Supporting Information

Regioselective C–H Alkynylation with Haloalkynes Enabled by Nitrogen Functionality via Rh Catalysis

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A. General Information

^{1}H and ^{13}C NMR spectra were recorded on BRUKER DRX-400 spectrometer using $CDCl_3$ as solvent and TMS as an internal standard. Chemical shifts for ^{1}H NMR spectra are reported as δ in units of parts per million (ppm) downfield from $SiMe_4$ (δ 0.0) and relative to the signal of chloroform-d (δ 7.26, singlet). Multiplicities were given as: s (singlet); d (doublet); t (triplet); q (quartet); dd (doublets of doublet); dt (doublets of triplet); dq (doublets of quartet). Coupling constants are reported as a *J* value in Hz. Carbon nuclear magnetic resonance spectra (^{13}C NMR) are reported as δ in units of parts per million (ppm) downfield from $SiMe_4$ (δ 0.0) and relative to the signal of chloroform-d (δ 77.0, triplet). Gas chromatograph mass spectra were obtained with a SHIMADZU model GCMS–QP 5000 spectrometer. HRMS was carried out on a MAT 95XP (Thermo).

B. General procedure

B.1 General procedure for the synthesis of oximes from the corresponding ketones and aldehydes (Figure S1):

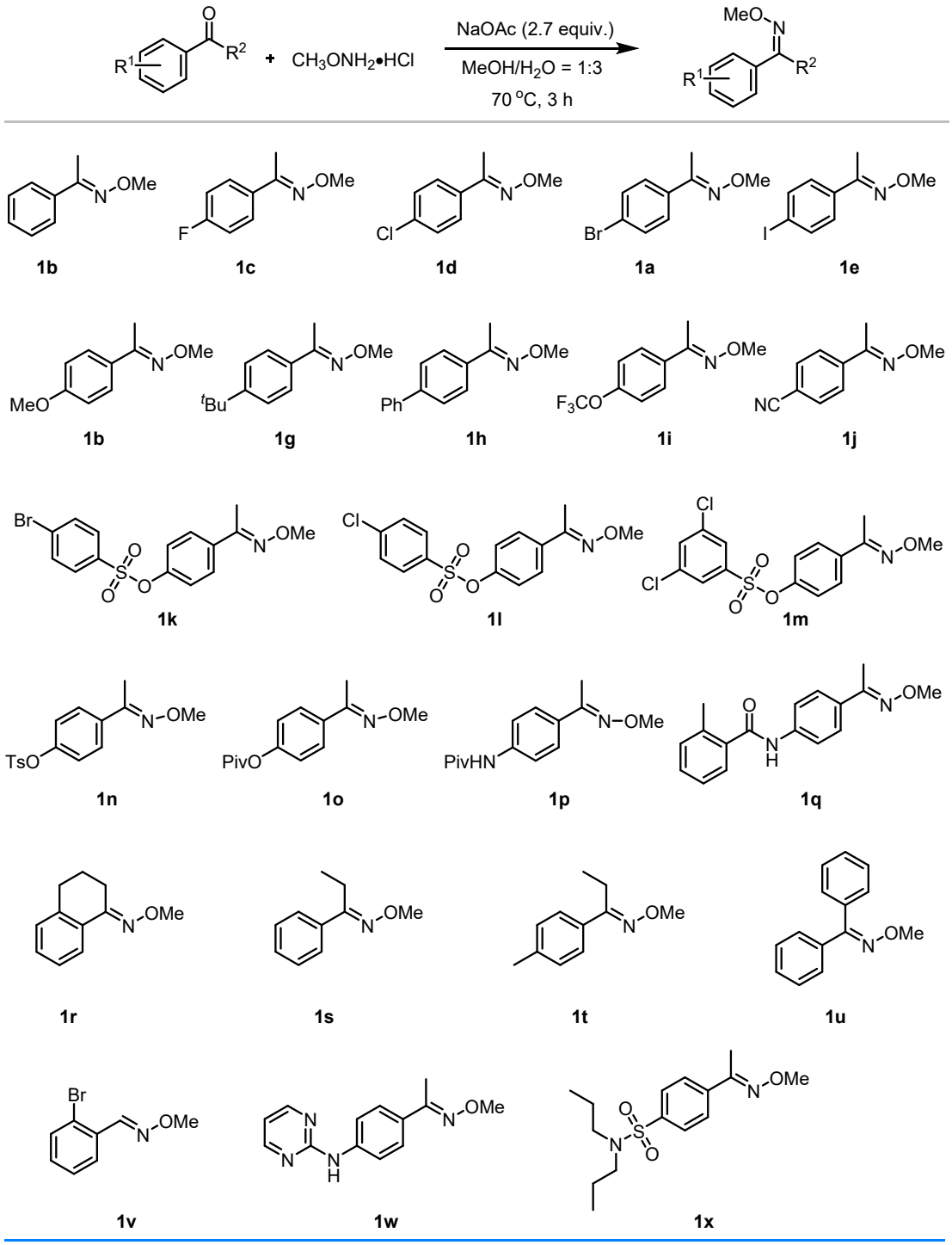


Figure S1. Synthesis of *O*-methyl oximes from the ketones and aldehydes.

General procedure for the synthesis of oximes from the corresponding ketones and aldehydes: To a 50 mL round bottom flask equipped with a stir bar was combined ketone (1.7 mmol, 1 equiv), $MeONH_2$•HCl (380 mg, 4.6 mmol, 2.7 equiv), NaOAc (610 mg, 7.5 mmol, 4.4 equiv), H_2O (15 mL), and EtOH (5 mL). The flask was equipped with a reflux condenser and heated at 70 °C for 2 h. After cooling to room temperature, the mixture was extracted with EtOAc (3 x 15 mL). The organic layers were combined, dried with Na_2SO_4, and concentrated. The desired analytically pure oxime.

B.2 General procedure for the synthesis of alkynyl bromide coupling partners (Figure S2):

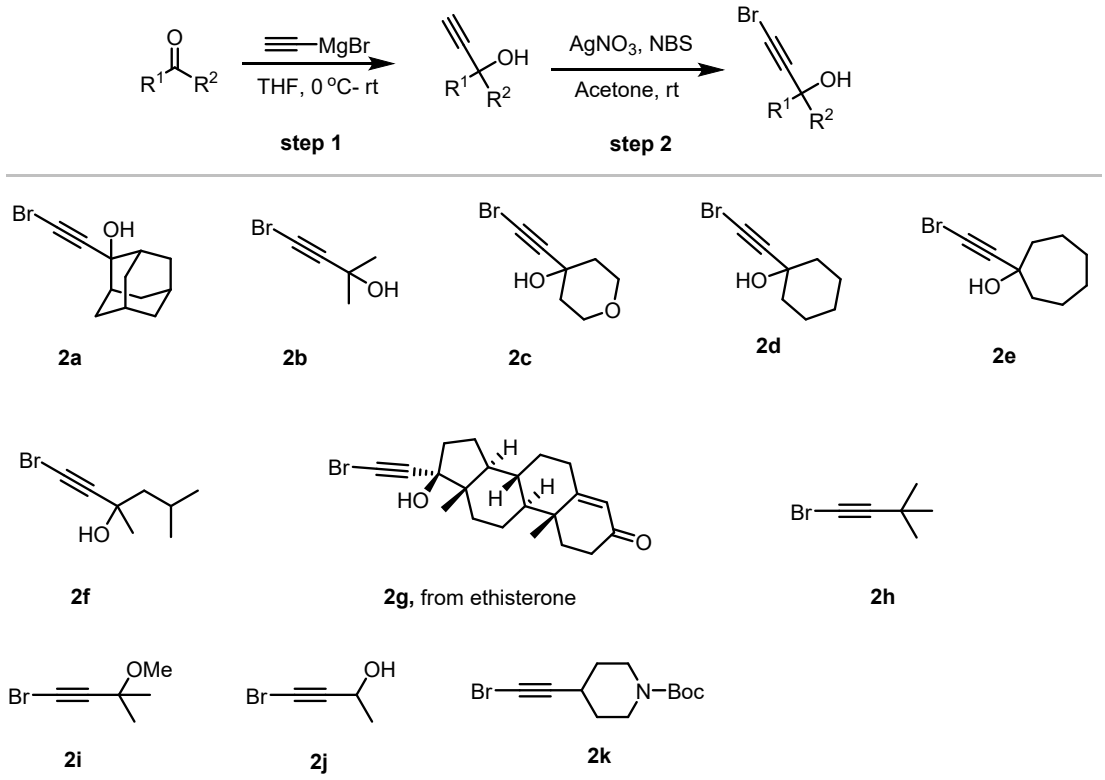


Figure S2. Synthesis of alkynyl bromides.

Step 1：Preparation of propargyl alcohols from corresponding ketones.

To a solution of ketone (5 mmol) in THF (5 mL) in ice bath, ethynylmagnesium bromide (0.5 M in THF, 15 mL, 7.5 mmol) was added slowly. Upon completion of

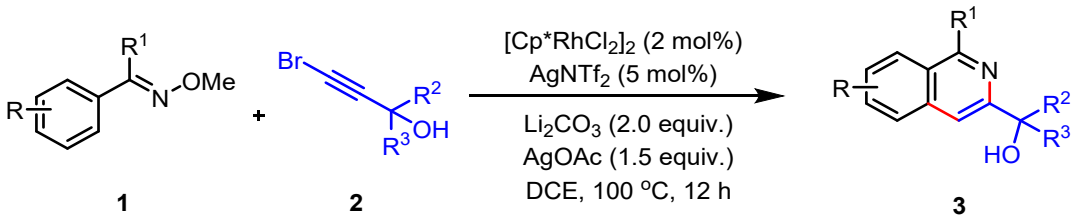
addition, ice bath was removed and the mixture was stirred for 4 h at room temperature. The reaction was quenched with 0.5 N HCl (20 mL). The aqueous layer was extracted with ethyl acetate (40 mL) for three times. Combined organic phases were dried with sodium sulfate and concentrated in vacuo. Desired propargyl alcohol was used directly without further purification.

Step 2: preparation of alkynyl bromide from terminal alkynes.

To a solution of terminal alkynes (1 mmol) in acetone (5 mL) was added N-bromo succinimide (195 mg, 1.1 mmol) and silver nitrate (8.5 mg, 0.05 mmol) at room temperature. The solution was stirred for 4 hours at room temperature in darkness. The reaction was quenched with water (5 mL) and extracted with DCM (10 mL) for three times. The combined organic layers were dried with sodium sulfate and concentrated. Crude residue was purified by column chromatography (2% DCM in hexane) to give desired alkynyl bromide.

B-3. General procedure for the Rh(III)-catalyzed C–H alkynylation and annulation of oximes with haloalkynes:

1) General procedure for C–H annulation of oximes with haloalkynes enabled by Rh(III) catalysis:

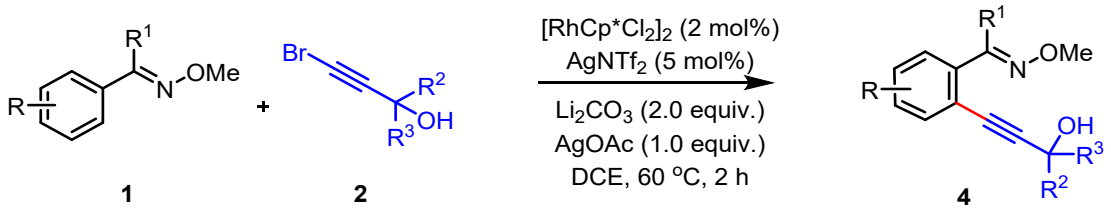


1 2 3

An oven-dried 10 mL Schlenk Tube was charged with oximes **1** (0.10 mmol), $[Cp^*RhCl_2]_2$ (2 mol%), $AgNTf_2$ (5 mol%) , AgOAc (1.5 equiv. 0.15 mmol, 25 mg), Li_2CO_3 (2.0 equiv. 0.2 mmol, 14.8 mg) in sequence, followed by addition of haloalkynes **2** (0.20 mmol) in DCE (1.0 mL) through syringe. The resulting reaction mixture was stirred at 100 ℃ for 12 h and then diluted with CH_2Cl_2 and filtered through

diatomite. Removing the solvent in vacuo and purification of the residue by silica gel column chromatography afforded the desired isoquinoline products.

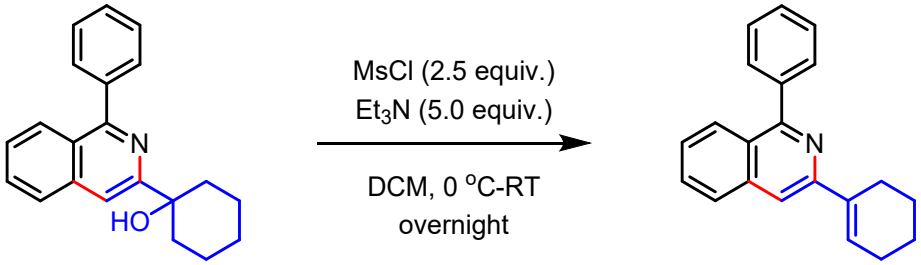
2) General procedure for C–H alkynylation of oximes enabled by haloalkynes via Rh(III) catalysis:



An oven-dried 10 mL Schlenk Tube was charged with *O*-methyl oximes **1** (0.10 mmol), $[Cp^*RhCl_2]_2$ (2 mol%), $AgNTf_2$ (5 mol%) , AgOAc (1.0 equiv. 0.10 mmol, 16.7 mg), Li_2CO_3 (1.0 equiv. 0.1 mmol, 7.5 mg) in sequence, followed by addition of haloalkynes **2** (0.20 mmol) in DCE (1.0 mL) through syringe. The resulting reaction mixture was stirred at 60 ℃ for 2 h and then diluted with CH_2Cl_2 and filtered through diatomite. Removing the solvent in vacuo and purification of the residue by silica gel column chromatography afforded the desired alkyne products.

C. Synthetic applications:

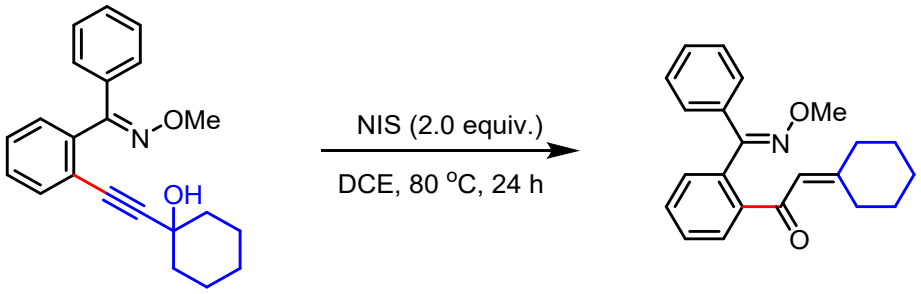
(1) General Procedure for the Synthesis of 3p-A:



3p **3p-A**

To a solution of isoquinoline alcohol **3p** (1.0 mmol, 1.0 equiv) in DCM (10 mL) was added Et_3N (0.7 mL, 5.0 mmol, 5.0 equiv). Then, methylsulfonylchloride (0.19 mL, 2.5 mmol, 2.5 equiv) in DCM (5 mL) was slowly added to the mixture under 0 ℃. The mixture was warmed to room temperature and stirred overnight. The completion of the reaction was monitored by TLC (petroleum ether/ethyl acetate = 30/1). Then, the mixture was quenched with H_2O (10 mL). The organic layer was washed with brine, dried over anhydrous Na_2SO_4, and concentrated under vacuum. The residue was purified by chromatography on silica gel column chromatography (ethyl acetate/petroleum ether = 30/1) to afford **3p-A**.

(2) General Procedure for the Synthesis of 4h-B:

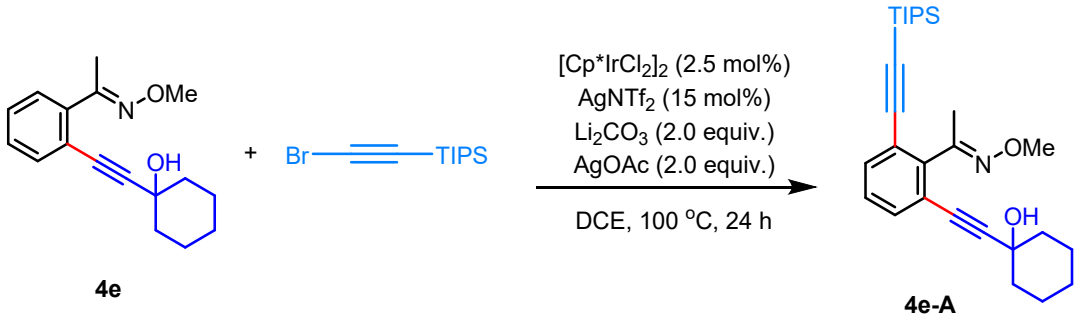


4h **4h-B**

To a solution of alcohol **4h** (0.5 mmol, 1 equiv) in dry DCE (2.0 mL) was added

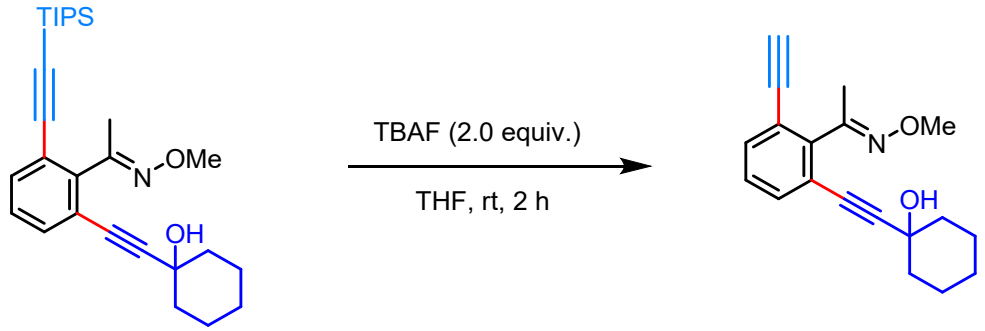
NIS (225 mg, 1.0 mmol). The resultant reaction mixture stirred at 80 ℃ for 24 h. The completion of the reaction was monitored by TLC (petroleum ether/ethyl acetate = 100/1). The reaction mixture was cooled to room temperature and extracted with ethyl acetate (3 × 15 mL). The combined organic layers were washed with a brine solution, dried (Na_2SO_4), and filtered. Evaporation under reduced pressure and purification of the crude material by silica gel column chromatography (petroleum ether/ethyl acetate = 100/1) furnished the **4h-B**.

(3) General Procedure for the Synthesis of 4e-A via further C–H alkynylation:



To a 25 mL reaction tube were added $[Cp^*IrCl_2]_2$ (4.0 mg, 0.05 mmol), $AgNTf_2$ (11.6 mg, 0.03 mmol), AgOAc (66.8 mg, 0.40 mmol), Li_2CO_3 (29.6 mg, 0.40 mmol), ketoxime **4e** (54.2 mg, 0.20 mmol). The reaction tube was then connected to a vacuum and backfilled with nitrogen three times. (Bromoethynyl)triisopropylsilane (130 mg, 0.50 mmol) and DCE (0.5 mL) were then injected into the reaction system and the mixture was stirred rapidly at 100 ℃ for 24 h. After this, the reaction was cooled to room temperature and the mixture passed through a pad of Celite. After the Celite was washed with ethyl acetate, the combined organic layers were concentrated under vacuum. The crude residue was purified by preparative thin-layer chromatography with petroleum ether/ ethyl acetate (30/1) as solvent to obtain the pure product **4e-A**.

(4) General Procedure for the Synthesis of 4e-B through desilylation:



4e-A **4e-B**

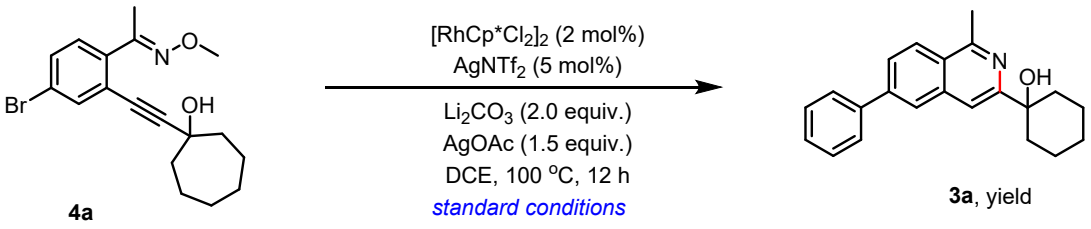
To a solution of **4e-A** (90.2 mg, 0.2 mmol) in 1.0 mL of THF was added TBAF (0.4 mmol, 1.0 M in THF). The solution was stirred at room temperature for 2 h. The mixture was diluted with 20 mL of EtOAc and was washed by HCl solution (15 mL×2, 1 M) and water (15 mL). The organic layer was dried over Na_2SO_4 and concentrated. The residue was purified by chromatography on silica gel column chromatography (ethyl acetate/petroleum ether = 5/1) to afford **4e-B.**

D. Mechanistic studies:

(1) Elucidation of the role of AgOAc for this C–H alkynylation and annulation cascade:

To elucidate the role of stoichiometric amount of AgOAc for this C–H alkynylation and annulation cascade, we first conducted control experiments for annulation with pre-synthesized alkyne **3n-Int** as the substrate. As summarized in **Figure S3**, we found that:

Good efficiency was obtained for the generation of desired isoquinoline product **3n** under standard condition (eq. 1, **Figure S3**). Control experiments revealed that low yield was obtained in the absence of Rh(III) catalyst (eq. 2, **Figure S3**), while only trace amount of desired product was observed without AgOAc (eq. 3, **Figure S3**), which highlighted the importance of Ag(I) salt for the cyclization reaction.



1) standard conditions:	**3a**, 90%
2) standard conditions without $[Cp^*RhCl_2]_2$:	**3n**, 48%
3) standard conditions without AgOAc:	**3n**, 10%
4) standard conditions using 1 equiv. AgOAc instead:	**3n**, 81%
5) standard conditions using 0.05 equiv. AgOAc instead:	**3n**, 70%
6) 1.5 equiv. LiOAc or NaOAc instead of 1.5 equiv. AgOAc:	**3n**, 30%, 42%
7) (1.5 equiv. NaOAc + 0.05 equiv. AgOAc) instead of 1.5 equiv. AgOAc:	**3n**, 90%

⇓

Optimized condition: $[RhCp^*Cl_2]_2$ (2 mol%), $AgNTf_2$ (5 mol%), NaOAc (1.5 equiv.), AgOAc (0.05 equiv.), DCE, 100 °C, 12 h. 90% yield

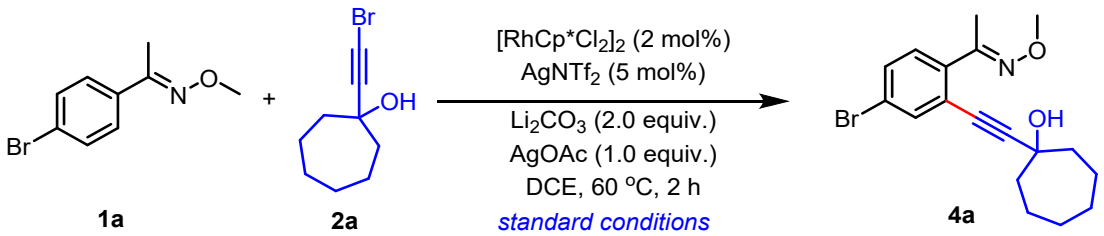
Figure S3. Elucidation of the role of AgOAc for the annulation step.

To further elucidate the role of AgOAc, it was found that when the amount of AgOAc was decreased to 1 equiv. or even catalytic amount (0.05 equiv.), this annulation reaction could also proceed smoothly (eq. 4 and 5, **Figure S3**), which indicated that catalytic amount of AgOAc salt was enough to induce the cyclization step.

Further investigation that using 1.5 equiv. LiOAc or NaOAc instead of 2.0 equiv. AgOAc resulted in the isoquinoline product **3n** with 30% and 42% yield, respectively (eq. 6, **Figure S3**). Moreover, the use of 1.5 equiv. of NaOAc in combined with 0.05 equiv. AgOAc instead of 1.5 equiv. of AgOAc, led to 90% yield of product **3n**.

These above results indicated both Rh(III) and AgOAc were responsible for the annulation step, in which only catalytic amount of AgOAc was sufficient. Moreover, acetate ion in AgOAc was also critical for this annulation step.

To verify the alkyne intermediate, it was found that the use of 1.0 equiv. AgOAc under the standard conditions at 60 ℃ for 2 hours using oxime **1a** and haloalkyne **2a**, the C–H alkynylation product **4a** was obtained in good yield. Control experiments using 1.0 equiv. NaOAc and catalytic amount of AgOAc instead of 1.0 equiv. AgOAc under this Rh(III) catalysis, low yield of alkyne product **4a** was obtained. The combination of 1.0 equiv. NaOAc and 0.5 equiv. AgOAc instead of 1.0 equiv. AgOAc gave 59% yield of alkyne **4a** (**Figure S4**). These observations indicated that both Ag(I) salt and acetate ion in the AgOAc were indispensable for this C–H alkynylation.



1a **2a** **4a**

standard conditions **4a**, 84%

1.0 equiv. NaOAc and 0.05 equiv. AgOAc instead of 1.0 equiv. AgOAc: **4a**, 35%

1.0 equiv. NaOAc and 0.5 equiv. AgOAc instead of 1.0 equiv. AgOAc: **4a**, 59%

Figure S4. Elucidation of the role of AgOAc for C–H alkynylation step.

(2) Proposed catalytic cycle for oximes enabled C–H alkynylation:

According to the reported literatures and experimental observations, a tentative mechanism for Rh-catalyzed C–H alkynylation of oximes was proposed in **Figure S5**, the rhodium dimer precatalyst presumably dissociates into the coordinatively unsaturated monomer with $AgNTf_2$ as the halide scavenge, which can exchange ligands to form an acetate-ligated species with AgOAc to give $Cp^*Rh(OAc)_2$. Further oximes coordination and followed by C–H cleavage induced by $Cp^*Rh(OAc)_2$ catalytst to give cyclometalated species **A**. For haloalkynes, the AgOAc salt facilitates the polarization of the C−Br bond to make the oxidative addition or migratory insertion, which thus afford Rh(V) species. (S. K. Mahato, N. Chatani, *ACS Catal*. **2020**, *10*, 5173 – 5178.) Subsequently, *trans* reductive elimination or C–C bond reductive elimination took place to furnish the C–H alkynylation product **4a**, with the assistance of base additive.

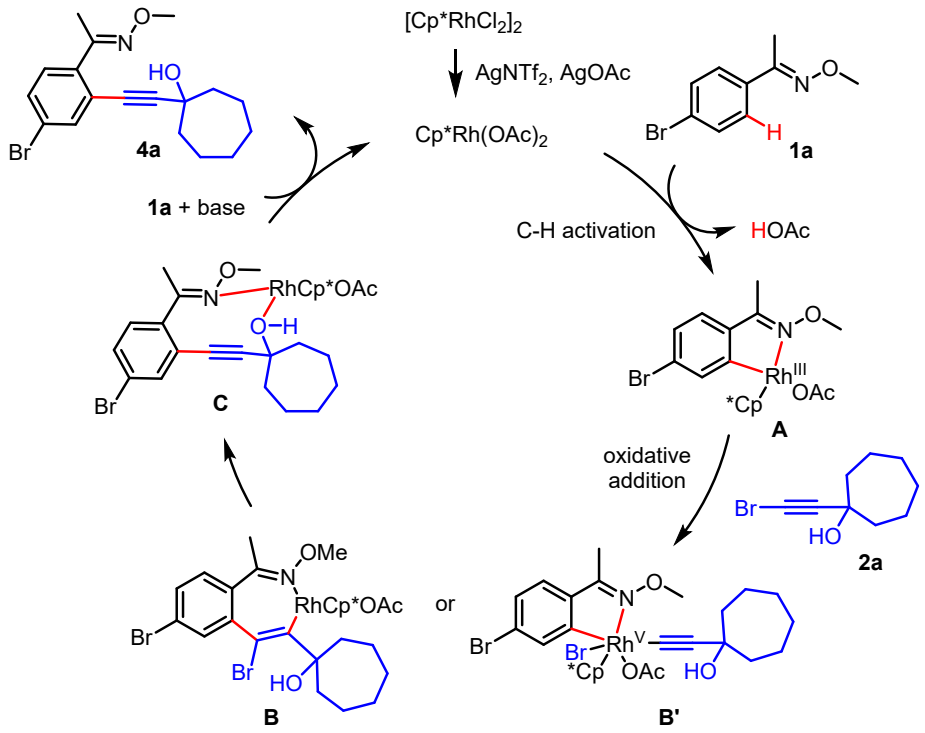


Figure S5. Proposed mechanism for Rh-catalyzed C–H alkynylation of oximes.

(3) Annulation step

Furthermore, according to the experimental observations, both Rh(III) and catalytic amount of AgOAc were essential to achieve the further annulation product **3a** from the corresponding alkyne **4e**, it was thus proposed that Lewis acid metal salts activated alkynes and coordinated to the nitrogen atom from the oximes, which thus induced the coordination and trans nucleophilic metalation to give intermediate **E**. Subsequent protodemetalation and N-O bond cleavage proceeded to release MeOH and the desired annulation product **3o** (**Figure S6**).

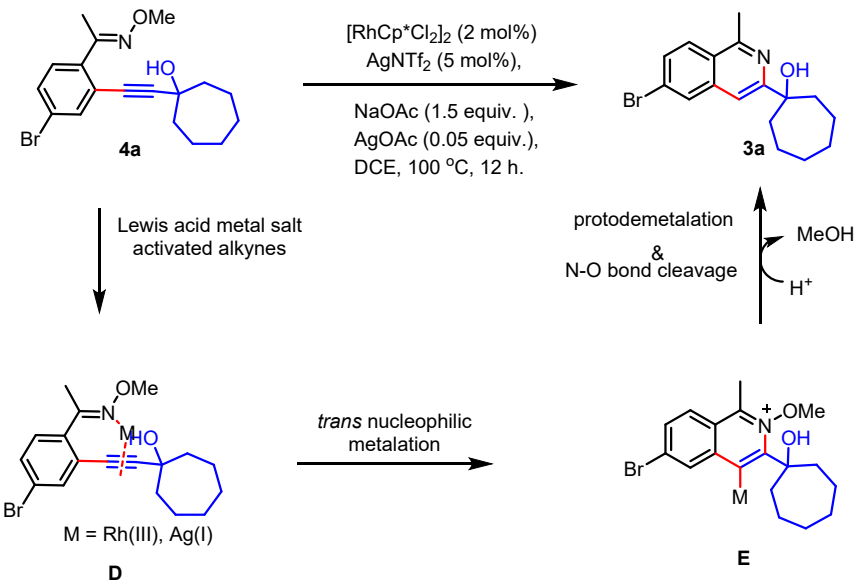


Figure S6. Proposed mechanism for metal-catalyzed C–H annulation.

In summary, for this nitrogen functionality enabled C–H alkynylation and annulation cascade, taking oxime **1a** as an example, catalytic Rh(III) and 1 equiv. AgOAc were essential for the C–H alkynylation step, in which AgOAc might activated haloalkynes via polarization of the C−Br bond to facilitate the oxidative addition to the rhodacycle intermediate. As for annulation step, both catalytic Rh(III) and catalytic amount of AgOAc were also critical, in which Rh(III) and AgOAc coordinated to the oxime functionality, and activated the alkynes via π-coordination, and thus, promoting the further annulation step.

Alternatively, for intermediate **A** that derived from C–H activation of oxime **1a**, migratory insertion of haloalkynes via 1,2-insertion or 2,1-insertion took place to give seven-membered intermediate **F** or **F'**, which underwent C–N formation or β-Br elimination followed by further annulation assisted by Rh(III) and AgOAc to give **G** or **G'**. Finally, the isoquinoline product **3a** was obtained with release of MeOH via protolysis **(Figure S7).**

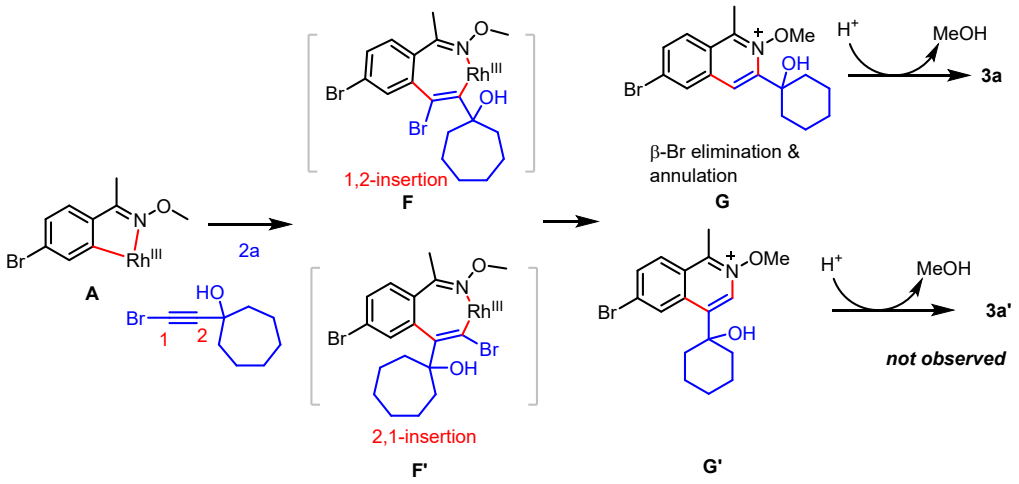
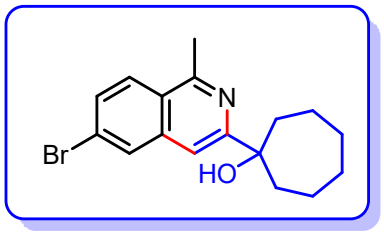


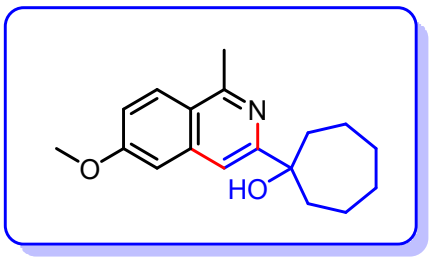
Figure S7. Proposed mechanism for migratory insertion of haloalkynes followed by C–N formation.

According to the precedent literature (*Angew. Chem. Int. Ed*. **2024**, e202414998), nitrogen functionality directed C–H annulation with haloalkynes via Rh(III) catalysis could also proceed via migratory insertion mechanism, in which both 1,2- or 2,1-insertion would be possible. Further C–N formation proceeded to give nitrogen heterocycles, alternatively, β-Br elimination followed by further annulation assisted by Rh(III) and AgOAc, could also be feasible.

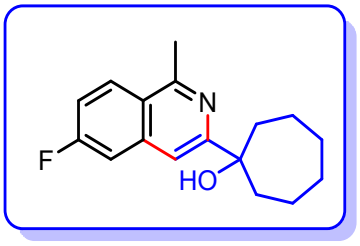
E. Analytical data for the obtained products:



1-(6-Bromo-1-methylisoquinolin-3-yl)cycloheptan-1-ol (3a), slightly yellow solid, m. p.: 147 ℃, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 7.97 (d, J = 2.0 Hz, 1H), 7.95 (d, J = 8.8 Hz, 1H), 7.63–7.60 (m, 1H), 7.44 (s, 1H), 2.93 (s, 3H), 2.04–1.99 (m, 2H), 1.96–1.91 (m, 4H), 1.78–1.75 (m, 2H), 1.69–1.66 (m, 4H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 161.0, 157.3, 138.2, 130.2, 129.7, 127.5, 125.0, 124.9, 112.2, 76.0, 42.9, 29.6, 23.0, 22.4. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{17}H_{21}BrNO$: 334.0801, found: 334.0807.

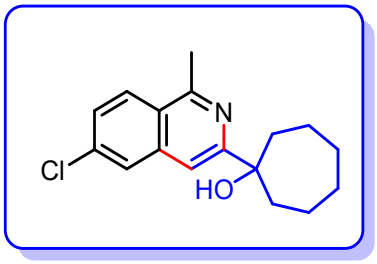


1-(6-Methoxy-1-methylisoquinolin-3-yl)cycloheptan-1-ol (3b), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 7.99 (d, J = 9.2 Hz, 1H), 7.44 (s, 1H), 7.19–7.16 (m, 1H), 7.06 (d, J = 2.4 Hz, 1H), 3.94 (s, 3H), 2.90 (s, 3H), 2.06–2.00 (m, 2H), 1.98–1.88 (m, 4H), 1.80–1.74 (m, 2H), 1.69–1.63 (m, 4H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 161.0, 160.2, 156.3, 139.2, 127.6, 122.1, 119.6, 112.7, 105.1, 75.8, 55.6, 43.0, 29.8, 29.7, 23.1. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{18}H_{24}NO_2$: 286.1802, found: 286.1808.

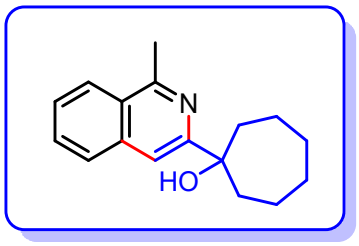


1-(6-Fluoro-1-methylisoquinolin-3-yl)cycloheptan-1-ol (3c), slightly yellow liquid,

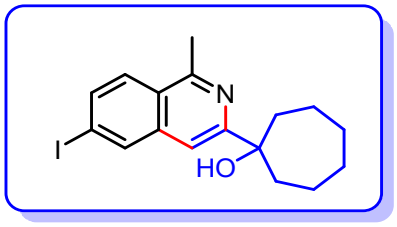
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.13–8.09 (m, 1H), 7.48 (s, 1H), 7.41–7.38 (m, 1H), 7.33–7.28 (m, 1H), 2.95 (s, 3H), 2.04–2.00 (m, 2H), 1.97–1.91 (m, 4H), 1.79–1.74 (m, 2H), 1.69–1.63 (m, 4H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 163.3 (q, $J_{C\text{-}F}$ = 250.0 Hz), 160.9, 157.0 (q, $J_{C\text{-}F}$ = 1.0 Hz), 138.7 (q, $J_{C\text{-}F}$ = 10.0 Hz), 128.8 (q, $J_{C\text{–}F}$ = 10.0 Hz), 123.7, 117.0 (q, $J_{C\text{–}F}$ = 25.0 Hz), 112.9 (q, $J_{C\text{–}F}$ = 5.0 Hz), 110.7 (q, $J_{C\text{–}F}$ = 20.0 Hz), 75.8, 42.9, 29.6, 23.0, 22.5. **^{19}F NMR (100 MHz, $CDCl_3$) δ** -112.3. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{17}H_{21}FNO$: 274.1602, found: 274.1608.



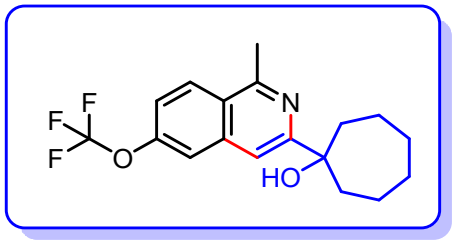
1-(6-Chloro-1-methylisoquinolin-3-yl)cycloheptan-1-ol (3d), slightly yellow solid, m. p.: 143 ℃, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.01 (d, J = 8.8 Hz, 1H), 7.77 (d, J = 1.6 Hz, 1H), 7.48–7.45 (m, 1H), 7.44 (s, 1H), 2.92 (s, 3H), 2.03–1.99 (m, 2H), 1.96–1.87 (m, 4H), 1.79–1.73 (m, 2H), 1.69–1.62 (m, 4H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 161.0, 157.2, 137.8, 136.4, 127.6, 127.5, 126.3, 124.7, 112.4, 76.0, 42.8, 29.6, 23.0, 22.4. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{17}H_{21}ClNO$: 290.1306, found: 290.1312.



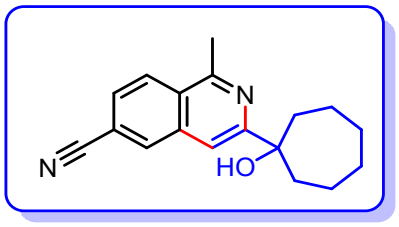
1-(1-Methylisoquinolin-3-yl)cycloheptan-1-ol (3e), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.09 (d, J = 8.4 Hz, 1H), 7.80 (d, J = 8.0 Hz, 1H), 7.67–7.63 (m, 1H), 7.56–7.54 (m, 1H), 7.53 (s, 1H), 2.95 (s, 3H), 2.08–2.02 (m, 2H), 1.99–1.93 (m, 4H), 1.80–1.75 (m, 2H), 1.70–1.64 (m, 4H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 159.7, 157.0, 136.9, 130.2, 127.6, 126.7, 126.4, 125.7, 113.1, 75.9, 43.0, 29.6, 23.0, 22.4. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{17}H_{22}NO$: 256.1696, found: 256.1702.



1-(6-Iodo-1-methylisoquinolin-3-yl)cycloheptan-1-ol (3f), slightly yellow solid, m. p.: 176 ℃, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.22 (s, 1H), 7.80 (s, 2H), 7.41 (s, 1H), 2.91 (s, 3H), 2.05–1.99 (m, 2H), 1.96–1.91 (m, 4H), 1.78–1.73 (m, 2H), 1.68–1.65 (m, 4H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 161.0, 157.3, 138.2, 130.2, 129.7, 127.5, 125.0, 124.9, 112.2, 76.0, 42.9, 29.6, 23.0, 22.4. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{17}H_{21}INO$: 382.0662, found: 382.0668.

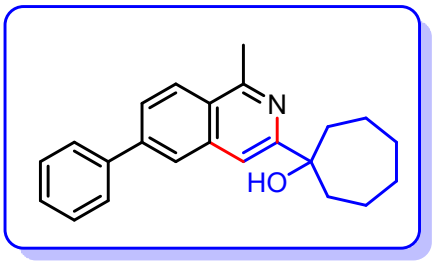


1-(1-Methyl-6-(trifluoromethoxy)isoquinolin-3-yl)cycloheptan-1-ol (3g), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.15 (d, J = 8.8 Hz, 1H), 7.61 (s, 1H), 7.53 (s, 1H), 7.38 (dd, J = 9.2, 2.4 Hz, 1H), 2.95 (s, 3H), 2.07–2.01 (m, 2H), 1.97–1.89 (m, 4H), 1.79–1.76 (m, 2H), 1.70–1.63 (m, 4H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 161.2, 157.2, 150.1, 137.9, 128.4, 124.6, 120.6 (q, J_{C-F} = 257.0 Hz), 120.5, 116.9, 113.2, 76.0, 42.9, 29.6, 23.0, 22.5. **^{19}F NMR (100 MHz, $CDCl_3$) δ** -63.0. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{18}H_{21}F_3NO_2$: 340.1519, found: 340.1525.

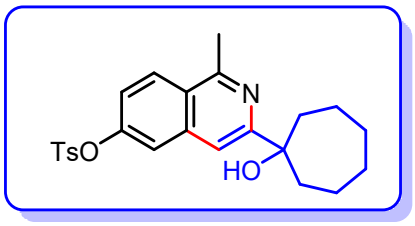


3-(1-Hydroxycycloheptyl)-1-methylisoquinoline-6-carbonitrile (3h), slightly yellow solid, m. p.: 106 ℃, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.20–8.18 (m, 2H), 7.69 (dd, J = 8.8 Hz, 1.6 Hz, 1H), 7.59 (s, 1H), 2.98 (s, 3H), 2.09–2.02 (m, 2H), 1.98–1.91

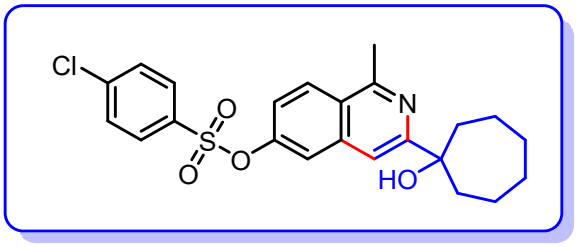
(m, 4H), 1.80–1.74 (m, 2H), 1.70–1.64 (m, 4H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 162.0, 157.9, 136.0, 133.7, 127.3, 127.2, 127.1, 118.4, 113.9, 113.0, 76.2, 42.7, 29.5, 22.9, 22.5. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{18}H_{21}N_2O$: 281.1648, found: 281.1654.



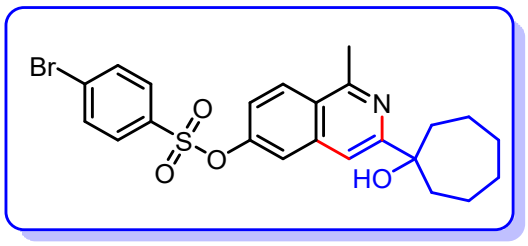
1-(1-Methyl-6-phenylisoquinolin-3-yl)cycloheptan-1-ol (3i), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.16 (d, J = 8.4 Hz, 1H), 7.99 (s, 1H), 7.80 (dd, J = 8.8 Hz, 1.2 Hz, 1H), 7.72 (d, J = 7.6 Hz, 2H), 7.59 (s, 1H), 7.53–7.49 (m, 2H), 7.43 (t, J = 7.2 Hz, 1H), 2.97 (s, 3H), 2.11–2.05 (m, 2H), 2.01–1.91 (m, 4H), 1.84–1.77 (m, 2H), 1.69–1.65 (m, 4H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 160.1, 156.9, 142.8, 140.3, 137.3, 129.1, 128.2, 127.6, 126.5, 126.3, 125.5, 125.3, 113.4, 75.9, 43.0, 29.7, 23.0, 22.4. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{23}H_{26}NO$: 332.2009, found: 332.2015.



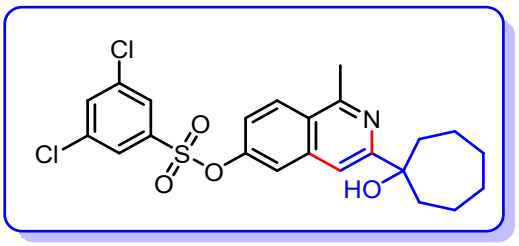
3-(1-Hydroxycycloheptyl)-1-methylisoquinolin-6-yl 4-methylbenzenesulfonate (3j), slightly yellow solid, m. p.: 167 ℃, **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.01 (d, J = 8.8 Hz, 1H), 7.75–7.73 (m, 2H), 7.50 (d, J = 4.8 Hz, 1H), 7.46 (s, 1H), 7.32 (d, J = 8.0 Hz, 2H), 7.13 (dd, J = 9.2 Hz, 2.4 Hz, 1H), 2.91 (s, 3H), 2.46 (s, 3H), 2.05–2.04 (m, 2H), 1.99–1.87 (m, 4H), 1.79–1.73 (m, 2H), 1.68–1.63 (m, 4H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 161.0, 157.2, 150.5, 145.8, 137.8, 132.5, 130.1, 128.6, 128.1, 124.8, 121.8, 119.5, 113.2, 76.0, 42.8, 29.6, 23.0, 22.5, 21.9. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{24}H_{28}NO_4S$: 426.1734, found: 426.1740.



3-(1-Hydroxycycloheptyl)-1-methylisoquinolin-6-yl 4-chlorobenzenesulfonate (3k), slightly yellow solid, m. p.: 182 ℃, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.04 (d, J = 9.2 Hz, 1H), 7.82–7.79 (m, 2H), 7.53–7.50 (m, 3H), 7.47 (s, 1H), 7.14 (dd, J = 8.8 Hz, 2.4 Hz, 1H), 2.92 (s, 3H), 2.06–1.99 (m, 2H), 1.95–1.90 (m, 4H), 1.78–1.73 (m, 2H), 1.69–1.65 (m, 4H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 161.2, 157.3, 150.2, 141.5, 137.8, 133.9, 130.0, 129.9, 128.3, 124.9, 121.6, 119.5, 113.2, 76.0, 42.8, 29.5, 23.0, 22.5. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{23}H_{25}ClNO_4S$: 446.1187 found: 446.1193.

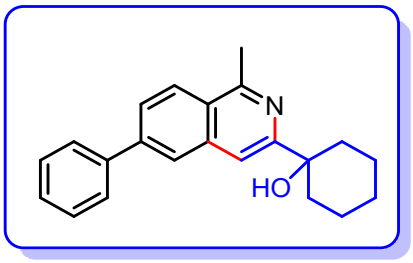


3-(1-Hydroxycycloheptyl)-1-methylisoquinolin-6-yl 4-bromobenzenesulfonate (3l), slightly yellow solid, m. p.: 178 ℃, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.04 (d, J = 8.8 Hz, 1H), 7.73–7.67 (m, 4H), 7.47 (s, 1H), 7.26 (s, 1H), 7.15–7.12 (m, 1H), 2.92 (s, 3H), 2.04–1.99 (m, 2H), 1.95–1.87 (m, 4H), 1.78–1.73 (m, 2H), 1.68–1.65 (m, 4H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 161.2, 157.3, 150.2, 137.8, 134.4, 132.9, 130.0, 128.3, 124.9, 121.6, 119.4, 113.2, 100.1, 76.0, 42.8, 29.5, 23.0, 22.5. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{23}H_{25}BrNO_4S$: 490.0682, found: 490.0688.

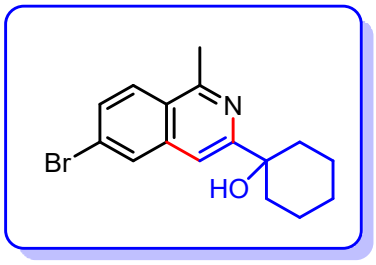


3-(1-Hydroxycycloheptyl)-1-methylisoquinolin-6-yl 3,5-dichlorobenzenesulfonate

(3m), slightly yellow solid, m. p.: 187 ℃, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.07 (d, J = 9.2 Hz, 1H), 8.00 (d, J = 2.0 Hz, 1H), 7.69–7.66 (m, 1H), 7.63–7.61 (m, 1H), 7.52 (d, J = 2.4 Hz, 1H), 7.50 (s, 1H), 7.18–7.15 (m, 1H), 2.93 (s, 3H), 2.03–2.00 (m, 2H), 1.96–1.90 (m, 4H), 1.78–1.75 (m, 2H), 1.67–1.63 (m, 4H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 161.4, 157.3, 150.0, 139.9, 137.8, 135.1, 134.4, 131.5, 130.4, 128.5, 127.5, 125.0, 121.4, 119.4, 113.2, 76.1, 42.8, 29.5, 23.0, 22.5. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{23}H_{24}Cl_2NO_4S$: 480.0798, found: 480.0804.

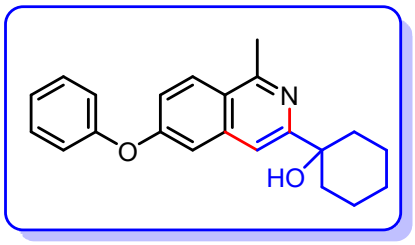


1-(1-Methyl-6-phenylisoquinolin-3-yl)cyclohexan-1-ol (3n), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.16 (d, J = 8.8 Hz, 1H), 7.98 (d, J = 1.6 Hz, 1H), 7.82-7.80 (m, 1H), 7.73–7.71 (m, 2H), 7.60 (s, 1H), 7.53–7.49 (m, 2H), 7.45–7.41 (m, 1H), 2.98 (s, 3H), 1.95–1.90 (m, 2H), 1.87–1.81 (m, 4H), 1.73–1.69 (m, 2H), 1.43–1.31 (m, 2H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 159.0, 157.0, 142.8, 140.3, 137.4, 129.1, 128.2, 127.6, 126.5, 126.4, 125.6, 125.3, 113.6, 72.5, 39.0, 25.9, 22.5, 22.4. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{22}H_{24}NO$: 318.1852, found: 318.1858.

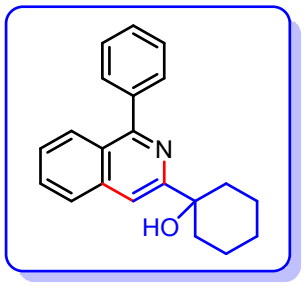


1-(6-Bromo-1-methylisoquinolin-3-yl)cyclohexan-1-ol (3o), slightly yellow solid, m. p.: 144 ℃, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 7.97–7.92 (m, 2H), 7.61 (dd, J = 8.8, 2.0 Hz, 1H), 7.44 (s, 1H), 2.92 (s, 3H), 1.91–1.84 (m, 2H), 1.84–1.74 (m, 4H), 1.71–1.67 (m, 3H), 1.58–1.50 (m, 1H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 159.8, 157.4, 138.2, 130.2, 129.7, 127.4, 124.9, 124.9, 112.4, 72.6, 39.9, 38.8, 25.8, 22.4. HRMS (ESI-TOF) m/z:

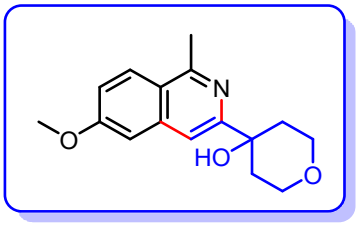
[M + H]$^+$ Calcd. for $C_{16}H_{19}BrNO$: 320.0645, found: 320.0649.



1-(1-Methyl-6-phenoxyisoquinolin-3-yl)cyclohexan-1-ol (3p), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.08 (d, J = 9.2 Hz, 1H), 7.42 (dd, J = 8.4, 7.2 Hz, 2H), 7.36 (s, 1H), 7.31 (dd, J = 9.2, 2.4 Hz, 1H), 7.26–7.20 (m, 1H), 7.13–7.10 (m, 3H), 2.93 (s, 3H), 1.94–1.86 (m, 2H), 1.84–1.74 (m, 5H), 1.71–1.62 (m, 2H), 1.39–1.28 (m, 1H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 159.2, 156.7, 155.8, 138.7, 130.2, 128.1, 124.7, 123.0, 120.3, 120.1, 112.9, 111.6, 72.4, 39.0, 25.9, 22.4, 22.4. HRMS (ESI-TOF) m/z: [M + H]$^+$ Calcd. for $C_{22}H_{24}NO_2$: 334.1802, found: 334.1808.

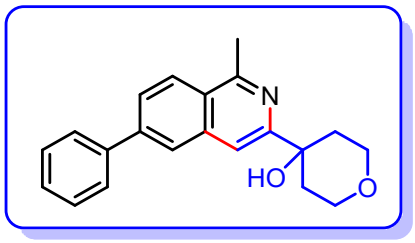


1-(1-Phenylisoquinolin-3-yl)cyclohexan-1-ol (3q), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 7.83 (d, J = 8.0 Hz, 1H), 7.79 (s, 1H), 7.63–7.54 (m, 4H), 7.53–7.49 (m, 2H), 7.48–7.43 (m, 2H), 2.51 (d, J = 12.0 Hz, 2H), 2.03–1.92 (m, 2H), 1.80–1.73 (m, 3H), 1.68–1.62 (m, 2H), 1.36–1.28 (m, 1H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 151.3, 147.9, 131.2, 130.0, 129.9, 129.4, 129.1, 128.8, 128.7, 128.1, 127.2, 125.7, 119.8, 72.9, 35.5, 26.0, 21.5. HRMS (ESI-TOF) m/z: [M + H]$^+$ Calcd. for $C_{21}H_{22}NO$: 304.1696, found: 304.1702.

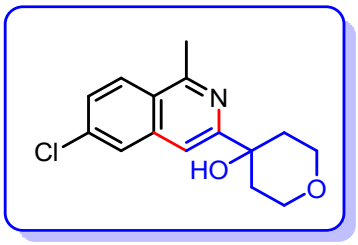


4-(6-Methoxy-1-methylisoquinolin-3-yl)tetrahydro-2*H*-pyran-4-ol (3r), slightly

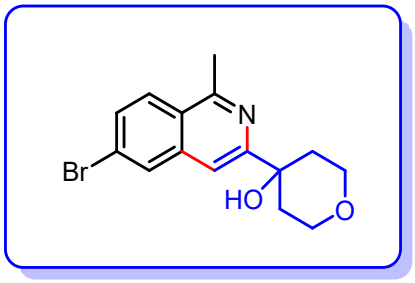
yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.00 (d, J = 9.2 Hz, 1H), 7.43 (s, 1H), 7.19 (dd, J = 9.2 Hz, 2.4 Hz, 1H), 7.07 (d, J = 2.4 Hz, 1H), 4.08–4.01 (m, 2H), 3.97–3.91 (m, 5H), 2.91 (s, 3H), 2.23–2.15 (m, 2H), 1.69–1.66 (m, 2H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 161.1, 157.2, 156.7, 139.1, 127.6, 122.3, 120.0, 113.0, 105.1, 69.9, 64.5, 55.6, 39.1, 22.2. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{16}H_{20}NO_3$: 274.1438, found: 274.1444.



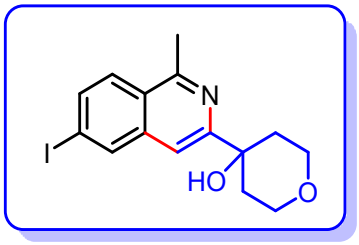
4-(1-Methyl-6-phenylisoquinolin-3-yl)tetrahydro-2H-pyran-4-ol (3s), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.18 (d, J = 8.8 Hz, 1H), 8.00 (d, J = 1.2 Hz, 1H), 7.84 (dd, J = 8.8 Hz, 1.6 Hz, 1H), 7.73–7.71 (m, 2H), 7.58 (s, 1H), 7.53–7.50 (m, 2H), 7.45–7.41 (m, 1H), 4.10–4.03 (m, 2H), 4.00–3.96 (m, 2H), 2.99 (s, 3H), 2.28–2.20 (m, 2H), 1.74–1.70 (m, 2H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 157.4, 157.1, 143.1, 140.1, 137.3, 129.2, 128.3, 127.6, 126.9, 126.4, 125.7, 125.3, 113.8, 70.0, 64.5, 39.1, 22.4. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{21}H_{22}NO_2$: 320.1645, found: 320.1651.



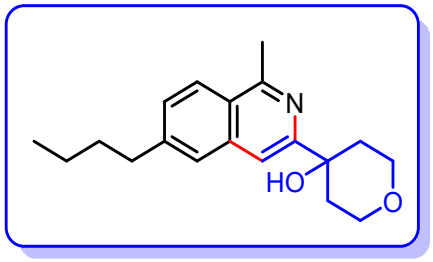
4-(6-Chloro-1-methylisoquinolin-3-yl)tetrahydro-2H-pyran-4-ol (3t), slightly yellow solid, m. p.: 143 ℃, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.04 (d, J = 8.8 Hz, 1H), 7.80 (d, J = 2.0 Hz, 1H), 7.52–7.49 (m, 1H), 7.44 (s, 1H), 4.06–4.00 (m, 2H), 3.97–3.93 (m, 2H), 2.94 (s, 3H), 2.23–2.15 (m, 2H), 1.69–1.66 (m, 2H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 158.0, 157.7, 137.8, 136.7, 128.1, 127.5, 126.4, 124.9, 112.7, 70.1, 64.4, 38.9, 22.4. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{15}H_{17}ClNO_2$: 278.0942, found: 278.0948.



4-(6-Bromo-1-methylisoquinolin-3-yl)tetrahydro-2*H*-pyran-4-ol (3u), slightly yellow solid, m. p.: 146 ℃, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 7.99 (d, J = 1.6 Hz, 1H), 7.97 (d, J = 8.8 Hz, 1H), 7.65 (dd, J = 8.8 Hz, 2.0 Hz, 1H), 7.44 (s, 1H), 4.07–4.00 (m, 2H), 3.98–3.94 (m, 2H), 2.95 (s, 3H), 2.20–2.15 (m, 2H), 1.70–1.67 (m, 2H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 158.0, 157.9, 138.1, 130.6, 129.8, 127.5, 125.3, 125.1, 112.6, 70.1, 64.4, 38.9, 22.4. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{15}H_{17}BrNO_2$: 322.0437, found: 322.0443.

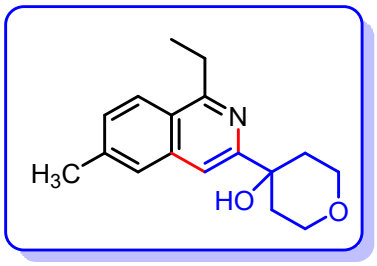


4-(6-Iodo-1-methylisoquinolin-3-yl)tetrahydro-2*H*-pyran-4-ol (3v), slightly yellow solid, m. p.: 172 ℃, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.24 (s, 1H), 7.85–7.80 (m, 2H), 7.41 (s, 1H), 4.07–4.00 (m, 2H), 3.97–3.93 (m, 2H), 2.94 (s, 3H), 2.20–2.15 (m, 2H), 1.69–1.66 (m, 2H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 158.0, 157.8, 138.3, 136.5, 135.9, 127.2, 125.4, 112.3, 97.8, 70.1, 64.4, 38.9, 22.3. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{15}H_{17}INO_2$: 370.0298, found: 370.0304.

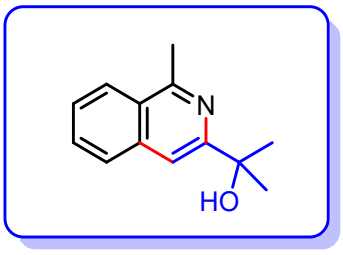


4-(6-Butyl-1-methylisoquinolin-3-yl)tetrahydro-2H-pyran-4-ol (3w), slightly

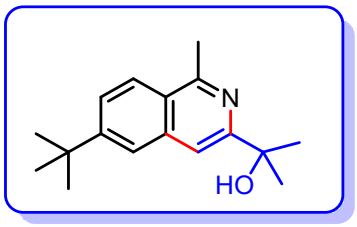
yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.02 (d, J = 8.4 Hz, 1H), 7.58 (s, 1H), 7.46 (s, 1H), 7.42 (dd, J = 8.4 Hz, 1.6 Hz, 1H), 4.08–4.02 (m, 2H), 3.98–3.94 (m, 2H), 2.94 (s, 3H), 2.82–2.78 (m, 2H), 2.24–2.17 (m, 2H), 1.73–1.66 (m, 4H), 1.42–1.37 (m, 2H), 0.97–0.93 (m, 3H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 157.1, 156.6, 145.7, 137.3, 128.7, 126.0, 125.7, 125.3, 113.2, 69.9, 64.5, 39.2, 36.0, 33.3, 22.5, 22.3, 14.1. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{19}H_{26}NO_2$: 300.1958, found: 300.1964.



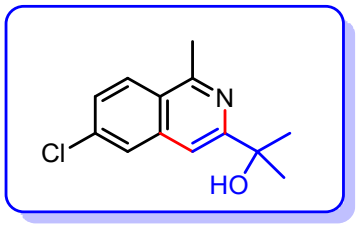
4-(1-Ethyl-6-methylisoquinolin-3-yl)tetrahydro-2*H*-pyran-4-ol (3x), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.05 (d, J = 8.4 Hz, 1H), 7.59 (s, 1H), 7.43 (s, 1H), 7.40 (d, J = 8.4 Hz, 1H), 4.08–4.02 (m, 2H), 3.98–3.94 (m, 2H), 3.34–3.29 (m, 2H), 2.54 (s, 3H), 2.25–2.17 (m, 2H), 1.70–1.67 (m, 2H), 1.46–1.43 (m, 3H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 161.2, 156.6, 140.6, 137.5, 129.3, 126.8, 125.1, 124.4, 113.0, 70.0, 64.6, 39.2, 28.0, 22.0, 13.0. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{17}H_{22}NO_2$: 272.1645, found: 272.1651.



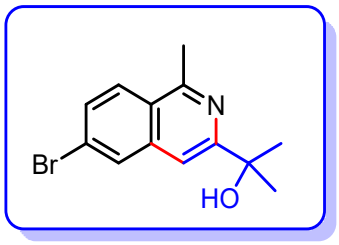
2-(1-Methylisoquinolin-3-yl)propan-2-ol (3y), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.09 (dd, J = 8.4, 1.2 Hz, 1H), 7.79 (d, J = 8.2 Hz, 1H), 7.67–7.62 (m, 1H), 7.57–7.54 (m, 1H), 7.53 (s, 1H), 2.96 (s, 3H), 1.64 (s, 6H). **^{13}C NMR (101 MHz, $CDCl_3$) δ** 158.2, 157.2, 136.8, 130.2, 127.5, 126.8, 126.4, 125.7, 112.9, 71.6, 30.9, 22.4. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{13}H_{16}NO$: 202.1226, found: 202.1226.



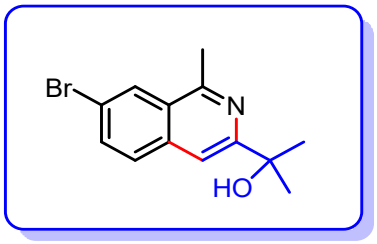
2-(6-(*tert*-Butyl)-1-methylisoquinolin-3-yl)propan-2-ol (3z), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.04 (d, J = 8.8 Hz, 1H), 7.72 (d, J = 2.0 Hz, 1H), 7.65 (dd, J = 8.8, 2.0 Hz, 1H), 7.50 (s, 1H), 2.94 (s, 3H), 1.62 (s, 6H), 1.42 (s, 9H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 158.2, 156.7, 153.4, 137.1, 125.8, 125.5, 124.8, 122.5, 113.2, 71.5, 35.3, 31.1, 31.0, 22.2. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{17}H_{24}NO$: 258.1852, found: 258.1858.



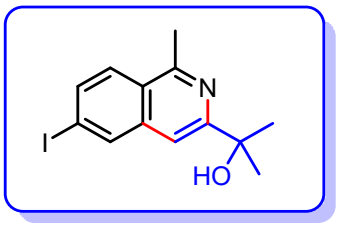
2-(6-Chloro-1-methylisoquinolin-3-yl)propan-2-ol (3za), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.04 (d, J = 8.8 Hz, 1H), 7.78 (d, J = 2.0 Hz, 1H), 7.49 (dd, J = 9.2, 2.0 Hz, 1H), 7.44 (s, 1H), 2.94 (s, 3H), 1.61 (s, 6H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 159.6, 157.5, 137.8, 136.5, 127.8, 127.5, 126.3, 124.7, 112.2, 71.7, 30.8, 22.4. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{13}H_{15}ClNO$: 236.0837, found: 236.0843.



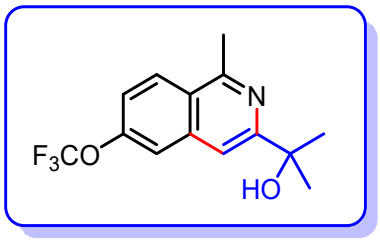
2-(6-Bromo-1-methylisoquinolin-3-yl)propan-2-ol (3zb), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 7.97 (s, 1H), 7.96 (d, J = 5.6 Hz, 1H), 7.62 (dd, J = 9.2, 2.0 Hz, 1H), 7.43 (s, 1H), 2.94 (s, 3H), 1.61 (s, 6H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 159.6, 157.6, 138.1, 130.3, 129.7, 127.5, 125.1, 124.9, 112.0, 71.7, 30.8, 22.4. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{13}H_{15}BrNO$: 280.0332, found: 280.0338.



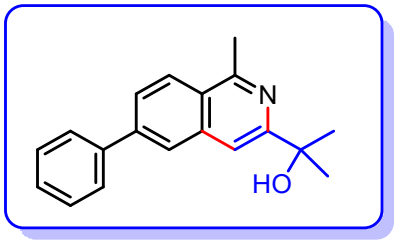
2-(7-Bromo-1-methylisoquinolin-3-yl)propan-2-ol (3zc), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.26 (s, 1H), 7.75–7.67 (m, 2H), 7.50 (s, 1H), 2.93 (s, 3H), 1.62 (s, 6H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 158.9, 156.6, 135.4, 133.7, 129.3, 128.2, 127.5, 120.4, 112.7, 71.8, 30.8, 22.4. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{13}H_{15}BrNO$: 280.0332, found: 280.0338.



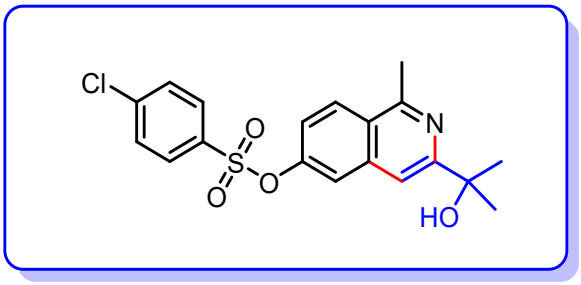
2-(6-Iodo-1-methylisoquinolin-3-yl)propan-2-ol (3zd), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.20 (s, 1H), 7.79 (s, 2H), 7.40 (s, 1H), 2.92 (s, 3H), 1.61 (s, 6H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 159.3, 157.6, 138.3, 136.3, 135.5, 127.1, 125.1, 111.7, 97.5, 76.8, 71.7, 30.8, 22.3. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{13}H_{15}INO$: 328.0193, found: 328.0199.



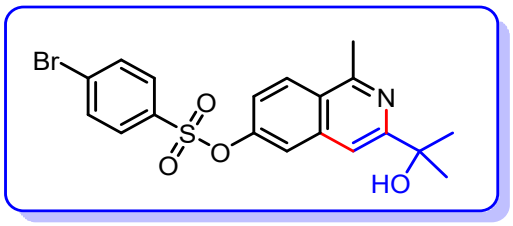
2-(1-Methyl-6-(trifluoromethyl)isoquinolin-3-yl)propan-2-ol (3ze), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.23 (d, J = 8.8 Hz, 1H), 8.12 (s, 1H), 7.72 (dd, J = 8.8, 1.8 Hz, 1H), 7.64 (s, 1H), 3.00 (s, 3H), 1.64 (s, 6H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 160.0, 157.8, 136.1, 132.1, 127.3, 127.1, 125.35 (q, J_{C-F} = 4.0 Hz), 122.5 (q, J_{C-F} = 4.0 Hz), 113.5, 71.8, 30.8, 22.5. **^{19}F NMR (376 MHz, $CDCl_3$)** δ -63.02. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{14}H_{15}F_3NO$: 270.1100, found: 270.1106.



2-(1-Methyl-6-phenylisoquinolin-3-yl)propan-2-ol (3zf), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.17 (d, J = 8.6 Hz, 1H), 7.99 (d, J = 1.8 Hz, 1H), 7.82 (dd, J = 8.7, 1.8 Hz, 1H), 7.74–7.70 (m, 2H), 7.58 (s, 1H), 7.51 (t, J = 7.5 Hz, 2H), 7.46–7.40 (m, 1H), 2.99 (s, 3H), 1.65 (s, 7H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 158.7, 157.2, 142.9, 140.3, 137.3, 129.2, 128.3, 127.6, 126.6, 126.4, 125.5, 125.2, 113.2, 71.6, 30.9, 22.4. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{19}H_{20}NO$: 278.1539, found: 278.1545.

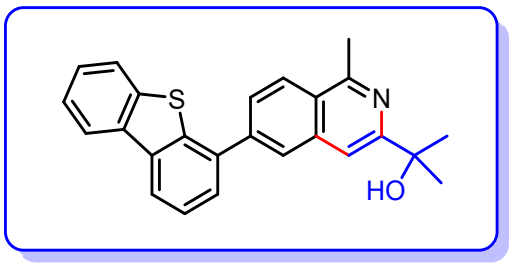


3-(2-Hydroxypropan-2-yl)-1-methylisoquinolin-6-yl 4-chlorobenzenesulfonate (3zg), slightly yellow solid, m. p.: 166 ℃, **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.06 (d, J = 9.2 Hz, 1H), 7.80 (d, J = 8.8 Hz, 2H), 7.52 (d, J = 8.8 Hz, 1H), 7.49 (d, J = 2.4 Hz, 1H), 7.47 (s, 1H), 7.16 (dd, J = 9.2, 2.4 Hz, 1H), 2.93 (s, 3H), 1.61 (s, 6H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 159.8, 157.6, 150.2, 141.5, 137.7, 133.8, 130.0, 129.9, 128.4, 124.9, 121.7, 119.4, 113.0, 71.8, 30.7, 22.5. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{19}H_{19}ClNO_4S$: 392.0718, found: 392.0724.

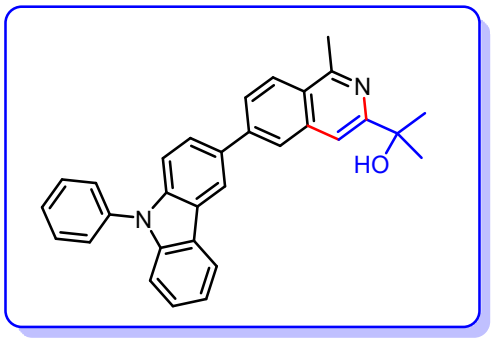


3-(2-Hydroxypropan-2-yl)-1-methylisoquinolin-6-yl 4-bromobenzenesulfonate (3zh), slightly yellow solid, m. p.: 172 ℃, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.06 (d, J

= 9.2 Hz, 1H), 7.74–7.66 (m, 4H), 7.49 (d, *J* = 2.4 Hz, 1H), 7.47 (s, 1H), 7.16 (dd, *J* = 9.2, 2.4 Hz, 1H), 2.94 (s, 3H), 1.61 (s, 6H). **^{13}C NMR (100 MHz, $CDCl_3$) *δ*** 159.8, 157.6, 150.2, 137.7, 134.4, 132.9, 130.1, 130.0, 128.4, 124.9, 121.7, 119.4, 113.0, 71.8, 30.7, 22.5. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{19}H_{19}BrNO_4S$: 436.0213, found: 436.0219.

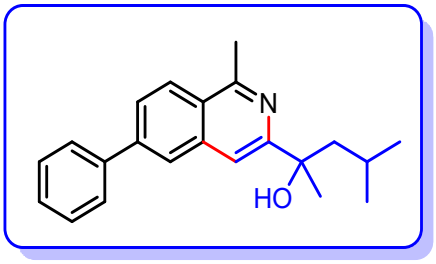


2-(6-(Dibenzo[*b*,*d*]thiophen-4-yl)-1-methylisoquinolin-3-yl)propan-2-ol (3zi), slightly yellow solid, m. p.: 148 ℃, **^{1}H NMR (400 MHz, $CDCl_3$) *δ*** 8.27-8.18 (m, 3H), 8.17 (d, *J* = 1.8 Hz, 1H), 7.92 (dd, *J* = 8.8, 2.0 Hz, 1H), 7.88–7.81 (m, 1H), 7.63–7.58 (m, 3H), 7.51–7.47 (m, 2H), 3.03 (s, 3H), 1.68 (s, 6H). **^{13}C NMR (100 MHz, $CDCl_3$) *δ*** 158.9, 157.3, 142.4, 139.5, 138.7, 137.1, 136.6, 136.0, 135.7, 127.3, 127.3, 127.1, 126.7, 126.5, 125.8, 125.4, 124.7, 122.7, 121.9, 121.2, 113.2, 71.7, 30.9, 22.4. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{25}H_{22}NOS$: 384.1417, found: 384.1423.

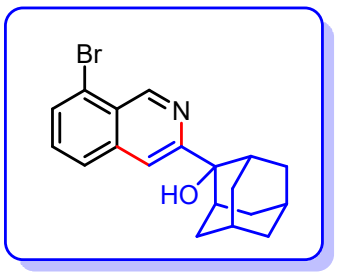


2-(1-Methyl-6-(9-phenyl-9*H*-carbazol-3-yl)isoquinolin-3-yl)propan-2-ol (3zj), slightly yellow solid, m. p..: 155 ℃, **^{1}H NMR (400 MHz, $CDCl_3$) *δ*** 8.50 (d, *J* = 1.6 Hz, 1H), 8.26–8.22 (m, 1H), 8.19 (d, *J* = 8.8 Hz, 1H), 8.11 (d, *J* = 2.0 Hz, 1H), 7.96 (dd, *J* = 8.8, 2.0 Hz, 1H), 7.78 (dd, *J* = 8.4, 2.0 Hz, 1H), 7.66–7.60 (m, 5H), 7.55–7.51 (m, 2H), 7.48–7.45 (m, 1H), 7.38–7.33 (m, 1H), 3.01 (s, 3H), 1.70 (s, 6H). **^{13}C NMR (100 MHz, $CDCl_3$) *δ*** 158.6, 157.1, 141.6, 140.9, 137.6, 137.5, 132.2, 130.1, 127.8,

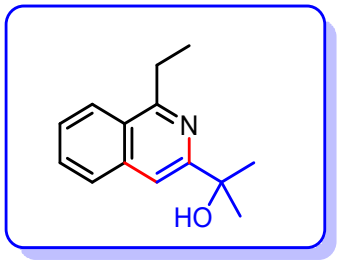
127.2, 126.9, 126.5, 126.3, 125.7, 125.2, 124.8, 124.2, 123.5, 120.5, 120.4, 119.4, 113.2, 110.4, 110.2, 71.6, 30.9, 22.3. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{31}H_{27}N_2O$: 443.2118, found: 443.2124.



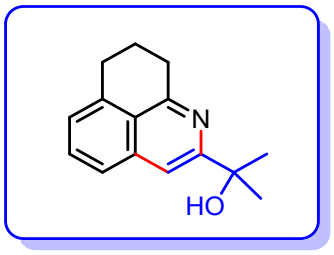
4-Methyl-2-(1-methyl-6-phenylisoquinolin-3-yl)pentan-2-ol (3zk), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$)** ***δ*** 8.17 (d, *J* = 8.4 Hz, 1H), 7.98 (d, *J* = 1.6 Hz, 1H), 7.81 (dd, *J* = 8.8 Hz, 2.0 Hz, 1H), 7.73–7.71 (m, 2H), 7.55 (s, 1H), 7.53–7.49 (m, 2H), 7.45–7.40 (m, 1H), 2.99 (s, 3H), 1.89–1.81 (m, 2H), 1.73–1.68 (m, 1H), 1.61 (s, 3H), 0.91 (d, *J* = 6.8 Hz, 3H), 0.70 (d, *J* = 6.8 Hz, 3H). **^{13}C NMR (100 MHz, $CDCl_3$)** ***δ*** 158.2, 156.7, 142.9, 140.3, 137.3, 129.2, 128.3, 127.6, 126.5, 126.4, 125.4, 125.2, 114.1, 74.0, 52.4, 30.6, 24.8, 24.6, 24.5, 22.4. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{22}H_{26}NO$: 320.2009, found: 320.2015.



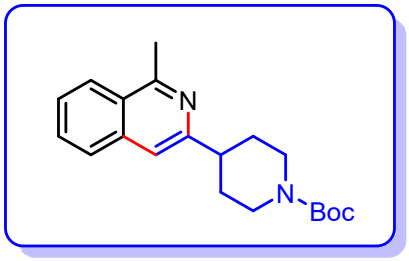
(1*R*, 3*S*, 5*r*, 7*r*)-2-(8-Bromoisoquinolin-3-yl)adamantan-2-ol (3zl), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$)** ***δ*** 9.56 (s, 1H), 7.79–7.76 (m, 2H), 7.74 (s, 1H), 7.51–7.47 (m, 1H), 2.81 (s, 2H), 2.50 (d, *J* = 11.6 Hz, 2H), 1.94 (s, 1H), 1.85–1.73 (m, 7H), 1.69 (d, *J* = 12.0 Hz, 2H). **^{13}C NMR (100 MHz, $CDCl_3$)** ***δ*** 158.5, 151.9, 138.1, 131.2, 130.8, 127.1, 125.9, 122.6, 116.3, 77.1, 37.9, 35.2, 35.1, 33.0, 27.6, 27.2. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{19}H_{21}BrNO$: 358.0801, found: 358.0807.



2-(1-Ethylisoquinolin-3-yl)propan-2-ol (3zm), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.15 (dd, J = 8.4, 1.2 Hz, 1H), 7.80 (d, J = 8.4 Hz, 1H), 7.65 (ddd, J = 8.0, 6.8, 1.2 Hz, 1H), 7.55 (ddd, J = 8.4, 6.8, 1.2 Hz, 1H), 7.51 (s, 1H), 3.34 (q, J = 7.6 Hz, 2H), 1.63 (s, 6H), 1.46 (t, J = 7.2 Hz, 3H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 161.4, 158.1, 137.2, 130.1, 127.7, 126.8, 125.7, 125.3, 112.8, 71.6, 30.9, 28.1, 13.1. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{15}H_{18}NO$: 216.1383, found: 216.1388.

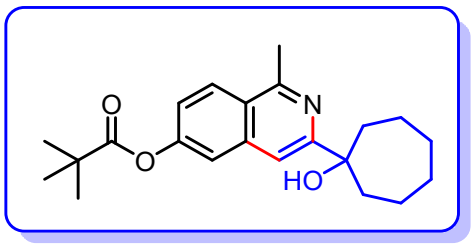


2-(8,9-Dihydro-7*H*-benzo[de]quinolin-2-yl)propan-2-ol (3zn), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 7.62 (d, J = 8.0 Hz, 1H), 7.56 (dd, J = 8.4, 6.8 Hz, 1H), 7.49 (s, 1H), 7.30 (dd, J = 6.8, 1.2 Hz, 1H), 3.26 (t, J = 6.2 Hz, 2H), 3.13 (t, J = 6.2 Hz, 2H), 2.20 (p, J = 6.0 Hz, 2H), 1.63 (s, 6H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 159.0, 158.2, 138.9, 137.1, 130.4, 124.7, 124.2, 112.7, 100.1, 71.6, 34.3, 31.0, 30.5, 23.3. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{15}H_{18}NO$: 228.1383, found: 228.1389.

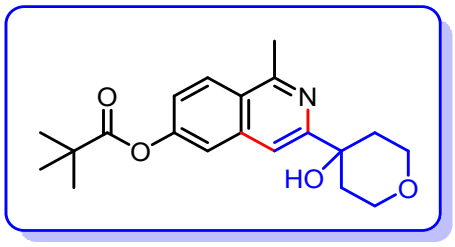


***tert*-Butyl 4-(1-methylisoquinolin-3-yl)piperidine-1-carboxylate (3zo)**, slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.08 (dd, J = 8.4, 1.2 Hz, 1H), 7.75 (dt,

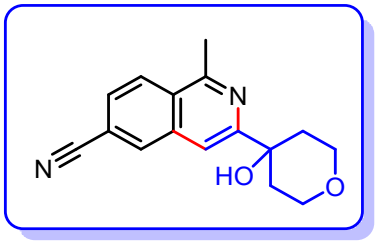
J = 8.4, 1.2 Hz, 1H), 7.65-7.61 (m, 1H), 7.55-7.51 (m, 1H), 7.30 (s, 1H), 4.28 (s, 2H), 2.94 (s, 3H), 2.93-2.86 (m, 3H), 2.07-2.03 (m, 2H), 1.79-1.70 (m, 2H), 1.49 (s, 9H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 158.2, 156.9, 155.0, 136.8, 130.1, 127.2, 126.5, 126.4, 125.7, 114.8, 79.5, 44.2, 32.1, 29.8, 28.6, 22.4. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{20}H_{27}N_2O_2$: 327.2067, found: 327.2073.



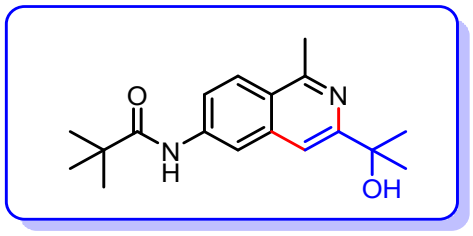
3-(1-Hydroxycycloheptyl)-1-methylisoquinolin-6-yl pivalate (3zp), slightly yellow solid, m. p.: 169 ℃, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.10 (d, J = 9.2 Hz, 1H), 7.51-7.50 (m, 2H), 7.26–7.24 (m, 1H), 2.93 (s, 3H), 2.03–1.99 (m, 2H), 1.97–1.90 (m, 4H), 1.79–1.73 (m, 2H), 1.69–1.62 (m, 4H), 1.40 (s, 9H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 177.0, 160.4, 156.9, 152.2, 138.0, 127.5, 124.4, 122.0, 118.1, 113.1, 75.9, 42.9, 39.4, 29.7, 27.2, 23.0, 22.4. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{22}H_{30}NO_3$: 356.2220, found: 356.2226.



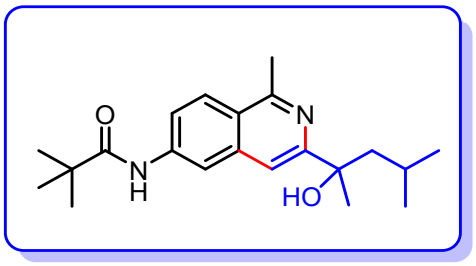
3-(4-Hydroxytetrahydro-2*H*-pyran-4-yl)-1-methylisoquinolin-6-yl pivalate (3zq), slightly yellow solid, m. p.: 162 ℃, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.12 (d, J = 9.2 Hz, 1H), 7.52 (d, J = 2.4 Hz, 1H), 7.49 (s, 1H), 7.28 (dd, J = 9.2 Hz, 2.4 Hz, 1H), 4.07–4.00 (m, 2H), 3.97–3.93 (m, 2H), 2.95 (s, 3H), 2.22–2.15 (m, 2H), 1.69–1.66 (m, 2H), 1.41 (s, 9H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 177.0, 157.4, 152.4, 138.0, 127.6, 124.6, 122.4, 118.2, 113.5, 100.1, 70.0, 64.4, 39.4, 39.0, 27.2, 22.5. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{20}H_{26}NO_4$: 344.1856, found: 344.1862.



3-(4-Hydroxytetrahydro-2*H*-pyran-4-yl)-1-methylisoquinoline-6-carbonitrile (3zr), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.23–8.21 (m, 2H), 7.72 (dd, *J* = 8.8 Hz, 1.2 Hz, 1H), 7.59 (s, 1H), 4.06–4.00 (m, 2H), 3.98–3.94 (m, 2H), 3.00 (s, 3H), 2.26–2.19 (m, 2H), 1.71–1.68 (m, 2H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 159.0, 158.4, 136.0, 133.7, 127.7, 127.3, 127.2, 118.3, 114.2, 113.4, 70.4, 64.2, 38.7, 22.5. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{16}H_{17}N_2O_2$: 269.1285, found: 269.1291.

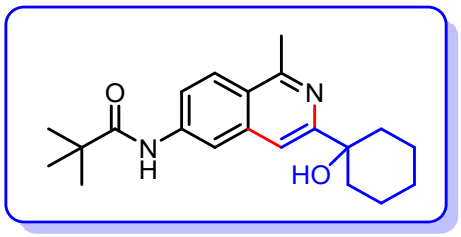


***N*-(3-(2-Hydroxypropan-2-yl)-1-methylisoquinolin-6-yl)pivalamide (3zs)**, slightly yellow solid, m. p.: 157 ℃, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.26 (d, *J* = 2.0 Hz, 1H), 8.01 (d, *J* = 9.2 Hz, 1H), 7.61 (s, 1H), 7.49–7.47 (m, 1H), 7.44 (s, 1H), 2.90 (s, 3H), 1.59 (s, 6H), 1.36 (s, 9H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 177.2, 158.8, 156.7, 139.4, 138.1, 126.9, 123.5, 120.5, 115.4, 113.0, 71.5, 40.0, 30.8, 27.7, 22.2. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{18}H_{25}N_2O_2$: 301.1911, found: 301.1917.

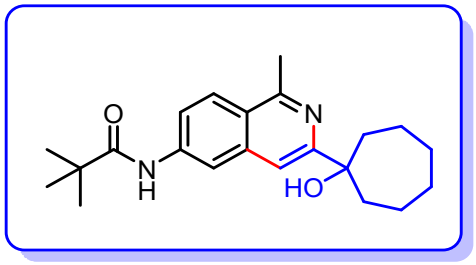


***N*-(3-(2-Hydroxy-4-methylpentan-2-yl)-1-methylisoquinolin-6-yl)pivalamide (3zt)**, slightly yellow solid, m. p.: 161 ℃,, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.28 (d, *J* = 2.0 Hz, 1H), 8.00 (d, *J* = 8.8 Hz, 1H), 7.68 (s, 1H), 7.48-7.45 (m, 1H), 7.40 (s, 1H), 2.89 (s, 3H), 1.85–1.73 (m, 2H), 1.68–1.61 (m, 1H), 1.54 (s, 3H), 1.35 (s, 9H), 0.87 (d, *J* =

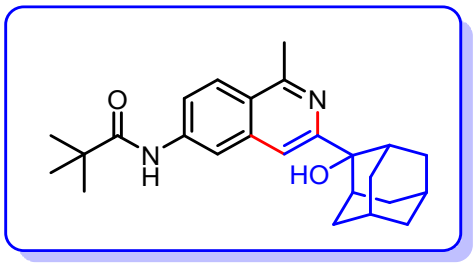
6.4 Hz, 3H), 0.64 (d, J = 6.8 Hz, 3H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 177.3, 158.1, 156.1, 139.3, 138.0, 126.8, 123.3, 120.5, 115.4, 113.9, 73.9, 52.3, 40.0, 30.5, 27.7, 24.7, 24.5, 24.4, 22.1. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{21}H_{31}N_2O_2$: 343.2380, found: 343.2386.



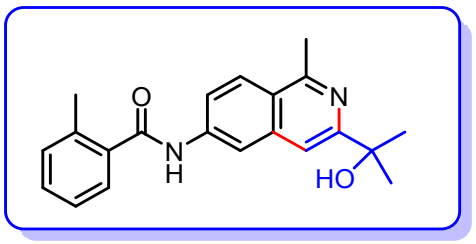
***N*-(3-(1-Hydroxycyclohexyl)-1-methylisoquinolin-6-yl)pivalamide (3zu),** slightly yellow solid, m. p.: 162 ℃, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.25 (d, J = 2.4 Hz, 1H), 7.97 (d, J = 8.8 Hz, 1H), 7.68 (s, 1H), 7.49–7.46 (m, 1H), 7.44 (s, 1H), 2.88 (s, 3H), 1.92–1.83 (m, 2H), 1.79–1.76 (m, 5H), 1.69–1.62 (m, 3H), 1.35 (s, 9H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 177.3, 158.8, 156.5, 139.4, 138.0, 126.8, 123.4, 120.5, 115.5, 113.4, 72.5, 40.0, 38.8, 27.7, 25.8, 22.4, 22.0. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{21}H_{29}N_2O_2$: 341.2224, found: 341.2230.



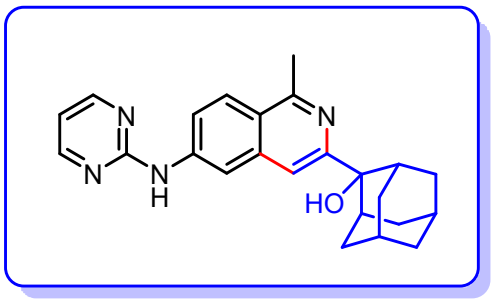
***N*-(3-(1-Hydroxycycloheptyl)-1-methylisoquinolin-6-yl)pivalamide (3zv),** slightly yellow solid, m. p.: 167 ℃, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.29 (d, J = 2.4 Hz, 1H), 7.98 (d, J = 9.2 Hz, 1H), 7.62 (s, 1H), 7.46-7.44 (m, 2H), 2.88 (s, 3H), 2.01–1.97 (m, 2H), 1.96–1.85 (m, 4H), 1.77–1.72 (m, 2H), 1.67–1.61 (m, 4H), 1.36 (s, 9H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 177.3, 160.2, 156.4, 139.3, 138.0, 126.8, 123.5, 120.3, 115.5, 113.2, 75.9, 42.9, 40.0, 29.8, 27.7, 23.1, 22.1. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{22}H_{31}N_2O_2$: 355.2380, found: 355.2386.



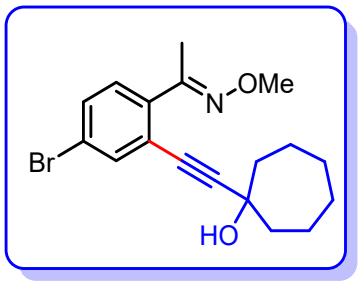
***N*-(3-((1*R*,3*S*,5*r*,7*r*)-2-Hydroxyadamantan-2-yl)-1-methylisoquinolin-6-yl)pivalamide (3zw),** slightly yellow solid, m. p.: 172 ℃,, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.22 (d, *J* = 1.6 Hz, 1H), 7.90 (d, *J* = 8.8 Hz, 1H), 7.72 (s, 1H), 7.51 (s, 1H), 7.44 (dd, *J* = 9.2 Hz, 2.0 Hz, 1H), 2.83 (s, 3H), 2.71 (s, 2H), 2.47 (d, *J* = 8.0 Hz, 2H), 1.89 (s, 1H), 1.76 (s, 1H), 1.71–1.68 (m, 8H), 1.34 (s, 9H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 177.3, 157.8, 156.4, 139.1, 137.4, 126.4, 123.4, 120.5, 115.9, 115.2, 76.9, 39.9, 38.0, 35.1, 35.0, 33.2, 27.6, 22.3. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{25}H_{33}N_2O_2$: 393.2537, found: 393.2543.



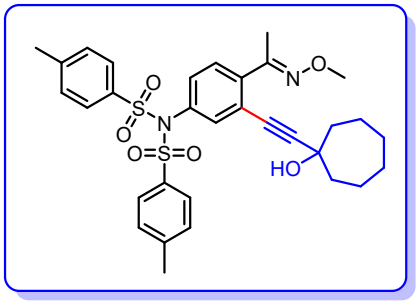
***N*-(3-(2-Hydroxypropan-2-yl)-1-methylisoquinolin-6-yl)-2-methylbenzamide (3zx),** slightly yellow solid, m. p.: 177 ℃,, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.39 (s, 1H), 8.06 (d, *J* = 9.2 Hz, 2H), 7.55 (dd, *J* = 9.2 Hz, 2.0 Hz, 1H), 7.52 (d, *J* = 6.0 Hz, 1H), 7.49 (s, 1H), 7.38 (td, *J* = 7.6, 1.6 Hz, 1H), 7.30–7.27 (m, 1H), 2.93 (s, 3H), 2.52 (s, 3H), 1.60 (s, 6H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 168.6, 158.8, 156.8, 139.5, 138.1, 136.8, 136.0, 131.5, 130.8, 127.1, 126.8, 126.1, 123.6, 120.4, 115.4, 113.1, 71.6, 30.8, 22.2, 20.0. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{21}H_{23}N_2O_2$: 335.1754, found: 335.1760.



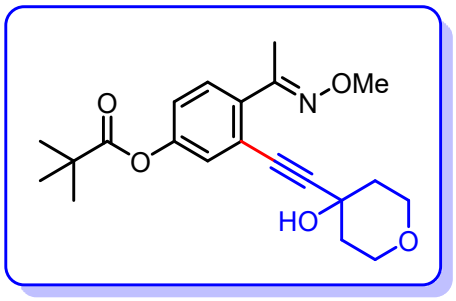
(1*R*, 3*S*, 5*r*, 7*r*)-2-(1-methyl-6-(pyrimidin-2-ylamino)isoquinolin-3-yl)adamantan-2-ol (3zy), slightly yellow solid, m. p.: 198 ℃,, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.50 (d, J = 4.8 Hz, 2H), 8.29 (d, J = 2.0 Hz, 1H), 7.94 (d, J = 8.8 Hz, 1H), 7.82 (s, 1H), 7.56 (s, 1H), 7.52–7.49 (m, 1H), 6.81 (t, J = 4.8 Hz, 1H), 2.83 (s, 3H), 2.77 (s, 2H), 2.51 (d, J = 12.4 Hz, 2H), 1.92 (s, 1H), 1.78–1.71 (m, 9H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 171.3, 159.9, 158.1, 157.7, 156.5, 140.5, 137.9, 126.4, 122.7, 120.6, 115.0, 113.5, 113.4, 77.0, 38.1, 35.2, 35.2, 33.2, 27.7, 27.4, 22.4. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{25}H_{28}N_3O$: 386.2227, found: 386.2233.



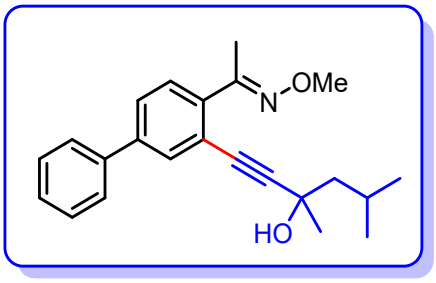
(*E*)-1-(4-Bromo-2-((1-hydroxycycloheptyl)ethynyl)phenyl)ethan-1-one *O*-methyl oxime (4a), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 7.60 (d, J = 2.0 Hz, 1H), 7.43 (dd, J = 8.4, 2.0 Hz, 1H), 7.21 (d, J = 8.4 Hz, 1H), 3.98 (s, 3H), 2.24 (s, 3H), 2.14-2.04 (m, 3H), 1.96–1.87 (m, 2H), 1.75–1.67 (m, 3H), 1.64–1.52 (m, 4H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 155.9, 139.0, 135.8, 131.6, 129.9, 123.4, 122.3, 99.7, 80.9, 72.4, 62.1, 43.0, 28.2, 22.3, 16.1. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{18}H_{23}BrNO_2$: 364.0907, found: 364.0913.



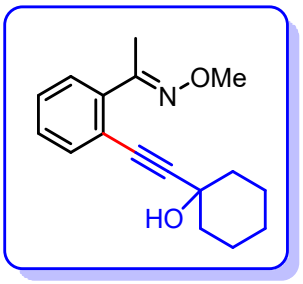
(*E*)-*N*-(3-((1-Hydroxycycloheptyl)ethynyl)-4-(1-(methoxyimino)ethyl)phenyl)-4-methyl-*N*-tosylbenzenesulfonamide (4b), slightly yellow solid, m. p.: 132 ℃, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 7.82 (d, *J* = 8.4 Hz, 4H), 7.35–7.30 (m, 5H), 7.13 (d, *J* = 2.0 Hz, 1H), 6.94 (dd, *J* = 8.4 Hz, 2.0 Hz, 1H), 4.00 (s, 3H), 2.47 (s, 6H), 2.27 (s, 3H), 2.09–2.04 (m, 4H), 1.93–1.87 (m, 2H), 1.71–1.66 (m, 2H), 1.62–1.59 (m, 4H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 156.0, 145.3, 141.7, 136.6, 136.1, 134.6, 131.5, 129.8, 129.4, 128.8, 122.8, 99.7, 80.9, 72.3, 62.1, 43.0, 28.2, 22.3, 21.9, 16.1. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{32}H_{37}N_2O_6S_2$: 609.2088, found: 609.2094.



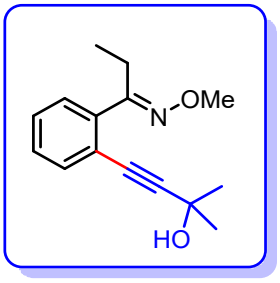
(*E*)-3-((4-Hydroxytetrahydro-2*H*-pyran-4-yl)ethynyl)-4-(1-(methoxyimino)ethyl) phenyl pivalate (4c), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 7.35 (d, *J* = 8.4 Hz, 1H), 7.18 (d, *J* = 2.4 Hz, 1H), 7.04 (dd, *J* = 8.4 Hz, 2.4 Hz, 1H), 3.98 (s, 3H), 3.95–3.90 (m, 2H), 3.71–3.65 (m, 2H), 2.24 (s, 3H), 2.02–1.98 (m, 2H), 1.90–1.83 (m, 2H), 1.35 (s, 9H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 176.9, 155.9, 151.0, 137.7, 129.7, 126.2, 122.3, 122.1, 96.1, 83.0, 66.4, 64.9, 62.0, 39.9, 39.3, 27.2, 16.3. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{21}H_{28}NO_5$: 374.1962, found: 374.1968.



(*E*)-1-(3-(3-Hydroxy-3,5-dimethylhex-1-yn-1-yl)-[1,1'-biphenyl]-4-yl)ethan-1-one *O*-methyl oxime (4d), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 7.67 (d, J = 2.0 Hz, 1H), 7.58-7.56 (m, 2H), 7.53 (dd, J = 8.0 Hz, 2.0 Hz, 1H), 7.46–7.41 (m, 3H), 7.39–7.35 (m, 1H), 4.01 (s, 3H), 2.30 (s, 3H), 2.06–1.98 (m, 1H), 1.69 (d, J = 6.0 Hz, 2H), 1.59 (s, 3H), 1.05 (t, J = 6.8 Hz, 6H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 156.5, 141.6, 139.9, 138.9, 131.8, 129.0, 129.0, 128.0, 127.2, 127.2, 121.8, 97.7, 82.4, 68.8, 62.0, 51.9, 31.0, 25.4, 24.4, 24.2, 16.2. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{23}H_{28}NO_2$: 350.2115, found: 350.2121.

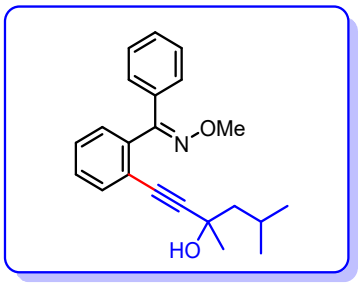


(*E*)-1-(2-((1-Hydroxycyclohexyl)ethynyl)phenyl)ethan-1-one *O*-methyl oxime (4e), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 7.49–7.42 (m, 1H), 7.36–7.25 (m, 3H), 3.98 (s, 3H), 2.26 (s, 3H), 2.01–1.96 (m, 2H), 1.77–1.69 (m, 2H), 1.66–1.53 (m, 6H). **^{13}C NMR (101 MHz, $CDCl_3$) δ** 156.9, 140.2, 133.2, 128.5 (2C), 128.4, 121.5, 97.2, 82.9, 69.2, 61.9, 40.0, 25.3, 23.4, 16.3. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{17}H_{22}NO_2$: 272.1645, found: 272.1649.

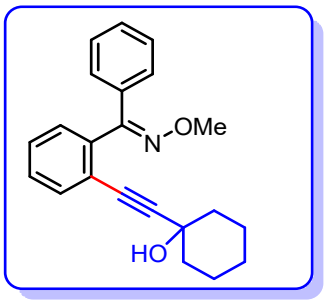


(*E*)-1-(2-(3-Hydroxy-3-methylbut-1-yn-1-yl)phenyl)propan-1-one *O*-methyl oxime

(4f), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 7.46–7.43 (m, 1H), 7.31–7.25 (m, 3H), 3.96 (s, 3H), 2.80 (d, J = 7.6 Hz, 2H), 1.59 (s, 6H), 1.01 (t, J = 7.6 Hz, 3H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 161.9, 139.1, 132.8, 129.0, 128.4, 121.7, 97.6, 80.9, 61.9, 31.4, 22.7, 10.4. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{15}H_{20}NO_2$: 246.1489, found: 246.1493.

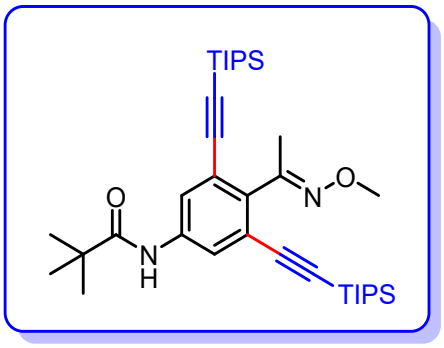


(*E*)-(2-(3-Hydroxy-3,5-dimethylhex-1-yn-1-yl)phenyl)(phenyl)methanone *O*-methyl oxime (4g), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 7.56–7.54 (m, 2H), 7.52–7.49 (m, 1H), 7.43–7.40 (m, 1H), 7.39–7.34 (m, 5H), 4.03 (s, 3H), 1.80–1.73 (m, 1H), 1.43 (dd, J = 6.0 Hz, 2.4 Hz, 2H), 1.28 (s, 3H), 0.92 (dd, J = 6.8 Hz, 4.0 Hz, 6H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 156.0, 139.1, 133.5, 133.2, 130.5, 130.4, 129.4, 128.9, 128.4, 128.0, 123.1, 98.1, 82.1, 68.3, 62.6, 51.5, 30.5, 25.1, 24.3, 24.2. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{22}H_{26}NO_2$: 336.1958, found: 336.1961.

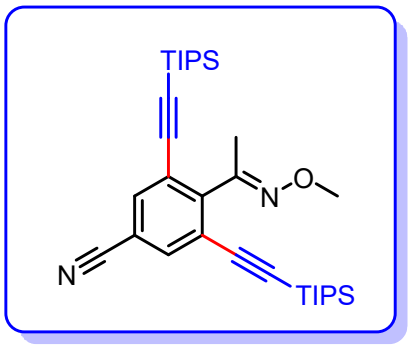


(*E*)-(2-((1-Hydroxycyclohexyl)ethynyl)phenyl)(phenyl)methanone *O*-methyl oxime (4h), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 7.57–7.55 (m, 2H), 7.50–7.44 (m, 2H), 7.39–7.33 (m, 5H), 4.03 (s, 3H), 1.75–1.66 (m, 2H), 1.61–1.54 (m, 2H), 1.47–1.36 (m, 5H), 1.21–1.24 (m, 1H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 155.9, 139.0, 133.4, 130.4, 130.3, 129.3, 128.8, 128.3, 127.9, 123.0, 97.5, 82.6, 68.8, 62.5, 39.6, 25.2, 23.3. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{22}H_{24}NO_2$: 334.1802,

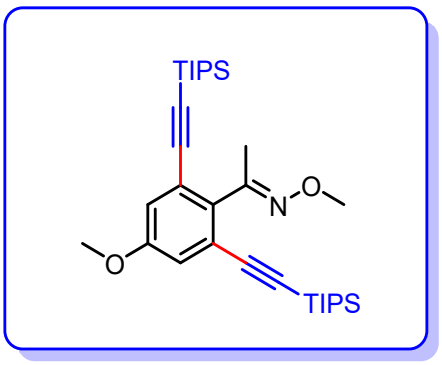
found: 334.1808.



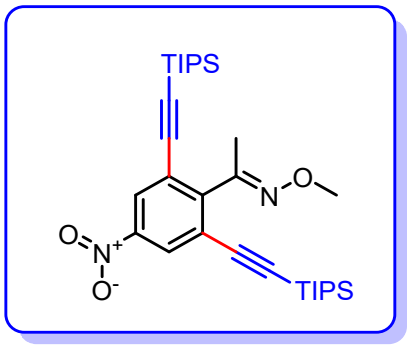
(*E*)-*N*-(4-(1-(Methoxyimino)ethyl)-3,5-bis((triisopropylsilyl)ethynyl)phenyl) pivalamide (4j), ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.66 (s, 2H), 7.31 (s, 1H), 3.89 (s, 3H), 2.13 (s, 3H), 1.30 (s, 9H), 1.09 (s, 42H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 176.9, 154.7, 139.3, 137.8, 123.8, 123.5, 103.8, 95.3, 61.5, 39.8, 27.7, 18.7, 16.1, 11.4. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{36}H_{61}N_2O_2Si_2$: 609.4266, found: 609.4260.



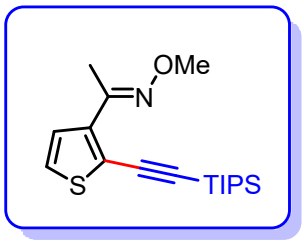
(*E*)-4-(1-(Methoxyimino)ethyl)-3,5-bis((triisopropylsilyl)ethynyl)benzonitrile (4k), ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.68 (s, 2H), 3.91 (s, 3H), 2.16 (s, 3H), 1.10 (s, 42H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 153.8, 135.2, 130.0, 124.9, 117.2, 112.8, 101.8, 98.7, 61.9, 18.7, 15.6, 11.3. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{33}H_{51}N_2OSi_2$: 547.3534, found: 547.3540.



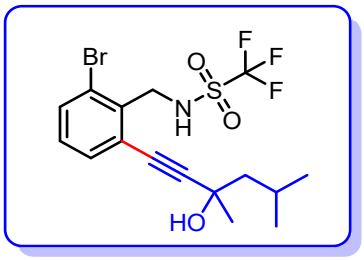
(*E*)-1-(4-Methoxy-2,6-bis((triisopropylsilyl)ethynyl)phenyl)ethan-1-one *O*-methyl oxime (4l), ^{1}H NMR (400 MHz, $CDCl_3$) δ 6.97 (s, 2H), 3.89 (s, 3H), 3.80 (s, 3H), 2.15 (s, 3H), 1.10 (s, 42H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 158.8, 154.9, 136.4, 124.2, 118.3, 104.2, 94.8, 61.5, 55.8, 18.7, 16.3, 11.4. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{32}H_{54}NO_2Si_2$: 540.3688, found: 540.3682.



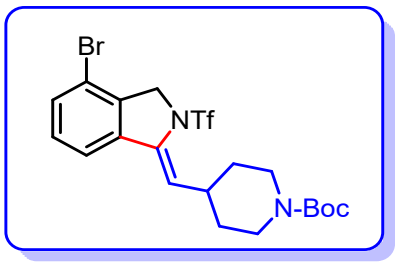
(*E*)-1-(4-Nitro-2,6-bis((triisopropylsilyl)ethynyl)phenyl)ethan-1-one *O*-methyl oxime (4m), ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.23 (s, 2H), 3.92 (s, 3H), 2.18 (s, 3H), 1.12 (s, 42H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 153.6, 148.6, 147.3, 126.8, 125.1, 101.9, 98.9, 61.9, 18.7, 15.6, 11.3. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{31}H_{51}N_2O_3Si_2$: 555.3433, found: 555.3439.



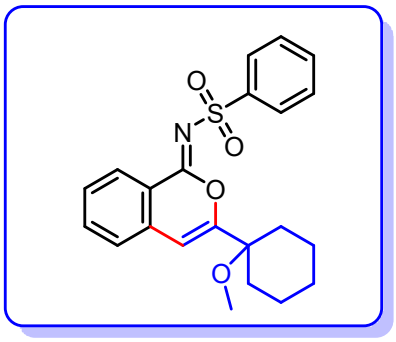
(*E*)-1-(2-((Triisopropylsilyl)ethynyl)thiophen-3-yl)ethan-1-one *O*-methyl oxime (4n), ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.11 (d, J = 5.6 Hz, 1H), 7.04 (d, J = 5.2 Hz, 1H), 3.97 (s, 3H), 2.49 (s, 3H), 1.12 (s, 21H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 151.8, 141.7, 132.7, 125.1, 121.0, 101.9, 96.3, 62.3, 18.8, 13.9, 11.5. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{18}H_{30}NOSSi$: 336.1812, found: 336.1818.



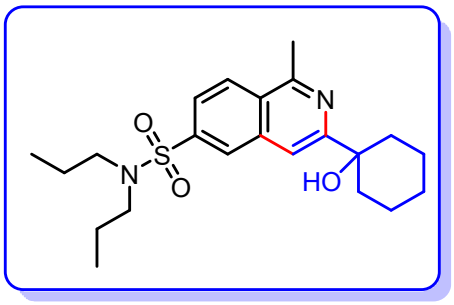
***N*-(2-Bromo-6-(3-hydroxy-3,5-dimethylhex-1-yn-1-yl)benzyl)-1,1,1-trifluoromethanesulfonamide (6e),** slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 7.55 (dd, J = 8.0 Hz, 0.8 Hz, 1H), 7.42 (dd, J = 7.6 Hz, 0.8 Hz, 1H), 7.20–7.16 (m, 1H), 5.64 (s, 1H), 4.80 (d, J = 5.6 Hz, 2H), 2.03–1.92 (m, 1H), 1.70 (d, J = 6.4 Hz, 2H), 1.60 (s, 3H), 1.05–1.02 (m, 6H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 135.9, 133.3, 131.9, 130.2, 125.7, 124.9, 100.5, 80.2, 68.7, 51.6, 46.8, 30.6, 25.3, 24.4, 24.2. **^{19}F NMR (100 MHz, $CDCl_3$) δ** -72.5. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{22}H_{26}NO_2$: 336.1958, found: 336.1961.



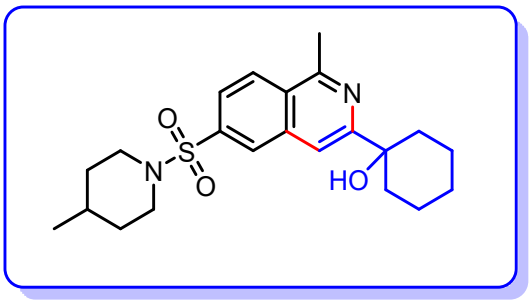
***tert*-Butyl (*Z*)-4-((4-bromo-2-((trifluoromethyl)sulfonyl)isoindolin-1-ylidene)methyl)piperidine-1-carboxylate (6f)**, slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 7.45 (d, J = 7.6 Hz, 1H), 7.37 (d, J = 7.6 Hz, 1H), 7.22 (t, J = 8.0 Hz, 1H), 5.57 (d, J = 10.8 Hz, 1H), 4.89 (s, 2H), 4.14-4.09 (m, 2H), 3.04-2.93 (m, 1H), 2.81-2.75 (m, 2H), 1.74 (d, J = 11.6 Hz, 2H), 1.46 (s, 9H), 0.89-0.80 (m, 2H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 155.0, 138.2, 135.7, 134.8, 131.7, 130.4, 124.3, 118.7, 117.4, 79.6, 57.5, 35.9, 31.9, 29.8, 28.66. **^{19}F NMR (100 MHz, $CDCl_3$) δ** -72.5. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{20}H_{25}BrF_3N_2O_4S$: 525.0665, found: 525.0670.



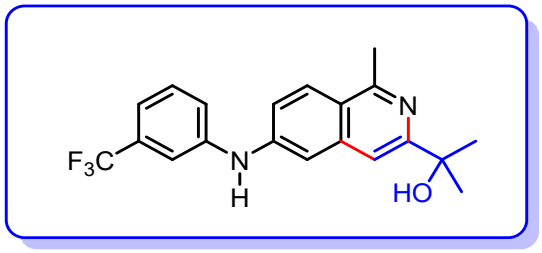
(*Z*)-*N*-(3-(1-methoxycyclohexyl)-1*H*-isochromen-1-ylidene)benzenesulfonamide (6g), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.37 (d, J = 8.0 Hz, 1H), 8.12 (dd, J = 1.2 Hz, 7.6 Hz, 2H), 7.72 (td, J = 1.2 Hz, 7.6 Hz, 1H), 7.58-7.43 (m, 5H), 7.42 (d, J = 7.6 Hz, 1H), 6.62 (s, 1H), 3.13 (s, 3H), 1.96 (d, J = 14.4 Hz, 2H), 1.80-1.73 (m, 2H), 1.70-1.54 (m, 6H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 160.1, 159.2, 142.1, 135.4, 135.1, 132.2, 129.0, 128.7, 127.1, 126.0, 120.6, 50.4, 32.3, 25.1, 21.3, 18.6. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{22}H_{24}NO_4S$: 398.1421, found: 398.1427.



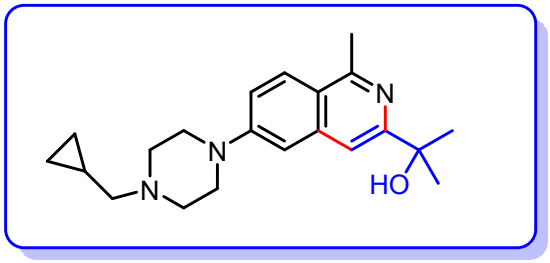
3-(1-Hydroxycyclohexyl)-1-methyl-*N*,*N*-dipropylisoquinoline-6-sulfonamide (3zz), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.31 (d, J = 2.0 Hz, 1H), 8.20 (d, J = 8.8 Hz, 1H), 7.85 (dd, J = 8.8, 2.0 Hz, 1H), 7.67 (s, 1H), 3.17–3.11 (m, 4H), 2.99 (s, 3H), 1.88 (d, J = 9.2 Hz, 2H), 1.84–1.77 (m, 4H), 1.73–1.68 (m, 2H), 1.56 (dt, J = 14.8, 7.6 Hz, 4H), 1.41–1.33 (m, 1H), 0.87 (t, J = 7.2 Hz, 6H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 160.5, 157.7, 141.5, 136.3, 127.4, 127.2, 127.2, 123.5, 114.1, 72.8, 50.1, 38.7, 25.8, 22.6, 22.3, 22.2, 11.3. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{22}H_{33}N_2O_3S$: 405.2206, found: 405.2211.



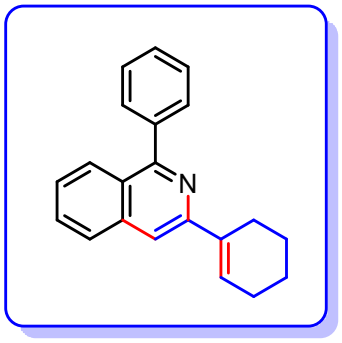
1-(1-Methyl-6-((4-methylpiperidin-1-yl)sulfonyl)isoquinolin-3-yl)cyclohexan-1-ol (3zza), slightly yellow solid, m. p.: 146 ℃, **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.27 (d, J = 2.0 Hz, 1H), 8.23 (d, J = 8.8 Hz, 1H), 7.82 (dd, J = 8.8, 2.0 Hz, 1H), 7.68 (s, 1H), 3.83 (d, J = 11.2 Hz, 2H), 3.01 (s, 3H), 2.35–2.28 (m, 2H), 2.17–2.09 (m, 1H), 1.88 (d, J = 10.4 Hz, 2H), 1.83–1.79 (m, 4H), 1.74–1.66 (m, 4H), 1.33–1.26 (m, 4H), 0.90 (d, J = 5.6 Hz, 3H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 160.5, 157.8, 138.1, 136.3, 128.1, 127.3, 127.1, 124.0, 114.2, 72.8, 46.6, 38.7, 33.5, 30.2, 25.8, 22.3, 21.6. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{22}H_{31}N_2O_3S$: 403.2050, found: 403.2054.



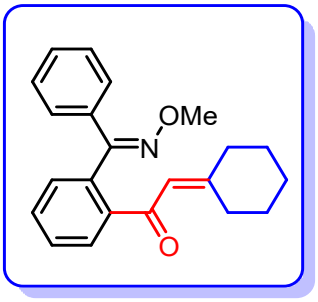
2-(1-Methyl-6-((3-(trifluoromethyl)phenyl)amino)isoquinolin-3-yl)propan-2-ol (3zzb), slightly yellow solid, m. p.: 157 ℃, **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.01 (d, J = 8.8 Hz, 1H), 7.49–7.39 (m, 3H), 7.31–7.29 (m, 3H), 7.23 (dd, J = 8.8, 2.4 Hz, 1H), 6.26 (s, 1H), 2.90 (s, 3H), 1.60 (s, 6H). **^{13}C NMR (100 MHz, $CDCl_3$)** δ 158.9, 156.5, 144.3, 142.2, 138.9, 132.4, 132.0, 130.2, 127.8, 122.5, 122.2, 119.8, 119.3 (q, J = 4.0 Hz), 116.2(q, J = 4.0 Hz), 112.0, 109.2, 71.5, 30.9, 22.0. **^{19}F NMR (376 MHz, $CDCl_3$)** δ -62.84. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{20}H_{20}F_3N_2O$: 361.1522, found: 361.1526.



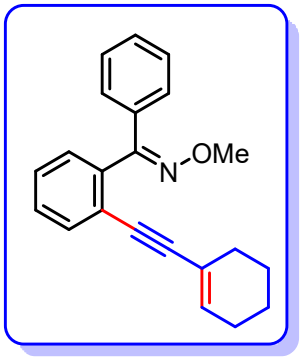
2-(6-(4-(Cyclopropylmethyl)piperazin-1-yl)-1-methylisoquinolin-3-yl)propan-2-ol (3zzc), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 7.94 (d, J = 9.2 Hz, 1H), 7.33 (s, 1H), 7.29-7.26 (m, 1H), 6.97 (d, J = 2.4 Hz, 1H), 3.44–3.37 (m, 4H), 2.86 (s, 3H), 2.77–2.70 (m, 4H), 2.34 (d, J = 6.4 Hz, 2H), 1.59 (s, 6H), 0.96–0.87 (m, 1H), 0.59–0.52 (m, 2H), 0.18–0.12 (m, 2H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 158.6, 156.1, 152.1, 138.9, 126.9, 121.0, 118.8, 112.0, 107.9, 71.3, 63.8, 53.1, 48.4, 31.0, 22.1, 8.4, 4.1. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{21}H_{30}N_3O$: 340.2383, found: 340.2388.



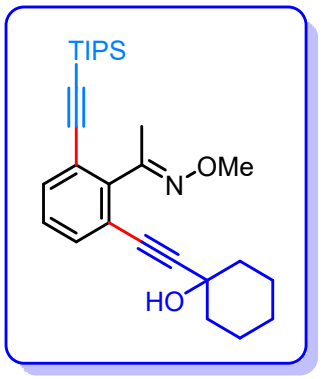
3-(Cyclohex-1-en-1-yl)-1-phenylisoquinoline (3q-A), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 8.06 (d, J = 7.2 Hz, 1H), 7.83 (d, J = 8.0 Hz, 1H), 7.76–7.73 (m, 2H), 7.64–7.60 (m, 1H), 7.58 (s, 1H), 7.54–7.47 (m, 3H), 7.45–7.42 (m, 1H), 7.14–7.12 (m, 1H), 2.65–6.2.1 (m, 2H), 2.33–2.30 (m, 2H), 1.89–1.84 (m, 2H), 1.74–1.69 (m, 2H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 159.6, 151.4, 140.2, 137.9, 135.7, 130.3, 129.9, 128.7, 128.6, 128.3, 127.7, 127.4, 126.4, 125.7, 113.6, 26.1, 26.1, 23.1, 22.4. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{21}H_{20}N$: 286.1590, found: 286.1593.



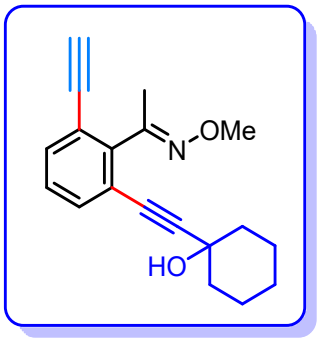
(*E*)-2-Cyclohexylidene-1-(2-((methoxyimino)(phenyl)methyl)phenyl)ethan-1-one (4h-B), slightly yellow solid, m. p.: 178 ℃, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 7.81–7.76 (m, 2H), 7.57–7.51 (m, 1H), 7.48–7.41 (m, 4H), 7.40–7.36 (m, 1H), 7.33–7.29 (m, 1H), 6.78–6.76 (m, 1H), 3.53 (s, 3H), 2.31–2.26 (m, 2H), 2.24–2.19 (m, 2H), 1.67–1.59 (m, 6H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 197.9, 194.0, 153.9, 148.9, 139.3, 138.3, 137.9, 132.9, 129.9, 129.8, 129.7, 129.5, 128.7, 128.4, 128.2, 62.6, 26.6, 21.9, 21.7, 21.6. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{22}H_{24}NO_2$: 334.1802, found: 334.1807.



(*E*)-(2-(Cyclohex-1-en-1-ylethynyl)phenyl)(phenyl)methanone *O*-methyl oxime (4h-A), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 7.53–7.49 (m, 2H), 7.46–7.42 (m, 2H), 7.37–7.30 (m, 5H), 5.92–5.89 (m, 1H), 4.02 (s, 3H), 2.08–2.03 (m, 2H), 1.95–1.92 (m, 2H), 1.59–1.52 (m, 4H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 156.4, 138.9, 135.3, 133.4, 133.1, 130.3, 130.2, 129.2, 128.7, 127.9, 127.8, 123.9, 120.8, 95.9, 85.4, 62.5, 28.9, 25.8, 22.3, 21.6. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{22}H_{22}NO$: 316.1696, found: 316.1693.



(*E*)-1-(2-((1-Hydroxycyclohexyl)ethynyl)-6-((triisopropylsilyl)ethynyl)phenyl) ethan-1-one *O*-methyl oxime (4e-A), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 7.45–7.42 (m, 1H), 7.38 (dd, J = 8.0, 1.2 Hz, 1H), 7.21 (t, J = 8.0 Hz, 1H), 3.92 (s, 3H), 2.17 (s, 3H), 1.99–1.95 (m, 2H), 1.73–1.70 (m, 2H), 1.61–1.55 (m, 6H), 1.10 (s, 21H). **^{13}C NMR (101 MHz, $CDCl_3$) δ** 155.3, 142.9, 139.0, 132.5, 132.0, 128.1, 122.5, 104.1, 96.7, 95.3, 82.2, 69.4, 61.7, 40.1, 25.3, 23.5, 18.7, 16.0, 11.4. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{28}H_{42}NO_2Si$: 452.7929, found: 452.7935.



(*E*)-1-(2-Ethynyl-6-((1-hydroxycyclohexyl)ethynyl)phenyl)ethan-1-one *O*-methyl oxime (4e-B), slightly yellow liquid, **^{1}H NMR (400 MHz, $CDCl_3$) δ** 7.51–7.46 (m, 2H), 7.29 (d, J = 6.8 Hz, 1H), 4.01 (s, 3H), 3.22 (s, 1H), 2.23 (s, 3H), 2.03–1.99 (m, 2H), 1.77–1.75 (m, 2H), 1.67–1.59 (m, 5H), 0.99 (t, J = 7.2 Hz, 1H). **^{13}C NMR (100 MHz, $CDCl_3$) δ** 155.2, 143.0, 132.8, 128.2, 122.7, 121.8, 96.9, 82.0, 81.3, 81.1, 69.4, 61.9, 40.1, 25.3, 23.5, 15.9. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd. for $C_{19}H_{22}NO_2$: 296.1645, found: 296.1650.

F. X-ray Crystallographic Analysis for 3o.

The crystal was obtained by slow evaporation of the solution of dichloromethane at room temperature, displacement ellipsoids are drawn at the 50% probability level.

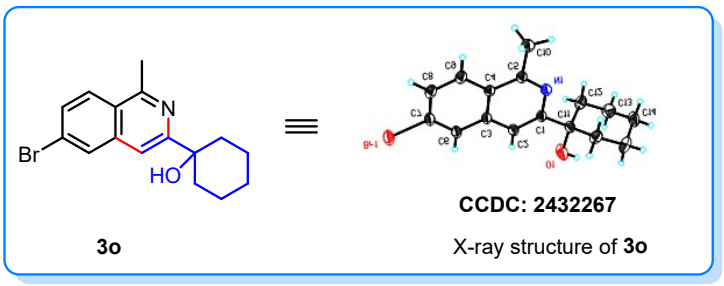


Table S1 Crystal data and structure refinement for **3o**.

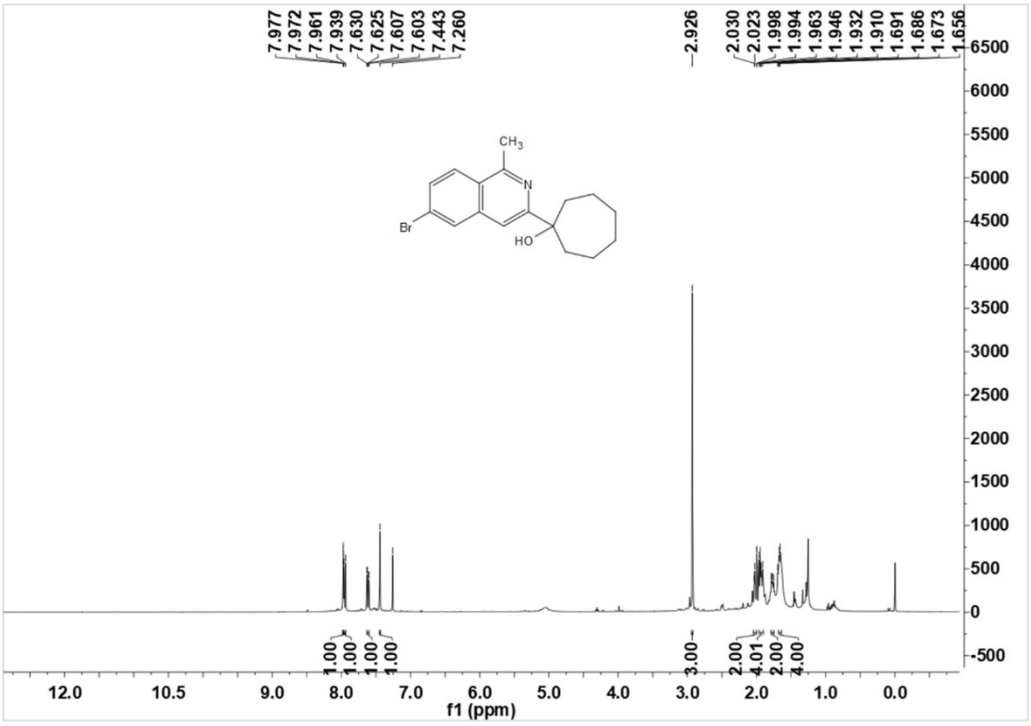
	3o
Empirical formula	$C_{16}H_{17}NOBr$
Formula weight	319.21
T /K	293.15
Crystal system	Monoclinic
Space group	$P2_1/m$

a /Å	10.4124(2)
b /Å	6.8859(1)
c /Å	10.4141(2)
α /°	90
β /°	112.886(1)
γ /°	90
V /Å^3	687.90(2)
Z	2
ρ_{calc} g/cm^3	1.541
μ /mm^{-1}	2.979
Reflections collected	8812
Independent reflections	1979
R_{int}	0.0341
S	1.045
R_1 [I >= 2σ (I)]	0.0471
wR_2 (all data)	0.1030

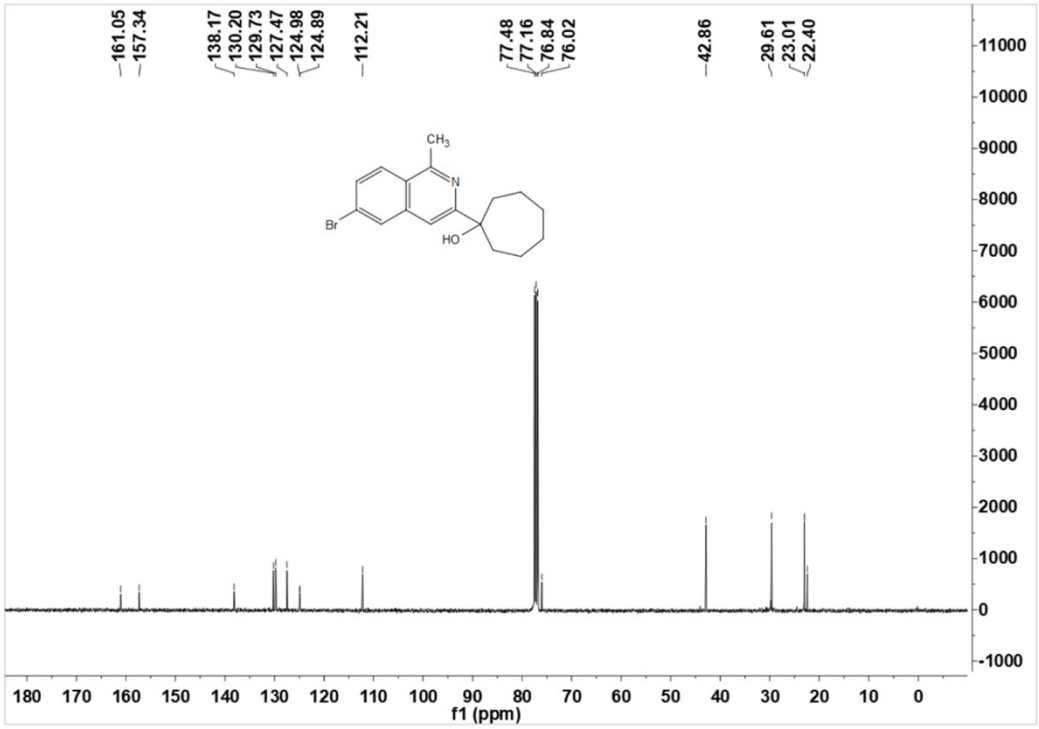
G. NMR Spectrum

1-(6-Bromo-1-methylisoquinolin-3-yl)cycloheptan-1-ol (3a)

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

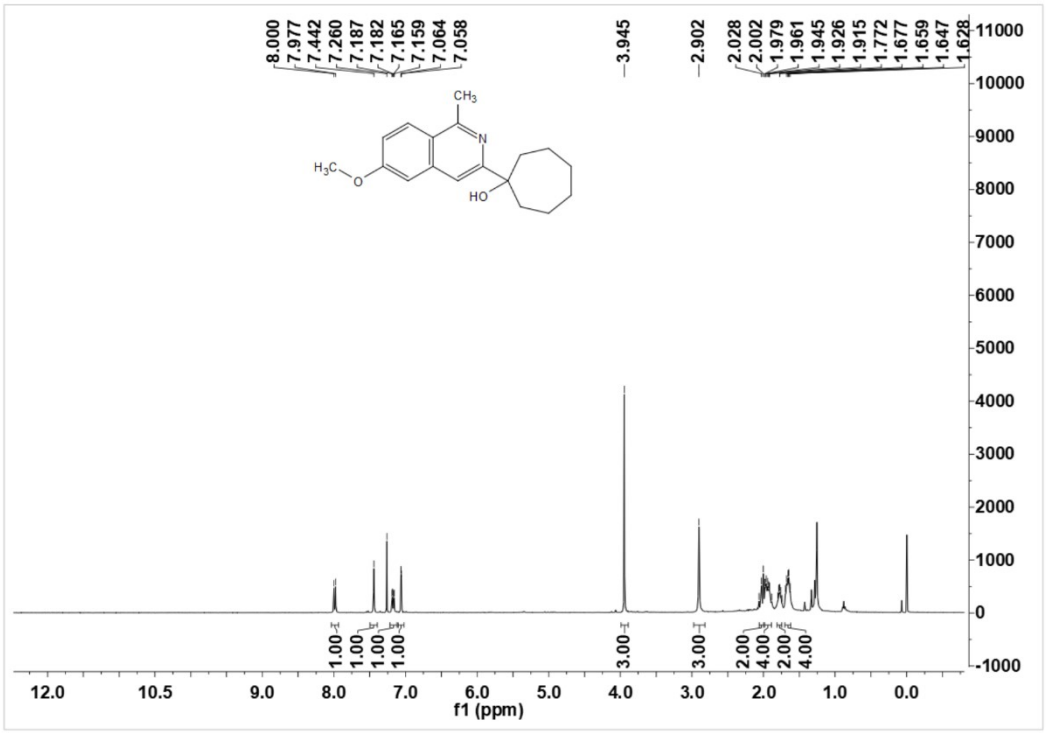


^{13}C NMR ($CDCl_3$, 100 MHz)

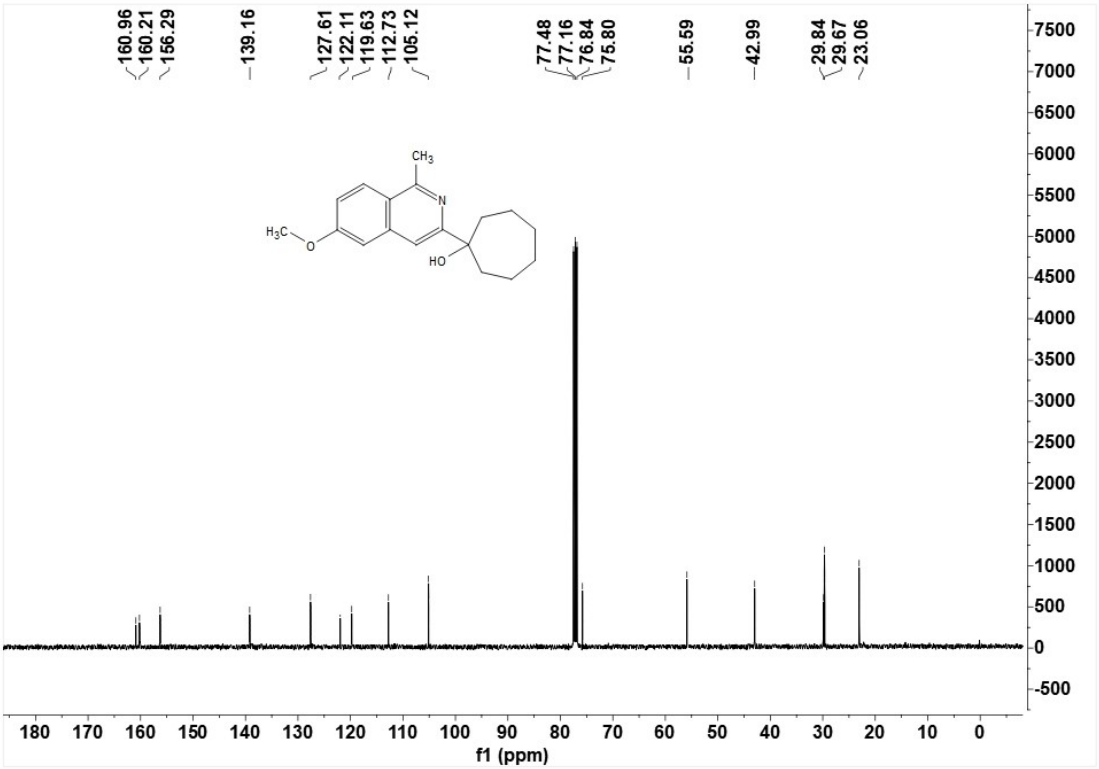


1-(6-Methoxy-1-methylisoquinolin-3-yl)cycloheptan-1-ol (3b)

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

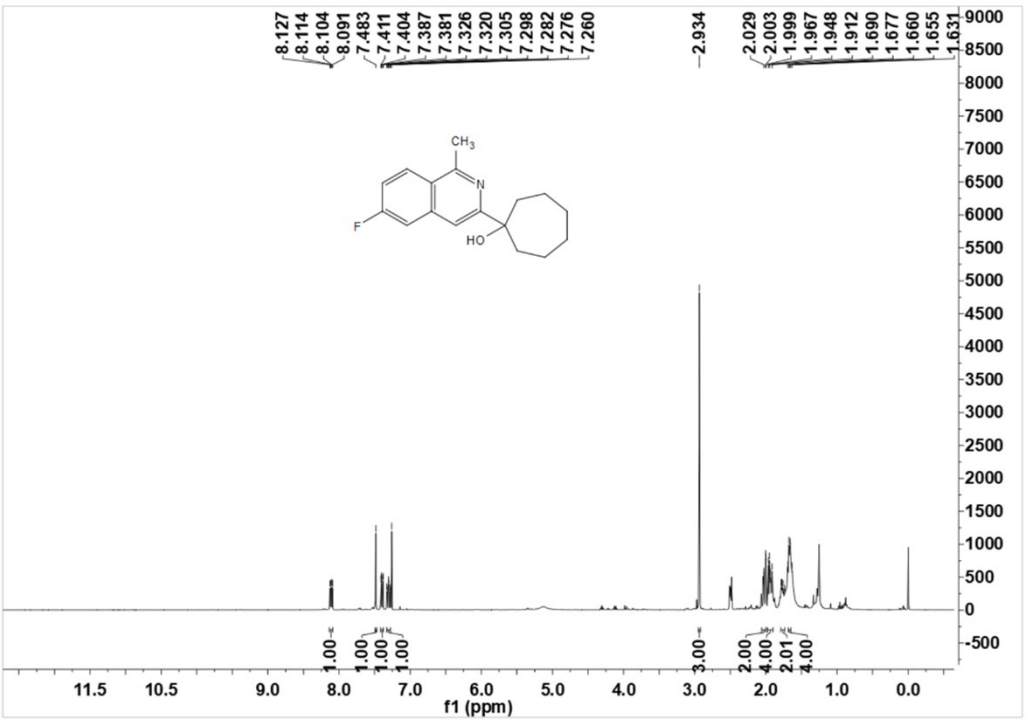


^{13}C NMR ($CDCl_3$, 100 MHz)

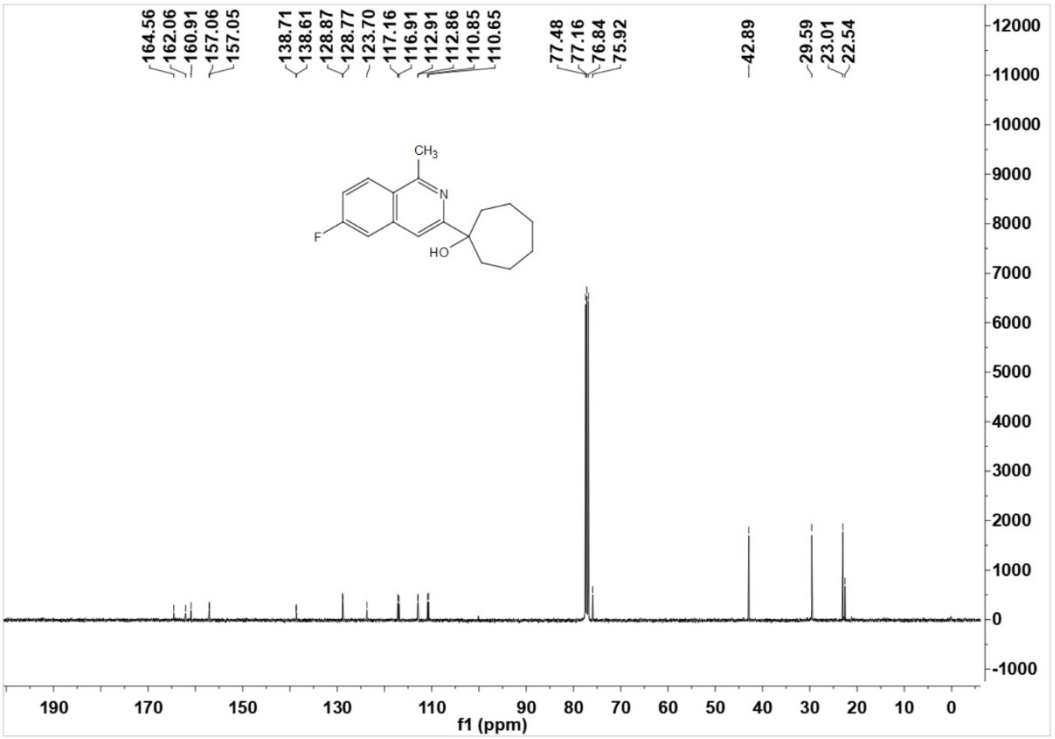


1-(6-Fluoro-1-methylisoquinolin-3-yl)cycloheptan-1-ol (3c)

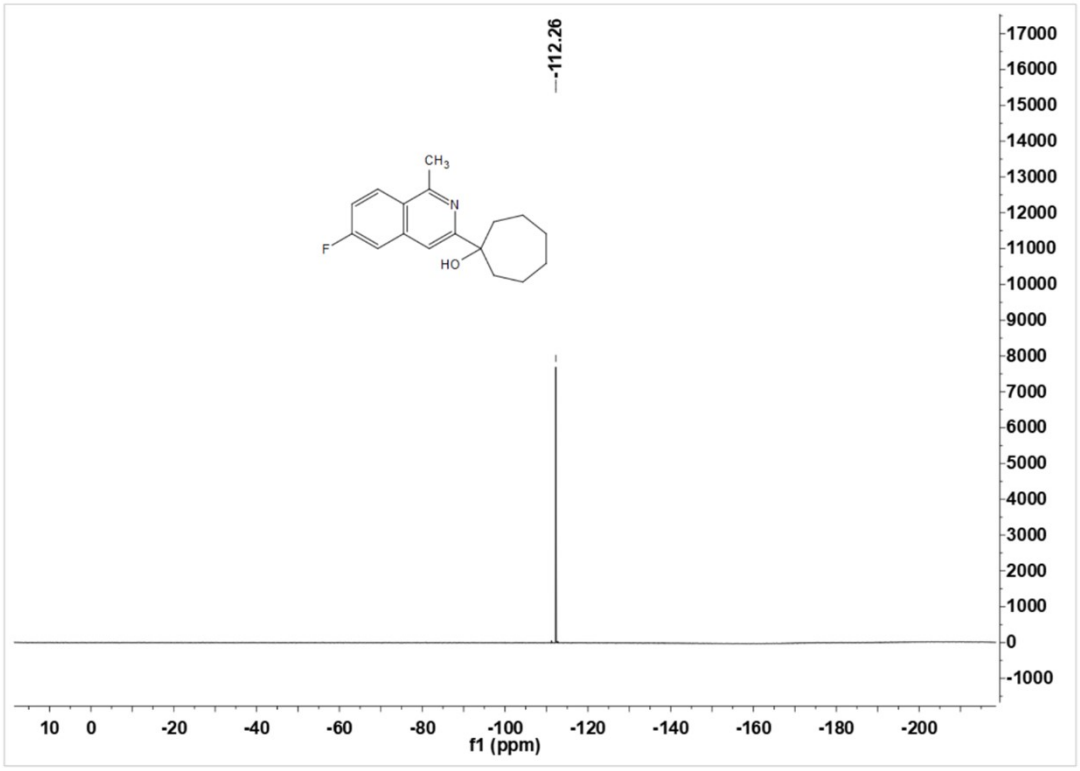
^{1}H NMR ($CDCl_3$, 400 MHz)



^{13}C NMR ($CDCl_3$, 100 MHz)

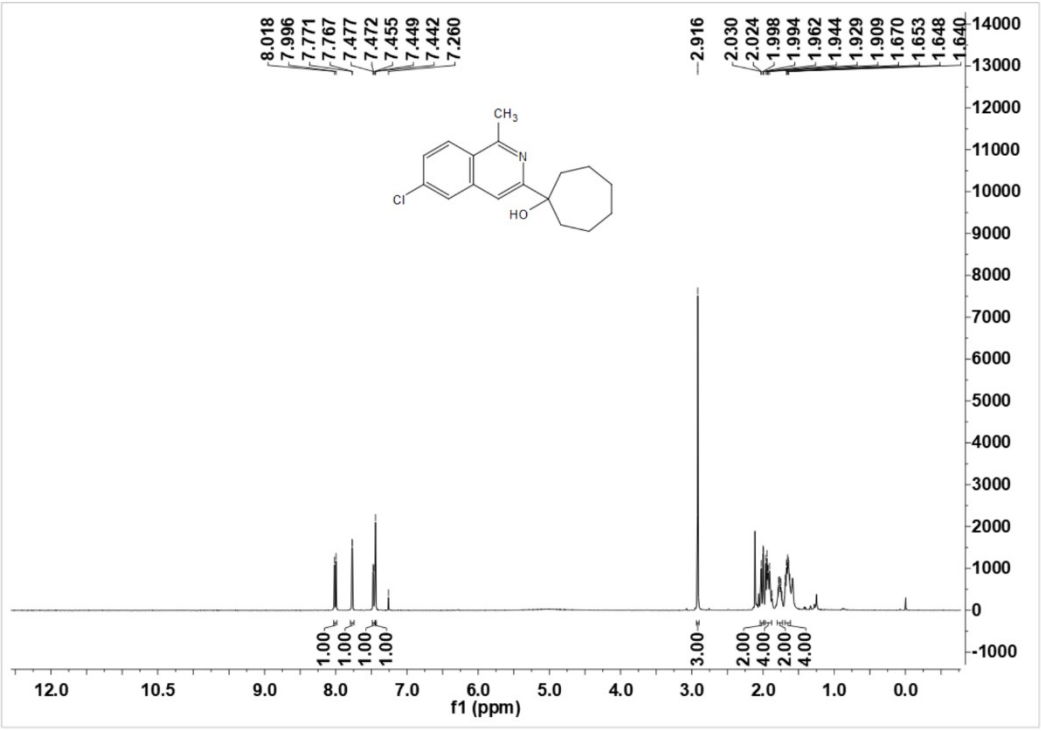


^{19}F NMR ($CDCl_3$, 100 MHz)



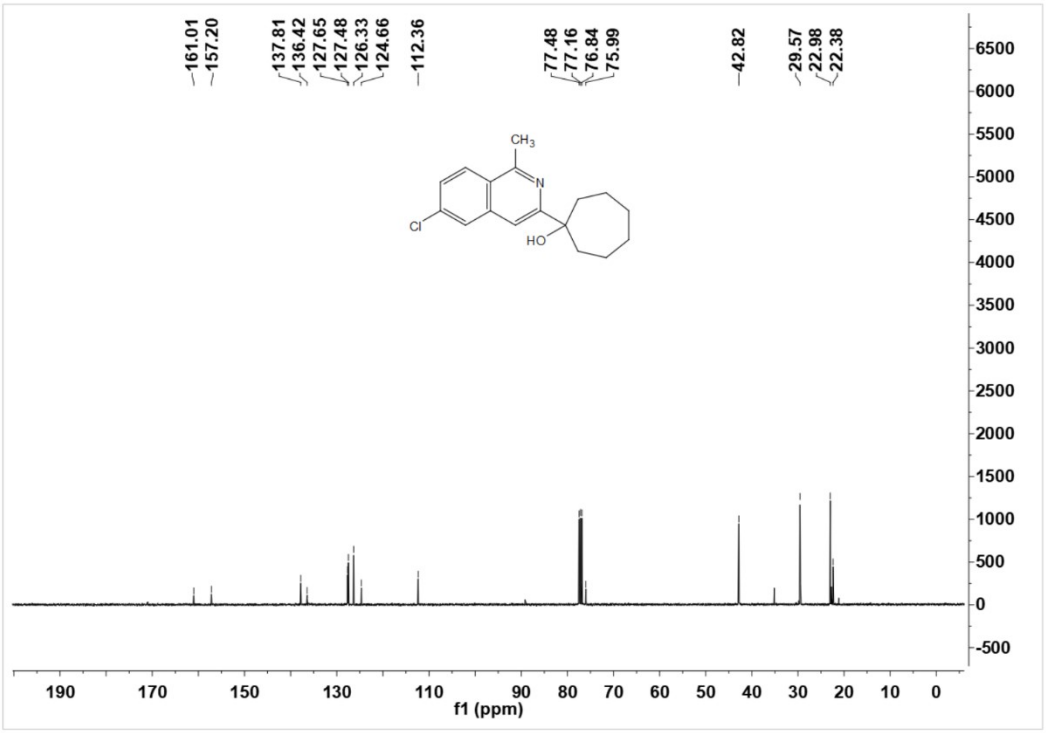
1-(6-Chloro-1-methylisoquinolin-3-yl)cycloheptan-1-ol (3d)

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



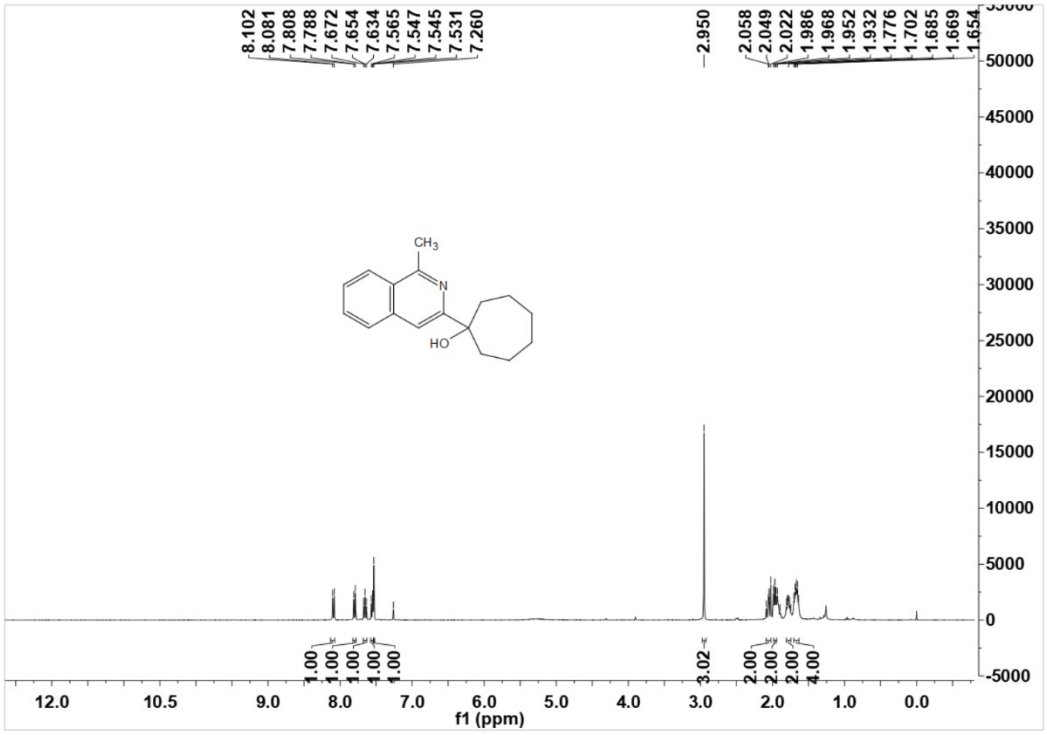
^{13}C NMR ($CDCl_3$, 100 MHz)

ESI-53

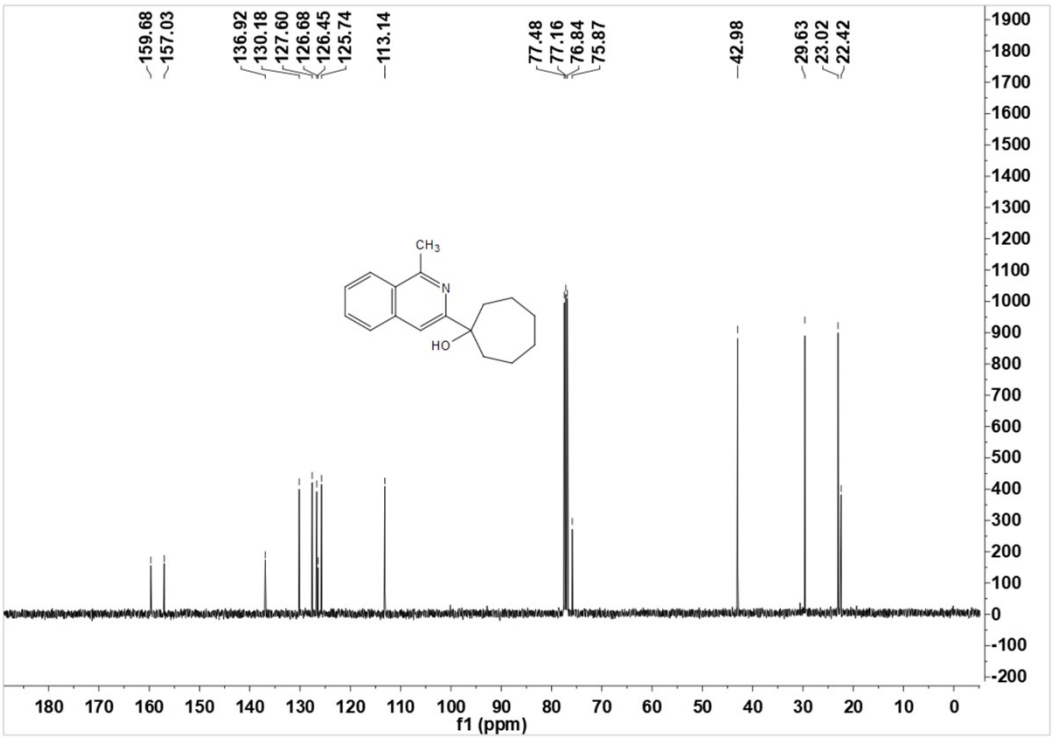


1-(1-Methylisoquinolin-3-yl)cycloheptan-1-ol (3e)

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

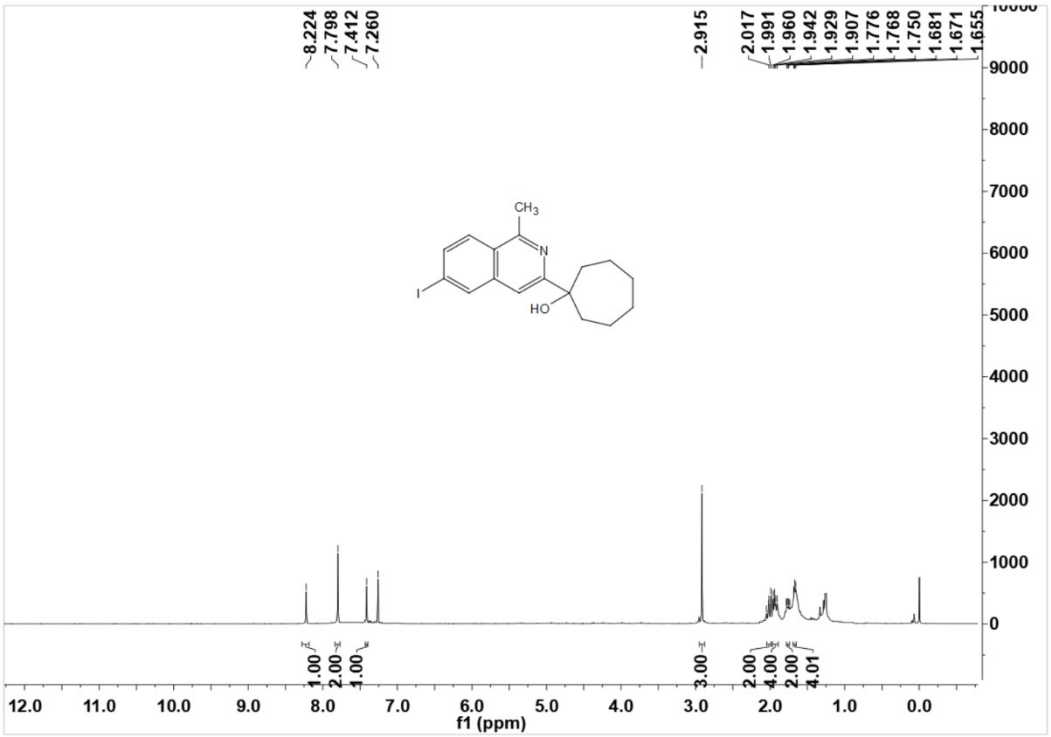


^{13}C NMR ($CDCl_3$, 100 MHz)

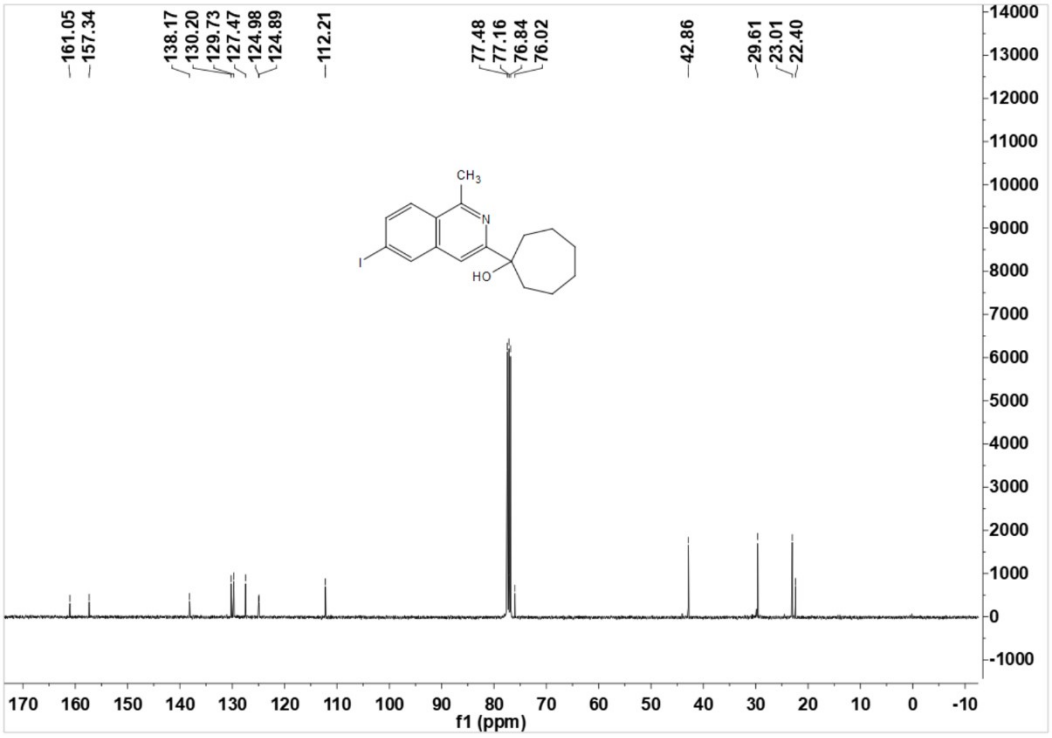


1-(6-Iodo-1-methylisoquinolin-3-yl)cycloheptan-1-ol (3f)

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

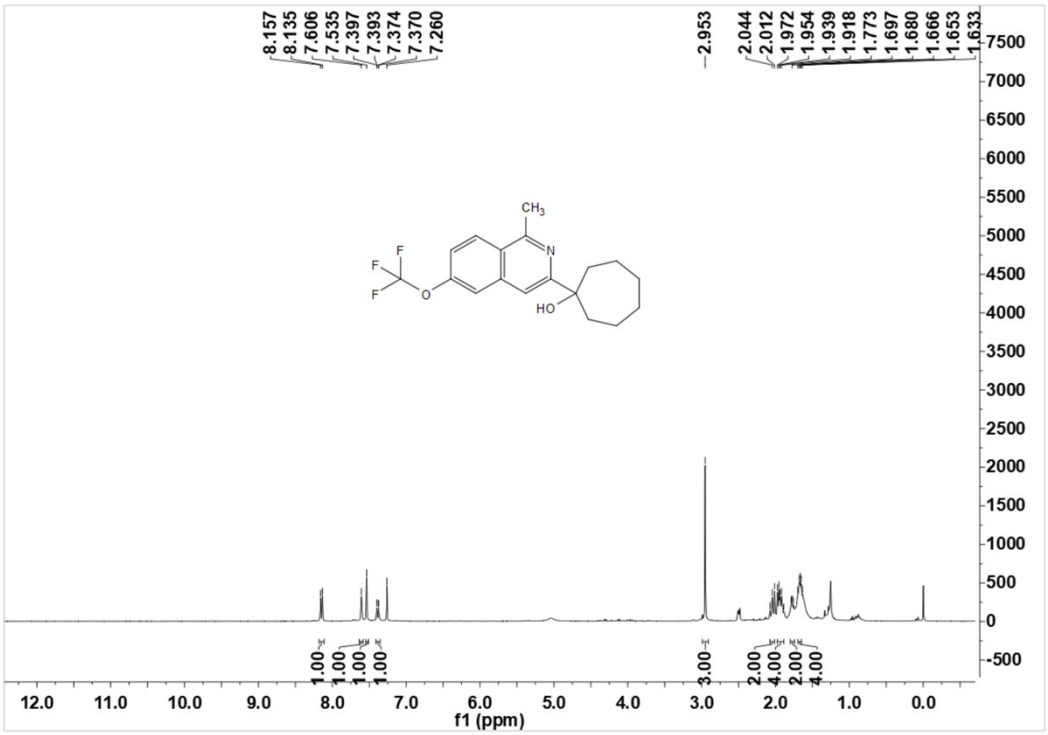


^{13}C NMR ($CDCl_3$, 100 MHz)

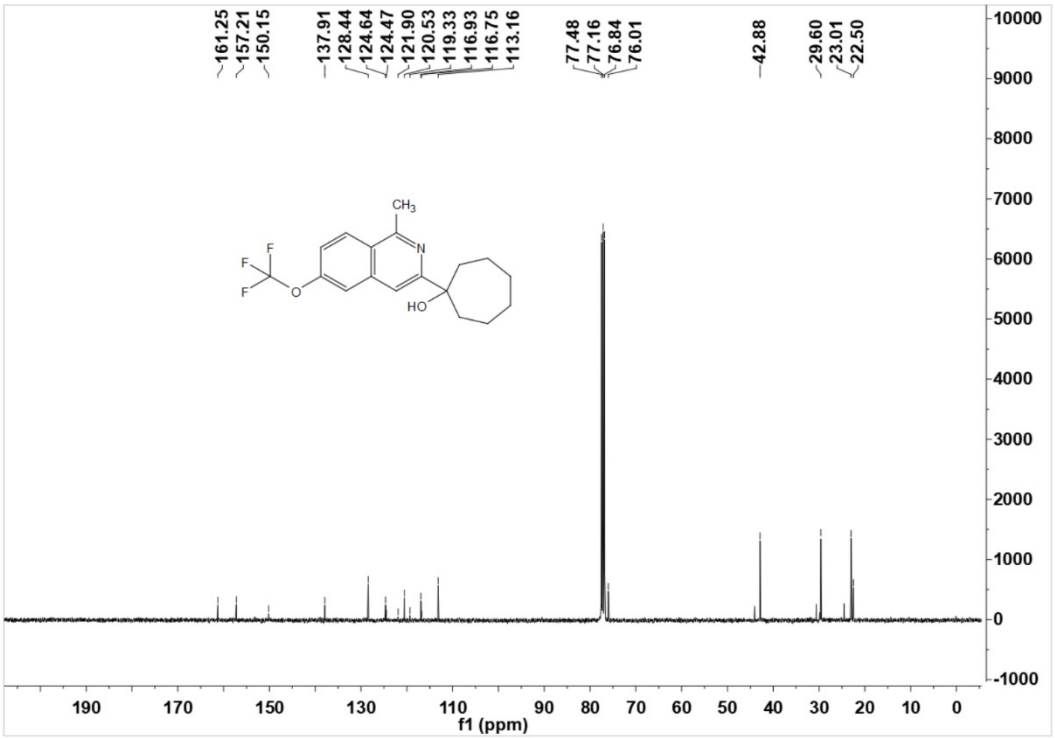


1-(1-Methyl-6-(trifluoromethoxy)isoquinolin-3-yl)cycloheptan-1-ol (3g)

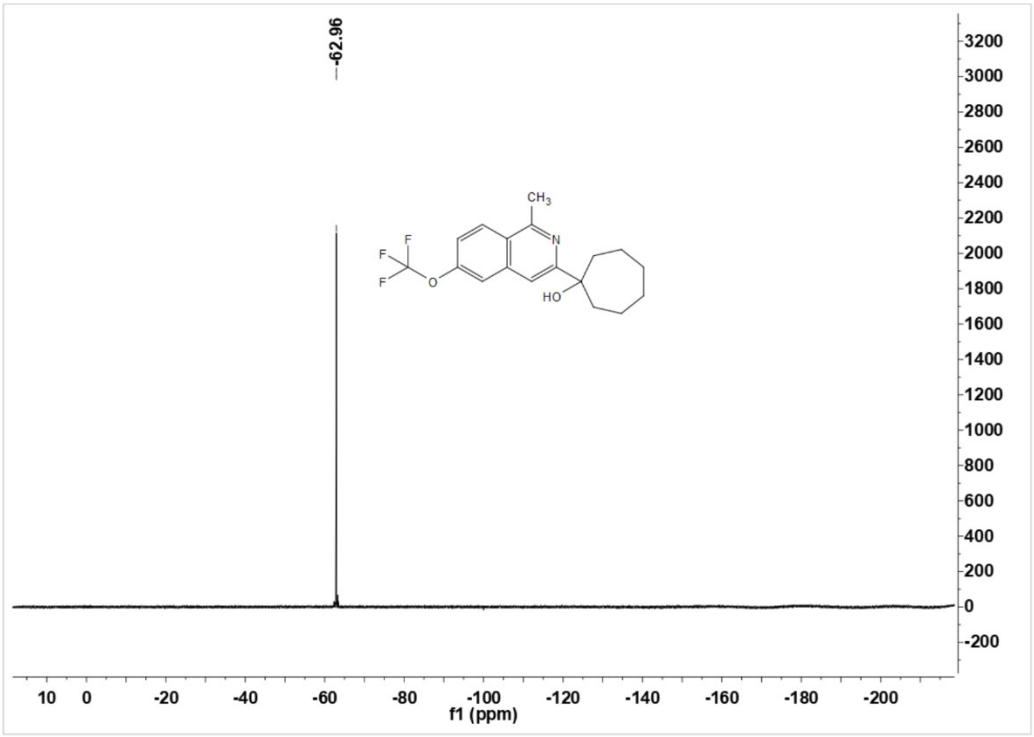
^{1}H NMR ($CDCl_3$, 400 MHz)



^{13}C NMR ($CDCl_3$, 100 MHz)



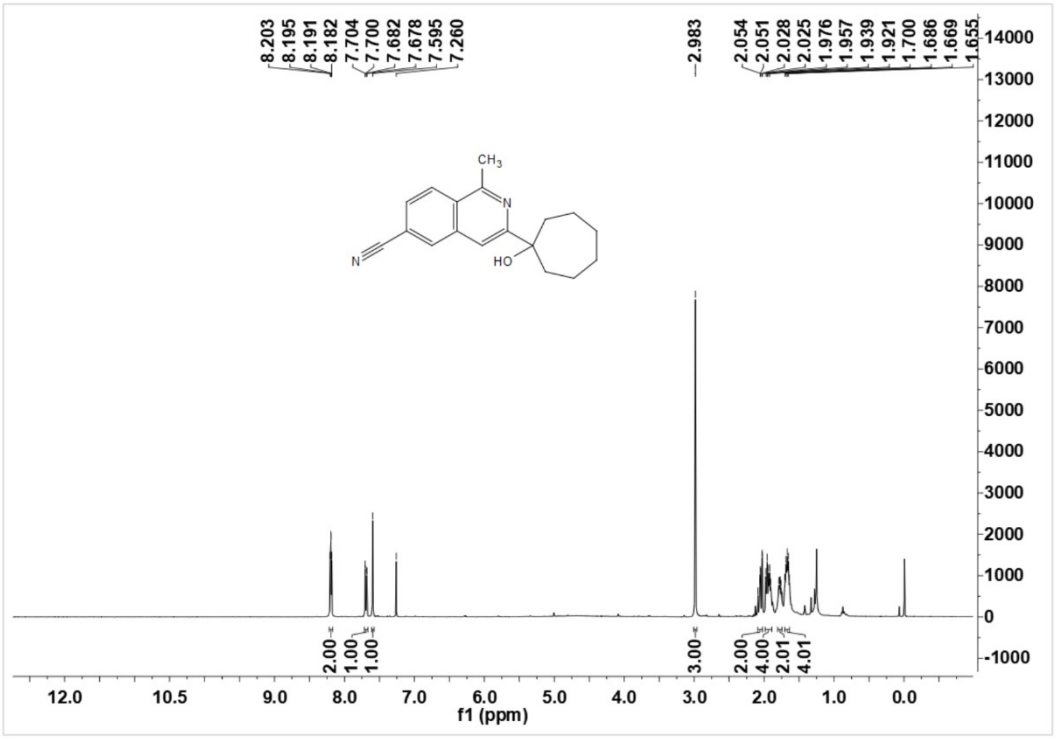
^{19}F NMR ($CDCl_3$, 100 MHz)



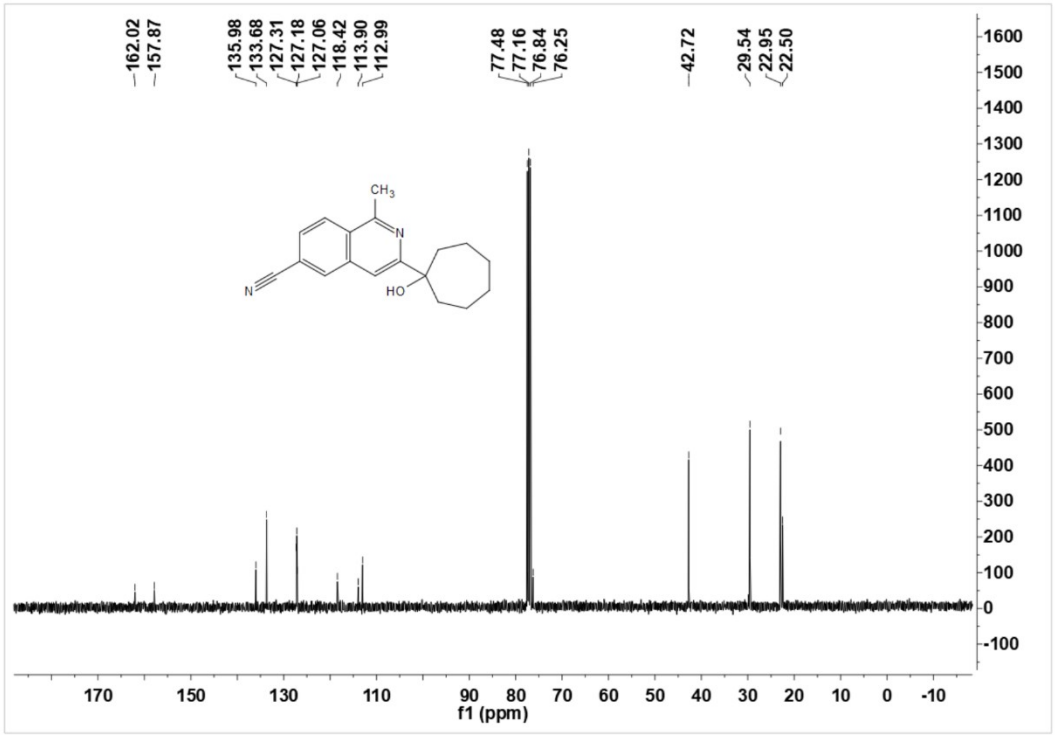
3-(1-Hydroxycycloheptyl)-1-methylisoquinoline-6-carbonitrile (3h)

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

ESI-57



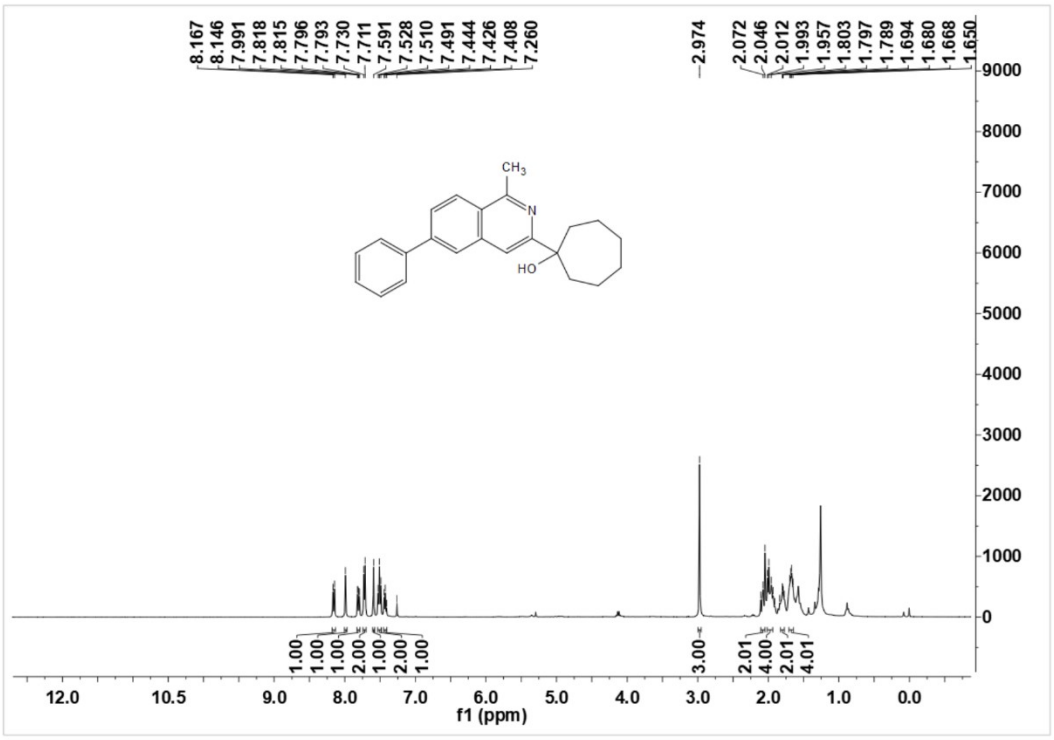
^{13}C NMR ($CDCl_3$, 100 MHz)



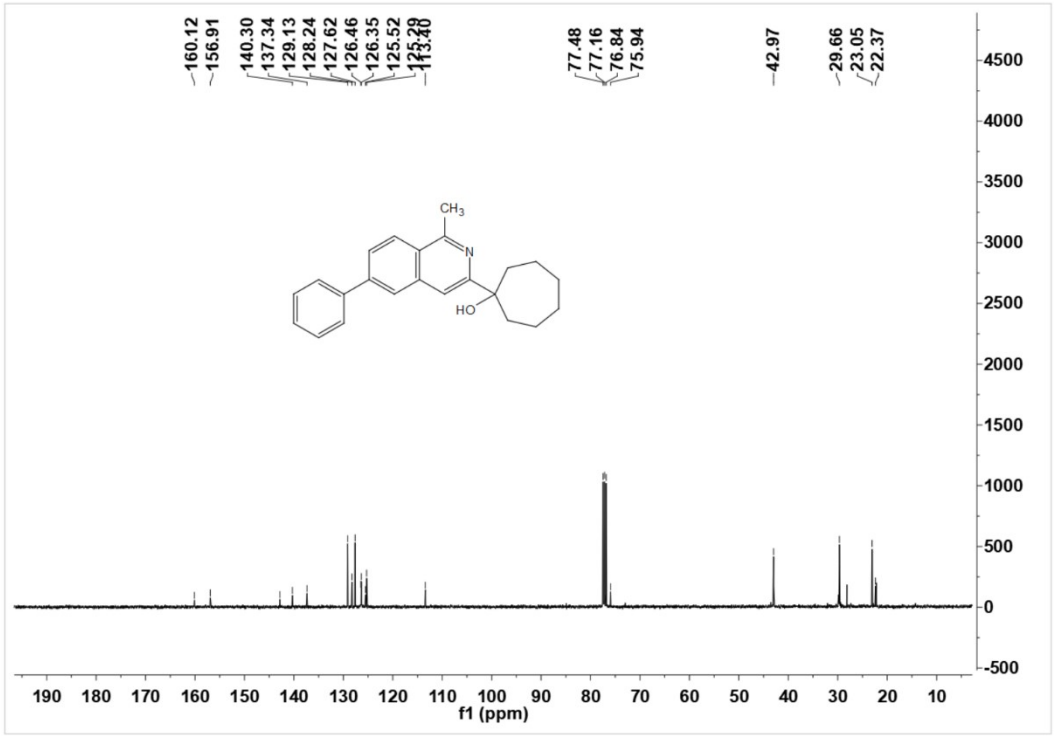
1-(1-Methyl-6-phenylisoquinolin-3-yl)cycloheptan-1-ol (3i)

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

ESI-58



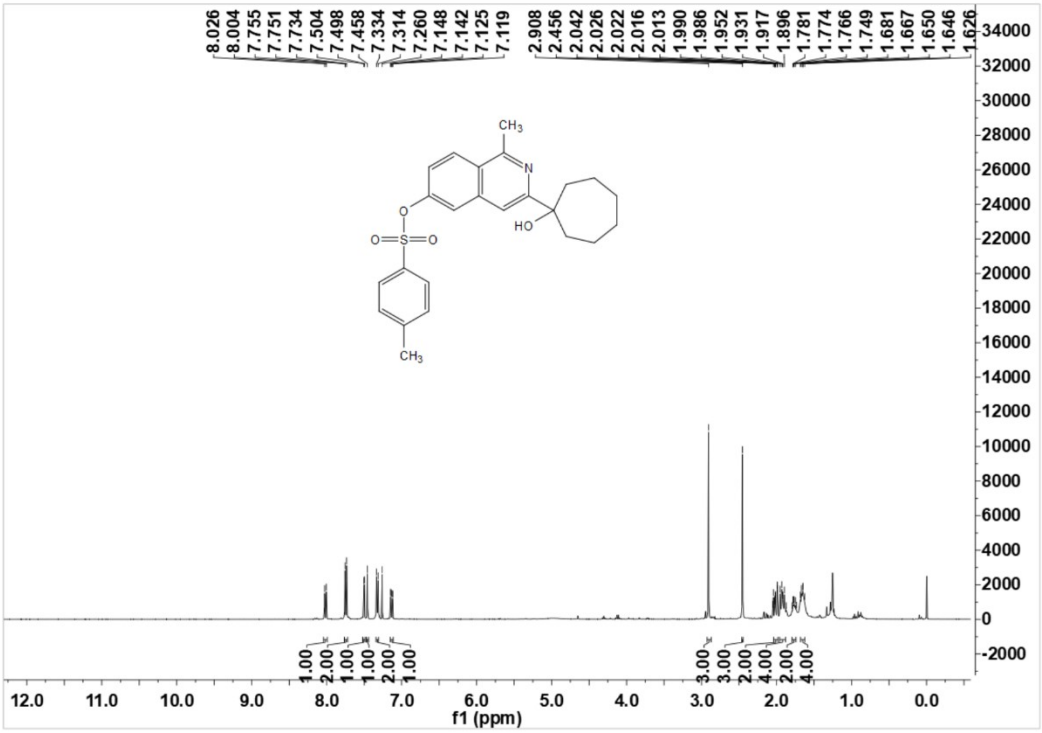
^{13}C NMR ($CDCl_3$, 100 MHz)



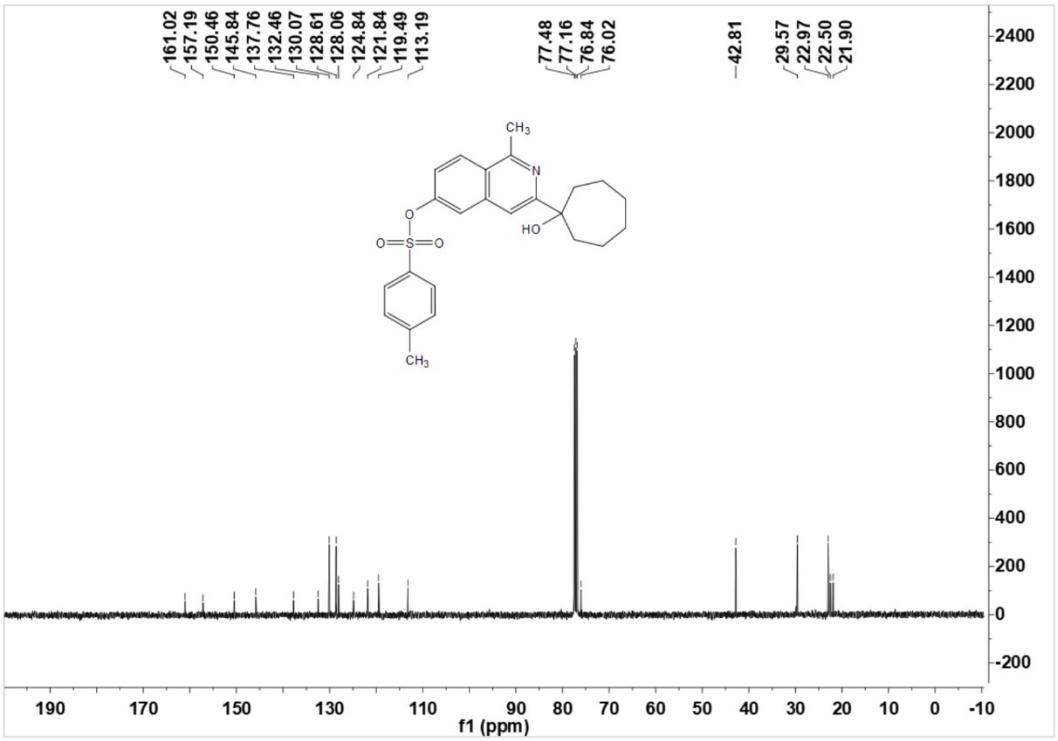
3-(1-Hydroxycycloheptyl)-1-methylisoquinolin-6-yl 4-methylbenzenesulfonate (3j)

ESI-59

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



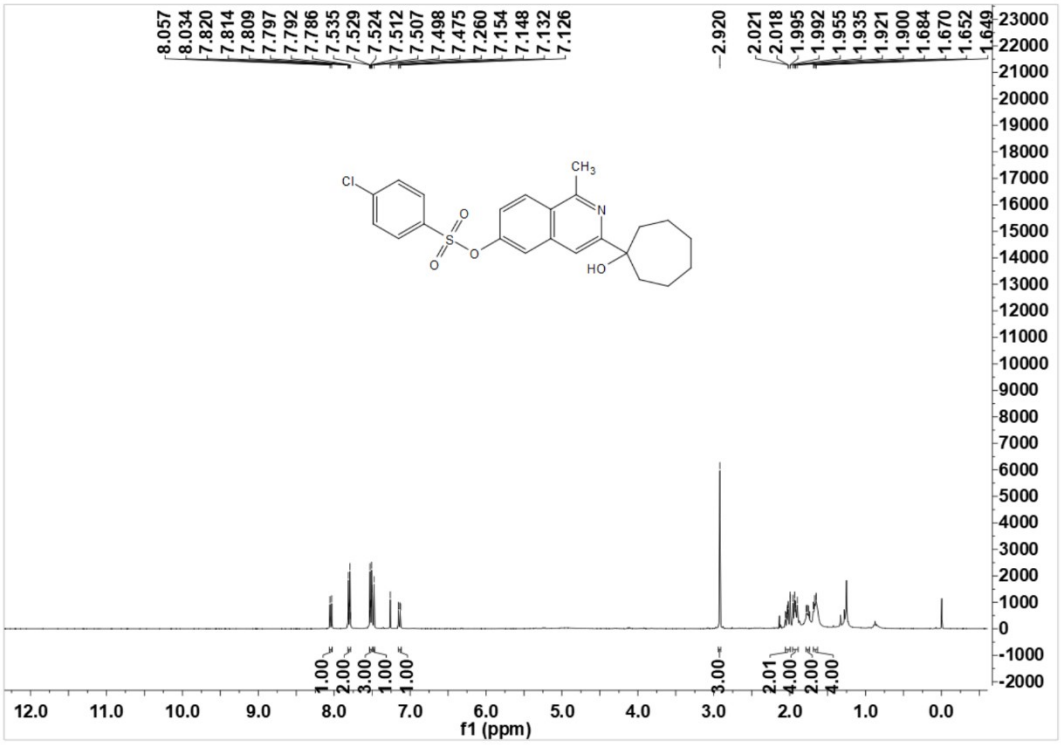
^{13}C NMR ($CDCl_3$, 100 MHz)



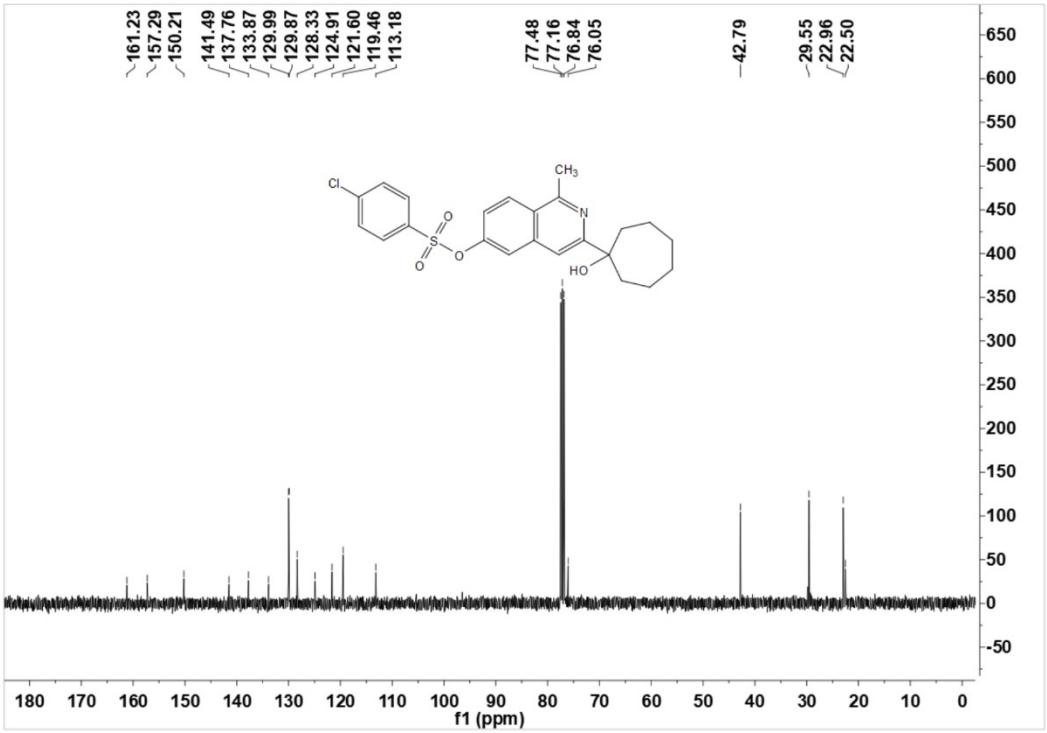
3-(1-Hydroxycycloheptyl)-1-methylisoquinolin-6-yl 4-chlorobenzenesulfonate (3k)

ESI-60

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



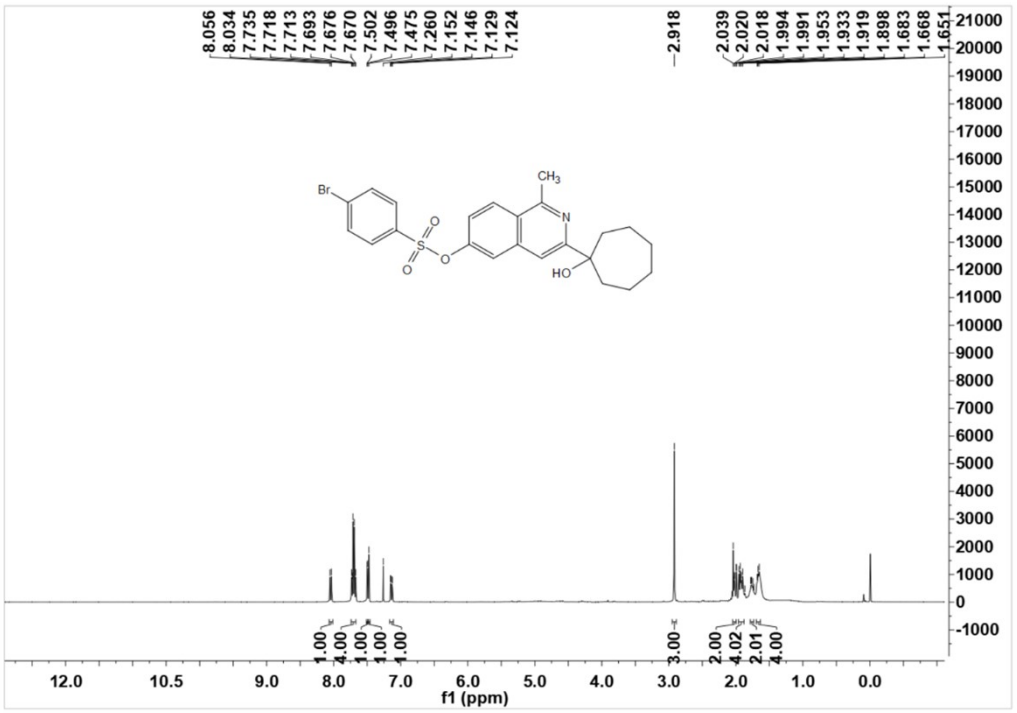
^{13}C NMR ($CDCl_3$, 100 MHz)



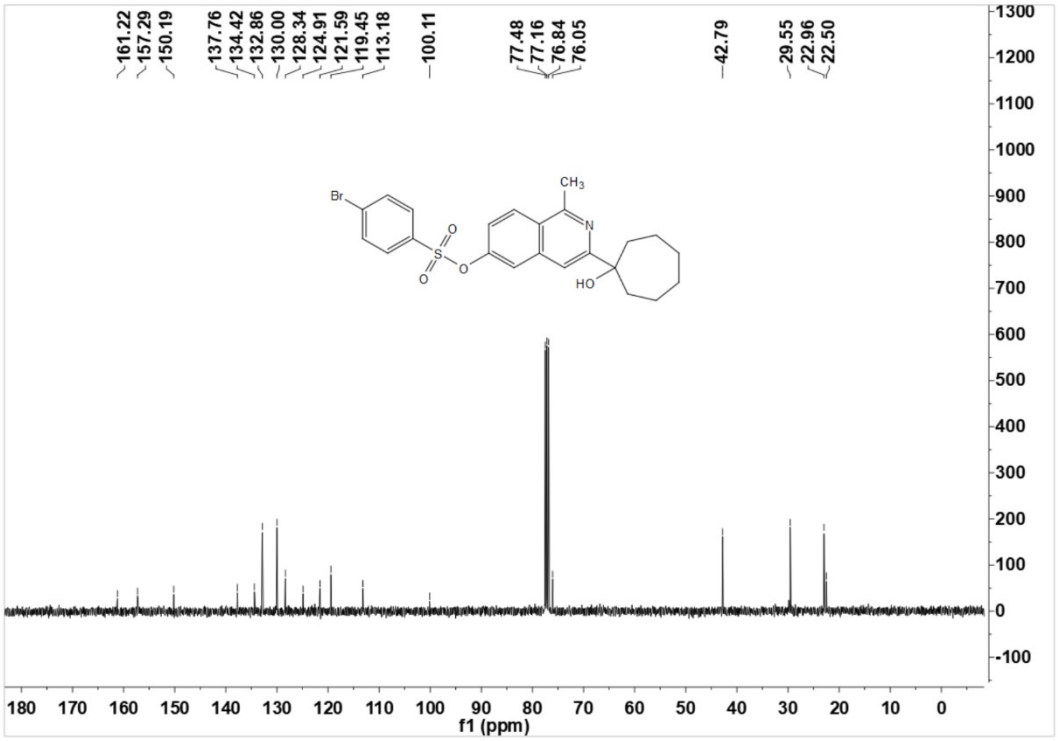
3-(1-Hydroxycycloheptyl)-1-methylisoquinolin-6-yl 4-bromobenzenesulfonate (3l)

ESI-61

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



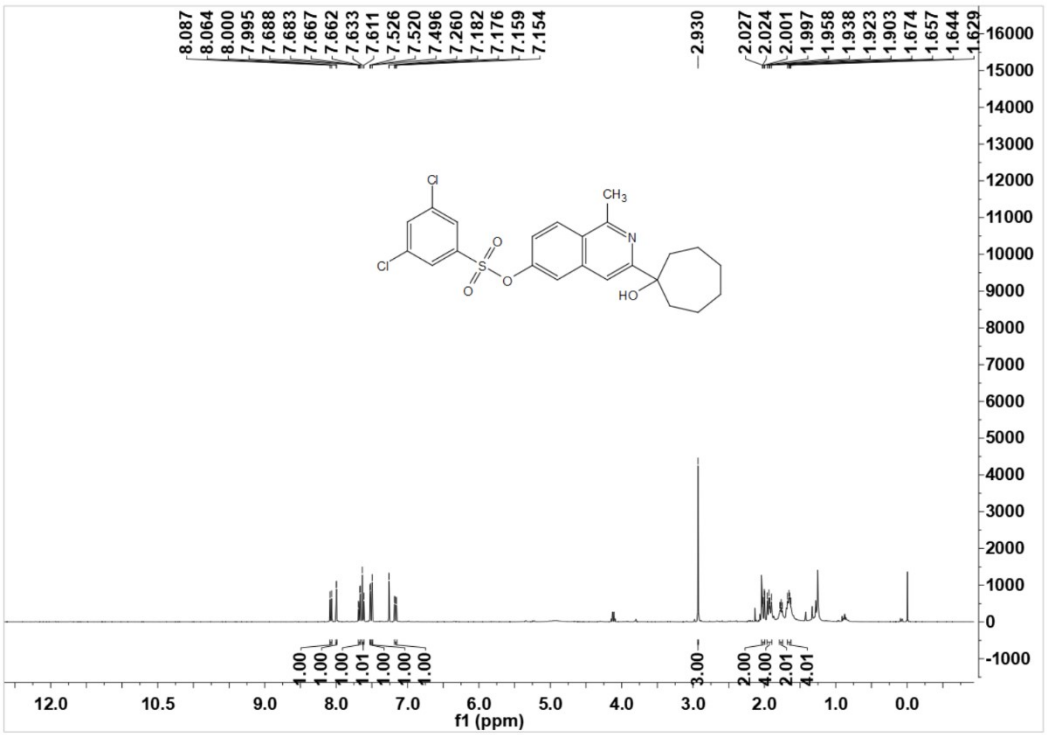
^{13}C NMR ($CDCl_3$, 100 MHz)



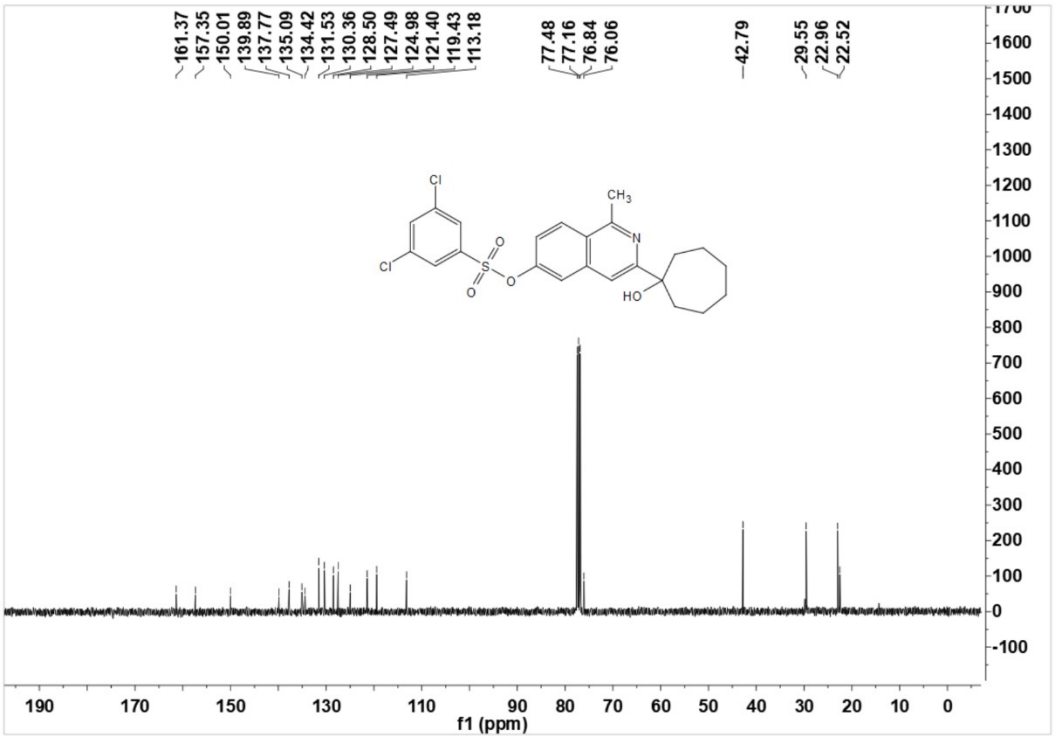
3-(1-Hydroxycycloheptyl)-1-methylisoquinolin-6-yl 3,5-dichlorobenzenesulfonate (3m)

ESI-62

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



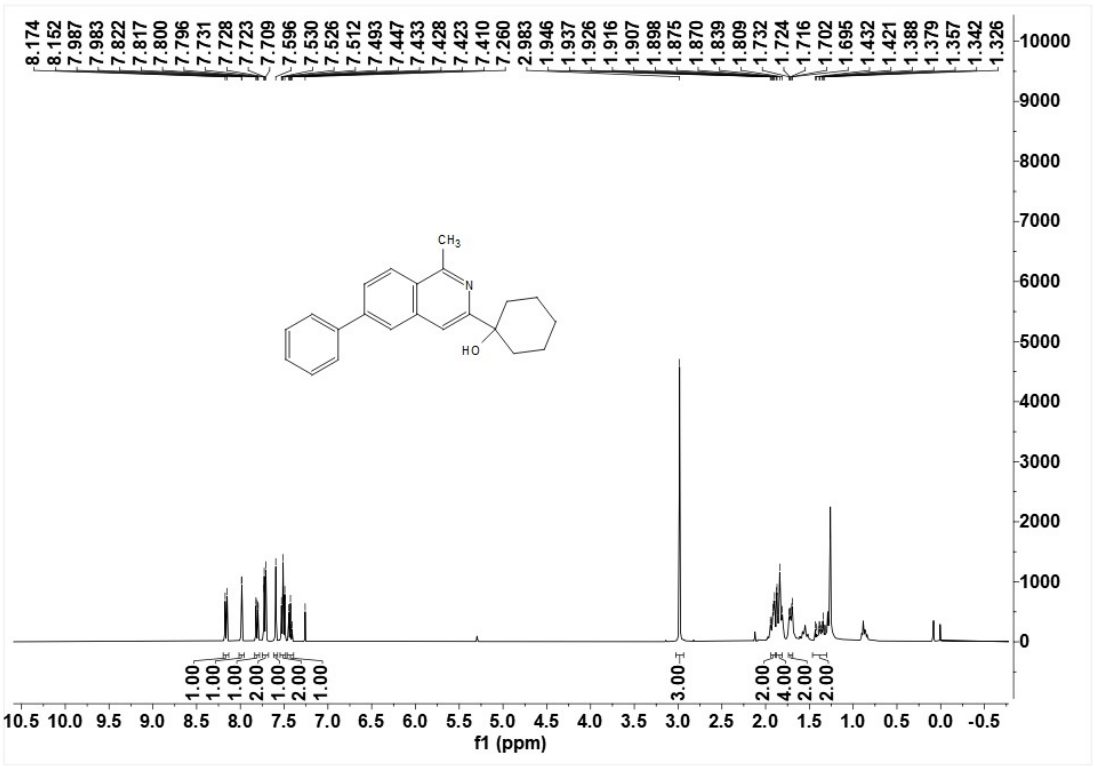
^{13}C NMR ($CDCl_3$, 100 MHz)



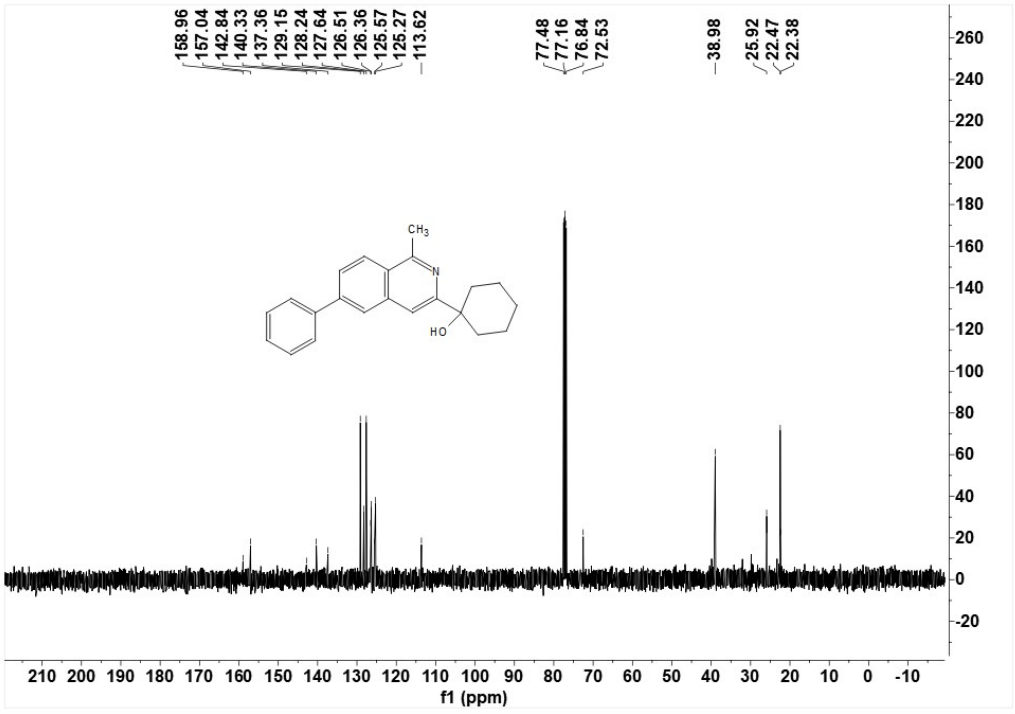
1-(1-Methyl-6-phenylisoquinolin-3-yl)cyclohexan-1-ol (3n)

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

ESI-63



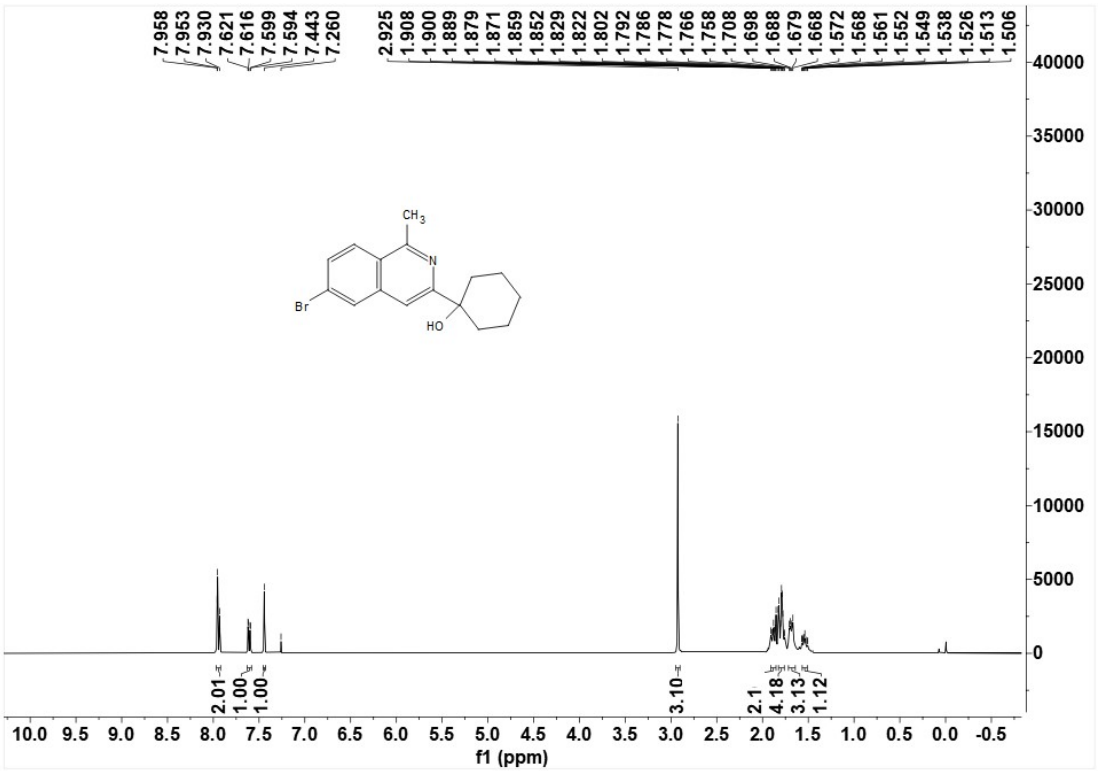
^{13}C NMR ($CDCl_3$, 100 MHz)



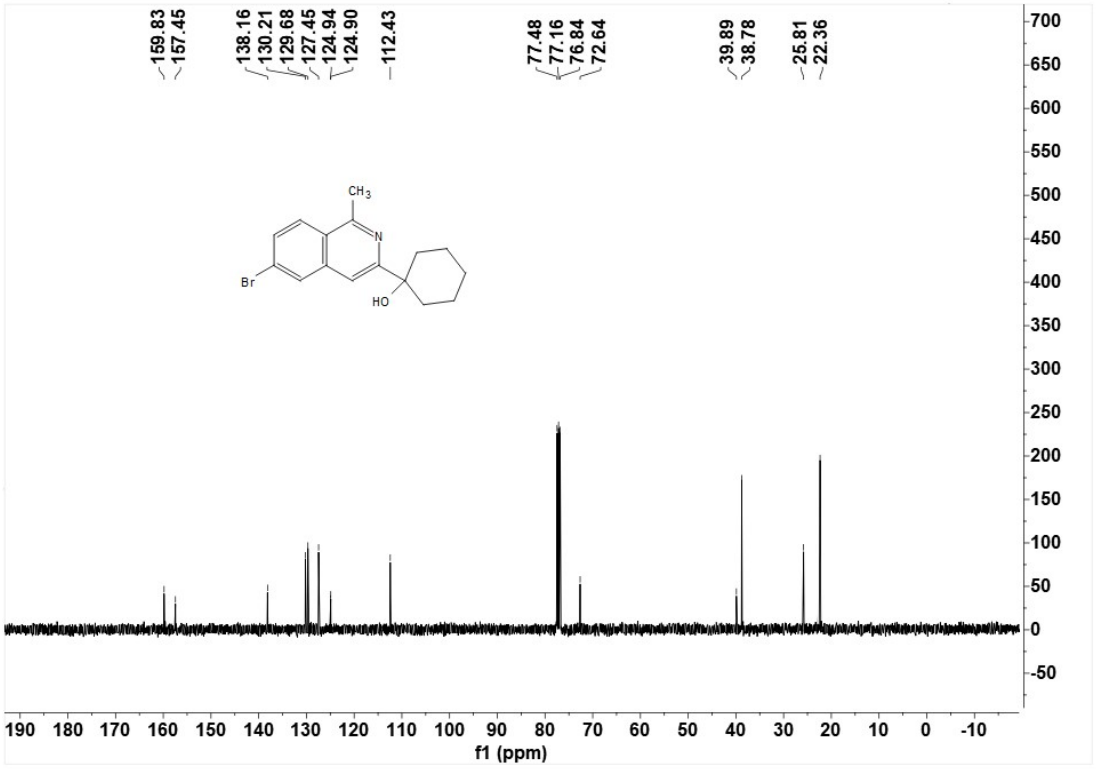
1-(6-Bromo-1-methylisoquinolin-3-yl)cyclohexan-1-ol (3o)

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

ESI-64



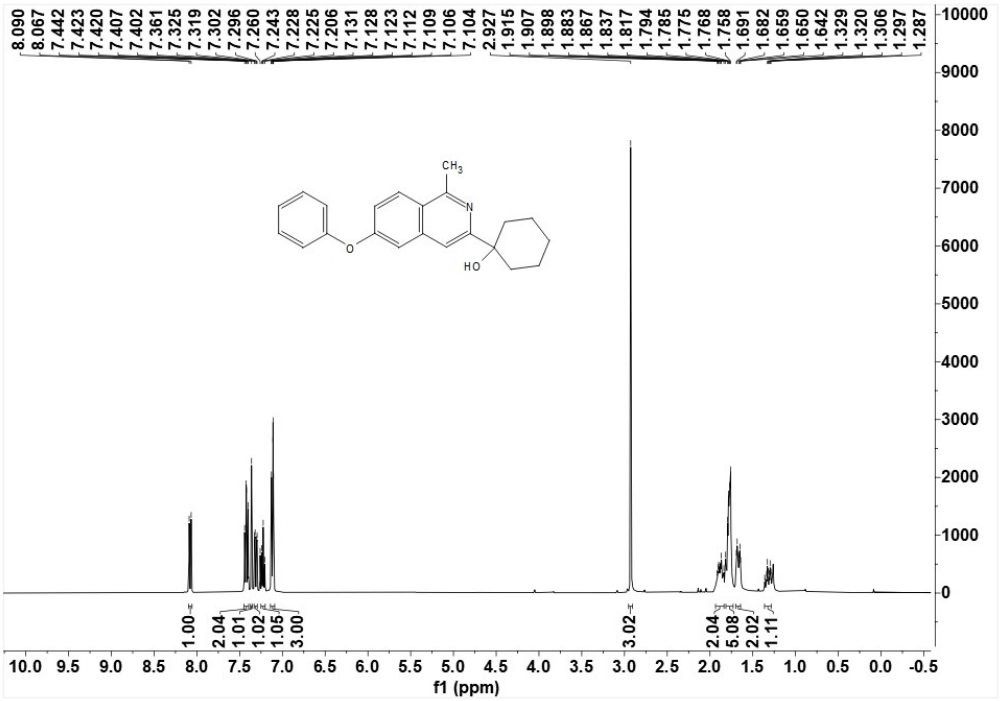
^{13}C NMR ($CDCl_3$, 100 MHz)



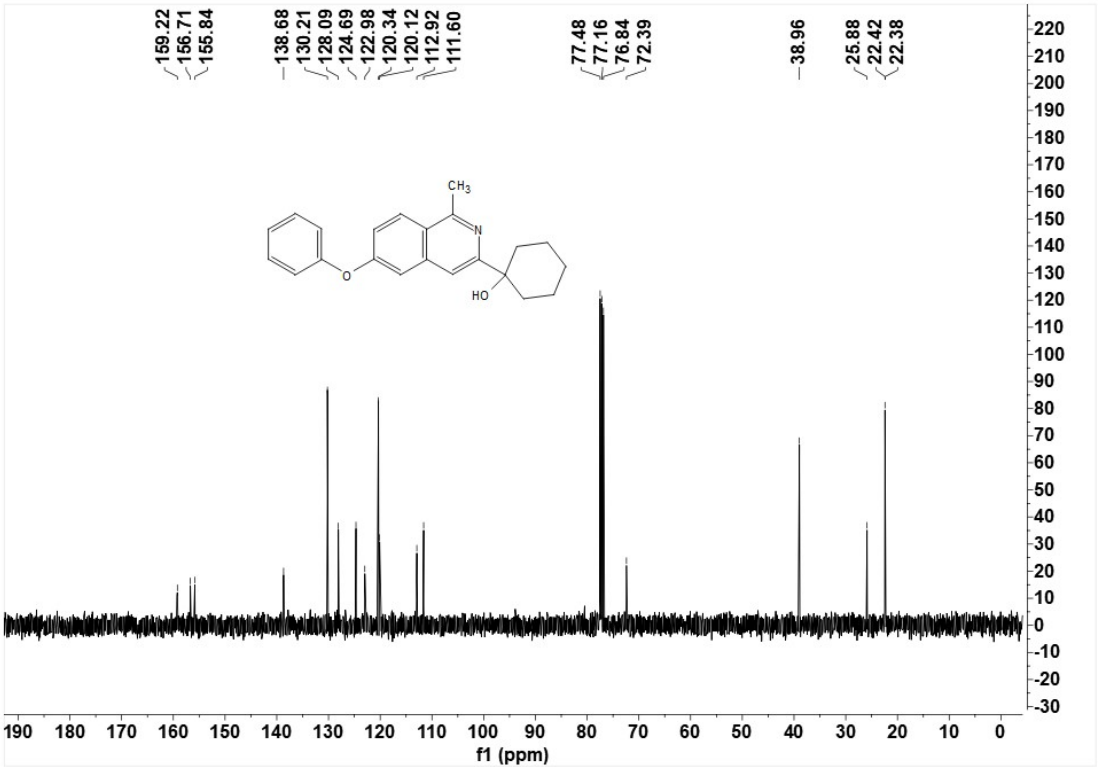
1-(1-Methyl-6-phenoxyisoquinolin-3-yl)cyclohexan-1-ol (3p)

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

ESI-65



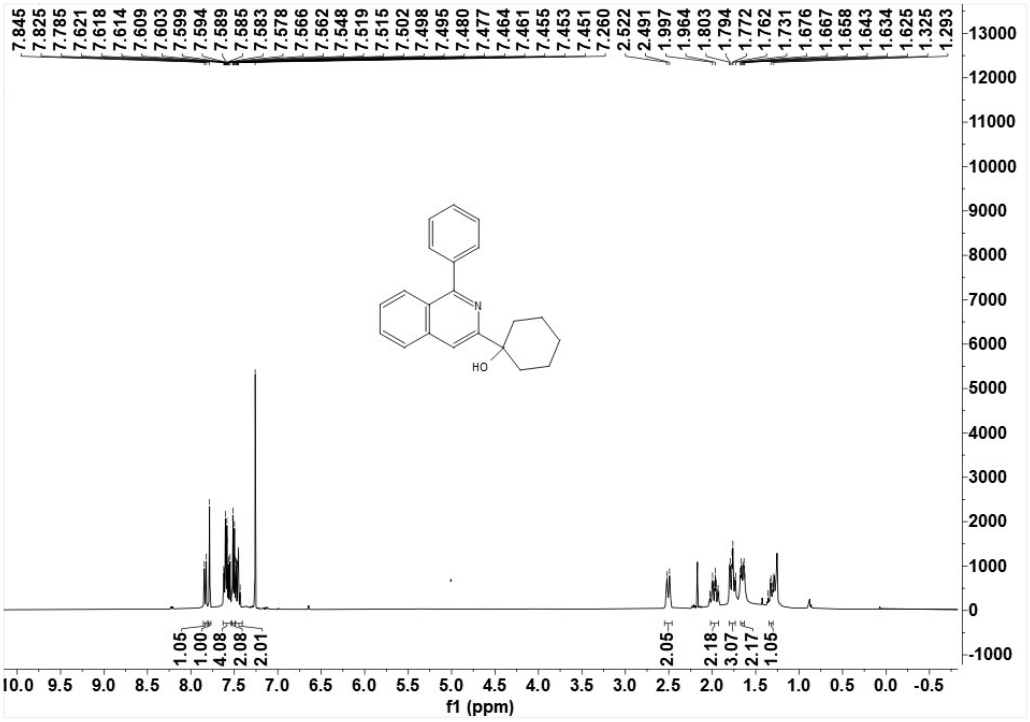
^{13}C NMR ($CDCl_3$, 100 MHz)



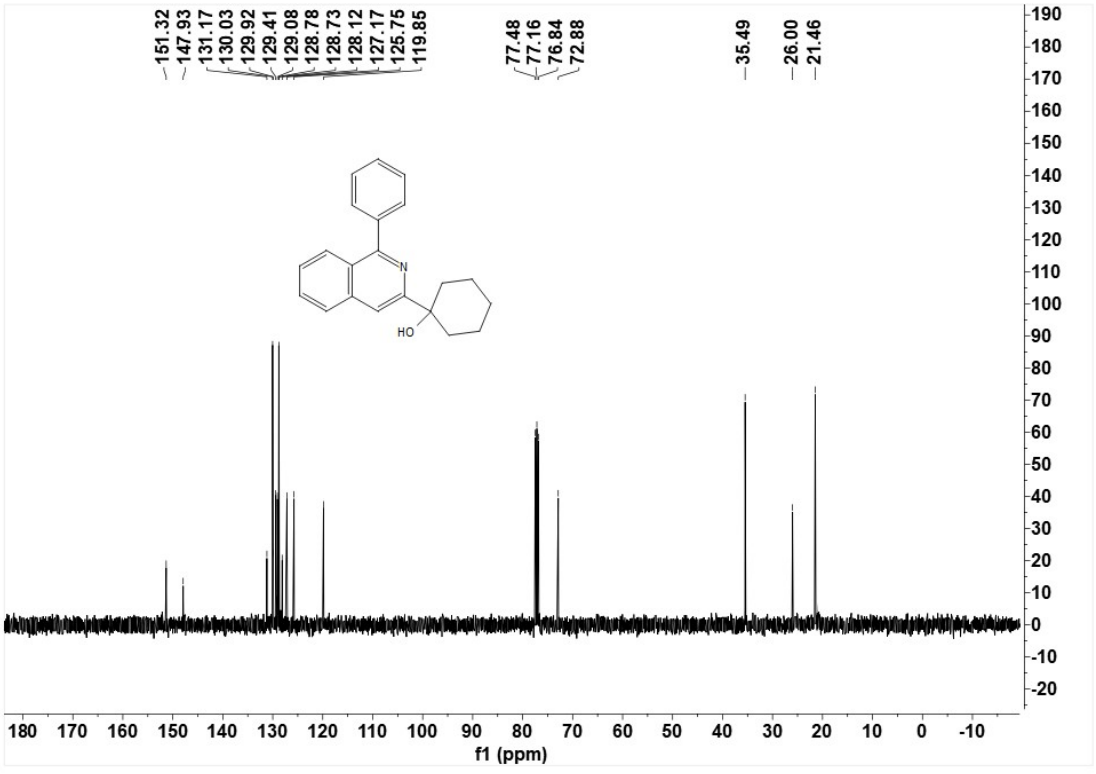
1-(1-Phenylisoquinolin-3-yl)cyclohexan-1-ol (3q)

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

ESI-66



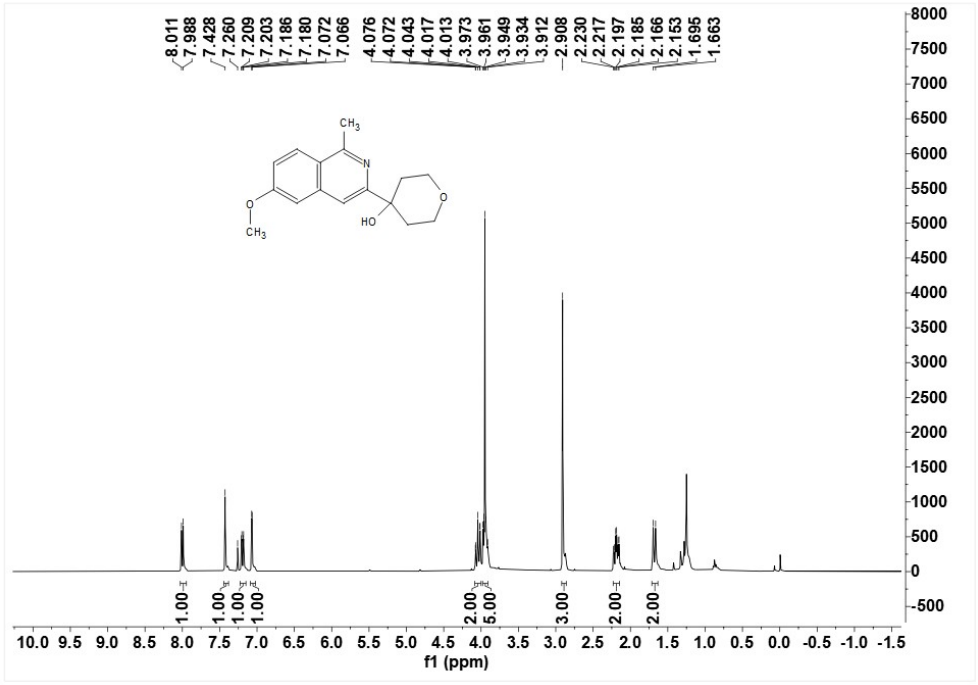
^{13}C NMR ($CDCl_3$, 100 MHz)



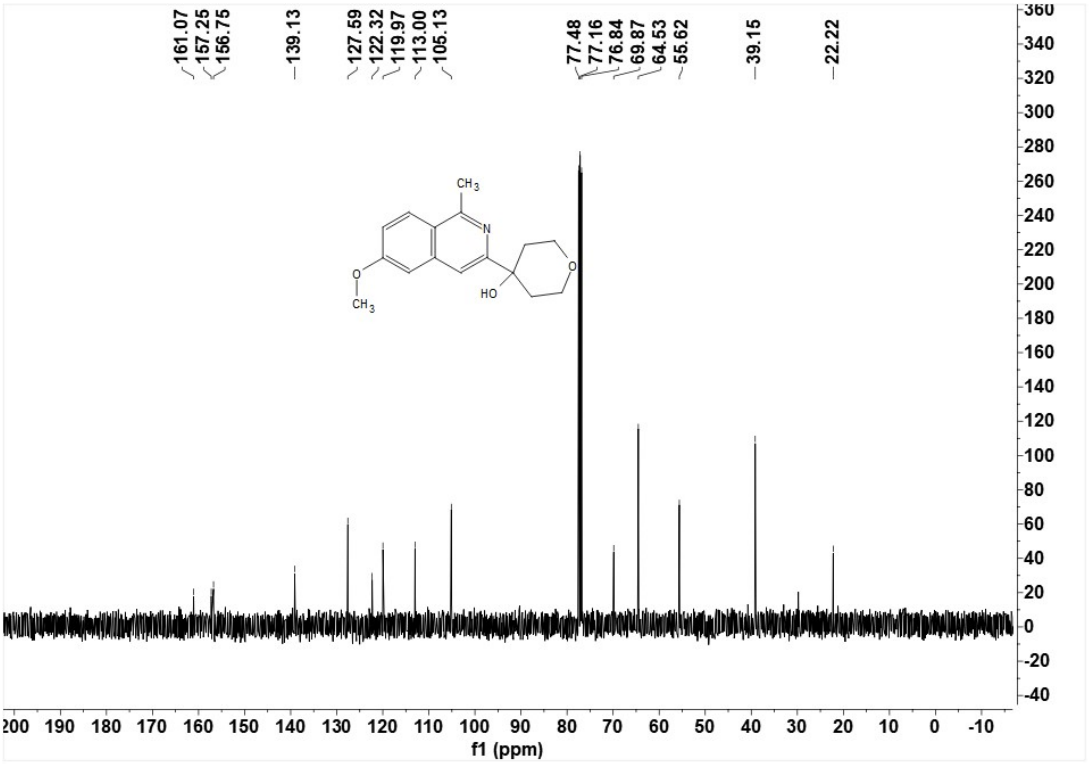
4-(6-Methoxy-1-methylisoquinolin-3-yl)tetrahydro-2*H*-pyran-4-ol (3r)

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

ESI-67



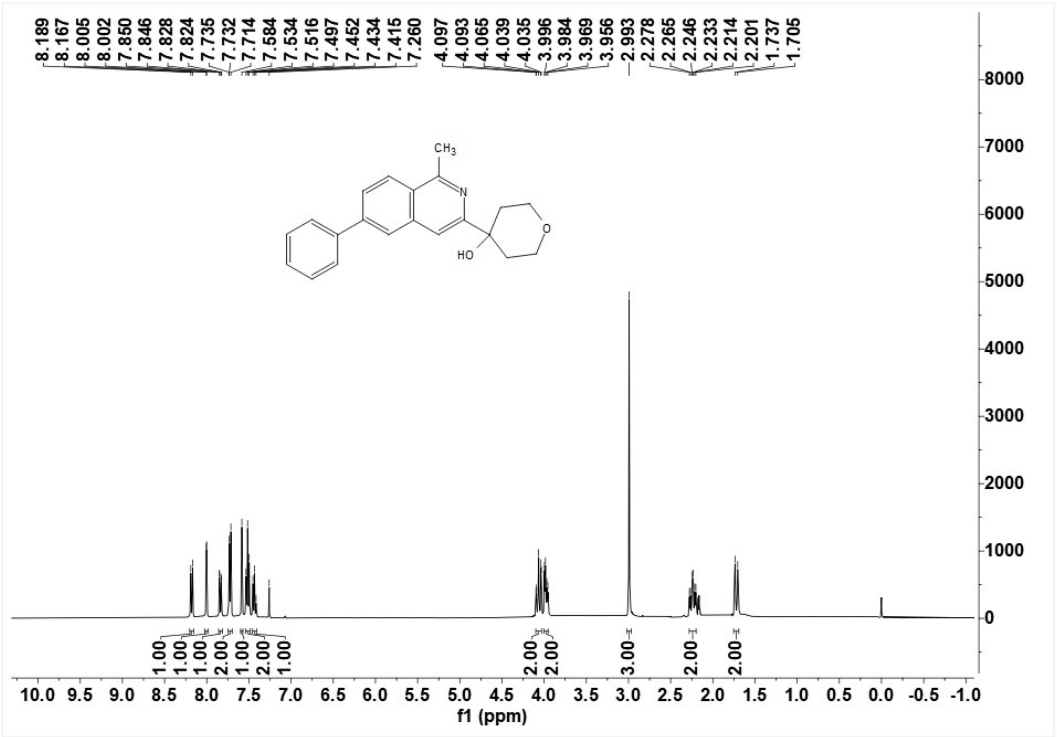
^{13}C NMR ($CDCl_3$, 100 MHz)



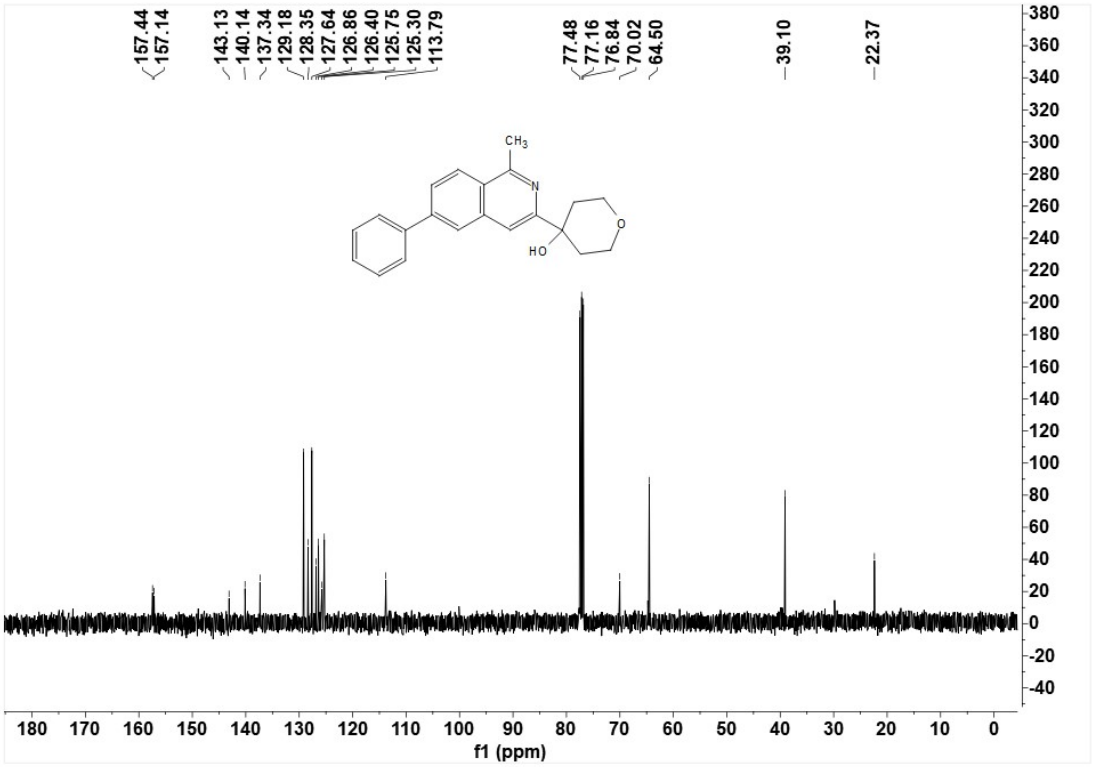
4-(1-Methyl-6-phenylisoquinolin-3-yl)tetrahydro-2*H*-pyran-4-ol (3s)

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

ESI-68

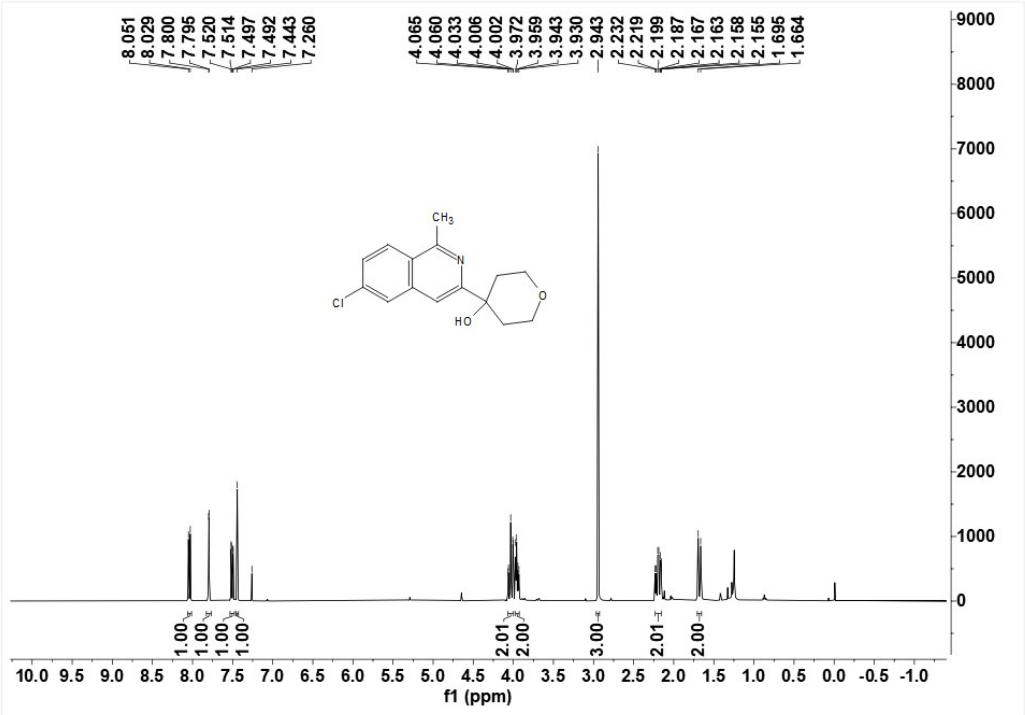


^{13}C NMR ($CDCl_3$, 100 MHz)

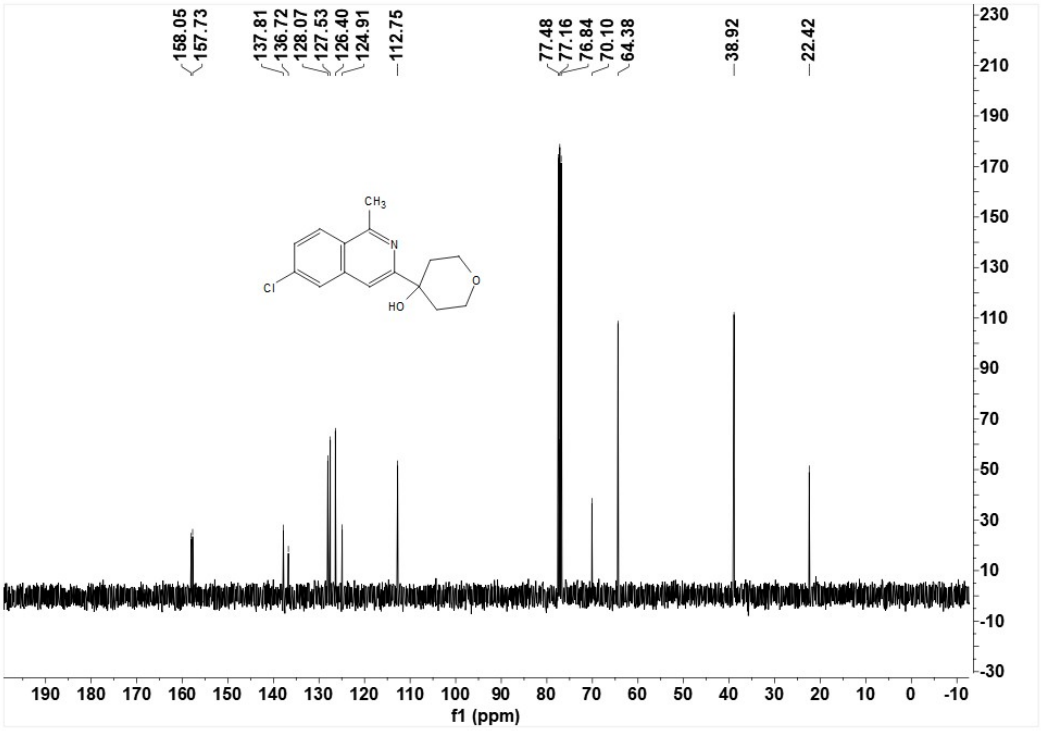


4-(6-Chloro-1-methylisoquinolin-3-yl)tetrahydro-2*H*-pyran-4-ol (3t)

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



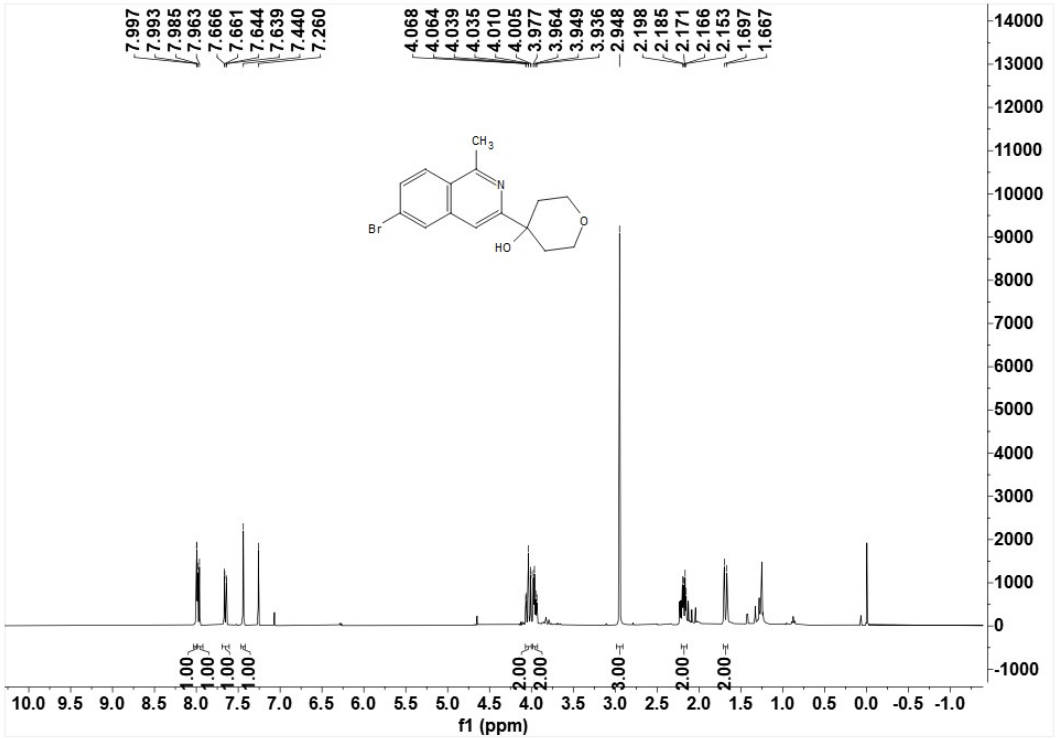
^{13}C NMR ($CDCl_3$, 100 MHz)



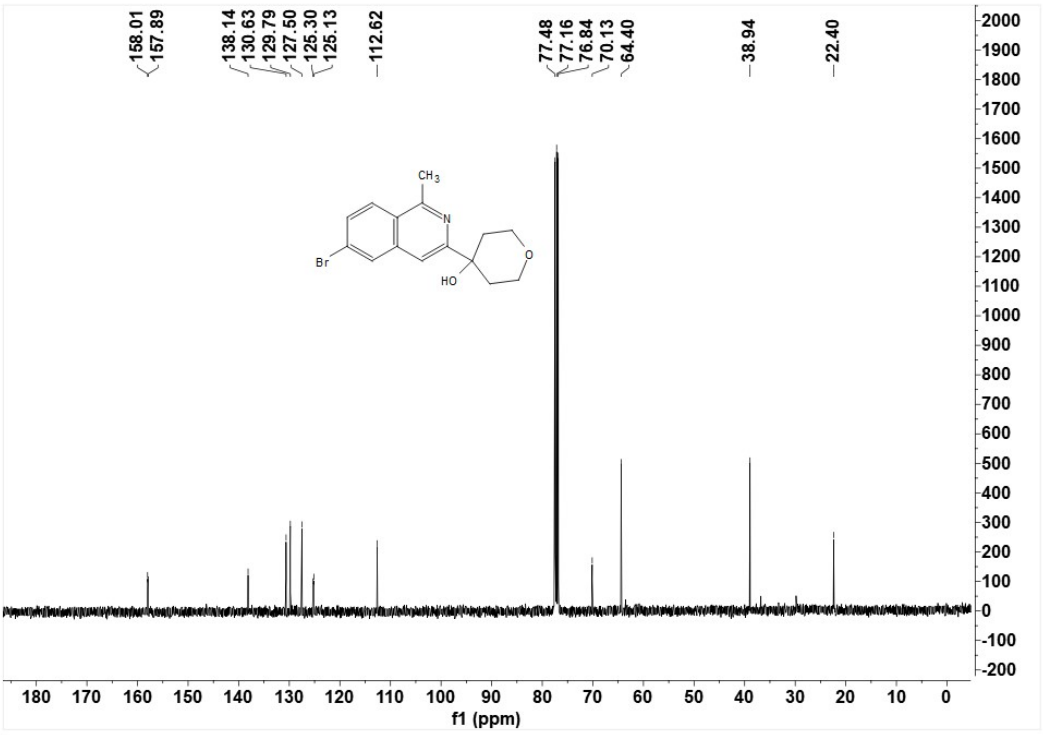
4-(6-Bromo-1-methylisoquinolin-3-yl)tetrahydro-2*H*-pyran-4-ol (3u)

ESI-70

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

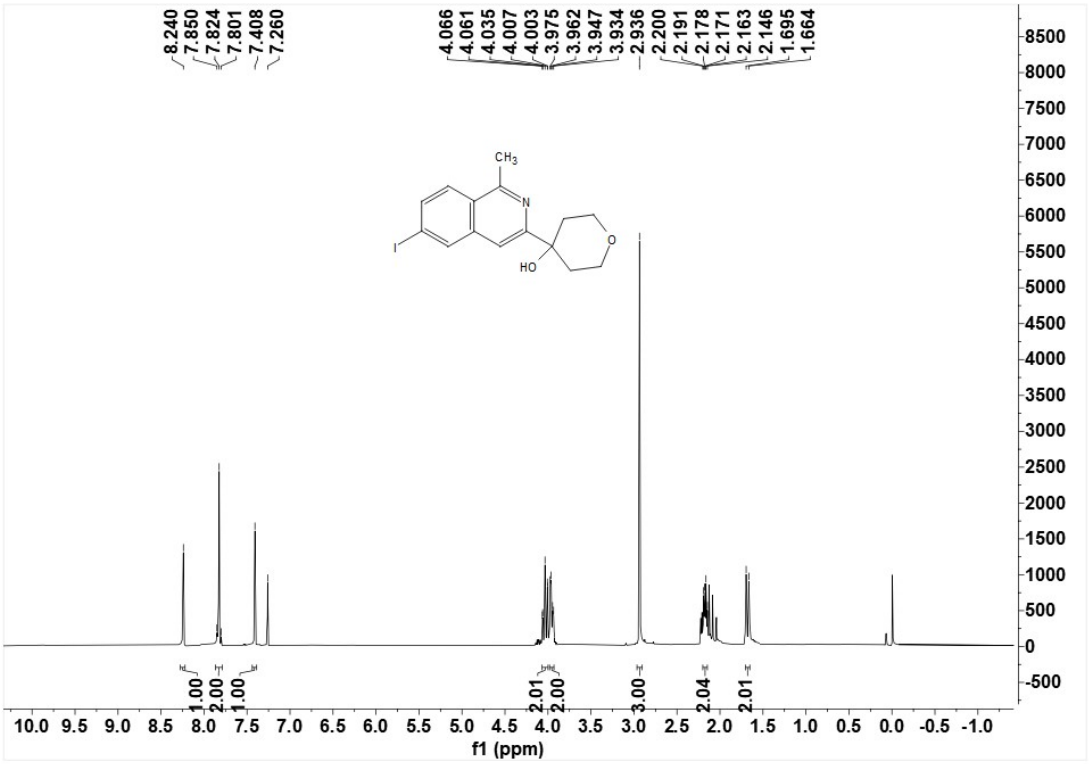


^{13}C NMR ($CDCl_3$, 100 MHz)

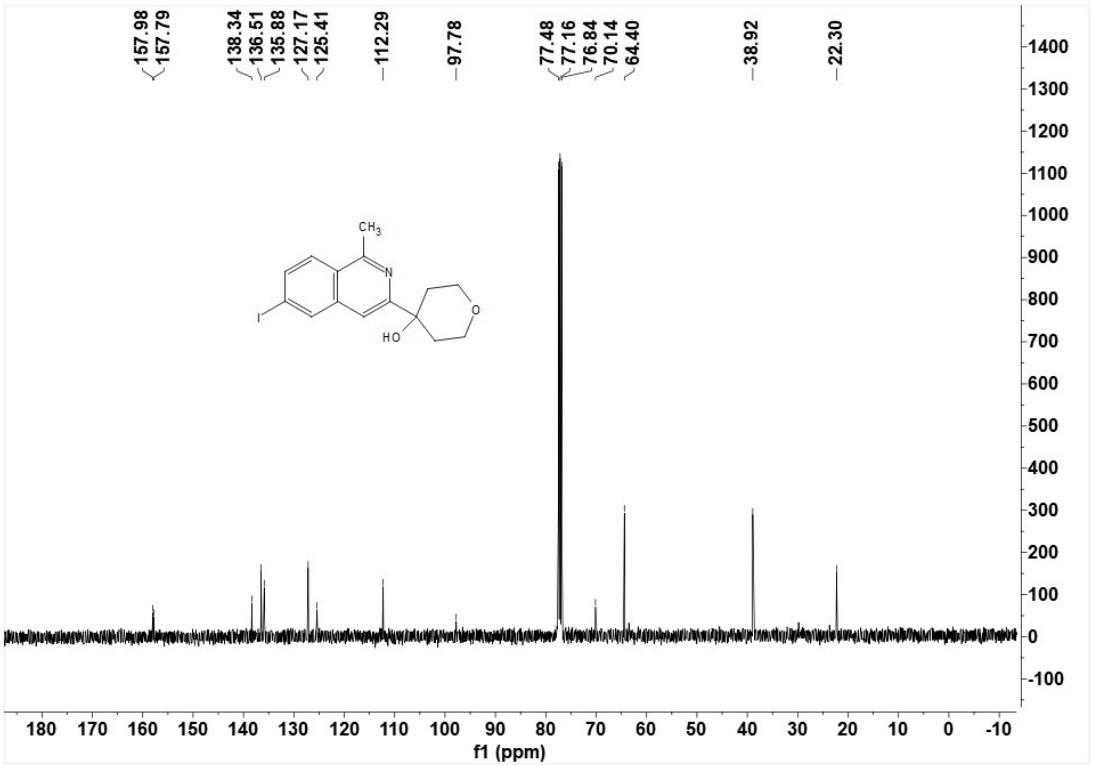


4-(6-Iodo-1-methylisoquinolin-3-yl)tetrahydro-2*H*-pyran-4-ol (3v)

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

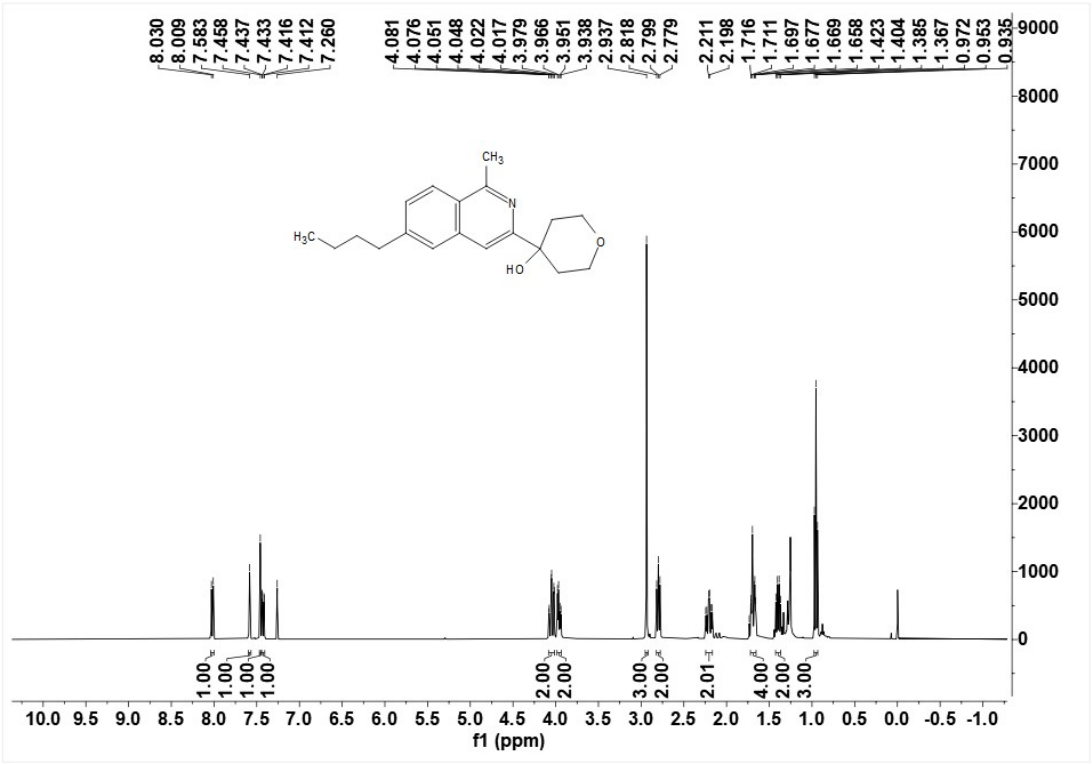


^{13}C NMR ($CDCl_3$, 100 MHz)

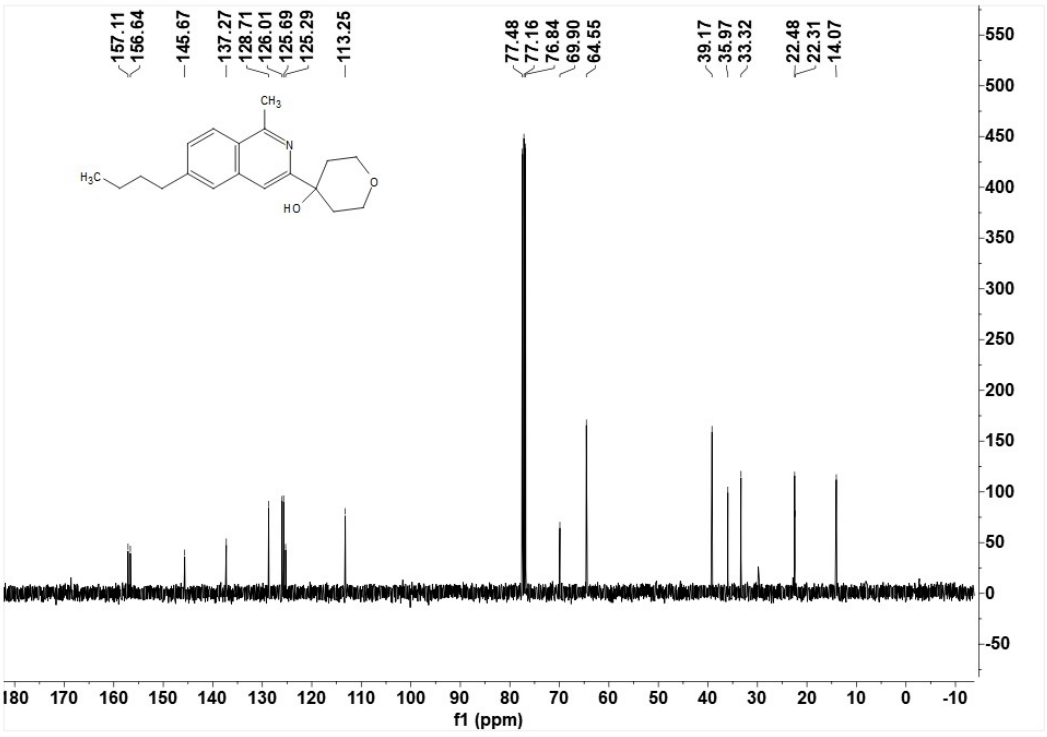


4-(6-Butyl-1-methylisoquinolin-3-yl)tetrahydro-2H-pyran-4-ol (3w)

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

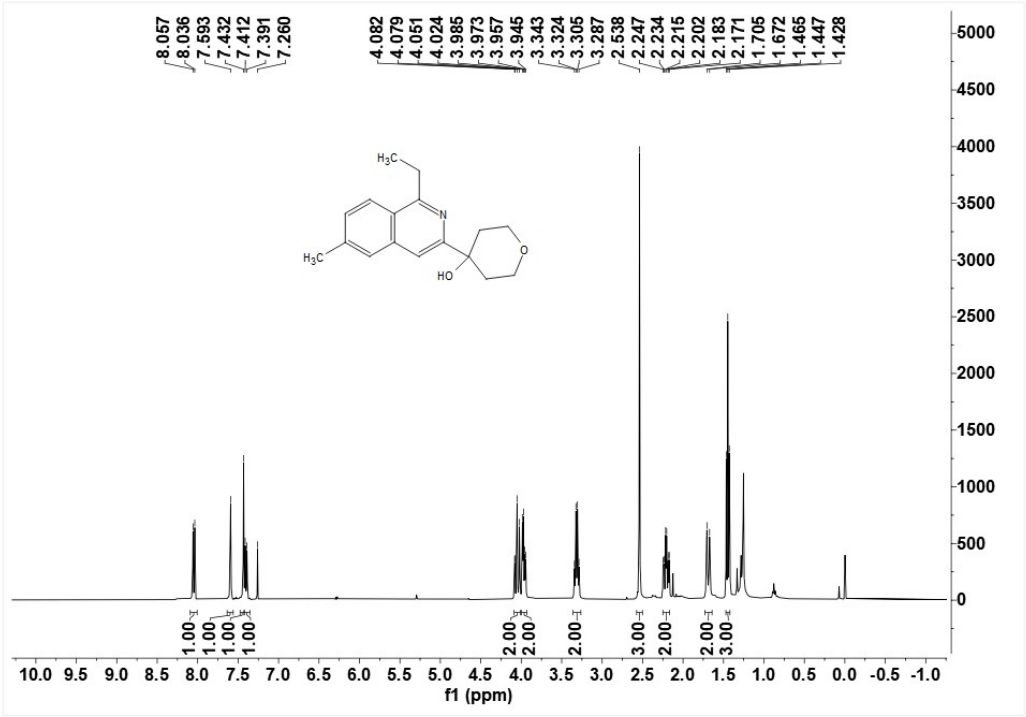


^{13}C NMR ($CDCl_3$, 100 MHz)

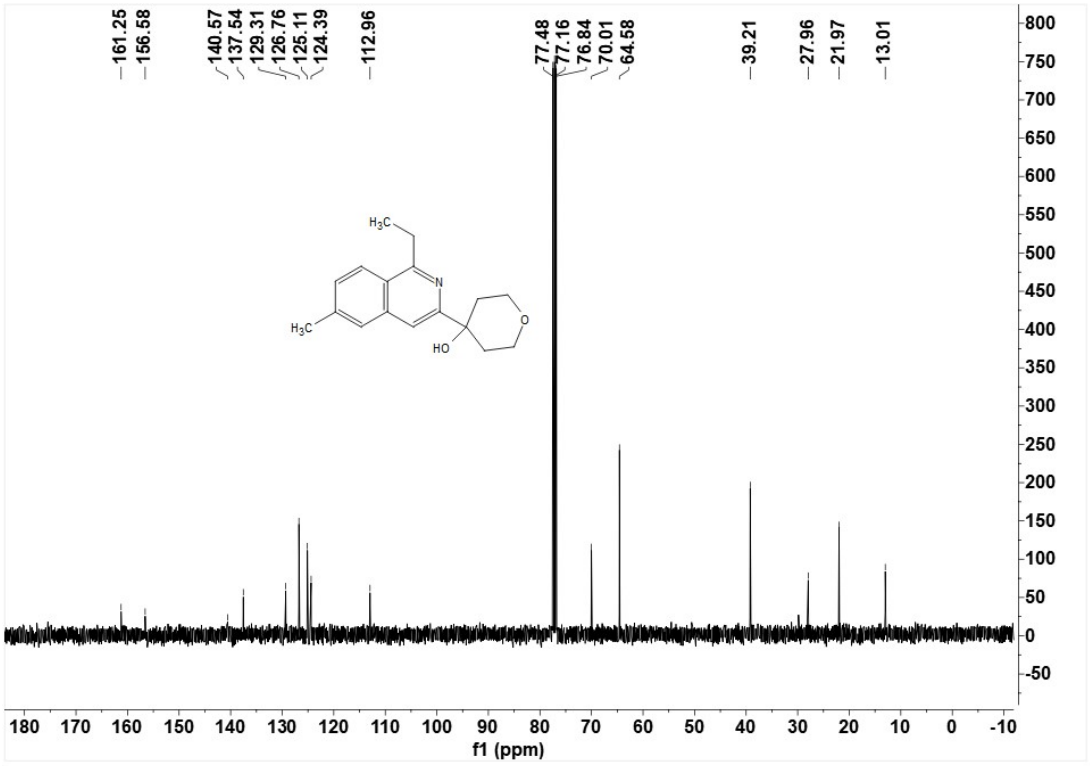


4-(1-Ethyl-6-methylisoquinolin-3-yl)tetrahydro-2*H*-pyran-4-ol (3x)
ESI-73

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



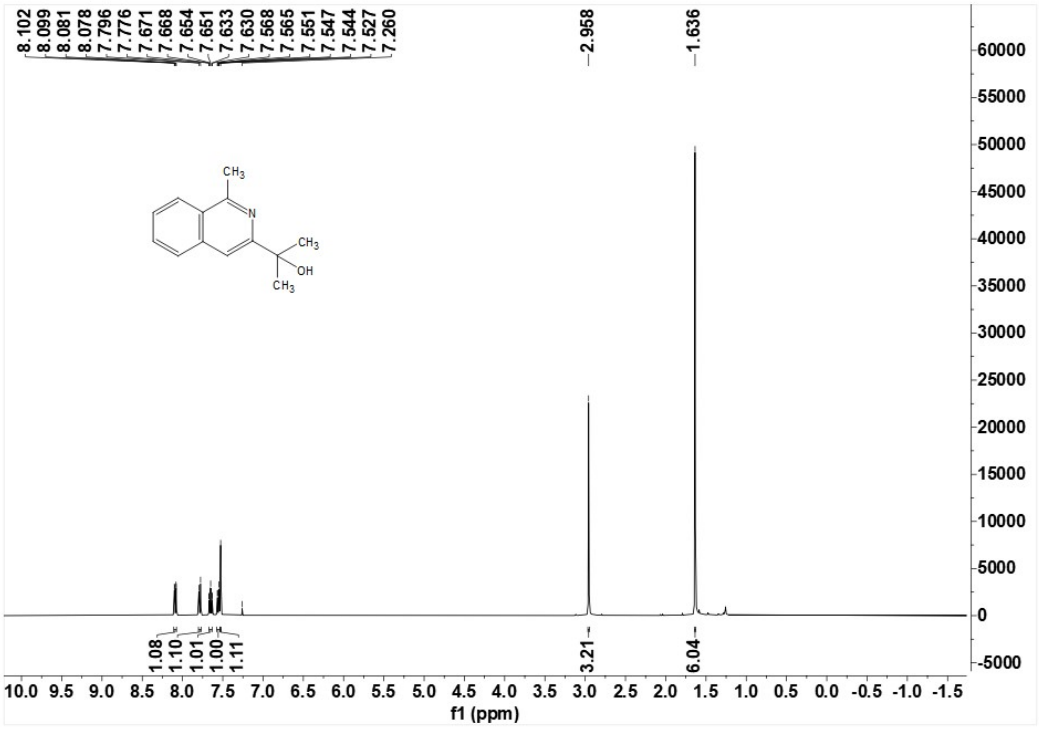
^{13}C NMR ($CDCl_3$, 100 MHz)



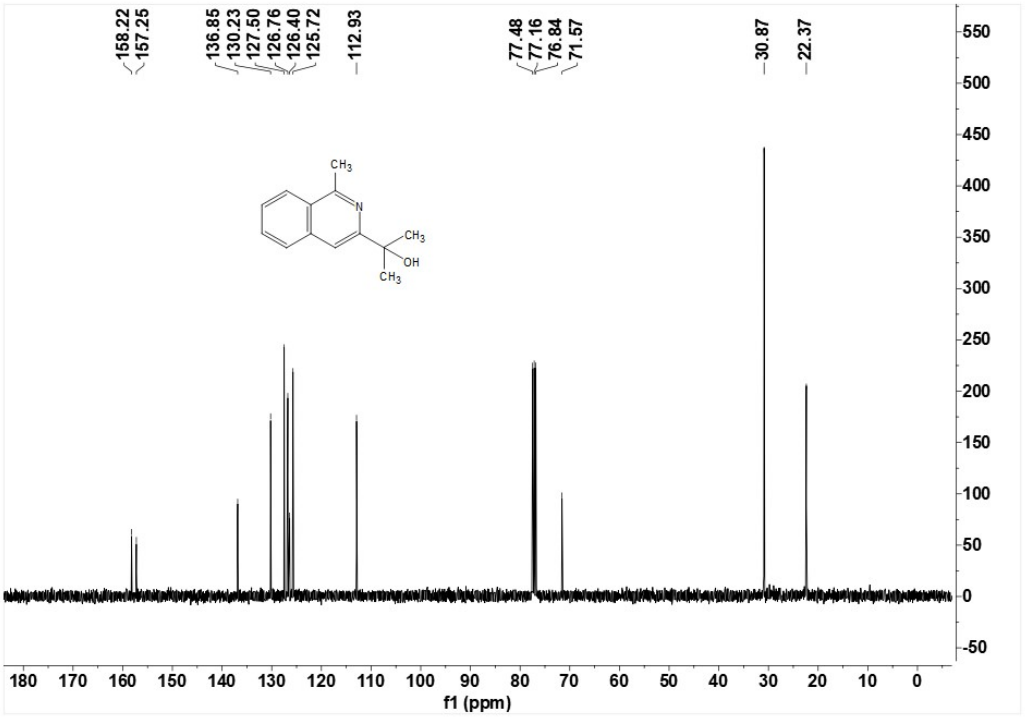
2-(1-Methylisoquinolin-3-yl)propan-2-ol (3y)

ESI-74

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



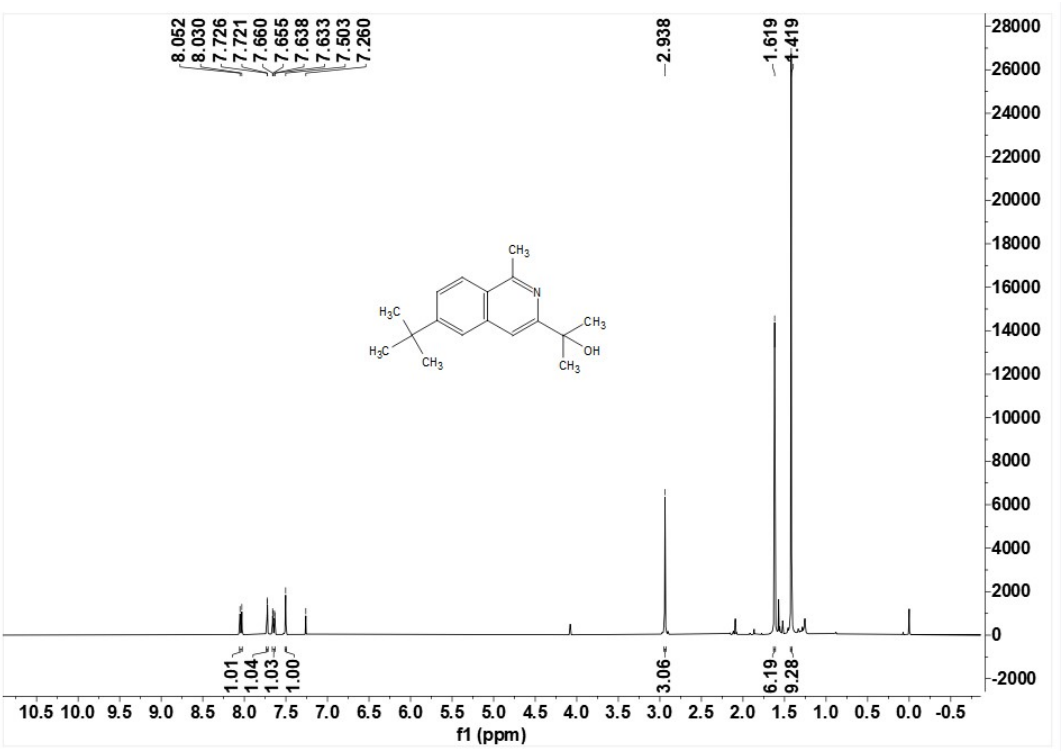
^{13}C NMR ($CDCl_3$, 100 MHz)



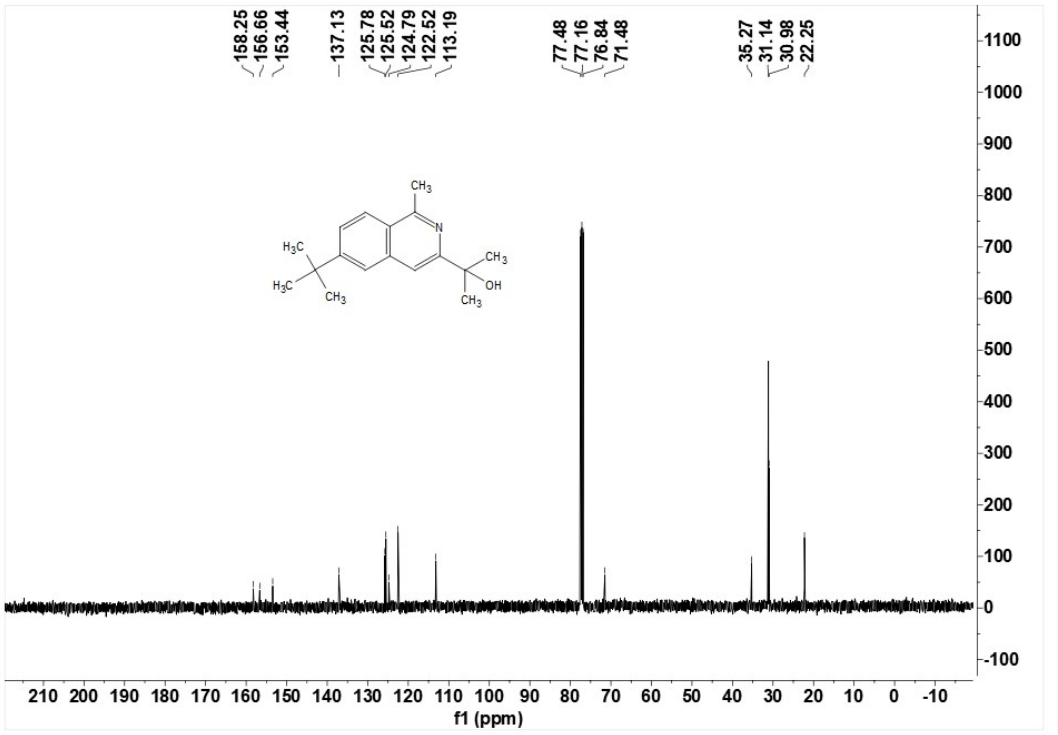
2-(6-(*tert*-Butyl)-1-methylisoquinolin-3-yl)propan-2-ol (3z)

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

ESI-75



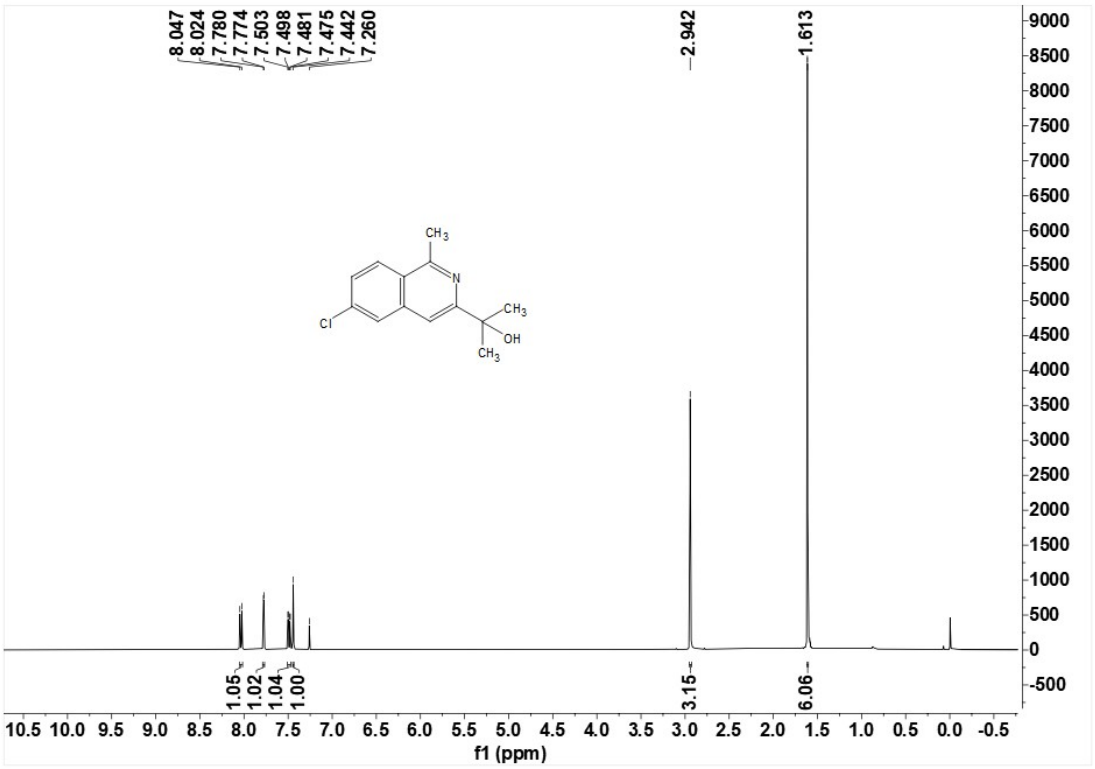
^{13}C NMR ($CDCl_3$, 100 MHz)



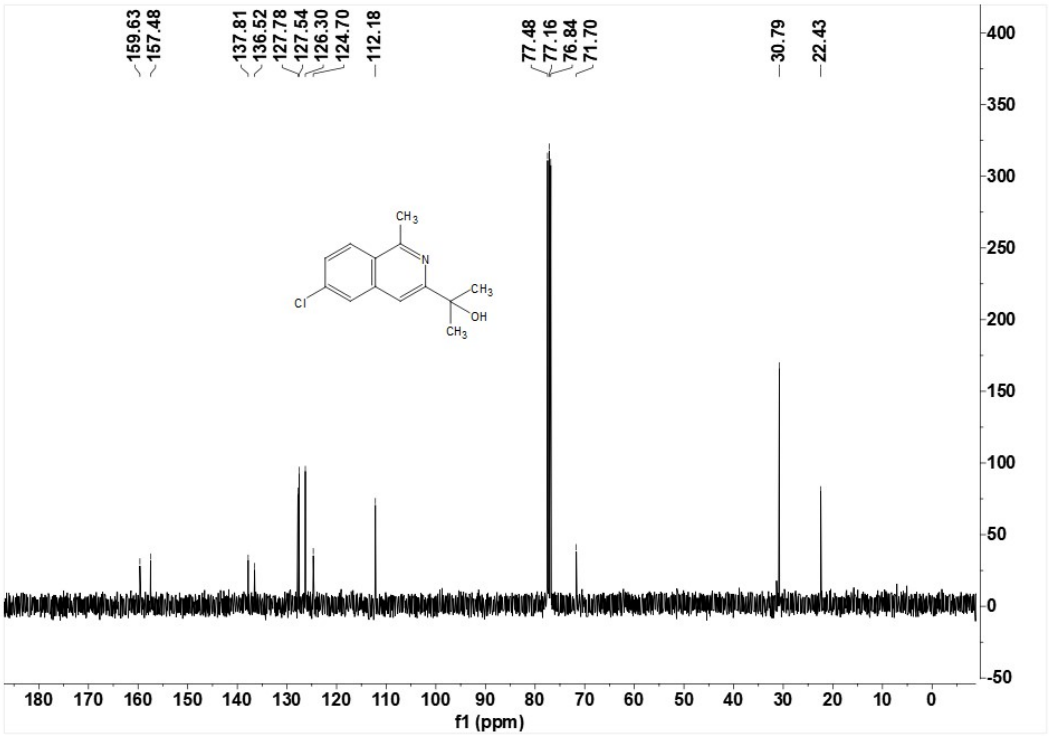
2-(6-Chloro-1-methylisoquinolin-3-yl)propan-2-ol (3za)

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

ESI-76



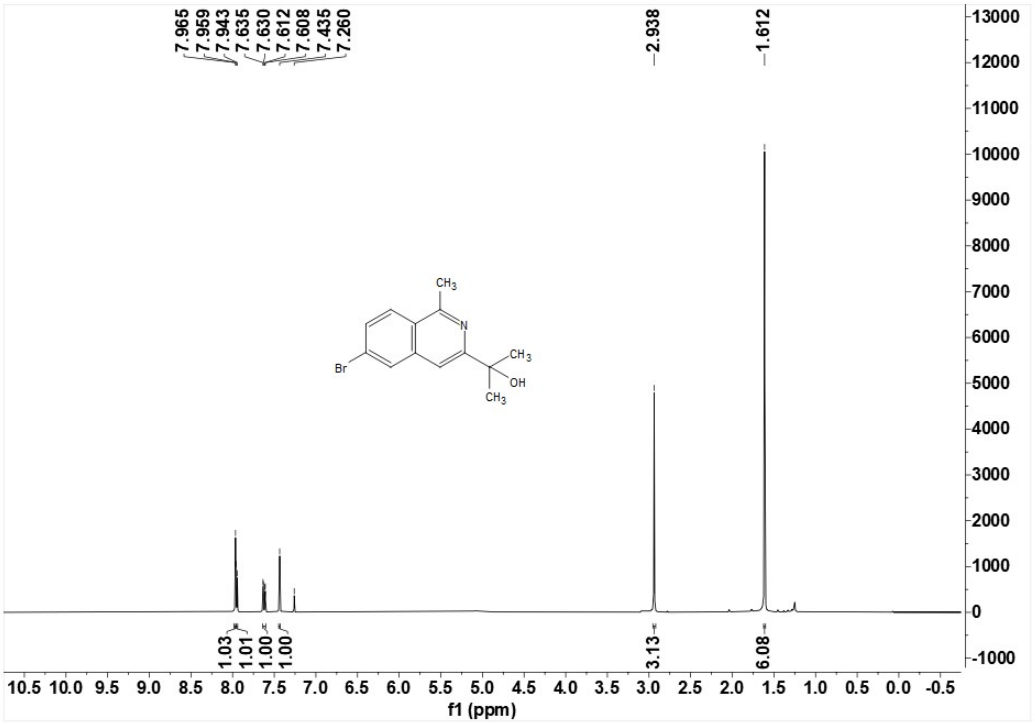
^{13}C NMR ($CDCl_3$, 100 MHz)



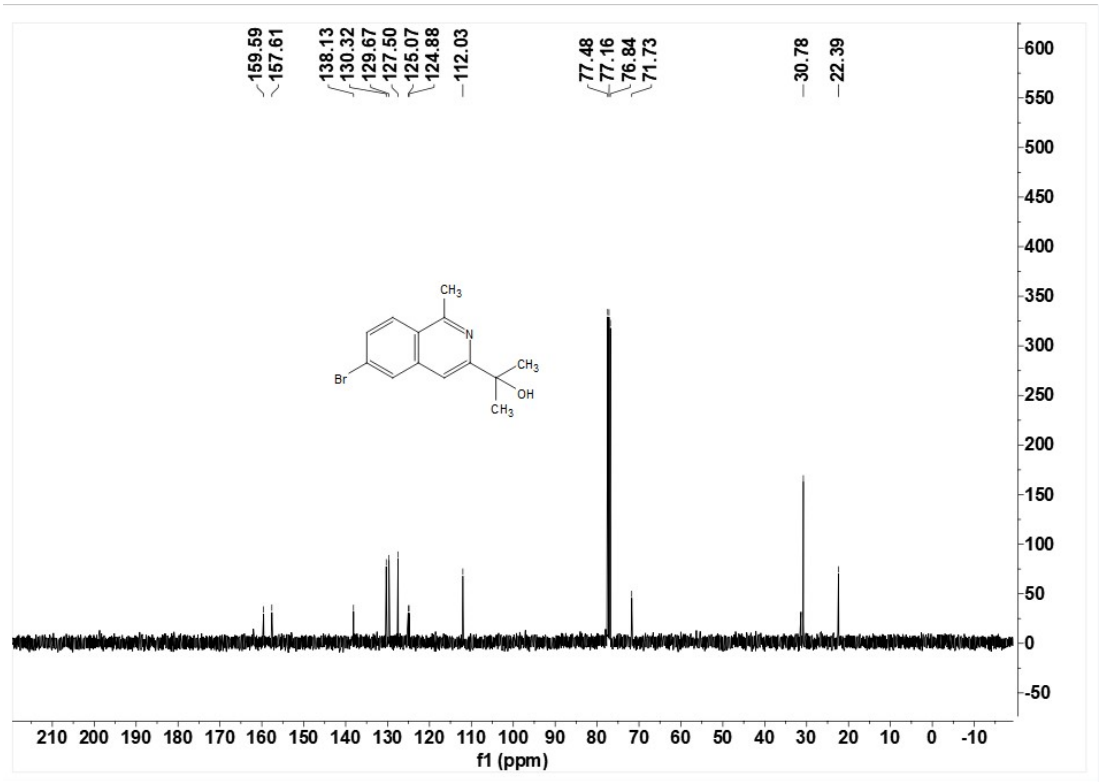
2-(6-Bromo-1-methylisoquinolin-3-yl)propan-2-ol (3zb)

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

ESI-77



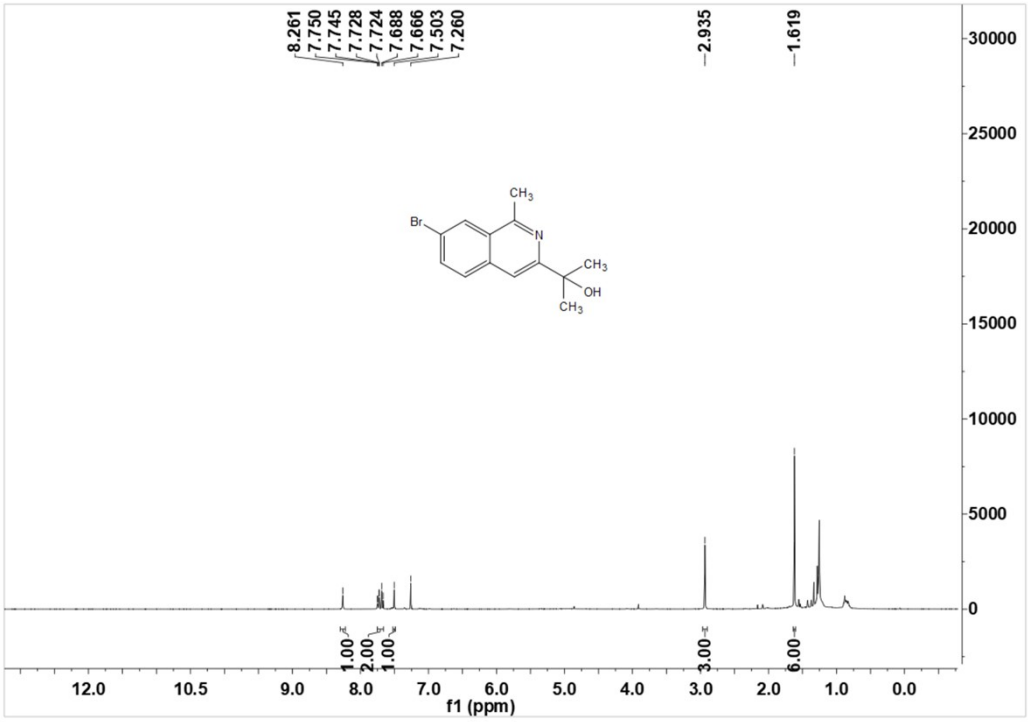
^{13}C NMR ($CDCl_3$, 100 MHz)



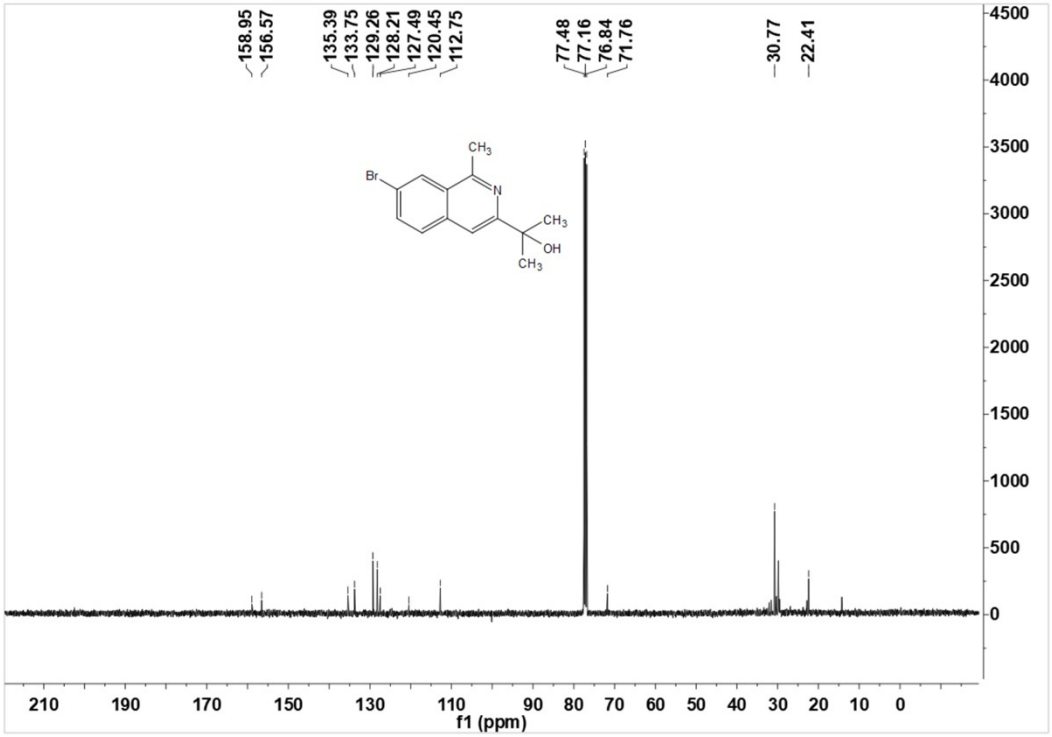
2-(7-Bromo-1-methylisoquinolin-3-yl)propan-2-ol (3zc)

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

ESI-78

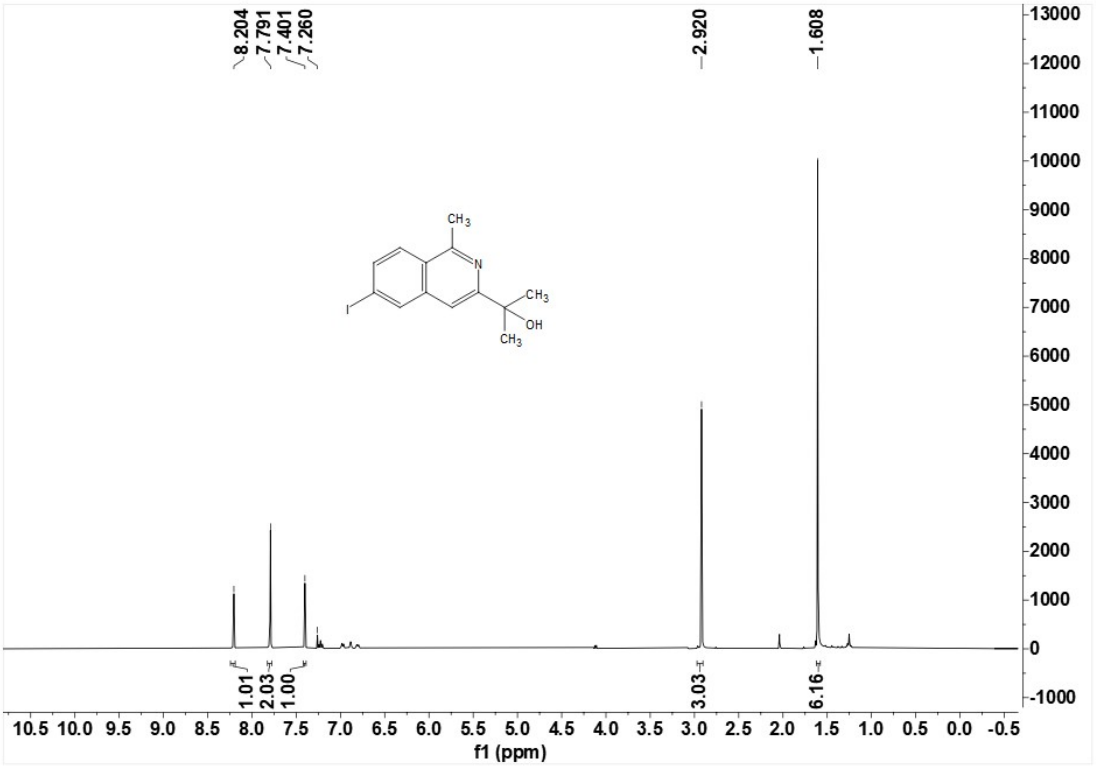


^{13}C NMR ($CDCl_3$, 100 MHz)

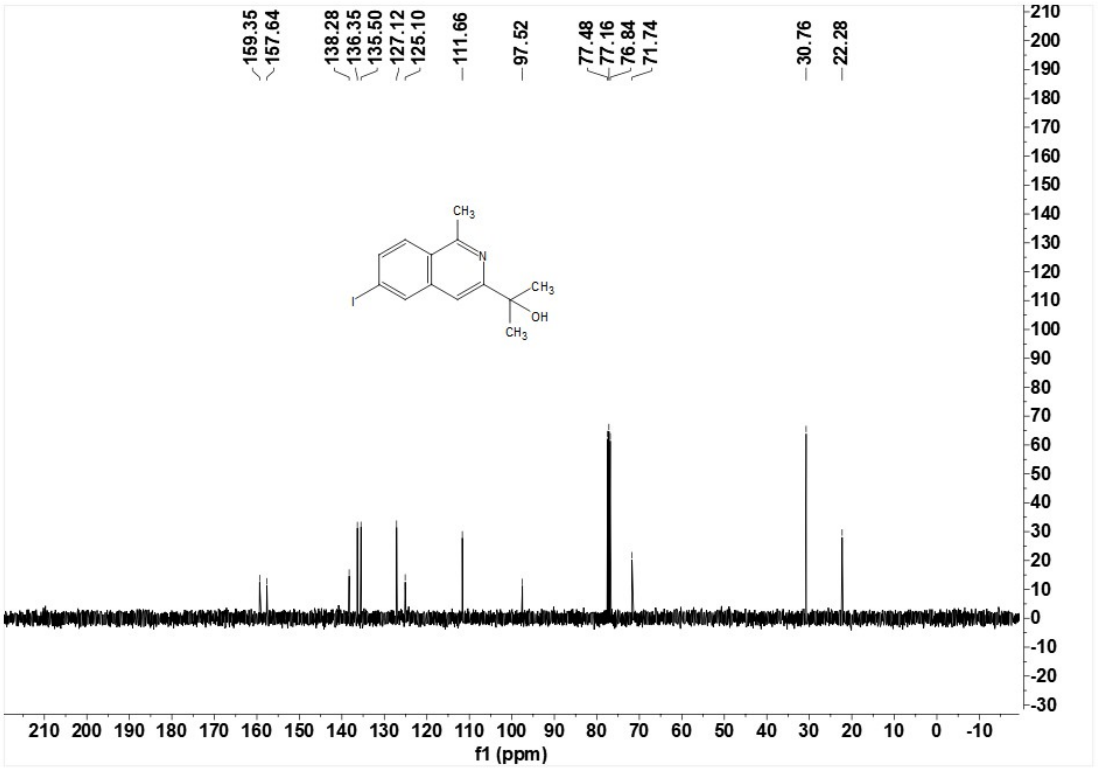


2-(6-Iodo-1-methylisoquinolin-3-yl)propan-2-ol (3zd)

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



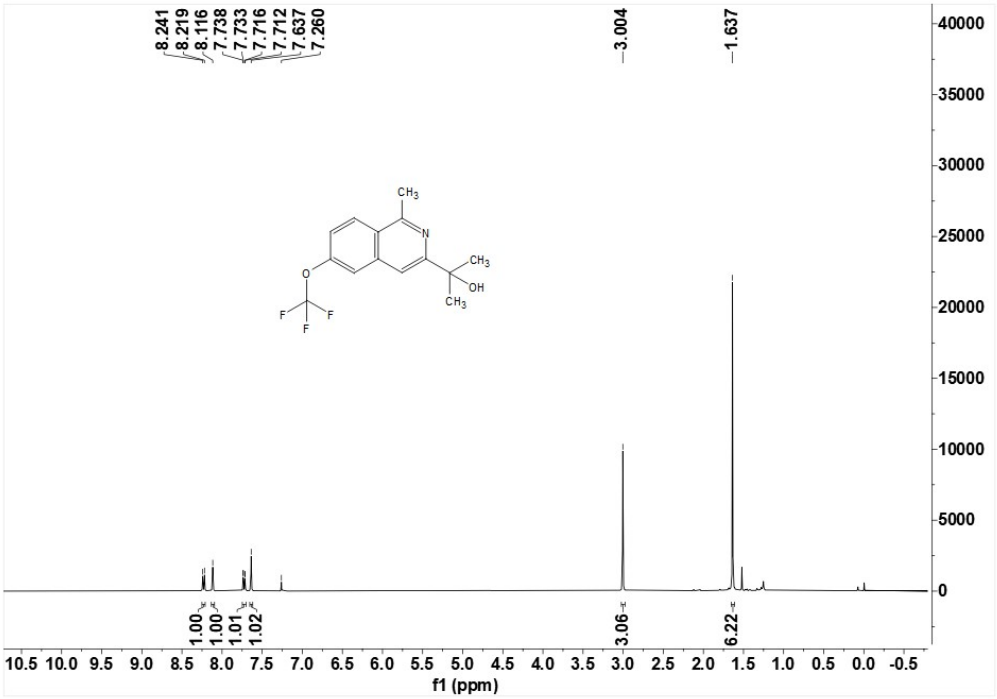
^{13}C NMR ($CDCl_3$, 100 MHz)



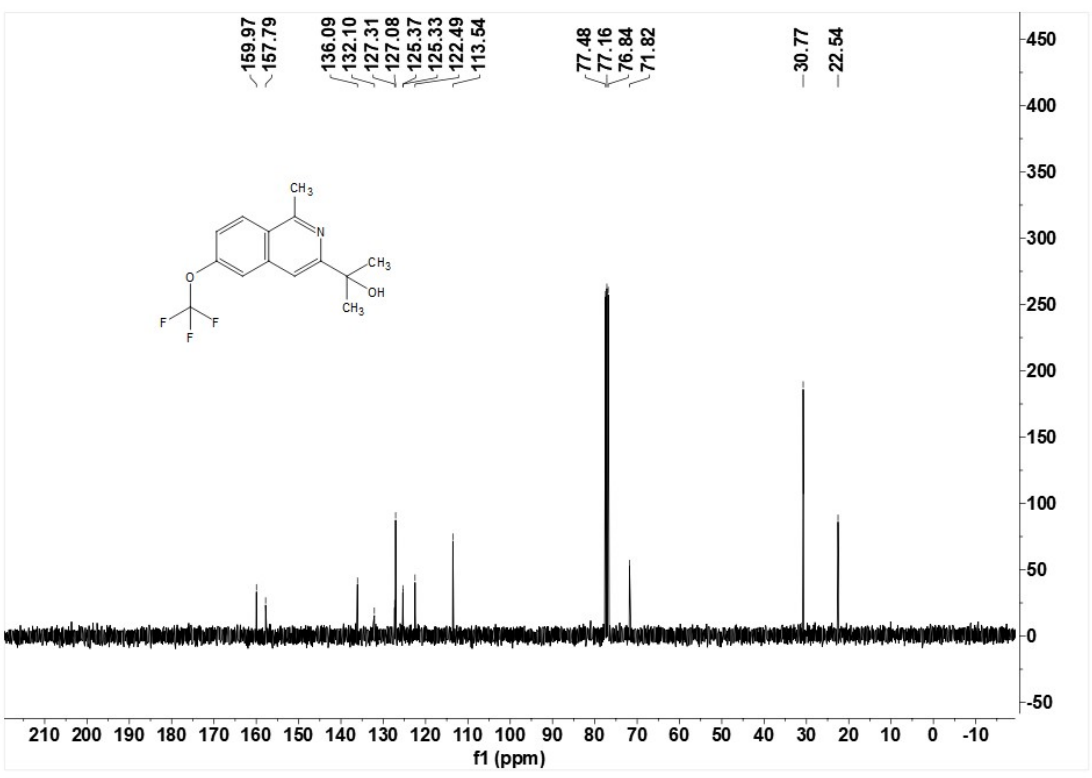
2-(1-Methyl-6-(trifluoromethoxy)isoquinolin-3-yl)propan-2-ol (3ze)

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

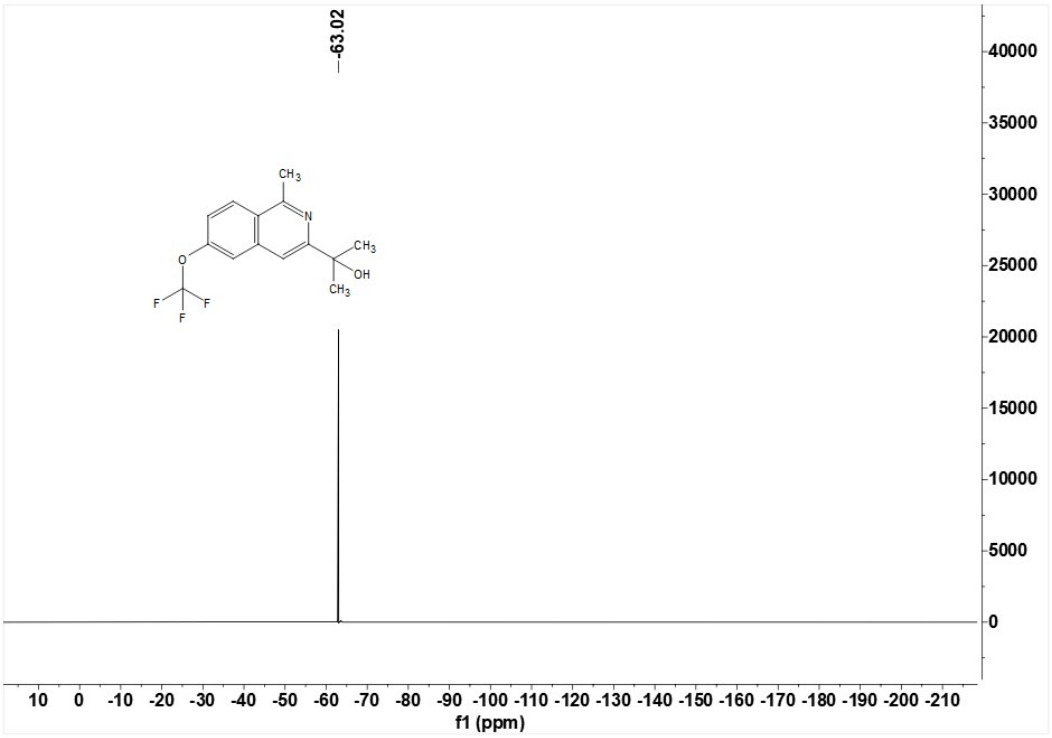
ESI-80



^{13}C NMR ($CDCl_3$, 100 MHz)

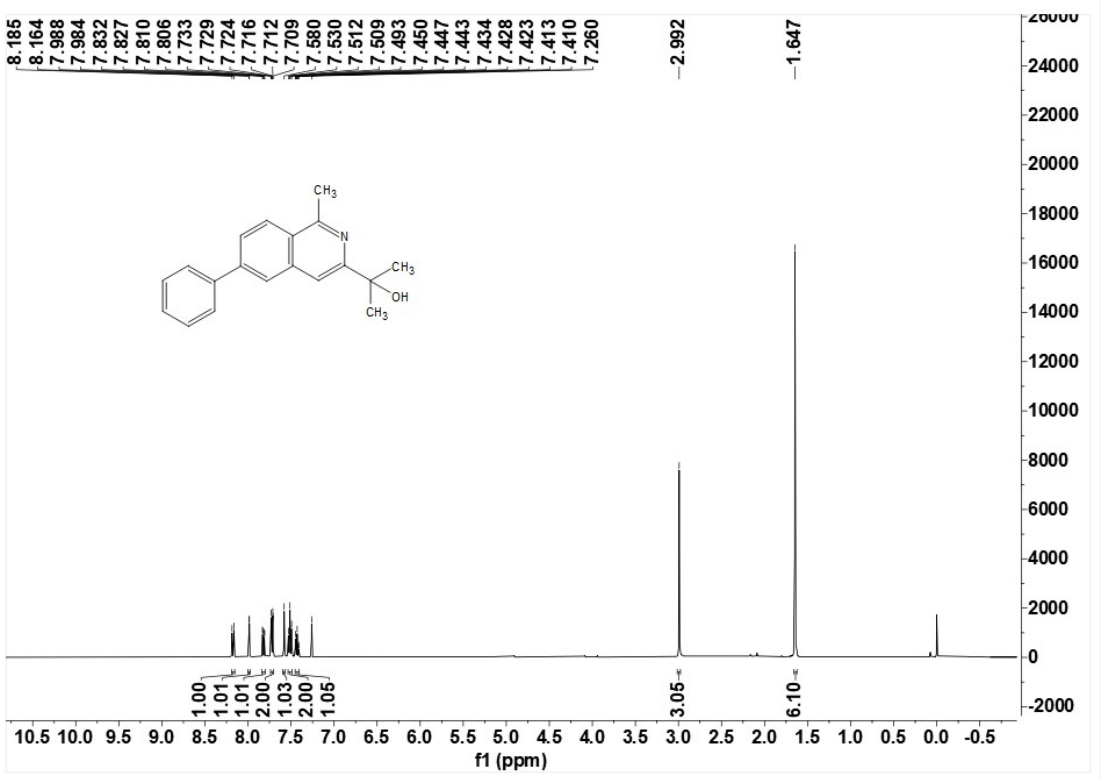


^{19}F NMR ($CDCl_3$, 376 MHz)

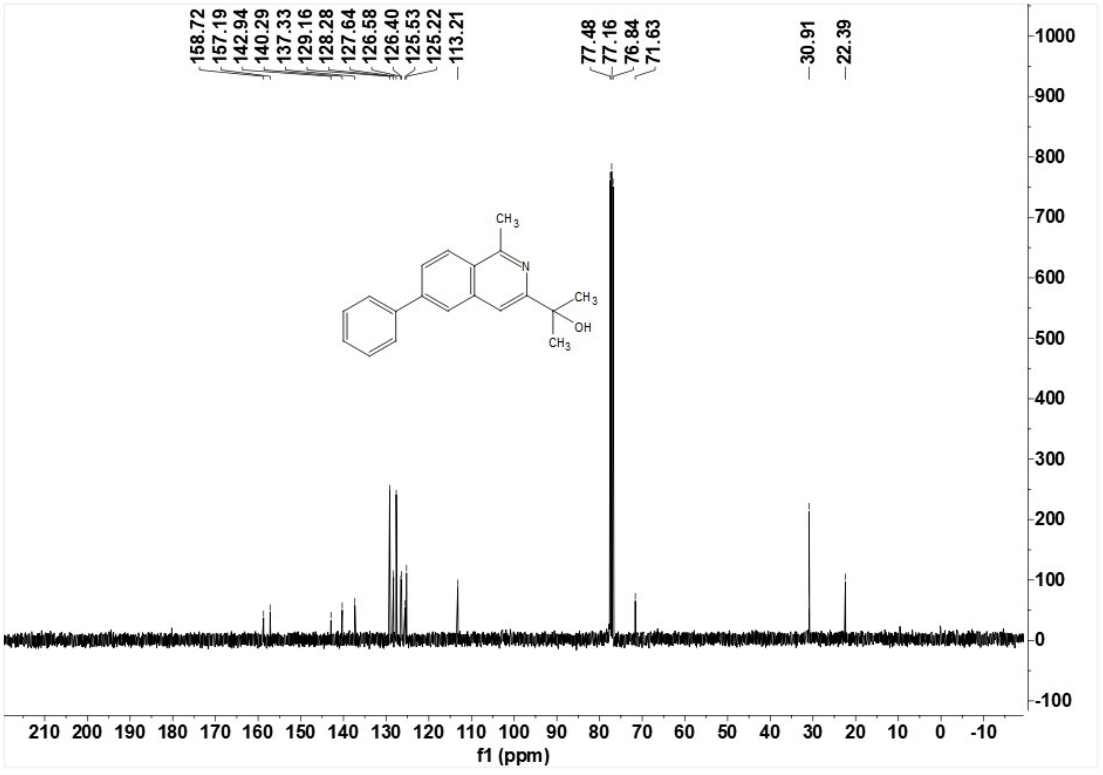


2-(1-Methyl-6-phenylisoquinolin-3-yl)propan-2-ol (3zf)

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

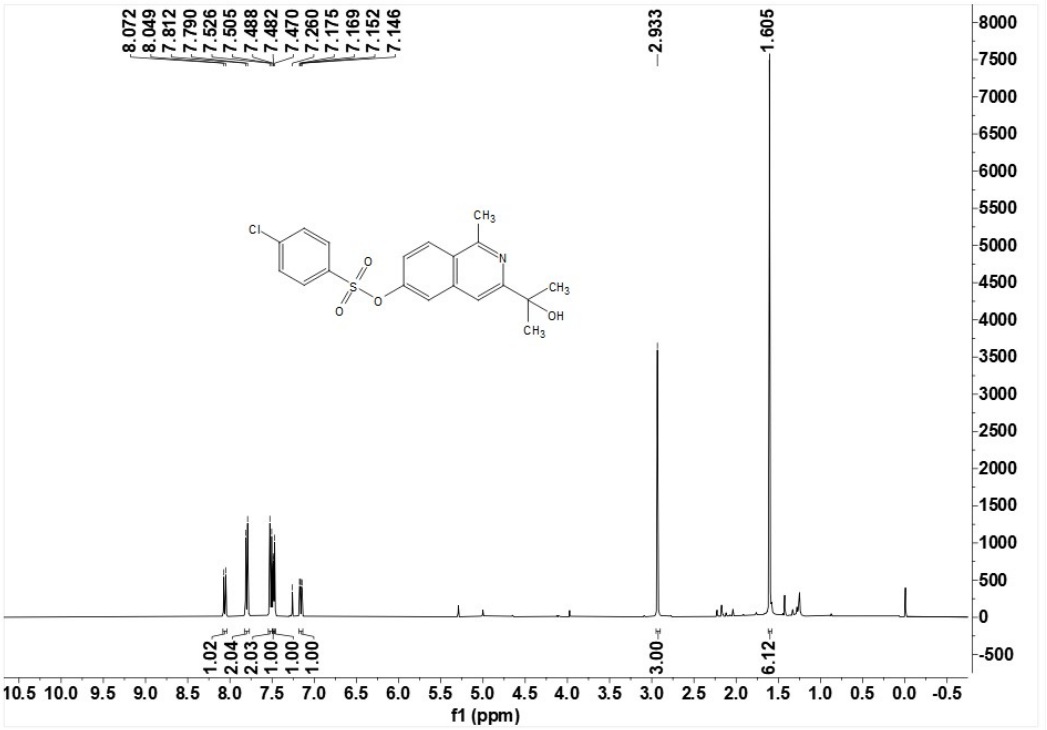


^{13}C NMR ($CDCl_3$, 100 MHz)

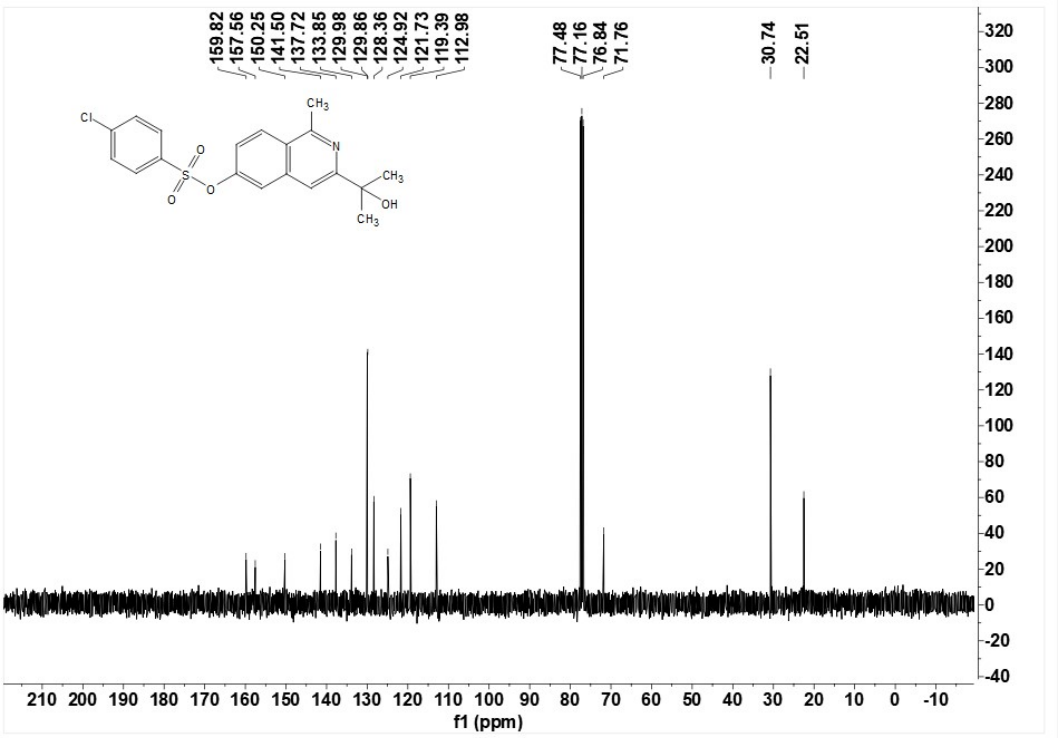


3-(2-Hydroxypropan-2-yl)-1-methylisoquinolin-6-yl 4-chlorobenzenesulfonate (3zg)

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

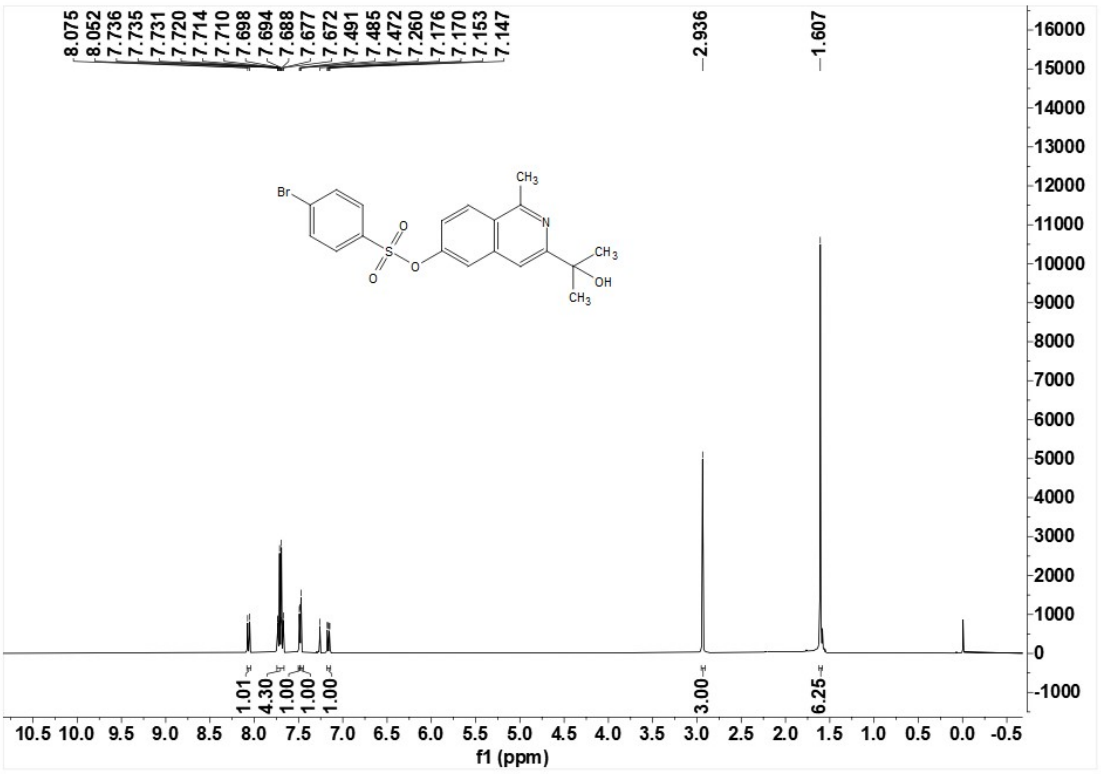


^{13}C NMR ($CDCl_3$, 100 MHz)

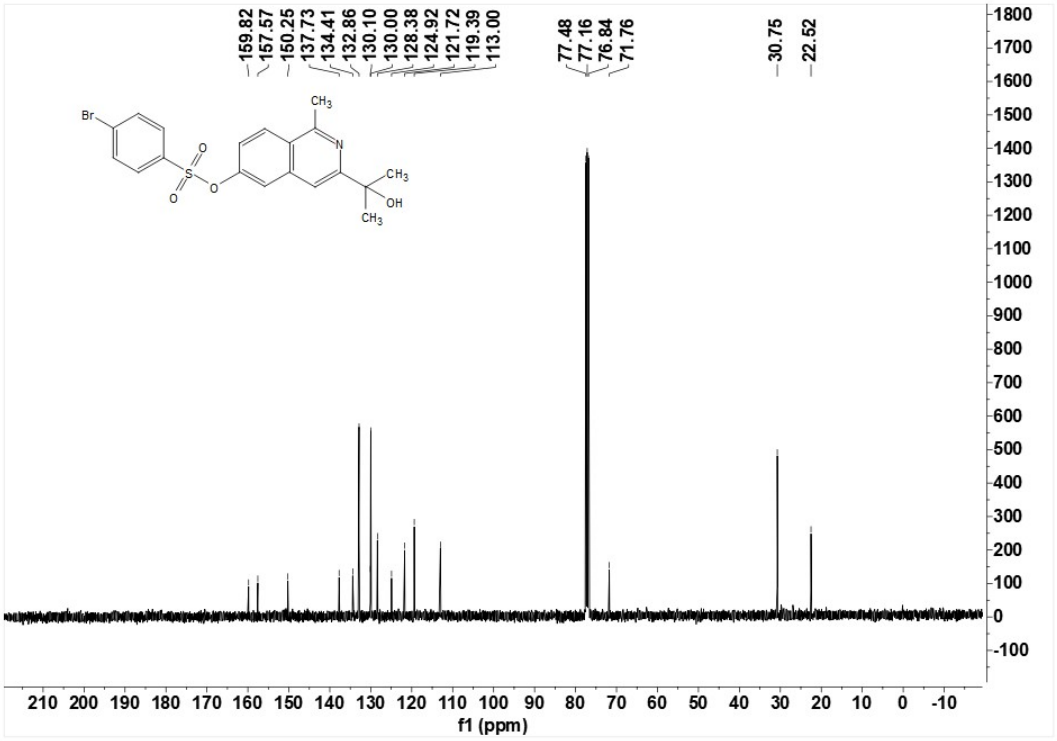


3-(2-Hydroxypropan-2-yl)-1-methylisoquinolin-6-yl 4-bromobenzenesulfonate (3zh)

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

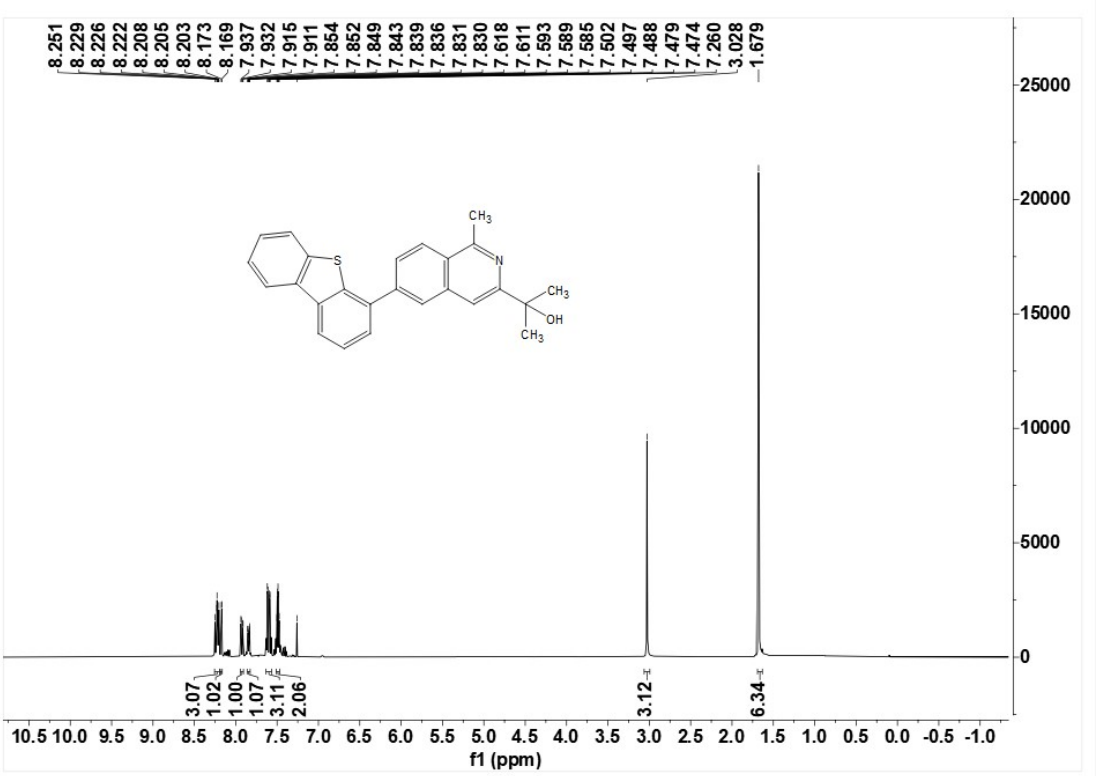


^{13}C NMR ($CDCl_3$, 100 MHz)

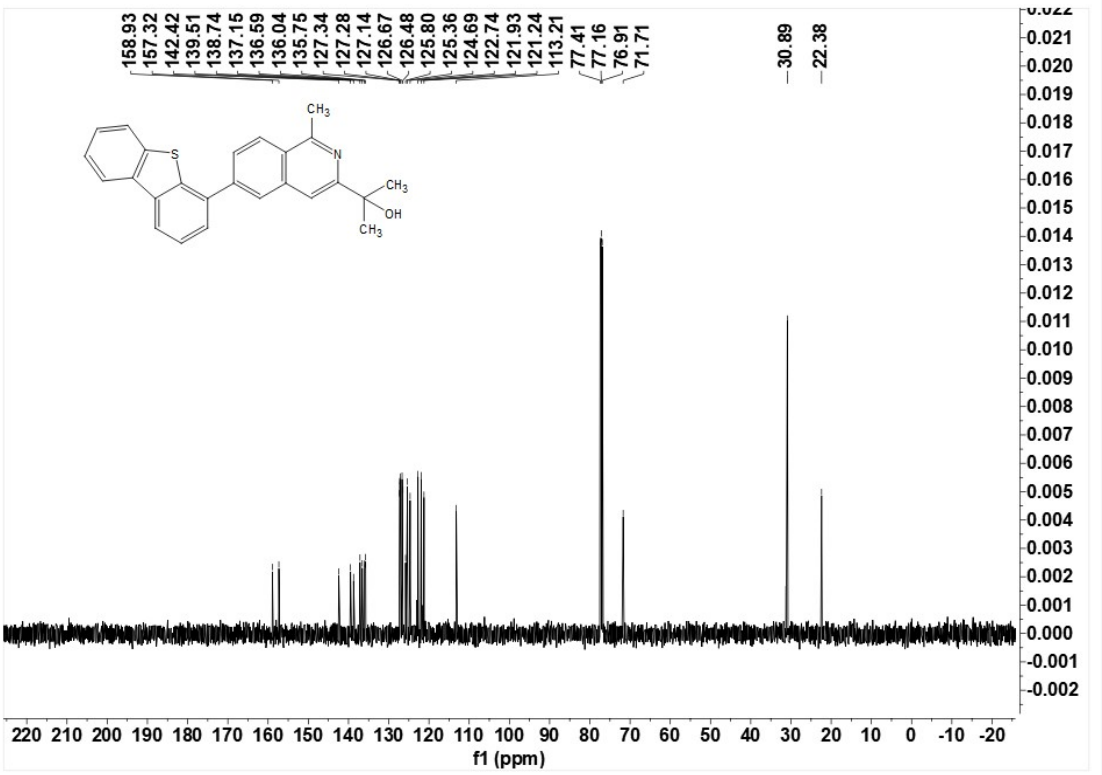


2-(6-(Dibenzo[b,d]thiophen-4-yl)-1-methylisoquinolin-3-yl)propan-2-ol (3zi)

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



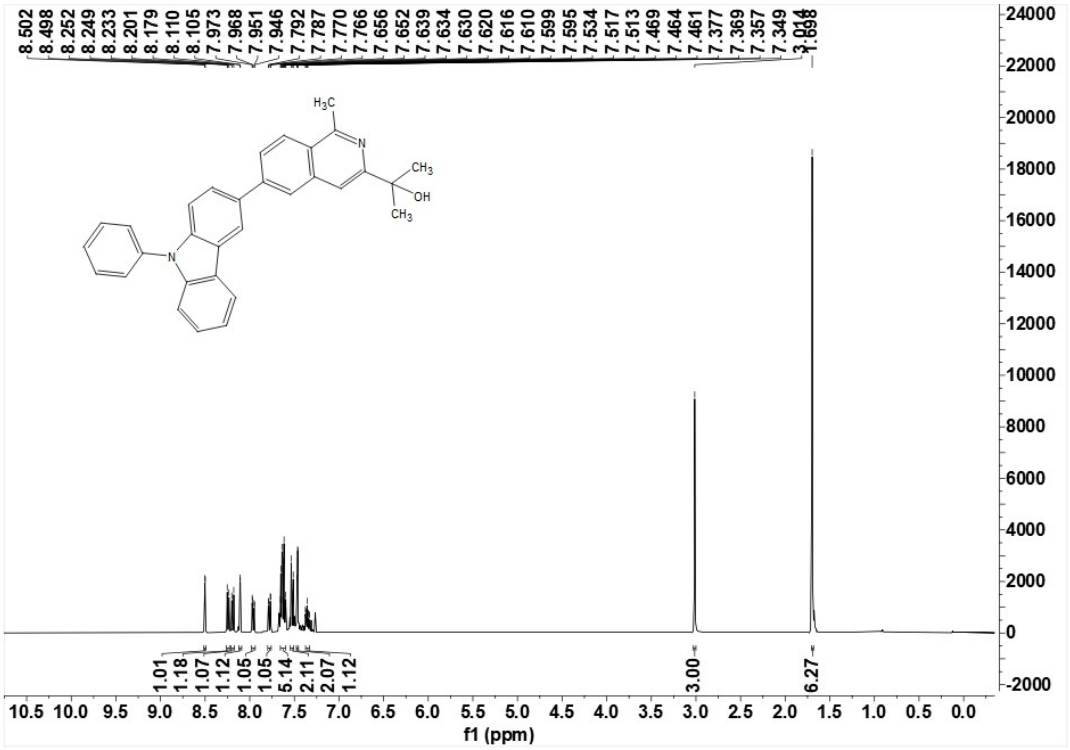
^{13}C NMR ($CDCl_3$, 100 MHz)



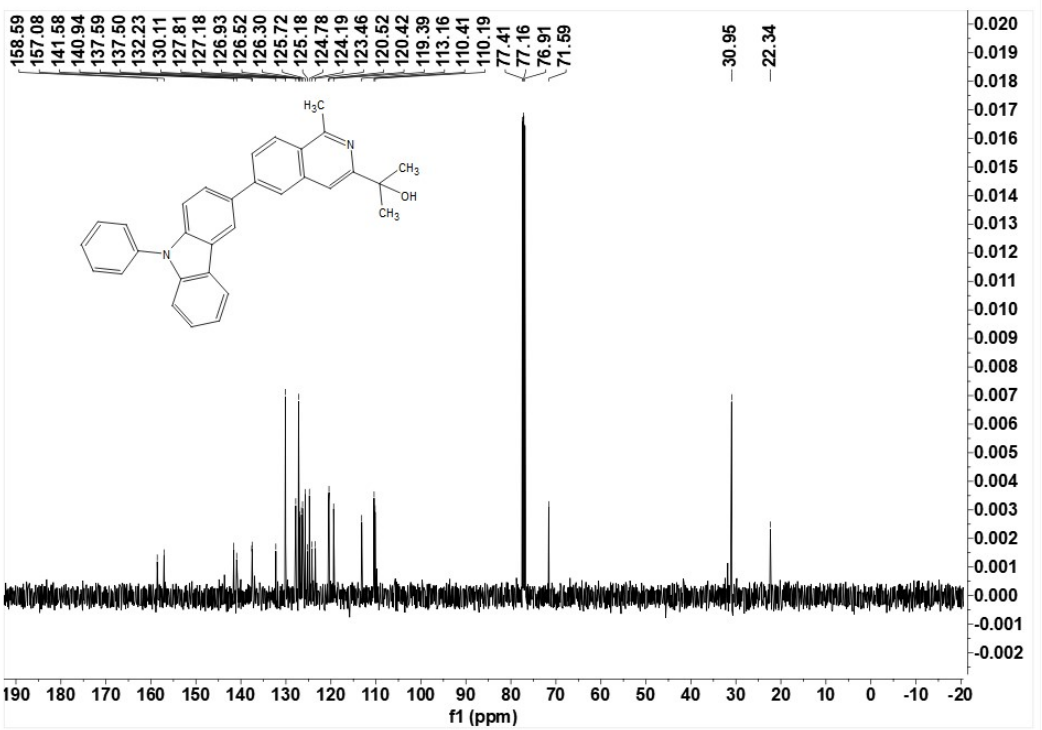
2-(1-Methyl-6-(9-phenyl-9*H*-carbazol-3-yl)isoquinolin-3-yl)propan-2-ol (3zj)

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

ESI-86

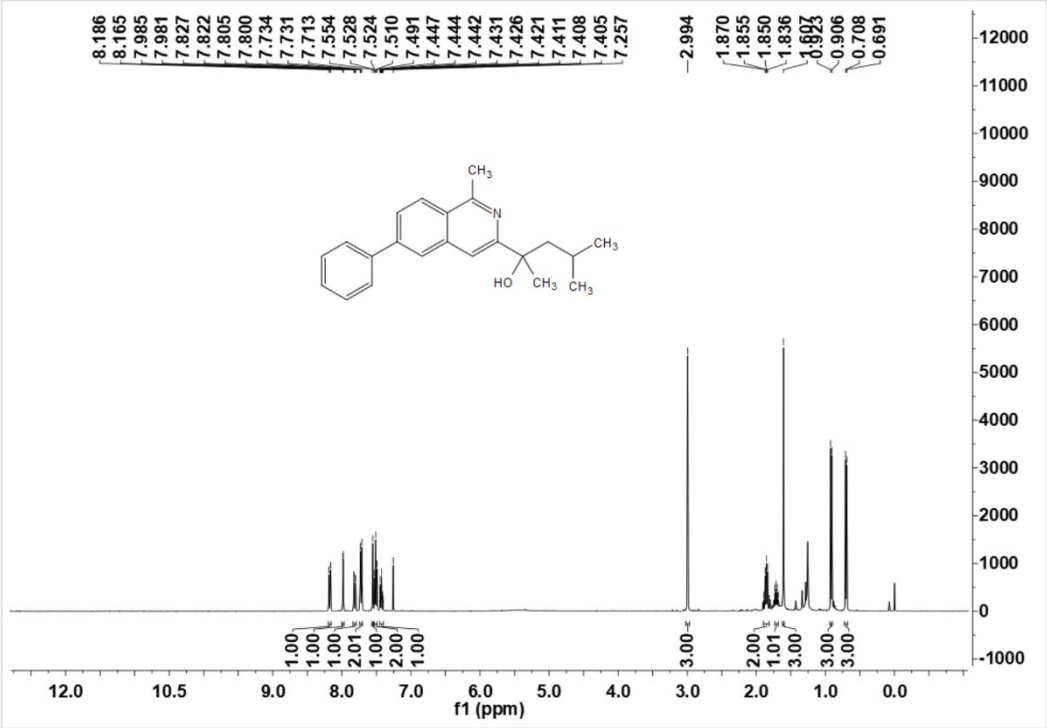


^{13}C NMR ($CDCl_3$, 100 MHz)

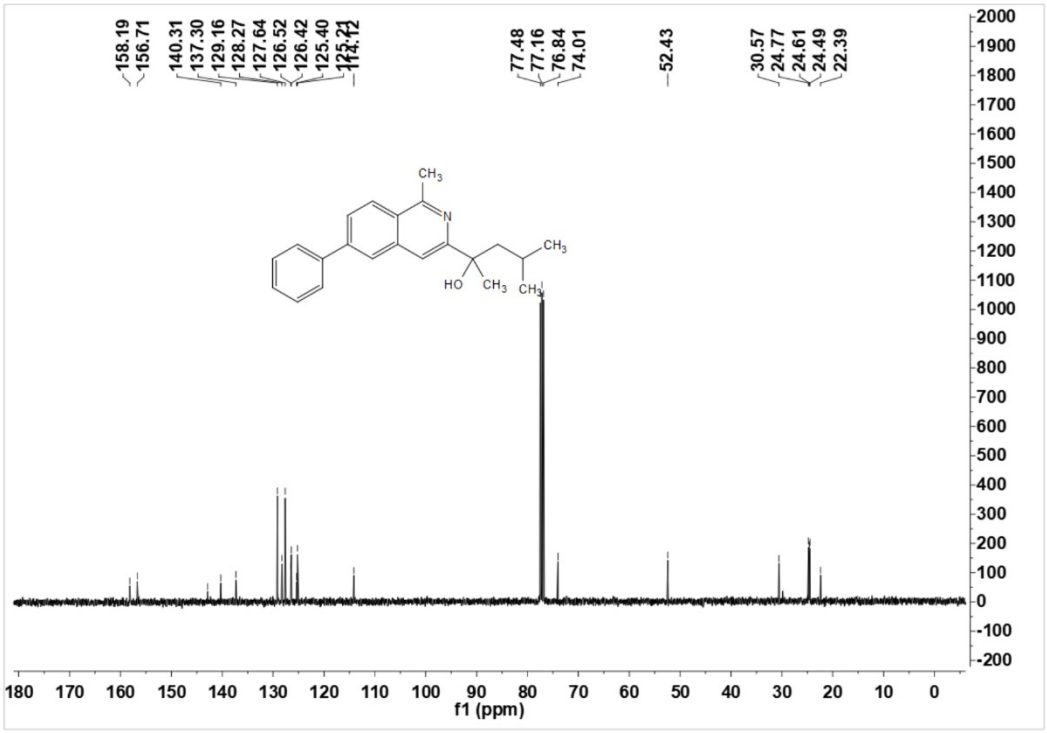


4-Methyl-2-(1-methyl-6-phenylisoquinolin-3-yl)pentan-2-ol (3zk)

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



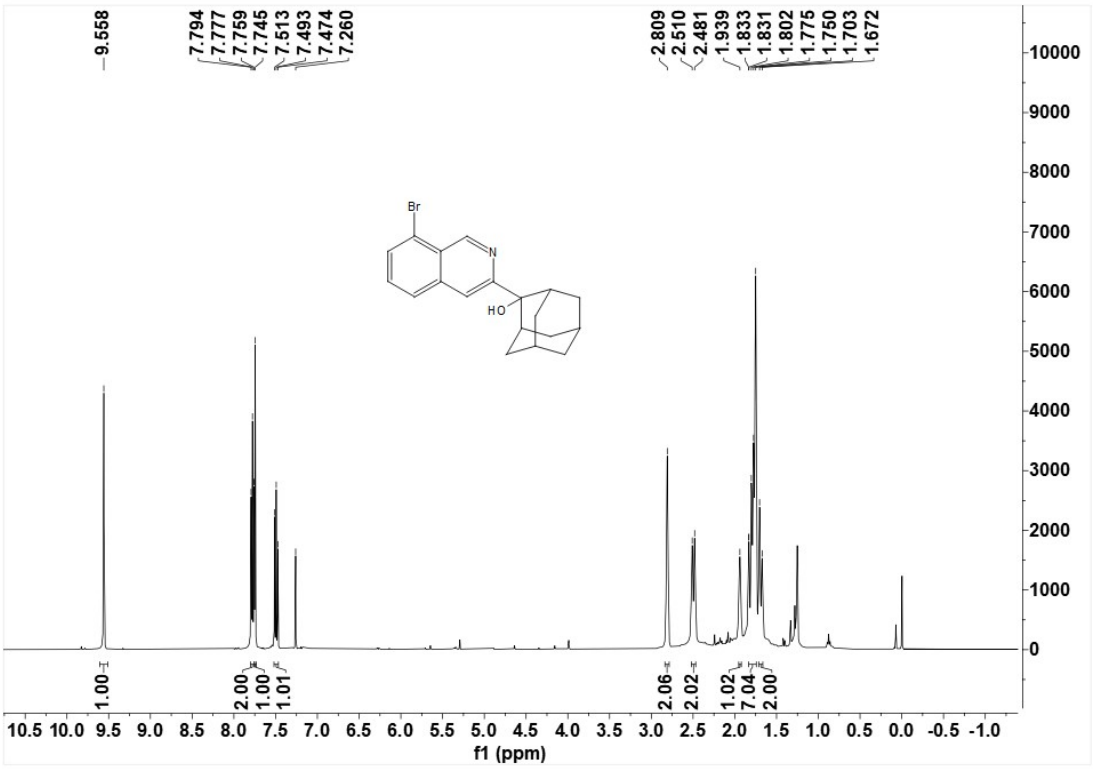
^{13}C NMR ($CDCl_3$, 100 MHz)



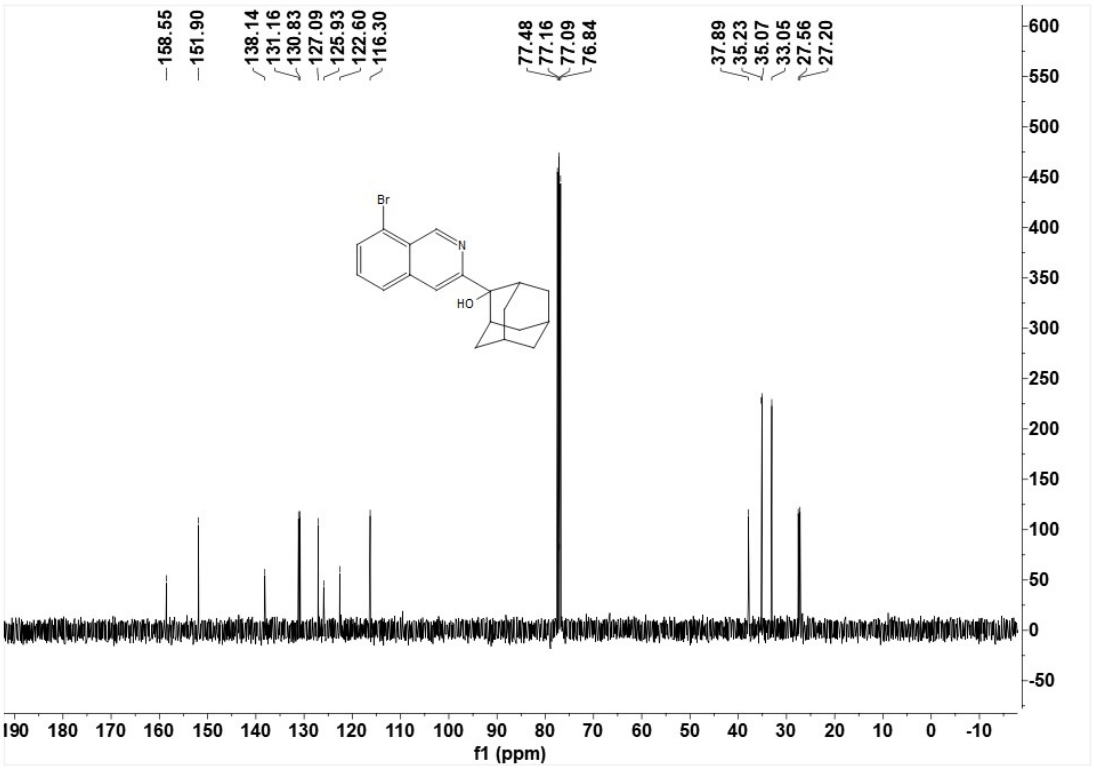
(1*R*,3*S*,5*r*,7*r*)-2-(8-Bromoisoquinolin-3-yl)adamantan-2-ol (3zl)

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

ESI-88



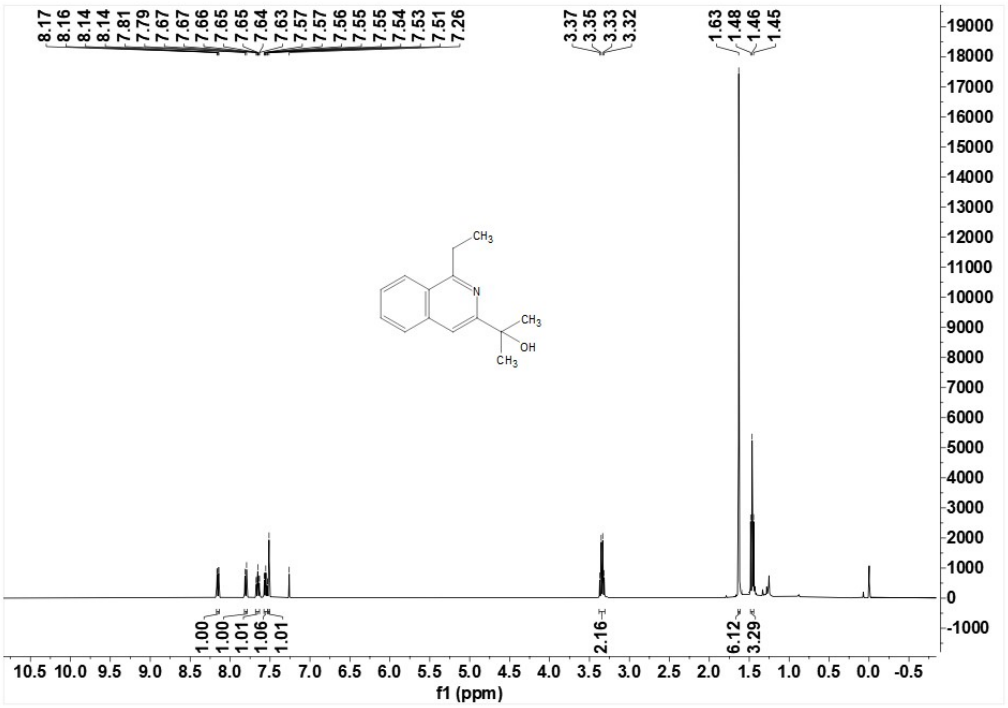
^{13}C NMR ($CDCl_3$, 100 MHz)



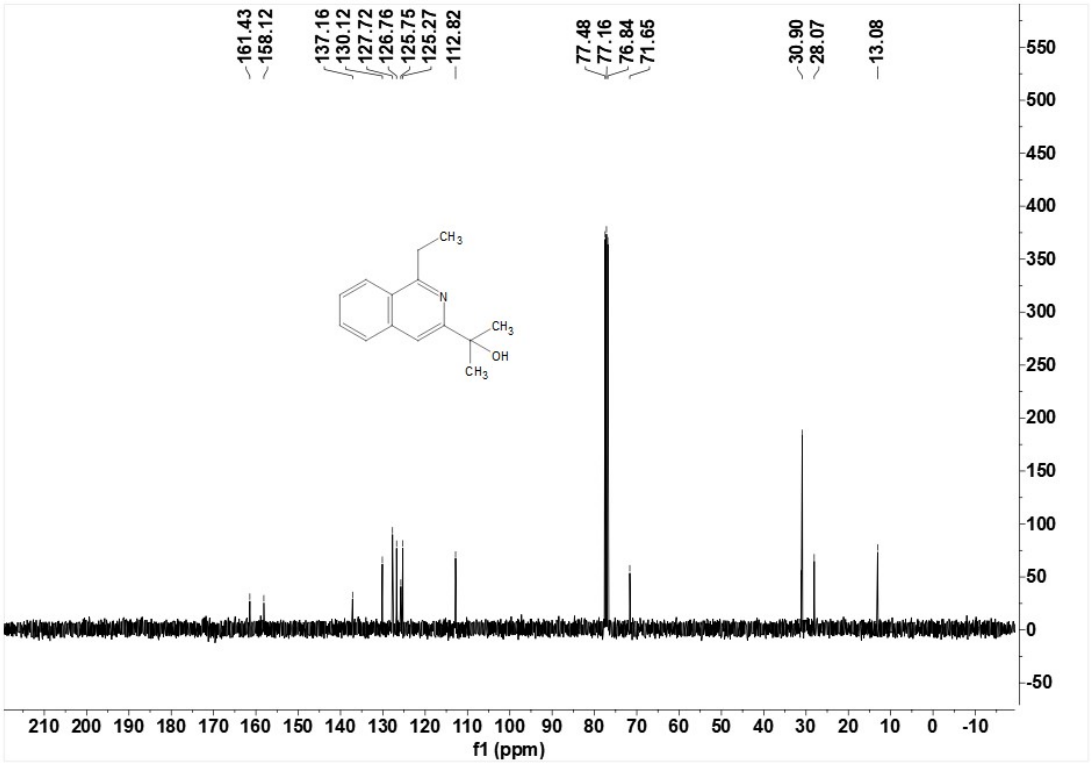
2-(1-Ethylisoquinolin-3-yl)propan-2-ol (3zm)

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

ESI-89



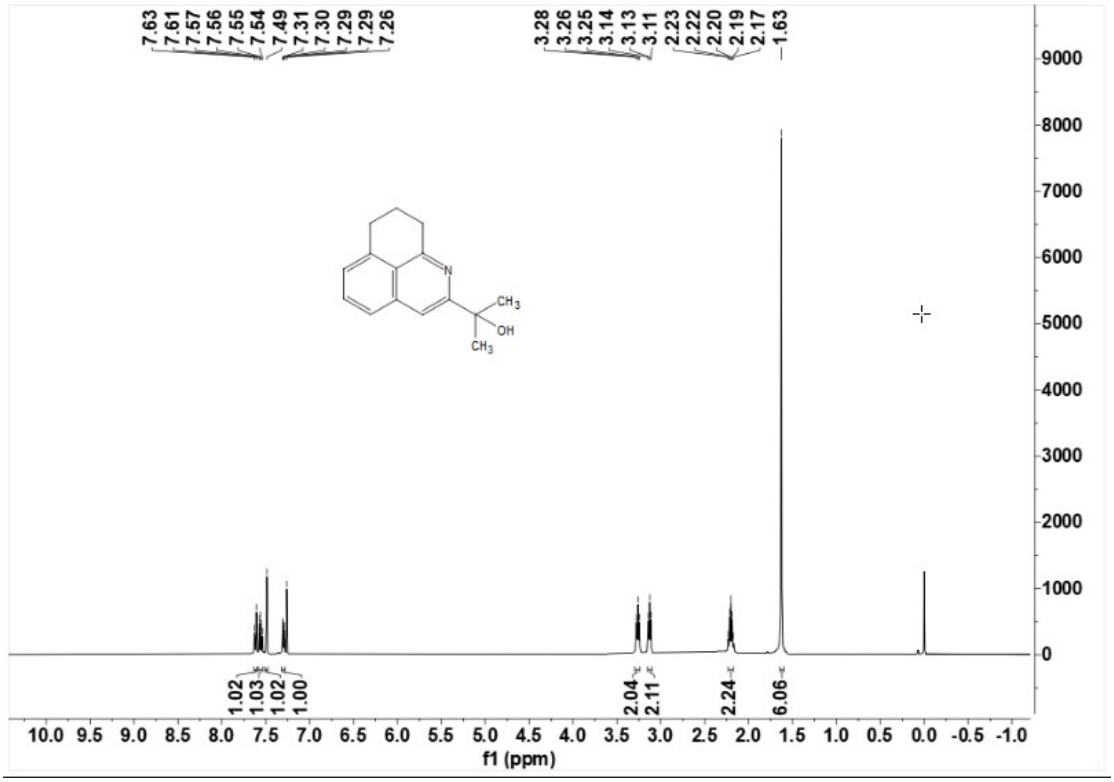
^{13}C NMR ($CDCl_3$, 100 MHz)



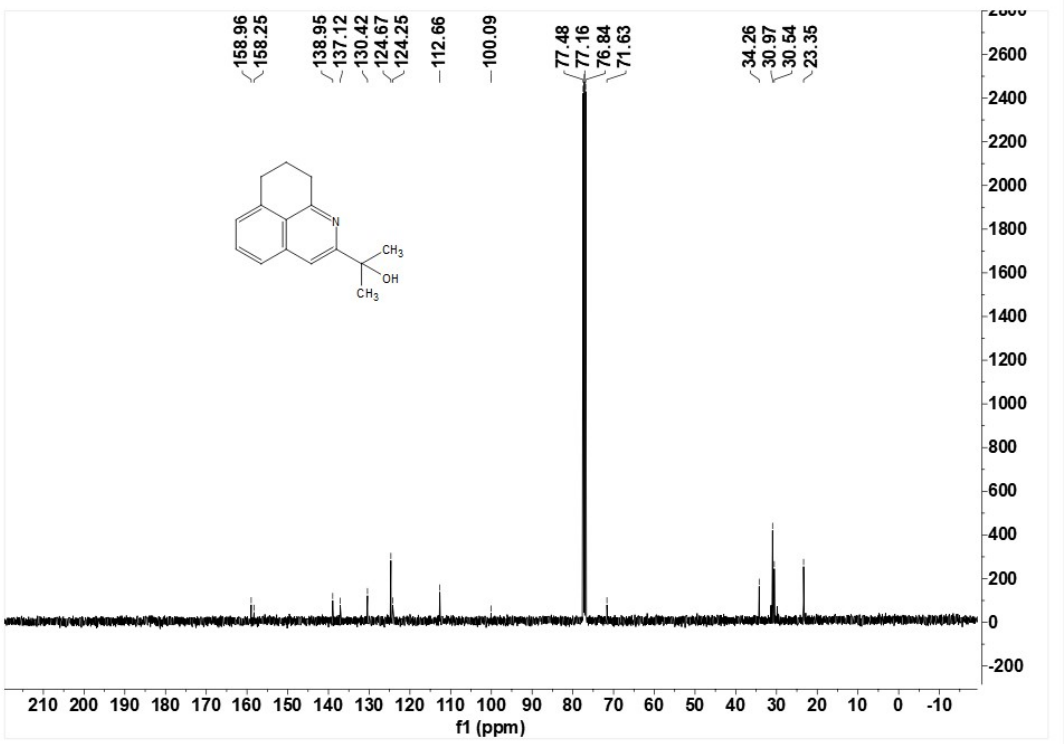
2-(8,9-Dihydro-7H-benzo[de]quinolin-2-yl)propan-2-ol (3zn)

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

ESI-90



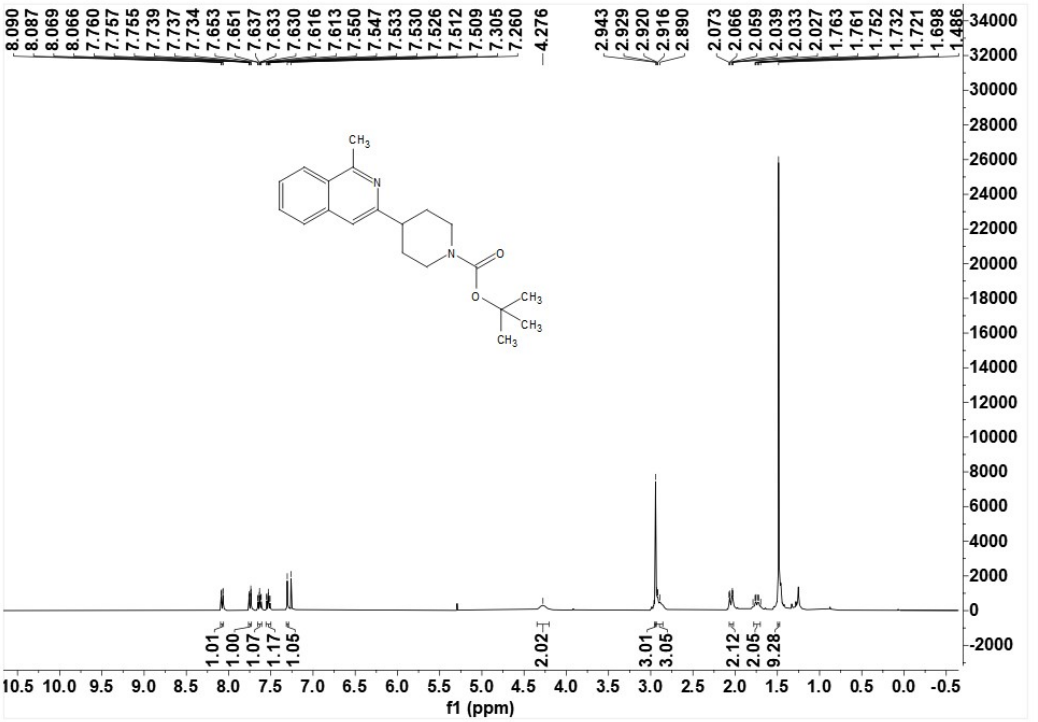
^{13}C NMR ($CDCl_3$, 100 MHz)



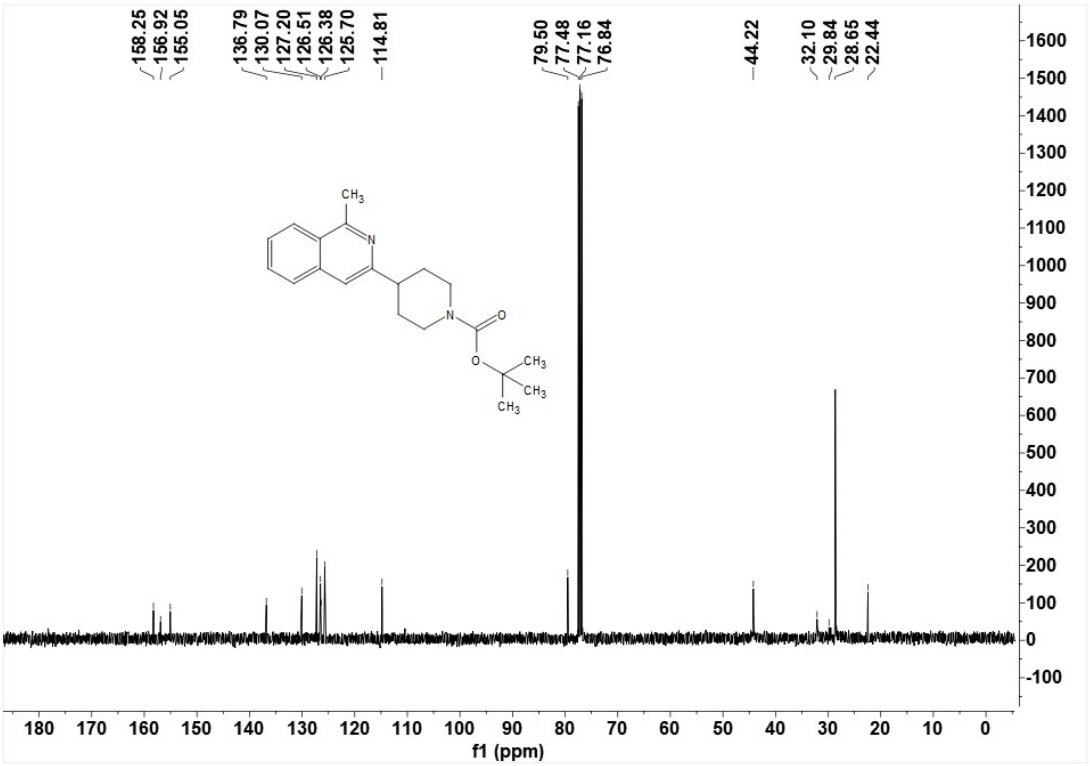
***tert*-Butyl 4-(1-methylisoquinolin-3-yl)piperidine-1-carboxylate (3zo)**

ESI-91

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



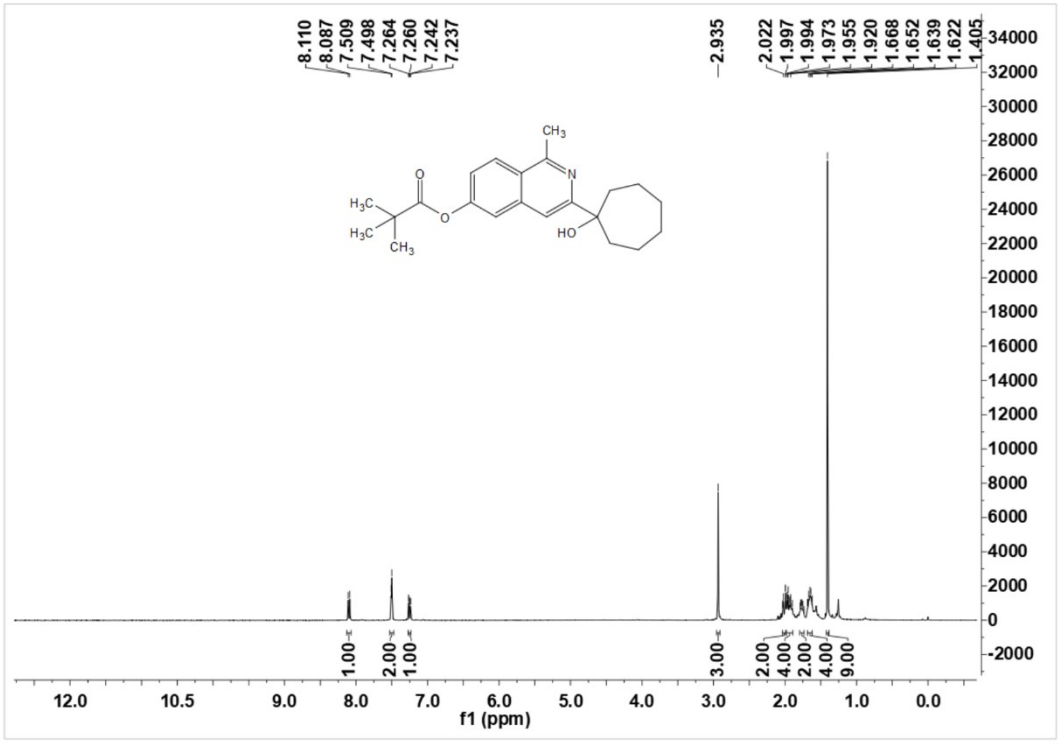
^{13}C NMR ($CDCl_3$, 100 MHz)



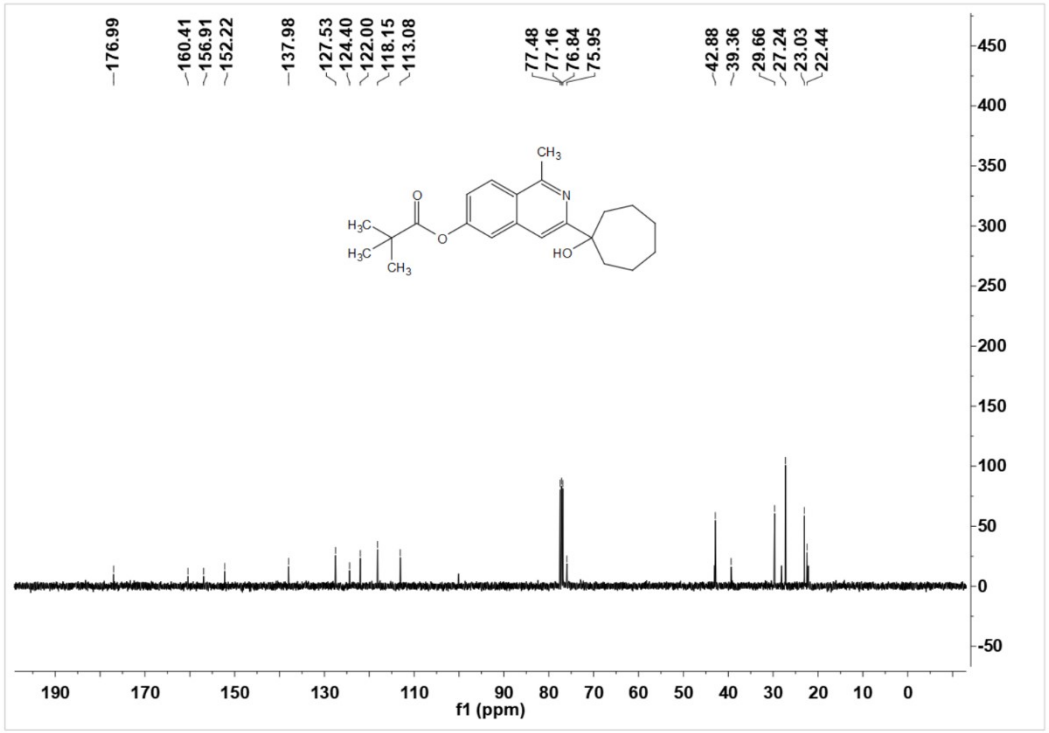
3-(1-Hydroxycycloheptyl)-1-methylisoquinolin-6-yl pivalate (3zp)

ESI-92

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



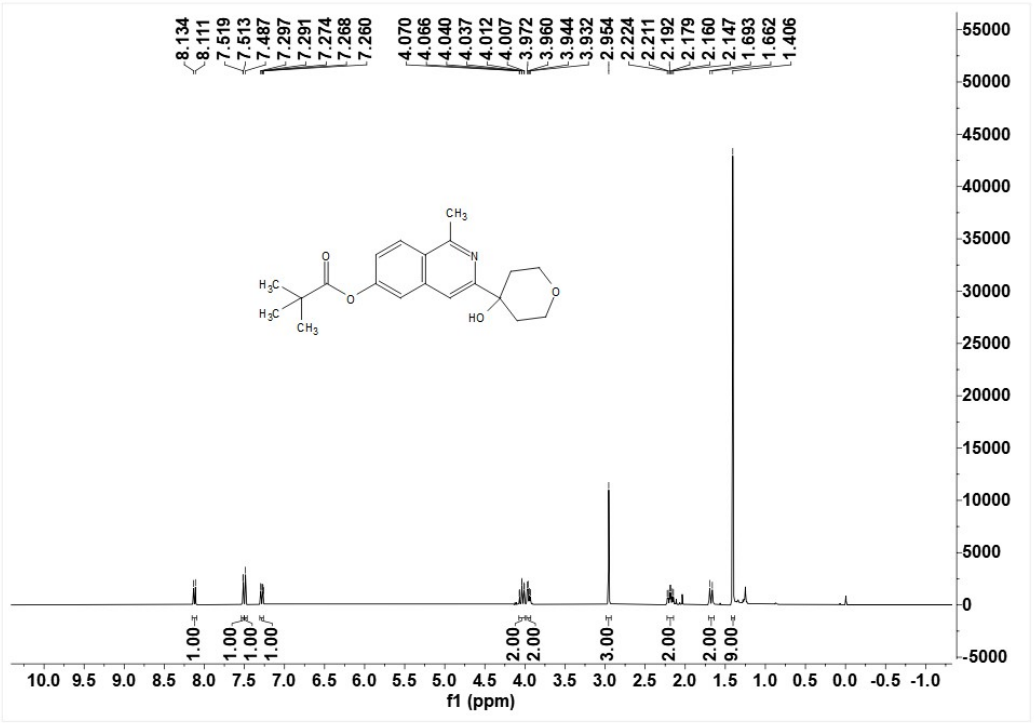
^{13}C NMR ($CDCl_3$, 100 MHz)



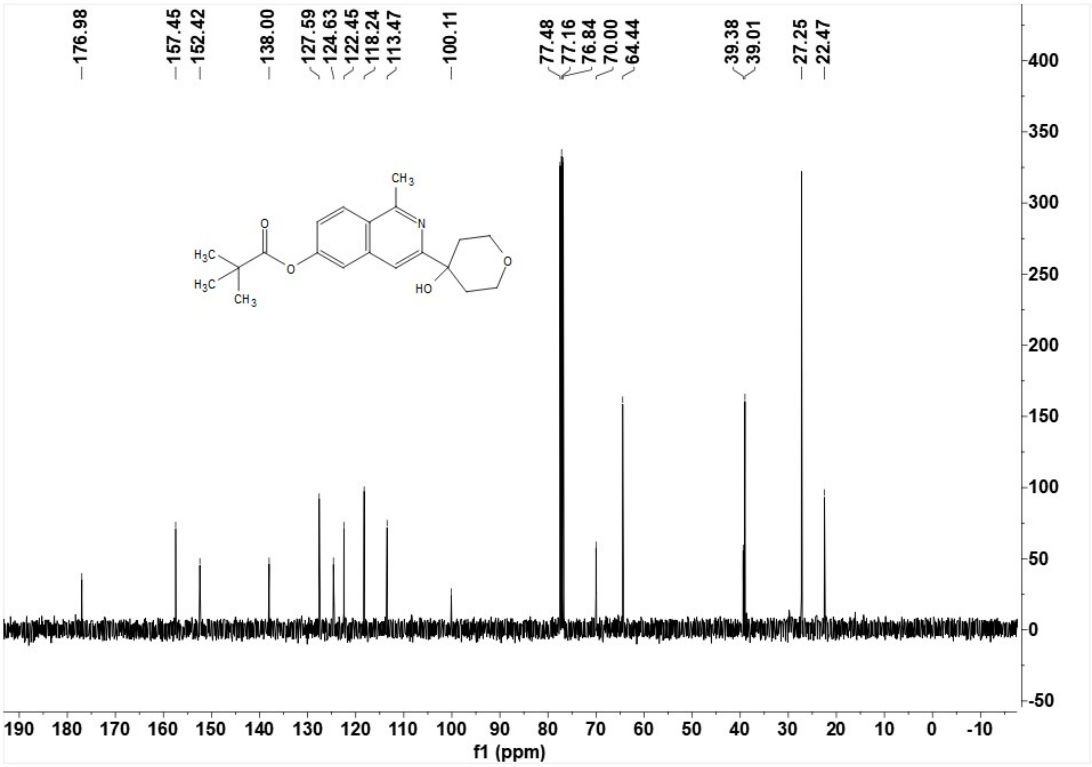
3-(4-Hydroxytetrahydro-2*H*-pyran-4-yl)-1-methylisoquinolin-6-yl pivalate (3zq)

ESI-93

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



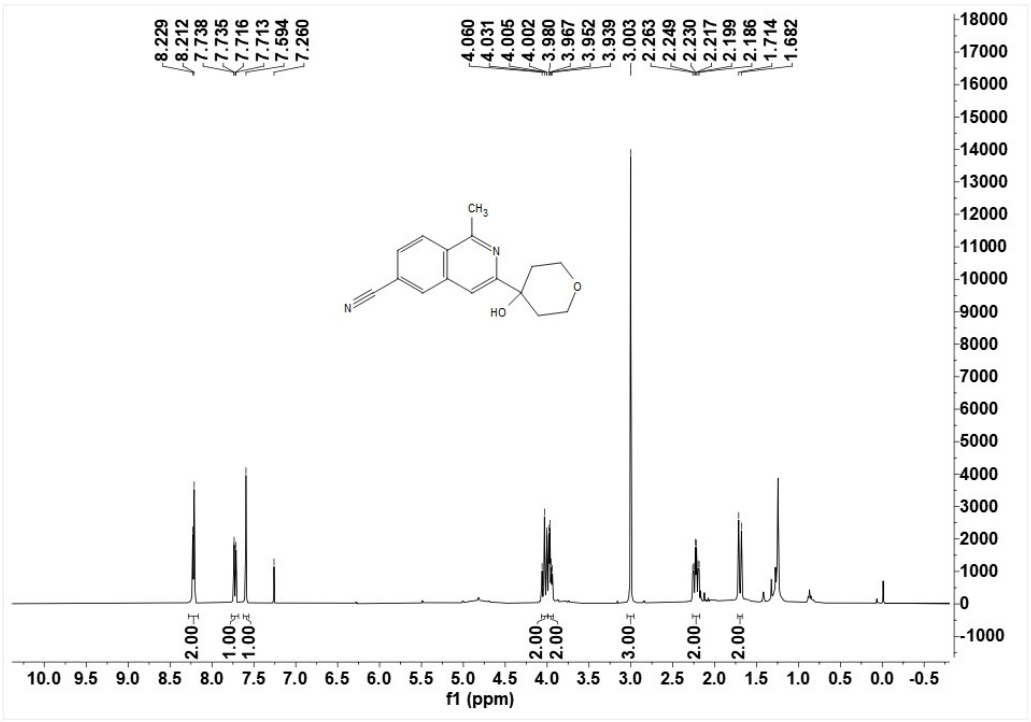
^{13}C NMR ($CDCl_3$, 100 MHz)



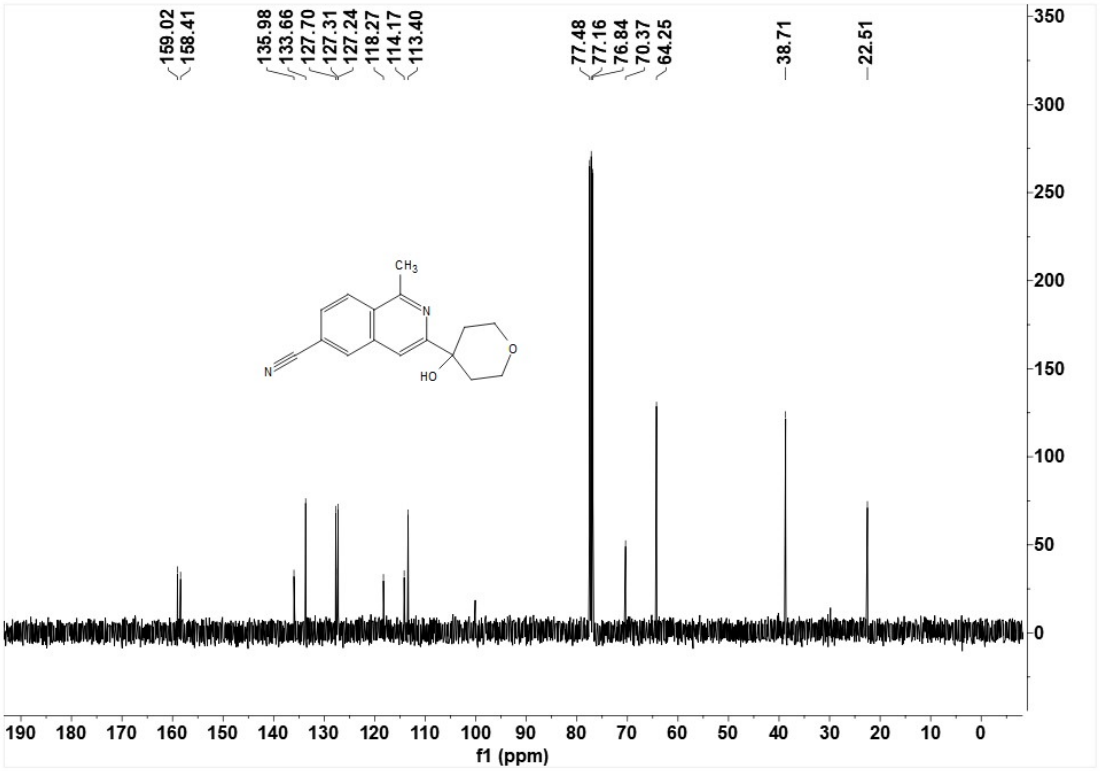
3-(4-Hydroxytetrahydro-2*H*-pyran-4-yl)-1-methylisoquinoline-6-carbonitrile

(3zr)

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

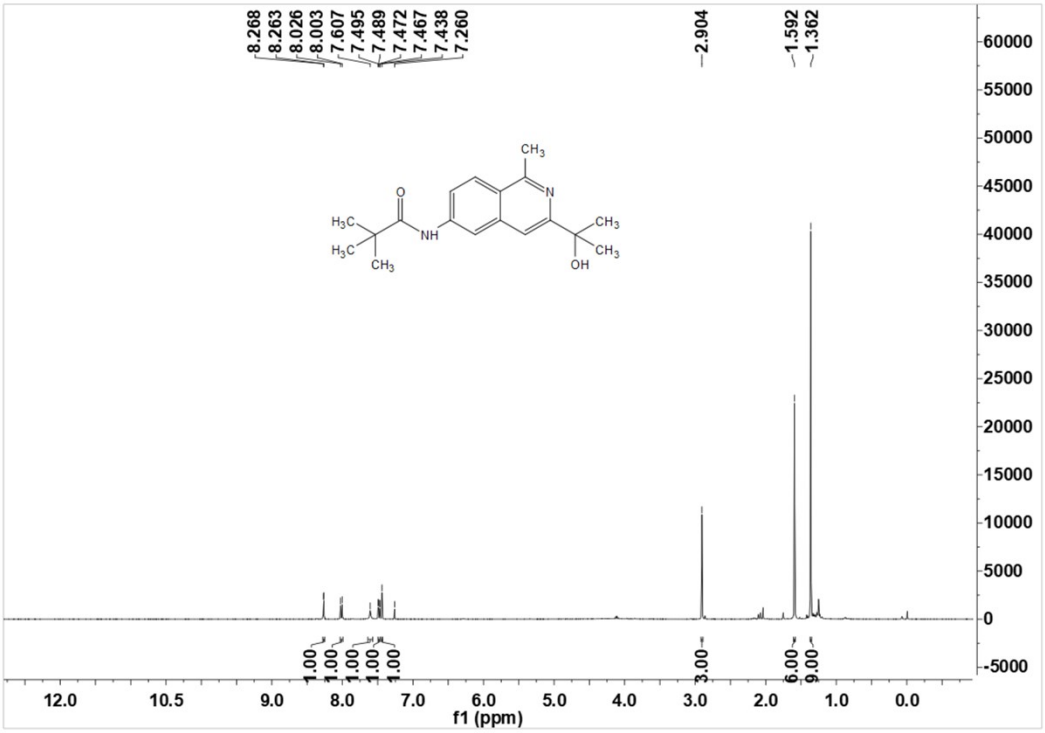


^{13}C NMR ($CDCl_3$, 100 MHz)

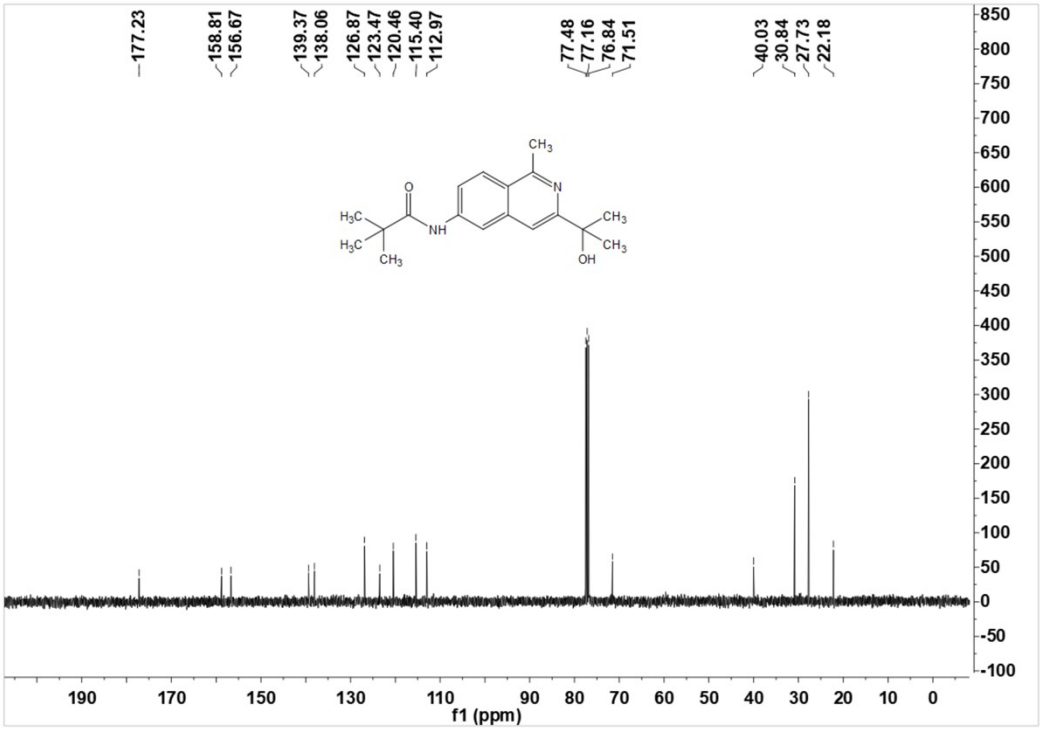


***N*-(3-(2-Hydroxypropan-2-yl)-1-methylisoquinolin-6-yl)pivalamide (3zs)**

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

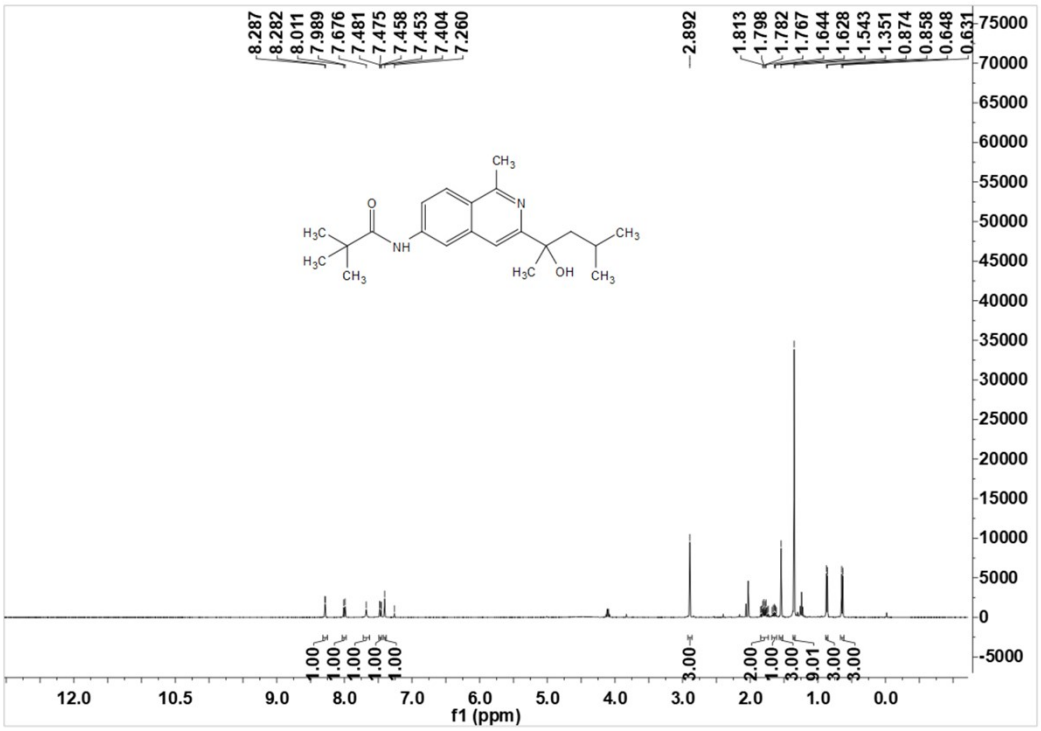


^{13}C NMR ($CDCl_3$, 100 MHz)

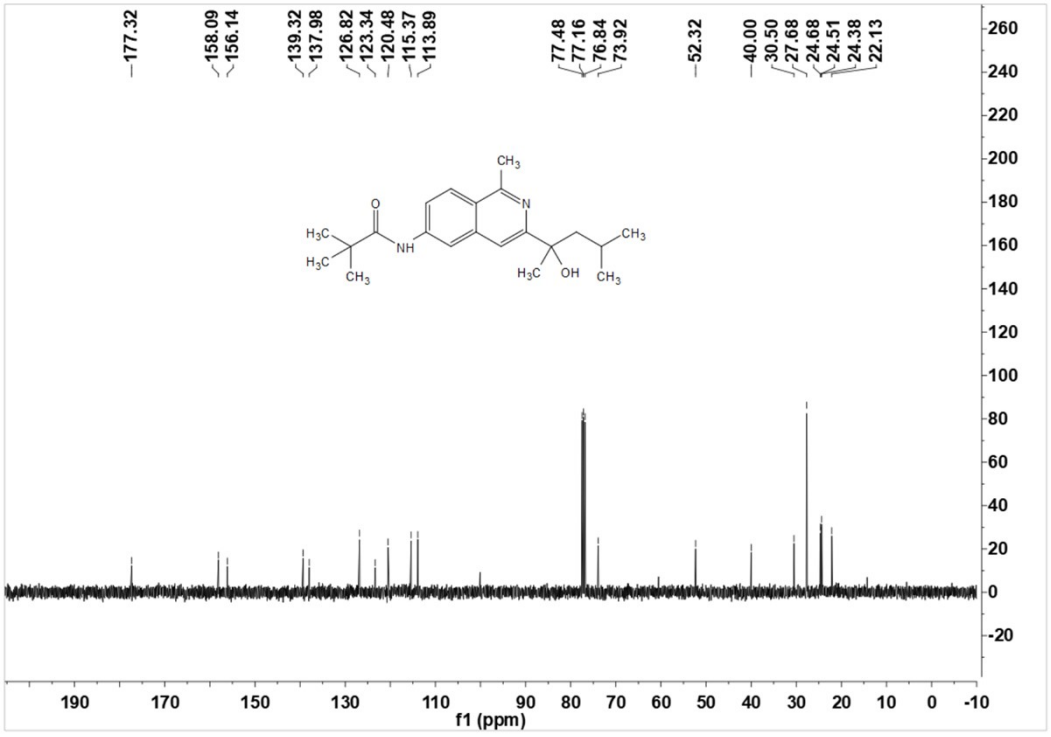


***N*-(3-(2-Hydroxy-4-methylpentan-2-yl)-1-methylisoquinolin-6-yl)pivalamide (3zt)**

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

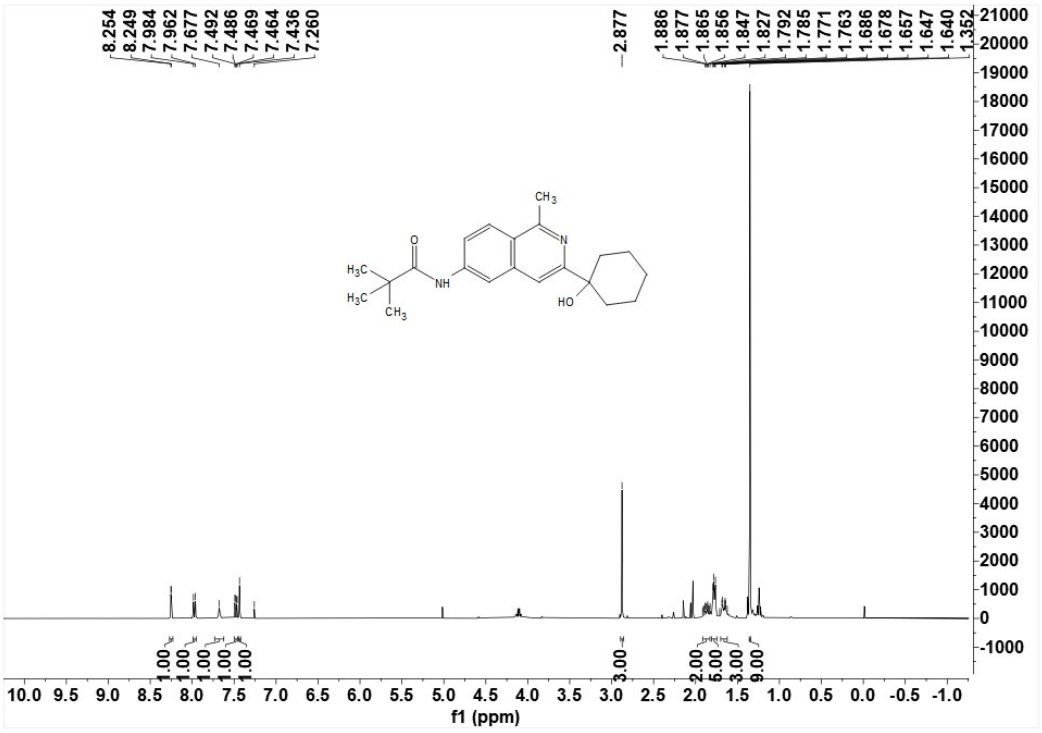


^{13}C NMR ($CDCl_3$, 100 MHz)

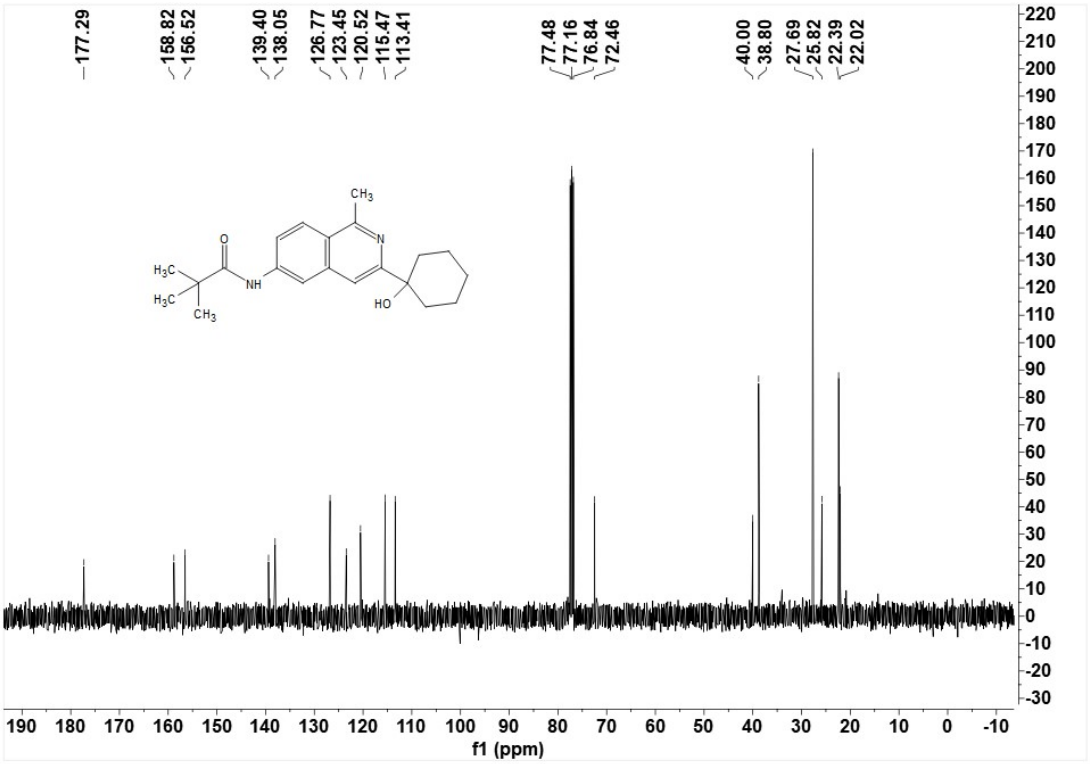


N-(3-(1-Hydroxycyclohexyl)-1-methylisoquinolin-6-yl)pivalamide (3zu)

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

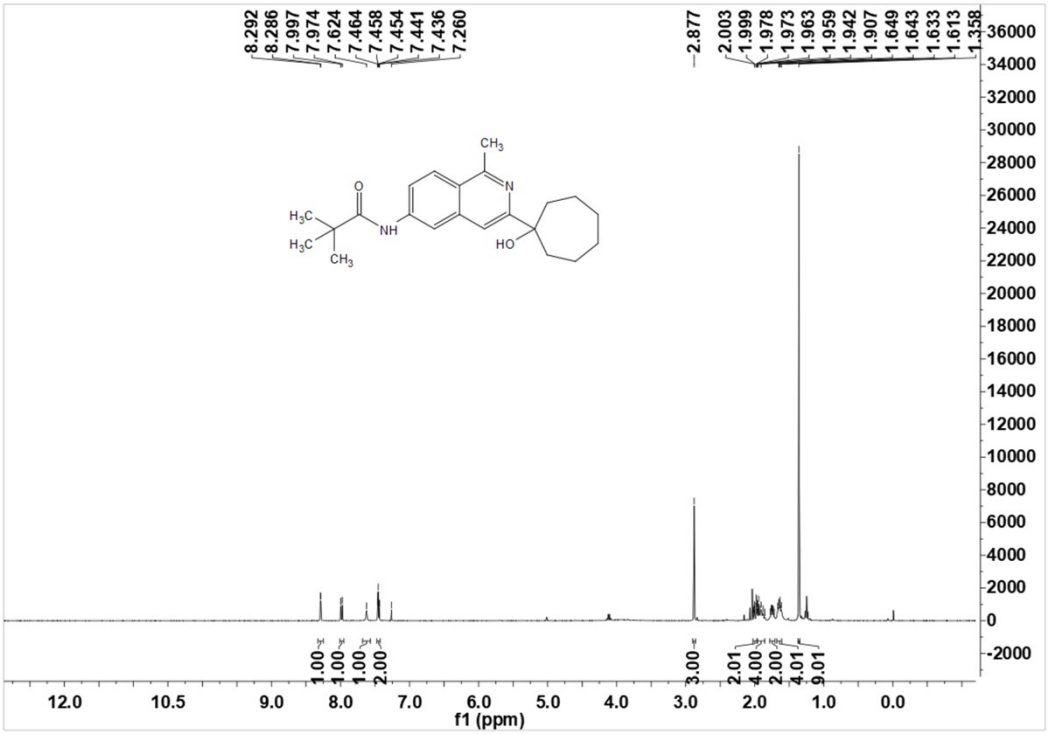


^{13}C NMR ($CDCl_3$, 100 MHz)

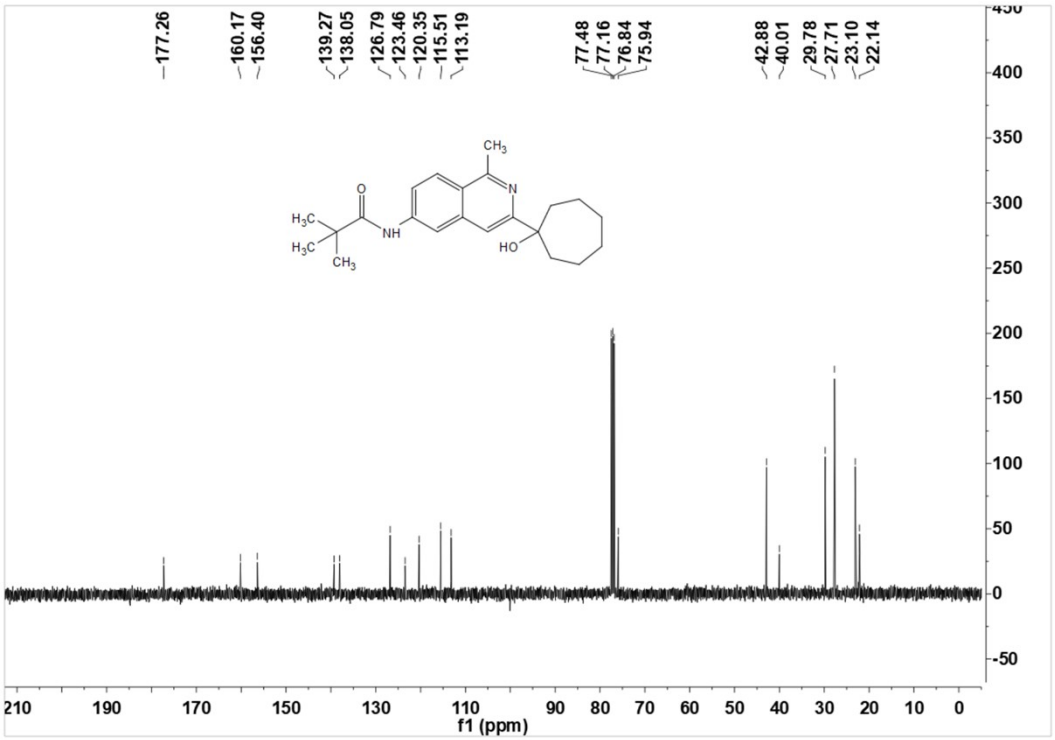


***N*-(3-(1-Hydroxycycloheptyl)-1-methylisoquinolin-6-yl)pivalamide (3zv)**

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



^{13}C NMR ($CDCl_3$, 100 MHz)

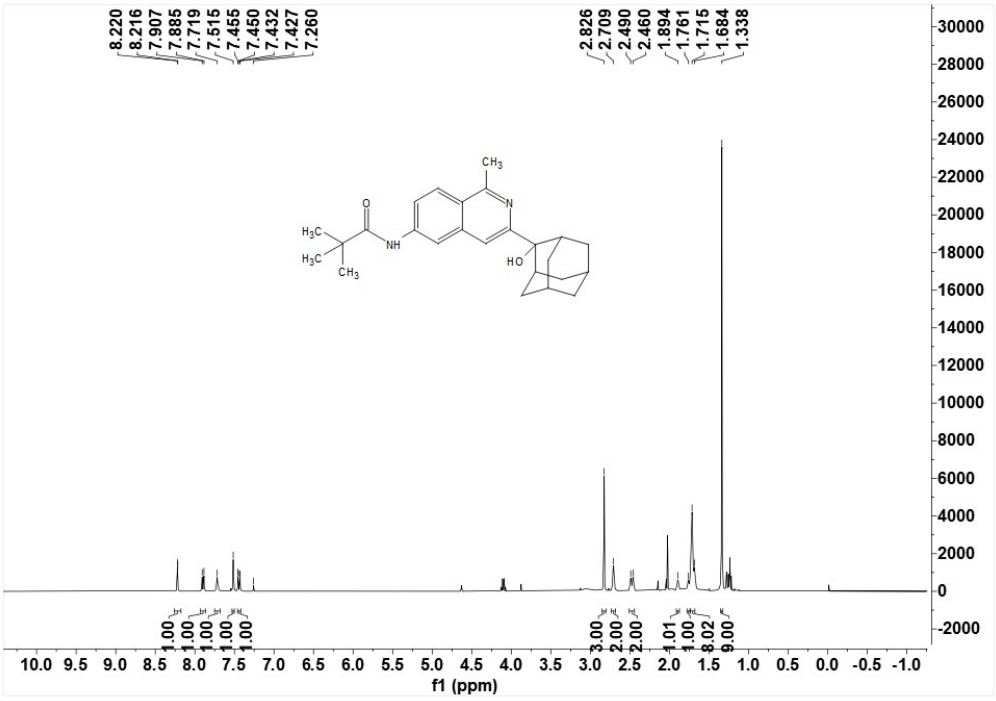


***N*-(3-((1*R*, 3*S*, 5*r*, 7*r*)-2-hydroxyadamantan-2-yl)-1-methylisoquinolin-6-**

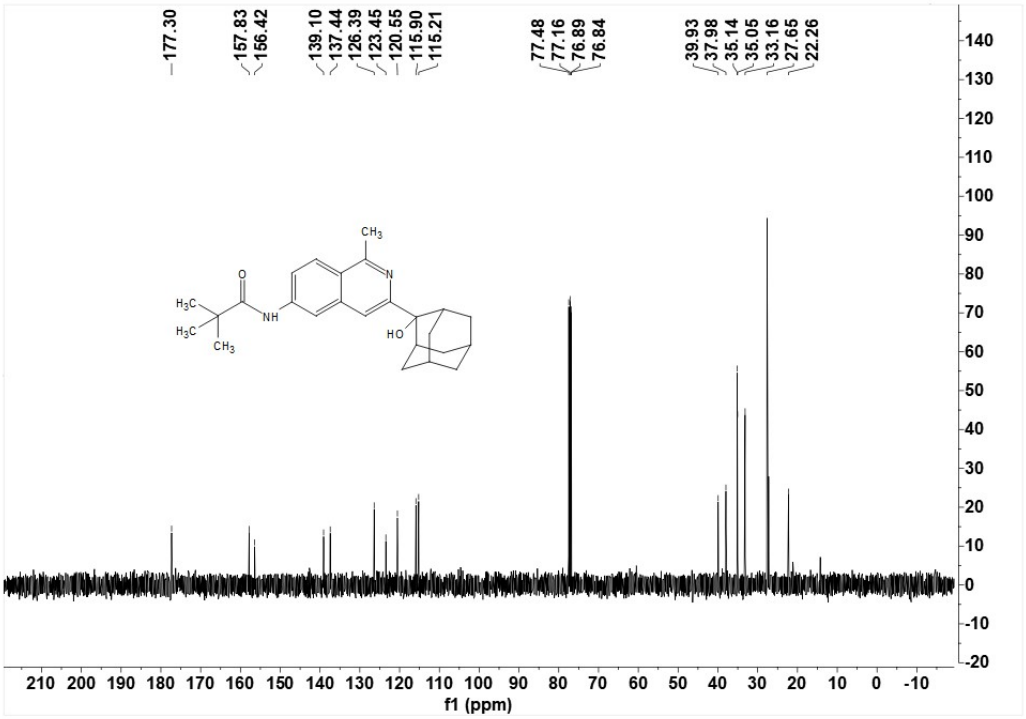
ESI-99

yl)pivalamide (3zw)

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



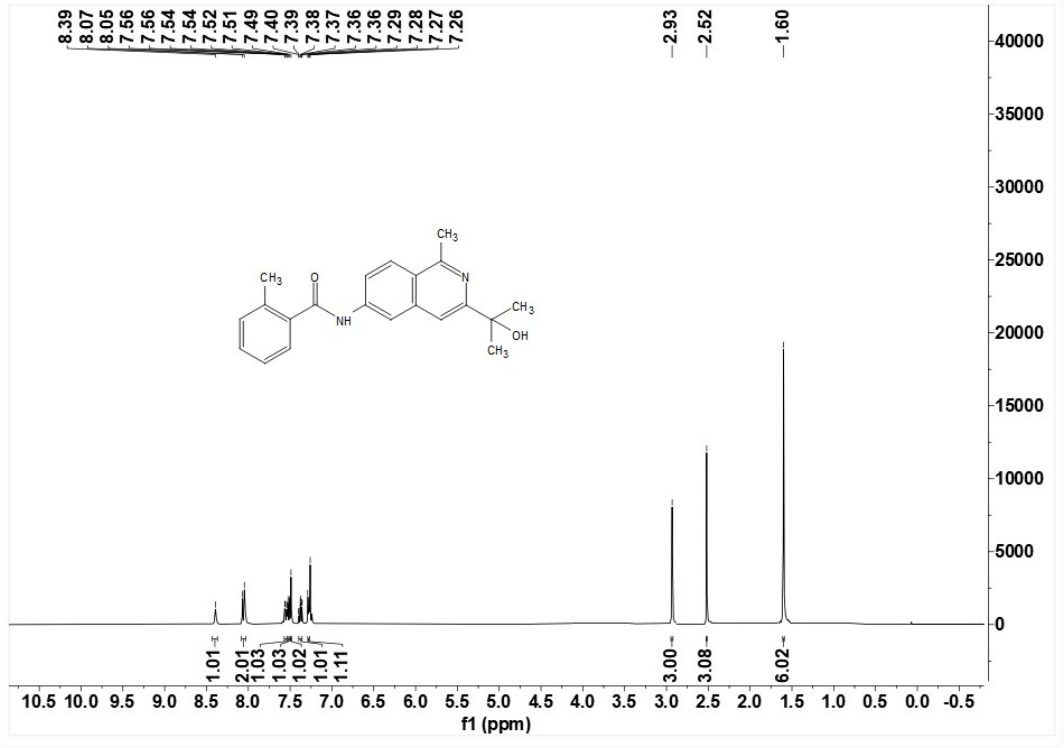
^{13}C NMR ($CDCl_3$, 100 MHz)



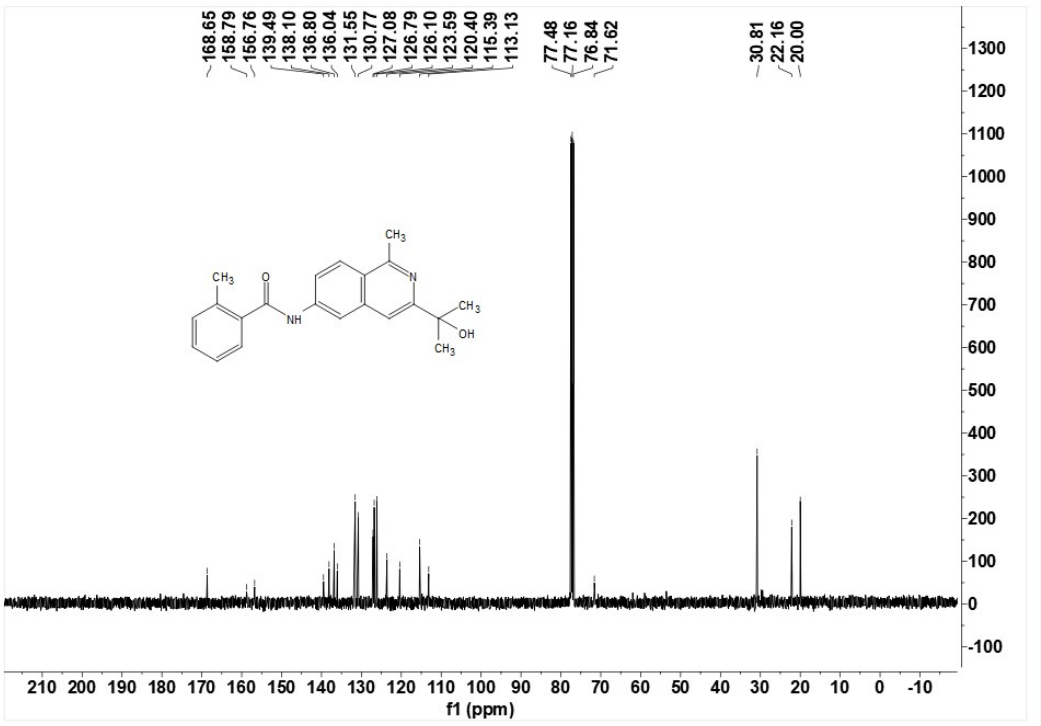
***N*-(3-(2-Hydroxypropan-2-yl)-1-methylisoquinolin-6-yl)-2-methylbenzamide (3zx)**

ESI-100

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



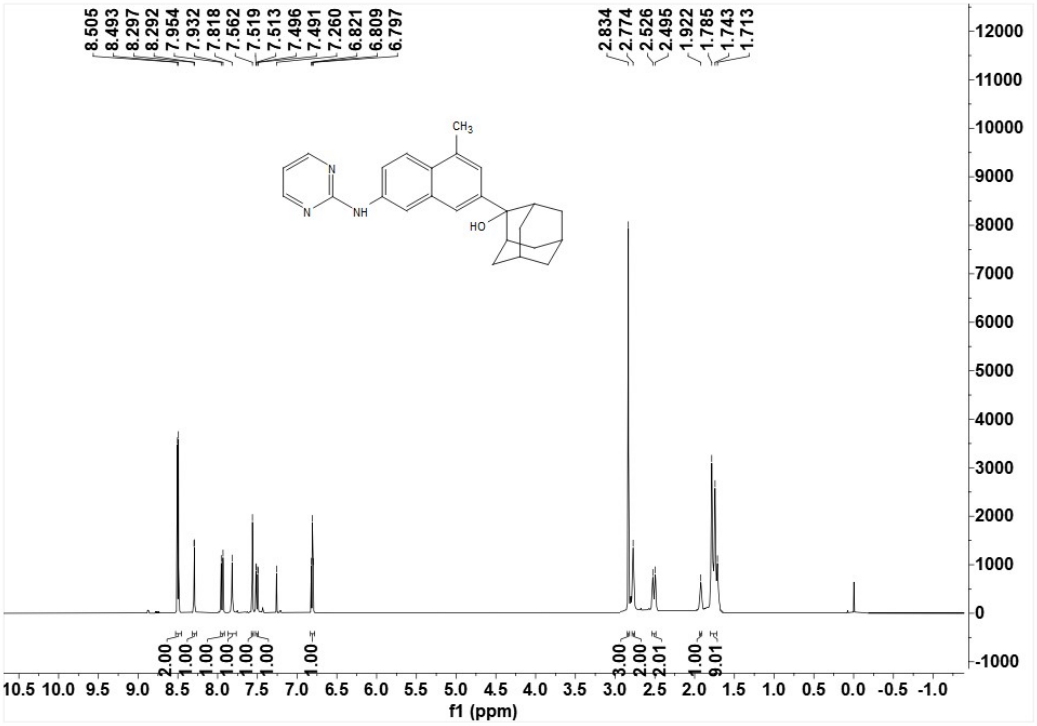
^{13}C NMR ($CDCl_3$, 100 MHz)



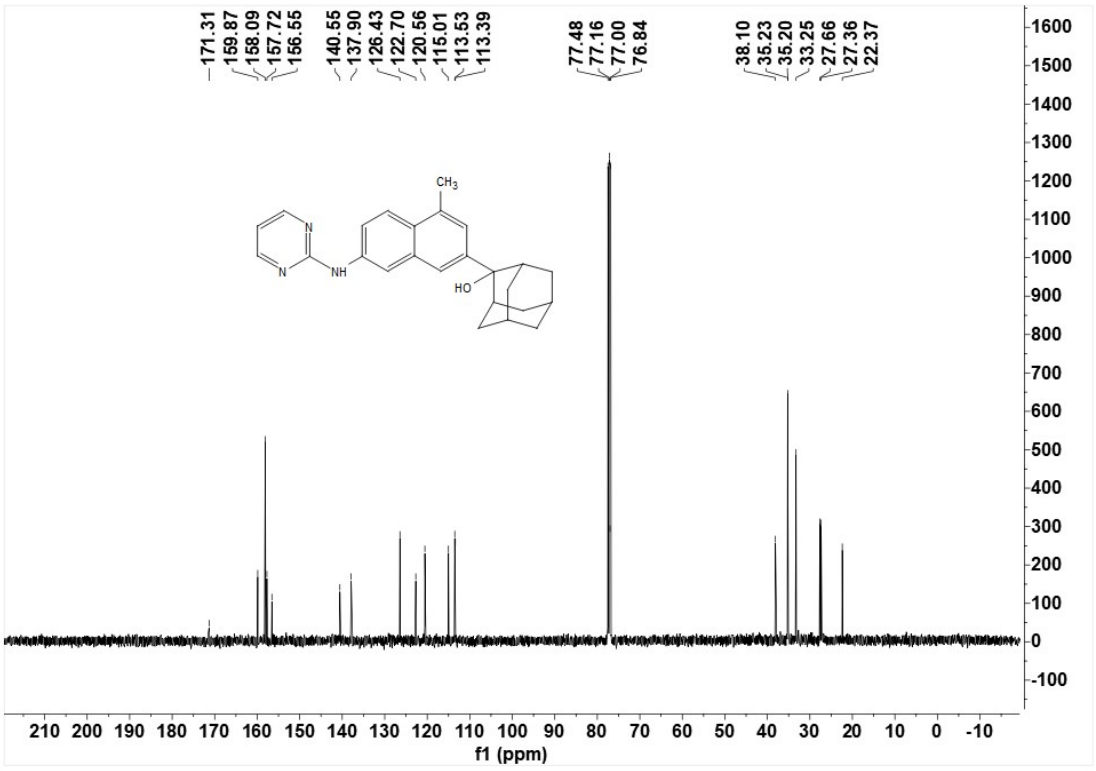
(1*R*, 3*S*, 5*r*, 7*r*)-2-(4-Methyl-7-(pyrimidin-2-ylamino)naphthalen-2-yl)adamantan-2-ol (3zy)

ESI-101

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



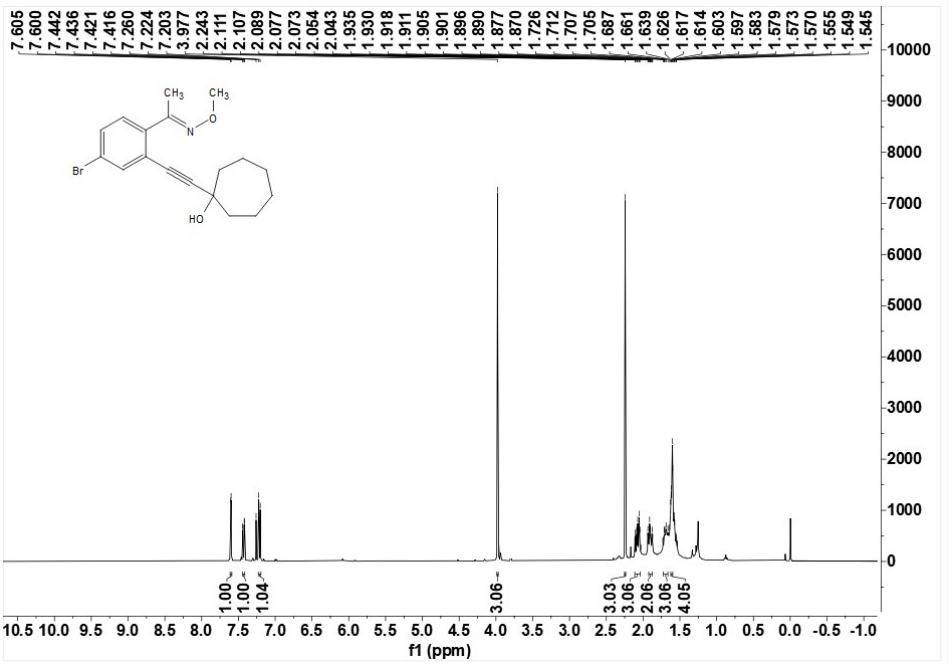
^{13}C NMR ($CDCl_3$, 100 MHz)



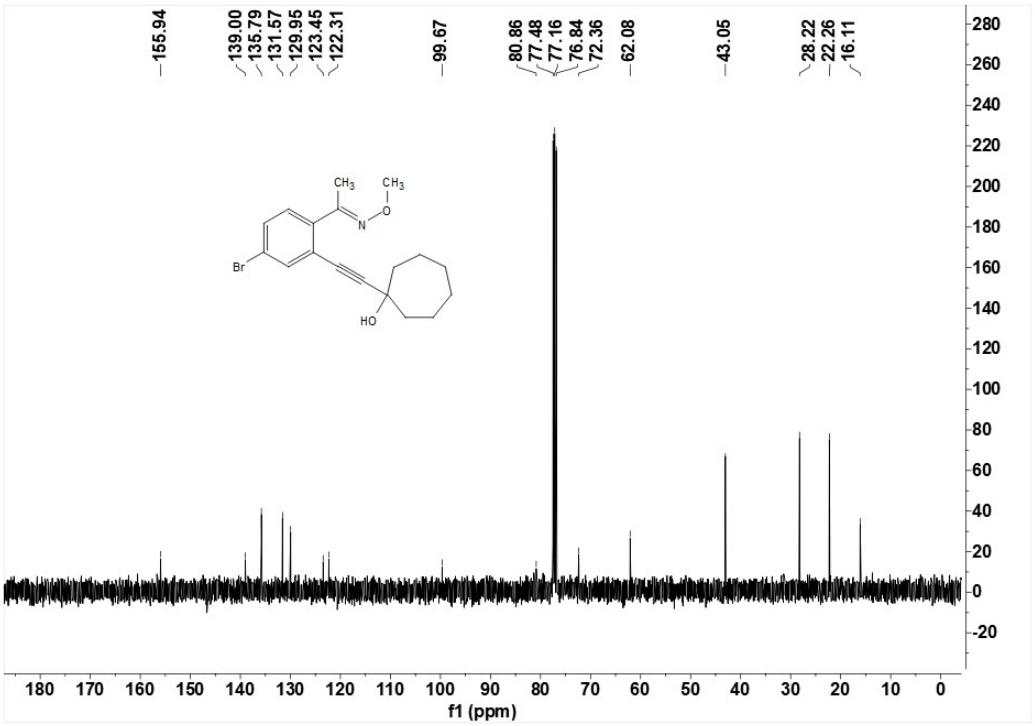
(*E*)-1-(4-Bromo-2-((1-hydroxycycloheptyl)ethynyl)phenyl)ethan-1-one *O*-methyl

oxime (4a)

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



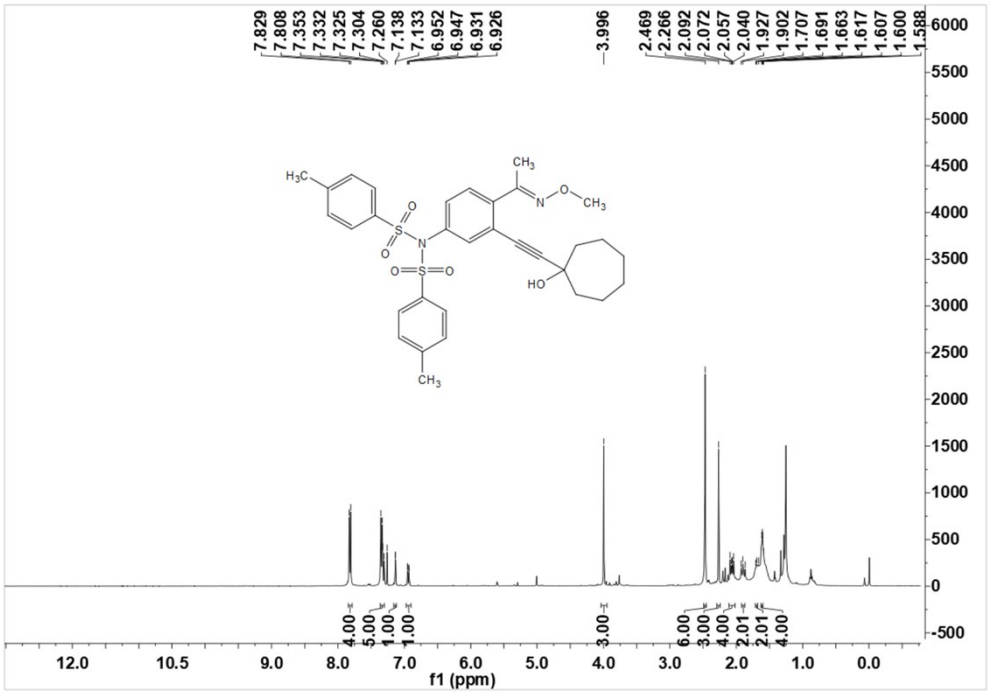
^{13}C NMR ($CDCl_3$, 100 MHz)



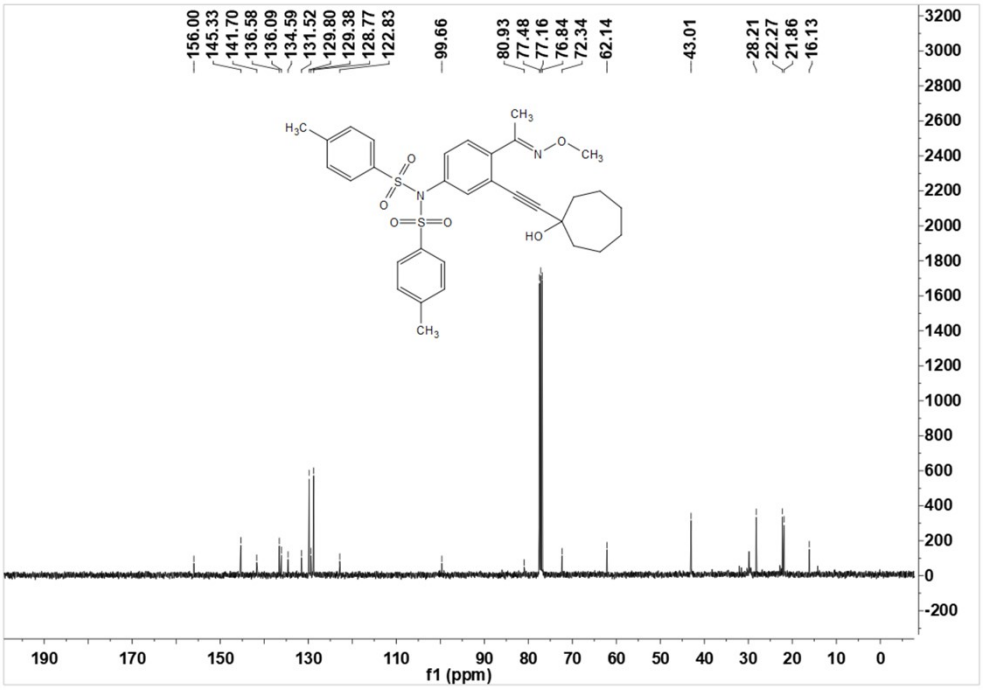
(*E*)-*N*-(3-((1-Hydroxycycloheptyl)ethynyl)-4-(1-(methoxyimino)ethyl)phenyl)-4-methyl-*N*-tosylbenzenesulfonamide (4b)

ESI-103

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



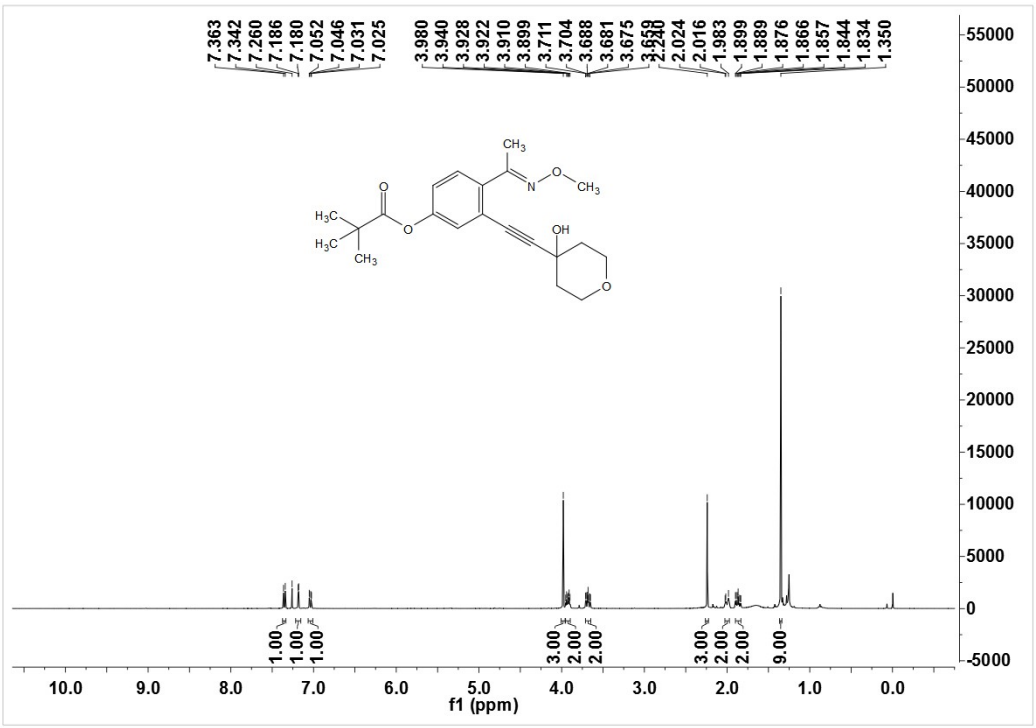
^{13}C NMR ($CDCl_3$, 100 MHz)



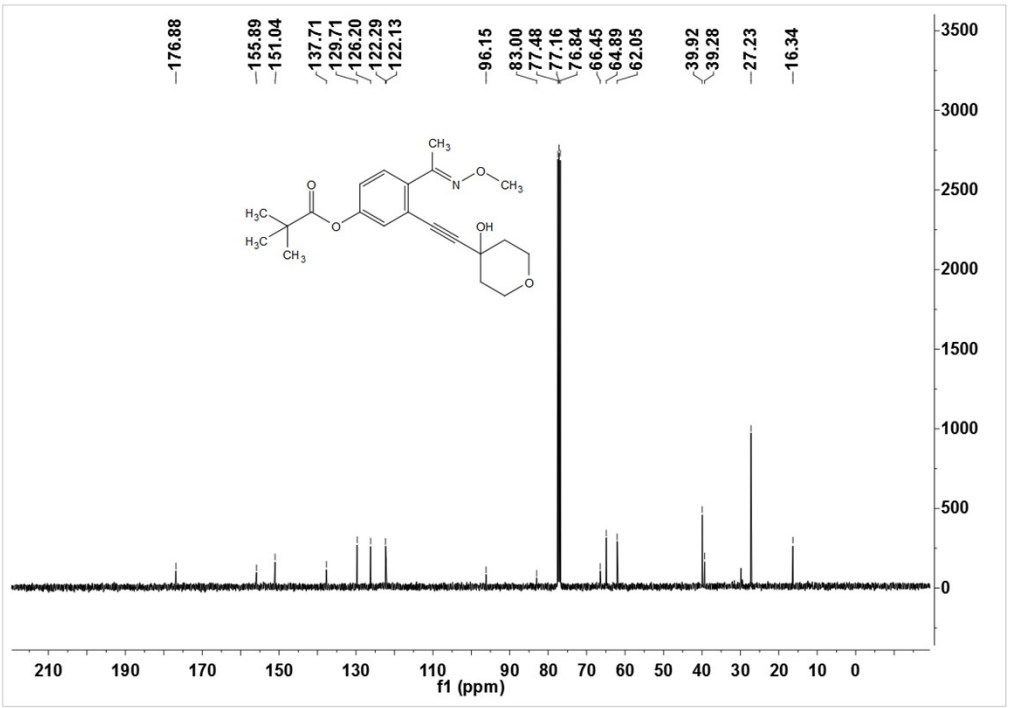
(*E*)-3-((4-Hydroxytetrahydro-2*H*-pyran-4-yl)ethynyl)-4-(1-(methoxyimino)ethyl)phenyl pivalate (4c)

ESI-104

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



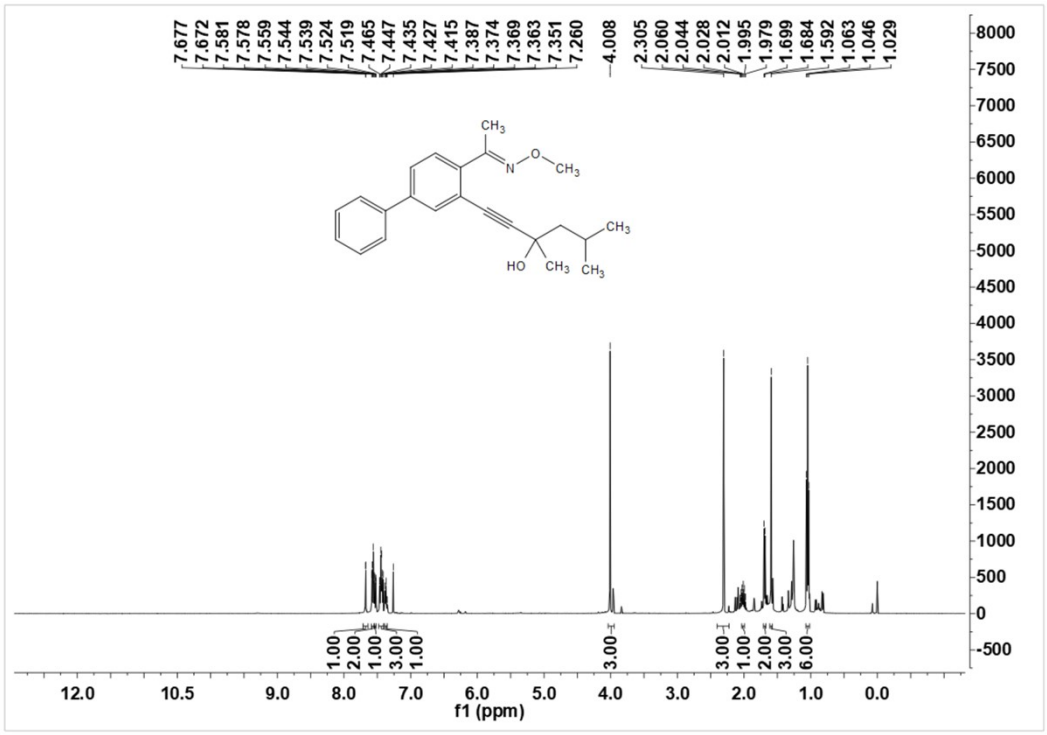
^{13}C NMR ($CDCl_3$, 100 MHz)



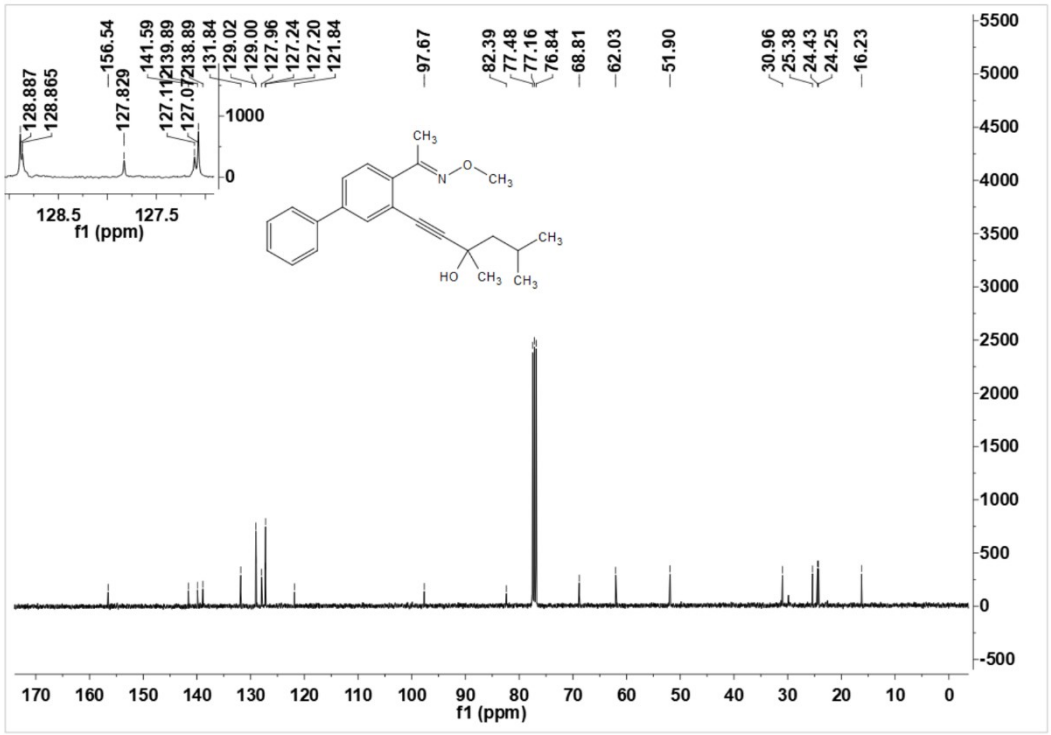
(*E*)-1-(3-(3-Hydroxy-3,5-dimethylhex-1-yn-1-yl)-[1,1'-biphenyl]-4-yl)ethan-1-one *O*-methyl oxime (4d)

ESI-105

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



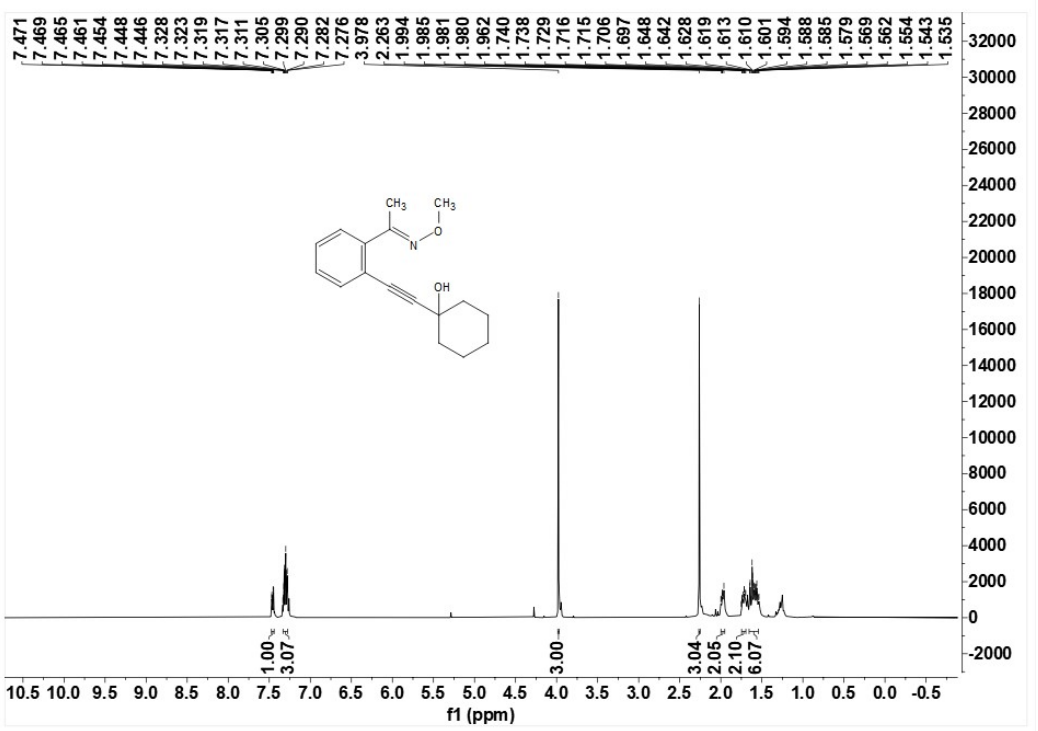
^{13}C NMR ($CDCl_3$, 100 MHz)



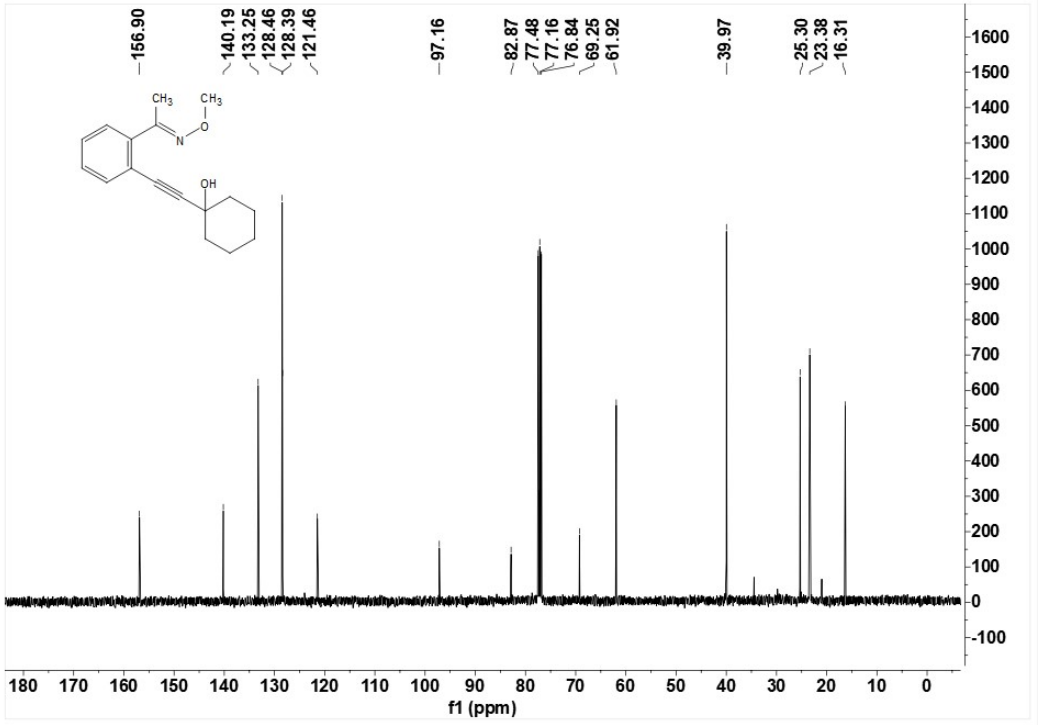
(*E*)-1-(2-((1-Hydroxycyclohexyl)ethynyl)phenyl)ethan-1-one *O*-methyl oxime (4e)

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

ESI-106



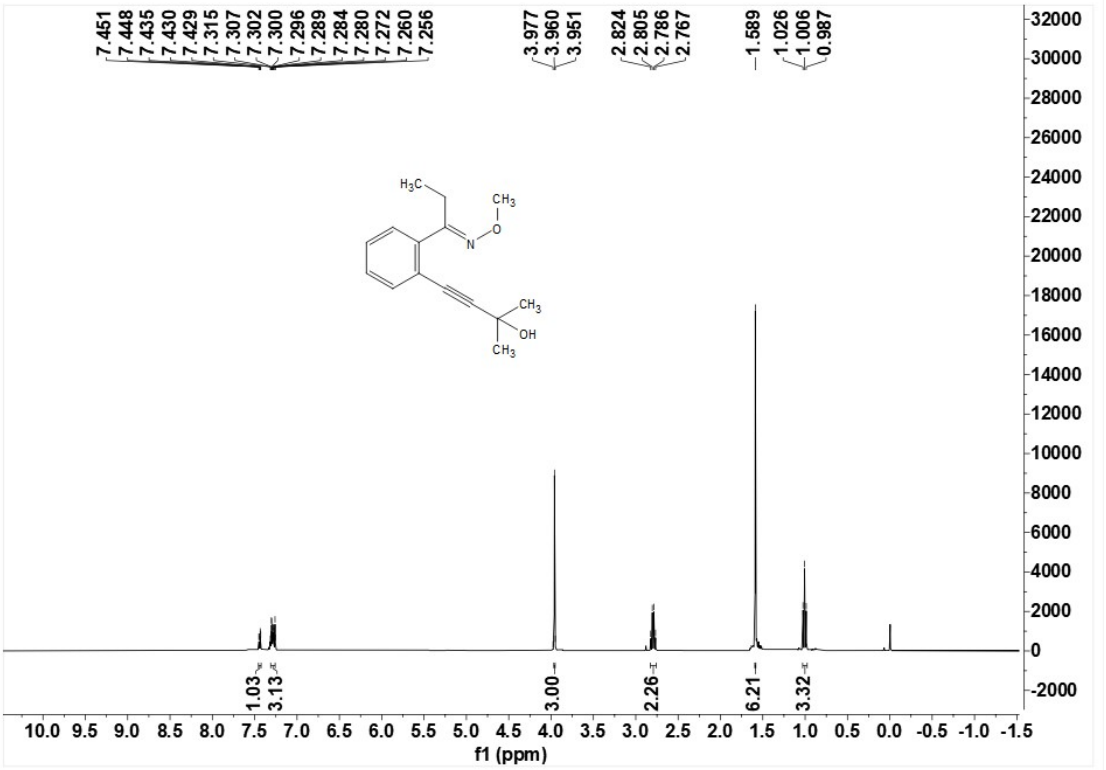
^{13}C NMR ($CDCl_3$, 100 MHz)



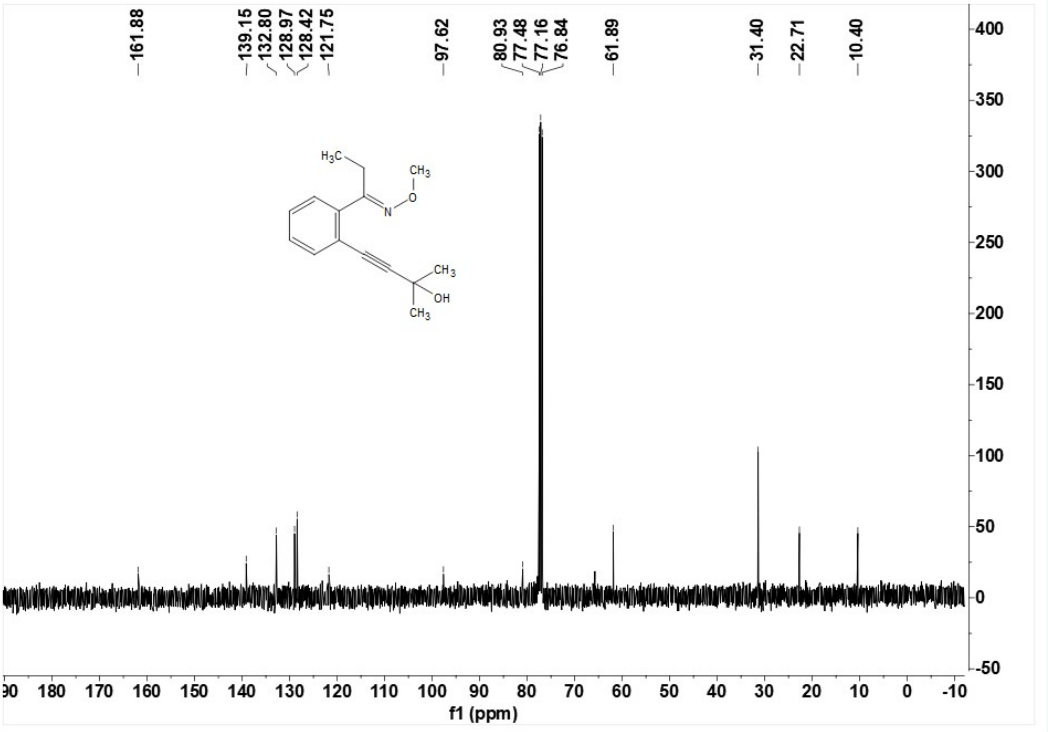
(*E*)-1-(2-(3-Hydroxy-3-methylbut-1-yn-1-yl)phenyl)propan-1-one *O*-methyl oxime (4f)

ESI-107

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



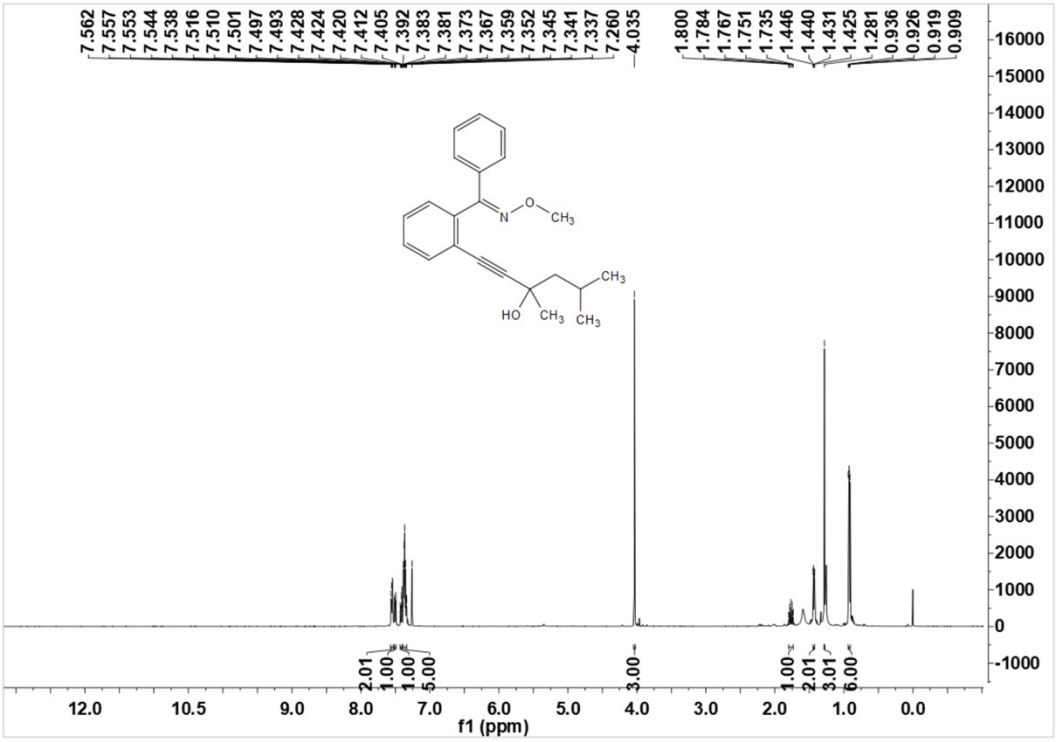
^{13}C NMR ($CDCl_3$, 100 MHz)



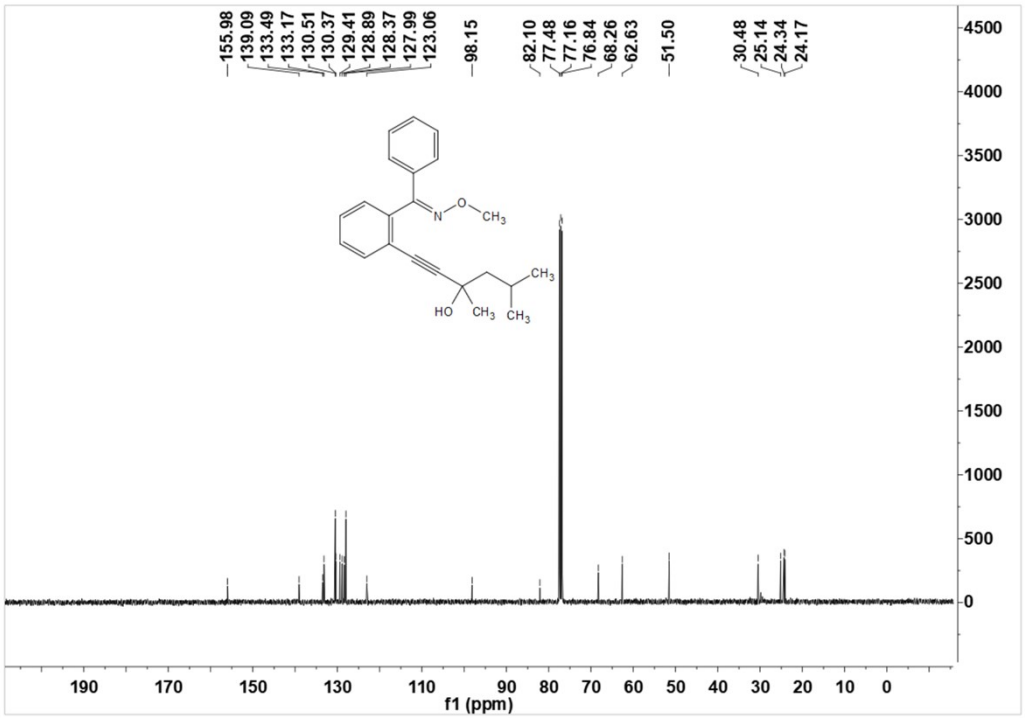
(*E*)-(2-(3-Hydroxy-3,5-dimethylhex-1-yn-1-yl)phenyl)(phenyl)methanone *O*-

methyl oxime (4g)

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



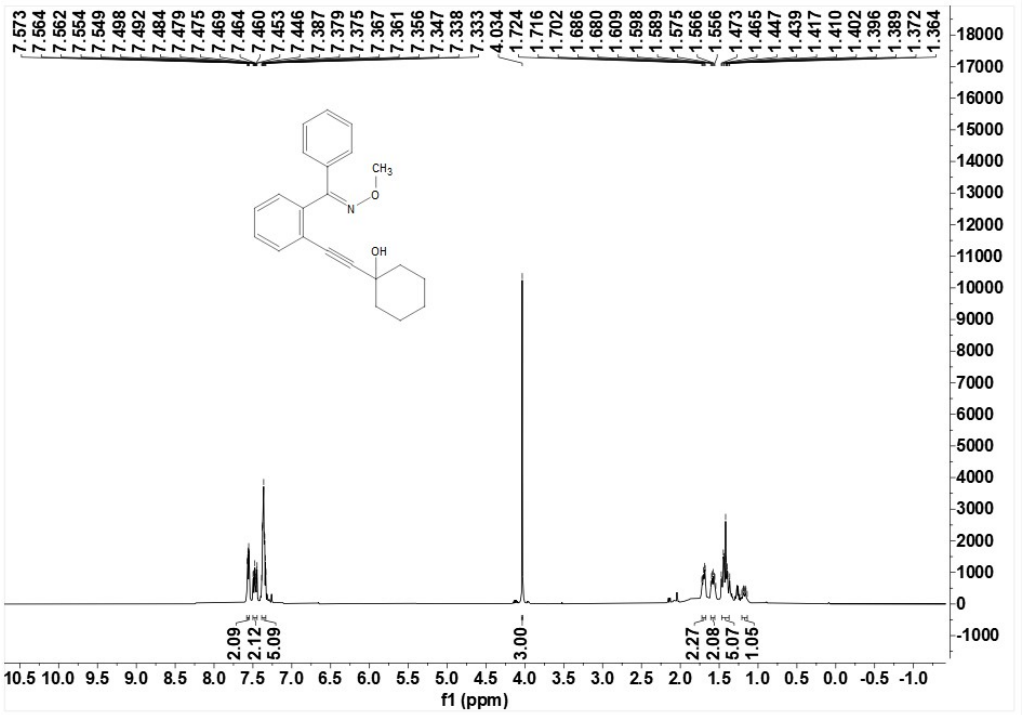
^{13}C NMR ($CDCl_3$, 100 MHz)



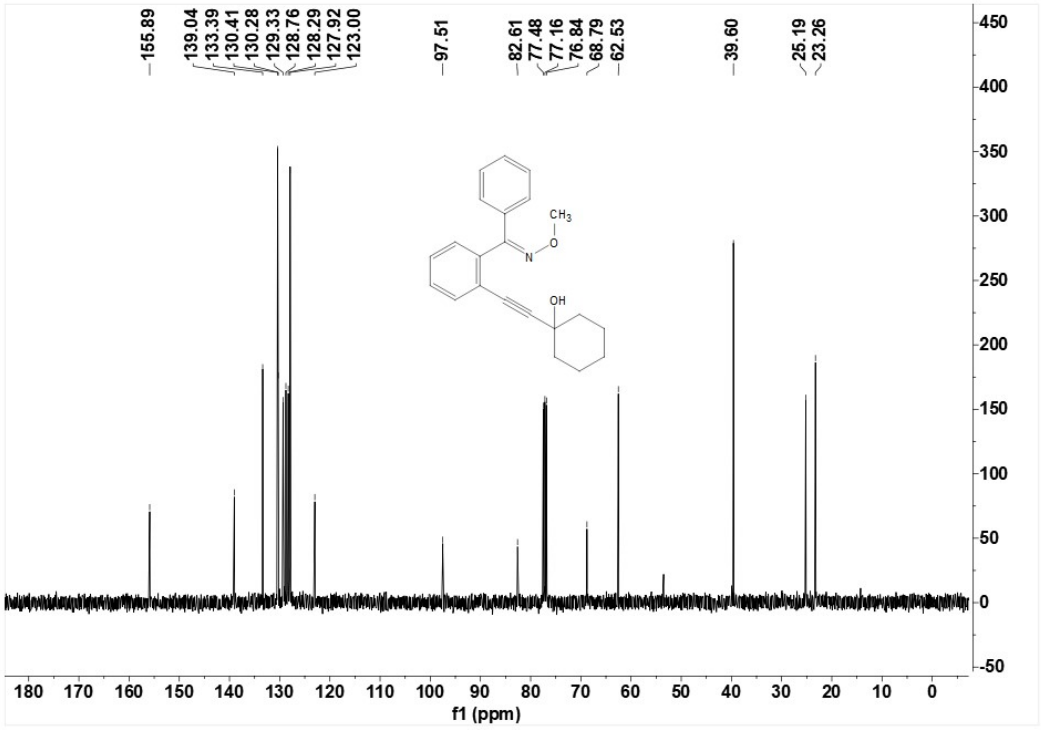
(*E*)-(2-((1-Hydroxycyclohexyl)ethynyl)phenyl)(phenyl)methanone *O*-methyl

oxime (4h)

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



^{13}C NMR ($CDCl_3$, 100 MHz)

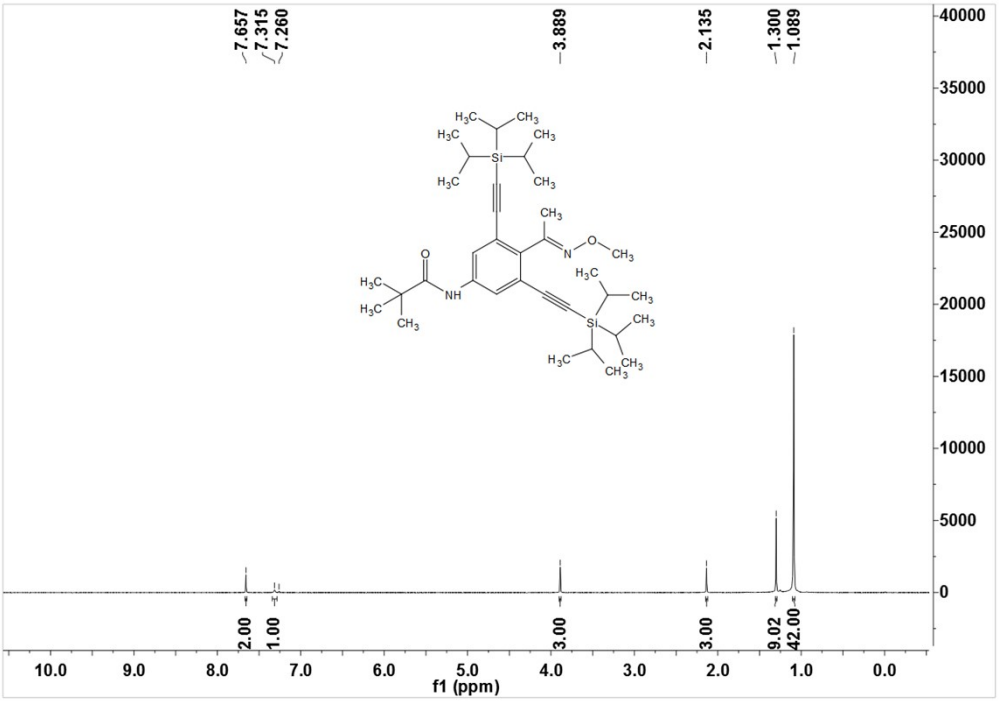


(*E*)-*N*-(4-(1-(Methoxyimino)ethyl)-3,5-bis((triisopropylsilyl)ethynyl)phenyl)

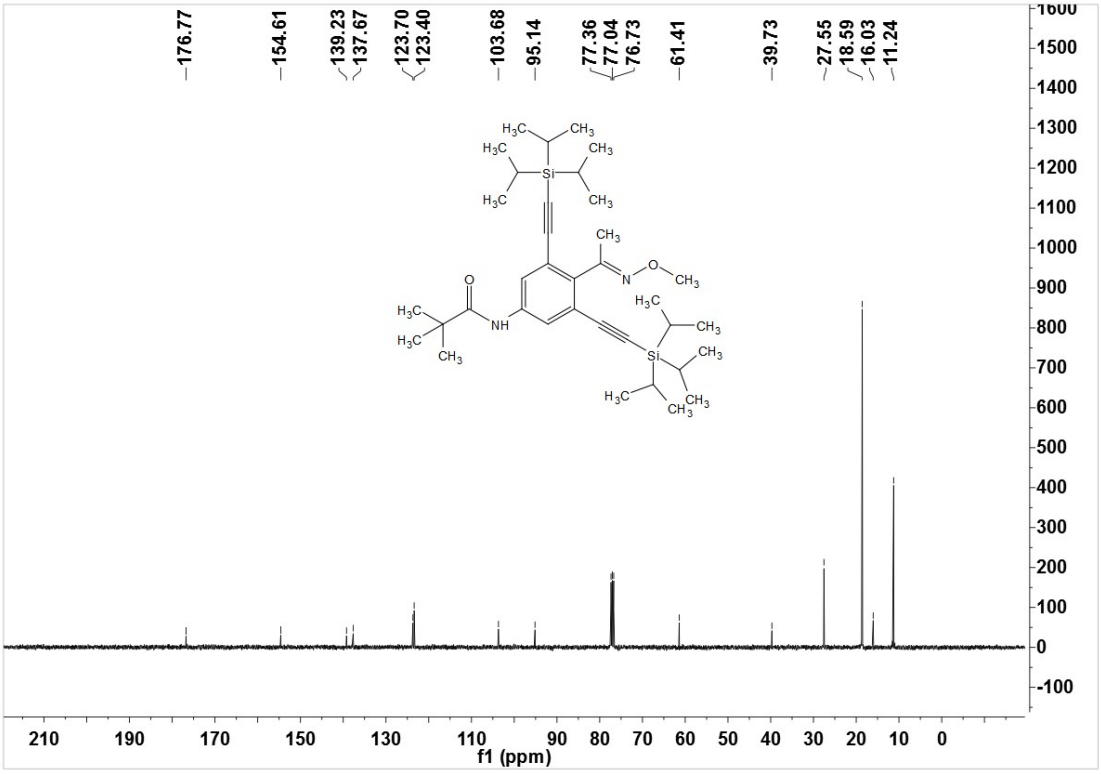
ESI-110

pivalamide (4j)

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



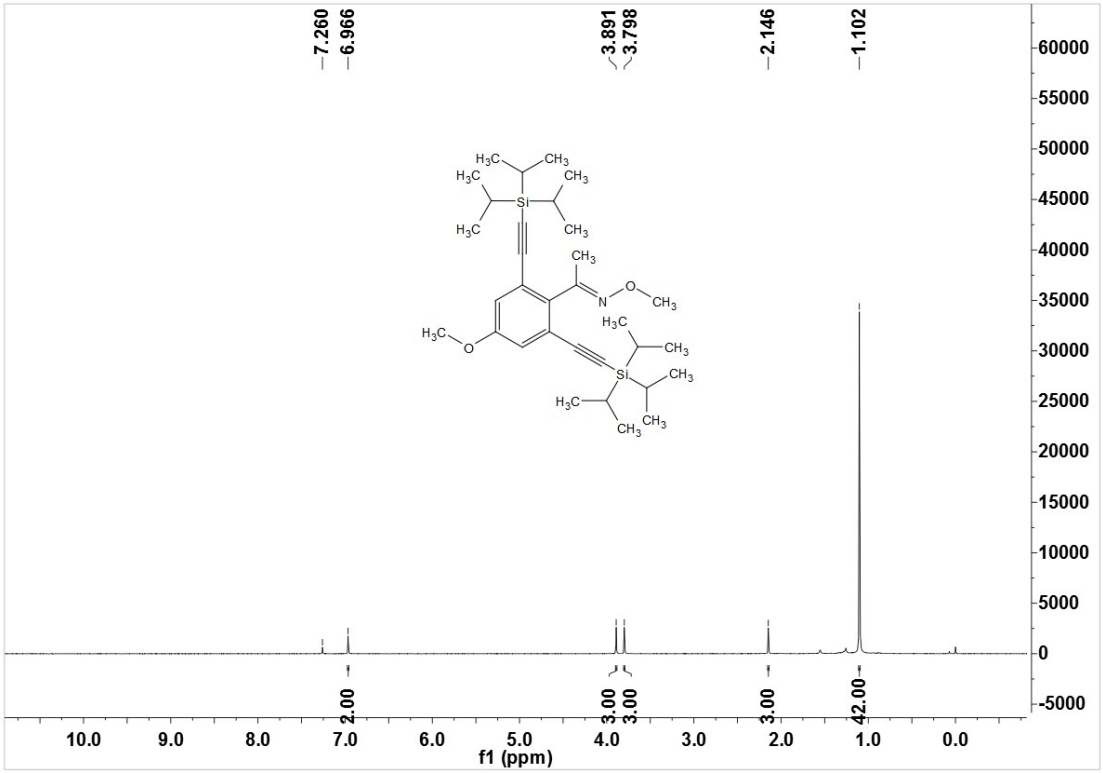
^{13}C NMR ($CDCl_3$, 100 MHz)



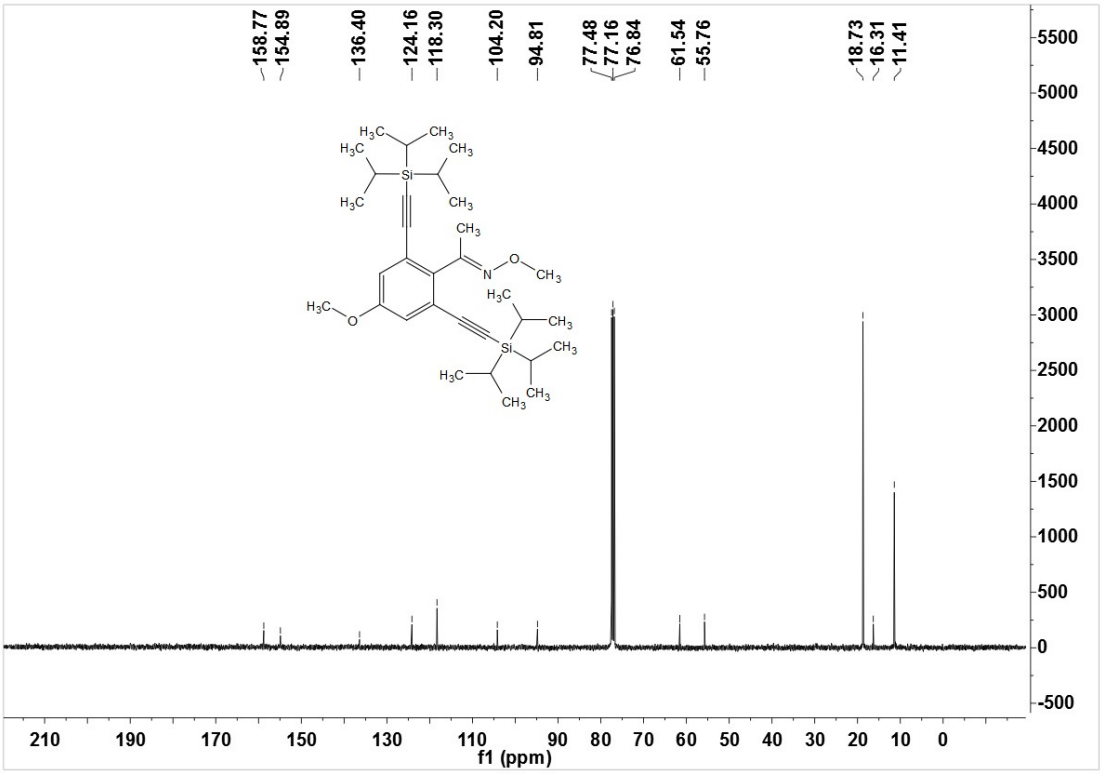
(*E*)-4-(1-(Methoxyimino)ethyl)-3,5-bis((triisopropylsilyl)ethynyl)benzonitrile (4k)

ESI-111

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



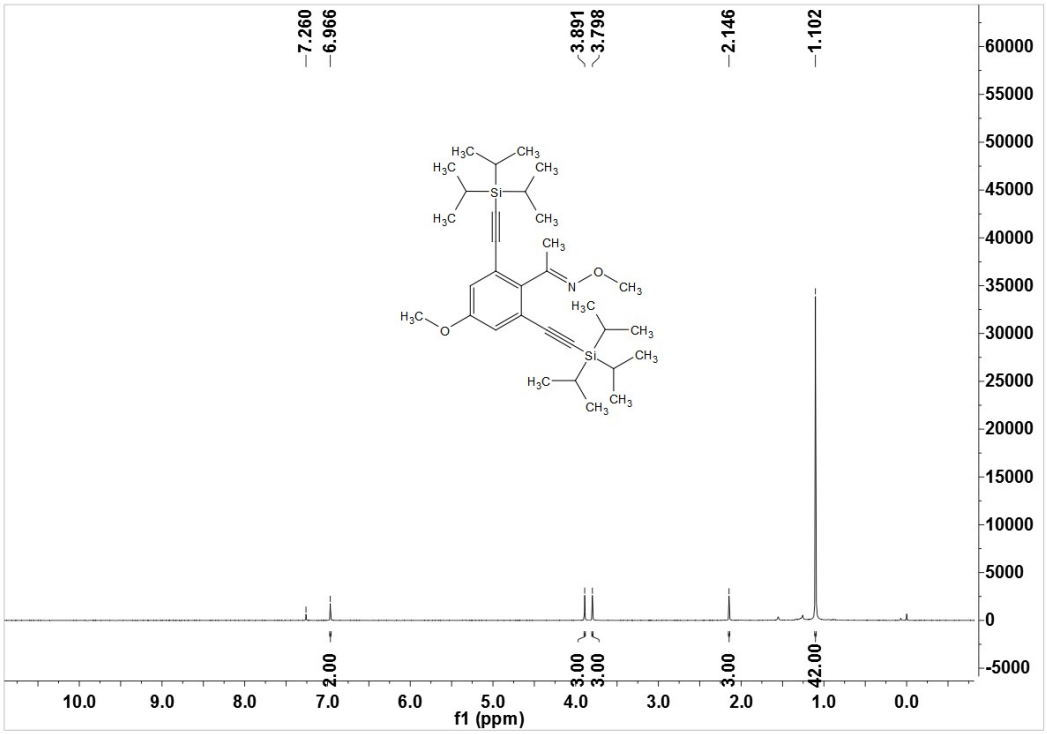
^{13}C NMR ($CDCl_3$, 100 MHz)



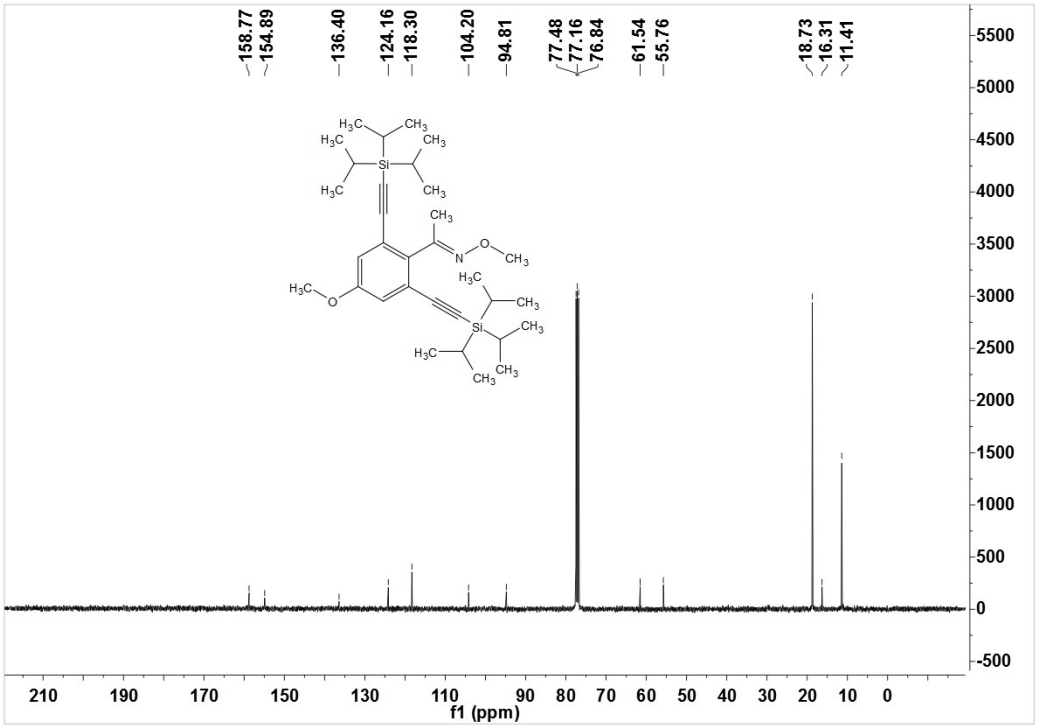
(*E*)-1-(4-Methoxy-2,6-bis((triisopropylsilyl)ethynyl)phenyl)ethan-1-one *O*-methyl
ESI-112

oxime (4l)

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



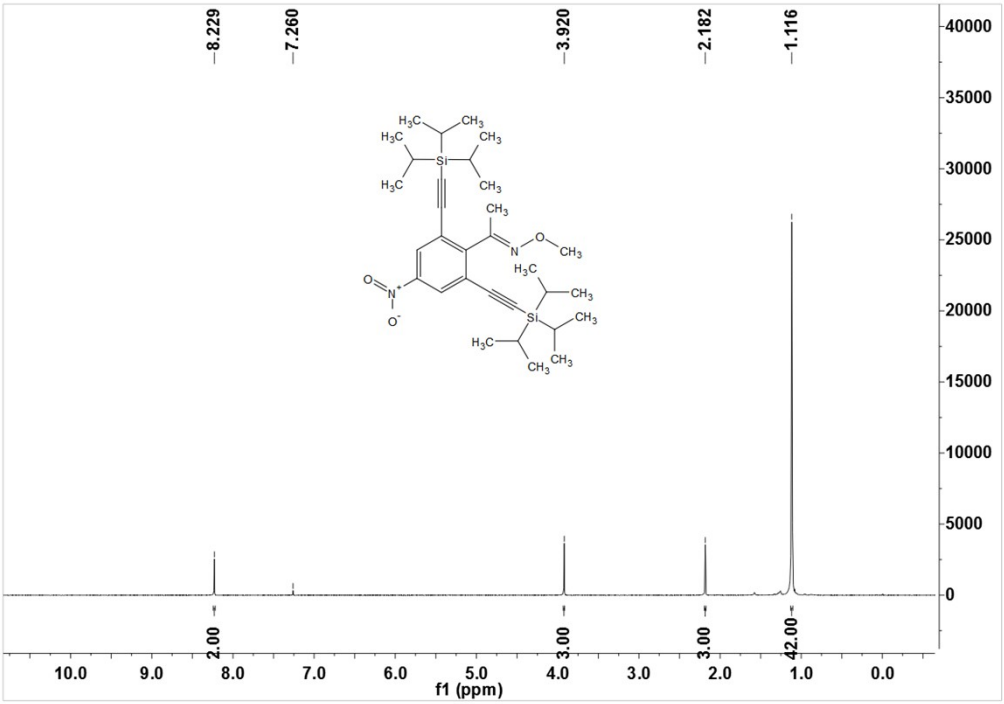
^{13}C NMR ($CDCl_3$, 100 MHz)



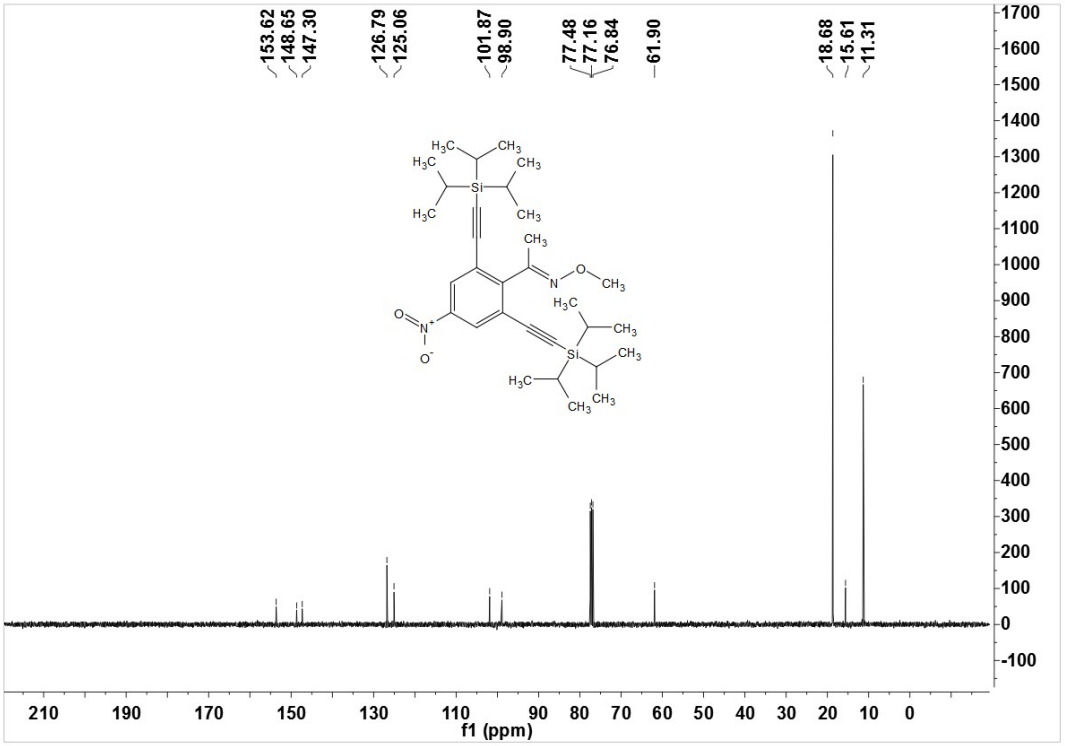
(*E*)-1-(4-Nitro-2,6-bis((triisopropylsilyl)ethynyl)phenyl)ethan-1-one *O*-methyl

oxime (4m)

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



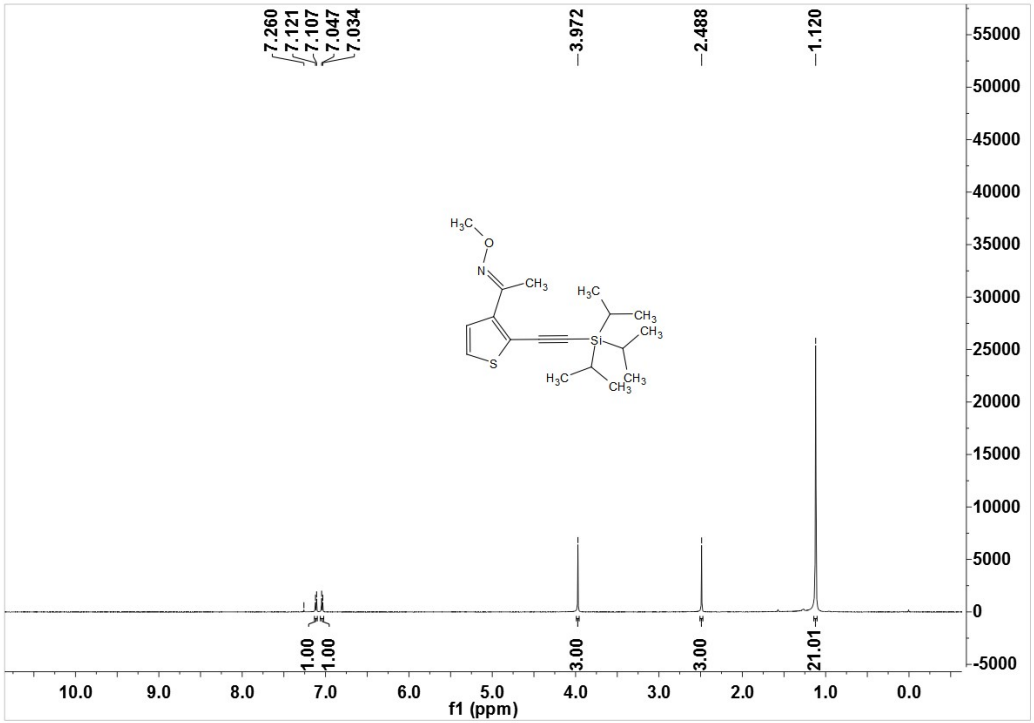
^{13}C NMR ($CDCl_3$, 100 MHz)



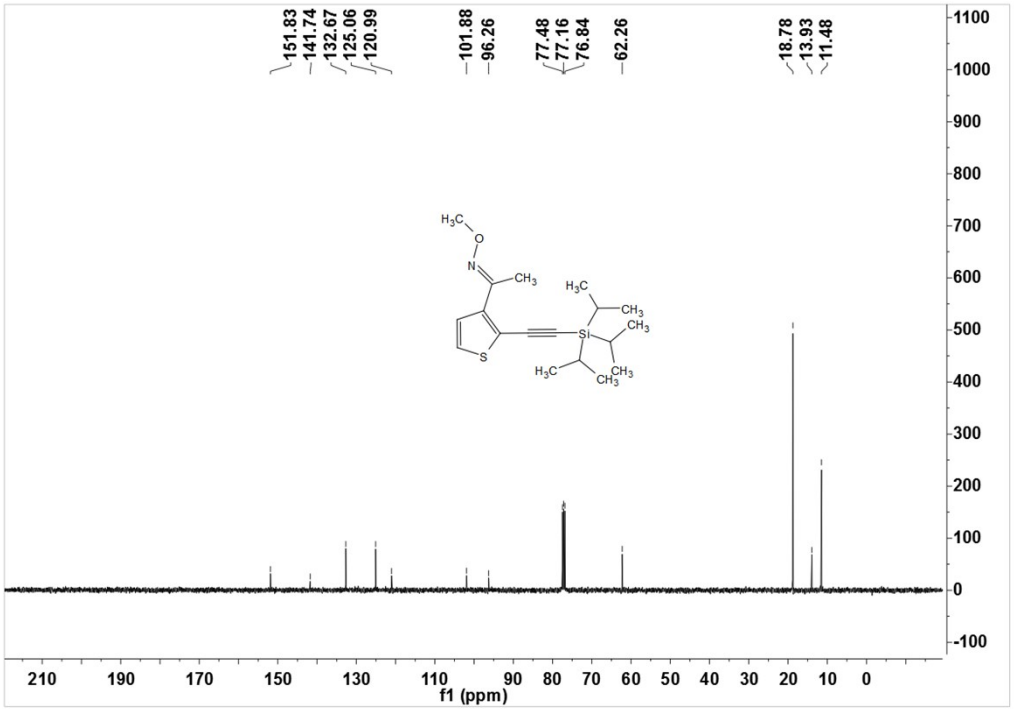
(*E*)-1-(2-((Triisopropylsilyl)ethynyl)thiophen-3-yl)ethan-1-one *O*-methyl oxime (4n)

ESI-114

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



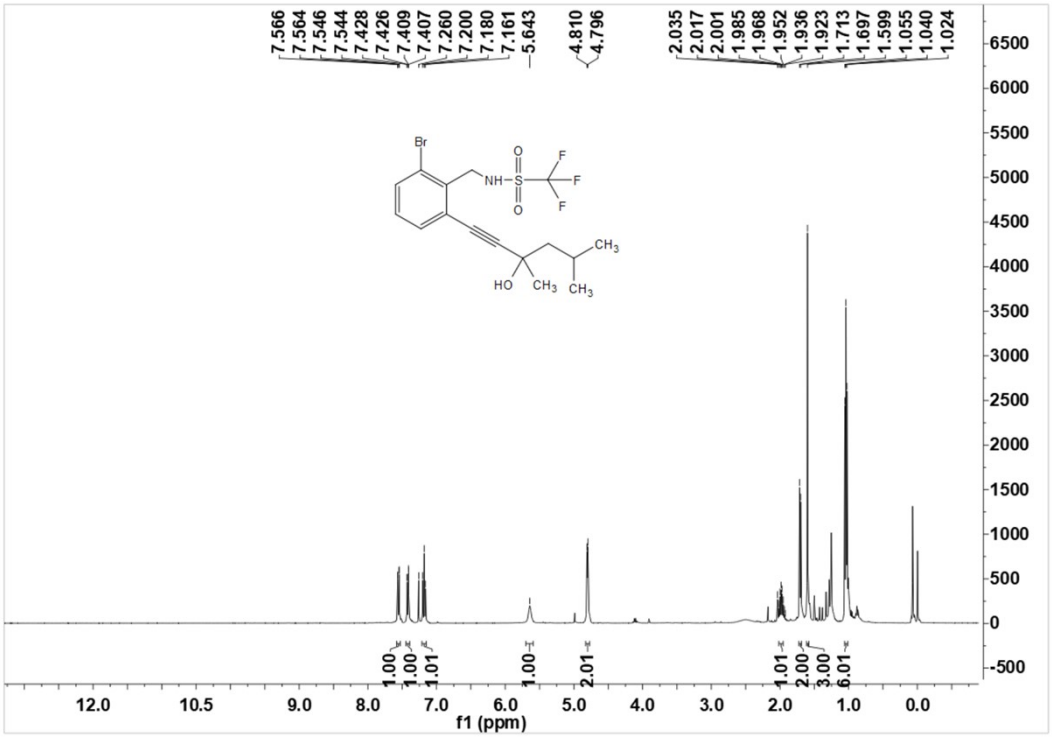
^{13}C NMR ($CDCl_3$, 100 MHz)



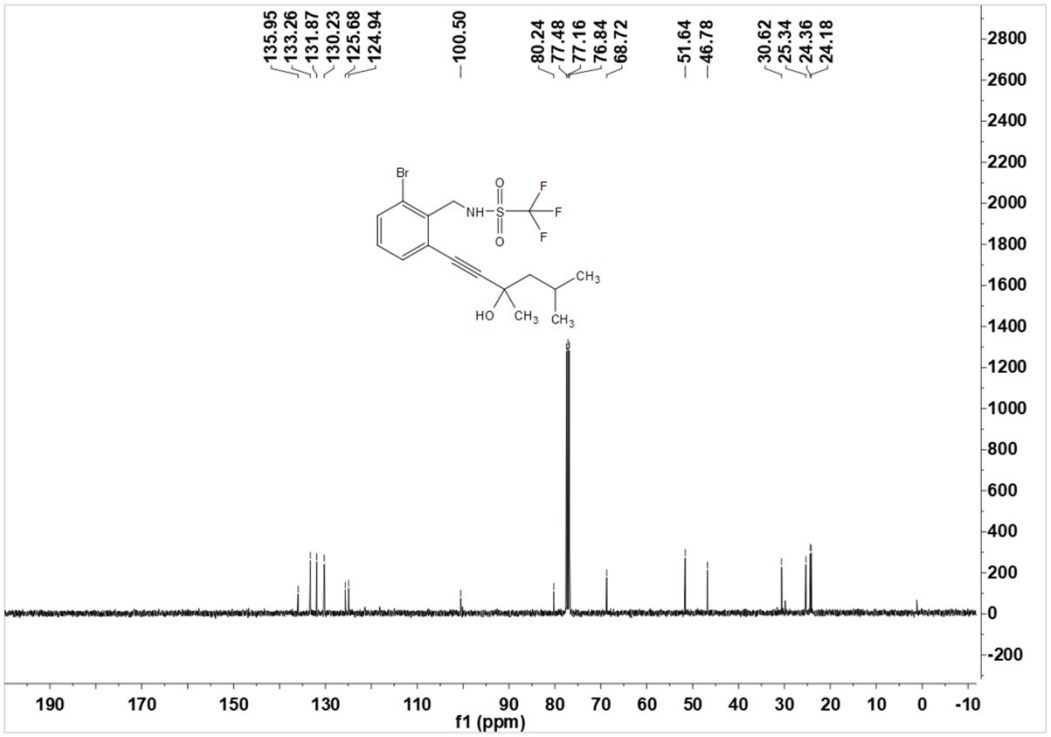
***N*-(2-Bromo-6-(3-hydroxy-3,5-dimethylhex-1-yn-1-yl)benzyl)-1,1,1-trifluoromethanesulfonamide (6e)**

ESI-115

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

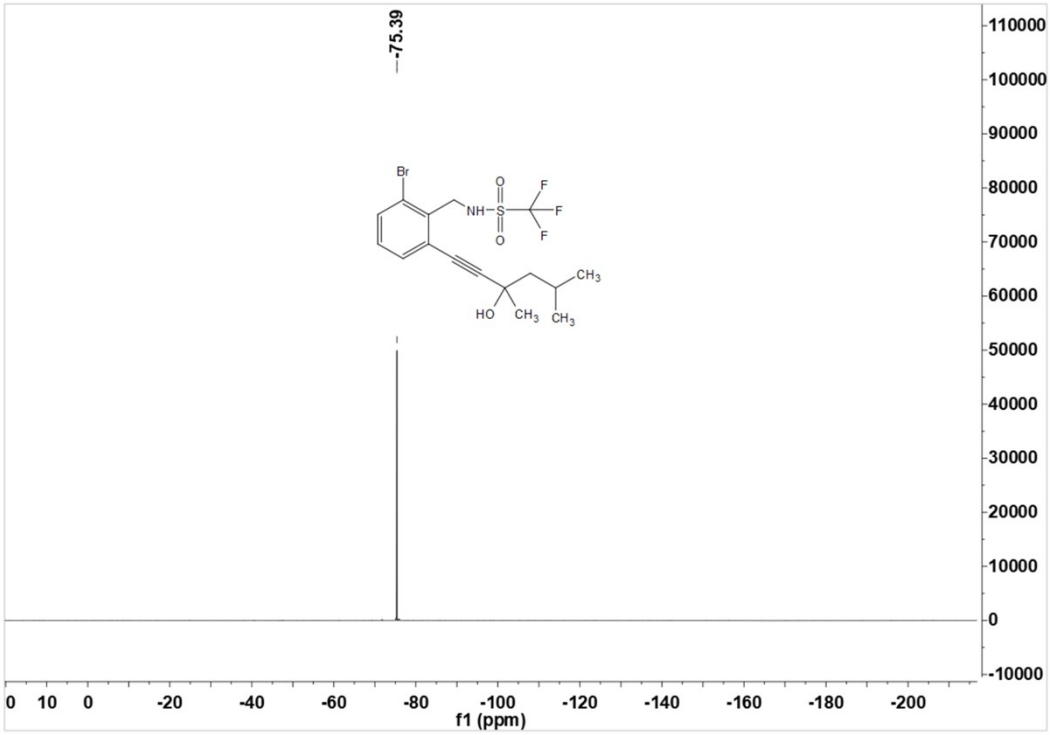


^{13}C NMR ($CDCl_3$, 100 MHz)



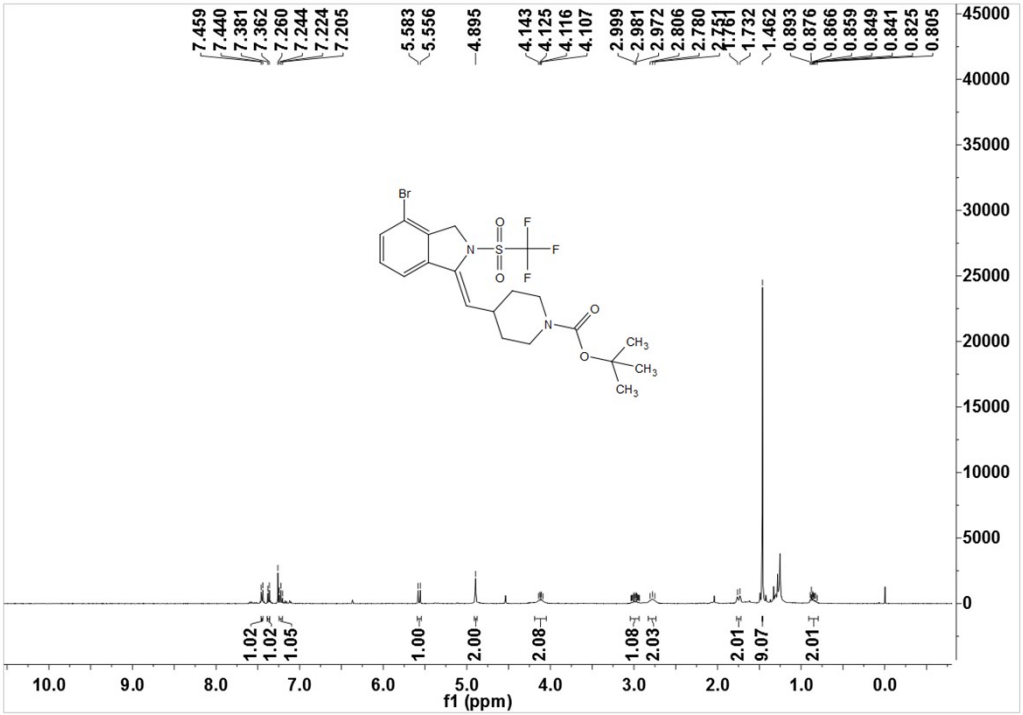
^{19}F NMR ($CDCl_3$, 100 MHz)

ESI-116

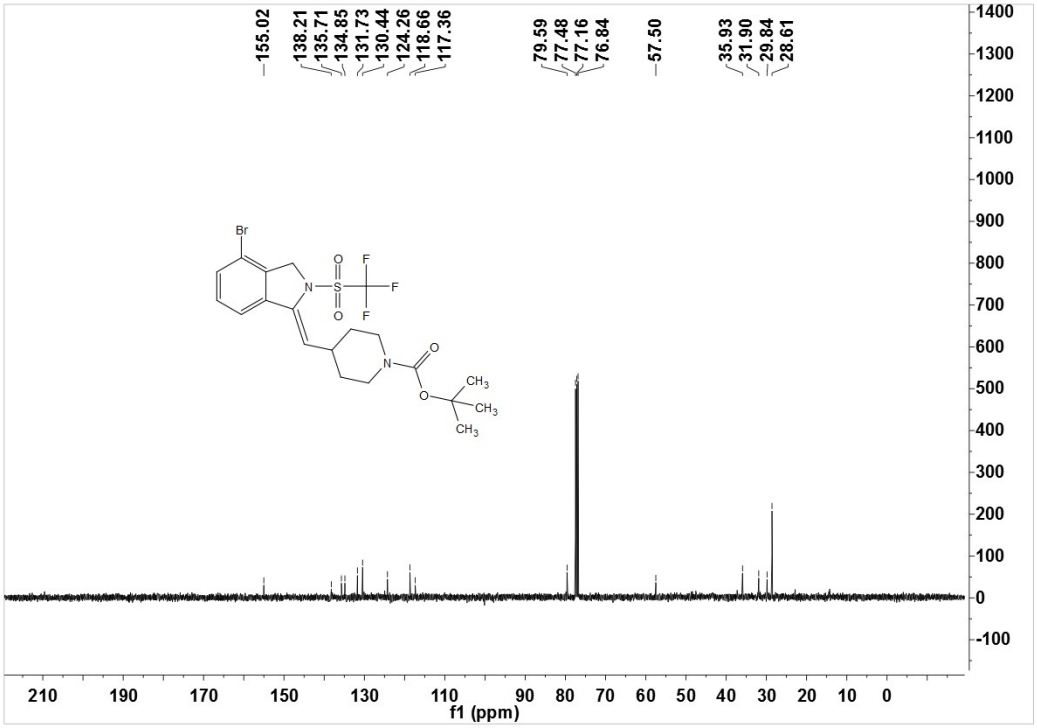


tert-Butyl (_Z_)-4-((4-bromo-2-((trifluoromethyl)sulfonyl)isoindolin-1-ylidene)methyl)piperidine-1-carboxylate (6f)

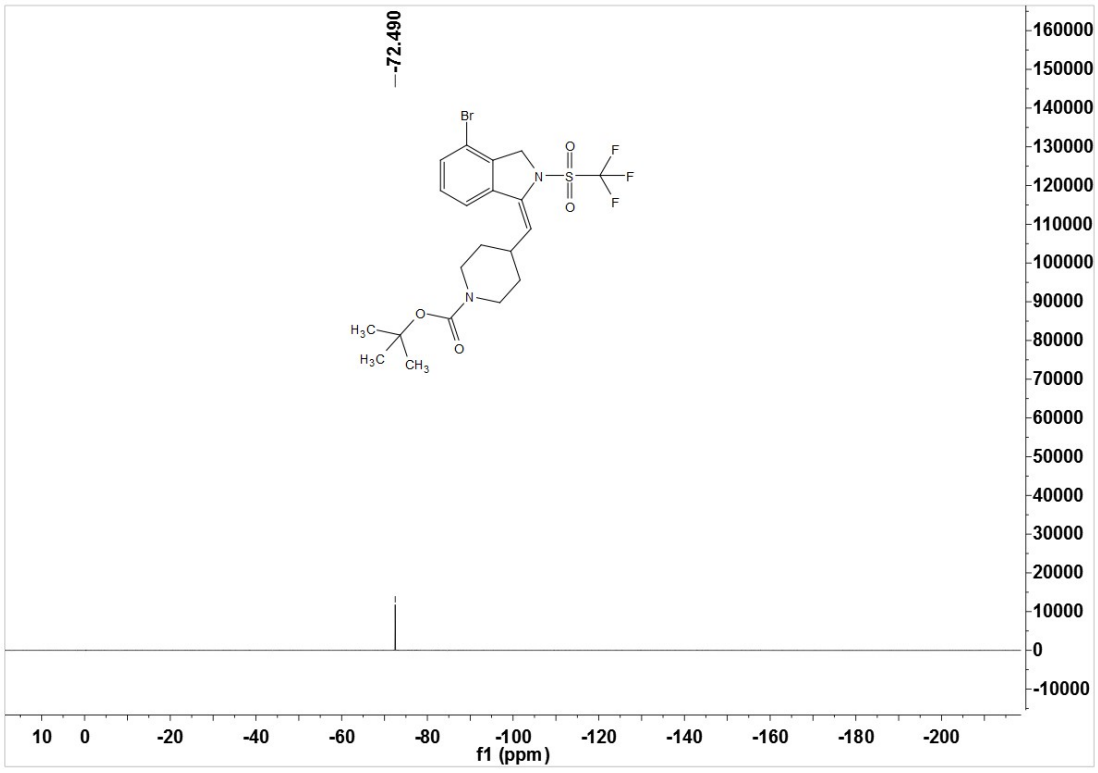
^{1}H NMR ($CDCl_3$, 400 MHz)



^{13}C NMR ($CDCl_3$, 100 MHz)



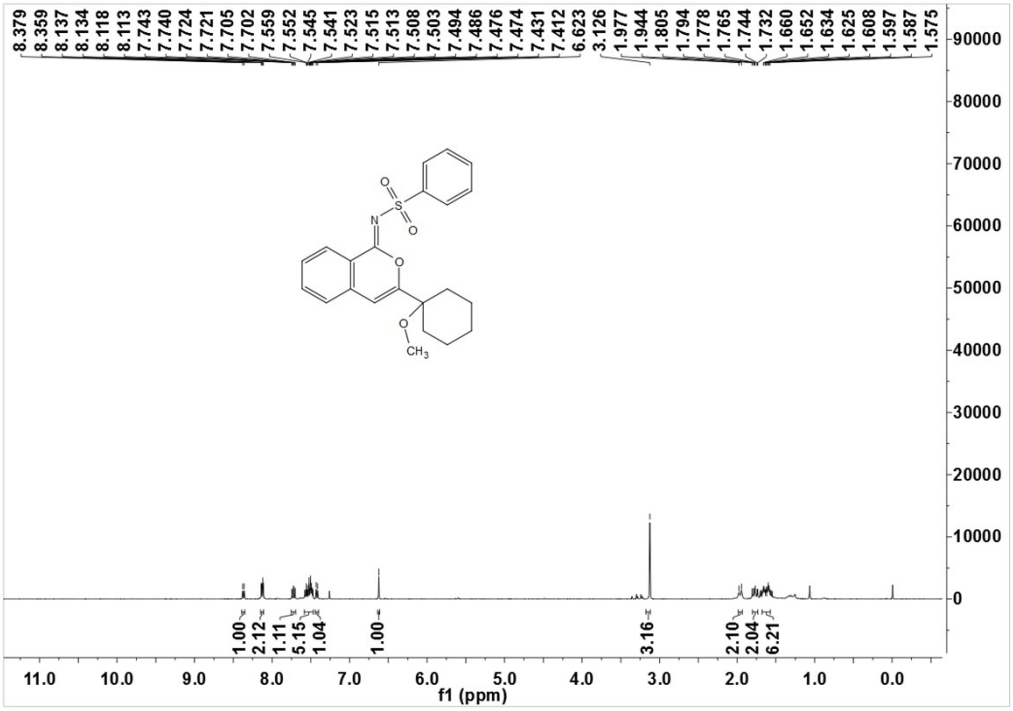
^{19}F NMR ($CDCl_3$, 100 MHz)



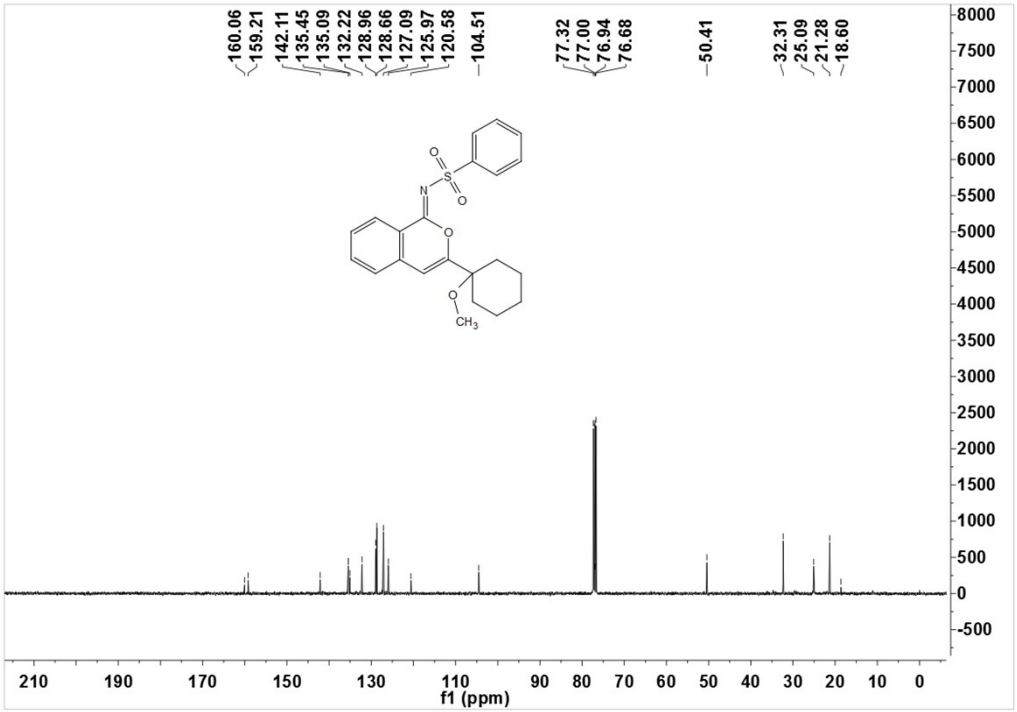
(*Z*)-*N*-(3-(1-Methoxycyclohexyl)-1*H*-isochromen-1-ylidene)benzenesulfonamide

(6g)

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



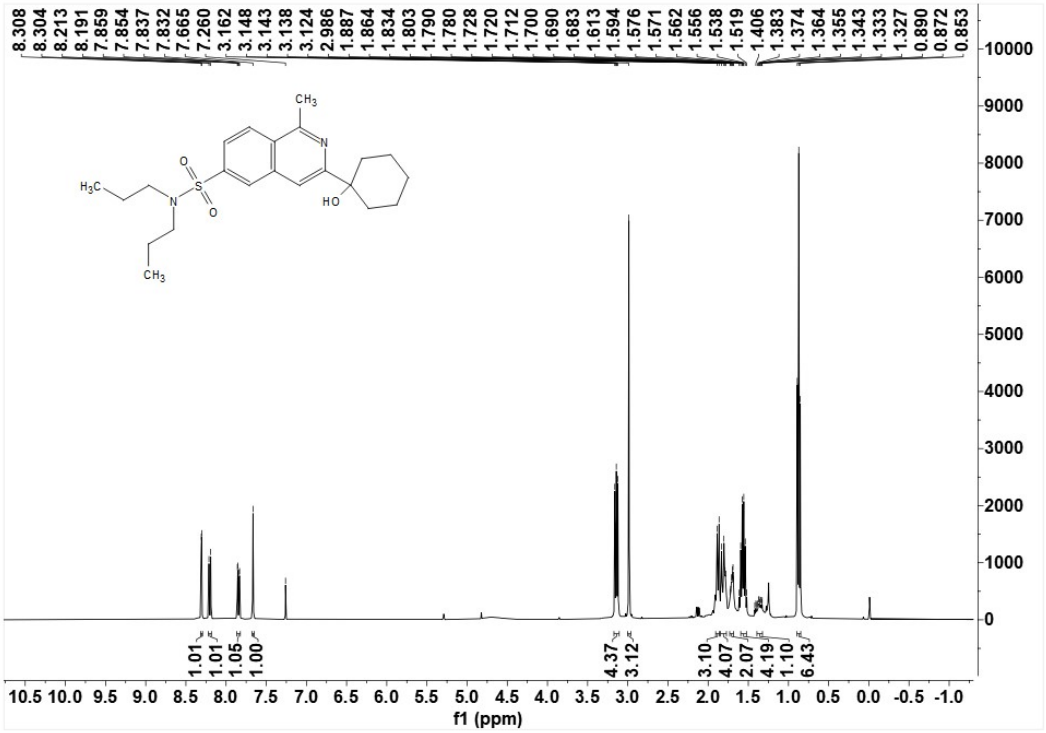
^{13}C NMR ($CDCl_3$, 100 MHz)



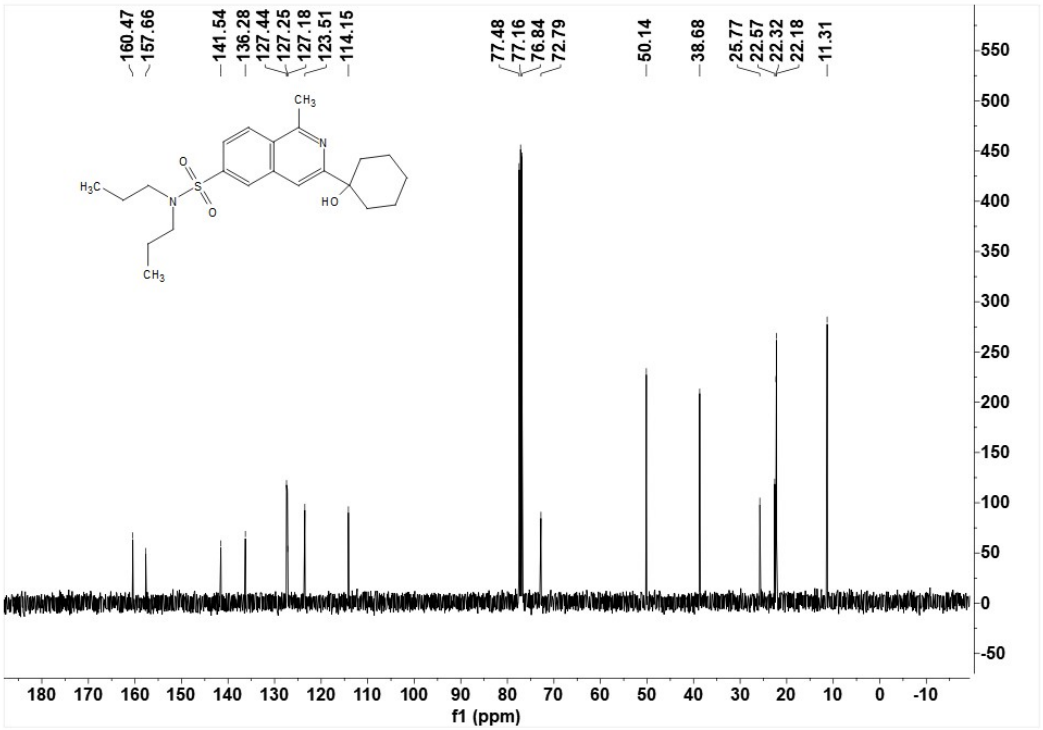
3-(1-Hydroxycyclohexyl)-1-methyl-*N*,*N*-dipropylisoquinoline-6-sulfonamide (3zz)

ESI-119

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



^{13}C NMR ($CDCl_3$, 100 MHz)

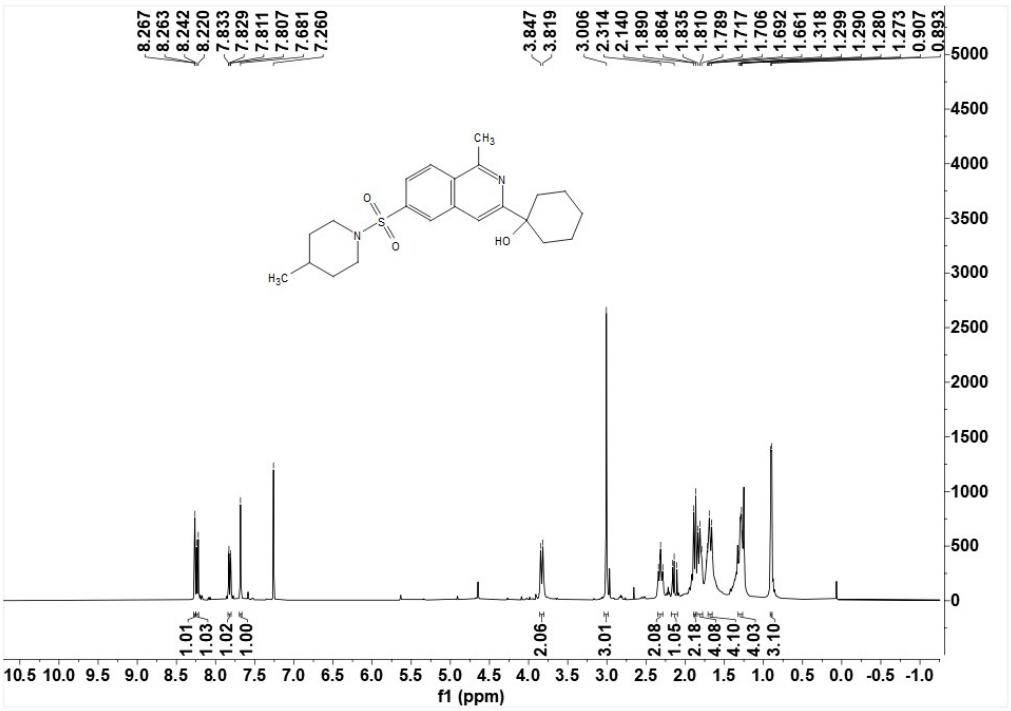


1-(1-Methyl-6-((4-methylpiperidin-1-yl)sulfonyl)isoquinolin-3-

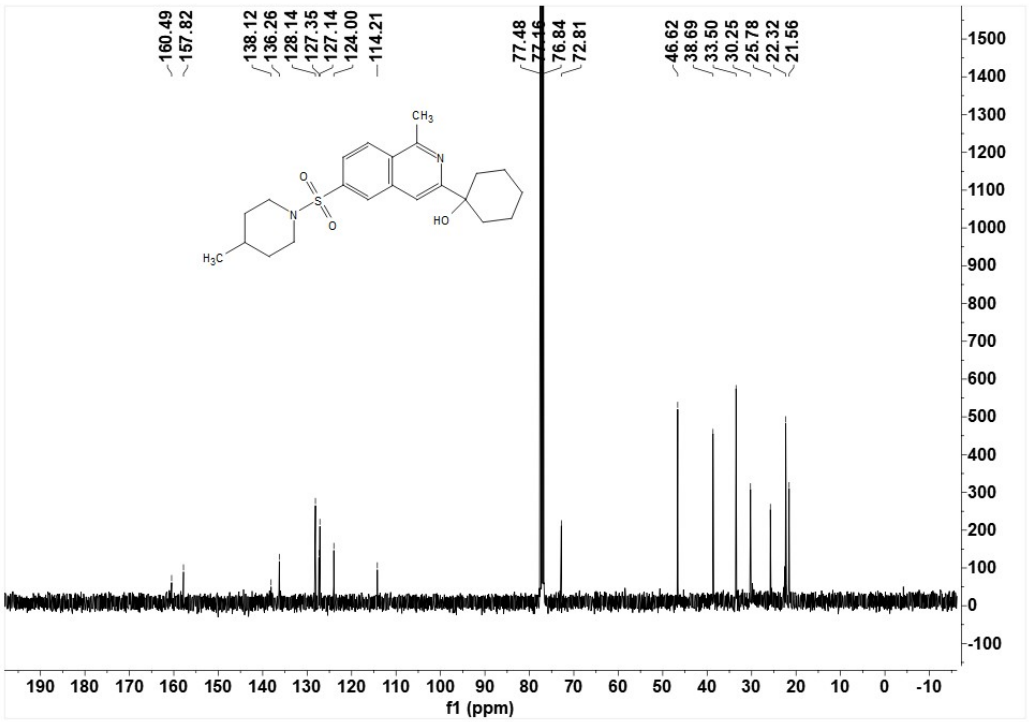
ESI-120

yl)cyclohexan-1-ol (3zza)

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



^{13}C NMR ($CDCl_3$, 100 MHz)

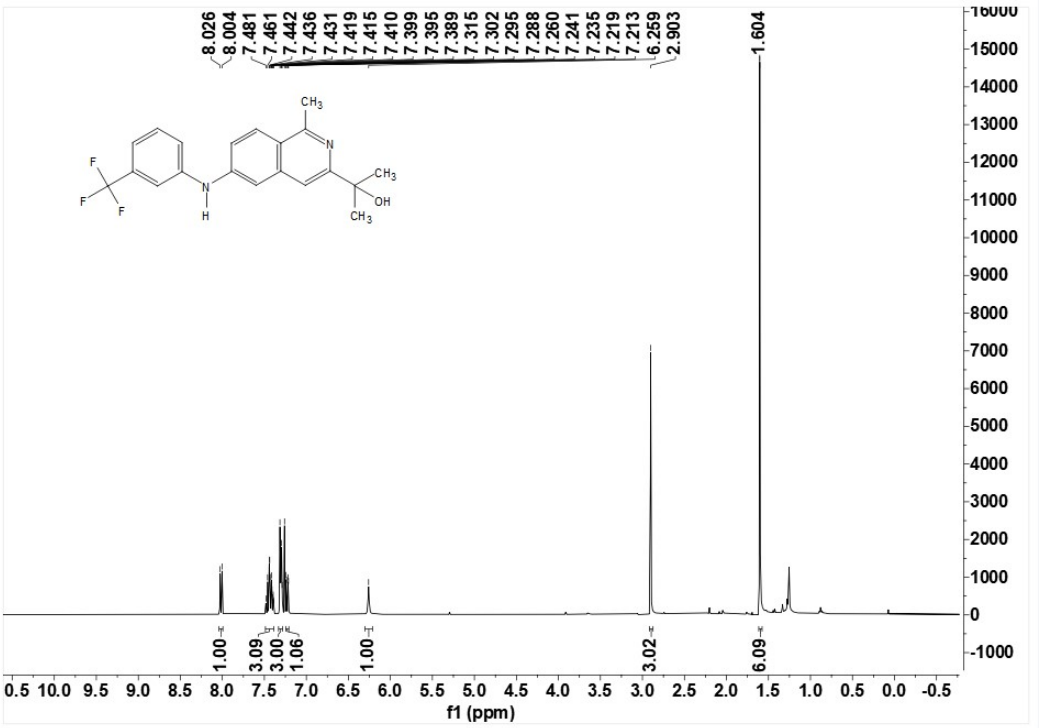


2-(1-Methyl-6-((3-(trifluoromethyl)phenyl)amino)isoquinolin-3-yl)propan-2-ol

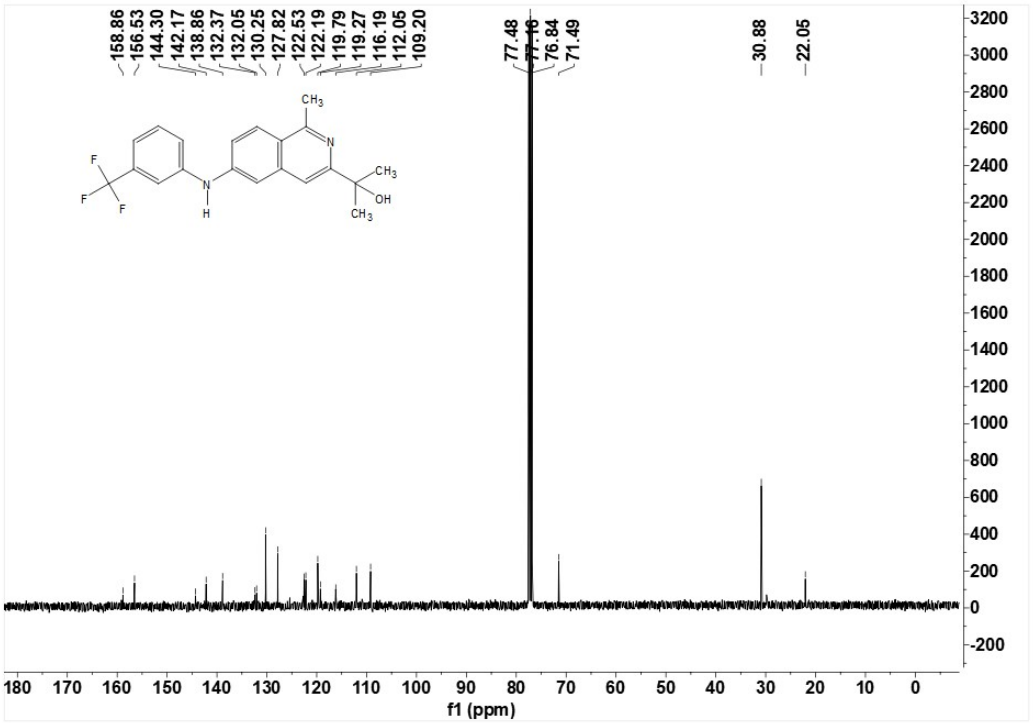
ESI-121

(3zzb)

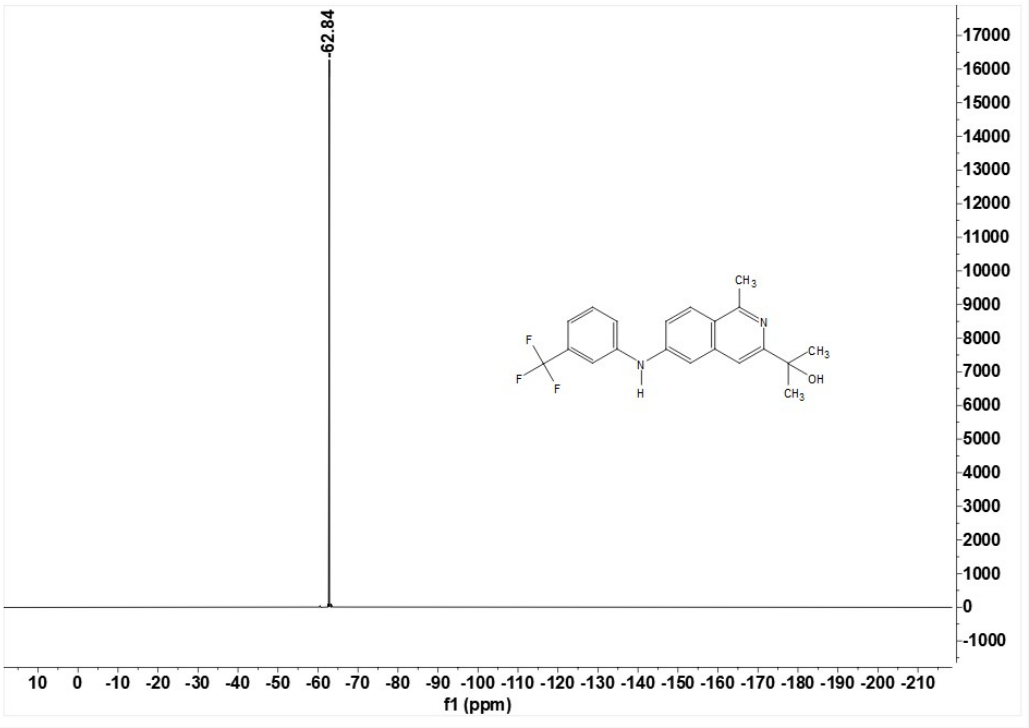
^{1}H NMR ($CDCl_3$, 400 MHz)



^{13}C NMR ($CDCl_3$, 100 MHz)

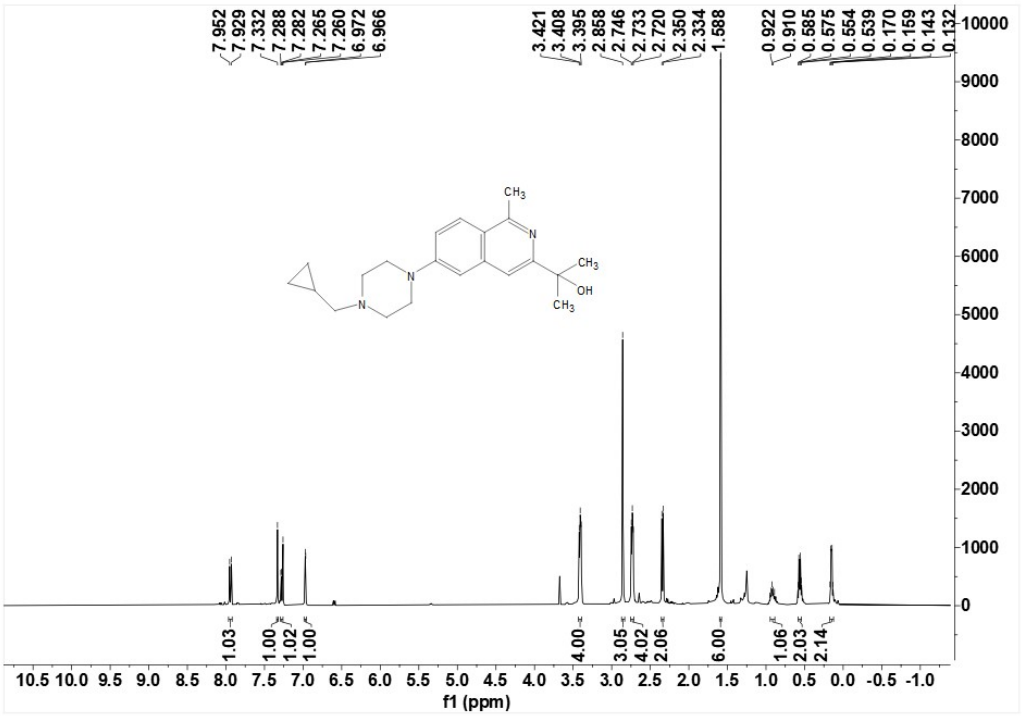


^{19}F NMR ($CDCl_3$, 100 MHz)

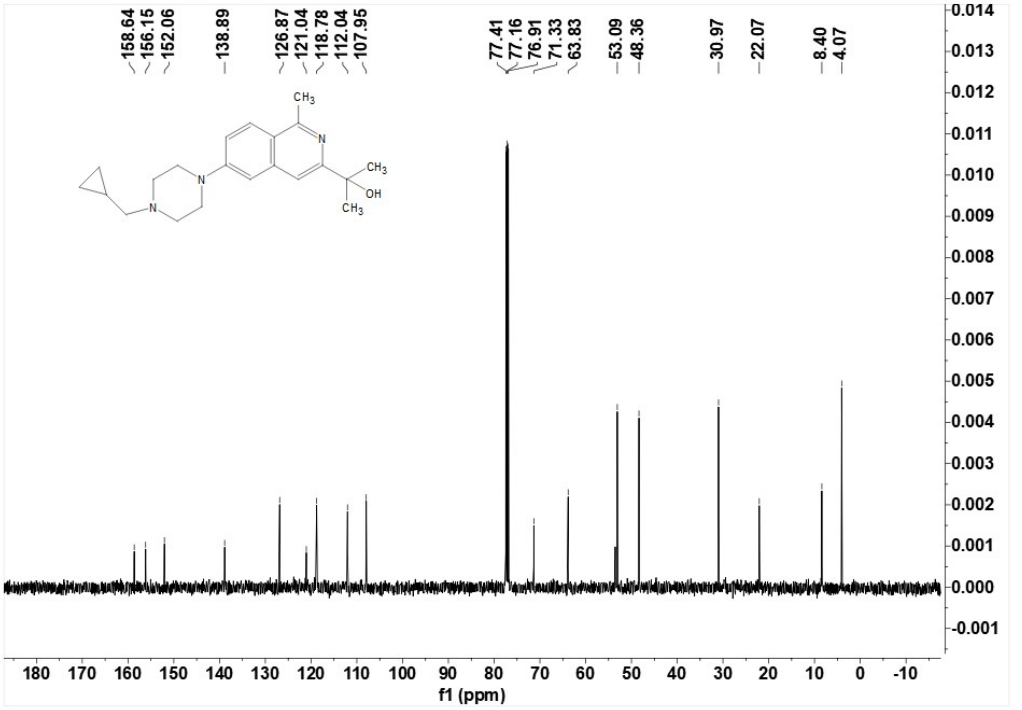


2-(6-(4-(Cyclopropylmethyl)piperazin-1-yl)-1-methylisoquinolin-3-yl)propan-2-ol (3zzc)

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

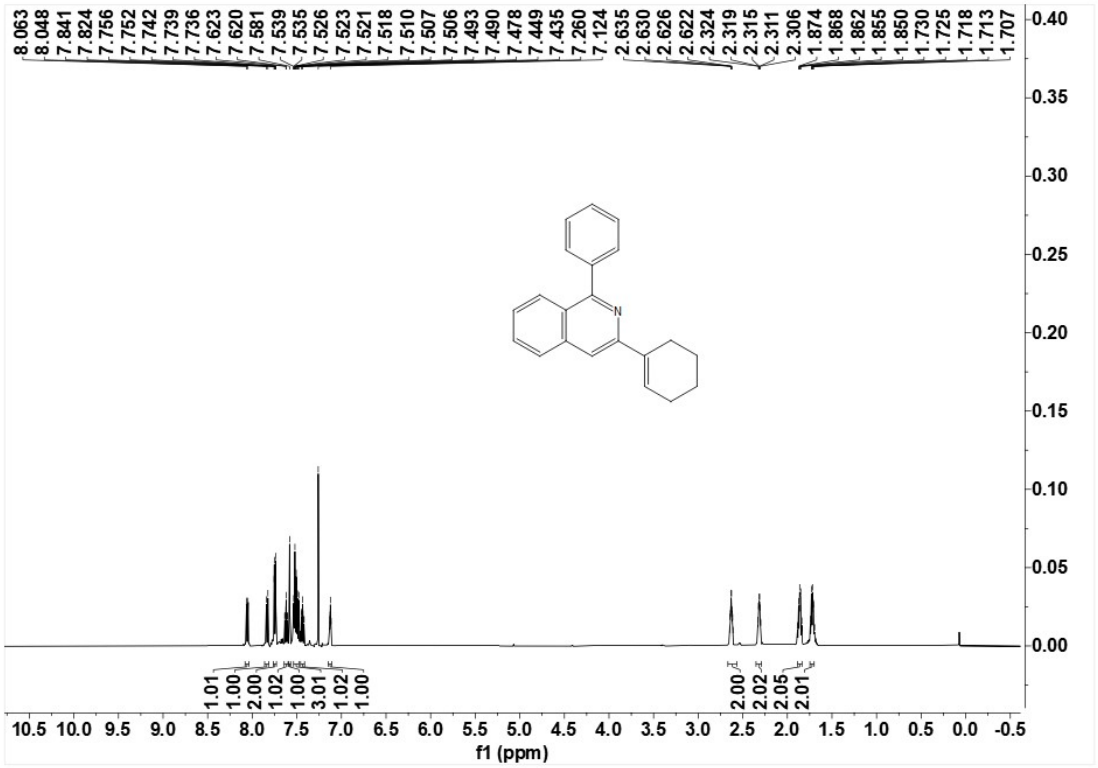


^{13}C NMR ($CDCl_3$, 100 MHz)

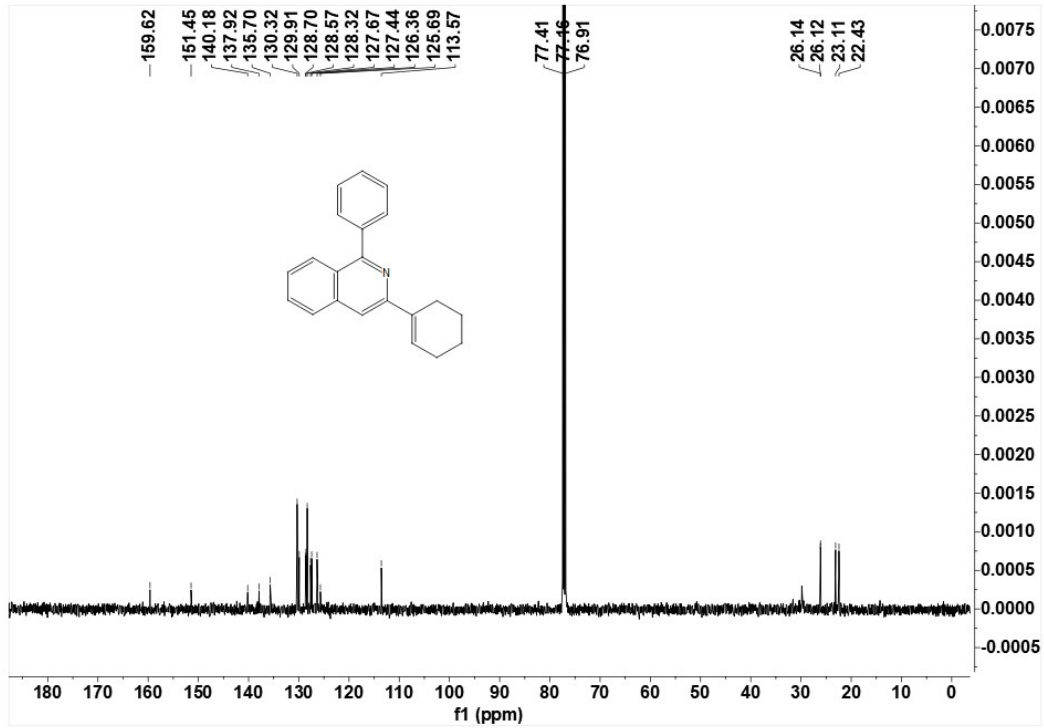


3-(Cyclohex-1-en-1-yl)-1-phenylisoquinoline (3q-A)

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

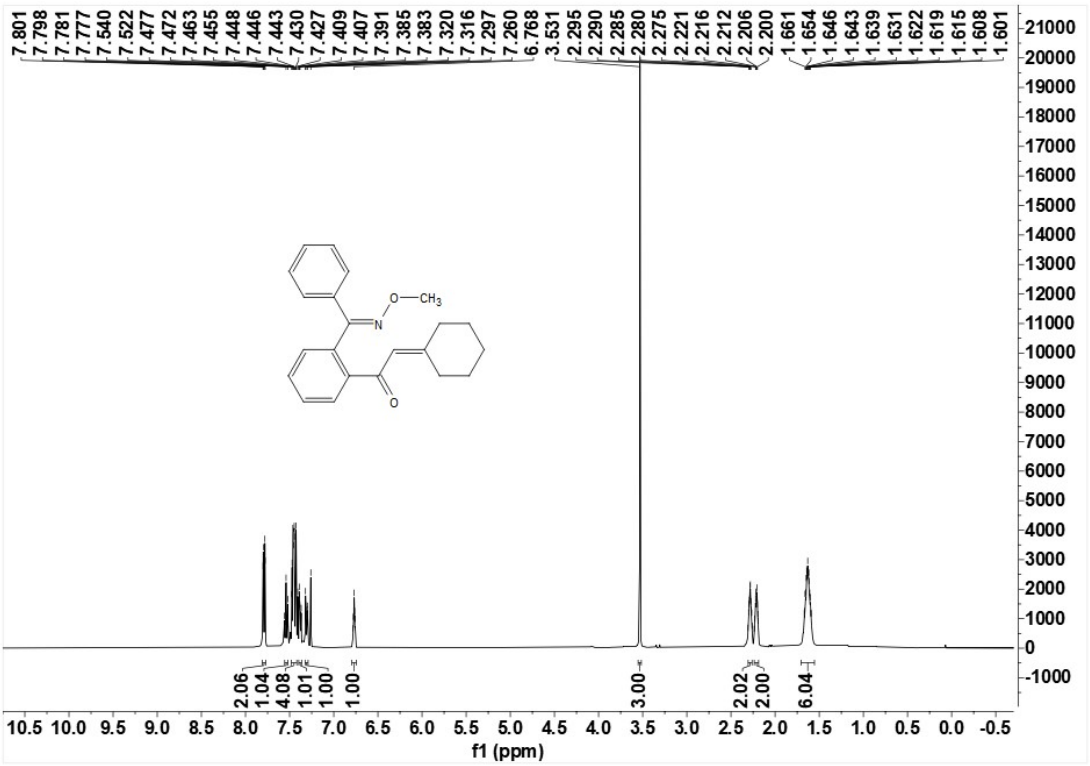


^{13}C NMR ($CDCl_3$, 100 MHz)

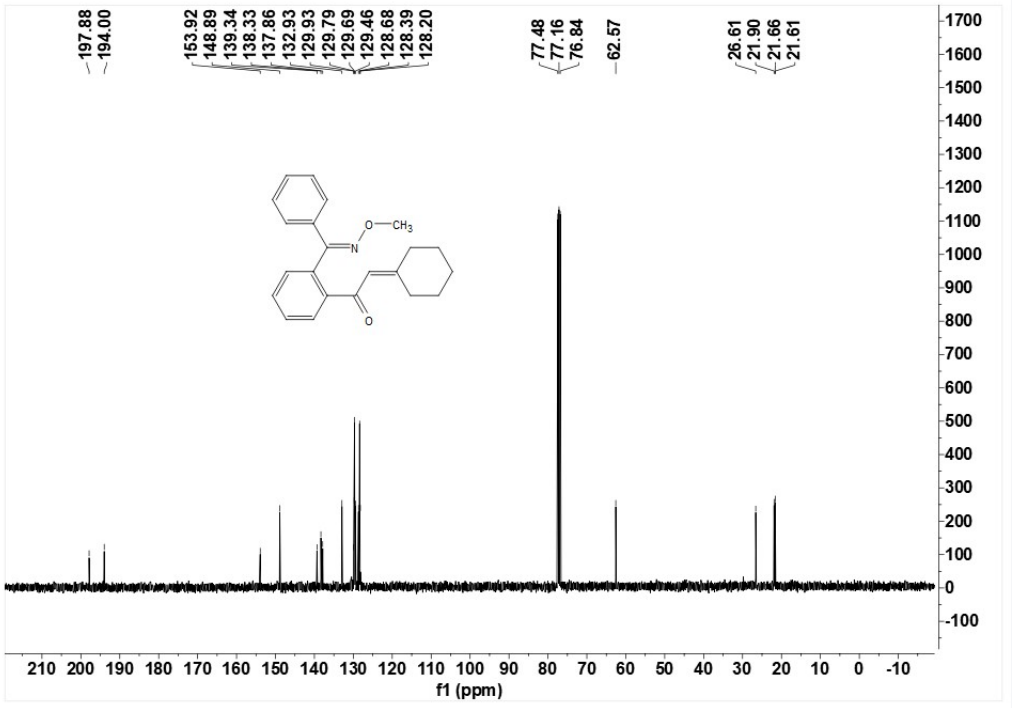


(*E*)-2-Cyclohexylidene-1-(2-((methoxyimino)(phenyl)methyl)phenyl)ethan-1-one (4h-B)

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



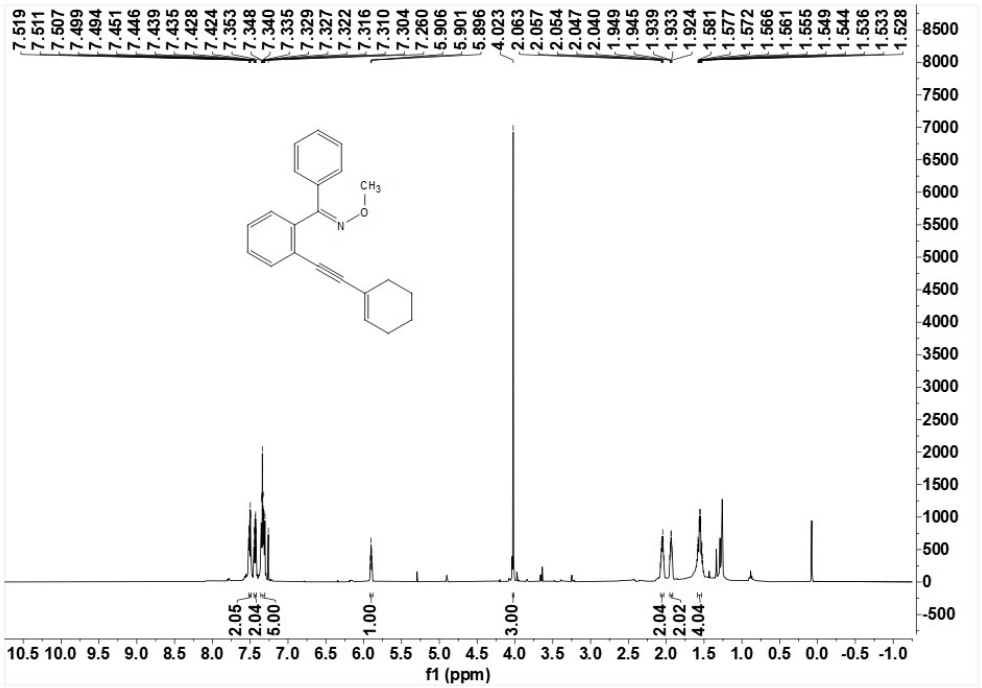
^{13}C NMR ($CDCl_3$, 100 MHz)



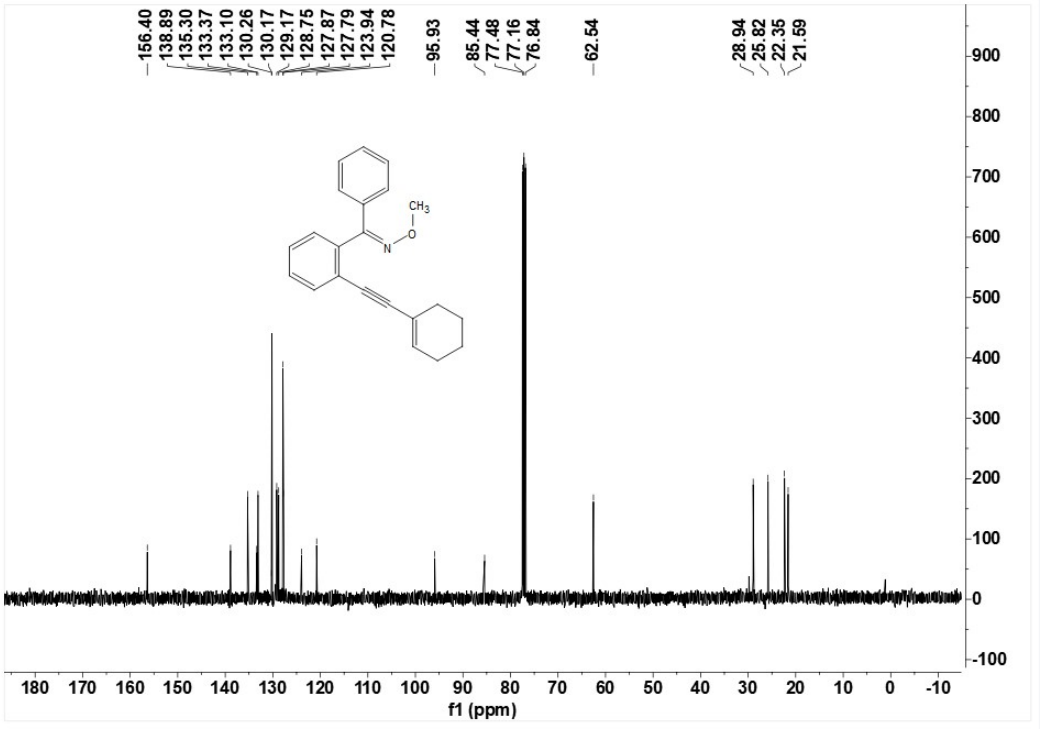
(*E*)-(2-(Cyclohex-1-en-1-ylethynyl)phenyl)(phenyl)methanone *O*-methyl oxime (4h-A)

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

ESI-126



^{13}C NMR ($CDCl_3$, 100 MHz)

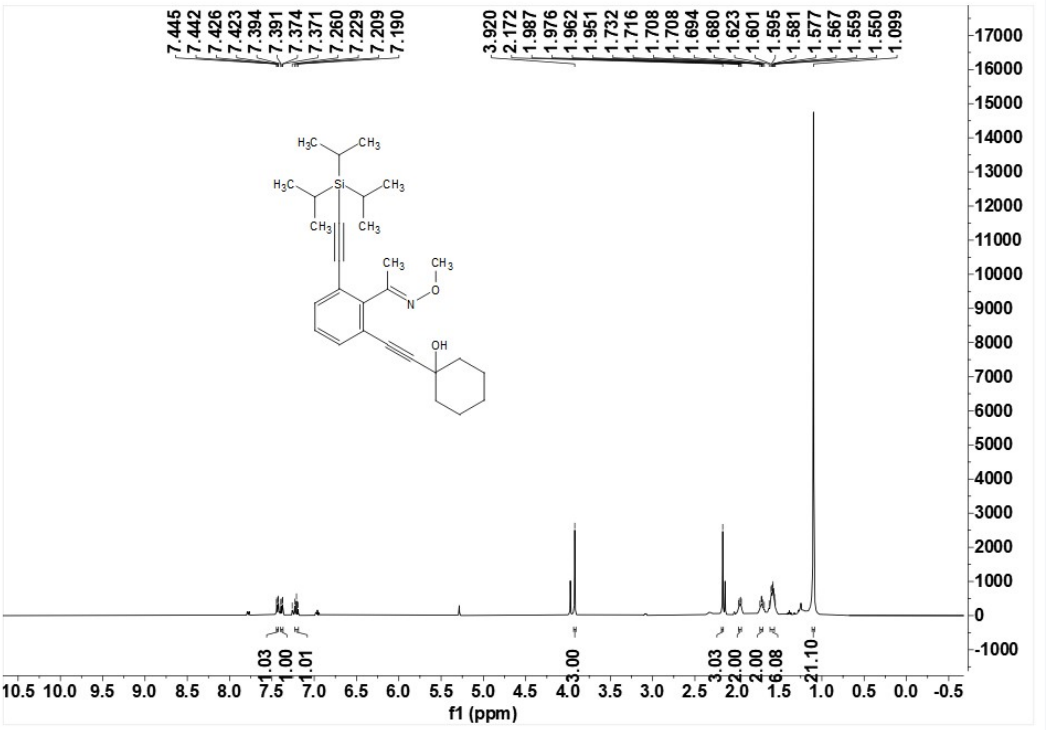


(*E*)-1-(2-((1-Hydroxycyclohexyl)ethynyl)-6-

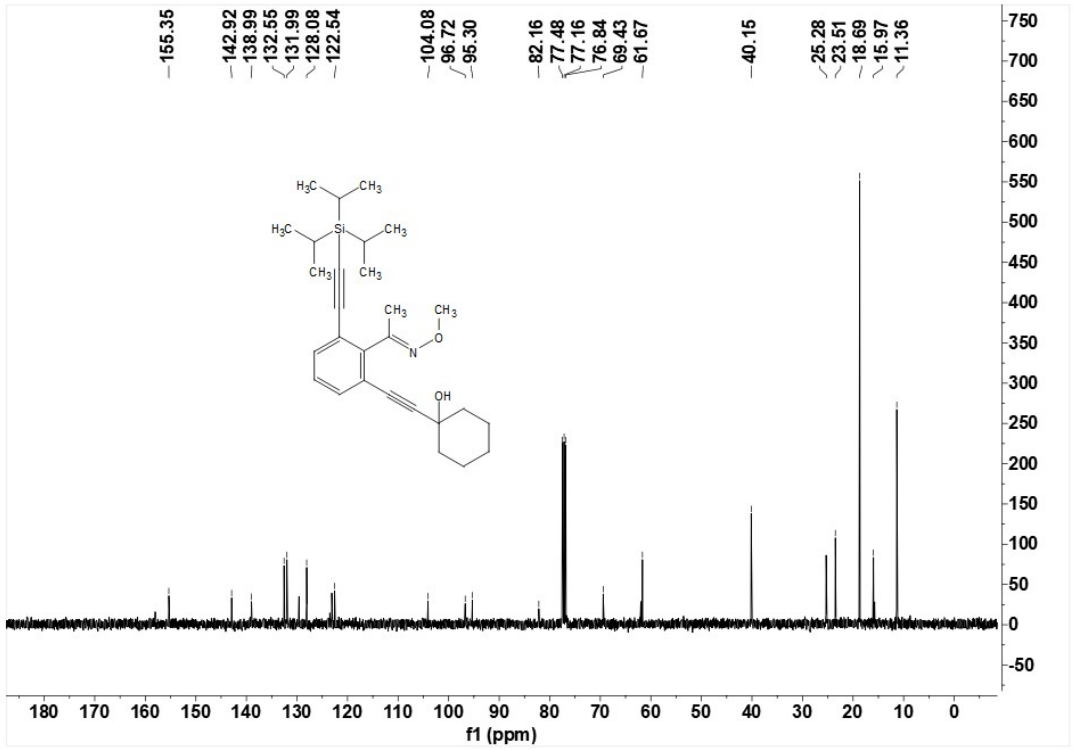
((triisopropylsilyl)ethynyl)phenyl)ethan-1-one *O*-methyl oxime (4e-A)

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

ESI-127



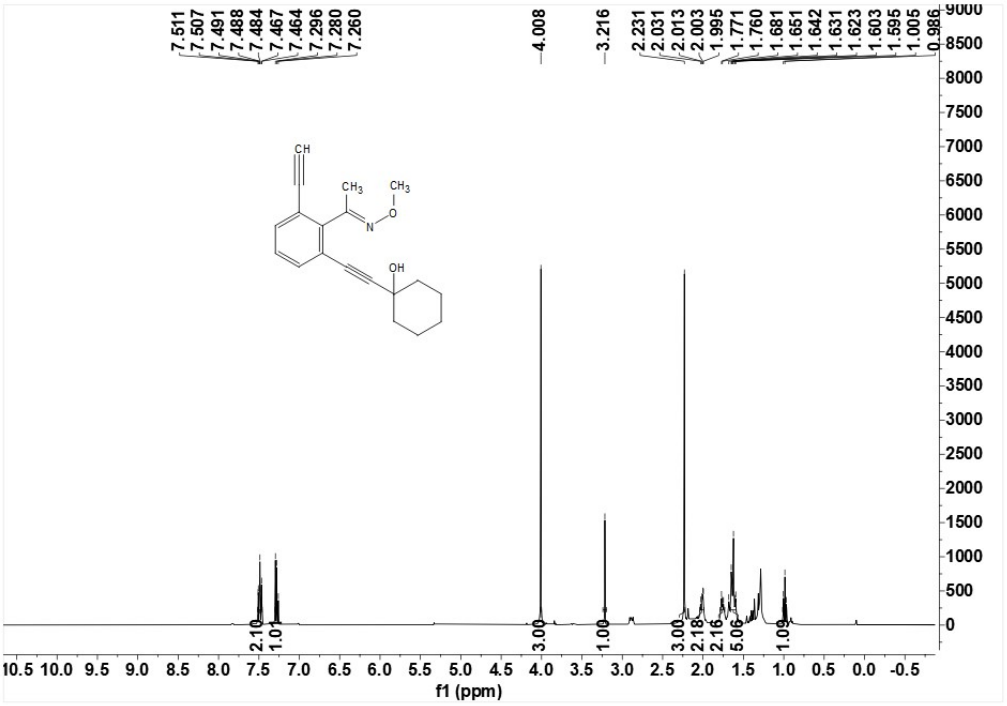
^{13}C NMR ($CDCl_3$, 100 MHz)



(*E*)-1-(2-Ethynyl-6-((1-hydroxycyclohexyl)ethynyl)phenyl)ethan-1-one *O*-methyl oxime (4e-B)

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

ESI-128



^{13}C NMR ($CDCl_3$, 100 MHz)