Electronic Supplementary Material (ESI) for Organic Chemistry Frontiers.

Supporting Information

Direct C(sp^2)-H fluoroalkylation of quinoxalin-2(1*H*)-ones with (fluoroalkyl)triphenylphosphonium salts and alkenes

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Table of Contents

General Information

All reactions were carried out in oven dried Schlenk tubes under nitrogen atmosphere. Quinoxalin-2(1*H*)-ones **1** were prepared as reported.[1] (Fluoroalkyl)triphenylphosphonium salts were prepared as reported.[2] *fac*-Ir(ppy)$_3$ was purchased from laajoo.com. ^{1}H, ^{13}C, ^{19}F NMR spectra were recorded in $CDCl_3$ on Bruker Avance 400 MHz spectrometers. High resolution mass spectra (HRMS) were obtained using a commercial apparatus (ESI Source). Electrospray–ionisation HRMS data were acquired on a Q–Tof mass spectrometer (Waters SYNAPT G2-Si) LC-MS TOF. NMR spectra were taken using TMS (^{1}H, δ = 0), $CDCl_3$(^{1}H, δ = 7.26) and $CDCl_3$(^{13}C, CPD δ = 77.0) as the internal standards, respectively. Column chromatography was generally performed on silica gel (300-400 mesh) and reactions were monitored by thin layer chromatography (TLC) using UV light to visualize the course of the reactions.

Devices for the photocatalytic reactions.

Irradiation of visible light was performed with a 30 W Blue LED corn lamp. All photocatalyzed reactions were carried out at room temperature (rt).

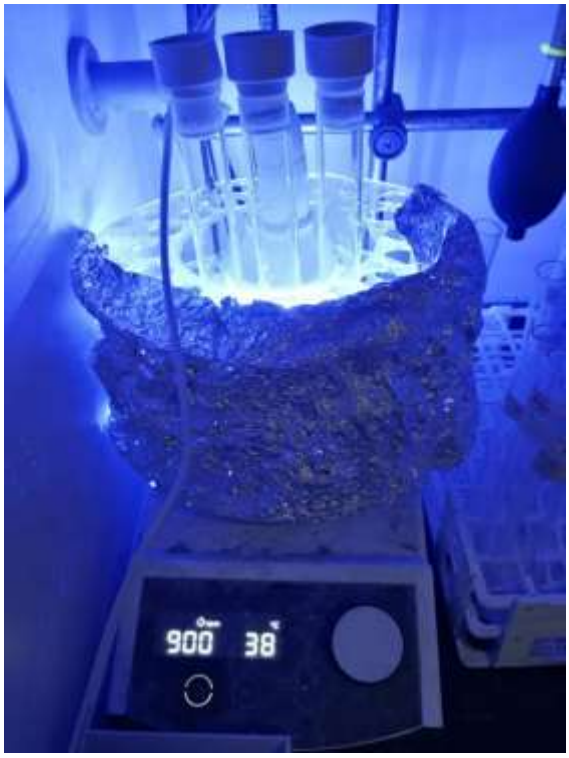
Manufacture of the light source: LED corn lamp

Model: 2835SMD-Blue

Wavelength of peak intensity: 460-470 nm

Material of the irradiation vessel: borosilicate glass

Distance of the irradiation vessel from the light source: about 3 cm.



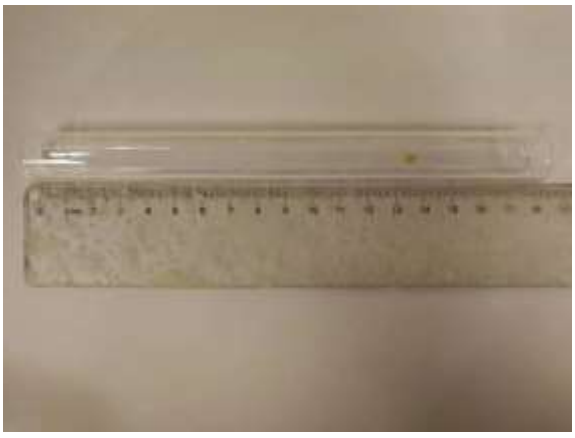
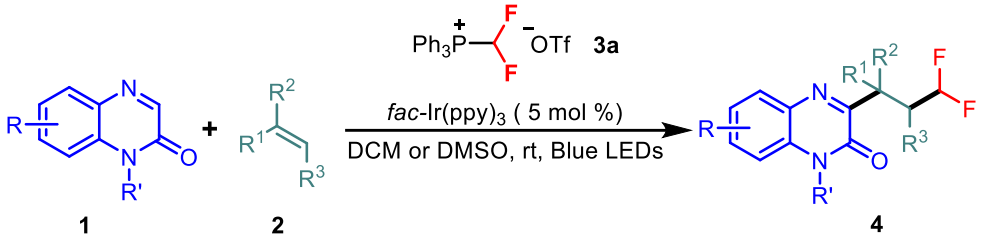
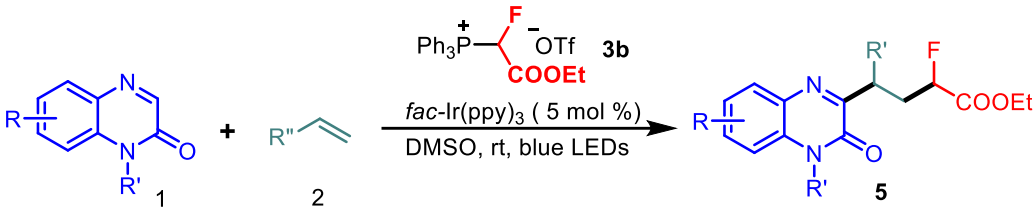


Figure S1. Devices for the photocatalytic reactions

General procedures for the synthesis of fluorine-containing quinoxaline-2-ones

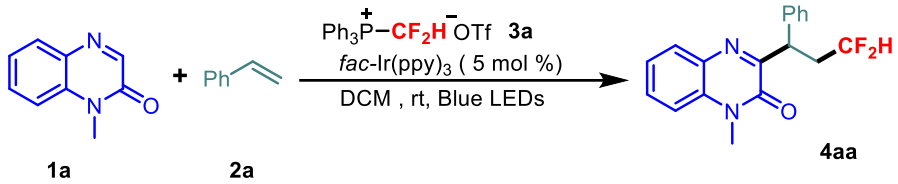


Quinoxaline-2-ones **1** (0.2 mmol), alkenes **2** (0.5 mmol, 2.5 equiv), difluoromethyl triphenylphosphonium salt **3a** (0.3 mmol, 1.5 equiv) and *fac*-Ir(ppy)$_3$ (0.01 mmol, 5 mol %) were added sequentially into Schlenk tube under nitrogen. Then, DCM (**4aa**-**4ka**) or DMSO (**4la-4tb'**) (for (1 mL) were added rapidly by syringe. The resulting mixture was allowed to stir at room temperature under the blue LEDs (460-470 nm, 30 W). Upon completion, water (15 mL) was added, and the mixture was extracted with CH_2Cl_2 (3 × 10 mL). The organic phases were collected, washed with saturated brine solution and dried over anhydrous Na_2SO_4. Then, the filtrate was concentrated under vacuum and the residue was purified by flash column chromatography using *n*-hexane /ethyl acetate as eluent to afford pure product **4**.



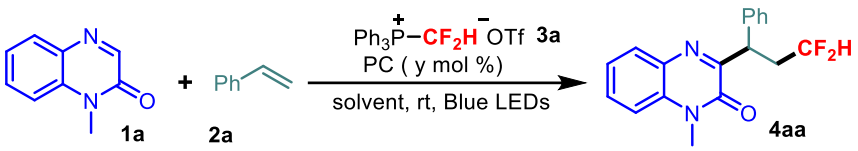
Quinoxaline-2-ones **1** (0.2 mmol), alkenes **2** (0.5 mmol, 2.5 equiv), monofluoroalkyl triphenylphosphonium salts **3b** (0.3 mmol, 1.5 equiv) and *fac*-Ir(ppy)$_3$ (0.01 mmol, 5 mol %) were added sequentially into Schlenk tube under nitrogen. Then, DMSO (1 mL) were added rapidly by syringe. The resulting mixture was allowed to stir at room temperature under the blue LEDs (460-470 nm, 30 W). Upon completion, water (15 mL) was added, and the mixture was extracted with CH_2Cl_2 (3 × 10 mL). The organic phases were collected, washed with saturated brine solution and dried over anhydrous Na_2SO_4. Then, the filtrate was concentrated under vacuum and the residue was purified by flash column chromatography using *n*-hexane /ethyl acetate as eluent to afford pure product **5**.

***1 mmol-scale synthesis of* 4aa**



Quinoxaline-2-one **1a** (1.0 mmol), styrene **2a** (2.5 mmol, 2.5 equiv), fluoroalkhyl triphenylphosphonium salt **3a** (1.5 mmol, 1.5 equiv) and *fac*-Ir(ppy)$_3$ (0.05 mmol, 5 mol %) were added sequentially into Schlenk tube under nitrogen. Then, DCM (5 mL) were added rapidly by syringe. The resulting mixture was allowed to stir at room temperature under the blue LEDs (460-470 nm, 30 W). Upon completion, the mixture was concentrated under vacuum and the residue was purified by flash column chromatography using *n*-hexane / ethyl acetate as eluent to afford pure product **4aa**.

Optimization of reaction conditions[a]



Entry	PC (mol %)	Solvent	Yield (%)[b]
1	*fac*-Ir(ppy)$_3$ (5)	DMSO	67
2	*fac*-Ir(ppy)$_3$ (3)	DMSO	61
3	*fac*-Ir(ppy)$_3$ (2)	DMSO	56
4	*fac*-Ir(ppy)$_3$ (1)	DMSO	47
5[c]	*fac*-Ir(ppy)$_3$ (5)	DMSO	60
6[d]	*fac*-Ir(ppy)$_3$ (5)	DMSO	70
7[e]	*fac*-Ir(ppy)$_3$ (5)	DMSO	67
8[d]	Ru(bpy)$_3$(PF$_6$)$_2$ (5)	DMSO	Trace
9[d]	Ir(dtbbpy)(ppy)$_2$PF$_6$ (5)	DMSO	11
10[d]	4Czpin (5)	DMSO	0
11[d]	Eosin Y (10)	DMSO	0
12[d]	Mes-AcrClO$_4$ (10)	DMSO	0
13[d]	*fac*-Ir(ppy)$_3$ (5)	DMF	40
14[d]	*fac*-Ir(ppy)$_3$ (5)	MeCN	44
15[d]	*fac*-Ir(ppy)$_3$ (5)	THF	55
16[d]	*fac*-Ir(ppy)$_3$ (5)	EA	31
17[d]	*fac*-Ir(ppy)$_3$ (5)	Toluene	Trace
18[d]	*fac*-Ir(ppy)$_3$ (5)	DCE	65
19[d]	*fac*-Ir(ppy)$_3$ (5)	DCM	80
20[d,f]	*fac*-Ir(ppy)$_3$ (0)	DCM	0
21[d,f,g]	*fac*-Ir(ppy)$_3$ (5)	DCM	0

[a] Reaction conditions: quinoxalin-2(1*H*)-one **1a** (0.2 mmol), styrene **2a** (0.4 mmol, 2.0 equiv), difluoromethyl triphenylphosphonium salt **3a** (0.3 mmol, 1.5 equiv), *fac*-Ir(ppy)$_3$, solvent (1.0 mL), N_2, rt, blue LEDs (475 nm, 30 W), 12 h. [b] NMR yield using mesitylene as internal standard. [c] 1.5 equiv. of **2a** was used. [d] 2.5 equiv. of **2a** was used. [e] 3.0 equiv. of **2a** was used. [f] DCM was used as the solvent. [g]In drak.

References

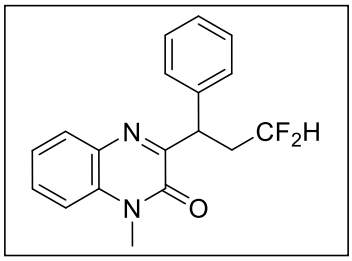
1. W.-Y. Xie, L.-L. Jiang, J.-X. Tan, Y. Wang, X.-Q. Xu, B. Zhang, Z. Cao, W.-M. He, *ACS Sustainable Chem. Eng.* **2019**, *7*, 14153.

2. (a) Z. Deng, J.-H. Lin, J. Cai, J.-C. Xiao, *Org. Lett*. **2016**, *18*, 3206; (b) Q. Liu, Y. Lu, H. Sheng, C.-S. Zhang, X.-D. Su, Z.-X. Wang, X.-Y. Chen, *Angew. Chem., Int. Ed*. **2021**, *60*, 25477; (c) Y.-Y. Zhao, S. Liu, Y. Huang, F.-L. Qing and X.-H. Xu, *J. Fluorine Chem*. **2022**, *257-258*, 109969.

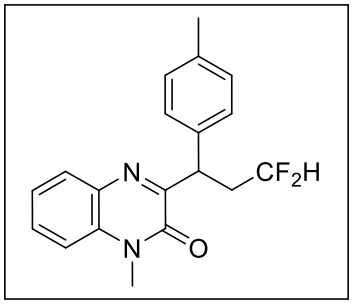
3. N. Zhou, R. Liu, C. Zhang, K. Wang, J. Feng, X. Zhao and K. Lu, *Org. Lett*. **2022**, *24*, 3576.

4. N. Meng, Y. Lv, Q. Liu, R. Liu, X. Zhao and Wei Wei, *Chin. Chem. Lett*. **2021**, *32*, 258.

Characterization data for compounds

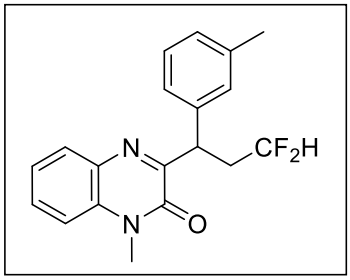


3-(3,3-difluoro-1-phenylpropyl)-1-methylquinoxalin-2(1*H*)-one **(4aa)**[3]: 48.8 mg, 78%, colorless solid, *n*-hexane/ethyl acetate = 20/1−10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.92 (d, *J* = 7.9 Hz, 1H), 7.52 (t, *J* = 7.7 Hz, 1H), 7.43 (d, *J* = 7.4 Hz, 2H), 7.35 (t, *J* = 7.5 Hz, 1H), 7.32 – 7.17 (m, 4H), 5.75 (tt, *J* = 56.9, 5.0 Hz, 1H), 4.90 (t, *J* = 7.8 Hz, 1H), 3.59 (s, 3H), 3.02 – 2.80 (m, 1H), 2.71 – 2.51 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 158.9, 154.0, 139.5, 133.1, 132.1, 130.13, 130.07, 128.7, 128.4, 127.2, 123.6, 116.6 (t, *J* = 237.5 Hz), 113.6, 41.8 (t, *J* = 6.0 Hz), 38.0 (t, *J* = 21.5 Hz), 29.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.88 (dddd, *J* = 283.6, 56.8, 22.4, 12.4 Hz), -117.14 (dddd, *J* = 283.6, 56.9, 19.1, 11.6 Hz).

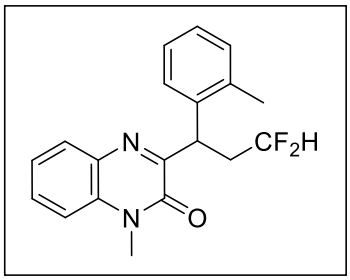


3-(3,3-difluoro-1-(*p*-tolyl)propyl)-1-methylquinoxalin-2(1*H*)-one **(4ab)**: 37.4 mg, 57%, Colorless solid, *n*-hexane/ethyl acetate = 20/1−10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.93 (d, *J* = 7.9 Hz, 1H), 7.55 (t, *J* = 7.8 Hz, 1H), 7.42 – 7.24 (m, 4H), 7.10 (d, *J* = 7.8 Hz, 2H), 5.75 (tt, *J* = 56.9, 5.0 Hz, 1H), 4.85 (t, *J* = 7.8 Hz, 1H), 3.62 (s, 3H), 2.97 – 2.79 (m, 1H), 2.69 – 2.49 (m, 1H), 2.28 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 159.1, 154.1, 136.9, 136.4, 133.1, 132.3, 130.1, 129.4, 128.3, 123.6, 116.7 (t, *J* = 237.0 Hz), 113.58, 41.5 (t, *J* = 6.5 Hz), 38.0 (t, *J* = 21.5 Hz), 29.1, 21.0; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.90 (dddd, *J* = 283.2, 56.9, 22.7, 12.1 Hz), -117.18 (dddd, *J* = 283.2, 56.9, 19.0, 11.4 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{19}H_{18}F_2N_2NaO^+$

351.1279, found 351.1293.

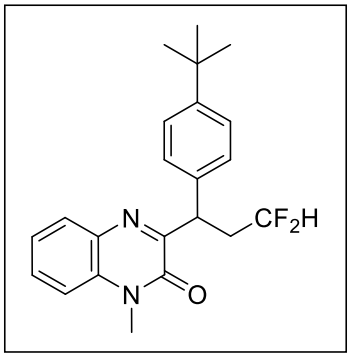


3-(3,3-difluoro-1-(*m*-tolyl)propyl)-1-methylquinoxalin-2(1*H*)-one **(4ac)**: 46.0 mg, 70%, Colorless solid, *n*-hexane/ethyl acetate = 20/1−10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.93 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.58 – 7.50 (m, 1H), 7.41 – 7.33 (m, 1H), 7.29 – 7.13 (m, 4H), 7.05 – 6.99 (m, 1H), 5.74 (tt, *J* = 57.0, 5.0 Hz, 1H), 4.85 (t, *J* = 7.8 Hz, 1H), 3.61 (s, 3H), 2.99 – 2.79 (m, 1H), 2.68 – 2.49 (m, 1H), 2.30 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 159.0, 154.1, 139.4, 138.3, 133.1, 132.3, 130.13, 130.11, 129.1, 128.5, 128.0, 125.4, 123.6, 116.6 (t, *J* = 237.0 Hz), 113.6, 41.8 (t, *J* = 6.0 Hz), 38.1 (t, *J* = 21.5 Hz), 29.1, 21.4; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.88 (dddd, *J* = 283.7, 57.0, 22.7, 12.2 Hz), -117.15 (dddd, *J* = 283.5, 57.1, 19.1, 11.6 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{19}H_{18}F_2N_2NaO^+$ 351.1279, found 351.1290.

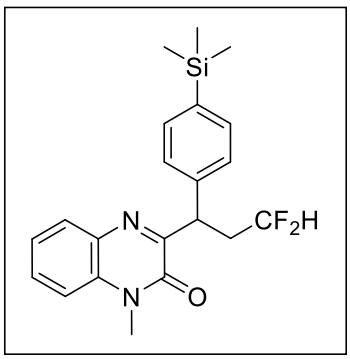


3-(3,3-difluoro-1-(*o*-tolyl)propyl)-1-methylquinoxalin-2(1*H*)-one **(4ad)**: 31.6 mg, 48%, Colorless solid, *n*-hexane/ethyl acetate = 20/1−10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.96 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.59 – 7.53 (m, 1H), 7.39 (t, *J* = 7.6 Hz, 1H), 7.28 (d, *J* = 8.3 Hz, 1H), 7.21 (d, *J* = 7.4 Hz, 1H), 7.14 – 7.07 (m, 2H), 7.06 – 7.01 (m, 1H), 5.75 (tt, *J* = 57.1, 5.0 Hz, 1H), 5.08 (t, *J* = 7.7 Hz, 1H), 3.61 (s, 3H), 2.97 – 2.78 (m, 1H), 2.68 (s, 3H), 2.57 – 2.38 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 159.5, 154.1, 138.1, 137.0, 133.1, 132.3, 130.9, 130.1, 127.0, 126.7, 126.1, 123.6, 116.6 (t, *J* =

237.0 Hz), 113.6, 38.2 (t, *J* = 21.5 Hz), 37.3 (t, *J* = 6.0 Hz), 29.1, 19.6; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -114.55 (dddd, *J* = 283.8, 57.0, 22.7, 11.3 Hz), -117.32 (dddd, *J* = 283.8, 57.2, 21.1, 11.2 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{19}H_{18}F_2N_2NaO^+$ 351.1279, found 351.1276.

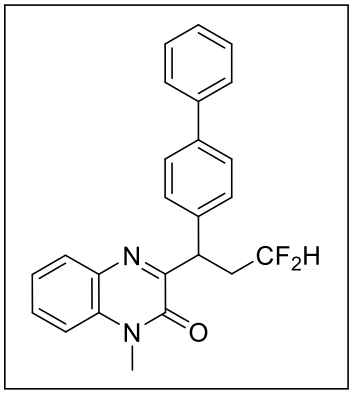


3-(1-(4-(tert-butyl)phenyl)-3,3-difluoropropyl)-1-methylquinoxalin-2(1*H*)-one **(4ae)**: 57.7 mg, 78%, Colorless solid, *n*-hexane/ethyl acetate = 20/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.93 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.58 – 7.49 (m, 1H), 7.40 – 7.24 (m, 6H), 5.74 (tt, *J* = 57.0, 5.0 Hz, 1H), 4.89 (t, *J* = 7.8 Hz, 1H), 3.62 (s, 3H), 3.00 – 2.80 (m, 1H), 2.70 – 2.50 (m, 1H), 1.26 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 159.2, 154.1, 149.9, 136.3, 133.1, 132.4, 130.10, 130.07, 128.0, 125.6, 123.6, 116.7 (t, *J* = 237.0 Hz), 113.6, 41.2 (t, *J* = 6.5 Hz), 38.0 (t, *J* = 21.5 Hz), 34.4, 31.3, 29.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.98 (dddd, *J* = 283.3, 56.9, 22.5, 12.4 Hz), -117.15 (dddd, *J* = 283.4, 57.0, 18.7, 12.0 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{22}H_{24}F_2N_2NaO^+$ 393.1749, found 393.1758.

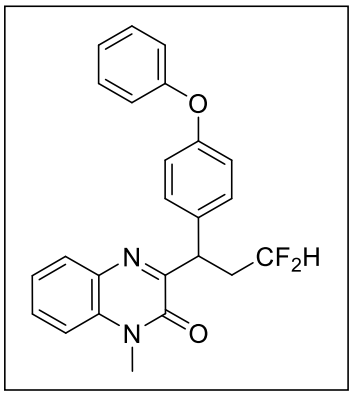


3-(3,3-difluoro-1-(4-(trimethylsilyl)phenyl)propyl)-1-methylquinoxalin-2(1*H*)-one **(4af)**: 59.3 mg, 77%, Colorless solid, *n*-hexane/ethyl acetate = 20/1 as an eluent. ^{1}H

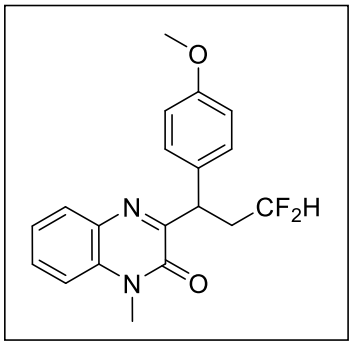
NMR (400 MHz, $CDCl_3$) δ ppm 7.93 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.57 – 7.50 (m, 1H), 7.48 – 7.40 (m, 4H), 7.39 – 7.34 (m, 1H), 7.26 (d, *J* = 8.4 Hz, 1H), 5.75 (tt, *J* = 56.9, 5.0 Hz, 1H), 4.89 (t, *J* = 7.8 Hz, 1H), 3.61 (s, 3H), 3.01 – 2.82 (m, 1H), 2.70 - 2.50 (m, 1H), 0.21 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 158.9, 154.1, 140.0, 139.2, 133.7, 133.1, 132.3, 130.2, 130.1, 127.7, 123.6, 116.6 (t, *J* = 237.0 Hz), 113.6, 41.8 (t, *J* = 6.0 Hz), 37.9 (t, *J* = 21.5 Hz), 29.13, -1.18; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.91 (dddd, *J* = 283.6, 56.9, 22.4, 12.3 Hz), -117.14 (dddd, *J* = 283.5, 57.1, 19.1, 11.7 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{21}H_{24}F_2N_2NaOSi^+$ 409.1518, found 409.1515.



3-(1-([1,1'-biphenyl]-4-yl)-3,3-difluoropropyl)-1-methylquinoxalin-2(1*H*)-one **(4ag)**: 48.5 mg, 62%, Colorless solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^1H NMR (400 MHz, $CDCl_3$) δ ppm 7.94 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.56 – 7.47 (m, 7H), 7.40 – 7.29 (m, 4H), 7.24 (dd, *J* = 8.5, 1.1 Hz, 1H), 5.79 (tt, *J* = 56.9, 5.0 Hz, 1H), 4.95 (t, *J* = 7.8 Hz, 1H), 3.60 (s, 3H), 3.04 – 2.85 (m, 1H), 2.73 – 2.55 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 158.8, 154.1, 140.6, 140.1, 138.5, 133.1, 132.3, 130.2, 130.1, 128.8, 128.6, 127.4, 127.2, 126.9, 123.6, 116.57 (t, *J* = 237.0 Hz), 113.6, 41.5 (t, *J* = 6.0 Hz), 37.9 (t, *J* = 21.5 Hz), 29.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.76 (dddd, *J* = 283.7, 56.7, 22.4, 12.4 Hz), -117.01 (dddd, *J* = 283.7, 56.9, 19.0, 11.8 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{24}H_{20}F_2N_2NaO^+$ 413.1436, found 413.1443.

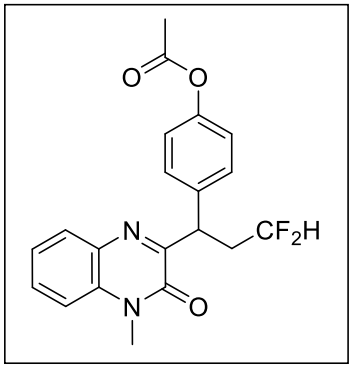


3-(3,3-difluoro-1-(4-phenoxyphenyl)propyl)-1-methylquinoxalin-2(1*H*)-one **(4ah)**: 49.4 mg, 61%, Colorless solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.92 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.59 – 7.52 (m, 1H), 7.42 – 7.34 (m, 3H), 7.33 – 7.26 (m, 3H), 7.08 (t, *J* = 7.4 Hz, 1H), 6.97 (d, *J* = 7.7 Hz, 2H), 6.92 (d, *J* = 8.6 Hz, 2H), 5.76 (tt, *J* = 56.9, 5.0 Hz, 1H), 4.89 (t, *J* = 7.8 Hz, 1H), 3.64 (s, 3H), 2.98 – 2.80 (m, 1H), 2.69 – 2.51 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 159.0, 156.9, 156.5, 154.1, 134.1, 133.2, 132.4, 130.25, 130.18, 129.8, 129.7, 123.7, 123.4, 119.1, 118.8, 116.6 (t, *J* = 237.0 Hz), 113.7, 41.10 (t, *J* = 6.0 Hz), 38.10 (t, *J* = 21.5 Hz), 29.2; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.87 (dddd, *J* = 283.8, 56.9, 22.4, 12.3 Hz), -117.14 (dddd, *J* = 283.6, 56.8, 19.1, 11.6 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{24}H_{20}F_2N_2NaO_2^+$ 429.1385, found 429.1402.

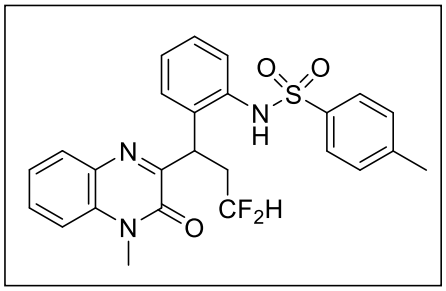


3-(3,3-difluoro-1-(4-methoxyphenyl)propyl)-1-methylquinoxalin-2(1*H*)-one **(4ai)**: 30.9 mg, 45%, Colorless solid, *n*-hexane/ethyl acetate = 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.91 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.57 – 7.49 (m, 1H), 7.40 – 7.31 (m, 3H), 7.26 (d, *J* = 7.8 Hz, 1H), 6.82 (d, *J* = 8.7 Hz, 2H), 5.74 (tt, *J* = 57.0, 5.0 Hz, 1H), 4.85 (t, *J* = 7.8 Hz, 1H), 3.74 (s, 3H), 3.61 (s, 3H), 2.95 – 2.76 (m, 1H), 2.69 – 2.48 (m, 1H);

^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 159.1, 158.7, 154.0, 133.1, 132.3, 131.3, 130.1, 129.4, 123.6, 116.7 (t, *J* = 236.5 Hz), 114.1, 113.6, 55.15, 41.0 (t, *J* = 6.0 Hz), 38.0 (t, *J* = 21.5 Hz), 29.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.94 (dddd, *J* = 283.6, 57.0, 22.7, 12.4 Hz), -117.16 (dddd, *J* = 283.8, 57.2, 19.0, 11.8 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{19}H_{18}F_2N_2NaO_2^+$ 367.1229, found 367.1241.

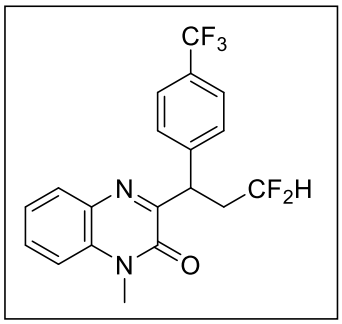


4-(3,3-difluoro-1-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)propyl)phenyl acetate **(4aj)**: 47.2 mg, 63%, Colorless solid, *n*-hexane/ethyl acetate = 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.91 (d, *J* = 8.0 Hz, 1H), 7.55 (t, *J* = 7.9 Hz, 1H), 7.45 (d, *J* = 8.1 Hz, 2H), 7.37 (t, *J* = 7.7 Hz, 1H), 7.28 (d, *J* = 8.5 Hz, 1H), 5.76 (tt, *J* = 56.8, 5.1 Hz, 1H), 4.93 (t, *J* = 7.9 Hz, 1H), 3.62 (s, 3H), 3.03 – 2.81 (m, 1H), 2.69 – 2.48 (m, 1H), 2.26 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 169.3, 158.7, 154.0, 149.7, 136.9, 133.1, 132.3, 130.2, 130.1, 129.5, 123.6, 121.7, 116.4 (t, *J* = 237.5 Hz), 113.6, 41.1 (t, *J* = 6.0 Hz), 37.9 (t, *J* = 21.5 Hz), 29.1, 21.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.90 (dddd, *J* = 284.0, 56.8, 21.9, 12.4 Hz), -117.14 (dddd, *J* = 284.0, 57.0, 19.2, 11.9 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{20}H_{18}F_2N2NaO_3^+$ 395.1178, found 395.1185.

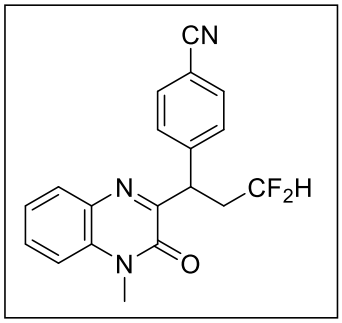


N-(2-(3,3-difluoro-1-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)propyl)phenyl)-4-

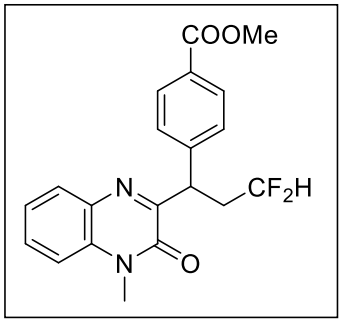
methylbenzenesulfonamide **(4ak)**: 45.5 mg, 47%, Colorless solid, *n*-hexane/ethyl acetate = 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 9.56 (s, 1H), 7.89 (dd, *J* = 8.1, 1.5 Hz, 1H), 7.69 (d, *J* = 8.2 Hz, 2H), 7.63 (dd, *J* = 8.1, 1.3 Hz, 1H), 7.59 – 7.53 (m, 1H), 7.42 – 7.35 (m, 1H), 7.33 – 7.29 (m, 1H), 7.28 – 7.18 (m, 4H), 7.11 (td, *J* = 7.6, 1.4 Hz, 1H), 5.46 (tt, *J* = 57.0, 5.0 Hz, 1H), 4.54 (dd, *J* = 10.7, 4.7 Hz, 1H), 3.67 (s, 3H), 3.10 – 2.90 (m, 1H), 2.38 (s, 3H), 1.66 – 1.46 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 157.5, 155.0, 143.5, 137.3, 135.0, 132.6, 132.5, 132.4, 130.8, 130.0, 129.7, 128.2, 126.9, 126.5, 124.3, 115.7 (t, *J* = 238.0 Hz), 113.9, 36.7 (t, *J* = 21.5 Hz), 35.6, 29.6, 21.3; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.00 (dd, *J* = 286.1, 56.8 Hz), -117.02 (dddd, *J* = 285.5, 57.3, 23.5, 10.5 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{25}H_{23}F_2N_3NaO_3S^+$ 506.1320, found 506.1332.



3-(3,3-difluoro-1-(4-(trifluoromethyl)phenyl)propyl)-1-methylquinoxalin-2(1*H*)-one **(4al)**: 37.4 mg, 49%, Colorless solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.94 (d, *J* = 8.0 Hz, 1H), 7.62 – 7.52 (m, 5H), 7.39 (t, *J* = 7.6 Hz, 1H), 7.30 (d, *J* = 8.4 Hz, 1H), 5.78 (tt, *J* = 56.7, 4.9 Hz, 1H), 4.97 (t, *J* = 7.8 Hz, 1H), 3.63 (s, 3H), 3.07 – 2.87 (m, 1H), 2.67 – 2.49 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 158.2, 154.0, 143.7 (q, *J* = 1.0 Hz), 133.1, 132.3, 130.5, 130.2, 129.5 (q, *J* = 32.3 Hz), 128.8, 125.6 (q, *J* = 4.0 Hz), 124.0 (q, *J* = 270.3 Hz), 123.8, 116.2 (t, *J* = 237.5 Hz), 113.7, 41.7 (t, *J* = 6.0 Hz), 37.8 (t, *J* = 22.0 Hz), 29.2; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -62.55 (s), -115.70 (dddd, *J* = 284.7, 56.6, 21.2, 12.3 Hz), -117.17 (dddd, *J* = 284.6, 56.7, 19.8, 11.9 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{19}H_{15}F_5N_2NaO^+$ 405.0997, found 405.1007.

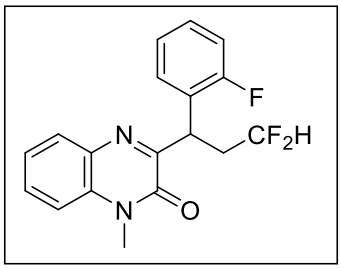


4-(3,3-difluoro-1-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)propyl)benzonitrile **(4am)**: 31.8 mg, 47%, Colorless solid, *n*-hexane/ethyl acetate = 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.94 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.68 – 7.50 (m, 5H), 7.44 – 7.38 (m, 1H), 7.31 (d, *J* = 8.3 Hz, 1H), 5.79 (tt, *J* = 56.6, 4.8 Hz, 1H), 4.96 (t, *J* = 7.7 Hz, 1H), 3.64 (s, 3H), 3.08 – 2.87 (m, 1H), 2.65 – 2.45 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 157.7, 154.0, 145.2, 133.1, 132.5, 132.2, 130.7, 130.3, 129.2, 123.9, 118.6, 116.0 (t, *J* = 238.0 Hz), 113.8, 111.2, 41.9 (t, *J* = 6.0 Hz), 37.6 (t, *J* = 21.5 Hz), 29.2; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.49 (dddd, *J* = 284.9, 56.5, 20.2, 12.4 Hz), -117.18 (dddd, *J* = 285.1, 56.9, 20.2, 12.3 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{19}H_{15}F_2N_3NaO^+$ 362.1075, found 362.1089.

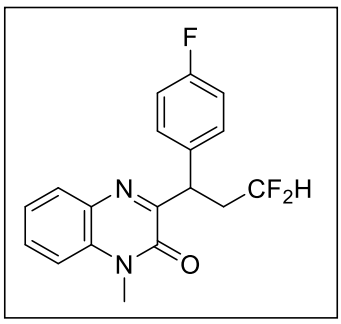


methyl 4-(3,3-difluoro-1-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)propyl)benzoate **(4an)**: 35.8 mg, 48%, Colorless solid, *n*-hexane/ethyl acetate = 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 8.01 – 7.91 (m, 3H), 7.60 – 7.54 (m, 1H), 7.50 (d, *J* = 8.3 Hz, 2H), 7.42 – 7.36 (m, 1H), 7.29 (d, *J* = 8.3 Hz, 1H), 5.77 (tt, *J* = 56.8, 4.9 Hz, 1H), 4.96 (t, *J* = 7.7 Hz, 1H), 3.87 (s, 3H), 3.62 (s, 3H), 3.06 – 2.86 (m, 1H), 2.68 – 2.48 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 166.7, 158.3, 154.0, 144.9, 133.1, 132.3, 130.4, 130.2, 130.0, 129.1, 128.4, 123.8, 116.3 (t, *J* = 237.5 Hz), 113.7, 52.0, 41.9 (t, *J* = 6.0 Hz), 37.8(t, *J* = 22.0 Hz), 29.2; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.68 (dddd, *J* =

284.4, 56.6, 21.4, 12.3 Hz), -117.12 (dddd, *J* = 284.5, 57.0, 19.7, 12.0 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{20}H_{18}F_2N_2NaO_3^+$ 395.1178, found 395.1196.

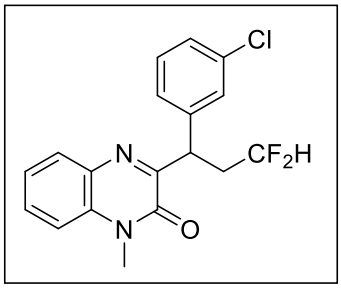


3-(3,3-difluoro-1-(2-fluorophenyl)propyl)-1-methylquinoxalin-2(1*H*)-one **(4ao)**: 34.0 mg, 51%, Colorless solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.94 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.61 – 7.53 (m, 1H), 7.42 – 7.36 (m, 1H), 7.30 (d, *J* = 8.3 Hz, 1H), 7.27 – 7.17 (m, 2H), 7.12 – 7.00 (m, 2H), 5.87 (tt, *J* = 56.9, 4.9 Hz, 1H), 5.19 (t, *J* = 7.6 Hz, 1H), 3.63 (s, 3H), 3.07 – 2.85 (m, 1H), 2.63 – 2.43 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 160.7 (d, *J* = 246.0 Hz), 158.2, 153.9, 133.2, 132.2, 130.3, 130.2, 129.1 (d, *J* = 3.0 Hz), 128.8 (d, *J* = 8.0 Hz), 126.9 (d, *J* = 15.0 Hz), 124.2 (d, *J* = 4.0 Hz), 123.7, 116.4 (t, *J* = 237.5 Hz), 115.9 (d, *J* = 22.0 Hz), 113.6, 37.3 (t, *J* = 22.0 Hz), 35.2 (td, *J* = 6.4, 2.9 Hz), 29.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.02 (dddd, *J* = 284.1, 56.5, 21.4, 11.6 Hz), -117.02 (dt, *J* = 11.9, 6.3 Hz), -117.06 (dddd, *J* = 284.5, 57.0, 20.9, 11.4 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{18}H_{15}F_3N_2NaO^+$ 355.1029, found 355.1046.

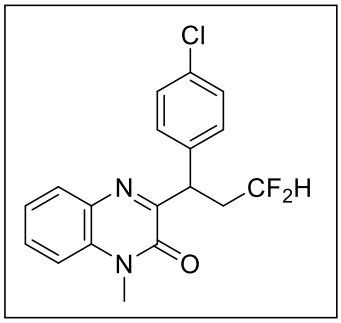


3-(3,3-difluoro-1-(4-fluorophenyl)propyl)-1-methylquinoxalin-2(1*H*)-one **(4ap)**: 36.0 mg, 54%, Colorless solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.92 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.60 – 7.52 (m, 1H), 7.45 – 7.34 (m, 3H), 7.28 (d, *J* = 8.4 Hz, 1H), 6.97 (t, *J* = 8.7 Hz, 2H), 5.75 (tt, *J* = 56.9, 4.9 Hz, 1H),

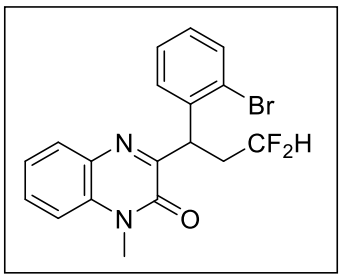
4.89 (t, *J* = 7.8 Hz, 1H), 3.63 (s, 3H), 2.98 – 2.80 (m, 1H), 2.67 – 2.47 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 161.9 (d, *J* = 244.0 Hz), 158.7, 154.0, 135.2 (d, *J* = 3.0 Hz), 133.1, 132.3, 130.3, 130.1, 130.0 (d, *J* = 8.0 Hz), 123.7, 116.4 (t, *J* = 237.0 Hz), 115.5 (d, *J* = 21.0 Hz), 113.6, 41.05 (t, *J* = 6.0 Hz), 38.00 (t, *J* = 21.5 Hz), 29.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.30 (td, *J* = 8.8, 4.6 Hz), -115.84 (dddd, *J* = 284.0, 56.7, 21.3, 11.6 Hz), -117.18 (dddd, *J* = 284.2, 56.9, 19.4, 11.7 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{18}H_{15}F_3N_2NaO^+$ 355.1029, found 355.1032.



3-(1-(3-chlorophenyl)-3,3-difluoropropyl)-1-methylquinoxalin-2(1*H*)-one **(4aq)**: 52.3 mg, 75%, Colorless solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.93 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.59 – 7.52 (m, 1H), 7.42 – 7.33 (m, 3H), 7.28 (dd, *J* = 8.4, 1.2 Hz, 1H), 7.26 – 7.16 (m, 2H), 5.76 (tt, *J* = 56.8, 4.9 Hz, 1H), 4.88 (t, *J* = 7.8 Hz, 1H), 3.63 (s, 3H), 2.99 – 2.81 (m, 1H), 2.64 – 2.47 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 158.3, 154.0, 141.6, 134.4, 133.1, 132.2, 130.4, 130.2, 129.9, 128.2, 127.5, 126.9, 123.7, 116.3 (t, *J* = 237.5 Hz), 113.6, 41.5 (t, *J* = 6.0 Hz), 37.9 (t, *J* = 21.5 Hz), 29.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.74 (dddd, *J* = 284.5, 56.7, 21.7, 12.2 Hz), -117.17 (dddd, *J* = 284.5, 56.9, 19.6, 11.8 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{18}H_{15}Cl^{35}F_2N_2NaO^+$ 371.0733, found 371.0740; calcd for $C_{18}H_{15}Cl^{37}F_2N_2NaO^+$ 373.0704, found 373.0716.

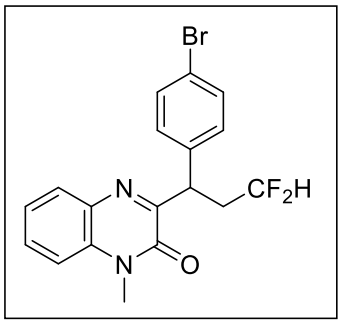


3-(1-(4-chlorophenyl)-3,3-difluoropropyl)-1-methylquinoxalin-2(1*H*)-one **(4ar)**: 47.4 mg, 68%, Colorless solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.92 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.59 – 7.52 (m, 1H), 7.43 – 7.33 (m, 3H), 7.31 – 7.22 (m, 3H), 5.76 (tt, *J* = 56.8, 4.9 Hz, 1H), 4.87 (t, *J* = 7.8 Hz, 1H), 3.62 (s, 3H), 2.99 – 2.81 (m, 1H), 2.64 – 2.46 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 158.5, 154.0, 138.0, 133.11, 133.09, 132.3, 130.4, 130.1, 129.8, 128.8, 123.7, 116.3 (t, *J* = 237.5 Hz), 113.6, 41.2 (t, *J* = 6.0 Hz), 37.8 (t, *J* = 21.5 Hz), 29.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.76 (dddd, *J* = 284.2, 56.7, 21.9, 12.3 Hz), -117.15 (dddd, *J* = 284.2, 56.8, 19.3, 11.7 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{18}H_{15}Cl^{35}F_2N_2NaO^+$ 371.0733, found 371.0741; calcd for $C_{18}H_{15}Cl^{37}F_2N_2NaO^+$ 373.0704, found 373.0720.

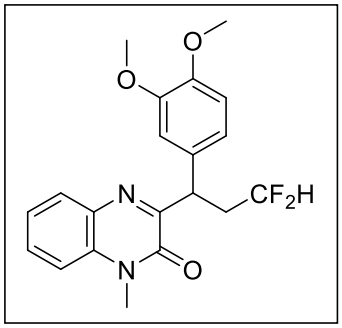


3-(1-(2-bromophenyl)-3,3-difluoropropyl)-1-methylquinoxalin-2(1*H*)-one **(4as)**: 41.1 mg, 52%, Colorless solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.95 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.65 – 7.55 (m, 2H), 7.43 – 7.37 (m, 1H), 7.31 (dd, *J* = 8.4, 1.2 Hz, 1H), 7.18 – 7.03 (m, 3H), 5.94 (tt, *J* = 57.0, 4.9 Hz, 1H), 5.38 (dd, *J* = 8.8, 6.1 Hz, 1H), 3.63 (s, 3H), 3.03 – 2.83 (m, 1H), 2.49 – 2.33 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 158.5, 154.0, 139.6, 133.5, 133.2, 132.1, 130.4, 130.2, 128.6, 128.2, 127.5, 125.2, 123.7, 116.1 (t, *J* = 237.5 Hz), 113.7, 41.31 (t, *J* = 6.5 Hz), 37.8 (t, *J* = 21.5 Hz), 29.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm-113.79 (dddd, *J* =

284.1, 56.6, 19.6, 10.4 Hz), -116.99 (dddd, *J* = 284.1, 57.3, 23.5, 11.5 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{18}H_{15}Br^{79}F_2N_2NaO^+$ 415.0228, found 415.0224; calcd for $C_{18}H_{15}Br^{81}F_2N_2NaO^+$ 417.0208, found 417.0206.

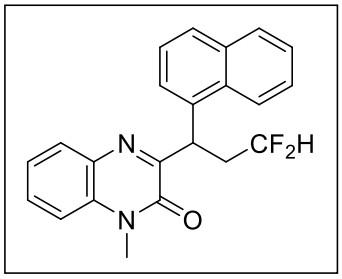


3-(1-(4-bromophenyl)-3,3-difluoropropyl)-1-methylquinoxalin-2(1*H*)-one **(4at)**: 55.3 mg, 70%, Colorless solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.92 (dd, *J* = 8.1, 1.4 Hz, 1H), 7.60 – 7.52 (m, 1H), 7.44 – 7.34 (m, 3H), 7.33 – 7.23 (m, 3H), 5.76 (tt, *J* = 56.8, 4.9 Hz, 1H), 4.86 (t, *J* = 7.8 Hz, 1H), 3.62 (s, 3H), 3.01 – 2.80 (m, 1H), 2.65 – 2.45 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 158.4, 154.0, 138.6, 133.1, 132.2, 131.8, 130.4, 130.1, 123.7, 121.3, 116.3 (t, *J* = 237.5 Hz), 113.6, 41.3 (t, *J* = 6.0 Hz), 37.7 (t, *J* = 21.5 Hz), 29.13; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.73 (dddd, *J* = 284.5, 56.7, 21.8, 12.3 Hz), -117.13 (dddd, *J* = 284.3, 56.9, 19.4, 11.8 Hz); $C_{18}H_{15}Br^{79}F_2N_2NaO^+$ 415.0228, found 415.0232; calcd for $C_{18}H_{15}Br^{81}F_2N_2NaO^+$ 417.0208, found 417.0220.

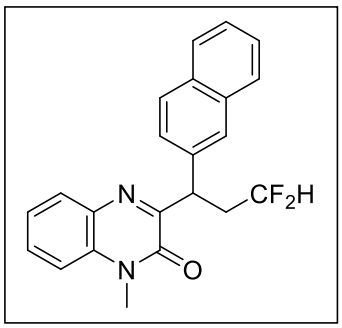


3-(1-(3,4-dimethoxyphenyl)-3,3-difluoropropyl)-1-methylquinoxalin-2(1*H*)-one **(4au)**: 38.3 mg, 51%, Colorless solid, *n*-hexane/ethyl acetate = 10/1 – 3/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.92 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.59 – 7.51 (m, 1H), 7.37 (t, *J* = 7.8 Hz, 1H), 7.31 – 7.25 (m, 1H), 7.04 – 6.92 (m, 2H), 6.78 (d, *J* = 8.2 Hz, 1H),

5.75 (tt, *J* = 57.0, 5.0 Hz, 1H), 4.85 (t, *J* = 7.9 Hz, 1H), 3.86 (s, 3H), 3.82 (s, 3H), 3.63 (s, 3H), 2.96 – 2.76 (m, 1H), 2.70 – 2.51 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 159.0, 154.1, 148.9, 148.1, 133.1, 132.3, 131.8, 130.1, 130.0, 123.6, 120.5, 116.6 (t, *J* = 237.0 Hz), 113.6, 111.5, 111.1, 55.8, 55.7, 41.3 (t, *J* = 6.0 Hz), 38.1 (t, *J* = 21.5 Hz), 29.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.99 (dddd, *J* = 283.8, 57.1, 22.8, 12.5 Hz), -117.18 (dddd, *J* = 283.5, 56.9, 18.6, 11.7 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{20}H_{20}F_2N_2NaO_3^+$ 397.1334, found 397.1344.

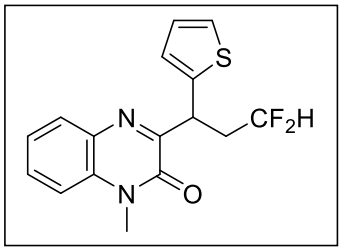


3-(3,3-difluoro-1-(naphthalen-1-yl)propyl)-1-methylquinoxalin-2(1*H*)-one **(4av)**: 22.1 mg, 30%, Colorless solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 8.56 (d, *J* = 8.6 Hz, 1H), 7.99 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.85 (d, *J* = 8.1 Hz, 1H), 7.75 – 7.70 (m, 1H), 7.68 – 7.61 (m, 1H), 7.59 – 7.48 (m, 2H), 7.43 – 7.37 (m, 1H), 7.36 – 7.25 (m, 3H), 5.98 – 5.65 (m, 2H), 3.57 (s, 3H), 3.19 – 2.96 (m, 1H), 2.69 – 2.51 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 159.3, 154.2, 136.5, 134.2, 133.2, 132.3, 131.5, 130.2, 130.1, 128.9, 127.9, 126.7, 125.8, 125.2, 125.0, 123.7, 123.4, 116.58 (t, *J* = 237.0 Hz), 113.7, 38.52 (t, *J* = 21.5 Hz), 36.7, 29.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -114.87 (dddd, *J* = 281.7, 56.3, 18.9, 9.2 Hz), -117.42 (dddd, *J* = 283.9, 57.2, 21.7, 11.6 Hz); 387.1292.

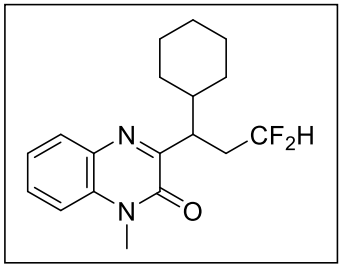


3-(3,3-difluoro-1-(naphthalen-2-yl)propyl)-1-methylquinoxalin-2(1*H*)-one **(4aw)**: 33.8

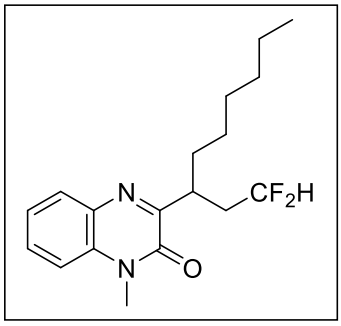
mg, 46%, Colorless solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.97 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.86 (s, 1H), 7.81 – 7.74 (m, 3H), 7.61 – 7.51 (m, 2H), 7.45 – 7.35 (m, 3H), 7.27 – 7.22 (m, 1H), 5.78 (tt, *J* = 56.9, 5.1 Hz, 1H), 5.07 (t, *J* = 7.8 Hz, 1H), 3.58 (s, 3H), 3.10 – 2.88 (m, 1H), 2.80 – 2.61 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 158.9, 154.1, 136.9, 133.4, 133.1, 132.6, 132.3, 130.20, 130.18, 128.5, 127.8, 127.6, 127.3, 126.4, 126.1, 125.8, 123.6, 116.6 (t, *J* = 237.5 Hz), 113.6, 42.0 (t, *J* = 6.0 Hz), 38.0 (t, *J* = 21.5 Hz), 29.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.82 (dddd, *J* = 283.9, 57.0, 22.5, 12.4 Hz), -117.04 (dddd, *J* = 283.8, 56.9, 18.8, 11.8 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{22}H_{18}F_2N_2NaO^+$ 387.1279, found 387.1289.



3-(3,3-difluoro-1-(thiophen-2-yl)propyl)-1-methylquinoxalin-2(1*H*)-one **(4ax)**: 21.2 mg, 33%, Colorless solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.91 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.59 – 7.52 (m, 1H), 7.37 (t, *J* = 7.2 Hz, 1H), 7.29 (d, *J* = 8.2 Hz, 1H), 7.17 (d, *J* = 4.4 Hz, 1H), 7.07 (d, *J* = 3.3 Hz, 1H), 6.92 (dd, *J* = 5.1, 3.5 Hz, 1H), 5.81 (tt, *J* = 56.7, 4.9 Hz, 1H), 5.27 (t, *J* = 7.8 Hz, 1H), 3.67 (s, 3H), 3.00 – 2.84 (m, 1H), 2.79 – 2.63 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 158.2, 154.0, 142.2, 133.2, 132.3, 130.4, 130.2, 126.8, 126.1, 125.0, 123.7, 116.31 (t, *J* = 237.5 Hz), 113.6, 38.7 (t, *J* = 21.5 Hz), 37.1 (t, *J* = 6.5 Hz), 29.2; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -116.20 (dddd, *J* = 284.7, 56.9, 22.0, 12.9 Hz), -117.27 (dddd, *J* = 284.7, 56.8, 17.8, 12.4 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{16}H_{14}F_2N_2NaOS^+$ 343.0687, found 343.0689.

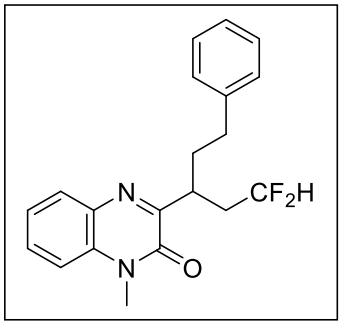


3-(1-cyclohexyl-3,3-difluoropropyl)-1-methylquinoxalin-2(1*H*)-one **(4aa')**: 33.4 mg, 52%, Colorless solid, *n*-hexane/ethyl acetate = 20/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.85 (dd, *J* = 8.0, 1.4 Hz, 1H), 7.58 – 7.51 (m, 1H), 7.38 – 7.29 (m, 2H), 5.73 (tdd, *J* = 57.1, 5.9, 3.7 Hz, 1H), 3.72 (s, 3H), 3.63 – 3.56 (m, 1H), 2.82 – 2.62 (m, 1H), 2.26 – 2.09 (m, 1H), 1.95 – 1.50 (m, 7H), 1.35 – 1.08 (m, 4H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 161.1, 154.7, 132.9, 132.3, 129.93, 129.91, 123.5, 117.18 (t, *J* = 237.5 Hz), 113.6, 41.1 (dd, *J* = 5.9, 4.2 Hz), 40.9, 33.1 (t, *J* = 20.5 Hz), 31.3, 29.21, 29.18, 26.4, 26.3; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -113.77 (dddd, *J* = 280.7, 56.6, 17.3, 12.3 Hz), -115.81 (dddd, *J* = 280.7, 57.4, 24.4, 14.7 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{18}H_{22}F_2N_2NaO^+$ 343.1592, found 343.1601.

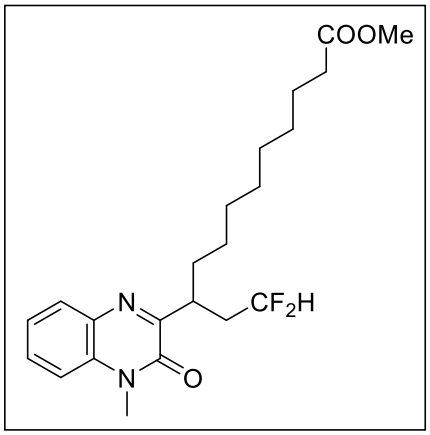


3-(1,1-difluorononan-3-yl)-1-methylquinoxalin-2(1*H*)-one **(4ab')**: 40.1 mg, 62%, Colorless solid, *n*-hexane/ethyl acetate = 20/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.84 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.59 – 7.51 (m, 1H), 7.39 – 7.29 (m, 2H), 5.86 (tdd, *J* = 57.0, 5.5, 4.1 Hz, 1H), 3.76 – 3.65 (s, 4H), 2.71 – 2.51 (m, 1H), 2.26 – 2.09 (m, 1H), 1.94 – 1.82 (m, 1H), 1.68 – 1.55 (m, 1H), 1.42 – 1.15 (m, 8H), 0.85 (t, *J* = 6.7 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 161.6, 154.5, 132.9, 132.4, 129.92, 129.87, 123.5, 116.8 (t, *J* = 237.0 Hz), 113.6, 36.5 (t, *J* = 21.0 Hz), 36.1 (t, *J* = 5.5 Hz), 33.7, 31.6, 29.2, 29.1, 27.0, 22.5, 14.0; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -113.81 (dddd, *J* = 282.0, 56.6, 18.4, 12.9 Hz), -115.70 (dddd, *J* = 282.0, 57.2, 23.1, 14.3 Hz); HRMS (ESI)

m/z: $[M+Na]^+$ calcd for $C_{18}H_{24}F_2N_2NaO^+$ 345.1749, found 345.1754.

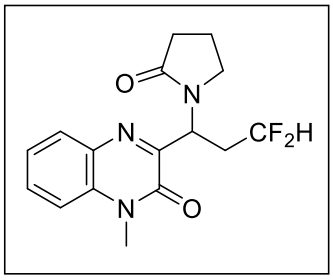


3-(1,1-difluoro-5-phenylpentan-3-yl)-1-methylquinoxalin-2(1*H*)-one **(4ac')**: 33.0 mg, 48%, Colorless solid, *n*-hexane/ethyl acetate = 20/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.84 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.61 – 7.49 (m, 1H), 7.35 (t, *J* = 7.6 Hz, 1H), 7.28 (d, *J* = 8.4 Hz, 1H), 7.24 – 7.16 (m, 2H), 7.16 – 7.04 (m, 3H), 5.88 (tt, *J* = 56.9, 4.7 Hz, 1H), 3.84 – 3.74 (m, 1H), 3.67 (s, 3H), 2.75 – 2.55 (m, 3H), 2.35 – 2.15 (m, 2H), 2.06 – 1.94 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 161.0, 154.5, 141.6, 132.9, 132.4, 130.0, 129.9, 128.3, 128.2, 125.7, 123.6, 116.7 (t, *J* = 237.5 Hz), 113.5, 36.8 (t, *J* = 21.0 Hz), 36.2 (t, *J* = 5.5 Hz), 35.1, 33.4, 29.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -113.65 (dddd, *J* = 282.3, 56.5, 18.5, 13.3 Hz), -115.47 (dddd, *J* = 282.6, 57.2, 22.4, 14.4 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for C20H20F2N2NaO$^+$ 365.1436, found 365.1447.

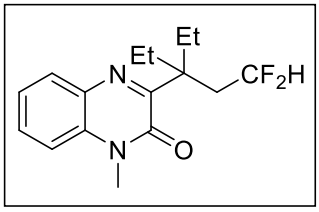


methyl 12,12-difluoro-10-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)dodecanoate **(4ad')**: 30.2 mg, 37%, Colorless solid, *n*-hexane/ethyl acetate = 20/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.84 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.60 – 7.52 (m, 1H), 7.40

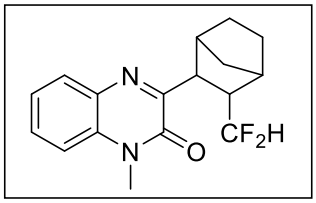
– 7.29 (m, 2H), 5.86 (tdd, *J* = 56.9, 5.4, 4.2 Hz, 1H), 3.76 – 3.62 (m, 7H), 2.71 – 2.51 (m, 1H), 2.28 (t, *J* = 7.5 Hz, 2H), 2.24 – 2.09 (m, 1H), 1.96 – 1.78 (m, 1H), 1.70 – 1.54 (m, 3H), 1.40 – 1.20 (m, 10H); ^{13}C NMR (100 MHz, $CDCl_3$) *δ* ppm 174.3, 161.5, 154.5, 132.9, 132.4, 129.9, 129.8, 123.5, 116.8 (t, *J* = 237.0 Hz), 113.6, 51.4, 36.5 (t, *J* = 20.5 Hz), 36.0 (t, *J* = 5.5 Hz), 34.0, 33.6, 29.4, 29.2, 29.13, 29.08, 29.0, 26.9, 24.8; ^{19}F NMR (376 MHz, $CDCl_3$) *δ* ppm -113.82 (dddd, *J* = 282.0, 56.5, 18.4, 12.9 Hz), -115.71 (dddd, *J* = 282.1, 57.3, 23.1, 14.4 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{22}H_{30}F_2N_2NaO_3^+$ 431.2117, found 431.2125.



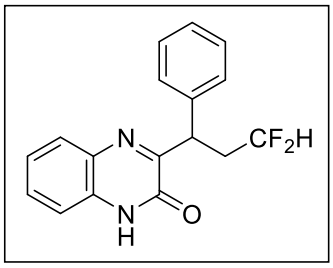
3-(3,3-difluoro-1-(2-oxopyrrolidin-1-yl)propyl)-1-methylquinoxalin-2(1*H*)-one **(4ae')**: 54.8 mg, 85%, light yellow solid, *n*-hexane/ethyl acetate = 5/1 – 3/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) *δ* ppm 7.86 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.64 – 7.56 (m, 1H), 7.42 – 7.31 (m, 2H), 6.05 (tdd, *J* = 56.4, 5.3, 4.1 Hz, 1H), 5.84 (dd, *J* = 9.3, 5.4 Hz, 1H), 3.71 (s, 3H), 3.61 – 3.54 (m, 1H), 3.44 (ddd, *J* = 9.3, 7.5, 6.2 Hz, 1H), 2.82 – 2.55 (m, 2H), 2.47 – 2.37 (m, 2H), 2.09 – 1.96 (m, 2H); ^{13}C NMR (100 MHz, $CDCl_3$) *δ* ppm 175.4, 155.1, 153.6, 133.4, 132.0, 130.9, 130.4, 123.8, 116.2 (t, *J* = 238.0 Hz), 113.8, 48.1 (dd, *J* = 7.7, 5.5 Hz), 44.9, 33.6 (t, *J* = 22.0 Hz), 31.0, 29.2, 18.4; ^{19}F NMR (376 MHz, $CDCl_3$) *δ* ppm -113.09 (dddd, *J* = 286.3, 56.1, 17.1, 12.9 Hz), -116.70 (dddd, *J* = 286.5, 56.7, 21.9, 13.5 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{16}H_{17}F_2N_3NaO_2^+$ 344.1181, found 344.1187.



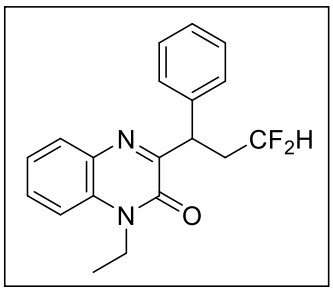
3-(3-ethyl-1,1-difluoropentan-3-yl)-1-methylquinoxalin-2(1H)-one **(4af')**: 11.8 mg, 20%, light yellow oil, *n*-hexane/ethyl acetate = 30/1 – 20/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.85 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.57 – 7.50 (m, 1H), 7.37 – 7.27 (m, 2H), 5.89 (tt, *J* = 56.7, 4.7 Hz, 1H), 3.69 (s, 3H), 2.65 (td, *J* = 18.0, 4.7 Hz, 2H), 2.23 – 2.03 (m, 4H), 0.76 (t, *J* = 7.5 Hz, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 161.8, 153.6, 133.1, 131.9, 130.3, 129.9, 123.3, 117.1 (t, *J* = 236.5 Hz), 113.4, 47.0 (t, *J* = 4.5 Hz), 37.6 (t, *J* = 20.5 Hz), 28.9, 26.3, 8.3; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -111.60 (dt, *J* = 56.7, 18.0 Hz); HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{16}H_{21}F_2N_2O^+$ 295.1616, found 295.1616.



3-(3-(difluoromethyl)bicyclo[2.2.1]heptan-2-yl)-1-methylquinoxalin-2(1H)-one **(4ag')**: 25.1 mg, 41%, (*dr* = 46:54), light yellow oil, *n*-hexane/ethyl acetate = 30/1 – 20/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.86 (dd, *J* = 8.0, 1.5 Hz, 0.46H), 7.79 (dd, *J* = 8.0, 1.5 Hz, 0.54H), 7.56 – 7.48 (m, 1H), 7.37 – 7.26 (m, 2H), 5.99 – 5.51 (m, 1H), 3.85 – 3.67 (m, 4H), 3.15 – 2.77 (m, 1H), 2.55 – 2.41 (m, 2H), 2.37 – 1.23 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 161.1, 158.7, 155.0, 154.9, 132.9, 132.8, 132.22, 132.20, 130.1, 129.8, 129.74, 129.70, 123.4, 118.4 (t, *J* = 239.5 Hz), 117.4 (t, *J* = 237.5 Hz), 113.5, 113.4, 51.70 (t, *J* = 19.0 Hz), 46.3 (t, *J* = 20.0 Hz), 45.6 (dd, *J* = 5.0, 3.0 Hz), 44.1 (t, *J* = 4.0 Hz), 42.6, 40.8, 39.3, 37.8 (dd, *J* = 5.5, 2.5 Hz), 37.4 (dd, *J* = 6.0, 4.0 Hz), 35.6, 29.6, 29.4, 29.3, 29.2, 29.0, 23.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -117.04 (ddd, *J* = 278.4, 57.3, 15.5 Hz), -118.51 (ddd, *J* = 56.4, 13.6, 9.0 Hz), -123.17 (ddd, *J* = 278.2, 57.3, 15.9 Hz); HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{17}H_{19}F_2N_2O^+$ 305.1460, found 305.1474.

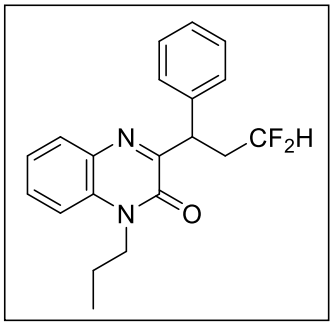


3-(3,3-difluoro-1-phenylpropyl)quinoxalin-2(1*H*)-one **(4ba)**: 31.2 mg, 52%, light yellow solid, *n*-hexane/ethyl acetate = 3/1 – 2/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 12.43 (s, 1H), 7.90 (dd, *J* = 8.1, 1.3 Hz, 1H), 7.53 – 7.47 (td, *J* = 7.8, 1.4 Hz, 1H), 7.46 – 7.40 (m, 2H), 7.38 – 7.33 (m, 1H), 7.31 – 7.25 (m, 2H), 7.22 – 7.16 (m, 2H), 5.77 (tt, *J* = 56.9, 5.0 Hz, 1H), 4.90 (t, *J* = 7.8 Hz, 1H), 3.03 – 2.83 (m, 1H), 2.73 – 2.54 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 159.4, 155.8, 139.4, 132.5, 130.9, 130.2, 129.1, 128.7, 128.5, 127.3, 124.2, 116.6 (t, *J* = 237.0 Hz), 115.7, 41.3 (t, *J* = 6.0 Hz), 37.9 (t, *J* = 21.5 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.96 (dddd, *J* = 283.9, 56.8, 22.4, 12.3 Hz), -117.23 (dddd, *J* = 283.8, 56.9, 19.0, 11.7 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{17}H_{14}F_2N_2NaO^+$ 323.0966, found 323.0973.

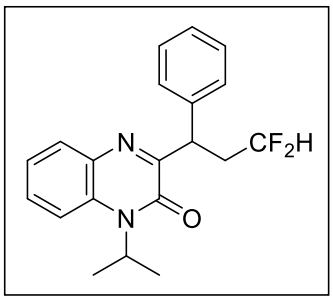


3-(3,3-difluoro-1-phenylpropyl)-1-ethylquinoxalin-2(1*H*)-one **(4ca)**: 45.2 mg, 69%, light yellow solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.94 (d, *J* = 8.0 Hz, 1H), 7.54 (t, *J* = 7.9 Hz, 1H), 7.43 (d, *J* = 7.6 Hz, 2H), 7.36 (t, *J* = 7.6 Hz, 1H), 7.29 (t, *J* = 7.4 Hz, 3H), 7.21 (t, *J* = 7.3 Hz, 1H), 5.75 (tt, *J* = 56.9, 5.0 Hz, 1H), 4.90 (t, *J* = 7.8 Hz, 1H), 4.36 – 4.24 (m, 1H), 4.22 – 4.10 (m, 1H), 2.98 – 2.80 (m, 1H), 2.71 – 2.51 (m, 1H), 1.31 (t, *J* = 7.2 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 159.0, 153.5, 139.6, 132.6, 132.1, 130.4, 130.1, 128.7, 128.4, 127.2, 123.4, 116.6 (t, *J* = 237.0 Hz), 113.4, 41.7 (t, *J* = 6.0 Hz), 38.1 (t, *J* = 21.5 Hz), 37.4, 12.3; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.89 (dddd, *J* = 283.5, 56.8, 22.4, 12.4 Hz), -

117.08 (dddd, *J* = 283.9, 57.0, 18.9, 11.7 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{19}H_{18}F_2N_2NaO^+$ 351.1279, found 351.1286.

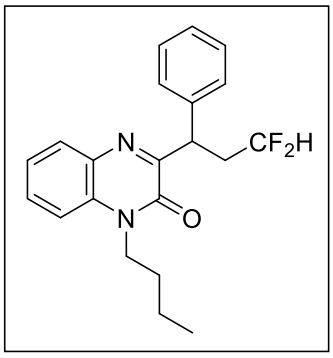


3-(3,3-difluoro-1-phenylpropyl)-1-propylquinoxalin-2(1*H*)-one **(4da)**: 43.5 mg, 64%, light yellow solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.93 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.57 – 7.47 (m, 1H), 7.46 – 7.39 (m, 2H), 7.37 – 7.32 (m, 1H), 7.31 – 7.24 (m, 3H), 7.23 – 7.17 (m, 1H), 5.75 (tt, *J* = 56.9, 5.0 Hz, 1H), 4.90 (t, *J* = 7.8 Hz, 1H), 4.25 – 4.12 (m, 1H), 4.09 – 3.98 (m, 1H), 2.99 – 2.80 (m, 1H), 2.70 – 2.52 (m, 1H), 1.79 – 1.63 (m, 2H), 0.97 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 159.0, 153.8, 139.6, 132.6, 132.3, 130.3, 130.0, 128.6, 128.4, 127.1, 123.4, 116.6 (t, *J* = 237.0 Hz), 113.6, 43.9, 41.8 (dd, *J* = 6.9, 5.4 Hz), 38.0 (t, *J* = 21.5 Hz), 20.5, 11.2; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.85 (dddd, *J* = 283.5, 56.8, 22.5, 12.4 Hz), -117.04 (dddd, *J* = 283.5, 57.1, 18.9, 11.7 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{20}H_{20}F_2N_2NaO^+$ 365.1436, found 365.1446.

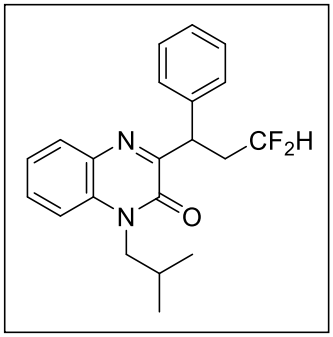


3-(3,3-difluoro-1-phenylpropyl)-1-isopropylquinoxalin-2(1*H*)-one **(4ea)**: 43.3 mg, 63%, light yellow solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.97 – 7.88 (m, 1H), 7.54 – 7.46 (m, 2H), 7.45 – 7.40 (m, 2H), 7.36 – 7.26 (m, 3H), 7.24 – 7.18 (m, 1H), 5.74 (tdd, *J* = 56.9, 5.5, 4.5 Hz, 1H), 5.29 (br, 1H),

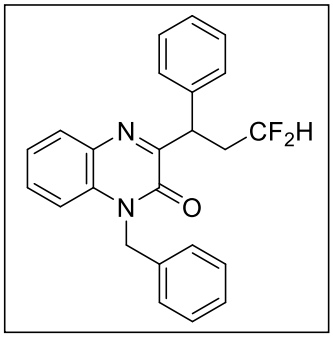
4.89 (t, *J* = 7.8 Hz, 1H), 2.95 – 2.77 (m, 1H), 2.68 – 2.50 (m, 1H), 1.58 (d, *J* = 7.0 Hz, 3H), 1.54 (d, *J* = 7.0 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) *δ* ppm 159.5, 154.2, 139.8, 133.1, 132.1, 130.7, 129.5, 128.6, 128.3, 127.1, 123.2, 116.6 (t, *J* = 237.0 Hz), 114.3, 47.3, 41.6 (t, *J* = 237.0 Hz), 38.3 (t, *J* = 237.0 Hz), 19.4, 19.2; ^{19}F NMR (376 MHz, $CDCl_3$) *δ* ppm -115.88 (dddd, *J* = 283.5, 56.8, 22.5, 12.4 Hz), -117.05 (dddd, *J* = 283.6, 56.9, 18.8, 11.9 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{20}H_{20}F_2N_2NaO^+$ 365.1436, found 365.1441.



1-butyl-3-(3,3-difluoro-1-phenylpropyl)quinoxalin-2(1*H*)-one **(4fa)**: 34.9 mg, 49%, light yellow solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) *δ* ppm 7.93 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.57 – 7.49 (m, 1H), 7.46 – 7.40 (m, 2H), 7.38 – 7.32 (m, 1H), 7.31 – 7.25 (m, 3H), 7.24 – 7.18 (m, 1H), 5.75 (tt, *J* = 56.9, 5.0 Hz, 1H), 4.90 (t, *J* = 7.8 Hz, 1H), 4.29 – 4.18 (m, 1H), 4.11 – 4.00 (m, 1H), 2.98 – 2.80 (m, 1H), 2.71 – 2.51 (m, 1H), 1.75 – 1.57 (m, 2H), 1.47 – 1.35 (m, 2H), 0.94 (t, *J* = 7.3 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) *δ* ppm 159.0, 153.7, 139.6, 132.6, 132.3, 130.4, 130.0, 128.7, 128.4, 127.2, 123.4, 116.6 (t, *J* = 237.0 Hz), 113.6, 42.2, 41.8 (dd, *J* = 6.8, 5.4 Hz), 38.1 (t, *J* = 21.5 Hz), 29.1, 20.2, 13.7; ^{19}F NMR (376 MHz, $CDCl_3$) *δ* ppm -115.86 (dddd, *J* = 283.5, 56.7, 22.5, 12.3 Hz), -117.05 (dddd, *J* = 283.5, 56.8, 18.8, 11.8 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{21}H_{22}F_2N_2NaO^+$ 379.1592, found 379.1603.

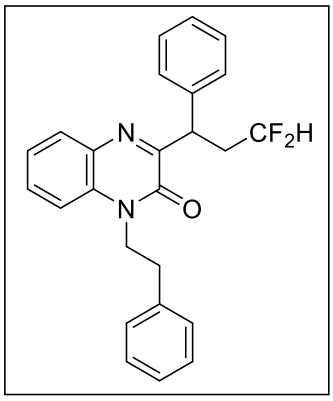


3-(3,3-difluoro-1-phenylpropyl)-1-isobutylquinoxalin-2(1*H*)-one **(4ga)**: 39.2 mg, 55%, light yellow solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.93 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.55 – 7.47 (m, 1H), 7.44 – 7.38 (m, 2H), 7.37 – 7.31 (m, 1H), 7.30 – 7.24 (m, 3H), 7.23 – 7.17 (m, 1H), 5.76 (tt, *J* = 56.9, 5.0 Hz, 1H), 4.90 (t, *J* = 7.8 Hz, 1H), 4.14 (dd, *J* = 13.7, 7.8 Hz, 1H), 3.94 (dd, *J* = 13.7, 7.2 Hz, 1H), 3.00 – 2.81 (m, 1H), 2.70 – 2.52 (m, 1H), 2.25 – 2.11 (m, 1H), 0.94 (d, *J* = 6.7 Hz, 3H), 0.86 (d, *J* = 6.7 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 159.1, 154.2, 139.6, 132.6, 132.5, 130.4, 129.9, 128.6, 128.3, 127.1, 123.3, 116.6 (t, *J* = 237.5 Hz), 114.0, 48.9, 41.9 (dd, *J* = 6.9, 5.2 Hz), 37.97 (t, *J* = 22.0 Hz), 27.1, 20.1, 20.0; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.83 (dddd, *J* = 283.5, 56.8, 22.6, 12.4 Hz), -117.01 (dddd, *J* = 283.6, 57.1, 18.6, 11.9 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{21}H_{22}F_2N_2NaO^+$ 379.1592, found 379.1589.

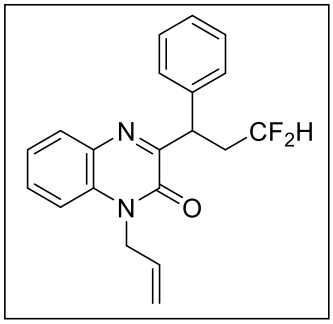


1-benzyl-3-(3,3-difluoro-1-phenylpropyl)quinoxalin-2(1*H*)-one **(4ha)**: 48.6 mg, 62%, light yellow solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.93 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.49 – 7.42 (m, 2H), 7.42 – 7.36 (m, 1H), 7.35 – 7.16 (m, 8H), 7.15 – 7.08 (m, 2H), 5.78 (tdd, *J* = 56.9, 5.6, 4.3 Hz, 1H), 5.49 (d, *J* = 15.7 Hz, 1H), 5.26 (d, *J* = 15.7 Hz, 1H), 4.97 (t, *J* = 7.8 Hz, 1H), 3.01 – 2.84 (m,

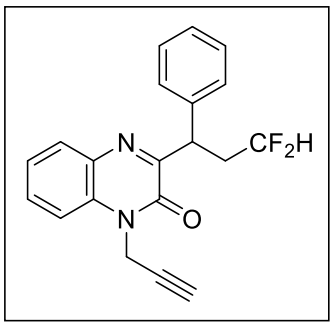
1H), 2.73 – 2.55 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 159.2, 154.1, 139.5, 135.0, 132.6, 132.4, 130.2, 130.1, 128.8, 128.7, 128.4, 127.6, 127.2, 126.7, 123.6, 116.6 (t, *J* = 237.5 Hz), 114.4, 45.9, 41.9 (dd, *J* = 6.9, 5.2 Hz), 38.0 (t, *J* = 21.5 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.80 (dddd, *J* = 283.9, 57.0, 22.4, 12.9 Hz), -116.88 (dddd, *J* = 283.6, 56.7, 18.0, 12.2 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{24}H_{20}F_2N_2NaO^+$ 413.1436, found 413.1456.



3-(3,3-difluoro-1-phenylpropyl)-1-phenethylquinoxalin-2(1*H*)-one **(4ia)**: 52.1 mg, 64%, light yellow solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.95 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.58 – 7.50 (m, 1H), 7.46 – 7.40 (m, 2H), 7.39 – 7.34 (m, 1H), 7.33 – 7.18 (m, 9H), 5.74 (tt, *J* = 56.9, 5.0 Hz, 1H), 4.90 (t, *J* = 7.8 Hz, 1H), 4.50 – 4.40 (m, 1H), 4.33 – 4.22 (m, 1H), 3.05 – 2.80 (m, 3H), 2.70 – 2.52 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 159.0, 153.6, 139.5, 137.5, 132.6, 132.2, 130.5, 130.2, 128.72, 128.67, 128.4, 127.2, 126.8, 123.5, 116.6 (t, *J* = 237.0 Hz), 113.4, 43.8, 41.7 (dd, *J* = 6.5, 5.5 Hz), 38.11 (t, *J* = 21.5 Hz), 33.2; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.86 (dddd, *J* = 283.6, 56.8, 22.4, 12.4 Hz), -117.04 (dddd, *J* = 283.8, 57.0, 18.8, 11.9 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{25}H_{22}F_2N_2NaO^+$ 427.1592, found 427.1593.

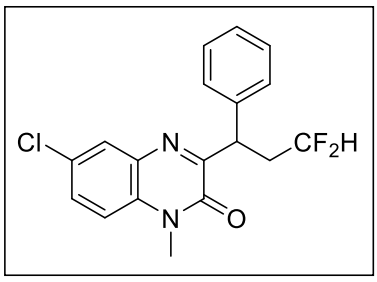


1-allyl-3-(3,3-difluoro-1-phenylpropyl)quinoxalin-2(1*H*)-one **(4ja)**: 43.0 mg, 63%, light yellow oil, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.93 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.53 – 7.47 (m, 1H), 7.46 – 7.39 (m, 2H), 7.38 – 7.32 (m, 1H), 7.31 – 7.18 (m, 4H), 5.93 – 5.58 (m, 2H), 5.21 (d, *J* = 10.4 Hz, 1H), 5.10 (d, *J* = 17.3 Hz, 1H), 4.95 – 4.85 (m, 2H), 4.71 (ddt, *J* = 16.1, 5.2, 1.8 Hz, 1H), 3.00 – 2.80 (m, 1H), 2.71 – 2.51 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 159.1, 153.6, 139.5, 132.5, 132.3, 130.5, 130.2, 130.0, 128.7, 128.4, 127.2, 123.6, 118.1, 116.6 (t, *J* = 237.5 Hz), 114.1, 44.6, 41.8 (dd, *J* = 6.9, 5.3 Hz), 38.05 (t, *J* = 21.5 Hz); ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.88 (dddd, *J* = 283.6, 56.8, 22.4, 12.5 Hz), -116.99 (dddd, *J* = 283.5, 56.8, 18.4, 11.9 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{20}H_{18}F_2N_2NaO^+$ 363.1279, found 363.1277.

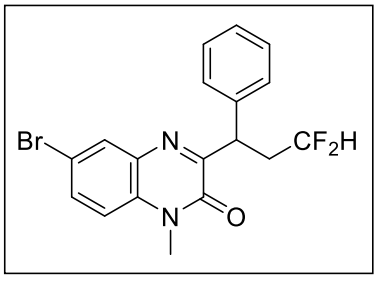


3-(3,3-difluoro-1-phenylpropyl)-1-(prop-2-yn-1-yl)quinoxalin-2(1*H*)-one **(4ka)**: 23.2 mg, 34%, light yellow solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.94 (dd, *J* = 8.1, 1.4 Hz, 1H), 7.64 – 7.53 (m, 1H), 7.50 – 7.35 (m, 4H), 7.33 – 7.19 (m, 3H), 5.73 (tt, *J* = 56.9, 5.0 Hz, 1H), 5.07 (dd, *J* = 17.4, 2.6 Hz, 1H), 4.95 – 4.78 (m, 2H), 2.97 – 2.80 (m, 1H), 2.70 – 2.52 (m, 1H), 2.25 (t, *J* = 2.6 Hz, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 158.9, 153.1, 139.2, 132.5, 131.6, 130.30, 130.28, 128.7, 128.4, 127.3, 124.0, 116.52 (t, *J* = 237.0 Hz), 114.1, 76.6, 73.3,

41.9 (dd, *J* = 6.5, 5.5 Hz), 38.0 (t, *J* = 22.0 Hz), 31.6; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.97 (dddd, *J* = 284.0, 56.8, 22.2, 12.5 Hz), -117.09 (dddd, *J* = 284.0, 57.0, 18.5, 12.0 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{20}H_{16}F_2N_2NaO^+$ 361.1123, found 361.1135.

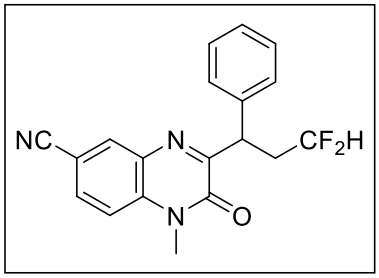


6-chloro-3-(3,3-difluoro-1-phenylpropyl)-1-methylquinoxalin-2(1*H*)-one **(4la)**: 55.5 mg, 80%, light yellow solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.94 (d, *J* = 2.4 Hz, 1H), 7.49 (dd, *J* = 8.9, 2.4 Hz, 1H), 7.45 – 7.38 (m, 2H), 7.33 – 7.27 (m, 2H), 7.24 – 7.17 (m, 2H), 5.73 (tt, *J* = 56.8, 4.9 Hz, 1H), 4.90 (t, *J* = 7.8 Hz, 1H), 3.60 (s, 3H), 2.97 – 2.78 (m, 1H), 2.68 – 2.48 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 160.5, 153.7, 139.1, 132.8, 131.8, 130.1, 129.4, 128.9, 128.8, 128.4, 127.4, 116.4 (t, *J* = 237.0 Hz), 114.7, 41.8 (t, *J* = 6.0 Hz), 37.9 (t, *J* = 21.5 Hz), 29.3; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.99 (dddd, *J* = 284.0, 56.9, 22.0, 13.0 Hz), -117.07 (dddd, *J* = 283.9, 56.8, 18.1, 12.5 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{18}H_{15}Cl^{35}F_2N2NaO^+$ 371.0733, found 371.0733; calcd for $C_{18}H_{15}Cl^{37}F_2N2NaO^+$ 373.0704, found 373.0721.

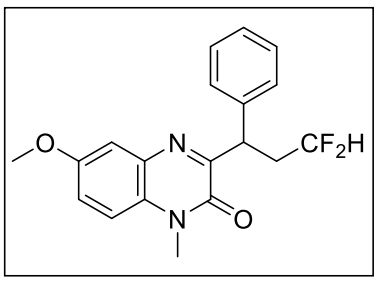


6-bromo-3-(3,3-difluoro-1-phenylpropyl)-1-methylquinoxalin-2(1*H*)-one **(4ma)**: 49.6 mg, 63%, light yellow solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 8.09 (d, *J* = 2.3 Hz, 1H), 7.61 (dd, *J* = 8.9, 2.3 Hz, 1H),

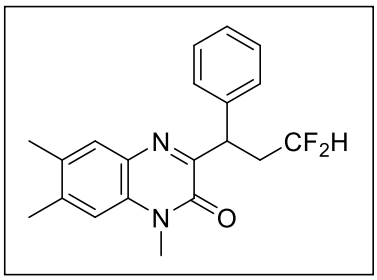
7.44 – 7.38 (m, 2H), 7.32 – 7.26 (m, 2H), 7.24 – 7.19 (m, 1H), 7.13 (d, *J* = 8.9 Hz, 1H), 5.73 (tt, *J* = 56.8, 4.9 Hz, 1H), 4.90 (t, *J* = 7.8 Hz, 1H), 3.58 (s, 3H), 2.96 – 2.79 (m, 1H), 2.68 – 2.48 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 160.4, 153.7, 139.0, 133.1, 132.8, 132.5, 132.2, 128.7, 128.4, 127.4, 116.4 (t, *J* = 237.0 Hz), 116.1, 115.0, 41.8 (t, *J* = 6.0 Hz), 37.9 (t, *J* = 21.5 Hz), 29.3; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.96 (dddd, *J* = 284.0, 56.9, 22.0, 13.0 Hz), -117.04 (dddd, *J* = 283.8, 56.7, 18.0, 12.5 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{18}H_{15}Br^{79}F_2N_2NaO^+$ 415.0228, found 415.0226; calcd for $C_{18}H_{14}Br^{81}F_2N_2NaO^+$ 417.0208, found 417.0219.



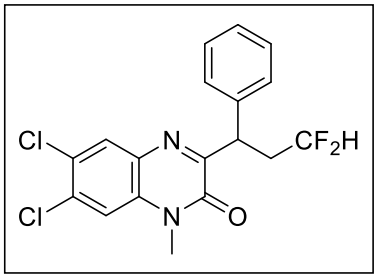
3-(3,3-difluoro-1-phenylpropyl)-1-methyl-2-oxo-1,2-dihydroquinoxaline-6-carbonitrile **(4na)**: 23.3 mg, 34%, light yellow solid, *n*-hexane/ethyl acetate = 5/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 8.26 (d, *J* = 1.9 Hz, 1H), 7.78 (dd, *J* = 8.7, 2.0 Hz, 1H), 7.45 – 7.39 (m, 2H), 7.36 (d, *J* = 8.7 Hz, 1H), 7.33 – 7.28 (m, 2H), 7.26 – 7.21 (m, 1H), 5.73 (tdd, *J* = 56.7, 5.6, 4.1 Hz, 1H), 4.91 (t, *J* = 7.7 Hz, 1H), 3.63 (s, 3H), 2.98 – 2.80 (m, 1H), 2.70 – 2.52 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 161.5, 153.6, 138.6, 136.4, 134.4, 132.7, 131.8, 128.9, 128.4, 127.6, 117.8, 116.3 (t, *J* = 237.5 Hz), 114.8, 107.2, 41.8 (t, *J* = 6.0 Hz), 37.7 (t, *J* = 21.5 Hz), 29.5; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.61 – -117.57 (m); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{19}H_{15}F_2N_3NaO^+$ 362.1075, found 362.1079.



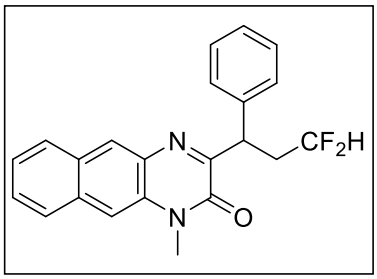
3-(3,3-difluoro-1-phenylpropyl)-6-methoxy-1-methylquinoxalin-2(1*H*)-one **(4oa)**: 29.8 mg, 43%, light yellow solid, *n*-hexane/ethyl acetate = 5/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.47 – 7.38 (m, 3H), 7.29 (t, *J* = 7.5 Hz, 2H), 7.25 – 7.16 (m, 3H), 5.75 (tt, *J* = 56.9, 5.0 Hz, 1H), 4.90 (t, *J* = 7.8 Hz, 1H), 3.93 (s, 3H), 3.60 (s, 3H), 2.99 – 2.81 (m, 1H), 2.70 – 2.50 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 159.5, 156.0, 153.7, 139.5, 133.1, 128.7, 128.4, 127.4, 127.2, 119.3, 116.61 (t, *J* = 237.0 Hz), 114.5, 111.6, 55.8, 41.89 (t, *J* = 6.0 Hz), 38.05 (t, *J* = 21.5 Hz), 29.3; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.86 (dddd, *J* = 283.9, 56.9, 22.4, 12.4 Hz), -117.07 (dddd, *J* = 283.8, 57.0, 19.2, 11.8 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{19}H_{18}F_2N_2NaO_2^+$ 367.1229, found 367.1240.



3-(3,3-difluoro-1-phenylpropyl)-1,6,7-trimethylquinoxalin-2(1*H*)-one **(4pa)**: 35.0 mg, 51%, light yellow solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.68 (s, 1H), 7.42 (d, *J* = 7.7 Hz, 2H), 7.31 – 7.24 (m, 2H), 7.22 – 7.16 (m, 1H), 7.02 (s, 1H), 5.74 (tt, *J* = 57.0, 4.9 Hz, 1H), 4.87 (t, *J* = 7.8 Hz, 1H), 3.57 (s, 3H), 2.99 – 2.79 (m, 1H), 2.68 – 2.49 (m, 1H), 2.40 (s, 3H), 2.36 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 157.6, 154.1, 140.0, 139.8, 132.5, 131.1, 130.7, 130.2, 128.6, 128.3, 127.1, 116.65 (t, *J* = 237.0 Hz), 114.1, 41.7 (t, *J* = 6.0 Hz), 38.1 (t, *J* = 21.5 Hz), 29.0, 20.5, 19.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.79 (dddd, *J* = 283.4, 56.8, 22.4, 12.0 Hz), -117.11 (dddd, *J* = 283.5, 57.1, 19.3, 12.8 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{20}H_{20}F_2N_2NaO^+$ 365.1436, found 365.1436.

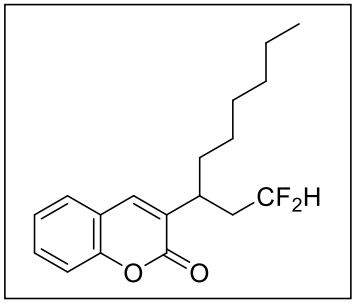


6,7-dichloro-3-(3,3-difluoro-1-phenylpropyl)-1-methylquinoxalin-2(*1H*)-one **(4qa)**: 47.1 mg, 61%, light yellow solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 8.02 (s, 1H), 7.44 – 7.34 (m, 3H), 7.30 (t, *J* = 7.4 Hz, 2H), 7.25 – 7.19 (m, 1H), 5.72 (tt, *J* = 56.7, 4.9 Hz, 1H), 4.88 (t, *J* = 7.8 Hz, 1H), 3.57 (s, 3H), 2.96 – 2.76 (m, 1H), 2.67 – 2.49 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 160.6, 153.5, 138.8, 134.3, 132.5, 131.3, 130.9, 128.8, 128.4, 127.5, 127.4, 116.3 (t, *J* = 237.5 Hz), 115.1, 41.8 (t, *J* = 6.0 Hz), 37.8 (t, *J* = 21.5 Hz), 29.4; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.52 – -117.58 (m); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{18}H_{14}Cl^{35}{}_2F_2N_2NaO^+$ 405.0343, found 405.0342; calcd for $C_{18}H_{14}Cl^{35}Cl^{37}F_2N_2NaO^+$ 407.0314, found 407.0317.

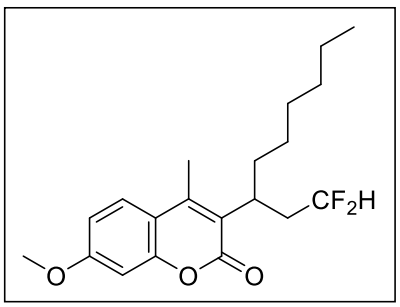


3-(3,3-difluoro-1-phenylpropyl)-1-methylbenzo[g]quinoxalin-2(1*H*)-one **(4ra)**: 40.5 mg, 56%, light yellow solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 8.40 (s, 1H), 7.95 (d, *J* = 8.3 Hz, 1H), 7.84 (d, *J* = 8.2 Hz, 1H), 7.59 – 7.41 (m, 5H), 7.36 – 7.18 (dt, *J* = 34.2, 7.5 Hz, 3H), 5.79 (tt, *J* = 56.9, 5.0 Hz, 1H), 4.95 (t, *J* = 7.8 Hz, 1H), 3.61 (s, 3H), 3.06 – 2.85 (m, 1H), 2.73 – 2.55 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 159.5, 153.8, 139.4, 133.5, 131.6, 131.5, 129.6, 129.2, 128.7, 128.4, 128.3, 127.8, 127.3, 127.1, 125.3, 116.6 (t, *J* = 237.0 Hz), 109.9, 41.9 (t, *J* = 6.0 Hz), 38.0 (t, *J* = 21.5 Hz), 29.0; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -115.82 (dddd, *J* = 283.9, 56.9, 22.3, 12.6 Hz), -117.00 (dddd, *J* = 283.6, 57.2, 18.8, 12.1 Hz); HRMS

(ESI) *m/z*: $[M+Na]^+$ calcd for $C_{22}H_{18}F_2N_2NaO^+$ 387.1279, found 387.1289.

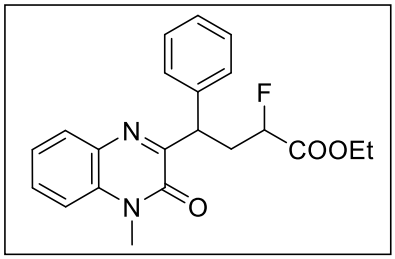


3-(1,1-difluorononan-3-yl)-2H-chromen-2-one **(4sb')**: 24.7 mg, 40%, light yellow oil, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.55 – 7.43 (m, 3H), 7.35 – 7.25 (m, 2H), 5.80 (tt, *J* = 56.5, 4.7 Hz, 1H), 2.98 (tt, *J* = 9.1, 5.6 Hz, 1H), 2.49 – 2.30 (m, 1H), 2.28 – 2.10 (m, 1H), 1.90 – 1.78 (m, 1H), 1.73 – 1.63 (m, 1H), 1.35 – 1.15 (m, 8H), 0.85 (t, *J* = 6.8 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 160.6, 153.1, 139.6, 131.1, 130.4, 127.4, 124.4, 119.1, 116.6 (t, *J* = 238.0 Hz), 116.4, 37.62 (t, *J* = 20.5 Hz), 36.86 (t, *J* = 5.0 Hz), 33.3, 31.6, 29.0, 27.3, 22.5, 14.0; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -114.32 – -116.35 (m); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{18}H_{22}F_2NaO_2^+$ 331.1480, found 331.1474.

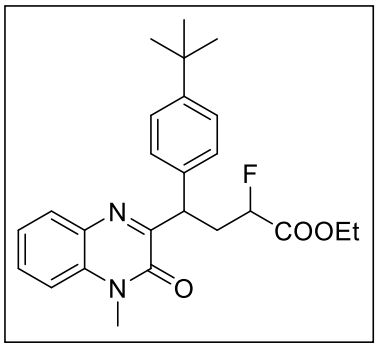


3-(1,1-difluorononan-3-yl)-7-methoxy-4-methyl-2H-chromen-2-one **(4tb')**: 18.6 mg, 26%, light yellow solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.56 (d, *J* = 8.9 Hz, 1H), 6.87 (dd, *J* = 8.9, 2.6 Hz, 1H), 6.80 (d, *J* = 2.6 Hz, 1H), 5.70 (tdd, *J* = 56.7, 6.0, 3.2 Hz, 1H), 3.87 (s, 3H), 3.35 – 3.15 (m, 1H), 2.84 – 2.58 (m, 1H), 2.42 (s, 3H), 2.24 – 2.08 (m, 1H), 2.07 – 1.93 (m, 1H), 1.75 – 1.60 (m, 1H), 1.40 – 1.06 (m, 8H), 0.84 (t, *J* = 6.7 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 161.8, 159.9, 154.0, 148.1, 126.0, 123.2, 117.0 (t, *J* = 237.5 Hz), 113.9, 112.3, 100.3,

55.7, 36.7 (t, *J* = 19.5 Hz), 33.9, 32.8, 31.7, 29.2, 27.8, 22.6, 14.9, 14.0; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -116.46 (dt, *J* = 56.4, 16.8 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{20}H_{26}F_2NaO_3^+$ 375.1742, found 375.1736.

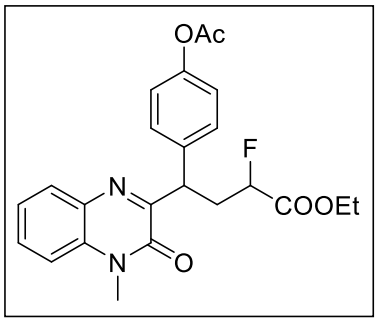


ethyl 2-fluoro-4-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-4-phenylbutanoate **(5aa)**: 56.0 mg, 76% (*dr* = 54:46), , light yellow solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 8.05 – 7.80 (m, 1H), 7.59 – 7.41 (m, 3H), 7.39 – 7.15 (m, 5H), 5.10 – 4.90 (m, 1.54H), 4.71 (ddd, *J* = 49.2, 10.2, 3.5 Hz, 0H), 4.29 – 4.07 (m, 2H), 3.59 (d, *J* = 1.8 Hz, 3H), 3.22 – 2.43 (m, 2H), 1.28 (td, *J* = 7.2, 4.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 170.0 (d, *J*= 24.0 Hz), 169.7 (d, *J*= 23.0 Hz), 169.6, 159.7, 159.0, 154.1, 154.0, 140.1, 139.0, 133.0, 132.32, 132.27, 130.05, 130.03, 123.0, 129.9, 128.8, 1286, 128.5, 128.4, 127.2, 127.0, 123.45, 123.42, 113.51, 113.49, 87.3 (d, *J*= 183.0 Hz), 87.0 (d, *J*= 183.0 Hz), 61.42, 61.36, 42.8 (d, *J*= 3.0 Hz), 42.3 (d, *J*= 4.0 Hz), 36.3 (d, *J* = 20.0 Hz), 29.0, 14.0; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -192.64 (ddd, *J* = 49.5, 28.9, 17.1 Hz), -192.96 (ddd, *J* = 49.6, 35.3, 14.5 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{21}H_{21}FN_2NaO_3^+$ 391.1428, found 391.1428.

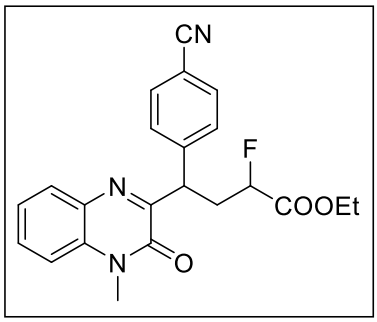


ethyl 4-(4-(tert-butyl)phenyl)-2-fluoro-4-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)butanoate **(5ae)**: 70.5 mg, 83% (*dr* = 54:46), light yellow solid, *n*-hexane/ethyl

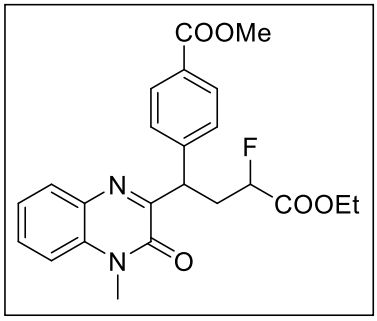
acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.97 – 7.87 (m, 1H), 7.54 – 7.45 (m, 1H), 7.43 – 7.17 (m, 6H), 5.11 – 4.87 (m, 1.54H), 4.74 (ddd, *J* = 49.2, 10.2, 3.5 Hz, 0.46H), 4.26 – 4.05 (m, 2H), 3.59 (d, *J* = 1.8 Hz, 3H), 3.21 – 2.43 (m, 2H), 1.36 – 1.18 (m, 12H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 170.1 (d, *J* = 24.0 Hz), 169.7(d, *J* = 23.0 Hz), 159.9, 159.2, 154.1, 154.0, 149.8, 149.6, 136.9, 135.7, 133.0, 132.35, 132.30, 130.0, 129.9, 129.8, 128.4, 128.2, 125.5, 125.3, 123.39, 123.36, 113.45, 113.43, 87.3 (d, *J* = 182.0 Hz)87.1 (d, *J* = 183.0 Hz), 61.4, 61.3, 42.2 (d, *J* = 3.0 Hz), 41.7 (d, *J* = 4.0 Hz), 36.33 (d, *J* = 20.0 Hz), 36.27 (d, *J* = 21.0 Hz), 34.30, 34.27, 31.20, 31.18, 29.0, 14.0; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -191.58 – -194.12 (m); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{25}H_{29}FN_2NaO_3^+$ 447.2054, found 447.2059.



ethyl 4-(4-acetoxyphenyl)-2-fluoro-4-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)butanoate **(5aj)**: 57.4 mg, 67% (*dr* = 52:48), light yellow solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.96 – 7.86 (m, 1H), 7.57 – 7.43 (m, 3H), 7.39 – 7.31 (m, 1H), 7.30 – 7.22 (m, 1H), 7.08 – 6.94 (m, 2H), 5.10 – 4.87 (m, 1.52H), 4.73 (ddd, *J* = 49.2, 10.1, 3.5 Hz, 0.48H), 4.27 – 4.08 (m, 2H), 3.61 (d, *J* = 1.5 Hz, 3H), 3.22 – 2.43 (m, 2H), 2.25 (d, *J* = 3.7 Hz, 3H), 1.29 (td, *J* = 7.2, 2.2 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 169.9 (d, *J* = 23.0 Hz), 169.6 (d, *J* = 23.0 Hz), 169.31, 169.30, 159.5, 158.8, 154.1, 154.0, 149.7, 149.6, 137.4, 136.4, 133.0, 132.31, 132.26, 130.1, 130.04, 130.03, 129.9, 129.8, 129.6, 123.49, 123.47, 121.6, 121.4, 113.55, 113.53, 87.2 (d, *J* = 183.0 Hz), 86.9 (d, *J* = 182.0 Hz), 61.5, 61.4, 42.1 (d, *J* = 3.0 Hz), 41.6 (d, *J* = 4.0 Hz), 36.21 (d, *J* = 20.0 Hz), 36.19 (d, *J* = 21.0 Hz), 29.0, 21.03, 21.01, 14.0; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -191.88 – -194.05 (m); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{23}H_{23}FN_2NaO_5^+$ 449.1483, found 449.1497.

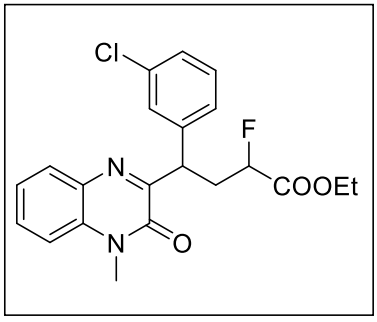


ethyl 4-(4-cyanophenyl)-2-fluoro-4-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)butanoate **(5am)**: 34.1 mg, 43% (*dr* = 54:46), light yellow solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 8.00 – 7.90 (m, 1H), 7.70 – 7.50 (m, 5H), 7.44 – 7.36 (m, 1H), 7.35 – 7.28 (m, 1H), 5.17 – 4.81 (m, 1.54H), 4.68 (ddd, *J* = 49.2, 8.6, 5.0 Hz, 0.46H), 4.29 – 4.10 (m, 2H), 3.64 (d, *J* = 1.8 Hz, 3H), 3.21 – 2.40 (m, 2H), 1.30 (td, *J* = 7.1, 3.5 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 169.6 (d, *J* = 23.0 Hz), 169.4 (d, *J* = 23.0 Hz), 158.4, 157.8, 154.1, 154.0, 145.7, 144.8, 133.1, 132.4, 132.3, 132.2, 130.6, 130.5, 130.23, 130.16, 129.6, 129.4, 123.79, 123.76, 118.70, 118.66, 113.71, 113.69, 111.1, 110.9, 87.1 (d, *J* = 183.0 Hz), 86.7 (d, *J* = 184.0 Hz), 61.7, 61.6, 43.0 (d, *J* = 2.0 Hz), 42.6 (d, *J* = 4.0 Hz), 36.0 (d, *J* = 21.0 Hz), 35.9 (d, *J* = 21.0 Hz), 29.2, 14.0; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -192.03 – -193.75 (m); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{22}H_{20}FN_3NaO_3^+$ 416.1381, found 416.1392.

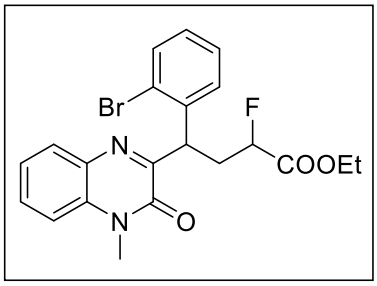


methyl 4-(4-ethoxy-3-fluoro-1-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-4-oxobutyl)benzoate **(5an)**: 57.3 mg, 67% (*dr* = 52:48), light yellow solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 8.07 – 7.90 (m, 3H), 7.62 – 7.49 (m, 3H), 7.43 – 7.35 (m, 1H), 7.33 – 7.26 (m, 1H), 5.09 – 4.90 (m, 2H), 4.77 – 4.59 (m, 0H), 4.28 – 4.12 (m, 2H), 3.87 (d, *J* = 3.6 Hz, 3H), 3.63 (d, *J* = 1.5 Hz, 3H), 3.23 – 2.41 (m, 2H), 1.29 (td, *J* = 7.2, 4.8 Hz, 3H); ^{13}C NMR (100

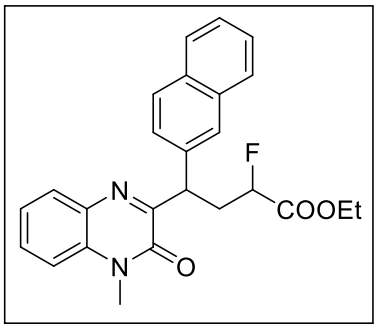
MHz, $CDCl_3$) δ ppm 169.8 (d, *J* = 21.0 Hz), 169.6 (d, *J* = 21.0 Hz), 166.8, 159.0, 158.3, 154.1, 154.0, 145.5, 144.4, 133.1, 132.35, 132.30, 130.4, 130.3, 130.2, 130.1, 129.9, 129.8, 129.1, 128.91, 128.88, 128.6, 123.7, 123.6, 113.65, 113.63, 87.2 (d, *J* = 184.0 Hz), 86.9 (d, *J* = 183.0 Hz), 61.6, 61.5, 52.04, 52.01, 43.0 (d, *J* = 3.0 Hz), 42.5 (d, *J* = 4.0 Hz), 36.2 (d, *J* = 21.0 Hz), 36.1 (d, *J* = 21.0 Hz), 29.1, 14.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -191.58 – -193.90 (m); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{23}H_{23}FN_2NaO_5^+$ 449.1483, found 449.1495.



ethyl 4-(3-chlorophenyl)-2-fluoro-4-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)butanoate **(5aq)**: 63.8 mg, 79% (*dr* = 54:46), light yellow solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^1H NMR (400 MHz, $CDCl_3$) δ ppm 8.01 – 7.89 (m, 1H), 7.59 – 7.51 (m, 1H), 7.46 – 7.34 (m, 3H), 7.31 – 7.14 (m, 3H), 5.06 – 4.90 (m, 1.54H), 4.71 (ddd, *J* = 49.2, 9.4, 4.2 Hz, 0.46H), 4.28 – 4.10 (m, 2H), 3.62 (d, *J* = 1.9 Hz, 3H), 3.19 – 2.40 (m, 2H), 1.30 (td, *J* = 7.1, 5.3 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 169.8 (d, *J* = 24.0 Hz), 169.6 (d, *J* = 24.0 Hz), 159.0, 158.3, 154.1, 154.0, 142.2, 141.2, 134.4, 134.1, 133.1, 132.3, 132.2, 130.3, 130.22, 130.19, 130.1, 129.9, 129.7, 128.5, 128.3, 127.5, 127.4, 127.3, 127.1, 123.61, 123.58, 113.61, 113.59, 87.1 (d, *J* = 183.0 Hz), 86.8 (d, *J* = 183.0 Hz), 61.6, 61.5, 42.5 (d, *J* = 3.0 Hz), 42.1 (d, *J* = 4.0 Hz), 36.24 (d, *J* = 20.0 Hz), 36.20 (d, *J* = 20.0 Hz), 29.1, 14.0; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -190.76 – -194.42 (m); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{21}H_{20}Cl^{35}FN_2NaO_3^+$ 425.1039, found 425.1039; calcd for $C_{21}H_{20}Cl^{37}FN_2NaO_3^+$ 427.1009, found 427.1022.

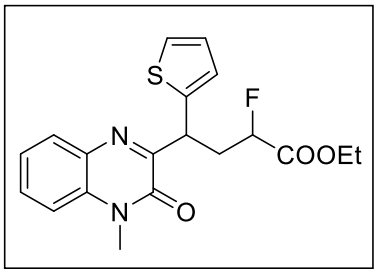


ethyl 4-(2-bromophenyl)-2-fluoro-4-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)butanoate **(5as)**: 37.9 mg, 42% (*dr* = 52:48), light yellow solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 8.01 – 7.91 (m, 1H), 7.65 – 7.54 (m, 2H), 7.39 (qd, *J* = 7.8, 1.3 Hz, 1H), 7.31 (td, *J* = 8.5, 1.2 Hz, 1H), 7.25 – 7.15 (m, 1H), 7.14 – 7.02 (m, 2H), 5.51 – 5.41 (m, 1H), 5.14 (ddd, *J* = 49.7, 9.9, 3.3 Hz, 0.52H), 4.88 (ddd, *J* = 48.9, 9.0, 4.6 Hz, 0.48H), 4.28 – 4.15 (m, 2H), 3.64 (d, *J* = 1.7 Hz, 3H), 3.11 – 2.81 (m, 1H), 2.65 – 2.27 (m, 1H), 1.30 (dt, *J* = 8.8, 7.2 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 169.9 (d, *J* = 24.0 Hz), 169.8 (d, *J* = 23.0 Hz), 159.2, 158.5, 154.1, 154.0, 140.1, 139.4, 133.4, 133.19, 133.16, 132.2, 132.1, 130.4, 130.24, 130.22, 130.1, 128.7, 128.6, 128.4, 128.2, 127.5, 127.4, 125.7, 125.2, 123.60, 123.56, 113.7, 113.6, 87.2 (d, *J* = 184.0 Hz), 87.1 (d, *J* = 184.0 Hz), 61.6, 61.5, 42.2 (d, *J* = 4.0 Hz), 42.0 (d, *J* = 3.0 Hz), 36.4 (d, *J* = 21.0 Hz), 35.7 (d, *J* = 20.0 Hz), 29.1, 14.11, 14.08; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -188.72 (ddd, *J* = 48.9, 30.6, 15.2 Hz), -193.11 (ddd, *J* = 49.0, 33.7, 14.7 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{21}H_{20}Br^{79}FN_2NaO_3^+$ 469.0534, found 469.0550; calcd for $C_{21}H_{20}Br^{81}FN_2NaO_3^+$ 471.0513, found 471.0536.



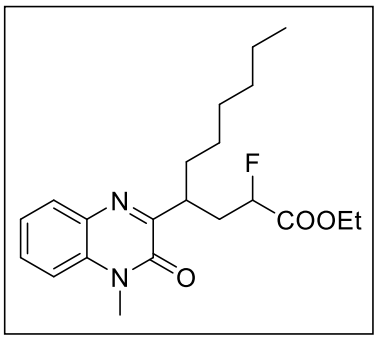
ethyl 2-fluoro-4-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-4-(naphthalen-2-yl)butanoate **(5aw)**: 49.6 mg, 59% (*dr* = 57:43), light yellow oil, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 8.00 – 7.94 (m, 1H), 7.92 (s, 0.43H), 7.86 (s, 0.57H), 7.82 – 7.72 (m, 3H), 7.64 – 7.58 (m, 1H), 7.55 –

7.47 (m, 1H), 7.45 – 7.32 (m, 3H), 7.25 – 7.19 (m, 1H), 5.20 – 4.94 (m, 1.57H), 4.72 (ddd, *J* = 49.2, 10.5, 3.2 Hz, 0.43H), 4.26 – 4.04 (m, 2H), 3.56 (d, *J* = 2.1 Hz, 3H), 3.31 – 2.51 (m, 2H), 1.26 (td, *J* = 7.2, 2.6 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 170.1 (d, *J* = 23.0 Hz), 169.8 (d, *J* = 23.0 Hz), 159.6, 158.9, 154.1, 154.0, 137.5, 136.4, 133.4, 133.3, 133.1, 132.6, 132.5, 132.4, 132.3, 130.12, 130.09, 130.04, 130.00, 128.3, 128.1, 127.9, 127.8, 127.7, 127.49, 127.46, 127.4, 126.7, 126.6, 125.95, 125.89, 125.75, 125.66, 123.50, 123.48, 113.55, 113.53, 87.4 (d, *J* = 183.0 Hz), 87.0 (d, *J* = 183.0 Hz), 61.5, 61.4, 43.0 (d, *J* = 3.0 Hz), 42.5 (d, *J* = 4.0 Hz), 36.4 (d, *J* = 21.0 Hz), 36.3 (d, *J* = 20.0 Hz), 29.0, 14.03, 14.00; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -192.56 (ddd, *J* = 49.1, 28.8, 17.2 Hz), -192.98 (ddd, *J* = 50.0, 36.4, 14.2 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{25}H_{23}FN_2NaO_3^+$ 441.1585, found 441.1602.

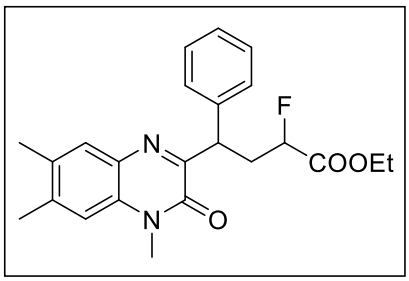


ethyl 2-fluoro-4-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-4-(thiophen-2-yl)butanoate **(5ax)**: 35.1 mg, 47% (*dr* = 56:44), light brwon oil, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.98 – 7.84 (m, 1H), 7.58 – 7.48 (m, 1H), 7.39 – 7.31 (m, 1H), 7.30 – 7.24 (m, 1H), 7.23 – 7.01 (m, 2H), 6.94 (dd, *J* = 5.1, 3.5 Hz, 0.44H), 6.90 (dd, *J* = 5.1, 3.5 Hz, 0.56H), 5.46 – 5.24 (m, 1H), 5.06 – 4.76 (m, 1H), 4.32 – 4.11 (m, 2H), 3.65 (d, *J* = 1.8 Hz, 3H), 3.22 – 2.55 (m, 2H), 1.30 (dt, *J* = 8.4, 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 169.8 (d, *J* = 23.0 Hz), 169.5 (d, *J* = 24.0 Hz), 159.0, 158.2, 154.0, 153.9, 142.9, 141.7, 133.09, 133.08, 132.31, 132.27, 130.3, 130.2, 130.1, 130.0, 126.8, 126.7, 126.6, 126.0, 125.1, 124.7, 123.6, 123.5, 113.6, 113.5, 87.1 (d, *J* = 183.0 Hz), 87.0 (d, *J* = 183.0 Hz), 61.5, 38.3 (d, *J* = 3.0 Hz), 37.6 (d, *J* = 4.0 Hz), 37.3 (d, *J* = 20.0 Hz), 37.0 (d, *J* = 21.0 Hz), 29.2, 14.1, 14.0; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -192.56 (ddd, *J* = 48.9, 28.6, 16.7 Hz), -193.02 (ddd, *J* = 49.8, 36.1, 14.4 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{19}H_{19}FN_2NaO_3S^+$ 397.0993,

found 397.1004.

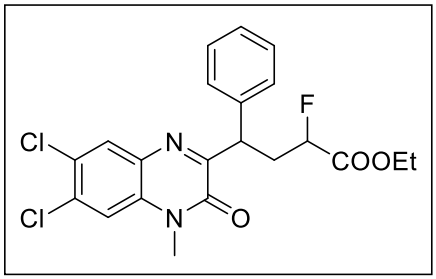


ethyl 2-fluoro-4-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)decanoate **(5ab')**: 38.3 mg, 51% (*dr* = 53:47), yellow oil, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.89 – 7.79 (m, 1H), 7.58 – 7.49 (m, 1H), 7.38 -7.28 (m, 2H), 5.04 (ddd, *J* = 49.1, 8.6, 4.4 Hz, 0.47H), 4.87 (ddd, *J* = 49.7, 9.7, 3.2 Hz, .53H), 4.28 – 4.09 (m, 2H), 3.80 – 3.67 (m, 4H), 2.78 – 2.46 (m, 1H), 2.39 – 2.13 (m, 1H), 1.98 – 1.79 (m, 1H), 1.75 – 1.56 (m, 1H), 1.45 – 1.18 (m, 11H), 0.84 (td, *J* = 6.9, 2.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 170.1 (d, *J* = 24.0 Hz), 169.9 (d, *J* = 23.0 Hz), 162.2, 161.6, 154.6, 154.5, 132.90, 132.87, 132.51, 132.46, 129.84, 129.81, 129.75, 129.71, 123.42, 123.38, 113.51, 113.48, 88.2 (d, *J* = 182.0 Hz), 87.7 (d, *J* = 182.0 Hz), 61.4, 38.1 (d, *J* = 2.0 Hz), 37.4 (d, *J* = 2.0 Hz), 35.5 (d, *J* = 21.0 Hz), 34.5 (d, *J* = 21.0 Hz), 33.8, 33.4, 31.63, 31.59, 29.3, 29.2, 29.10, 29.08, 27.02, 26.98, 22.5, 14.1, 14.01, 14.00, 13.99; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -188.84 (ddd, *J* = 49.0, 30.8, 18.1 Hz), -191.55 (ddd, *J* = 51.1, 34.7, 17.5 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{21}H_{29}FN_2NaO_3^+$ 399.2054, found 399.2065.

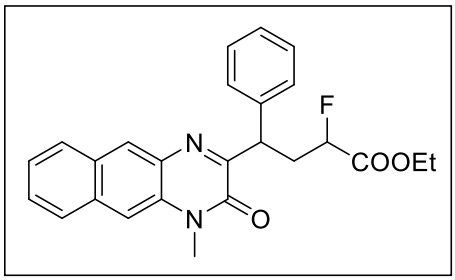


ethyl 2-fluoro-4-phenyl-4-(4,6,7-trimethyl-3-oxo-3,4-dihydroquinoxalin-2-yl)butanoate **(5pa)**: 64.1 mg, 81% (*dr* = 54:46), light yellow solid, *n*-hexane/ethyl

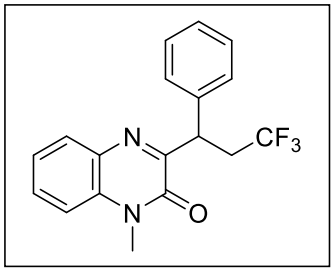
acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.69 (d, J = 8.7 Hz, 1H), 7.44 (dd, J = 14.3, 7.4 Hz, 2H), 7.33 – 7.14 (m, 3H), 7.02 (d, J = 4.9 Hz, 1H), 5.09 – 4.88 (m, 1.54H), 4.69 (ddd, J = 49.3, 10.3, 3.4 Hz, 0.46H), 4.28 – 4.08 (m, 2H), 3.58 (d, J = 2.1 Hz, 3H), 3.19 – 2.32 (m, 8H), 1.29 (td, J = 7.1, 4.6 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 170.1 (d, J = 23.0 Hz), 169.9 (d, J = 23.0 Hz), 158.4, 157.6, 154.2, 154.1, 140.4, 139.9, 139.7, 139.2, 132.4, 132.3, 131.0, 130.8, 130.7, 130.2, 130.1, 128.8, 128.55, 128.49, 128.4, 127.1, 126.9, 114.1, 87.4 (d, J = 183.0 Hz), 87.1 (d, J = 182.0 Hz), 61.41, 61.37, 42.7 (d, J = 3.0 Hz), 42.2 (d, J = 4.0 Hz), 36.5 (d, J = 20.0 Hz), 28.9, 20.49, 20.47, 19.1, 14.0; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -192.85 (ddd, J = 49.4, 29.5, 16.8 Hz), -193.14 (ddd, J = 49.8, 35.9, 14.3 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{23}H_{25}FN_2NaO_3^+$ 419.1741, found 419.1751.



ethyl 4-(6,7-dichloro-4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2-fluoro-4-phenylbutanoate **(5qa)**: 54.4 mg, 62% (*dr* > 20:1), light yellow solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 8.04 (s, 1H), 7.47 – 7.33 (m, 3H), 7.32 – 7.25 (m, 2H), 7.25 – 7.15 (m, 1H), 4.95 (tdd, J = 23.7, 8.5, 4.5 Hz, 2H), 4.29 – 4.06 (m, 2H), 3.57 (s, 3H), 3.05 (dddd, J = 28.3, 14.2, 9.6, 4.5 Hz, 1H), 2.48 (dddd, J = 17.6, 14.4, 8.5, 5.8 Hz, 1H), 1.30 (t, J = 7.1 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 169.6 (d, J = 24.0 Hz), 160.7, 153.6, 139.4, 134.2, 132.5, 131.4, 130.9, 128.63, 128.60, 127.4, 127.3, 115.1, 87.2 (d, J = 183.0 Hz), 61.6, 42.4 (d, J = 4.0 Hz), 36.1 (d, J = 21.0 Hz), 29.4, 14.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -192.75 (ddd, J = 49.4, 28.0, 17.6 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{21}H_{19}Cl^{35}{}_2FN_2NaO_3^+$ 459.0649, found 459.0661; calcd for $C_{21}H_{19}Cl^{35}Cl^{37}FN_2NaO_3^+$ 461.0619, found 461.0634.



ethyl 2-fluoro-4-(4-methyl-3-oxo-3,4-dihydrobenzo[g]quinoxalin-2-yl)-4-phenylbutanoate **(5ra)**: 45.5 mg, 54% (*dr* = 54:46), light yellow solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 8.41 (d, *J* = 10.9 Hz, 1H), 7.95 (dd, *J* = 8.2, 5.9 Hz, 1H), 7.84 (dd, *J* = 8.2, 5.8 Hz, 1H), 7.58 – 7.41 (m, 5H), 7.36 – 7.17 (m, 3H), 5.13 – 4.96 (m, 1.54H), 4.74 (ddd, *J* = 49.3, 10.2, 3.5 Hz, 0.46H), 4.28 – 4.10 (m, 2H), 3.61 (d, *J* = 2.0 Hz, 3H), 3.24 – 2.45 (m, 2H), 1.30 (td, *J* = 7.2, 5.2 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 170.1 (d, *J* = 23.0 Hz), 169.8 (d, *J* = 23.0 Hz), 160.2, 159.5, 153.9, 153.8, 140.0, 138.9, 133.5, 133.4, 131.7, 131.6, 131.51, 131.49, 129.5, 129.15, 129.07, 128.9, 128.7, 128.6, 128.5, 128.3, 128.2, 127.8, 127.7, 127.3, 127.13, 127.08, 127.06, 125.23, 125.20, 109.82, 109.79, 87.4 (d, *J* = 183.0 Hz), 87.0 (d, *J* = 183.0 Hz), 61.50, 61.46, 42.9 (d, *J* = 3.0 Hz), 42.4 (d, *J* = 5.0 Hz), 36.41 (d, *J* = 20.0 Hz), 36.38 (d, *J* = 21.0 Hz), 29.0, 14.1; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -192.58 (ddd, *J* = 49.2, 28.5, 17.1 Hz), -192.99 (ddd, *J* = 49.7, 35.6, 14.6 Hz); HRMS (ESI) *m/z*: $[M+Na]^+$ calcd for $C_{25}H_{23}FN_2NaO_3^+$ 441.1585, found 441.1588.

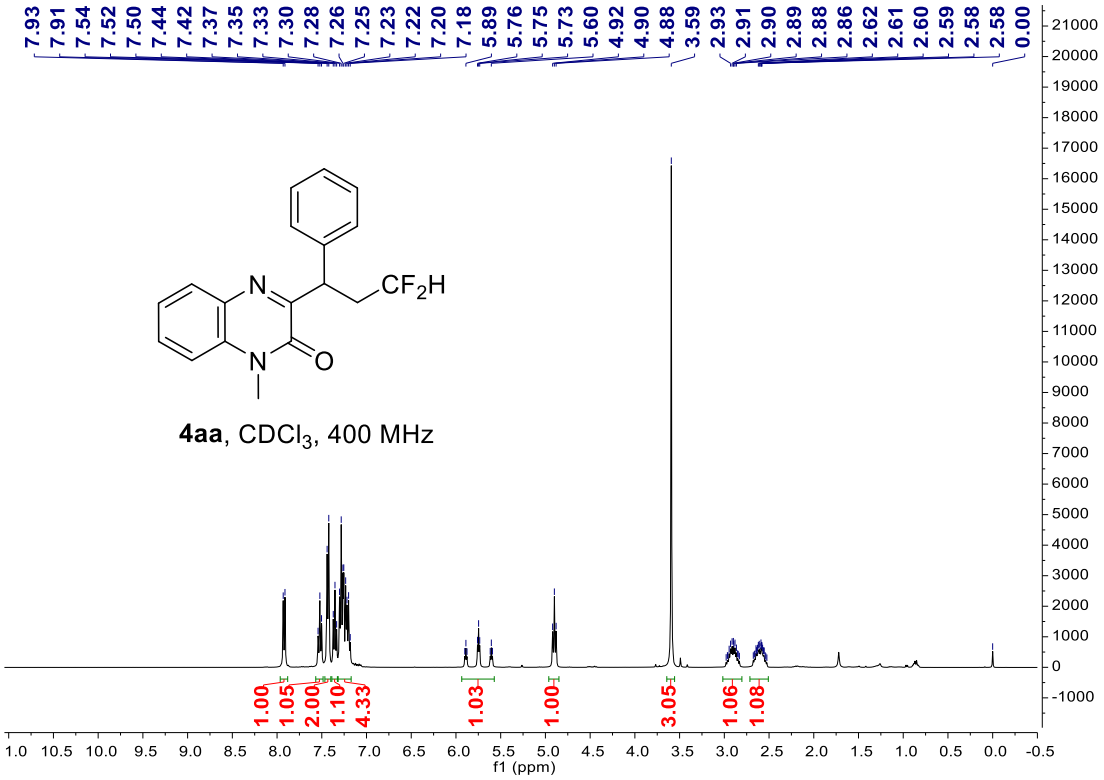


1-methyl-3-(3,3,3-trifluoro-1-phenylpropyl)quinoxalin-2(1*H*)-one **(6aa)**[4]: 16.8 mg, 25%, light yellow solid, *n*-hexane/ethyl acetate = 20/1 – 10/1 as an eluent. ^{1}H NMR (400 MHz, $CDCl_3$) δ ppm 7.92 (d, *J* = 8.0 Hz, 1H), 7.54 (t, *J* = 7.9 Hz, 1H), 7.46 (d, *J* = 7.6 Hz, 2H), 7.36 (t, *J* = 7.8 Hz, 1H), 7.32 – 7.18 (m, 4H), 5.08 (t, *J* = 7.0 Hz, 1H), 3.62 (s, 3H), 3.56 – 3.40 (m, 1H), 2.90 – 2.70 (m, 1H); ^{13}C NMR (100 MHz, $CDCl_3$) δ ppm 158.5,

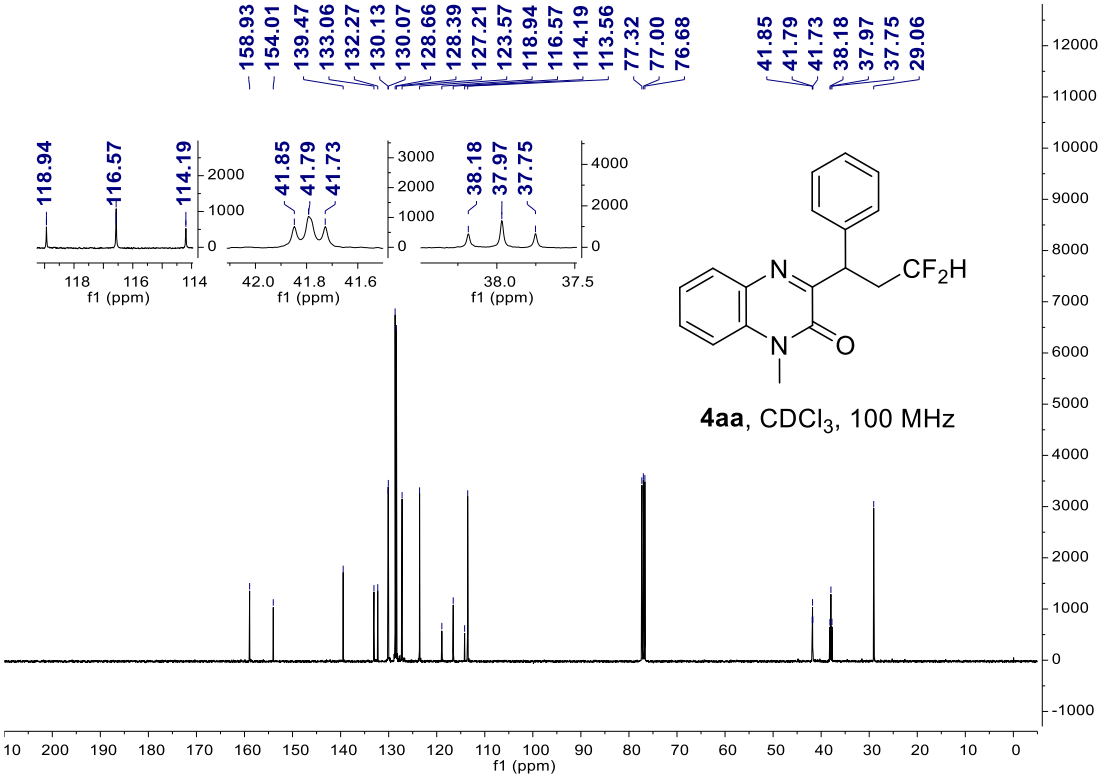
154.0, 139.4, 133.1, 132.3, 130.2, 130.1, 128.6, 128.4, 127.3, 126.7 (d, *J* = 275.0 Hz), 123.6, 113.6, 41.2 (d, *J* = 3.0 Hz), 37.4 (q, *J* = 27.7 Hz), 29.17; ^{19}F NMR (376 MHz, $CDCl_3$) δ ppm -64.32 (t, *J* = 10.9 Hz).

^{1}H, ^{19}F and ^{13}C NMR spectra of products

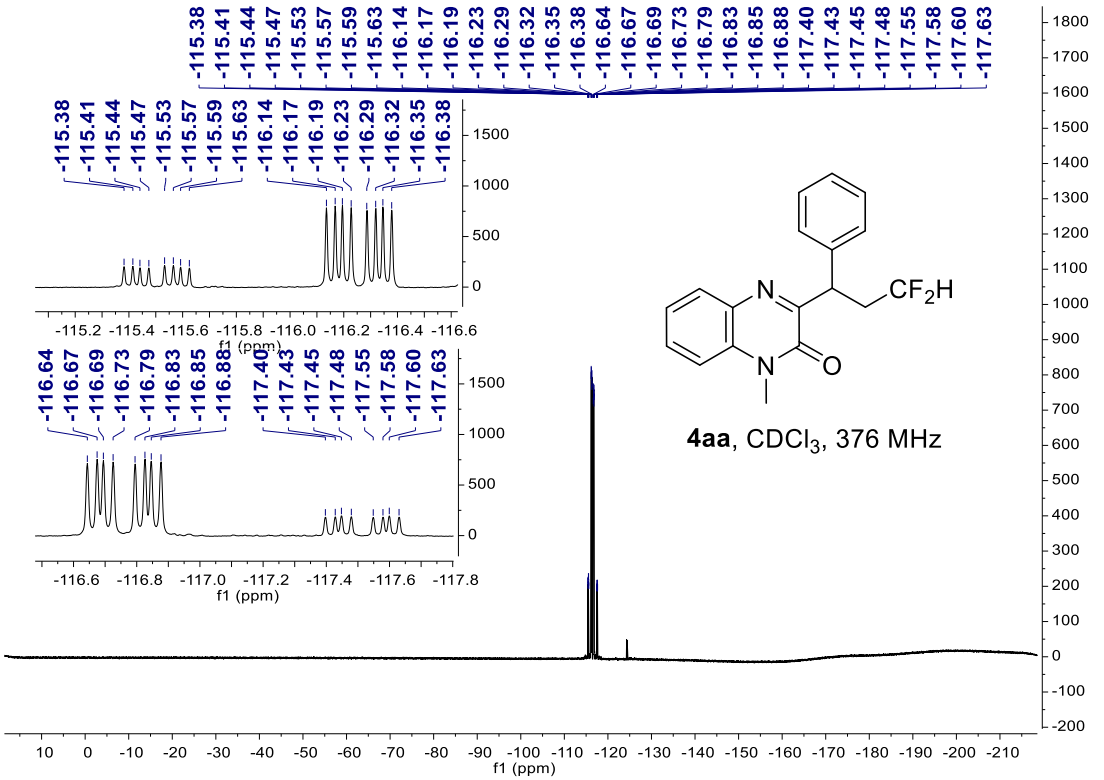
3-(3,3-difluoro-1-phenylpropyl)-1-methylquinoxalin-2(1*H*)-one (4aa)



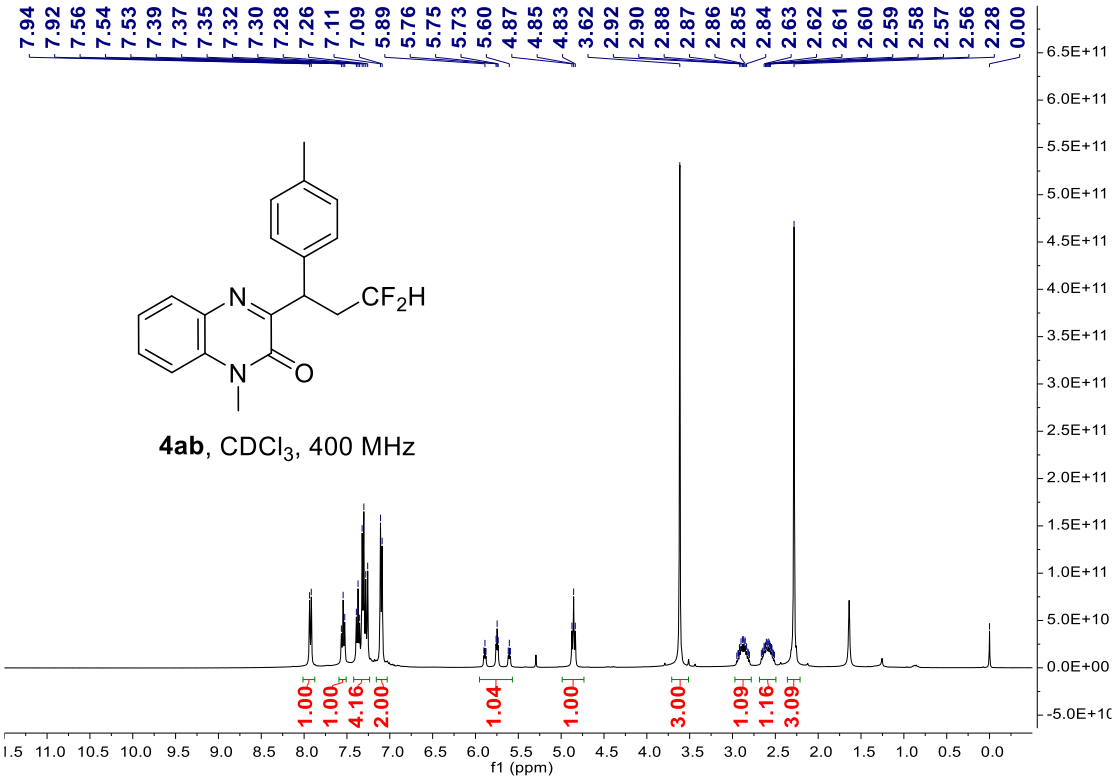
4aa, $CDCl_3$, 400 MHz

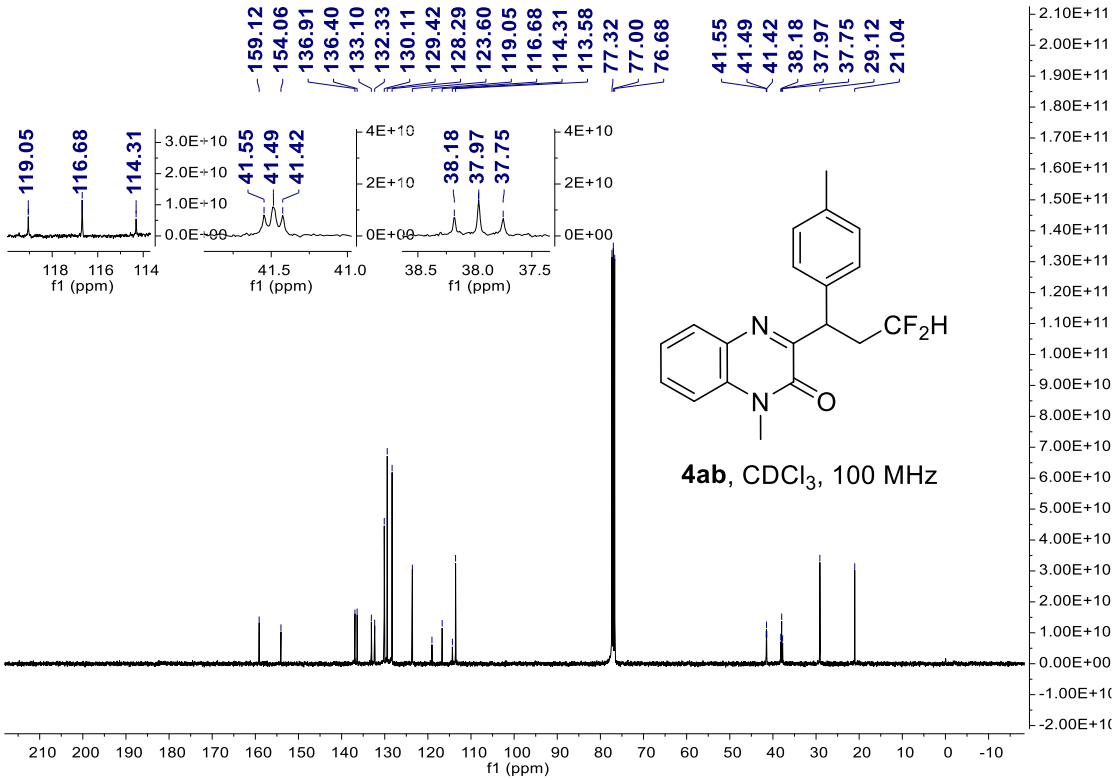


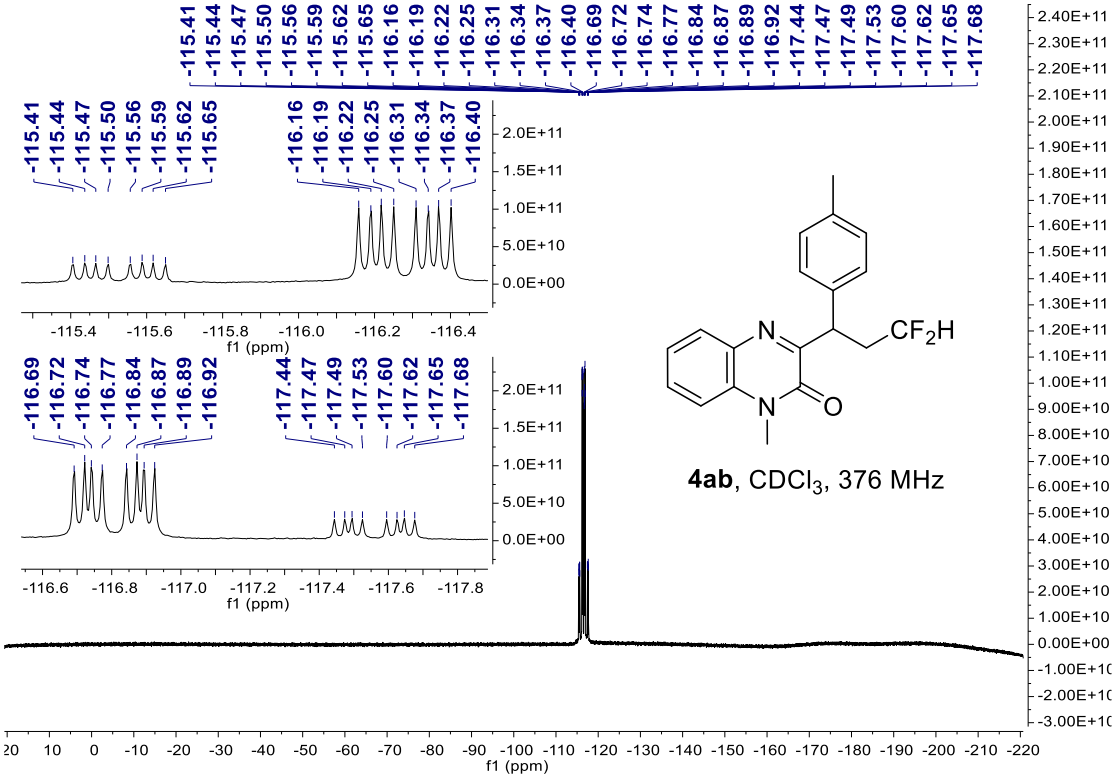
4aa, $CDCl_3$, 100 MHz



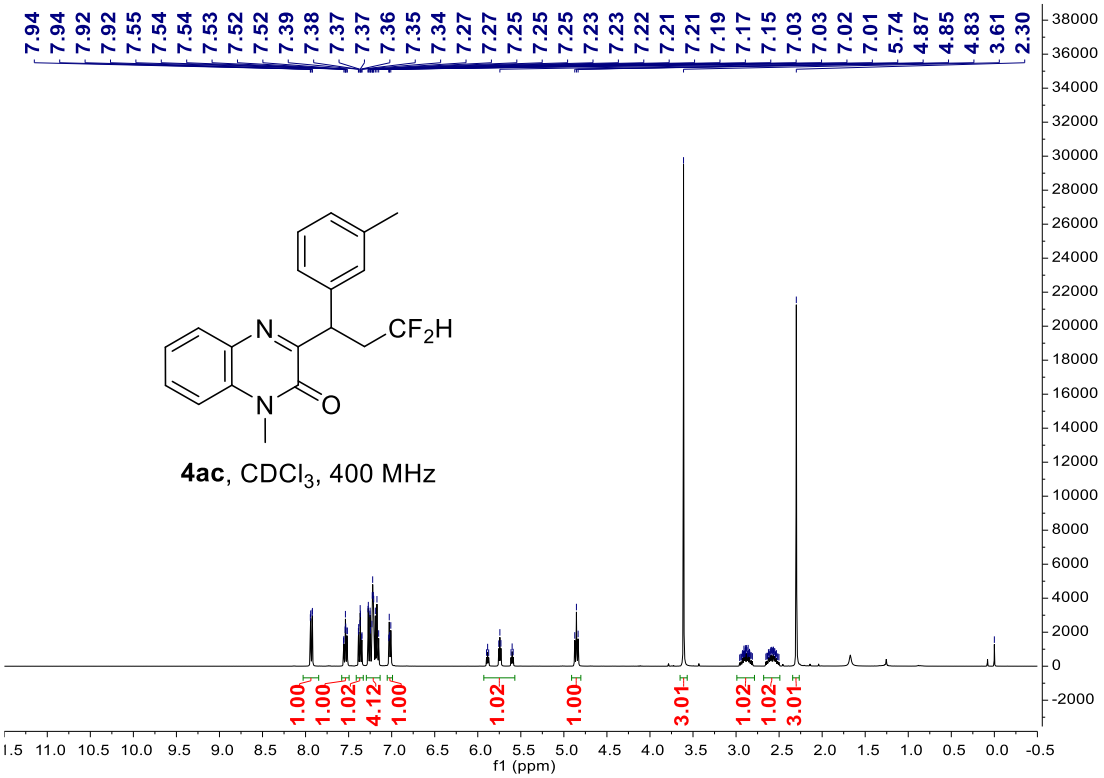
3-(3,3-difluoro-1-(*p*-tolyl)propyl)-1-methylquinoxalin-2(1*H*)-one (4ab)

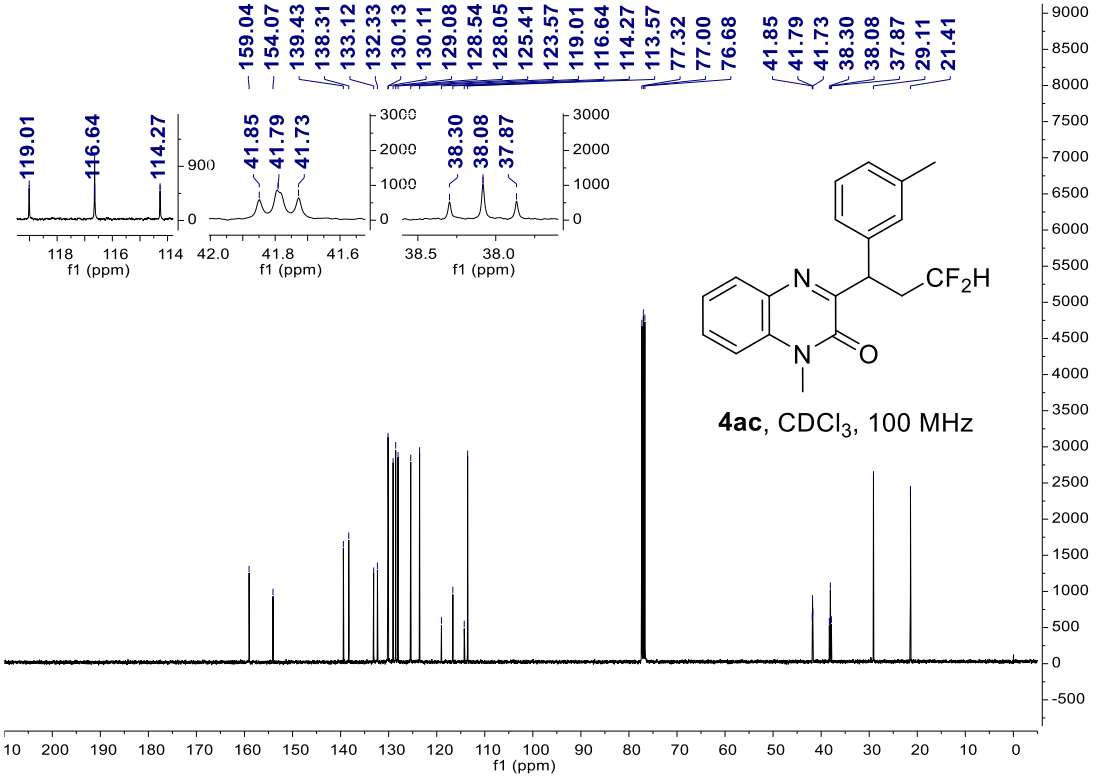


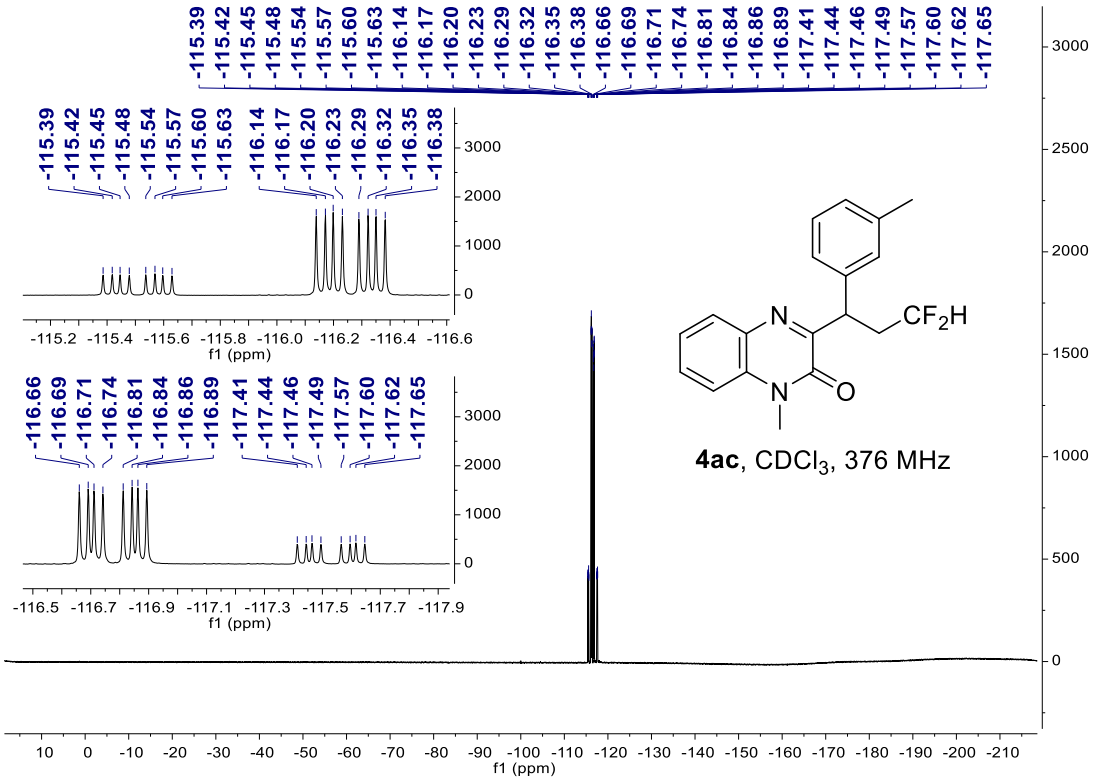

159.12
154.06
136.91
136.40
133.10
132.33
130.11
129.42
128.29
123.60
119.05
116.68
114.31
113.58
77.32
77.00
76.68
41.55
41.49
41.42
38.18
37.97
37.75
29.12
21.04
119.05
116.68
114.31
41.55
41.49
41.42
38.18
37.97
37.75
f1 (ppm)
N
CF2H
O
4ab, CDCl3, 100 MHz
f1 (ppm)


-115.41
-115.44
-115.47
-115.50
-115.56
-115.59
-115.62
-115.65
-116.16
-116.19
-116.22
-116.25
-116.31
-116.34
-116.37
-116.40
-116.69
-116.72
-116.74
-116.77
-116.84
-116.87
-116.89
-116.92
-117.44
-117.47
-117.49
-117.53
-117.60
-117.62
-117.65
-117.68
f1 (ppm)
N
CF2H
O
4ab, CDCl3, 376 MHz
f1 (ppm)

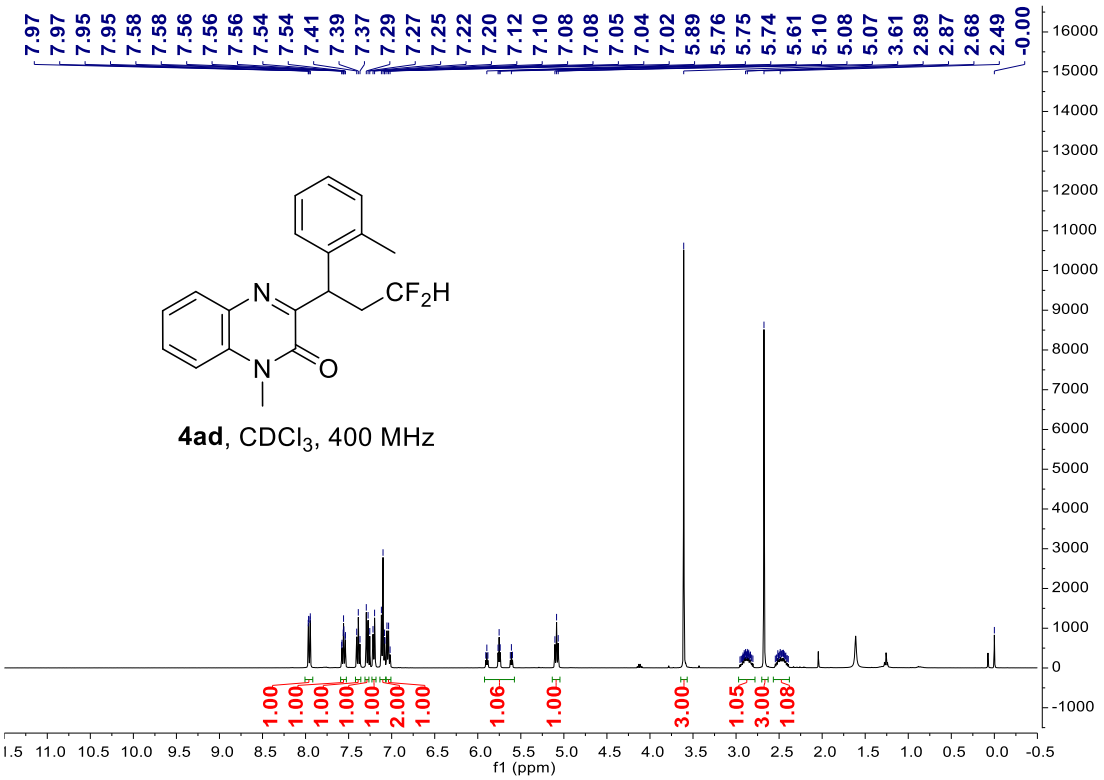
3-(3,3-difluoro-1-(*m*-tolyl)propyl)-1-methylquinoxalin-2(1*H*)-one **(4ac)**

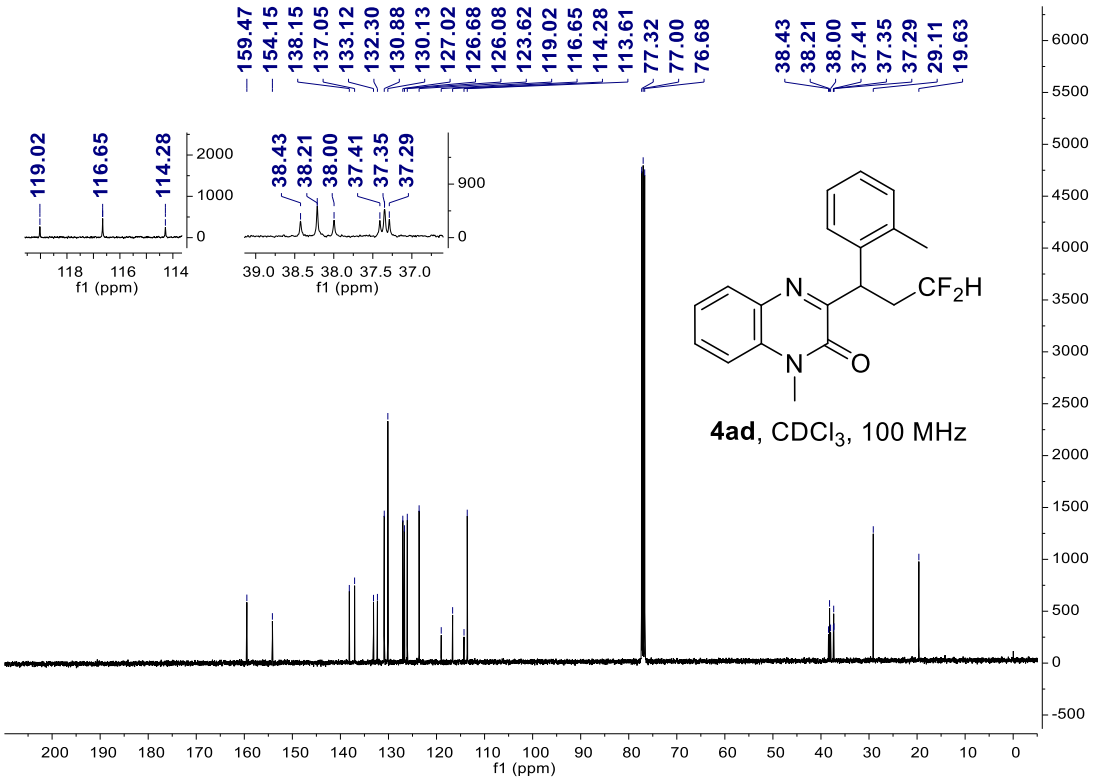


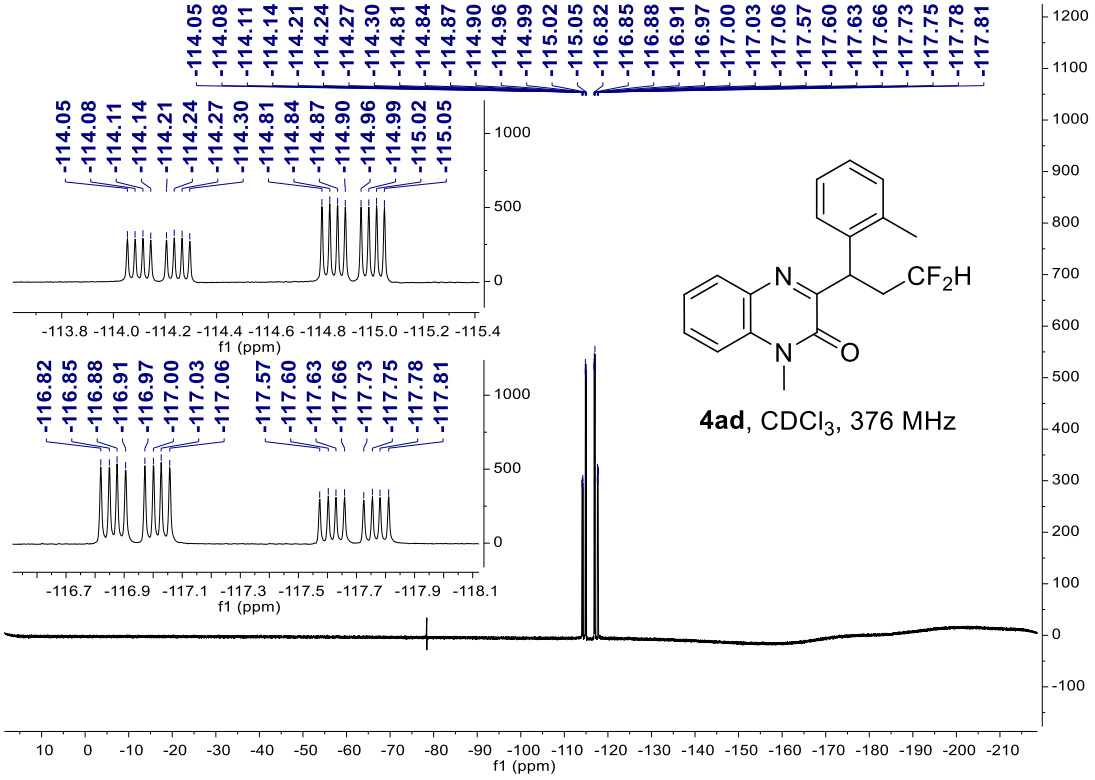




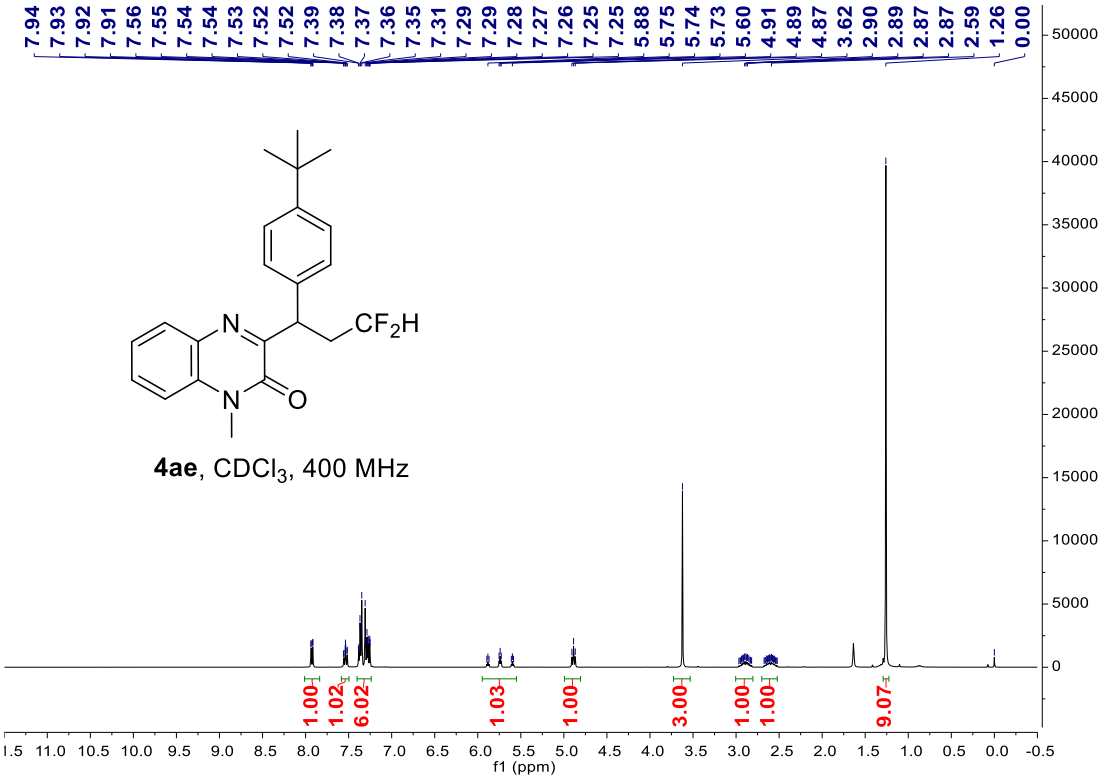
3-(3,3-difluoro-1-(*o*-tolyl)propyl)-1-methylquinoxalin-2(1*H*)-one **(4ad)**

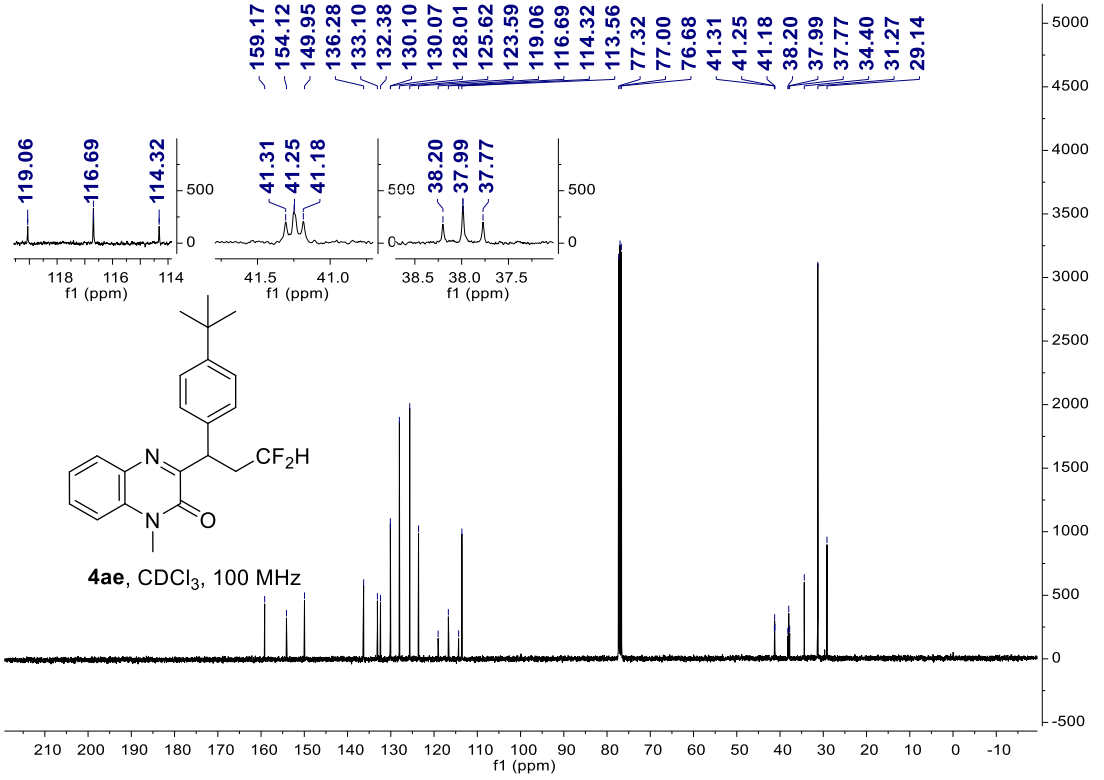


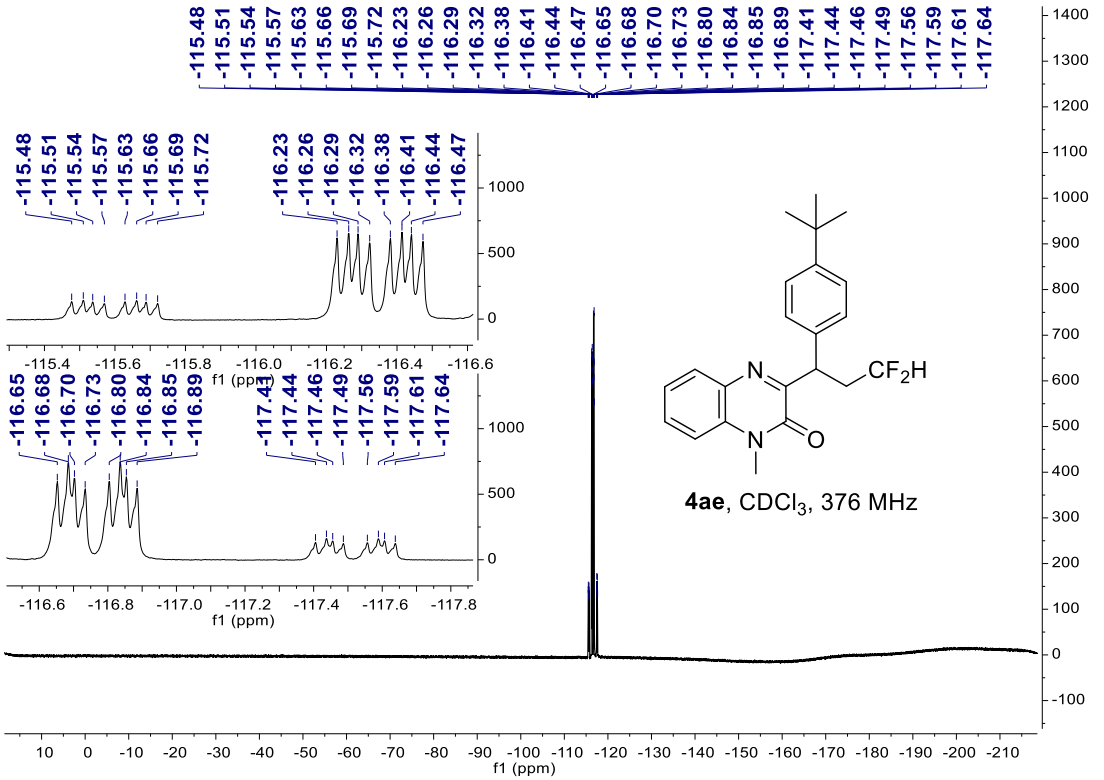

159.47
154.15
138.15
137.05
133.12
132.30
130.88
130.13
127.02
126.68
126.08
123.62
119.02
116.65
114.28
113.61
77.32
77.00
76.68
38.43
38.21
38.00
37.41
37.35
37.29
29.11
19.63
f1 (ppm)
N
CF2H
N
O
4ad, CDCl3, 100 MHz


-114.05
-114.08
-114.11
-114.14
-114.21
-114.24
-114.27
-114.30
-114.81
-114.84
-114.87
-114.90
-114.96
-114.99
-115.02
-115.05
-116.82
-116.85
-116.88
-116.91
-116.97
-117.00
-117.03
-117.06
-117.57
-117.60
-117.63
-117.66
-117.73
-117.75
-117.78
-117.81
f1 (ppm)
N
CF2H
N
O
4ad, CDCl3, 376 MHz

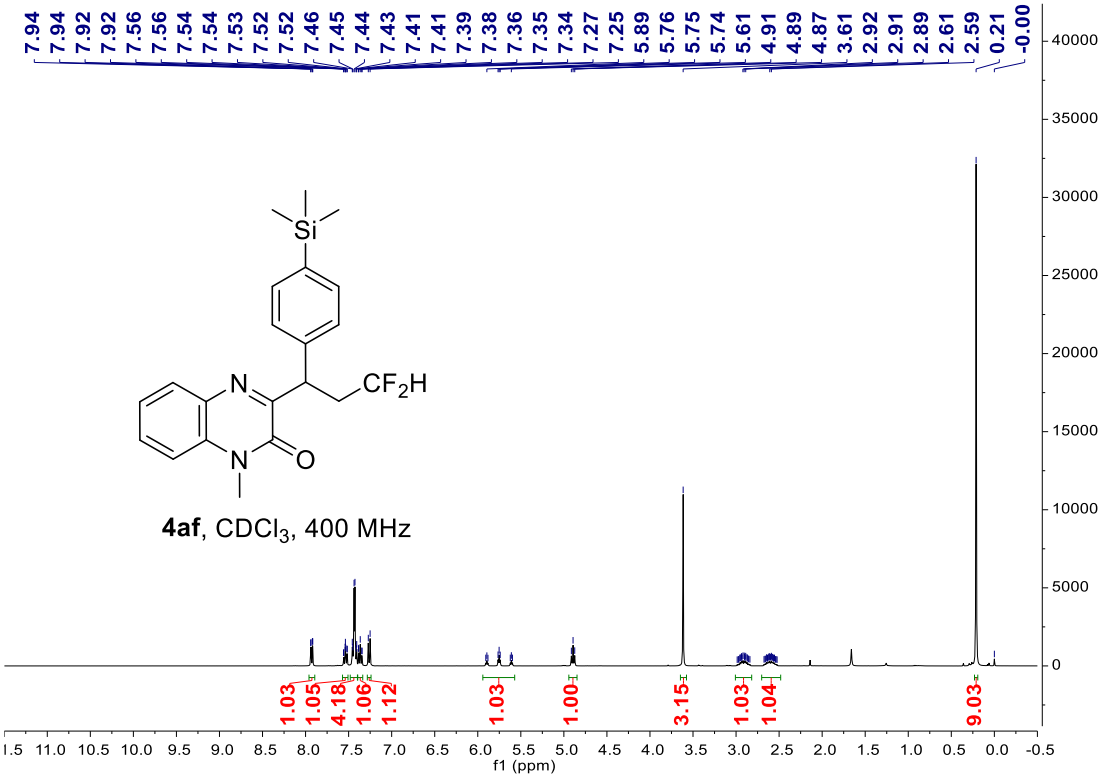
3-(1-(4-(tert-butyl)phenyl)-3,3-difluoropropyl)-1-methylquinoxalin-2(1*H*)-one **(4ae)**

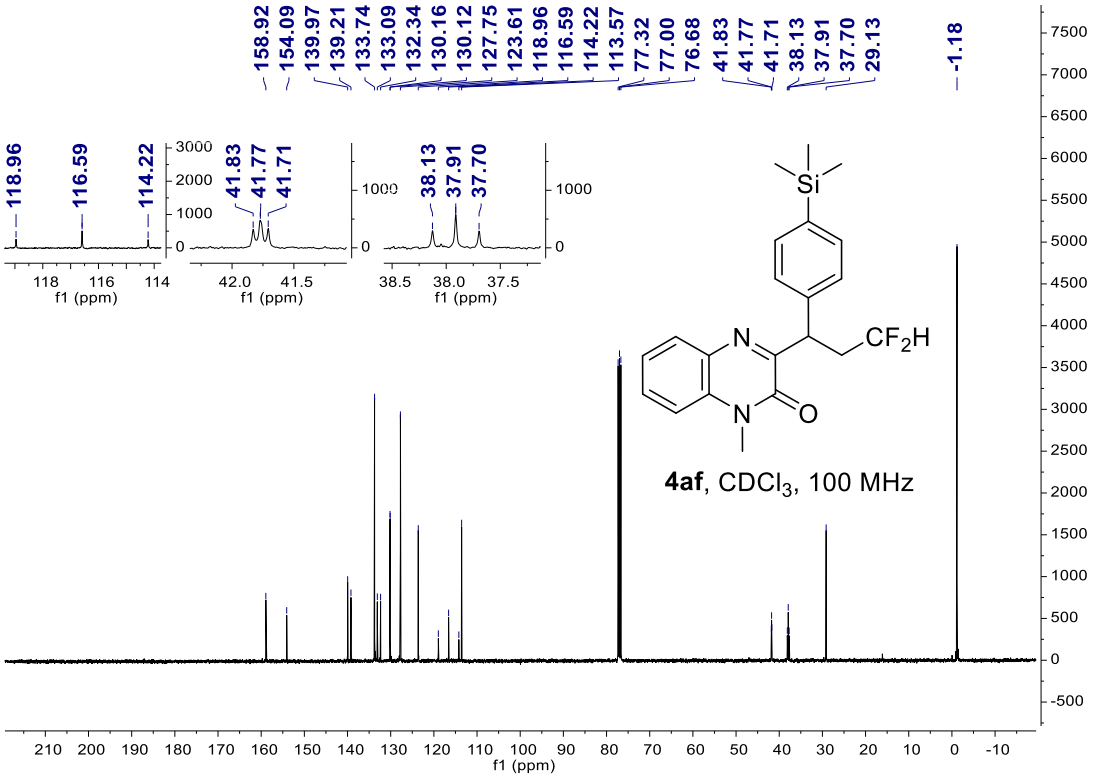


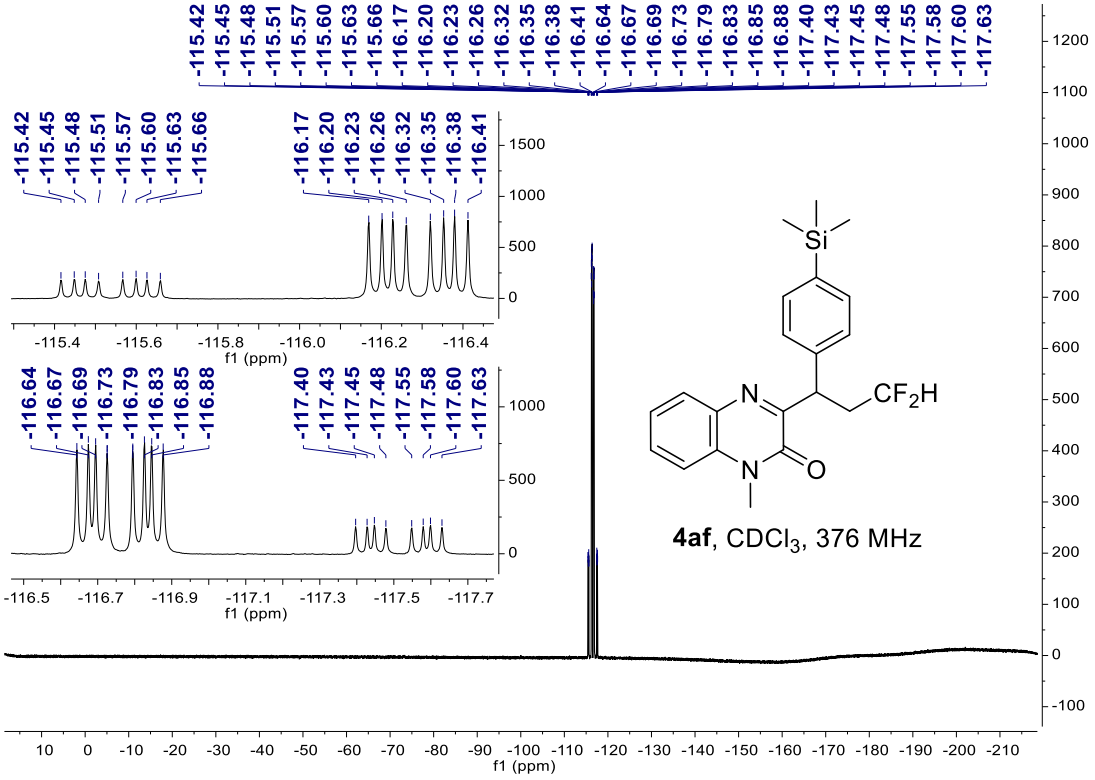




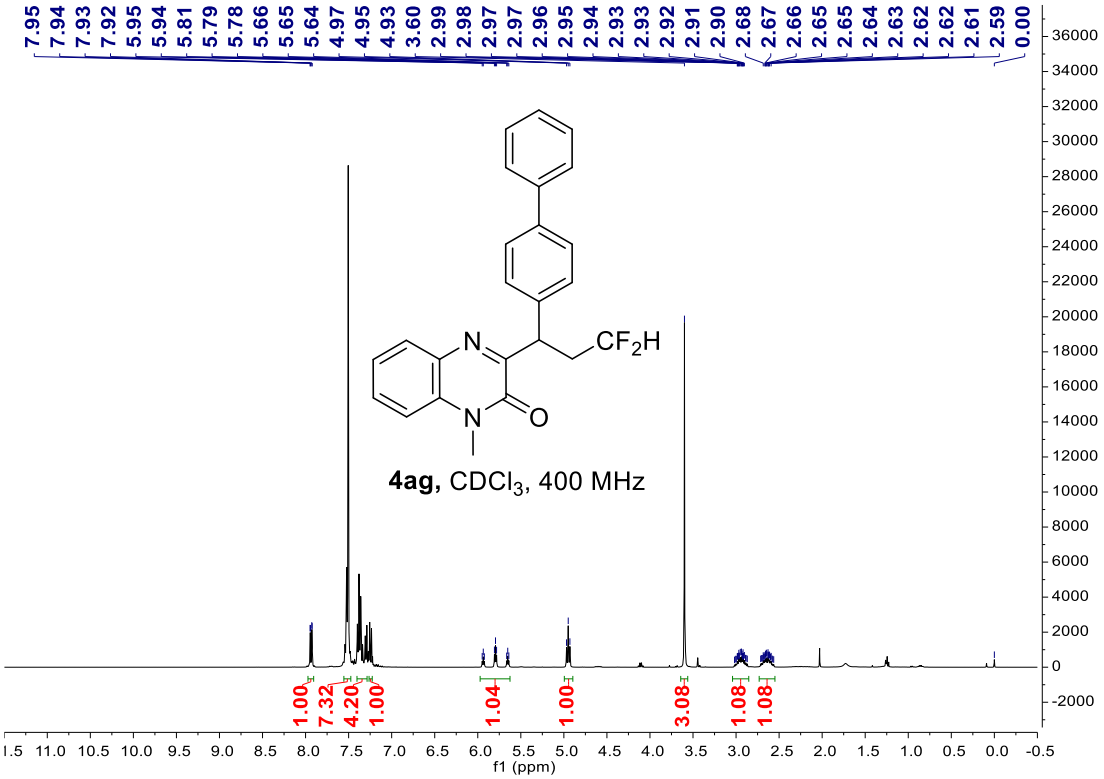
3-(3,3-difluoro-1-(4-(trimethylsilyl)phenyl)propyl)-1-methylquinoxalin-2(1*H*)-one **(4af)**

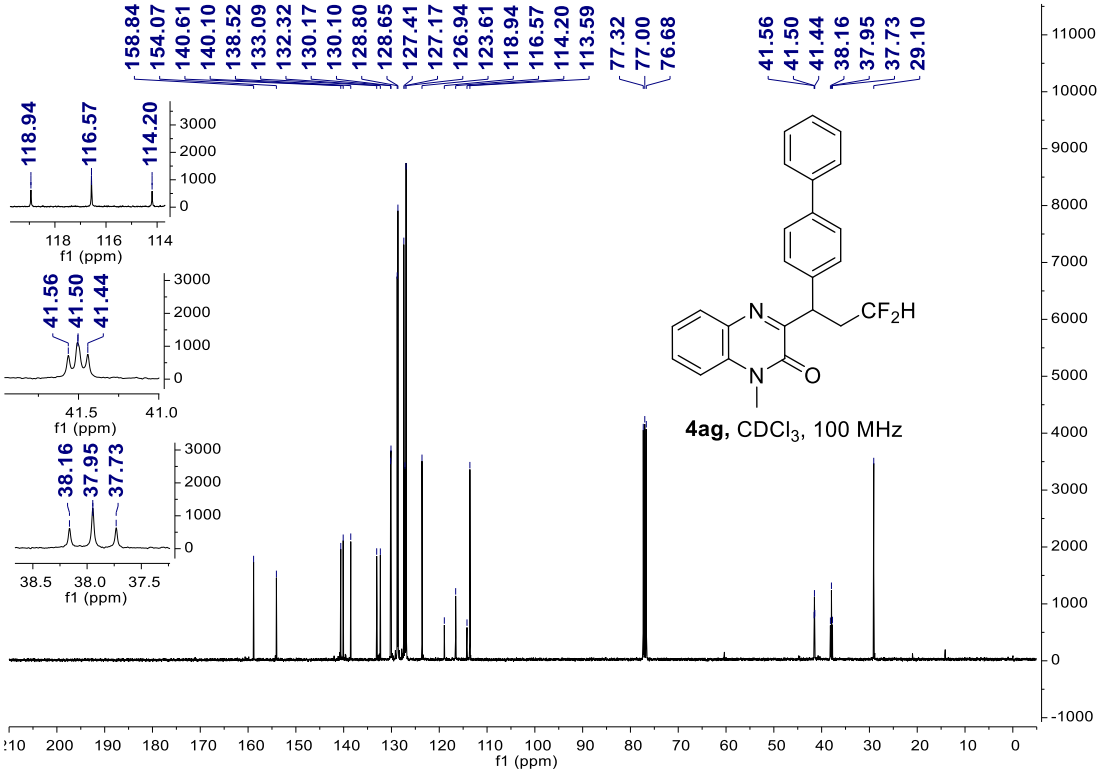


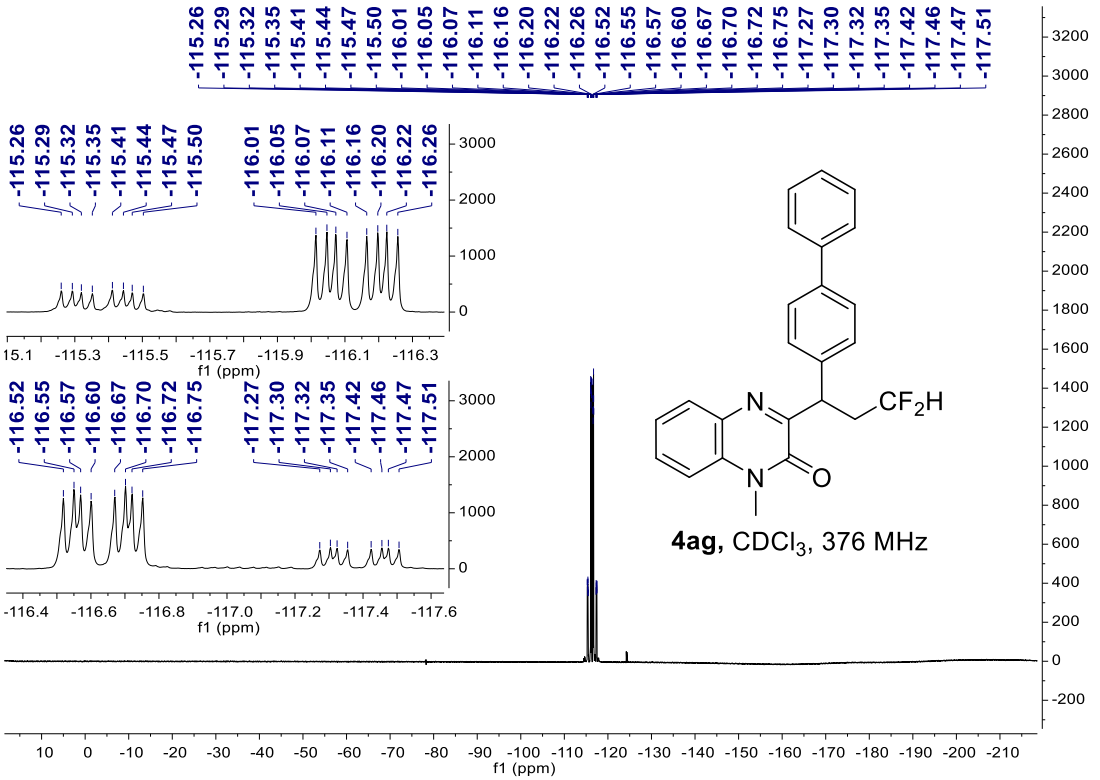

158.92
154.09
139.97
139.21
133.74
133.09
132.34
130.16
130.12
127.75
123.61
118.96
116.59
114.22
113.57
77.32
77.00
76.68
41.83
41.77
41.71
38.13
37.91
37.70
29.13
-1.18
f1 (ppm)
Si
N
O
CF2H
4af, CDCl3, 100 MHz


-115.42
-115.45
-115.48
-115.51
-115.57
-115.60
-115.63
-115.66
-116.17
-116.20
-116.23
-116.26
-116.32
-116.35
-116.38
-116.41
-116.64
-116.67
-116.69
-116.73
-116.79
-116.83
-116.85
-116.88
-117.40
-117.43
-117.45
-117.48
-117.55
-117.58
-117.60
-117.63
f1 (ppm)
Si
N
O
CF2H
4af, CDCl3, 376 MHz

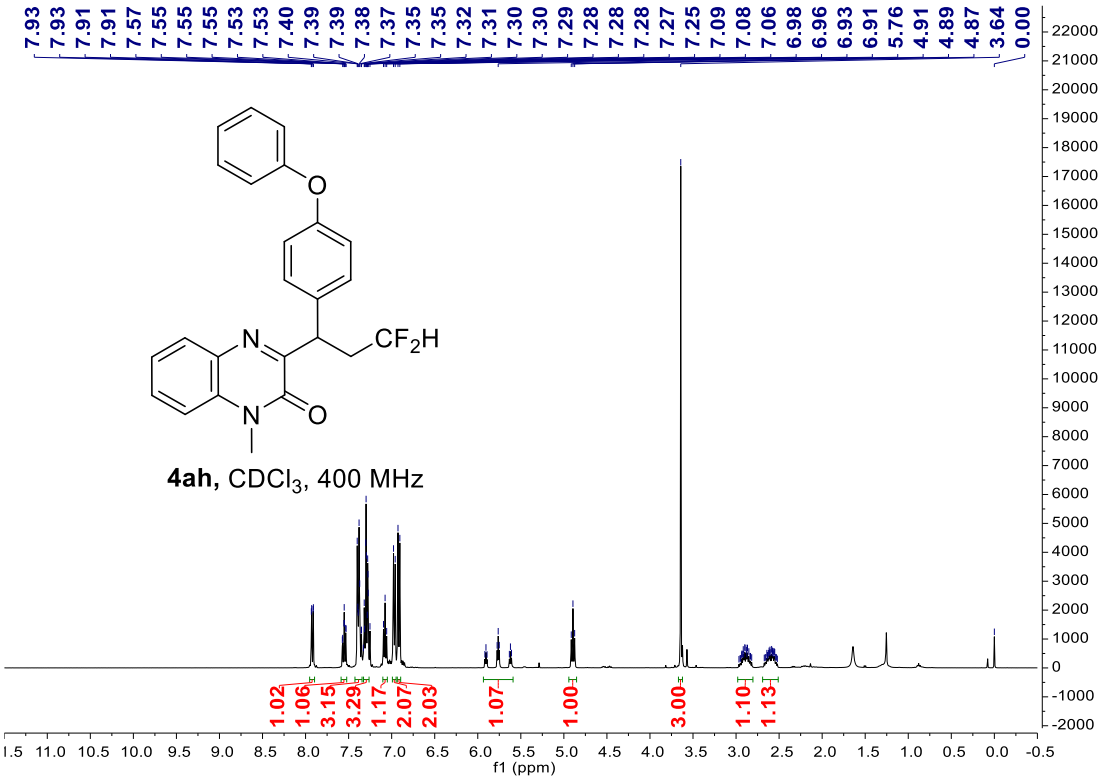
3-(1-([1,1'-biphenyl]-4-yl)-3,3-difluoropropyl)-1-methylquinoxalin-2(1*H*)-one **(4ag)**

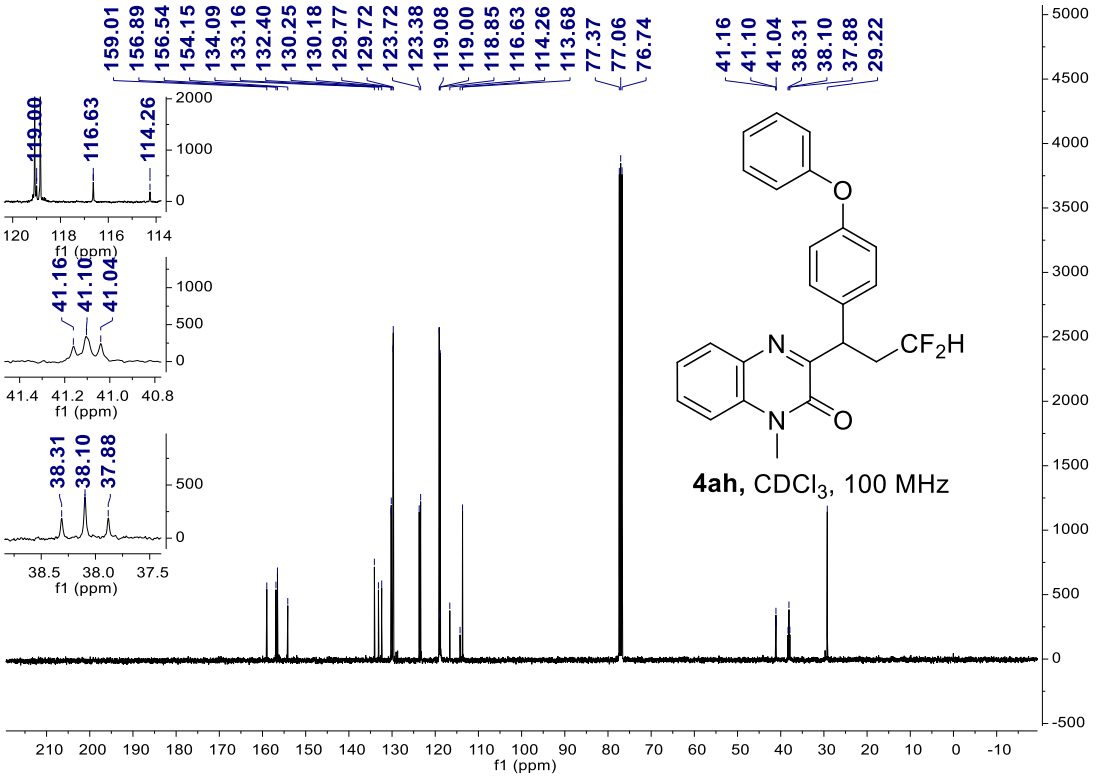


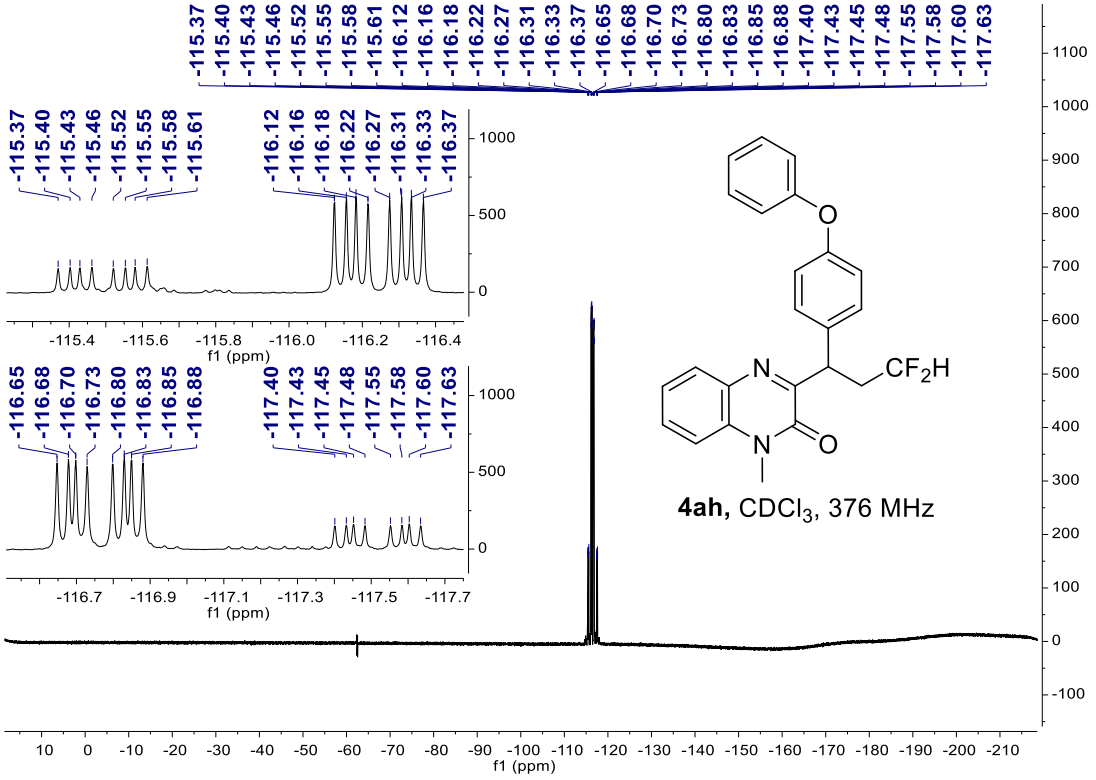




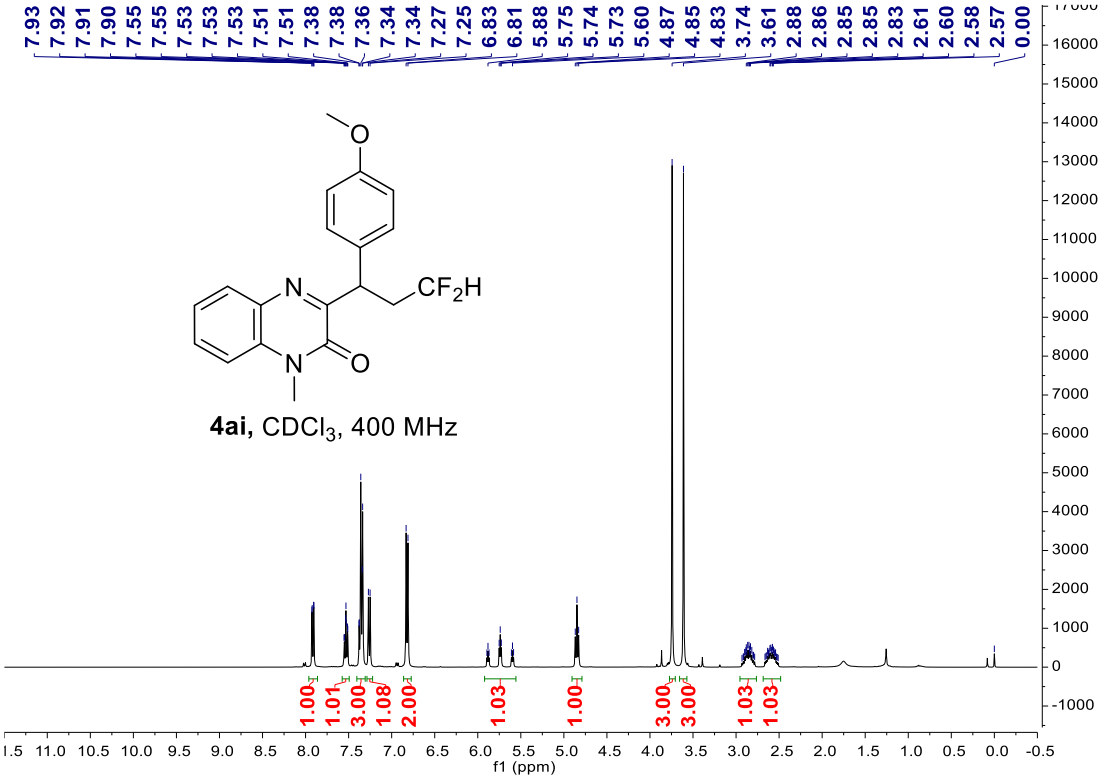
3-(3,3-difluoro-1-(4-phenoxyphenyl)propyl)-1-methylquinoxalin-2(1*H*)-one **(4ah)**

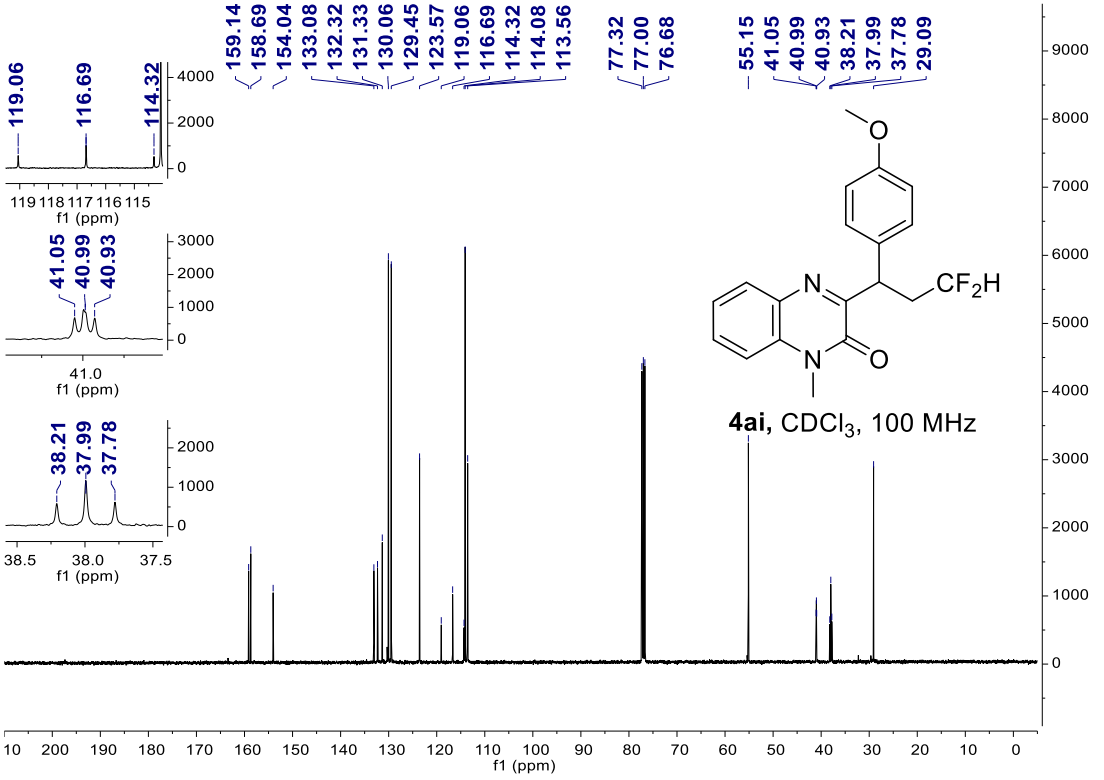


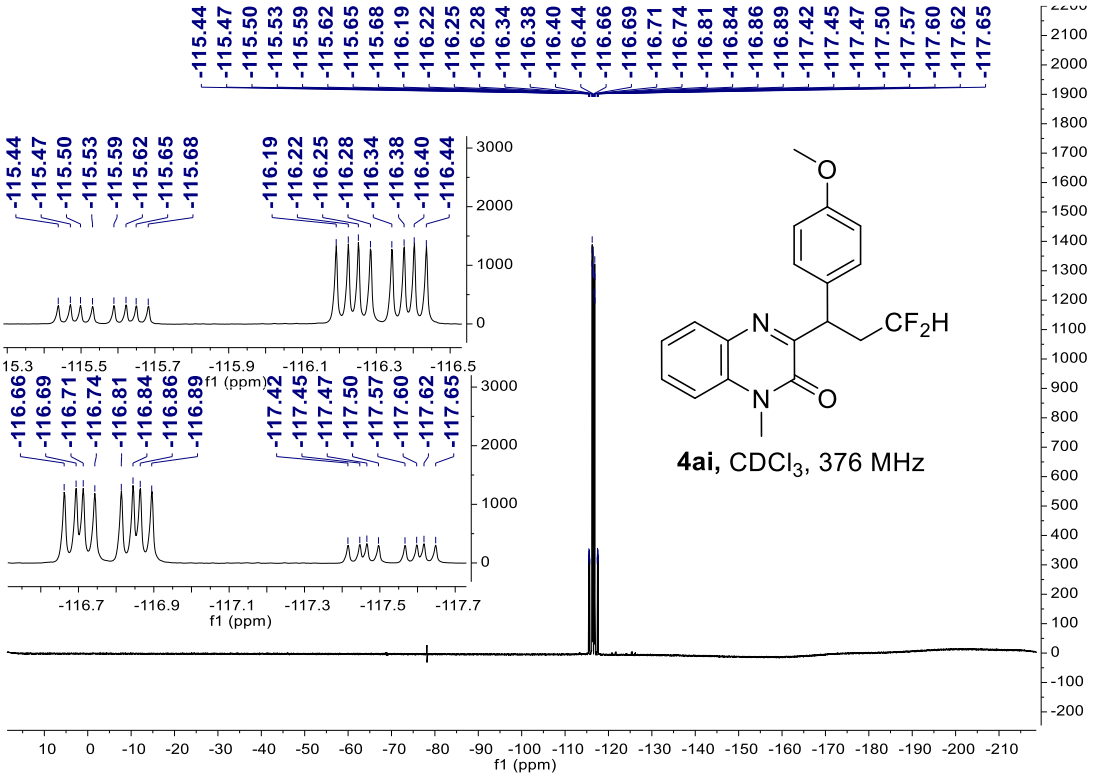

159.01 156.89 156.54 154.15 134.09 133.16 132.40 130.25 130.18 129.77 129.72 123.72 123.38 119.08 119.00 118.85 116.63 114.26 113.68 77.37 77.06 76.74
41.16 41.10 41.04 38.31 38.10 37.88 29.22
119.00 116.63 114.26
41.16 41.10 41.04
38.31 38.10 37.88
f1 (ppm)
O
N
CF2H
O
N
4ah, CDCl3, 100 MHz


-115.37 -115.40 -115.43 -115.46 -115.52 -115.55 -115.58 -115.61 -116.12 -116.16 -116.18 -116.22 -116.27 -116.31 -116.33 -116.37 -116.65 -116.68 -116.70 -116.73 -116.80 -116.83 -116.85 -116.88 -117.40 -117.43 -117.45 -117.48 -117.55 -117.58 -117.60 -117.63
f1 (ppm)
O
N
CF2H
O
N
4ah, CDCl3, 376 MHz

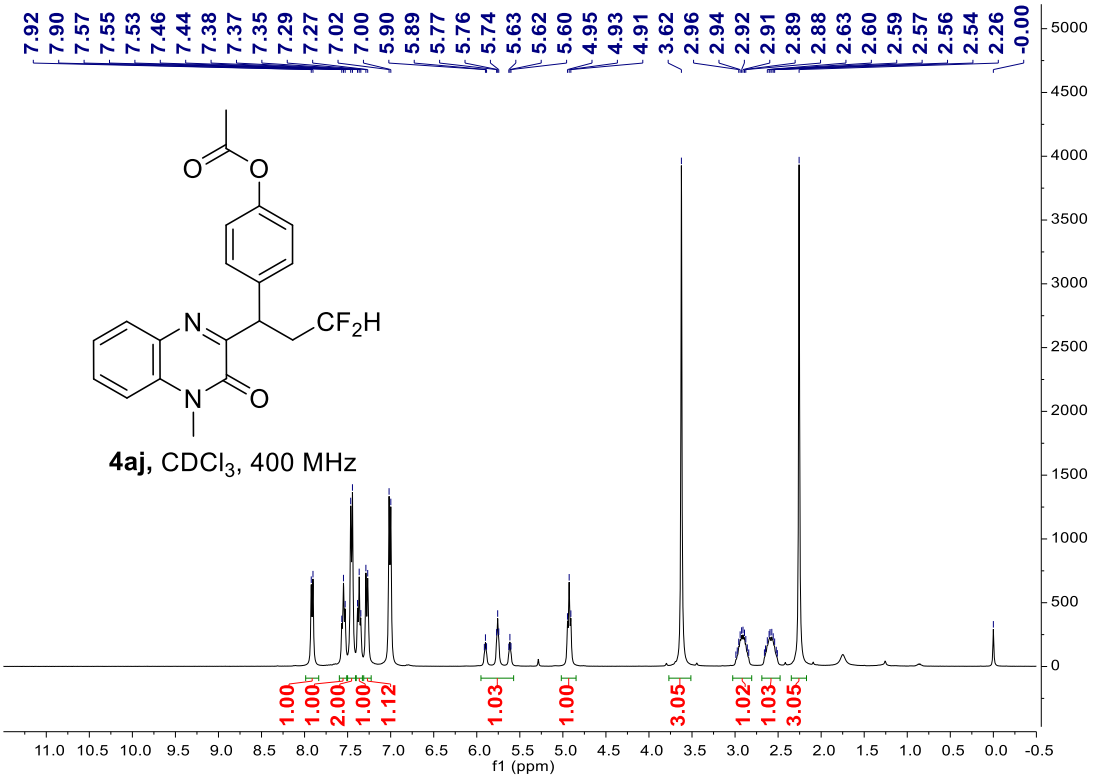
3-(3,3-difluoro-1-(4-methoxyphenyl)propyl)-1-methylquinoxalin-2(1*H*)-one **(4ai)**

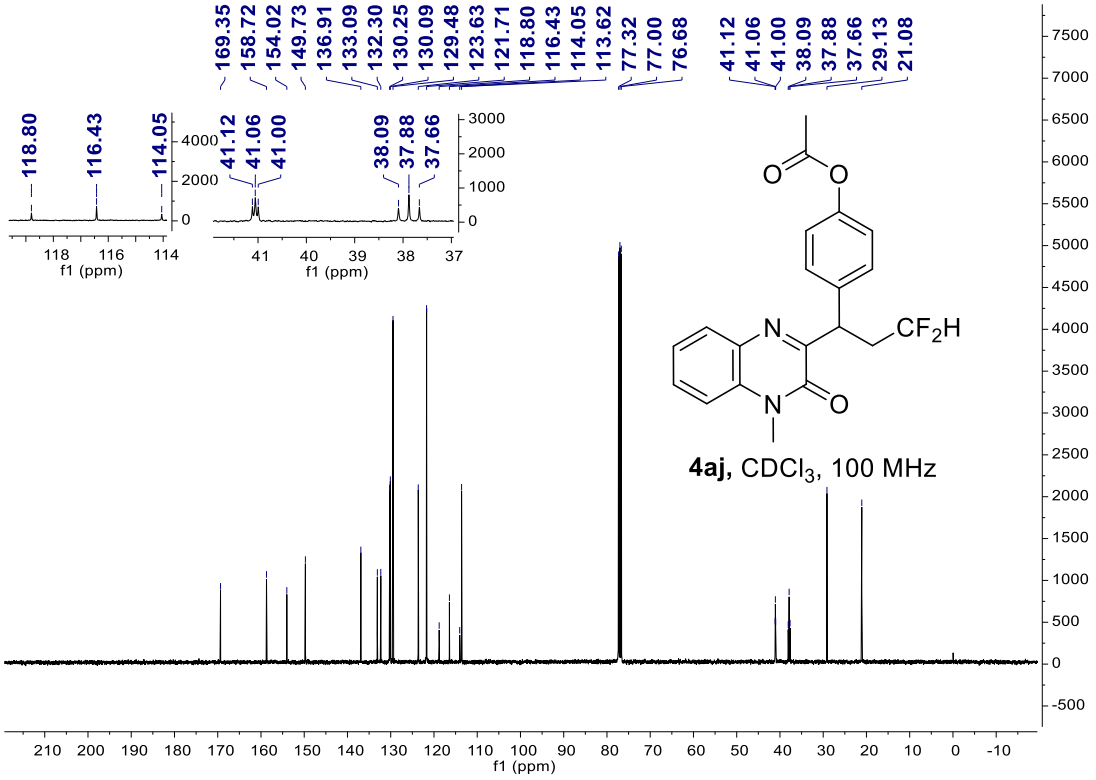






4-(3,3-difluoro-1-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)propyl)phenyl acetate **(4aj)**




169.35
158.72
154.02
149.73
136.91
133.09
132.30
130.25
130.09
129.48
123.63
121.71
118.80
116.43
114.05
113.62
77.32
77.00
76.68
41.12
41.06
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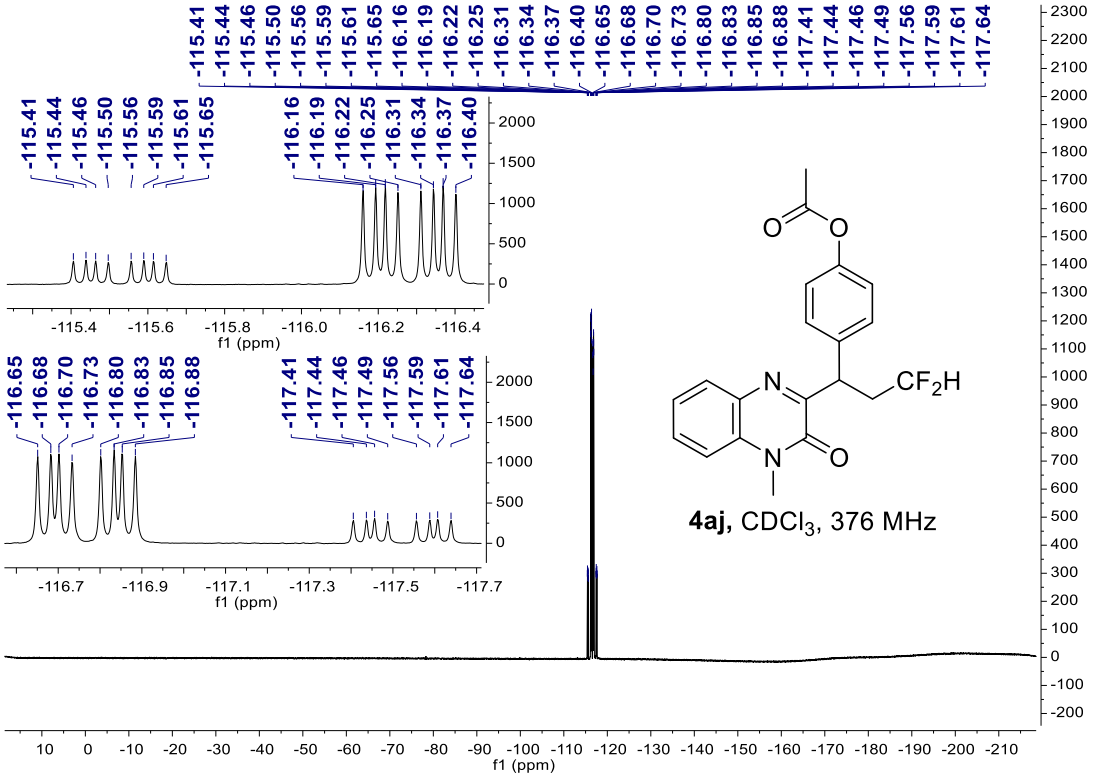
38.09
37.88
37.66
29.13
21.08
f1 (ppm)
CF2H
4aj, CDCl3, 100 MHz


-115.41
-115.44
-115.46
-115.50
-115.56
-115.59
-115.61
-115.65
-116.16
-116.19
-116.22
-116.25
-116.31
-116.34
-116.37
-116.40
-116.65
-116.68
-116.70
-116.73
-116.80
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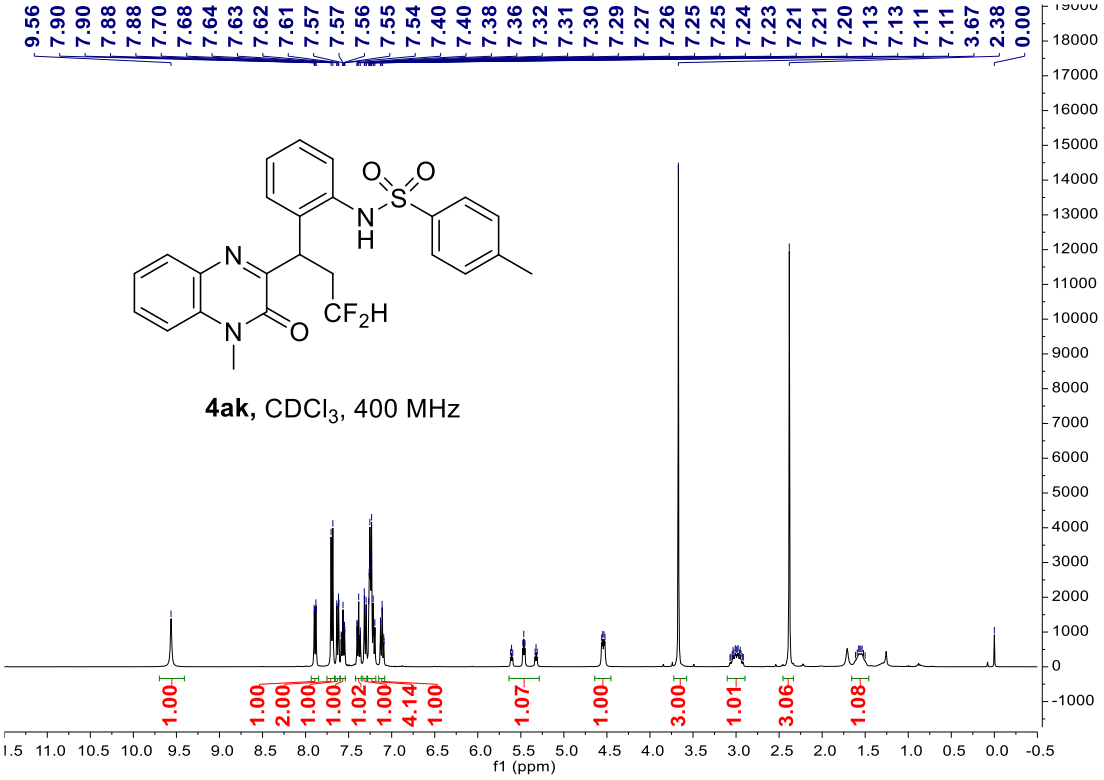
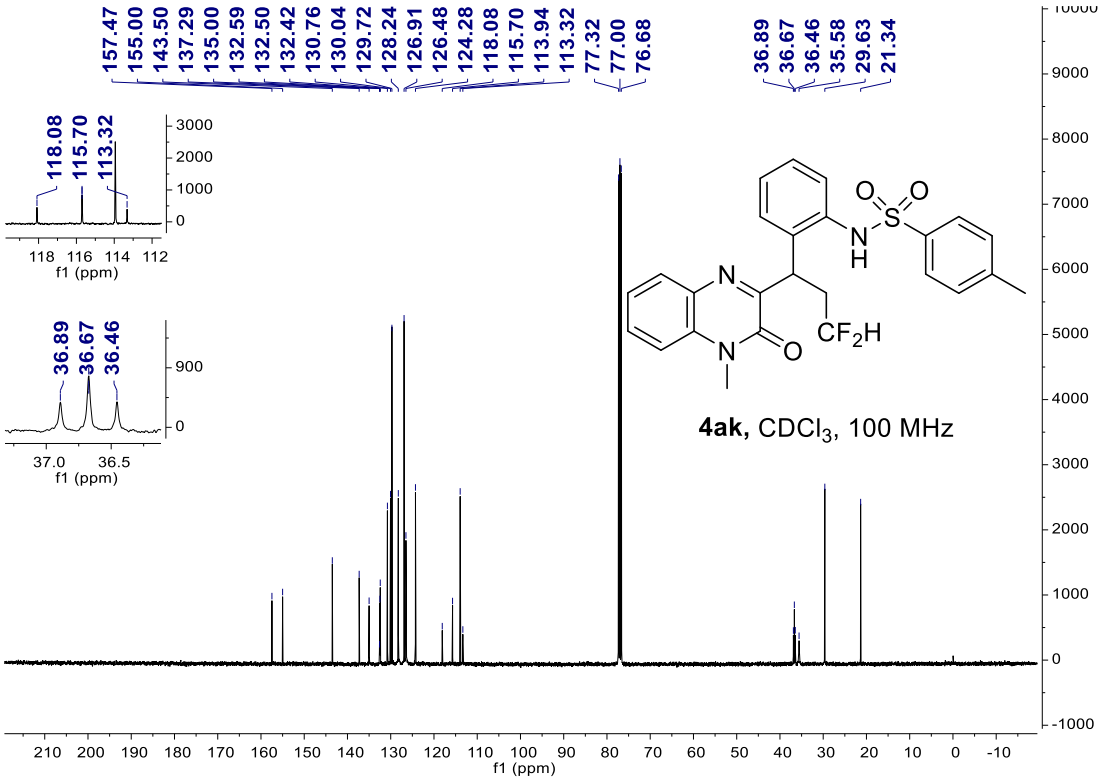
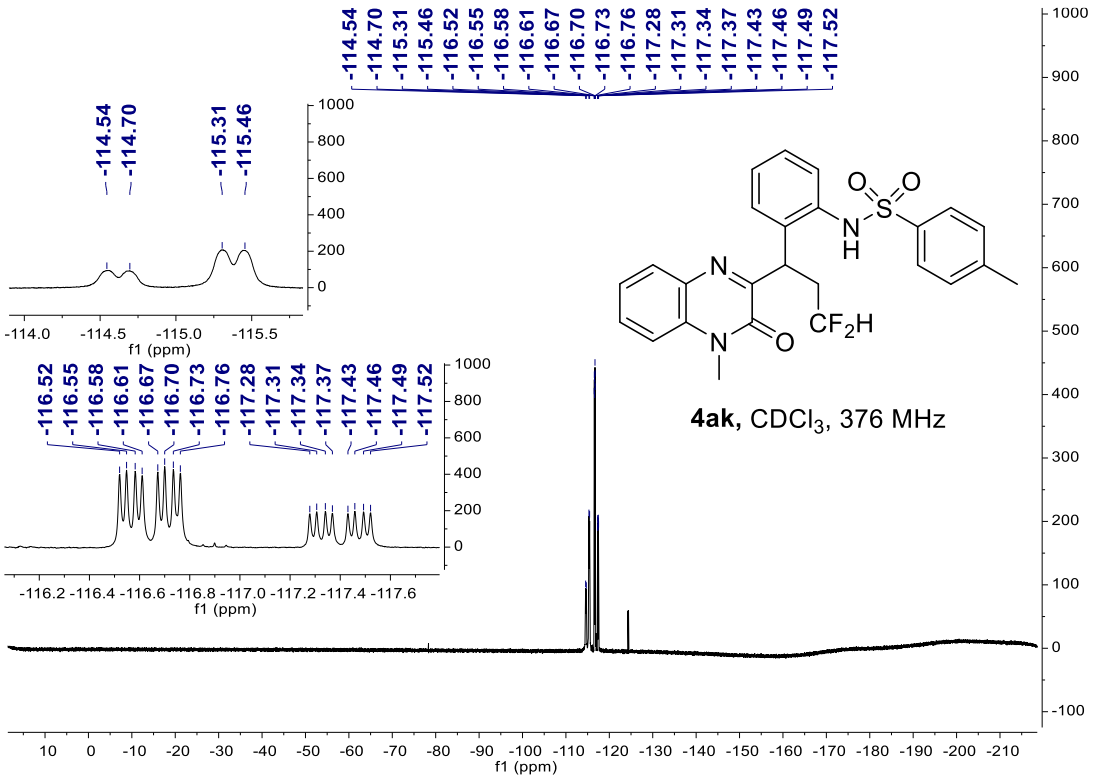
-116.85
-116.88
-117.41
-117.44
-117.46
-117.49
-117.56
-117.59
-117.61
-117.64
f1 (ppm)
CF2H
4aj, CDCl3, 376 MHz

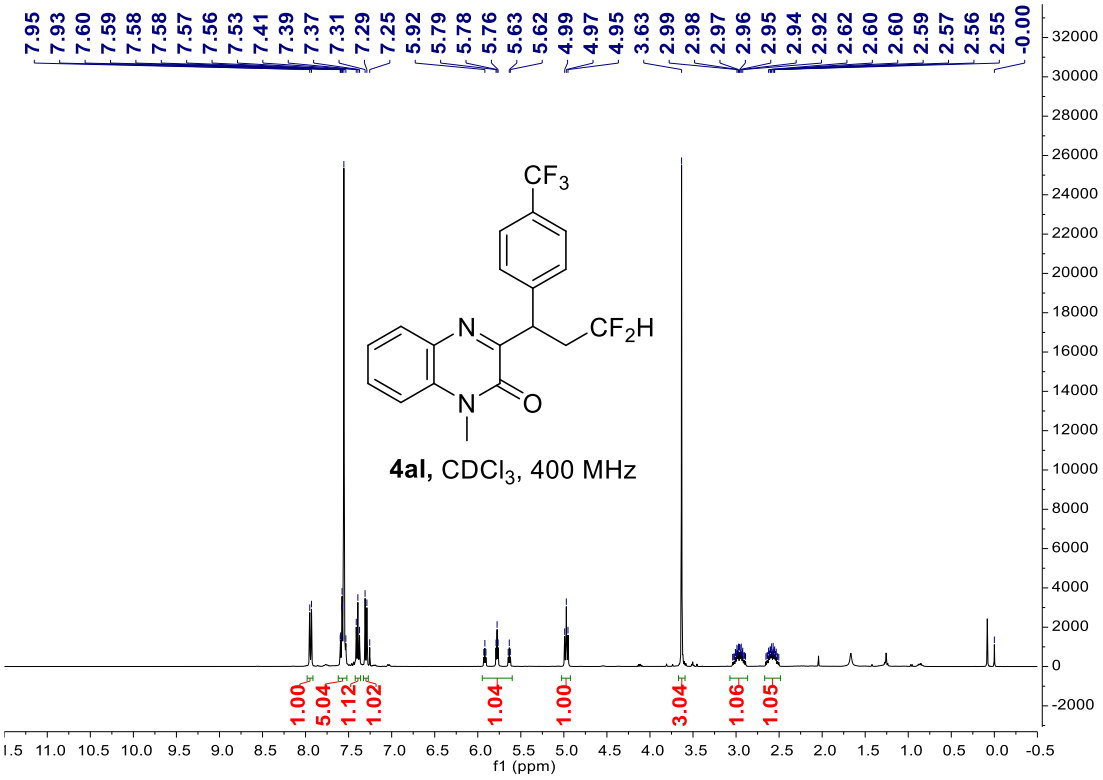
N-(2-(3,3-difluoro-1-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)propyl)phenyl)-4-methylbenzenesulfonamide **(4ak)**

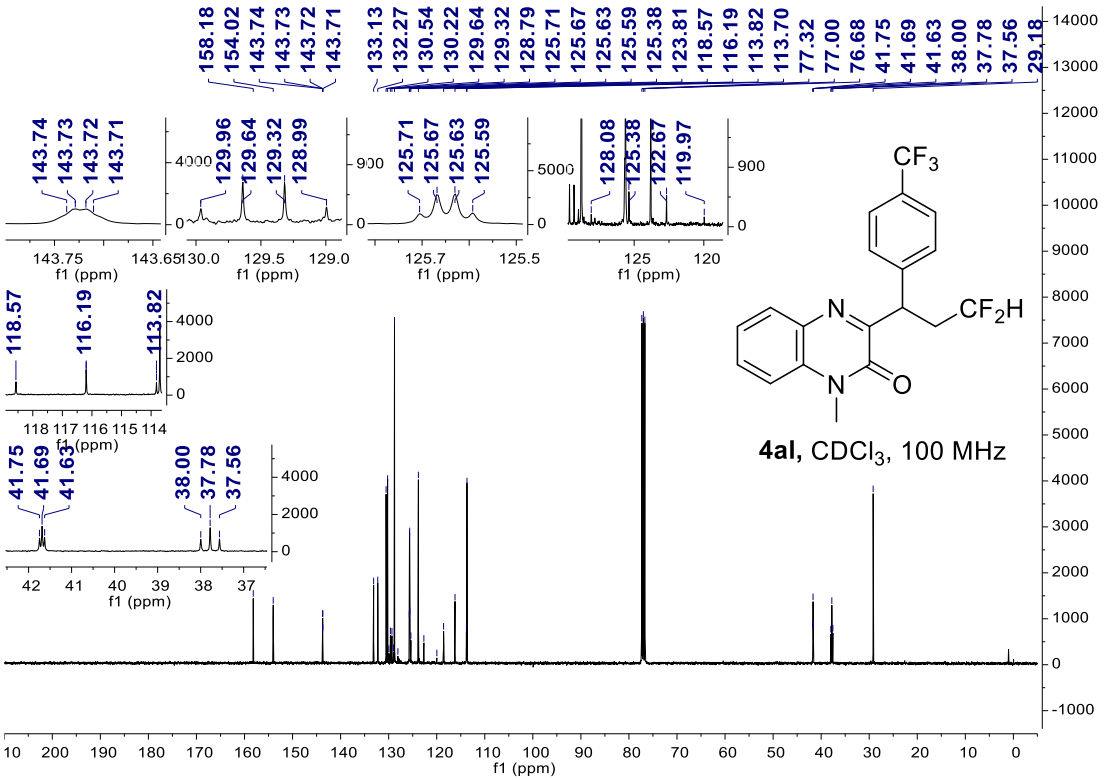


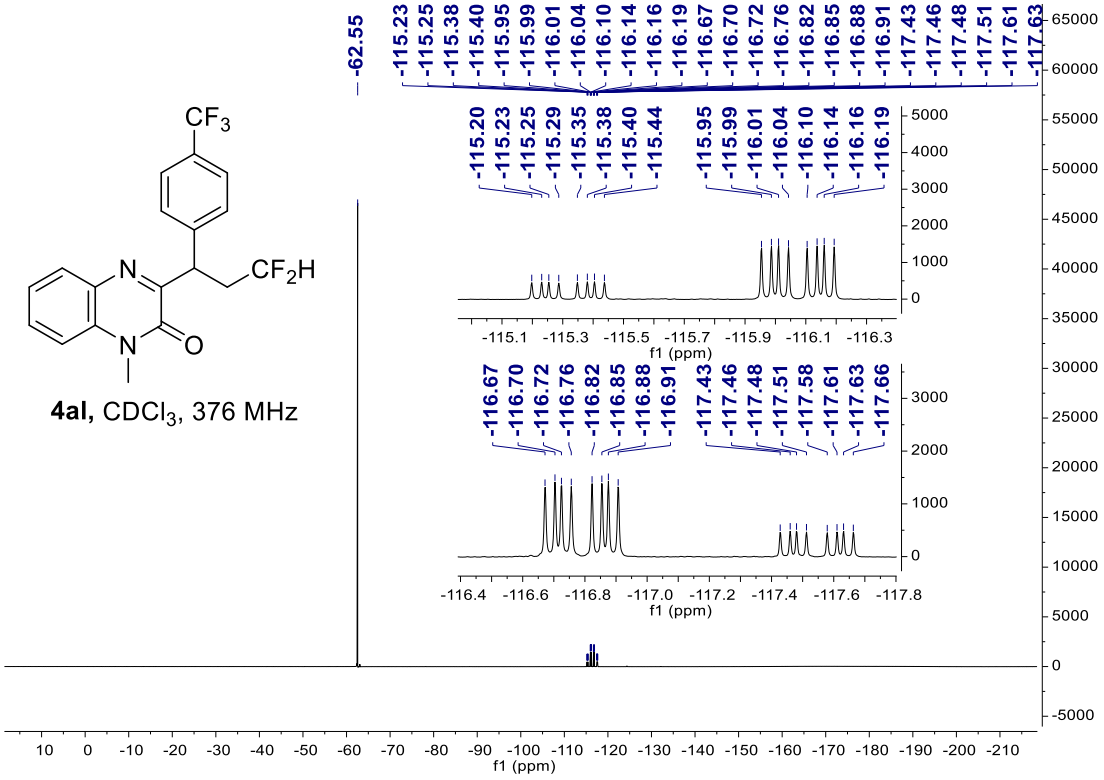




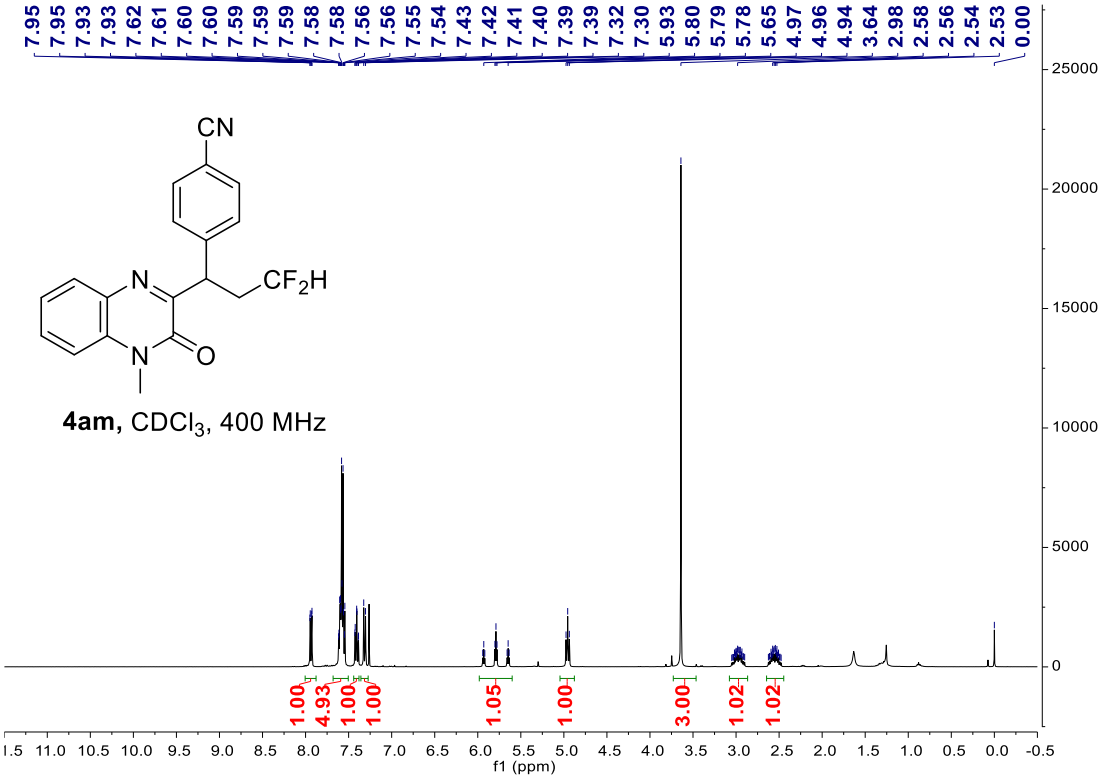
3-(3,3-difluoro-1-(4-(trifluoromethyl)phenyl)propyl)-1-methylquinoxalin-2(1*H*)-one **(4al)**

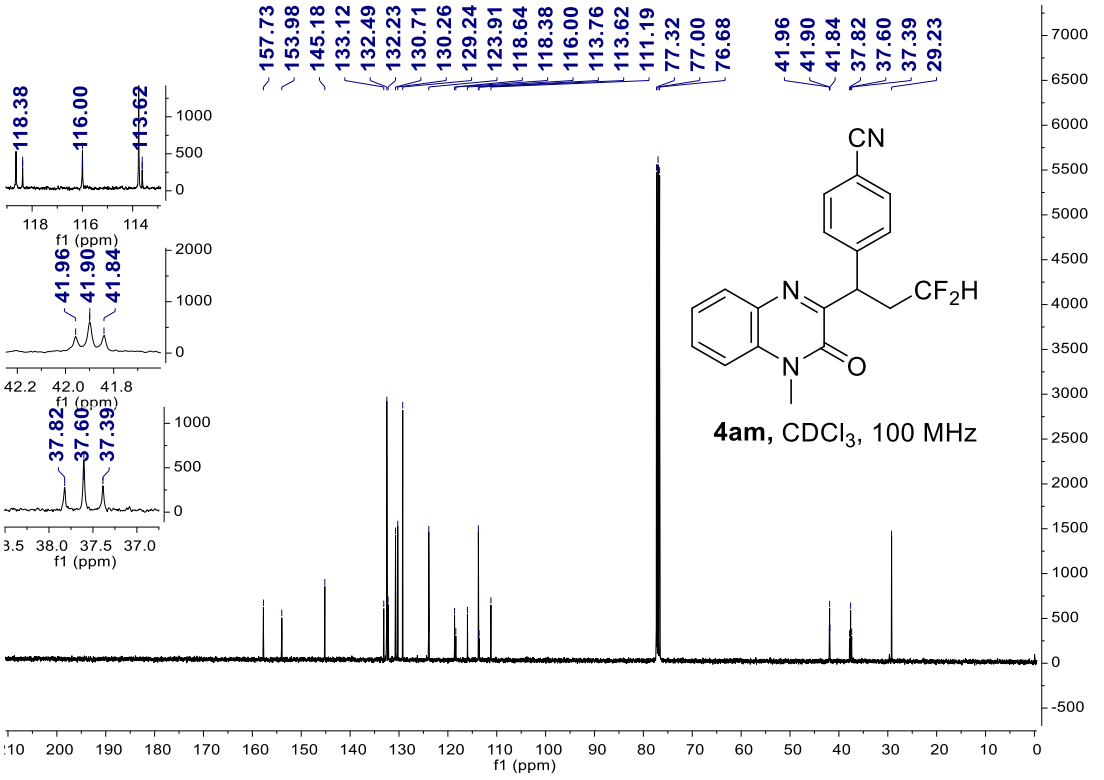


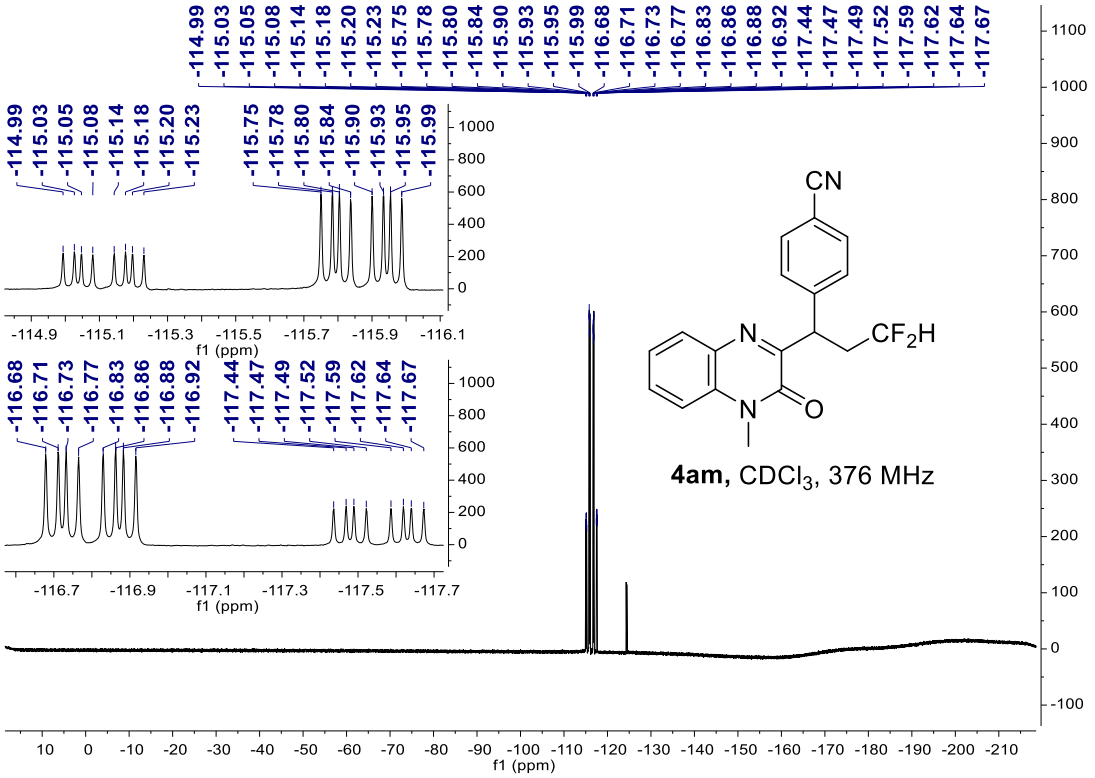

158.18 154.02 143.74 143.73 143.72 143.71 133.13 132.27 130.54 130.22 129.64 129.32 128.79 125.71 125.67 125.63 125.59 125.38 123.81 118.57 116.19 113.82 113.70 77.32 77.00 76.68 41.75 41.69 41.63 38.00 37.78 37.56 29.18
143.74 143.73 143.72 143.71
129.96 129.64 129.32 128.99
125.71 125.67 125.63 125.59
128.08 125.38 122.67 119.97
118.57 116.19 113.82
41.75 41.69 41.63 38.00 37.78 37.56
f1 (ppm)
CF3
CF2H
N
O
4al, CDCl3, 100 MHz


-62.55
-115.23 -115.25 -115.38 -115.40 -115.95 -115.99 -116.01 -116.04 -116.10 -116.14 -116.16 -116.19 -116.67 -116.70 -116.72 -116.76 -116.82 -116.85 -116.88 -116.91 -117.43 -117.46 -117.48 -117.51 -117.61 -117.63
-115.20 -115.23 -115.25 -115.29 -115.35 -115.38 -115.40 -115.44 -115.95 -115.99 -116.01 -116.04 -116.10 -116.14 -116.16 -116.19
-116.67 -116.70 -116.72 -116.76 -116.82 -116.85 -116.88 -116.91 -117.43 -117.46 -117.48 -117.51 -117.58 -117.61 -117.63 -117.66
f1 (ppm)
CF3
CF2H
N
O
4al, CDCl3, 376 MHz

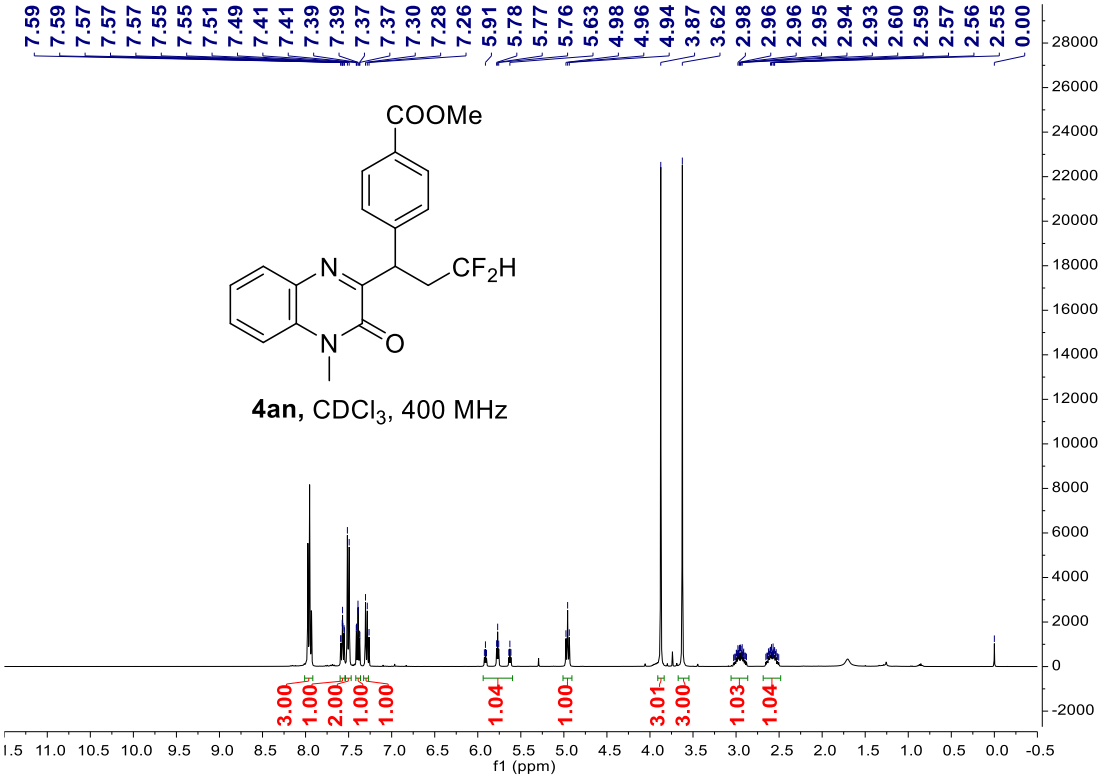
4-(3,3-difluoro-1-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)propyl)benzonitrile **(4am)**

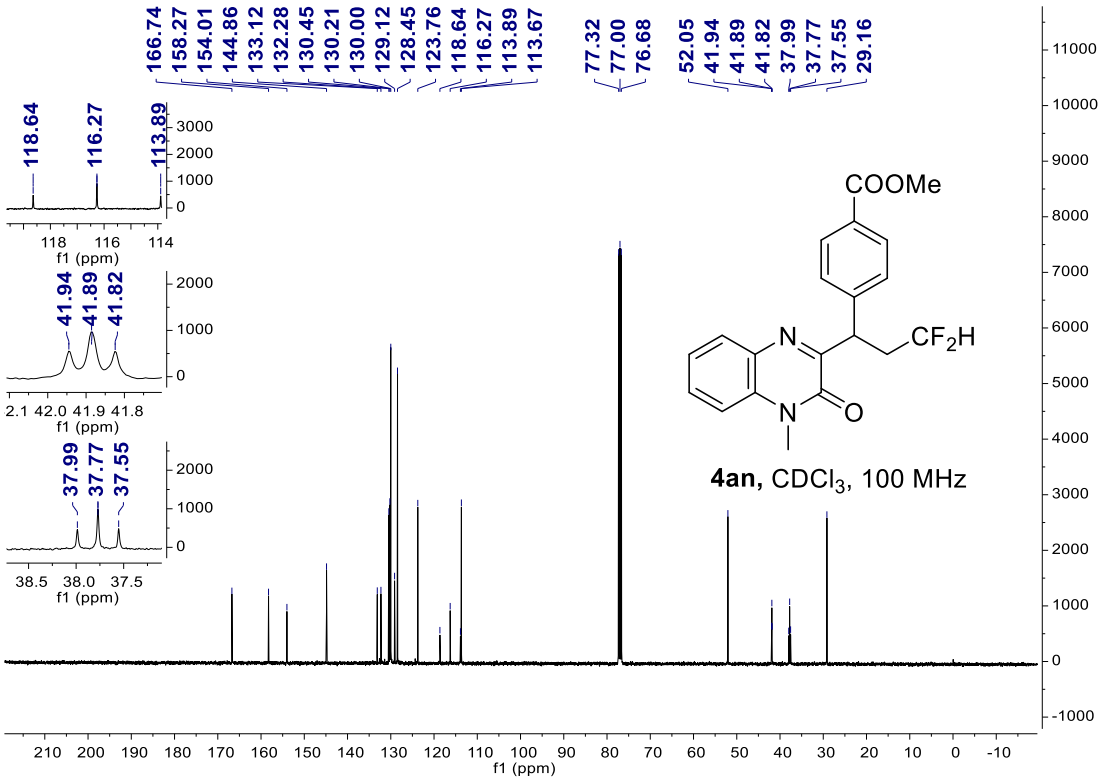


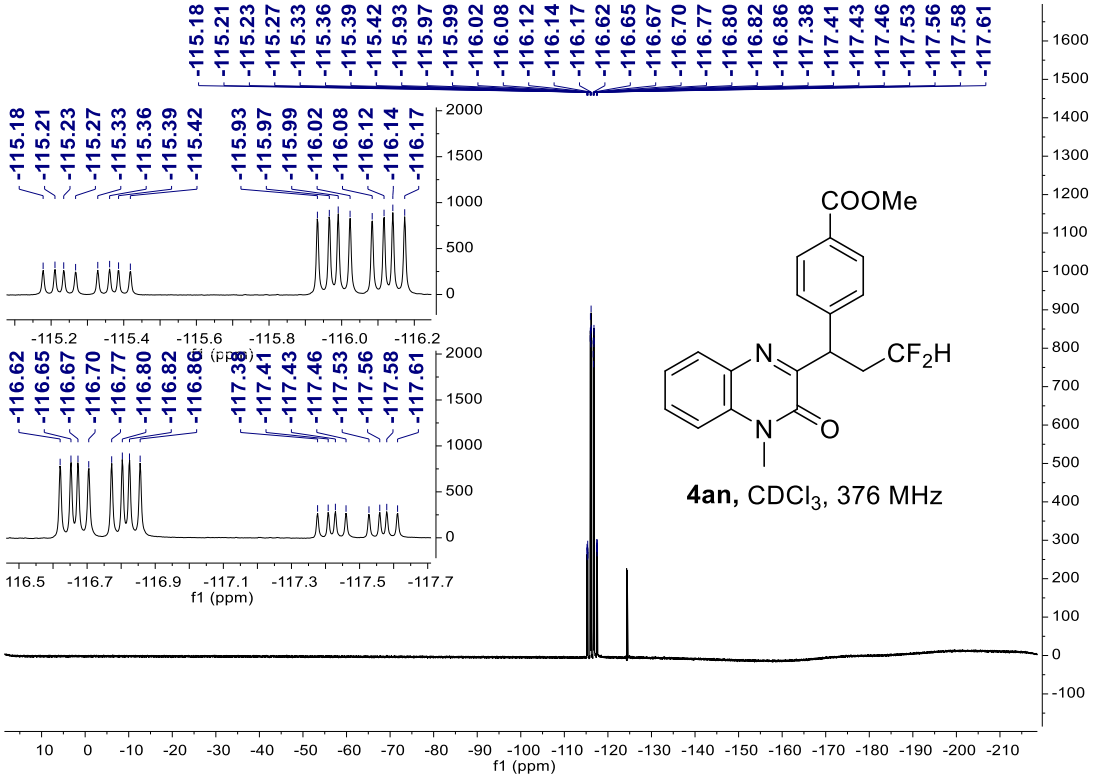




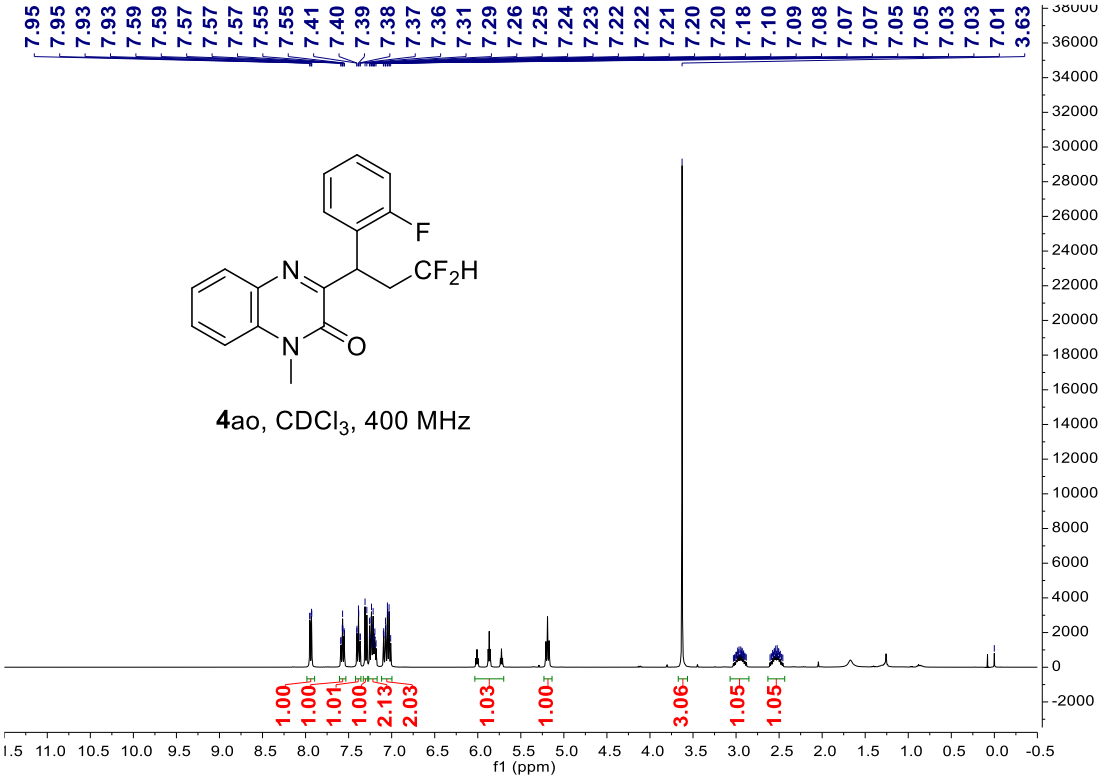
methyl 4-(3,3-difluoro-1-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)propyl)benzoate **(4an)**

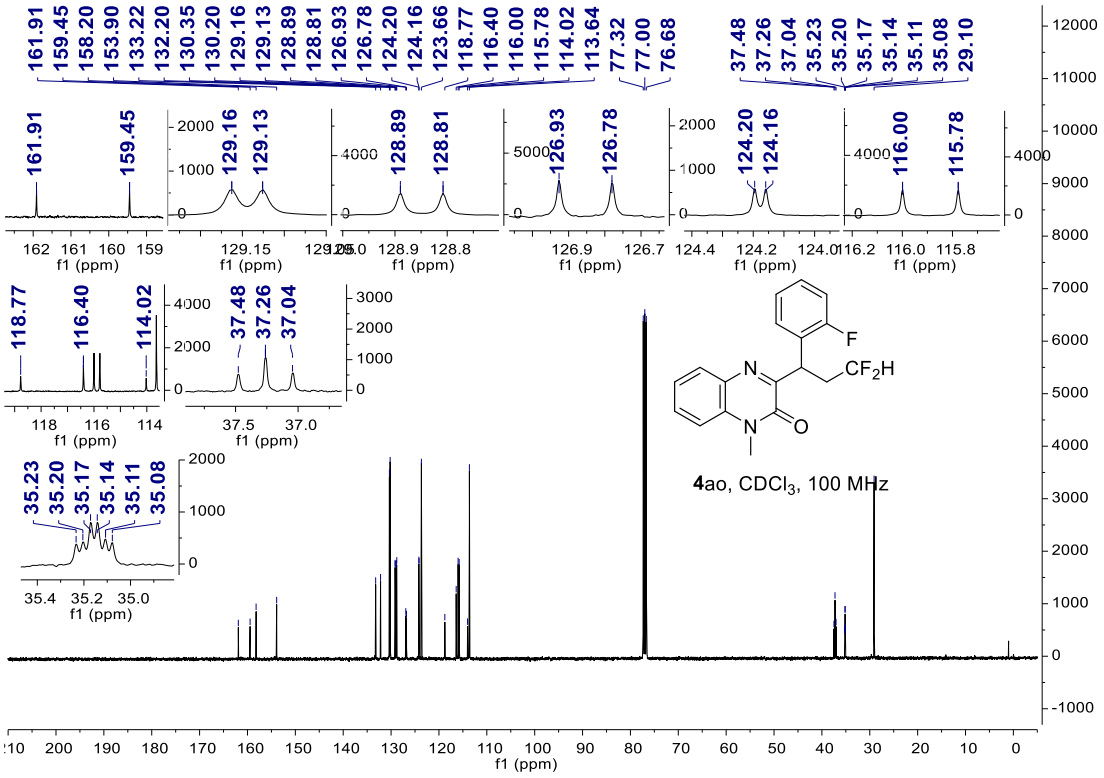


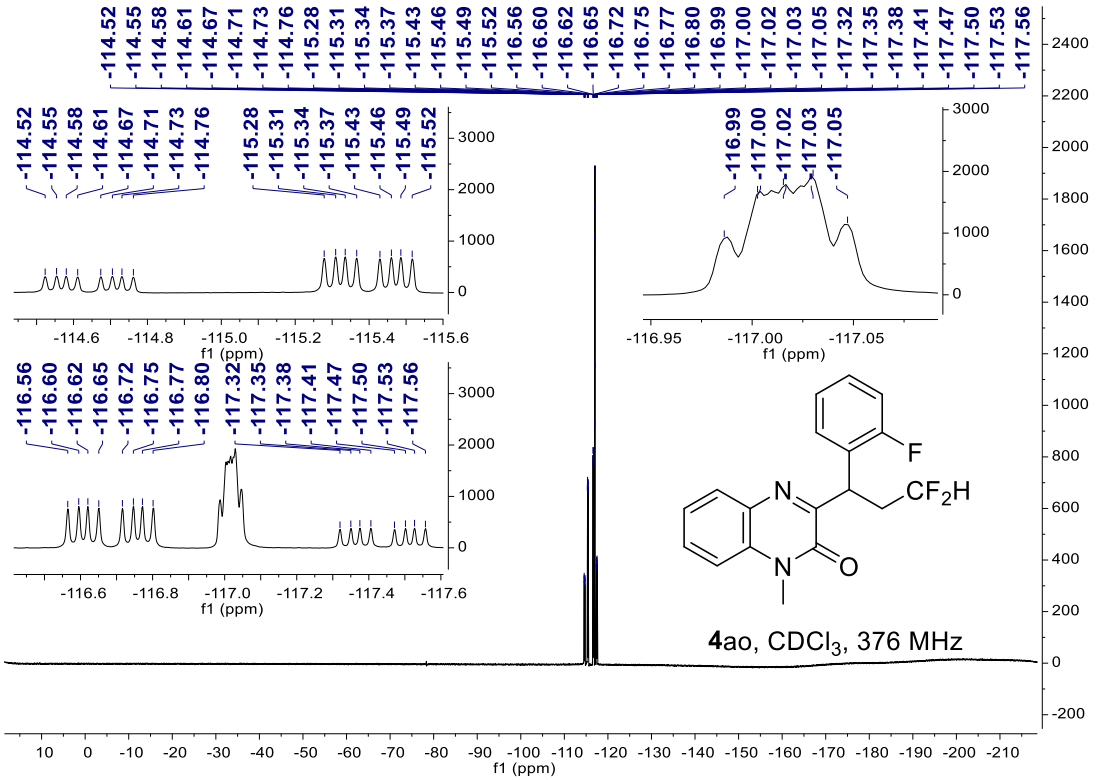

166.74
158.27
154.01
144.86
133.12
132.28
130.45
130.21
130.00
129.12
128.45
123.76
118.64
116.27
113.89
77.32
77.00
76.68
52.05
41.94
41.89
41.82
37.99
37.77
37.55
29.16
118.64
116.27
113.89
41.94
41.89
41.82
37.99
37.77
37.55
f1 (ppm)
COOMe
N
CF2H
N
O
4an, CDCl3, 100 MHz


-115.18
-115.21
-115.23
-115.27
-115.33
-115.36
-115.39
-115.42
-115.93
-115.97
-115.99
-116.02
-116.08
-116.12
-116.14
-116.17
-116.62
-116.65
-116.67
-116.70
-116.77
-116.80
-116.82
-116.86
-117.38
-117.41
-117.43
-117.46
-117.53
-117.56
-117.58
-117.61
f1 (ppm)
COOMe
N
CF2H
N
O
4an, CDCl3, 376 MHz

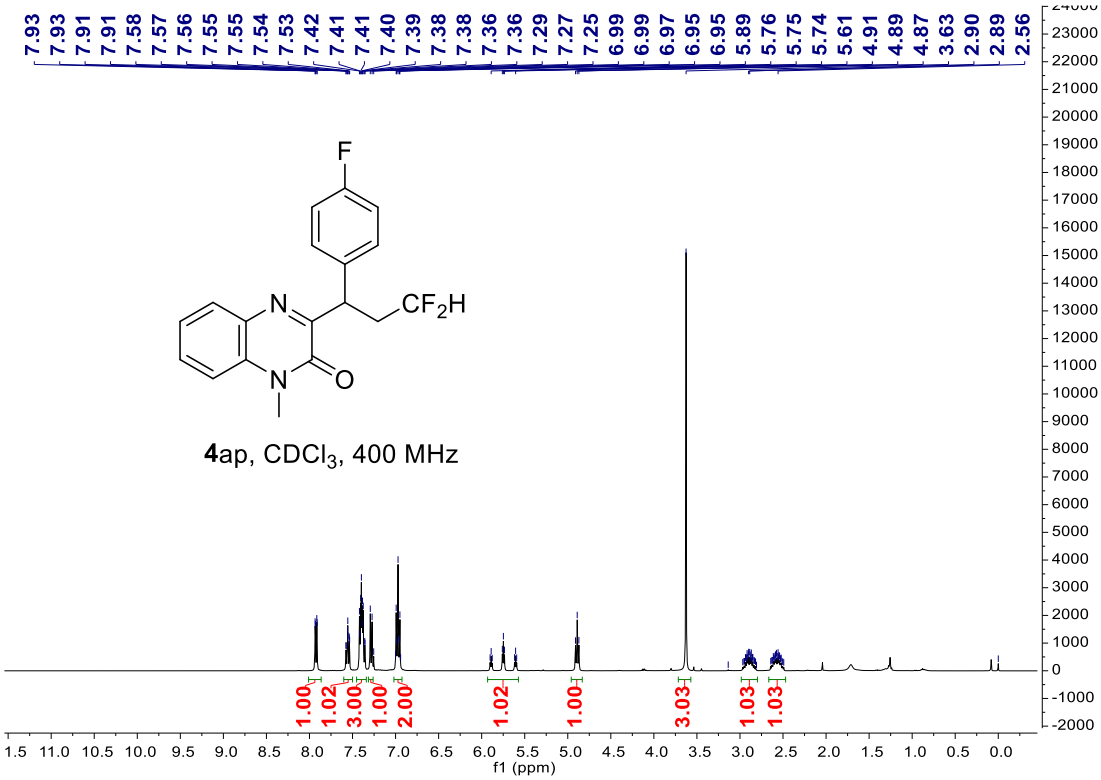
3-(3,3-difluoro-1-(2-fluorophenyl)propyl)-1-methylquinoxalin-2(1*H*)-one **(4ao)**

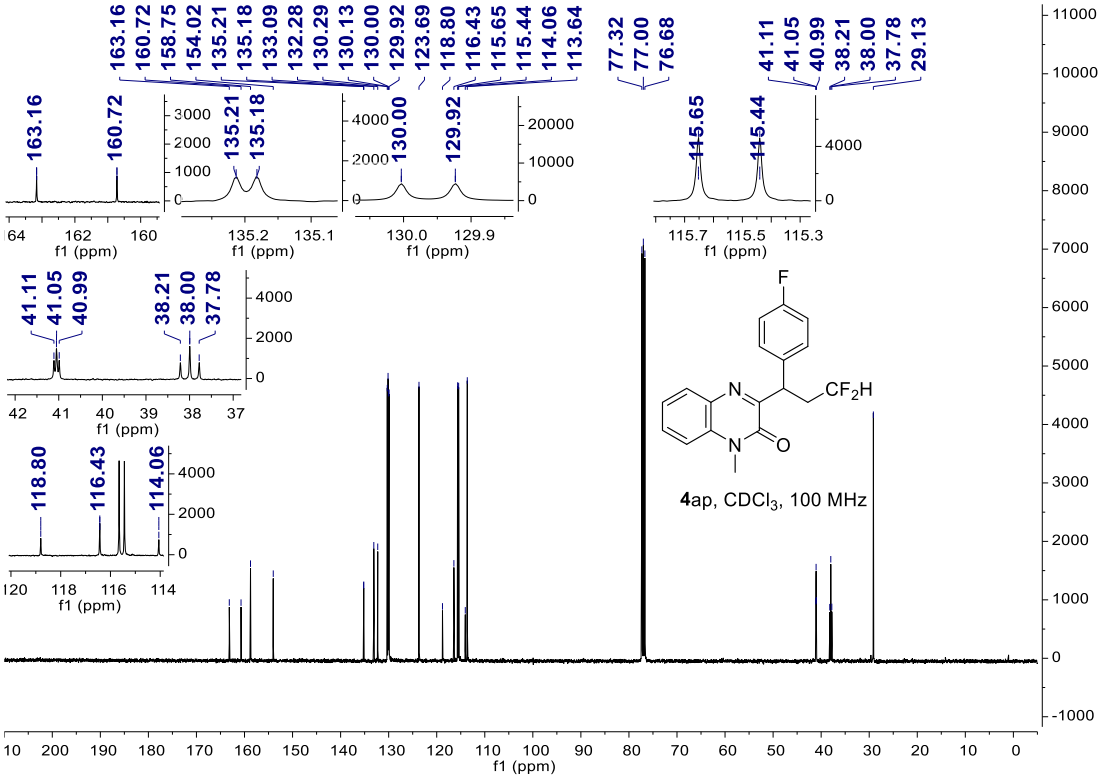


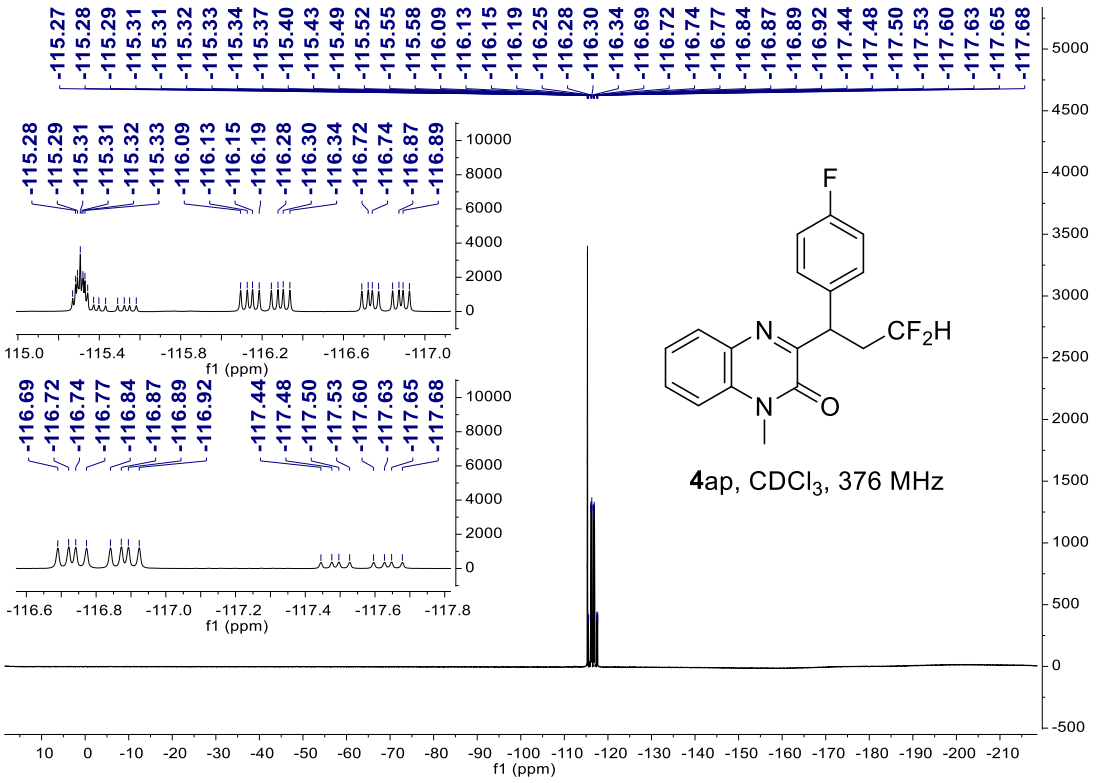




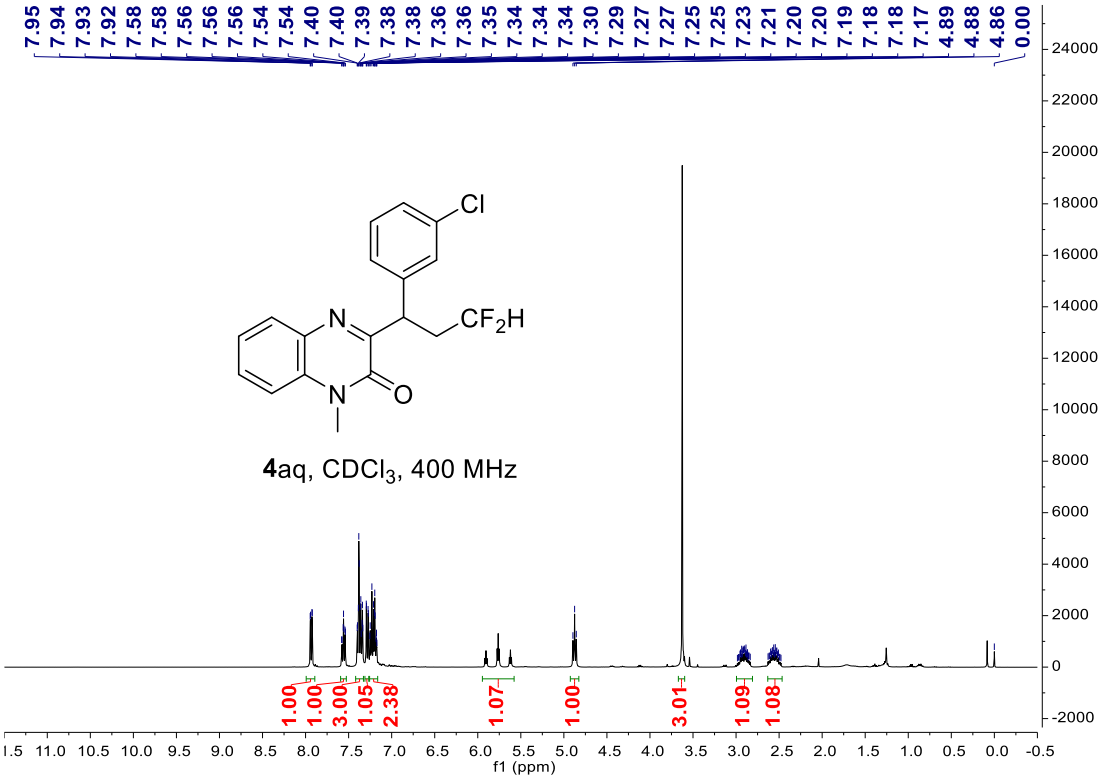
3-(3,3-difluoro-1-(4-fluorophenyl)propyl)-1-methylquinoxalin-2(1*H*)-one **(4ap)**

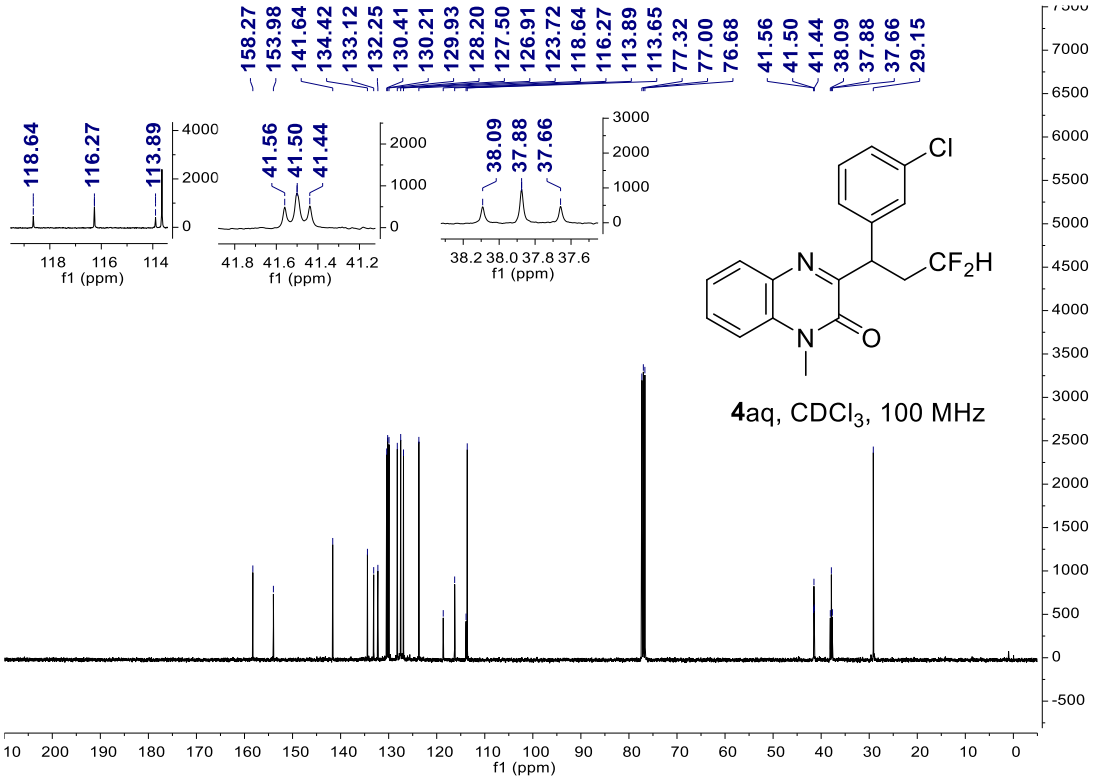


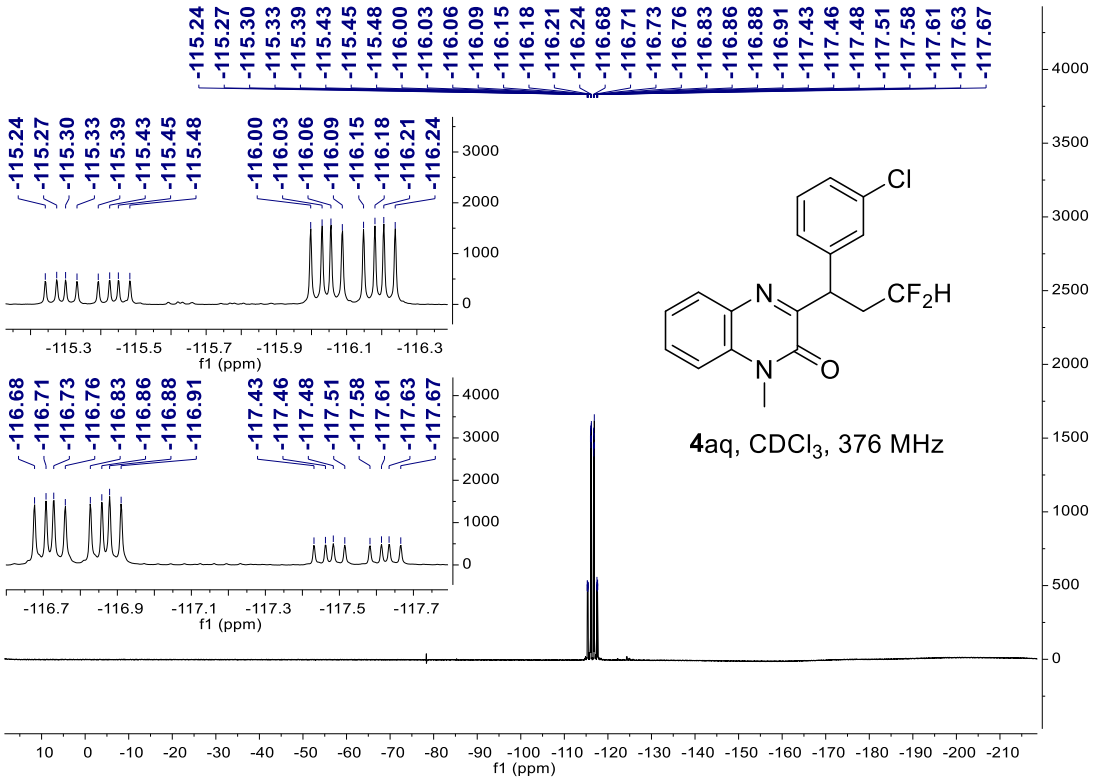

163.16
160.72
158.75
154.02
135.21
135.18
133.09
132.28
130.29
130.13
130.00
129.92
123.69
118.80
116.43
115.65
115.44
114.06
113.64
77.32
77.00
76.68
41.11
41.05
40.99
38.21
38.00
37.78
29.13
f1 (ppm)
F
N
O
CF2H
4ap, CDCl3, 100 MHz


-115.27
-115.28
-115.29
-115.31
-115.32
-115.33
-115.34
-115.37
-115.40
-115.43
-115.49
-115.52
-115.55
-115.58
-116.09
-116.13
-116.15
-116.19
-116.25
-116.28
-116.30
-116.34
-116.69
-116.72
-116.74
-116.77
-116.84
-116.87
-116.89
-116.92
-117.44
-117.48
-117.50
-117.53
-117.60
-117.63
-117.65
-117.68
f1 (ppm)
F
N
O
CF2H
4ap, CDCl3, 376 MHz

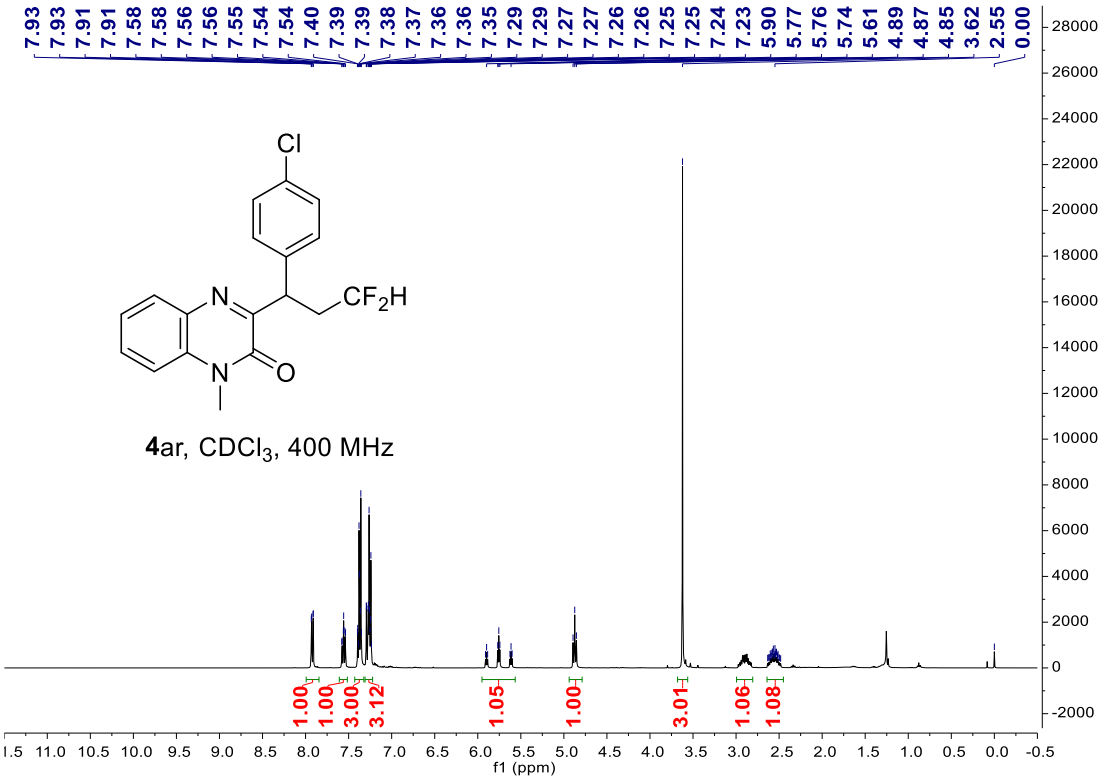
3-(1-(3-chlorophenyl)-3,3-difluoropropyl)-1-methylquinoxalin-2(1*H*)-one **(4aq)**

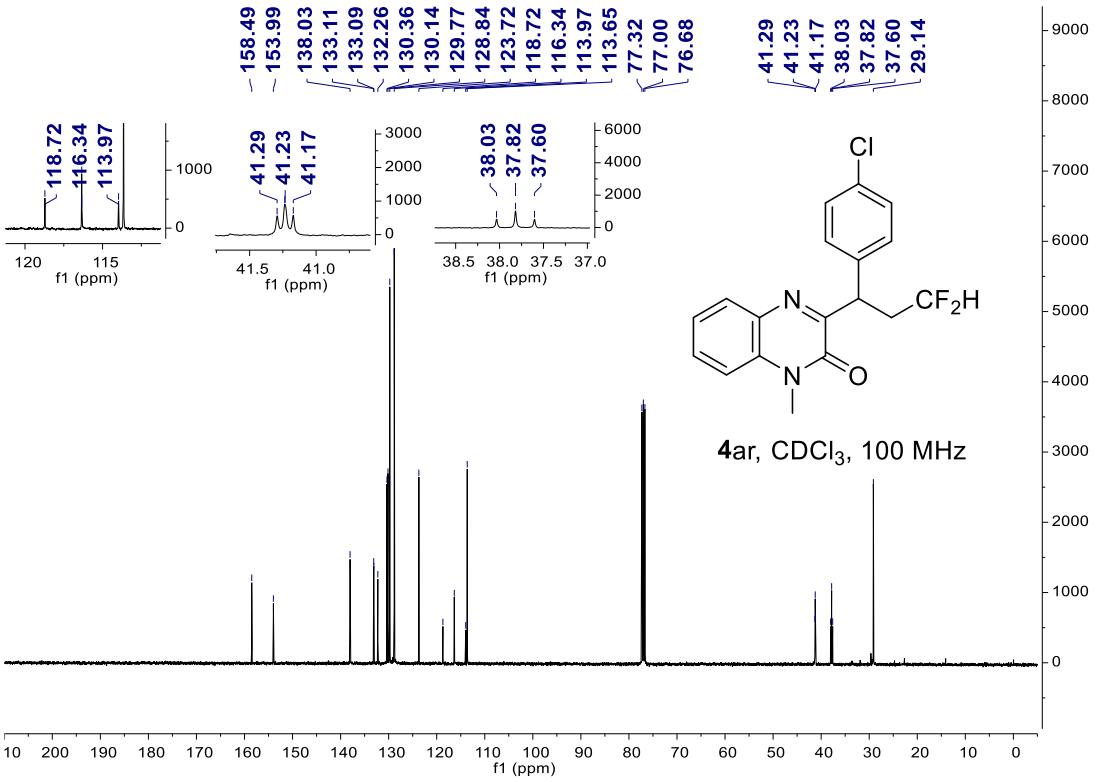


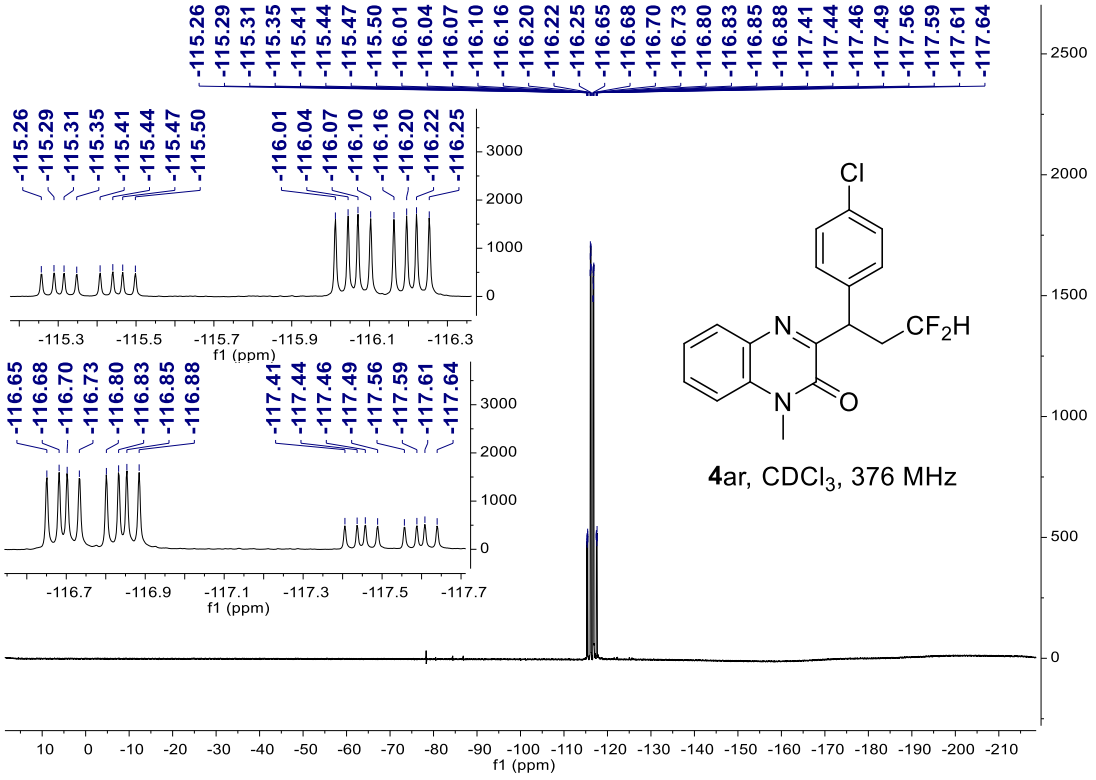




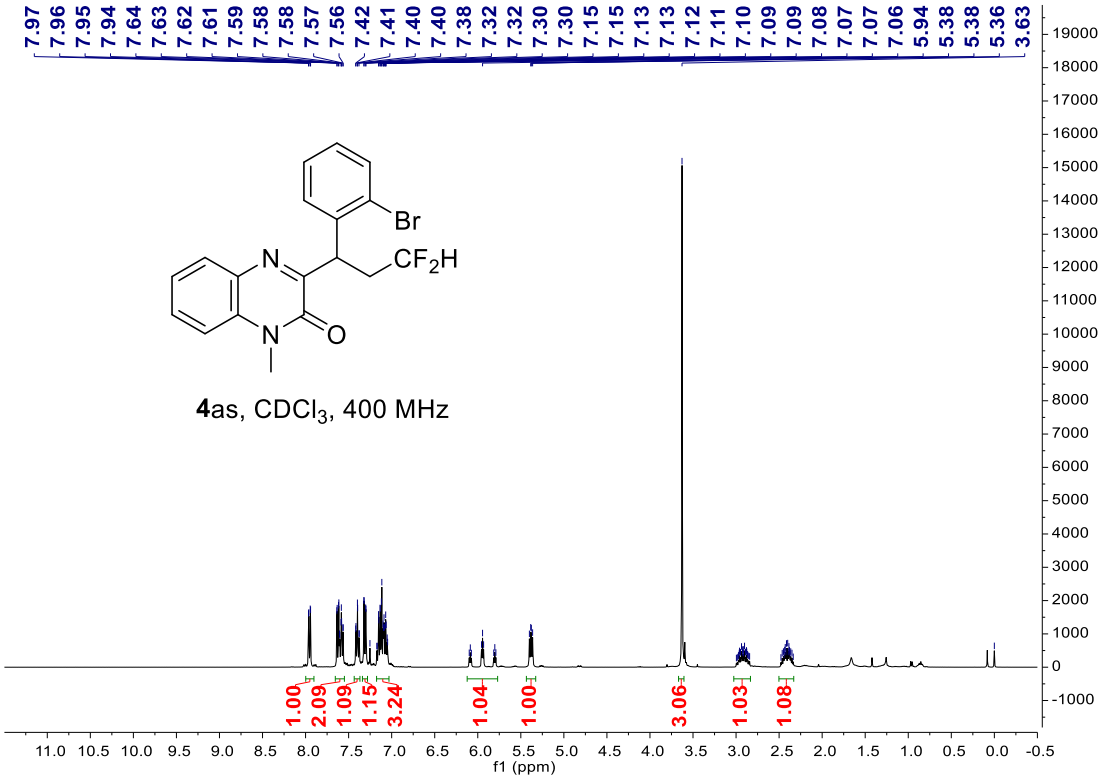
3-(1-(4-chlorophenyl)-3,3-difluoropropyl)-1-methylquinoxalin-2(1*H*)-one **(4ar)**

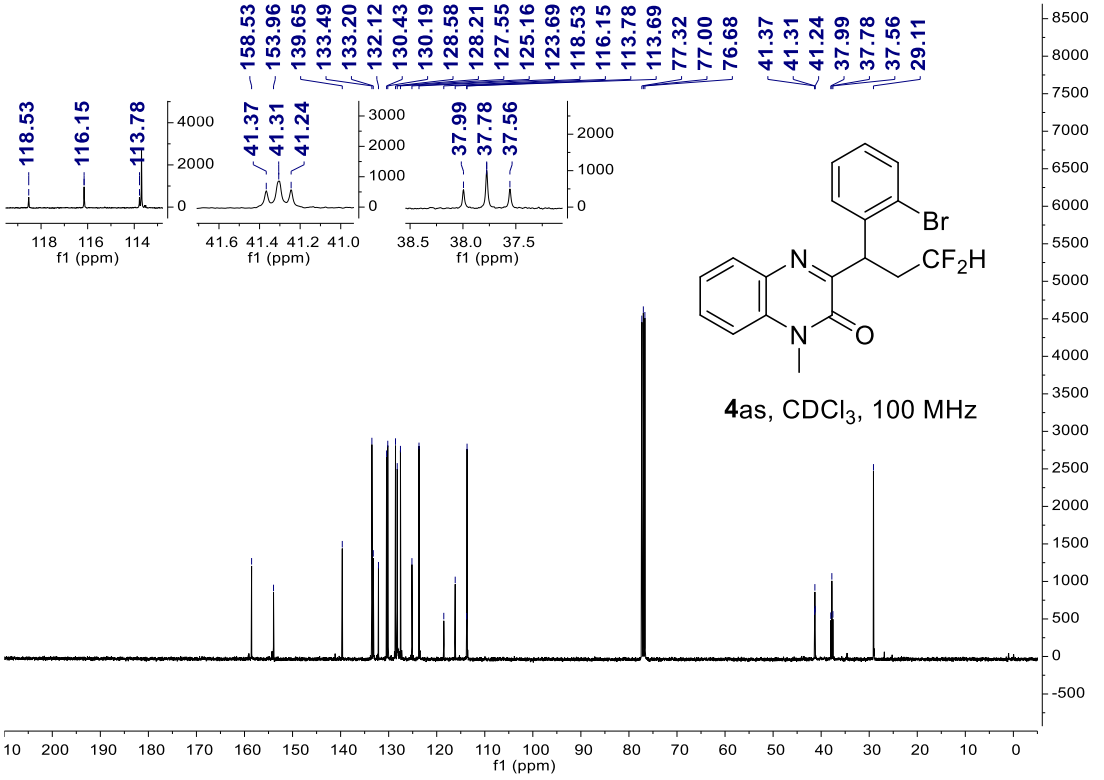


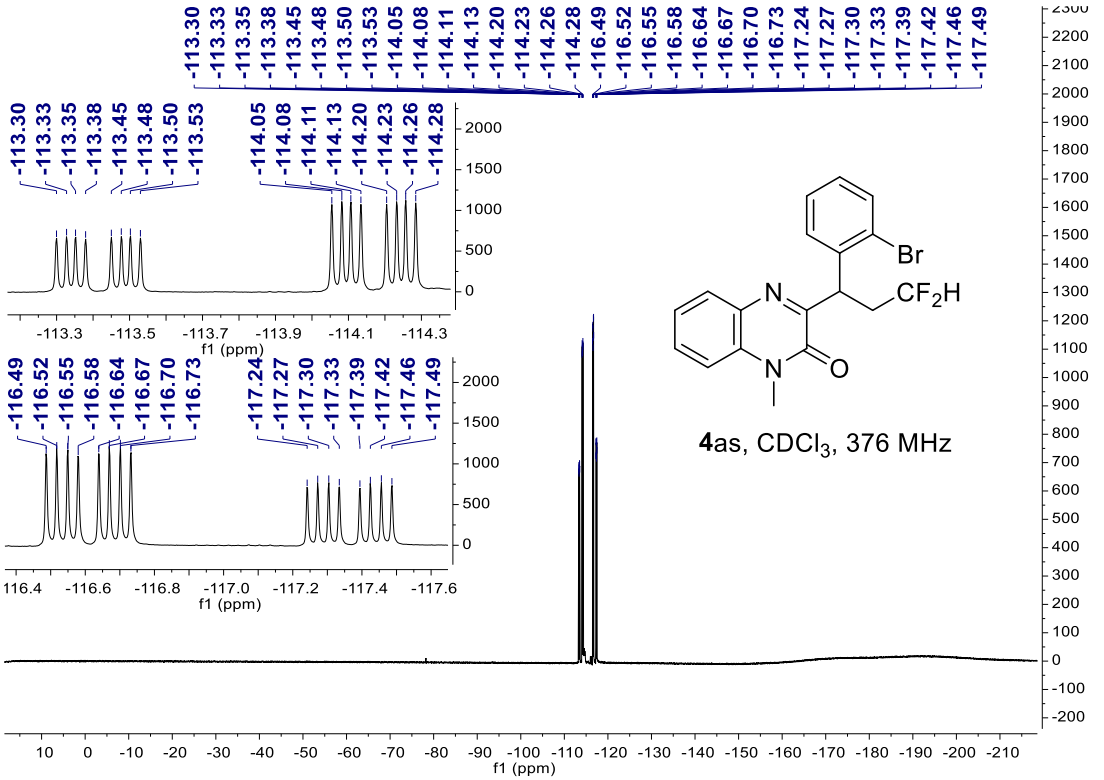

158.49
153.99
138.03
133.11
133.09
132.26
130.36
130.14
129.77
128.84
123.72
118.72
116.34
113.97
113.65
77.32
77.00
76.68
41.29
41.23
41.17
38.03
37.82
37.60
29.14
Cl
N
CF2H
N
O
4ar, CDCl3, 100 MHz
f1 (ppm)


-115.26
-115.29
-115.31
-115.35
-115.41
-115.44
-115.47
-115.50
-116.01
-116.04
-116.07
-116.10
-116.16
-116.20
-116.22
-116.25
-116.65
-116.68
-116.70
-116.73
-116.80
-116.83
-116.85
-116.88
-117.41
-117.44
-117.46
-117.49
-117.56
-117.59
-117.61
-117.64
Cl
N
CF2H
N
O
4ar, CDCl3, 376 MHz
f1 (ppm)

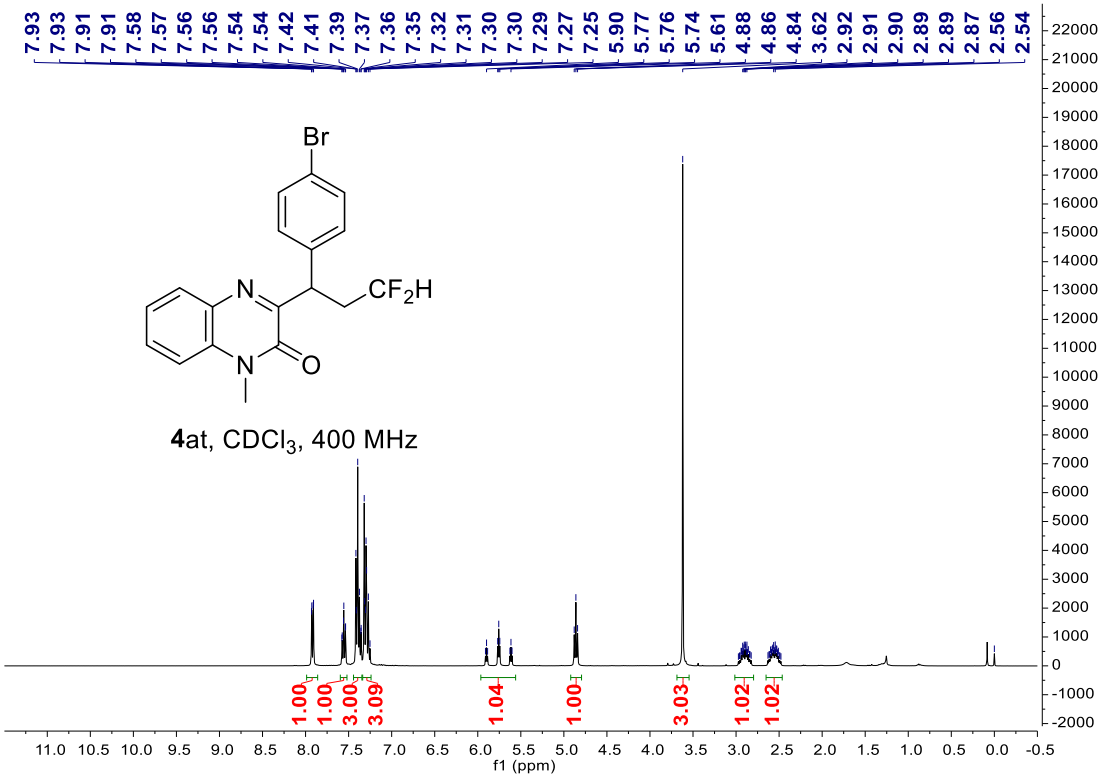
3-(1-(2-bromophenyl)-3,3-difluoropropyl)-1-methylquinoxalin-2(1*H*)-one **(4as)**

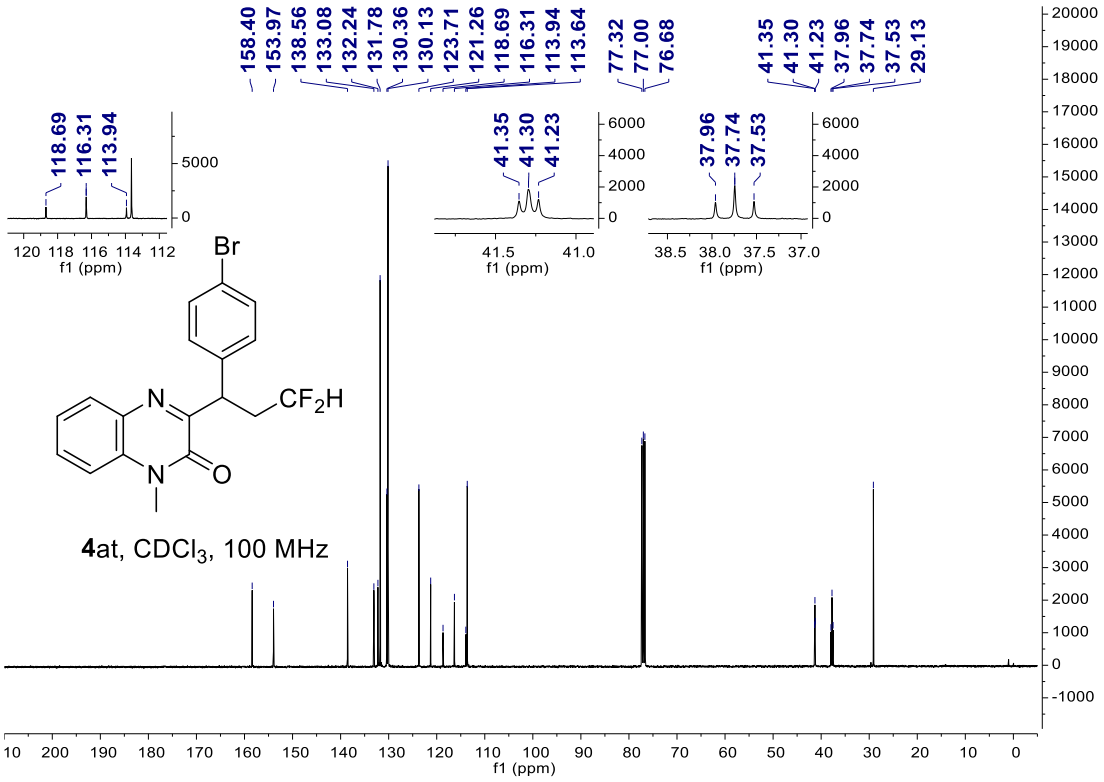


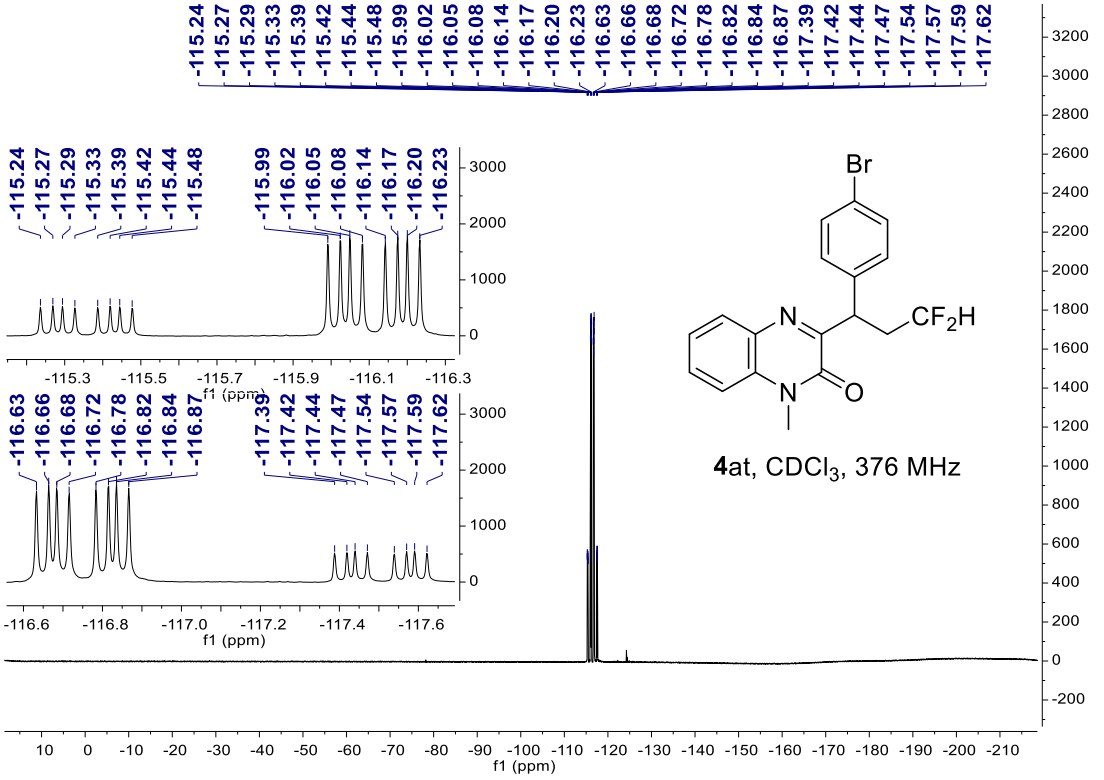




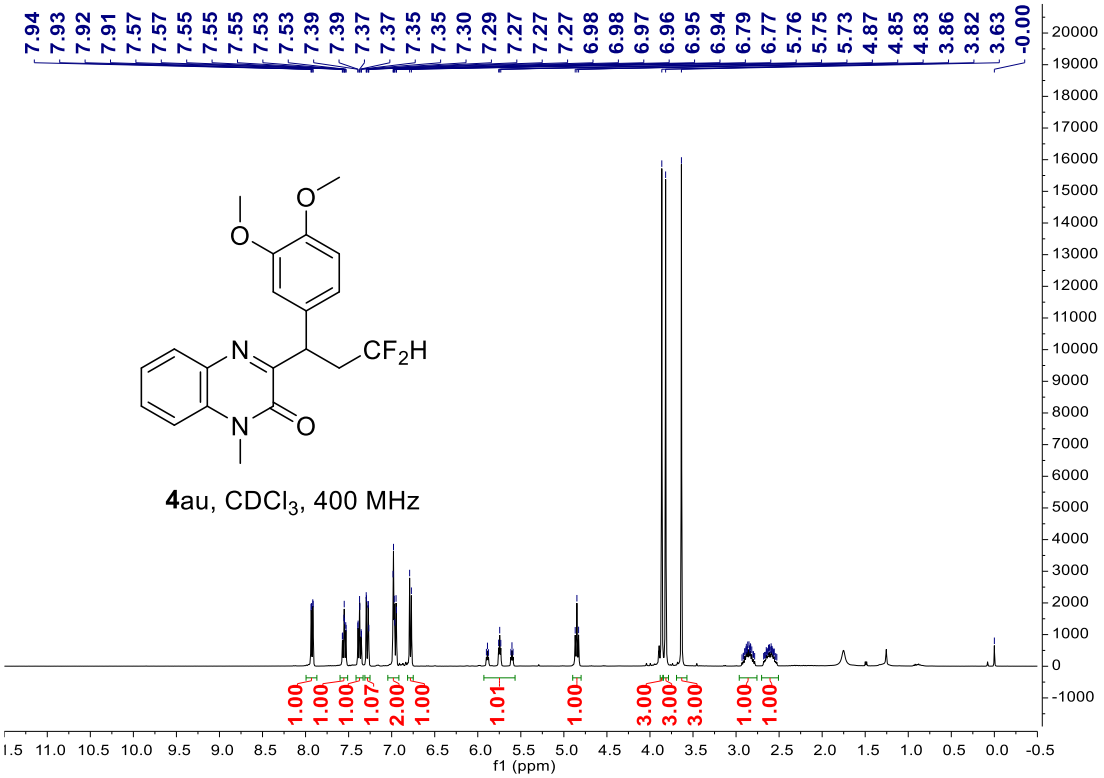
3-(1-(4-bromophenyl)-3,3-difluoropropyl)-1-methylquinoxalin-2(1*H*)-one **(4at)**

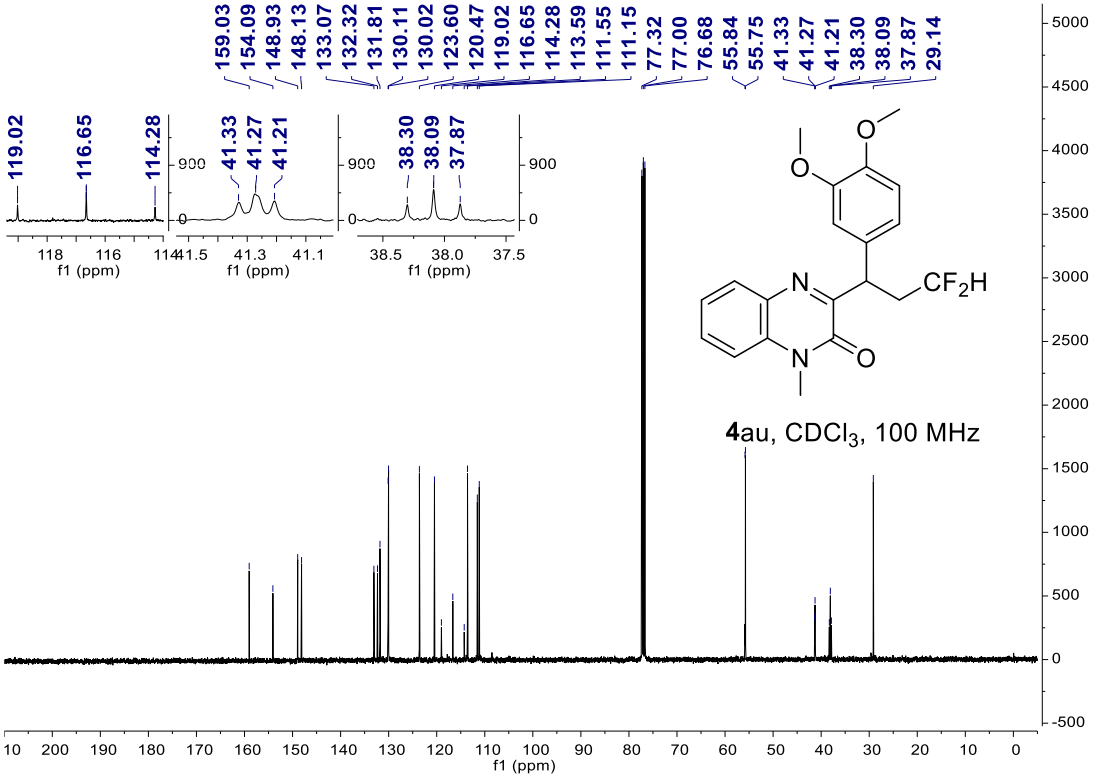


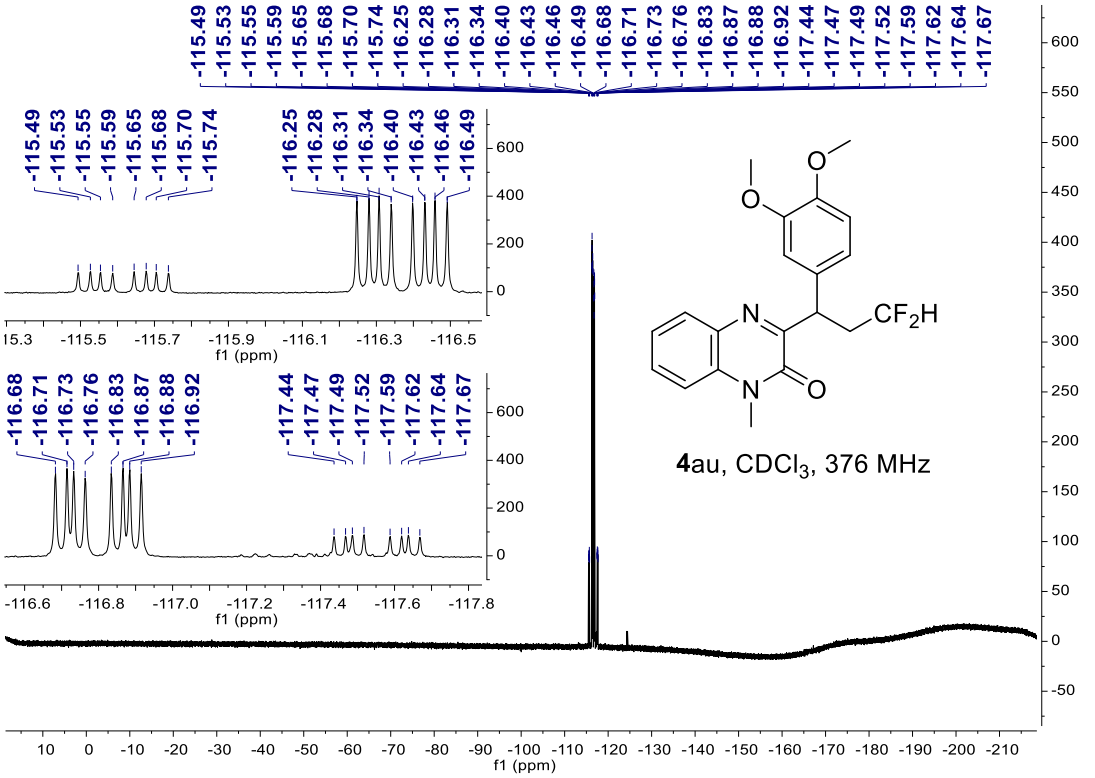

158.40
153.97
138.56
133.08
132.24
131.78
130.36
130.13
123.71
121.26
118.69
116.31
113.94
113.64
77.32
77.00
76.68
41.35
41.30
41.23
37.96
37.74
37.53
29.13
Br
N
CF2H
N
O
4at, CDCl3, 100 MHz
f1 (ppm)


-115.24
-115.27
-115.29
-115.33
-115.39
-115.42
-115.44
-115.48
-115.99
-116.02
-116.05
-116.08
-116.14
-116.17
-116.20
-116.23
-116.63
-116.66
-116.68
-116.72
-116.78
-116.82
-116.84
-116.87
-117.39
-117.42
-117.44
-117.47
-117.54
-117.57
-117.59
-117.62
Br
N
CF2H
N
O
4at, CDCl3, 376 MHz
f1 (ppm)

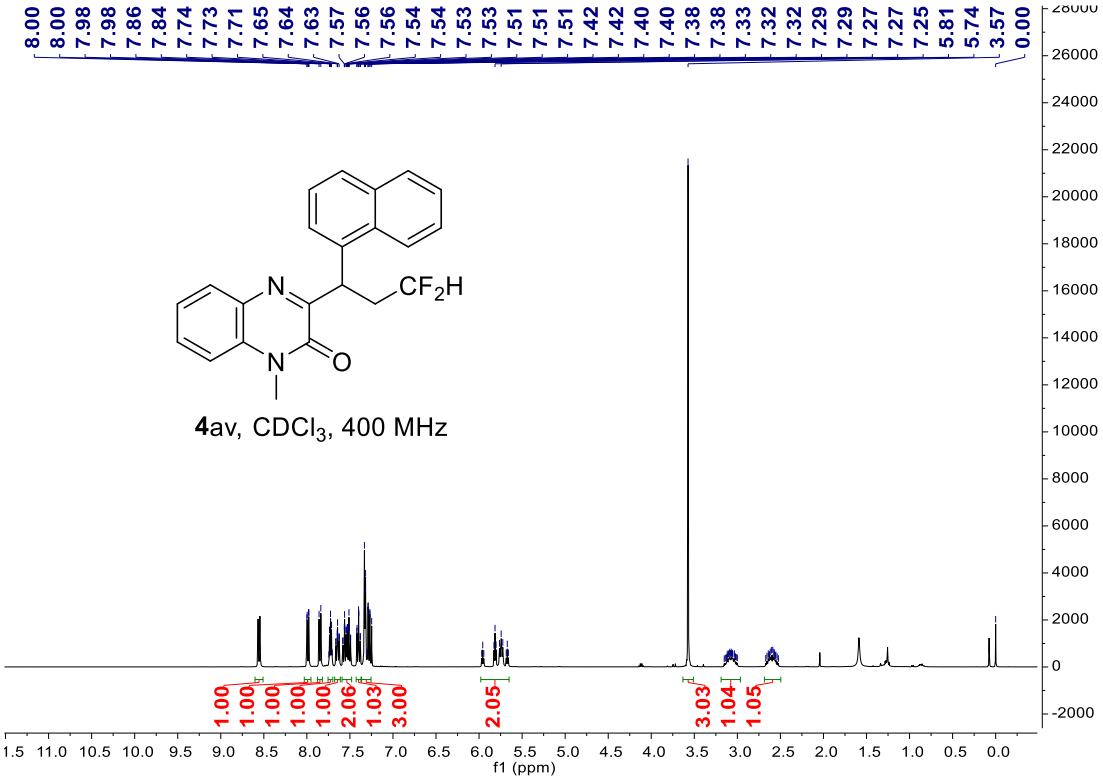
3-(1-(3,4-dimethoxyphenyl)-3,3-difluoropropyl)-1-methylquinoxalin-2(1*H*)-one **(4au)**

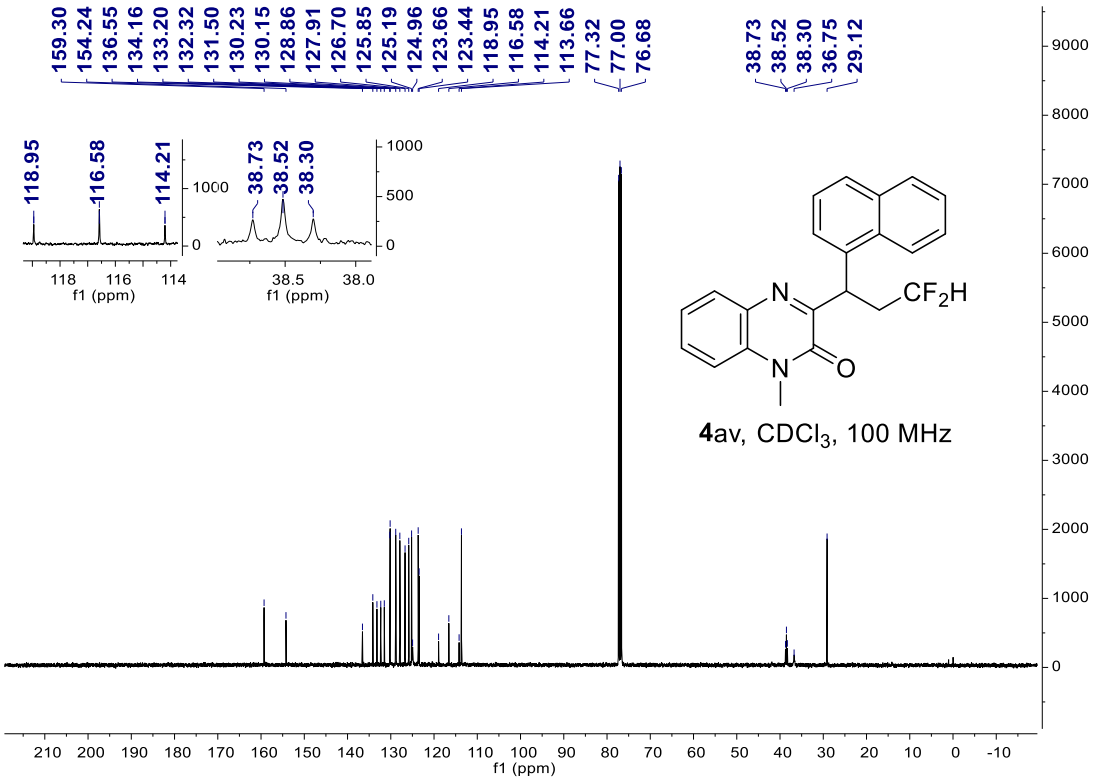


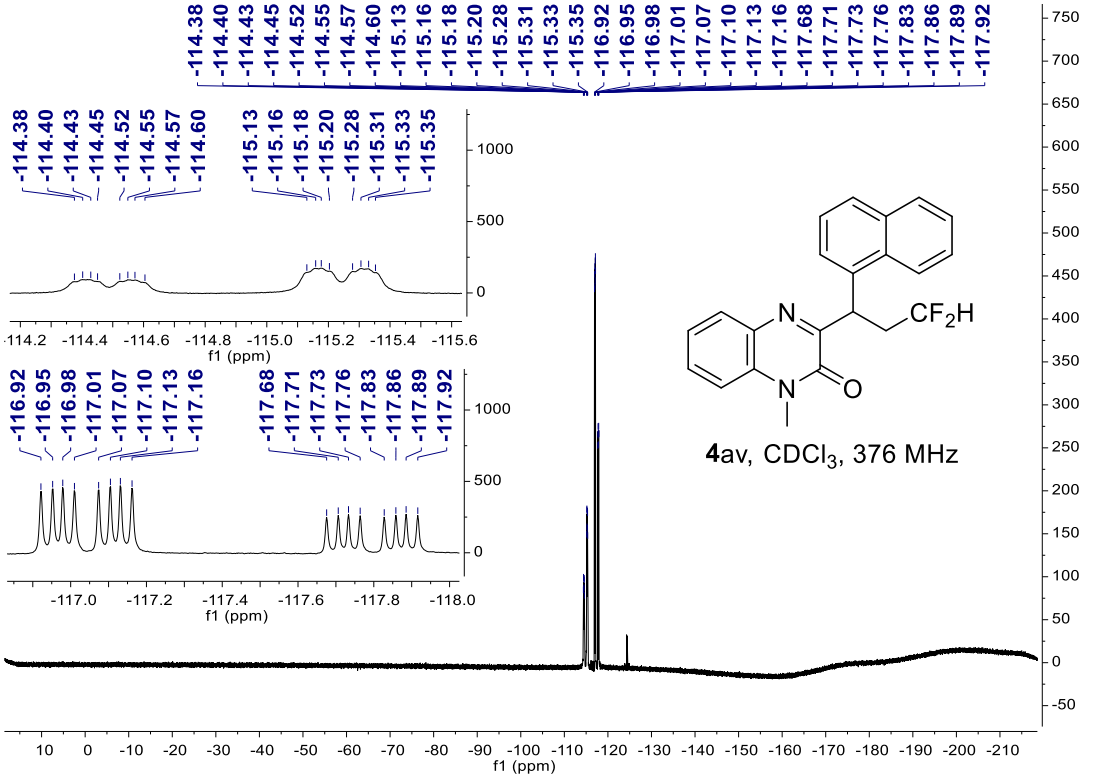




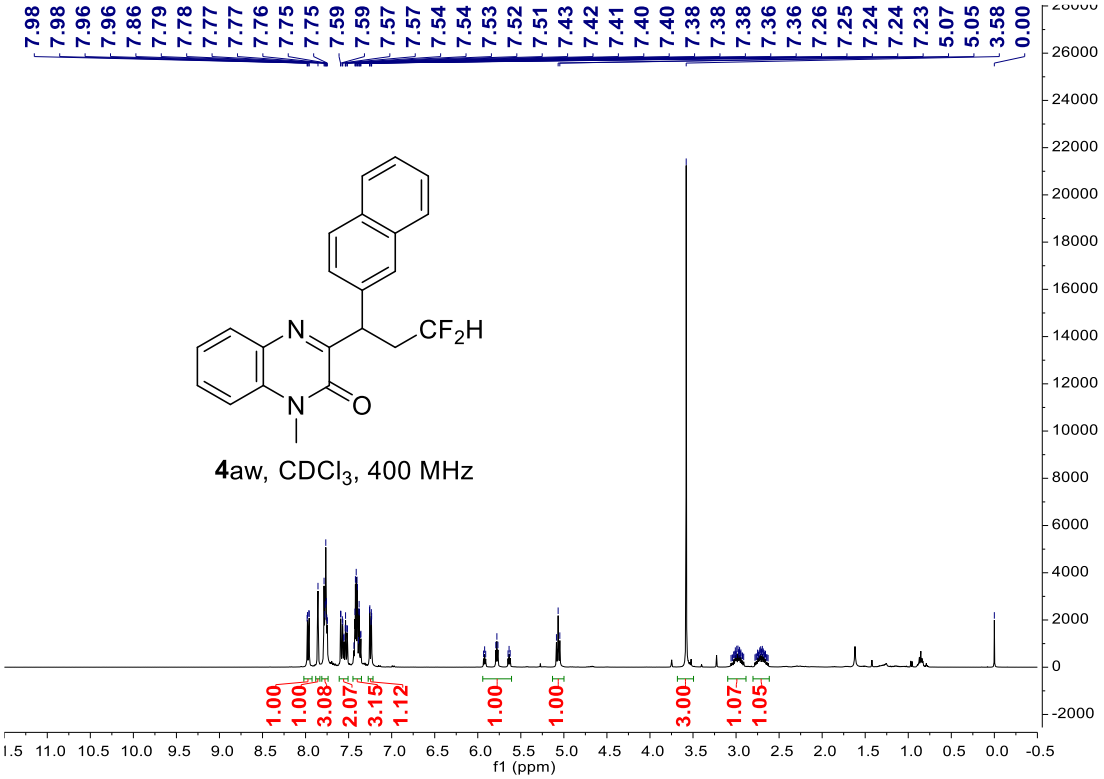
3-(3,3-difluoro-1-(naphthalen-1-yl)propyl)-1-methylquinoxalin-2(1*H*)-one **(4av)**

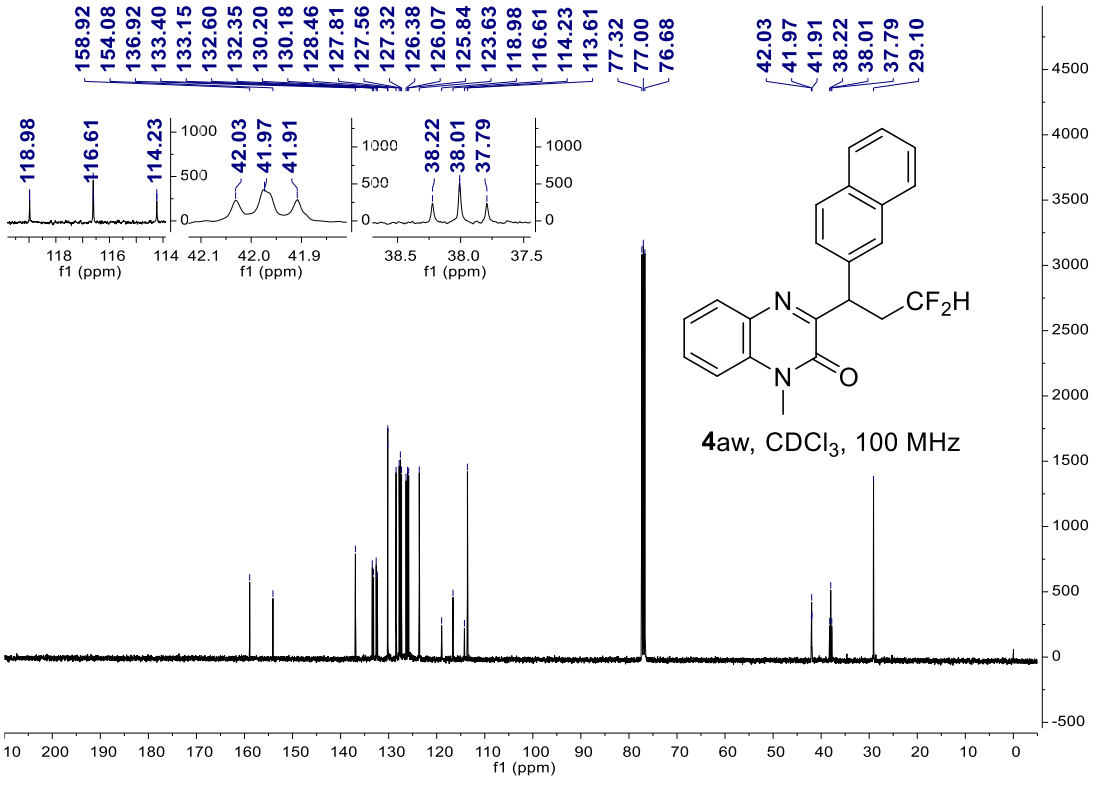


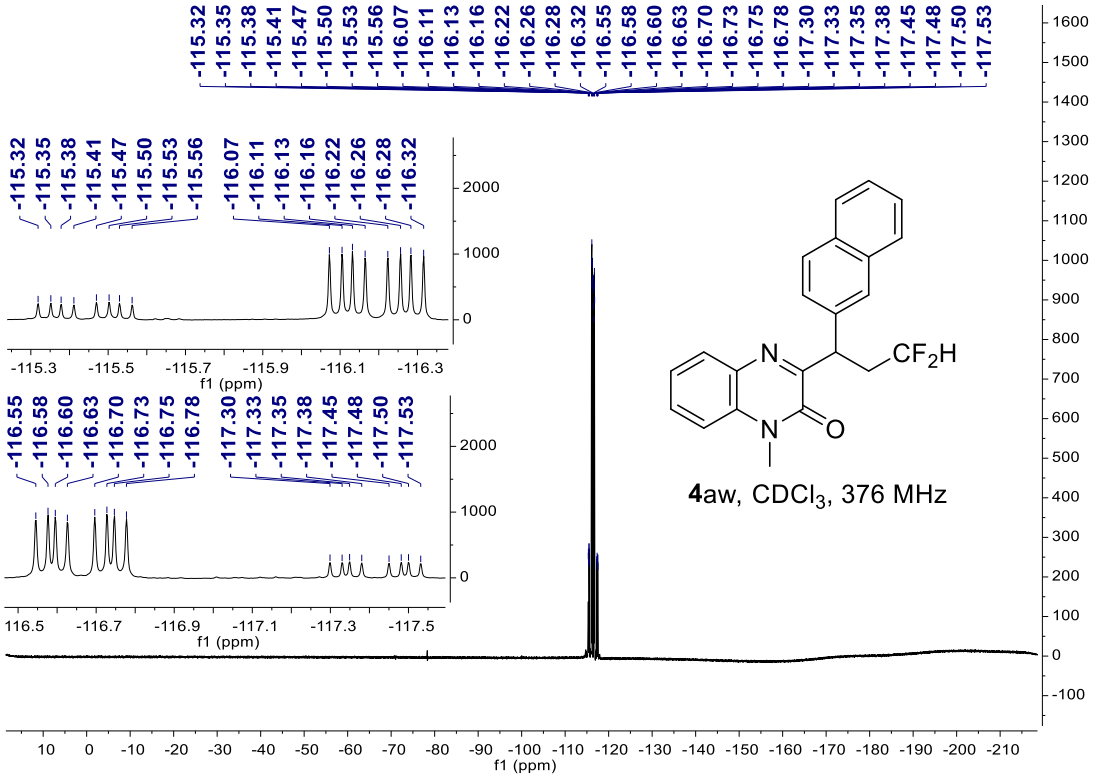

159.30
154.24
136.55
134.16
133.20
132.32
131.50
130.23
130.15
128.86
127.91
126.70
125.85
125.19
124.96
123.66
123.44
118.95
116.58
114.21
113.66
77.32
77.00
76.68
38.73
38.52
38.30
36.75
29.12
f1 (ppm)
N
CF2H
O
4av, CDCl3, 100 MHz


-114.38
-114.40
-114.43
-114.45
-114.52
-114.55
-114.57
-114.60
-115.13
-115.16
-115.18
-115.20
-115.28
-115.31
-115.33
-115.35
-116.92
-116.95
-116.98
-117.01
-117.07
-117.10
-117.13
-117.16
-117.68
-117.71
-117.73
-117.76
-117.83
-117.86
-117.89
-117.92
f1 (ppm)
N
CF2H
O
4av, CDCl3, 376 MHz

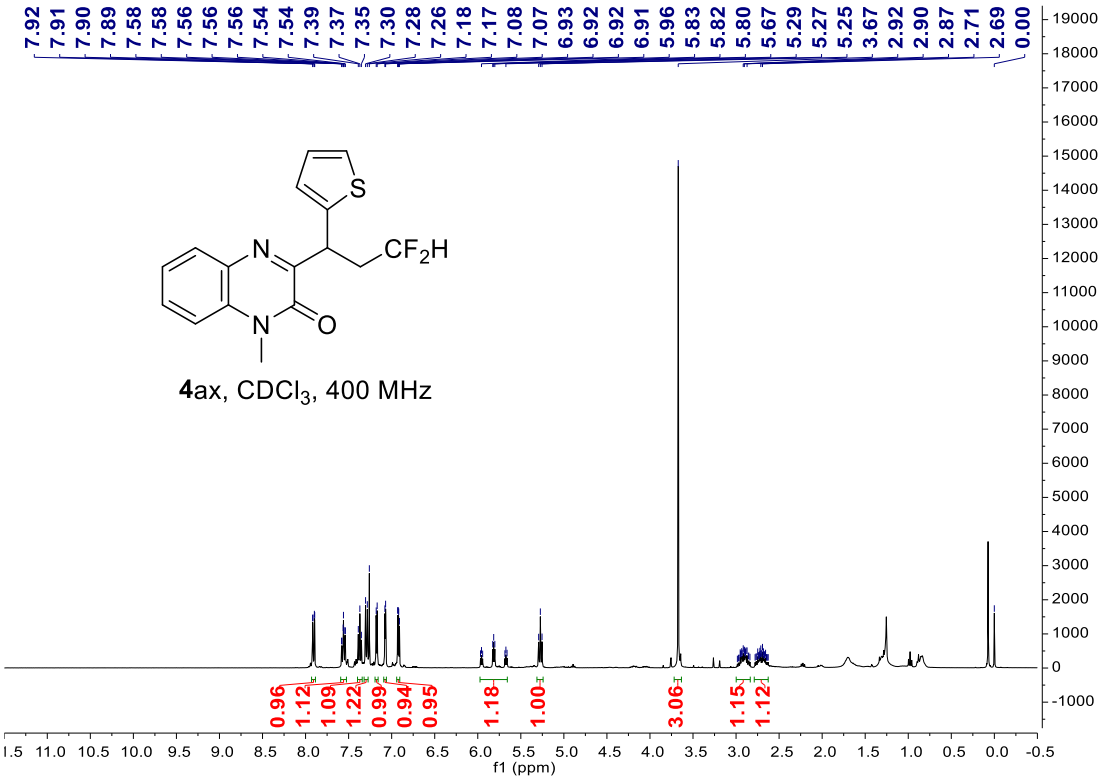
3-(3,3-difluoro-1-(naphthalen-2-yl)propyl)-1-methylquinoxalin-2(1*H*)-one **(4aw)**

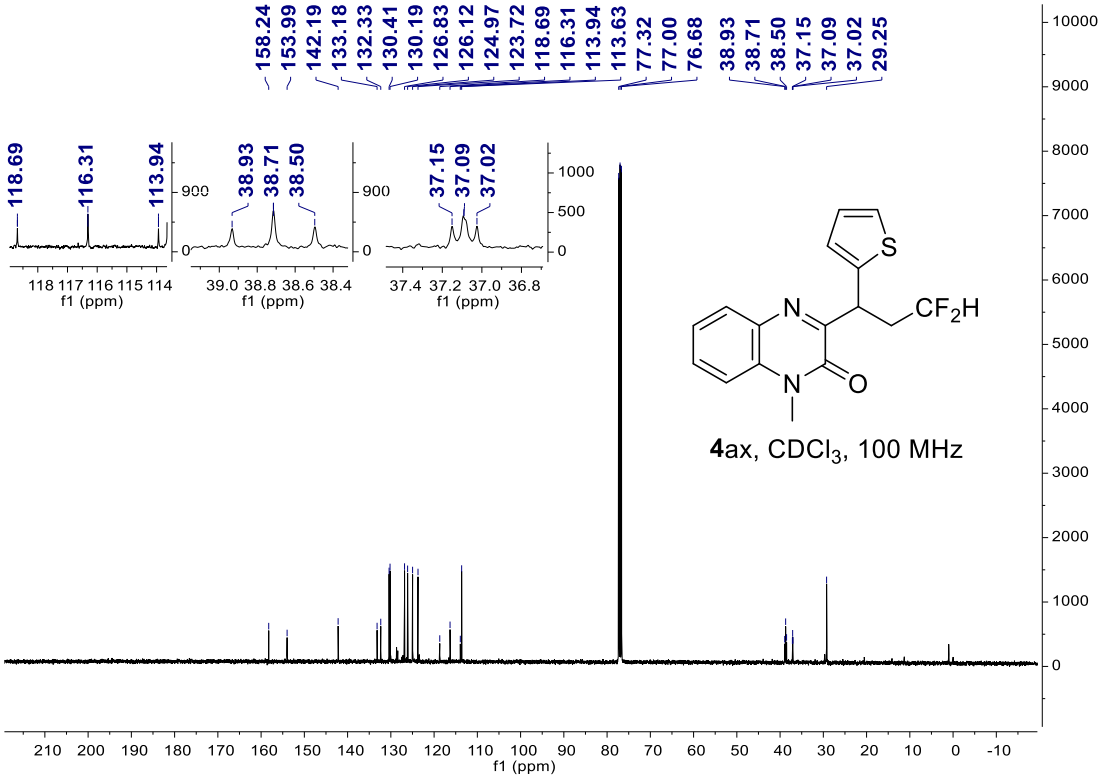


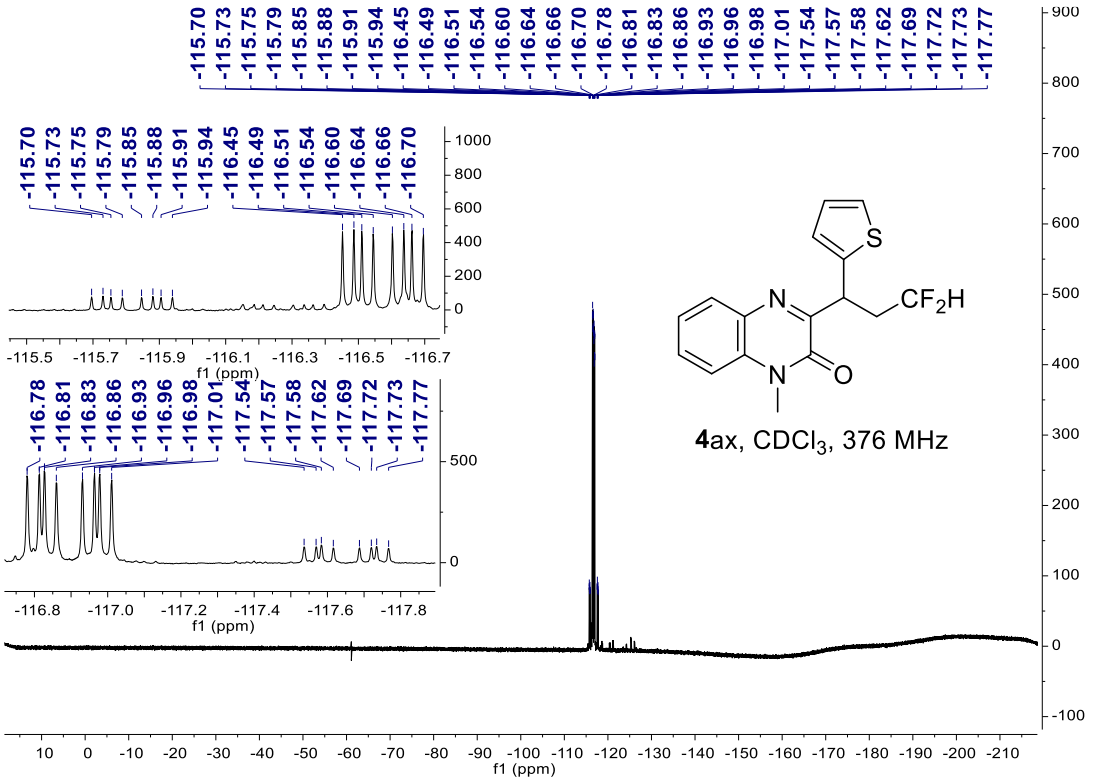




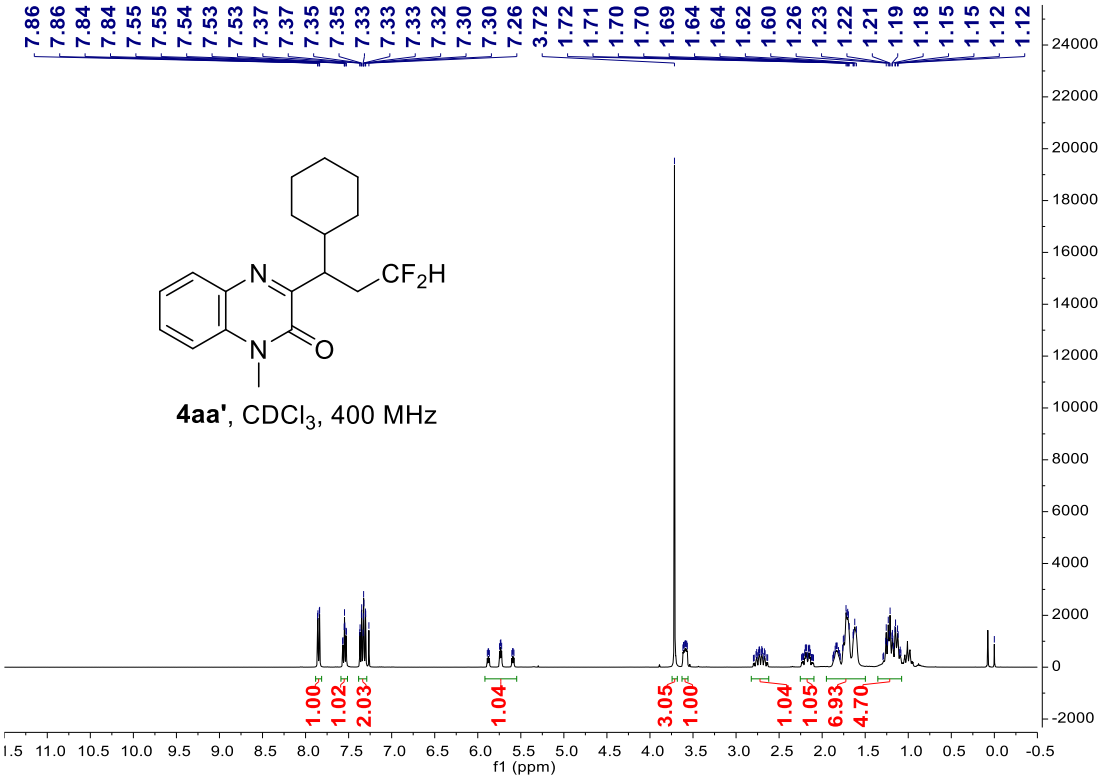
3-(3,3-difluoro-1-(thiophen-2-yl)propyl)-1-methylquinoxalin-2(1*H*)-one **(4ax)**

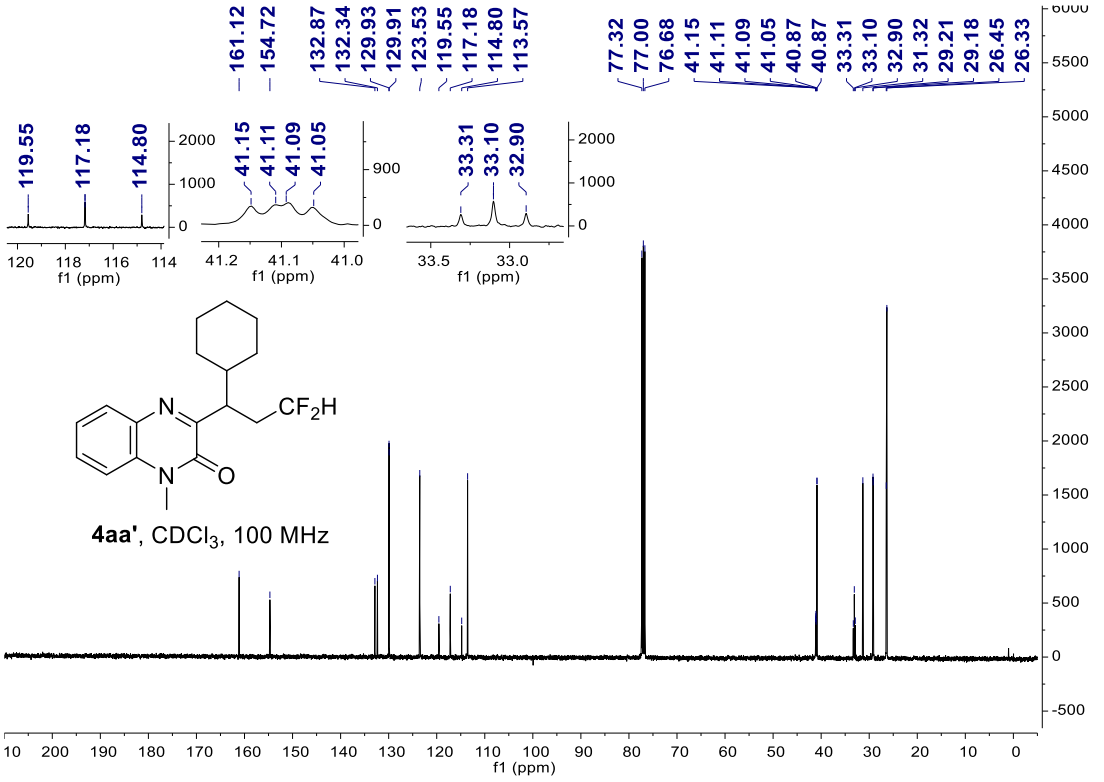


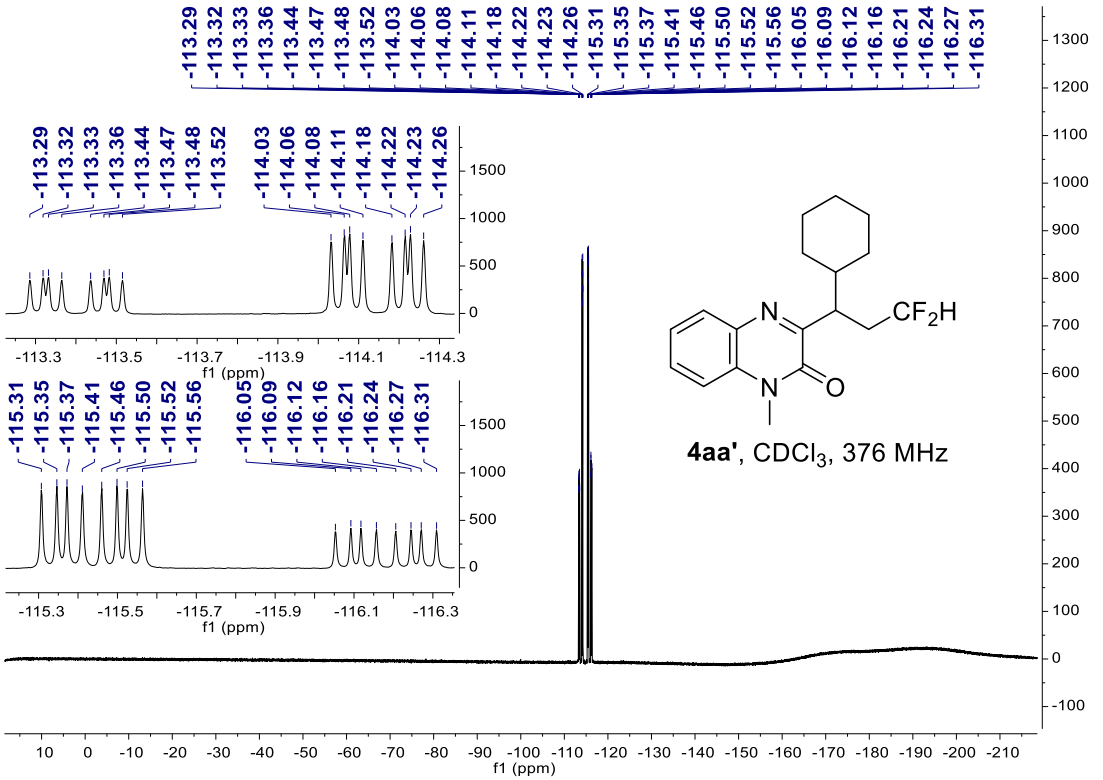

158.24
153.99
142.19
133.18
132.33
130.41
130.19
126.83
126.12
124.97
123.72
118.69
116.31
113.94
113.63
77.32
77.00
76.68
38.93
38.71
38.50
37.15
37.09
37.02
29.25
118.69
116.31
113.94
38.93
38.71
38.50
37.15
37.09
37.02
f1 (ppm)
S
N
CF2H
N
O
4ax, CDCl3, 100 MHz
f1 (ppm)


-115.70
-115.73
-115.75
-115.79
-115.85
-115.88
-115.91
-115.94
-116.45
-116.49
-116.51
-116.54
-116.60
-116.64
-116.66
-116.70
-116.78
-116.81
-116.83
-116.86
-116.93
-116.96
-116.98
-117.01
-117.54
-117.57
-117.58
-117.62
-117.69
-117.72
-117.73
-117.77
f1 (ppm)
S
N
CF2H
N
O
4ax, CDCl3, 376 MHz
f1 (ppm)

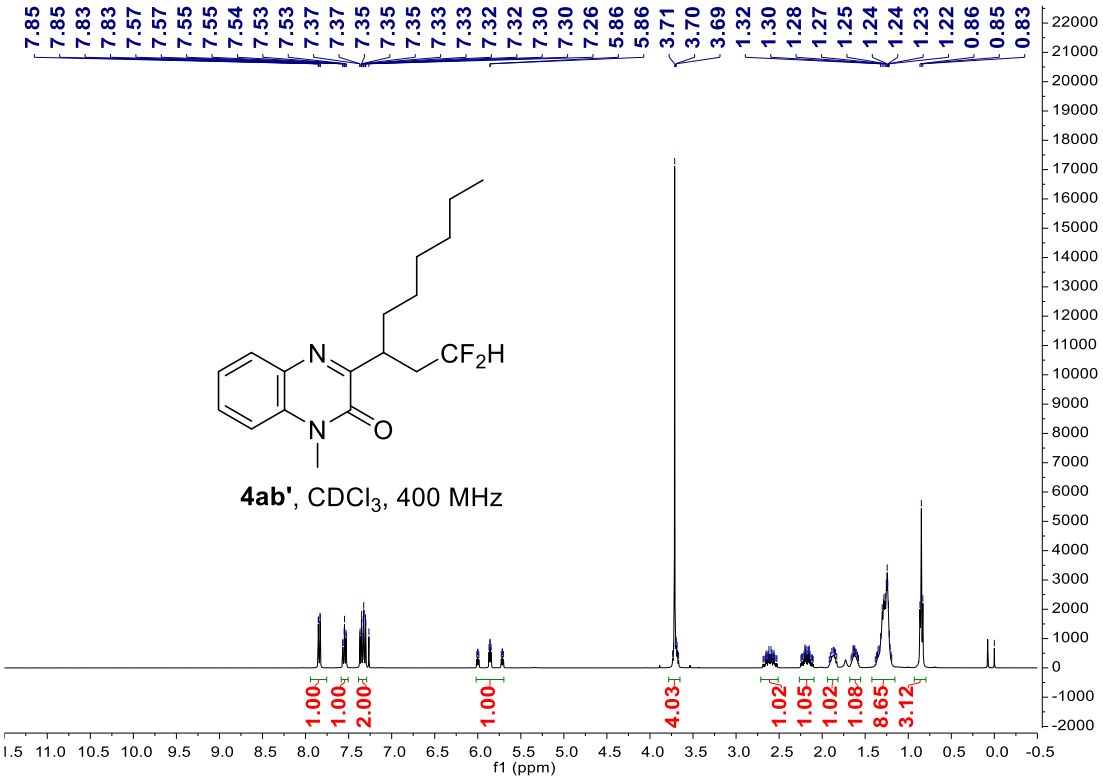
3-(1-cyclohexyl-3,3-difluoropropyl)-1-methylquinoxalin-2(1*H*)-one **(4aa')**

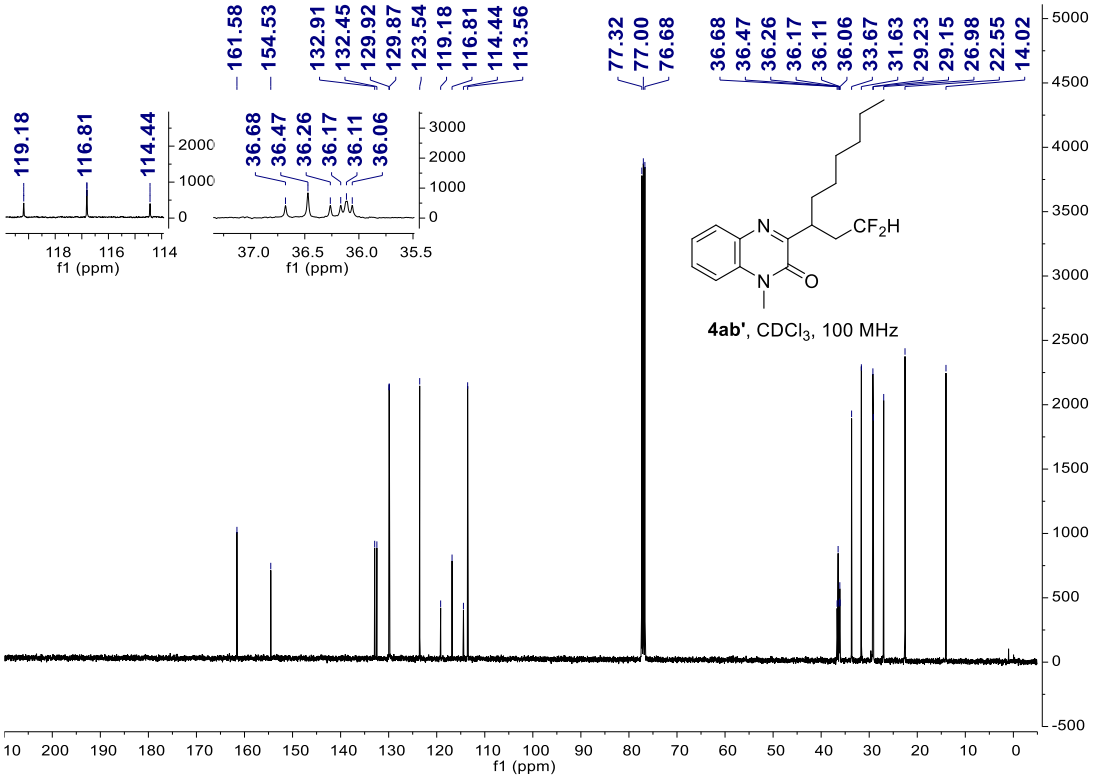


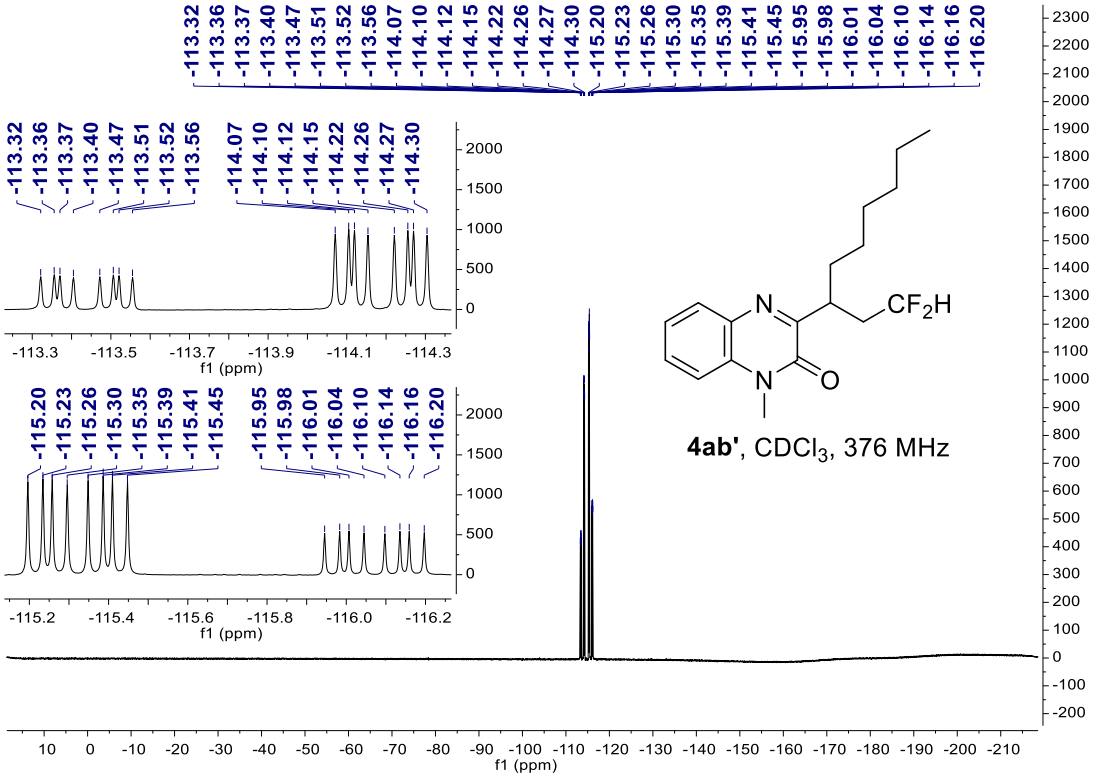




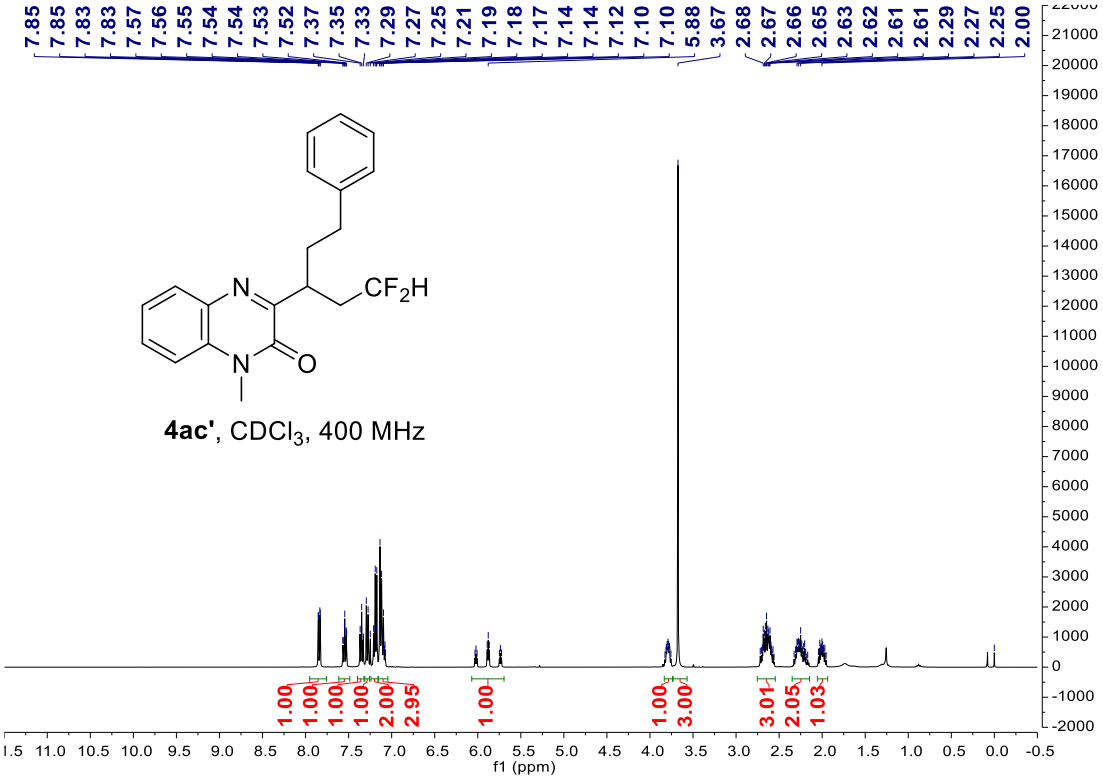
3-(1,1-difluorononan-3-yl)-1-methylquinoxalin-2(1*H*)-one **(4ab')**

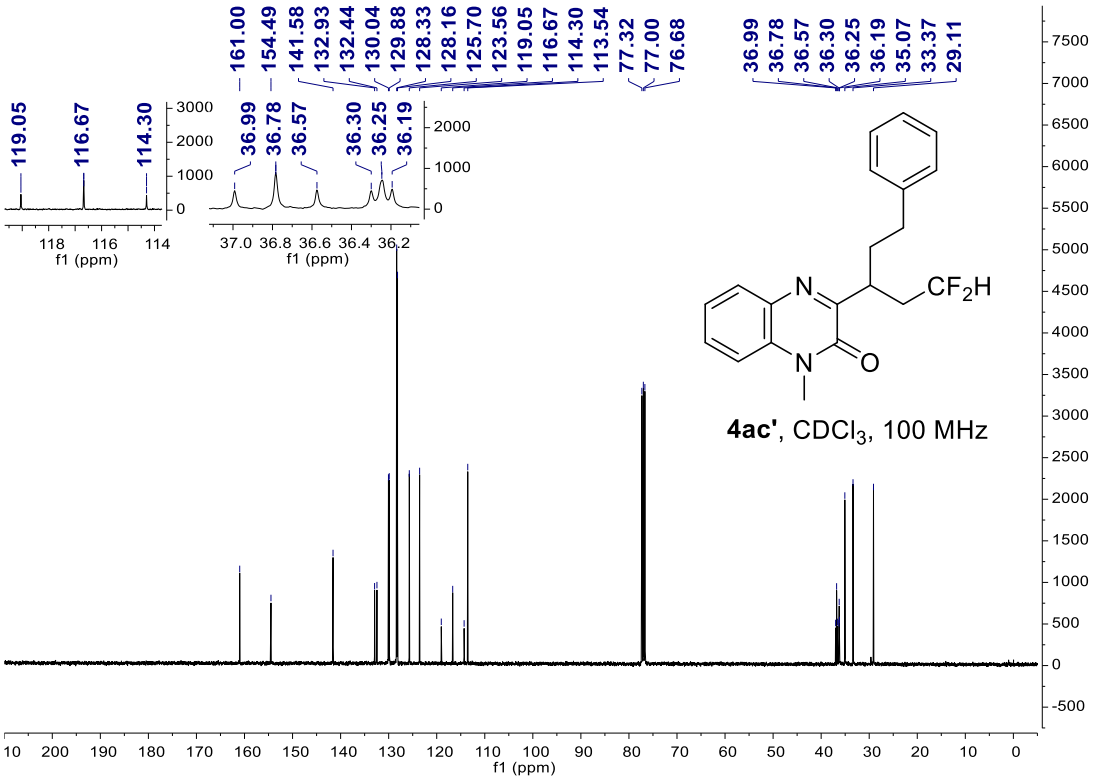


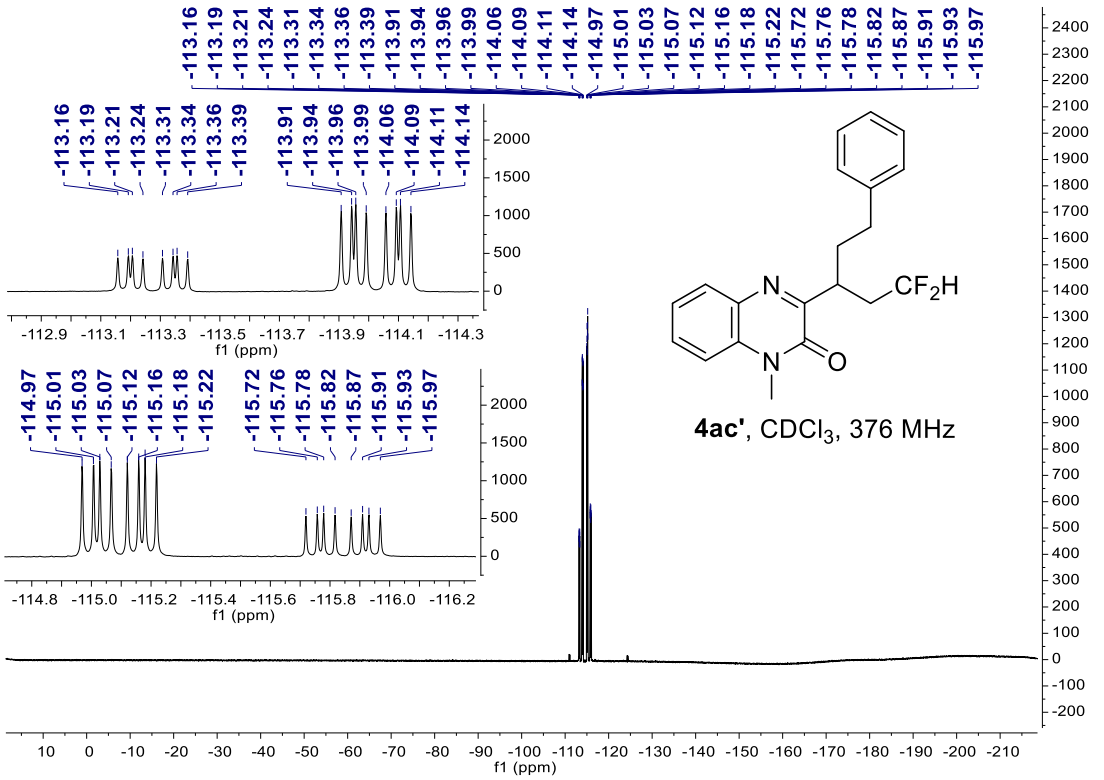

161.58
154.53
132.91
132.45
129.92
129.87
123.54
119.18
116.81
114.44
113.56
77.32
77.00
76.68
36.68
36.47
36.26
36.17
36.11
36.06
33.67
31.63
29.23
29.15
26.98
22.55
14.02
119.18
116.81
114.44
36.68
36.47
36.26
36.17
36.11
36.06
f1 (ppm)
f1 (ppm)
CF2H
N
O
4ab', CDCl3, 100 MHz
f1 (ppm)


-113.32
-113.36
-113.37
-113.40
-113.47
-113.51
-113.52
-113.56
-114.07
-114.10
-114.12
-114.15
-114.22
-114.26
-114.27
-114.30
-115.20
-115.23
-115.26
-115.30
-115.35
-115.39
-115.41
-115.45
-115.95
-115.98
-116.01
-116.04
-116.10
-116.14
-116.16
-116.20
f1 (ppm)
f1 (ppm)
CF2H
N
O
4ab', CDCl3, 376 MHz
f1 (ppm)

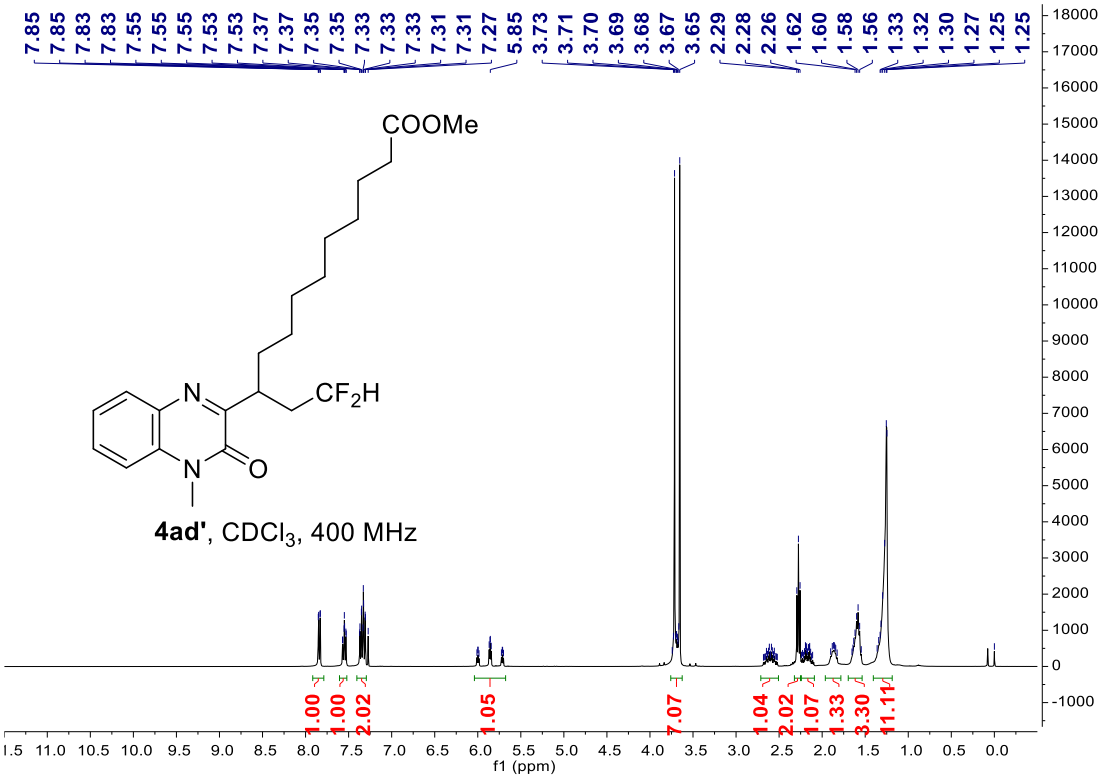
3-(1,1-difluoro-5-phenylpentan-3-yl)-1-methylquinoxalin-2(1*H*)-one **(4ac')**

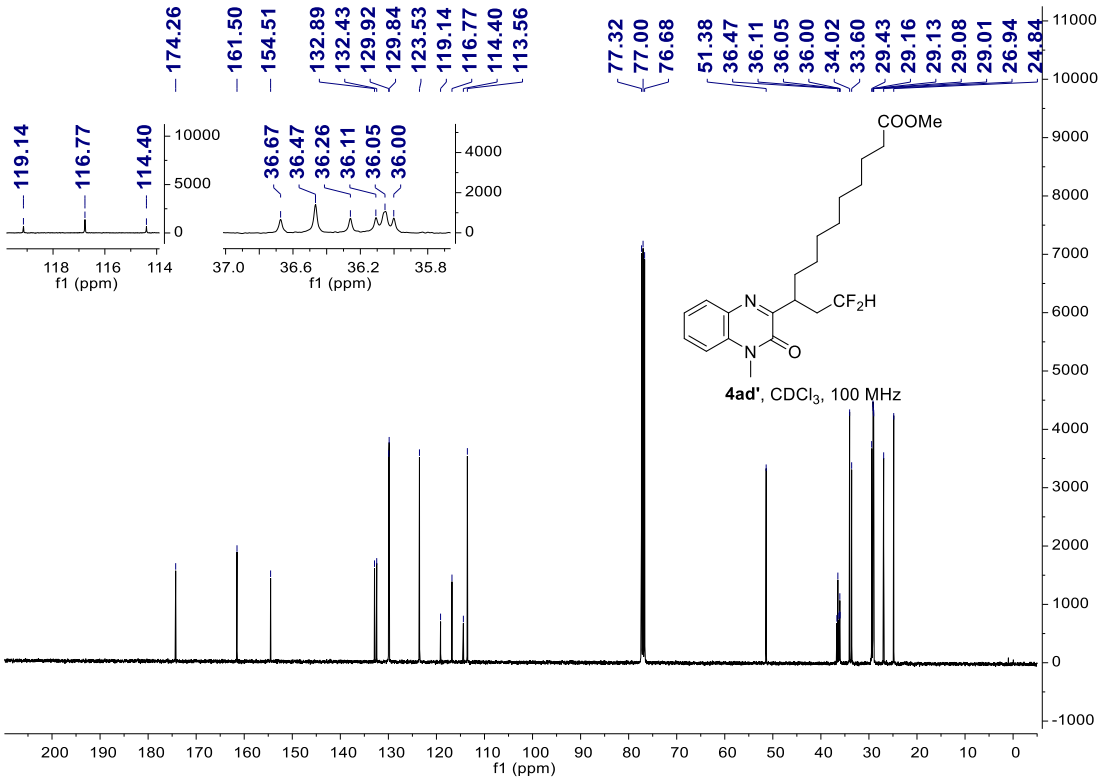


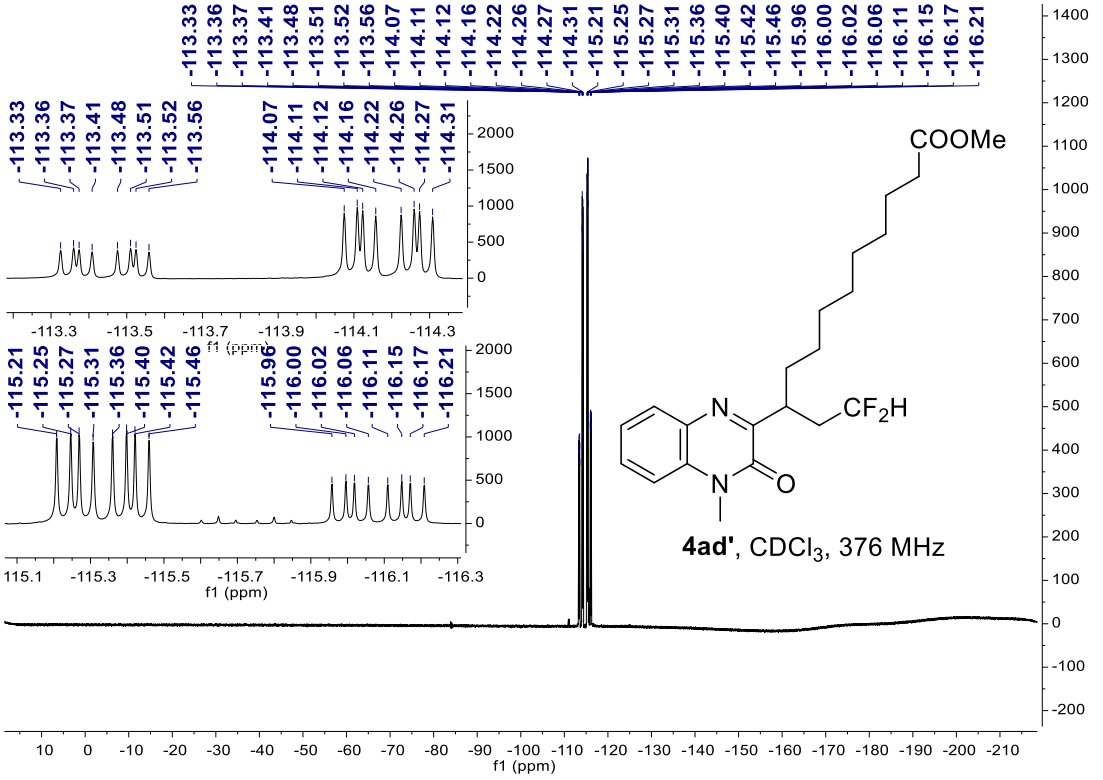




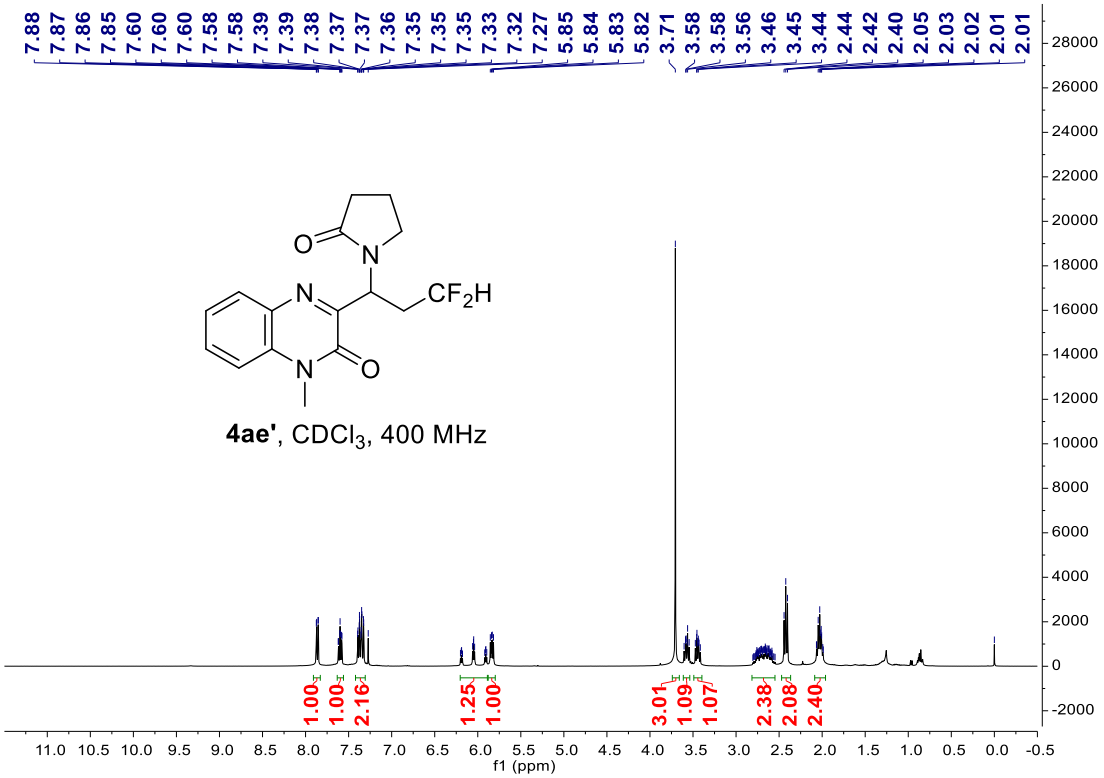
methyl 12,12-difluoro-10-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)dodecanoate **(4ad')**

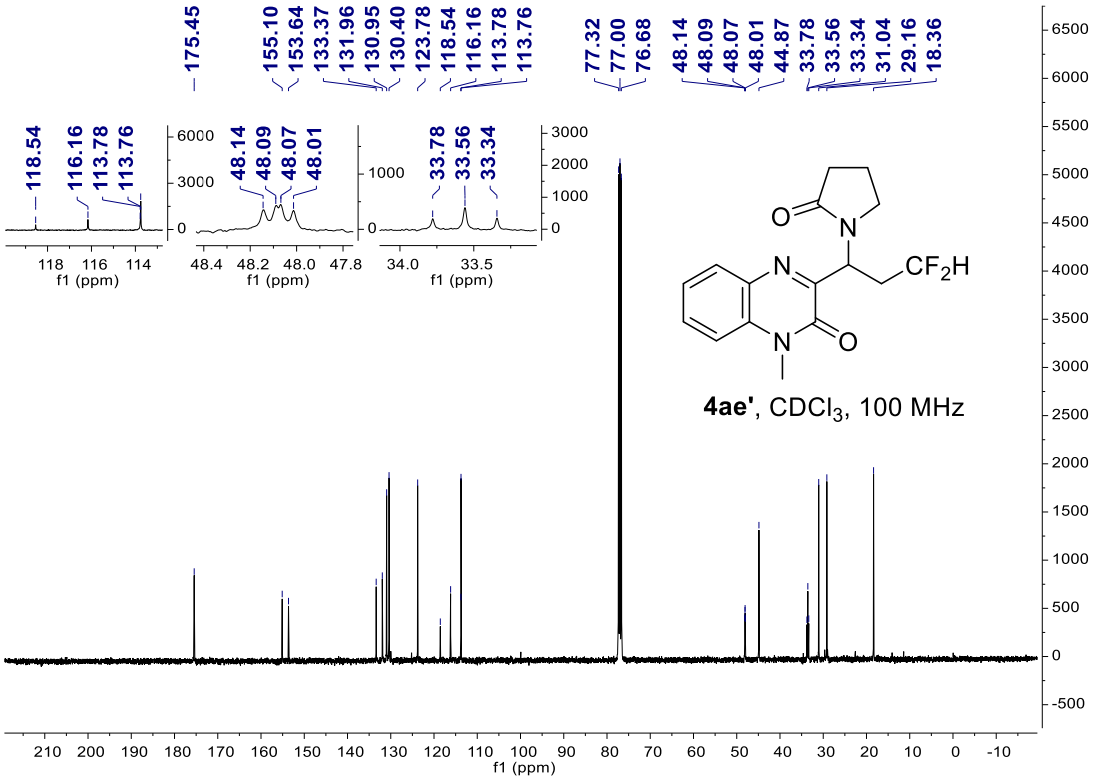


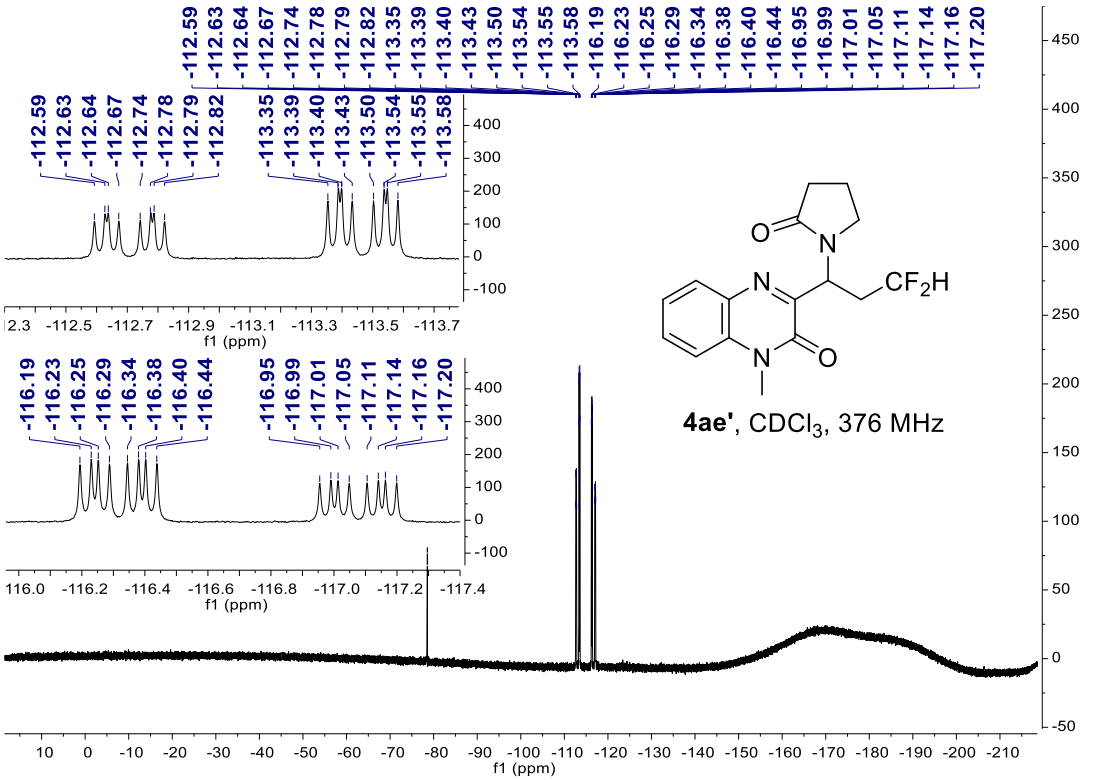

174.26
161.50
154.51
132.89
132.43
129.92
129.84
123.53
119.14
116.77
114.40
113.56
77.32
77.00
76.68
51.38
36.47
36.11
36.05
36.00
34.02
33.60
29.43
29.16
29.13
29.08
29.01
26.94
24.84
COOMe
CF2H
N
O
4ad', CDCl3, 100 MHz
f1 (ppm)


-113.33
-113.36
-113.37
-113.41
-113.48
-113.51
-113.52
-113.56
-114.07
-114.11
-114.12
-114.16
-114.22
-114.26
-114.27
-114.31
-115.21
-115.25
-115.27
-115.31
-115.36
-115.40
-115.42
-115.46
-115.96
-116.00
-116.02
-116.06
-116.11
-116.15
-116.17
-116.21
COOMe
CF2H
N
O
4ad', CDCl3, 376 MHz
f1 (ppm)

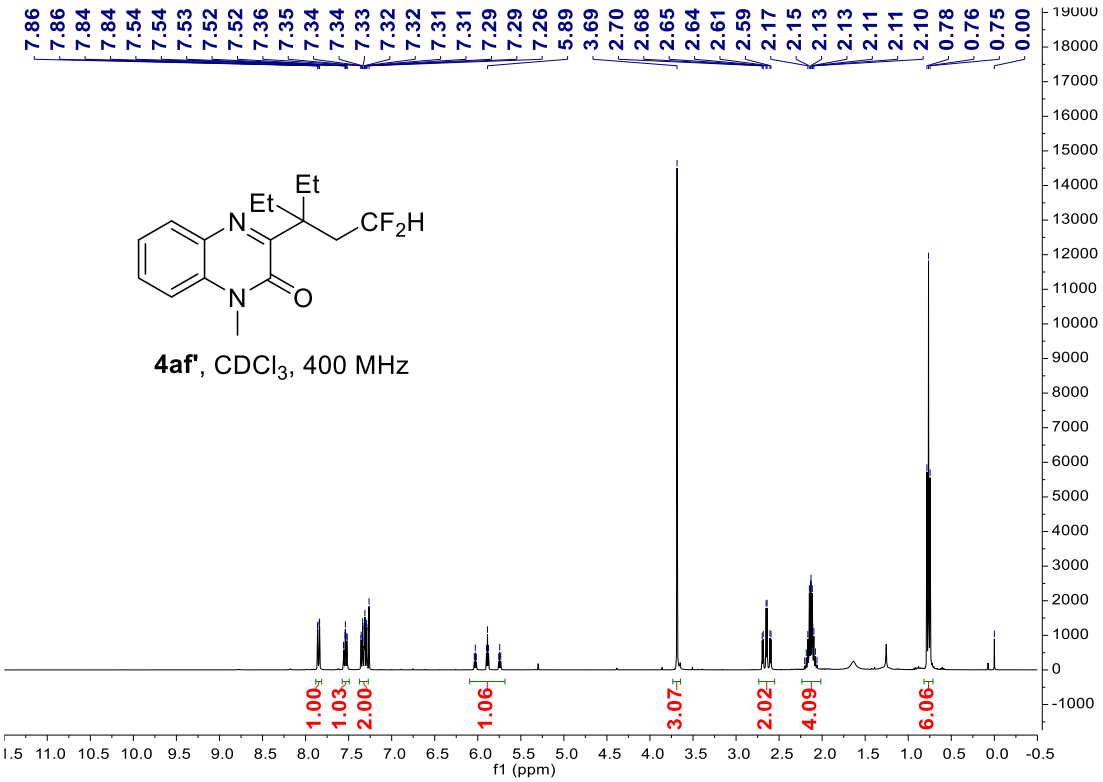
3-(3,3-difluoro-1-(2-oxopyrrolidin-1-yl)propyl)-1-methylquinoxalin-2(1*H*)-one **(4ae')**

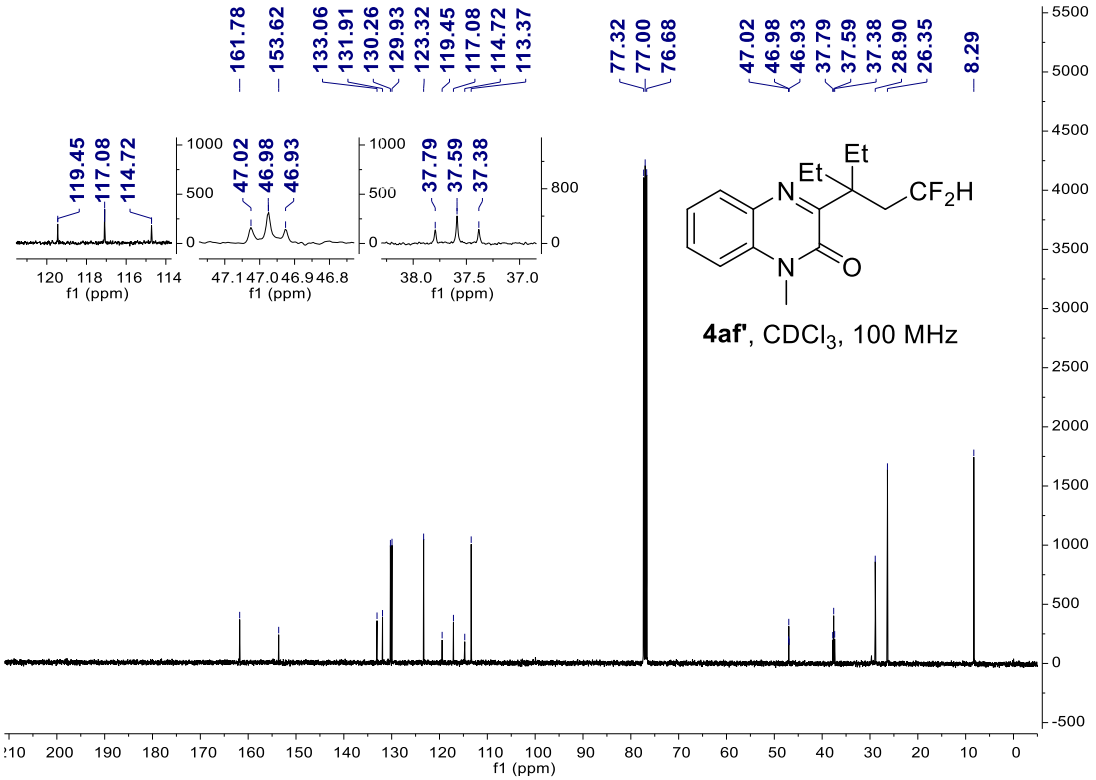


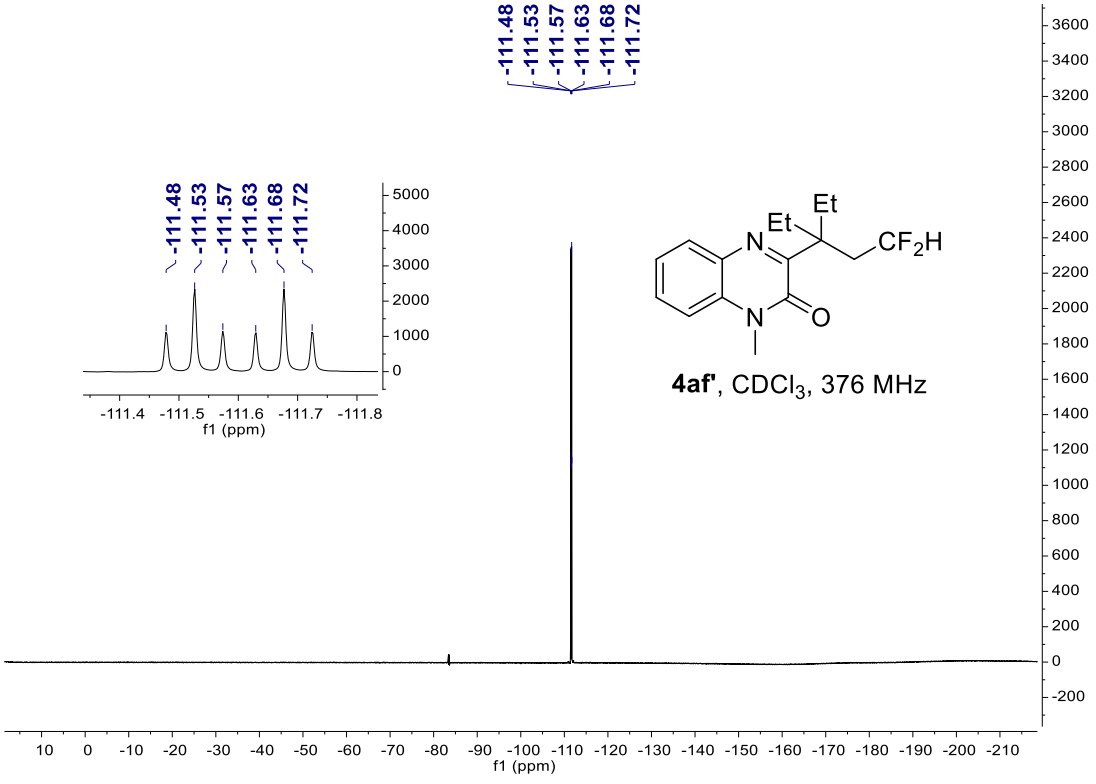




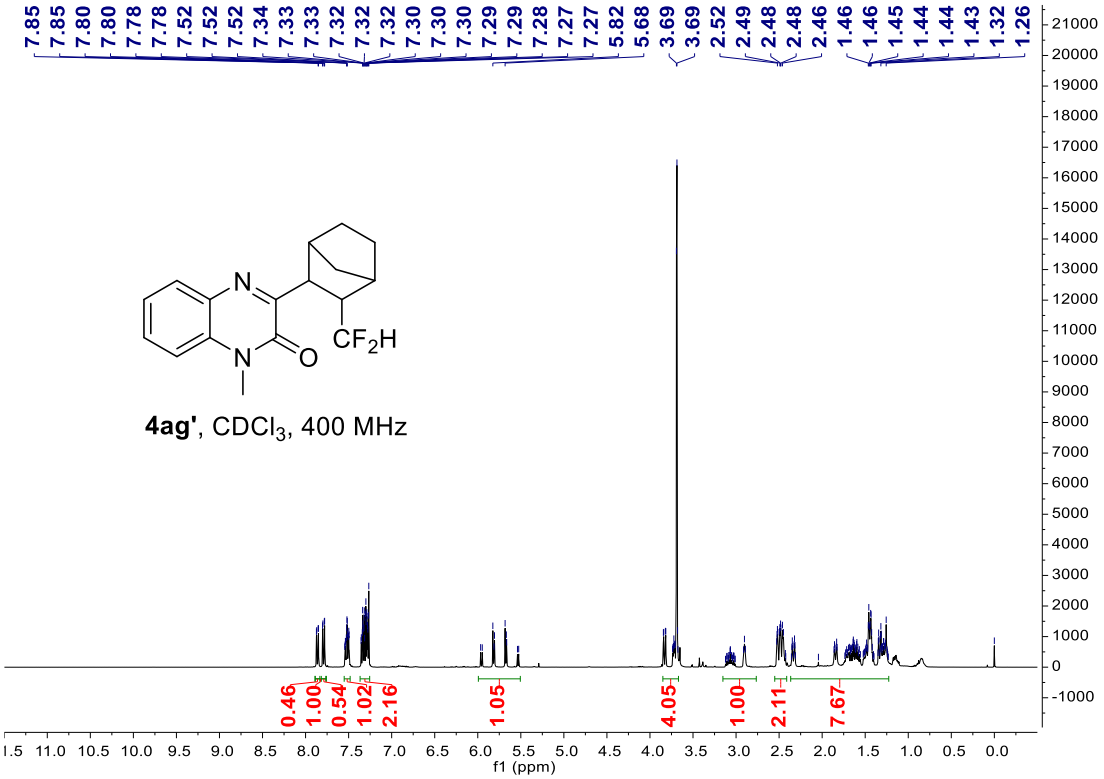
3-(3-ethyl-1,1-difluoropentan-3-yl)-1-methylquinoxalin-2(1H)-one **(4af')**

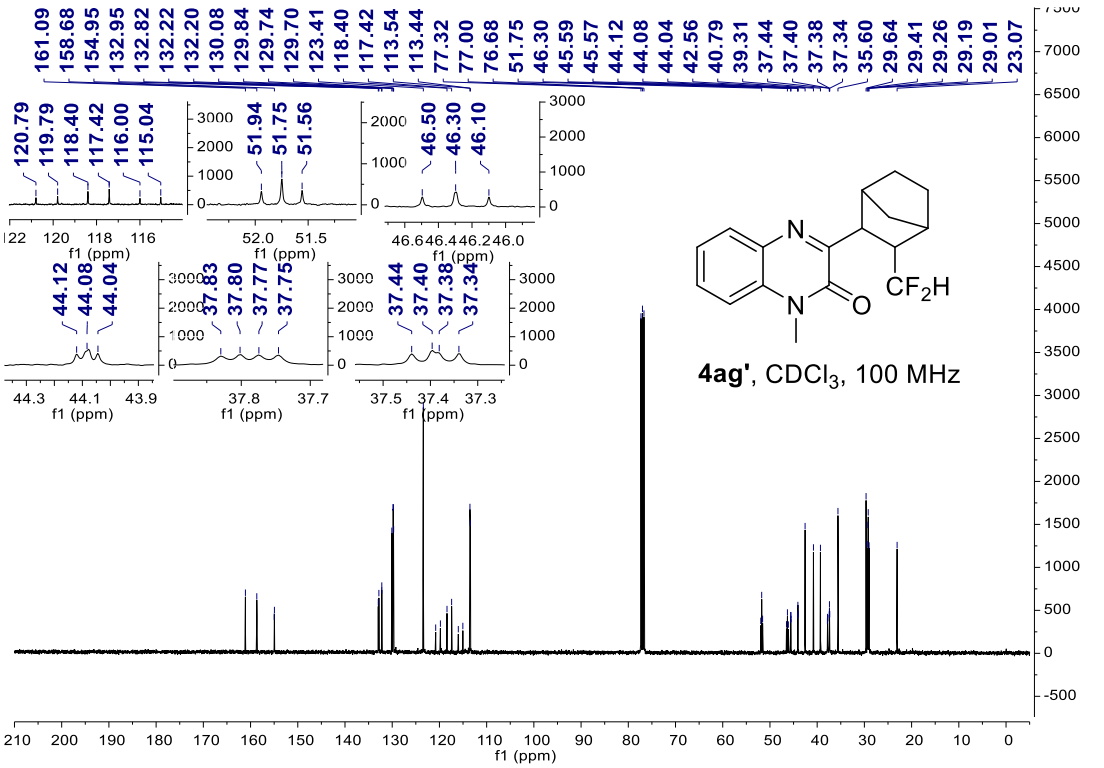


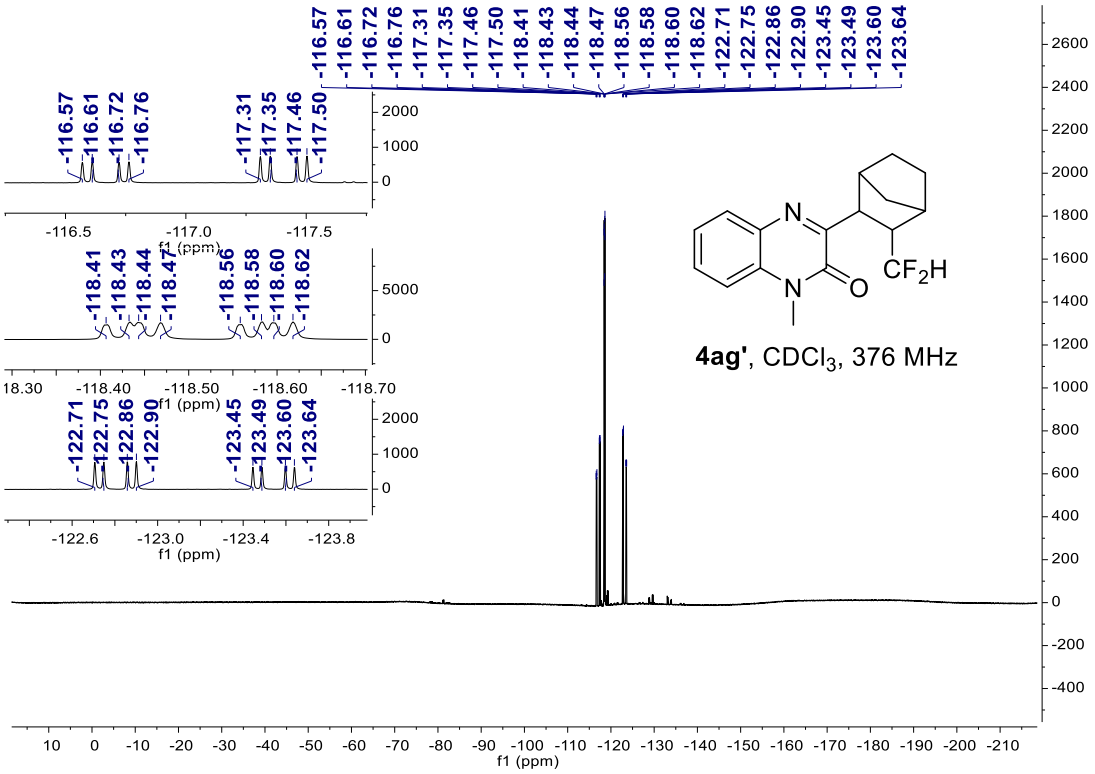

161.78
153.62
133.06
131.91
130.26
129.93
123.32
119.45
117.08
114.72
113.37
77.32
77.00
76.68
47.02
46.98
46.93
37.79
37.59
37.38
28.90
26.35
8.29
Et
Et
N
CF2H
N
O
4af', CDCl3, 100 MHz
f1 (ppm)


-111.48
-111.53
-111.57
-111.63
-111.68
-111.72
Et
Et
N
CF2H
N
O
4af', CDCl3, 376 MHz
f1 (ppm)

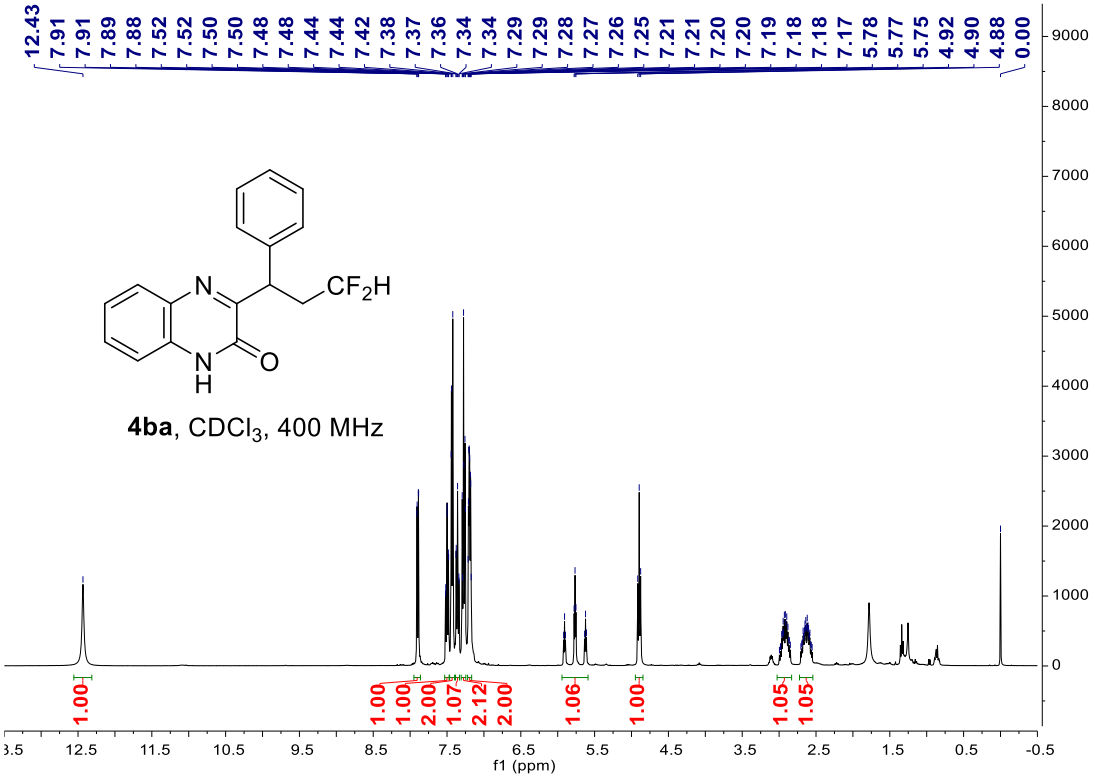
3-(3-(difluoromethyl)bicyclo[2.2.1]heptan-2-yl)-1-methylquinoxalin-2(1H)-one **(4ag')**

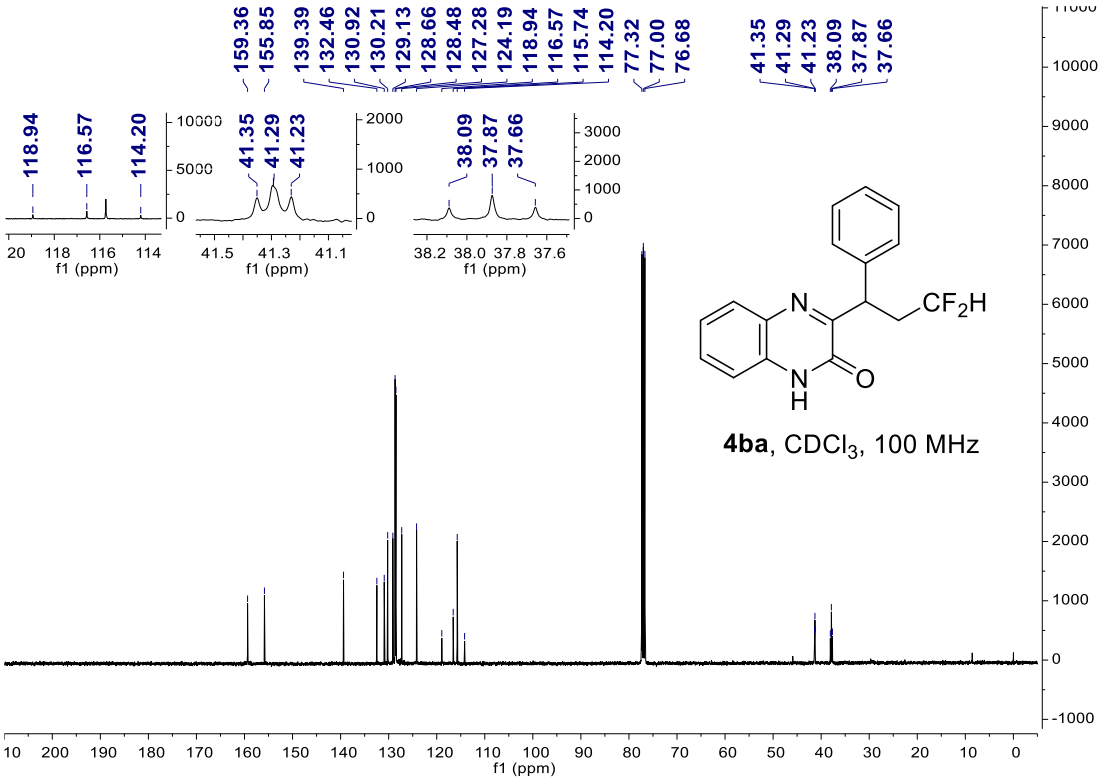


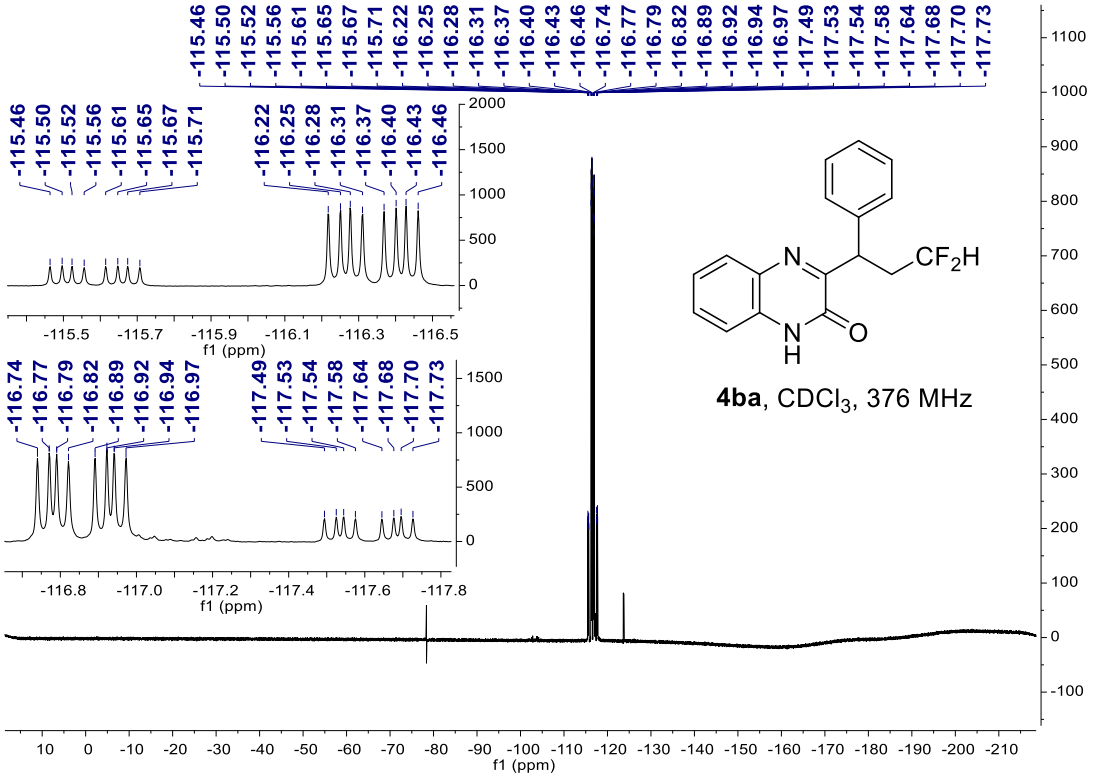




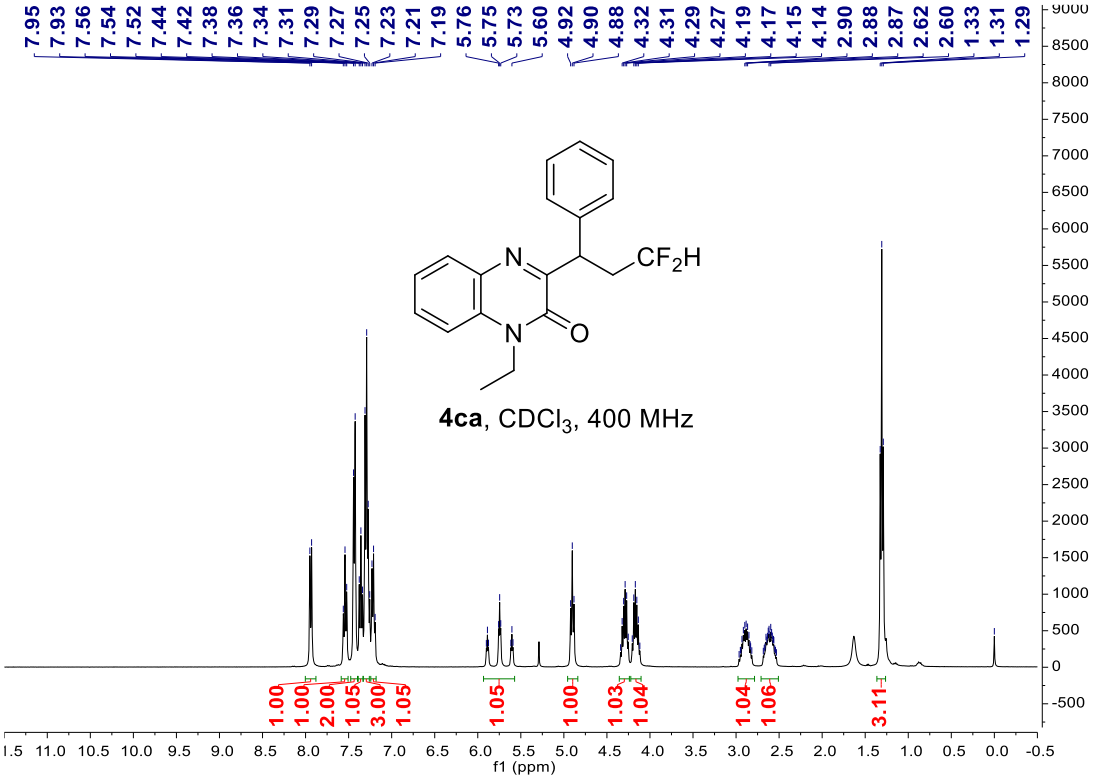
3-(3,3-difluoro-1-phenylpropyl)quinoxalin-2(1*H*)-one **(4ba)**

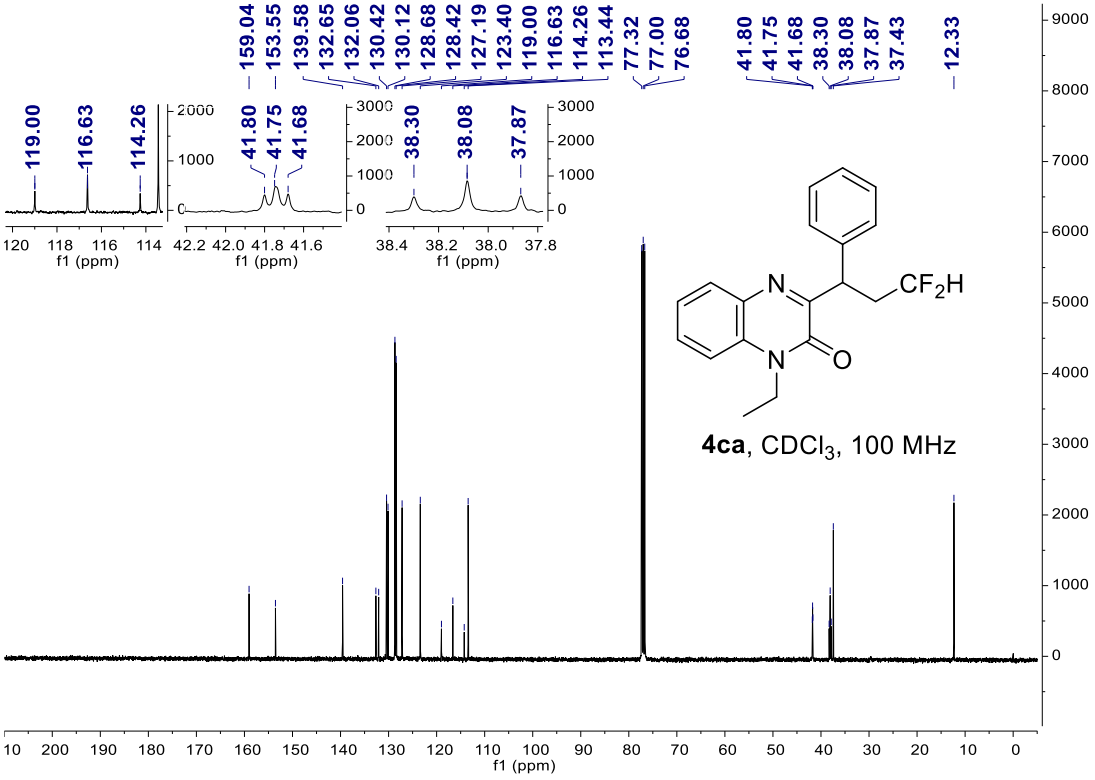


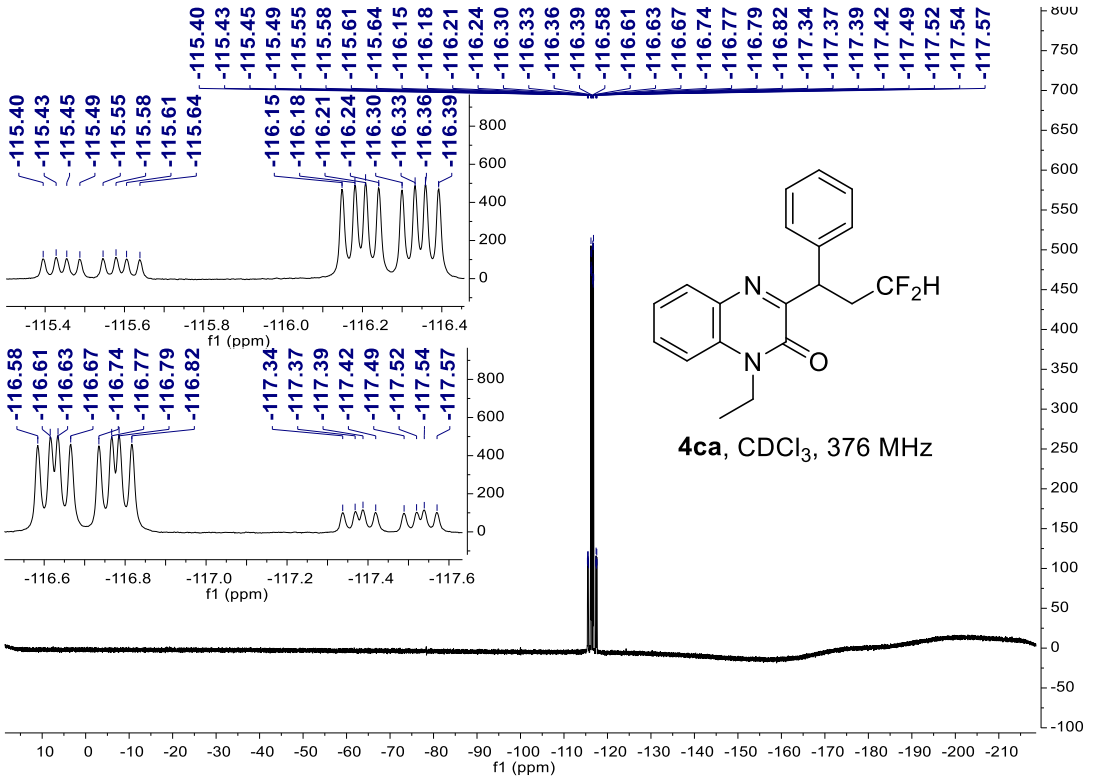

159.36
155.85
139.39
132.46
130.92
130.21
129.13
128.66
128.48
127.28
124.19
118.94
116.57
115.74
114.20
77.32
77.00
76.68
41.35
41.29
41.23
38.09
37.87
37.66
f1 (ppm)
N
N
H
O
CF2H
4ba, CDCl3, 100 MHz


-115.46
-115.50
-115.52
-115.56
-115.61
-115.65
-115.67
-115.71
-116.22
-116.25
-116.28
-116.31
-116.37
-116.40
-116.43
-116.46
-116.74
-116.77
-116.79
-116.82
-116.89
-116.92
-116.94
-116.97
-117.49
-117.53
-117.54
-117.58
-117.64
-117.68
-117.70
-117.73
f1 (ppm)
N
N
H
O
CF2H
4ba, CDCl3, 376 MHz

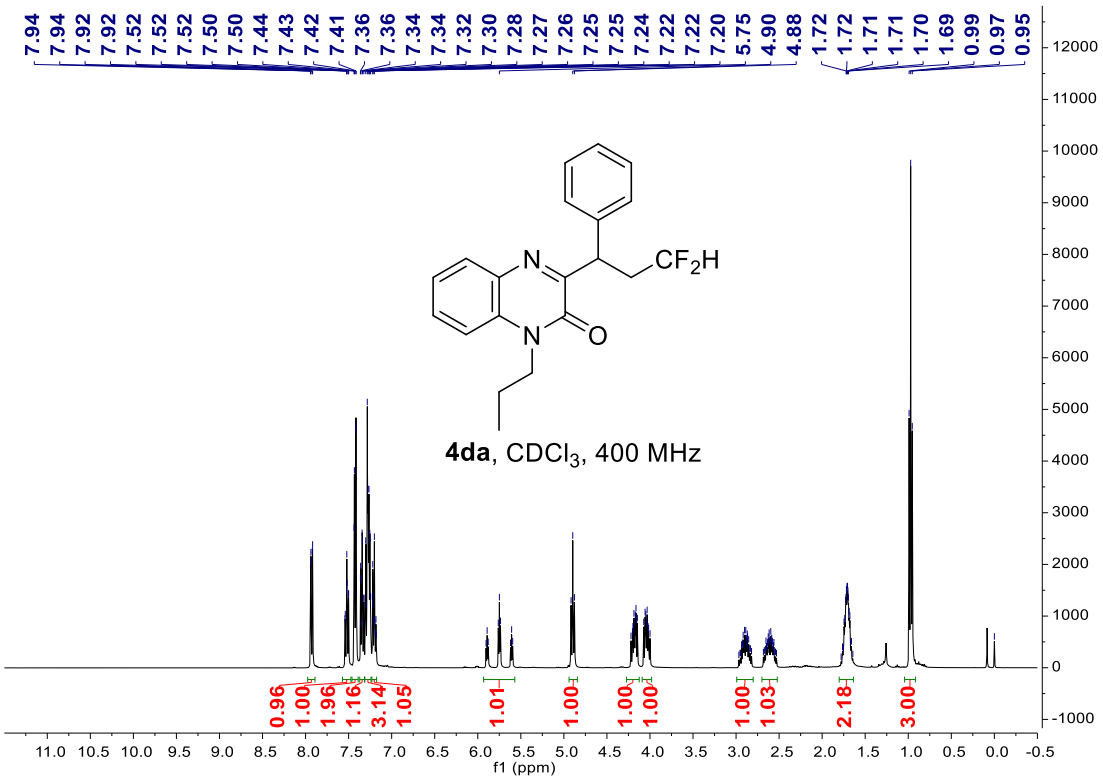
3-(3,3-difluoro-1-phenylpropyl)-1-ethylquinoxalin-2(1*H*)-one **(4ca)**

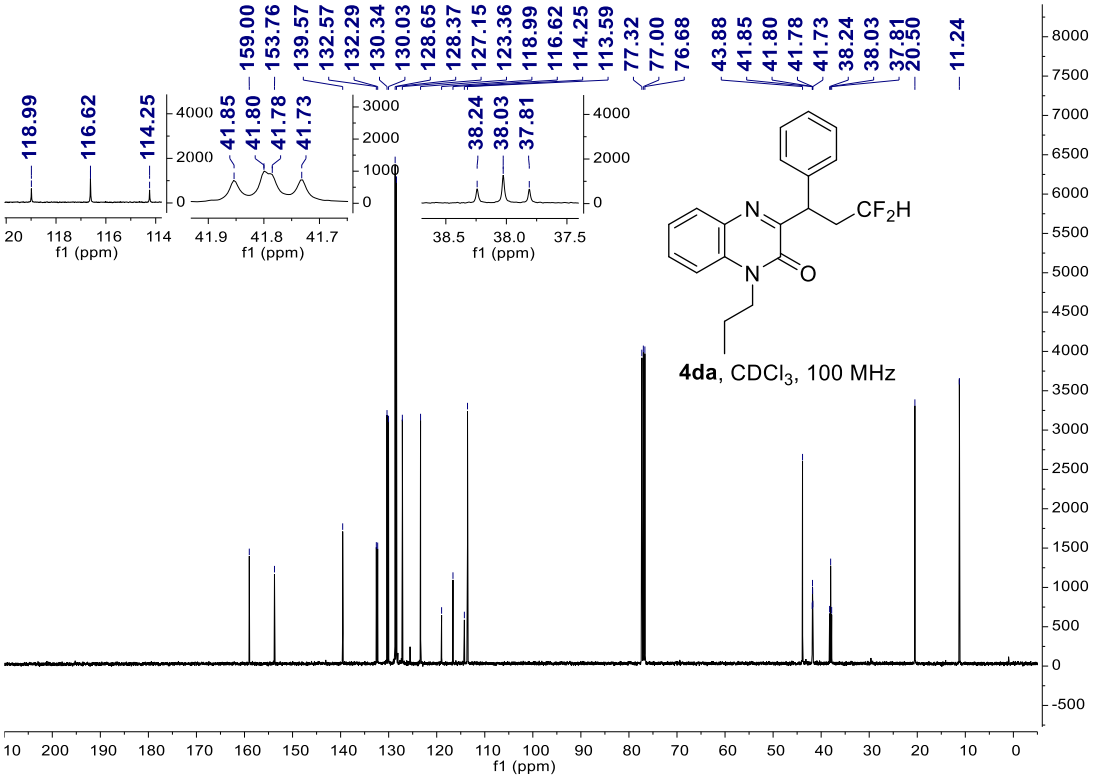


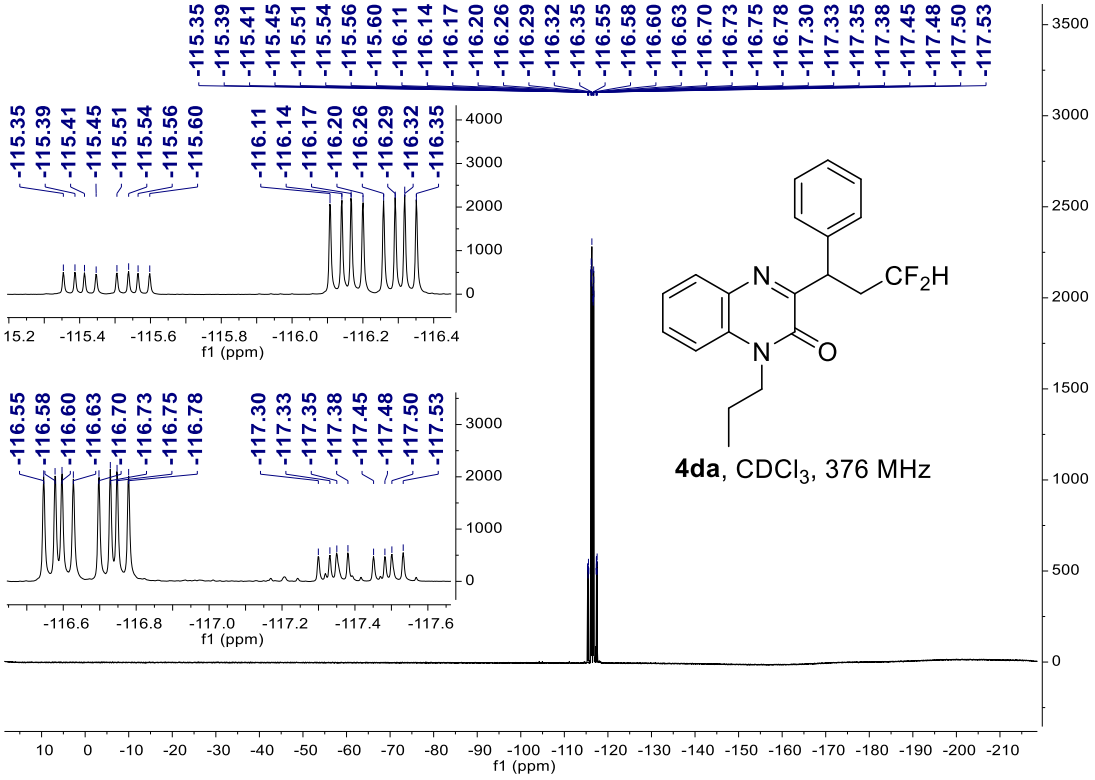




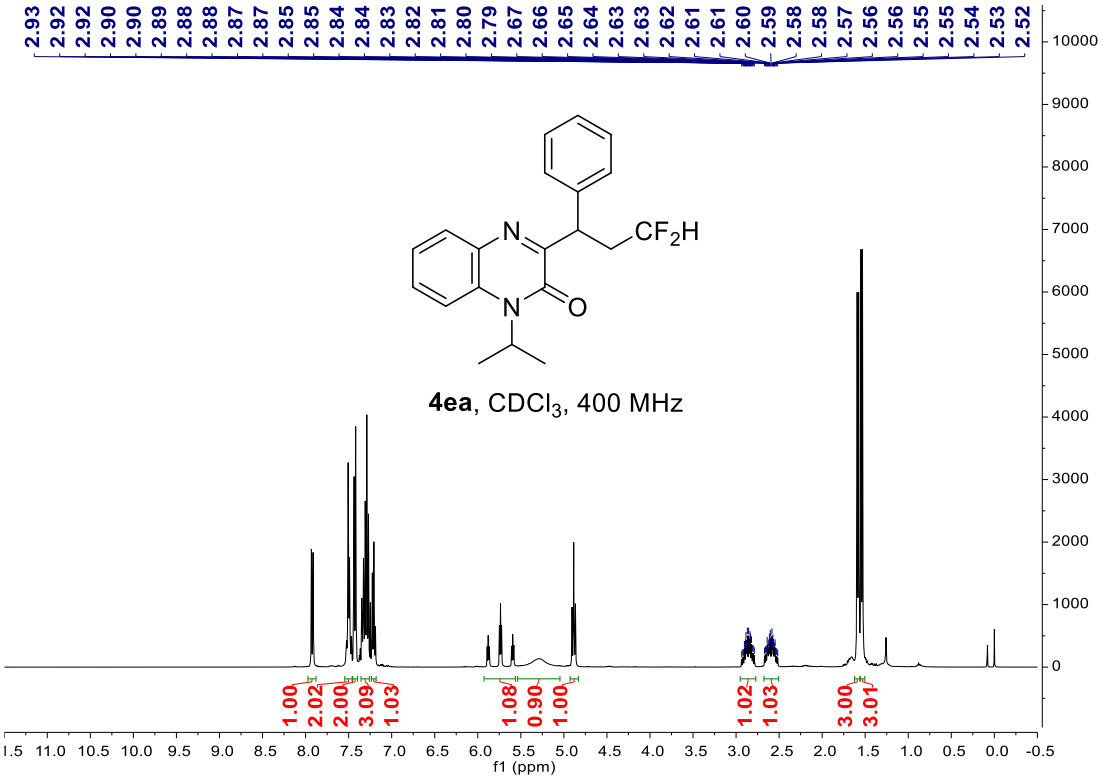
3-(3,3-difluoro-1-phenylpropyl)-1-propylquinoxalin-2(1*H*)-one **(4da)**

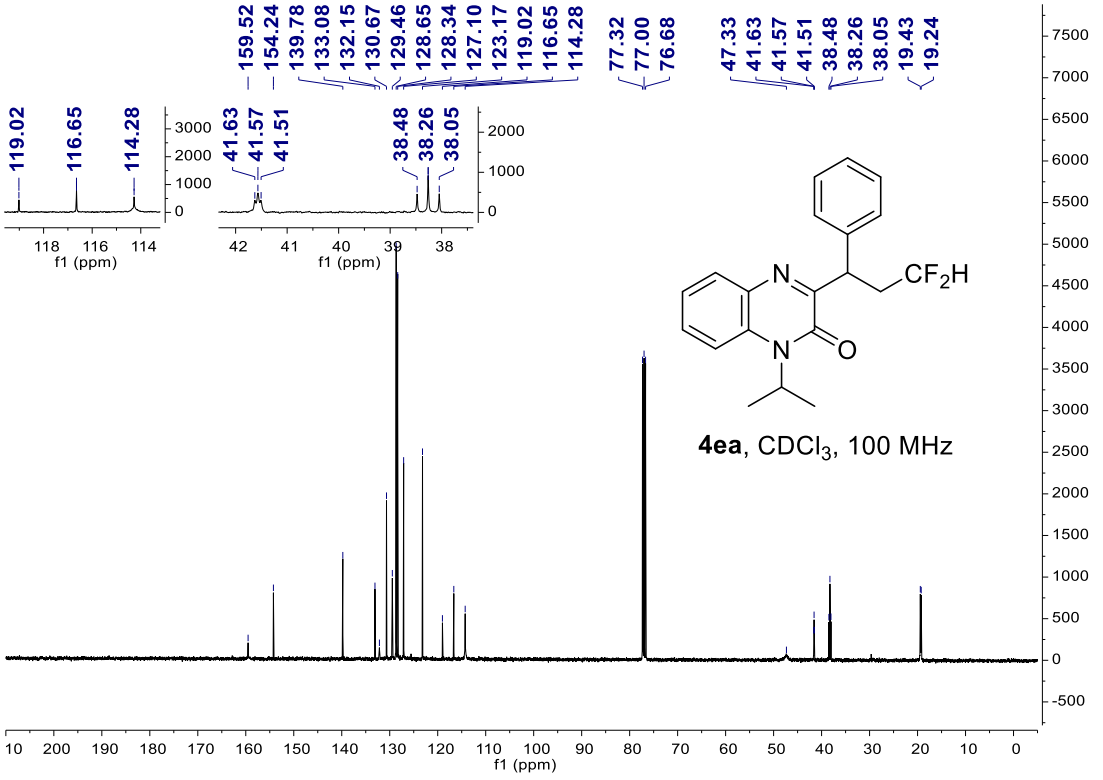


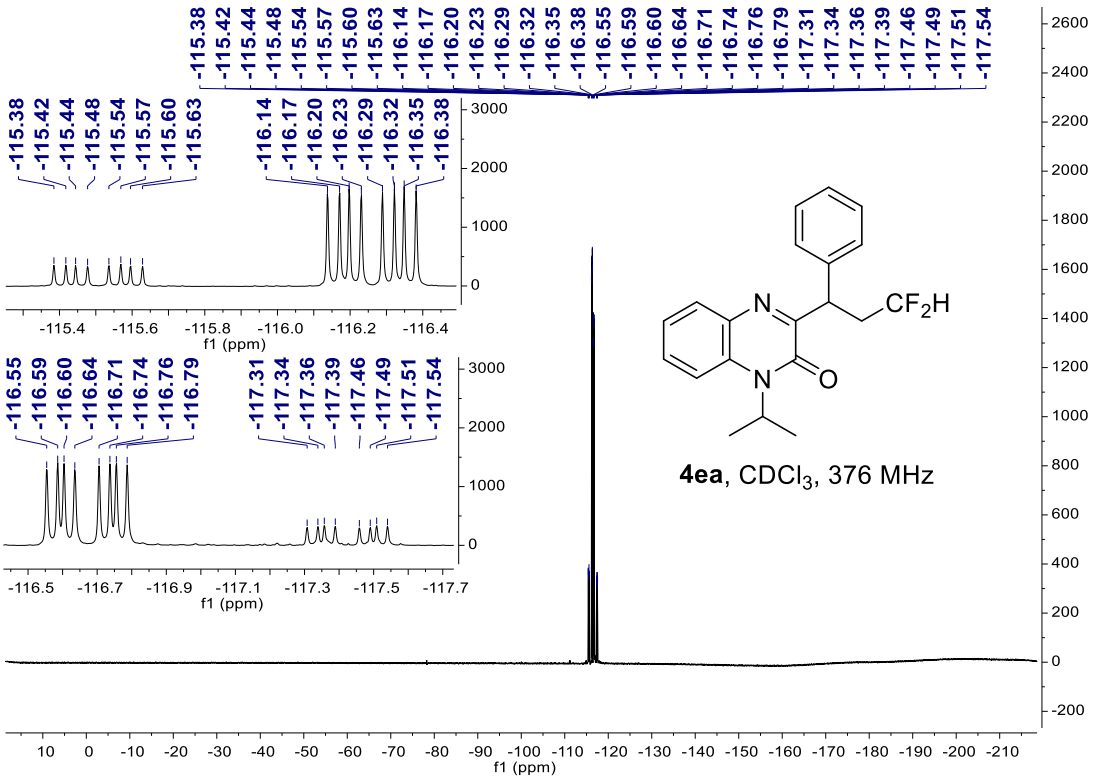

159.00
153.76
139.57
132.57
132.29
130.34
130.03
128.65
128.37
127.15
123.36
118.99
116.62
114.25
113.59
77.32
77.00
76.68
43.88
41.85
41.80
41.78
41.73
38.24
38.03
37.81
20.50
11.24
118.99
116.62
114.25
41.85
41.80
41.78
41.73
38.24
38.03
37.81
f1 (ppm)
CF2H
4da, CDCl3, 100 MHz
f1 (ppm)


-115.35
-115.39
-115.41
-115.45
-115.51
-115.54
-115.56
-115.60
-116.11
-116.14
-116.17
-116.20
-116.26
-116.29
-116.32
-116.35
-116.55
-116.58
-116.60
-116.63
-116.70
-116.73
-116.75
-116.78
-117.30
-117.33
-117.35
-117.38
-117.45
-117.48
-117.50
-117.53
f1 (ppm)
CF2H
4da, CDCl3, 376 MHz
f1 (ppm)

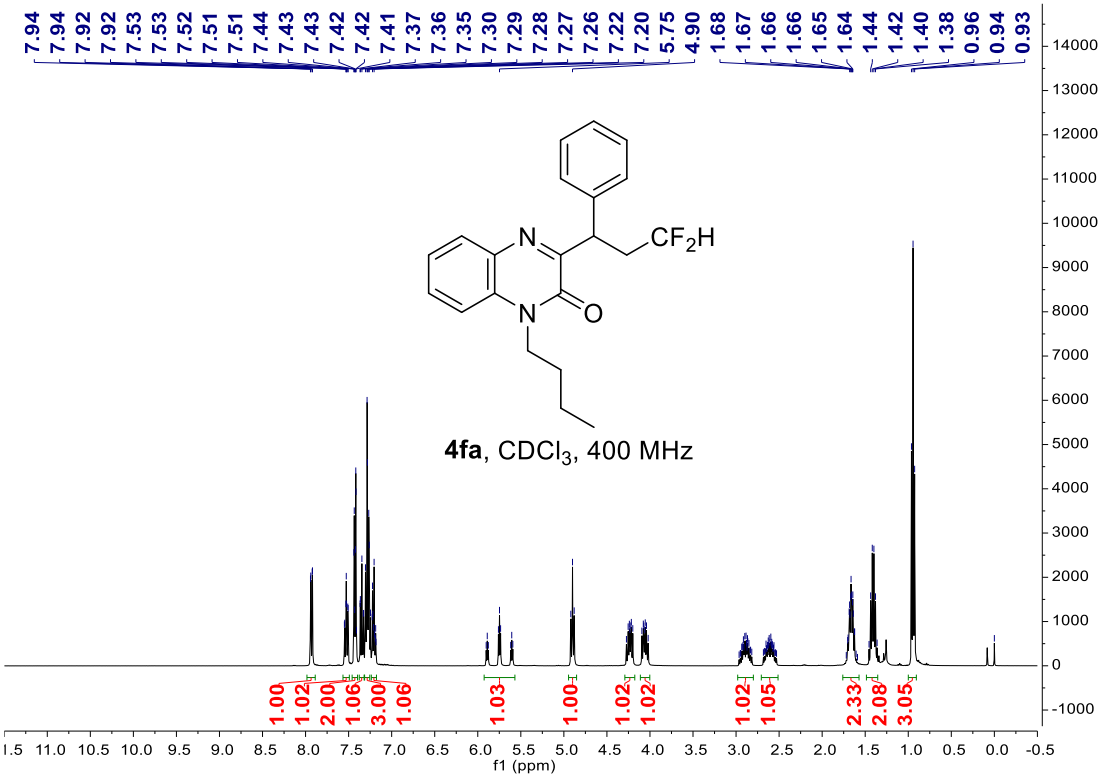
3-(3,3-difluoro-1-phenylpropyl)-1-isopropylquinoxalin-2(1*H*)-one **(4ea)**

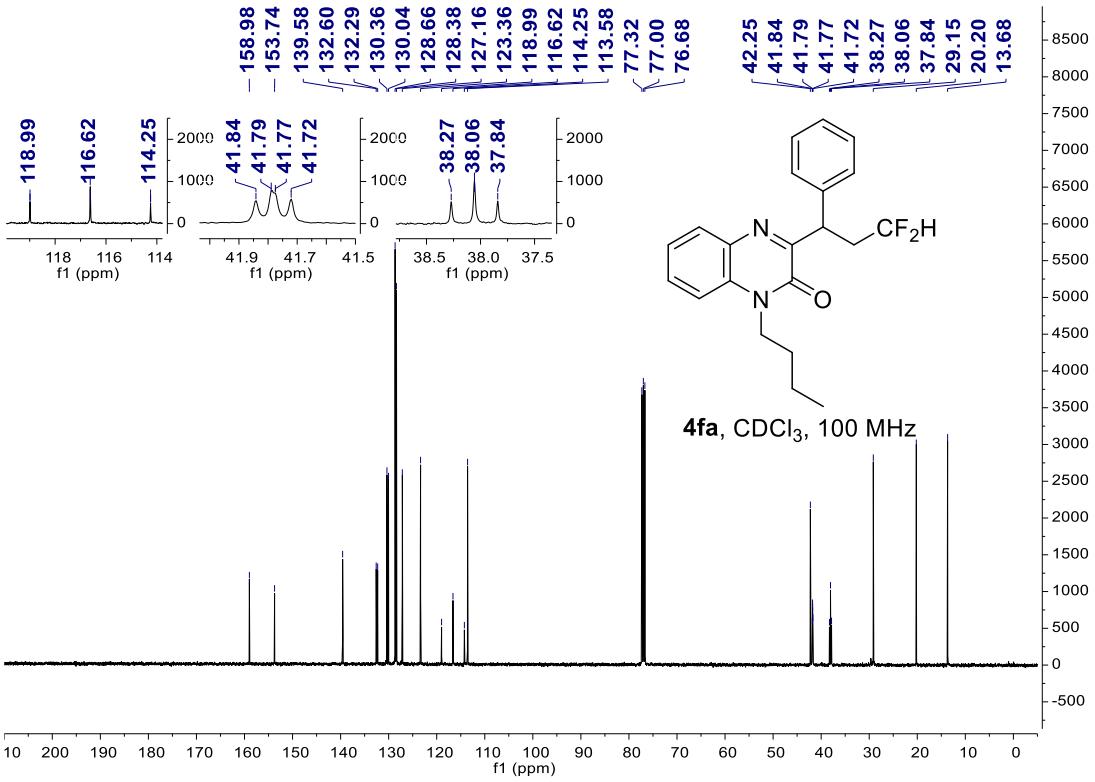


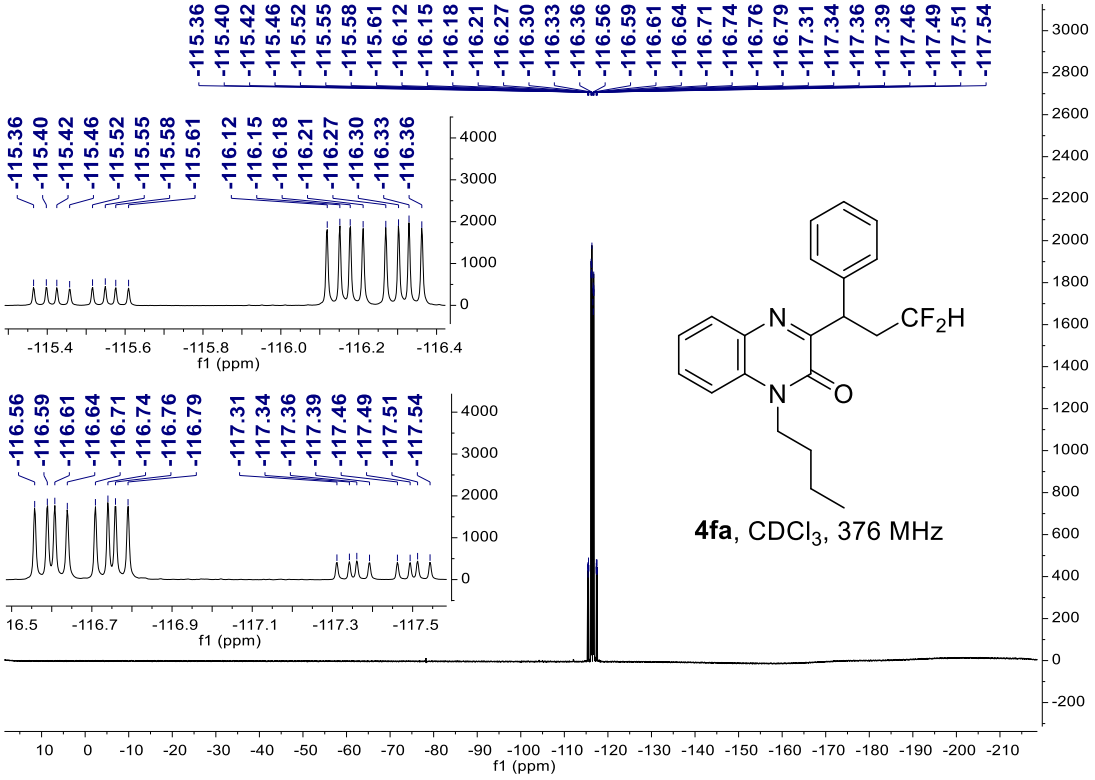




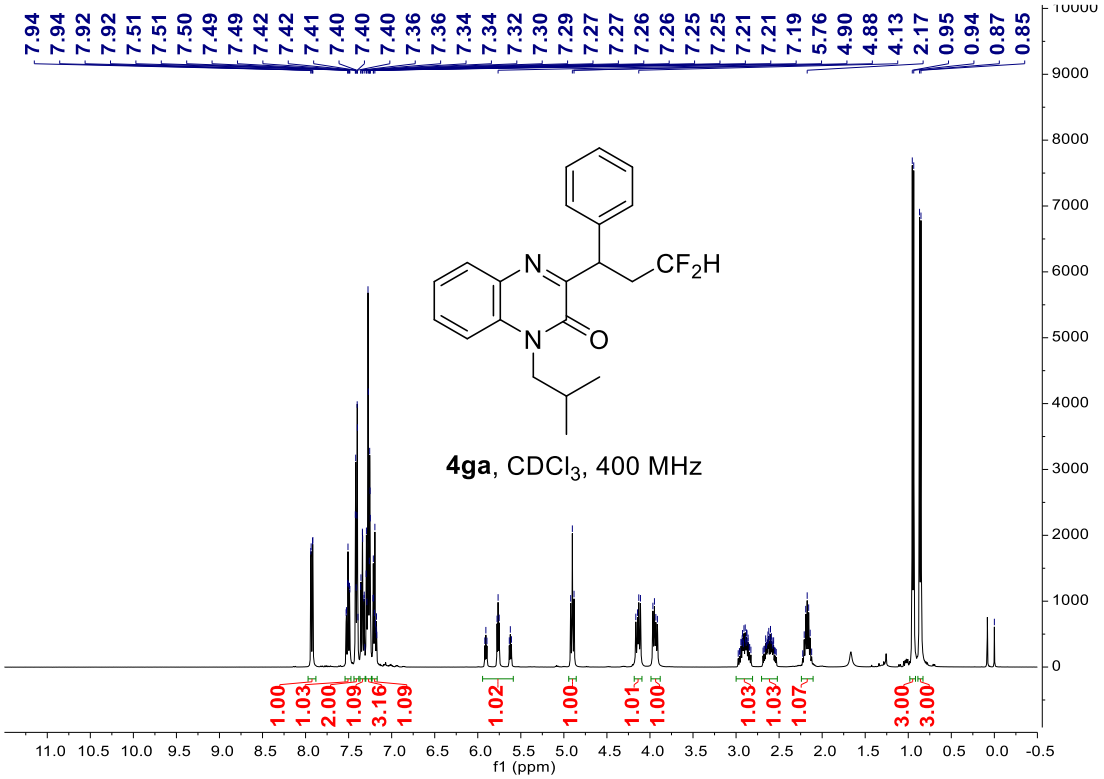
1-butyl-3-(3,3-difluoro-1-phenylpropyl)quinoxalin-2(1*H*)-one **(4fa)**

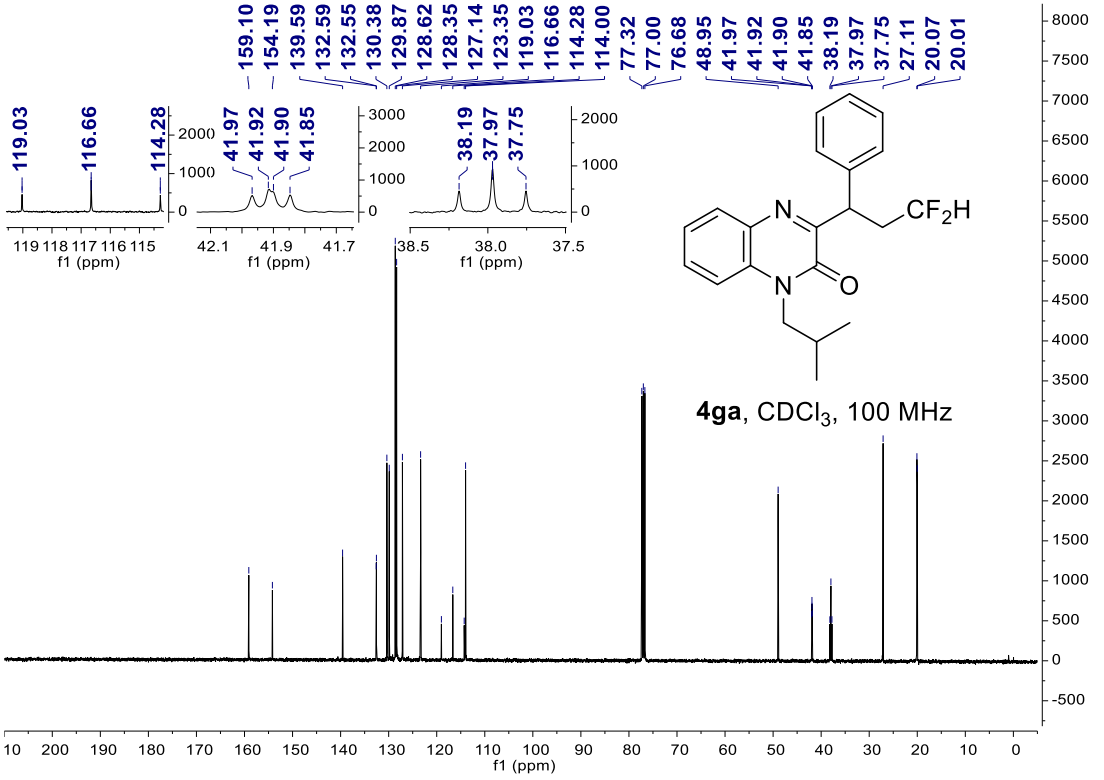


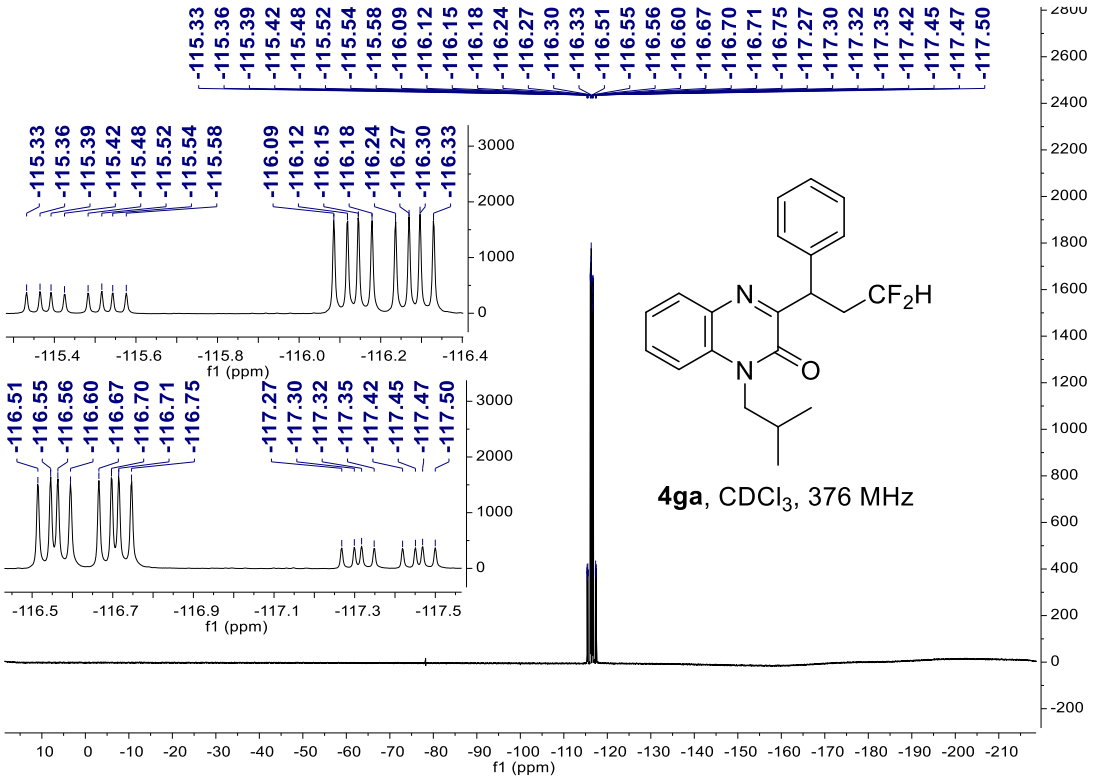

158.98
153.74
139.58
132.60
132.29
130.36
130.04
128.66
128.38
127.16
123.36
118.99
116.62
114.25
113.58
77.32
77.00
76.68
42.25
41.84
41.79
41.77
41.72
38.27
38.06
37.84
29.15
20.20
13.68
118.99
116.62
114.25
41.84
41.79
41.77
41.72
38.27
38.06
37.84
f1 (ppm)
CF2H
N
O
4fa, CDCl3, 100 MHz


-115.36
-115.40
-115.42
-115.46
-115.52
-115.55
-115.58
-115.61
-116.12
-116.15
-116.18
-116.21
-116.27
-116.30
-116.33
-116.36
-116.56
-116.59
-116.61
-116.64
-116.71
-116.74
-116.76
-116.79
-117.31
-117.34
-117.36
-117.39
-117.46
-117.49
-117.51
-117.54
f1 (ppm)
CF2H
N
O
4fa, CDCl3, 376 MHz

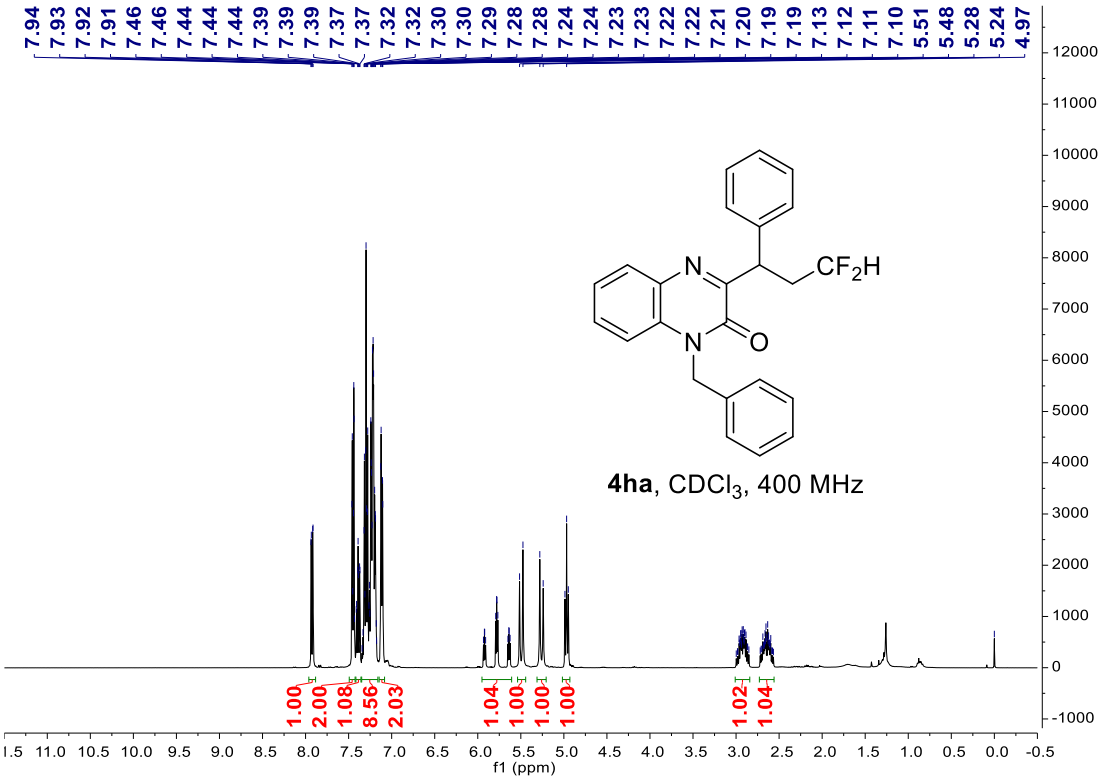
3-(3,3-difluoro-1-phenylpropyl)-1-isobutylquinoxalin-2(1*H*)-one **(4ga)**

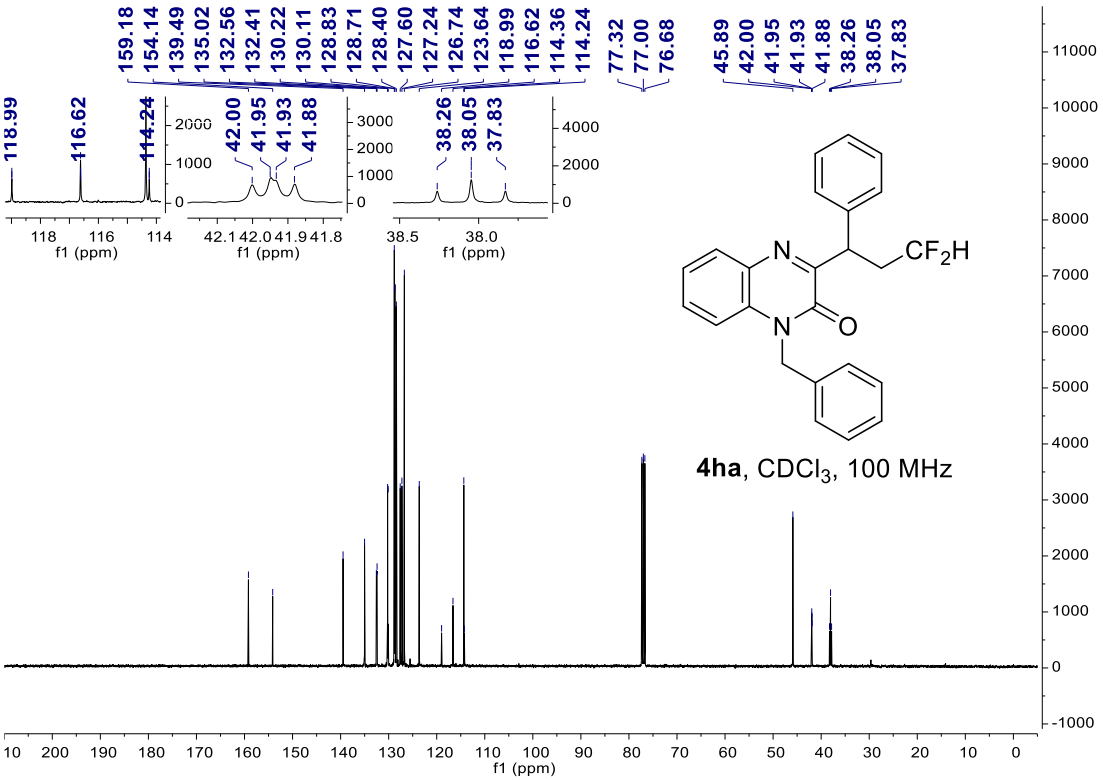


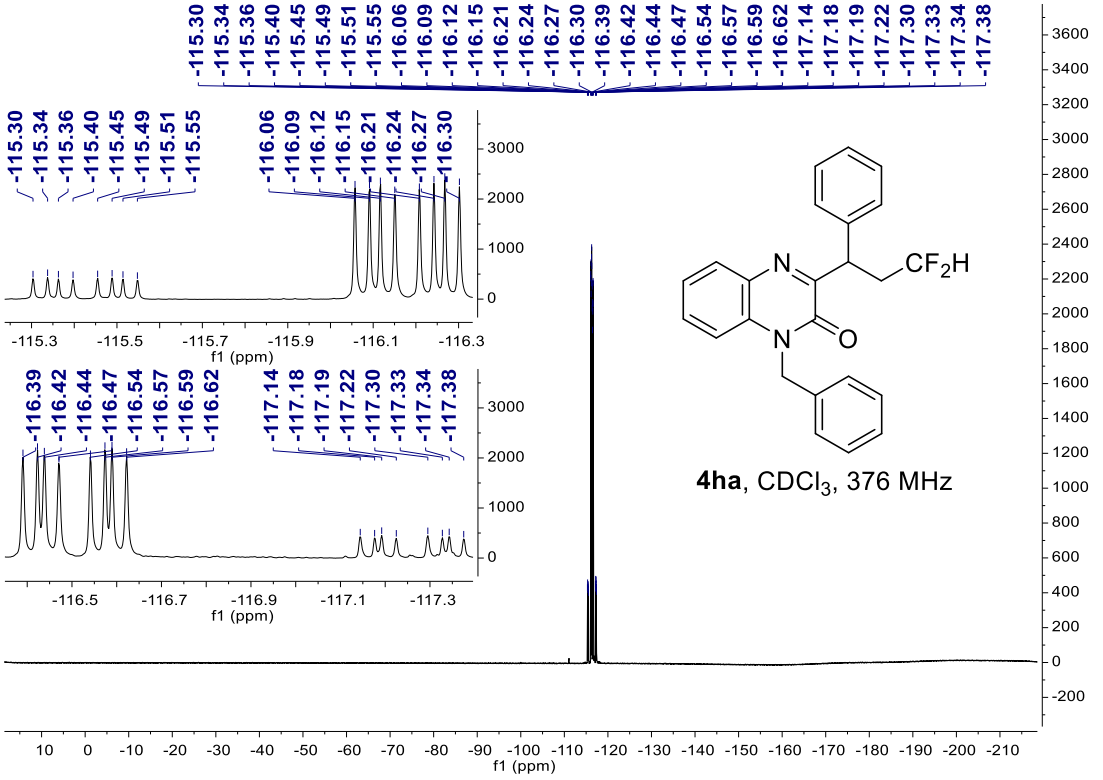




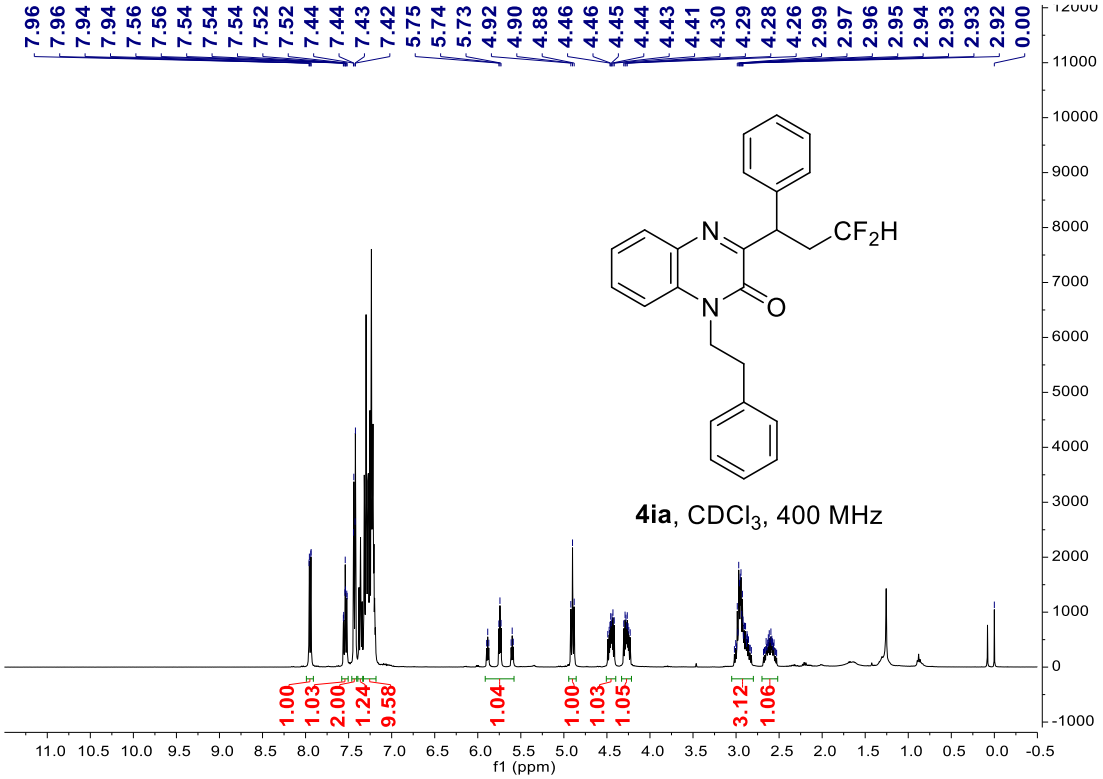
1-benzyl-3-(3,3-difluoro-1-phenylpropyl)quinoxalin-2(1*H*)-one **(4ha)**

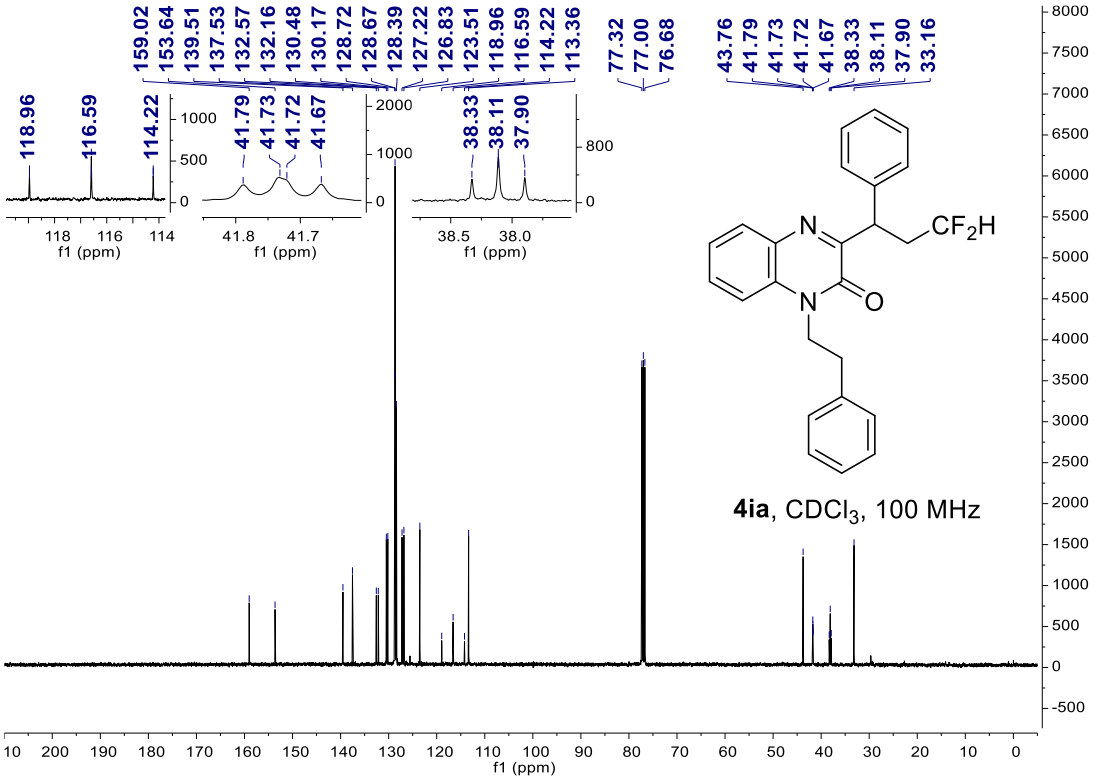


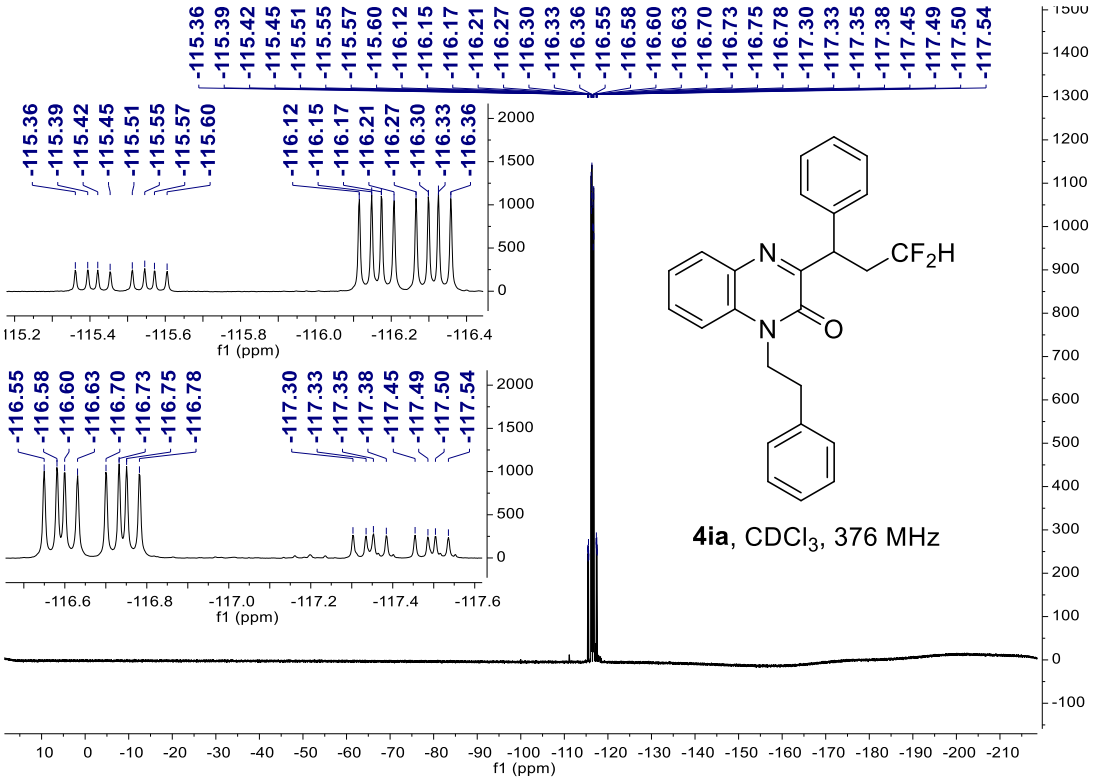

159.18
154.14
139.49
135.02
132.56
132.41
130.22
130.11
128.83
128.71
128.40
127.60
127.24
126.74
123.64
118.99
116.62
114.36
114.24
77.32
77.00
76.68
45.89
42.00
41.95
41.93
41.88
38.26
38.05
37.83
118.99
116.62
114.24
42.00
41.95
41.93
41.88
38.26
38.05
37.83
f1 (ppm)
f1 (ppm)
f1 (ppm)
N
N
O
CF2H
4ha, CDCl3, 100 MHz
f1 (ppm)


-115.30
-115.34
-115.36
-115.40
-115.45
-115.49
-115.51
-115.55
-116.06
-116.09
-116.12
-116.15
-116.21
-116.24
-116.27
-116.30
-116.39
-116.42
-116.44
-116.47
-116.54
-116.57
-116.59
-116.62
-117.14
-117.18
-117.19
-117.22
-117.30
-117.33
-117.34
-117.38
f1 (ppm)
f1 (ppm)
N
N
O
CF2H
4ha, CDCl3, 376 MHz
f1 (ppm)

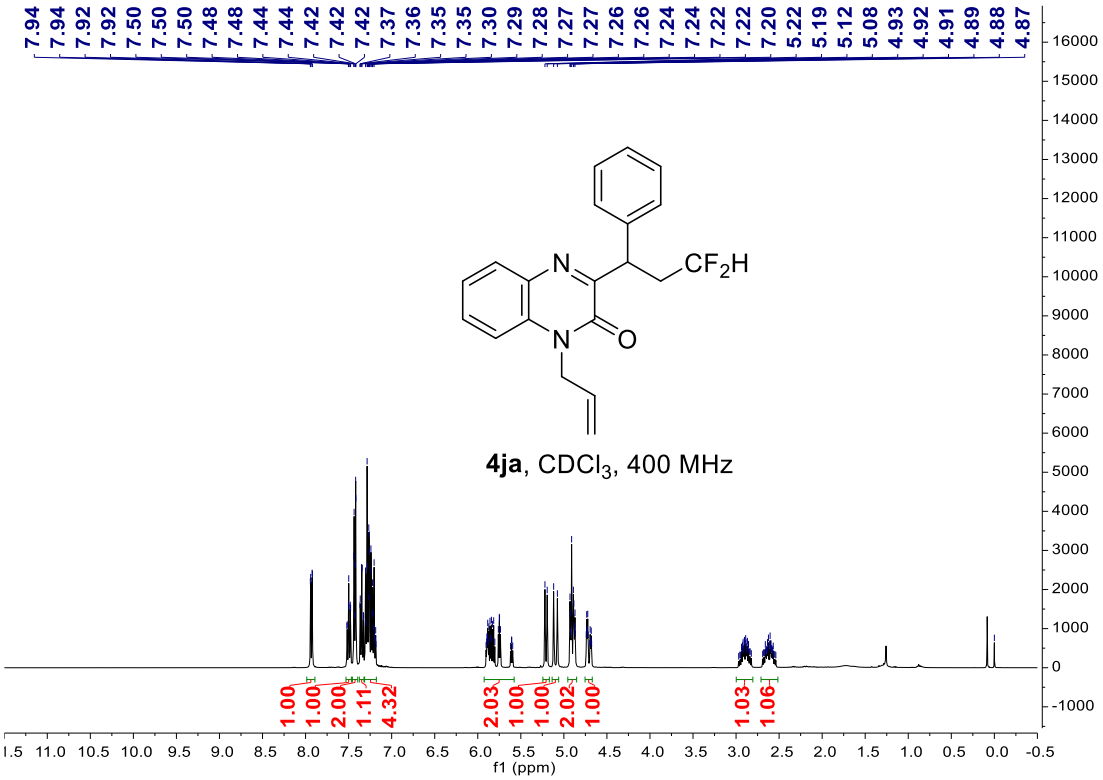
3-(3,3-difluoro-1-phenylpropyl)-1-phenethylquinoxalin-2(1*H*)-one **(4ia)**

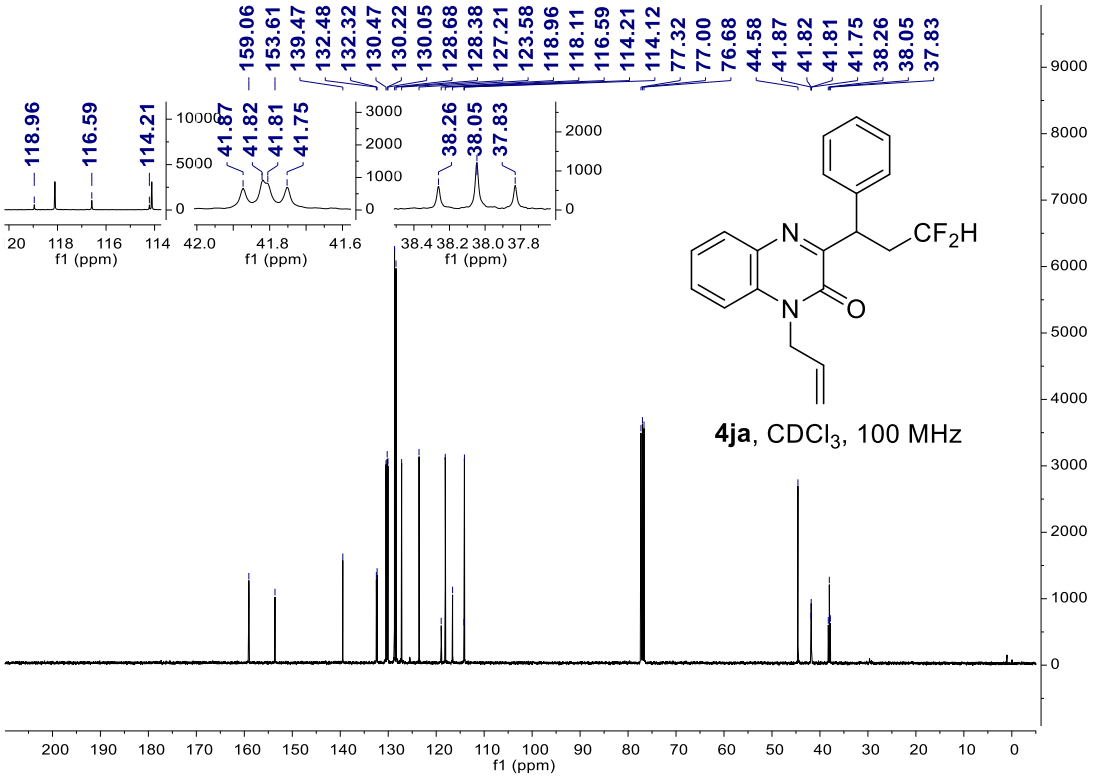


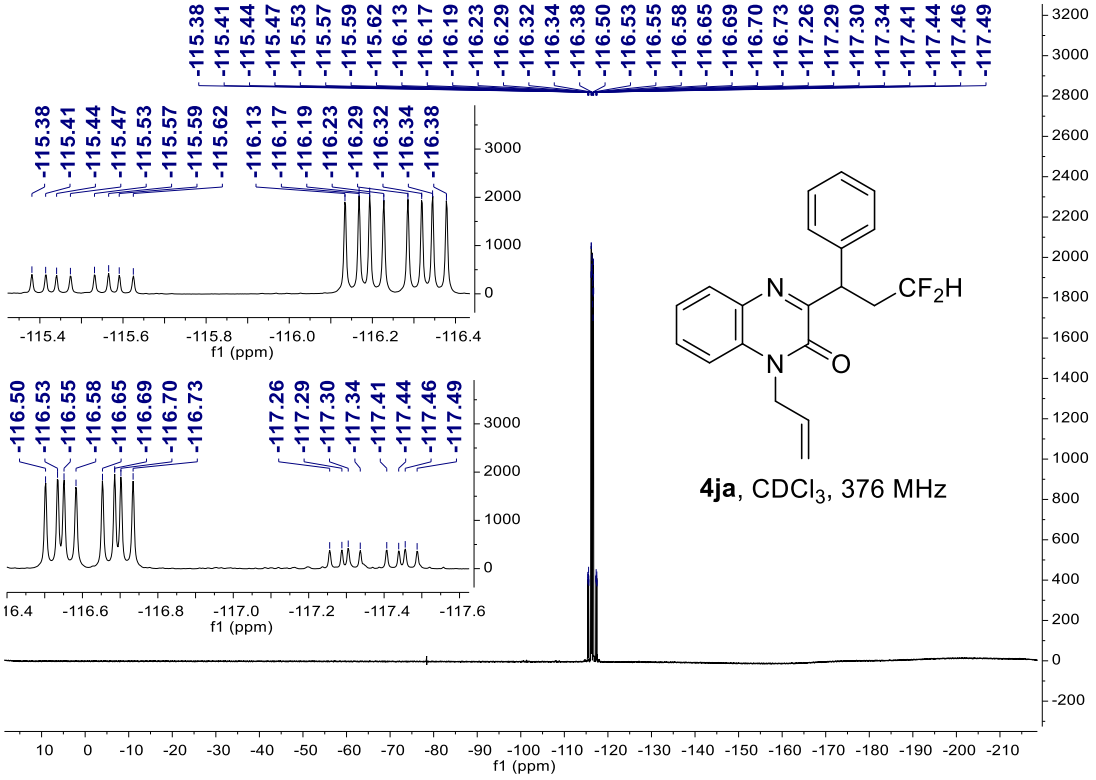




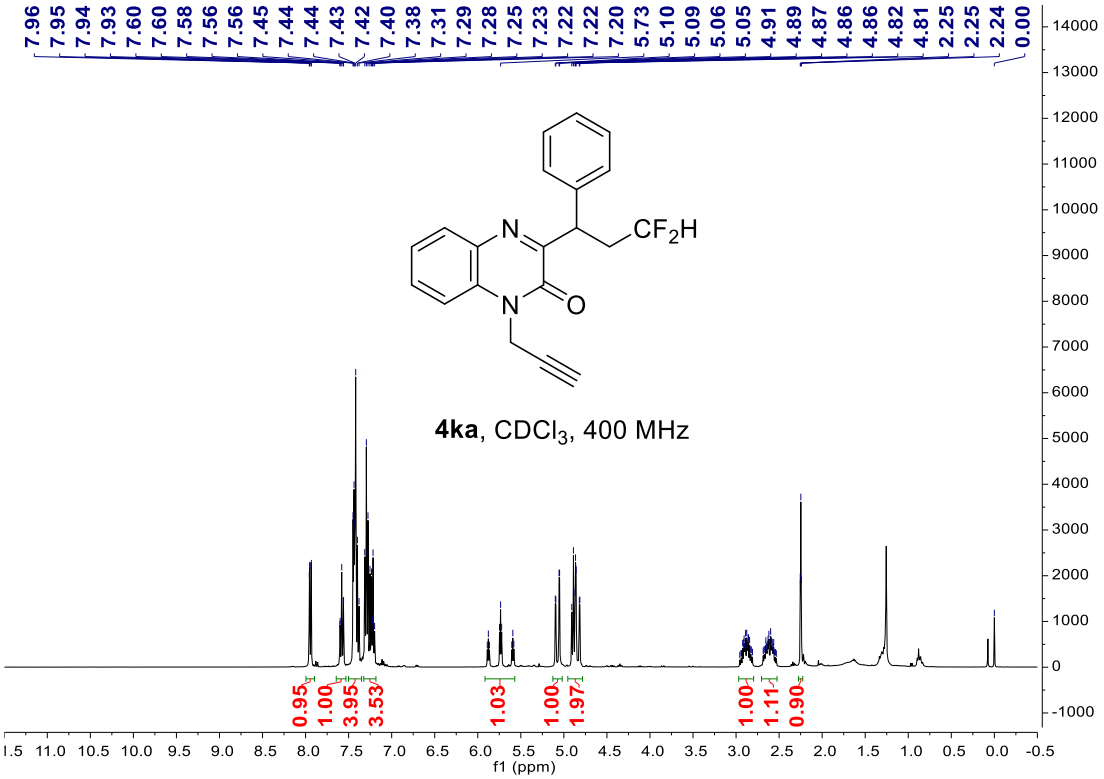
1-allyl-3-(3,3-difluoro-1-phenylpropyl)quinoxalin-2(1*H*)-one **(4ja)**

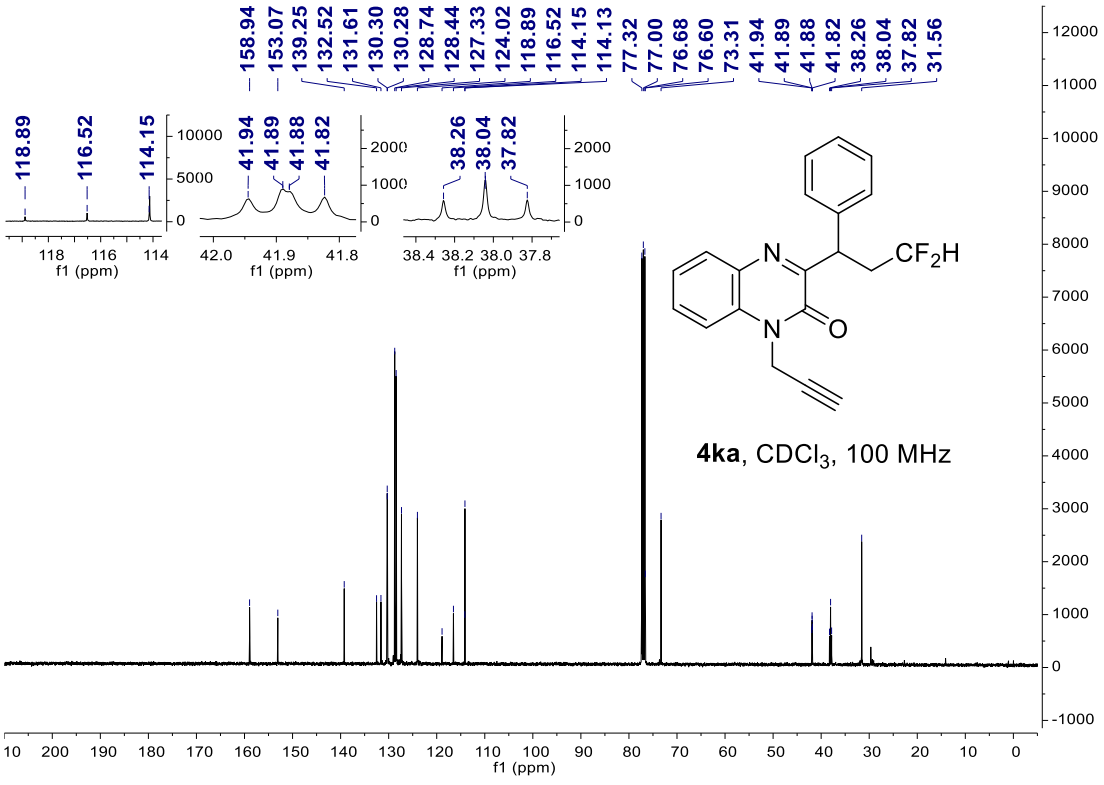


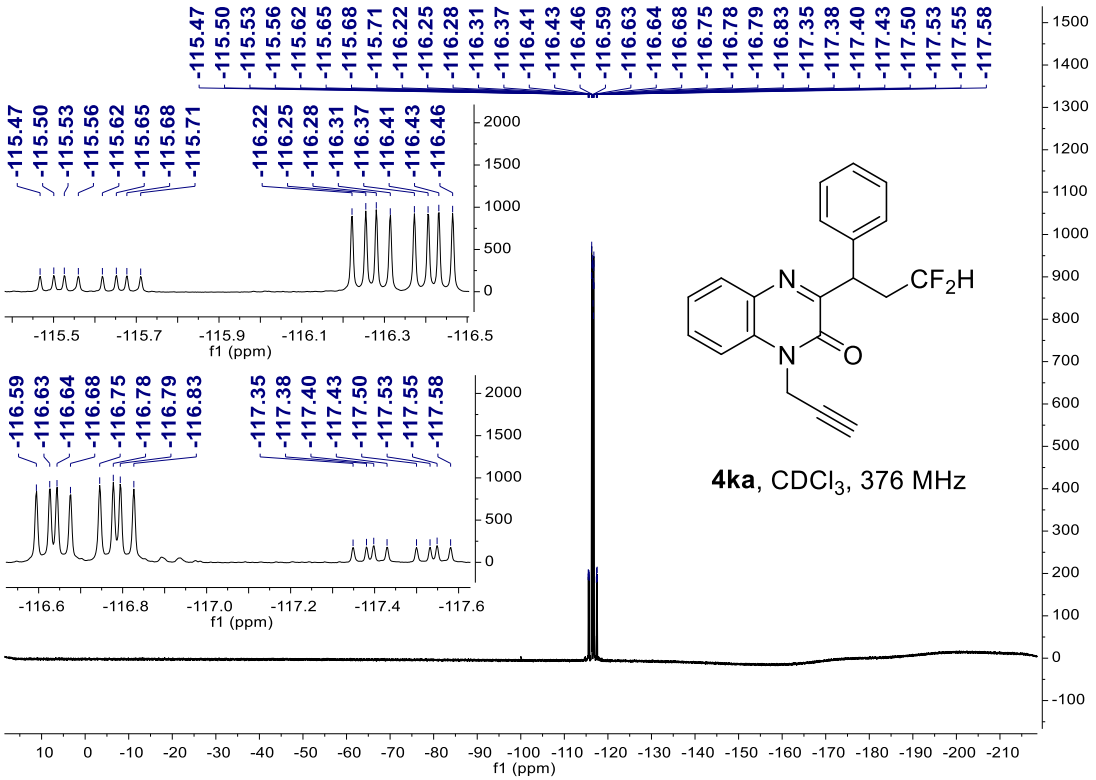

159.06
153.61
139.47
132.48
132.32
130.47
130.22
130.05
128.68
128.38
127.21
123.58
118.96
118.11
116.59
114.21
114.12
77.32
77.00
76.68
44.58
41.87
41.82
41.81
41.75
38.26
38.05
37.83
f1 (ppm)
CF2H
N
O
4ja, CDCl3, 100 MHz


-115.38
-115.41
-115.44
-115.47
-115.53
-115.57
-115.59
-115.62
-116.13
-116.17
-116.19
-116.23
-116.29
-116.32
-116.34
-116.38
-116.50
-116.53
-116.55
-116.58
-116.65
-116.69
-116.70
-116.73
-117.26
-117.29
-117.30
-117.34
-117.41
-117.44
-117.46
-117.49
f1 (ppm)
CF2H
N
O
4ja, CDCl3, 376 MHz

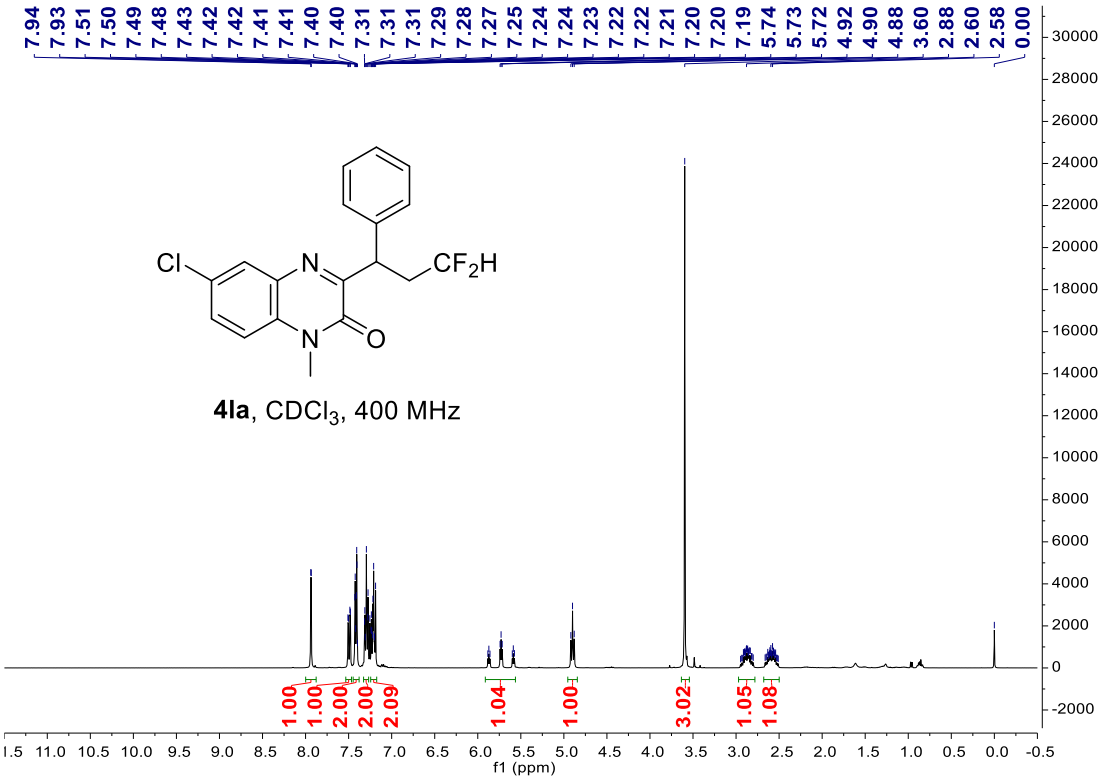
3-(3,3-difluoro-1-phenylpropyl)-1-(prop-2-yn-1-yl)quinoxalin-2(1*H*)-one **(4ka)**

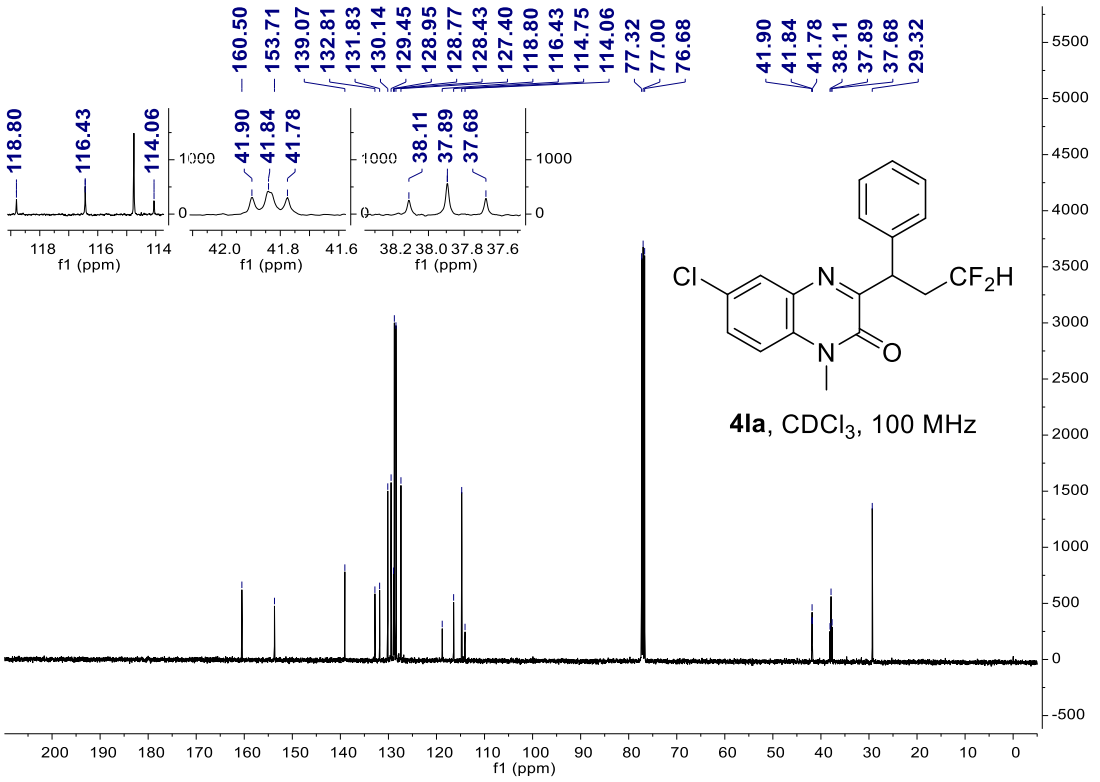


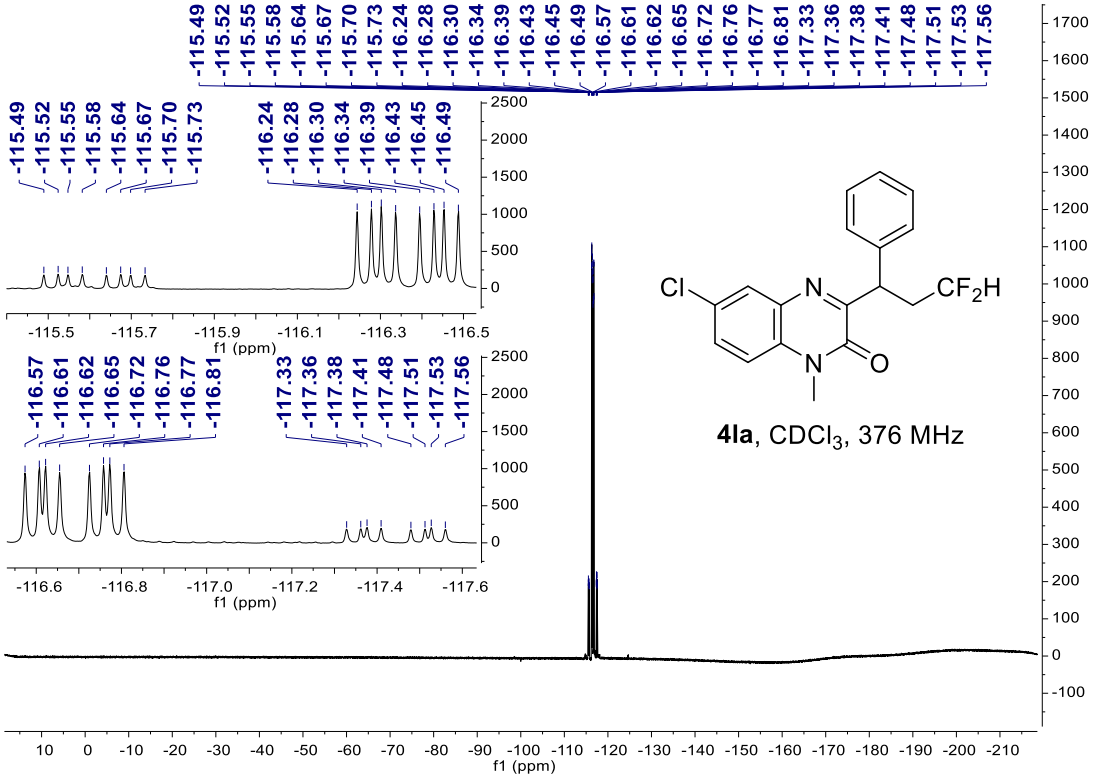




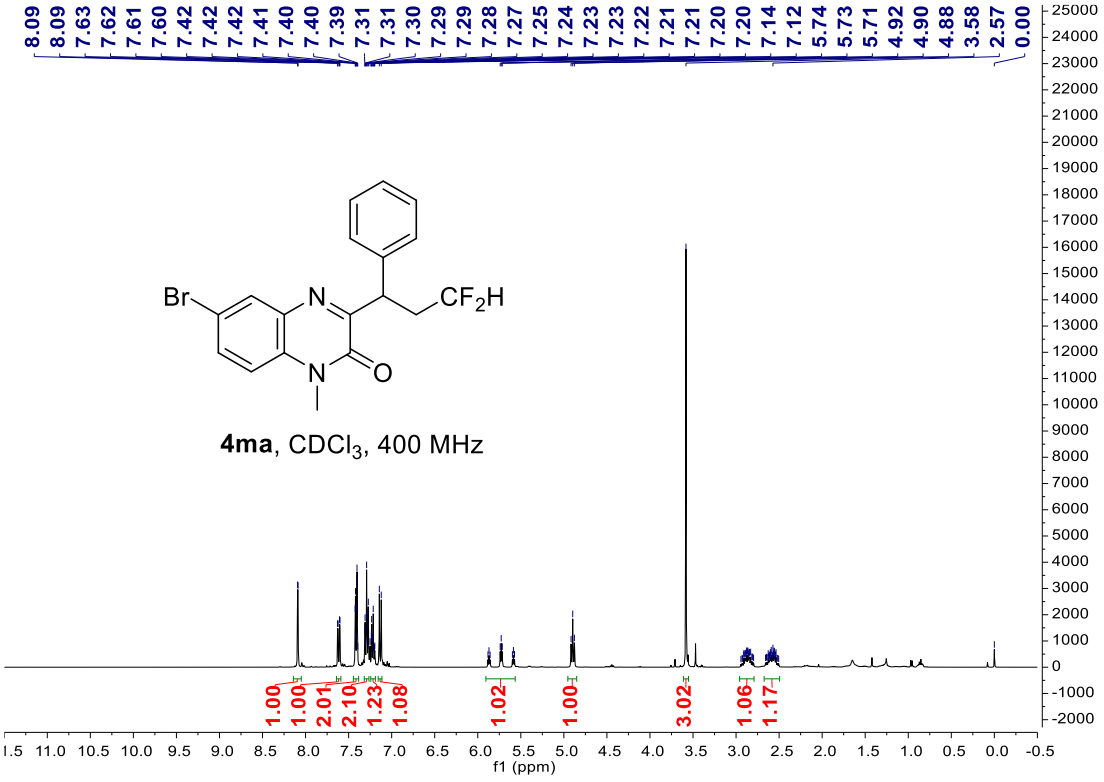
6-chloro-3-(3,3-difluoro-1-phenylpropyl)-1-methylquinoxalin-2(1*H*)-one **(4la)**

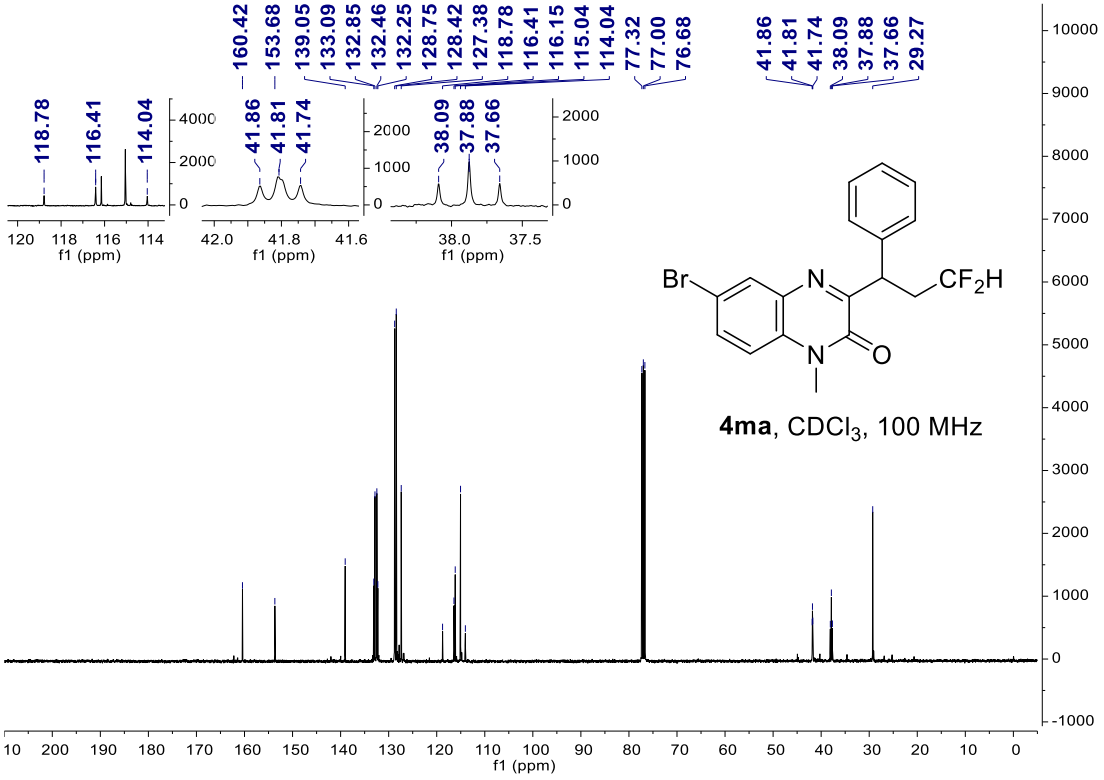


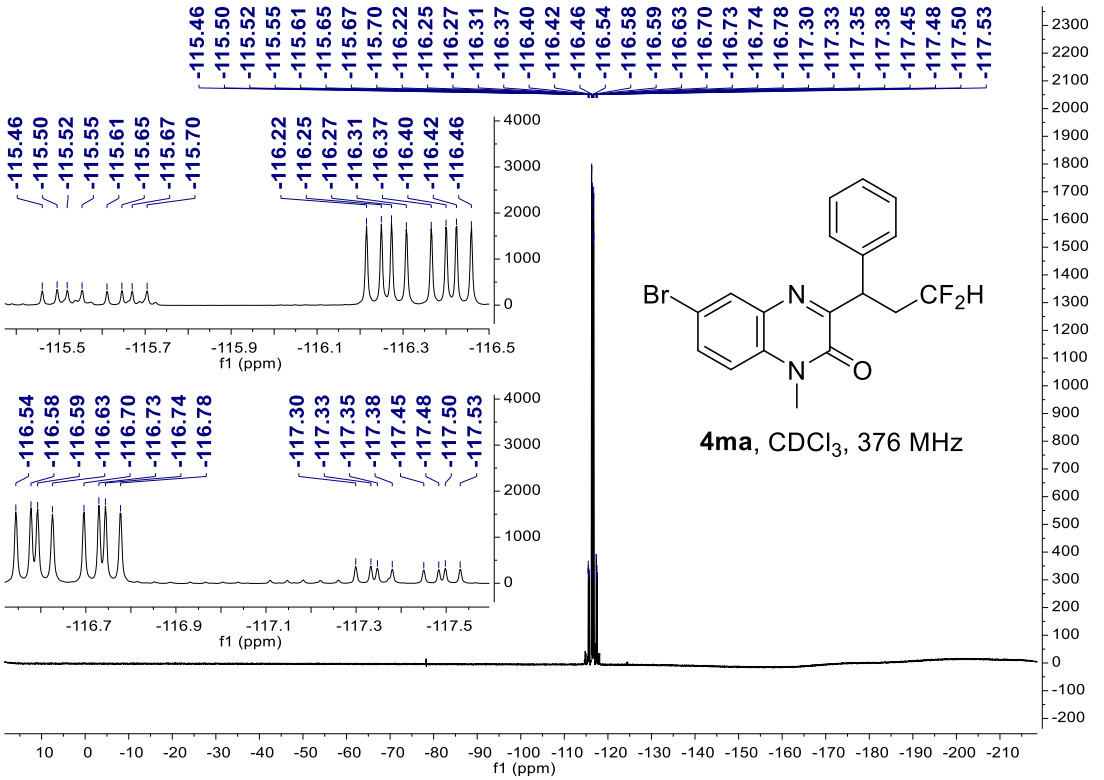

160.50
153.71
139.07
132.81
131.83
130.14
129.45
128.95
128.77
128.43
127.40
118.80
116.43
116.43
114.06
77.32
77.00
76.68
41.90
41.84
41.78
38.11
37.89
37.68
29.32
Cl
N
CF2H
N
O
4la, CDCl3, 100 MHz
f1 (ppm)


-115.49
-115.52
-115.55
-115.58
-115.64
-115.67
-115.70
-115.73
-116.24
-116.28
-116.30
-116.34
-116.39
-116.43
-116.45
-116.49
-116.57
-116.61
-116.62
-116.65
-116.72
-116.76
-116.77
-116.81
-117.33
-117.36
-117.38
-117.41
-117.48
-117.51
-117.53
-117.56
Cl
N
CF2H
N
O
4la, CDCl3, 376 MHz
f1 (ppm)

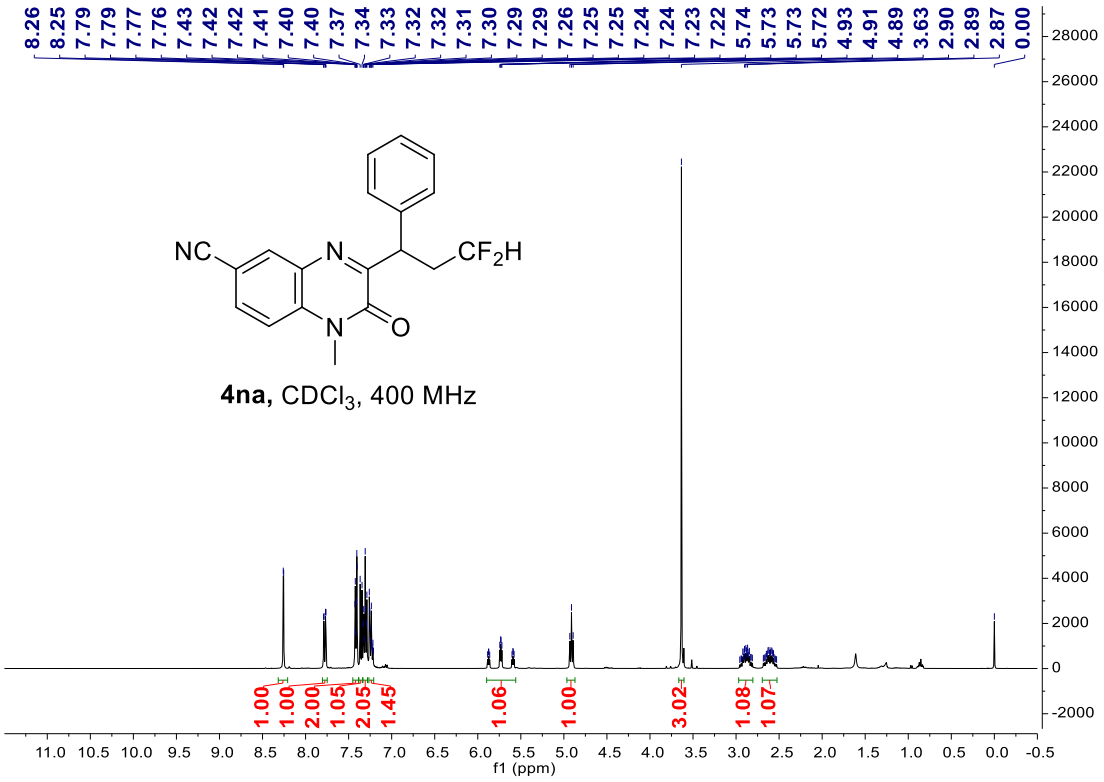
6-bromo-3-(3,3-difluoro-1-phenylpropyl)-1-methylquinoxalin-2(1*H*)-one **(4ma)**

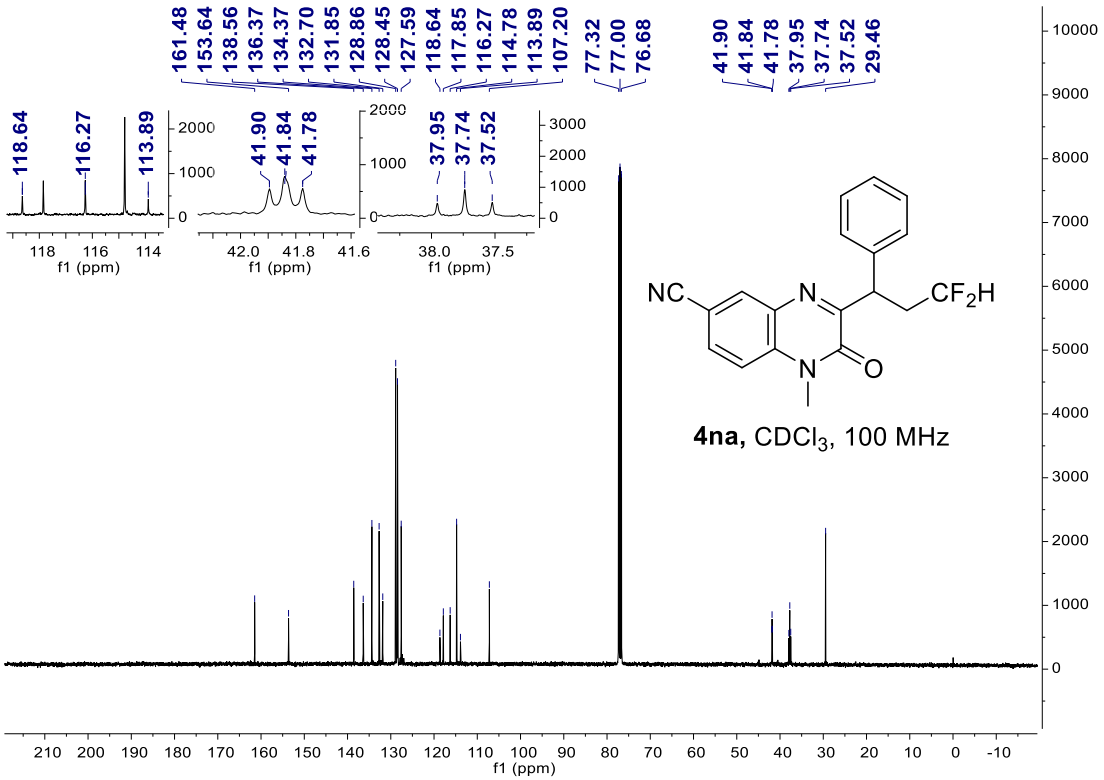


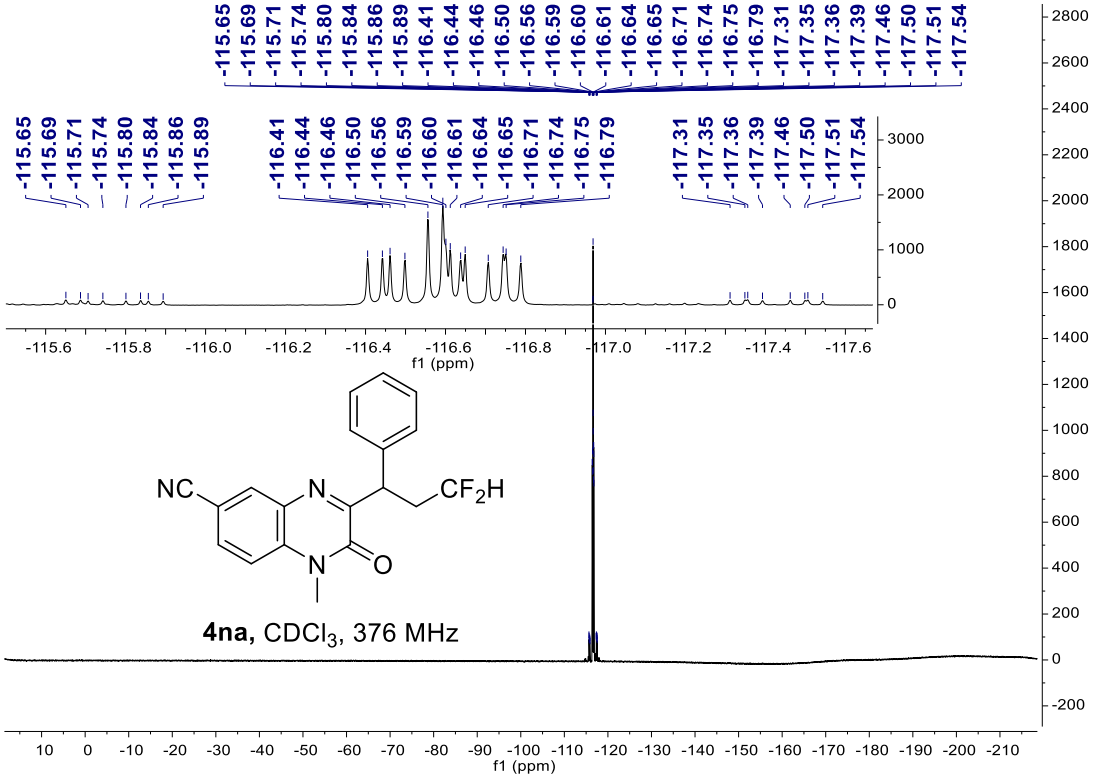




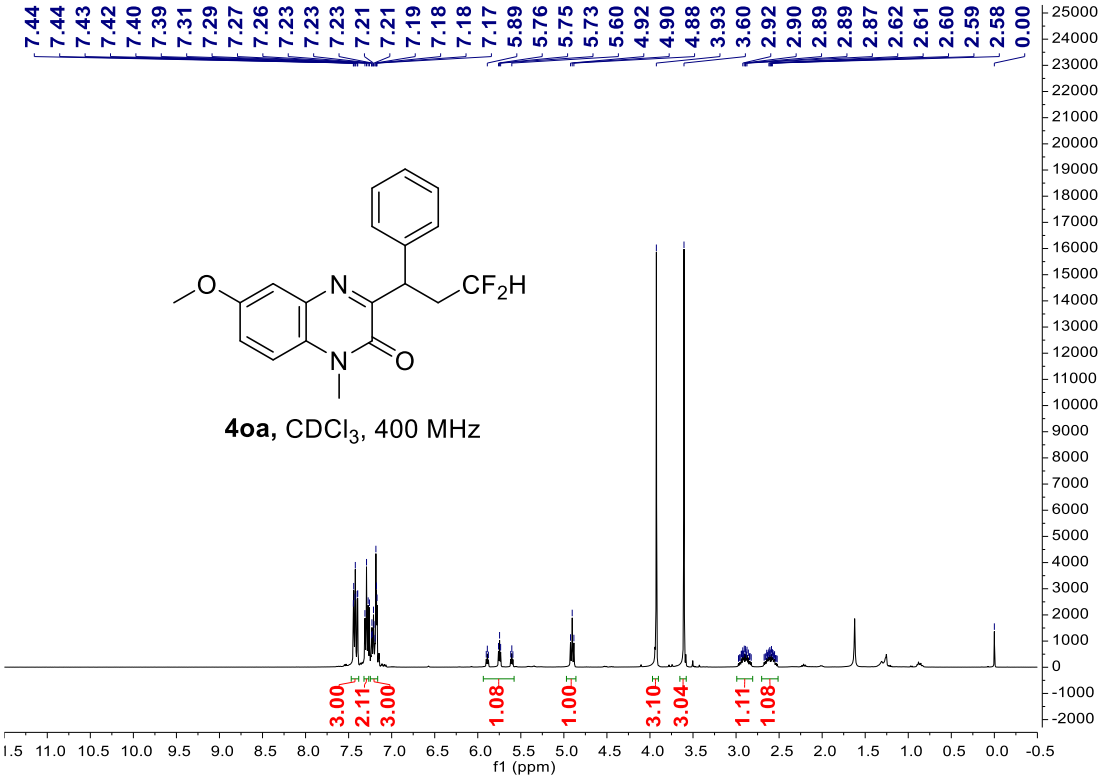
3-(3,3-difluoro-1-phenylpropyl)-1-methyl-2-oxo-1,2-dihydroquinoxaline-6-carbonitrile **(4na)**

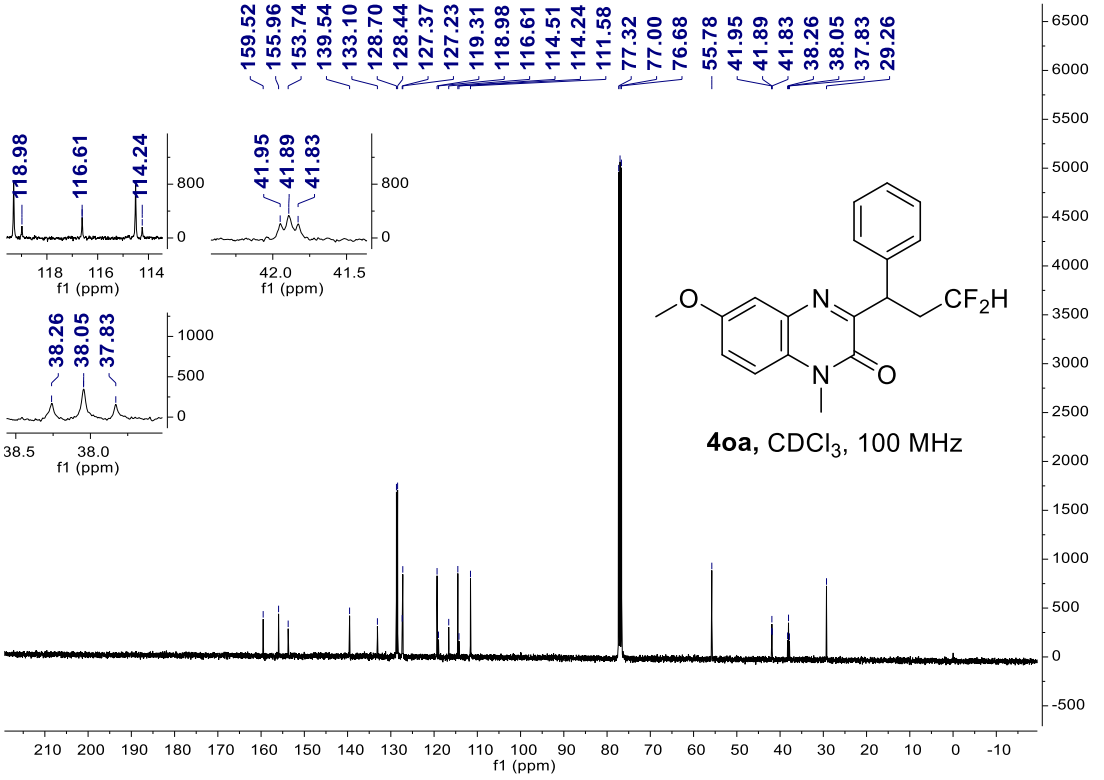


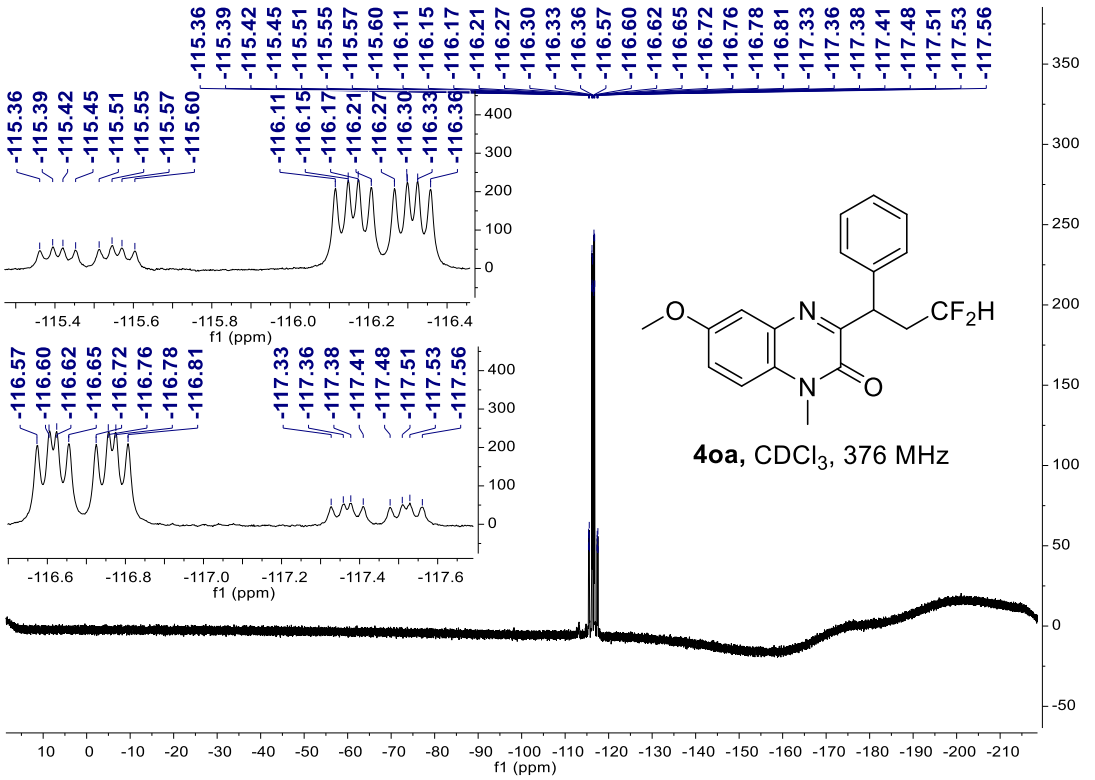

161.48
153.64
138.56
136.37
134.37
132.70
131.85
128.86
128.45
127.59
118.64
117.85
116.27
114.78
113.89
107.20
77.32
77.00
76.68
41.90
41.84
41.78
37.95
37.74
37.52
29.46
NC
N
CF2H
N
O
4na, CDCl3, 100 MHz
f1 (ppm)


-115.65
-115.69
-115.71
-115.74
-115.80
-115.84
-115.86
-115.89
-116.41
-116.44
-116.46
-116.50
-116.56
-116.59
-116.60
-116.61
-116.64
-116.65
-116.71
-116.74
-116.75
-116.79
-117.31
-117.35
-117.36
-117.39
-117.46
-117.50
-117.51
-117.54
NC
N
CF2H
N
O
4na, CDCl3, 376 MHz
f1 (ppm)

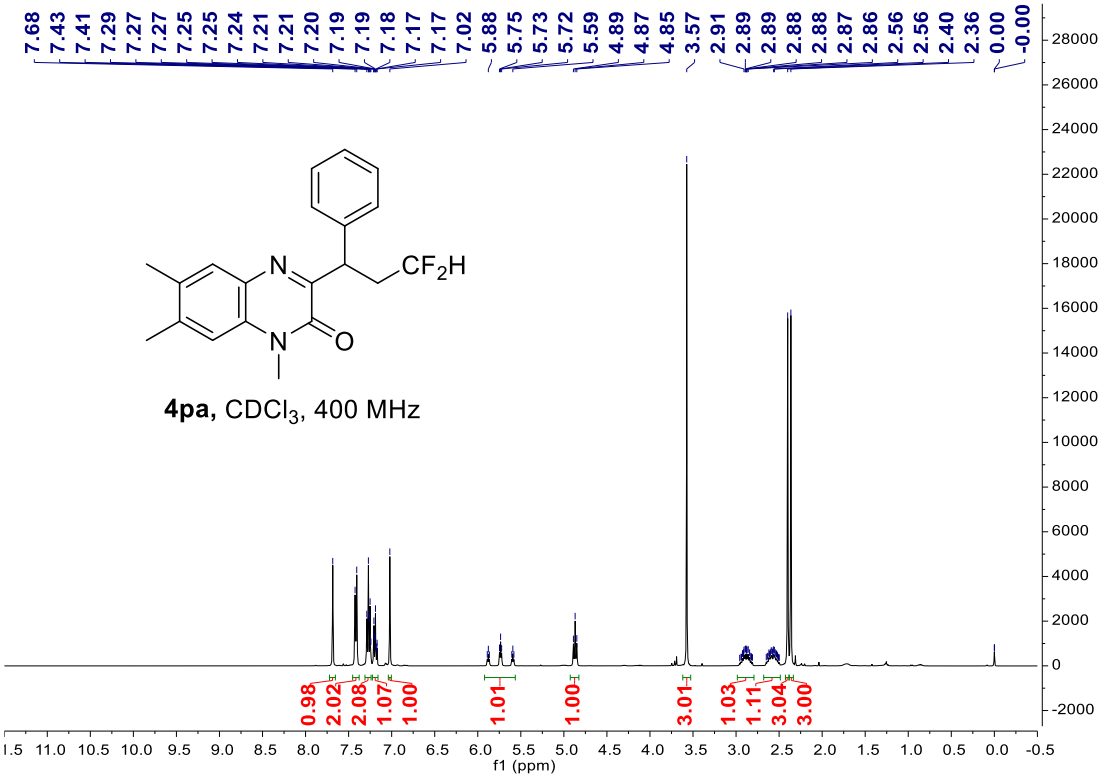
3-(3,3-difluoro-1-phenylpropyl)-6-methoxy-1-methylquinoxalin-2(1*H*)-one **(4oa)**

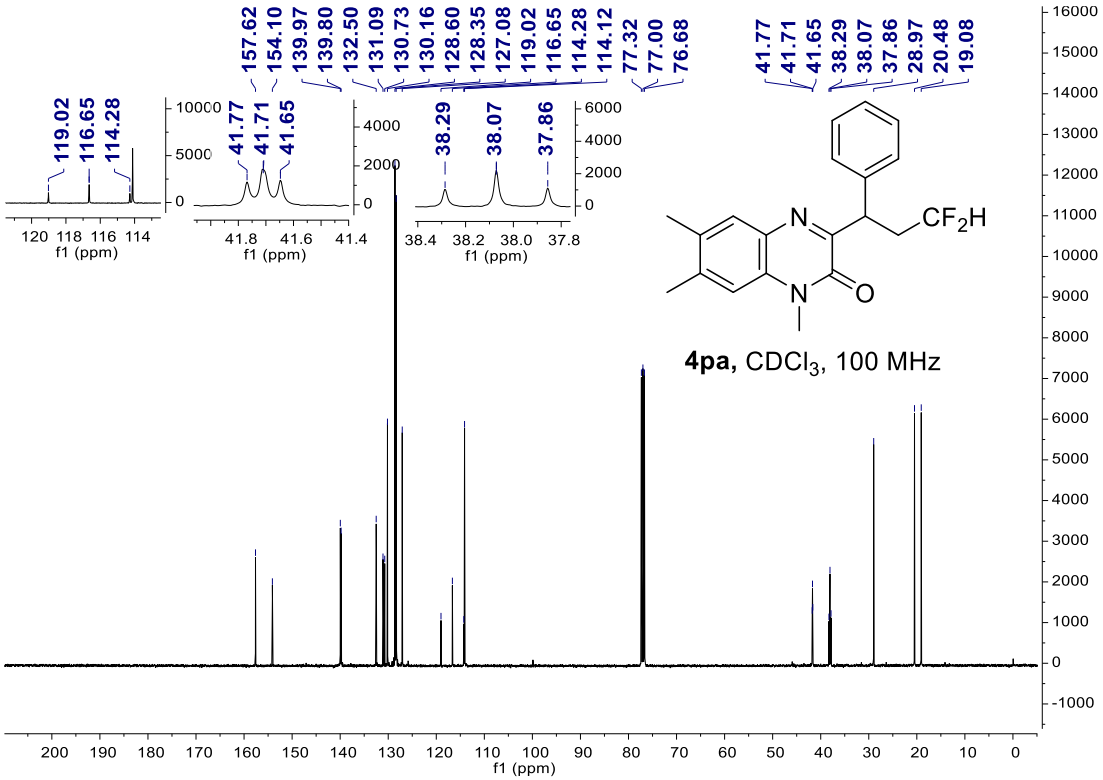


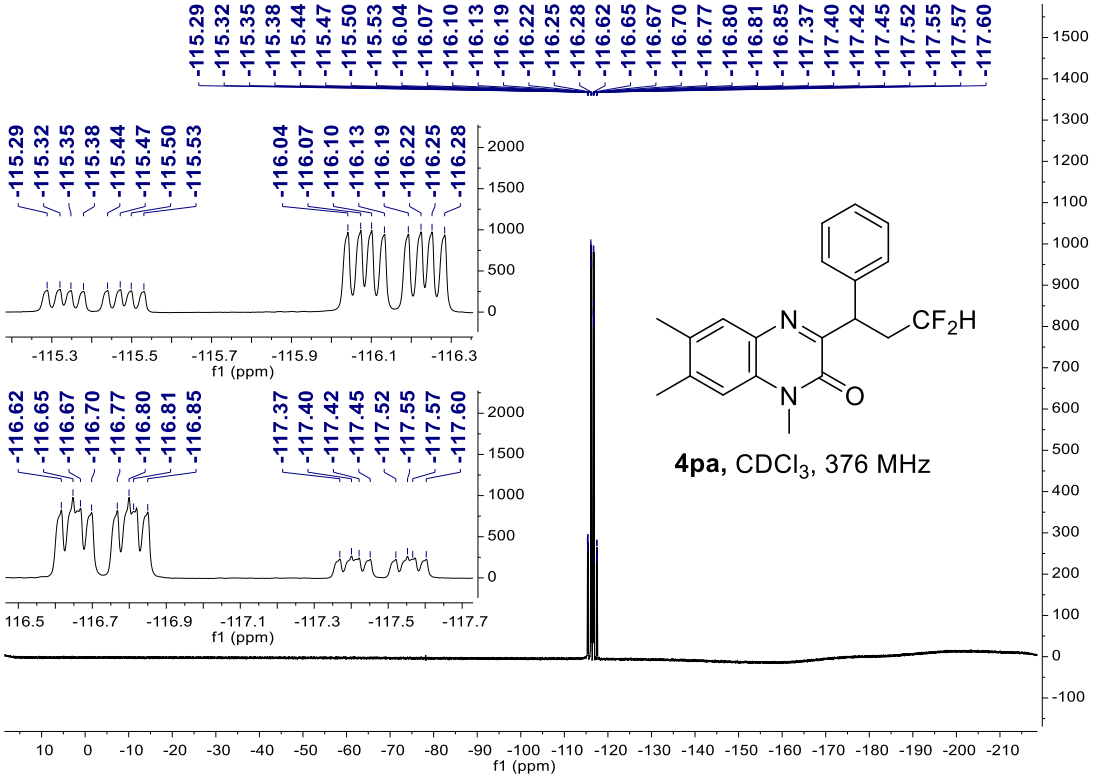




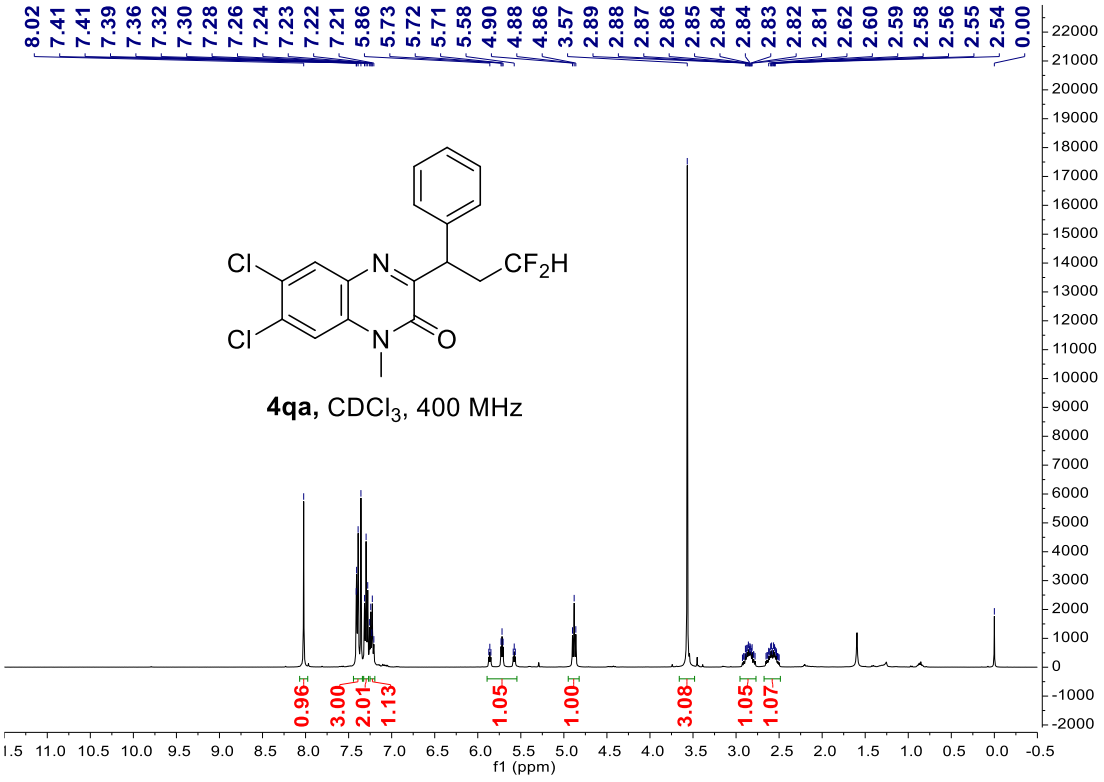
3-(3,3-difluoro-1-phenylpropyl)-1,6,7-trimethylquinoxalin-2(1*H*)-one **(4pa)**

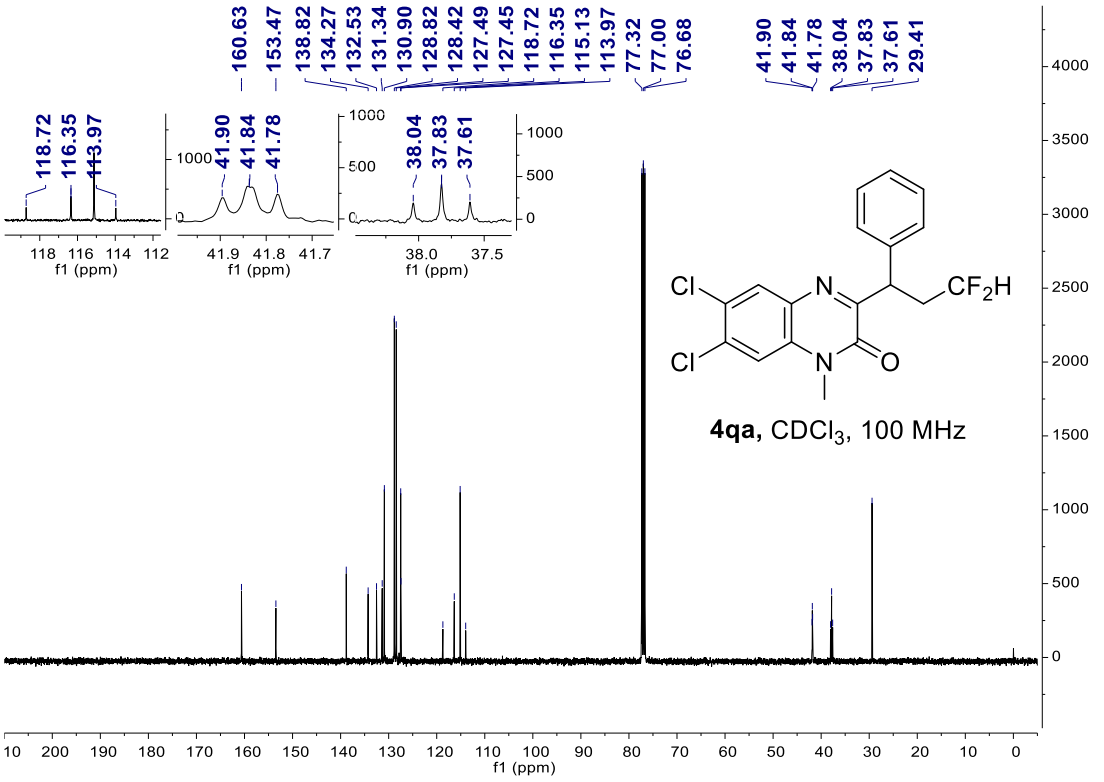


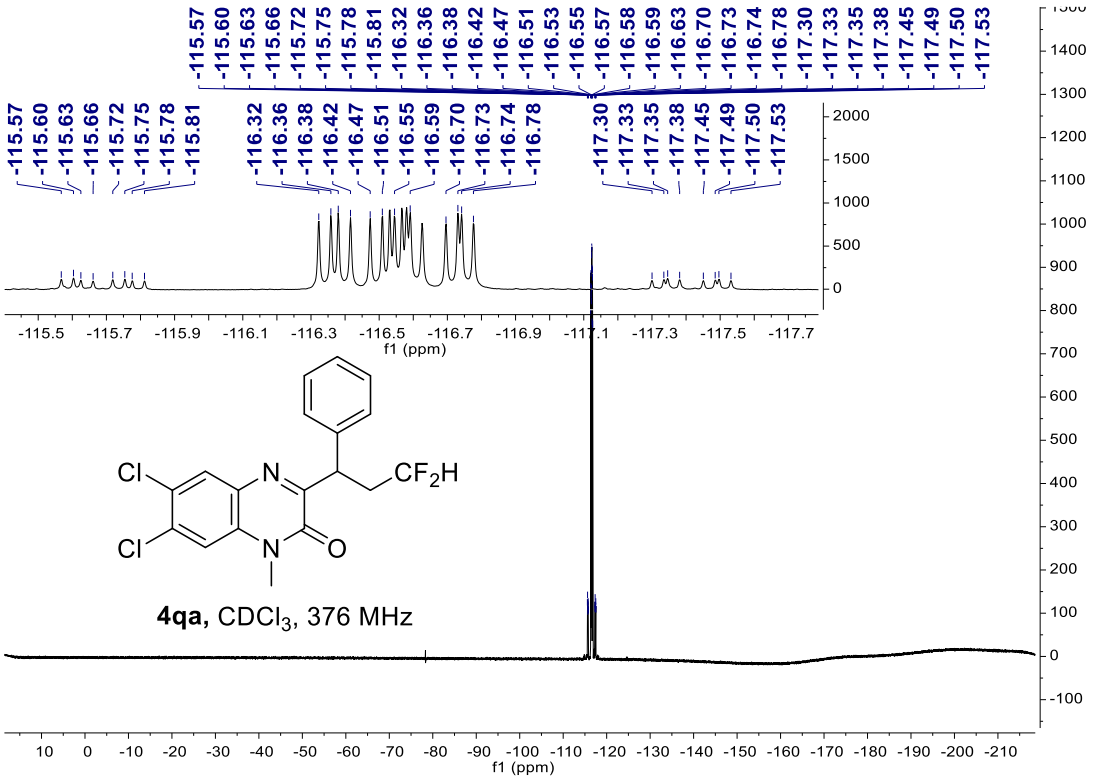

157.62
154.10
139.97
139.80
132.50
131.09
130.73
130.16
128.60
128.35
127.08
119.02
116.65
116.28
114.12
77.32
77.00
76.68
41.77
41.71
41.65
38.29
38.07
37.86
28.97
20.48
19.08
f1 (ppm)
N
CF2H
O
4pa, CDCl3, 100 MHz


-115.29
-115.32
-115.35
-115.38
-115.44
-115.47
-115.50
-115.53
-116.04
-116.07
-116.10
-116.13
-116.19
-116.22
-116.25
-116.28
-116.62
-116.65
-116.67
-116.70
-116.77
-116.80
-116.81
-116.85
-117.37
-117.40
-117.42
-117.45
-117.52
-117.55
-117.57
-117.60
f1 (ppm)
N
CF2H
O
4pa, CDCl3, 376 MHz

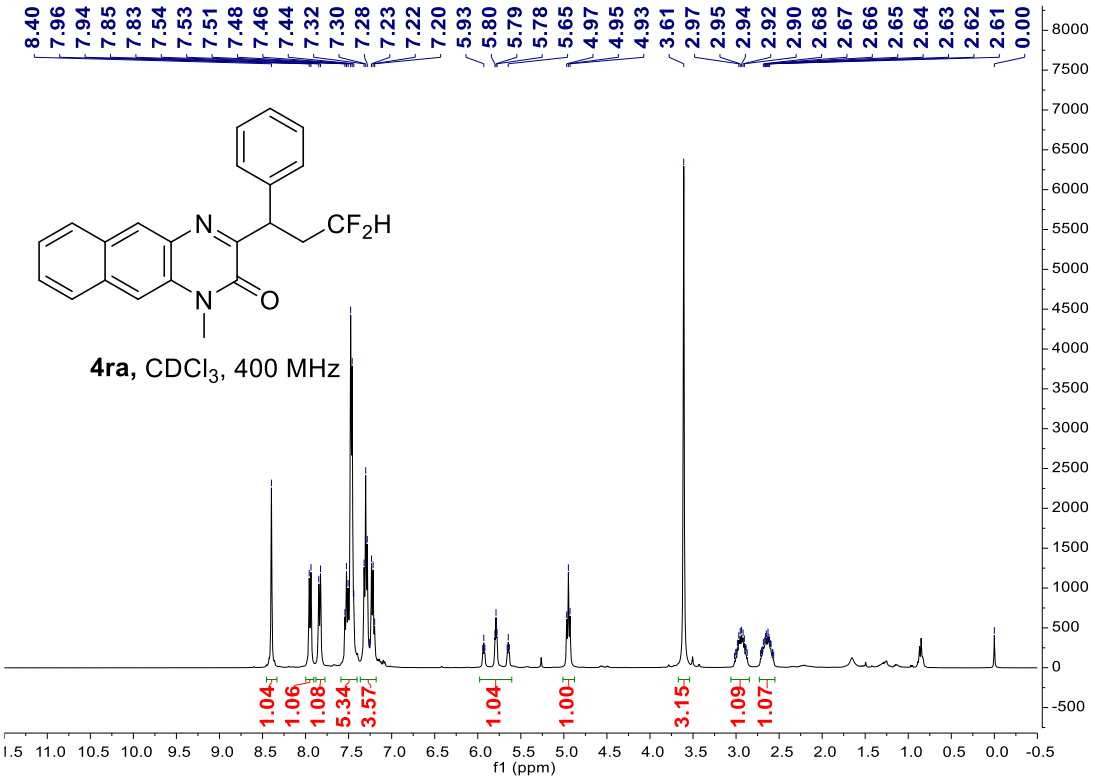
6,7-dichloro-3-(3,3-difluoro-1-phenylpropyl)-1-methylquinoxalin-2(*1H*)-one **(4qa)**

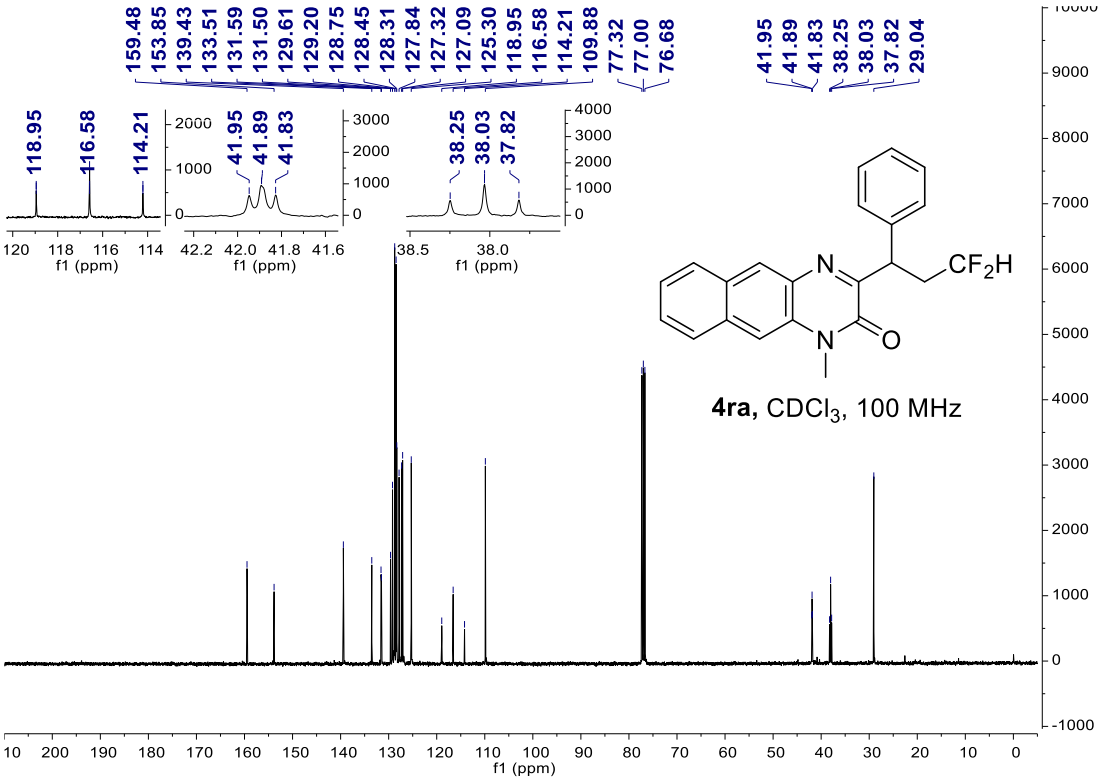


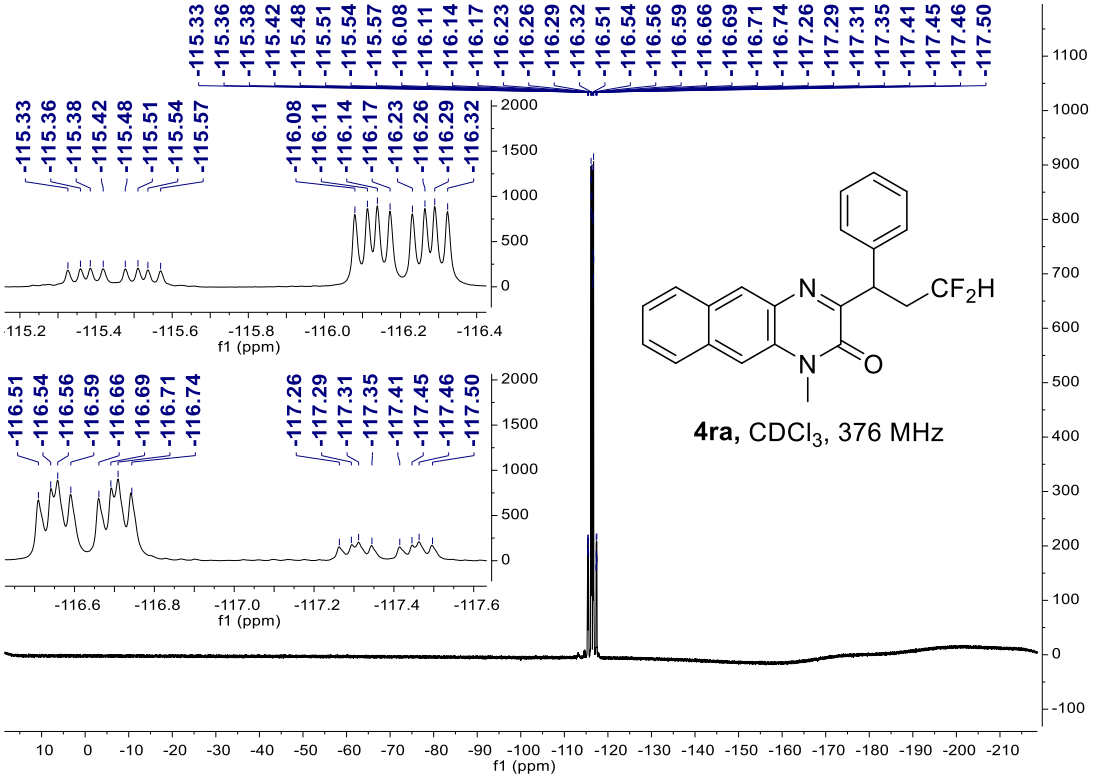




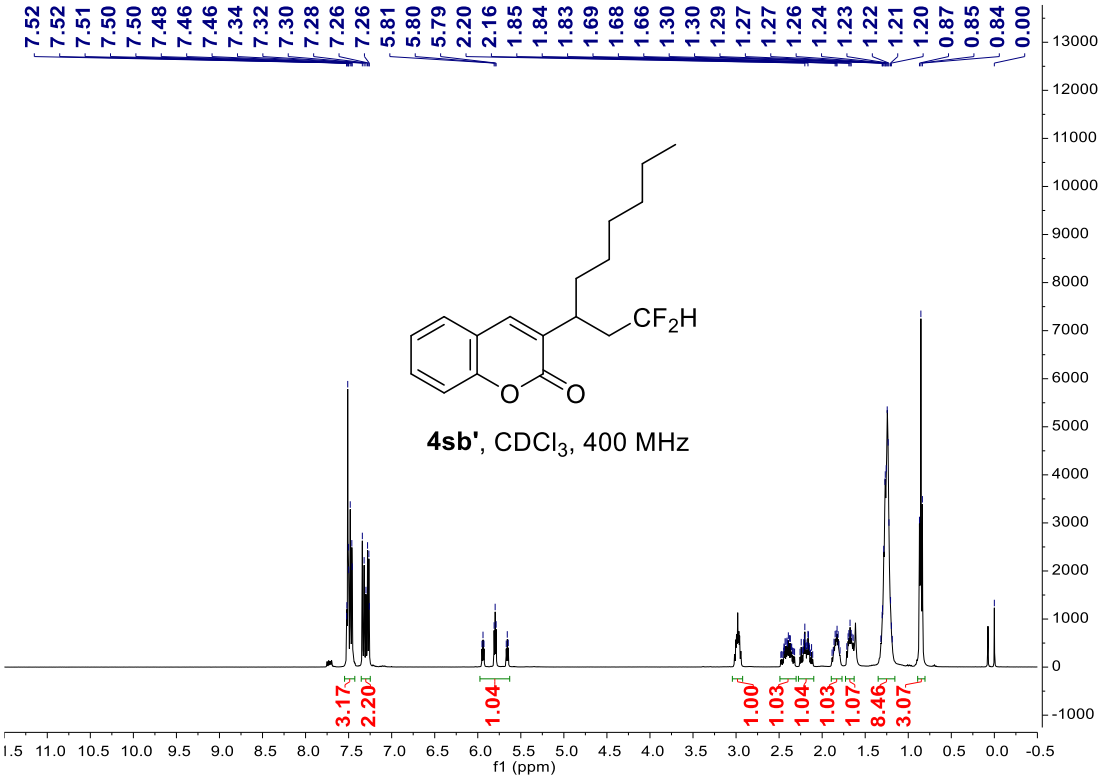
3-(3,3-difluoro-1-phenylpropyl)-1-methylbenzo[g]quinoxalin-2(1*H*)-one **(4ra)**

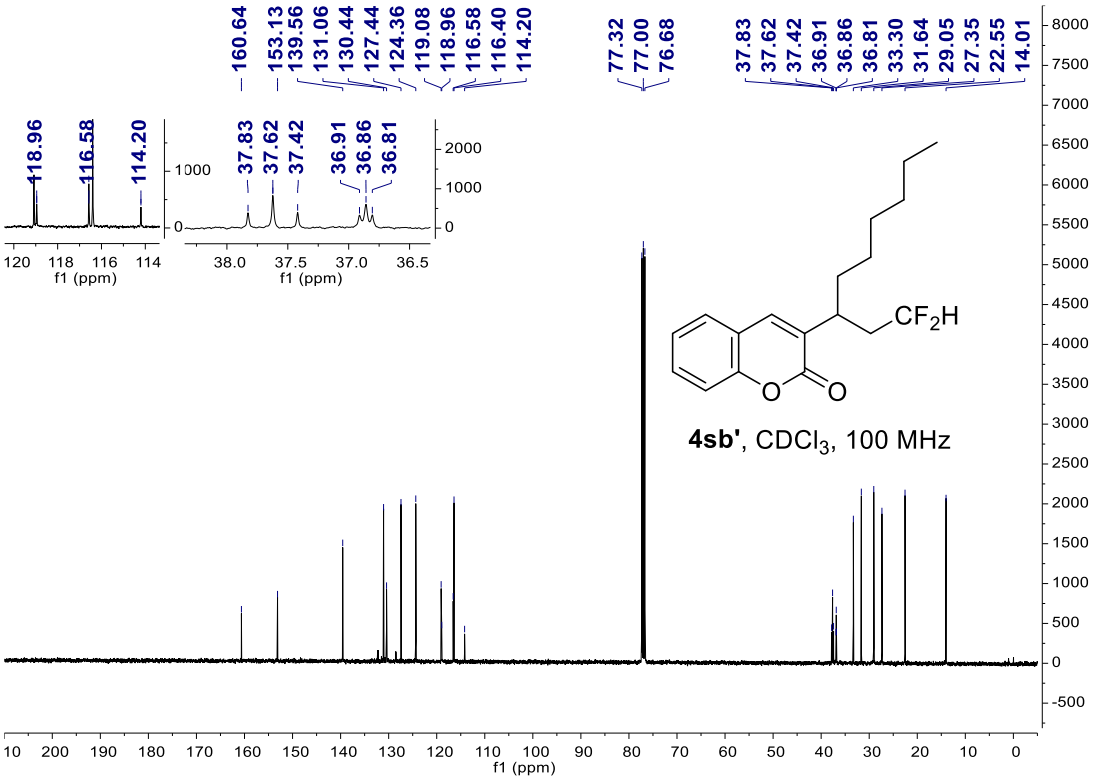


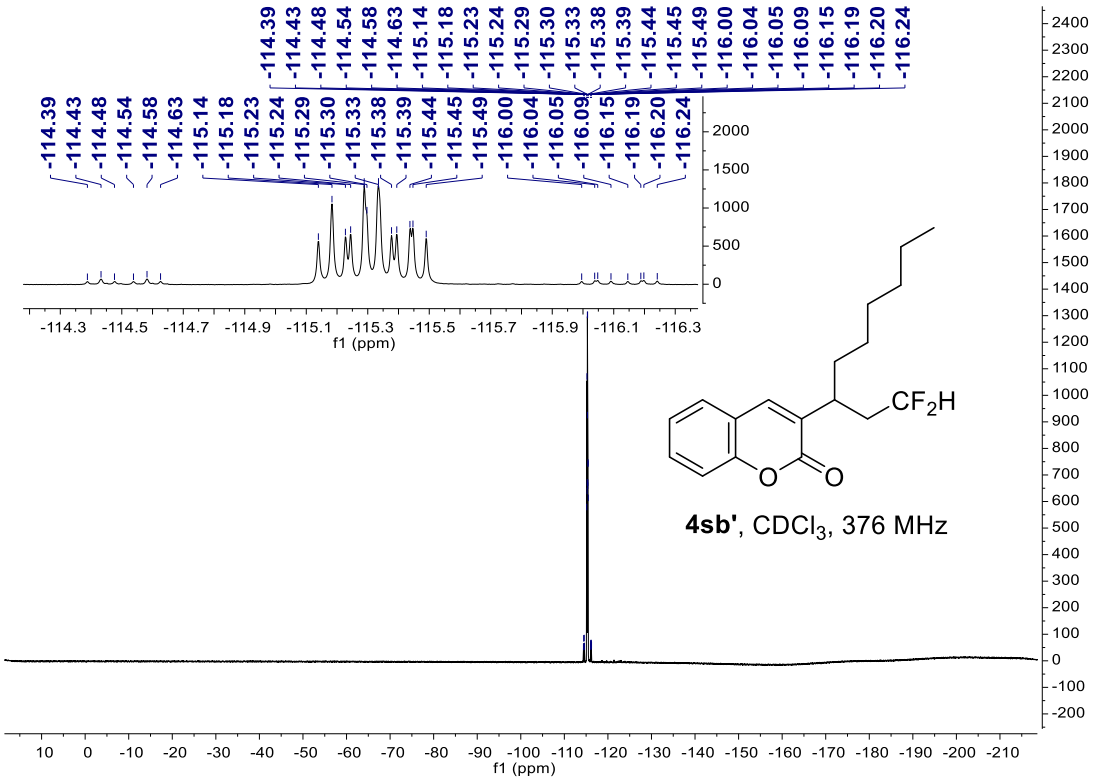

159.48
153.85
139.43
133.51
131.59
131.50
129.61
129.20
128.75
128.45
128.31
127.84
127.32
127.09
125.30
118.95
116.58
114.21
109.88
77.32
77.00
76.68
41.95
41.89
41.83
38.25
38.03
37.82
29.04
f1 (ppm)
CF2H
N
O
4ra, CDCl3, 100 MHz


-115.33
-115.36
-115.38
-115.42
-115.48
-115.51
-115.54
-115.57
-116.08
-116.11
-116.14
-116.17
-116.23
-116.26
-116.29
-116.32
-116.51
-116.54
-116.56
-116.59
-116.66
-116.69
-116.71
-116.74
-117.26
-117.29
-117.31
-117.35
-117.41
-117.45
-117.46
-117.50
f1 (ppm)
CF2H
N
O
4ra, CDCl3, 376 MHz

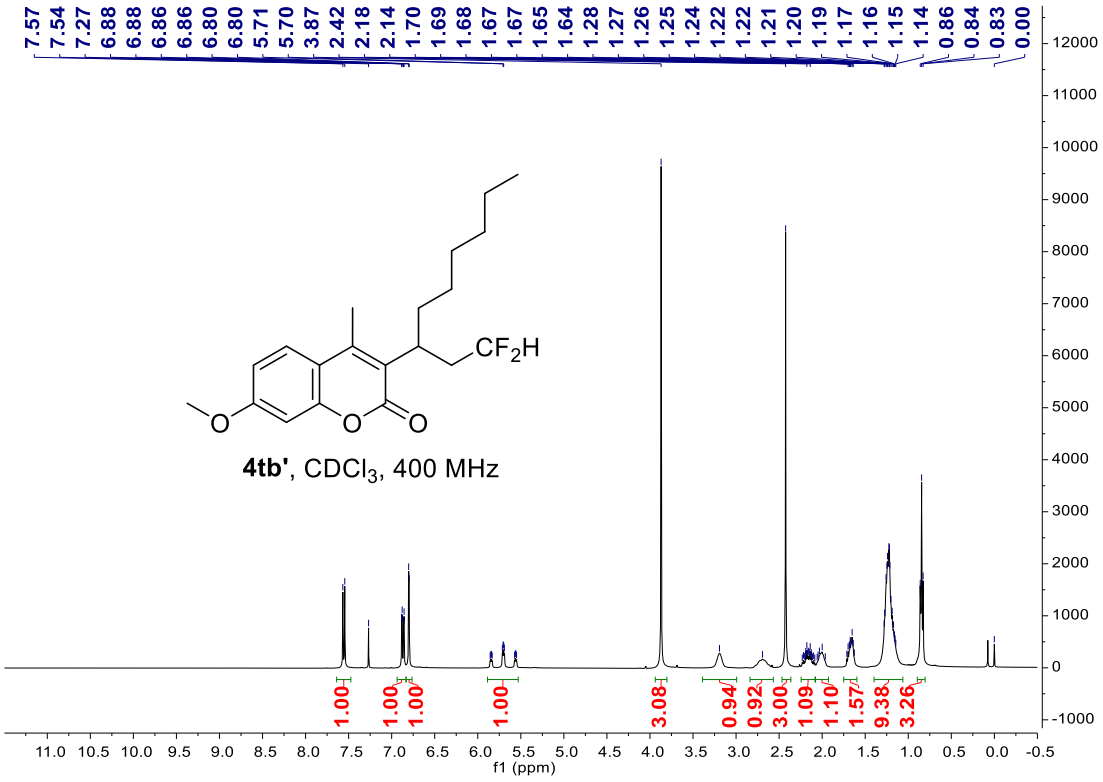
3-(1,1-difluorononan-3-yl)-2H-chromen-2-one **(4sb')**

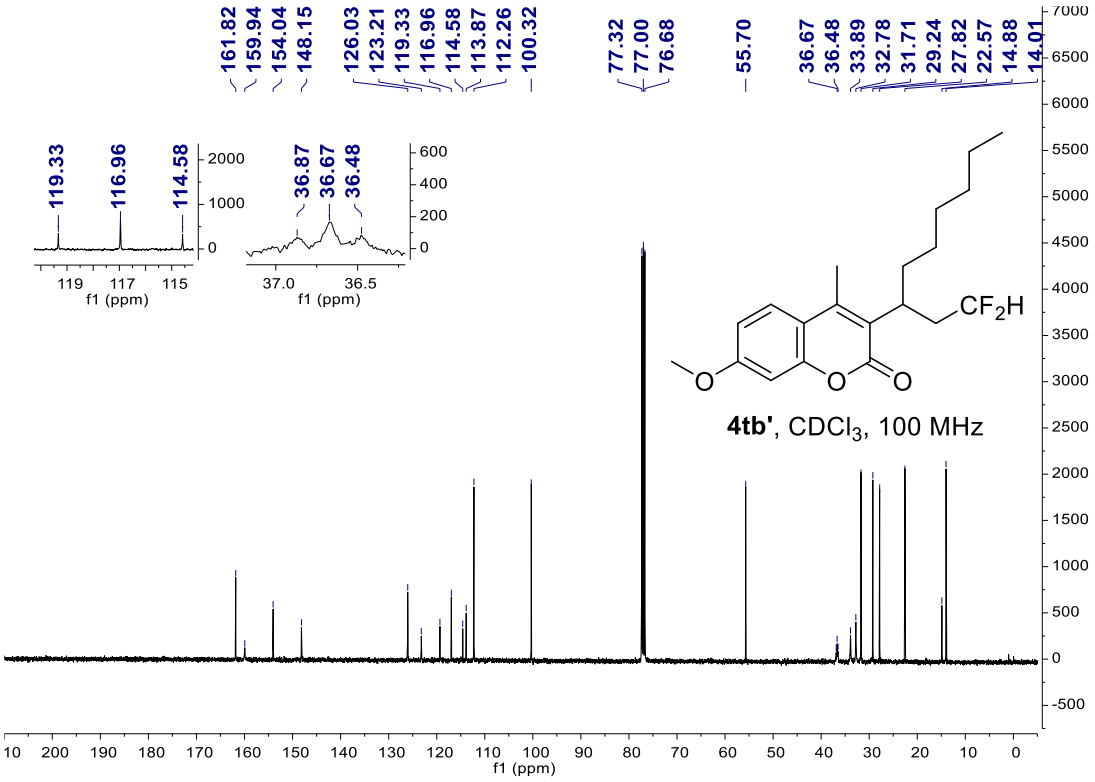


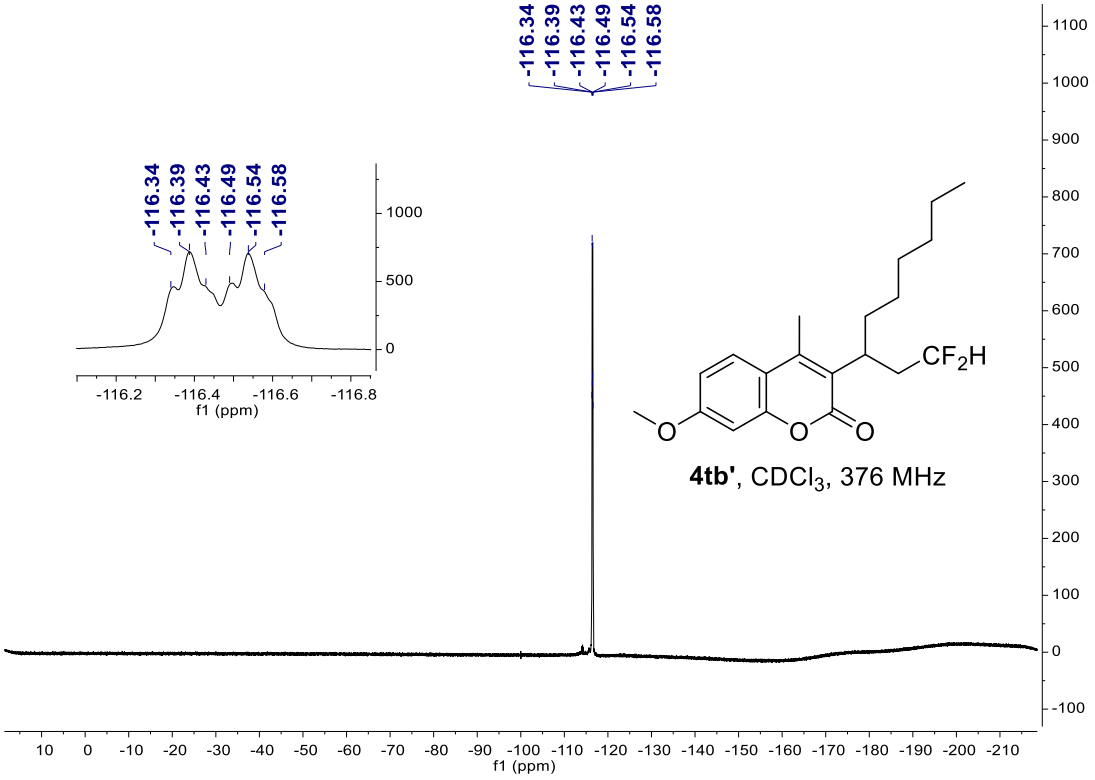




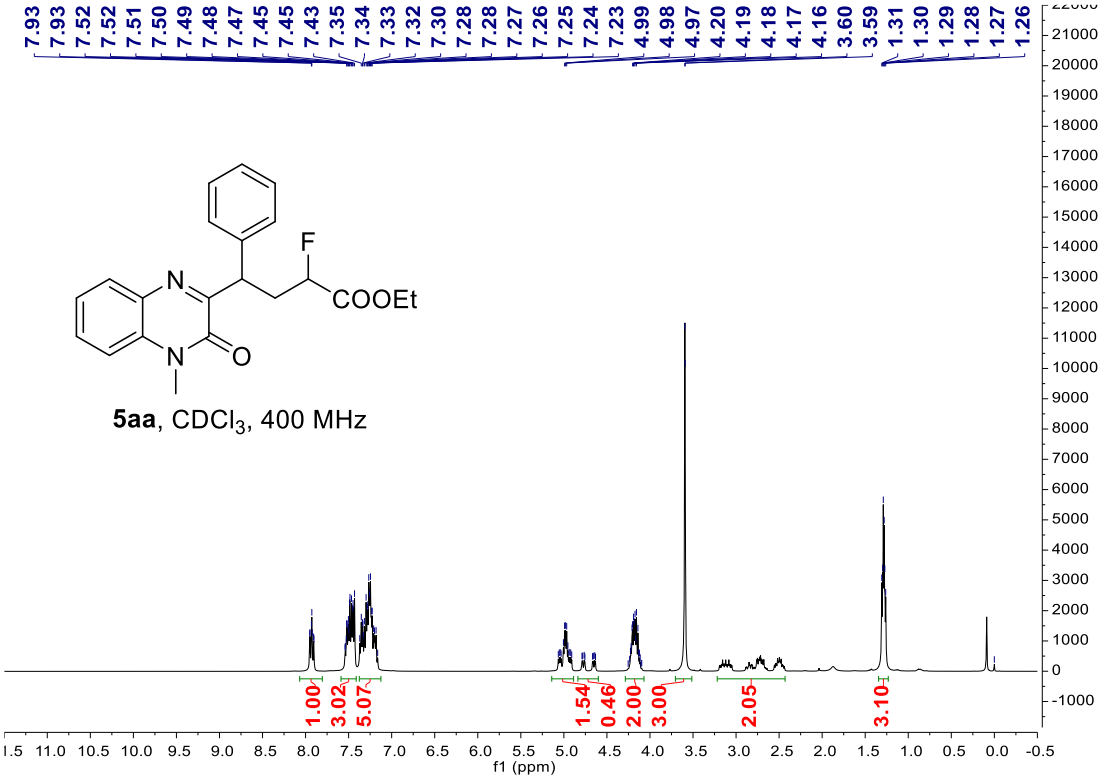
3-(1,1-difluorononan-3-yl)-7-methoxy-4-methyl-2H-chromen-2-one **(4tb')**

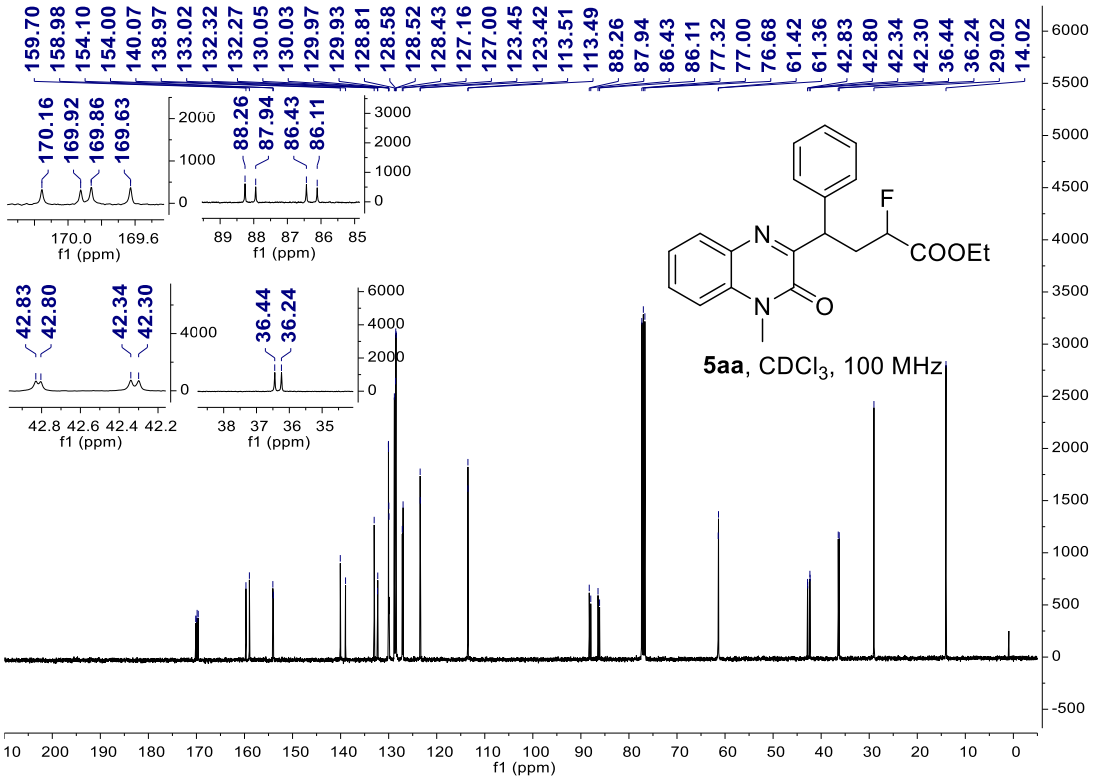


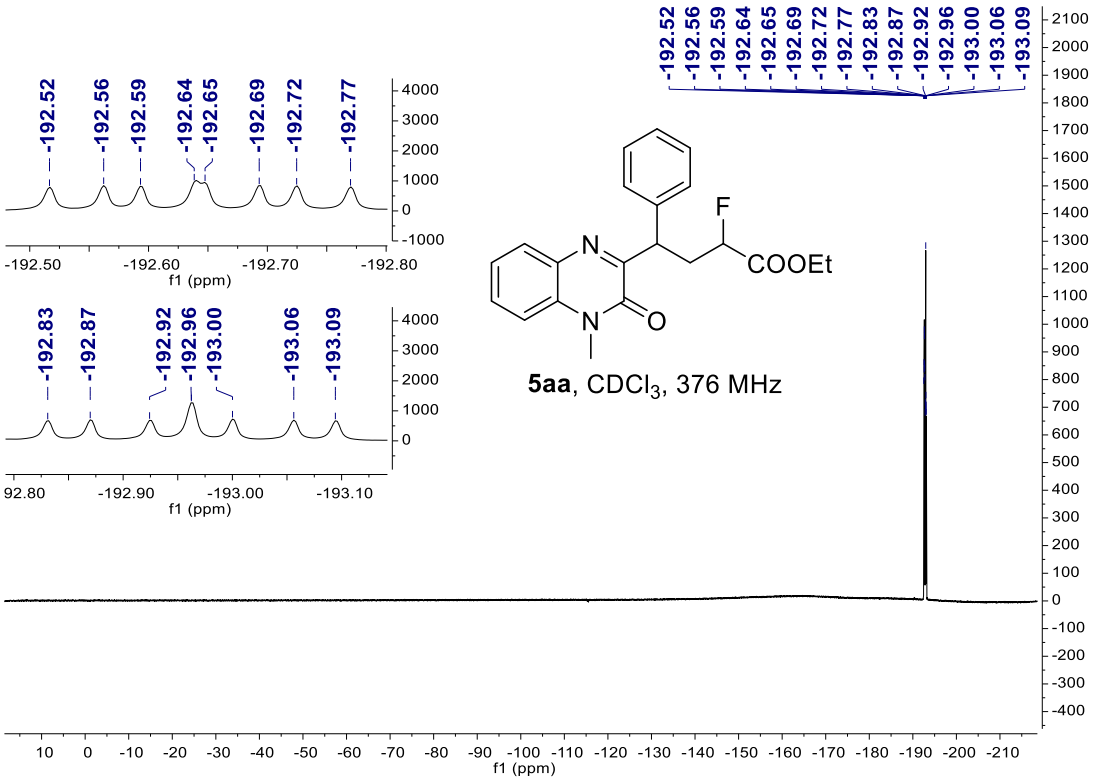

161.82
159.94
154.04
148.15
126.03
123.21
119.33
116.96
114.58
113.87
112.26
100.32
77.32
77.00
76.68
55.70
36.67
36.48
33.89
32.78
31.71
29.24
27.82
22.57
14.88
14.01
119.33
116.96
114.58
36.87
36.67
36.48
f1 (ppm)
CF2H
O
O
O
4tb', CDCl3, 100 MHz
f1 (ppm)


-116.34
-116.39
-116.43
-116.49
-116.54
-116.58
f1 (ppm)
CF2H
O
O
O
4tb', CDCl3, 376 MHz
f1 (ppm)

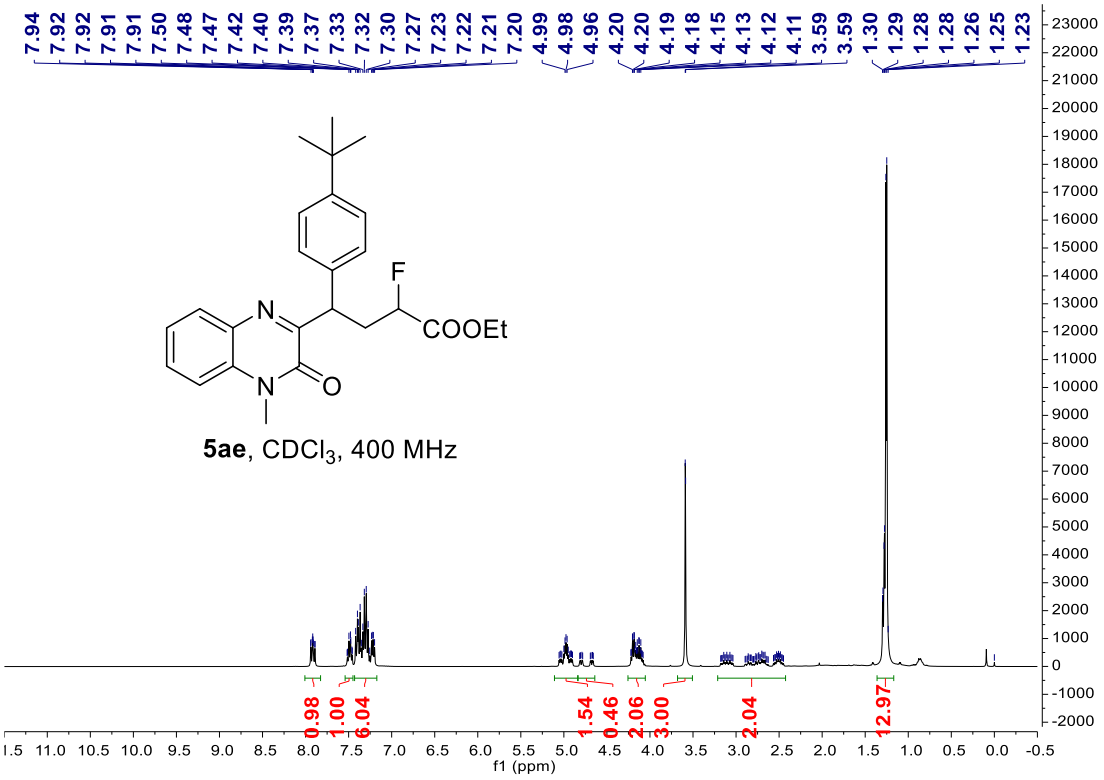
ethyl 2-fluoro-4-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-4-phenylbutanoate **(5aa)**

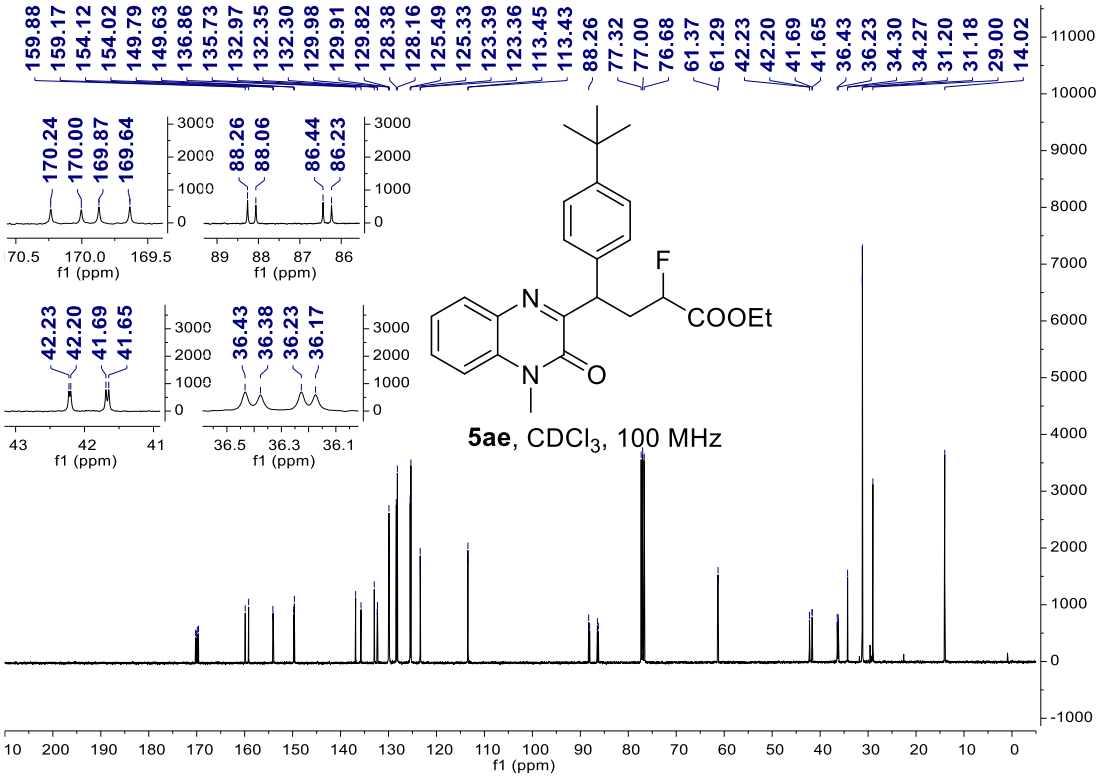


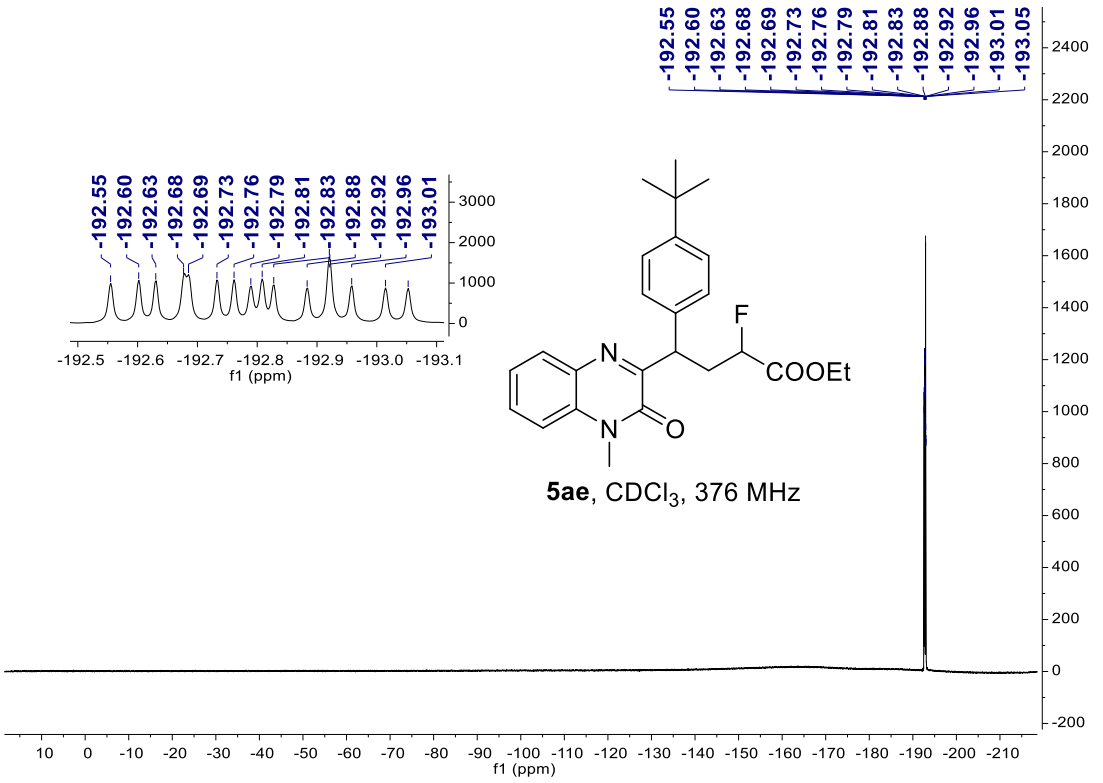




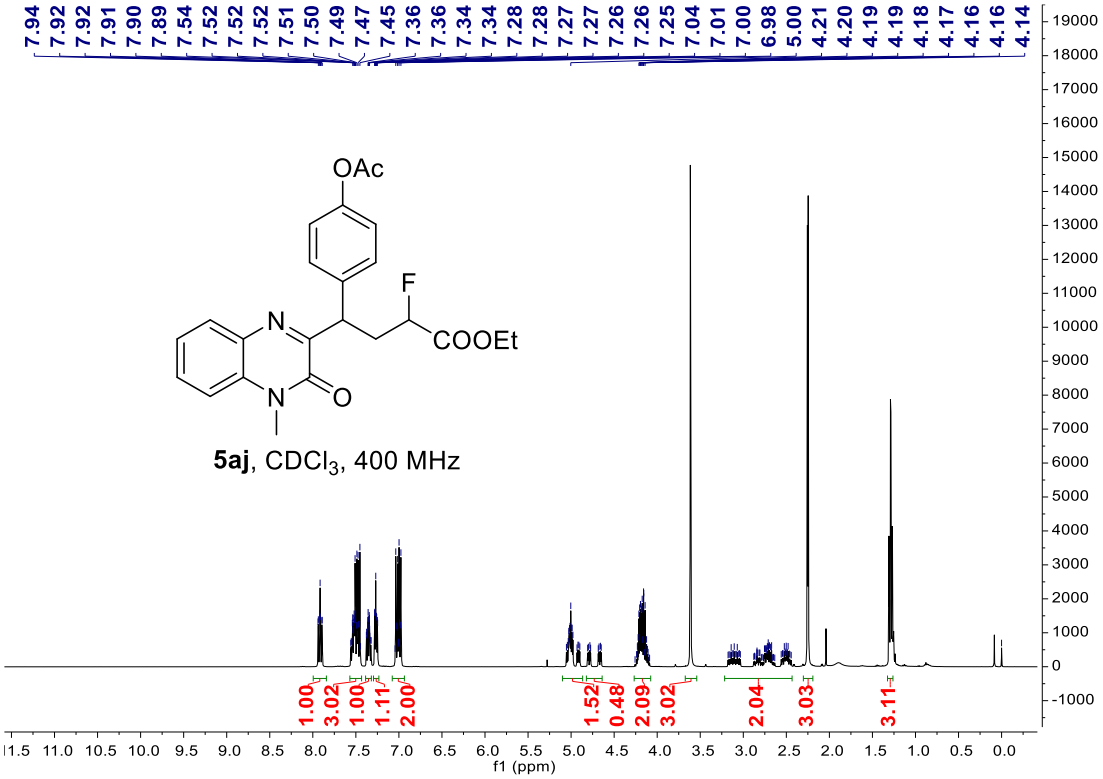
ethyl 4-(4-(tert-butyl)phenyl)-2-fluoro-4-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)butanoate **(5ae)**

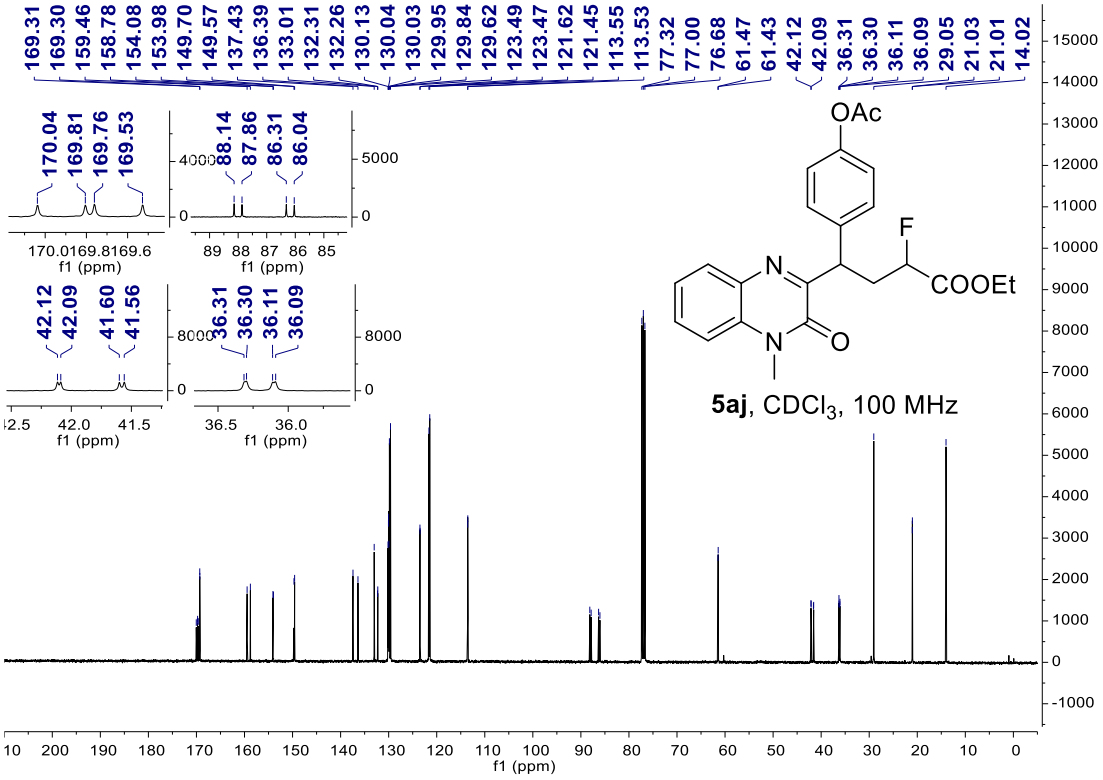


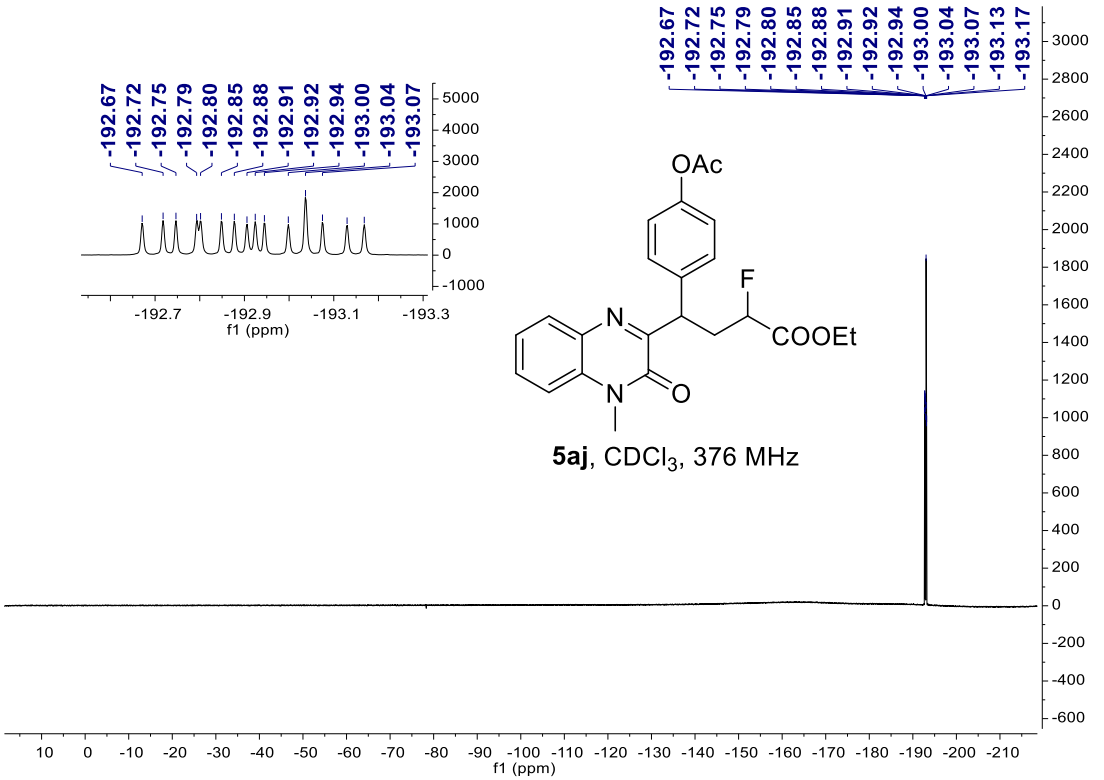

159.88
159.17
154.12
154.02
149.79
149.63
136.86
135.73
132.97
132.35
132.30
129.98
129.91
129.82
128.38
128.16
125.49
125.33
123.39
123.36
113.45
113.43
88.26
77.32
77.00
76.68
61.37
61.29
42.23
42.20
41.69
41.65
36.43
36.23
34.30
34.27
31.20
31.18
29.00
14.02
170.24
170.00
169.87
169.64
88.26
88.06
86.44
86.23
42.23
42.20
41.69
41.65
36.43
36.38
36.23
36.17
f1 (ppm)
F
COOEt
N
O
5ae, CDCl3, 100 MHz


-192.55
-192.60
-192.63
-192.68
-192.69
-192.73
-192.76
-192.79
-192.81
-192.83
-192.88
-192.92
-192.96
-193.01
-193.05
f1 (ppm)
F
COOEt
N
O
5ae, CDCl3, 376 MHz

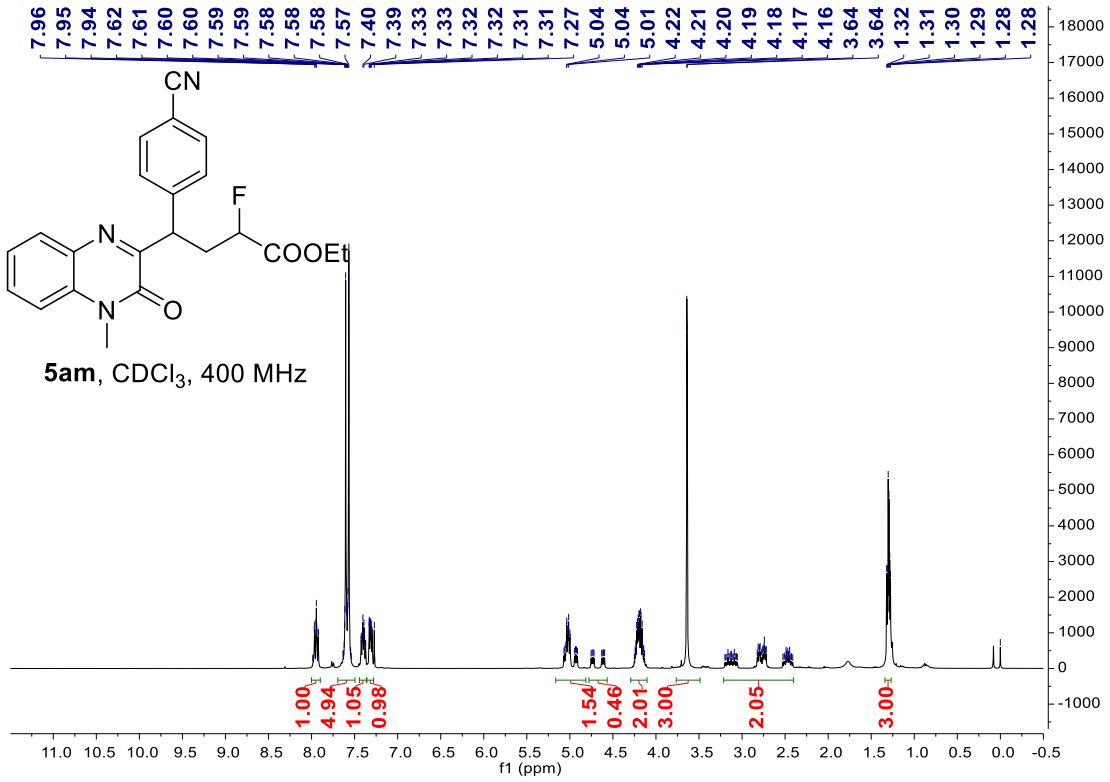
ethyl 4-(4-acetoxyphenyl)-2-fluoro-4-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)butanoate **(5aj)**

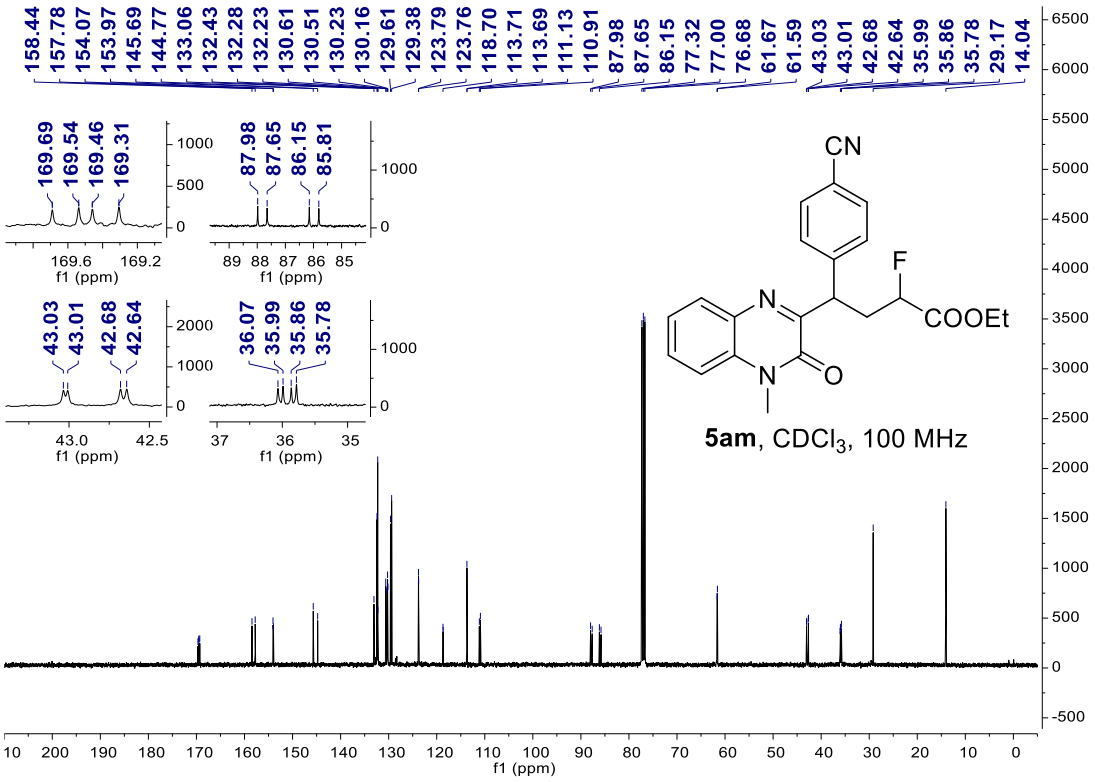


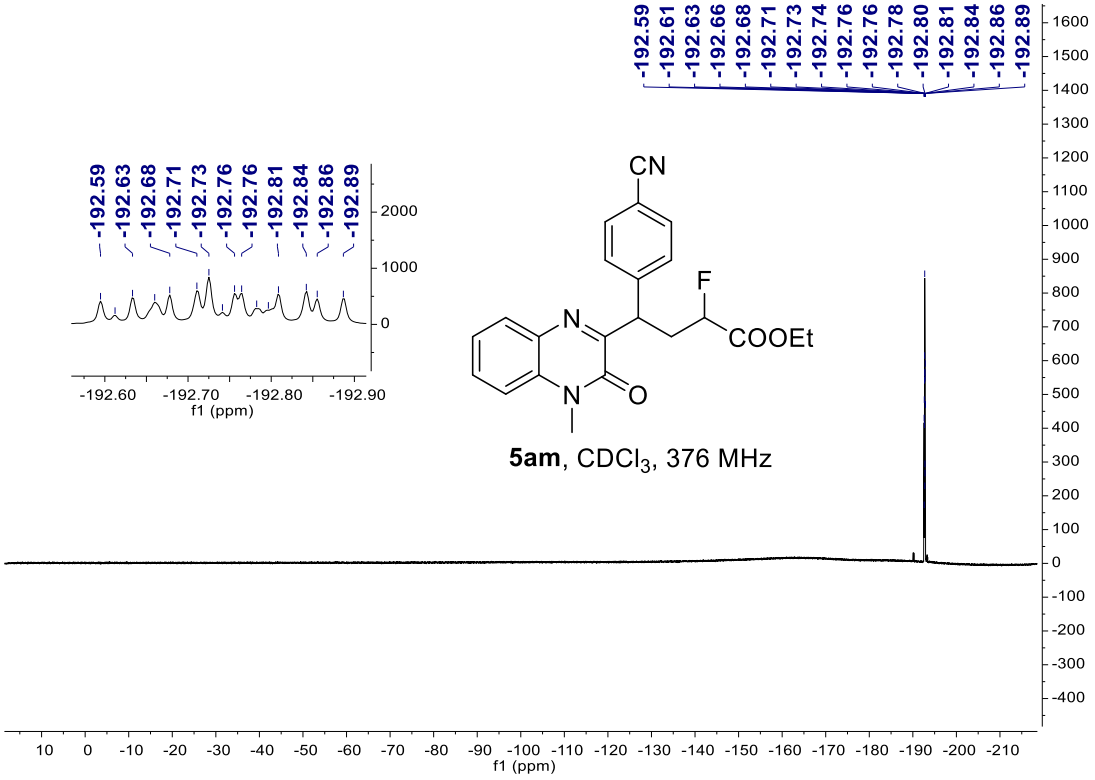




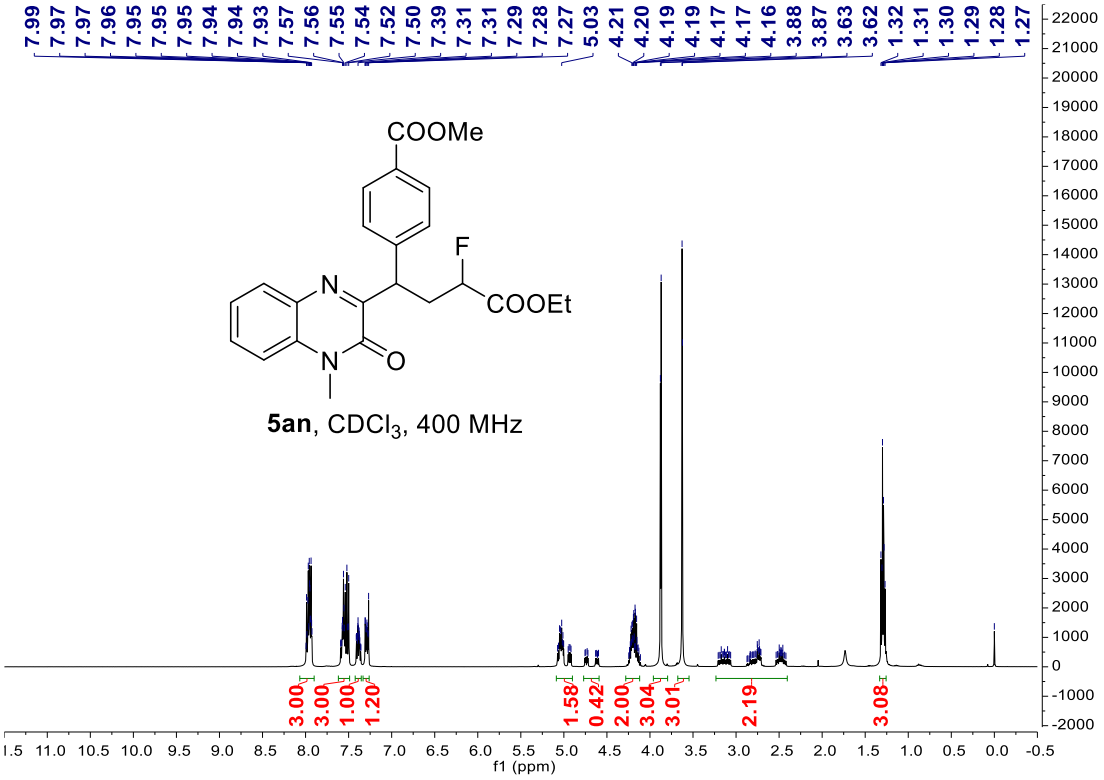
ethyl 4-(4-cyanophenyl)-2-fluoro-4-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)butanoate **(5am)**

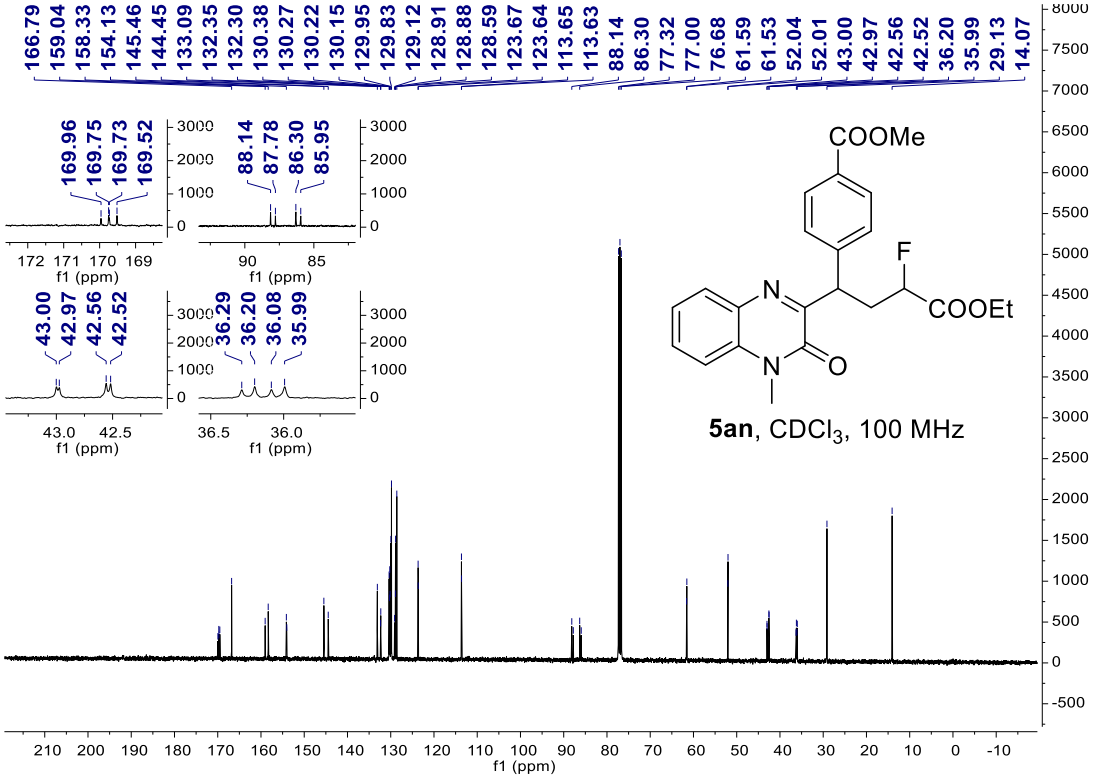


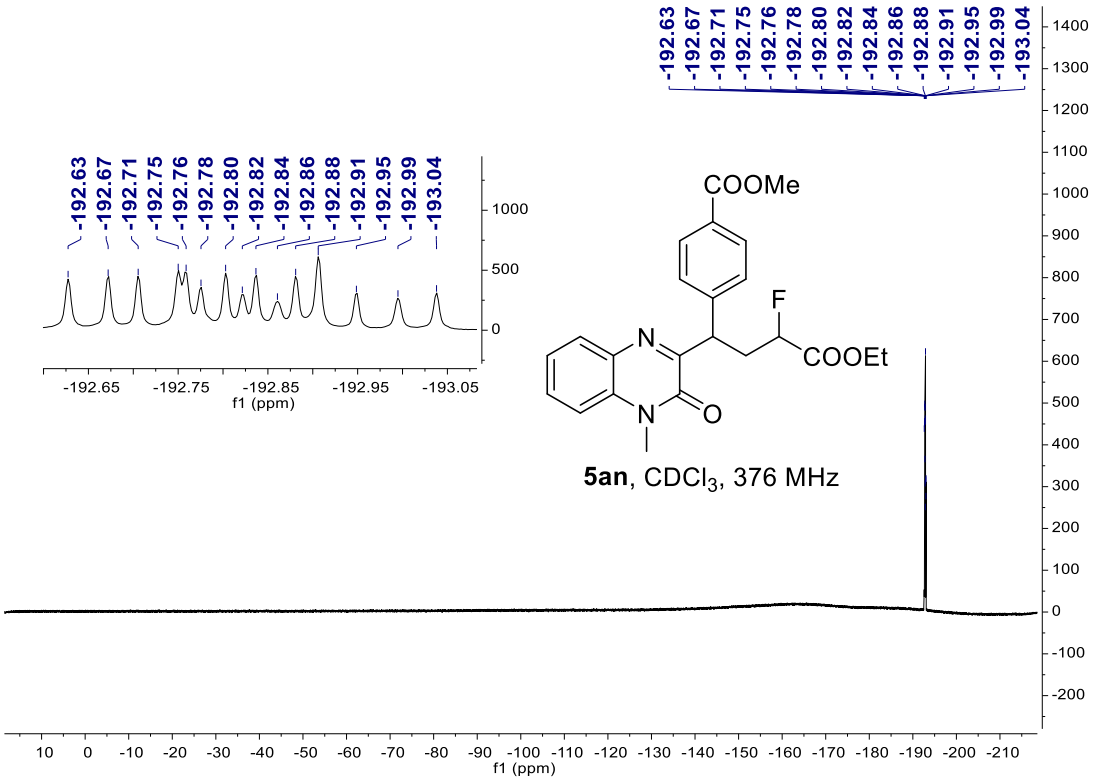

158.44
157.78
154.07
153.97
145.69
144.77
133.06
132.43
132.28
132.23
130.61
130.51
130.23
130.16
129.61
129.38
123.79
123.76
118.70
113.71
113.69
111.13
110.91
87.98
87.65
86.15
77.32
77.00
76.68
61.67
61.59
43.03
43.01
42.68
42.64
35.99
35.86
35.78
29.17
14.04
169.69
169.54
169.46
169.31
87.98
87.65
86.15
85.81
43.03
43.01
42.68
42.64
36.07
35.99
35.86
35.78
f1 (ppm)
CN
F
COOEt
N
O
5am, CDCl3, 100 MHz


-192.59
-192.61
-192.63
-192.66
-192.68
-192.71
-192.73
-192.74
-192.76
-192.76
-192.78
-192.80
-192.81
-192.84
-192.86
-192.89
f1 (ppm)
CN
F
COOEt
N
O
5am, CDCl3, 376 MHz

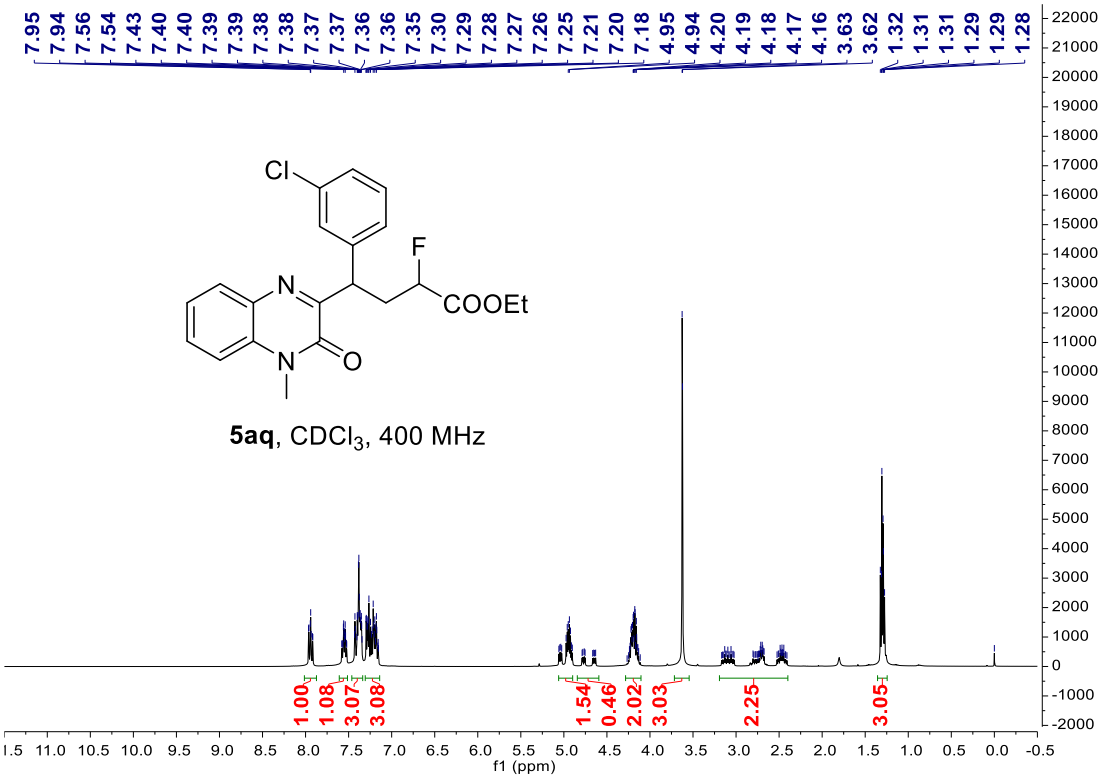
methyl 4-(4-ethoxy-3-fluoro-1-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-4-oxobutyl)benzoate **(5an)**

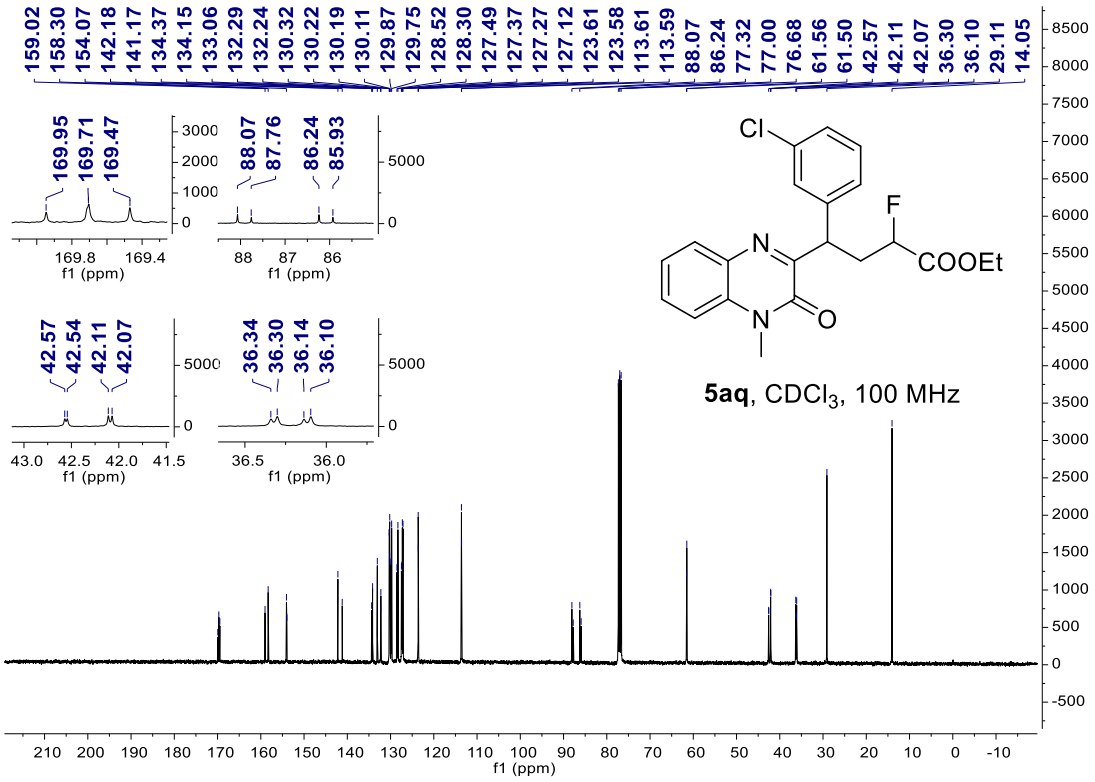




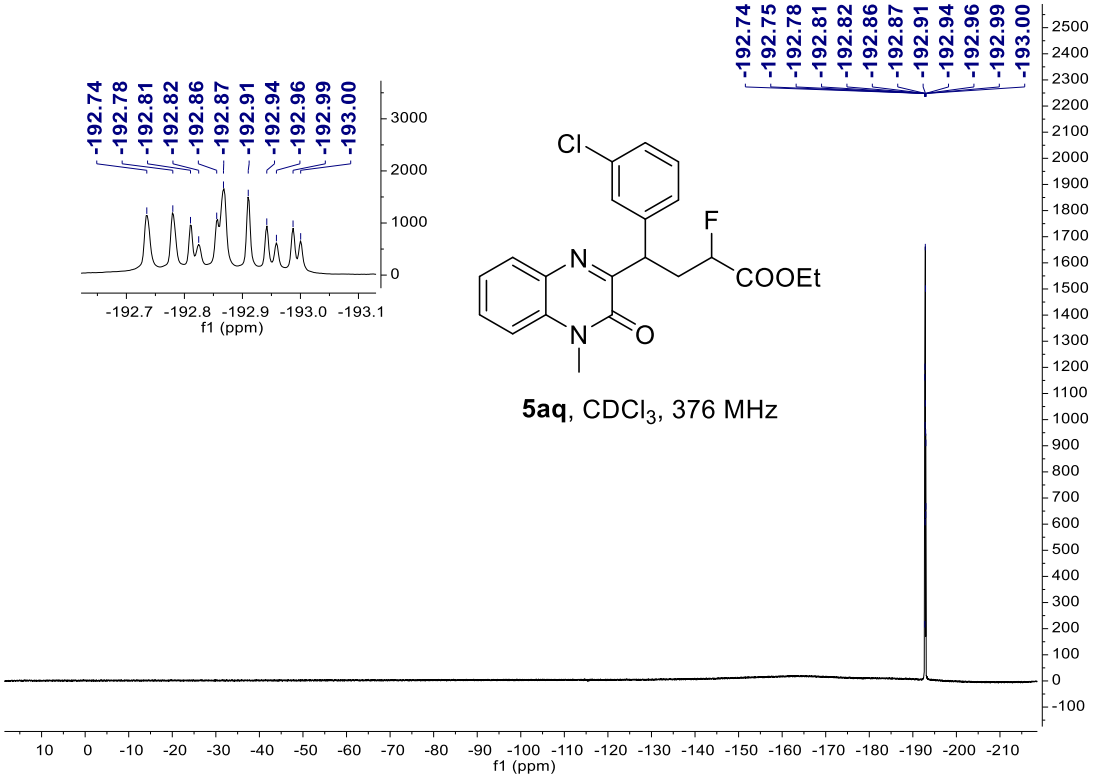


ethyl 4-(3-chlorophenyl)-2-fluoro-4-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)butanoate **(5aq)**



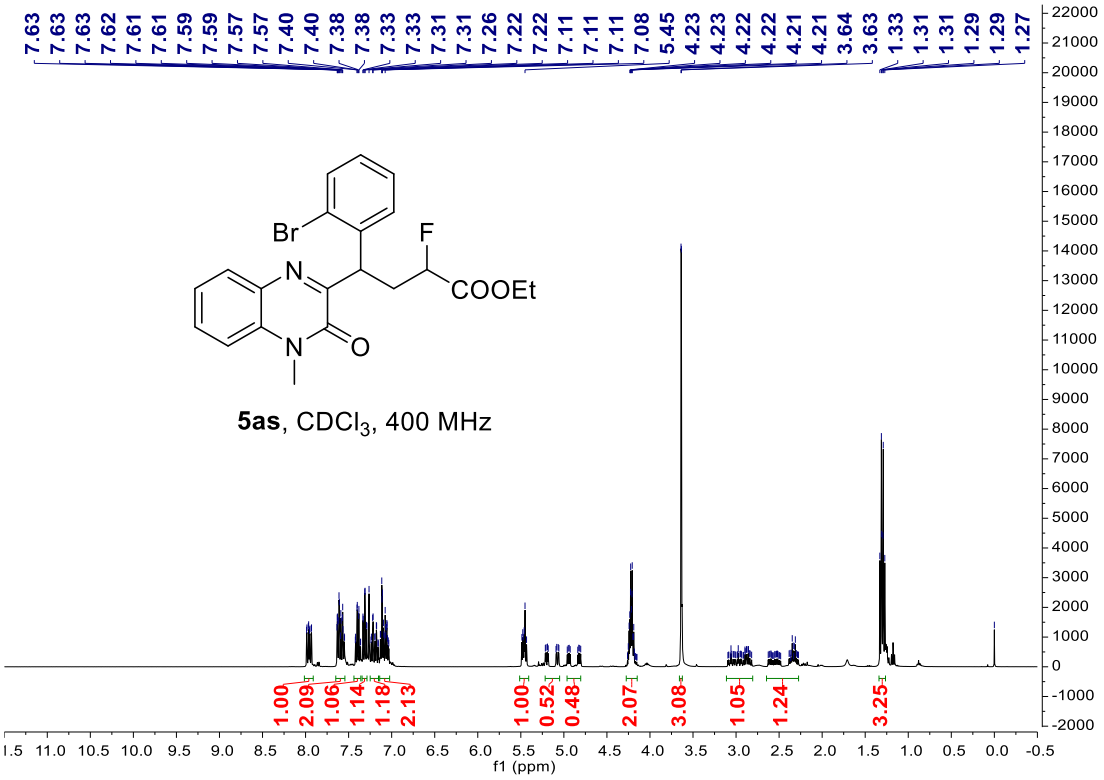


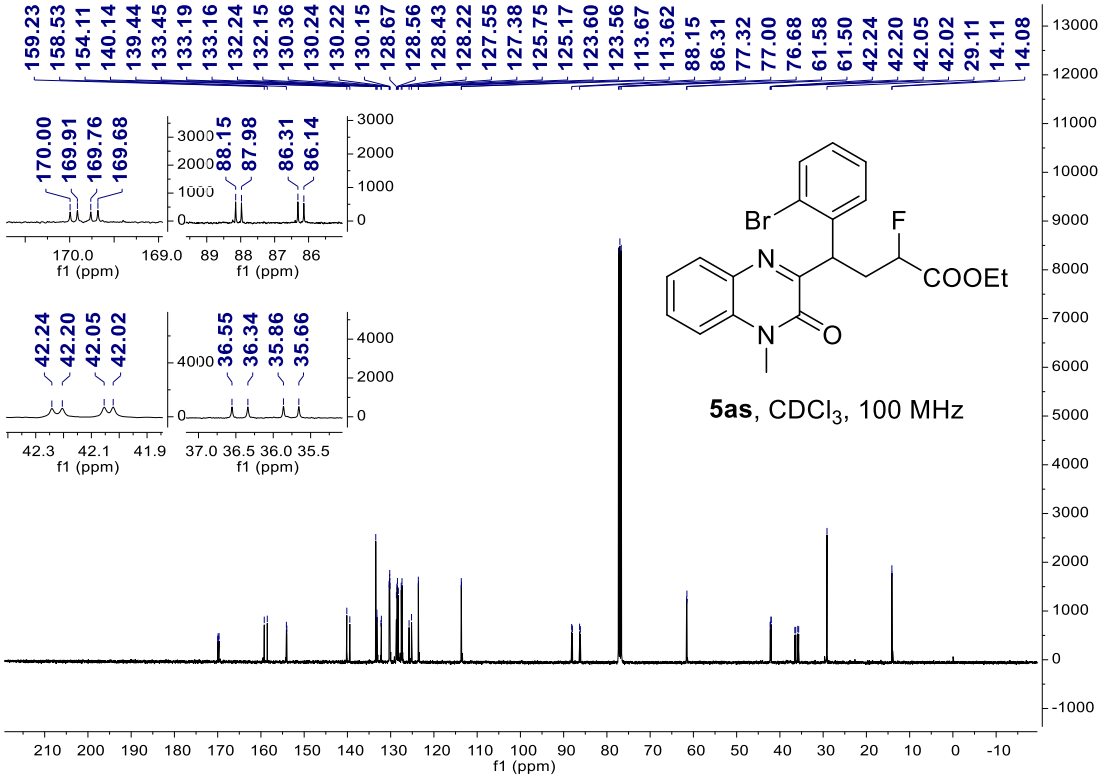
5aq, $CDCl_3$, 100 MHz

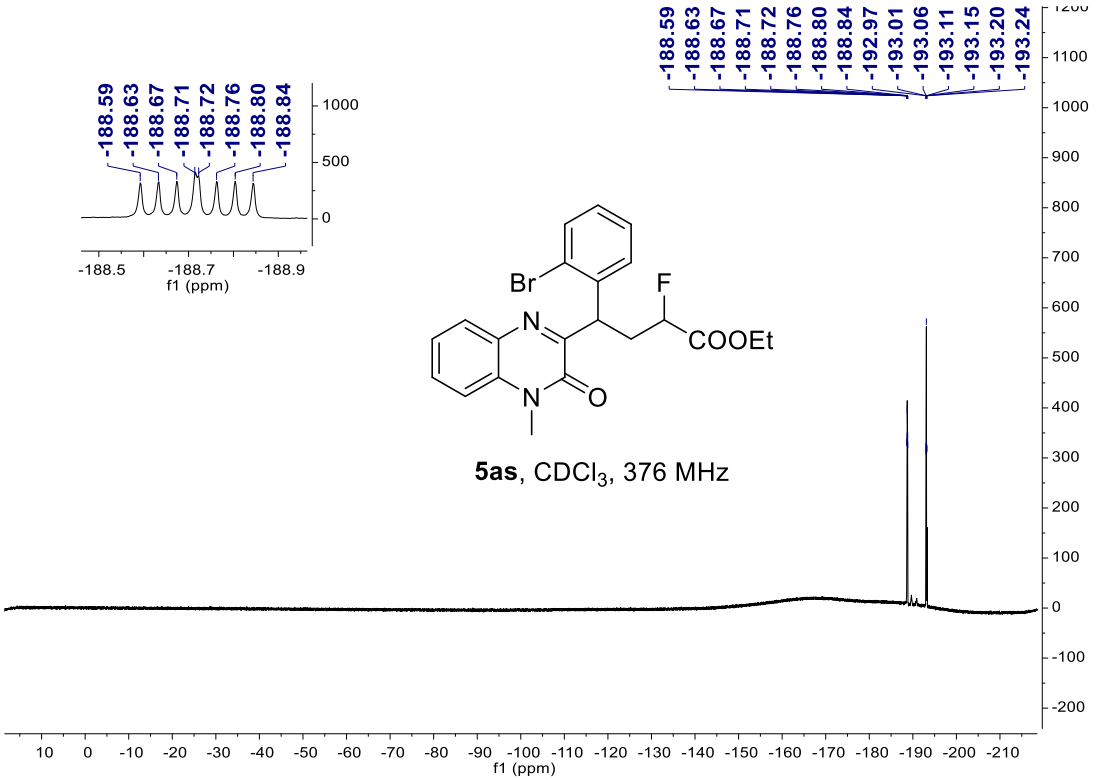


5aq, $CDCl_3$, 376 MHz

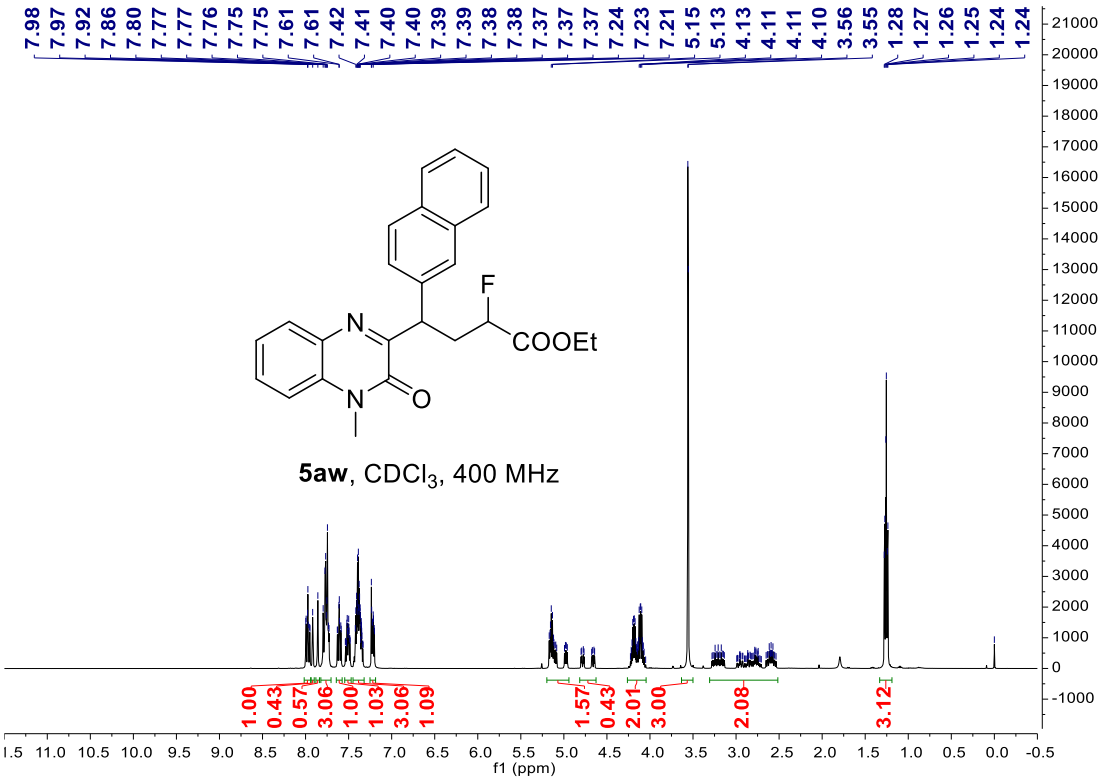
ethyl 4-(2-bromophenyl)-2-fluoro-4-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)butanoate (**5as**)

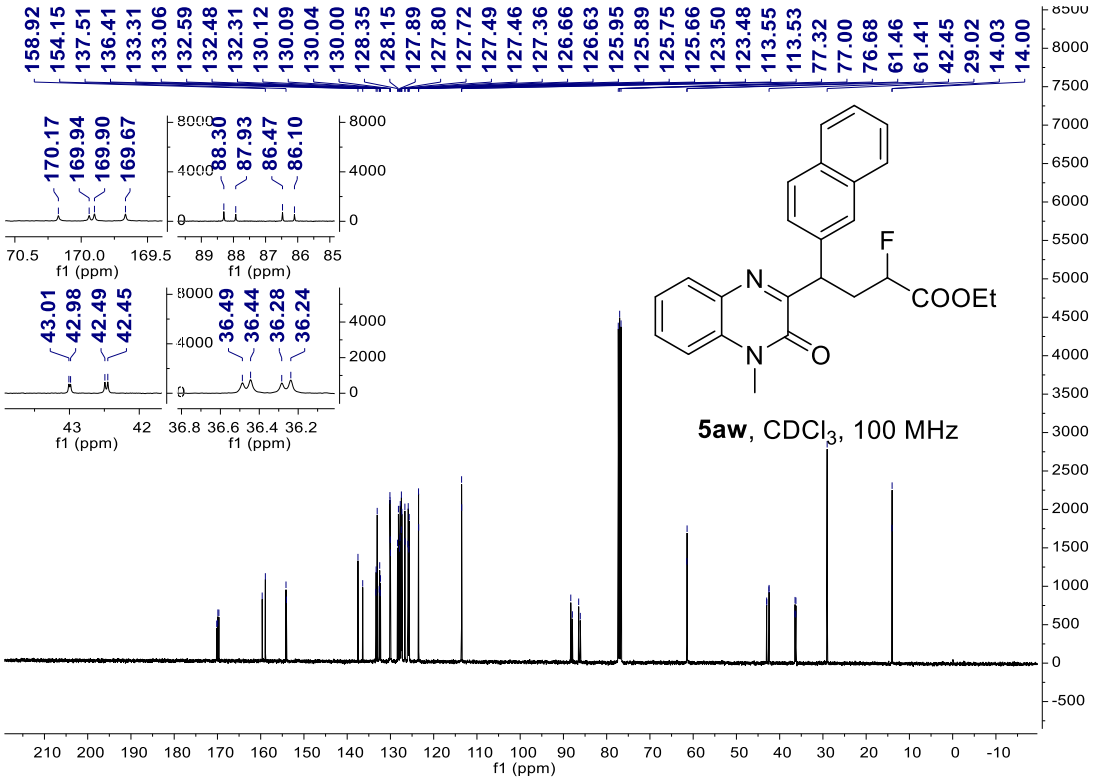


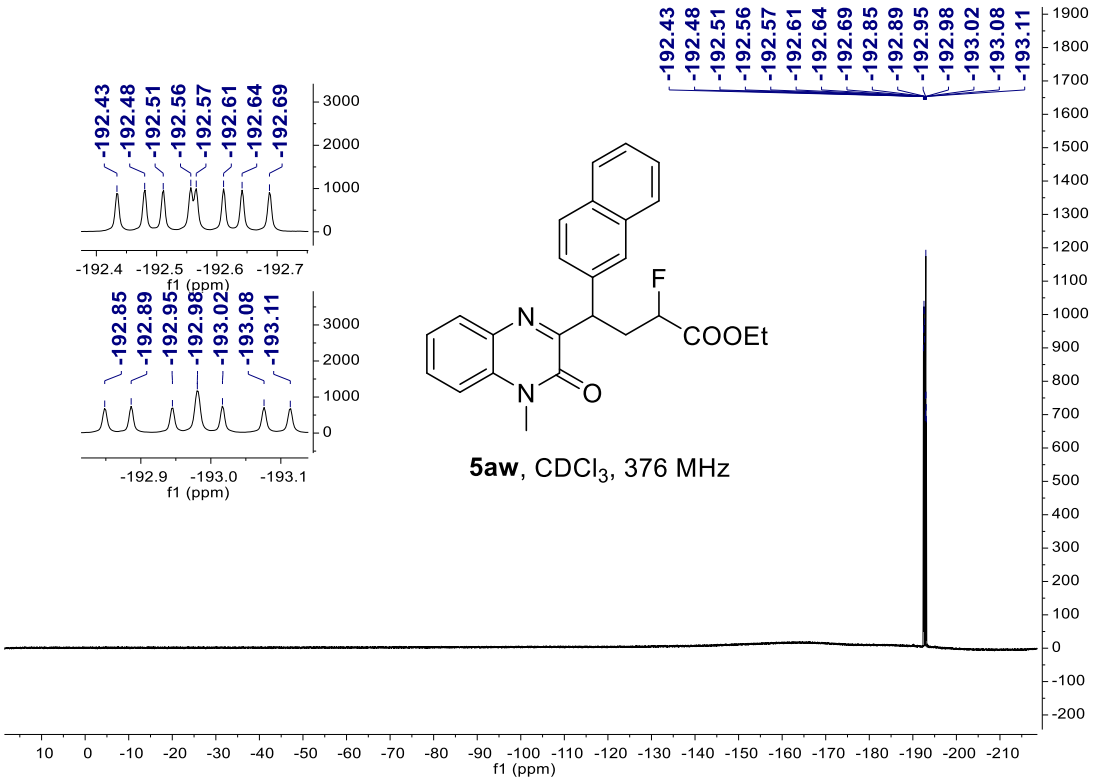




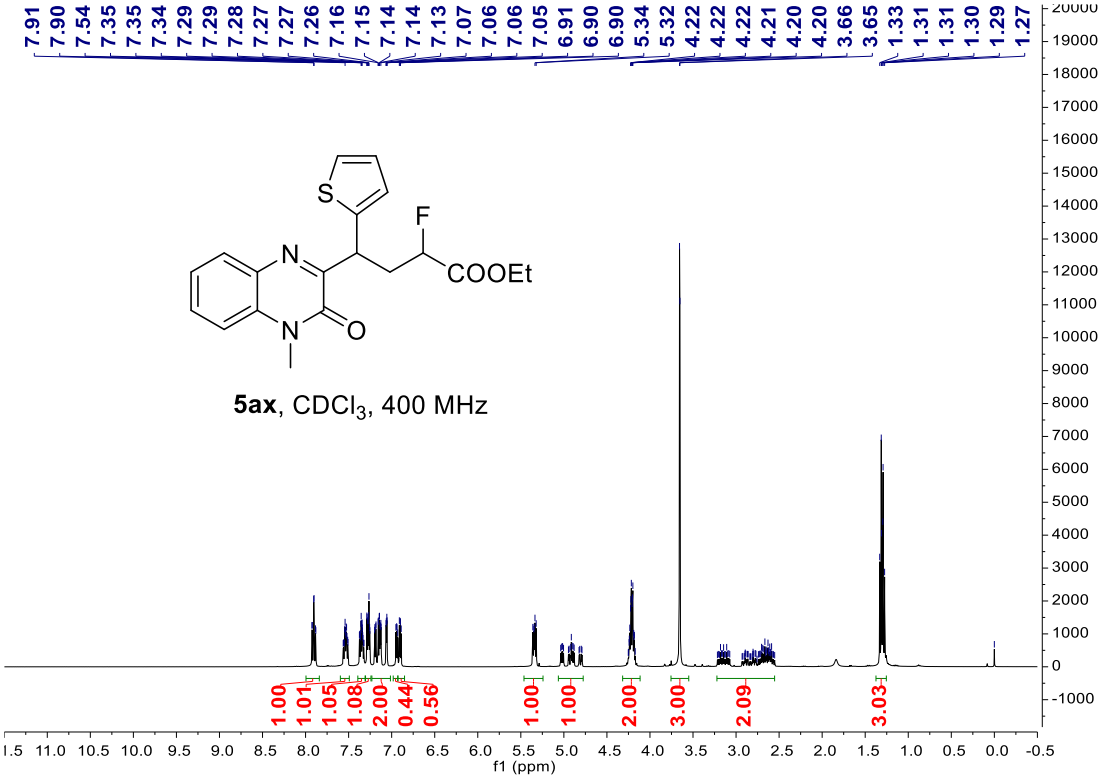
ethyl 2-fluoro-4-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-4-(naphthalen-2-yl)butanoate **(5aw)**

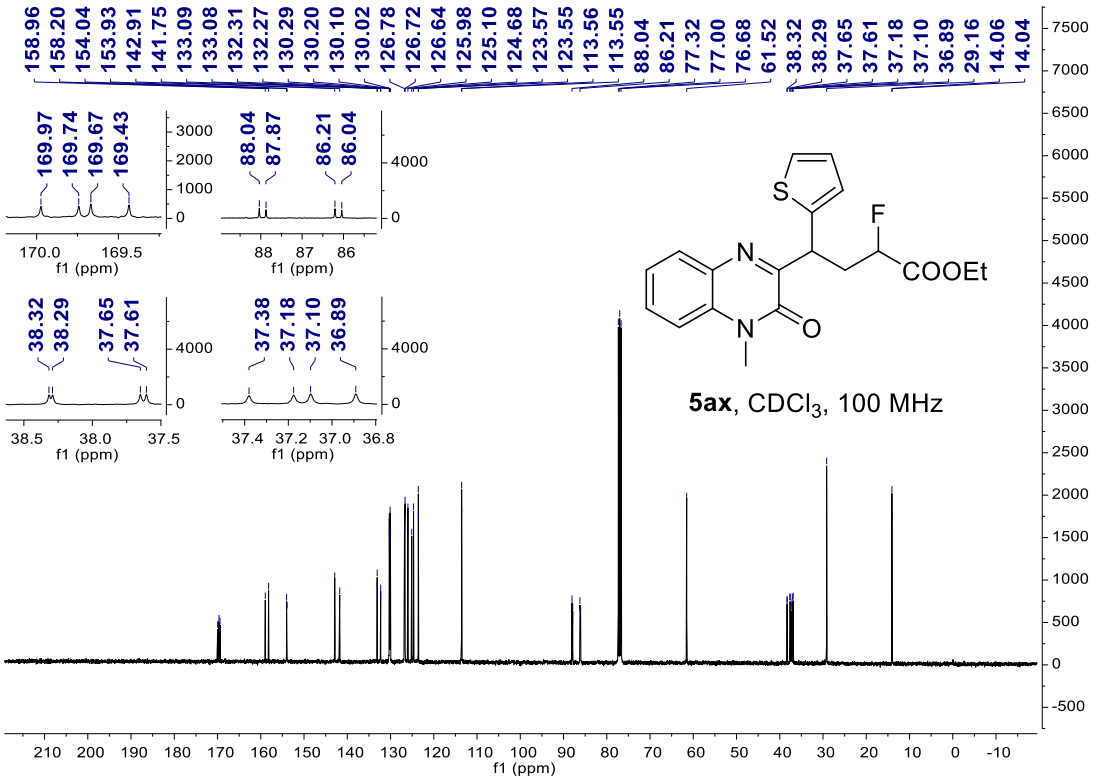


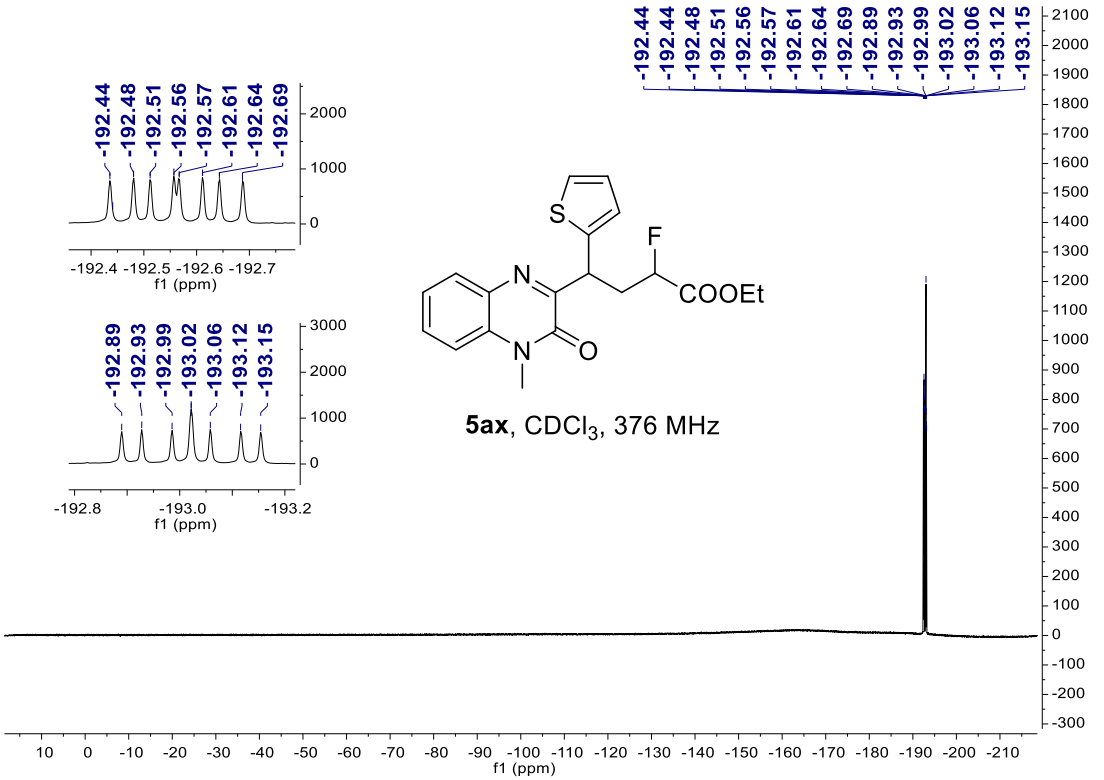

158.92 154.15 137.51 136.41 133.31 133.06 132.59 132.48 132.31 130.12 130.09 130.04 130.00 128.35 128.15 127.89 127.80 127.72 127.49 127.46 127.36 126.66 126.63 125.95 125.89 125.75 125.66 123.50 123.48 113.55 113.53 77.32 77.00 76.68 61.46 61.41 42.45 29.02 14.03 14.00
170.17 169.94 169.90 169.67
88.30 87.93 86.47 86.10
43.01 42.98 42.49 42.45
36.49 36.44 36.28 36.24
f1 (ppm)
F
COOEt
N
O
5aw, CDCl3, 100 MHz


-192.43 -192.48 -192.51 -192.56 -192.57 -192.61 -192.64 -192.69 -192.85 -192.89 -192.95 -192.98 -193.02 -193.08 -193.11
f1 (ppm)
F
COOEt
N
O
5aw, CDCl3, 376 MHz

ethyl 2-fluoro-4-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-4-(thiophen-2-yl)butanoate **(5ax)**

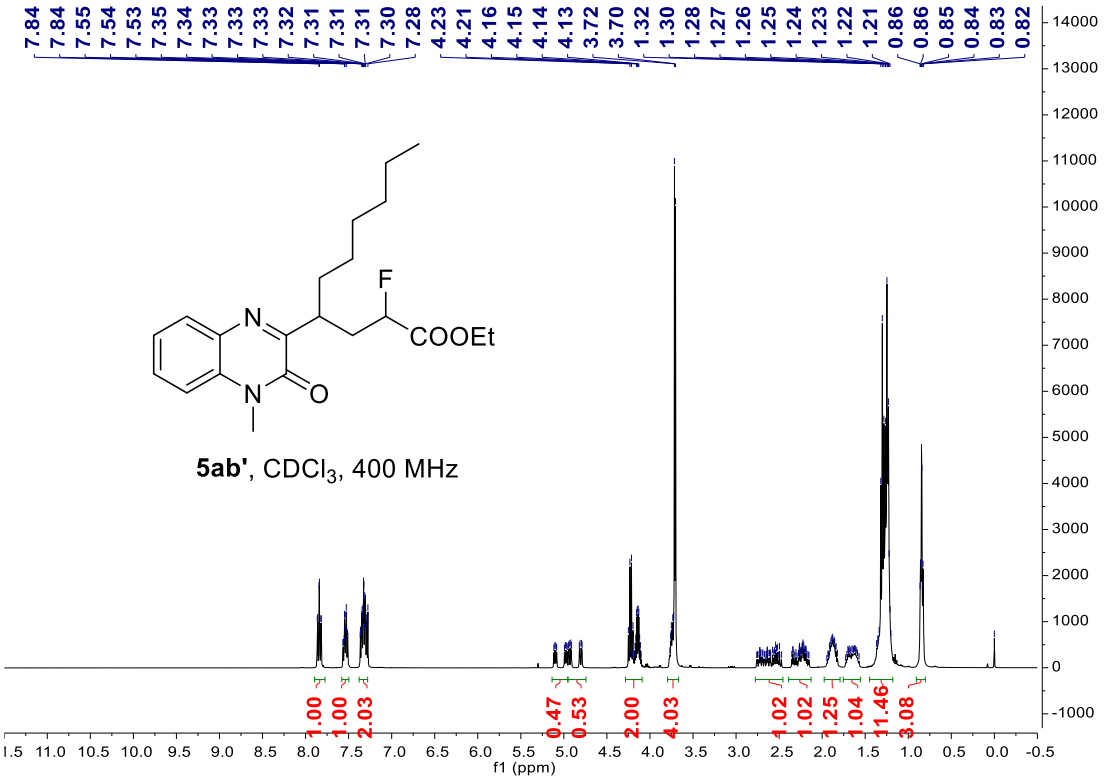




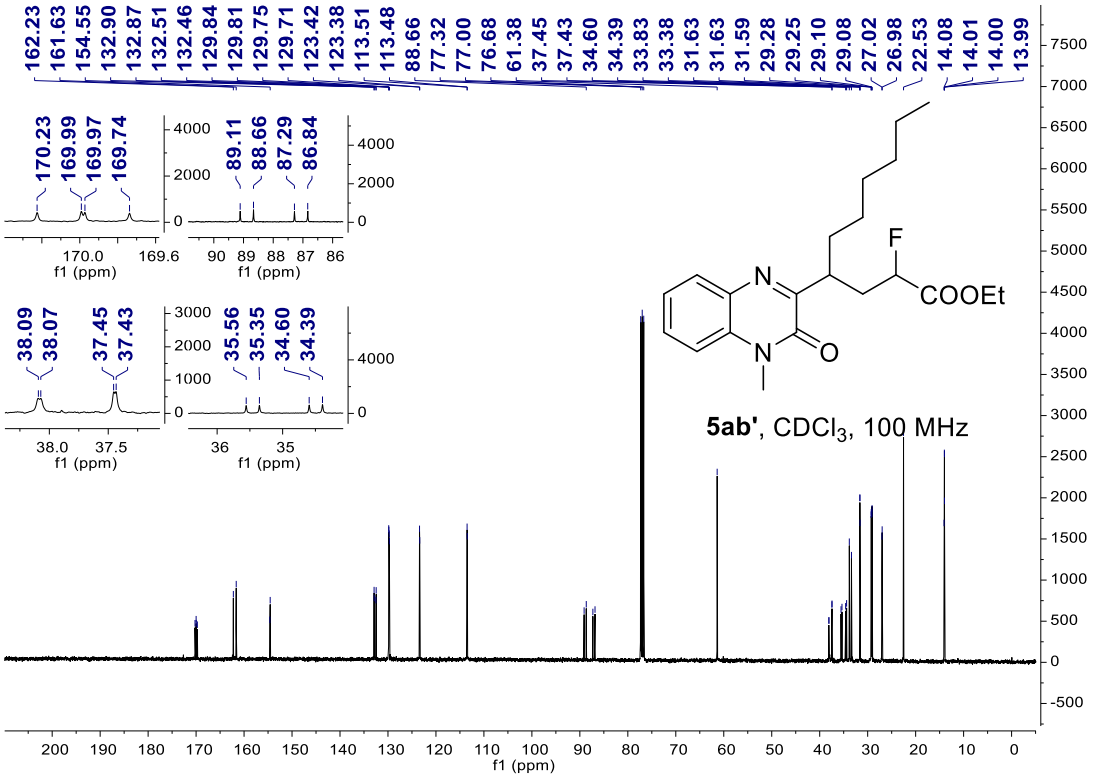


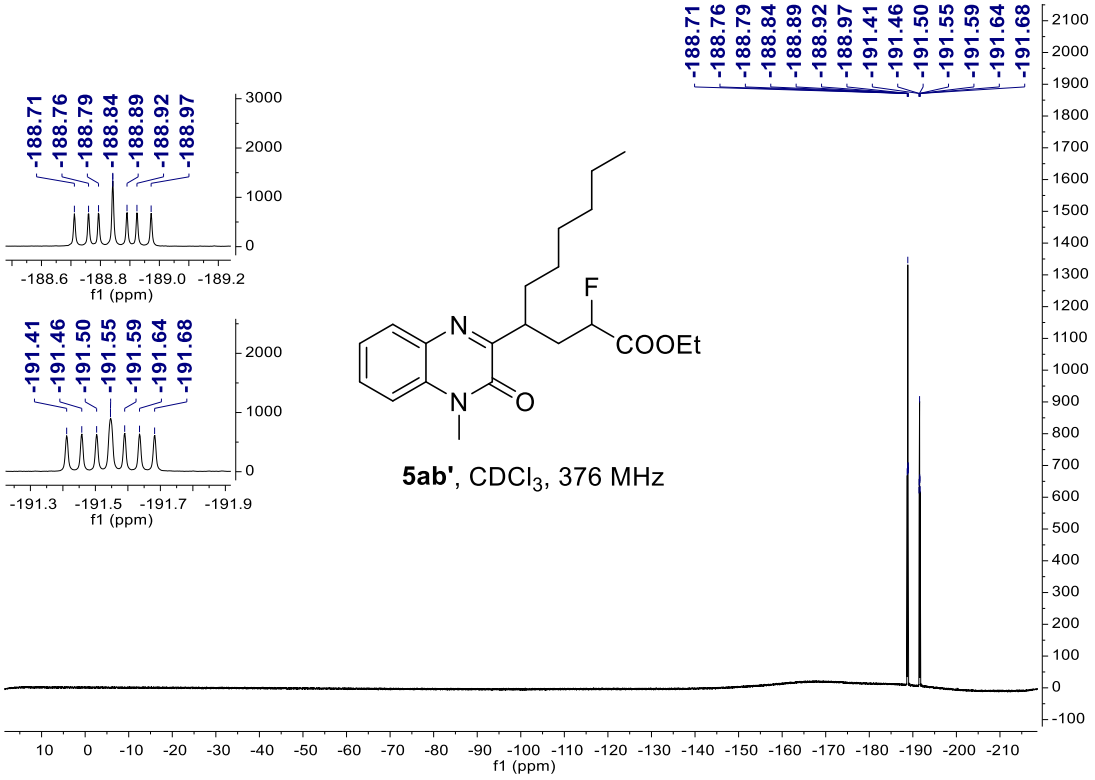
5ax, $CDCl_3$, 376 MHz

ethyl 2-fluoro-4-(4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)decanoate **(5ab')**

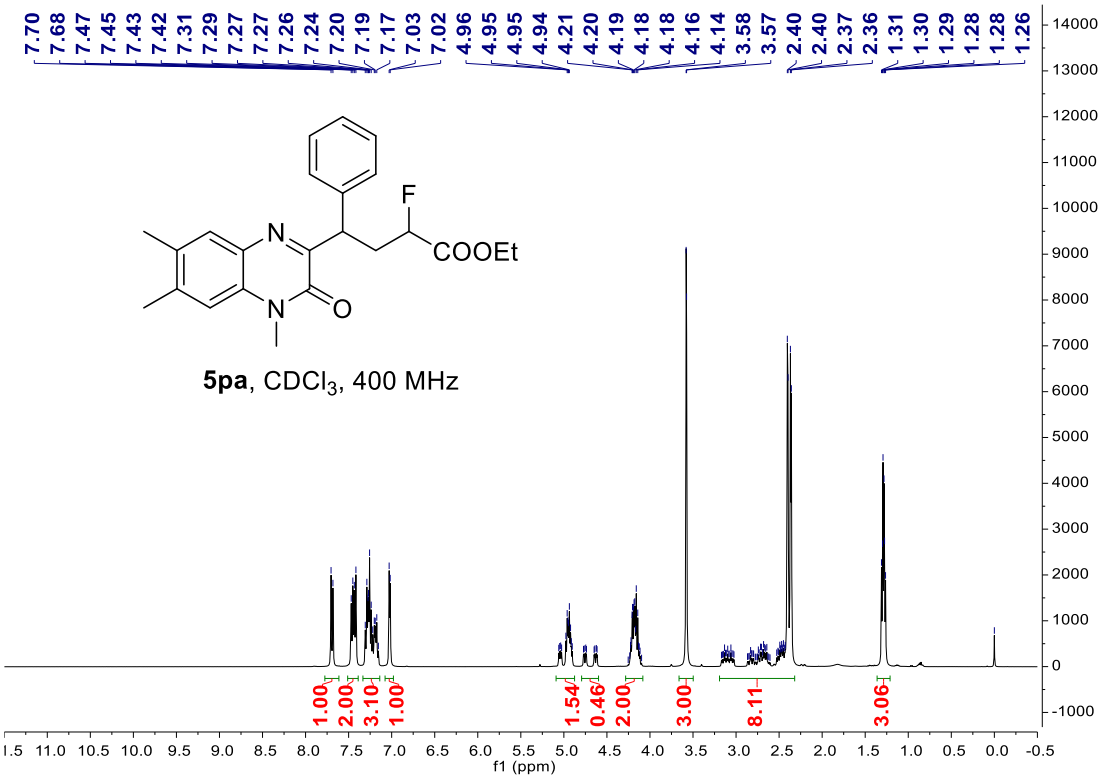


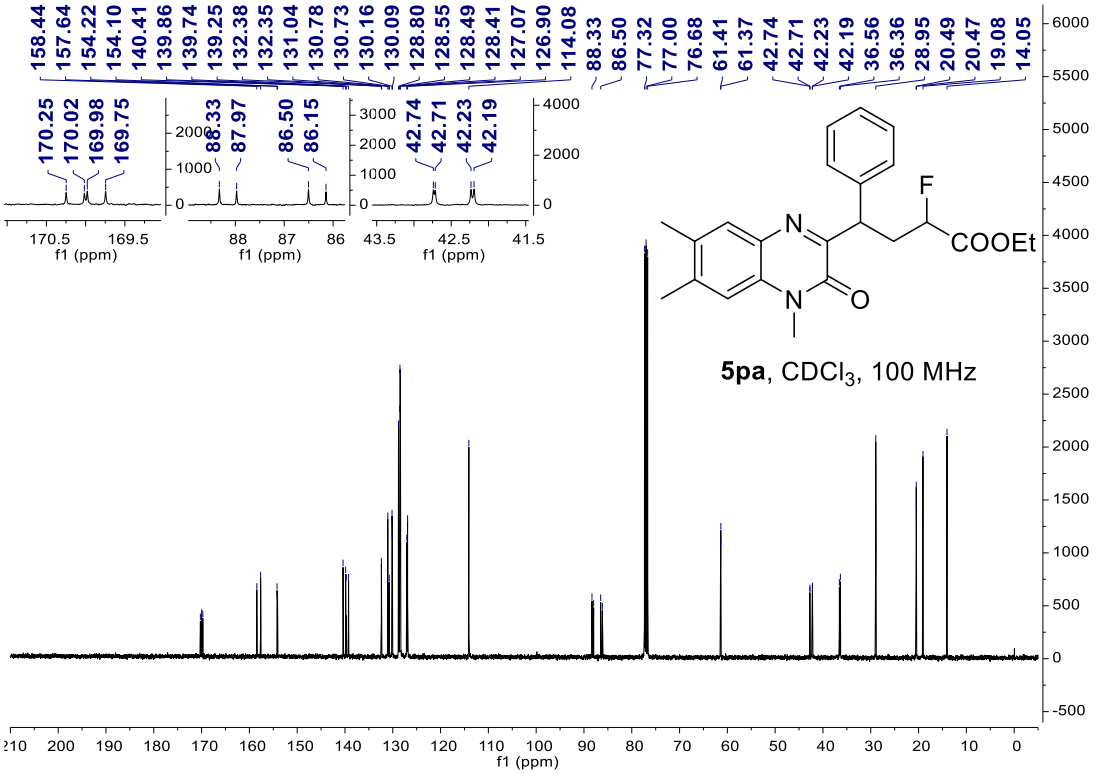
5ab', $CDCl_3$, 400 MHz

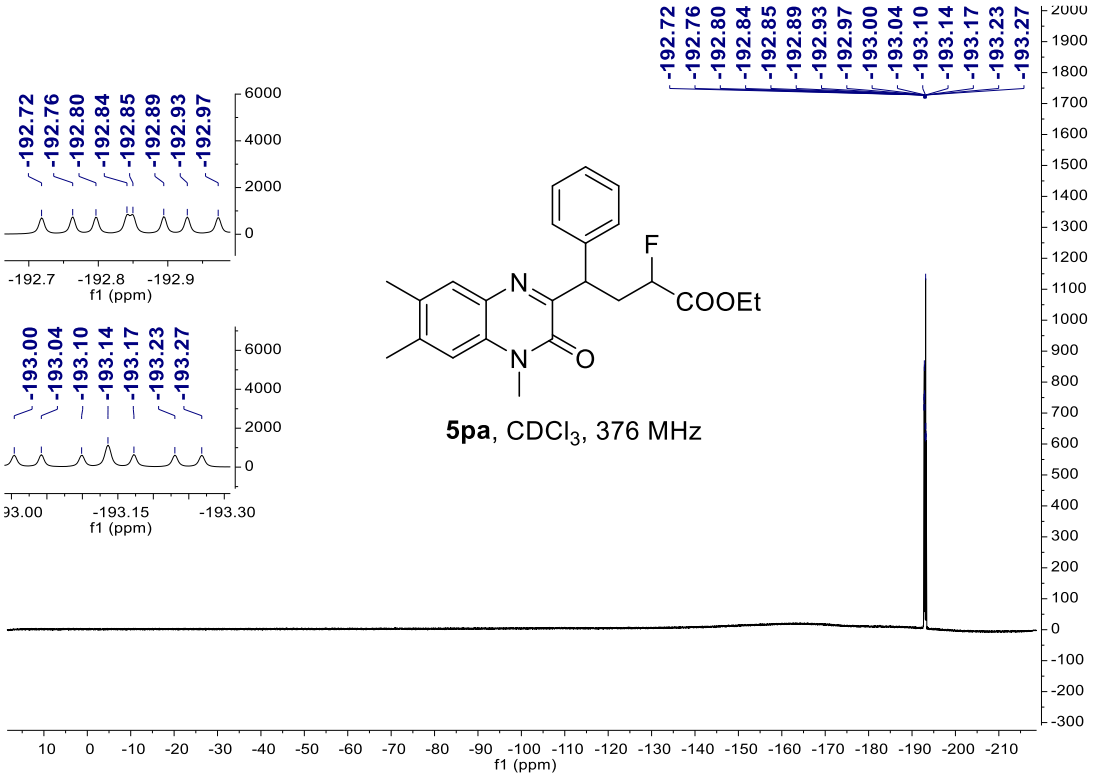

162.23 161.63 154.55 132.90 132.87 132.51 132.46 129.84 129.81 129.75 129.71 123.42 123.38 113.51 113.48 88.66 77.32 77.00 76.68 61.38 37.45 37.43 34.60 34.39 33.83 33.38 31.63 31.63 31.59 29.28 29.25 29.10 29.08 27.02 26.98 22.53 14.08 14.01 14.00 13.99
170.23 169.99 169.97 169.74
89.11 88.66 87.29 86.84
38.09 38.07 37.45 37.43
35.56 35.35 34.60 34.39
F
COOEt
N
O
5ab', CDCl3, 100 MHz
f1 (ppm)


-188.71 -188.76 -188.79 -188.84 -188.89 -188.92 -188.97
-191.41 -191.46 -191.50 -191.55 -191.59 -191.64 -191.68
F
COOEt
N
O
5ab', CDCl3, 376 MHz
f1 (ppm)

ethyl 2-fluoro-4-phenyl-4-(4,6,7-trimethyl-3-oxo-3,4-dihydroquinoxalin-2-yl)butanoate **(5pa)**

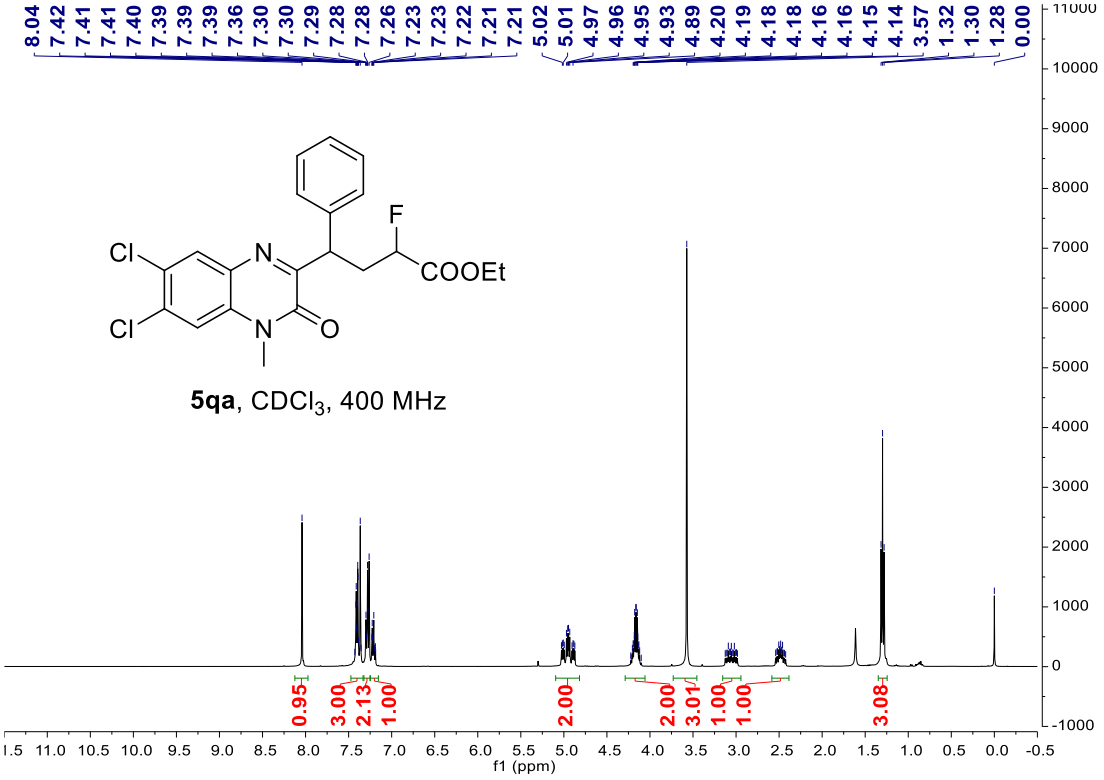




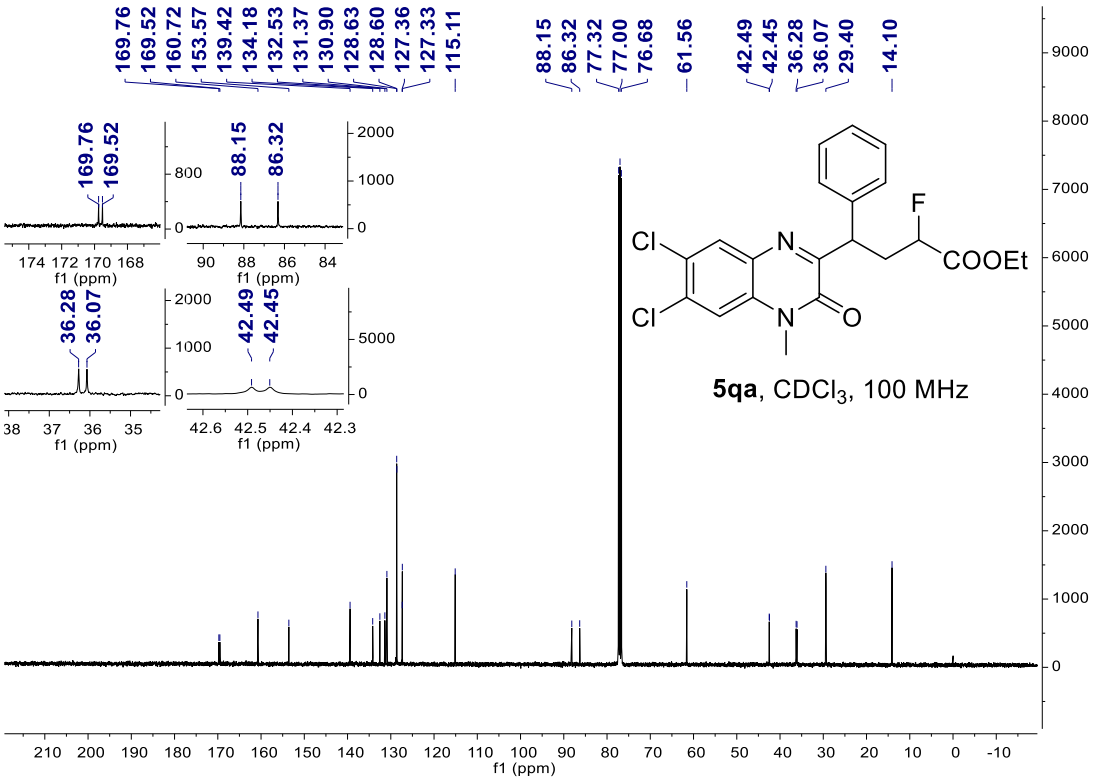


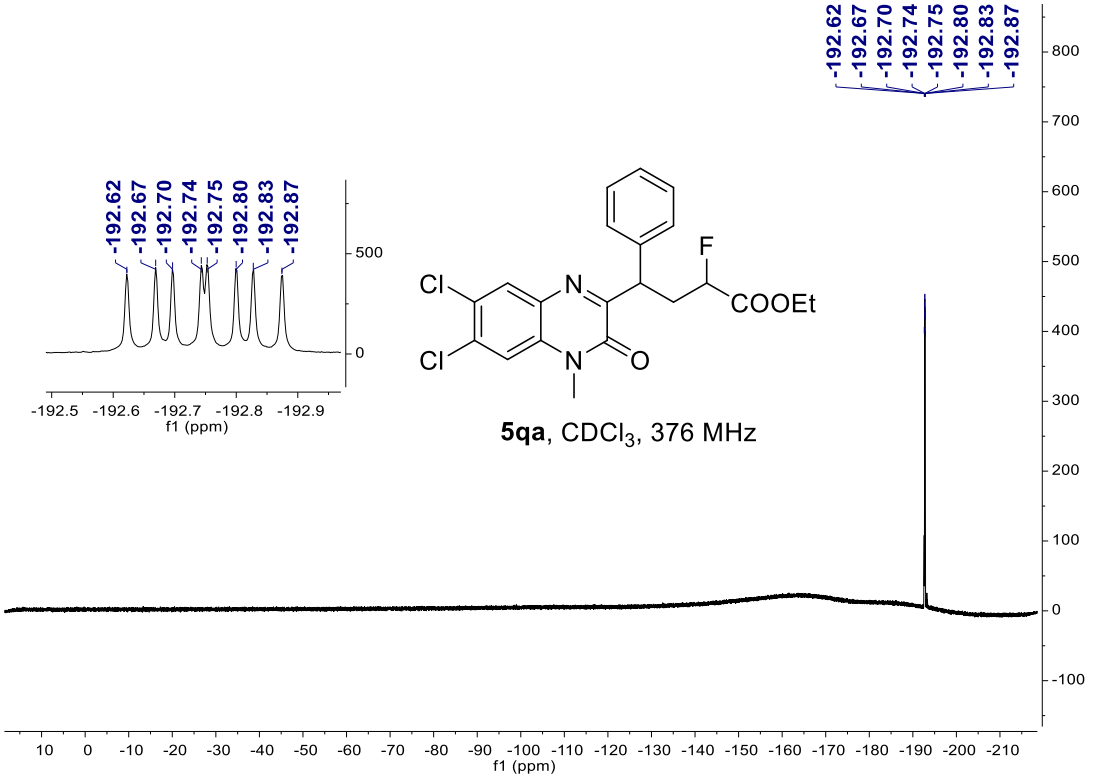
5pa, $CDCl_3$, 376 MHz

ethyl 4-(6,7-dichloro-4-methyl-3-oxo-3,4-dihydroquinoxalin-2-yl)-2-fluoro-4-phenylbutanoate **(5qa)**

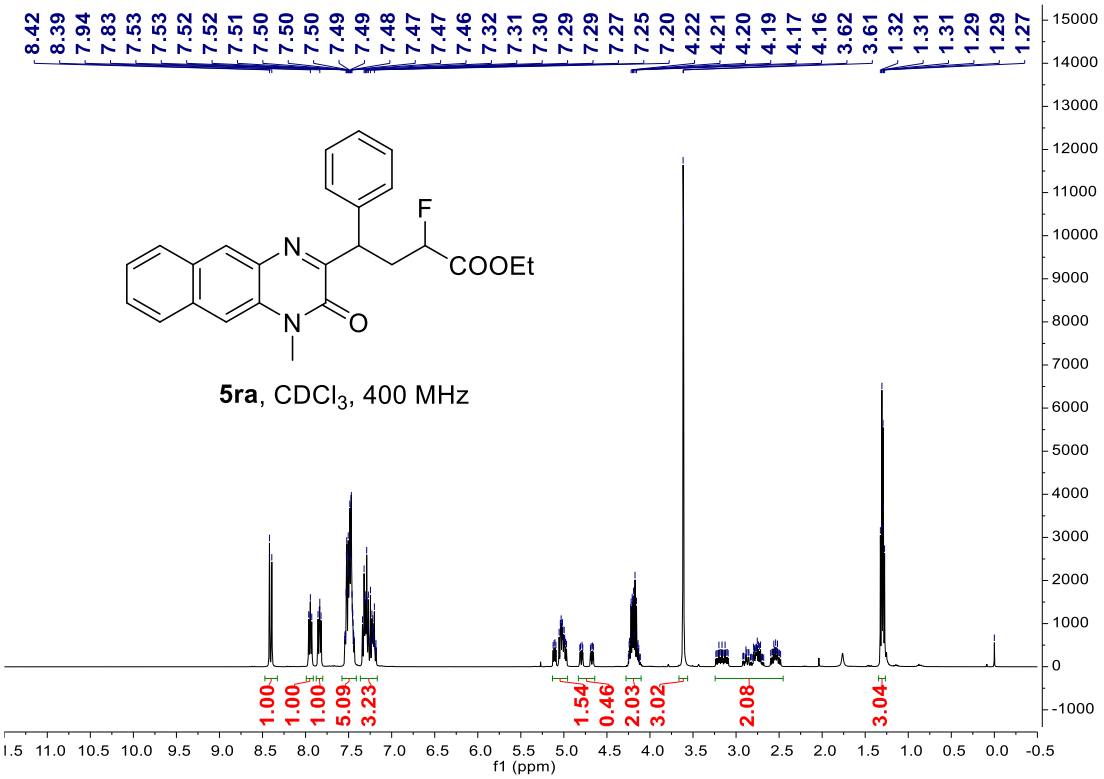


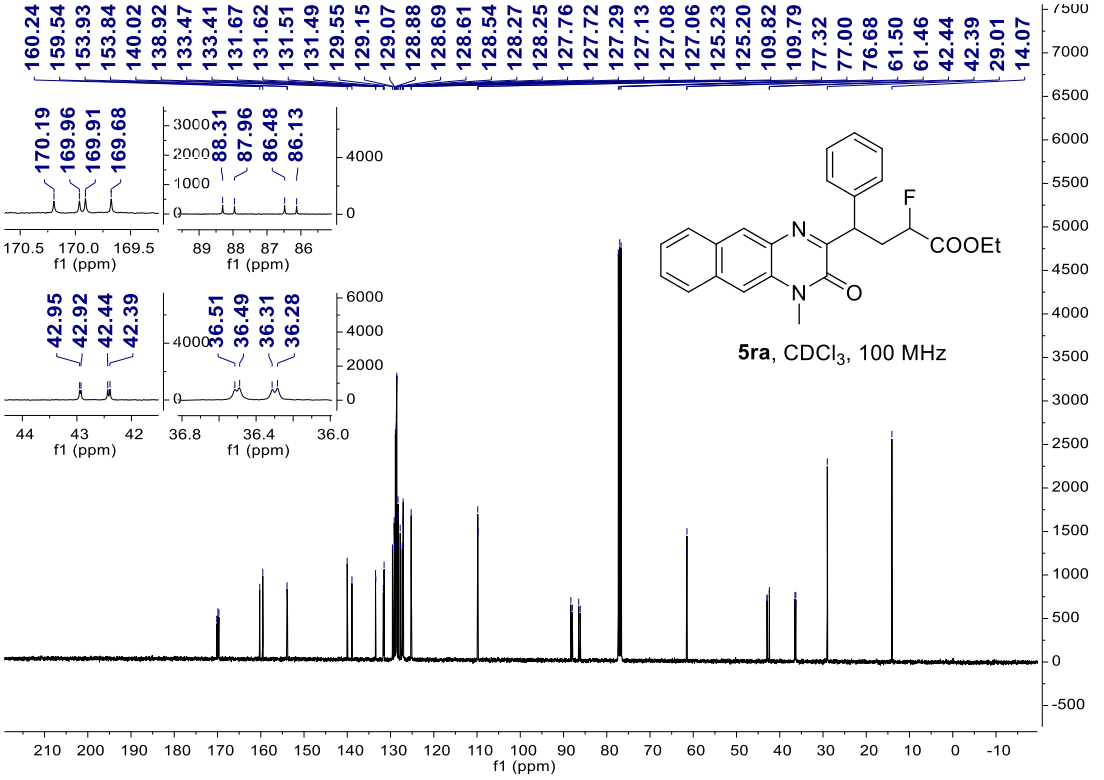
5qa, $CDCl_3$, 400 MHz

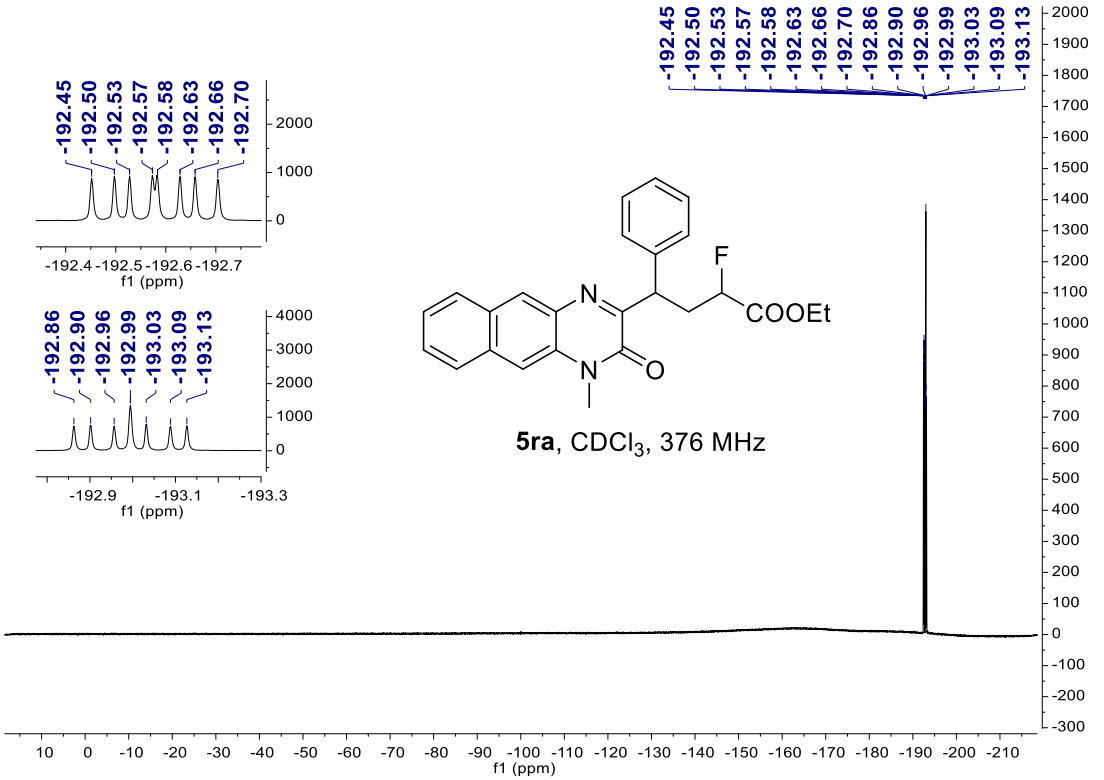

169.76
169.52
160.72
153.57
139.42
134.18
132.53
131.37
130.90
128.63
128.60
127.36
127.33
115.11
88.15
86.32
77.32
77.00
76.68
61.56
42.49
42.45
36.28
36.07
29.40
14.10
f1 (ppm)
Cl
Cl
N
N
O
F
COOEt
5qa, CDCl3, 100 MHz


-192.62
-192.67
-192.70
-192.74
-192.75
-192.80
-192.83
-192.87
f1 (ppm)
Cl
Cl
N
N
O
F
COOEt
5qa, CDCl3, 376 MHz

ethyl 2-fluoro-4-(4-methyl-3-oxo-3,4-dihydrobenzo[g]quinoxalin-2-yl)-4-phenylbutanoate **(5ra)**

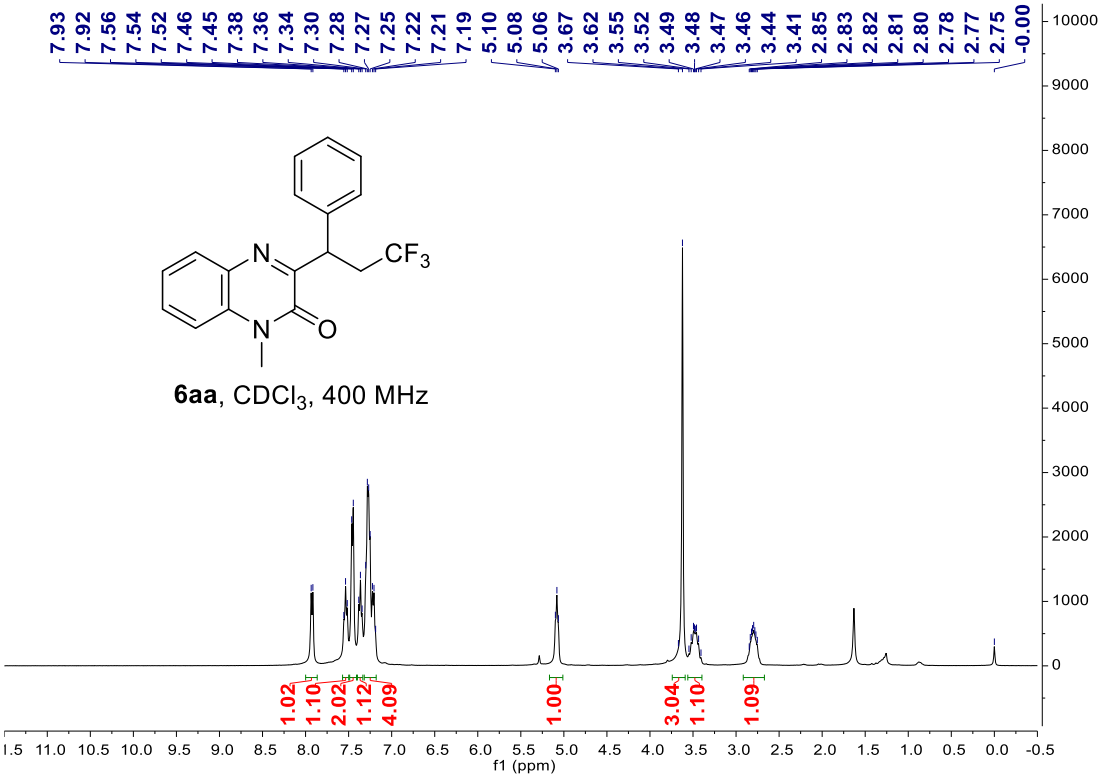




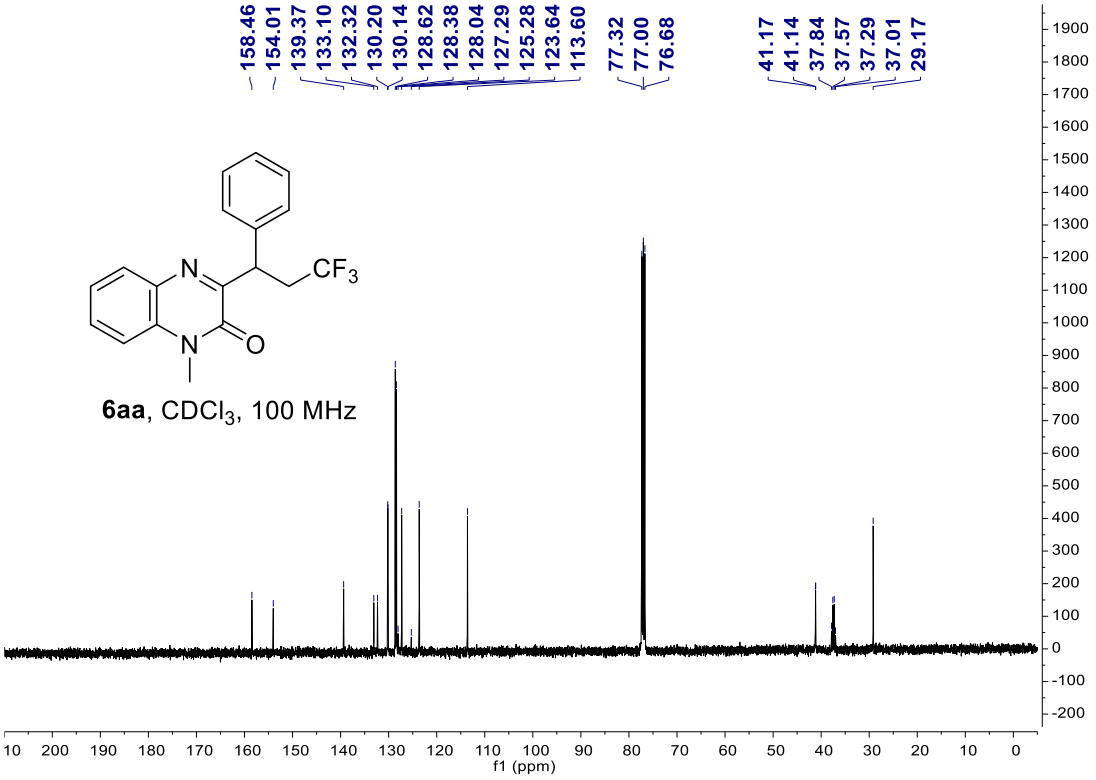


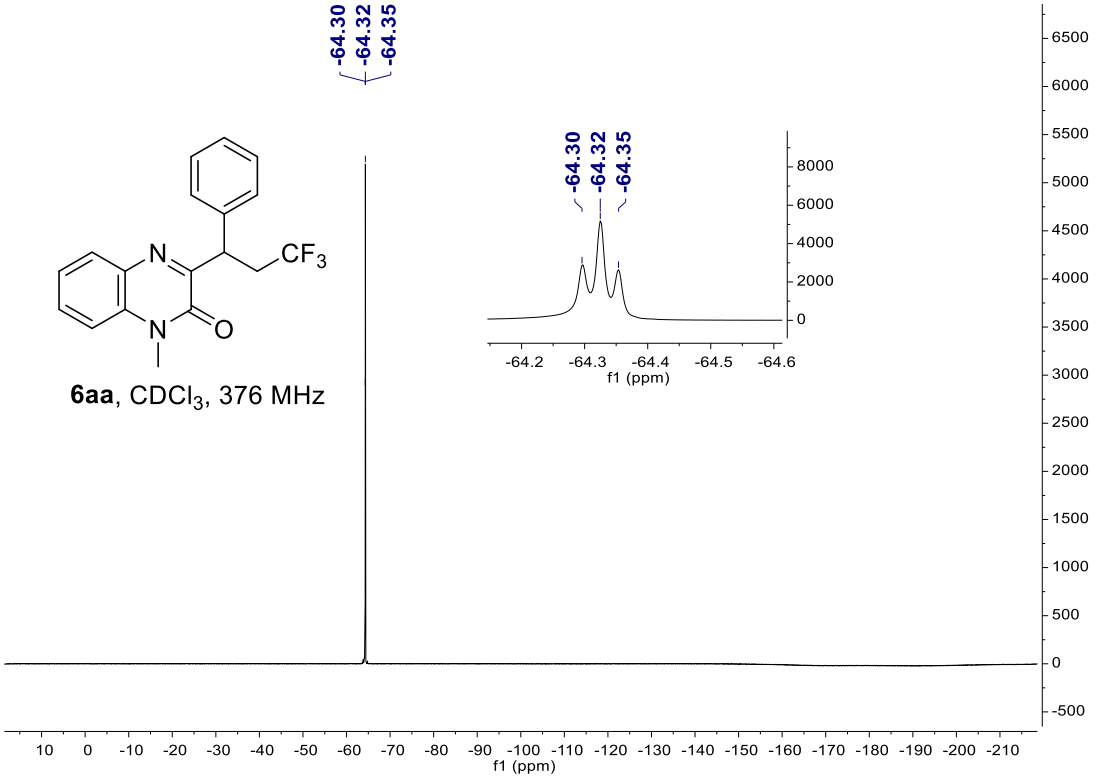
5ra, $CDCl_3$, 376 MHz

1-methyl-3-(3,3,3-trifluoro-1-phenylpropyl)quinoxalin-2(1*H*)-one **(6aa)**



6aa, $CDCl_3$, 400 MHz


158.46
154.01
139.37
133.10
132.32
130.20
130.14
128.62
128.38
128.04
127.29
125.28
123.64
113.60
77.32
77.00
76.68
41.17
41.14
37.84
37.57
37.29
37.01
29.17
N
CF3
N
O
6aa, CDCl3, 100 MHz
f1 (ppm)


-64.30
-64.32
-64.35
N
CF3
N
O
6aa, CDCl3, 376 MHz
f1 (ppm)

*The spectra of mass spectrum for radical adducts **8** and **9***