2 Hz, 2H), 4.12 - 3.99 (m, 3H). **¹³C NMR (100 MHz,**

CDCl₃) δ 147.92, 137.35, 135.66, 130.22, 129.72, 129.01, 128.95, 127.66, 127.42, 126.75, 118.87, 115.86, 113.30, 61.40, 56.81, 55.38, 52.69. **HRMS (ESI)** calculated [M+H]⁺ for C₂₃H₂₀ClN₂O: 375.1259, found: 375.1254. **FTIR (cm⁻¹)** 3022, 2924, 2403, 1599, 1501, 1440, 1361, 1217, 1092, 1027, 962, 768.

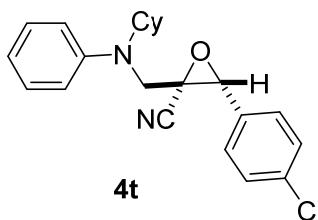
3-(4-Chlorophenyl)-2-((isopropyl(phenyl)amino)methyl)oxirane-2-carbonitrile (**4s**)



Following the general procedure, treatment of 1-isopropylaziridine-2-carbonitrile **1s** (0.066 g, 0.60 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μL, 0.75 mmol) with 4-chlorobenzaldehyde **3a** (0.070 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 3-(4-chlorophenyl)-2-((isopropyl(phenyl)amino)methyl)oxirane-2-carbonitrile as a yellow oil **4s** (0.100 g, 61% yield). [the *dr* of crude reaction mixture determined using GC analysis is 87:13]

R_f (Pet. ether /EtOAc = 90/10): 0.58; **¹H NMR (400 MHz, CDCl₃)** δ 7.33 (t, *J* = 7.8 Hz, 4H), 7.13 (d, *J* = 8.4 Hz, 2H), 6.92 (t, *J* = 7.3 Hz, 1H), 6.87 (d, *J* = 8.1 Hz, 2H), 4.08 - 4.02 (m, 1H), 3.92 (s, 1H), 3.86 (d, *J* = 16.0 Hz, 1H), 3.72 (d, *J* = 16.0 Hz, 1H), 1.24 (d, *J* = 6.6 Hz, 3H), 1.19 (d, *J* = 6.6 Hz, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 148.11, 135.48, 130.55, 129.67, 128.94, 127.59, 119.61, 116.20, 115.73, 61.65, 57.01, 49.78, 46.94, 21.02, 19.61. **HRMS (ESI)** calculated [M+H]⁺ for C₁₉H₂₀ClN₂O: 327.1259, found: 327.1257. **FTIR (cm⁻¹)** 3021, 2964, 2405, 1737, 1600, 1500, 1374, 1220, 1121, 1022, 929, 768.

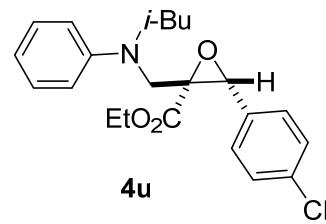
3-(4-Chlorophenyl)-2-((cyclohexyl(phenyl)amino)methyl)oxirane-2-carbonitrile (**4t**)



Following the general procedure, treatment of 1-cyclohexylaziridine-2-carbonitrile **1t** (0.090 g, 0.60 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μL, 0.75 mmol) with 4-chlorobenzaldehyde **3a** (0.070 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet.

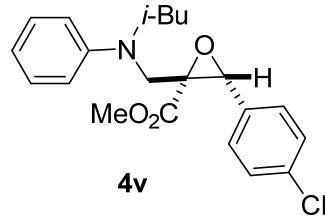
ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 3-(4-chlorophenyl)-2-((cyclohexyl(phenyl)amino)methyl)oxirane-2-carbonitrile as a yellow oil **4t** (0.134 g, 73% yield). [the *dr* of crude reaction mixture determined using GC analysis is 85:15] **R_f**(Pet. ether /EtOAc = 90/10): 0.53; **¹H NMR** (400 MHz, CDCl₃) δ 7.35 - 7.26 (m, 4H), 7.12 (d, *J* = 8.5 Hz, 2H), 6.91 (t, *J* = 7.3 Hz, 1H), 6.85 (d, *J* = 8.2 Hz, 2H), 3.94 - 3.90 (m, 2H), 3.76 (d, *J* = 16.1 Hz, 1H), 3.58 - 3.52 (m, 1H), 1.89 (m, 4H), 1.71 (d, *J* = 12.8 Hz, 1H), 1.44 - 1.28 (m, 4H), 1.23 - 1.09 (m, 1H). **¹³C NMR** (100 MHz, CDCl₃) δ 148.05, 135.47, 130.57, 129.66, 128.94, 127.58, 119.48, 116.23, 115.59, 61.63, 58.49, 57.12, 47.74, 31.67, 30.39, 26.20, 26.09, 25.87. **HRMS (ESI)** calculated [M+H]⁺ for C₂₂H₂₄ClN₂O: 367.1572, found: 367.1570. **FTIR (cm⁻¹)** 3021, 2935, 2859, 2404, 1597, 1499, 1351, 1218, 1092, 935, 767.

Ethyl 3-(4-chlorophenyl)-2-((isobutyl(phenyl)amino)methyl)oxirane-2-carboxylate (**4u**)



Following the general procedure, treatment of ethyl 1-isobutylaziridine-2-carboxylate **1u** (0.103 g, 0.6 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μL, 0.75 mmol) with 4-chlorobenzaldehyde **3a** (0.070 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded ethyl 3-(4-chlorophenyl)-2-((isobutyl(phenyl)amino)methyl)oxirane-2-carboxylate as a yellow oil **4u** (0.130 g, 67% yield). [the *dr* of crude reaction mixture determined using GC analysis is 89:11] **R_f**(Pet. ether /EtOAc = 90/10): 0.56; **¹H NMR** (400 MHz, CDCl₃) δ 7.32 - 7.24 (m, 4H), 7.14 (d, *J* = 8.4 Hz, 2H), 6.86 (d, *J* = 8.3 Hz, 2H), 6.77 (t, *J* = 7.2 Hz, 1H), 4.18 (d, *J* = 16.1 Hz, 1H), 4.04 - 3.94 (m, 3H), 3.86 (s, 1H), 3.39 (dd, *J* = 14.9 Hz, 5.7 Hz, 1H), 3.11 (dd, *J* = 14.9 Hz, 8.9 Hz, 1H), 2.26 - 2.03 (m, 1H), 1.00 (t, *J* = 7.1 Hz, 3H), 0.94 (t, *J* = 7.2 Hz, 6H). **¹³C NMR** (100 MHz, CDCl₃) δ 167.55, 148.24, 134.21, 132.05, 129.46, 128.31, 127.68, 117.09, 112.68, 65.82, 61.64, 60.39, 59.83, 52.34, 27.02, 20.59, 20.37, 13.99. **HRMS (ESI)** calculated [M+H]⁺ for C₂₂H₂₇ClNO₃: 388.1674, found: 388.1673. **FTIR (cm⁻¹)** 3021, 2964, 2404, 1737, 1599, 1501, 1376, 1305, 1219, 1120, 1022, 930, 767.

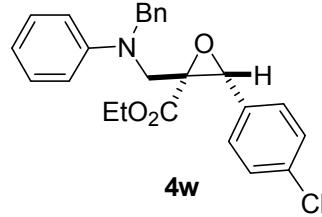
3-(4-Chlorophenyl)-2-((isobutyl(phenyl)amino)methyl)oxirane-2-carbonitrile (4v)



Following the general procedure, treatment of methyl 1-isobutylaziridine-2-carboxylate **1v** (0.094 g, 0.6 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μ L, 0.75 mmol) with 4-chlorobenzaldehyde **3a** (0.070 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 3-(4-chlorophenyl)-2-((isobutyl(phenyl)amino)methyl)oxirane-2-carbonitrile as a yellow oil **4v** (0.103 g, 55% yield). [the *dr* of crude reaction mixture determined using GC analysis is 87:13]

R_f (Pet. ether /EtOAc = 90/10): 0.58; **¹H NMR (400 MHz, CDCl₃)** δ 7.30 (t, *J* = 8.4, 7.5 Hz, 2H), 7.25 (d, *J* = 8.5 Hz, 2H), 7.12 (d, *J* = 8.4 Hz, 2H), 6.85 (d, *J* = 8.3 Hz, 2H), 6.78 (t, *J* = 7.2 Hz, 1H), 4.18 (d, *J* = 16.2 Hz, 1H), 3.98 (d, *J* = 16.2 Hz, 1H), 3.86 (s, 1H), 3.52 (s, 3H), 3.38 (dd, *J* = 14.8 Hz, 5.7 Hz, 1H), 3.10 (dd, *J* = 14.9 Hz, 9.0 Hz, 1H), 2.16 - 2.10 (m, 1H), 0.94 (dd, *J* = 8.9 Hz, 6.7 Hz, 6H). **¹³C NMR (100 MHz, CDCl₃)** δ 167.98, 148.17, 134.27, 131.93, 129.48, 128.41, 127.57, 117.14, 112.67, 66.03, 60.46, 59.84, 52.38, 52.35, 27.00, 20.58, 20.37. **HRMS (ESI)** calculated [M+H]⁺ for C₂₁H₂₅ClNO₃: 374.1517, found: 374.1514. **FTIR (cm⁻¹)** 3021, 2964, 2404, 1602, 1507, 1429, 1374, 1217, 1046, 926, 768.

Ethyl -2-((benzyl(phenyl)amino)methyl)-3-(4-chlorophenyl)oxirane-2-carboxylate (4w)

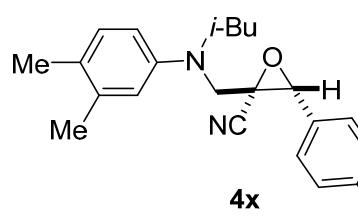


Following the general procedure, treatment of ethyl 1-benzylaziridine-2-carboxylate **1w** (0.123 g, 0.6 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μ L, 0.75 mmol) with 4-chlorobenzaldehyde **3a** (0.070 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded Ethyl -2-((benzyl(phenyl)amino)methyl)-3-(4-chlorophenyl)oxirane-2-carboxylate as a white solid **4w** (0.152 g, 72% yield). [the *dr* of crude reaction mixture determined using GC analysis is 89:11]

R_f (Pet. ether /EtOAc = 90/10): 0.49; **¹H NMR (400 MHz, CDCl₃)** δ 7.36 - 7.23 (m, 11H), 6.91 (d, *J* = 8.5 Hz, 2H), 6.83 (t, *J* = 7.1 Hz, 1H), 4.75 (q, *J* = 17.4 Hz, 2H), 4.17 (dd, *J* = 44.4, 16.2

Hz, 2H), 4.07 (s, 1H), 4.05 – 3.93 (m, 2H), 1.04 (t, J = 9.1 Hz, 3H). **^{13}C NMR (100 MHz, CDCl_3)** δ 167.36, 148.57, 138.00, 134.32, 131.92, 129.51, 128.77, 128.39, 127.75, 127.05, 126.63, 117.73, 112.68, 66.10, 61.71, 60.25, 54.86, 51.68, 14.00. **HRMS (ESI)** calculated [M+H]⁺ for $\text{C}_{25}\text{H}_{25}\text{ClNO}_3$: 422.1517, found: 422.1516. **FTIR (cm^{-1})** 3020, 2968, 2401, 1745, 1601, 1506, 1495, 1389, 1217, 1123, 1016, 773.

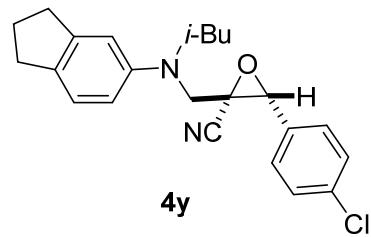
3-(4-Chlorophenyl)-2-(((3,4-dimethylphenyl)(isobutyl)amino)methyl)oxirane-2-carbonitrile (4x)



Following the general procedure, treatment of 1-isobutyl aziridine-2-carbonitrile **1a** (0.075 g, 0.6 mmol) and 4,5-dimethyl-2-(trimethylsilyl)phenyl trifluoromethanesulfonate **2b** (0.245 g, 0.75 mmol) with 4-chlorobenzaldehyde **3a** (0.070 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 3-(4-chlorophenyl)-2-(((3,4-dimethylphenyl)(isobutyl)amino)methyl)oxirane-2-carbonitrile as a yellow oil **4x** (0.101 g, 55% yield). [the *dr* of crude reaction mixture determined using GC analysis is 78:22]

R_f (Pet. ether /EtOAc = 90/10): 0.57; **^1H NMR (400 MHz, CDCl_3)** δ 7.40 (d, J = 8.2 Hz, 2H), 7.20 (d, J = 8.0 Hz, 2H), 7.11 (d, J = 8.2 Hz, 1H), 6.63-6.57 (m, 2H), 4.05-3.89 (m, 3H), 3.32 (dd, J = 6.2 Hz, 14.5 Hz, 1H), 3.16 (dd, J = 8.3 Hz, 14.2 Hz, 1H), 2.30 (s, 3H), 2.26 (s, 3H), 2.15 – 2.08 (m, 1H) 1.0-0.96 (m, 6H). **^{13}C NMR (100 MHz, CDCl_3)** δ 145.91, 137.69, 135.48, 130.67, 129.57, 128.93, 127.56, 116.11, 115.74, 111.61, 61.62, 60.73, 56.81, 54.33, 27.14, 20.53, 20.41, 18.76. **HRMS (ESI)** calculated [M+H]⁺ for $\text{C}_{22}\text{H}_{26}\text{ClN}_2\text{O}$: 369.1728, found: 369.1726. **FTIR (cm^{-1})** 2404, 1611, 1506, 1459, 1378, 1217, 1103, 1046, 927, 770, 671.

3-(4-Chlorophenyl)-2-(((2,3-dihydro-1*H*-inden-5-yl)(isobutyl)amino)methyl)oxirane-2-carbonitrile (4y)

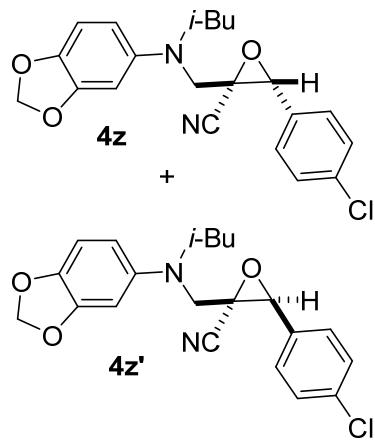


Following the general procedure, treatment of 1-isobutylaziridine-2-carbonitrile **1a** (0.075 g, 0.6 mmol) and 3-

(trimethylsilyl)naphthalen-2-yl trifluoromethanesulfonate **2c** (0.261 g, 182 μ L, 0.75 mmol) with 4-chlorobenzaldehyde **3a** (0.070 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 3-(4-chlorophenyl)-2-(((2,3-dihydro-1*H*-inden-5-yl)(isobutyl)amino)methyl)oxirane-2-carbonitrile as a yellow oil **4y** (0.112 g, 59% yield). [the *dr* of crude reaction mixture determined using GC analysis is 87:13]

R_f (Pet. ether /EtOAc = 90/10): 0.56; **1H NMR (400 MHz, CDCl₃)** δ 7.39 (d, *J* = 8.5 Hz, 2H), 7.19 (dd, *J* = 8.6 Hz, 2.4 Hz, 3H), 6.73 (s, 1H), 6.63 (dd, *J* = 8.2, 2.3 Hz, 1H), 4.04 (d, *J* = 15.9 Hz, 1H), 3.95 (s, 1H), 3.91 (d, *J* = 15.9 Hz, 1H), 3.30 (dd, *J* = 14.5 Hz, 6.3 Hz, 1H), 3.14 (dd, *J* = 14.6 Hz, 8.3 Hz, 1H), 2.92 (dd, *J* = 16.6, 7.7 Hz, 4H), 2.16 - 2.10 (m, 3H), 0.99 (dd, *J* = 9.5 Hz, 6.7 Hz, 6H). **13C NMR (100 MHz, CDCl₃)** δ 146.64, 145.91, 135.48, 134.61, 130.54, 128.92, 127.56, 125.11, 116.14, 112.63, 110.74, 61.69, 61.09, 56.81, 54.79, 33.51, 32.04, 27.08, 25.84, 20.56, 20.43. **HRMS (ESI)** calculated [M+H]⁺ for C₂₃H₂₆ClN₂O: 381.1728, found: 381.1727. **FTIR (cm⁻¹)** 3020, 2960, 2403, 1609, 1499, 1429, 1217, 1094, 1032, 926, 766.

2-((Benzo[d][1,3]dioxol-5-yl(isobutyl)amino)methyl)-3-(4-chlorophenyl)oxirane-2-carbonitrile (**4z**)



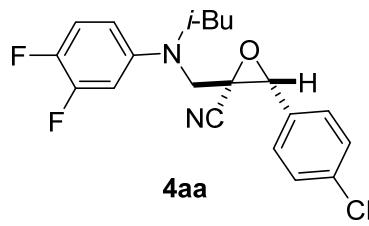
Following the general procedure, treatment of 1-isobutylaziridine-2-carbonitrile **1a** (0.075 g, 0.6 mmol) and 6-(trimethylsilyl)benzo[d][1,3]dioxol-5-yl trifluoromethane sulfonate **2d** (0.257 g, 0.75 mmol) with 4-chlorobenzaldehyde **3a** (0.70 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded inseparable diastereomeric mixture of 2-((benzo[d][1,3]dioxol-5-

yl(iso-butyl) amino)methyl)-3-(4-chlorophenyl)oxirane-2-carbonitrile as a yellow oil **4z** (0.105 g, 55% yield). [the *dr* of crude reaction mixture determined using GC analysis is 72:28]

R_f (Pet. ether /EtOAc = 90/10): 0.40; Data for Major isomer (**4z**): **1H NMR (400 MHz, CDCl₃)** δ 7.39 (d, *J* = 8.4 Hz, 2H), 7.20 (d, *J* = 8.4 Hz, 2H), 6.80 (d, *J* = 8.5 Hz, 1H), 6.53 (d, *J* = 2.4 Hz, 1H), 6.31 (m, 1H), 5.96 (s, 2H), 3.92-3.73 (m, 3H), 3.19 (dd, *J* = 6.0 Hz, 13.9 Hz, 1H), 3.07 (dd,

J = 8.2 Hz, 14.2 Hz, 1H), 2.02 – 1.95 (m, 1H), 0.98 (dd, *J* = 6.2 Hz, 11.1 Hz, 6H). **¹³C NMR (100 MHz, CDCl₃)** δ 148.79, 143.84, 141.29, 135.52, 130.36, 128.94, 128.02, 127.58, 108.67, 108.51, 101.13, 98.91, 61.94, 61.76, 56.75, 56.66, 27.02, 20.51, 20.41. Representative peak for minor isomer (**4z'**): **¹H NMR (400 MHz, CDCl₃)** δ 6.66 (d, *J* = 7.9 Hz), 4.43 (s), 3.40 (d, *J* = 15.5 Hz), 2.94 (dd, *J* = 7.4 Hz, 13.7 Hz), 2.87 (dd, *J* = 7.3 Hz, 13.4 Hz), 1.79 (m), 0.90-0.87 (m). **¹³C NMR (100 MHz, CDCl₃)** δ 116.05, 111.71, 108.24, 62.34, 62.27, 53.81, 52.71, 26.74. **HRMS (ESI)** calculated [M]⁺ for C₂₁H₂₁ClN₂O₃: 384.1241, found: 384.1237. **GCMS** calculated [M]⁺ for C₂₁H₂₁ClN₂O₃: 384.1, found: 384.2 (GCMS data recorded using Agilent 7890 B GC and 5977 A MSD mass analyzer). **FTIR (cm⁻¹)** 2404, 1616, 1496, 1379, 1216, 1099, 1042, 976, 925, 768, 670.

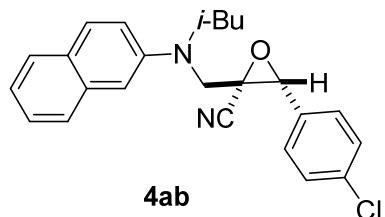
3-(4-Chlorophenyl)-2-(((3,4-difluorophenyl)(isobutyl)amino)methyl)oxirane-2-carbonitrile (**4aa**)



Following the general procedure, treatment of 1-isobutylaziridine-2-carbonitrile **1a** (0.075 g, 0.6 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2e** (0.251 g, 0.75 mmol) with 4-chlorobenzaldehyde **3a** (0.070 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 3-(4-chlorophenyl)-2-(((3,4-difluorophenyl)(isobutyl)amino)methyl)oxirane-2-carbonitrile as a yellow oil **4aa** (0.109 g, 58% yield). [the *dr* of crude reaction mixture determined using GC analysis is 82:18]

R_f (Pet. ether /EtOAc = 90/10): 0.52; **¹H NMR (400 MHz, CDCl₃)** δ 7.40 (d, *J* = 8.4 Hz, 2H), 7.22 (d, *J* = 8.4 Hz, 2H), 7.10 (q, *J* = 9.3 Hz, 1H), 6.61 (ddd, *J* = 13.4, 6.5, 3.0 Hz, 1H), 6.47 - 6.45 (m, 1H), 4.04 - 3.84 (m, 3H), 3.28 (dd, *J* = 14.8 Hz, 6.4 Hz, 1H), 3.13 (dd, *J* = 14.8 Hz, 8.2 Hz, 1H), 2.11 - 2.07 (m, 1H), 0.97 (dd, *J* = 9.0, 6.7 Hz, 6H). **¹³C NMR (100 MHz, CDCl₃)** δ 144.82 (d, *J* = 11.1 Hz), 135.87, 129.98, 129.15, 127.59, 117.88 (d, *J* = 71.3 Hz), 115.67, 109.00, 103.00, (d, *J* = 21.2 Hz), 61.51, 60.79, 56.54, 54.53, 26.95, 20.47, 20.35. **HRMS (ESI)** calculated [M+H]⁺ for C₂₀H₂₀ClF₂N₂O: 377.1227, found: 377.1223. **FTIR (cm⁻¹)** 3022, 2963, 2403, 1599, 1502, 1472, 1420, 1370, 1216, 1132, 1038, 976, 927, 763.

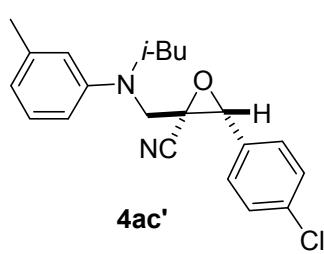
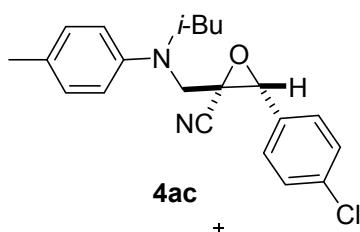
3-(4-Chlorophenyl)-2-((isobutyl(naphthalen-2-yl)amino)methyl)oxirane-2-carbonitrile (4ab)



Following the general procedure, treatment of 1-isobutylaziridine-2-carbonitrile **1a** (0.075 g, 0.6 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2f** (0.261 g, 0.75 mmol) with 4-chlorobenzaldehyde **3a** (0.070 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded 3-(4-Chlorophenyl)-2-((isobutyl(naphthalen-2-yl)amino)methyl)oxirane-2-carbonitrile as a yellow oil **4ab** (0.113 g, 58% yield). [the *dr* of crude reaction mixture determined using GC analysis is 82:18]

R_f (Pet. ether /EtOAc = 90/10): 0.52; **¹H NMR (400 MHz, CDCl₃)** δ 7.77 (dd, *J* = 15.0 Hz, 8.6 Hz, 2H), 7.68 (d, *J* = 8.2 Hz, 1H), 7.42 (t, *J* = 7.3 Hz, 1H), 7.30 (d, *J* = 6.7 Hz, 3H), 7.15 (dd, *J* = 9.1 Hz, 2.5 Hz, 1H), 7.09 (d, *J* = 8.4 Hz, 2H), 6.97 (d, *J* = 2.2 Hz, 1H), 4.18 (d, *J* = 16.1 Hz, 1H), 4.05 (d, *J* = 16.1 Hz, 1H), 3.95 (s, 1H), 3.47 (dd, *J* = 14.8 Hz, 6.3 Hz, 1H), 3.23 (dd, *J* = 14.8 Hz, 8.4 Hz, 1H), 2.25 - 2.10 (m, 1H), 0.99 (t, *J* = 6.9 Hz, 6H). **¹³C NMR (100 MHz, CDCl₃)** δ 145.29, 135.59, 134.90, 130.28, 129.59, 128.99, 127.65, 127.53, 126.91, 126.43, 123.17, 116.13, 116.01, 107.97, 61.65, 60.56, 56.61, 53.85, 27.31, 20.55, 20.41. **HRMS (ESI)** calculated [M+H]⁺ for C₂₄H₂₄ClN₂O: 391.1572, found: 391.1570. **FTIR (cm⁻¹)** 3022, 2962, 2404, 1731, 1602, 1496, 1355, 1265, 1217, 1164, 1122, 1040, 984, 770.

3-(4-Chlorophenyl)-2-((isobutyl(p-tolyl)amino)methyl)oxirane-2-carbonitrile (4ac) and 3-(4-chlorophenyl)-2-((isobutyl(m-tolyl)amino)methyl)oxirane-2-carbonitrile (4ac')

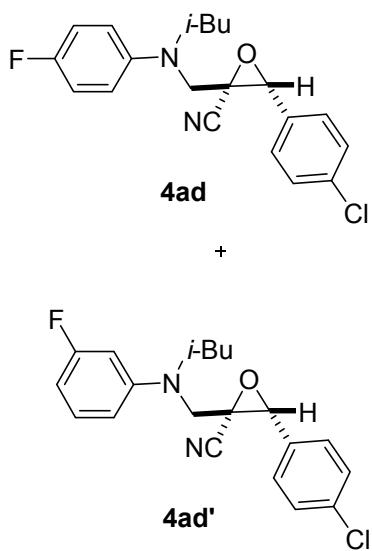


Following the general procedure, treatment of 1-isobutylaziridine-2-carbonitrile **1a** (0.075 g, 0.6 mmol) and 4-fluoro-2-(trimethylsilyl)phenyl trifluoromethanesulfonate **2g** (0.237 g, 0.75 mmol) with 4-chlorobenzaldehyde **3a** (0.070 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded

inseparable mixture of 3-(4-chlorophenyl)-2-((isobutyl(p-tolyl)amino)methyl)oxirane-2-carbonitrile (**4ac**) and 3-(4-chlorophenyl)-2-((isobutyl(m-tolyl)amino)methyl)oxirane-2-carbonitrile (**4ac'**) as a yellow oil (0.114 g, 64% yield). [the *dr* of crude reaction mixture determined using GC analysis is 85:15]

R_f (Pet. ether /EtOAc = 90/10): 0.56; **¹H NMR of 4ac (400 MHz, CDCl₃)** δ 7.36 (d, *J* = 8.5 Hz, 2H), 7.23 - 7.12 (m, 4H) 6.70 (t, *J* = 8.1 Hz, 2H), 4.06 (d, *J* = 16.7 Hz, 1H), 3.94 (d, *J* = 17.2 Hz, 1H), 3.92 (s, 1H), 3.35 - 3.25 (m, 1H), 3.15 - 3.08 (m, 1H), 2.36 (s, 3H) 2.14 - 2.04 (m, 1H), 0.98 - 0.94 (m, 6H). **¹³C NMR of 4ac (100 MHz, CDCl₃)** δ 147.58, 139.39, 135.52, 130.44, 130.16, 129.50, 128.95, 127.88, 127.53, 119.28, 116.02, 114.09, 110.69, 61.63, 60.46, 56.67, 54.28, 53.75, 27.14, 22.10, 20.53, 20.38. **¹H NMR of 4ac' (400 MHz, CDCl₃)** δ 7.36 (d, *J* = 8.5 Hz, 2H), 7.23 - 7.12 (m, 3H) 6.70 (t, *J* = 8.1 Hz, 1H), 6.59 - 6.58 (m, 2H), 4.01 (d, *J* = 16.8 Hz, 1H), 3.92 (s, 1H), 3.90 (d, *J* = 17.1 Hz, 1H), 3.35 - 3.25 (m, 1H), 3.15 - 3.08 (m, 1H), 2.32 (s, 3H) 2.14 - 2.04 (m, 1H), 0.98 - 0.94 (m, 6H). **¹³C NMR of 4ac' (100 MHz, CDCl₃)** δ 145.46, 139.39, 135.52, 130.44, 130.16, 129.50, 128.95, 127.88, 127.53, 119.28, 116.07, 114.24, 110.69, 61.57, 60.73, 56.75, 54.28, 53.75, 27.09, 22.10, 20.53, 20.38. **HRMS (ESI)** calculated [M+H]⁺ for C₂₁H₂₄ClN₂O: 355.1572, found: 355.1568. **FTIR (cm⁻¹)** 3021, 2962, 2404, 1601, 1506, 1374, 1217, 1095, 1046, 927, 767.

3-(4-Chlorophenyl)-2-(((4-fluorophenyl)(isobutyl)amino)methyl)oxirane-2-carbonitrile (4ad) and -3-(4-Chlorophenyl)-2-(((3-fluorophenyl)(isobutyl)amino)methyl)oxirane-2-carbonitrile (4ad')



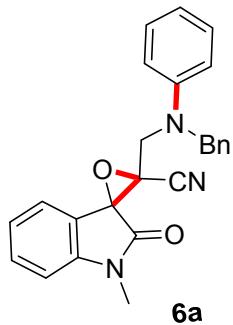
Following the general procedure, treatment of 1-isobutylaziridine-2-carbonitrile **1a** (0.075 g, 0.6 mmol) and 4-methyl-2-(trimethylsilyl)phenyl trifluoromethanesulfonate **2h** (0.234 g, 0.75 mmol) with 4-chlorobenzaldehyde **3a** (0.070 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 98/02) of the crude reaction mixture using silica gel afforded inseparable 2:1 regiosomeric mixture of 3-(4-chlorophenyl)-2-(((4-fluorophenyl)(isobutyl)amino)methyl)oxirane-2-carbonitrile

(4ad) and -3-(4-chlorophenyl)-2-(((3-fluorophenyl)(isobutyl)amino)methyl)oxirane-2-carbonitrile (**4ad'**) as a yellow oil (0.116 g, 65% yield). [the *dr* of crude reaction mixture determined using GC analysis is 78:22]

R_f(Pet. ether /EtOAc = 90/10): 0.51; **¹H NMR of 4ad (400 MHz, CDCl₃)** δ 7.43 - 7.29 (m, 2H), 7.29 - 7.10 (m, 2H), 7.10 - 6.91 (m, 2H), 6.87 - 6.62 (m, 1H), 6.52 - 6.44 (m, 1H), 3.93 (d, *J* = 15.9 Hz, 1H), 3.89 (s, 1H) 3.85 (d, *J* = 15.9 Hz, 1H), 3.23 (dd, *J* = 14.5 Hz, 6.4 Hz, 1H), 3.09 (dd, *J* = 14.5 Hz, 8.2 Hz, 1H), 2.04 - 1.97 (m, 1H), 0.97 - 0.92 (m, 6H). **¹³C NMR of 4ad (100 MHz, CDCl₃)** δ 157.66 (d, *J* = 239.6 Hz), 149.24 (d, *J* = 10.6 Hz), 144.36, 135.69, 130.22, 129.04, 127.58, 116.26, 116.03, 108.58, 104.70 (d, *J* = 21.4 Hz), 100.32 (d, *J* = 26.4 Hz), 61.62, 61.27, 56.71, 55.17, 27.02, 20.52, 20.40. **¹H NMR of 4ad' (400 MHz, CDCl₃)** δ 7.43 - 7.29 (m, 2H), 7.29 - 7.10 (m, 2H), 7.10 - 6.91 (m, 1H), 6.87 - 6.62 (m, 2H), 6.64 - 6.28 (m, 1H), 4.00 (q, *J* = 16.7 Hz, 1H), 3.91 (s, 1H), 3.33 (dd, *J* = 15.0, 6.3 Hz, 1H), 3.13 (dd, *J* = 15.2, 8.5 Hz, 1H), 2.16 - 2.06 (m, 1H), 0.97 - 0.92 (m, 6H). **¹³C NMR of 4ad' (100 MHz, CDCl₃)** δ 164.29 (d, *J* = 243.5 Hz), 149.18, 144.36, 135.69, 130.79 (d, *J* = 10.1 Hz), 130.11, 129.04, 127.58, 116.26, 116.03, 115.95, 104.70 (d, *J* = 21.4 Hz), 100.32 (d, *J* = 26.4 Hz), 61.57, 61.27, 60.35, 56.44, 53.53, 27.02, 20.47, 20.32. **HRMS (ESI)** calculated [M+H]⁺ for C₂₀H₂₁FClN₂O: 359.1321, found: 359.1318. **FTIR (cm⁻¹)** 3021, 2925, 1725, 1601, 1503, 1452, 1371, 1279, 1107, 977, 765.

6. Synthesis and Characterization of *N*-Aryl Spiro Amino Epoxides

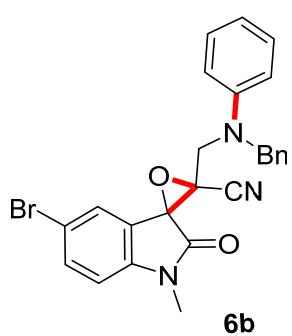
3'-(*(Benzyl(phenyl)amino)methyl*)-1-methyl-2-oxospiro[indoline-3,2'-oxirane]-3'-carbonitrile (**6a**)



Following the general procedure, treatment of 1-benzylaziridine-2-carbonitrile **1r** (0.095 g, 0.6 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μ L, 0.75 mmol) with 1-methylindoline-2,3-dione **5a** (0.081 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 90/10) of the crude reaction mixture using silica gel afforded 3'-(benzyl(phenyl)amino)methyl)-1-methyl-2-oxospiro[indoline-3,2'-oxirane]-3'-carbonitrile **6a** (0.119 g, 60% yield). [the *dr* of crude reaction mixture determined using GC analysis is >95:5]

R_f (Pet. ether /EtOAc = 70/30): 0.40; **¹H NMR (400 MHz, CDCl₃)** δ 7.50 - 7.44 (m, 2H), 7.28 - 7.14 (m, 8H), 7.00 (d, *J* = 8.2 Hz, 2H), 6.89 - 6.82 (m, 2H), 4.80 - 4.70 (m, 2H), 4.65 (d, *J* = 16.3 Hz, 1H), 4.21 (d, *J* = 16.3 Hz, 1H), 3.19 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 168.33, 148.29, 144.96, 137.83, 131.83, 129.44, 128.66, 127.14, 126.87, 124.55, 123.53, 118.91, 118.72, 115.76, 114.40, 109.15, 63.37, 59.62, 55.92, 49.91, 26.94. **HRMS (ESI)** calculated [M+H]⁺ for C₂₅H₂₂N₃O₂: 396.1707, found: 396.1703. **FTIR (cm⁻¹)** 3018, 2921, 2404, 1952, 1815, 1599, 1501, 1450, 1356, 1216, 1036, 763.

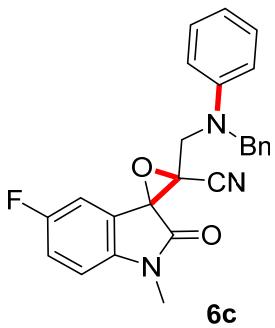
3'-(*(Benzyl(phenyl)amino)methyl*)-5-bromo-1-methyl-2-oxospiro[indoline-3,2'-oxirane]-3'-carbonitrile (**6b**)



Following the general procedure, treatment of 1-benzylaziridine-2-carbonitrile **1r** (0.095 g, 0.6 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μ L, 0.75 mmol) with 5-bromo-1-methylindoline-2,3-dione **5b** (0.120 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 90/10) of the crude reaction mixture using silica gel afforded 3'-(benzyl(phenyl)amino)methyl)-5-bromo-1-methyl-2-oxospiro[indoline-3,2'-oxirane]-3'-carbonitrile **6b** (0.147 g, 62% yield). [the *dr* of crude reaction mixture determined using GC analysis is >95:5]

R_f (Pet. ether /EtOAc = 70/30): 0.42; **¹H NMR (400 MHz, CDCl₃)** δ 7.59 (d, *J* = 6.1 Hz, 2H), 7.38 – 7.09 (m, 7H), 7.00 (d, *J* = 8.3 Hz, 2H), 6.86 (t, *J* = 7.2 Hz, 1H), 6.76 (d, *J* = 8.8 Hz, 1H), 4.73 (q, *J* = 17.0 Hz, 2H), 4.63 (d, *J* = 16.3 Hz, 1H), 4.21 (d, *J* = 16.2 Hz, 1H), 3.17 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 167.78, 148.28, 143.94, 137.82, 134.71, 129.48, 128.68, 127.61, 127.19, 126.91, 120.70, 119.17, 116.23, 115.45, 114.58, 110.56, 62.77, 59.69, 56.09, 49.79, 27.07. **HRMS (ESI)** calculated [M+H]⁺ for C₂₅H₂₁BrN₃O₂: 474.0812, found: 474.0811. **FTIR (cm⁻¹)** 3018, 2958, 2404, 1598, 1501, 1459, 1361, 1288, 1216, 929, 770.

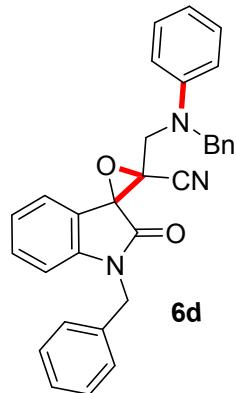
3'-(Benzyl(phenyl)amino)methyl)-5-fluoro-1-methyl-2-oxospiro[indoline-3,2'-oxirane]-3'-carbonitrile (6c)



Following the general procedure, treatment of 1-benzylaziridine-2-carbonitrile **1r** (0.095 g, 0.6 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μL, 0.75 mmol) with 5-fluoro-1-methylindoline-2,3-dione **5c** (0.090 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 90/10) of the crude reaction mixture using silica gel afforded 3'-(benzyl(phenyl)amino)methyl)-5-fluoro-1-methyl-2-oxospiro[indoline-3,2'-oxirane]-3'-carbonitrile **6c** (0.112 g, 54% yield). [the *dr* of crude reaction mixture determined using GC analysis is >95:5]

R_f (Pet. ether /EtOAc = 70/30): 0.39; **¹H NMR (400 MHz, CDCl₃)** δ 7.31 - 7.23 (m, 7H), 7.20 – 7.15 (m, 2H), 7.02 (d, *J* = 8.2 Hz, 2H), 6.88 (t, *J* = 7.2 Hz, 1H), 6.82 (dd, *J* = 8.6 Hz, 3.9 Hz, 1H), 4.80 (d, *J* = 17.0 Hz, 1H), 4.71 (d, *J* = 17.5 Hz, 1H), 4.66 (d, *J* = 16.4 Hz, 1H), 4.24 (d, *J* = 16.2 Hz, 1H), 3.19 (s, 3H). **¹³C NMR (100 MHz, CDCl₃)** δ 167.98, 160.47, 158.05, 148.22, 140.86, 137.77, 129.44, 128.62, 127.14, 126.84, 120.26 (d, *J* = 8.3 Hz), 119.03, 118.24 (d, *J* = 23.6 Hz), 115.51, 114.41, 112.80 (d, *J* = 26.5 Hz), 109.88 (d, *J* = 7.7 Hz), 59.63, 55.96, 49.72, 27.05. **HRMS (ESI)** calculated [M+H]⁺ for C₂₅H₂₁FN₃O₂: 414.1612, found: 414.1609. **FTIR (cm⁻¹)** 3021, 2966, 2929, 2873, 2596, 1498, 1454, 1374, 1276, 1219, 1030, 991, 764.

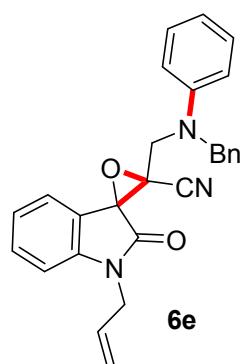
1-Benzyl-3'-(*(benzyl(phenyl)amino)methyl*)-2-oxospiro[indoline-3,2'-oxirane]-3'-carbonitrile (6d**)**



Following the general procedure, treatment of 1-benzylaziridine-2-carbonitrile **1r** (0.095 g, 0.6 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μ L, 0.75 mmol) with 1-benzylindoline-2,3-dione **5d** (0.119 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 90/10) of the crude reaction mixture using silica gel afforded 1-benzyl-3'-(benzyl(phenyl)amino)methyl)-2-oxospiro[indoline-3,2'-oxirane]-3'-carbonitrile **6d** (0.139 g, 59% yield). [the *dr* of crude reaction mixture determined using GC analysis is >95:5]

R_f (Pet. ether /EtOAc = 70/30): 0.38; **¹H NMR (400 MHz, CDCl₃)** δ 7.51 (d, *J* = 7.5 Hz, 1H), 7.37 - 7.28 (m, 6H), 7.28 - 7.18 (m, 7H), 7.13 (t, *J* = 7.6 Hz, 1H), 6.98 (d, *J* = 8.3 Hz, 2H), 6.83 (dd, *J* = 7.5 Hz, 4.0 Hz, 2H), 4.90 (dd, *J* = 34.8 Hz, 15.6 Hz, 2H), 4.79 (s, 2H), 4.67 (d, *J* = 16.4 Hz, 1H), 4.28 (d, *J* = 16.4 Hz, 1H). **¹³C NMR (100 MHz, CDCl₃)** δ 168.60, 148.12, 144.21, 137.69, 134.78, 131.83, 129.48, 129.16, 128.76, 128.25, 127.56, 127.19, 126.88, 124.71, 123.63, 118.80, 118.72, 115.67, 114.24, 110.21, 63.42, 59.88, 55.75, 50.02, 44.72. **HRMS (ESI)** calculated [M+H]⁺ for C₃₁H₂₆N₃O₂: 472.2020, found: 472.2018. **FTIR (cm⁻¹)** 3019, 2928, 2404, 1956, 1604, 1501, 1452, 1324, 1217, 1121, 914, 763.

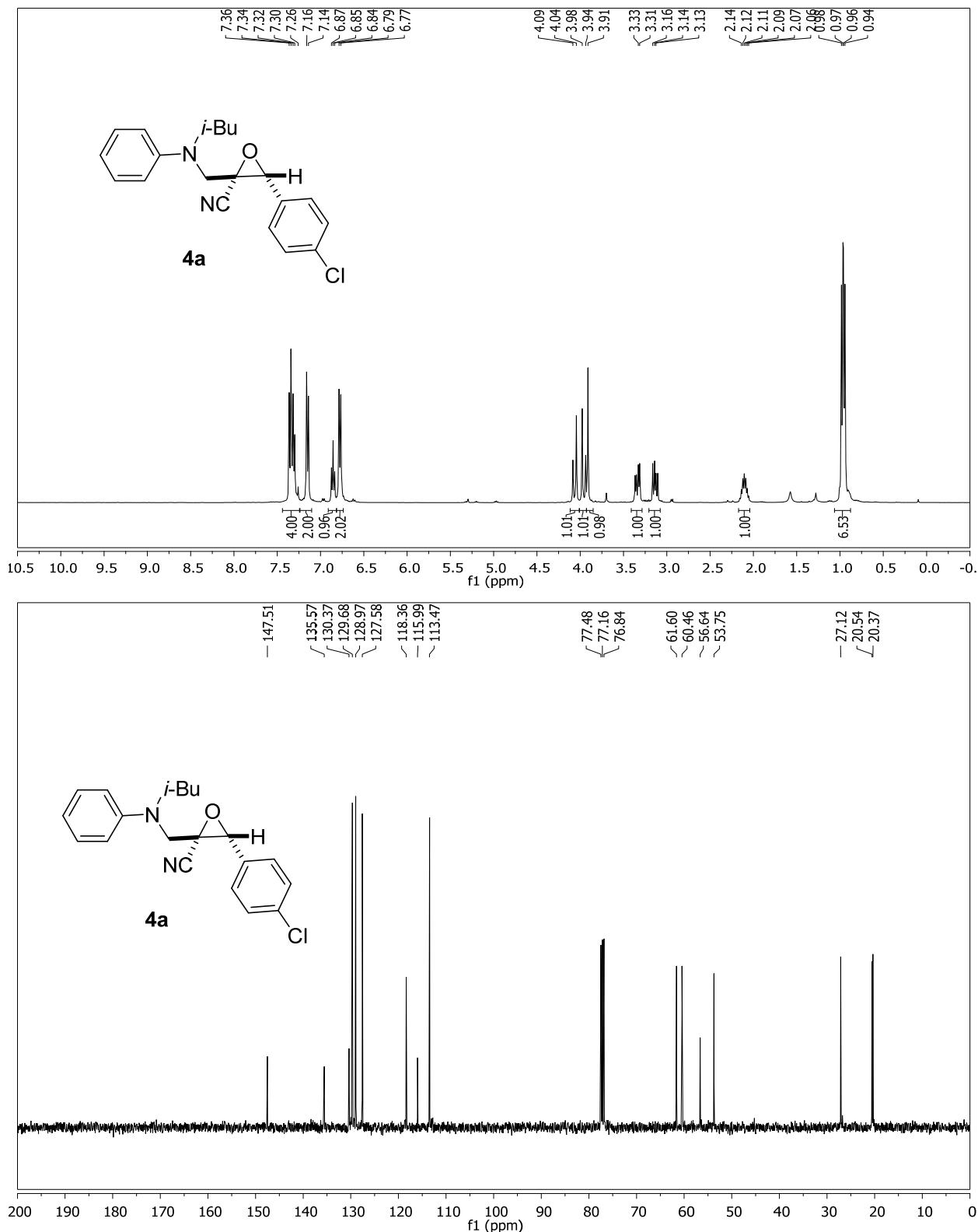
1-Allyl-3'-(*(benzyl(phenyl)amino)methyl*)-2-oxospiro[indoline-3,2'-oxirane]-3'-carbonitrile (6e**)**



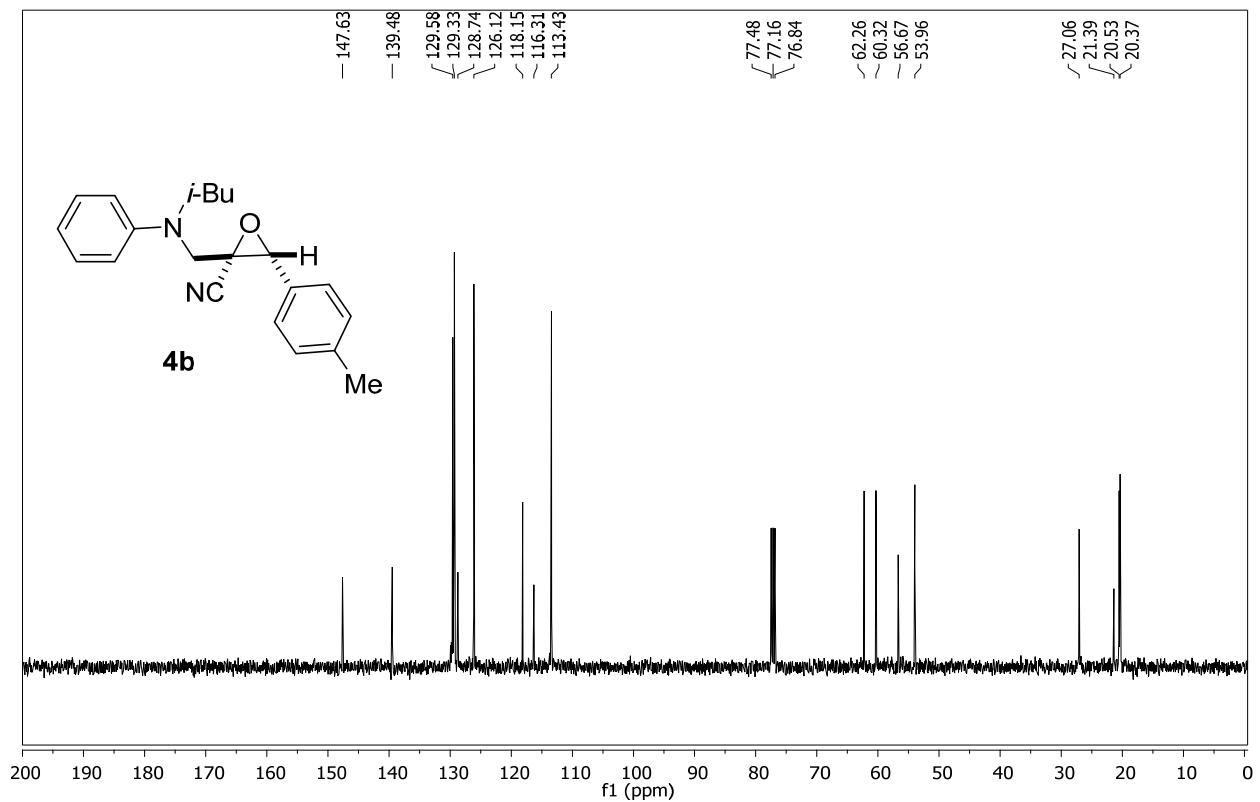
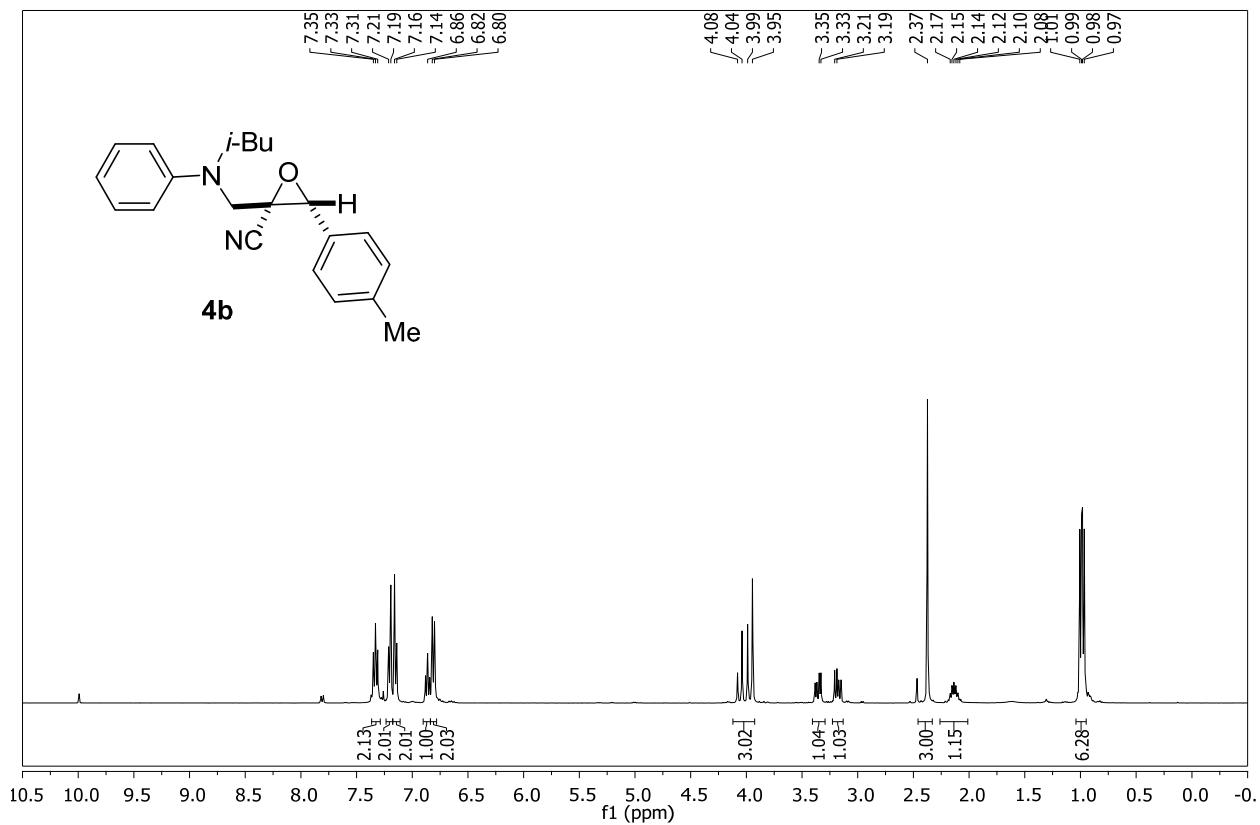
Following the general procedure, treatment of 1-benzylaziridine-2-carbonitrile **1r** (0.095 g, 0.6 mmol) and 2-(trimethylsilyl)phenyl trifluoromethane sulfonate **2a** (0.223 g, 182 μ L, 0.75 mmol) with 1-allylindoline-2,3-dione **5e** (0.081 g, 0.50 mmol) in the presence of KF (0.087 g, 1.5 mmol) and 18-crown-6 (0.396 g, 1.5 mmol) in THF (2.0 mL) at rt for 12 h followed by flash column chromatography (Pet. ether /EtOAc = 90/10) of the crude reaction mixture using silica gel afforded 1-allyl-3'-(benzyl(phenyl)amino)methyl)-2-oxospiro[indoline-3,2'-oxirane]-3'-carbonitrile **6e** (0.119 g, 56% yield). [the *dr* of crude reaction mixture determined using GC analysis is >95:5]

R_f (Pet. ether /EtOAc = 70/30): 0.43; **¹H NMR (400 MHz, CDCl₃)** δ 7.54 (d, *J* = 8.0 Hz, 1H), 7.46 (t, *J* = 7.8, 1H), 7.31 - 7.26 (m, 6H), 7.24 - 7.17 (m, 2H), 7.01 (d, *J* = 8.1 Hz, 2H), 6.94 (d, *J* = 7.9 Hz, 1H), 6.86 (t, *J* = 7.3 Hz, 1H), 5.90 - 5.80 (m, 1H), 5.34 (d, *J* = 4.2 Hz, 1H), 5.31 (d, *J* = 1.4 Hz, 1H), 4.81 (s, 2H), 4.68 (d, *J* = 16.3 Hz, 1H), 4.36 (d, *J* = 5.5 Hz, 2H), 4.27 (d, *J* = 16.3 Hz, 1H). **¹³C NMR (100 MHz, CDCl₃)** δ 168.13, 148.09, 144.20, 137.67, 131.79, 130.50, 129.42, 128.71, 127.14, 126.81, 124.62, 123.51, 118.75, 118.64, 115.66, 114.18, 110.06, 63.32, 59.74, 55.71, 49.90, 43.21. **HRMS (ESI)** calculated [M+H]⁺ for C₂₇H₂₄N₃O₂: 422.1863, found: 422.1859. **FTIR (cm⁻¹)** 3019, 2970, 2404, 1596, 1499, 1450, 1376, 1218, 1033, 937, 769.

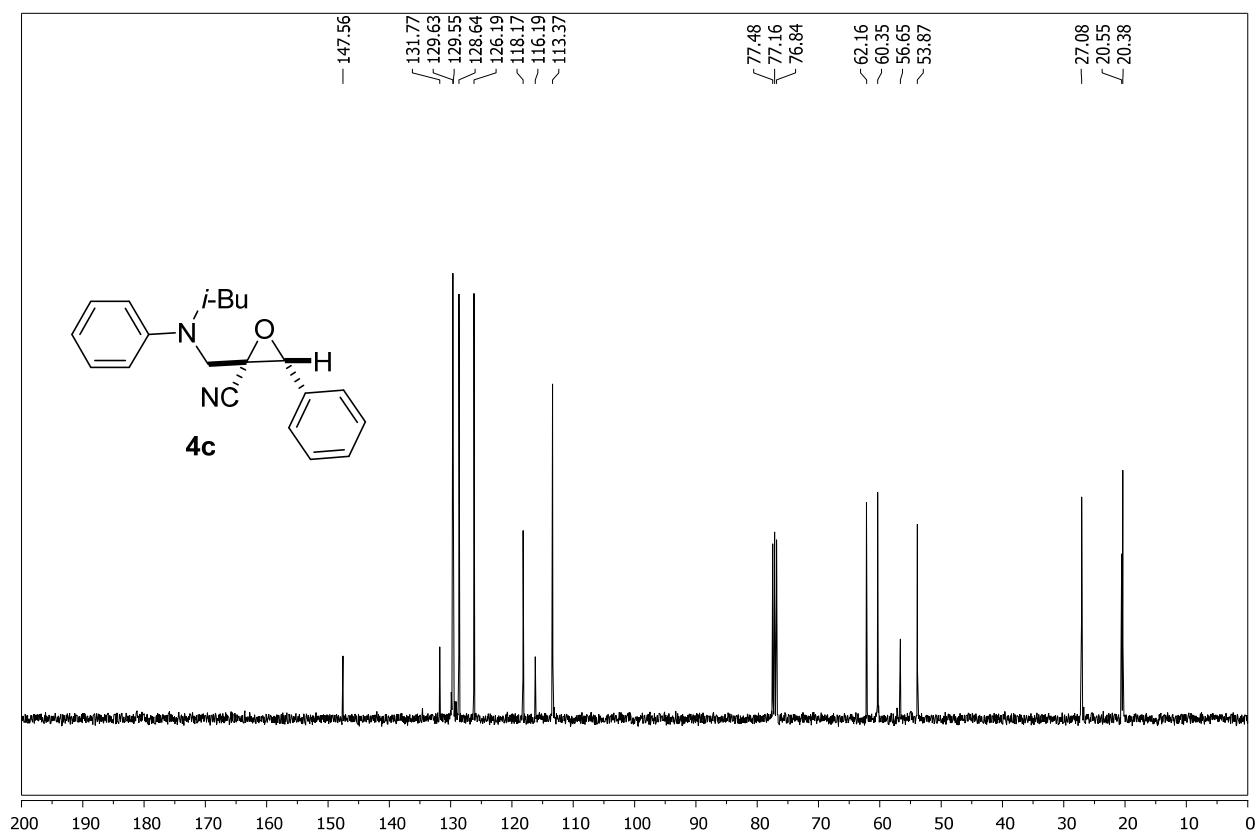
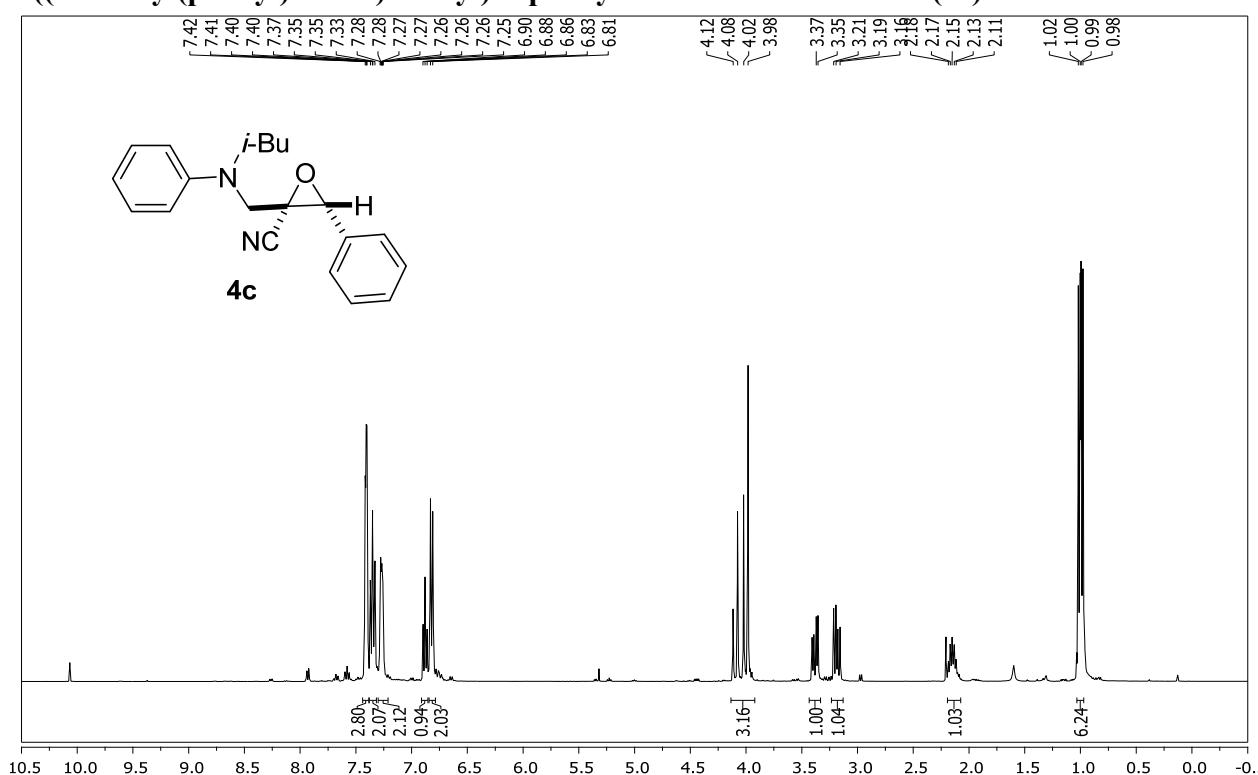
**7. ^1H and ^{13}C NMR Spectra of N-Aryl Amino Epoxides
3-(4-Chlorophenyl)-2-((isobutyl(phenyl)amino)methyl)oxirane-2-carbonitrile (4a)**



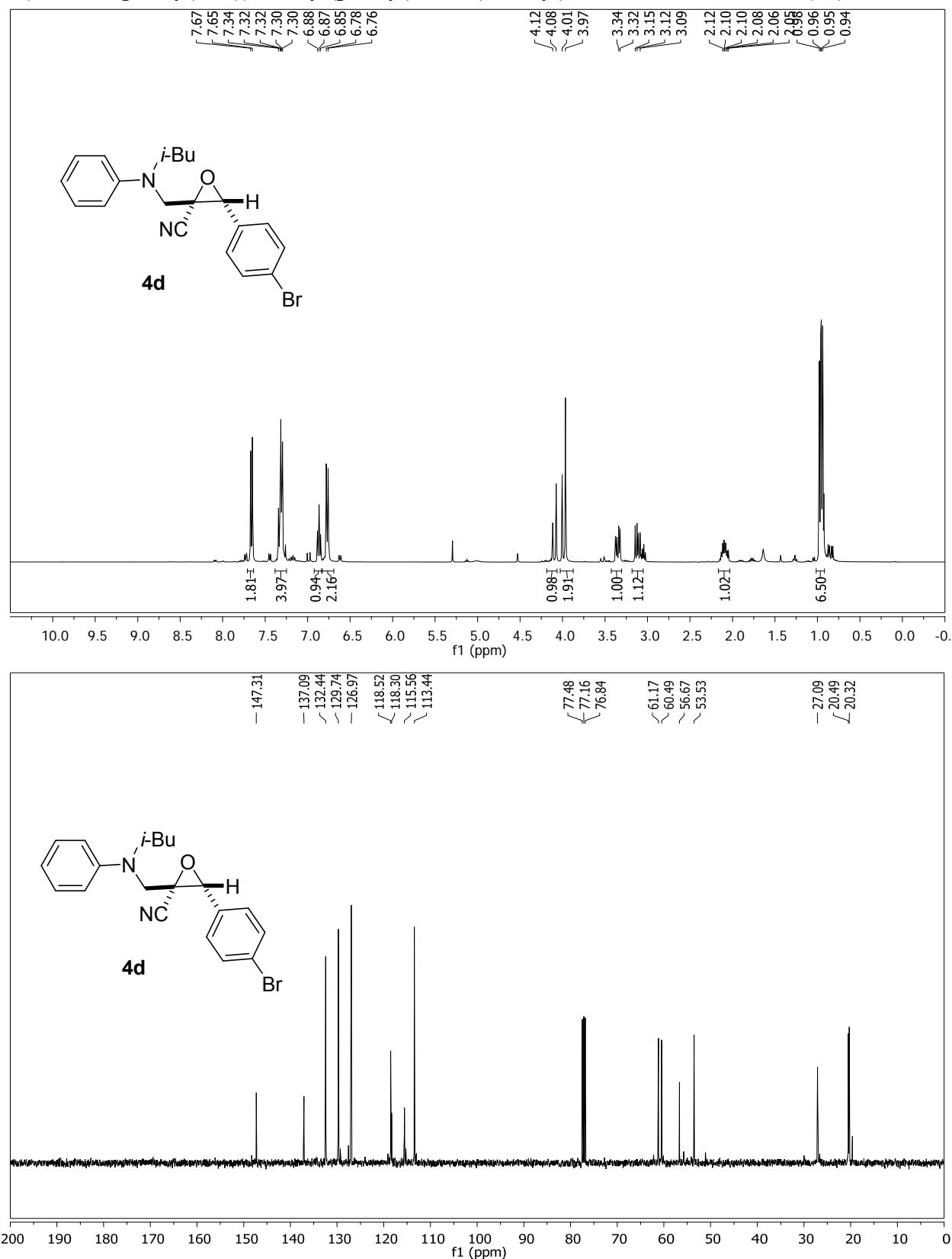
((Isobutyl(phenyl)amino)methyl)-3-(*p*-tolyl)oxirane-2-carbonitrile (4b)



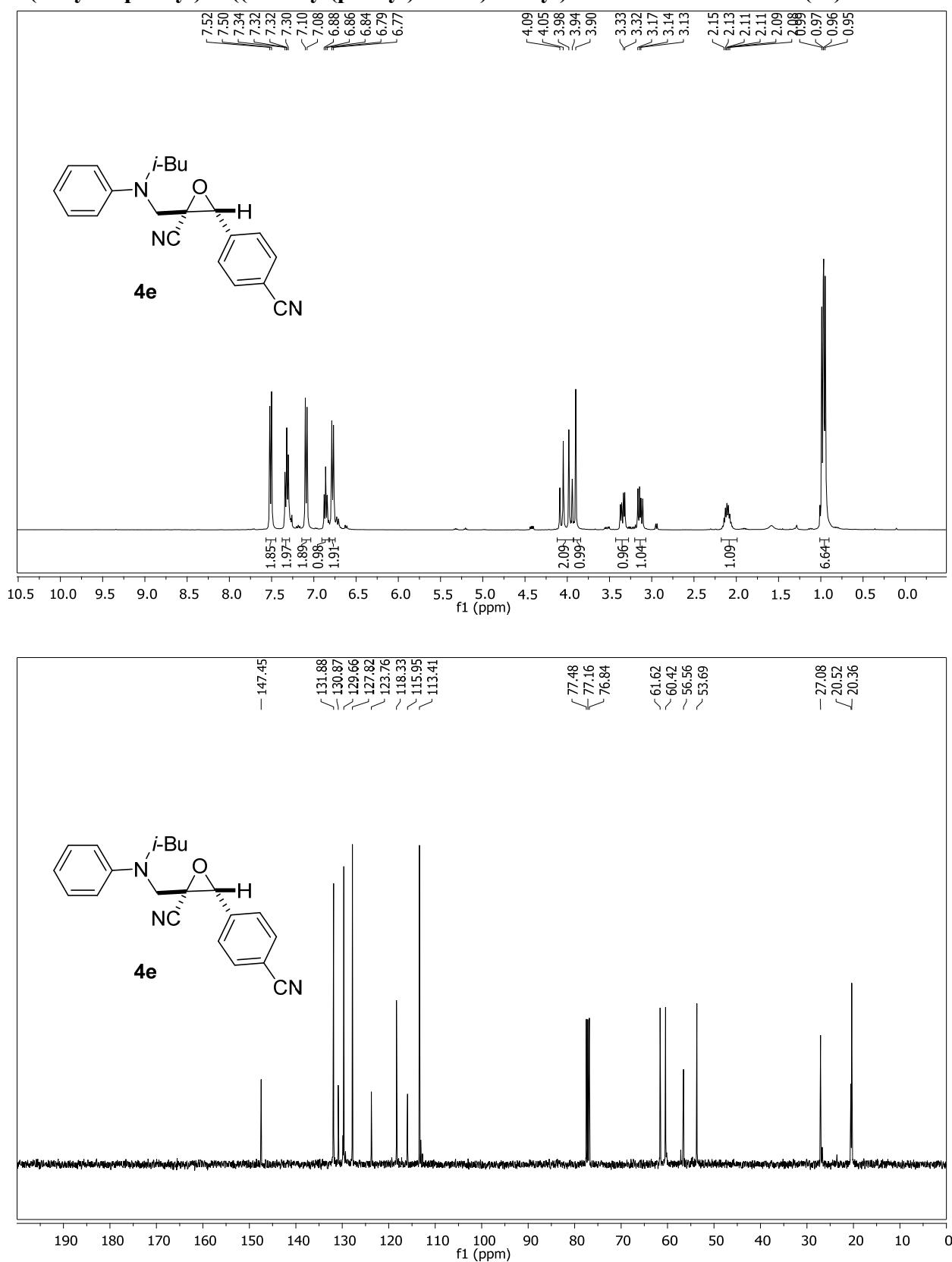
2-((*iso*-Butyl(phenyl)amino)methyl)-3-phenyloxirane-2-carbonitrile (4c)



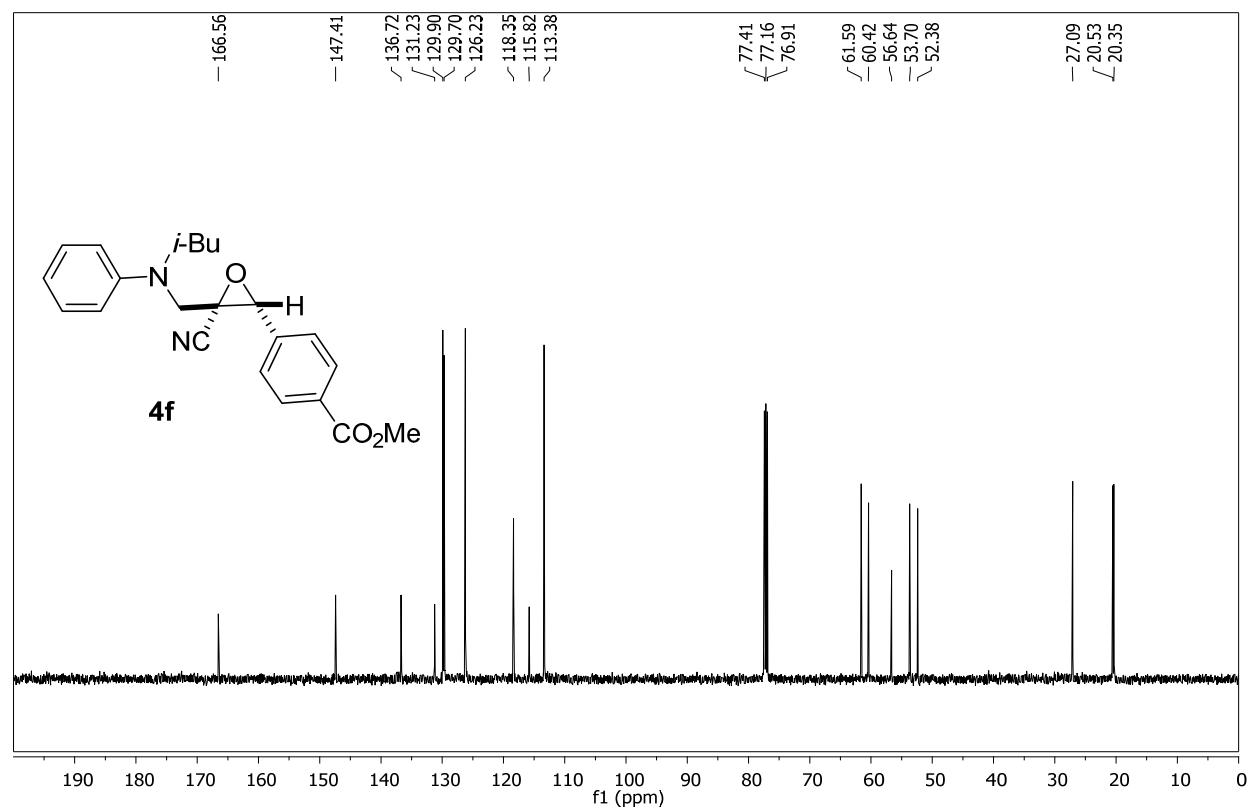
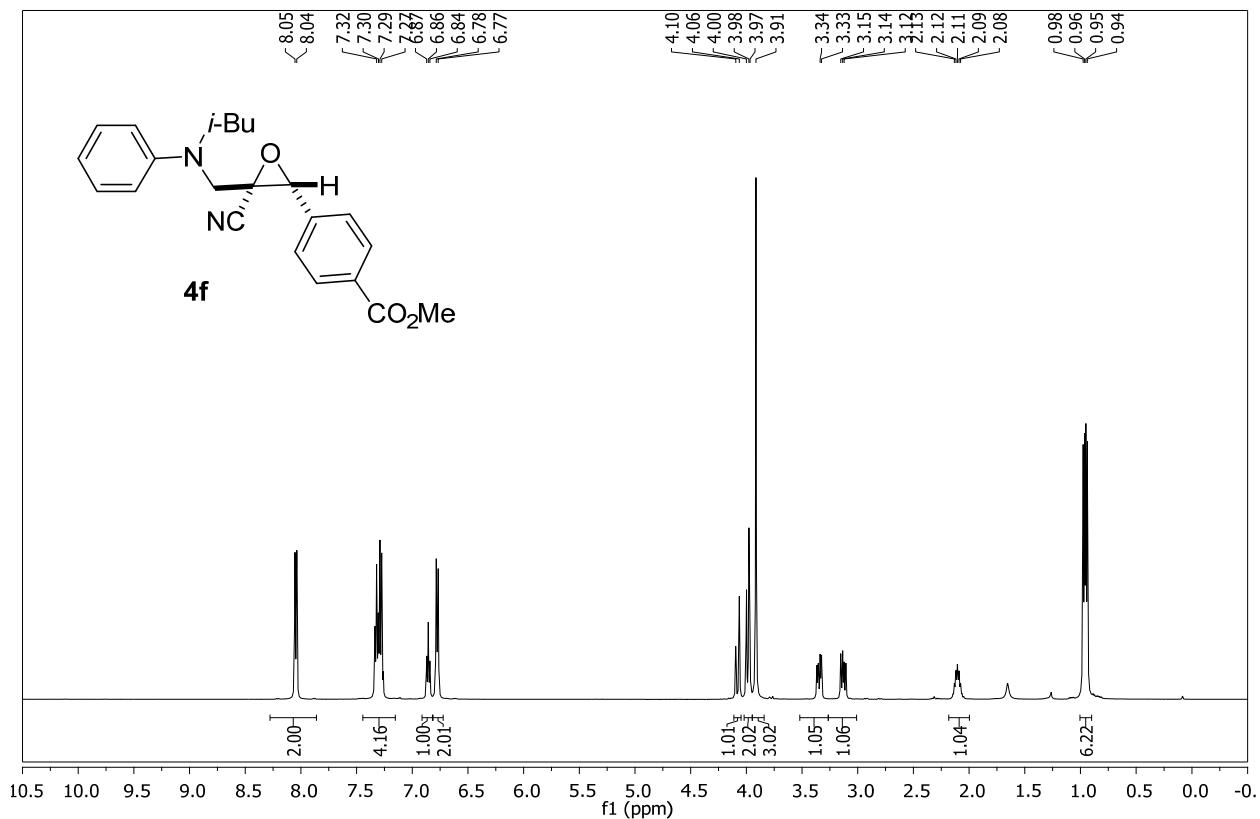
3-(4-Bromophenyl)-2-((isobutyl(phenyl)amino)methyl)oxirane-2-carbonitrile (4d)



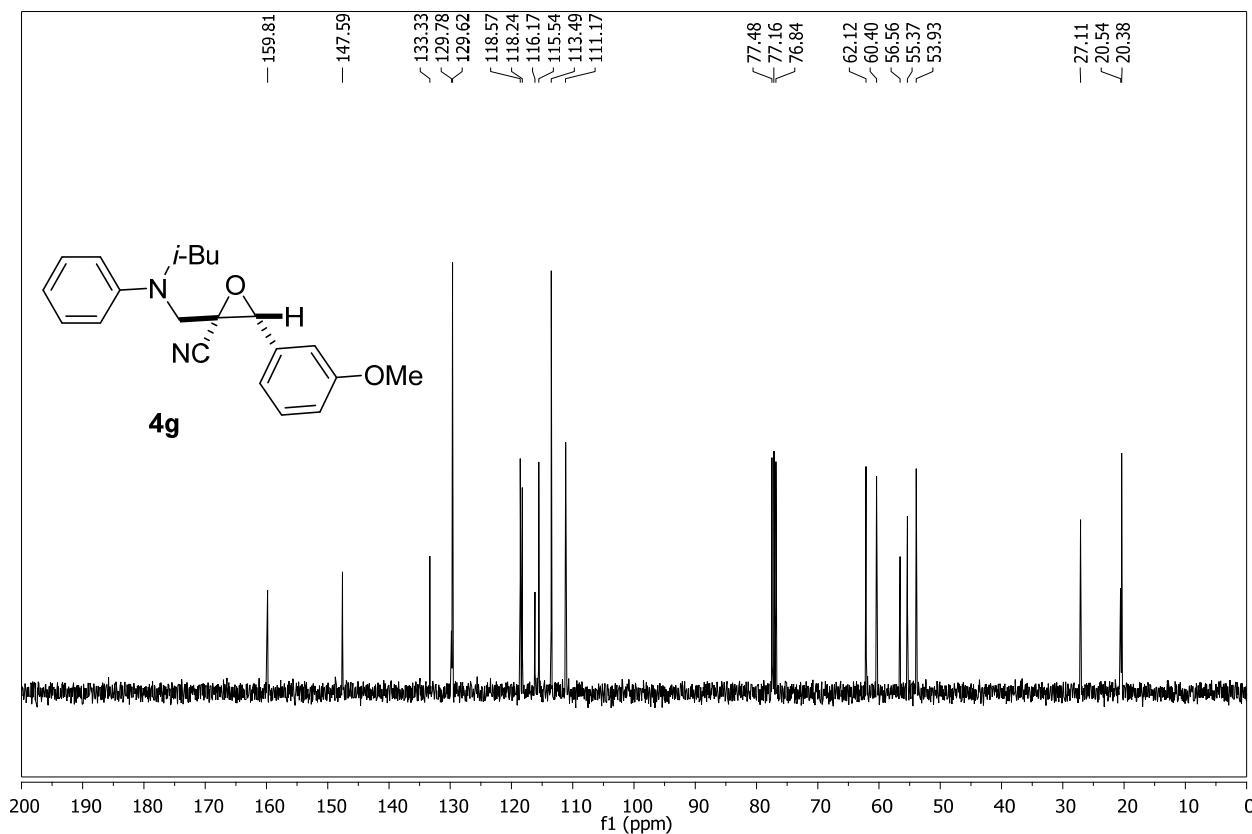
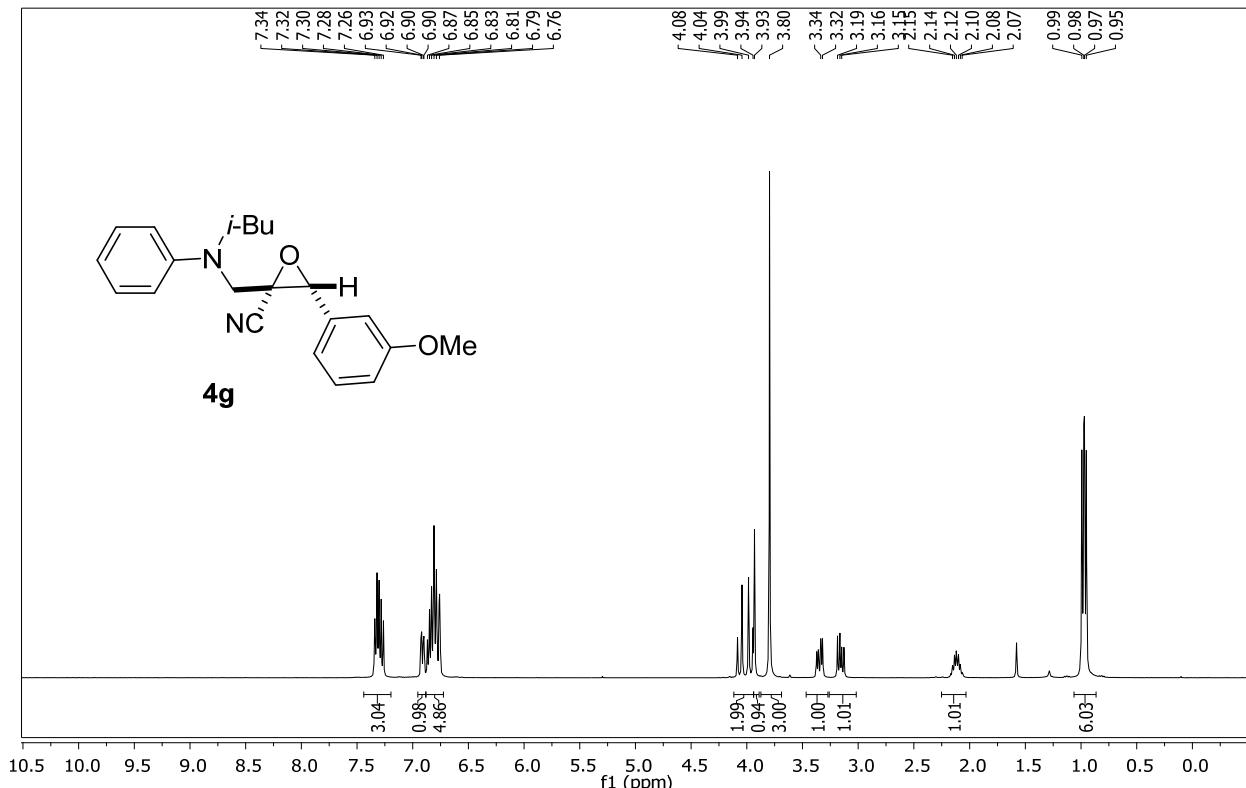
3-(4-Cyanophenyl)-2-((isobutyl(phenyl)amino)methyl)oxirane-2-carbonitrile (4e)



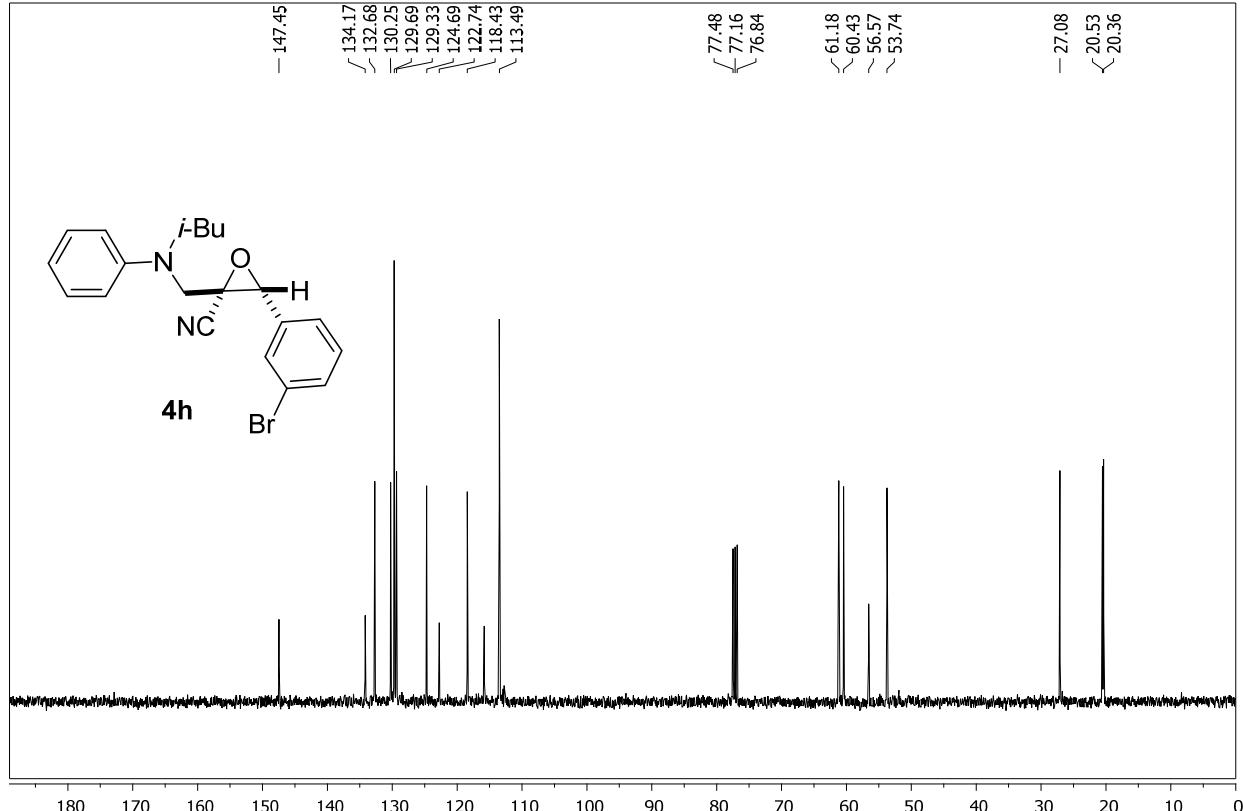
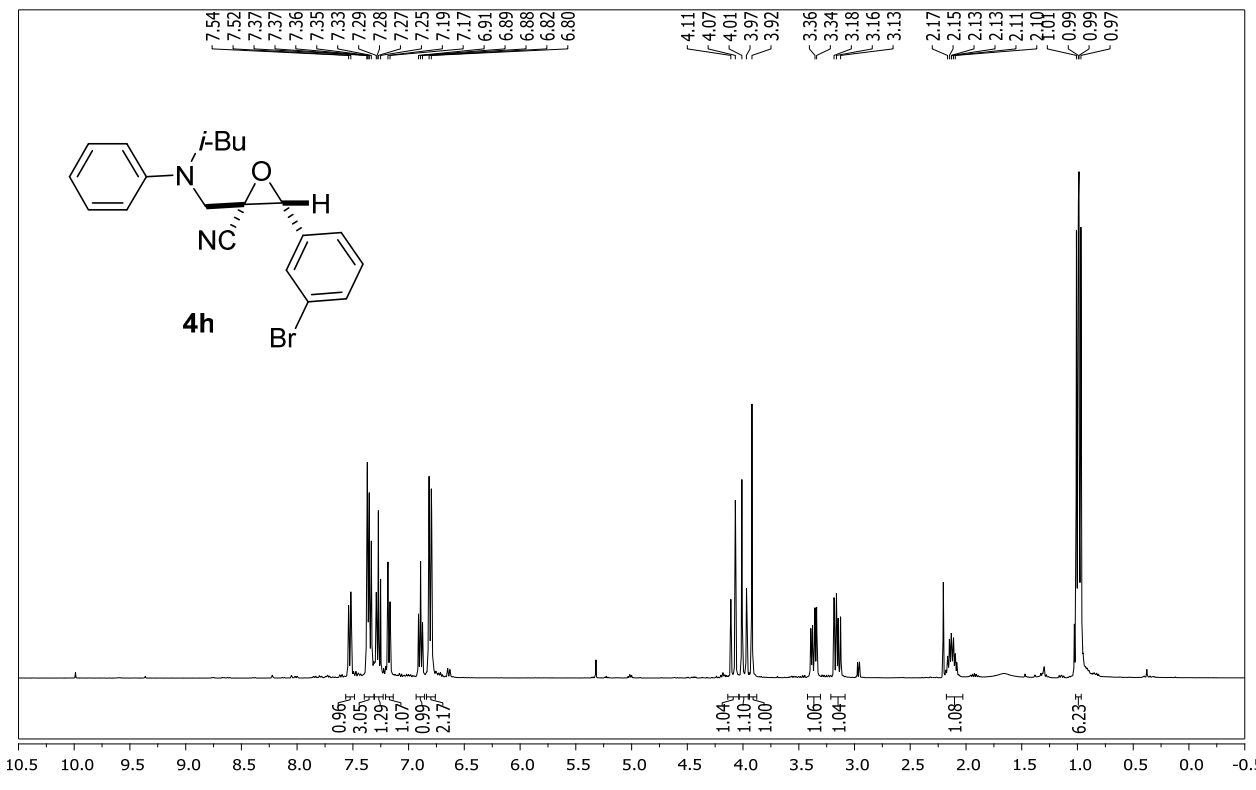
Methyl 4-(3-cyano-3-((isobutyl(phenyl)amino)methyl)oxiran-2-yl)benzoate (4f**)**



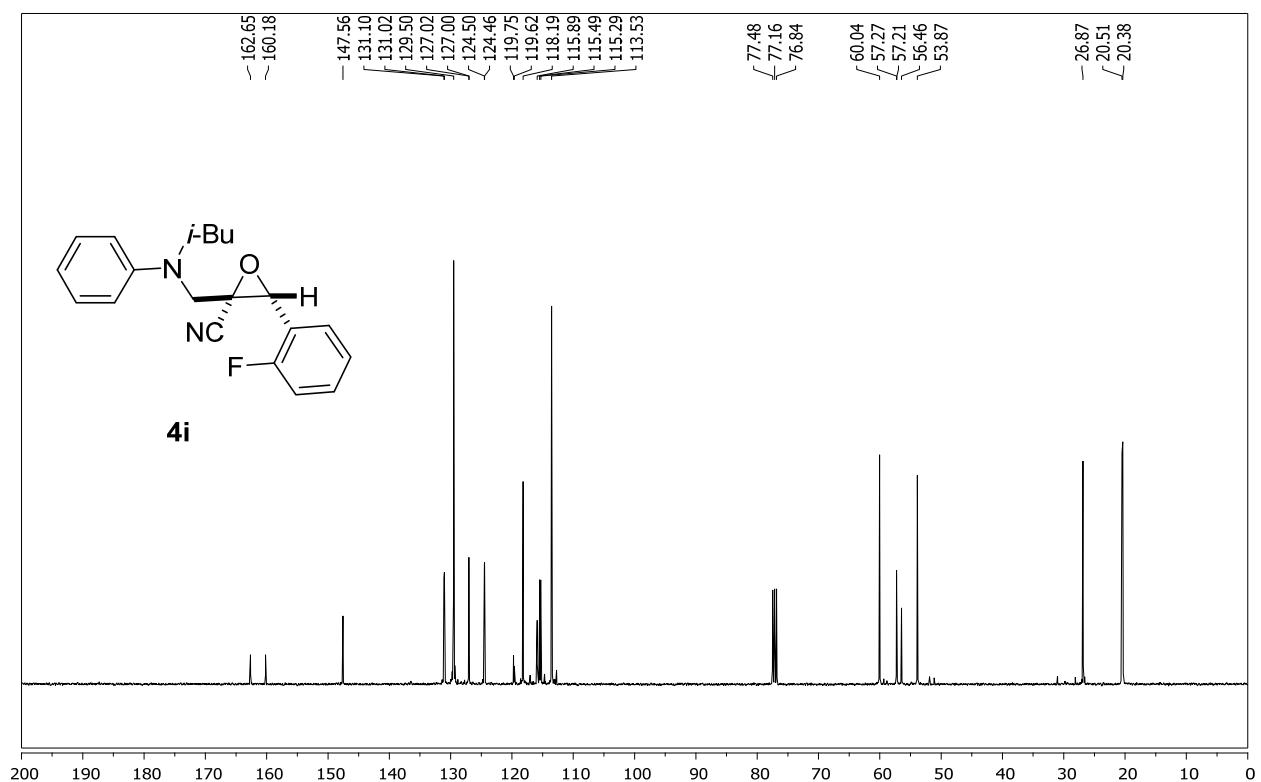
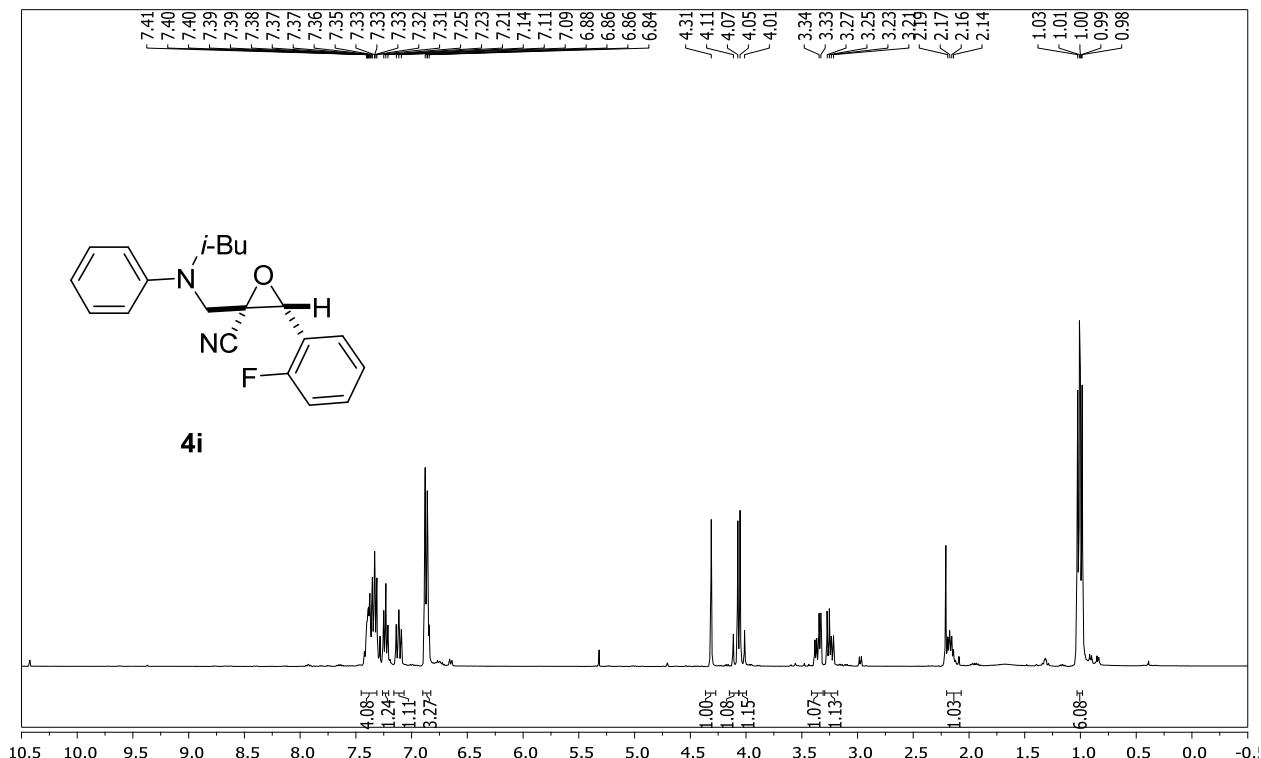
2-((Isobutyl(phenyl)amino)methyl)-3-(3-methoxyphenyl)oxirane-2-carbonitrile (4g)



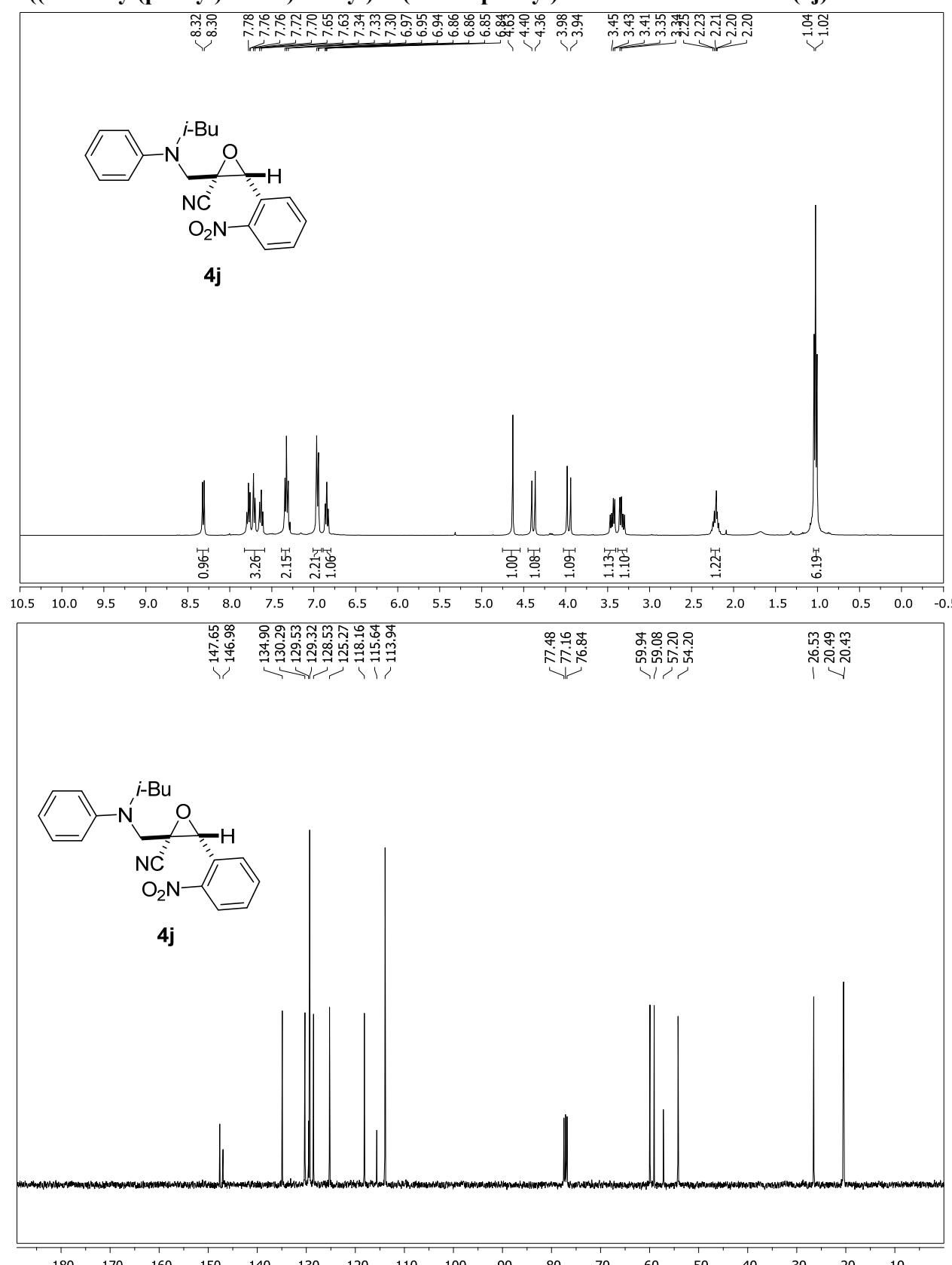
3-(3-Bromophenyl)-2-((*i*-butyl(phenyl)amino)methyl)oxirane-2-carbonitrile (4h**)**



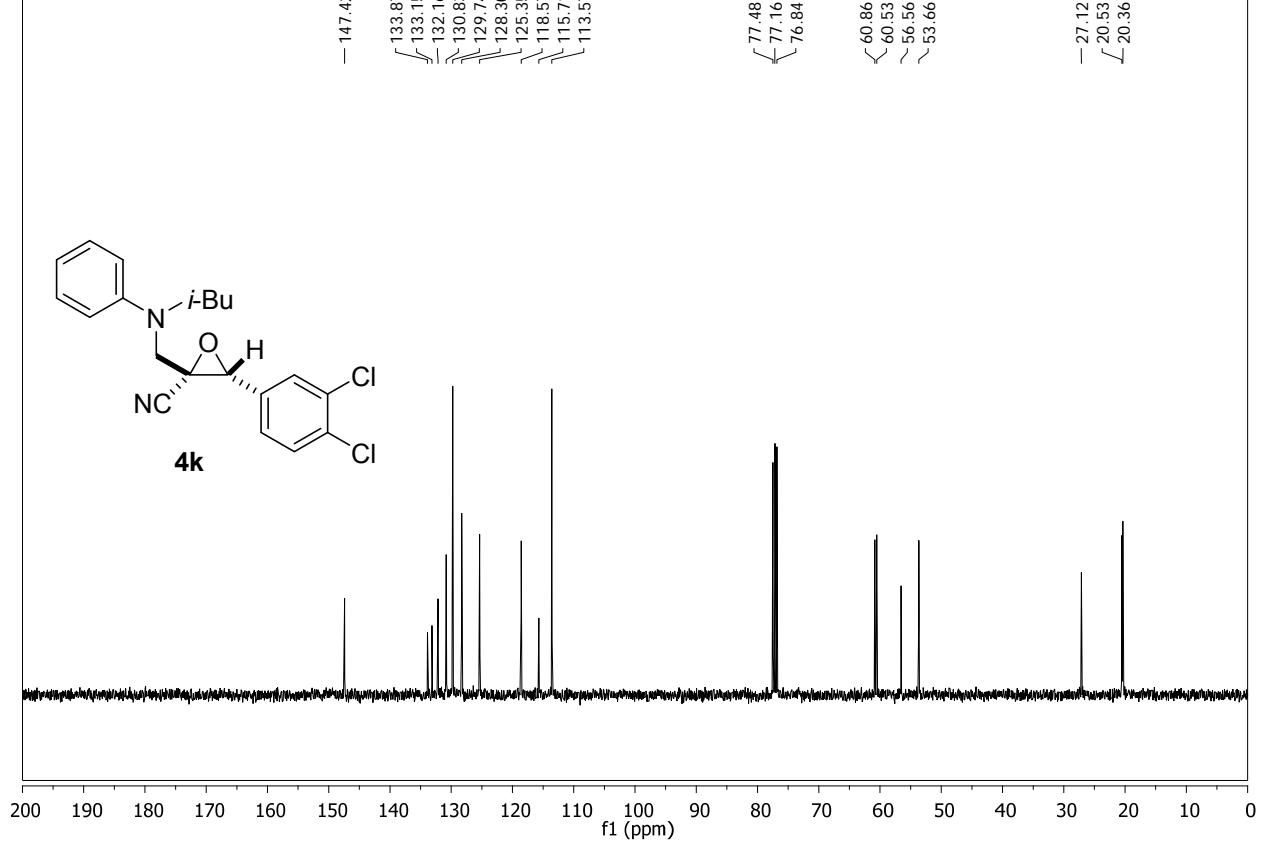
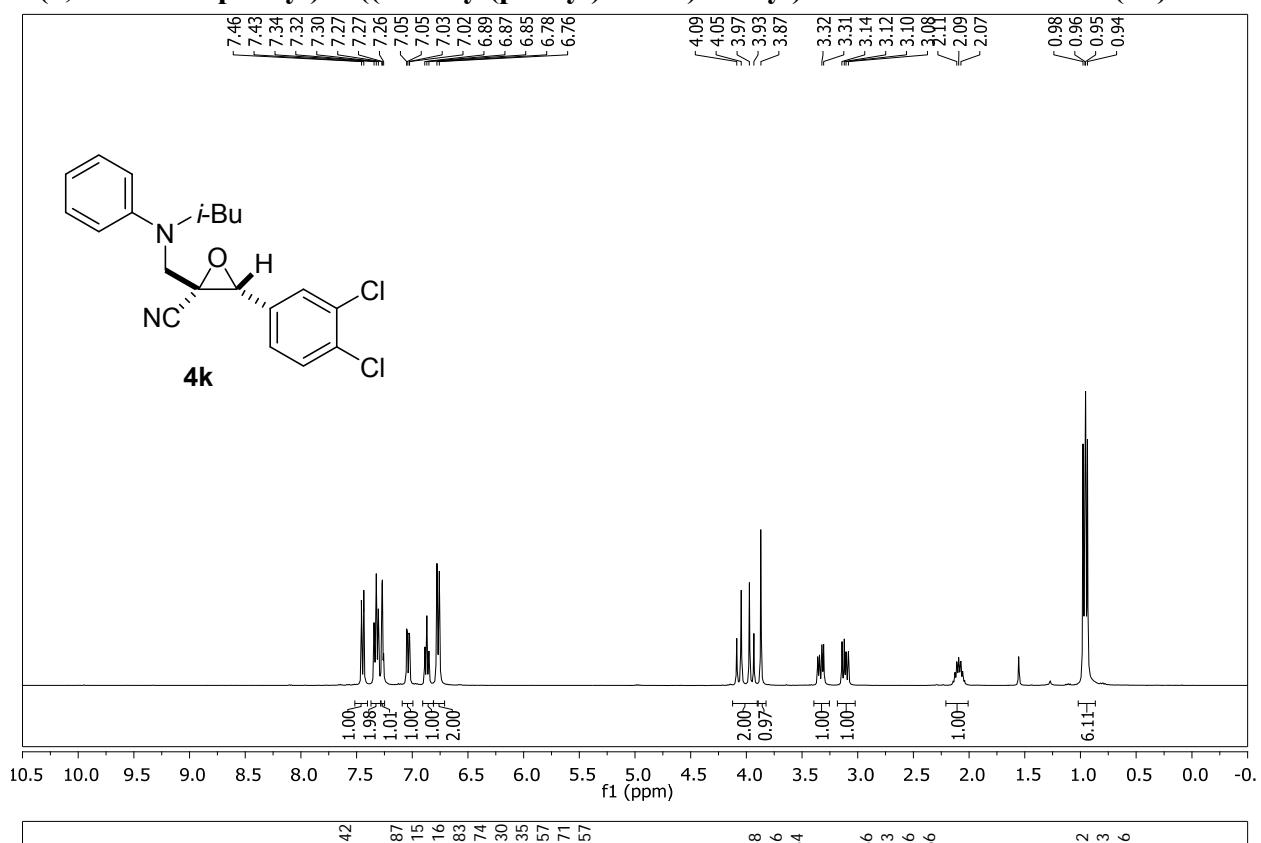
3-(2-Fluorophenyl)-2-((iso-butyl(phenyl)amino)methyl)oxirane-2-carbonitrile (4i)



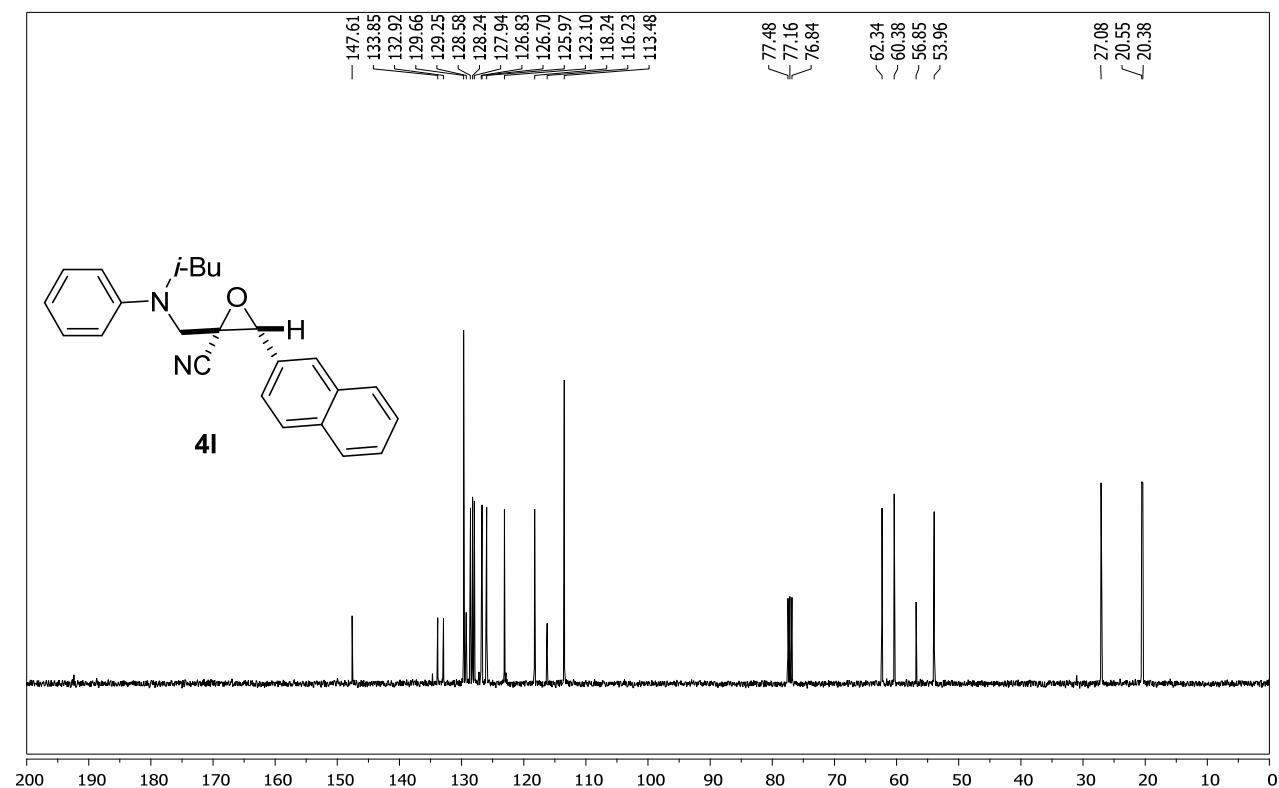
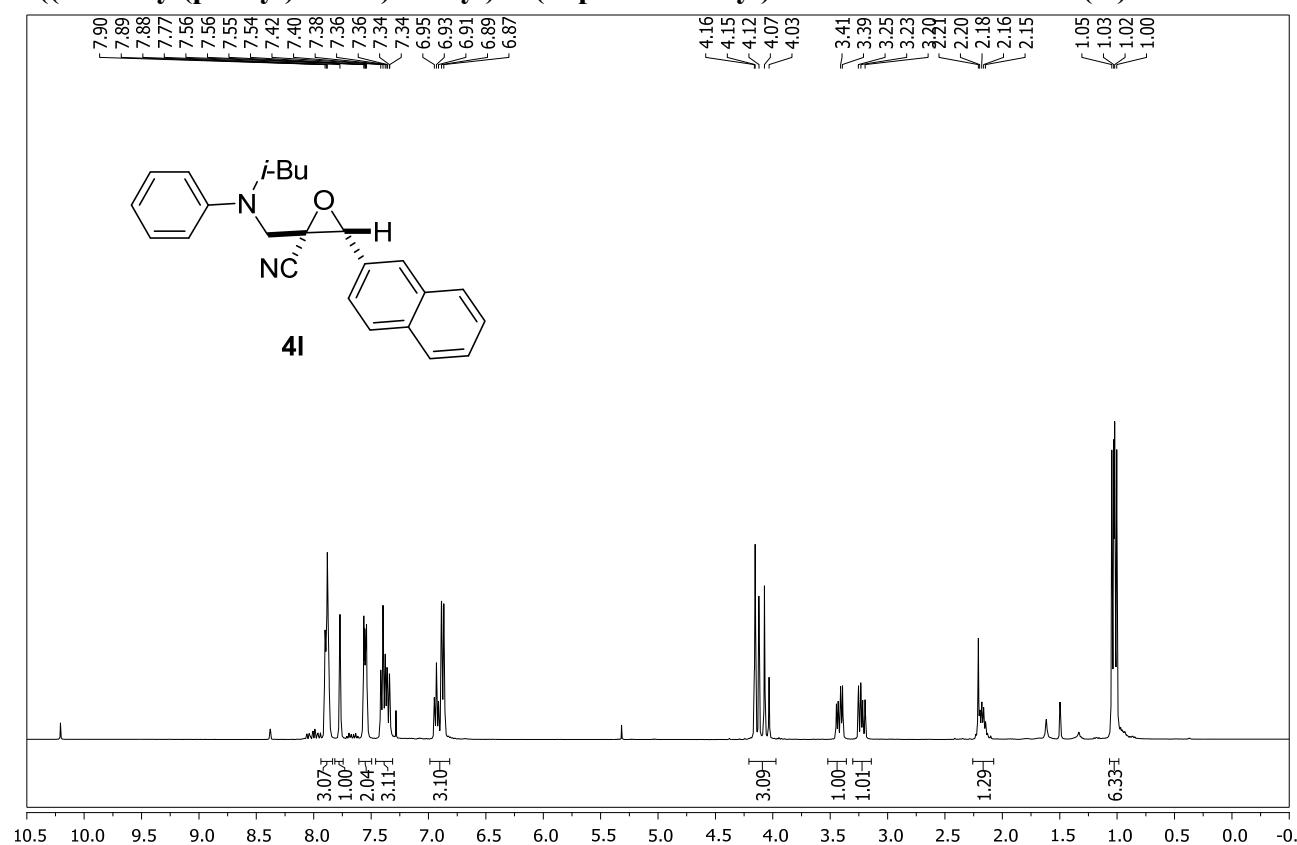
2-((iso-Butyl(phenyl)amino)methyl)-3-(2-nitrophenyl)oxirane-2-carbonitrile (4j)



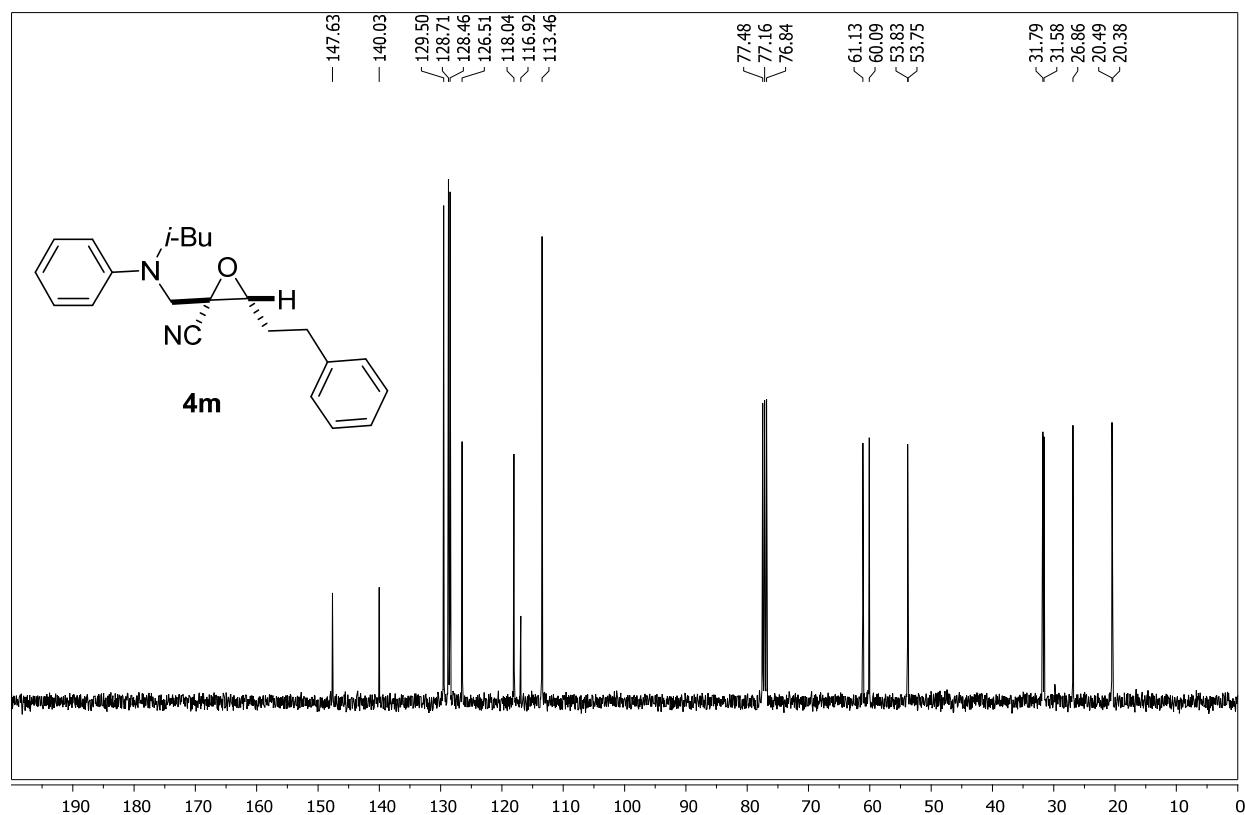
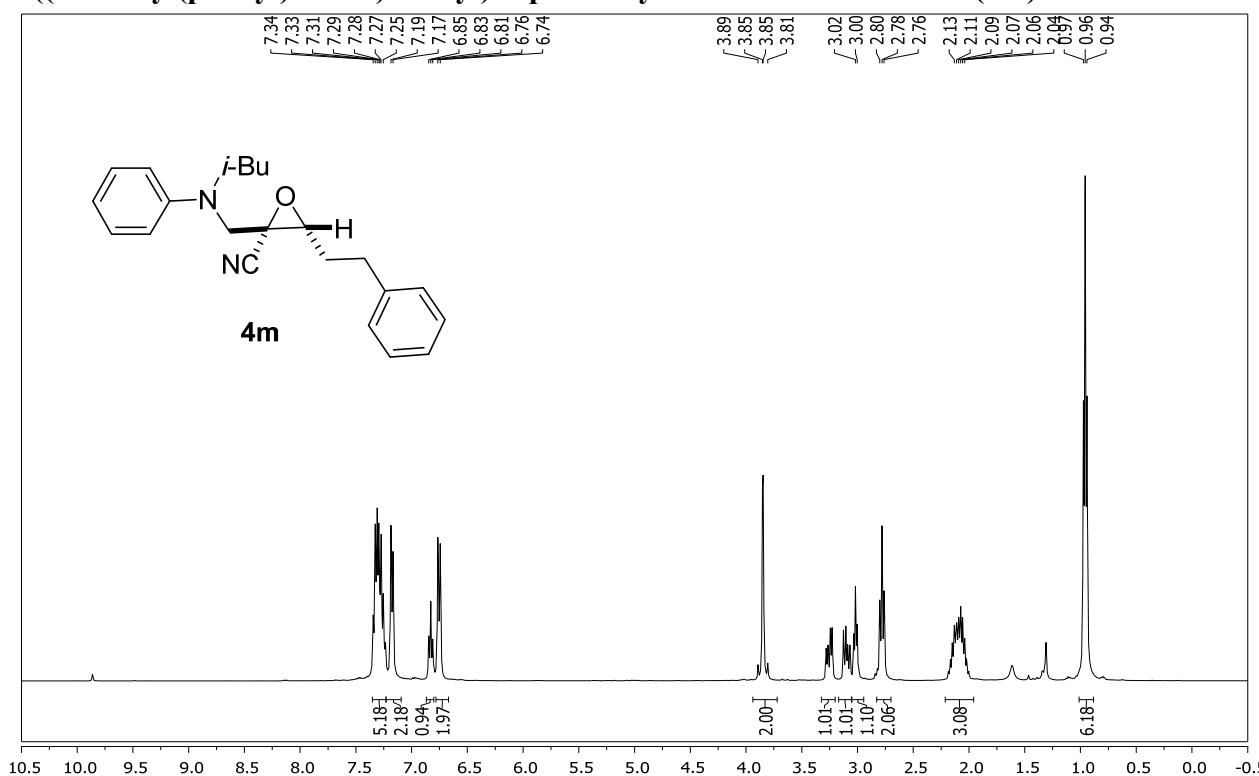
3-(3,4-Dichlorophenyl)-2-((isobutyl(phenyl)amino)methyl)oxirane-2-carbonitrile (4k)



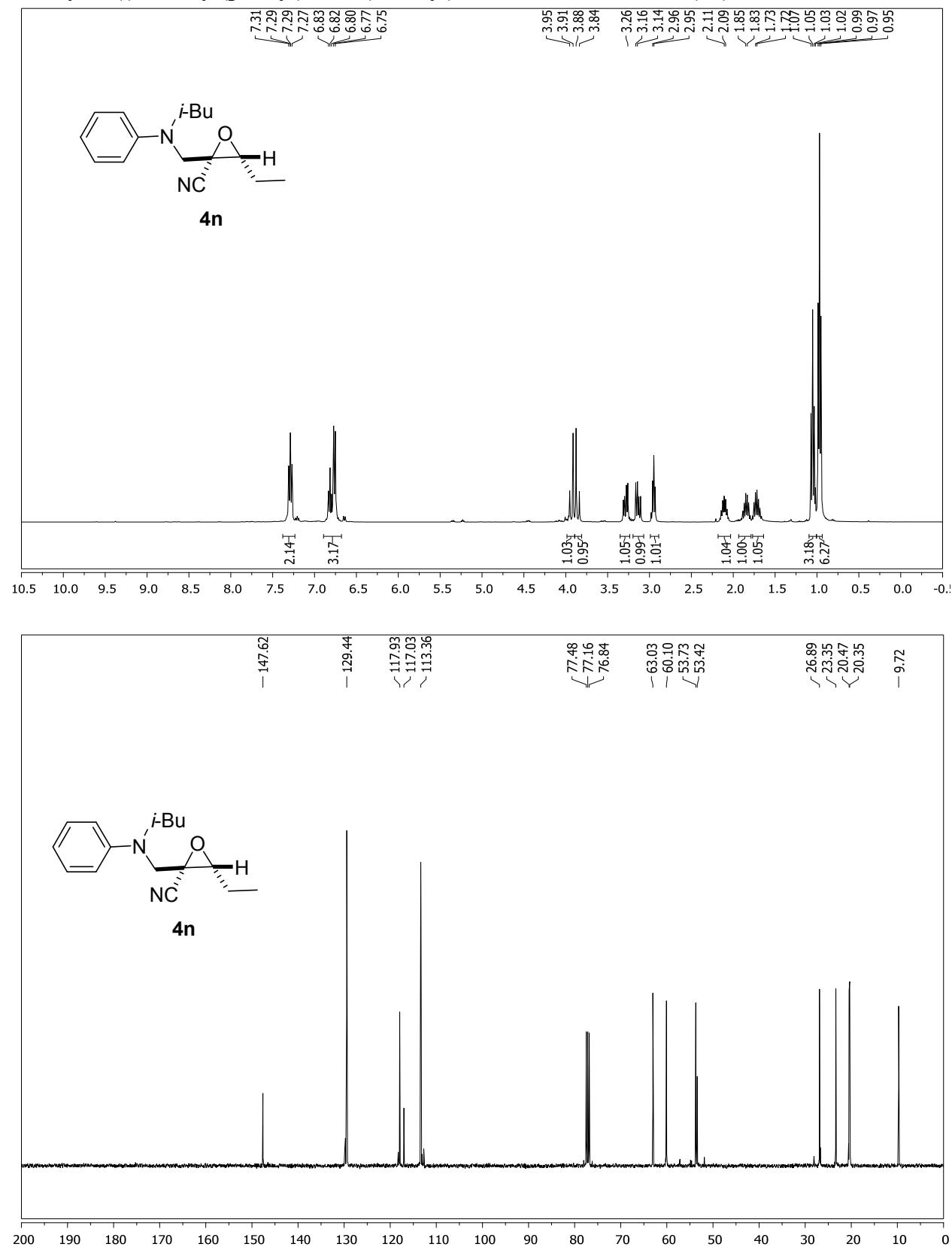
2-((iso-Butyl(phenyl)amino)methyl)-3-(naphthalen-1-yl)oxirane-2-carbonitrile (4l)



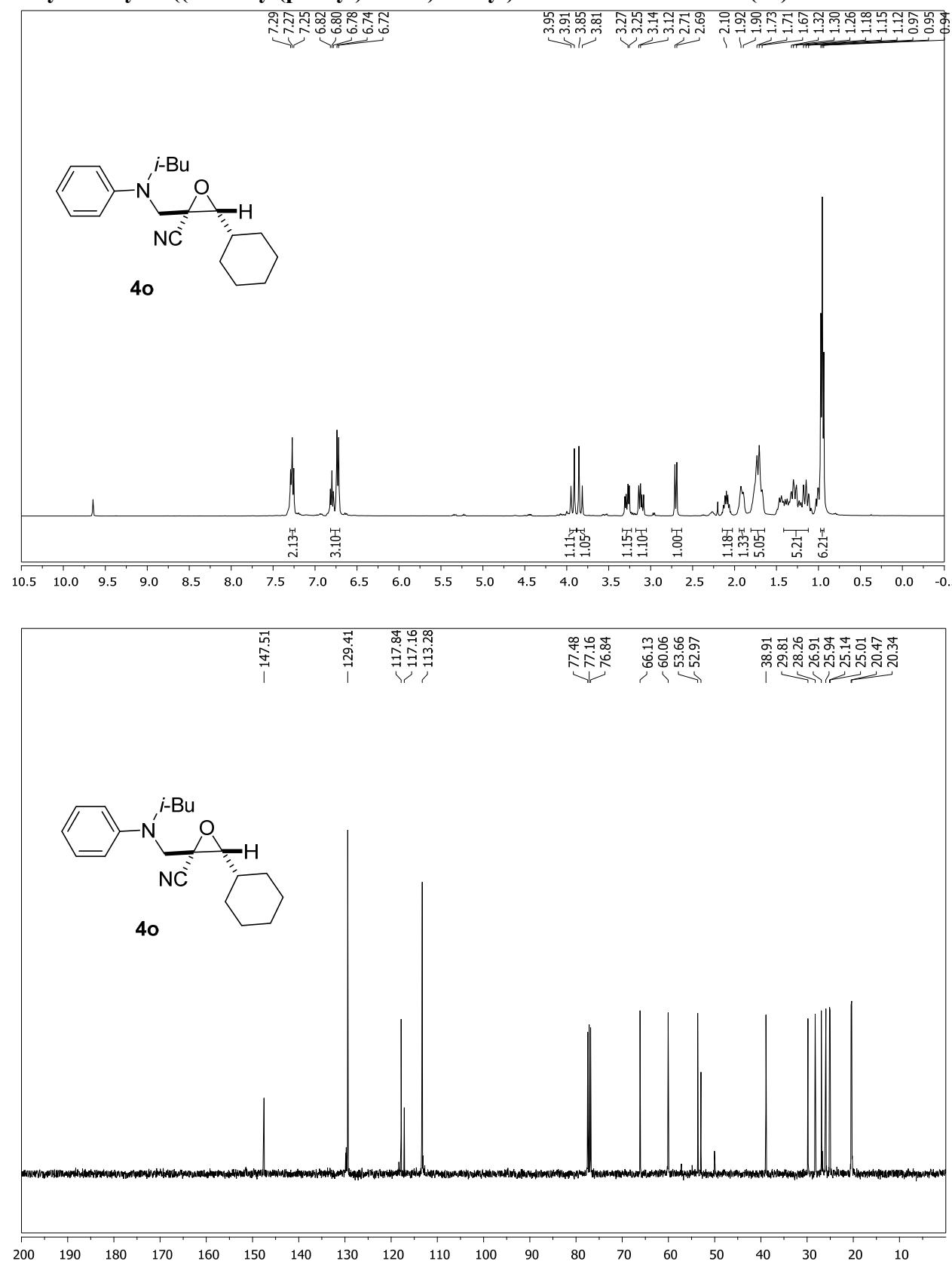
2-((iso-Butyl(phenyl)amino)methyl)-3-phenethyloxirane-2-carbonitrile (4m)



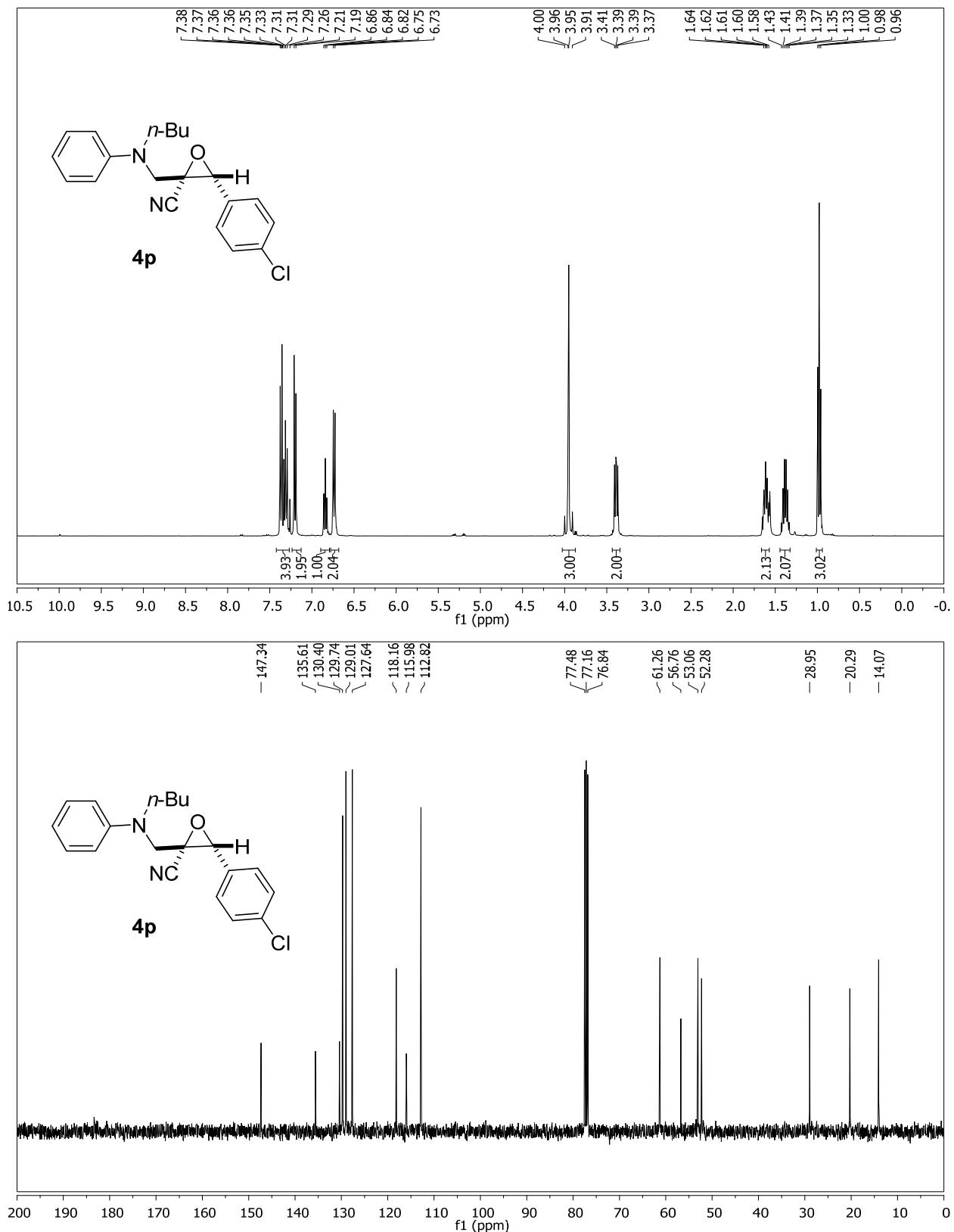
3-Ethyl-2-((*iso*-butyl(phenyl)amino)methyl)oxirane-2-carbonitrile (4n**)**



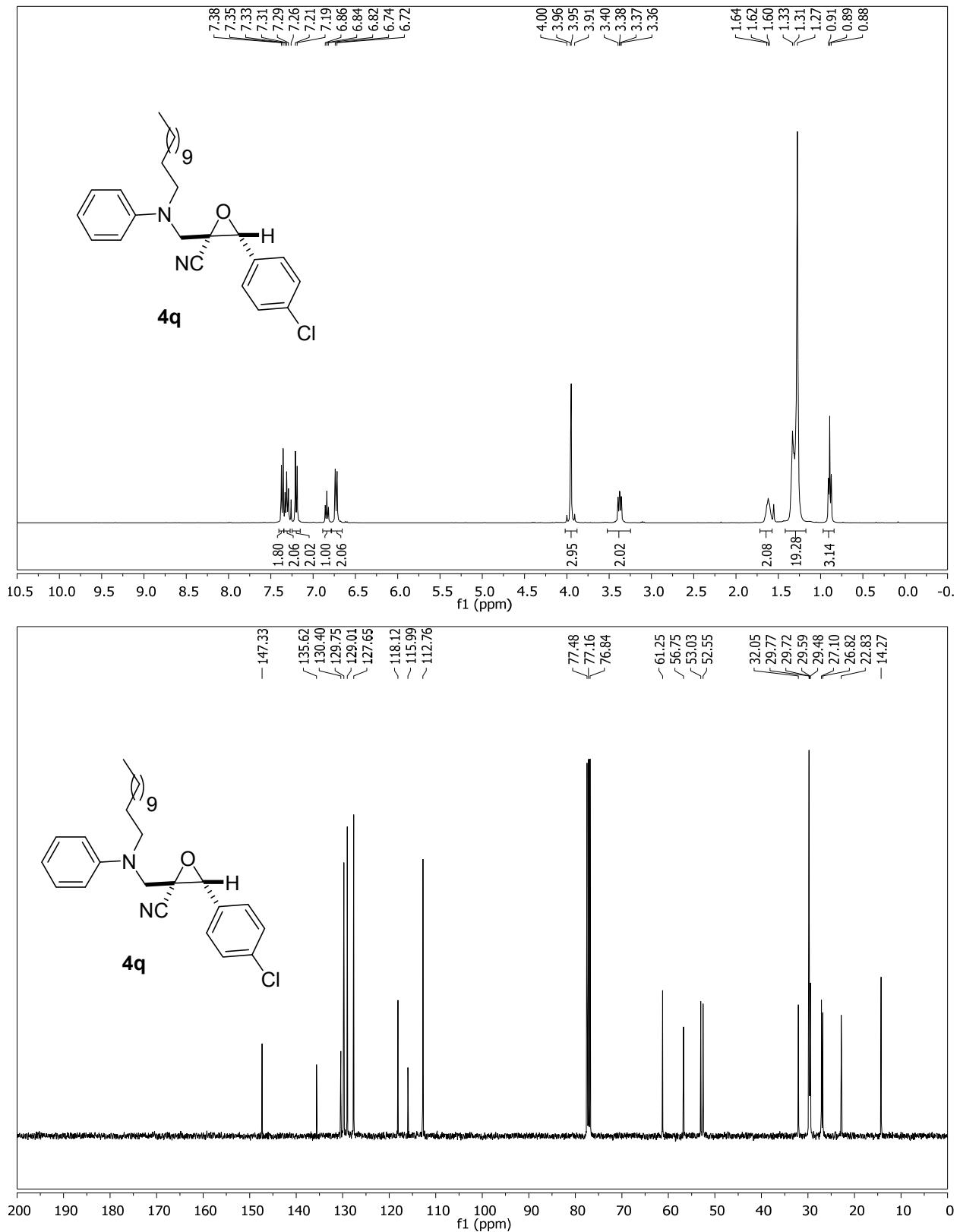
3-Cyclohexyl-2-((iso-butyl(phenyl)amino)methyl)oxirane-2-carbonitrile (4o)



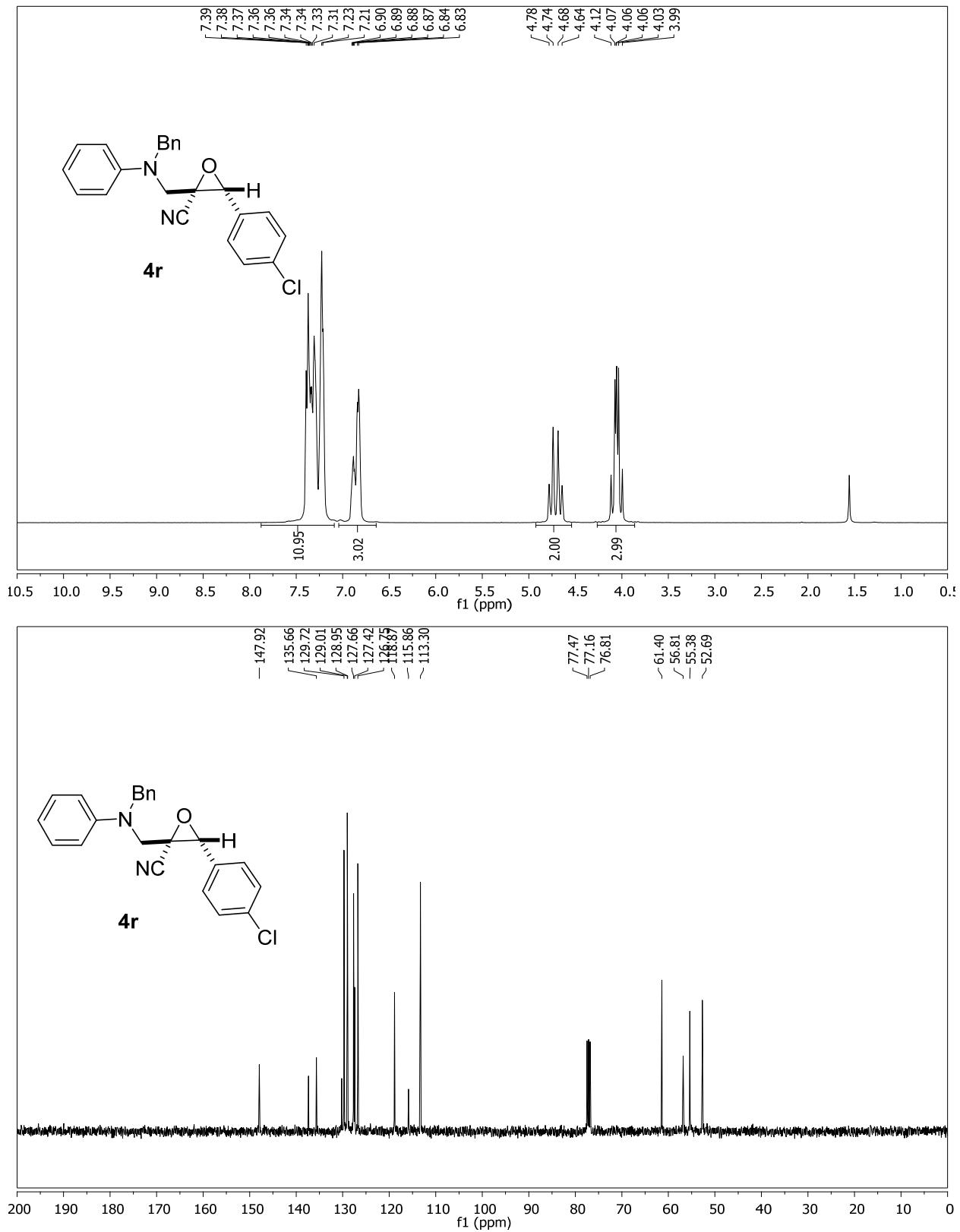
2-((Butyl(phenyl)amino)methyl)-3-(4-chlorophenyl)oxirane-2-carbonitrile (4p)



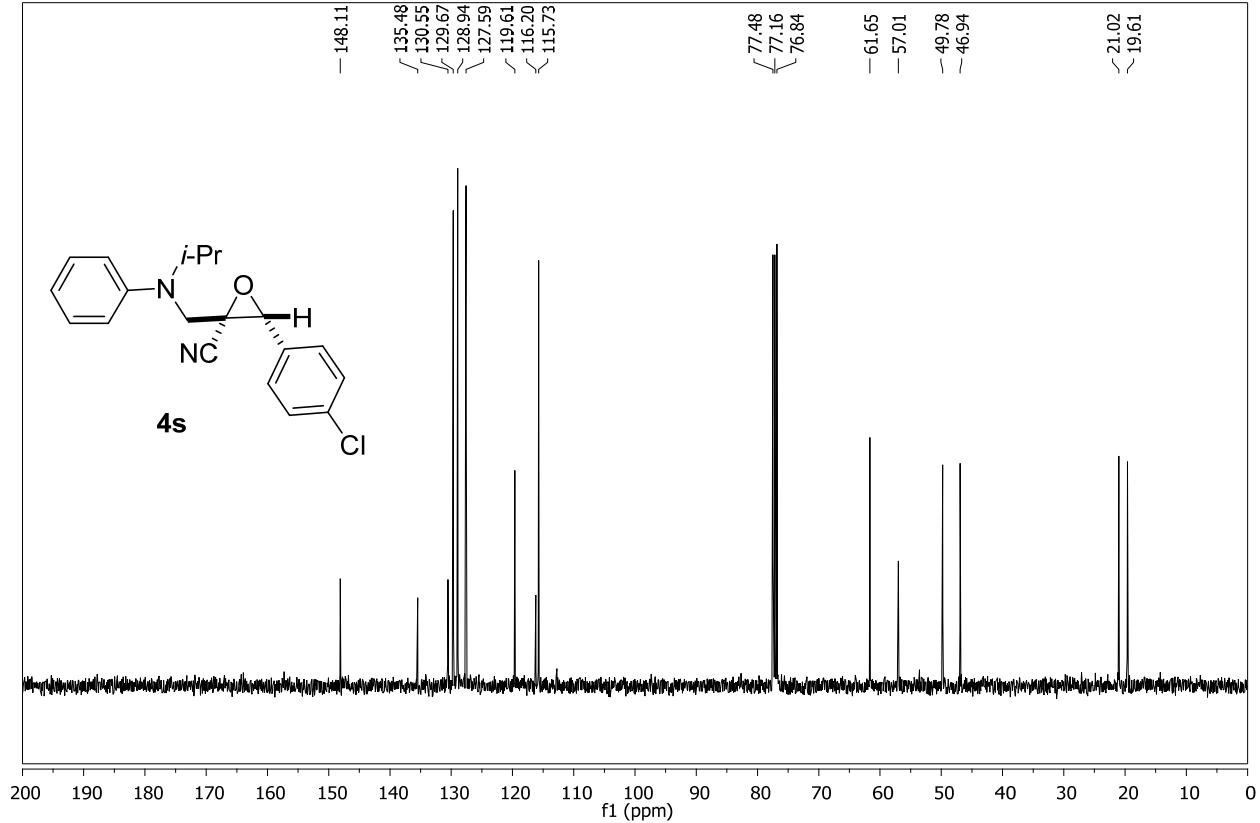
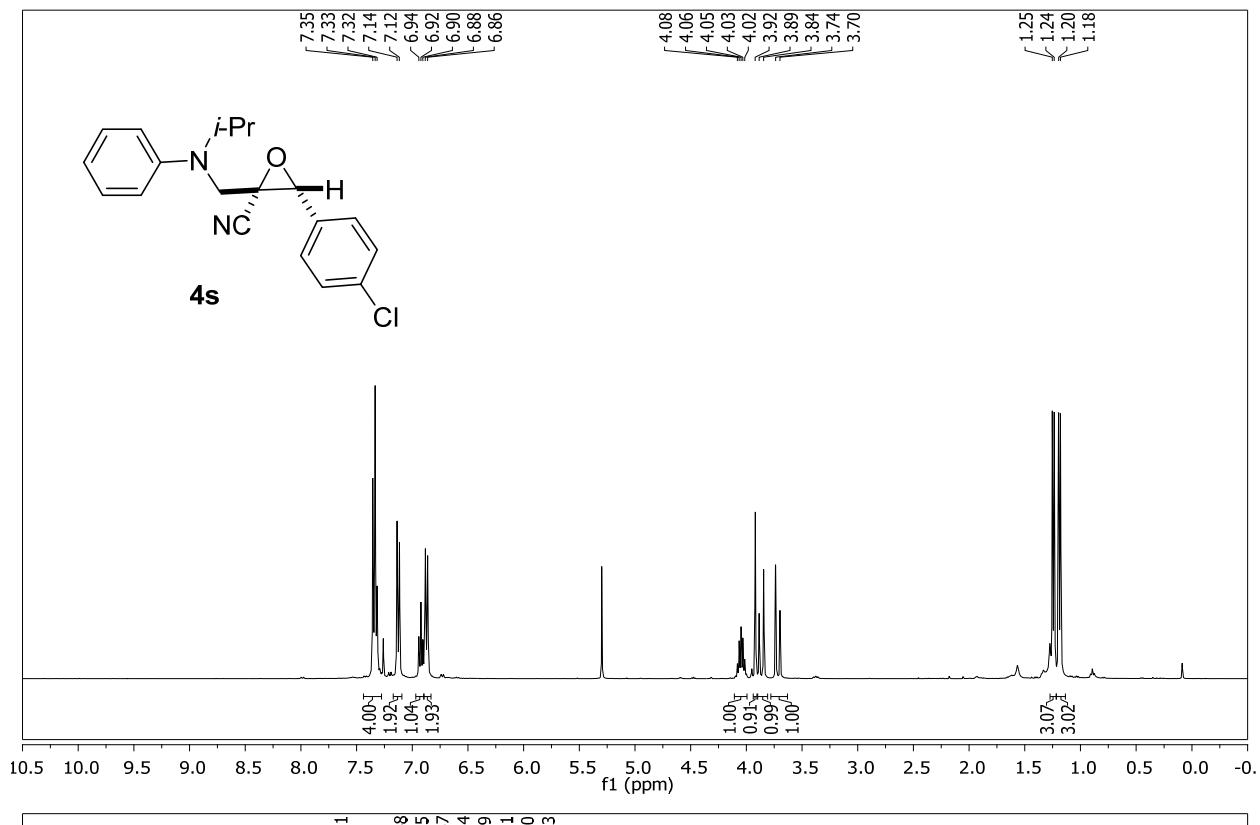
3-(4-Chlorophenyl)-2-((dodecyl(phenyl)amino)methyl)oxirane-2-carbonitrile (4q)



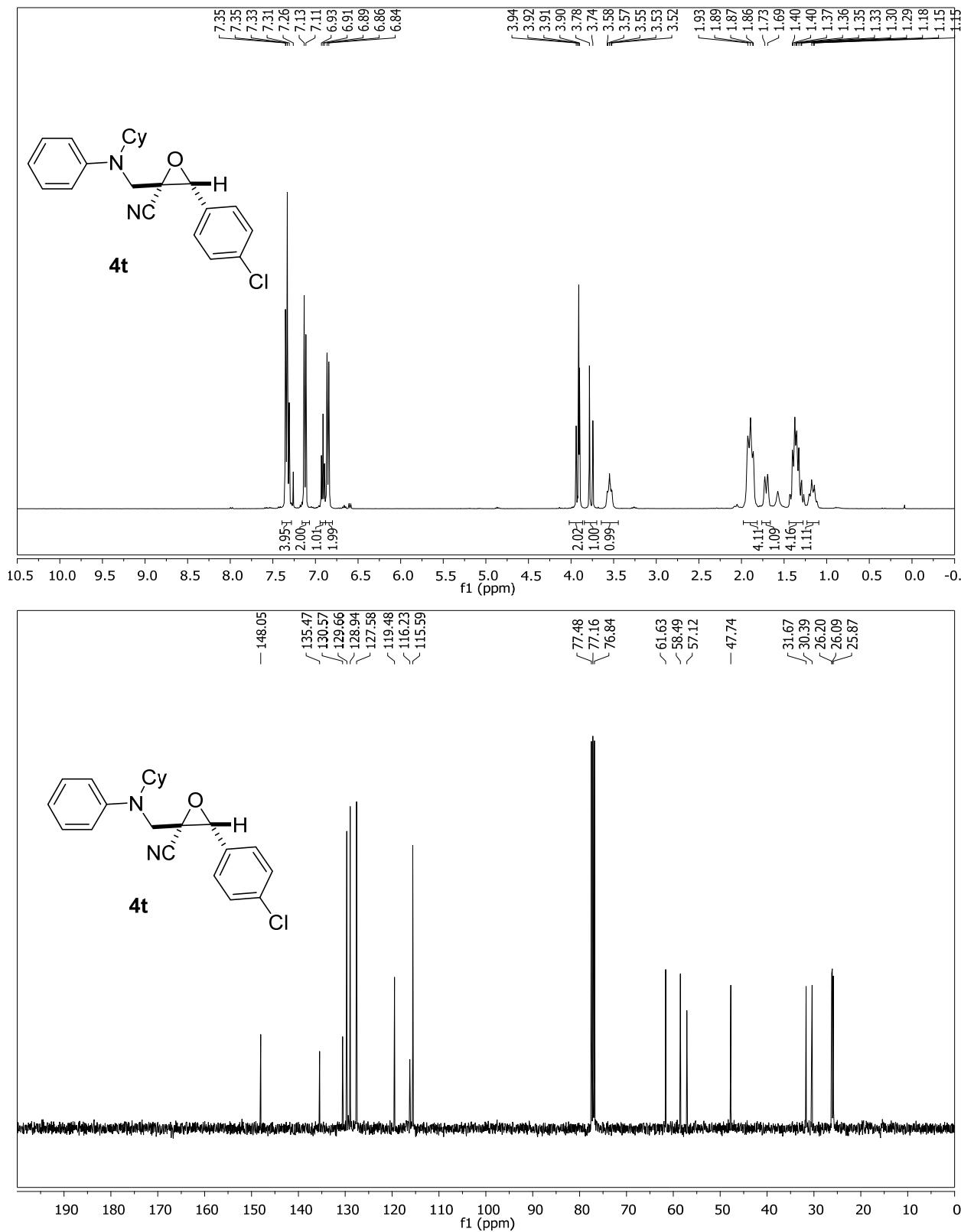
2-((Benzyl(phenyl)amino)methyl)-3-(4-chlorophenyl)oxirane-2-carbonitrile (4r)



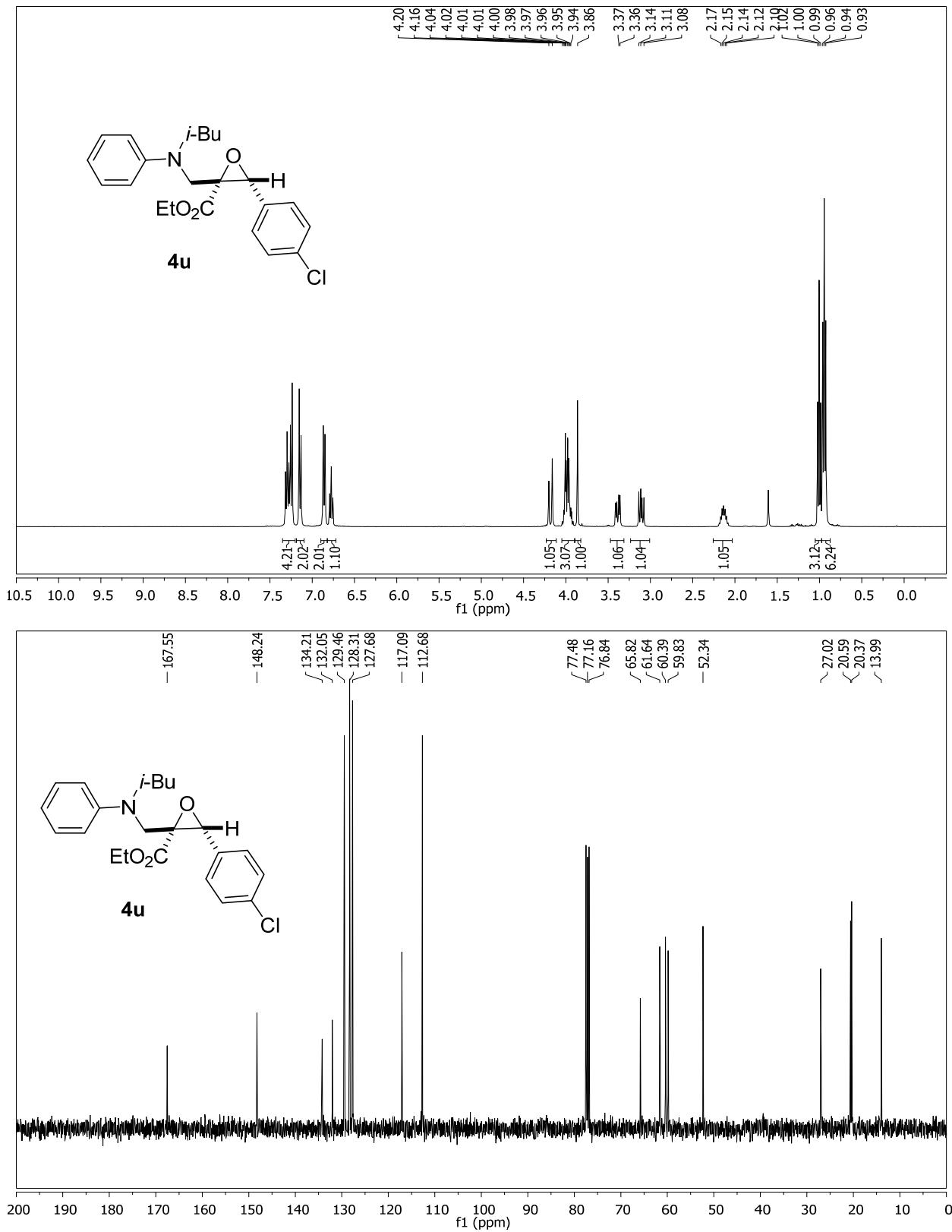
3-(4-Chlorophenyl)-2-((isopropyl(phenyl)amino)methyl)oxirane-2-carbonitrile (4s)



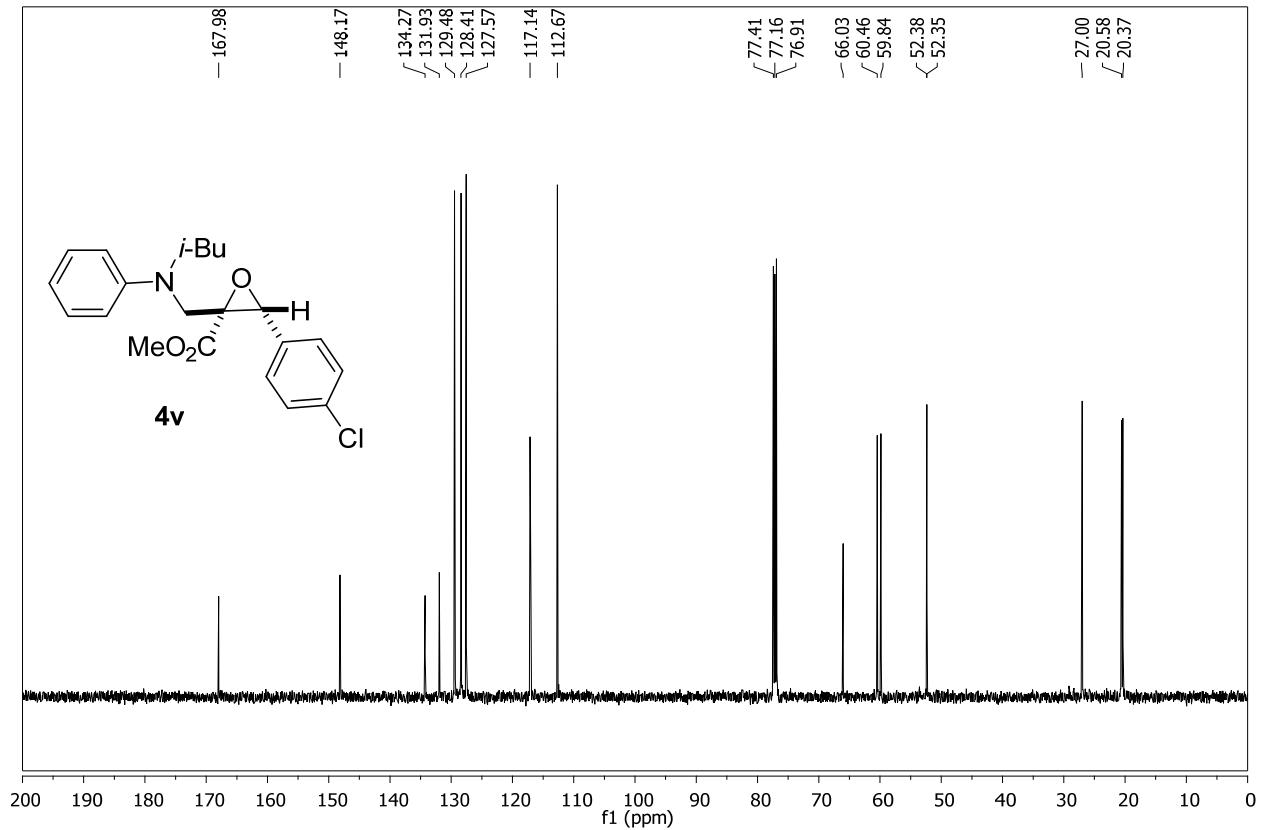
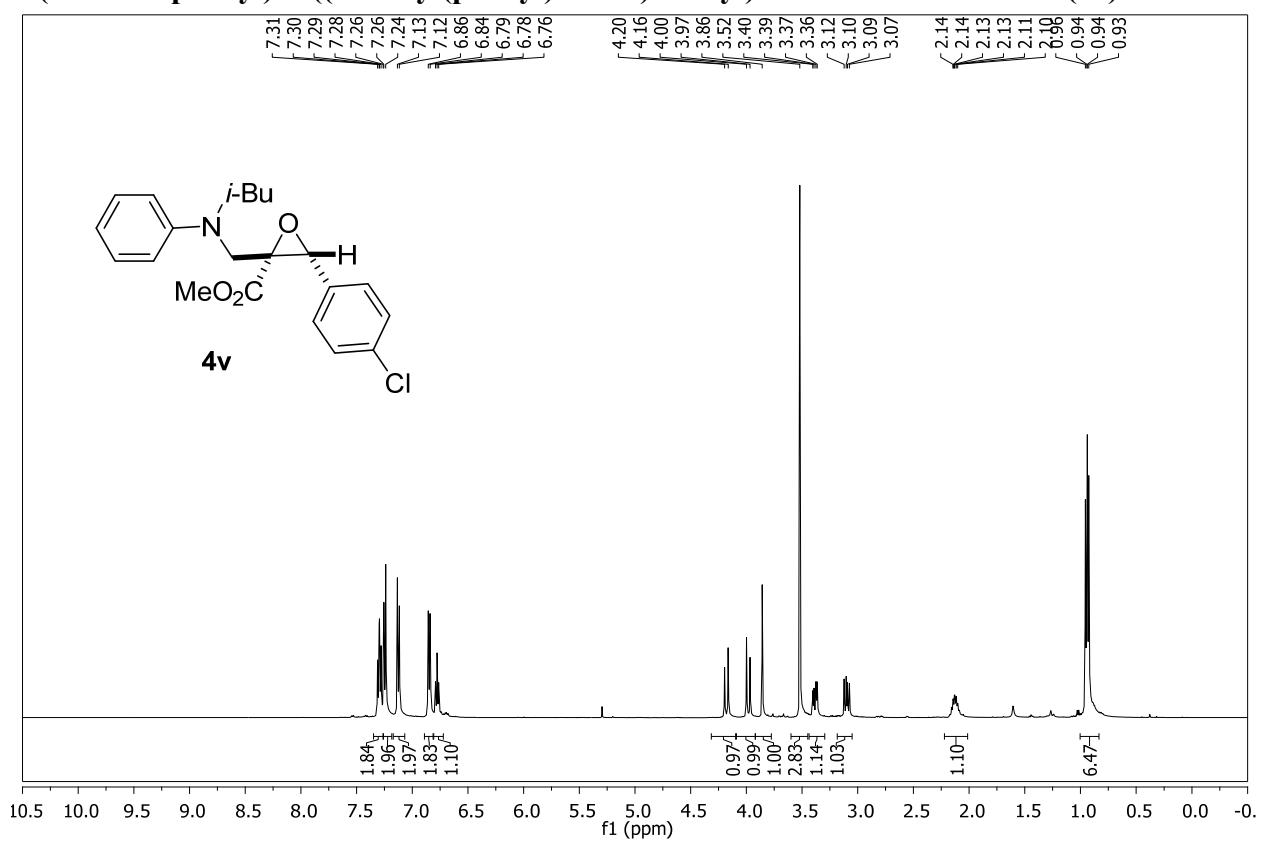
3-(4-Chlorophenyl)-2-((cyclohexyl(phenyl)amino)methyl)oxirane-2-carbonitrile (4t)



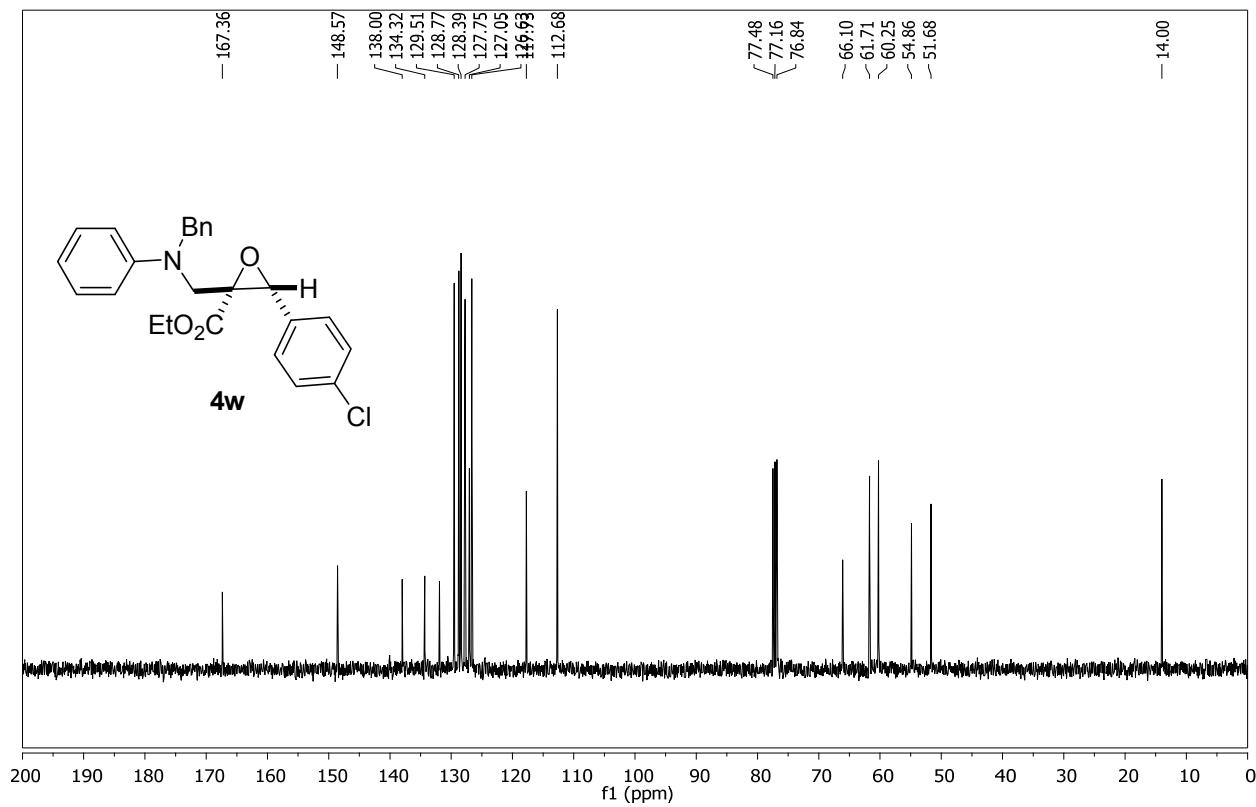
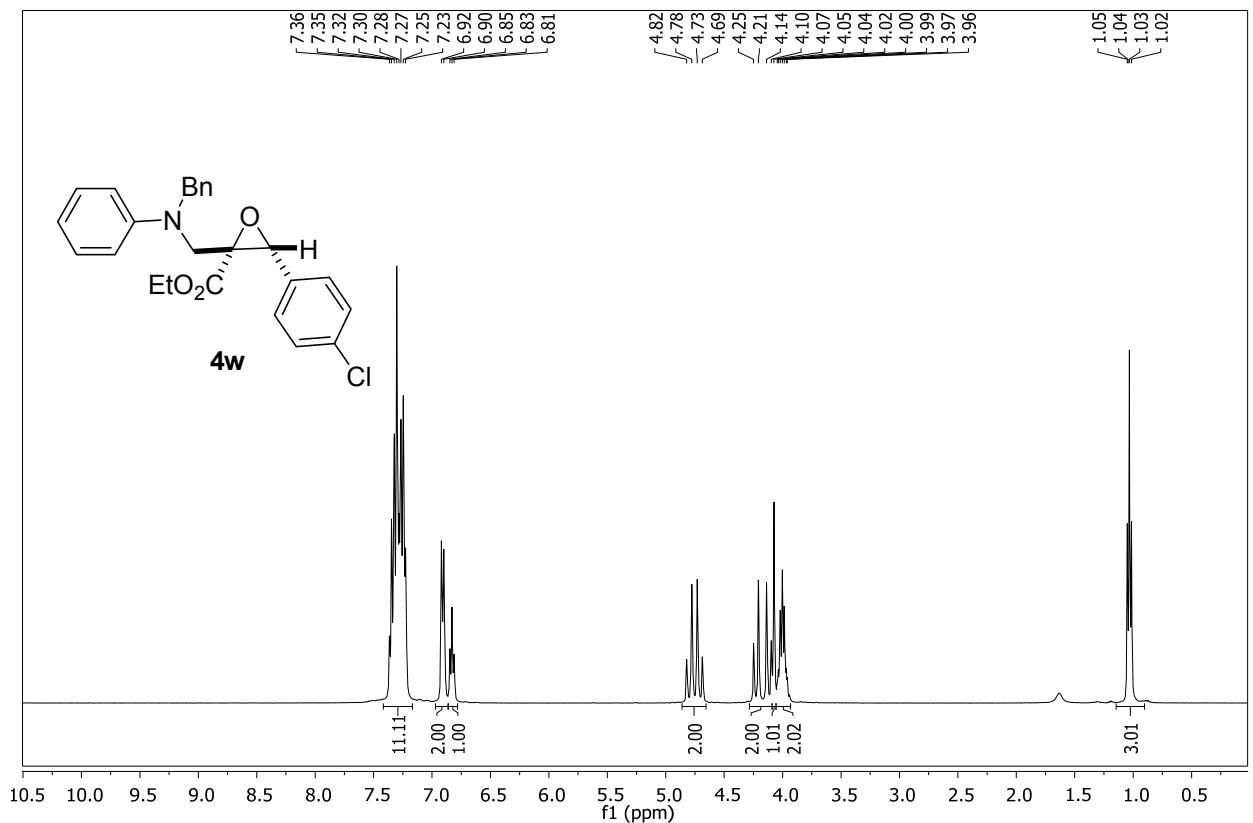
Ethyl 3-(4-chlorophenyl)-2-((isobutyl(phenyl)amino)methyl)oxirane-2-carboxylate (4u**)**



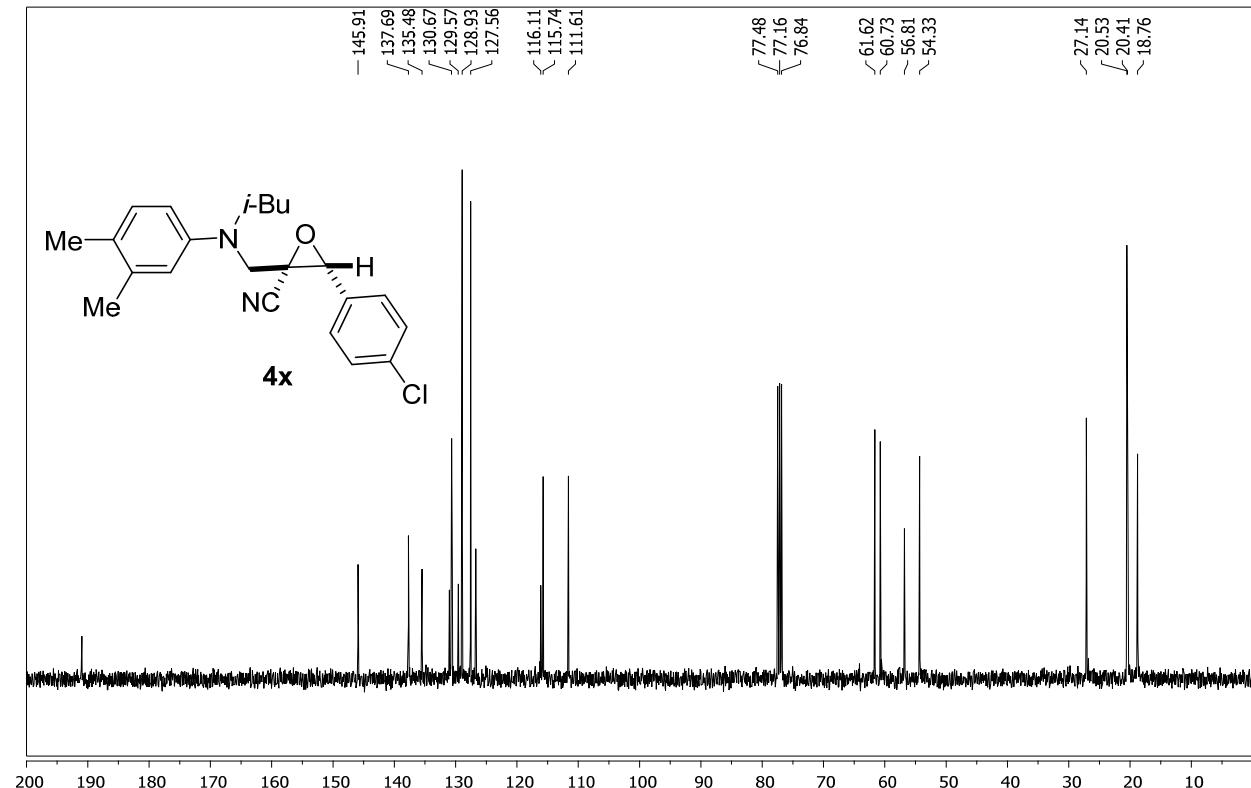
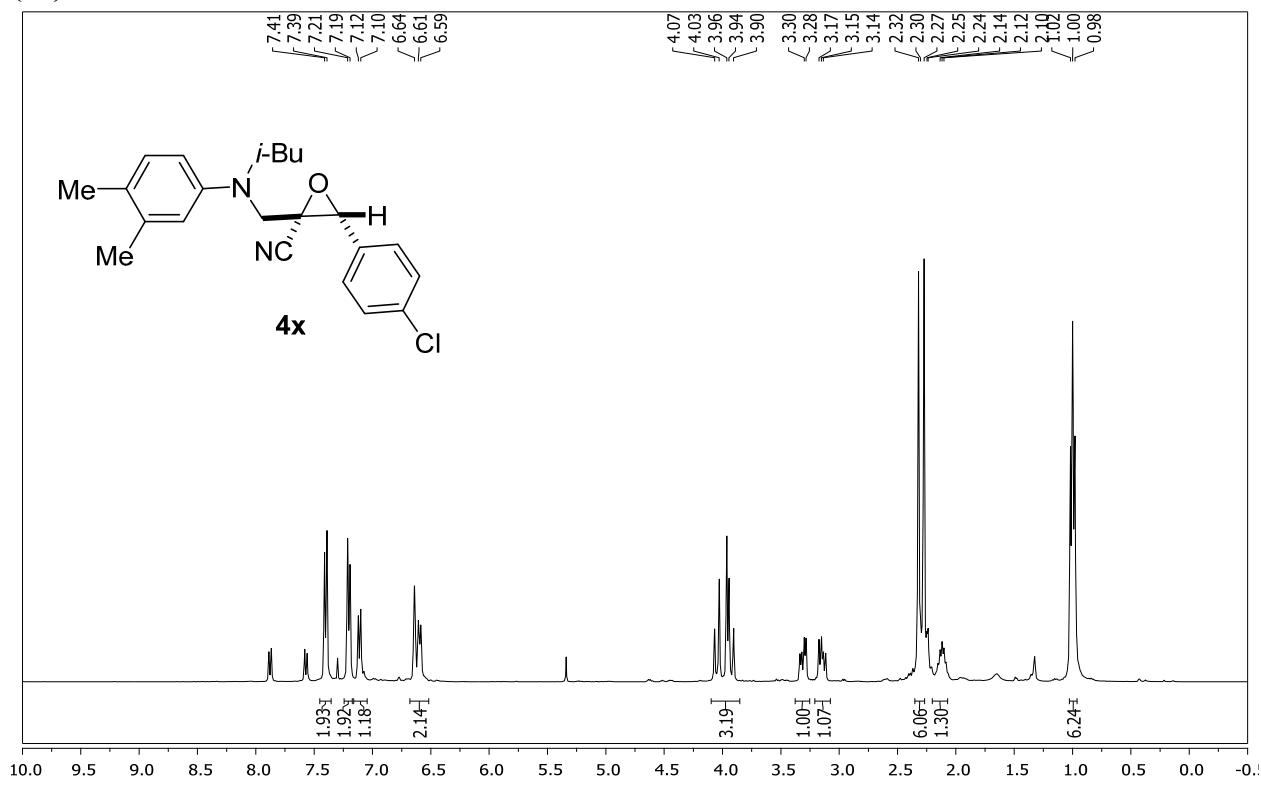
3-(4-Chlorophenyl)-2-((isobutyl(phenyl)amino)methyl)oxirane-2-carbonitrile (4v)



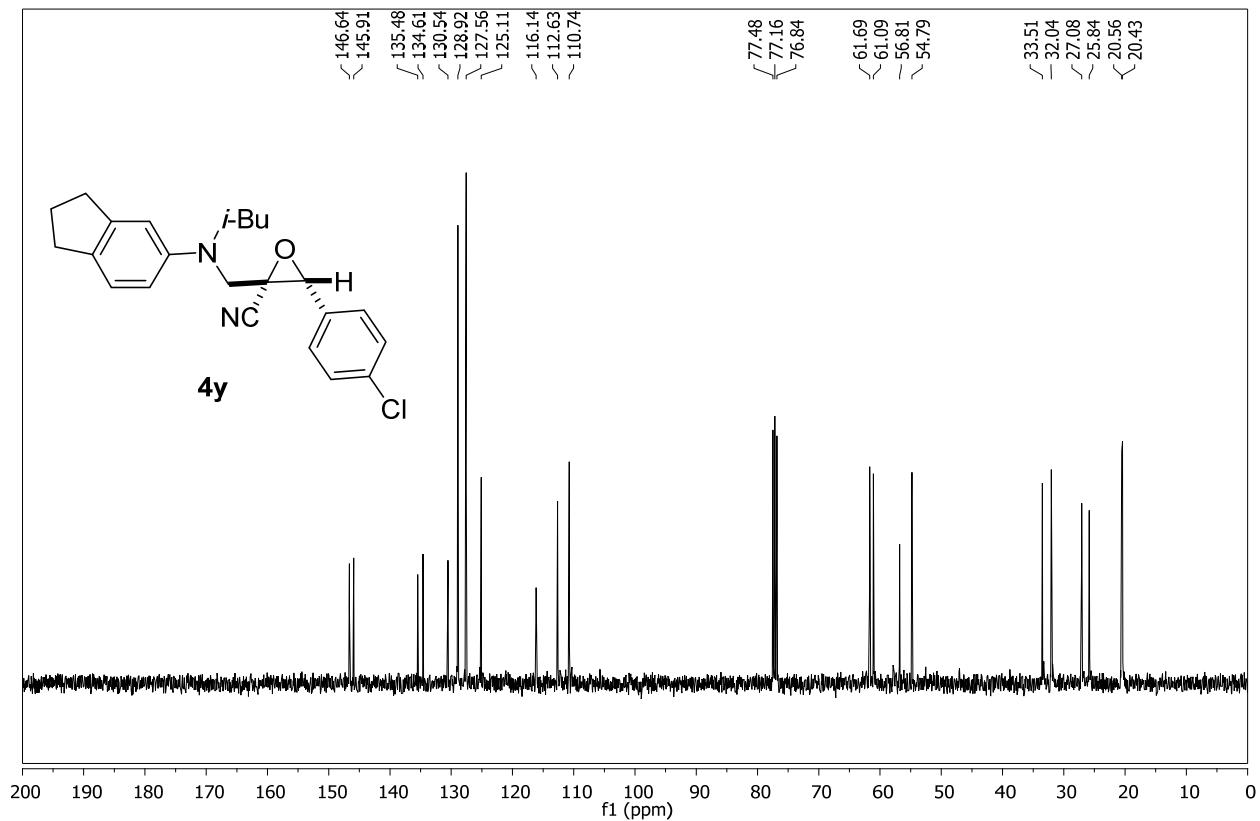
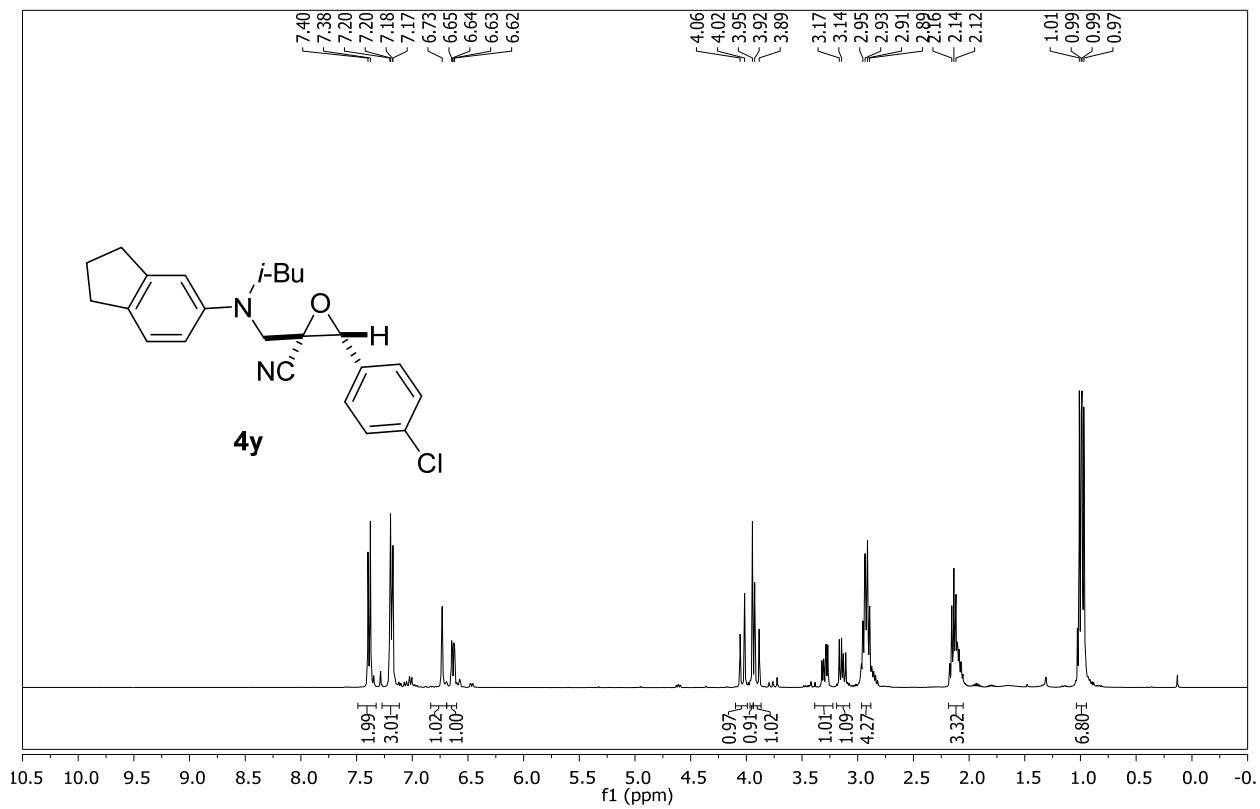
Ethyl -2-((benzyl(phenyl)amino)methyl)-3-(4-chlorophenyl)oxirane-2-carboxylate (4w)



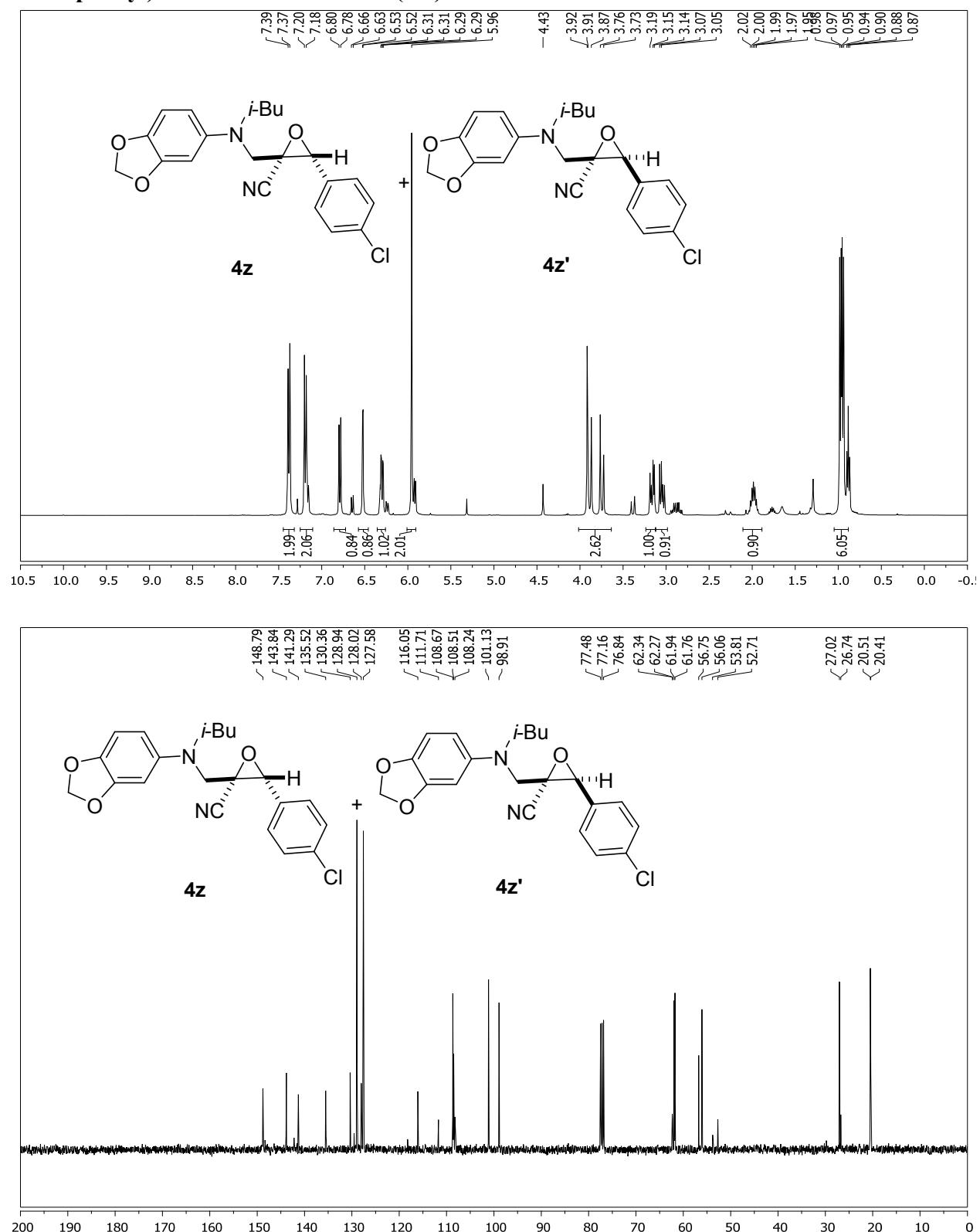
**3-(4-Chlorophenyl)-2-(((3,4-dimethylphenyl)(isobutyl)amino)methyl)oxirane-2-carbonitrile
(4x)**



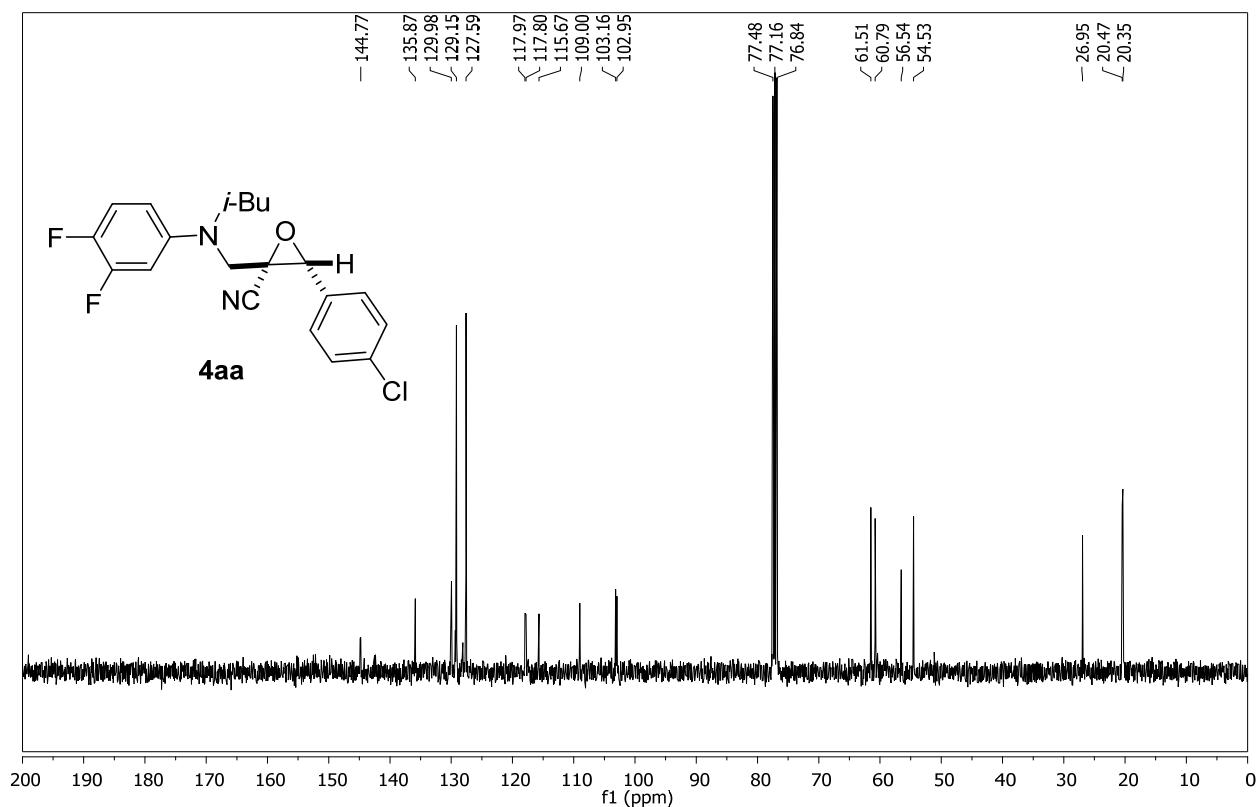
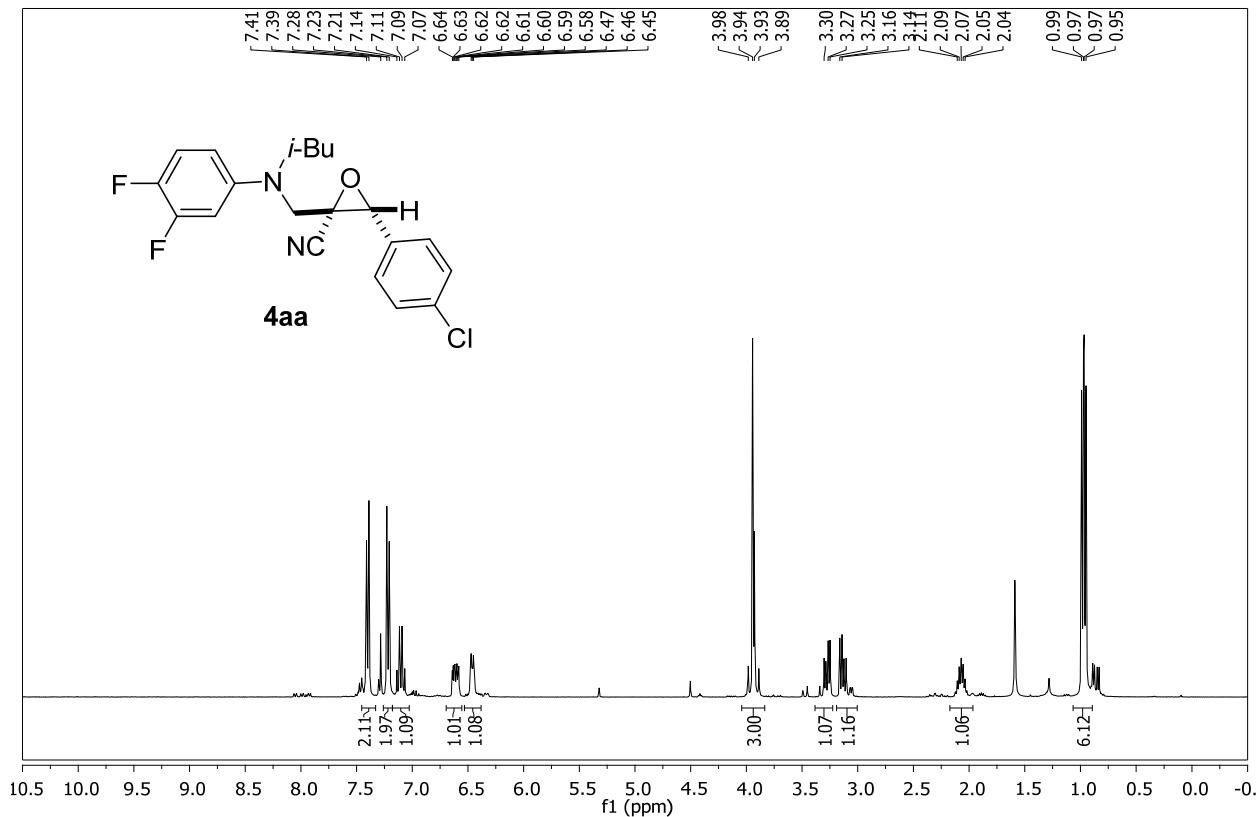
3-(4-Chlorophenyl)-2-(((2,3-dihydro-1*H*-inden-5-yl)(isobutyl)amino)methyl)oxirane-2-carbonitrile (4y)



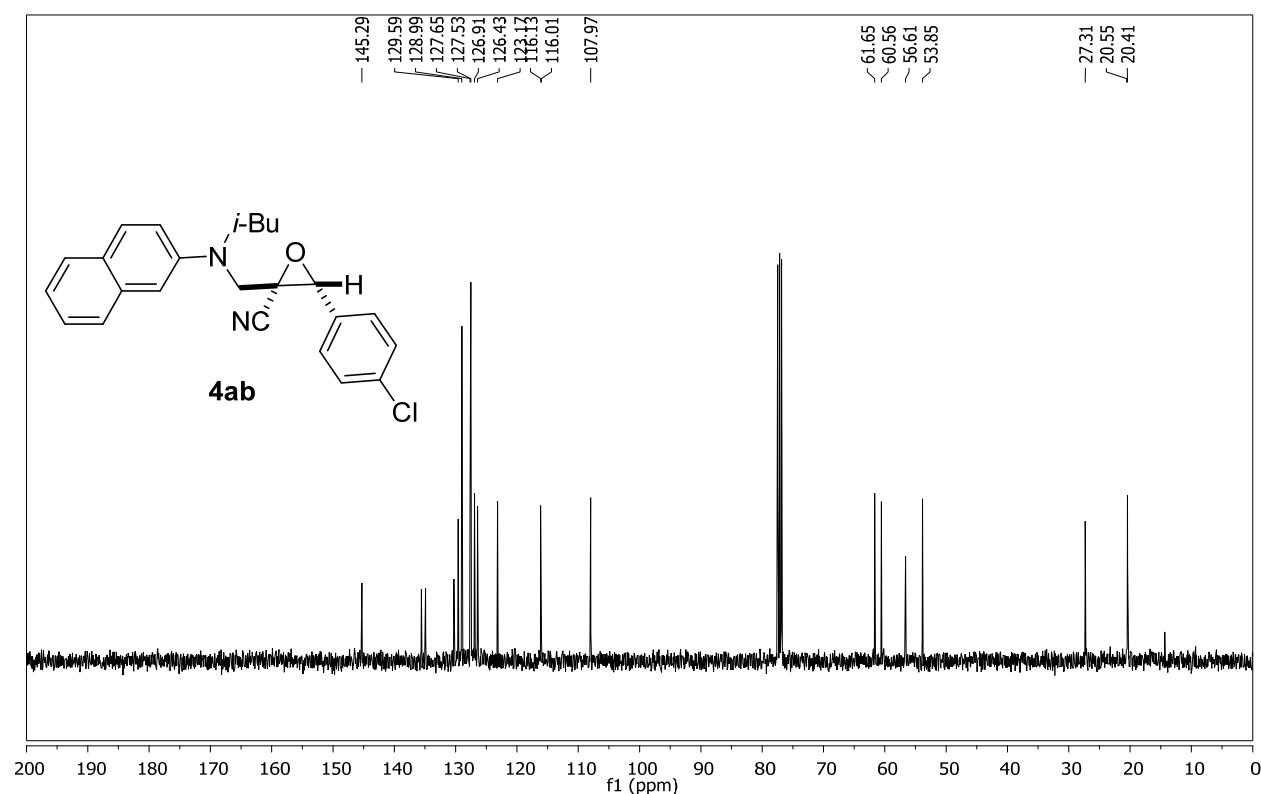
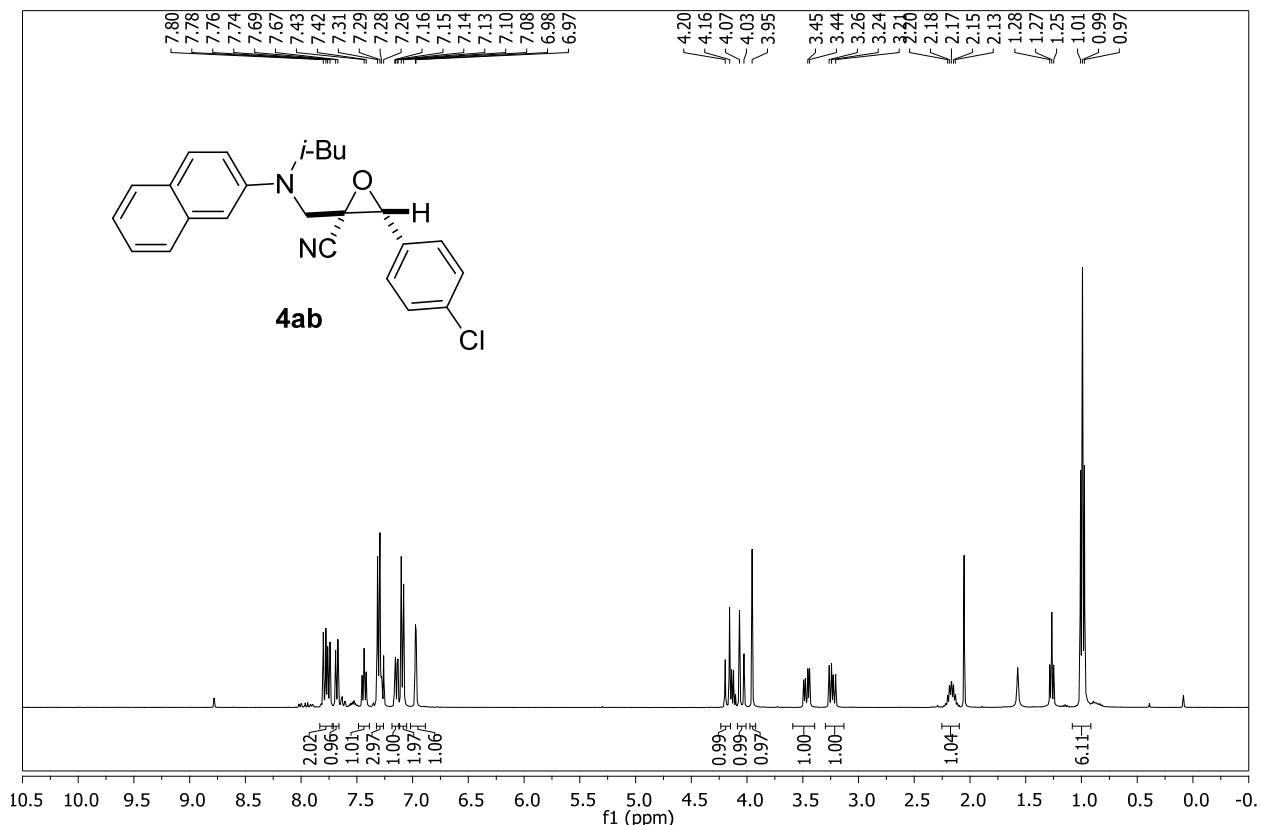
(2S,3R)-2-((Benzo[d][1,3]dioxol-5-yl(isobutyl)amino)methyl)-3-(4-chlorophenyl)oxirane-2-carbonitrile (**4z**) and (2S,3S)-2-((Benzo[d][1,3]dioxol-5-yl(isobutyl)amino)methyl)-3-(4-chlorophenyl)oxirane-2-carbonitrile (**4z'**)



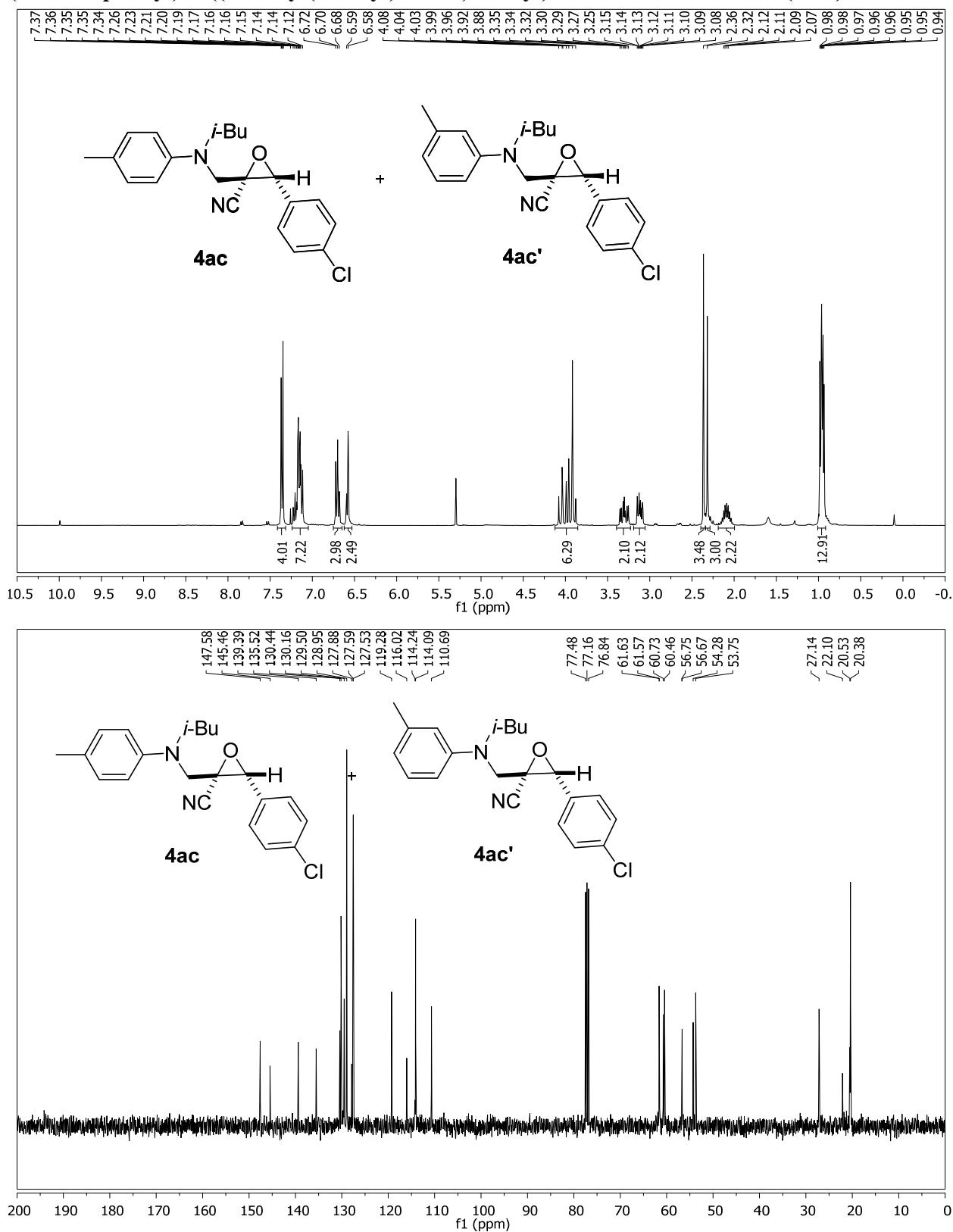
**3-(4-Chlorophenyl)-2-(((3,4-difluorophenyl)(isobutyl)amino)methyl)oxirane-2-carbonitrile
(4aa)**



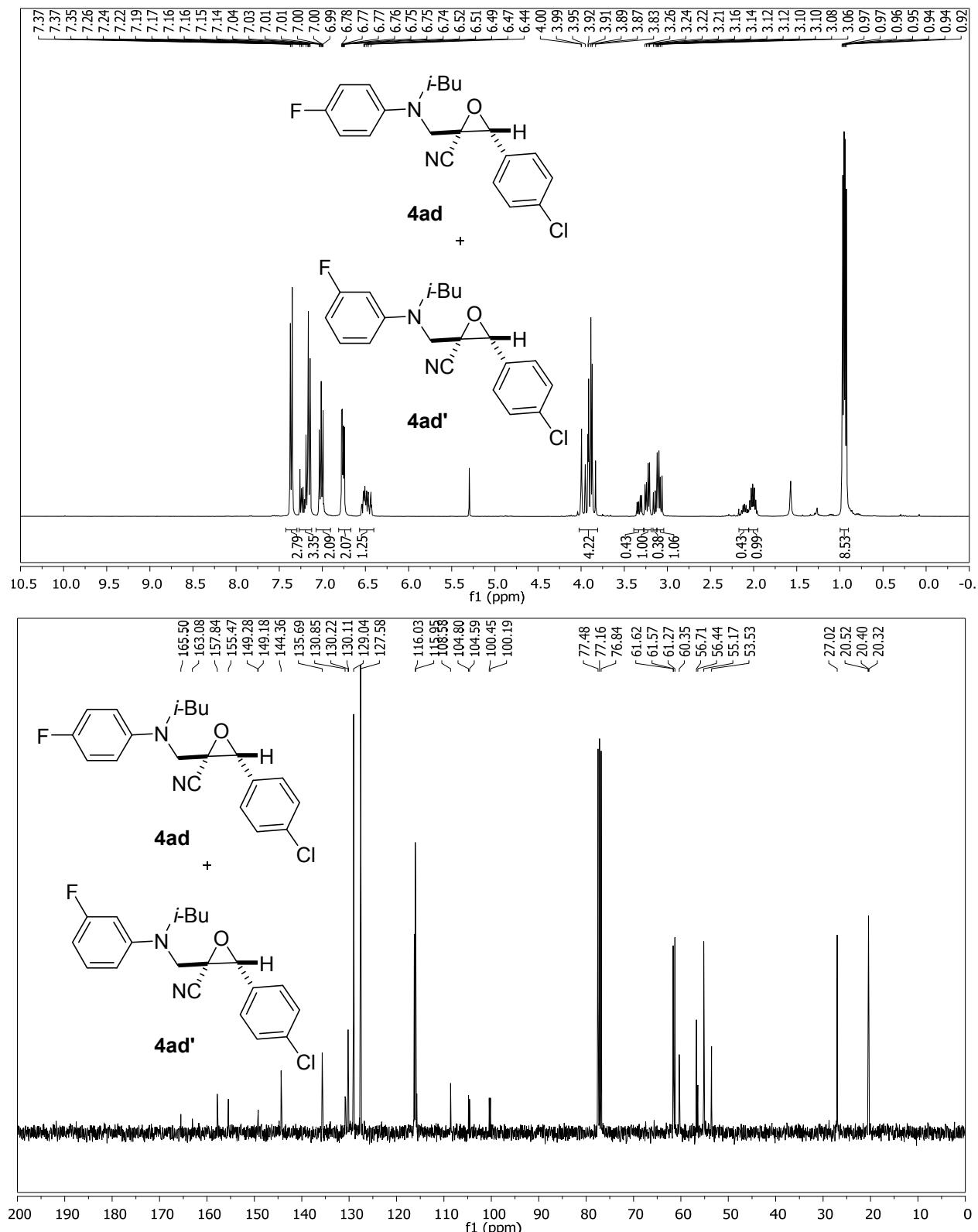
**3-(4-Chlorophenyl)-2-((isobutyl(naphthalen-2-yl)amino)methyl)oxirane-2-carbonitrile
(4ab)**



3-(4-Chlorophenyl)-2-((isobutyl(p-tolyl)amino)methyl)oxirane-2-carbonitrile (4ac**) and 3-(4-chlorophenyl)-2-((isobutyl(m-tolyl)amino)methyl)oxirane-2-carbonitrile (**4ac'**)**

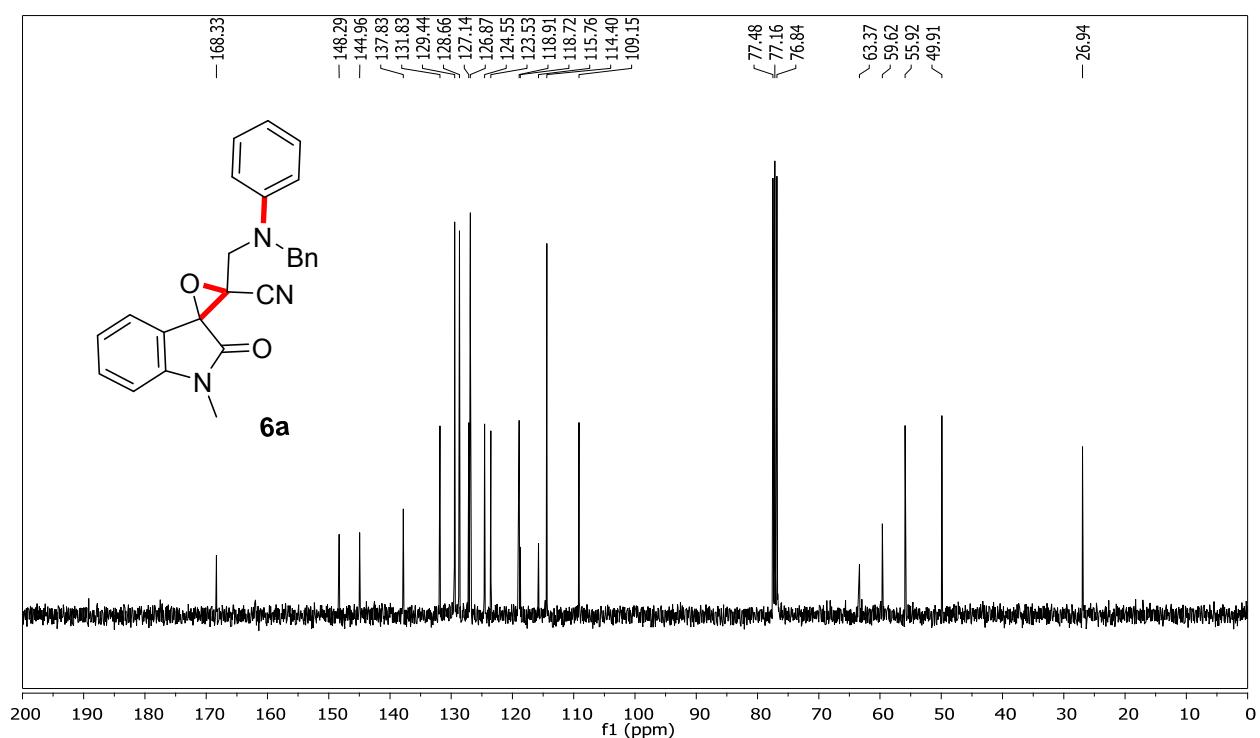
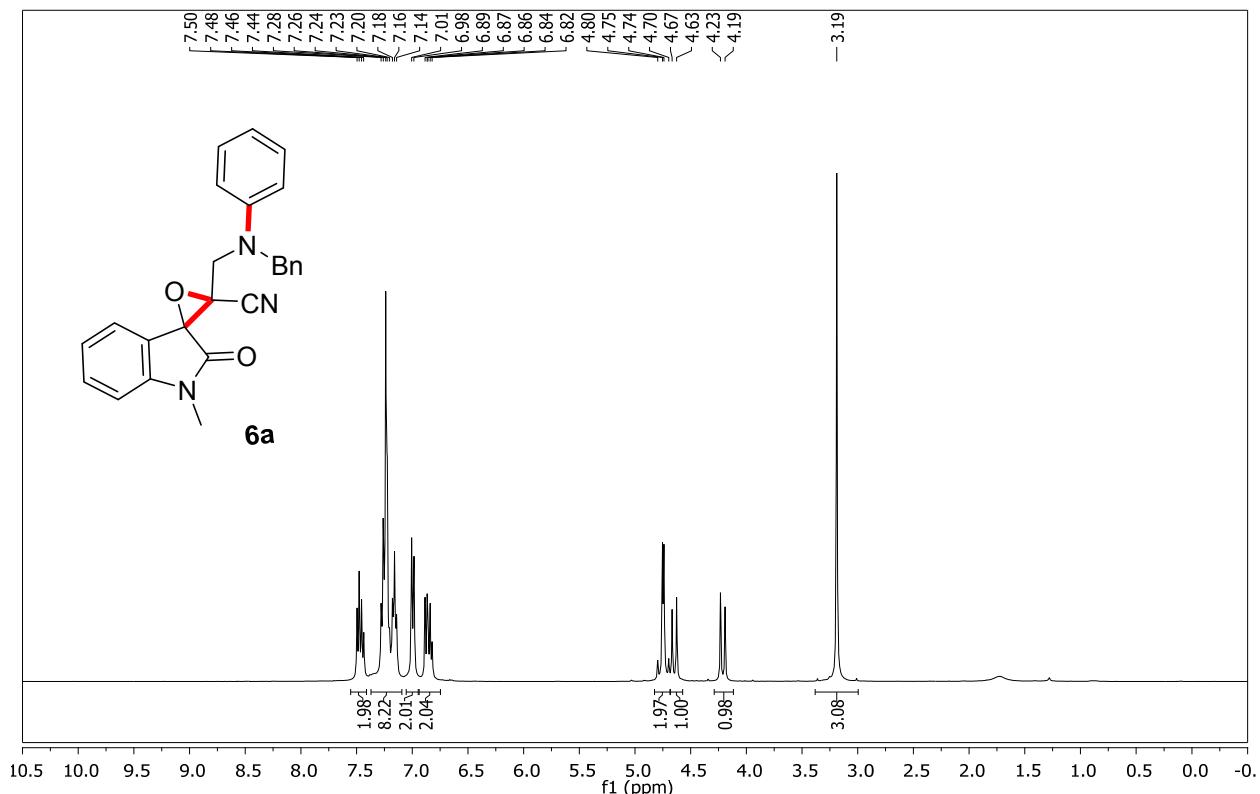


-(4-Chlorophenyl)-2-((4-fluorophenyl)(isobutyl)amino)methyl)oxirane-2-carbonitrile (4ad**) and -3-(4-Chlorophenyl)-2-((3-fluorophenyl)(isobutyl)amino)methyl)oxirane-2-carbonitrile (**4ad'**)**

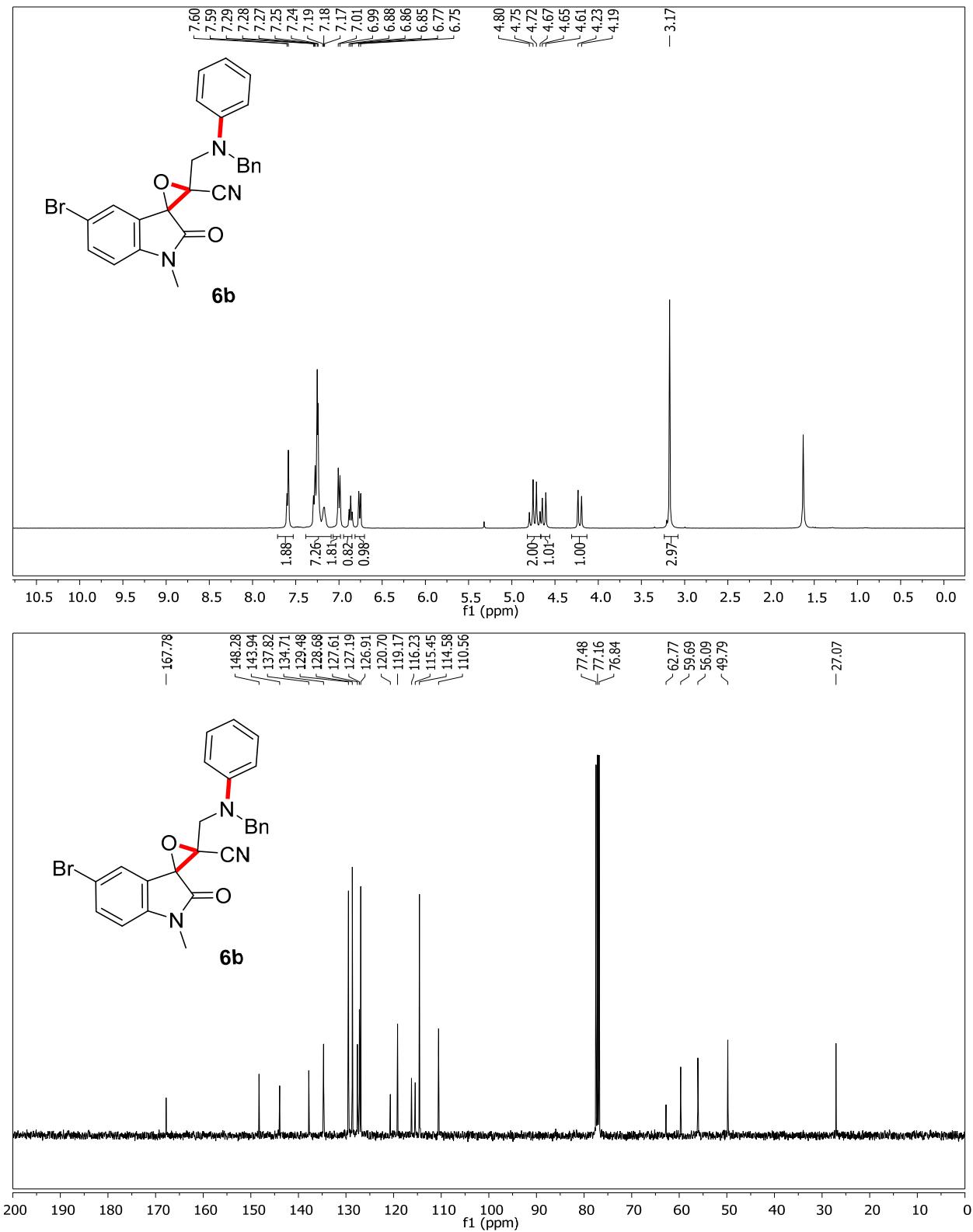


8. ^1H and ^{13}C NMR Spectra of *N*-Aryl Spiro Amino Epoxides 6

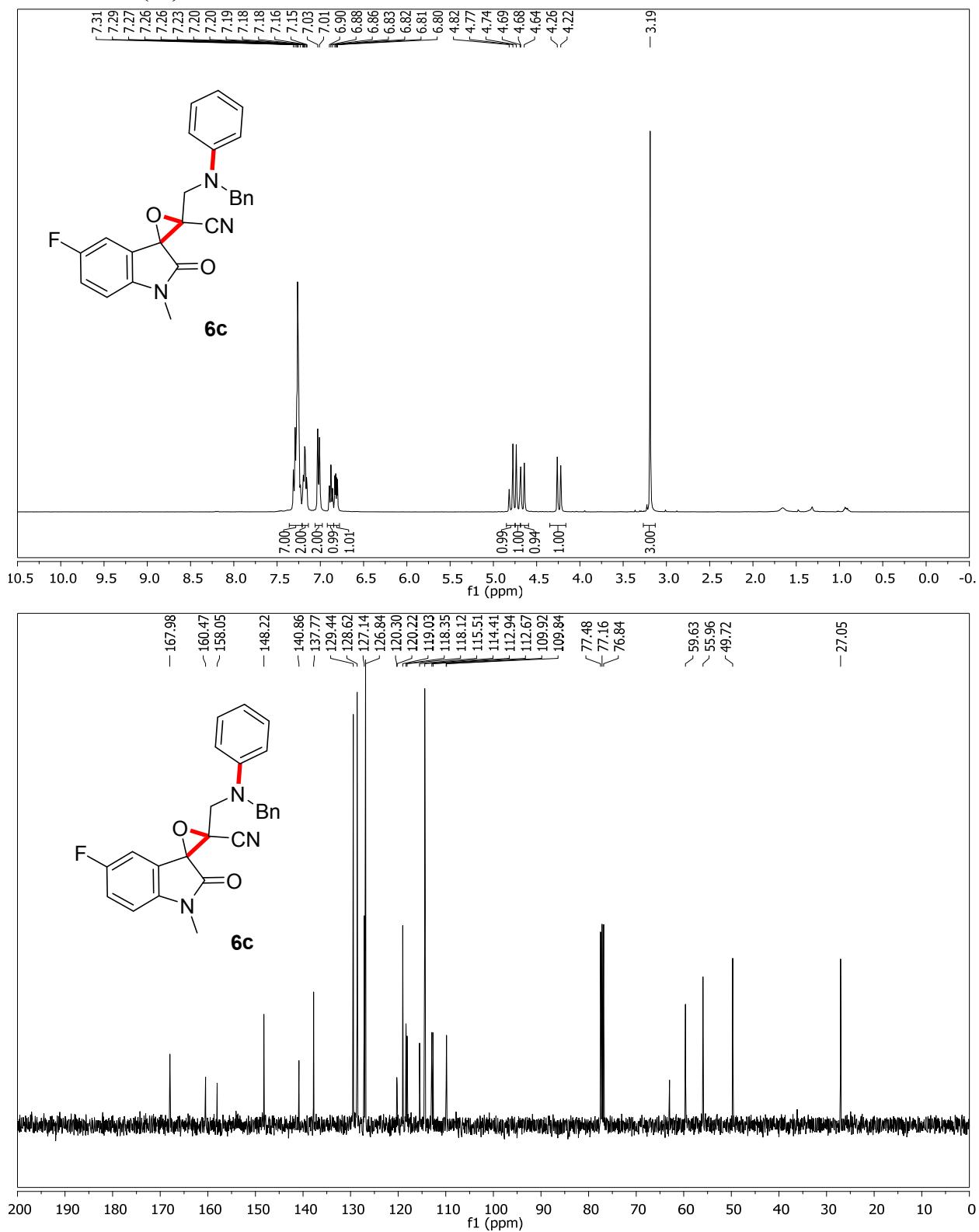
3'-(Benzyl(phenyl)amino)methyl-1-methyl-2-oxospiro[indoline-3,2'-oxirane]-3'-carbonitrile (6a)



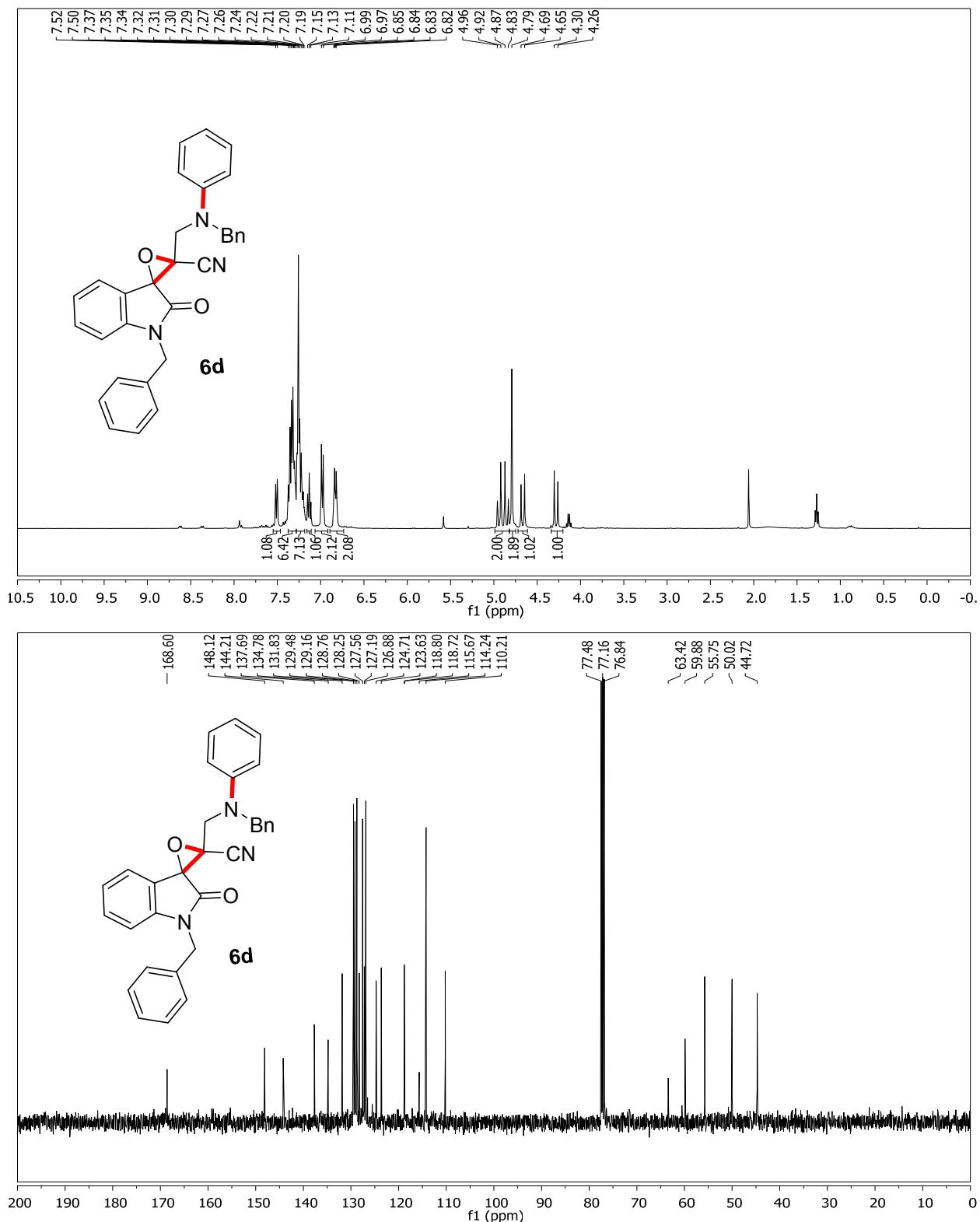
3'-(Benzyl(phenyl)amino)methyl)-5-bromo-1-methyl-2-oxospiro[indoline-3,2'-oxirane]-3'-carbonitrile (6b)



3'-(Benzyl(phenyl)amino)methyl)-5-fluoro-1-methyl-2-oxospiro[indoline-3,2'-oxirane]-3'-carbonitrile (6c)



1-Benzyl-3'-(*((benzyl(phenyl)amino)methyl)-2-oxospiro[indoline-3,2'-oxirane]-3'-carbonitrile (6d)*



**1-Allyl-3'-(*(benzyl(phenyl)amino)methyl*)-2-oxospiro[indoline-3,2'-oxirane]-3'-carbonitrile
(6e)**

