Enantioselective Addition of 3-hydroxyquinolin-2(1*H*)-ones to Isatin or Pyrazole-4,5-dione Derived Ketimines

Yue Wei,[a] Guishun Bai,[a] Jiamin Wu,[a] Yi Hua,[a] Tao Zhang,[c] Congyong Yue,[c] Hong Wang[a,b] and Xiaoze Bao*[,a,b]

[a] *College of Pharmaceutical Science and Collaborative Innovation Center of Yangtze River Delta Region Green Pharmaceuticals, Zhejiang University of Technology, Hangzhou 310014 China*

[b] *Binjiang Institute of Artificial Intelligence, ZJUT, Hangzhou 310056, China.*

[c]*Shaoxing Minsheng Pharmaceutical Co., Ltd, Shaoxing 312071 China*

E-mail: baoxiaoze@zjut.edu.cn.

Contents:

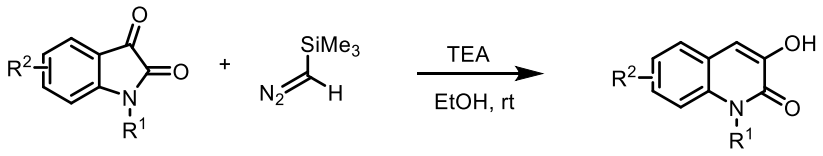
1. General information

Unless otherwise noted, materials were purchased from commercial suppliers and used without further purification. Column chromatography was performed on silica gel (200~300 mesh). Enantiomeric excesses (ee) were determined by HPLC using corresponding commercial chiral columns as stated at 25 °C with UV detector at 254 nm. Optical rotations were reported as follows: [α]TD (c g/100 mL, solvent). All ^{1}H NMR and ^{19}F NMR spectra were recorded on a Bruker AvanceII 500 MHz and Bruker Avance III 377 MHz respectively, ^{13}C NMR spectra were recorded on a Bruker AvanceII 101 MHz or Bruker Avance III 126 MHz with chemical shifts reported as ppm (in $CDCl_3$, TMS as internal standard). Data for ^{1}H NMR are recorded as follows: chemical shift (δ, ppm), multiplicity (s = singlet, d = doublet, t = triplet, m = multiplet, br = broad singlet, dd = doublet doublet, coupling constants in Hz, integration). HRMS (ESI) was obtained with a HRMS/MS instrument (LTQ Orbitrap XL TM). The absolute configuration of **3aj** and **14** was assigned by the X-ray analysis.

3-hydroxyquinolin-2(1H)-one **1a-1v** were prepared from isatin according to the literature. [1] isatin-derived N-Boc ketimines **2a-2m** were prepared from isatin according to the literature.[2] pyrazolon-derived N-Boc ketimines **4a-4e** were prepared from Pyrazolin-5-one according to the literature.[3] Quinine derivative **Q1-Q7** were synthesized according to literature procedures.[4] The racemic products were synthesized using Triethylenediamine as catalyst.

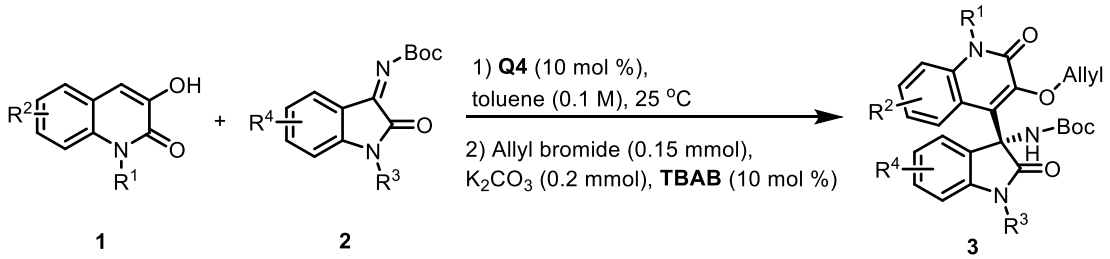
2. Experimental procedures and characterization of products 1a-1v, 3aa-3am, 5aa-5ae, 8-16

General procedure for preparation of compound 1a-1v



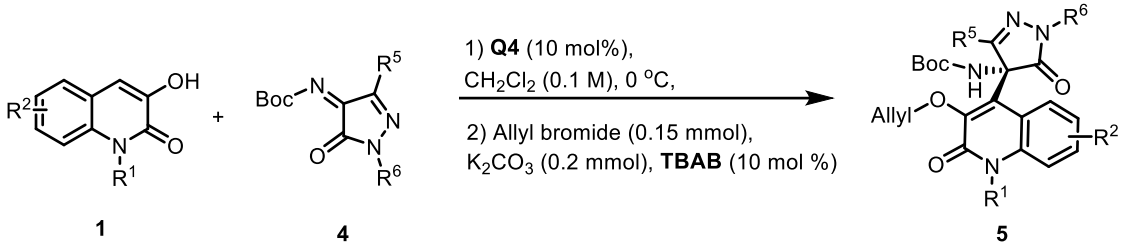
Under the protection of N_2, dissolved Indoline-2,3-diones **S1** (5 mmol) in 20 ml ethanol, TEA (10 mmol) was added and stirred for ten minutes at room temperature, then added (trimethylsilyl)diazomethane **S2** (10 mmol), stirred at room temperature for 5 - 12 h and monitored by TLC. Filtered to obtain yellow solid.

General procedure A: synthesis of compound 3aa-3am, 5aa-5ae

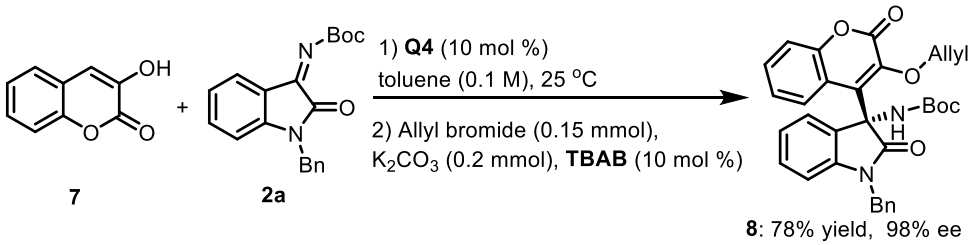


An oven dried test tube equipped with a magnetic stir bar was charged with 3-hydroxyquinolin-2(1*H*)-one **1** (0.11 mmol), isatin-derived N-Boc ketimines **2** (0.10 mmol) and quininederived

thiourea **Q4** (6.0 mg, 10 mol %). Toluene (1 ml, 0.1 M) was added, and the mixture was stirred at 25 °C. After **2** was consumed, Allyl bromide (0.15 mmol), K_2CO_3 (0.20 mmol) and **TBAB** (10 mol %) were added, and the mixture was stirred for 12 h at 25 °C. The reaction mixture was chromatographed on silica gel eluting with hexane:EtOAc mixtures to give compound **3**.

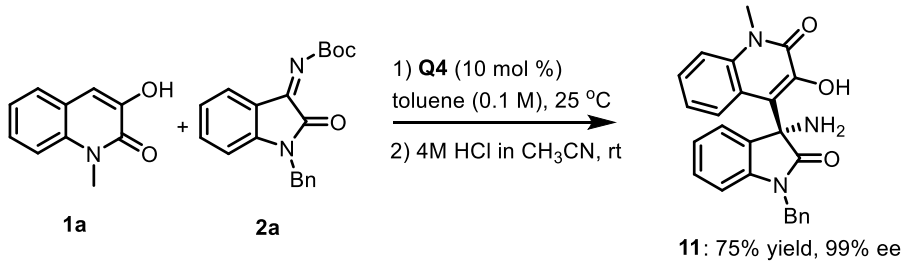


An oven dried test tube equipped with a magnetic stir bar was charged with 3-hydroxyquinolin-2(1*H*)-one **1** (0.11 mmol) and quininederived thiourea **Q4** (6.0 mg, 10 mol %), followed with dichloromethane (1 ml, 0.1 M). The solution was stirred at 0℃ for 10 minutes. Then pyrazolon-derived N-Boc ketimines **4** (0.10 mmol) was added and the mixture was stirred at 0 ℃. After **4** was consumed, Allyl bromide (0.15 mmol), K_2CO_3 (0.20 mmol) and **TBAB** (10 mol %) were added, and the mixture was stirred for 12 h at 25 °C. The reaction mixture was chromatographed on silica gel eluting with hexane:EtOAc mixtures to give compound **5**.



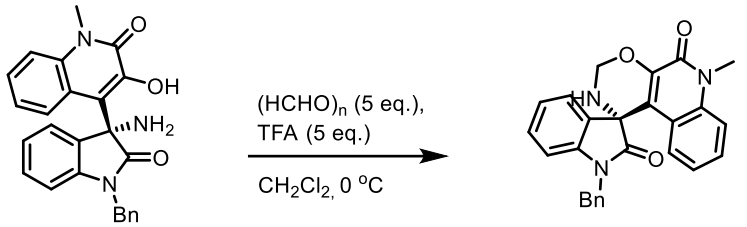
An oven dried test tube equipped with a magnetic stir bar was charged with 3-hydroxycoumarine **7** (0.11 mmol), isatin-derived N-Boc ketimines **2a** (0.10 mmol) and quininederived thiourea **Q4** (6.0 mg, 10 mol %). Toluene (1 ml, 0.1 M) was added, and the mixture was stirred at 25 ℃. After **2a** was consumed, Allyl bromide (0.15 mmol), K_2CO_3 (0.20 mmol) and **TBAB** (10 mol %) were added, and the mixture was stirred for 12 h at 25 °C. The reaction mixture was chromatographed on silica gel eluting with hexane:EtOAc(3:1) mixtures to give 42mg (78%) of compound **8** with 98% ee.

General procedure B: synthesis of compound 11 - 16



An oven dried round bottom flask equipped with a magnetic stir bar was charged with 3-hydroxyquinolin-2(1*H*)-one **1a** (2.2 mmol), isatin-derived N-Boc ketimines **2a** (2.0 mmol) and quininederived thiourea **Q4** (120 mg, 0.2 mmol). Toluene (20 ml, 0.1 M) was added, and the mixture

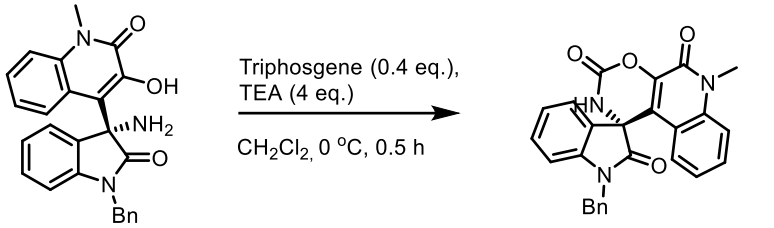
was stirred at 25 °C. After **2a** was consumed, the solution was concentrated under reduced pressure. The resulting oil was dissolved it in 4M HCl in CH_3CN (10 ml) and the reaction was stirred at 25 °C for 12 hours. The reaction mixture was chromatographed on silica gel eluting with hexane:EtOAc (1:1) to give 616 mg (75%) of compound **11** with 99% ee.



11: 99%ee

12: 80% yield, 98% ee

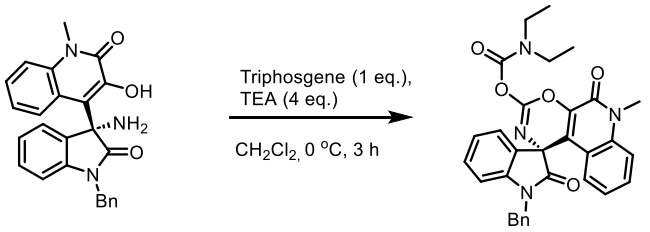
Trifluoroacetic acid (38 µL, 0.5 mmol) was added to a solution of compound **11** (41 mg, 0.1 mmol) in CH_2Cl_2 (1 mL) at 0 °C. Then, paraformaldehyde (15 mg, 0.5 mmol) was added until the amine intermediate was consumed. Then, volatiles were removed under reduced pressure and the residue was chromatographed on silica gel eluting with hexane:EtOAc (2:1) to give 34 mg (80%) of compound **12** with 98% ee.



11: 99%ee

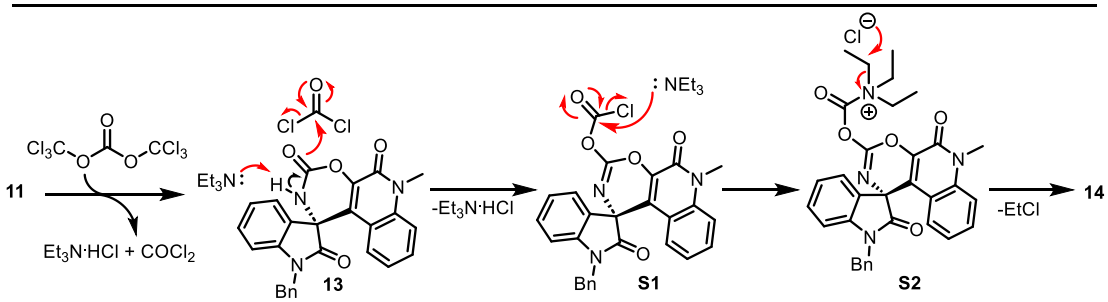
13: 68% yield, 99% ee

Et_3N (111 µL, 0.8 mmol) was added to a solution of Compound **11** (82 mg, 0.2 mmol) was dissolved in anhydrous CH_2Cl_2 (4 mL) under N_2. The solution was cooled to 0°C and triphosgene (24 mg, 0.08 mmol, 0.4 equiv.) was added slowly. The reaction mixture was stirred at 0 °C for 0.5 h, water (4 mL) was added and the mixture was concentrated under vacuum. The product was extracted with ethyl acetate (3×10 mL). The combined organic layers were dried over $MgSO_4$, filtered and concentrated. The crude was chromatographed on silica gel eluting with hexane:EtOAc (2:1) to give 58 mg (68%) of compound **13** with 99% ee.

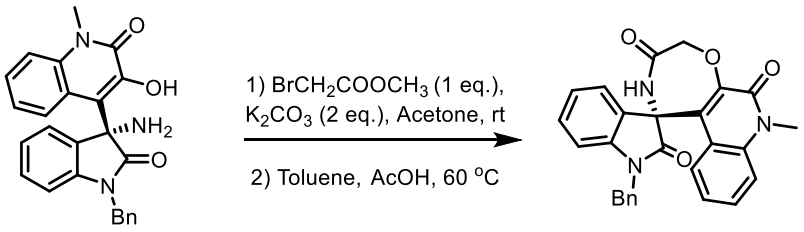


11: 99%ee

14: 77% yield, 99% ee



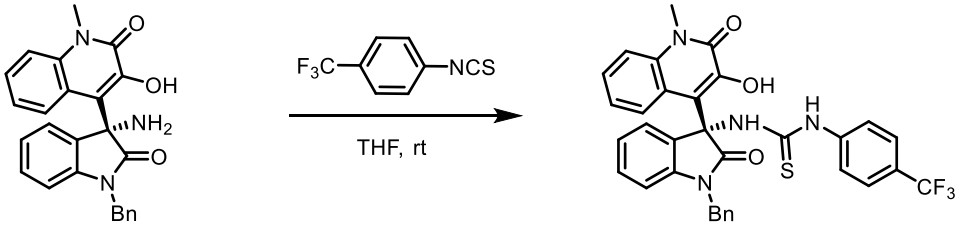
Triphosgene (1 equiv.) and compound **11** (82 mg, 0.2 mmol) were dissolved in anhydrous CH_2Cl_2 under N_2. The solution was cooled to 0 °C and Et_3N (4 equiv.) was added slowly. The reaction mixture was stirred at room temperature for 3 h, water (4 mL) was added and the mixture was concentrated under vacuum. The product was extracted with ethyl acetate (3×10 mL). The combined organic layers were dried over $MgSO_4$, filtered and concentrated. The crude was chromatographed on silica gel eluting with hexane:EtOAc (2:1) to give 81 mg (77%) of compound **14** with 99% ee. **The proposed mechanism of the synthesis of 14:** In the presence of triphosgene and Et_3N, the formation of compound **13** was reasonable *via* tetrahedral intermediate. With an excess amount of Et_3N and the released phosgene, a further oxygen acylation occurred to afford the intermediate **S1**. Then, the highly reactive acyl chloride moiety of **S1** was trapped by Et_3N to give the ion pair **S2**. Finally, with Cl anion as the nucleophile, the S_N2 substitution occurred to afford the final product **14**.



11: 99%ee

15: 32% yield, 99% ee

To a solution of amine **11** (123 mg, 0.3 mmol) in acetone (1 mL) was sequentially added K_2CO_3 (82 mg, 0.6 mmol) and methyl bromoacetate (29 μL, 0.3 mmol). The mixture was stirred at room temperature for 3 h. After this time, the solution was filtered to eliminate the solids and the filtrate was concentrated under reduced pressure. The resulting oil was dissolved in ethyl acetate and washed with sat. NaCl (3×10 mL). The combined organic layers were dried over $MgSO_4$, filtered and concentrated under reduced pressure. The residue was dissolved in toluene (3 mL), added acetic acid (0.2 mL) and heated at 60 °C for 1 h. The solvent was evaporated under reduced pressure and the residue was dissolved in dichloromethane (10 mL) and washed with sat. $NaHCO_3$ (2×10 mL). The organic phase was dried over $MgSO_4$, filtered and concentrated. The crude mixture was chromatographed on silica gel eluting with hexane:EtOAc (2:1) to give 43 mg (32%) of compound **15** with 99% ee.



11: 99%ee

16: 72% yield, 98% ee

4-(Trifluoromethyl)Phenyl Isothiocyanate (24 mg, 0.12 mmol) was added to a solution of Compound **11** (41 mg, 0.1 mmol) was dissolved in anhydrous THF (4 mL) under N_2. The reaction mixture was stirred at room temperature for 24 h. Then, volatiles were removed under reduced pressure and the residue was chromatographed on silica gel eluting with hexane:EtOAc (1:1) to give 44 mg (72%) of compound **16** with 98% ee.

3. X-ray crystallographic data

Dissolved the sample **3aj** (10 mg, 98% ee) in chloroform (0.5 mL) in the NMR tube, then added *n*-hexane (2.0 mL), leaving the NMR tube undisturbed for 7 days can result in the growth of crystals. X-Ray diffraction data were collected at 193 K on a Bruker D8 VENTURE Metaljet PHOTON II diffractometer with GaKα (λ = 1.54178). OLEX2 and SHELXTL were used for Data collection and integration.

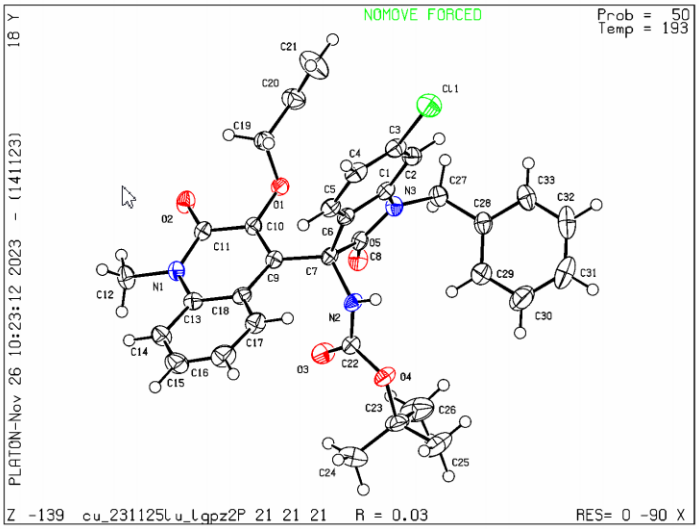


Figure S-1. X-ray crystal structure of the product **3aj (CCDC 2377729)**.

The single crystals of compound **14** (10 mg, 99% ee) were obtained in a Dichloromethane : petroleum ether (10:1) solution. X-Ray diffraction data were collected at 193 K on a Bruker D8 VENTURE Metaljet PHOTON II diffractometer with GaKα (λ = 1.54178). OLEX2 and SHELXTL were used for Data collection and integration.

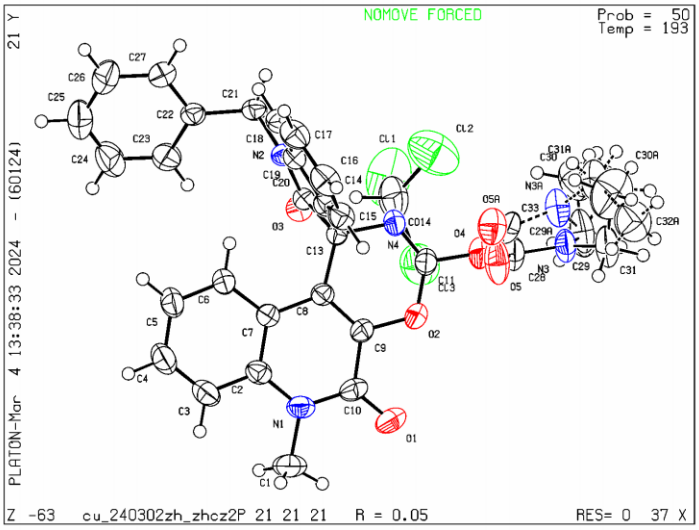
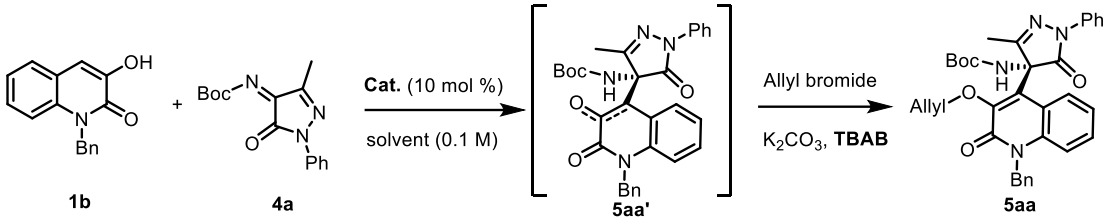


Figure S-2. X-ray crystal structure of the product **14 (CCDC 2377730)**.

4. Optimization of the reaction conditions

Table S-1



entry	**cat.**	solvent	Yield (%)[b]	ee (%)[c]
1	**Q1**	CH_2Cl_2	47	69
2	**Q2**	CH_2Cl_2	57	63
3	**Q3**	CH_2Cl_2	35	14
4	**Q4**	CH_2Cl_2	79	96
5	**Q5**	CH_2Cl_2	81	94
6	**Q6**	CH_2Cl_2	80	95
7	**Q4**	$CHCl_3$	76	93
8	**Q4**	DCE	78	96
9	**Q4**	THF	59	95
10	**Q4**	toluene	64	92
11[d]	**Q4**	CH_2Cl_2	90	97
12[d]	**Q7**	CH_2Cl_2	75	-87

[a]Reaction conditions: **1b** (0.11 mmol), **4a** (0.10 mmol), **Cat.** (10 mol %), and solvent (1 mL) at 25 °C for 20 h. After **4a** was consumed, Allyl bromide (0.15 mmol), K_2CO_3 (0.20 mmol) and **TBAB** (10 mol %) were added, and the mixture was stirred for 12 h at 25 °C. [b]Isolated yield of **5aa**. [d]Determined by chiral HPLC analysis. [d]0 °C for the first step.

5. References

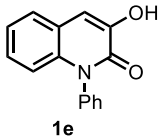
(1) Wu, J.; Hu, F.; Bai, G.; Yang, Y.; Bonne, D.; Rodriguez, J.; Yue, C.; Wang, H.; Bao, X. Basicity-Controlled [3+2] Cyclization of 3-Hydroxyquinolin-ones and β-Chlorinated Nitrostyrenes. *Eur. J. Org. Chem.* **2023**, *26*, e202300218.

(2) (a) Bao, X.; Wei, S.; Qian, X.; Qu, J.; Wang, B.; Zou, L.; and Ge, G. Asymmetric Construction of a Multi-Pharmacophore-Containing Dispirotriheterocyclic Scaffold and Identification of a Human Carboxylesterase 1 Inhibitor. *Org. Lett.* **2018**, *20*, 3394-3398. (b) Shen,Y.-B.; Qian, H.-L.; Yang, L.; Zhou, S.; Rao, H.-W.; Wang,Z.-H.; You, Y.; Zhang, Y.-P.; Yin, J.-Q.; Zhao,J.-Q.; Zhang,W. ; Yuan, W.-C. Cu-Catalyzed Direct Asymmetric Mannich Reaction of 2-Alkylazaarenes and Isatin-Derived Ketimines. *Org. Lett.* **2024**, *26*, 1699−1704.

(3) Kaya, U.; Chauhan, P.; Mahajan, S.; Deckers, K.; Valkonen, A.; Rissanen, K.; Enders, D. Squaramide-Catalyzed Asymmetric aza-Friedel-Crafts/N,O-Acetalization Domino Reactions Between 2-Naphthols and Pyrazolinone Ketimines. *Angew. Chem., Int. Ed.* **2017**, *56*, 15358-15362.

(4)Li, Z.; Zhou, H.; Xu, J. Access to Chiral Polycyclic 1,4-Dihydropyridines via Organocatalytic Formal [3 + 3] Annulation of 2-(1-Alkynyl)-2-alken- 1-ones with 3-Aminobenzofurans. *Org. Lett.* **2021**, *23*, 6391−6395.

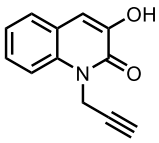
3-hydroxy-1-phenylquinolin-2(1*H*)-one (1e)



1e

Prepared according to the general procedure as yellow solid (52%, 623 mg); **Mp**: 180 - 182 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.66 – 7.63 (m, 2H), 7.60 – 7.55 (m, 2H), 7.33 (d, *J* = 7.0 Hz, 2H), 7.26 – 7.22 (m, 3H), 7.09 (s, 1H), 6.72 – 6.68 (m, 1H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 159.4, 144.4, 137.0, 135.9, 130.3, 129.3, 128.5, 127.0, 126.9, 123.4, 121.4, 116.0, 111.9. **HRMS (ESI-TOF) m/z**: $[M + H]^+$ Calcd for $C_{15}H_{12}NO_2$ 238.0863; Found 238.0871.

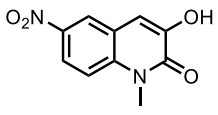
3-hydroxy-1-(prop-2-yn-1-yl)quinolin-2(1*H*)-one (1f)



1f

Prepared according to the general procedure as yellow solid (53%, 530 mg); **Mp**: 243 - 245 °C. **^{1}H NMR (500 MHz, DMSO)** δ 9.70 (s, 1H), 7.59 (d, *J* = 7.7 Hz, 1H), 7.52 (d, *J* = 8.5 Hz, 1H), 7.47 – 7.44 (m, 1H), 7.26 – 7.23 (m, 1H), 7.17 (s, 1H), 5.16 (s, 2H), 3.30 (s, 1H). **^{13}C NMR (126 MHz, DMSO)** δ 158.1, 145.5, 133.7, 127.3, 127.3, 123.3, 121.7, 115.1, 113.1, 79.3, 75.2, 32.3. **HRMS (ESI-TOF) m/z**: $[M + H]^+$ Calcd for $C_{12}H_{10}NO_2$ 200.0706; Found 200.0713.

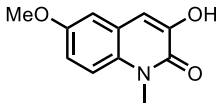
3-hydroxy-1-methyl-6-nitroquinolin-2(1*H*)-one (1h)



1h

Prepared according to the general procedure as yellow solid (32%, 356 mg); **Mp**: 248 - 250 °C. **^{1}H NMR (500 MHz, DMSO)** δ 8.47 (d, *J* = 2.6 Hz, 1H), 8.15 – 8.13 (m, 1H), 7.61 (d, *J* = 9.3 Hz, 1H), 7.24 (s, 1H), 3.73 (s, 3H). **^{13}C NMR (126 MHz, DMSO)** δ 159.4, 148.3, 142.4, 139.1, 122.2, 122.1, 120.9, 115.8, 111.4, 30.8. **HRMS (ESI-TOF) m/z**: $[M + H]^+$ Calcd for $C_{10}H_8N_2O_4$ 221.0557; Found 221.0559.

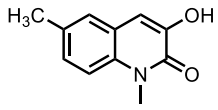
3-hydroxy-6-methoxy-1-methylquinolin-2(1*H*)-one (1i)



1i

Prepared according to the general procedure as yellow solid (47%, 488 mg); **Mp**: 201 - 203 °C. **^{1}H NMR (500 MHz, DMSO)** δ 9.46 (s, 1H), 7.39 (d, *J* = 9.2 Hz, 1H), 7.13 (d, *J* = 3.0 Hz, 1H), 7.08 (s, 1H), 7.04 – 7.02 (m, 1H), 3.79 (s, 3H), 3.68 (s, 3H). **^{13}C NMR (126 MHz, DMSO)** δ 158.2, 155.1, 146.2, 129.6, 122.5, 116.1, 115.3, 111.8, 109.4, 55.8, 30.3. **HRMS (ESI-TOF) m/z**: $[M + H]^+$ Calcd for $C_{11}H_{12}NO_3$ 206.0812; Found 206.0817.

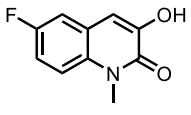
3-hydroxy-1,6-dimethylquinolin-2(1*H*)-one (1j)



1j

Prepared according to the general procedure as yellow solid (58%, 553 mg); **Mp**: 210 - 212 °C. **^{1}H NMR (500 MHz, DMSO)** δ 9.41 (s, 1H), 7.35 (d, *J* = 8.7 Hz, 2H), 7.24 – 7.22 (m, 2.4 Hz, 1H), 7.05 (s, 1H), 3.67 (s, 3H), 2.34 (s, 3H). **^{13}C NMR (126 MHz, DMSO)** δ 158.6, 145.7, 133.2, 131.9, 128.3, 126.8, 121.4, 114.7, 111.9, 30.2, 20.7. **HRMS (ESI-TOF) m/z**: $[M + H]^+$ Calcd for $C_{11}H_{12}NO_2$ 190.0863; Found 190.0867.

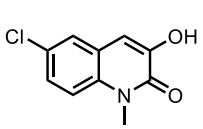
6-fluoro-3-hydroxy-1-methylquinolin-2(1*H*)-one (1k)



1k

Prepared according to the general procedure as yellow solid (62%, 602 mg); **Mp**: 235 - 237 °C. **^{1}H NMR (500 MHz, DMSO)** δ 9.72 (s, 1H), 7.49 – 7.46 (m, 1H), 7.43 – 7.40 (m, 1H), 7.28 – 7.24 (m, 1H), 7.10 (s, 1H), 3.68 (s, 3H). **^{19}F NMR (377 MHz, DMSO)** δ -121.43. **^{13}C NMR (126 MHz, DMSO)** δ 158.4, 158.0 (d, $J_{C\text{-}F}$ = 239.4 Hz), 146.8, 131.8, 122.9 (d, $J_{C\text{-}F}$ =8.8 Hz), 116.7 (d, $J_{C\text{-}F}$ = 8.8 Hz), 114.4 (d, $J_{C\text{-}F}$ = 23.9 Hz), 111.9 (d, $J_{C\text{-}F}$ = 23.9 Hz), 111.3 (d, $J_{C\text{-}F}$ = 3.8 Hz), 30.5. **HRMS (ESI-TOF) m/z**: $[M + H]^+$ Calcd for $C_{10}H_9FNO_2$ 194.0612; Found 194.0615.

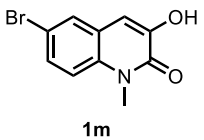
6-chloro-3-hydroxy-1-methylquinolin-2(1H)-one (1l)



1l

Prepared according to the general procedure as yellow solid (56%, 592 mg); **Mp**: 220 - 223 °C. **^{1}H NMR (500 MHz, DMSO)** δ 9.77 (s, 1H), 7.65 (d, *J* = 2.4 Hz, 1H), 7.50 – 7.36 (m, 2H), 7.09 (s, 1H), 3.68 (s, 3H). **^{13}C NMR (126 MHz, DMSO)** δ 158.5, 146.7, 133.9, 127.0, 126.6, 125.8, 123.1, 116.7, 111.1, 30.4. **HRMS (ESI-TOF) m/z**: $[M + H]^+$ Calcd for $C_{10}H_9ClNO_2$ 210.0316; Found 210.0321.

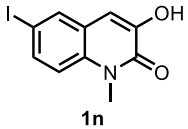
6-bromo-3-hydroxy-1-methylquinolin-2(1*H*)-one (1m)



1m

Prepared according to the general procedure as yellow solid (46%, 579 mg); **Mp**: 206 - 208 °C. **^{1}H NMR (500 MHz, DMSO)** δ 9.78 (s, 1H), 7.79 (s, 1H), 7.52 (d, *J* = 9.4 Hz, 1H), 7.40 (d, *J* = 9.0 Hz, 1H), 7.10 (s, 1H), 3.67 (s, 3H). **^{13}C NMR (126 MHz, DMSO)** δ 158.5, 146.7, 134.2, 129.3, 128.8, 123.6, 117.1, 114.9, 111.0, 30.4. **HRMS (ESI-TOF) m/z**: $[M + H]^+$ Calcd for $C_{10}H_9BrNO_2$ 253.9811; Found 253.9817.

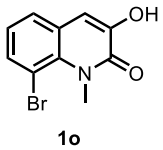
3-hydroxy-6-iodo-1-methylquinolin-2(1*H*)-one (1n)



1n

Prepared according to the general procedure as yellow solid (47%, 717 mg); **Mp**: 196 - 198 °C. **^{1}H NMR(500 MHz, DMSO)** δ 9.73 (s, 1H), 7.93 (s, 1H), 7.66 (d, *J* = 8.8 Hz, 1H), 7.25 (d, *J* = 8.8 Hz, 1H), 7.07 (s, 1H), 3.65 (s, 3H). **^{13}C NMR (126 MHz, DMSO)** δ 158.5, 146.4, 135.0, 134.8, 134.7, 124.0, 117.2, 110.9, 86.7, 30.3. **HRMS (ESI-TOF) m/z**: $[M + H]^+$ Calcd for $C_{10}H_9INO_2$ 301.9672; Found 301.9679.

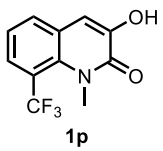
8-bromo-3-hydroxy-1-methylquinolin-2(1*H*)-one (1o)



1o

Prepared according to the general procedure as yellow solid (50%, 642 mg); **Mp**: 210 - 212 °C. **^{1}H NMR (500 MHz, DMSO)** δ 9.83 (s, 1H), 7.66 (d, *J* = 9.4 Hz, 1H), 7.55 (d, *J* = 9.3 Hz, 1H), 7.12 – 7.08 (m, 2H), 3.89 (s, 3H). **^{13}C NMR (126 MHz, DMSO)** δ 160.6, 145.8, 134.4, 134.0, 127.2, 125.5, 124.5, 112.5, 107.7, 38.2. **HRMS (ESI-TOF) m/z**: $[M + H]^+$ Calcd for $C_{10}H_9BrNO_2$ 253.9811; Found 253.9815.

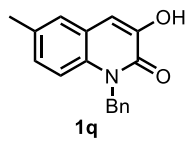
3-hydroxy-1-methyl-8-(trifluoromethyl)quinolin-2(1*H*)-one (1p)



1p

Prepared according to the general procedure as yellow solid (45%, 584 mg); **Mp**: 201 - 204 °C. **^{1}H NMR (500 MHz, DMSO)** δ 9.99 (s, 1H), 7.84 (d, *J* = 7.8 Hz, 1H), 7.80 (d, *J* = 6.4 Hz, 1H), 7.37 (t, *J* = 7.8 Hz, 1H), 7.19 (s, 1H), 3.63 (s, 3H). **^{19}F NMR (377 MHz, DMSO)** δ -50.82. **^{13}C NMR (126 MHz, DMSO)** δ 160.8, 145.9, 134.4, 132.1, 127.3, 124.8 (q, J_{C-F} =273.4 Hz), 124.7, 122.7, 116.0 (q, J_{C-F} =30.2 Hz), 112.8, 38.0. **HRMS (ESI-TOF) m/z**: $[M + H]^+$ Calcd for $C_{11}H_9F_3NO_2$ 244.0580; Found 244.0584.

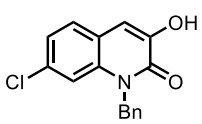
1-benzyl-3-hydroxy-6-methylquinolin-2(1*H*)-one (1q)



1q

Prepared according to the general procedure as yellow solid (55%, 736 mg); **Mp**: 203 - 205 °C. **^{1}H NMR (500 MHz, DMSO)** δ 9.62 (s, 1H), 7.36 (s, 1H), 7.31 – 7.28 (m, 2H), 7.24 – 7.20 (t, 2H), 7.18 (d, *J* = 8.6 Hz, 2H), 7.15 (s, 1H), 7.10 (d, *J* = 8.6 Hz, 1H), 5.57 (s, 2H), 2.29 (s, 3H). **^{13}C NMR (126 MHz, DMSO)** δ 159.0, 145.7, 137.2, 132.3, 132.0, 129.1, 128.3, 127.5, 127.1, 127.0, 121.8, 115.2, 112.7, 45.8, 20.6. **HRMS (ESI-TOF) m/z**: $[M + H]^+$ Calcd for $C_{17}H_{16}NO_2$ 266.1176; Found 266.1178.

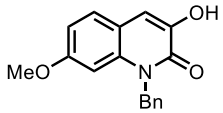
1-benzyl-7-chloro-3-hydroxyquinolin-2(1*H*)-one (1s)



1s

Prepared according to the general procedure as yellow solid (47%, 681 mg); **Mp**: 233 - 234 °C. **^{1}H NMR (500 MHz, DMSO)** δ 9.89 (s, 1H), 7.60 (d, *J* = 8.4 Hz, 1H), 7.38 (s, 1H), 7.34 – 7.31 (m, 2H), 7.27 – 7.18 (m, 5H), 5.60 (s, 2H). **^{13}C NMR (126 MHz, DMSO)** δ 159.0, 146.0, 136.7, 135.2, 131.5, 129.2, 128.8, 127.7, 126.9, 123.1, 120.8, 114.9, 112.5, 45.9. **HRMS (ESI-TOF) m/z**: $[M + H]^+$ Calcd for $C_{16}H_{13}ClNO_2$ 286.0629; Found 286.0634.

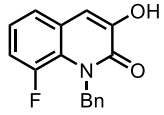
1-benzyl-3-hydroxy-7-methoxyquinolin-2(1*H*)-one (1t)



1t

Prepared according to the general procedure as yellow solid (51%, 730 mg); **Mp**: 225 - 228 °C. **^{1}H NMR (500 MHz, DMSO)** δ 9.35 (s, 1H), 7.50 (d, *J* = 8.6 Hz, 1H), 7.34 – 7.16 (m, 6H), 6.83 (d, *J* = 15.8 Hz, 2H), 5.59 (s, 2H), 3.70 (s, 3H). **^{13}C NMR (126 MHz, DMSO)** δ 159.5, 158.8, 143.5, 137.2, 135.6, 129.1, 128.5, 127.6, 127.1, 115.5, 113.3, 110.5, 100.3, 55.7, 45.9. **HRMS (ESI-TOF) m/z**: $[M + H]^+$ Calcd for $C_{17}H_{16}NO_3$ 282.1125; Found 282.1131.

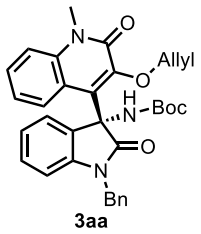
1-benzyl-8-fluoro-3-hydroxyquinolin-2(1*H*)-one (1v)



1v

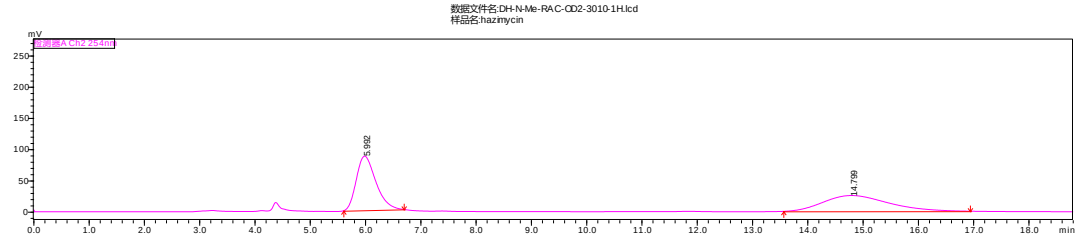
Prepared according to the general procedure as yellow solid (49%, 669 mg); **Mp**: 193 - 195 °C. **^{1}H NMR (500 MHz, DMSO)** δ 9.92 (s, 1H), 7.43 (d, *J* = 6.0 Hz, 1H), 7.31 – 7.28 (m, 2H), 7.26 (d, *J* = 1.6 Hz, 1H), 7.23 (d, *J* = 7.3 Hz, 1H), 7.19 – 7.12 (m, 2H), 7.09 (d, *J* = 8.7 Hz, 2H), 5.67 (s, 2H). **^{19}F NMR (377 MHz, DMSO)** δ -122.52. **^{13}C NMR (126 MHz, DMSO)** δ 159.5, 149.6 (d, J_{C-F} =245.7 Hz), 146.1, 138.3, 128.9, 127.2, 126.1, 124.8, 123.8, 123.8, 123.7, 123.2, 114.7 (d, J_{C-F} =25.2 Hz), 113.0, 49.0.**HRMS (ESI-TOF) m/z**: $[M + H]^+$ Calcd for $C_{16}H_{13}FNO_2$ 270.0925; Found 270.0928.

tert-butyl (R)-(3-(3-(allyloxy)-1-methyl-2-oxo-1,2-dihydroquinolin-4-yl)-1-benzyl-2-oxoindolin-3-yl)carbamate (3aa)



3aa

Prepared according to the general procedure A as yellowish solid (49 mg, 89% yield) after silica gel chromatography (petroleum ether/EtOAc = 3:1). $[\alpha]_D^{25}$ = -195.80 (c 0.100, $CHCl_3$); **Mp**: 164 - 166 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.99 (s, 1H), 7.59 – 7.54 (m, 1H), 7.48 – 7.46 (m, 3H), 7.44 – 7.37 (m, 2H), 7.37 – 7.32 (m, 2H), 7.29 (d, *J* = 9.8 Hz, 1H), 7.19 – 7.14 (m, 1H), 6.90 - 6.87 (m, 1H), 6.70 (d, *J* = 7.2 Hz, 1H), 5.98 - 5.90 (m, 1H), 5.56 (s, 1H), 5.30 (d, *J* = 15.9 Hz, 1H), 5.22 (d, *J* = 17.3 Hz, 1H), 5.15 (d, *J* = 12.0 Hz, 1H), 4.71 (dd, *J* = 12.8, 4.5 Hz, 1H), 4.65 (d, *J* = 15.9 Hz, 1H), 4.45 (dd, *J* = 12.0, 6.5 Hz, 1H), 3.73 (s, 3H), 1.31 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 175.2, 158.2, 153.8, 144.5, 143.6, 137.4, 135.7, 134.0, 131.7, 129.7, 128.7, 128.6, 128.2, 127.6, 127.4, 127.2, 124.7, 122.5, 122.1, 118.9, 117.8, 114.8, 109.6, 80.6, 72.1, 63.6, 44.8, 30.2, 28.1. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{33}H_{33}N_3O_5Na$ 574.2312; Found 574.2312. Enantiomeric excess was determined to be 99% (determined by HPLC using chiral OD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 14.7 min, t_{minor} = 5.9 min). For ***ent*-3aa** was prepared according to the general procedure A as yellowish solid (42 mg, 77% yield) after silica gel chromatography (petroleum ether/EtOAc = 3:1). $[\alpha]_D^{25}$ = 221.00 (c 0.100, $CHCl_3$); **Mp**: 161 - 163 °C. Enantiomeric excess was determined to be -97% (determined by HPLC using chiral OD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 15.0 min, t_{minor} = 6.0 min).



	RetTime	Height	Height%	Mark	Area	Area%
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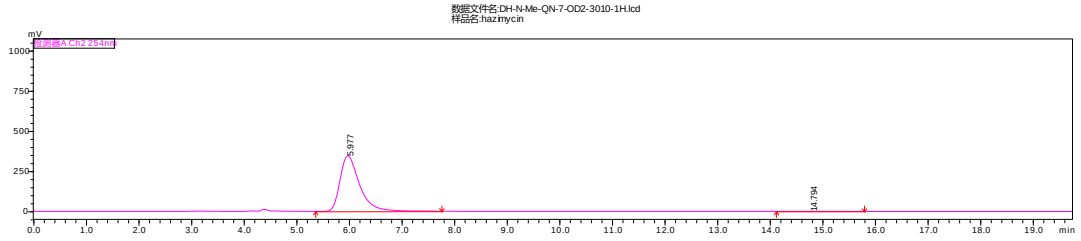
2	14.799	25249	22.536	M	2067211	49.203



	RetTime	Height	Height%	Mark	Area	Area%
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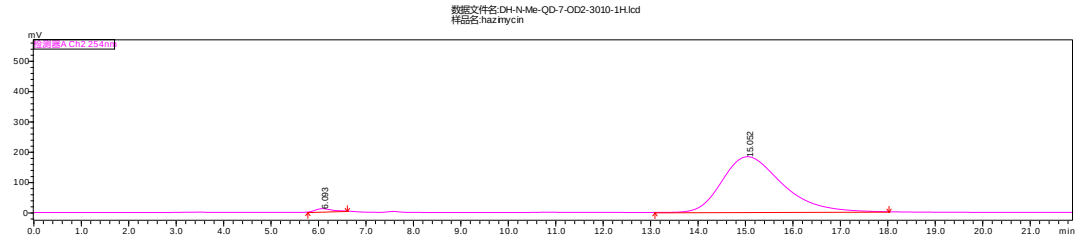
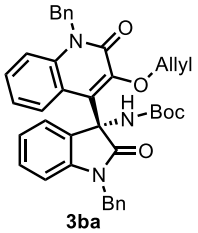
2	14.794	388	0.112	V	23674	0.265



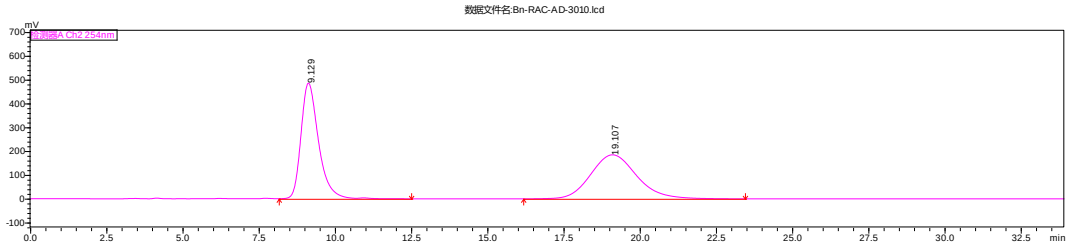
	RetTime	Height	Height%	Mark	Area	Area%
1	6.093	9990	5.179	M	228197	1.403
2	15.052	182913	94.821	M	16034146	98.597

tert-butyl (R)-(3-(3-(allyloxy)-1-benzyl-2-oxo-1,2-dihydroquinolin-4-yl)-1-benzyl-2-oxoindolin-3-yl)carbamate (3ba)



3ba

Prepared according to the general procedure A as yellowish solid (53 mg, 84% yield) after silica gel chromatography (petroleum ether/EtOAc = 3:1). $[\alpha]_D^{25}$ = -226.30 (c 0.100, $CHCl_3$); **Mp**: 143 - 145 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 9.04 (s, 1H), 7.54 – 7.49 (m, 3H), 7.43 – 7.40 (m, 1H), 7.39 – 7.28 (m, 7H), 7.29 – 7.26 (m, 1H), 7.22 – 7.19 (m, 3H), 6.93 - 6.90 (m, 1H), 6.73 (d, *J* = 7.8 Hz, 1H), 5.98 – 5.90 (m, 1H), 5.62 (s, 2H), 5.50 (s, 1H), 5.33 (d, *J* = 16.0 Hz, 1H), 5.25 (d, *J* = 17.3 Hz, 1H), 5.16 (d, *J* = 10.3 Hz, 1H), 4.79 (dd, *J* = 12.0, 5.5 Hz, 1H), 4.70 (d, *J* = 16.0 Hz, 1H), 4.53 (dd, *J* = 12.0, 6.6 Hz, 1H), 1.32 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 175.2, 158.4, 153.8, 144.3, 143.7, 136.8, 135.8, 135.8, 133.9, 132.3, 129.7, 128.8, 128.7, 128.6, 128.1, 127.6, 127.5, 127.4, 126.5, 124.8, 122.5, 122.2, 119.1, 118.3, 115.7, 109.7, 80.7, 72.3, 63.7, 46.8, 44.9, 28.1. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{39}H_{37}N_3O_5Na$ 650.2625; Found 650.2636. Enantiomeric excess was determined to be 99% (determined by HPLC using chiral AD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 18.8 min, t_{minor} = 9.1 min).



	RetTime	Height	Height%	Mark	Area	Area%
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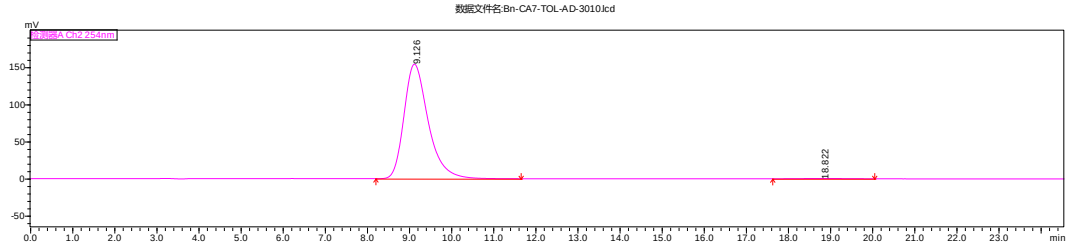
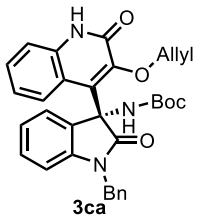
2	19.107	184743	27.550	S	19453467	49.955



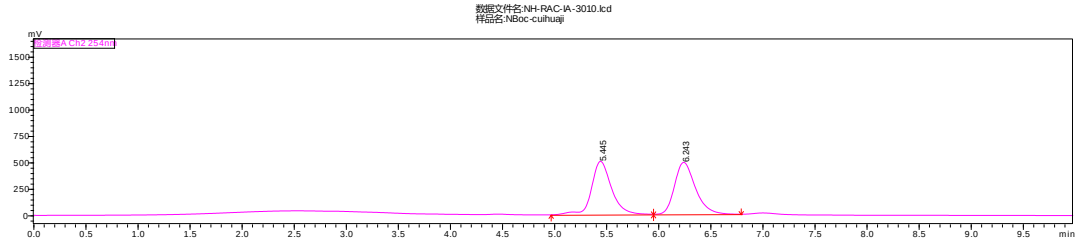
	RetTime	Height	Height%	Mark	Area	Area%
1	9.126	154343	99.786	SV	6184229	99.540
2	18.822	331	0.214	M	28565	0.460

tert-butyl (R)-(3-(3-(allyloxy)-2-oxo-1,2-dihydroquinolin-4-yl)-1-benzyl-2-oxoindolin-3-yl)carbamate (3ca)



3ca

Prepared according to the general procedure A as white solid (22 mg, 41% yield) after silica gel chromatography (petroleum ether/EtOAc = 1:1). $[\alpha]_D^{25}$ = -134.80 (c 0.100, $CHCl_3$); **Mp**: 189 - 192 °C. **^{1}H NMR (500 MHz, DMSO)** δ 12.04 (s, 1H), 8.84 (s, 1H), 8.27 (s, 1H), 7.48 – 7.44 (m, 3H), 7.40 – 7.22 (m, 6H), 7.21 – 7.18 (m, 1H), 6.88 – 6.82 (m, 2H), 5.79 – 5.71 (m, 1H), 5.20 – 5.00 (m, 3H), 4.66 (d, *J* = 15.9 Hz, 1H), 4.57 (dd, *J* = 11.7, 5.3 Hz, 1H), 4.27 (dd, *J* = 11.8, 6.3 Hz, 1H), 1.24 (s, 9H). **^{13}C NMR (126 MHz, DMSO)** δ 168.8, 158.1, 154.5, 136.8, 136.2, 134.5, 128.8, 128.5, 128.0, 127.7, 122.4, 121.9, 118.1, 116.1, 109.5, 79.0, 71.3, 63.4, 43.9, 28.3. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{32}H_{31}N_3O_5Na$ 560.2156; Found 560.2160. Enantiomeric excess was determined to be 99% (determined by HPLC using chiral IA column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 6.2 min, t_{minor} = 5.4 min).



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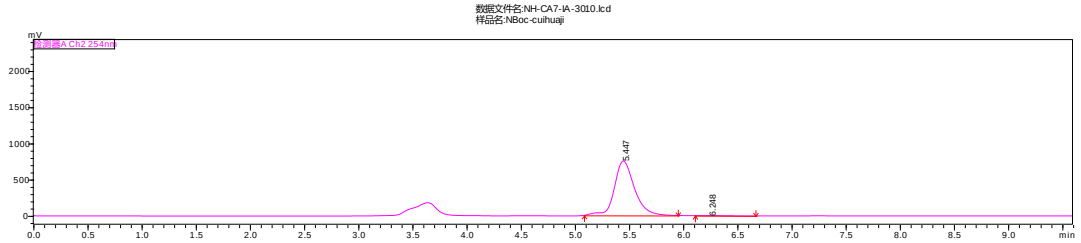
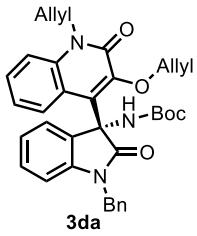
1	5.445	504300	50.606	M	6740644	49.518
2	6.243	492224	49.394	V M	6871828	50.482



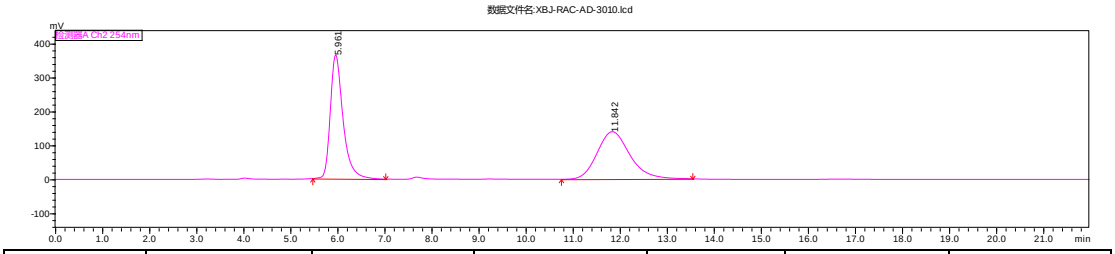
	RetTime	Height	Height%	Mark	Area	Area%
1	5.447	750659	99.746	M	9626491	99.849
2	6.248	1913	0.254	M	14542	0.151

tert-butyl (R)-(3-(1-allyl-3-(allyloxy)-2-oxo-1,2-dihydroquinolin-4-yl)-1-benzyl-2-oxoindolin-3-yl)carbamate （3da）

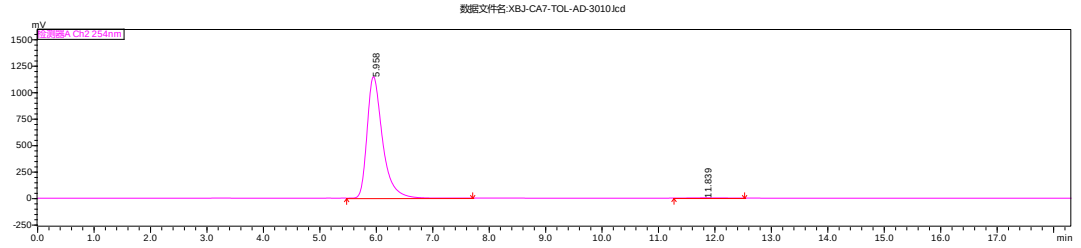


3da

Prepared according to the general procedure A as white solid (46 mg, 80% yield) after silica gel chromatography (petroleum ether/EtOAc = 3:1). $[\alpha]_D^{25}$ = -234.80 (c 0.100, $CHCl_3$); **Mp**: 166 - 168 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 9.01 (s, 1H), 7.56 – 7.45 (m, 4H), 7.42 – 7.32 (m, 4H), 7.29 (d, *J* = 7.3 Hz, 1H), 7.19 – 7.16 (m, 1H), 6.91 - 6.88 (m, 1H), 6.71 (d, *J* = 7.8 Hz, 1H), 6.05 – 5.81 (m, 2H), 5.58 (s, 1H), 5.31 (d, *J* = 15.9 Hz, 1H), 5.26 – 5.18 (m, 2H), 5.18 – 5.09 (m, 2H), 5.02 – 4.95 (m, 1H), 4.94 (d, *J* = 4.9 Hz, 1H), 4.74 (dd, *J* = 12.0, 5.3 Hz, 1H), 4.67 (d, *J* = 15.9 Hz, 1H), 4.46 (dd, *J* = 12.0, 6.6 Hz, 1H), 1.31 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 175.2, 157.9, 153.8, 144.3, 143.6, 136.7, 135.7, 133.9, 132.0, 131.4, 129.7, 128.7, 128.5, 128.1, 127.6, 127.4, 127.3, 124.7, 122.5, 122.1, 119.0, 118.1, 117.5, 115.5, 109.6, 80.7, 72.1, 63.7, 45.5, 44.8, 28.1. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{35}H_{35}N_3O_5Na$ 600.2469; Found 600.2470. Enantiomeric excess was determined to be 99% (determined by HPLC using chiral AD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 11.8 min, t_{minor} = 5.9 min).

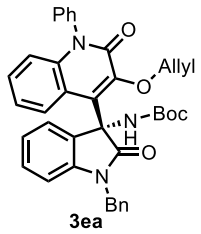


	RetTime	Height	Height%	Mark	Area	Area%
1	5.961	364827	72.330	M	6867762	50.504
2	11.842	139563	27.670	M	6730557	49.496



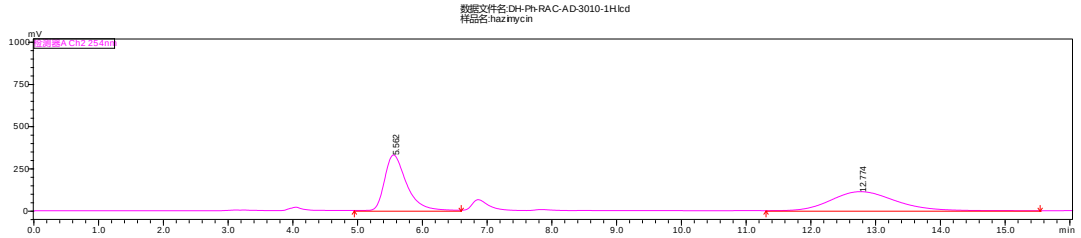
	RetTime	Height	Height%	Mark	Area	Area%
1	5.958	1147010	99.783	M	21736213	99.546
2	11.839	2498	0.217	M	99208	0.454

tert-butyl (R)-(3-(3-(allyloxy)-2-oxo-1-phenyl-1,2-dihydroquinolin-4-yl)-1-benzyl-2-oxoindolin-3-yl)carbamate (3ea)

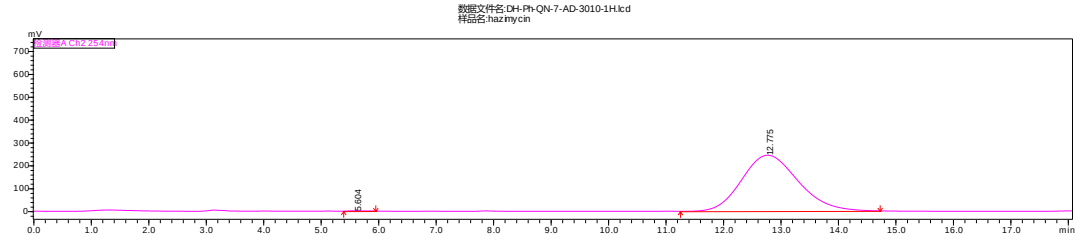


3ea

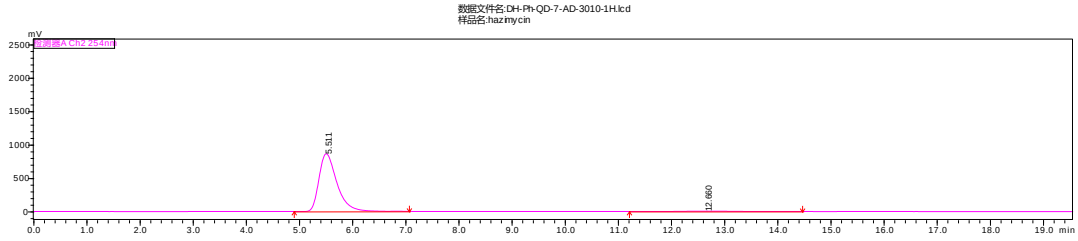
Prepared according to the general procedure A as white solid (52 mg, 85% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). $[\alpha]_D^{25}$ = -192.50 (c 0.100, $CHCl_3$); **Mp**: 125 - 127 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 9.04 (s, 1H), 7.65 – 7.53 (m, 4H), 7.49 (d, *J* = 7.4 Hz, 2H), 7.40 – 7.26 (m, 6H), 7.25 – 7.19 (m, 2H), 6.96 – 6.92 (m, 1H), 6.73 (d, *J* = 8.1 Hz, 2H), 6.06 – 5.86 (m, 1H), 5.62 (s, 1H), 5.34 (d, *J* = 15.6 Hz, 1H), 5.21 (d, *J* = 18.9 Hz, 1H), 5.13 (d, *J* = 11.9 Hz, 1H), 4.82 (dd, *J* = 12.0, 5.2 Hz, 1H), 4.68 (d, *J* = 15.9 Hz, 1H), 4.49 (dd, *J* = 12.0, 6.8 Hz, 1H), 1.35 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 175.3, 158.2, 153.9, 144.5, 143.7, 138.5, 137.4, 135.7, 134.0, 132.3, 130.4, 130.2, 129.8, 129.1, 129.0, 128.7, 128.4, 128.1, 127.6, 127.5, 127.0, 124.7, 122.5, 122.3, 118.1, 116.8, 109.7, 80.7, 72.1, 63.8, 44.8, 28.1. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{38}H_{35}N_3O_5Na$ 636.2469; Found 636.2469. Enantiomeric excess was determined to be 99% (determined by HPLC using chiral AD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 12.7 min, t_{minor} = 5.6 min). For ***ent*-3ea** was prepared according to the general procedure A as white solid (45 mg, 74% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). $[\alpha]_D^{25}$ = 201.00 (c 0.100, $CHCl_3$); **Mp**: 124 - 126 °C. Enantiomeric excess was determined to be -96% (determined by HPLC using chiral AD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 12.6 min, t_{minor} = 5.5 min).



	RetTime	Height	Height%	Mark	Area	Area%
1	5.562	329890	74.513		7794750	50.171
2	12.774	112836	25.487		7741600	49.829

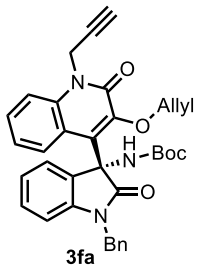


	RetTime	Height	Height%	Mark	Area	Area%
1	5.604	1529	0.622	M	27119	0.163
2	12.775	244223	99.378	M	16625640	99.837



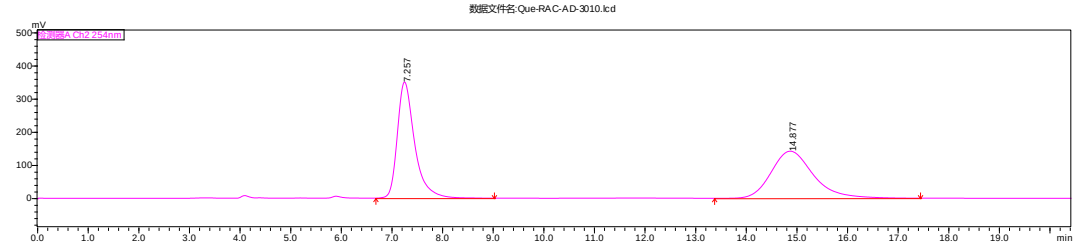
	RetTime	Height	Height%	Mark	Area	Area%
1	5.511	864361	99.310	M	20296819	98.034
2	12.660	6003	0.690		406991	1.966

tert-butyl (R)-(3-(3-(allyloxy)-2-oxo-1-(prop-2-yn-1-yl)-1,2-dihydroquinolin-4-yl)-1-benzyl-2-oxoindolin-3-yl)carbamate (3fa)

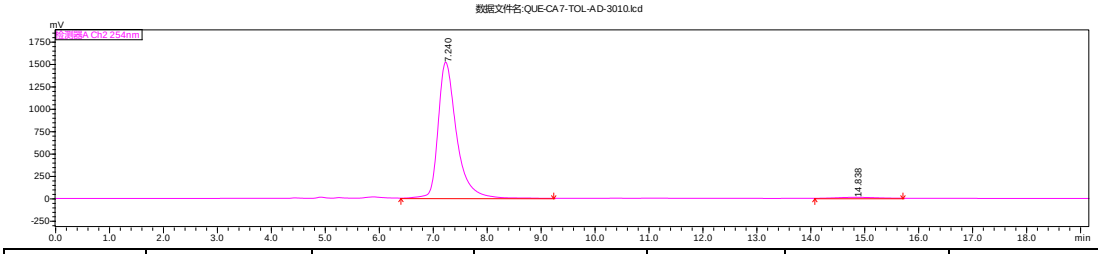


3fa

Prepared according to the general procedure A as white solid (37 mg, 64% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). $[\alpha]_D^{25}$ = -134.80 (c 0.100, $CHCl_3$); **Mp**: 157 - 160 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 9.01 (s, 1H), 7.63 – 7.57 (m, 2H), 7.50 – 7.45 (m, 3H), 7.45 – 7.39 (m, 1H), 7.36 – 7.33 (m, 2H), 7.30 – 7.27 (m, 1H), 7.20 – 7.17 (m, 1H), 6.91 – 6.88 (m, 1H), 6.70 (d, *J* = 7.4 Hz, 1H), 5.96 - 5.88 (m, 1H), 5.53 (s, 1H), 5.30 (d, *J* = 15.7 Hz, 1H), 5.21 (d, *J* = 15.6 Hz, 1H), 5.17 – 5.09 (m, 2H), 5.05 (d, *J* = 20.0 Hz, 1H), 4.73 – 4.62 (m, 2H), 4.46 (dd, *J* = 12.1, 6.5 Hz, 1H), 2.26 (t, *J* = 2.5 Hz, 1H), 1.30 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 175.0, 157.5, 153.7, 143.6, 135.9, 135.7, 133.8, 132.3, 129.8, 128.7, 127.9, 127.6, 127.5, 127.4, 124.7, 122.5, 118.0, 115.3, 109.7, 80.7, 77.5, 72.8, 72.2, 63.6, 44.8, 32.5, 28.1. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{35}H_{33}N_3O_5Na$ 598.2312; Found 598.2312. Enantiomeric excess was determined to be 97% (determined by HPLC using chiral AD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 14.8 min, t_{minor} = 7.2 min).



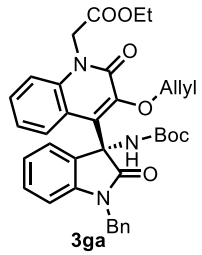
	RetTime	Height	Height%	Mark	Area	Area%
1	7.257	350325	71.162	M	8350172	50.363
2	14.877	141966	28.838	M	8229766	49.637



	RetTime	Height	Height%	Mark	Area	Area%
1	7.240	1517743	99.286	M	35859946	98.551
2	14.838	10914	0.714	M	527111	1.449

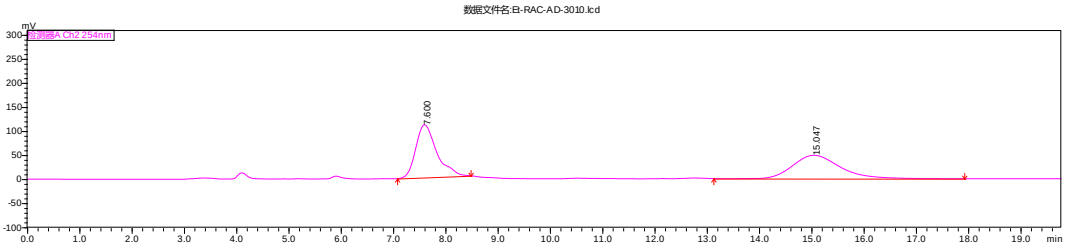
ethyl (R)-2-(3-(allyloxy)-4-(1-benzyl-3-((tert-butoxycarbonyl)amino)-2-oxoindolin-3-yl)-2-ox

oquinolin-1(2H)-yl)acetate (3ga)

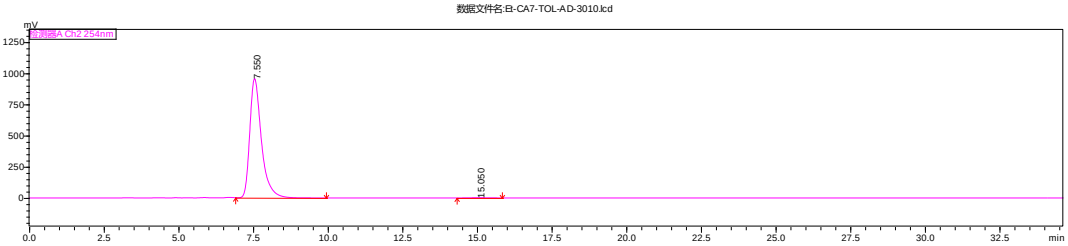


3ga

Prepared according to the general procedure A as white solid (49 mg, 78% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). $[\alpha]_D^{25}$ = -158.30 (c 0.100, $CHCl_3$); **Mp**: 184 - 186 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 9.01 (s, 1H), 7.53 – 7.44 (m, 4H), 7.40 – 7.38 (m, 1H), 7.35 – 7.31 (m, 2H), 7.27 (d, *J* = 7.4 Hz, 1H), 7.19 – 7.11 (m, 2H), 6.90 - 6.87 (m, 1H), 6.69 (d, *J* = 7.6 Hz, 1H), 5.96 – 5.80 (m, 1H), 5.52 (s, 1H), 5.28 (d, *J* = 15.8 Hz, 1H), 5.19 (d, *J* = 17.2 Hz, 1H), 5.12 (d, *J* = 10.4 Hz, 1H), 5.06 (s, 2H), 4.69 – 4.62 (m, 2H), 4.44 (dd, *J* = 12.0, 6.5 Hz, 1H), 4.22 (t, *J* = 7.1 Hz, 2H), 1.28 (d, *J* = 9.5 Hz, 9H), 1.25 (s, 3H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 175.1, 171.1, 167.7, 158.1, 153.8, 144.1, 143.6, 136.6, 135.7, 133.7, 132.5, 132.3, 129.8, 128.8, 128.7, 127.9, 127.6, 127.5, 124.8, 122.6, 122.5, 118.0, 114.3, 109.6, 80.7, 72.2, 63.6, 61.8, 44.8, 44.6, 28.1, 14.2. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{36}H_{37}N_3O_7Na$ 646.2524; Found 646.2514. Enantiomeric excess was determined to be 99% (determined by HPLC using chiral AD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 15.0 min, t_{minor} = 7.6 min).

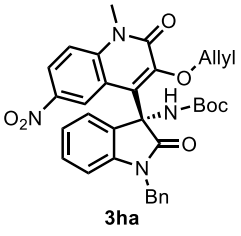


	RetTime	Height	Height%	Mark	Area	Area%
1	7.600	109703	69.314	M	3058115	50.064
2	15.047	48566	30.686	M	3050316	49.936



	RetTime	Height	Height%	Mark	Area	Area%
1	7.550	955785	99.812	M	25861725	99.658
2	15.050	1801	0.188	M	88781	0.342

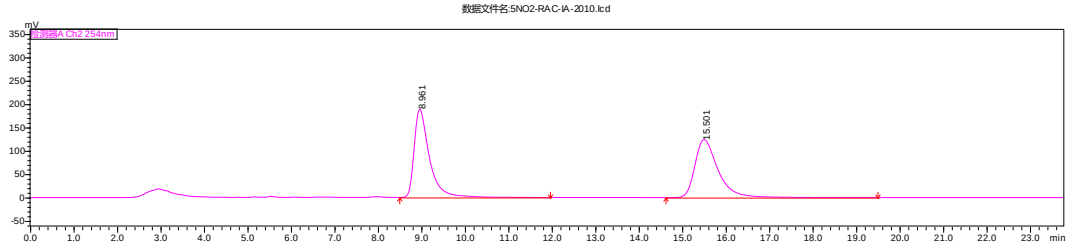
tert-butyl (R)-(3-(3-(allyloxy)-1-methyl-6-nitro-2-oxo-1,2-dihydroquinolin-4-yl)-1-benzyl-2-oxoindolin-3-yl)carbamate (3ha)



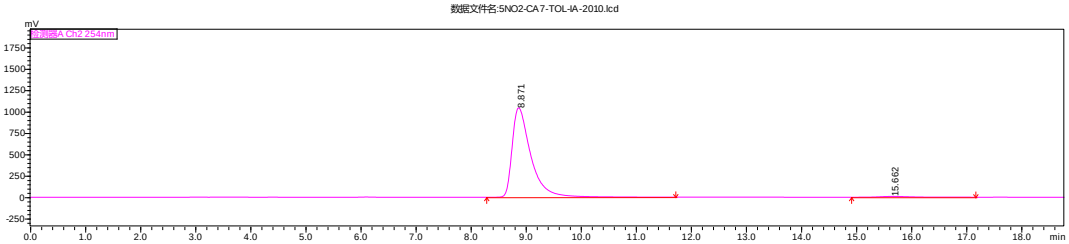
3ha

Prepared according to the general procedure A as yellowish solid (35 mg, 59% yield) after silica gel chromatography (petroleum ether/EtOAc = 3:1). $[\alpha]_D^{25}$ = -162.00 (c 0.100, $CHCl_3$); **Mp**: 181 - 183 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 10.00 (s, 1H), 8.37 - 8.35 (m, 1H), 7.48 (d, *J* = 9.4 Hz, 1H), 7.41 (d, *J* = 7.1 Hz, 2H), 7.38 – 7.32 (m, 3H), 7.30 (d, *J* = 5.7 Hz, 1H), 7.24 – 7.21(m, 1H), 6.95 – 6.92 (m, 1H), 6.74 (d, *J* = 7.8 Hz, 1H), 5.91 – 5.83 (m, 1H), 5.48 (s, 1H), 5.28 (d, *J* = 15.8 Hz, 1H), 5.21 – 5.12 (m, 2H), 4.73 (dd, *J* = 12.6, 5.4 Hz, 1H), 4.64 (d, *J* = 15.9 Hz, 1H), 4.47 (dd, *J* = 12.1, 6.5 Hz, 1H), 3.76 (s, 3H), 1.32 (s, 9H). **^{13}C NMR (126**

MHz, $CDCl_3$) δ 174.3, 158.3, 153.5, 145.6, 143.2, 142.1, 141.0, 135.5, 133.4, 131.0, 130.2, 128.8, 127.8, 127.6, 127.4, 124.6, 123.0, 122.8, 119.7, 118.1, 115.1, 109.9, 81.1, 72.1, 63.2, 44.5, 30.8, 28.0. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{33}H_{32}N_4O_7Na$ 619.2163; Found 619.2166. Enantiomeric excess was determined to be 97% (determined by HPLC using chiral IA column, hexane/2-propanol = 80/20, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 15.6 min, t_{minor} = 8.8 min).

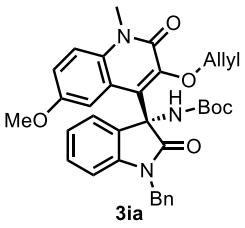


	RetTime	Height	Height%	Mark	Area	Area%
1	8.961	188714	60.330		4631246	50.161
2	15.501	124087	39.670	S	4601427	49.839



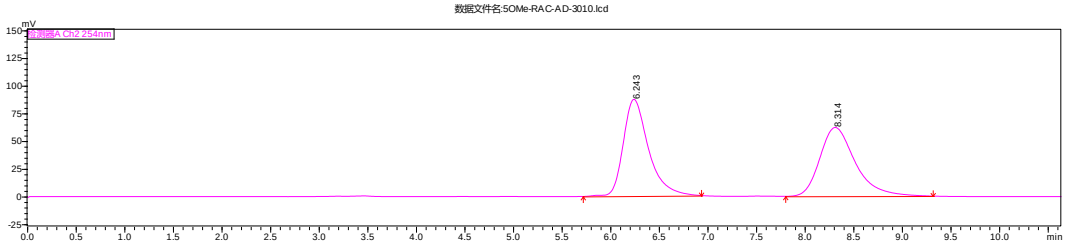
	RetTime	Height	Height%	Mark	Area	Area%
1	8.871	1044319	99.163	M	24557852	98.621
2	15.662	8818	0.837		343361	1.379

tert-butyl (R)-(3-(3-(allyloxy)-6-methoxy-1-methyl-2-oxo-1,2-dihydroquinolin-4-yl)-1-benzyl-2-oxoindolin-3-yl)carbamate (3ia)

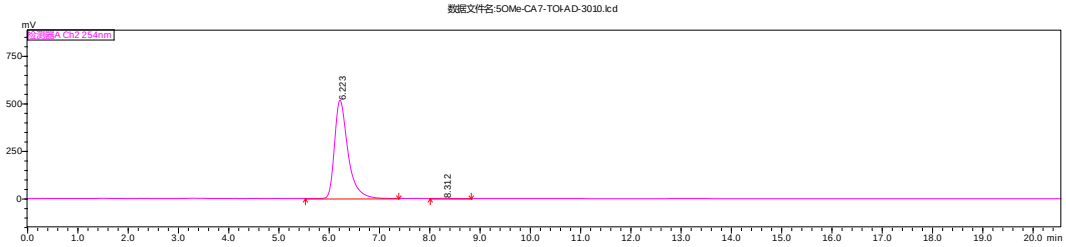


3ia

Prepared according to the general procedure A as white solid (26 mg, 45% yield) after silica gel chromatography (petroleum ether/EtOAc = 3:1). $[\alpha]_D^{25}$ = -314.30 (c 0.100, $CHCl_3$); **Mp**: 174 - 176 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.51 (s, 1H), 7.49 (d, *J* = 7.6 Hz, 1H), 7.45 (d, *J* = 7.3 Hz, 2H), 7.36 – 7.32 (m, 3H), 7.28 (d, *J* = 4.1 Hz, 1H), 7.20 – 7.16 (m, 2H), 6.91 – 6.88 (m, 1H), 6.70 (d, *J* = 7.7 Hz, 1H), 5.97 – 5.89 (m, 1H), 5.59 (s, 1H), 5.33 (s, 1H), 5.21 (d, *J* = 17.3 Hz, 1H), 5.15 (d, *J* = 10.4 Hz, 1H), 4.72 (dd, *J* = 12.1, 5.2 Hz, 1H), 4.63 (d, *J* = 15.9 Hz, 1H), 4.44 (dd, *J* = 12.1, 6.4 Hz, 1H), 3.91 (s, 3H), 3.70 (s, 3H), 1.30 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 175.1, 157.7, 154.3, 153.6, 145.1, 143.5, 135.7, 134.0, 131.9, 131.0, 129.7, 128.7, 128.3, 127.5, 127.4, 124.4, 122.6, 119.7, 117.7, 117.0, 115.9, 109.9, 109.6, 80.6, 72.0, 63.6, 55.6, 44.7, 30.3, 28.1. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{36}H_{35}N_3O_6Na$ 604.2418; Found 604.2415. Enantiomeric excess was determined to be 99% (determined by HPLC using chiral AD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 8.3 min, t_{minor} = 6.2 min).

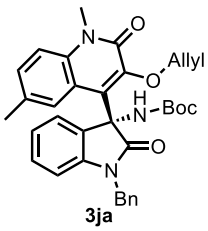


	RetTime	Height	Height%	Mark	Area	Area%
1	6.243	87307	58.451	M	1579481	50.102
2	8.314	62062	41.549	M	1573078	49.898



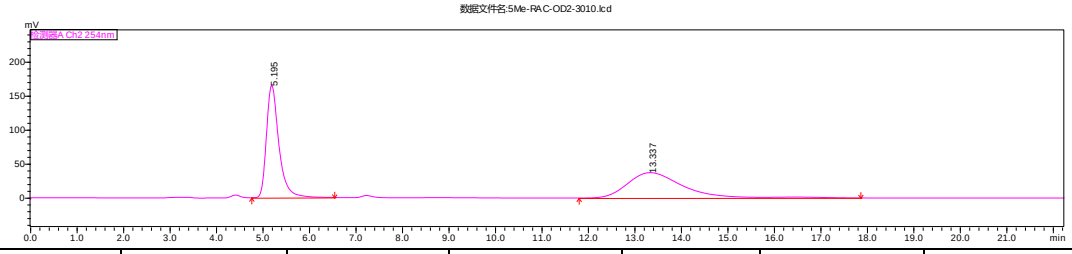
	RetTime	Height	Height%	Mark	Area	Area%
1	6.223	516666	99.764	M	9541351	99.716
2	8.312	1222	0.236	M	27170	0.284

tert-butyl (R)-(3-(3-(allyloxy)-1,6-dimethyl-2-oxo-1,2-dihydroquinolin-4-yl)-1-benzyl-2-oxoindolin-3-yl)carbamate (3ja)

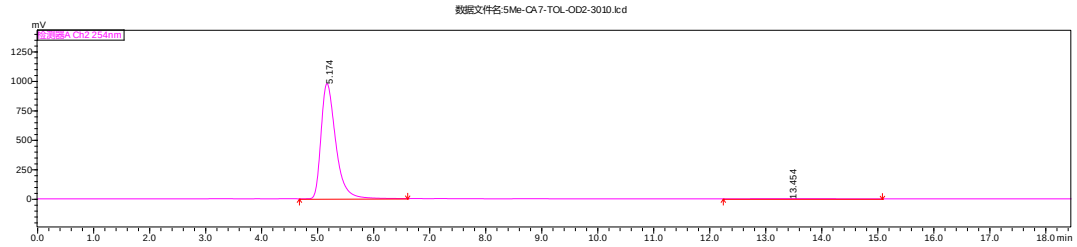


3ja

Prepared according to the general procedure A as white solid (54 mg, 97% yield) after silica gel chromatography (petroleum ether/EtOAc = 3:1). $[\alpha]_D^{25}$ = -297.30 (c 0.100, $CHCl_3$); **Mp**: 156 - 159 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.71 (s, 1H), 7.46 – 7.44 (m, 3H), 7.38 – 7.30 (m, 4H), 7.28 (s, 1H), 7.20 – 7.16 (m, 1H), 6.92 – 6.89 (m, 1H), 6.70 (d, *J* = 7.2 Hz, 1H), 5.96 – 5.88 (m, 1H), 5.53 (s, 1H), 5.30 (d, *J* = 15.9 Hz, 1H), 5.20 (d, *J* = 17.3 Hz, 1H), 5.13 (d, *J* = 10.4 Hz, 1H), 4.71 – 4.67 (m, 1H), 4.65 (d, *J* = 15.8 Hz, 1H), 4.44 (dd, *J* = 12.1, 6.5 Hz, 1H), 3.70 (s, 3H), 2.52 (s, 3H), 1.32 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 175.2, 158.1, 153.6, 144.5, 143.6, 135.8, 135.4, 134.0, 131.5, 131.4, 129.7, 129.6, 128.7, 128.3, 127.5, 127.4, 127.0, 124.8, 122.5, 118.9, 117.7, 114.7, 109.6, 80.5, 72.0, 63.6, 44.7, 30.2, 28.1, 21.4. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{34}H_{35}N_3O_5Na$ 588.2469; Found 588.2472. Enantiomeric excess was determined to be 99% (determined by HPLC using chiral OD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 13.4 min, t_{minor} = 5.1 min).

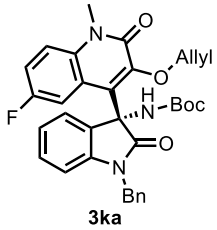


	RetTime	Height	Height%	Mark	Area	Area%
1	5.195	165047	81.571	M	3072041	49.718
2	13.337	37289	18.429	M	3106939	50.282

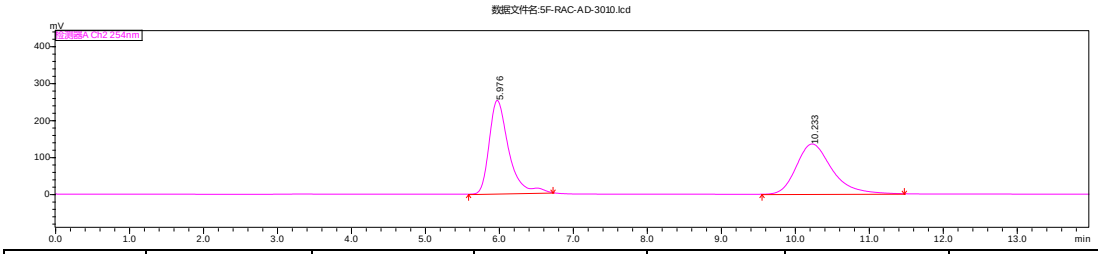


	RetTime	Height	Height%	Mark	Area	Area%
1	5.174	977994	99.892	M	17753102	99.548
2	13.454	1054	0.108	M	80610	0.452

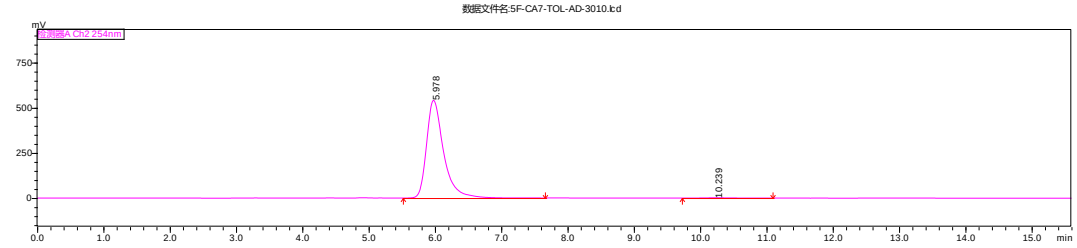
tert-butyl (R)-(3-(3-(allyloxy)-6-fluoro-1-methyl-2-oxo-1,2-dihydroquinolin-4-yl)-1-benzyl-2-oxoindolin-3-yl)carbamate (3ka)



Prepared according to the general procedure A as white solid (50 mg, 88% yield) after silica gel chromatography (petroleum ether/EtOAc = 3:1). $[\alpha]_D^{25}$ = -274.50 (c 0.100, $CHCl_3$); **Mp**: 188 - 190 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.74 (s, 1H), 7.44 (d, J = 7.2 Hz, 3H), 7.38 – 7.32 (m, 3H), 7.32 – 7.28 (m, 2H), 7.20 – 7.17 (m, 1H), 6.92 - 6.89 (m, 1H), 6.70 (d, J = 8.0 Hz, 1H), 5.94 – 5.87 (m, 1H), 5.49 (s, 1H), 5.29 (d, J = 15.3 Hz, 1H), 5.20 (d, J = 17.3 Hz, 1H), 5.14 (d, J = 13.5 Hz, 1H), 4.77 – 4.68 (m, 1H), 4.63 (d, J = 15.9 Hz, 1H), 4.49 – 4.40 (m, 1H), 3.69 (s, 3H), 1.33 (s, 9H). **^{19}F NMR (376 MHz, $CDCl_3$)** δ -119.05. **^{13}C NMR (126 MHz, $CDCl_3$)** δ 174.9, , 157.9, 157.6 (d, J_{C-F} = 239.4 Hz), 153.8, 145.3, 143.4, 135.7, 133.8, 130.8, 129.8, 128.7, 128.0, 127.5, 124.5, 122.6, 120.4, 117.9, 116.2 (d, J_{C-F} = 37.8 Hz), 116.1,, 112.8 (d, J_{C-F} = 27.7 Hz), 109.7, 80.9, 72.0, 63.5, 44.7, 30.5, 28.1. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{33}H_{32}FN_3O_5Na$ 592.2218; Found 592.2220. Enantiomeric excess was determined to be 98% (determined by HPLC using chiral AD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 10.2 min, t_{minor} = 5.9 min).

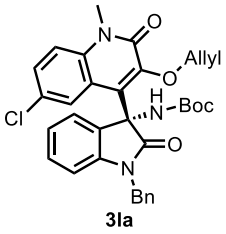


	RetTime	Height	Height%	Mark	Area	Area%
1	5.976	252169	65.047	M	4591905	50.398
2	10.233	135504	34.953	M	4519354	49.602



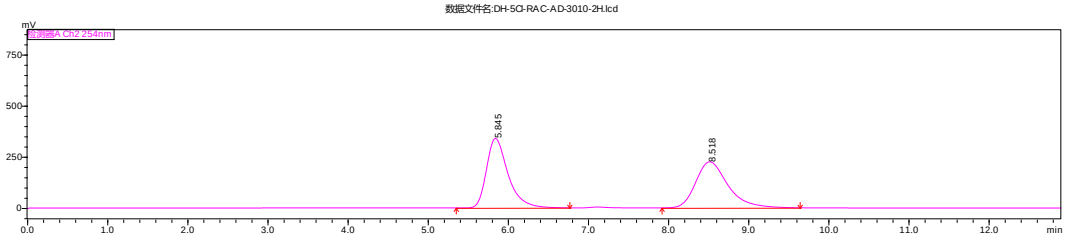
	RetTime	Height	Height%	Mark	Area	Area%
1	5.978	540752	99.687	M	9703102	99.452
2	10.239	1698	0.313	M	53448	0.548

tert-butyl (R)-(3-(3-(allyloxy)-6-chloro-1-methyl-2-oxo-1,2-dihydroquinolin-4-yl)-1-benzyl-2-oxoindolin-3-yl)carbamate (3la)

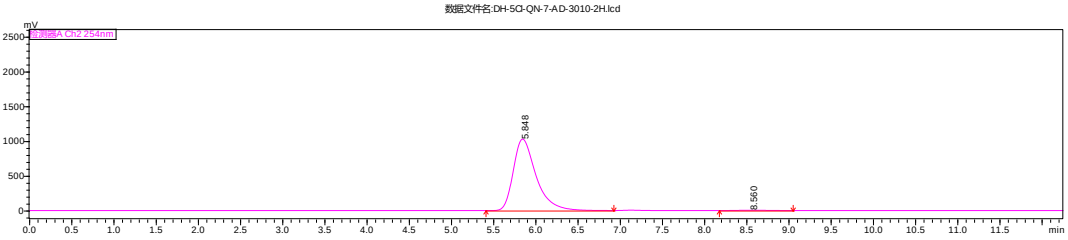


3la

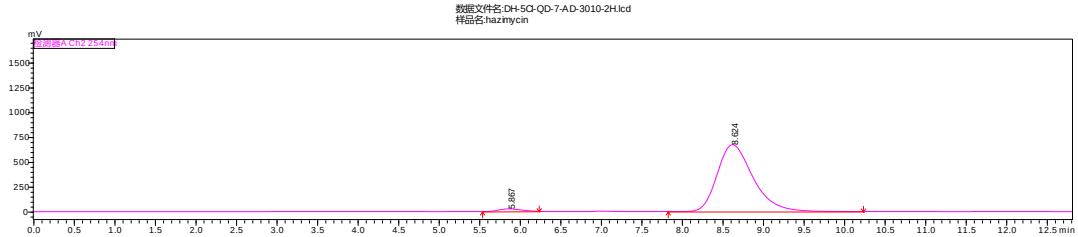
Prepared according to the general procedure A as white solid (47 mg, 80% yield) after silica gel chromatography (petroleum ether/EtOAc = 3:1). $[\alpha]_D^{25}$ = -303.30 (c 0.100, $CHCl_3$); **Mp**: 180 - 182 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.98 (s, 1H), 7.40 – 7.48 (m, 1H), 7.44 – 7.40 (m, 3H), 7.36 – 7.32 (m, 3H), 7.29 (d, *J* = 7.3 Hz, 1H), 7.21 – 7.18 (m, 1H), 6.95 - 6.92 (m, 1H), 6.71 (d, *J* = 9.0 Hz, 1H), 5.95 – 5.80 (m, 1H), 5.43 (s, 1H), 5.28 (d, *J* = 15.9 Hz, 1H), 5.18 (d, *J* = 13.8 Hz, 1H), 5.13 (d, *J* = 14.6 Hz, 1H), 4.73 – 4.68 (m, 1H), 4.63 (d, *J* = 15.9 Hz, 1H), 4.44 (dd, *J* = 12.1, 6.5 Hz, 1H), 3.69 (s, 3H), 1.34 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ δ 174.7, 158.0, 153.6, 145.2, 143.3, 135.7, 135.6, 133.8, 130.6, 129.9, 128.7, 128.4, 127.9, 127.7, 127.5, 127.4, 126.2, 124.7, 122.7, 120.6, 117.8, 116.0, 109.7, 80.9, 72.0, 63.3, 44.6, 30.4, 28.1. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{33}H_{32}ClN_3O_5Na$ 608.1923; Found 608.1929. Enantiomeric excess was determined to be 98% (determined by HPLC using chiral AD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 8.5 min, t_{minor} = 5.8 min). For ***ent*-3la** was prepared according to the general procedure A as white solid (43 mg, 74% yield) after silica gel chromatography (petroleum ether/EtOAc = 3:1). $[\alpha]_D^{25}$ = 291.30 (c 0.100, $CHCl_3$); **Mp**: 176 - 178 °C. Enantiomeric excess was determined to be -95% (determined by HPLC using chiral AD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 8.6 min, t_{minor} = 5.8 min).



	RetTime	Height	Height%	Mark	Area	Area%
1	5.845	339785	60.128	M	6348958	50.250
2	8.518	225317	39.872	M	6285819	49.750

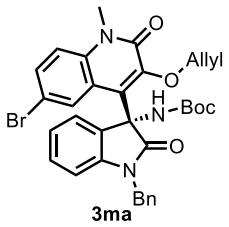


	RetTime	Height	Height%	Mark	Area	Area%
1	5.848	1028446	99.558	M	19310054	99.423
2	8.560	4564	0.442	M	112124	0.577



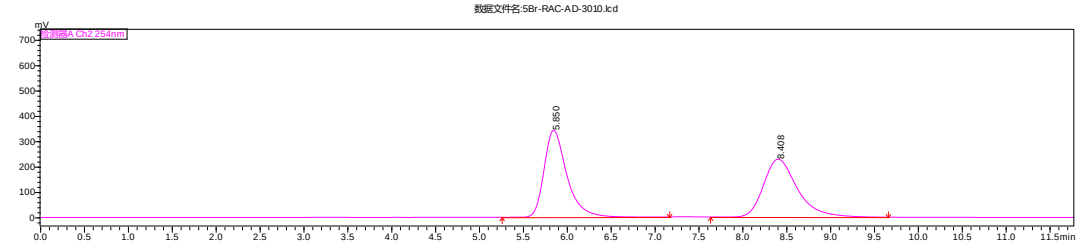
	RetTime	Height	Height%	Mark	Area	Area%
1	5.867	25906	3.705	M	488055	2.304
2	8.624	673321	96.295	M	20698291	97.696

tert-butyl (R)-(3-(3-(allyloxy)-6-bromo-1-methyl-2-oxo-1,2-dihydroquinolin-4-yl)-1-benzyl-2-oxoindolin-3-yl)carbamate (3ma)

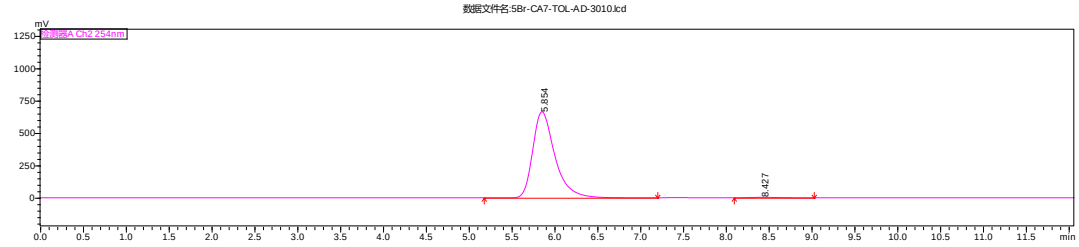


3ma

Prepared according to the general procedure A as white solid (53 mg, 84% yield) after silica gel chromatography (petroleum ether/EtOAc = 3:1). $[\alpha]_D^{25}$ = -145.20 (c 0.100, $CHCl_3$); **Mp**: 190 - 193 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 9.12 (s, 1H), 7.63 – 7.61 (m, 1H), 7.44 – 7.39 (m, 3H), 7.36 – 7.32 (m, 2H), 7.28 (d, *J* = 1.6 Hz, 2H), 7.21 – 7.18 (m, 1H), 6.96 – 6.92 (m, 1H), 6.70 (d, *J* = 8.0 Hz, 1H), 5.97 – 5.80 (m, 1H), 5.43 (s, 1H), 5.28 (d, *J* = 15.9 Hz, 1H), 5.17 (d, *J* = 17.3 Hz, 1H), 5.13 (d, *J* = 10.4 Hz, 1H), 4.70 (dd, *J* = 13.6, 5.2 Hz, 1H), 4.63 (d, *J* = 15.9 Hz, 1H), 4.44 (dd, *J* = 12.1, 6.4 Hz, 1H), 3.68 (s, 3H), 1.35 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 174.7, 158.0, 153.5, 145.1, 143.3, 136.1, 135.6, 133.8, 131.2, 130.6, 129.9, 129.1, 128.7, 127.9, 127.5, 127.4, 124.7, 122.7, 121.1, 117.8, 116.3, 115.2, 109.7, 80.8, 71.9, 63.3, 44.5, 30.4, 28.1. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{33}H_{32}BrN_3O_5Na$ 652.1418; Found 652.1419. Enantiomeric excess was determined to be 98% (determined by HPLC using chiral AD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 8.4 min, t_{minor} = 5.8 min).

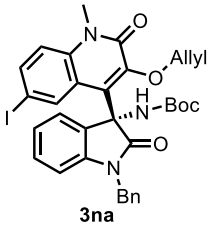


	RetTime	Height	Height%	Mark	Area	Area%
1	5.850	342453	60.004	M	6155914	50.184
2	8.408	228267	39.996	M	6110745	49.816



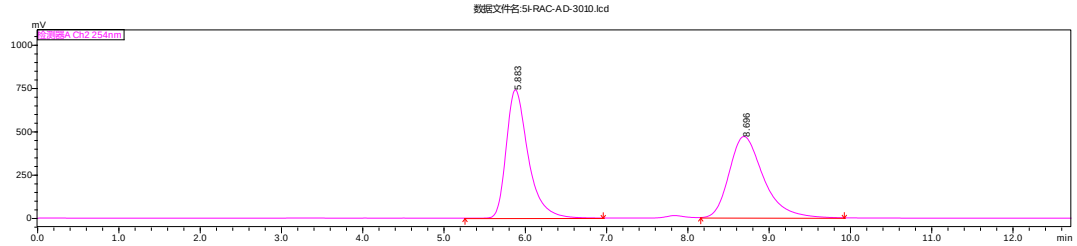
	RetTime	Height	Height%	Mark	Area	Area%
1	5.854	664683	99.516	M	11934649	99.340
2	8.427	3235	0.484	M	79344	0.660

tert-butyl (R)-(3-(3-(allyloxy)-6-iodo-1-methyl-2-oxo-1,2-dihydroquinolin-4-yl)-1-benzyl-2-oxoindolin-3-yl)carbamate (3na)

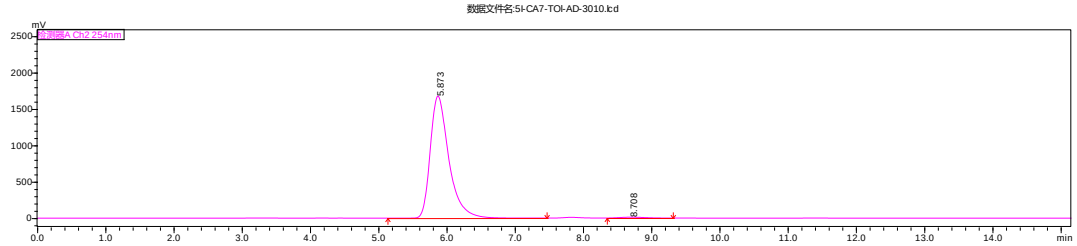


3na

Prepared according to the general procedure A as white solid (49 mg, 72% yield) after silica gel chromatography (petroleum ether/EtOAc = 3:1). $[\alpha]_D^{25}$ = -194.50 (c 0.100, $CHCl_3$); **Mp**: 153 - 155 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 9.29 (s, 1H), 7.79 – 7.77 (m, 1H), 7.43 – 7.38 (m, 3H), 7.36 – 7.32 (m, 2H), 7.29 (d, *J* = 8.4 Hz, 1H), 7.21 – 7.19 (m, 1H), 7.14 (d, *J* = 9.0 Hz, 1H), 6.96 – 6.93 (m, 1H), 6.70 (d, *J* = 8.9 Hz, 1H), 5.91 – 5.83 (m, 1H), 5.45 (s, 1H), 5.28 (d, *J* = 15.9 Hz, 1H), 5.17 (d, *J* = 19.0 Hz, 1H), 5.13 (d, *J* = 8.6 Hz, 1H), 4.70 (dd, *J* = 11.3, 4.5 Hz, 1H), 4.63 (d, *J* = 15.9 Hz, 1H), 4.43 (dd, *J* = 12.0, 6.4 Hz, 1H), 3.67 (s, 3H), 1.36 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 174.6, 158.0, 153.4, 144.9, 143.3, 136.8, 136.7, 135.6, 135.2, 133.8, 130.5, 129.9, 128.7, 128.0, 127.5, 127.4, 124.7, 122.8, 121.5, 117.8, 116.5, 109.7, 85.4, 80.8, 71.9, 63.2, 44.5, 30.3, 28.2. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{33}H_{32}IN_3O_5Na$ 700.1279; Found 700.1282. Enantiomeric excess was determined to be 98% (determined by HPLC using chiral AD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 8.7 min, t_{minor} = 5.8 min).

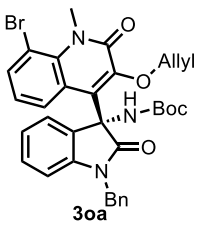


	RetTime	Height	Height%	Mark	Area	Area%
1	5.883	740415	61.255	M	13838102	50.273
2	8.696	468331	38.745	M	13687546	49.727



	RetTime	Height	Height%	Mark	Area	Area%
1	5.873	1679076	99.329	M	31728511	99.095
2	8.708	11345	0.671	M	289662	0.905

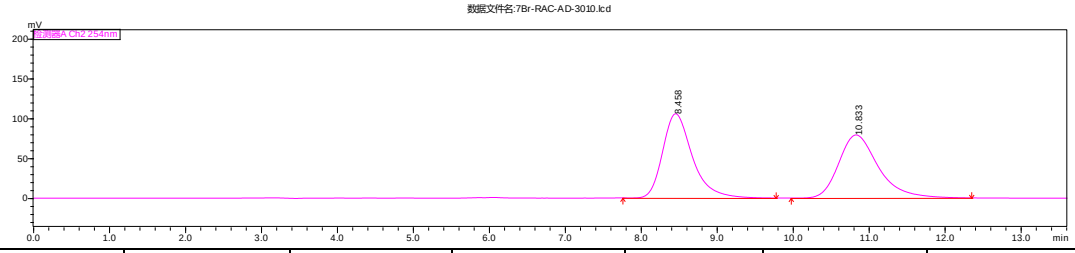
tert-butyl (R)-(3-(3-(allyloxy)-8-bromo-1-methyl-2-oxo-1,2-dihydroquinolin-4-yl)-1-benzyl-2-oxoindolin-3-yl)carbamate (3oa)



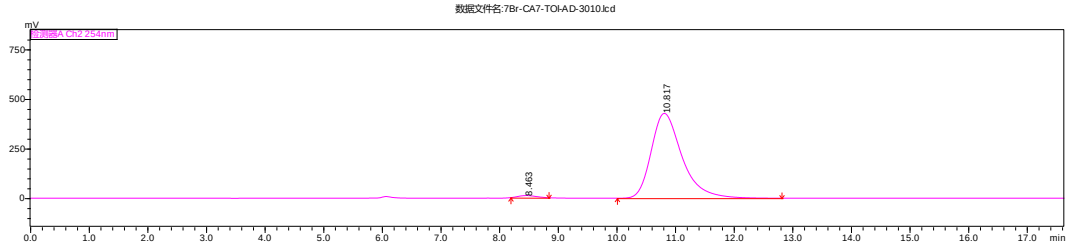
3oa

Prepared according to the general procedure A as white solid (53 mg, 84% yield) after silica gel chromatography (petroleum ether/EtOAc = 3:1). $[\alpha]_D^{25}$ = -154.20 (c 0.100, $CHCl_3$); **Mp**: 168 - 171 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.90 (s, 1H), 7.77 (d, *J* = 9.3 Hz, 1H), 7.47 – 7.42 (m, Hz, 3H), 7.37 – 7.32 (m, 2H), 7.29 (d, *J* = 5.8 Hz, 1H), 7.22 – 7.19 (m, 2H), 6.93 – 6.90 (m, 1H), 6.74 (d, *J* = 7.3 Hz, 1H), 5.73 – 5.65 (m, 1H), 5.48 (s, 1H), 5.26 (d, *J* = 15.9 Hz, 1H), 5.13 (d, *J* = 17.2 Hz, 1H), 5.08 (d, *J* = 10.3 Hz, 1H), 4.66 (d, *J* = 15.8 Hz, 1H), 4.53 (dd, *J* = 12.1, 5.9 Hz, 1H), 4.42 (dd, *J* = 12.0, 6.2 Hz, 1H), 3.85 (s, 3H), 1.28 (d, *J* = 9.6 Hz, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 174.8, 159.9, 153.7, 144.9, 143.7, 137.3, 135.7, 135.2, 133.4, 130.7, 129.9, 128.7,

127.9, 127.7, 127.5, 125.8, 124.8, 123.5, 122.6, 118.4, 109.7, 109.6, 80.8, 72.8, 63.4, 44.7, 39.8, 28.1. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{33}H_{32}BrN_3O_5Na$ 652.1418; Found 652.1416. Enantiomeric excess was determined to be 97% (determined by HPLC using chiral AD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 ℃, 1 mL/min, t_{major} = 10.8 min, t_{minor} = 8.4 min).

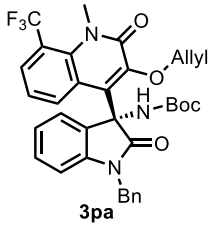


	RetTime	Height	Height%	Mark	Area	Area%
1	8.458	105666	57.195	M	2869127	50.028
2	10.833	79081	42.805	M	2865939	49.972



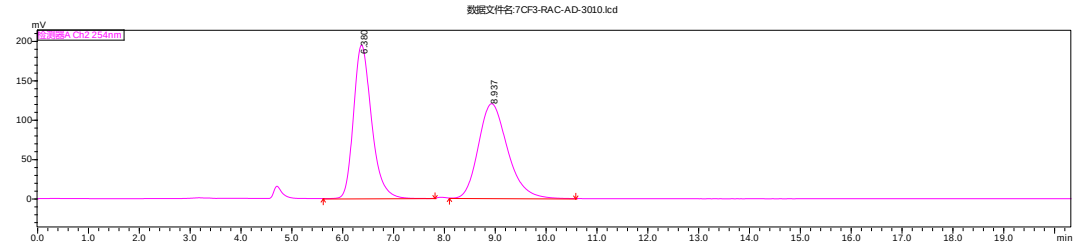
	RetTime	Height	Height%	Mark	Area	Area%
1	8.463	10569	2.412	M	219977	1.386
2	10.817	427652	97.588	M	15654056	98.614

tert-butyl (R)-(3-(3-(allyloxy)-1-methyl-2-oxo-8-(trifluoromethyl)-1,2-dihydroquinolin-4-yl)-1-benzyl-2-oxoindolin-3-yl)carbamate (3pa)

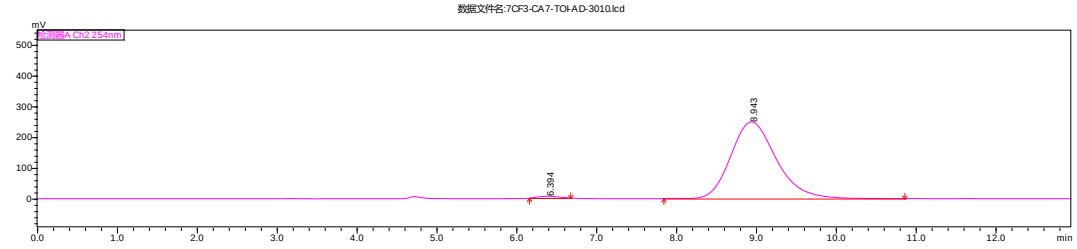


3pa

Prepared according to the general procedure A as white solid (56 mg, 90% yield) after silica gel chromatography (petroleum ether/EtOAc = 3:1). $[\alpha]_D^{25}$ = -137.00 (c 0.100, $CHCl_3$); **Mp**: 162 - 164 ℃. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 9.13 (s, 1H), 7.87 (d, *J* = 6.3 Hz, 1H), 7.47 – 7.40 (m, 4H), 7.37 – 7.33 (m, 2H), 7.29 (d, *J* = 6.0 Hz, 1H), 7.23 – 7.20 (m, 1H), 6.95 - 6.91 (m, 1H), 6.74 (d, *J* = 7.3 Hz, 1H), 5.83 - 5.75 (m, 1H), 5.48 (s, 1H), 5.25 (d, *J* = 15.9 Hz, 1H), 5.17 (d, *J* = 17.2 Hz, 1H), 5.12 (d, *J* = 11.9 Hz, 1H), 4.67 (d, *J* = 15.8 Hz, 1H), 4.62 (dd, *J* = 12.0, 5.6 Hz, 1H), 4.45 (dd, *J* = 12.0, 6.4 Hz, 1H), 3.70 (s, 3H), 1.31 (s, 9H). **^{19}F NMR (376 MHz, $CDCl_3$)** δ -52.88. **^{13}C NMR (126 MHz, $CDCl_3$)** δ 174.7, 159.5, 153.8, 144.7, 143.6, 137.1, 135.6, 133.4, 130.7, 130.2, 129.9, 128.7, 128.6 (q, J_{C-F} = 11.4 Hz), 127.8, 127.6, 127.6, 124.7, 124.1 (q, J_{C-F} = 273.4 Hz), 122.7, 121.5, 118.4, 118.3 (q, J_{C-F} = 34.1 Hz), 109.7, 80.9, 72.7, 63.4, 44.7, 39.0, 38.9, 28.0. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{34}H_{32}F_3N_3O_5Na$ 642.2186; Found 642.2180. Enantiomeric excess was determined to be 97% (determined by HPLC using chiral AD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 ℃, 1 mL/min, t_{major} = 8.9 min, t_{minor} = 6.3 min).

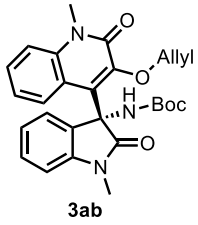


	RetTime	Height	Height%	Mark	Area	Area%
1	6.380	194680	61.882	M	4785066	50.109
2	8.937	119919	38.118	M	4764176	49.891

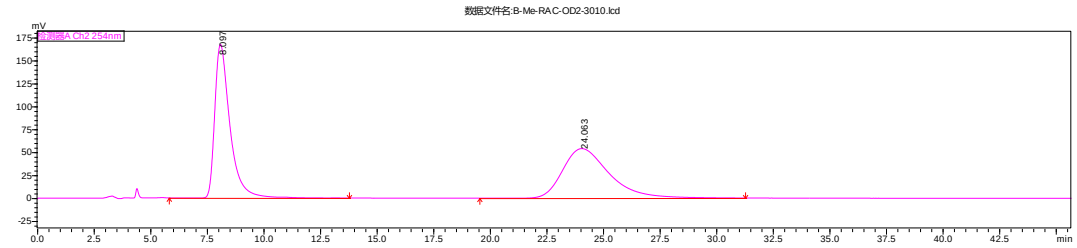


	RetTime	Height	Height%	Mark	Area	Area%
1	6.394	5822	2.283	M	102296	1.026
2	8.943	249225	97.717	M	9866653	98.974

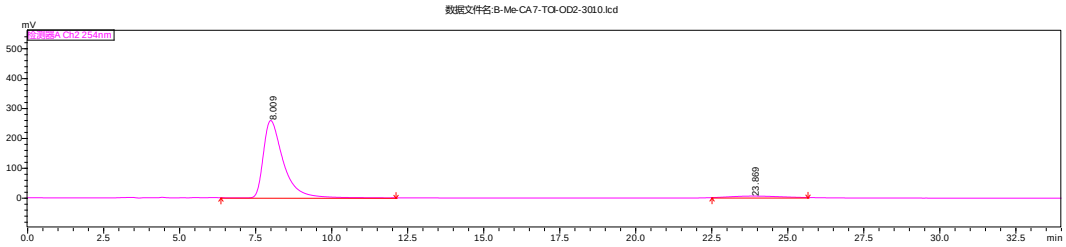
tert-butyl (R)-(3-(3-(allyloxy)-1-methyl-2-oxo-1,2-dihydroquinolin-4-yl)-1-methyl-2-oxoindolin-3-yl)carbamate （3ab）



Prepared according to the general procedure A as yellowish solid (32 mg, 67% yield) after silica gel chromatography (petroleum ether/EtOAc = 3:1). $[\alpha]_D^{25}$ = -295.00 (c 0.100, $CHCl_3$); **Mp**: 154 - 156 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.98 (s, 1H), 7.56 – 7.52 (m, 1H), 7.47 (d, *J* = 6.4 Hz, 1H), 7.41 – 7.36 (m, 2H), 7.30 – 7.27 (m, 1H), 6.93 – 6.88 (m, 1H), 6.82 (d, *J* = 7.0 Hz, 1H), 6.04 – 5.84 (m, 1H), 5.51 (s, 1H), 5.23 (dd, *J* = 17.3, 1.7 Hz, 1H), 5.16 (d, *J* = 12.1 Hz, 1H), 4.79 – 4.74 (m, 1H), 4.42 – 4.37 (m, 1H), 3.69 (s, 3H), 3.25 (s, 3H), 1.26 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 174.9, 158.2, 153.8, 144.4, 144.2, 137.3, 133.9, 131.8, 129.8, 128.6, 128.1, 127.1, 124.6, 122.3, 122.1, 118.9, 117.5, 114.8, 108.4, 80.6, 71.7, 63.5, 30.2, 28.1, 26.8. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{27}H_{29}N_3O_5Na$ 498.1999; Found 498.1997. Enantiomeric excess was determined to be 91% (determined by HPLC using chiral OD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 23.8 min, t_{minor} = 8.0 min).

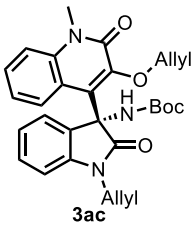


	RetTime	Height	Height%	Mark	Area	Area%
1	8.097	167315	75.611	M	7803112	50.310
2	24.063	53970	24.389	M	7707020	49.690

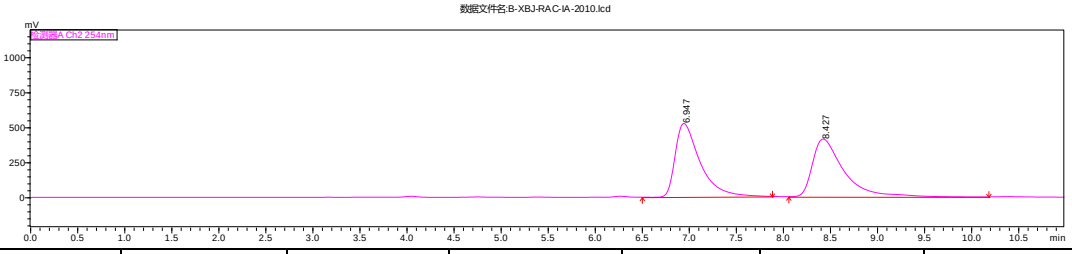


	RetTime	Height	Height%	Mark	Area	Area%
1	8.009	258232	98.102	M	11643051	95.638
2	23.869	4996	1.898	M	531028	4.362

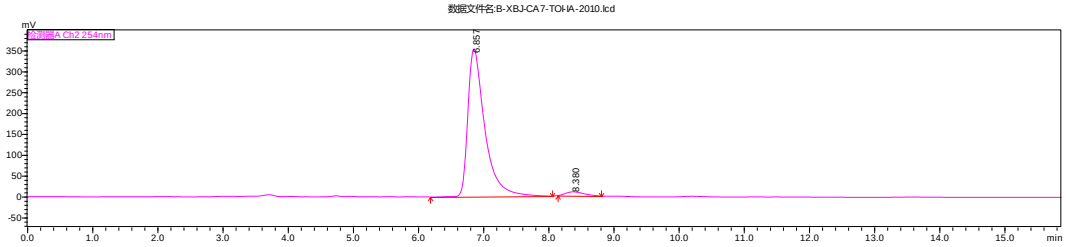
tert-butyl (R)-(1-allyl-3-(3-(allyloxy)-1-methyl-2-oxo-1,2-dihydroquinolin-4-yl)-2-oxoindolin-3-yl)carbamate （3ac）



Prepared according to the general procedure A as yellowish solid (30 mg, 59% yield) after silica gel chromatography (petroleum ether/EtOAc = 3:1). $[\alpha]_D^{25}$ = -157.80 (c 0.100, $CHCl_3$); **Mp**: 113 - 115 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.99 (s, 1H), 7.57 – 7.54 (m, 1H), 7.48 (d, *J* = 6.4 Hz, 1H), 7.42 – 7.37 (m, 2H), 7.27 (d, *J* = 6.5 Hz, 1H), 6.96 – 6.88 (m, 1H), 6.86 (d, *J* = 6.9 Hz, 1H), 6.02 – 5.80 (m, 2H), 5.45 (d, *J* = 17.3 Hz, 2H), 5.29 – 5.20 (m, 2H), 5.16 (d, *J* = 10.4 Hz, 1H), 4.70 (t, *J* = 7.5 Hz, 1H), 4.57 (d, *J* = 16.1 Hz, 1H), 4.48 – 4.39 (m, 1H), 4.23 (dd, *J* = 16.3, 6.0 Hz, 1H), 3.71 (s, 3H), 1.29 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 174.7, 158.2, 153.8, 144.4, 143.7, 137.4, 134.1, 131.8, 131.6, 129.7, 128.6, 128.2, 127.2, 124.6, 122.4, 122.1, 118.9, 118.0, 117.7, 114.8, 109.5, 80.6, 72.0, 63.5, 43.3, 30.2, 28.1. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{29}H_{31}N_3O_5Na$ 524.2156; Found 524.2154. Enantiomeric excess was determined to be 94% (determined by HPLC using chiral IA column, hexane/2-propanol = 80/20, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 8.3 min, t_{minor} = 6.8 min).

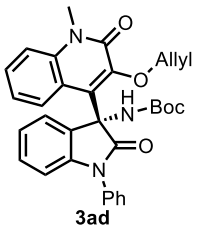


	RetTime	Height	Height%	Mark	Area	Area%
1	6.947	524916	56.036	M	9626730	50.364
2	8.427	411837	43.964	M	9487725	49.636



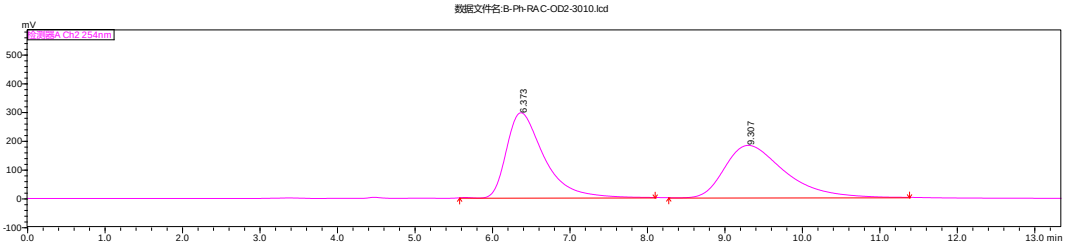
	RetTime	Height	Height%	Mark	Area	Area%
1	6.857	352952	97.423	M	6375199	97.223
2	8.380	9335	2.577	M	182124	2.777

tert-butyl (R)-(3-(3-(allyloxy)-1-methyl-2-oxo-1,2-dihydroquinolin-4-yl)-2-oxo-1-phenylindolin-3-yl)carbamate （3ad）

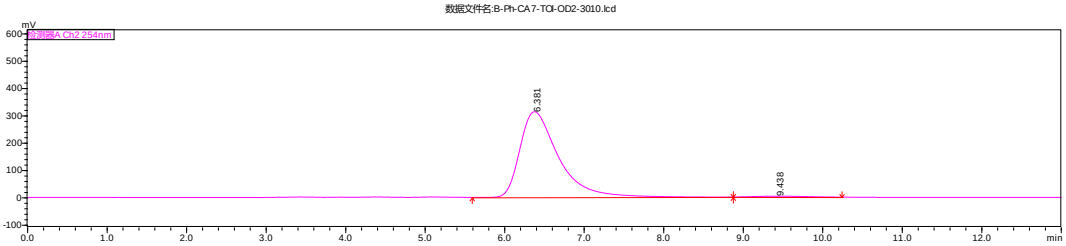


3ad

Prepared according to the general procedure A as yellowish solid (40 mg, 74% yield) after silica gel chromatography (petroleum ether/EtOAc = 3:1). $[\alpha]_D^{25}$ = -149.30 (c 0.100, $CHCl_3$); **Mp**: 165 - 168 ºC. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 9.06 (s, 1H), 7.59 – 7.52 (m, 6H), 7.45 – 7.40 (m, 3H), 7.22 – 7.20 (m, 1H), 6.95 – 6.93 (m, 1H), 6.84 (d, *J* = 8.0 Hz, 1H), 5.98 – 5.88 (m, 1H), 5.70 (s, 1H), 5.11 – 5.01 (m, 2H), 4.63 (dd, *J* = 11.9, 5.4 Hz, 1H), 4.36 (dd, *J* = 12.0, 7.0 Hz, 1H), 3.72 (s, 3H), 1.32 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 174.5, 158.3, 154.1, 144.8, 144.6, 137.4, 134.9, 134.1, 131.4, 129.6, 129.4, 128.7, 128.0, 127.9, 127.3, 127.1, 124.8, 122.8, 122.2, 118.8, 114.9, 109.8, 80.8, 72.8, 63.8, 30.3, 28.2. **HRMS (ESI-TOF) m/z**: [M + Na]$^+$ Calcd for $C_{32}H_{31}N_3O_5Na$ 560.2156; Found 560.2157. Enantiomeric excess was determined to be 97% (determined by HPLC using chiral OD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 ℃, 1 mL/min, t_{major} = 6.3 min, t_{minor} = 9.4 min).



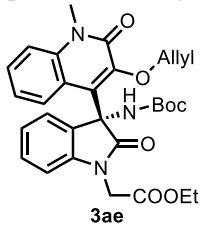
	RetTime	Height	Height%	Mark	Area	Area%
1	6.373	295423	61.949	M	9875059	50.423
2	9.307	181459	38.051	M	9709248	49.577



	RetTime	Height	Height%	Mark	Area	Area%
1	6.381	313022	99.014	M	10500874	98.724
2	9.438	3116	0.986	M	135734	1.276

ethyl (R)-2-(3-(3-(allyloxy)-1-methyl-2-oxo-1,2-dihydroquinolin-4-yl)-3-((tert-butoxycarbonyl)amino)-2-oxoindolin-1-yl)acetate（3ae）

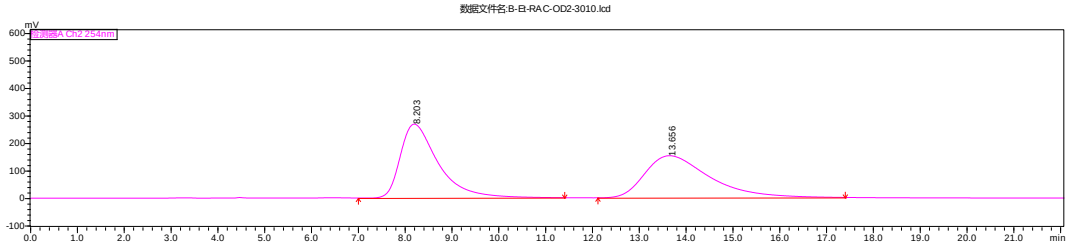
Prepared according to the general procedure A as yellowish solid (38 mg, 69% yield) after silica gel



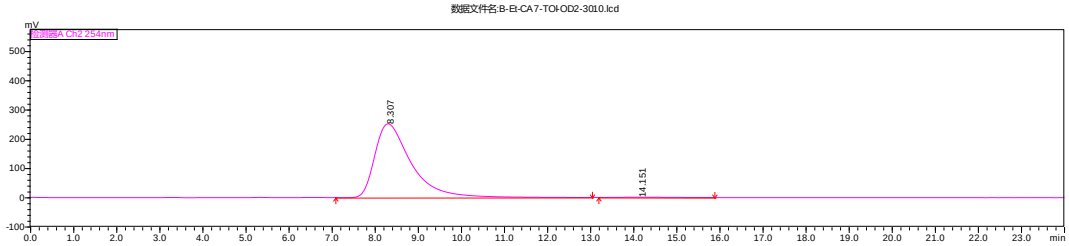
3ae

chromatography (petroleum ether/EtOAc = 3:1). $[\alpha]_D^{25}$ = -116.00 (c 0.100, $CHCl_3$); **Mp**: 199 - 201 ºC. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.97 (s, 1H), 7.55 – 7.52 (m, 1H), 7.44 (d, *J* = 7.6 Hz, 1H), 7.40 (d, *J* = 8.4 Hz, 1H), 7.36 – 7.29 (m, 2H), 6.96 – 6.93 (m, 1H), 6.76 (d, *J* = 7.9 Hz, 1H), 5.97 – 5.77 (m, 1H), 5.36 (s, 1H), 5.19 (d, *J* = 17.3 Hz, 1H), 5.12 (d, *J* = 10.4 Hz, 1H), 4.82 (d, *J* = 7.0 Hz, 1H), 4.69 (d, *J* = 17.6 Hz, 1H), 4.42 (dd, *J* = 12.2, 6.5 Hz, 1H), 4.26 (q, *J* = 6.9 Hz, 3H), 3.71 (s, 3H), 1.31 (d, *J* = 7.2 Hz, 3H), 1.26 (d, *J* = 27.6 Hz, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 174.3, 167.7, 158.2, 153.7, 142.9, 137.0, 134.0, 131.7, 130.1, 128.5, 127.9,

126.4, 125.0, 122.9, 122.2, 119.7, 117.3, 114.6, 108.8, 80.5, 71.6, 63.2, 61.7, 42.1, 30.2, 28.0, 14.2. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{30}H_{33}N_3O_7Na$ 570.2211; Found 570.2212. Enantiomeric excess was determined to be 99% (determined by HPLC using chiral OD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 ℃, 1 mL/min, t_{major} = 14.1 min, t_{minor} = 8.3 min).

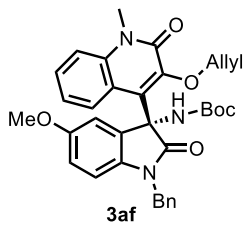


	RetTime	Height	Height%	Mark	Area	Area%
1	8.203	268302	63.731	M	15064514	50.730
2	13.656	152687	36.269	M	14630959	49.270



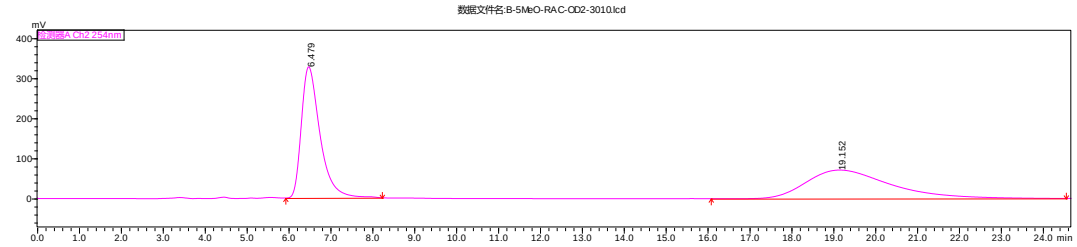
	RetTime	Height	Height%	Mark	Area	Area%
1	8.307	252091	99.593	M	14780645	99.429
2	14.151	1031	0.407	M	84836	0.571

tert-butyl (R)-(3-(3-(allyloxy)-1-methyl-2-oxo-1,2-dihydroquinolin-4-yl)-1-benzyl-5-methoxy-2-oxoindolin-3-yl)carbamate （3af）

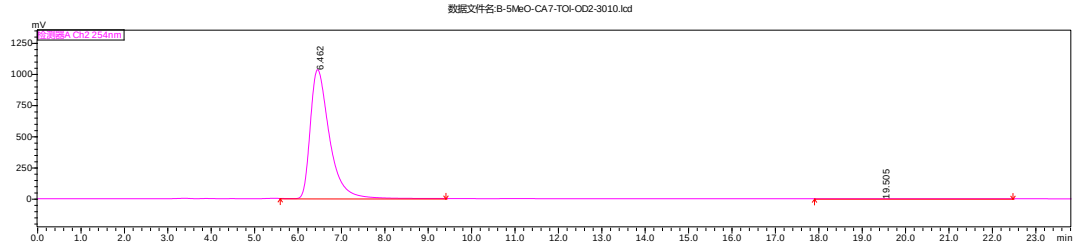


3af

Prepared according to the general procedure A as white solid (49 mg, 86% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). $[\alpha]_D^{25}$ = -103.30 (c 0.100, $CHCl_3$); **Mp**: 145 - 148 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.96 (s, 1H), 7.55 – 7.52 (m, 1H), 7.46 (d, *J* = 7.3 Hz, 2H), 7.41 – 7.32 (m, 4H), 7.28 (d, *J* = 7.3 Hz, 1H), 7.12 (d, *J* = 2.6 Hz, 1H), 6.69 – 6.66 (m, 1H), 6.58 (d, *J* = 8.6 Hz, 1H), 6.03 – 5.95 (m, 1H), 5.63 (s, 1H), 5.31 – 5.26 (m, 1H), 5.24 (d, *J* = 17.2 Hz, 1H), 5.16 (d, *J* = 8.8 Hz, 1H), 4.71 (dd, *J* = 12.0, 5.1 Hz, 1H), 4.62 (d, *J* = 15.8 Hz, 1H), 4.48 (dd, *J* = 12.1, 6.6 Hz, 1H), 3.71 (s, 3H), 3.62 (s, 3H), 1.33 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 175.0, 158.2, 155.6, 153.8, 144.6, 137.4, 137.2, 135.8, 134.0, 131.5, 129.6, 128.7, 127.6, 127.4, 127.2, 122.2, 118.8, 117.8, 114.8, 113.1, 112.9, 109.7, 80.6, 72.1, 64.0, 55.6, 44.9, 30.2, 28.2. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{34}H_{35}N_3O_6Na$ 604.2418; Found 604.2423. Enantiomeric excess was determined to be 99% (determined by HPLC using chiral OD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 ℃, 1 mL/min, t_{major} = 19.5 min, t_{minor} = 6.4 min).

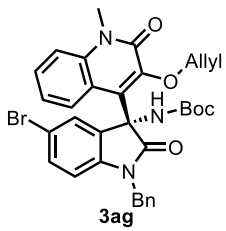


	RetTime	Height	Height%	Mark	Area	Area%
1	6.479	327358	82.110	M	10124108	50.454
2	19.152	71324	17.890	M	9941916	49.546



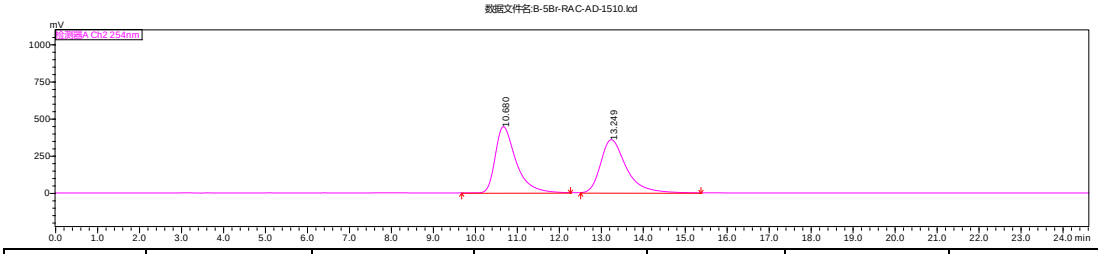
	RetTime	Height	Height%	Mark	Area	Area%
1	6.462	1033311	99.909	M	31465792	99.613
2	19.505	939	0.091	M	122289	0.387

tert-butyl (R)-(3-(3-(allyloxy)-1-methyl-2-oxo-1,2-dihydroquinolin-4-yl)-1-benzyl-5-bromo-2-oxoindolin-3-yl)carbamate（3ag）

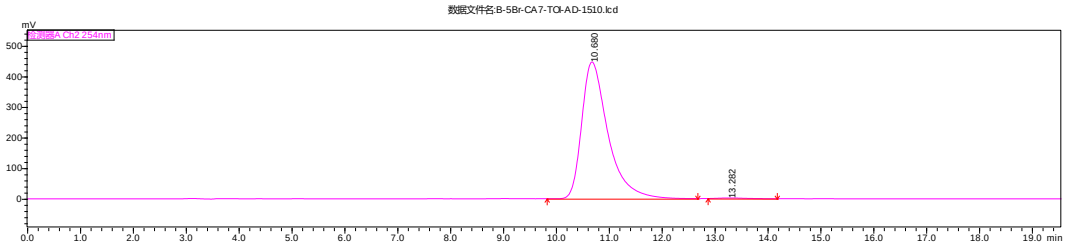


3ag

Prepared according to the general procedure A as white solid (49 mg, 79% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). $[\alpha]_D^{25}$ = -243.60 (c 0.100, $CHCl_3$); **Mp**: 163 - 166 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.93 (s, 1H), 7.61 – 7.56 (m, 2H), 7.48 – 7.41 (m, 4H), 7.37 – 7.32 (m, 2H), 7.30 – 7.27 (m, 2H), 6.56 (d, *J* = 8.4 Hz, 1H), 6.02 – 5.94 (m, 1H), 5.65 (s, 1H), 5.32 (d, *J* = 15.9 Hz, 1H), 5.24 (d, *J* = 20.7 Hz, 1H), 5.18 (d, *J* = 10.4 Hz, 1H), 4.77 – 4.71 (m, 1H), 4.59 (d, *J* = 16.0 Hz, 1H), 4.48 – 4.42 (m, 1H), 3.73 (s, 3H), 1.35 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 174.9, 158.1, 153.7, 144.7, 142.8, 137.5, 135.2, 133.8, 132.4, 130.7, 130.2, 128.9, 128.7, 127.6, 127.6, 127.5, 127.1, 122.4, 118.4, 118.0, 115.0, 114.9, 111.0, 81.0, 72.1, 63.6, 45.0, 30.3, 28.2. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{33}H_{32}BrN_3O_5Na$ 652.1418; Found 652.1419. Enantiomeric excess was determined to be 99% (determined by HPLC using chiral AD column, hexane/2-propanol = 85/15, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 13.2 min, t_{minor} = 10.6 min).

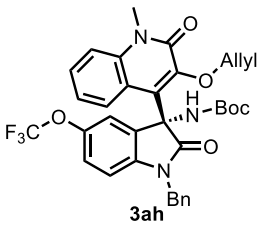


	RetTime	Height	Height%	Mark	Area	Area%
1	10.680	445571	55.517	M	15215815	50.269
2	13.249	357007	44.483	M	15053159	49.731

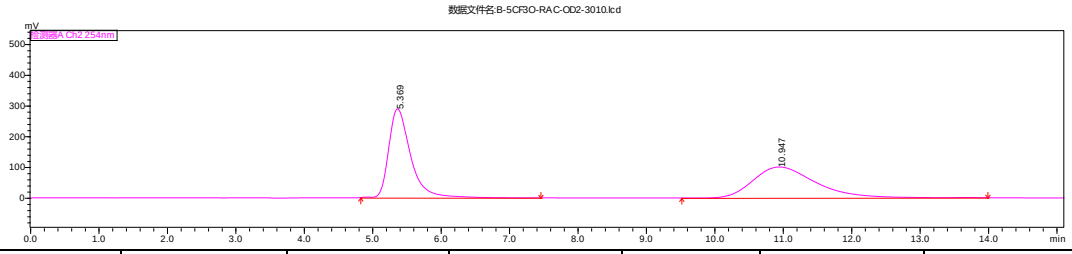


	RetTime	Height	Height%	Mark	Area	Area%
1	10.680	446824	99.521	M	15424139	99.530
2	13.282	2150	0.479	M	72763	0.470

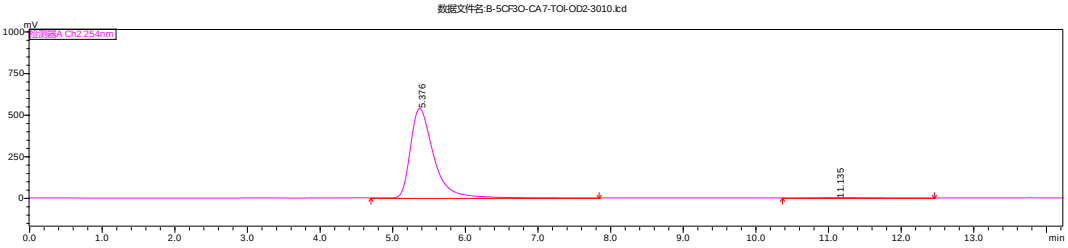
tert-butyl (R)-(3-(3-(allyloxy)-1-methyl-2-oxo-1,2-dihydroquinolin-4-yl)-1-benzyl-2-oxo-5-(trifluoromethoxy)indolin-3-yl)carbamate (3ah)



Prepared according to the general procedure A as white solid (48 mg, 77% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). $[\alpha]_D^{25}$ = -191.50 (c 0.100, $CHCl_3$); **Mp**: 120 - 122 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.91 (s, 1H), 7.60 – 7.57 (m, 1H), 7.47 – 7.41 (m, 4H), 7.37 – 7.34 (m, 3H), 7.30 (d, *J* = 7.3 Hz, 1H), 7.04 (d, *J* = 7.2 Hz, 1H), 6.67 (d, *J* = 8.6 Hz, 1H), 5.98 – 5.88 (m, 1H), 5.65 (s, 1H), 5.28 (d, *J* = 15.4 Hz, 1H), 5.22 (d, *J* = 15.6 Hz, 1H), 5.15 (d, *J* = 10.3 Hz, 1H), 4.73 (dd, *J* = 12.0, 6.8 Hz, 1H), 4.67 (d, *J* = 15.9 Hz, 1H), 4.44 (dd, *J* = 11.4, 6.0 Hz, 1H), 3.74 (s, 3H), 1.33 (s, 9H). **^{19}F NMR (377 MHz, $CDCl_3$)** δ -58.22. **^{13}C NMR (126 MHz, $CDCl_3$)** δ 175.2, 158.1, 153.6, 144.8, 144.4, 142.3, 137.5, 135.2, 133.7, 130.6, 129.9, 128.9, 128.8, 127.7, 127.6, 127.0, 122.4, 122.2, 120.4 (q, $J_{C\text{-}F}$ = 257.1 Hz), 118.4, 118.2, 115.0, 109.8, 81.0, 72.2, 63.7, 45.1, 30.3, 28.1. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{34}H_{32}F_3N_3O_6Na$ 658.2135; Found 658.2139. Enantiomeric excess was determined to be 98% (determined by HPLC using chiral OD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 11.1 min, t_{minor} = 5.3 min).

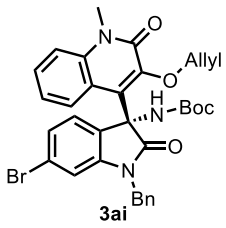


	RetTime	Height	Height%	Mark	Area	Area%
1	5.369	288506	74.156	M	6384864	50.118
2	10.947	100547	25.844	M	6354720	49.882



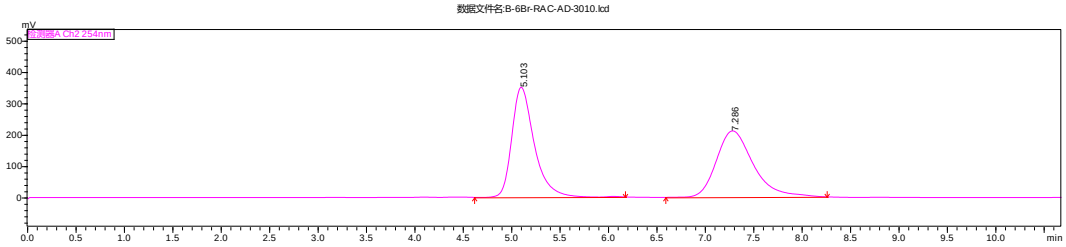
	RetTime	Height	Height%	Mark	Area	Area%
1	5.376	537336	99.674	M	11843972	99.091
2	11.135	1760	0.326	M	108676	0.909

tert-butyl (R)-(3-(3-(allyloxy)-1-methyl-2-oxo-1,2-dihydroquinolin-4-yl)-1-benzyl-6-bromo-2-oxoindolin-3-yl)carbamate (3ai)

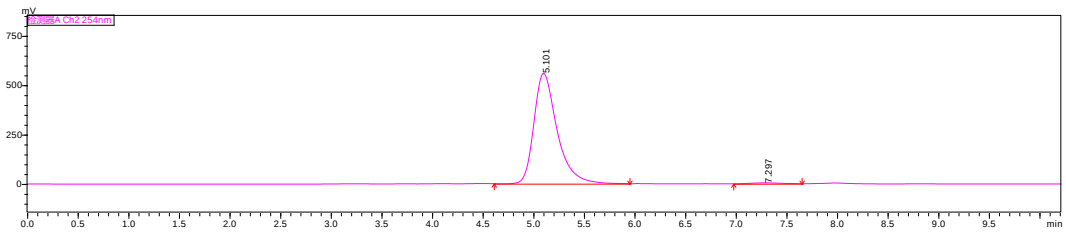


3ai

Prepared according to the general procedure A as white solid (45 mg, 72% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). $[\alpha]_D^{25}$ = -173.00 (c 0.100, $CHCl_3$); **Mp**: 198 - 200 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.94 (s, 1H), 7.59 – 7.55 (m, 1H), 7.48 – 7.39 (m, 4H), 7.38 – 7.33 (m, 3H), 7.31 (d, *J* = 7.3 Hz, 1H), 7.02 – 7.00 (m, 1H), 6.83 (d, *J* = 1.8 Hz, 1H), 6.06 – 5.90 (m, 1H), 5.64 (s, 1H), 5.27 (dd, *J* = 30.2, 15.7 Hz, 2H), 5.18 (d, *J* = 11.9 Hz, 1H), 4.75 (dd, *J* = 12.8, 5.9 Hz, 1H), 4.59 (d, *J* = 16.0 Hz, 1H), 4.43 (dd, *J* = 12.1, 6.7 Hz, 1H), 3.72 (s, 3H), 1.35 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 175.3, 158.1, 153.7, 145.0, 144.6, 137.5, 135.1, 133.8, 131.0, 128.8, 128.8, 127.7, 127.5, 127.2, 127.2, 125.8, 125.3, 123.4, 122.2, 118.4, 118.1, 115.0, 112.9, 80.9, 72.2, 63.3, 45.0, 30.3, 28.2. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{33}H_{32}BrN_3O_5Na$ 652.1418; Found 652.1417. Enantiomeric excess was determined to be 98% (determined by HPLC using chiral AD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 7.2 min, t_{minor} = 5.1 min).

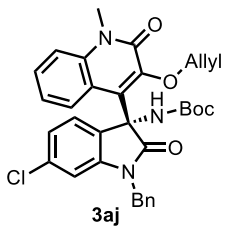


	RetTime	Height	Height%	Mark	Area	Area%
1	5.103	350627	62.400	M	5635197	50.198
2	7.286	211277	37.600	M	5590833	49.802



	RetTime	Height	Height%	Mark	Area	Area%
1	5.101	558947	99.201	M	8894148	98.961
2	7.297	4500	0.799	M	93369	1.039

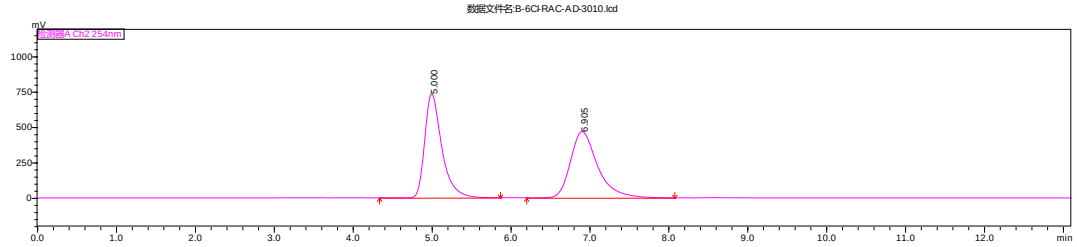
tert-butyl (R)-(3-(3-(allyloxy)-1-methyl-2-oxo-1,2-dihydroquinolin-4-yl)-1-benzyl-6-chloro-2-oxoindolin-3-yl)carbamate (3aj)



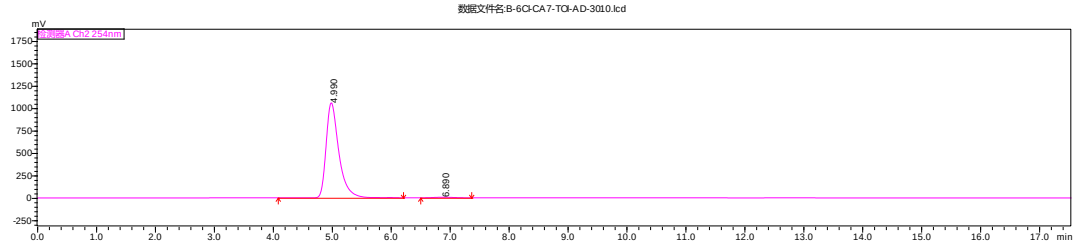
3aj

Prepared according to the general procedure A as white solid (51 mg, 87% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). $[\alpha]_D^{25}$ = -68.80 (c 0.100, $CHCl_3$); **Mp**: 193 - 195 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.93 (s, 1H), 7.59 – 7.55 (m, 1H), 7.47 (d, *J* = 7.3 Hz, 2H), 7.43 – 7.35 (m, 5H), 7.31 (d, *J* = 7.4 Hz, 1H), 6.86 – 6.84 (m, 1H), 6.68 (d, *J* = 1.9 Hz, 1H), 6.02 – 5.94 (m, 1H), 5.65 (s, 1H), 5.31 (d, *J* = 16.0 Hz, 1H), 5.25 (d, *J* = 17.3 Hz, 1H), 5.18 (d, *J* = 8.8 Hz, 1H), 4.75 (dd, *J* = 12.1, 5.0 Hz, 1H), 4.59 (d, *J* = 16.0 Hz, 1H), 4.43 (dd, *J* = 12.1, 6.6 Hz, 1H), 3.73 (s, 3H), 1.35 (s, 9H). **^{13}C NMR (126 MHz,**

$CDCl_3$) δ 175.4, 158.1, 153.7, 144.9, 144.5, 137.5, 135.4, 135.1, 133.8, 131.1, 128.8, 127.7, 127.5, 127.2, 126.7, 125.5, 122.3, 122.2, 118.5, 118.1, 115.0, 110.1, 80.9, 72.1, 63.3, 45.0, 30.3, 28.2. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{33}H_{32}ClN_3O_5Na$ 608.1923; Found 608.1930. Enantiomeric excess was determined to be 98% (determined by HPLC using chiral AD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 6.8 min, t_{minor} = 4.9 min).

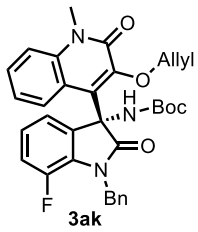


	RetTime	Height	Height%	Mark	Area	Area%
1	5.000	735128	61.107	M	11039002	49.706
2	6.905	467886	38.893	M	11169746	50.294



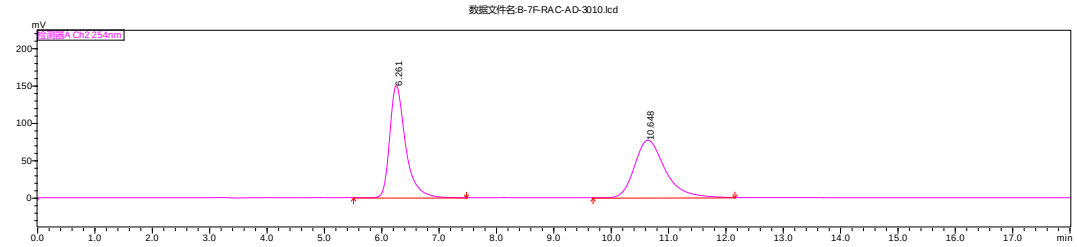
	RetTime	Height	Height%	Mark	Area	Area%
1	4.990	1060145	99.359	M	15925039	99.059
2	6.890	6837	0.641	M	151302	0.941

tert-butyl (R)-(3-(3-(allyloxy)-1-methyl-2-oxo-1,2-dihydroquinolin-4-yl)-1-benzyl-7-fluoro-2-oxoindolin-3-yl)carbamate (3ak)

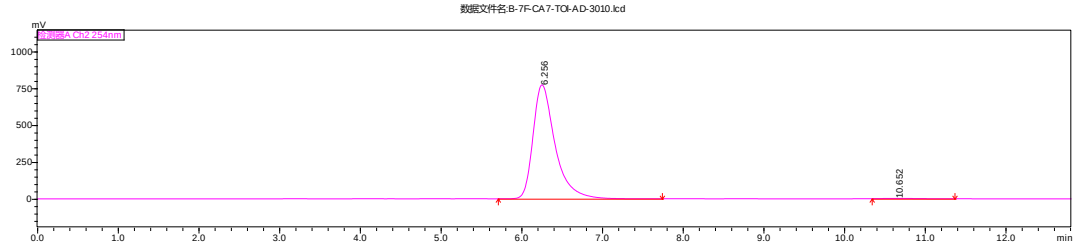


3ak

Prepared according to the general procedure A as white solid (49 mg, 86% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). $[\alpha]_D^{25}$ = -102.00 (c 0.100, $CHCl_3$); **Mp**: 175 - 177 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.94 (s, 1H), 7.58 – 7.54 (m, 1H), 7.50 (d, *J* = 7.4 Hz, 2H), 7.42 (d, *J* = 7.2 Hz, 1H), 7.40 – 7.31 (m, 3H), 7.29 (s, 1H), 7.27 (s, 1H), 6.99 – 6.95 (m, 1H), 6.86 – 6.81 (m, 1H), 5.96 – 5.88 (m, 1H), 5.59 (s, 1H), 5.37 (d, *J* = 15.4 Hz, 1H), 5.23 (d, *J* = 17.3 Hz, 1H), 5.17 (d, *J* = 8.8 Hz, 1H), 4.84 (d, *J* = 15.6 Hz, 1H), 4.71 (dd, *J* = 13.4, 5.4 Hz, 1H), 4.44 (dd, *J* = 12.0, 6.4 Hz, 1H), 3.72 (s, 3H), 1.30 (s, 9H). **^{19}F NMR (377 MHz, $CDCl_3$)** δ -133.55. **^{3}C NMR (126 MHz, $CDCl_3$)** δ 174.9, 158.1, 153.6, 147.6 (d, J_{C-F} = 244.4 Hz), 144.6, 137.4, 137.1, 133.6, 131.2, 131.1, 130.6 (d, J_{C-F} = 8.8 Hz), 128.7, 128.4, 127.8, 127.4, 127.1, 123.0, 122.9, 122.2, 120.6, 120.6, 118.7, 118.0, 117.8 (d, J_{C-F} =16.4 Hz), 114.9, 80.8, 72.1, 63.6, 63.6, 46.3, 46.3, 30.3, 28.1. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{33}H_{32}FN_3O_5Na$ 592.2218; Found 592.2221. Enantiomeric excess was determined to be 99% (determined by HPLC using chiral AD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 10.6 min, t_{minor} = 6.2 min).

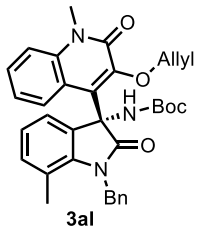


	RetTime	Height	Height%	Mark	Area	Area%
1	6.261	149964	66.113	M	2863317	50.313
2	10.648	76866	33.887	M	2827740	49.687



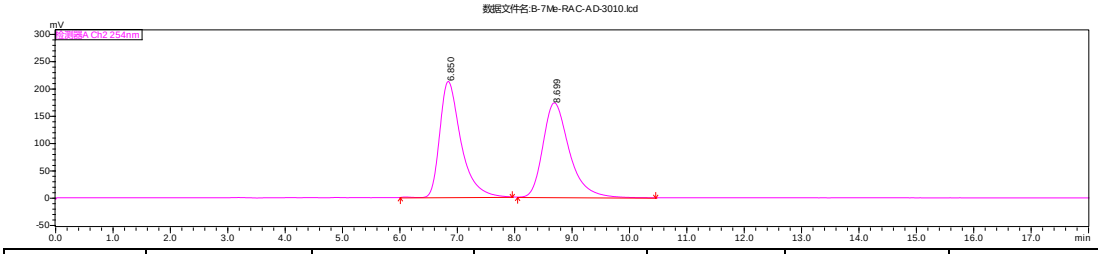
	RetTime	Height	Height%	Mark	Area	Area%
1	6.256	774112	99.735	M	14691052	99.605
2	10.652	2054	0.265	M	58284	0.395

tert-butyl (R)-(3-(3-(allyloxy)-1-methyl-2-oxo-1,2-dihydroquinolin-4-yl)-1-benzyl-7-methyl-2-oxoindolin-3-yl)carbamate (3al)

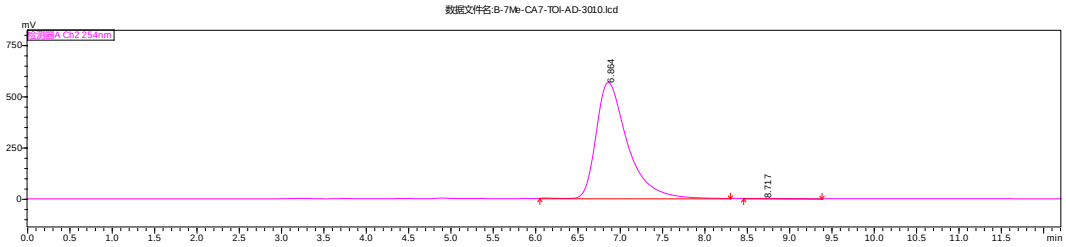


3al

Prepared according to the general procedure A as white solid (48 mg, 85% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). $[\alpha]_D^{25}$ = -137.60 (c 0.100, $CHCl_3$); **Mp**: 183 - 185 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 9.03 (s, 1H), 7.57 – 7.54 (m, *J* = 8.5 Hz, 1H), 7.43 – 7.33 (m, 7H), 7.28 – 7.24 (m, 1H), 6.97 (d, *J* = 7.6 Hz, 1H), 6.84 – 6.81 (m, 1H), 5.99 – 5.93 (m, 1H), 5.51 (d, *J* = 16.8 Hz, 2H), 5.24 (d, *J* = 15.6 Hz, 1H), 5.19 (d, *J* = 10.5 Hz, 1H), 4.95 (d, *J* = 17.1 Hz, 1H), 4.63 (dd, *J* = 12.2, 5.4 Hz, 1H), 4.50 (dd, *J* = 14.3, 6.8 Hz, 1H), 3.72 (s, 3H), 2.29 (s, 3H), 1.33 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 176.2, 158.2, 153.7, 144.4, 141.7, 138.0, 137.3, 134.1, 133.7, 132.2, 128.8, 128.8, 128.6, 127.1, 127.0, 126.2, 122.9, 122.6, 122.1, 120.1, 119.2, 117.4, 114.8, 80.6, 71.9, 63.1, 46.1, 30.2, 28.2, 19.1. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{34}H_{35}N_3O_5Na$ 588.2469; Found 588.2474. Enantiomeric excess was determined to be 99% (determined by HPLC using chiral AD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 8.7 min, t_{minor} = 6.8 min).

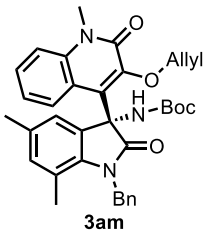


	RetTime	Height	Height%	Mark	Area	Area%
1	6.850	212025	55.072	M	5415466	49.658
2	8.699	172968	44.928	M	5490132	50.342



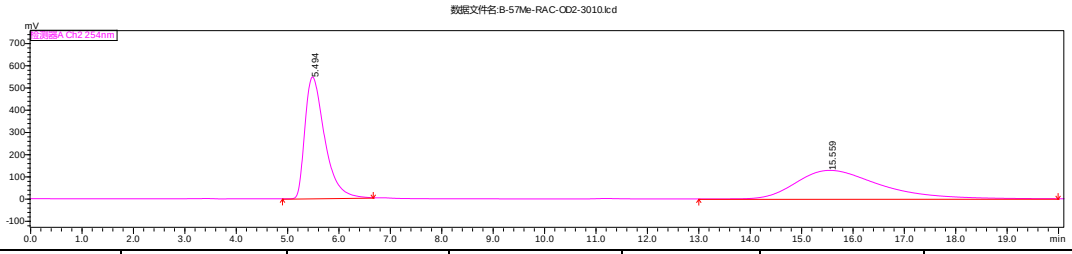
	RetTime	Height	Height%	Mark	Area	Area%
1	6.864	565748	99.869	M	14489169	99.878
2	8.717	744	0.131		17766	0.122

tert-butyl (R)-(3-(3-(allyloxy)-1-methyl-2-oxo-1,2-dihydroquinolin-4-yl)-1-benzyl-5,7-dimethyl-2-oxoindolin-3-yl)carbamate (3am)

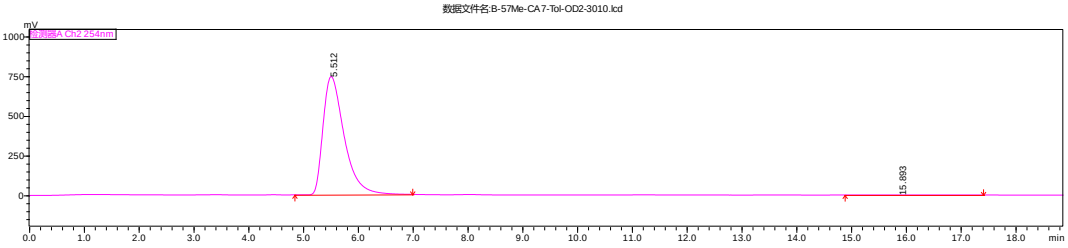


3am

Prepared according to the general procedure A as white solid (48 mg, 84% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). $[\alpha]_D^{25}$ = -305.50 (c 0.100, $CHCl_3$); **Mp**: 139 - 141 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 9.02 (s, 1H), 7.59 – 7.54 (m, 1H), 7.44 – 7.36 (m, 4H), 7.35 – 7.32 (m, 2H), 7.26 – 7.23 (m, 1H), 7.16 (s, 1H), 6.78 (s, 1H), 6.00 – 5.93 (m, 1H), 5.51 (d, *J* = 17.1 Hz, 2H), 5.27 – 5.21 (m, 1H), 5.19 (dd, *J* = 10.4, 1.7 Hz, 1H), 4.91 (d, *J* = 16.9 Hz, 1H), 4.64 (dd, *J* = 12.2, 5.3 Hz, 1H), 4.51 (dd, *J* = 13.7, 6.0 Hz, 1H), 3.73 (s, 3H), 2.24 (s, 3H), 2.14 (s, 3H), 1.33 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 176.1, 158.2, 153.7, 144.4, 139.2, 138.1, 137.3, 134.3, 134.1, 132.3, 131.9, 128.9, 128.7, 128.5, 127.1, 126.9, 126.2, 123.5, 122.1, 119.7, 119.3, 117.2, 114.7, 80.5, 71.8, 63.3, 46.0, 30.2, 28.2, 20.8, 18.9. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{35}H_{37}N_3O_5Na$ 602.2625; Found 602.2629. Enantiomeric excess was determined to be 99% (determined by HPLC using chiral OD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 15.8 min, t_{minor} = 5.5 min).

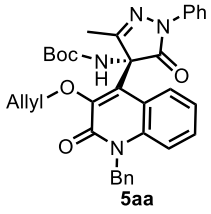


	RetTime	Height	Height%	Mark	Area	Area%
1	5.494	546502	80.979	M	14530300	50.038
2	15.559	128365	19.021	M	14508311	49.962



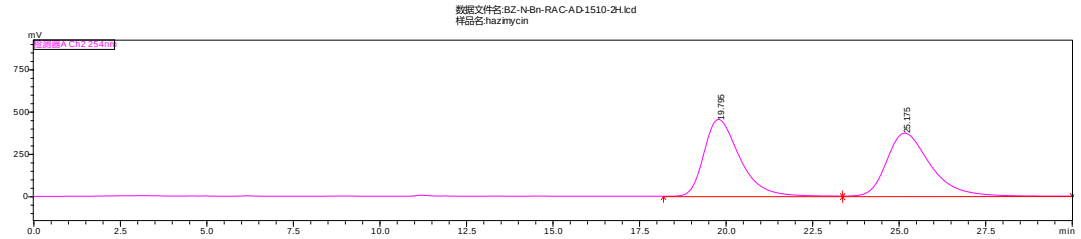
	RetTime	Height	Height%	Mark	Area	Area%
1	5.512	744233	99.921	M	19904341	99.753
2	15.893	585	0.079	M	49336	0.247

tert-butyl (R)-(4-(3-(allyloxy)-1-benzyl-2-oxo-1,2-dihydroquinolin-4-yl)-3-methyl-5-oxo-1-phenyl-4,5-dihydro-1H-pyrazol-4-yl)carbamate (5aa)

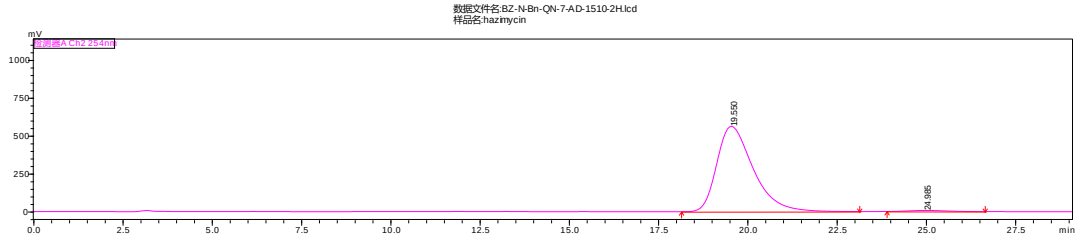


5aa

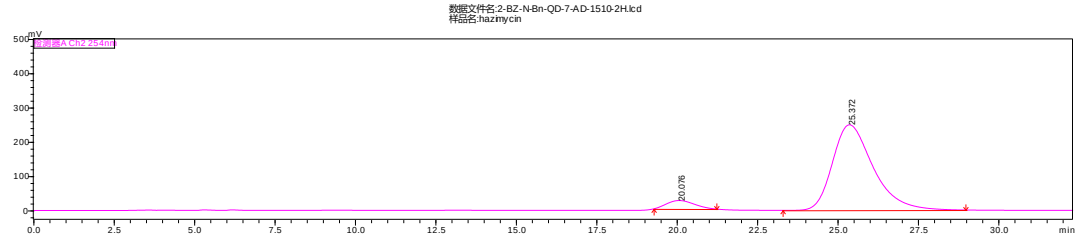
Prepared according to the general procedure A as yellowish solid (52 mg, 90% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). $[\alpha]_D^{25}$ = -8.50 (c 0.100, $CHCl_3$); **Mp**: 142 - 144 ºC. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.91 (s, 1H), 8.00 (d, *J* = 7.7 Hz, 2H), 7.43 – 7.39 (m, 3H), 7.36 – 7.29 (m, 4H), 7.25 (d, *J* = 6.0 Hz, 1H), 7.21 – 7.17 (m, 3H), 6.03 – 5.95 (m, 1H), 5.55 (s, 3H), 5.20 (d, *J* = 18.0 Hz, 1H), 5.12 (d, *J* = 10.2 Hz, 1H), 4.78 (dd, *J* = 11.2, 6.0 Hz, 1H), 4.34 (s, 1H), 2.25 (s, 3H), 1.39 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 169.9, 158.0, 153.0, 145.7, 138.4, 137.0, 135.5, 132.4, 129.2, 128.9, 128.8, 127.9, 127.5, 126.5, 124.8, 122.4, 120.1, 118.6, 117.4, 115.7, 82.0, 73.7, 68.0, 46.9, 28.1, 16.3. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{34}H_{34}N_4O_5Na$ 601.2421; Found 601.2421. Enantiomeric excess was determined to be 97% (determined by HPLC using chiral AD column, hexane/2-propanol = 85/15, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 24.9 min, t_{minor} = 19.5 min). For ***ent*-5aa** was prepared according to the general procedure A as yellowish solid (43 mg, 75% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). $[\alpha]_D^{25}$ = 9.00 (c 0.100, $CHCl_3$); **Mp**: 135 - 137 ºC. Enantiomeric excess was determined to be -87% (determined by HPLC using chiral AD column, hexane/2-propanol = 85/15, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 25.3 min, t_{minor} = 20.0 min).



	RetTime	Height	Height%	Mark	Area	Area%
1	19.795	453656	54.917	V	32549164	49.955
2	25.175	372425	45.083	V	32607242	50.045



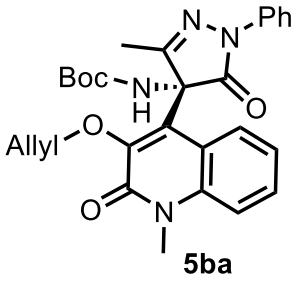
	RetTime	Height	Height%	Mark	Area	Area%
1	19.550	561963	98.740	M	39756642	98.677
2	24.985	7170	1.260	M	533158	1.323



	RetTime	Height	Height%	Mark	Area	Area%
1	20.076	24555	8.970	M	1465964	6.278

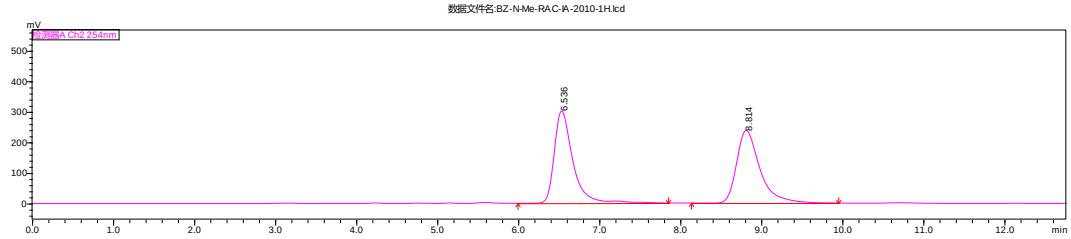
2	25.372	249203	91.030	M	21885098	93.722

tert-butyl (R)-(4-(3-(allyloxy)-1-methyl-2-oxo-1,2-dihydroquinolin-4-yl)-3-methyl-5-oxo-1-phenyl-4,5-dihydro-1H-pyrazol-4-yl)carbamate (5ba)

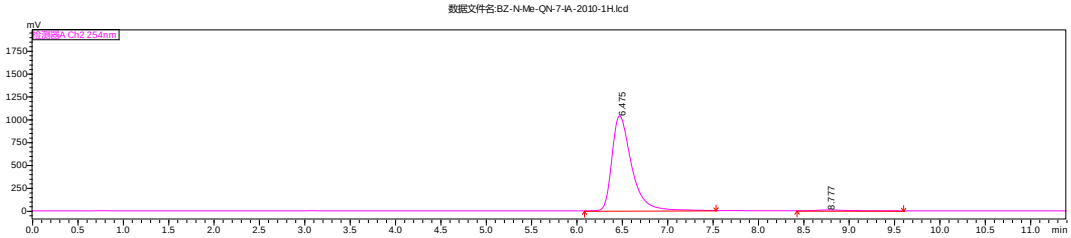


5ba

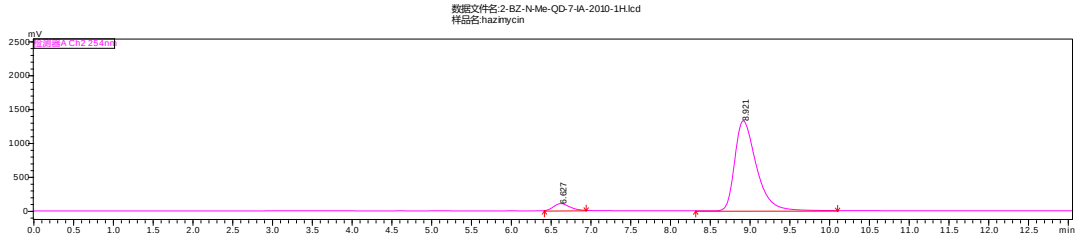
Prepared according to the general procedure A as yellowish solid (39 mg, 79% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). $[\alpha]_D^{25}$ = -66.30 (c 0.100, $CHCl_3$); **Mp**: 163 - 166 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.92 (s, 1H), 7.96 (d, *J* = 7.7 Hz, 2H), 7.57 – 7.53 (m, 1H), 7.40 – 7.33 (m, 4H), 7.19 – 7.14 (m, 1H), 6.02 – 5.94 (m, 1H), 5.75 (s, 1H), 5.18 (d, *J* = 17.2 Hz, 1H), 5.10 (d, *J* = 8.9 Hz, 1H), 4.71 (dd, *J* = 11.8, 5.4 Hz, 1H), 4.20 (s, 1H), 3.59 (s, 3H), 2.23 (s, 3H), 1.38 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 169.9, 157.7, 153.1, 145.7, 138.4, 137.4, 132.4, 129.2, 128.8, 127.5, 124.8, 122.3, 119.7, 118.5, 117.2, 114.7, 81.8, 73.5, 67.9, 30.2, 28.1, 16.3. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{28}H_{30}N_4O_5Na$ 525.2108; Found 525.2108. Enantiomeric excess was determined to be 97% (determined by HPLC using chiral IA column, hexane/2-propanol = 80/20, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 8.7 min, t_{minor} = 6.4 min). For ***ent*-5ba** was prepared according to the general procedure A as yellowish solid (37 mg, 74% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). $[\alpha]_D^{25}$ = 38.00 (c 0.100, $CHCl_3$); **Mp**: 154 - 156 °C. Enantiomeric excess was determined to be -89% (determined by HPLC using chiral IA column, hexane/2-propanol = 80/20, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 8.9 min, t_{minor} = 6.6 min).



	RetTime	Height	Height%	Mark	Area	Area%
1	6.536	302142	55.967	M	4819081	50.448
2	8.814	237718	44.033	M	4733561	49.552

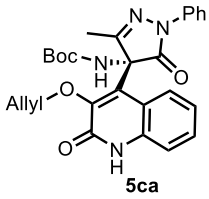


	RetTime	Height	Height%	Mark	Area	Area%
1	6.475	1039275	99.131	M	15504235	98.790
2	8.777	9112	0.869	M	189837	1.210

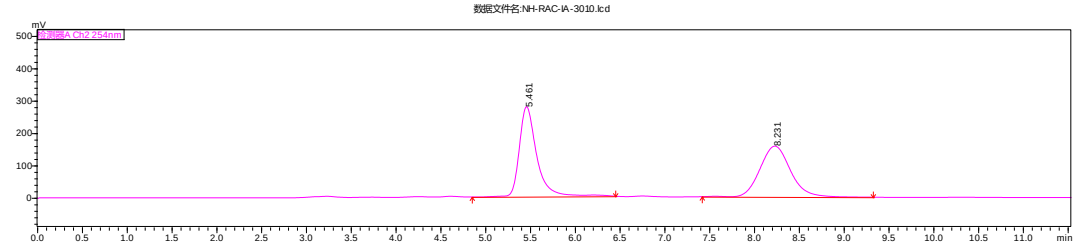


	RetTime	Height	Height%	Mark	Area	Area%
1	6.627	101794	7.127	M	1393169	5.281
2	8.921	1326473	92.873	M	24987039	94.719

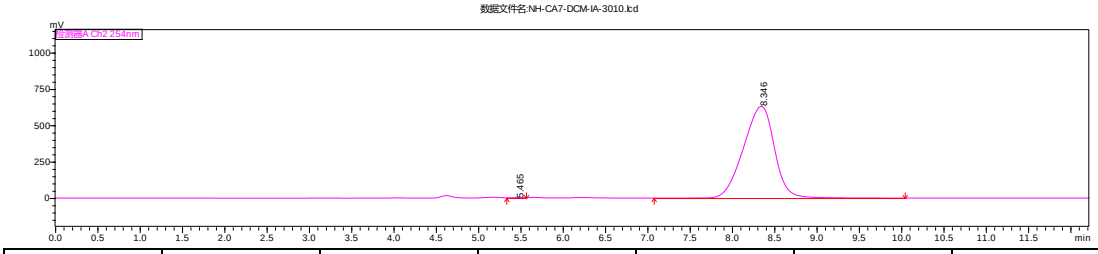
tert-butyl (R)-(4-(3-(allyloxy)-2-oxo-1,2-dihydroquinolin-4-yl)-3-methyl-5-oxo-1-phenyl-4,5-dihydro-1H-pyrazol-4-yl)carbamate (5ca)



Prepared according to the general procedure A as white solid (17 mg, 35% yield) after silica gel chromatography (petroleum ether/EtOAc = 1:1). $[\alpha]_D^{25}$ = -4.00 (c 0.100, $CHCl_3$); **Mp**: 198 - 201 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 12.41 (s, 1H), 8.74 (s, 1H), 7.97 (d, *J* = 7.7 Hz, 2H), 7.49 – 7.43 (m, 1H), 7.42 – 7.37 (m, 2H), 7.37 – 7.28 (m, 2H), 7.19 – 7.16 (m, 1H), 5.99 – 5.91 (m, 2H), 5.21 – 5.01 (m, 2H), 4.77 (dd, *J* = 11.3, 6.0 Hz, 1H), 4.39 – 4.17 (m, 1H), 2.22 (s, 3H), 1.39 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 170.3, 159.2, 153.4, 145.9, 138.4, 135.7, 132.5, 129.4, 129.1, 128.8, 124.8, 122.7, 119.9, 118.5, 117.3, 116.7, 73.6, 68.1, 28.1, 16.2. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{27}H_{28}N_4O_5Na$ 511.1952; Found 511.1956. Enantiomeric excess was determined to be 99% (determined by HPLC using chiral IA column, hexane/2-propanol = 70/30, λ = 254 nm, 25 ℃, 1 mL/min, t_{major} = 8.3 min, t_{minor} = 5.4 min).

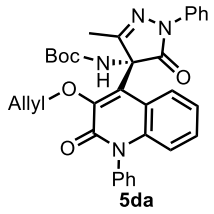


	RetTime	Height	Height%	Mark	Area	Area%
1	5.461	277849	63.911	M	3763637	50.306
2	8.231	156892	36.089	M	3717776	49.694



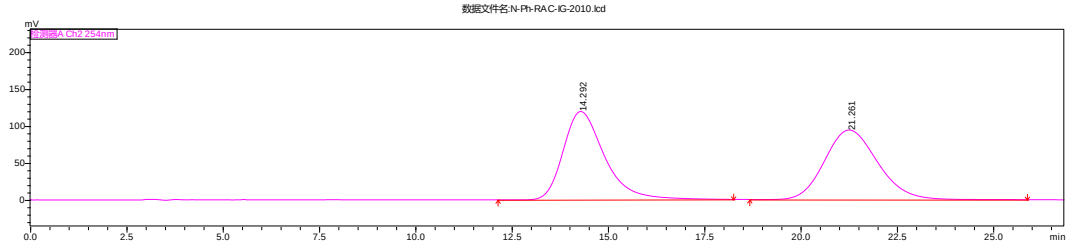
	RetTime	Height	Height%	Mark	Area	Area%
1	5.465	2828	0.446	M	31980	0.198
2	8.346	630682	99.554	M	16088344	99.802

tert-butyl (R)-(4-(3-(allyloxy)-2-oxo-1-phenyl-1,2-dihydroquinolin-4-yl)-3-methyl-5-oxo-1-phenyl-4,5-dihydro-1H-pyrazol-4-yl)carbamate (5da)

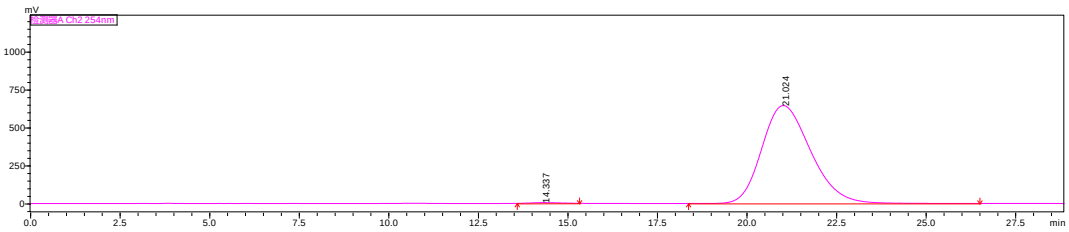


5da

Prepared according to the general procedure A as yellowish solid (47 mg, 85% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). $[\alpha]_D^{25}$ = -38.60 (c 0.100, $CHCl_3$); **Mp**: 157 - 159 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.88 (s, 1H), 8.01 (d, *J* = 8.9 Hz, 2H), 7.64 – 7.61 (m, 2H), 7.58 – 7.53 (m, 1H), 7.44 – 7.39 (m, 2H), 7.37 – 7.30 (m, 2H), 7.27 – 7.17 (m, 3H), 6.72 (d, *J* = 9.8 Hz, 1H), 6.03 – 5.90 (m, 1H), 5.47 (s, 1H), 5.14 (d, *J* = 16.4 Hz, 1H), 5.08 (d, *J* = 10.5 Hz, 1H), 4.79 (dd, *J* = 11.1, 6.1 Hz, 1H), 4.28 (s, 1H), 2.28 (s, 3H), 1.42 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 170.1, 157.7, 153.1, 146.1, 138.7, 138.4, 137.2, 132.5, 130.4, 130.3, 129.3, 128.8, 128.7, 128.6, 128.4, 128.1, 127.8, 124.8, 122.4, 119.8, 118.6, 117.2, 116.8, 82.2, 73.5, 68.1, 28.1, 16.3. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{33}H_{32}N_4O_5Na$ 587.2265; Found 587.2269. Enantiomeric excess was determined to be 99% (determined by HPLC using chiral IG column, hexane/2-propanol = 80/20, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 21.0 min, t_{minor} = 14.3 min).

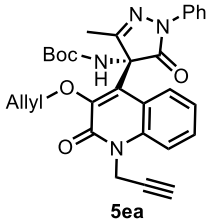


	RetTime	Height	Height%	Mark	Area	Area%
1	14.292	119378	55.922	M	8887451	49.692
2	21.261	94093	44.078	M	8997520	50.308



	RetTime	Height	Height%	Mark	Area	Area%
1	14.337	4644	0.715	M	260008	0.423
2	21.024	644794	99.285	M	61179869	99.577

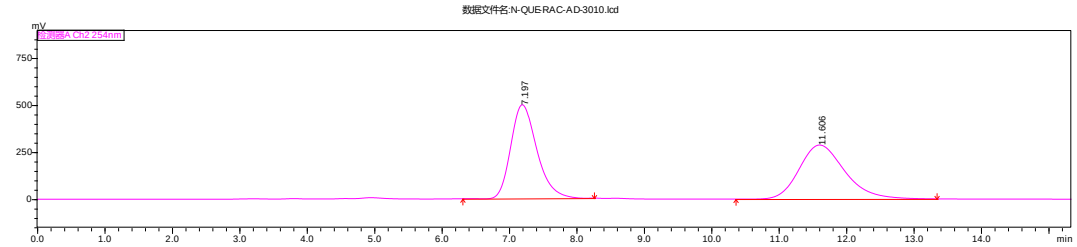
tert-butyl (R)-(4-(3-(allyloxy)-2-oxo-1-(prop-2-yn-1-yl)-1,2-dihydroquinolin-4-yl)-3-methyl-5-oxo-1-phenyl-4,5-dihydro-1H-pyrazol-4-yl)carbamate (5ea)



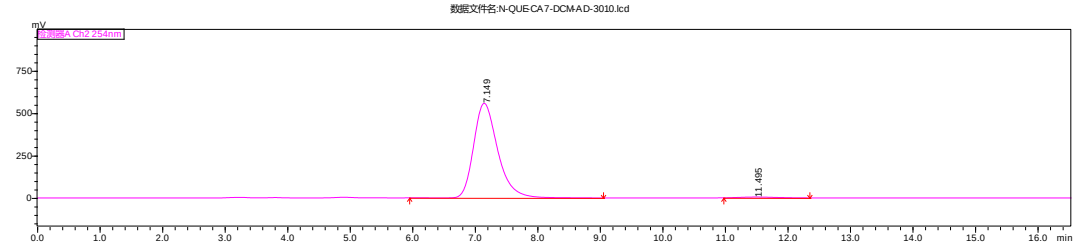
5ea

Prepared according to the general procedure A as yellowish solid (44 mg, 84% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). $[\alpha]_D^{25}$ = -10.60 (c 0.100, $CHCl_3$); **Mp**: 176 - 178 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.90 (s, 1H), 7.96 (d, *J* = 10.0 Hz, 2H), 7.62 – 7.54 (m, 2H), 7.43 – 7.36 (m, 3H), 7.20 – 7.14 (m, 1H), 6.10 – 5.83 (m, 1H), 5.62 (s, 1H), 5.16 (d, *J* = 17.1 Hz, 1H), 5.09 (d, *J* = 11.1 Hz, 2H), 5.01 (d, *J* = 17.5 Hz, 1H), 4.74 (dd, *J* = 11.2, 5.8 Hz, 1H), 4.24 (t, *J* = 9.8 Hz, 1H), 2.28 (t, *J* = 2.5 Hz, 1H), 2.22 (s, 3H), 1.37 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 169.9, 157.0, 153.0, 145.5, 138.3, 136.0, 132.3, 129.3, 128.8, 128.0, 124.8, 122.7, 119.9, 118.5, 117.5, 115.2, 82.0, 77.2, 73.6, 73.0, 67.9, 32.6, 28.1, 16.2. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{30}H_{30}N_4O_5Na$ 549.2108; Found 549.2106. Enantiomeric excess

was determined to be 99% (determined by HPLC using chiral AD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 ℃, 1 mL/min, t_{major} = 11.4 min, t_{minor} = 7.1 min).

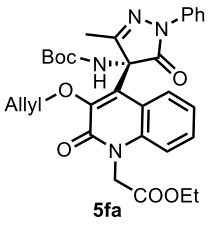


	RetTime	Height	Height%	Mark	Area	Area%
1	7.197	498114	63.451	M	13352567	49.759
2	11.606	286920	36.549	M	13481693	50.241



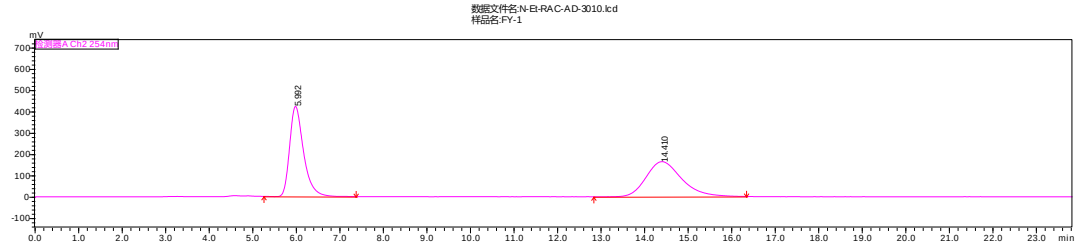
	RetTime	Height	Height%	Mark	Area	Area%
1	7.149	558207	99.133	M	14944057	98.733
2	11.495	4884	0.867	M	191822	1.267

ethyl (R)-2-(3-(allyloxy)-4-(4-((tert-butoxycarbonyl)amino)-3-methyl-5-oxo-1-phenyl-4,5-dihydro-1H-pyrazol-4-yl)-2-oxoquinolin-1(2H)-yl)acetate (5fa)

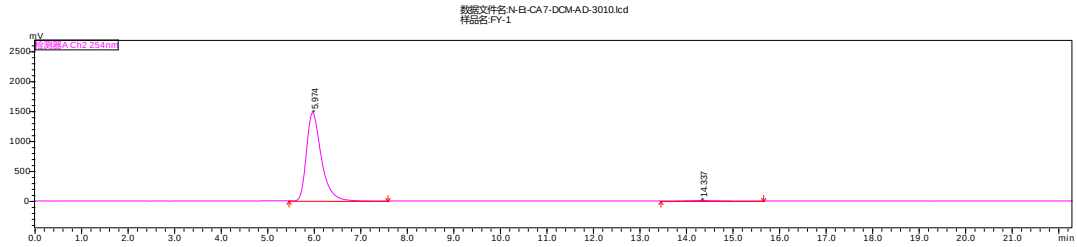


5fa

Prepared according to the general procedure A as yellowish solid (46 mg, 81% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). $[\alpha]_D^{25}$ = -25.30 (c 0.100, $CHCl_3$); **Mp**: 144 - 146 ℃. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.90 (s, 1H), 7.97 (d, *J* = 6.9 Hz, 2H), 7.55 – 7.50 (m, 1H), 7.41 – 7.36 (m, 3H), 7.20 – 7.16 (m, 1H), 7.13 (d, *J* = 8.6 Hz, 1H), 5.98 – 5.90 (m, 1H), 5.50 (s, 1H), 5.15 (d, *J* = 17.1 Hz, 1H), 5.12 – 5.03 (m, 3H), 4.72 (dd, *J* = 11.2, 6.0 Hz, 1H), 4.33 – 4.21 (m, 3H), 2.23 (s, 3H), 1.39 (s, 9H), 1.29 (d, *J* = 7.1 Hz, 3H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 169.8, 167.4, 157.6, 153.0, 145.5, 138.4, 136.8, 132.3, 129.4, 128.8, 128.1, 124.8, 122.6, 119.9, 118.6, 117.4, 114.2, 82.0, 73.6, 67.9, 62.0, 44.7, 28.1, 16.2, 14.1. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{31}H_{34}N_4O_7Na$ 597.2320; Found 597.2321. Enantiomeric excess was determined to be 97% (determined by HPLC using chiral AD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 ℃, 1 mL/min, t_{major} = 14.3 min, t_{minor} = 5.9 min).

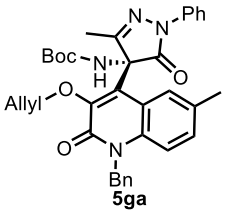


	RetTime	Height	Height%	Mark	Area	Area%
1	5.992	422408	72.041	M	9373704	50.190
2	14.410	163933	27.959	M	9302593	49.810



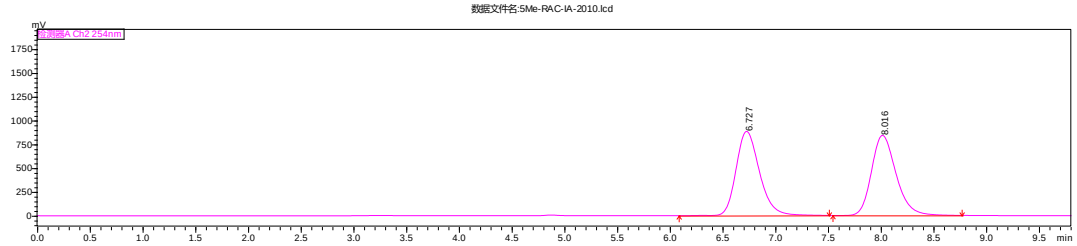
	RetTime	Height	Height%	Mark	Area	Area%
1	5.974	1472343	99.509	M	32651161	98.831
2	14.337	7272	0.491	M	386042	1.169

tert-butyl (R)-(4-(3-(allyloxy)-1-benzyl-6-methyl-2-oxo-1,2-dihydroquinolin-4-yl)-3-methyl-5-oxo-1-phenyl-4,5-dihydro-1H-pyrazol-4-yl)carbamate (5ga)

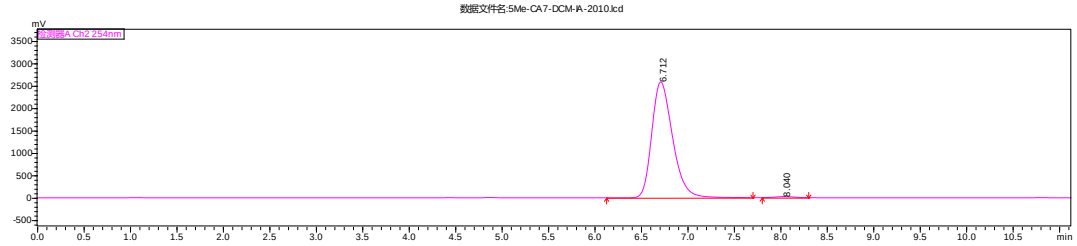


5ga

Prepared according to the general procedure A as white solid (54 mg, 92% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). $[\alpha]_D^{25}$ = -60.80 (c 0.100, $CHCl_3$); **Mp**: 142 - 145 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.64 (s, 1H), 8.00 (d, *J* = 8.8 Hz, 2H), 7.44 – 7.39 (m, 2H), 7.33 – 7.21 (m, 5H), 7.20 – 7.16 (m, 3H), 6.02 – 5.94 (m, 1H), 5.52 (d, *J* = 41.5 Hz, 3H), 5.19 (d, *J* = 17.1 Hz, 1H), 5.11 (d, *J* = 10.3 Hz, 1H), 4.79 (dd, *J* = 11.2, 6.0 Hz, 1H), 4.36 (t, *J* = 9.8 Hz, 1H), 2.46 (s, 3H), 2.26 (s, 3H), 1.42 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 170.0, 157.8, 152.9, 145.7, 138.4, 135.6, 134.9, 132.4, 131.8, 130.4, 128.9, 128.8, 128.3, 127.7, 127.4, 126.5, 124.9, 120.0, 118.7, 117.3, 115.6, 82.0, 73.6, 67.9, 46.9, 28.2, 21.3, 16.3. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{35}H_{36}N_4O_5Na$ 615.2578; Found 615.2571. Enantiomeric excess was determined to be 98% (determined by HPLC using chiral IA column, hexane/2-propanol = 80/20, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 8.0 min, t_{minor} = 6.7 min).



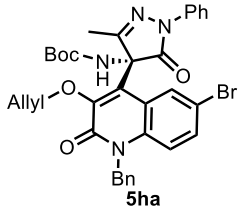
	RetTime	Height	Height%	Mark	Area	Area%
1	6.727	885114	51.240	M	13651905	50.046
2	8.016	842285	48.760	M	13626630	49.954



	RetTime	Height	Height%	Mark	Area	Area%
1	6.712	2587478	99.101	M	40254843	99.171
2	8.040	23484	0.899	M	336387	0.829

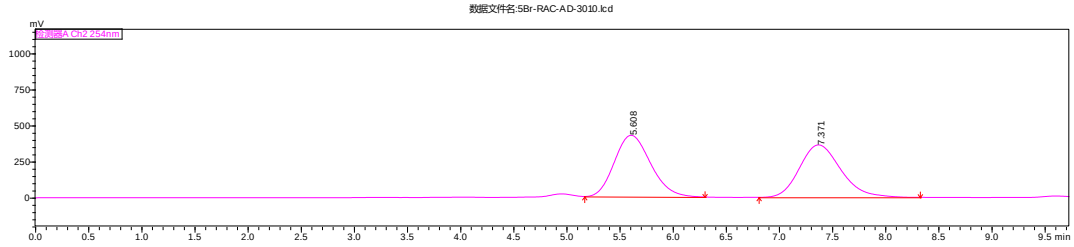
tert-butyl (R)-(4-(3-(allyloxy)-1-benzyl-6-bromo-2-oxo-1,2-dihydroquinolin-4-yl)-3-methyl-5

-oxo-1-phenyl-4,5-dihydro-1H-pyrazol-4-yl)carbamate (5ha)

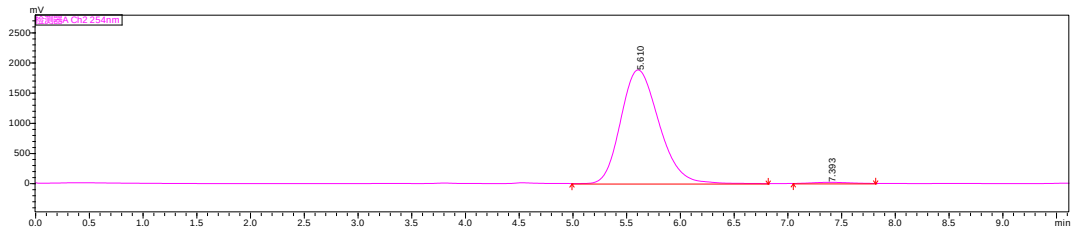


5ha

Prepared according to the general procedure A as white solid (36 mg, 55% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). [α] $^{25}_{D}$ = -90.30 (c 0.100, $CHCl_3$); **Mp**: 173 - 175 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 9.01 (s, 1H), 7.99 (s, 2H), 7.48 – 7.46 (m, 1H), 7.43 – 7.39 (m, 2H), 7.34 – 7.30 (m, 2H), 7.27 (s, 1H), 7.22 – 7.14 (m, 4H), 5.99 – 5.91 (m, 1H), 5.51 (d, J = 49.3 Hz, 3H), 5.17 (d, J = 17.3 Hz, 1H), 5.10 (d, J = 10.2 Hz, 1H), 4.81 (dd, J = 11.2, 6.0 Hz, 1H), 4.45 – 4.33 (m, 1H), 2.26 (s, 3H), 1.42 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 169.8, 157.6, 152.9, 146.3, 138.2, 135.7, 135.1, 132.2, 131.8, 130.8, 129.0, 128.8, 127.7, 126.9, 126.4, 125.0, 120.4, 119.2, 118.7, 117.1, 115.4, 82.2, 73.7, 67.6, 47.0, 28.2, 16.3. **HRMS (ESI-TOF) m/z**: [M + Na]$^+$ Calcd for $C_{34}H_{33}BrN_4O_5Na$ 679.1527; Found 679.1525. Enantiomeric excess was determined to be 98% (determined by HPLC using chiral AD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 8.0 min, t_{minor} = 6.7 min).

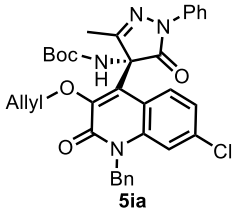


	RetTime	Height	Height%	Mark	Area	Area%
1	5.608	425632	53.939	M	10084753	50.604
2	7.371	363469	46.061	M	9844025	49.396



	RetTime	Height	Height%	Mark	Area	Area%
1	5.610	1883777	98.992	M	46446797	99.054
2	7.393	19183	1.008	M	443350	0.946

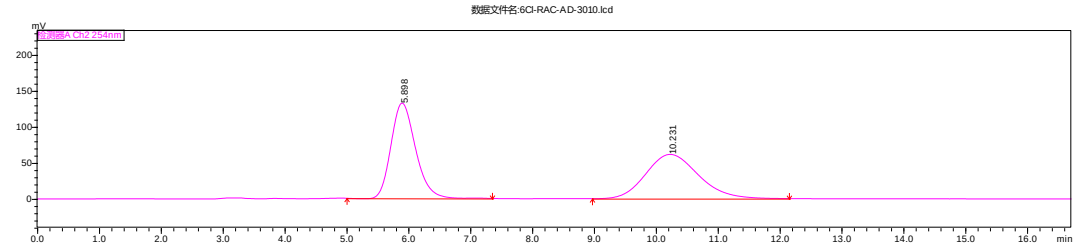
tert-butyl (R)-(4-(3-(allyloxy)-1-benzyl-7-chloro-2-oxo-1,2-dihydroquinolin-4-yl)-3-methyl-5-oxo-1-phenyl-4,5-dihydro-1H-pyrazol-4-yl)carbamate (5ia)



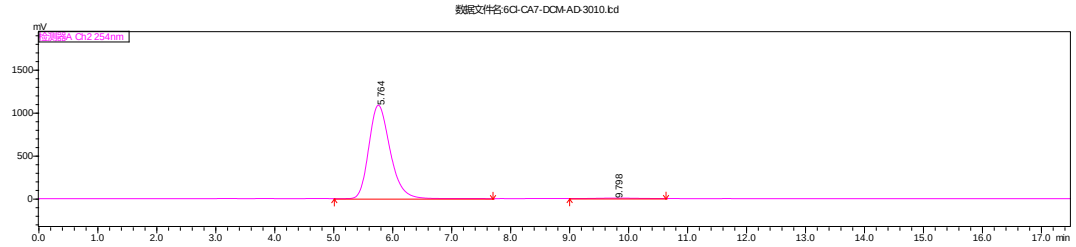
5ia

Prepared according to the general procedure A as white solid (47 mg, 78% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). [α] $^{25}_{D}$ = -18.30 (c 0.100, $CHCl_3$); **Mp**: 167- 169 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.89 (s, 1H), 7.97 (d, J = 8.9 Hz, 2H), 7.43 – 7.38 (m, 2H), 7.35 – 7.27 (m, 5H), 7.21 – 7.17 (m, 3H), 6.40 – 5.23 (m, 4H), 5.21 (d, J = 17.3 Hz, 1H), 5.13 (d, J = 10.4 Hz, 1H), 4.76 (dd, J = 10.5, 6.5 Hz, 1H), 4.50 – 4.15 (m, 1H), 2.24 (s, 3H), 1.33 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 169.7, 157.9, 153.1, 145.5, 138.3, 137.9, 135.4, 134.9, 132.2, 130.1, 129.0, 128.8, 127.8, 127.7, 126.6, 124.9, 122.7, 120.3, 118.5, 116.0, 115.3, 82.4, 73.7, 67.9, 47.0, 28.1, 16.2. **HRMS (ESI-TOF) m/z**: [M + Na]$^+$ Calcd for $C_{34}H_{33}ClN_4O_5Na$ 635.2032; Found 635.2034. Enantiomeric excess was determined to be 98%

(determined by HPLC using chiral AD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 ℃, 1 mL/min, t_{major} = 9.7 min, t_{minor} = 5.7 min).

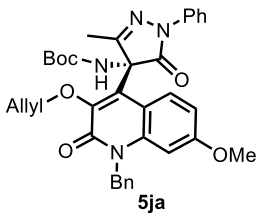


	RetTime	Height	Height%	Mark	Area	Area%
1	5.898	132284	68.273	M	3629033	49.932
2	10.231	61473	31.727	M	3638881	50.068



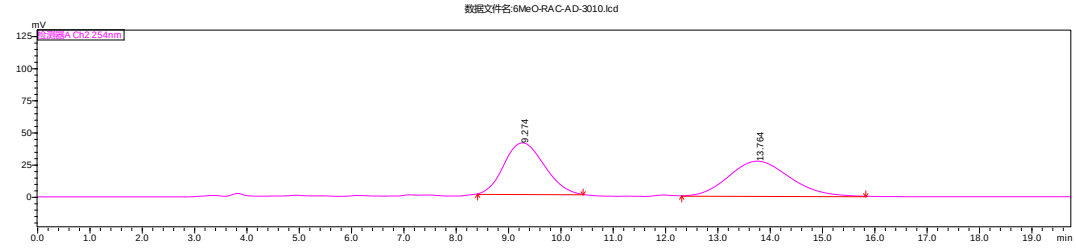
	RetTime	Height	Height%	Mark	Area	Area%
1	5.764	1086891	99.481	M	27142040	99.054
2	9.798	5671	0.519	M	259311	0.946

tert-butyl (R)-(4-(3-(allyloxy)-1-benzyl-7-methoxy-2-oxo-1,2-dihydroquinolin-4-yl)-3-methyl-5-oxo-1-phenyl-4,5-dihydro-1H-pyrazol-4-yl)carbamate (5ja)



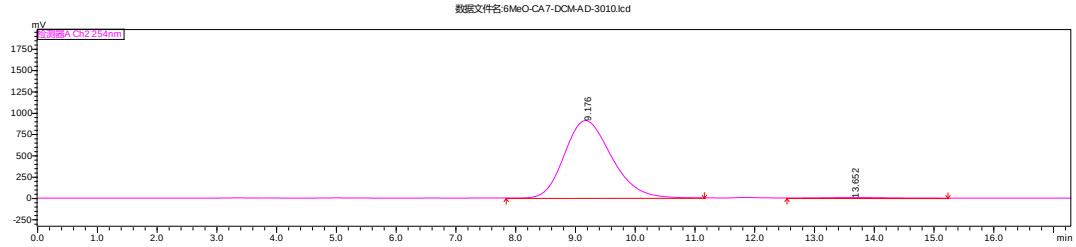
5ja

Prepared according to the general procedure A as white solid (45 mg, 74% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). [α] $^{25}_{D}$ = -31.60 (c 0.100, $CHCl_3$); **Mp**: 112 - 114 ℃. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.88 (s, 1H), 7.99 (d, *J* = 10.0 Hz, 2H), 7.41 – 7.38 (m, 2H), 7.32 – 7.30 (m, 2H), 7.27 – 7.24 (m, 1H), 7.23 – 7.16 (m, 3H), 6.95 (d, *J* = 9.0 Hz, 1H), 6.76 (d, *J* = 2.5 Hz, 1H), 6.13 – 5.26 (m, 4H), 5.24 (d, *J* = 17.2 Hz, 1H), 5.14 (d, *J* = 10.2 Hz, 1H), 4.76 – 4.67 (m, 1H), 4.25 (s, 1H), 3.77 (s, 3H), 2.24 (s, 3H), 1.34 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 169.8, 160.2, 158.4, 153.1, 143.4, 138.8, 138.4, 135.6, 132.5, 130.4, 128.9, 128.8, 128.4, 127.5, 126.6, 124.8, 119.9, 118.5, 110.7, 109.5, 100.6, 82.0, 73.6, 68.1, 55.4, 47.1, 28.1, 16.3. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{35}H_{36}N_4O_6Na$ 631.2527; Found 631.2530. Enantiomeric excess was determined to be 98% (determined by HPLC using chiral AD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 ℃, 1 mL/min, t_{major} = 13.6 min, t_{minor} = 9.1 min).



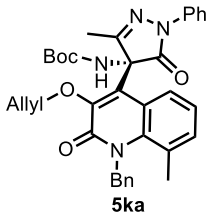
	RetTime	Height	Height%	Mark	Area	Area%
1	9.274	39892	59.579	M	2131624	49.478

2	13.764	27064	40.421	M	2176607	50.522



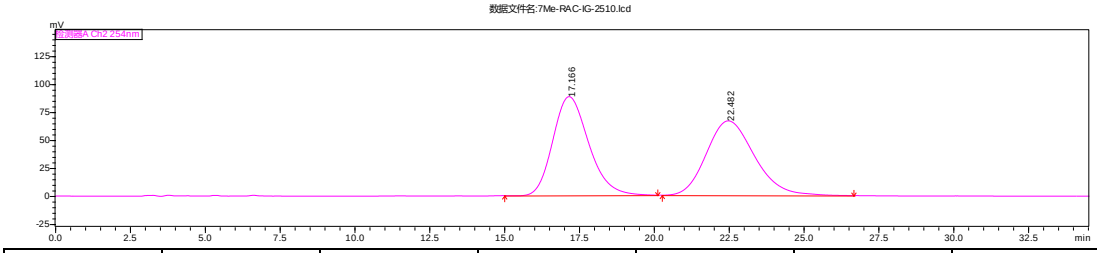
	RetTime	Height	Height%	Mark	Area	Area%
1	9.176	907376	99.388	M	49548565	99.200
2	13.652	5590	0.612		399416	0.800

tert-butyl (R)-(4-(3-(allyloxy)-1-benzyl-8-methyl-2-oxo-1,2-dihydroquinolin-4-yl)-3-methyl-5-oxo-1-phenyl-4,5-dihydro-1H-pyrazol-4-yl)carbamate (5ka)

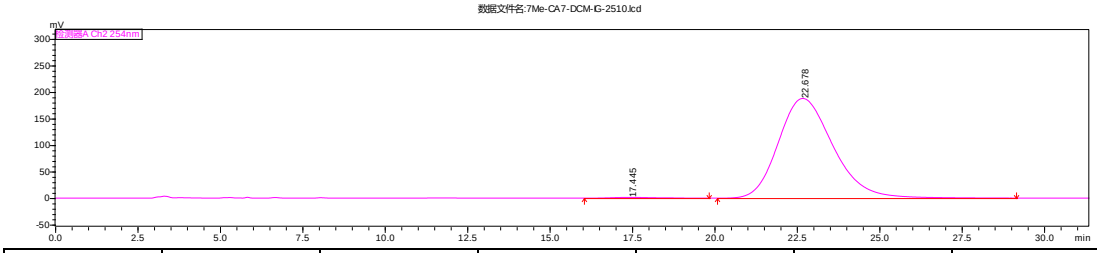


5ka

Prepared according to the general procedure A as white solid (54 mg, 89% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). $[\alpha]_D^{25}$ = -17.30 (c 0.100, $CHCl_3$); **Mp**: 162 - 165 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.69 (s, 1H), 7.99 (d, *J* = 7.6 Hz, 2H), 7.43 – 7.38 (m, 2H), 7.33 – 7.29 (m, 3H), 7.27 – 7.22 (m, 2H), 7.20 – 7.17 (m, 1H), 7.07 (d, *J* = 7.1 Hz, 2H), 5.94 – 5.86 (m, 1H), 5.54 (s, 2H), 5.40 (s, 1H), 5.11 (d, *J* = 17.1 Hz, 1H), 5.04 (d, *J* = 10.8 Hz, 1H), 4.73 (dd, *J* = 11.3, 6.0 Hz, 1H), 4.37 (s, 1H), 2.51 (s, 3H), 2.24 (s, 3H), 1.40 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 170.1, 159.8, 153.0, 145.5, 138.5, 138.4, 137.5, 134.0, 132.5, 128.8, 128.7, 127.3, 126.9, 126.2, 125.7, 125.6, 124.8, 122.5, 119.9, 119.5, 118.6, 81.9, 73.6, 67.9, 52.1, 28.1, 24.2, 16.2. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{35}H_{36}N_4O_5Na$ 615.2578; Found 615.2577. Enantiomeric excess was determined to be 98% (determined by HPLC using chiral IG column, hexane/2-propanol = 85/15, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 22.6 min, t_{minor} = 17.4 min).

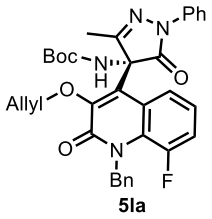


	RetTime	Height	Height%	Mark	Area	Area%
1	17.166	88308	57.017	M	7352481	49.737
2	22.482	66573	42.983	M	7430156	50.263



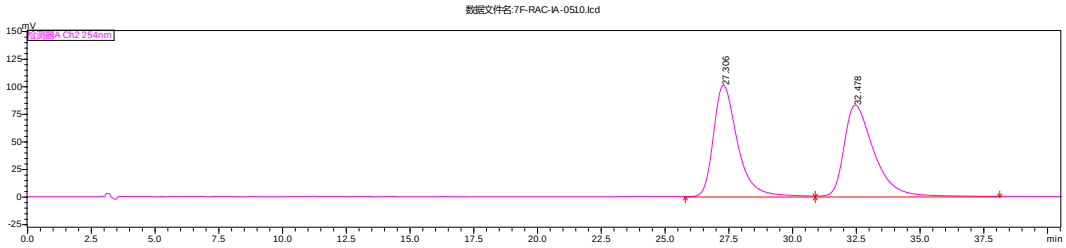
	RetTime	Height	Height%	Mark	Area	Area%
1	17.445	1533	0.809	V	149192	0.683
2	22.678	187932	99.191	SV	21708169	99.317

tert-butyl (R)-(4-(3-(allyloxy)-1-benzyl-8-fluoro-2-oxo-1,2-dihydroquinolin-4-yl)-3-methyl-5-oxo-1-phenyl-4,5-dihydro-1H-pyrazol-4-yl)carbamate (5la)

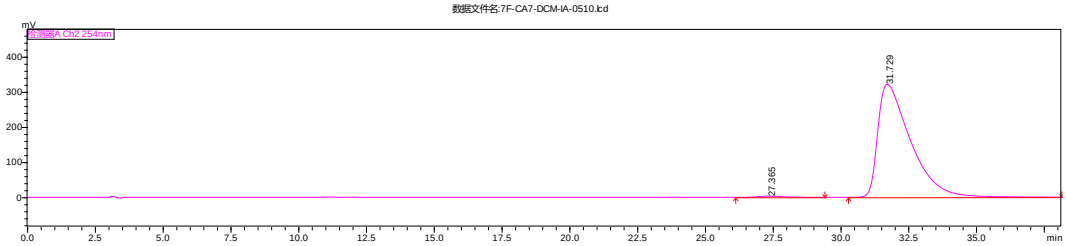


5la

Prepared according to the general procedure A as white solid (47 mg, 79% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). $[\alpha]_D^{25}$ = -98.60 (c 0.100, $CHCl_3$); **Mp**: 152 - 155 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.70 (s, 1H), 7.98 (d, *J* = 7.6 Hz, 2H), 7.44 – 7.39 (m, 2H), 7.31 – 7.24 (m, 4H), 7.22 – 7.15 (m, 4H), 6.02 – 5.89 (m, 1H), 5.69 (s, 3H), 5.16 (d, *J* = 17.1 Hz, 1H), 5.09 (d, *J* = 10.3 Hz, 1H), 4.77 (dd, *J* = 11.2, 6.1 Hz, 1H), 4.42 – 4.28 (m, 1H), 2.24 (s, 3H), 1.36 (s, 9H). **^{19}F NMR (377 MHz, $CDCl_3$)** δ -120.05. **^{13}C NMR (126 MHz, $CDCl_3$)** δ 169.7, 158.3, 153.0, 150.4(d, $J_{C\text{-}F}$ = 246.9 Hz), 146.2, 138.3, 137.1, 132.2, 128.8, 128.5, 127.3, 127.1, 126.4, 126.4, 126.1, 124.9, 124.4, 122.6(d, $J_{C\text{-}F}$ = 8.8Hz), 120.3, 118.6, 116.8(d, $J_{C\text{-}F}$ = 25.2Hz), 82.2, 73.8, 67.8, 50.2, 28.1, 16.2. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{34}H_{33}FN_4O_5Na$ 619.2327; Found 619.2320. Enantiomeric excess was determined to be 98% (determined by HPLC using chiral IA column, hexane/2-propanol = 95/5, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 31.7 min, t_{minor} = 27.3 min).

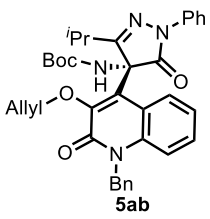


	RetTime	Height	Height%	Mark	Area	Area%
1	27.306	100873	54.899		6614417	49.649
2	32.478	82871	45.101	SV	6708033	50.351



	RetTime	Height	Height%	Mark	Area	Area%
1	27.365	3500	1.075	SV	229949	0.844
2	31.729	322047	98.925	S	27006209	99.156

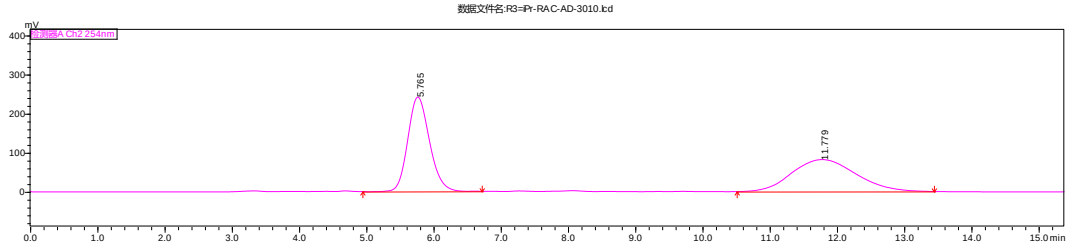
tert-butyl (R)-(4-(3-(allyloxy)-1-benzyl-2-oxo-1,2-dihydroquinolin-4-yl)-3-isopropyl-5-oxo-1-phenyl-4,5-dihydro-1H-pyrazol-4-yl)carbamate (5ab)



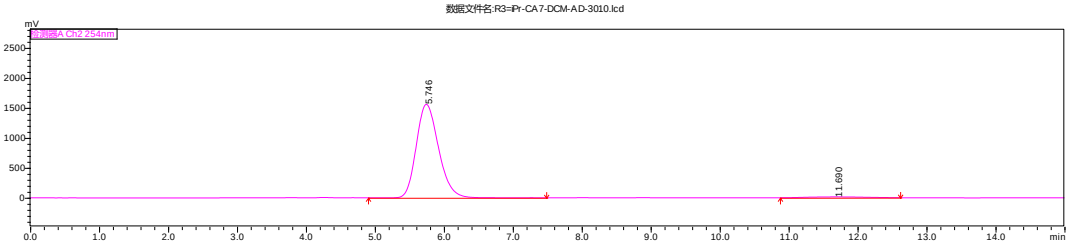
5ab

Prepared according to the general procedure A as white solid (46 mg, 77% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). $[\alpha]_D^{25}$ = -118.30 (c 0.100, $CHCl_3$); **Mp**: 141 - 143 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.85 (s, 1H), 8.04 (d, *J* = 8.2 Hz, 2H), 7.43 – 7.39 (m, 3H), 7.33 – 7.31 (m, 4H), 7.25 (d, *J* = 7.3 Hz, 1H), 7.19 (d, *J* = 7.0 Hz, 3H), 5.91 (d, *J* = 6.6 Hz, 1H), 5.78 – 5.31 (m, 3H), 5.16 – 4.90 (m, 2H), 4.81 – 4.62 (m, 1H), 4.58 – 4.23 (m, 1H), 2.85 (p, *J* = 6.8 Hz, 1H), 1.42 (s, 3H), 1.39 (d, *J* = 15.7 Hz, 9H), 1.28 (d, *J* = 4.8 Hz, 3H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 170.6, 157.9, 153.1, 146.0, 138.6, 136.8, 135.5, 132.7, 129.0,

128.9, 128.8, 128.0, 127.8, 127.5, 126.5, 124.6, 122.4, 120.1, 118.5, 117.9, 115.8, 82.0, 73.5, 68.3, 46.9, 29.8, 28.1, 21.4, 20.8. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{36}H_{38}N_4O_5Na$ 629.2734; Found 629.2737. Enantiomeric excess was determined to be 95% (determined by HPLC using chiral AD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 11.6 min, t_{minor} = 5.7 min).

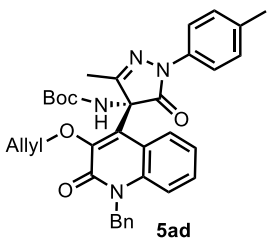


	RetTime	Height	Height%	Mark	Area	Area%
1	5.765	241559	74.688	M	5417119	49.923
2	11.779	81867	25.312	M	5433760	50.077

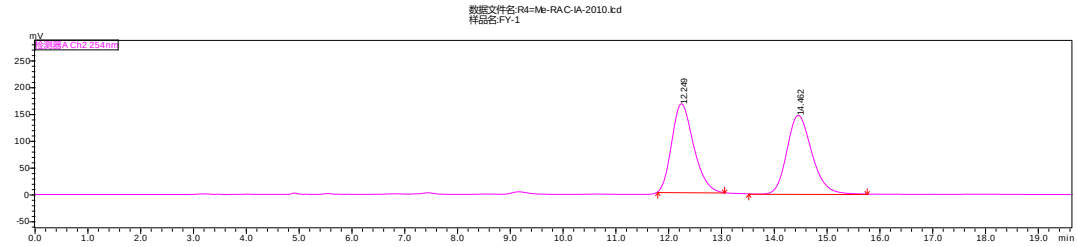


	RetTime	Height	Height%	Mark	Area	Area%
1	5.746	1557730	99.087	M	34977507	97.707
2	11.690	14359	0.913	M	821008	2.293

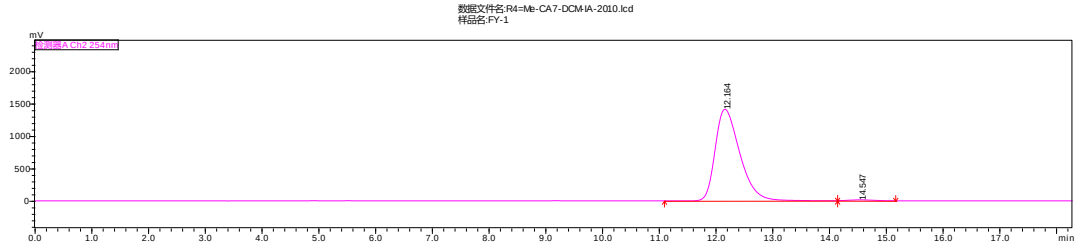
tert-butyl (R)-(4-(3-(allyloxy)-1-benzyl-2-oxo-1,2-dihydroquinolin-4-yl)-3-methyl-5-oxo-1-(p-tolyl)-4,5-dihydro-1H-pyrazol-4-yl)carbamate (5ad)



Prepared according to the general procedure A as white solid (56 mg, 96% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). $[\alpha]_D^{25}$ = -41.30 (c 0.100, $CHCl_3$); **Mp**: 155 - 157 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.94 (s, 1H), 7.87 (d, *J* = 8.6 Hz, 2H), 7.42 – 7.39 (m, 1H), 7.35 – 7.29 (m, 4H), 7.27 – 7.24 (m, 1H), 7.22 – 7.17 (m, 4H), 6.04 – 5.96 (m, 1H), 5.85 – 5.30 (m, 3H), 5.23 (d, *J* = 15.8 Hz, 1H), 5.14 (d, *J* = 11.7 Hz, 1H), 4.77 (dd, *J* = 11.1, 6.0 Hz, 1H), 4.33 (s, 1H), 2.36 (s, 3H), 2.25 (s, 3H), 1.37 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 169.6, 158.0, 153.0, 145.6, 137.0, 136.0, 135.5, 134.4, 132.4, 129.3, 129.2, 128.9, 128.1, 127.5, 126.5, 122.3, 120.1, 118.6, 117.4, 115.6, 82.2, 73.7, 68.0, 46.9, 28.1, 20.9, 16.2. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{35}H_{36}N_4O_5Na$ 615.2578; Found 615.2576. Enantiomeric excess was determined to be 98% (determined by HPLC using chiral IA column, hexane/2-propanol = 80/20, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 14.5 min, t_{minor} = 12.1 min).

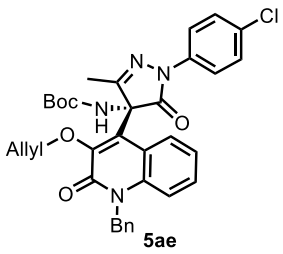


	RetTime	Height	Height%	Mark	Area	Area%
1	12.249	164772	52.909	M	4748282	49.852
2	14.462	146656	47.091	M	4776497	50.148



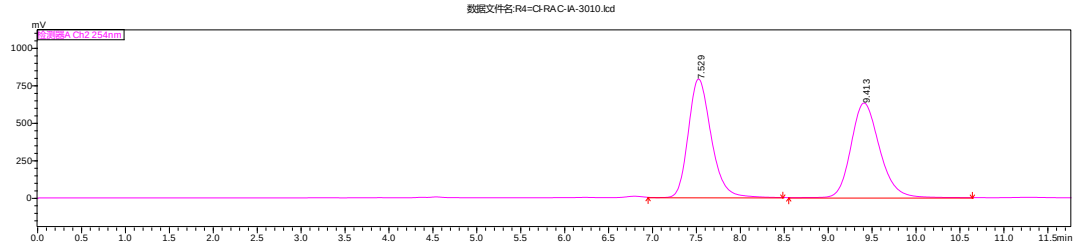
	RetTime	Height	Height%	Mark	Area	Area%
1	12.164	1412415	99.093	M	42454936	99.117
2	14.547	12926	0.907	M	378104	0.883

tert-butyl (R)-(4-(3-(allyloxy)-1-benzyl-2-oxo-1,2-dihydroquinolin-4-yl)-1-(4-chlorophenyl)-3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-4-yl)carbamate (5ae)

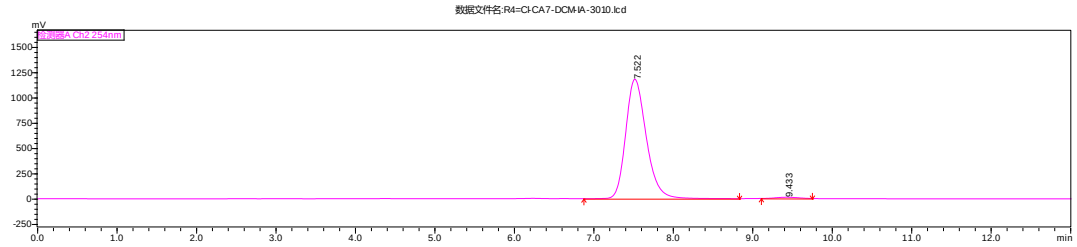


5ae

Prepared according to the general procedure A as white solid (57 mg, 94% yield) after silica gel chromatography (petroleum ether/EtOAc = 5:1). $[\alpha]_D^{25}$ = -13.30 (c 0.100, $CHCl_3$); **Mp**: 206 - 209 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.87 (s, 1H), 7.97 (d, *J* = 9.0 Hz, 2H), 7.44 – 7.40 (m, 1H), 7.38 – 7.29 (m, 6H), 7.27 – 7.24 (m, 1H), 7.19 (d, *J* = 7.0 Hz, 2H), 5.99 – 5.91 (m, 1H), 5.84 – 5.30 (m, 3H), 5.19 (d, *J* = 17.2 Hz, 1H), 5.11 (d, *J* = 11.7 Hz, 1H), 4.79 (dd, *J* = 11.2, 5.9 Hz, 1H), 4.33 (t, *J* = 8.6 Hz, 1H), 2.25 (s, 3H), 1.38 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 170.0, 157.9, 153.0, 145.7, 137.0, 137.0, 135.5, 132.3, 129.8, 129.3, 128.9, 128.9, 128.5, 127.6, 127.5, 126.5, 122.4, 120.1, 119.6, 117.3, 115.7, 82.3, 73.6, 67.9, 46.9, 28.1, 16.2. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{34}H_{33}ClN_4O_5Na$ 635.2032; Found 635.2030. Enantiomeric excess was determined to be 97% (determined by HPLC using chiral IA column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 9.4 min, t_{minor} = 7.5 min).

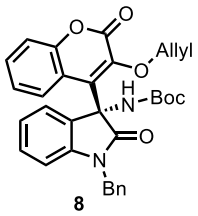


	RetTime	Height	Height%	Mark	Area	Area%
1	7.529	789054	55.598	M	14240373	50.078
2	9.413	630160	44.402	M	14195754	49.922



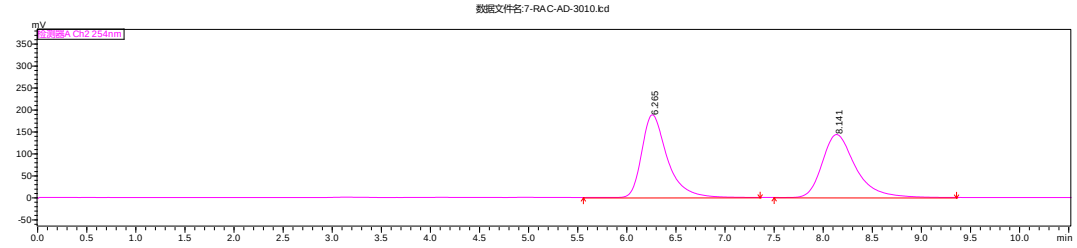
	RetTime	Height	Height%	Mark	Area	Area%
1	7.522	1181009	98.947	M	21258006	98.884
2	9.433	12563	1.053	M	239966	1.116

tert-butyl (R)-(3-(3-(allyloxy)-2-oxo-2H-chromen-4-yl)-1-benzyl-2-oxoindolin-3-yl)carbamate (8)

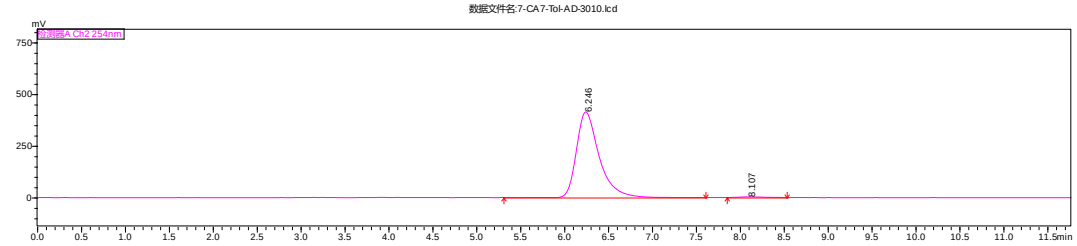


8

Prepared according to the general procedure A as white solid (42 mg, 78% yield) after silica gel chromatography (petroleum ether/EtOAc = 3:1). $[\alpha]_D^{25}$ = -279.60 (c 0.100, $CHCl_3$); **Mp**: 125 - 127 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.80 (s, 1H), 7.53 – 7.48 (m, 1H), 7.46 – 7.33 (m, 7H), 7.30 (d, *J* = 7.3 Hz, 1H), 7.24 – 7.19 (m, 1H), 6.94 – 6.91 (m, 1H), 6.73 (d, *J* = 7.9 Hz, 1H), 6.06 – 5.73 (m, 1H), 5.49 (s, 1H), 5.24 (d, *J* = 17.1 Hz, 2H), 5.17 (d, *J* = 11.9 Hz, 1H), 4.68 (dd, *J* = 14.4, 6.0 Hz, 2H), 4.46 (dd, *J* = 11.9, 6.9 Hz, 1H), 1.29 (s, 9H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 174.3, 157.3, 153.9, 150.7, 143.5, 139.7, 135.5, 135.1, 133.0, 130.2, 129.6, 128.8, 127.6, 127.5, 127.3, 126.7, 124.6, 124.3, 122.8, 119.0, 118.6, 117.4, 109.8, 81.1, 72.6, 63.3, 44.7, 28.0. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{32}H_{30}N_2O_6Na$ 561.1996; Found 561.1988. Enantiomeric excess was determined to be 98% (determined by HPLC using chiral AD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 8.1 min, t_{minor} = 6.2 min).

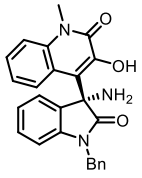


	RetTime	Height	Height%	Mark	Area	Area%
1	6.265	188221	56.782	M	3396087	50.147
2	8.141	143261	43.218	M	3376155	49.853



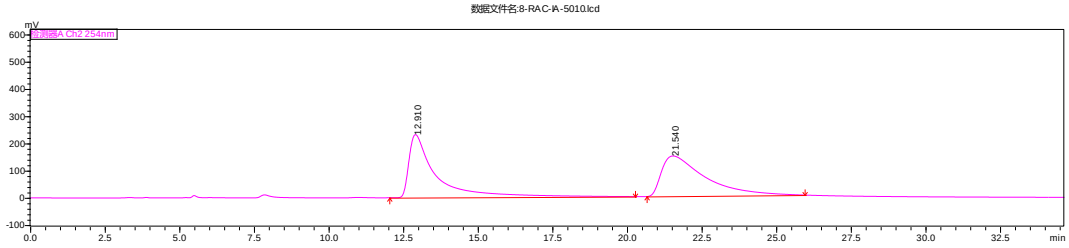
	RetTime	Height	Height%	Mark	Area	Area%
1	6.246	413942	99.188	M	7448597	99.108
2	8.107	3388	0.812	M	67057	0.892

(R)-4-(3-amino-1-benzyl-2-oxoindolin-3-yl)-3-hydroxy-1-methylquinolin-2(1H)-one (11)

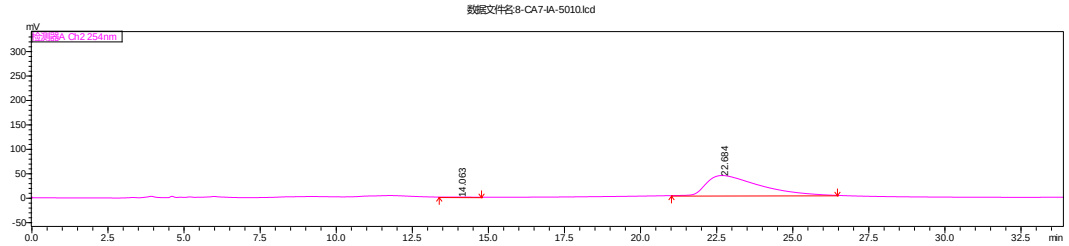


11

Prepared according to the general procedure B as grey solid (616 mg, 75% yield) after silica gel chromatography (petroleum ether/EtOAc = 1:1). $[\alpha]_D^{25}$ = -284.50 (c 0.100, $CHCl_3$); **Mp**: 176 - 178 °C. **^{1}H NMR (500 MHz, DMSO)** δ 8.12 (s, 2H), 7.57 (d, *J* = 7.3 Hz, 2H), 7.46 – 7.20 (m, 8H), 7.00 (s, 1H), 6.49 (d, *J* = 75.1 Hz, 2H), 5.19 – 4.91 (m, 2H), 3.65 (s, 3H). **^{13}C NMR (126 MHz, DMSO)** δ 176.8, 157.7, 151.6, 142.1, 136.4, 134.2, 131.5, 130.3, 129.2, 128.7, 128.2, 126.3, 124.5, 123.7, 122.4, 122.0, 119.1, 116.2, 115.2, 110.7, 63.7, 43.9, 30.3. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{25}H_{21}N_3O_3Na$ 434.1475; Found 434.1484. Enantiomeric excess was determined to be 99% (determined by HPLC using chiral IA column, hexane/2-propanol = 50/50, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 22.6 min, t_{minor} = 14.0 min).

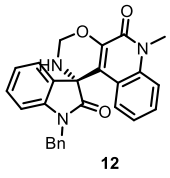


	RetTime	Height	Height%	Mark	Area	Area%
1	12.910	231541	60.985	M	14774009	49.566
2	21.540	148128	39.015	M	15032796	50.434



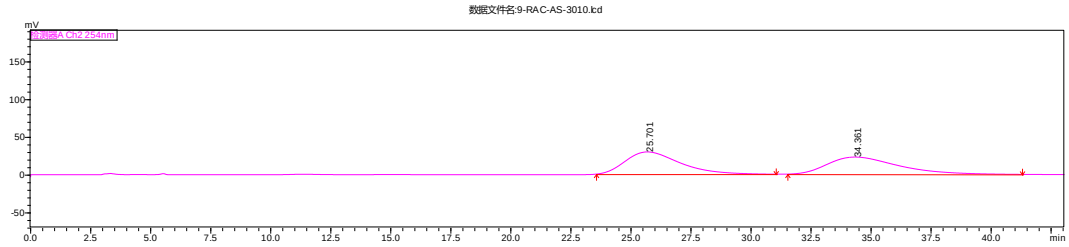
	RetTime	Height	Height%	Mark	Area	Area%
1	14.063	252	0.610	M	8061	0.164
2	22.684	41016	99.390	M	4913979	99.836

1-benzyl-6'-methyl-2',3'-dihydrospiro[indoline-3,1'-[1,3]oxazino[6,5-c]quinoline]-2,5'(6'H)-dione (12)

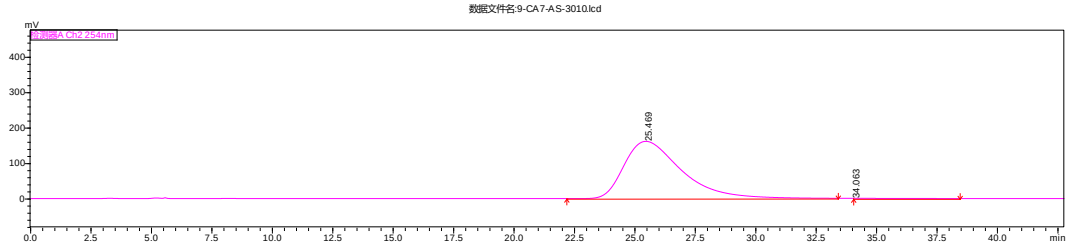


12

Prepared according to the general procedure B as white solid (34 mg, 80% yield) after silica gel chromatography (petroleum ether/EtOAc = 2:1). $[\alpha]_D^{25}$ = -30.60 (c 0.100, $CHCl_3$); **Mp**: 231 - 233 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.46 (d, *J* = 7.0 Hz, 2H), 7.41 – 7.28 (m, 6H), 7.12 (d, *J* = 7.3 Hz, 1H), 7.05 – 6.97 (m, 2H), 6.76 – 6.65 (m, 1H), 6.43 (d, *J* = 7.9 Hz, 1H), 5.42 (t, *J* = 9.9 Hz, 1H), 5.24 – 5.12 (m, 2H), 4.92 (d, *J* = 15.3 Hz, 1H), 3.79 (s, 3H), 2.92 (s, 1H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 175.6, 157.1, 145.9, 142.3, 135.3, 134.9, 131.3, 130.2, 129.0, 128.1, 128.0, 127.5, 123.7, 123.7, 123.5, 122.6, 118.3, 118.0, 114.5, 110.3, 74.5, 59.7, 44.4, 30.2. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{26}H_{21}N_3O_3Na$ 446.1475; Found 446.1469. Enantiomeric excess was determined to be 98% (determined by HPLC using chiral AS column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 34.0 min, t_{minor} = 25.4 min).

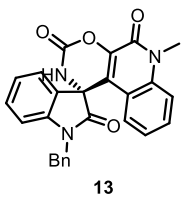


	RetTime	Height	Height%	Mark	Area	Area%
1	25.701	29373	56.432	M	4628958	50.091
2	34.361	22677	43.568	M	4612190	49.909



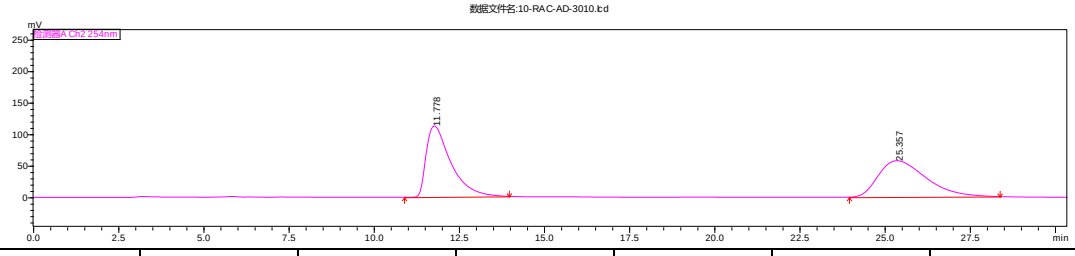
	RetTime	Height	Height%	Mark	Area	Area%
1	25.469	161837	99.168	M	26955863	99.005
2	34.063	1357	0.832	M	270988	0.995

1-benzyl-6'-methylspiro[indoline-3,1'-[1,3]oxazino[6,5-c]quinoline]-2,3',5'(2'H,6'H)-trione (13)

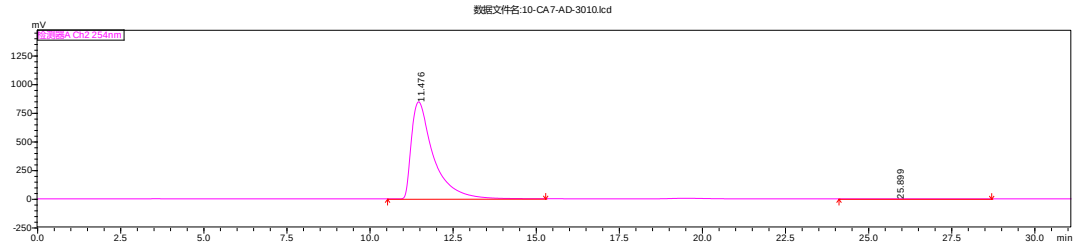


13

Prepared according to the general procedure B as white solid (58 mg, 68% yield) after silica gel chromatography (petroleum ether/EtOAc = 2:1). $[\alpha]_D^{25}$ = -25.00 (c 0.100, $CHCl_3$); **Mp**: 248 - 251 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.51 (s, 1H), 7.48 (d, *J* = 7.9 Hz, 2H), 7.42 – 7.28 (m, 6H), 7.16 (d, *J* = 7.6 Hz, 1H), 7.08 (d, *J* = 7.9 Hz, 1H), 7.01 – 6.98 (m, *J* = 7.6 Hz, 1H), 6.69 – 6.65 (m, 1H), 6.59 (dd, *J* = 8.3, 1.3 Hz, 1H), 5.31 (d, *J* = 15.3 Hz, 1H), 4.88 (d, *J* = 15.3 Hz, 1H), 3.77 (s, 3H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 173.1, 154.5, 147.9, 142.1, 140.9, 136.7, 135.1, 131.3, 129.5, 129.3, 129.0, 128.5, 128.2, 125.1, 124.3, 124.2, 123.0, 117.1, 115.3, 114.9, 111.0, 63.6, 44.9, 30.5. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{26}H_{19}N_3O_4Na$ 460.1268; Found 460.1271. Enantiomeric excess was determined to be 99% (determined by HPLC using chiral AD column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 25.8 min, t_{minor} = 11.4 min).

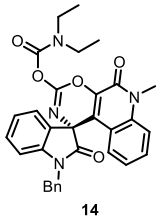


	RetTime	Height	Height%	Mark	Area	Area%
1	11.778	112625	66.195	M	5665665	50.279
2	25.357	57517	33.805	M	5602694	49.721



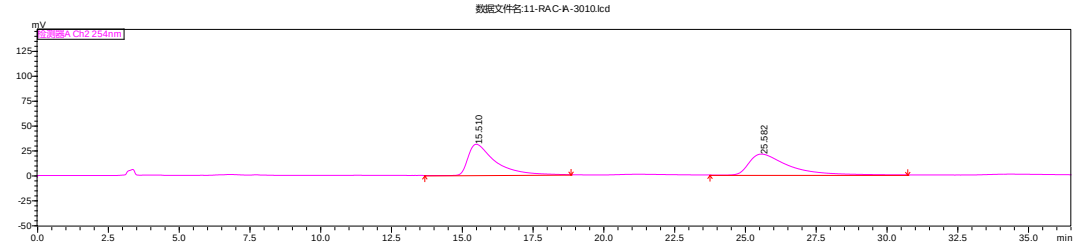
	RetTime	Height	Height%	Mark	Area	Area%
1	11.476	845567	99.963	M	38888775	99.924
2	25.899	313	0.037	M	29707	0.076

1-benzyl-6'-methyl-2,5'-dioxo-5',6'-dihydrospiro[indoline-3,1'-[1,3]oxazino[6,5-c]quinolin]-3'-yl diethylcarbamate (14)

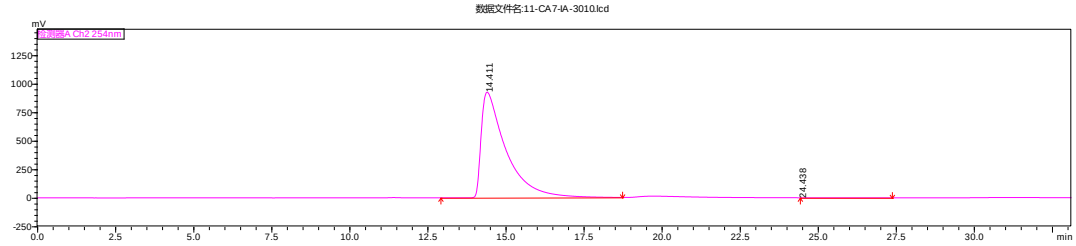


14

Prepared according to the general procedure B as white solid (81 mg, 77% yield) after silica gel chromatography (petroleum ether/EtOAc = 2:1). $[\alpha]_D^{25}$ = -22.60 (c 0.100, $CHCl_3$); **Mp**: 162 - 165 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.48 (d, *J* = 8.0 Hz, 2H), 7.42 – 7.33 (m, 5H), 7.31 – 7.25 (m, 2H), 7.05 – 6.98 (m, 2H), 6.72 – 6.63 (m, 2H), 5.30 (d, *J* = 15.2 Hz, 1H), 4.73 (d, *J* = 15.2 Hz, 1H), 3.79 (s, 3H), 3.43 – 3.24 (m, 4H), 1.21 (t, *J* = 7.2 Hz, 3H), 1.16 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 174.3, 154.3, 150.3, 147.0, 141.5, 140.5, 137.1, 135.2, 132.3, 130.3, 129.7, 128.9, 128.4, 128.1, 125.5, 124.8, 124.3, 123.0, 118.1, 115.8, 114.8, 110.1, 65.9, 44.7, 42.4, 42.3, 30.2, 13.9, 13.0. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{31}H_{28}N_4O_5Na$ 559.1952; Found 559.1951. Enantiomeric excess was determined to be 99% (determined by HPLC using chiral IA column, hexane/2-propanol = 70/30, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 24.4 min, t_{minor} = 14.4 min).

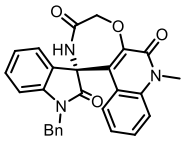


	RetTime	Height	Height%	Mark	Area	Area%
1	15.510	31005	59.689	M	2036248	50.579
2	25.582	20939	40.311	M	1989595	49.421



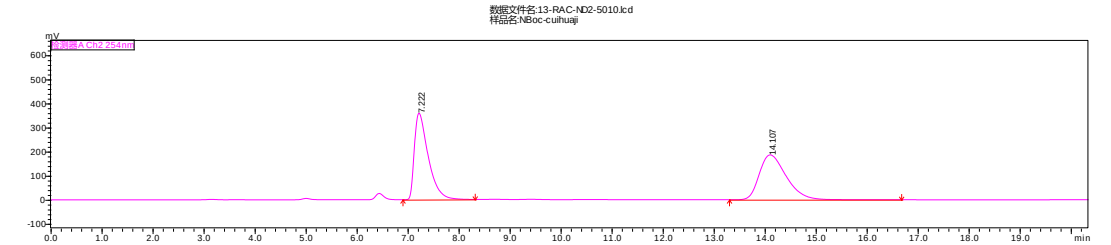
	RetTime	Height	Height%	Mark	Area	Area%
1	14.411	925082	99.914	M	51108266	99.884
2	24.438	799	0.086	M	59267	0.116

(R)-1-benzyl-7'-methyl-2'H-spiro[indoline-3,1'-[1,4]oxazepino[7,6-c]quinoline]-2,3',6'(4'H,7'H)-trione (15)

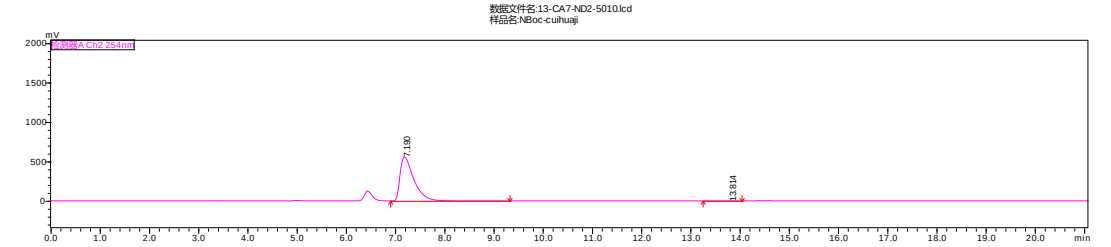


15

Prepared according to the general procedure B as white solid (43 mg, 32% yield) after silica gel chromatography (petroleum ether/EtOAc = 2:1). $[\alpha]_D^{25}$ = -105.50 (c 0.100, $CHCl_3$); **Mp**: 207 - 210 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 7.49 – 7.44 (m, 2H), 7.41 – 7.34 (m, 3H), 7.32 – 7.27 (m, 2H), 7.26 – 7.24 (m, 1H), 7.03 (d, *J* = 7.9 Hz, 1H), 6.98 – 6.93 (m, 2H), 6.52 – 6.42 (m, 2H), 5.77 – 5.55 (m, 2H), 5.28 (d, *J* = 16.8 Hz, 1H), 4.83 – 4.78 (m, 2H), 3.77 (s, 3H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 174.3, 173.4, 158.0, 150.8, 141.3, 135.3, 134.8, 131.9, 130.9, 129.1, 128.4, 128.3, 128.0, 124.6, 124.5, 124.1, 122.2, 120.1, 118.3, 114.5, 110.6, 73.9, 64.8, 44.9, 30.5. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{27}H_{21}N_3O_4Na$ 474.1424; Found 474.1429. Enantiomeric excess was determined to be 99% (determined by HPLC using chiral ND column, hexane/2-propanol = 50/50, λ = 254 nm, 25 °C, 1 mL/min, t_{major} = 13.8 min, t_{minor} = 7.1 min).

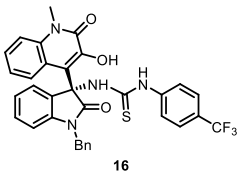


	RetTime	Height	Height%	Mark	Area	Area%
1	7.222	358940	65.839		6781135	49.803
2	14.107	186240	34.161	S	6834772	50.197



	RetTime	Height	Height%	Mark	Area	Area%
1	7.190	557216	99.838		10797048	99.776
2	13.814	903	0.162		24219	0.224

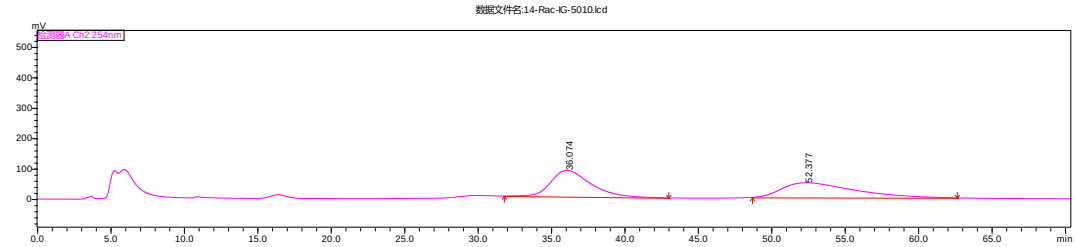
(S) -1-(1-benzyl-3-(3-hydroxy-1-methyl-2-oxo-1,2-dihydroquinolin-4-yl)-2-oxoindolin-3-yl)-3-(4-(trifluoromethyl)phenyl)thiourea (16)



16

Prepared according to the general procedure B as grey solid (44 mg, 72% yield) after silica gel chromatography (petroleum ether/EtOAc = 1:1). $[\alpha]_D^{25}$ = -103.60 (c 0.100, $CHCl_3$); **Mp**: 171 - 173 °C. **^{1}H NMR (500 MHz, $CDCl_3$)** δ 10.85 (s, 1H), 8.91 (s, 1H), 7.76 (s, 1H), 7.61 (s, 2H), 7.50 – 7.46 (m, 3H), 7.42 – 7.37 (m, 5H), 7.35 – 7.30 (m, 2H), 7.10 – 6.93 (m, 3H), 6.95 – 6.77 (m, 2H), 5.15 (d, *J* = 16.0 Hz, 1H), 4.99 (d, *J* = 15.8 Hz, 1H), 3.65 (s, 3H). **^{19}F NMR (376 MHz, $CDCl_3$)** δ -62.24. **^{13}C NMR (126 MHz, CDCl3)** δ 182.4, 175.4, 157.8, 143.0, 142.2, 134.6, 134.3, 131.3, 129.0, 128.1, 127.9, 127.5, 127.2, 127.1, 125.6, 125.5, 125.2, 124.4, 124.2, 123.9, 114.9, 110.6, 66.5, 44.9, 30.8. **HRMS (ESI-TOF) m/z**: $[M + Na]^+$ Calcd for $C_{33}H_{25}F_3N_4O_3SNa$ 637.1492; Found 637.1497. Enantiomeric excess was determined to be 98% (determined by HPLC using chiral

IG column, hexane/2-propanol = 50/50, λ = 254 nm, 25 ℃, 1 mL/min, t_{major} = 51.6 min, t_{minor} = 36.6 min).



	RetTime	Height	Height%	Mark	Area	Area%
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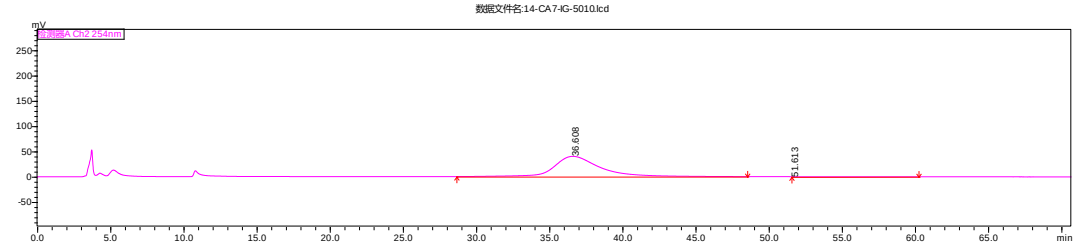
2	52.377	48590	35.991	M	16423717	50.207



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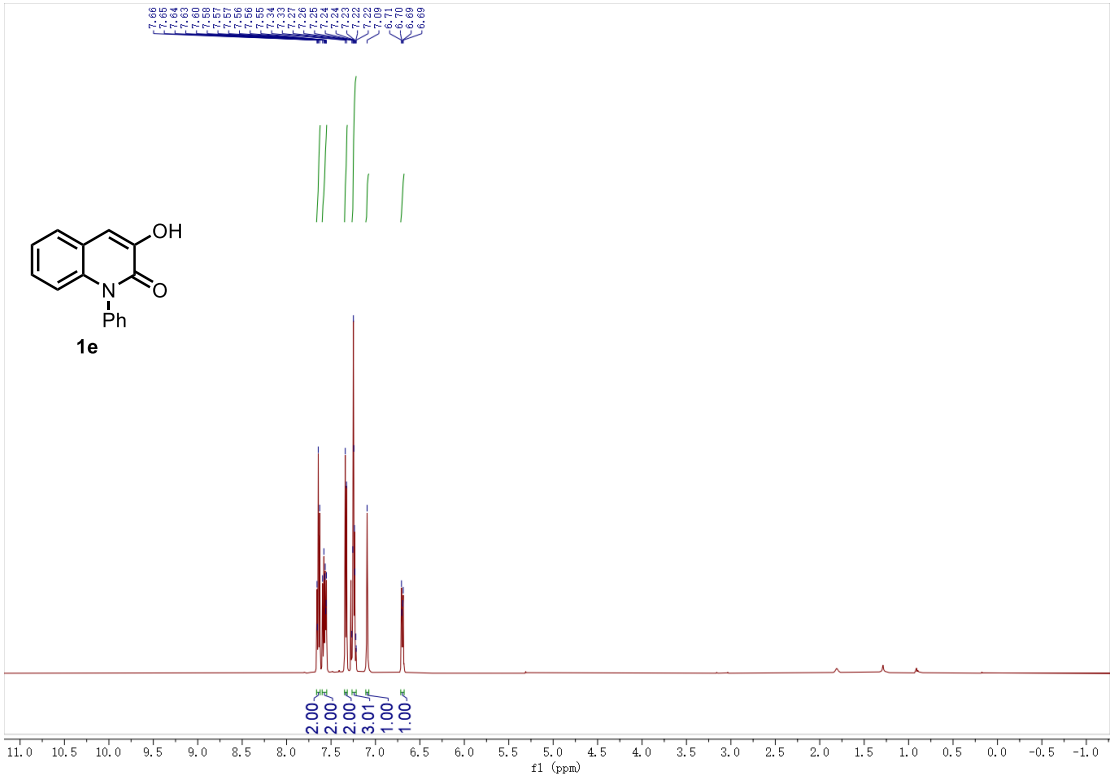
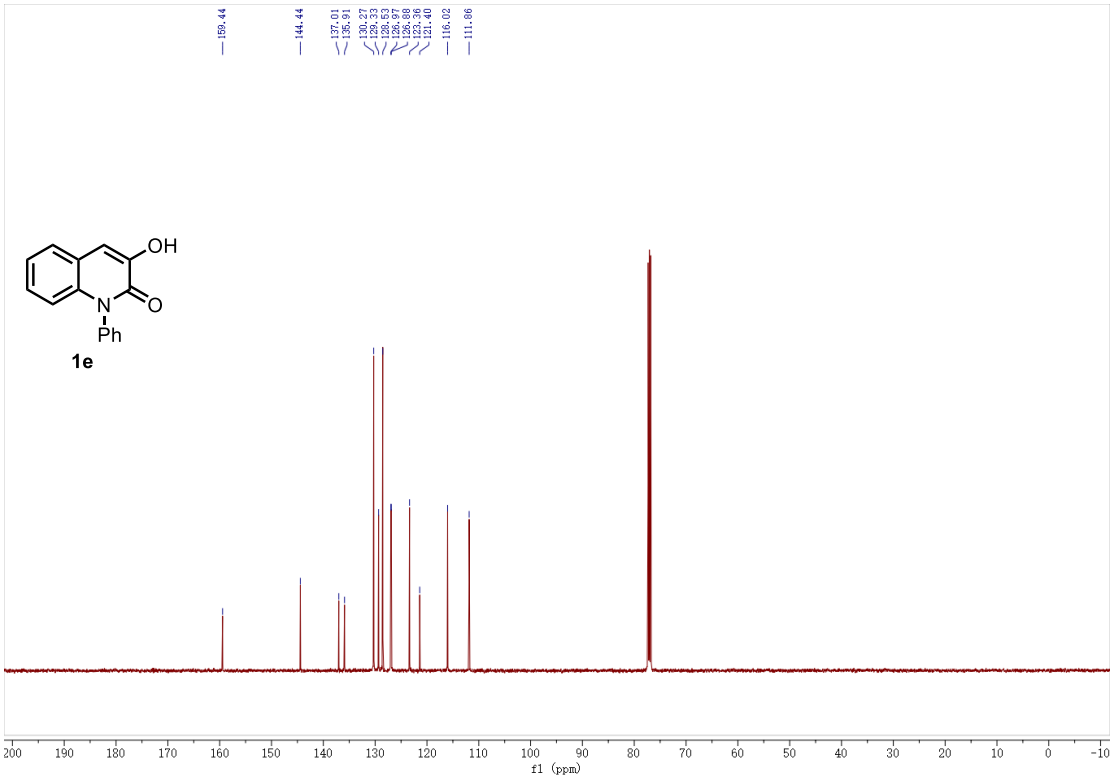
1	36.608	40006	99.318	M	8695011	99.117
2	51.613	275	0.682	M	77503	0.883

6. NMR spectra for compounds

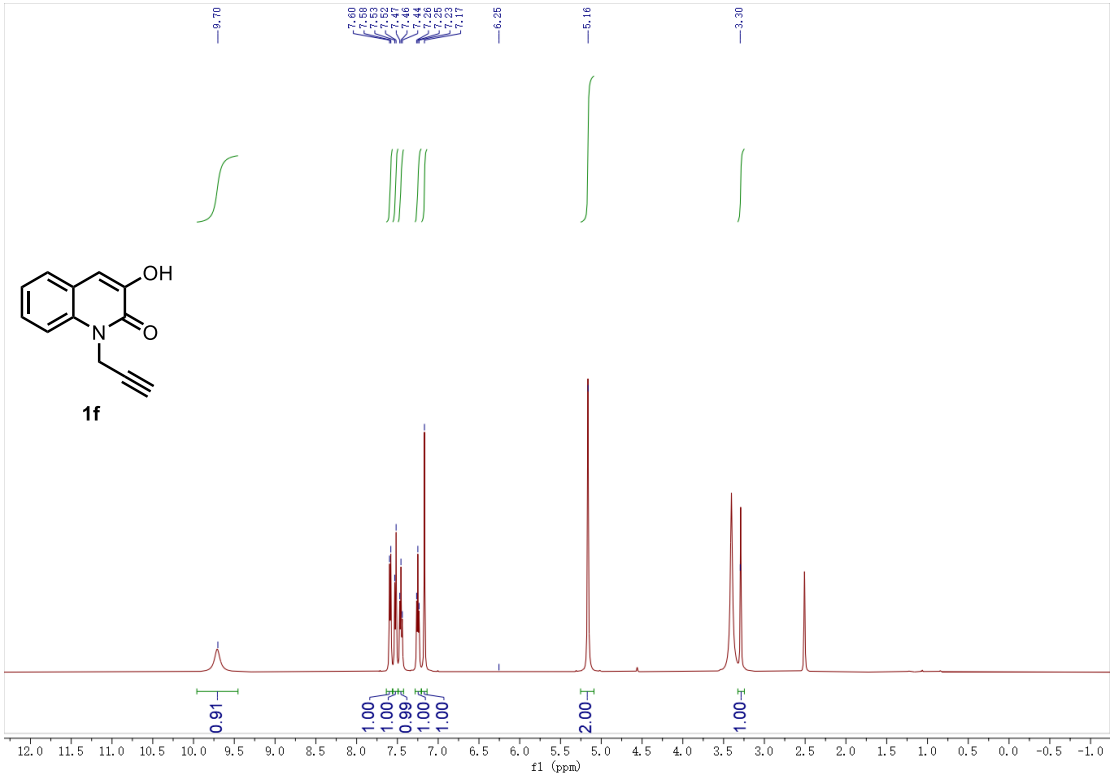
^{1}H NMR of 1e (500 MHz, $CDCl_3$)



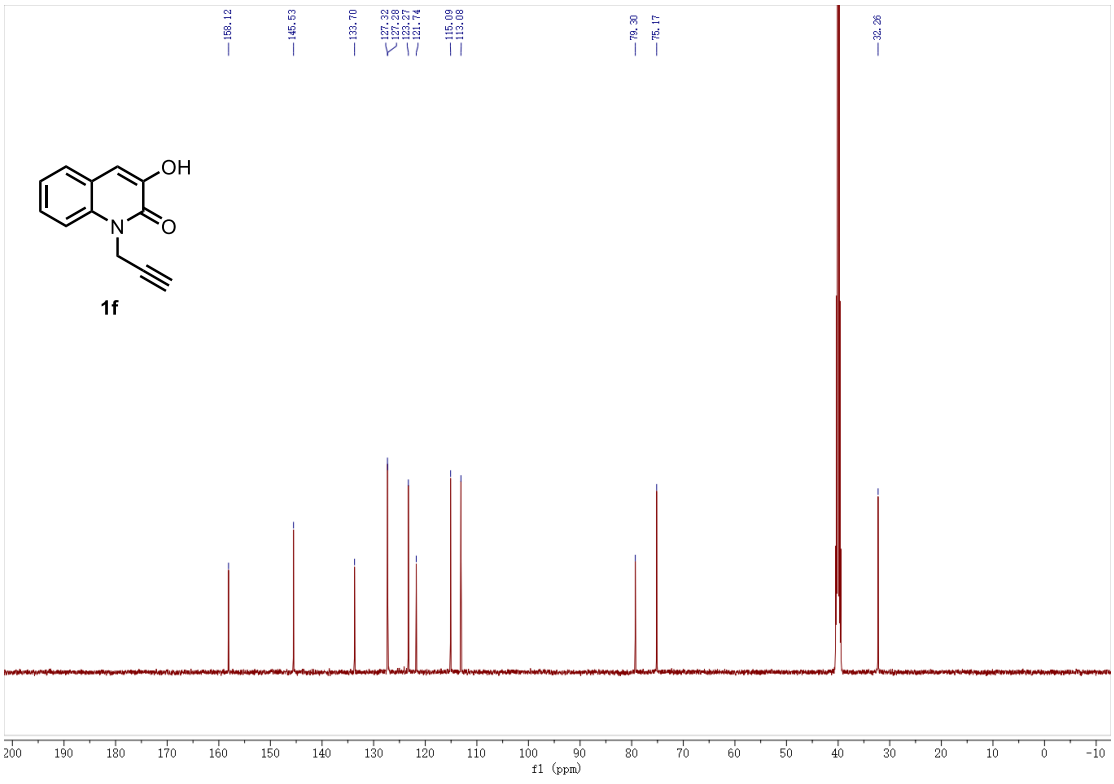
^{13}C NMR of 1e (126 MHz, $CDCl_3$)



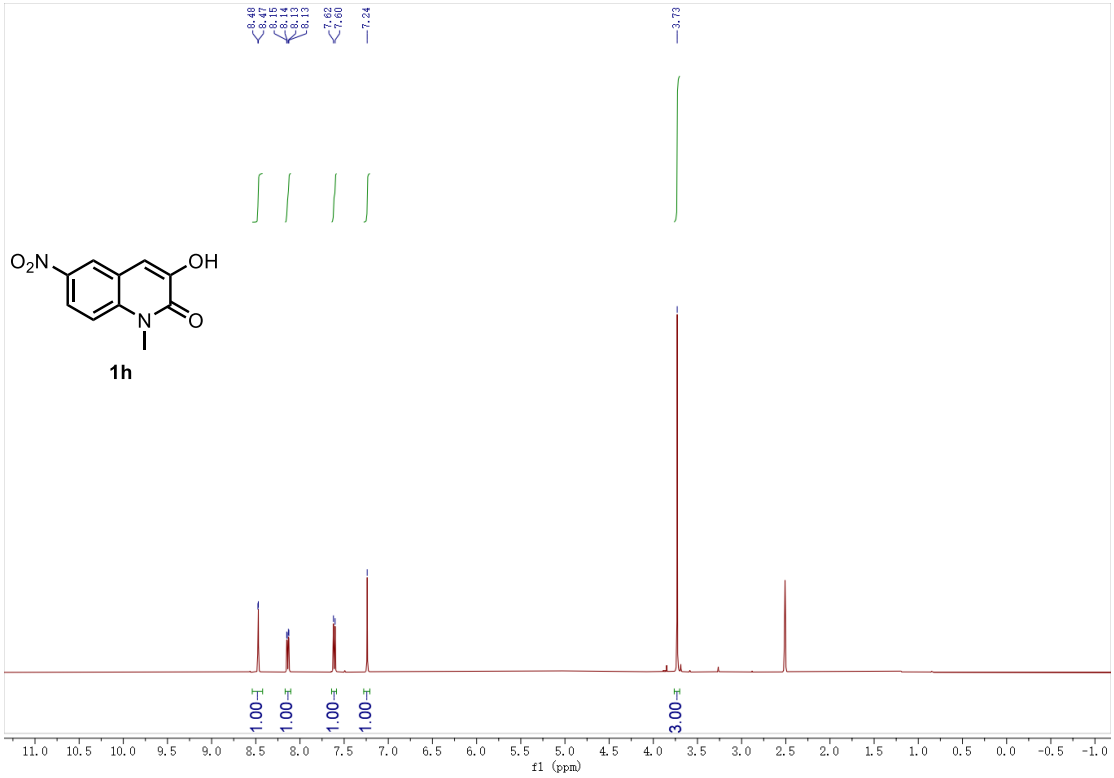
^{1}H NMR of 1f (500 MHz, DMSO)



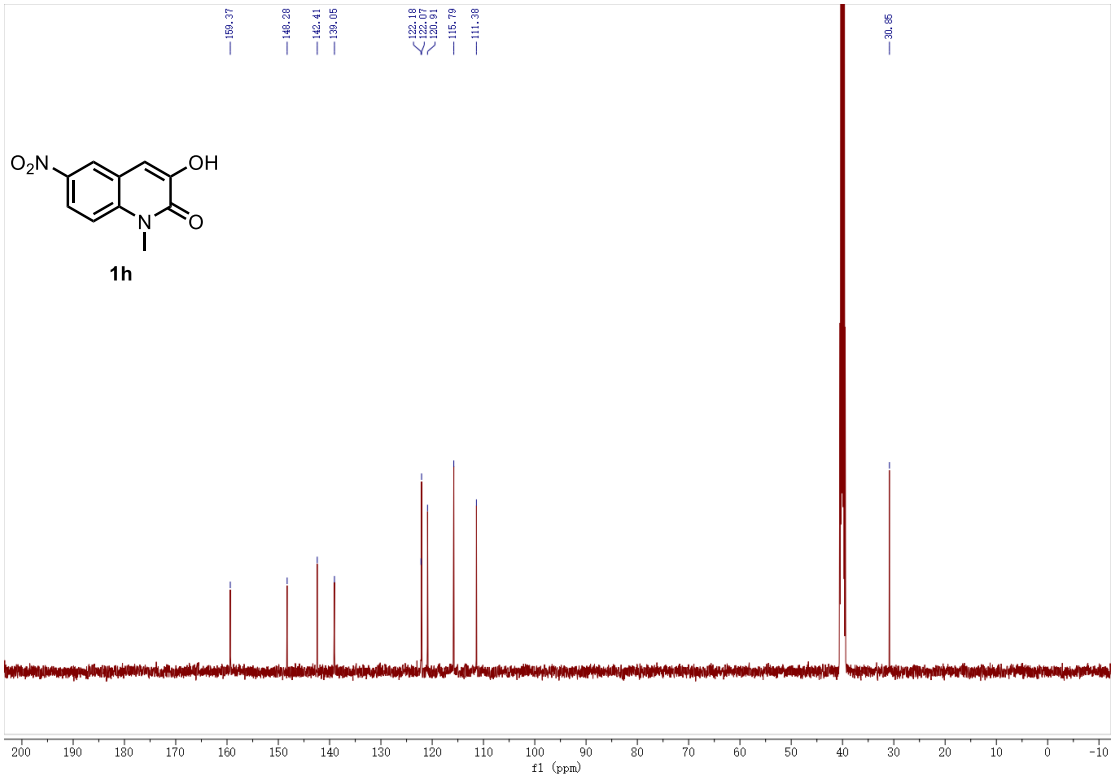
^{13}C NMR of 1f (126 MHz, DMSO)



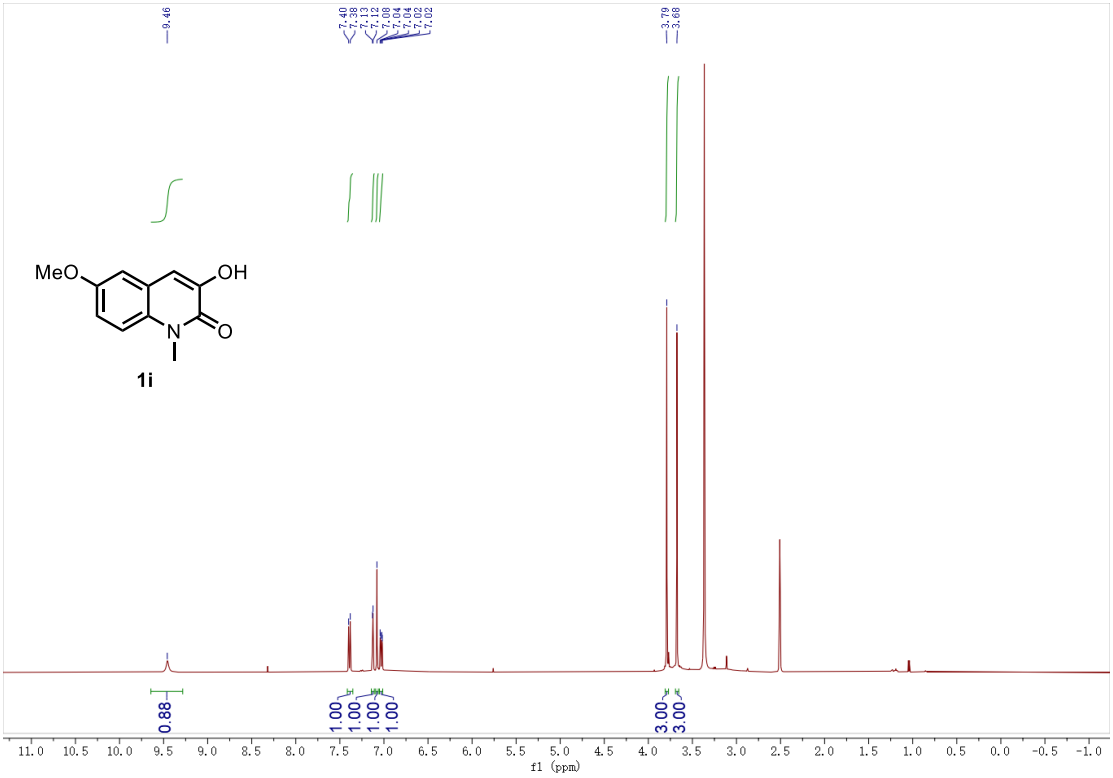
^{1}H NMR of 1h (500 MHz, DMSO)



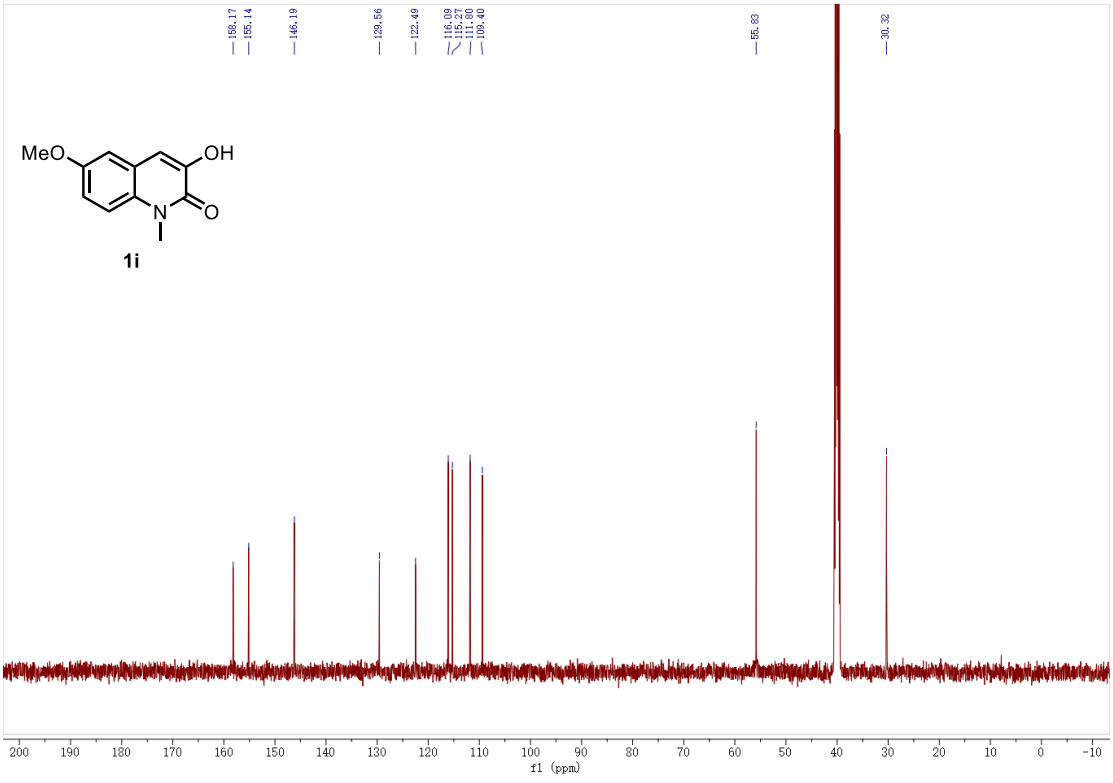
^{13}C NMR of 1h (126 MHz, DMSO)



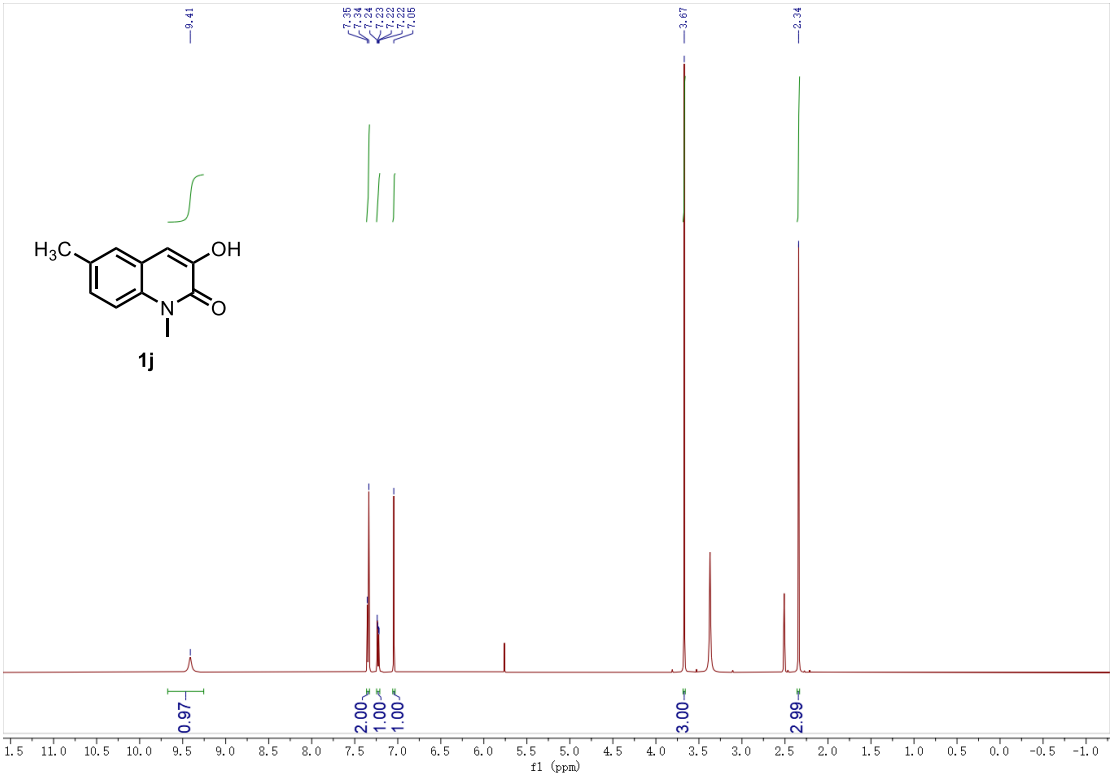
^{1}H NMR of 1i (500 MHz, DMSO)



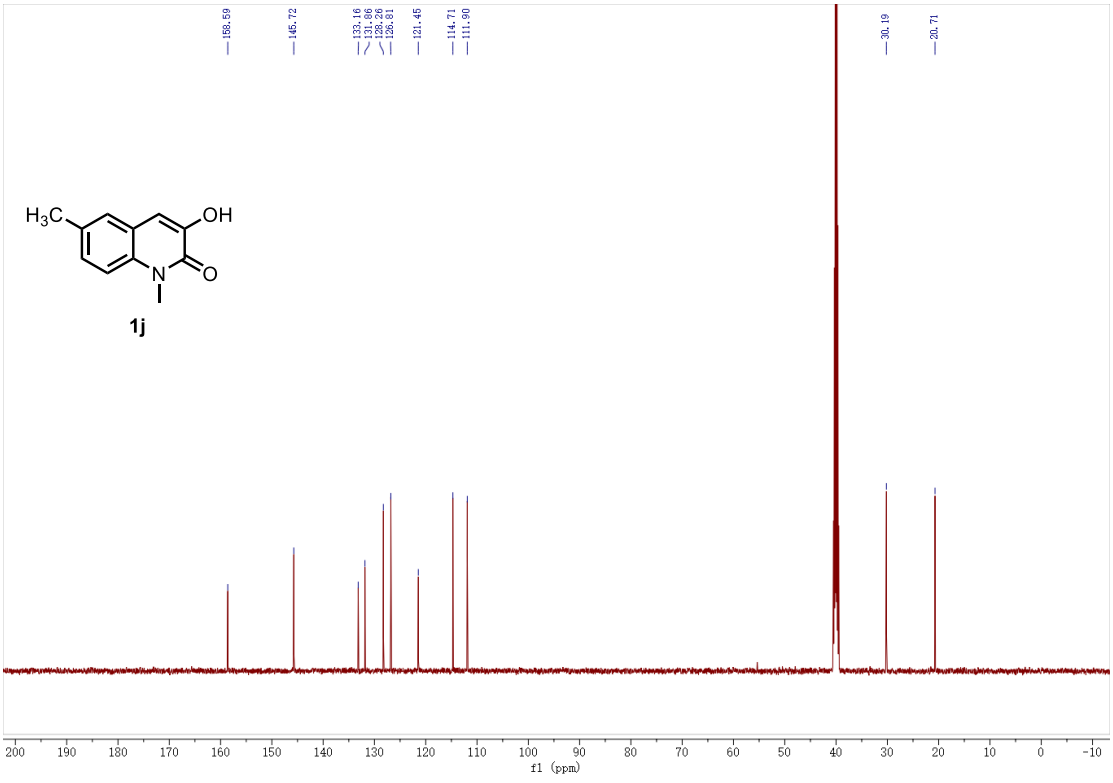
^{13}C NMR of 1i (126 MHz, DMSO)



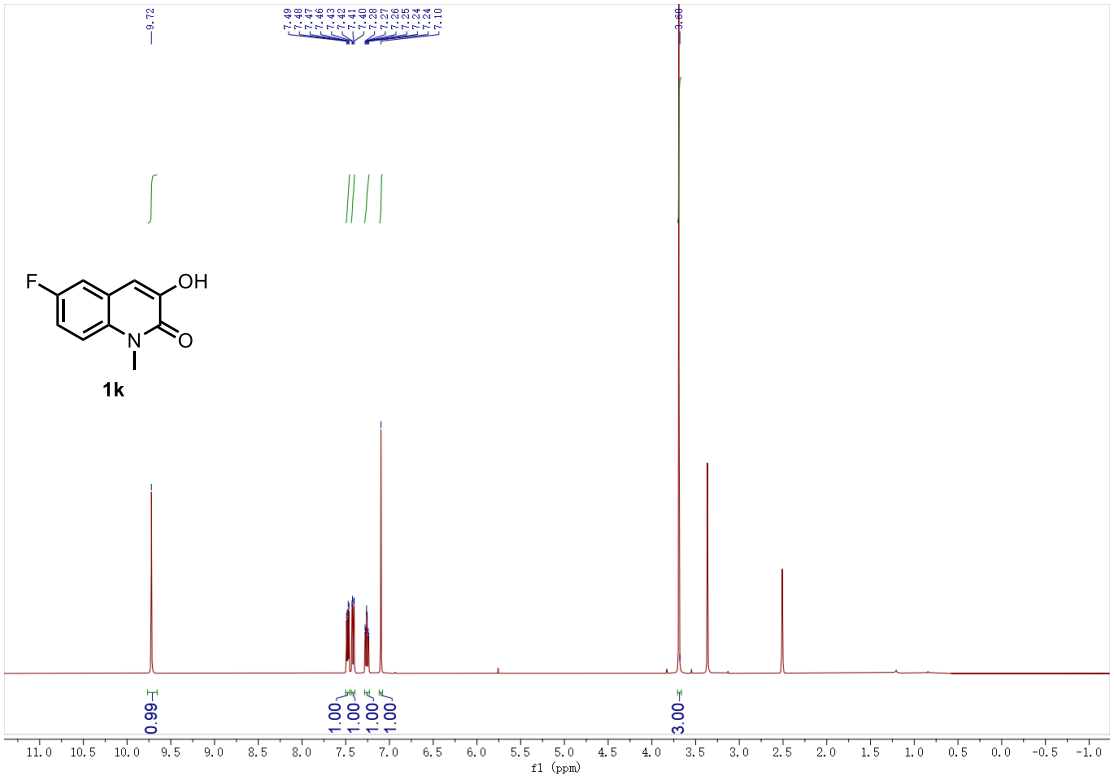
^{1}H NMR of 1j (500 MHz, DMSO)



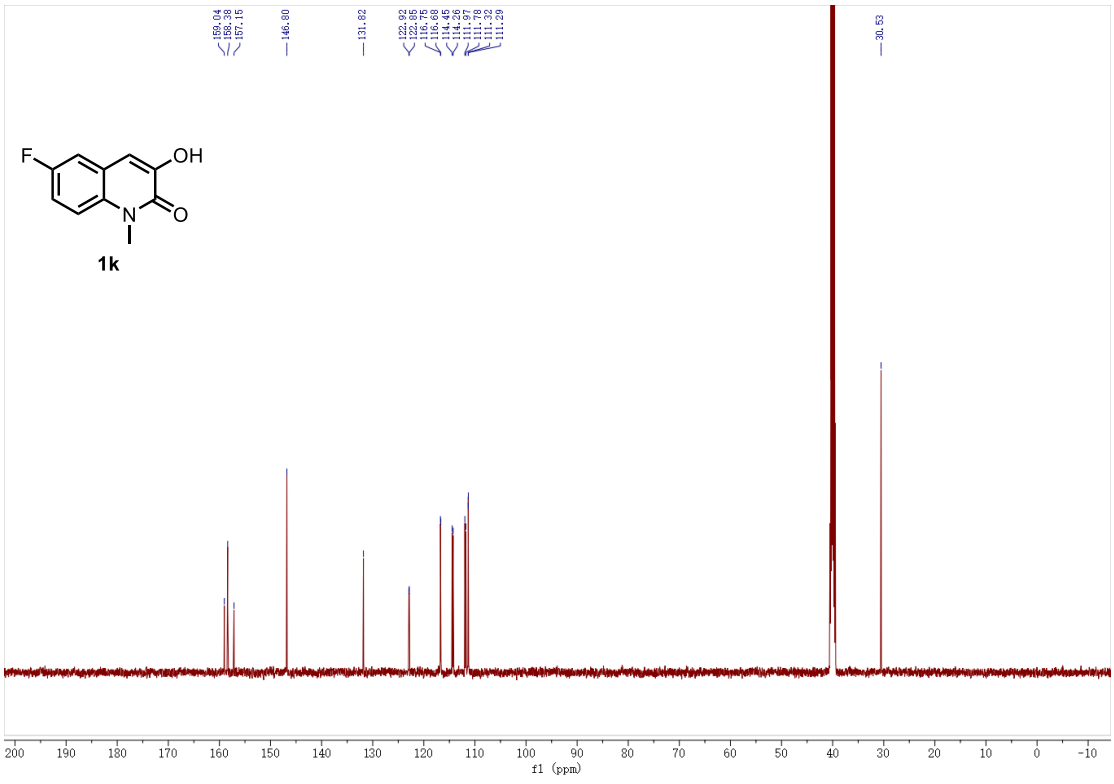
^{13}C NMR of 1j (126 MHz, DMSO)



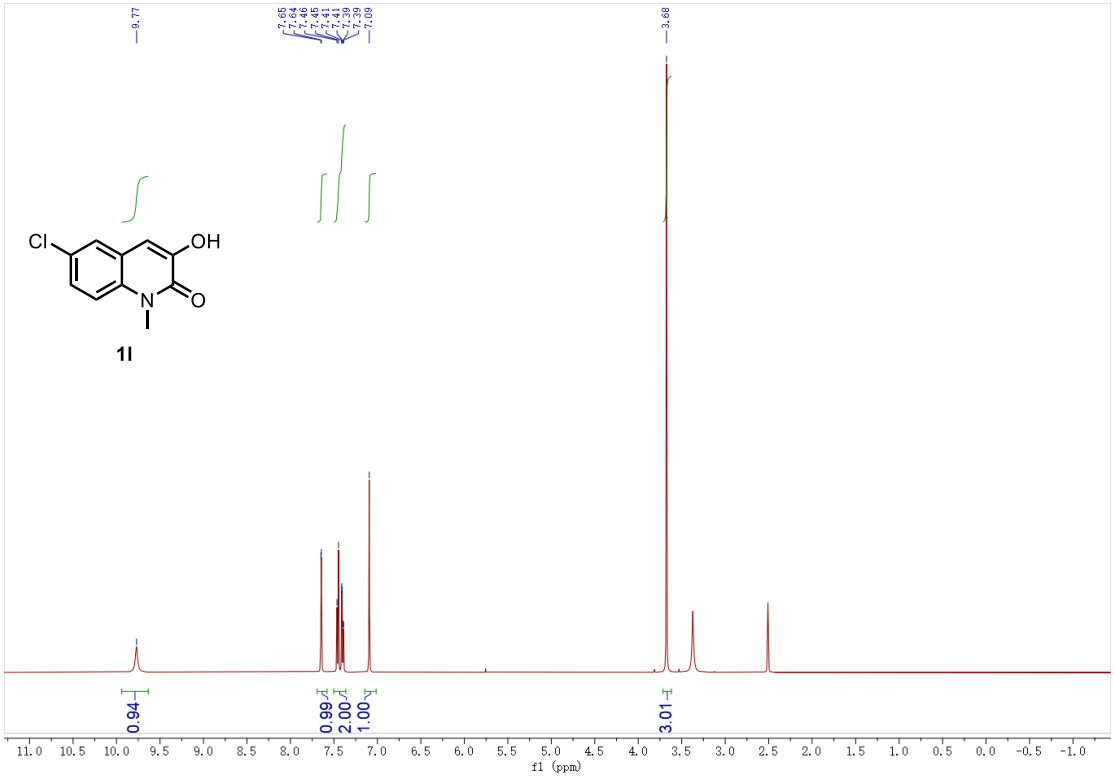
^{1}H NMR of 1k (500 MHz, DMSO)



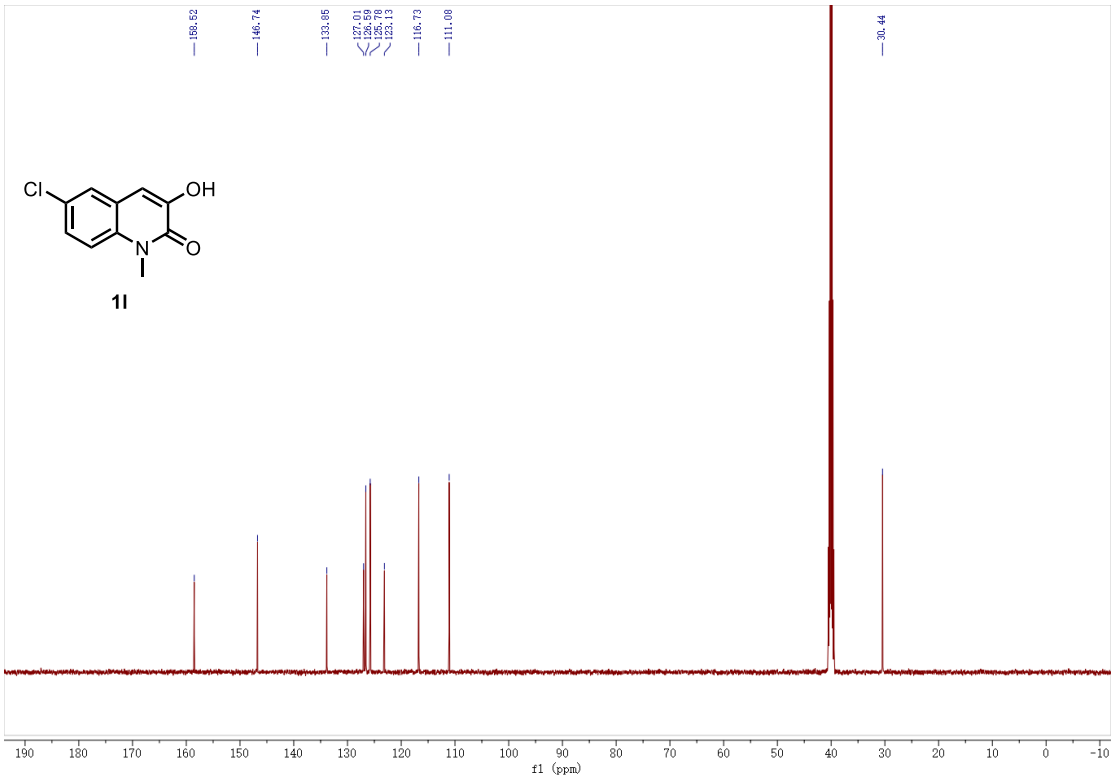
^{13}C NMR of 1k (126 MHz, DMSO)



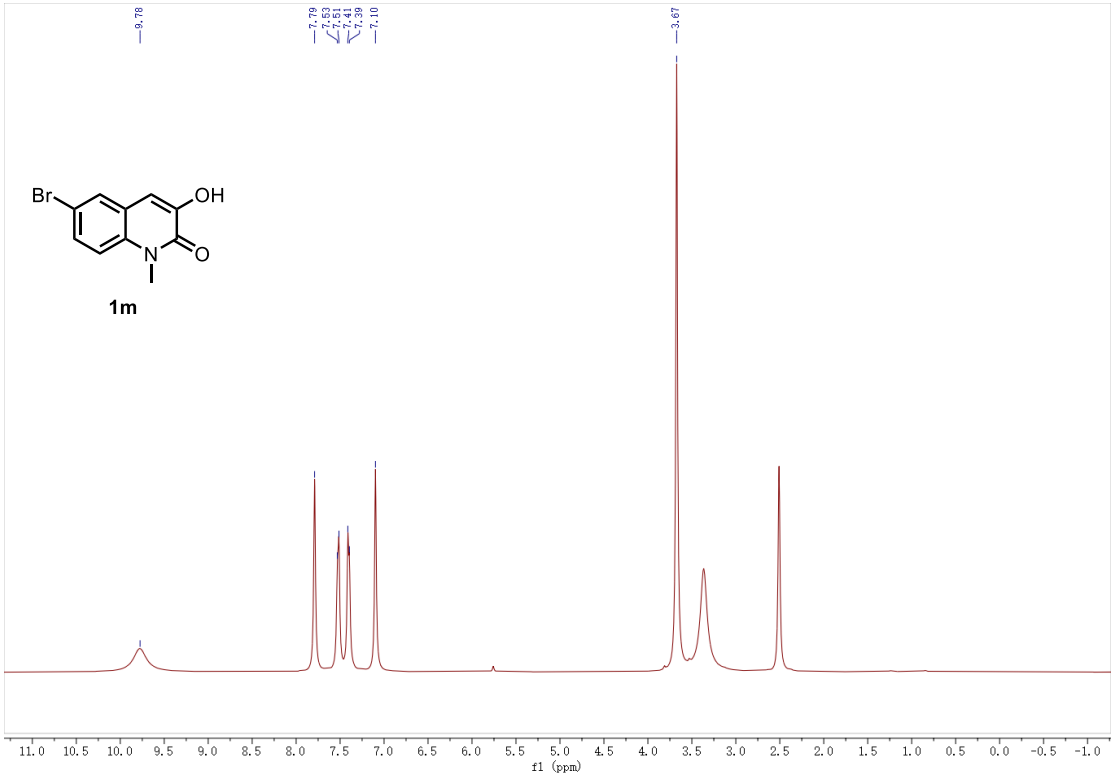
^{1}H NMR of 1l (500 MHz, DMSO)



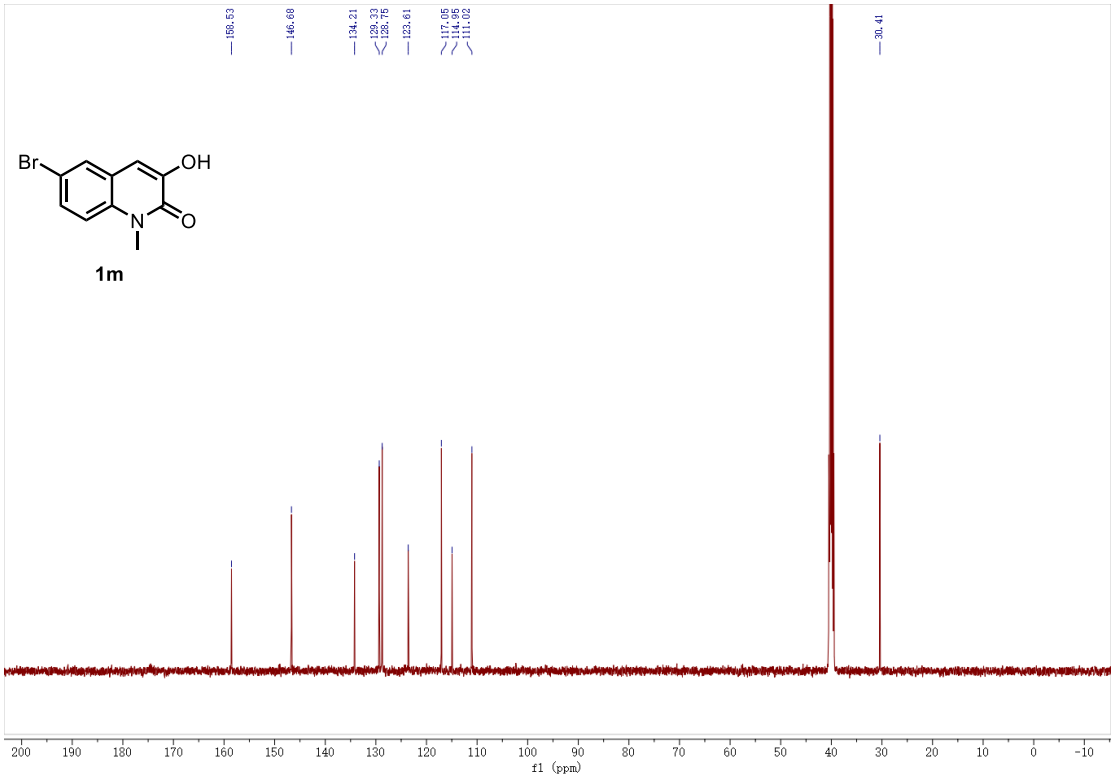
^{13}C NMR of 1l (126 MHz, DMSO)



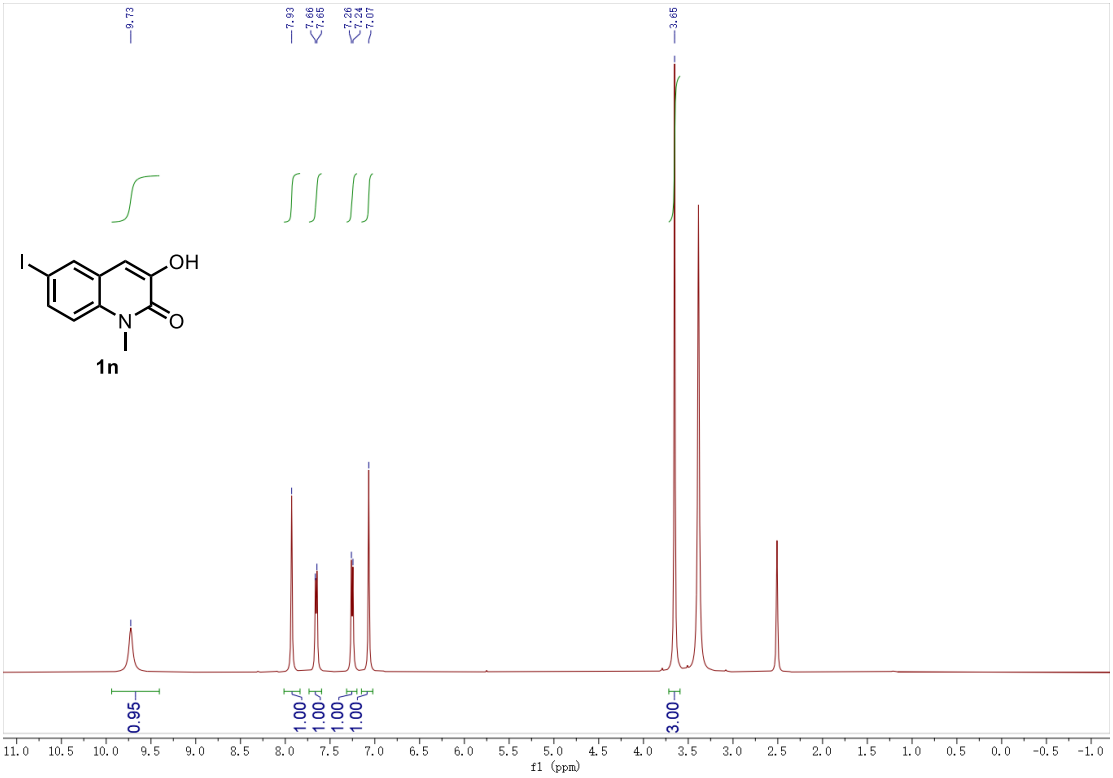
^{1}H NMR of 1m (500 MHz, DMSO)



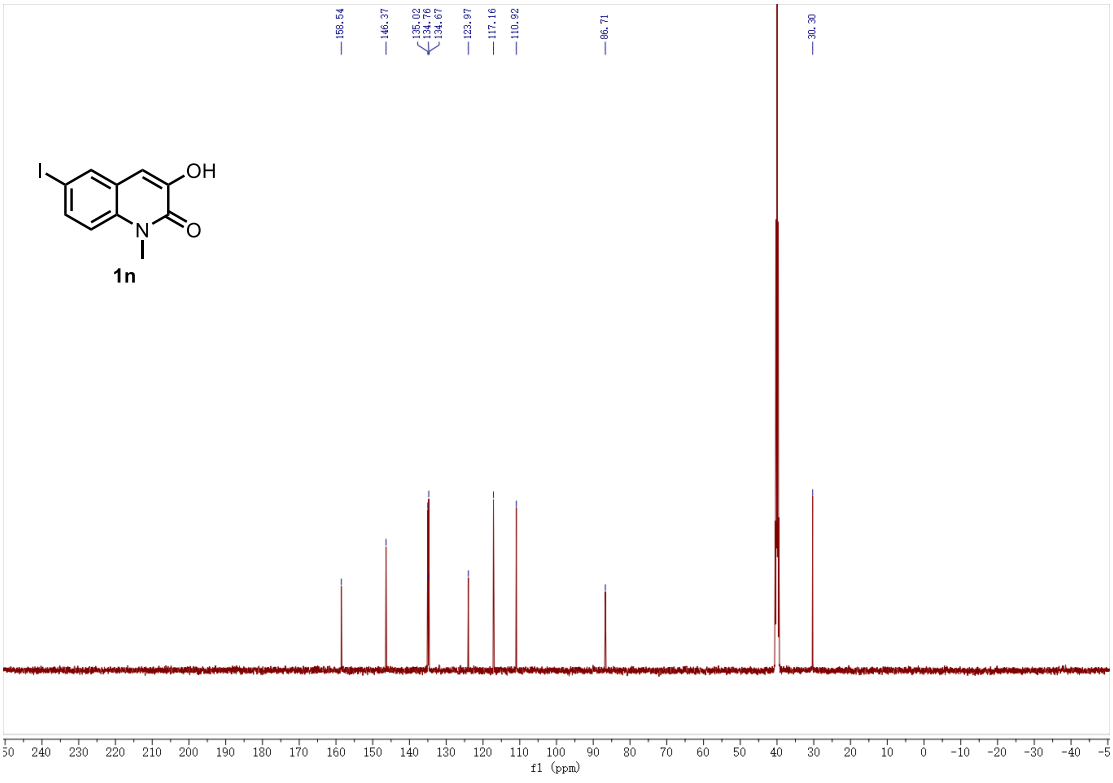
^{13}C NMR of 1m (126 MHz, DMSO)



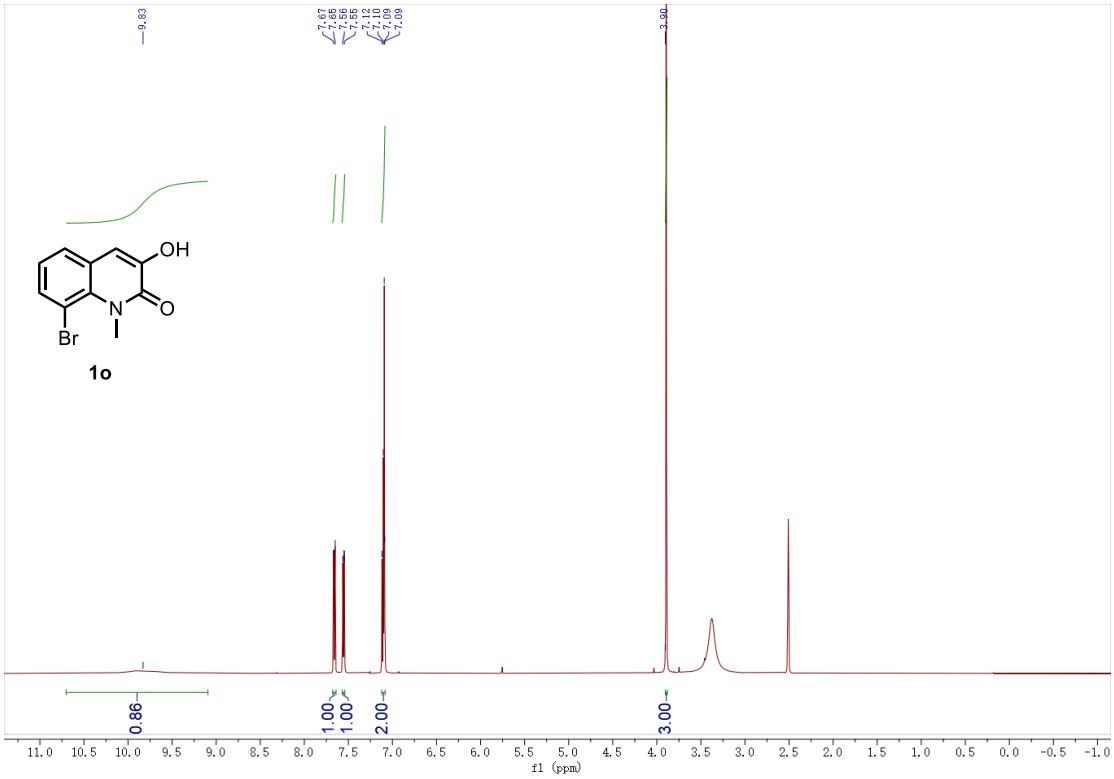
^{1}H NMR of 1n (500 MHz, DMSO)



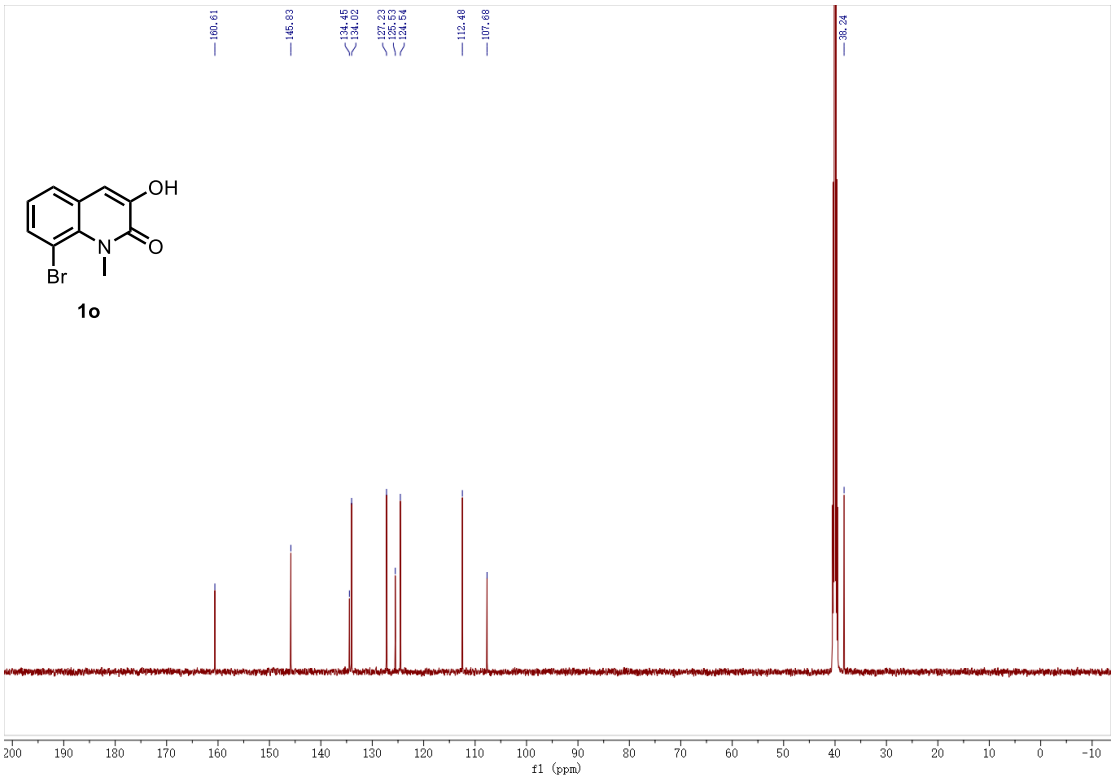
^{13}C NMR of 1n (126 MHz, DMSO)



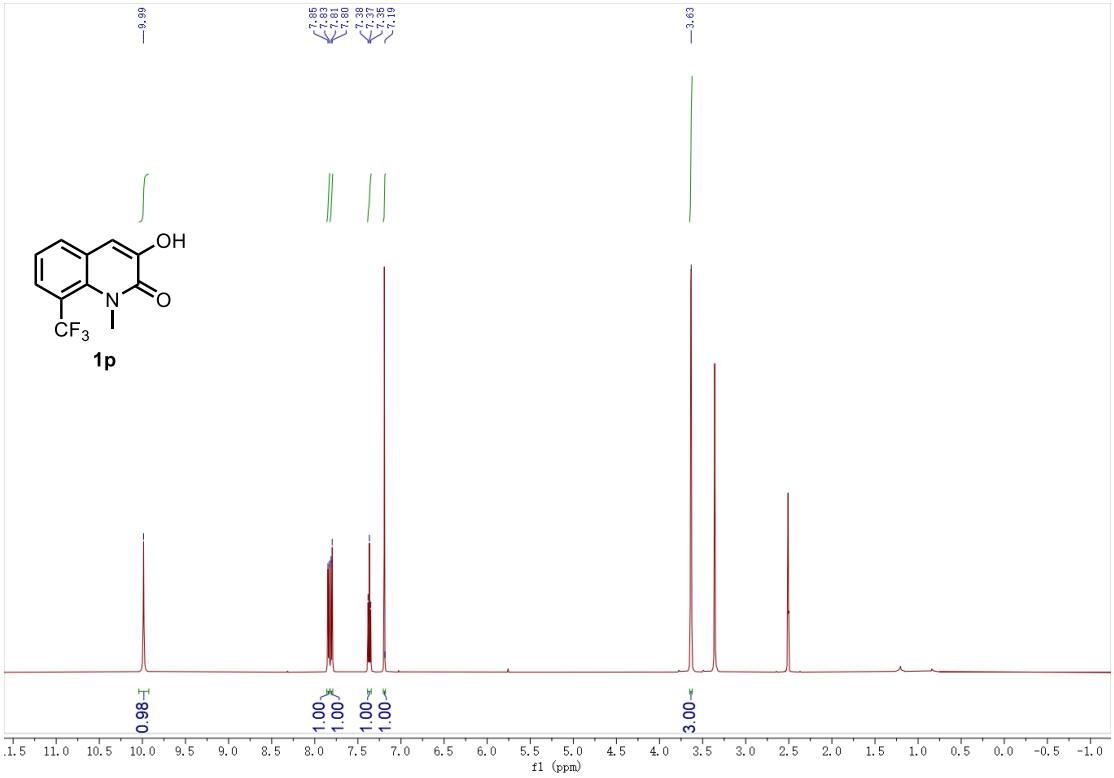
^{1}H NMR of 1o (500 MHz, DMSO)



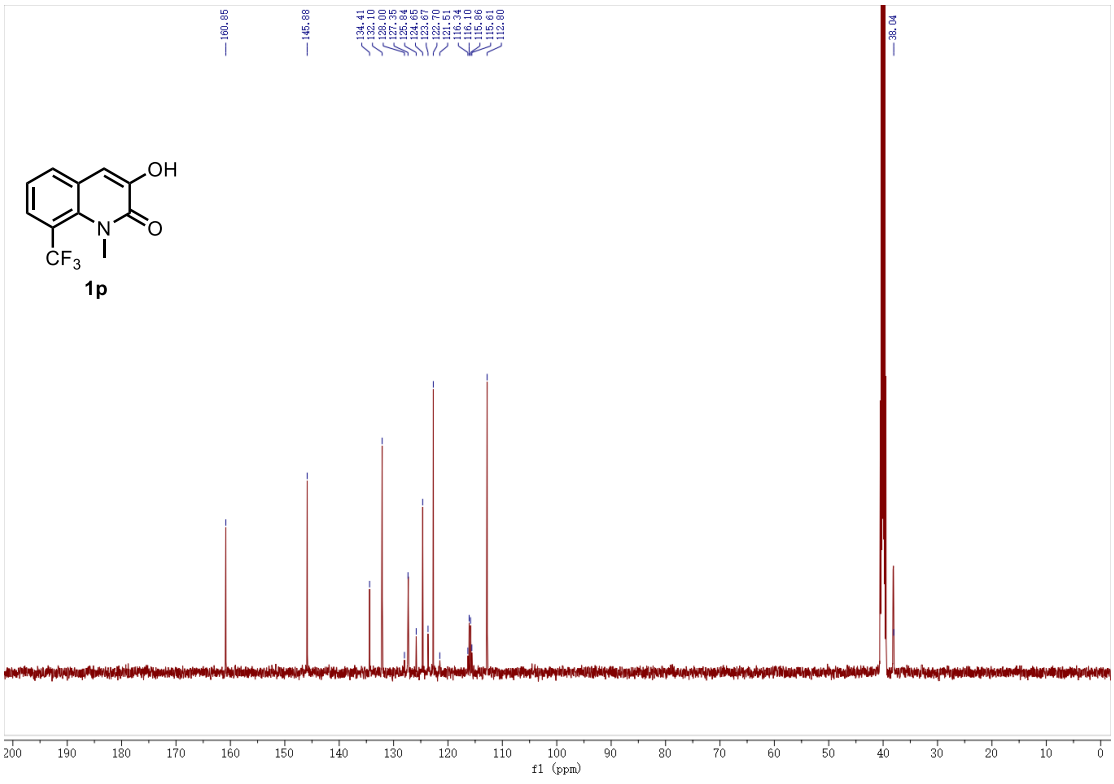
^{13}C NMR of 1o(126 MHz, DMSO)



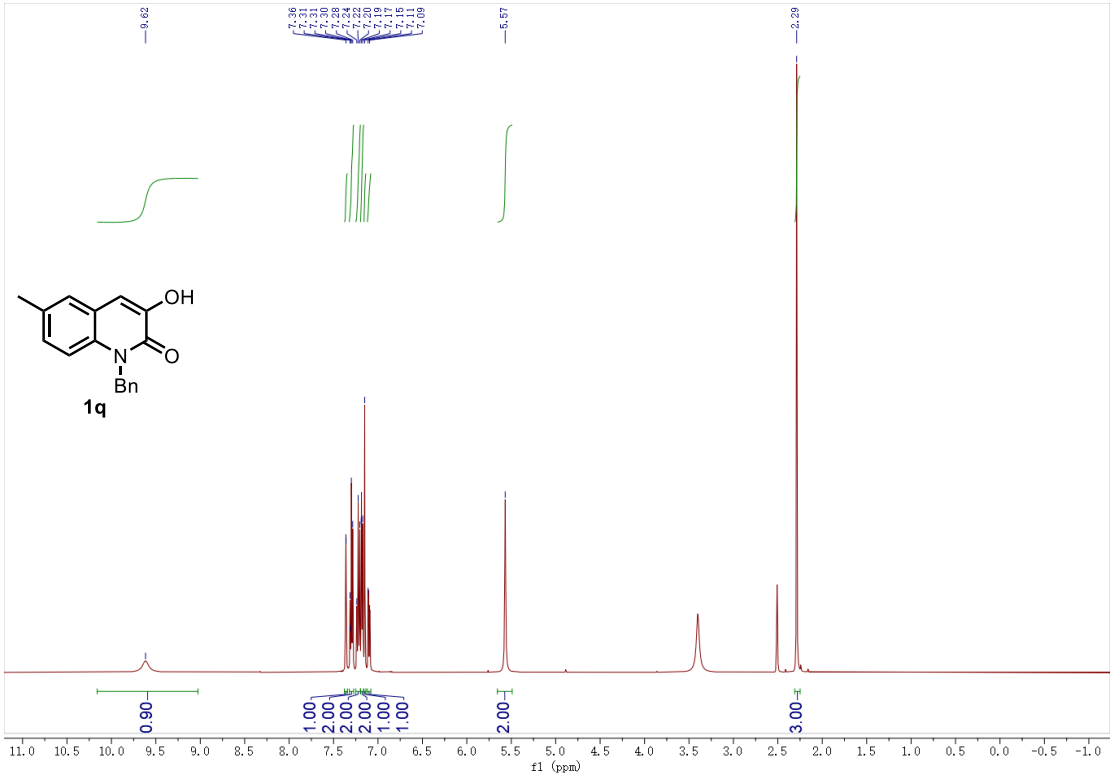
^{1}H NMR of 1p (500 MHz, DMSO)



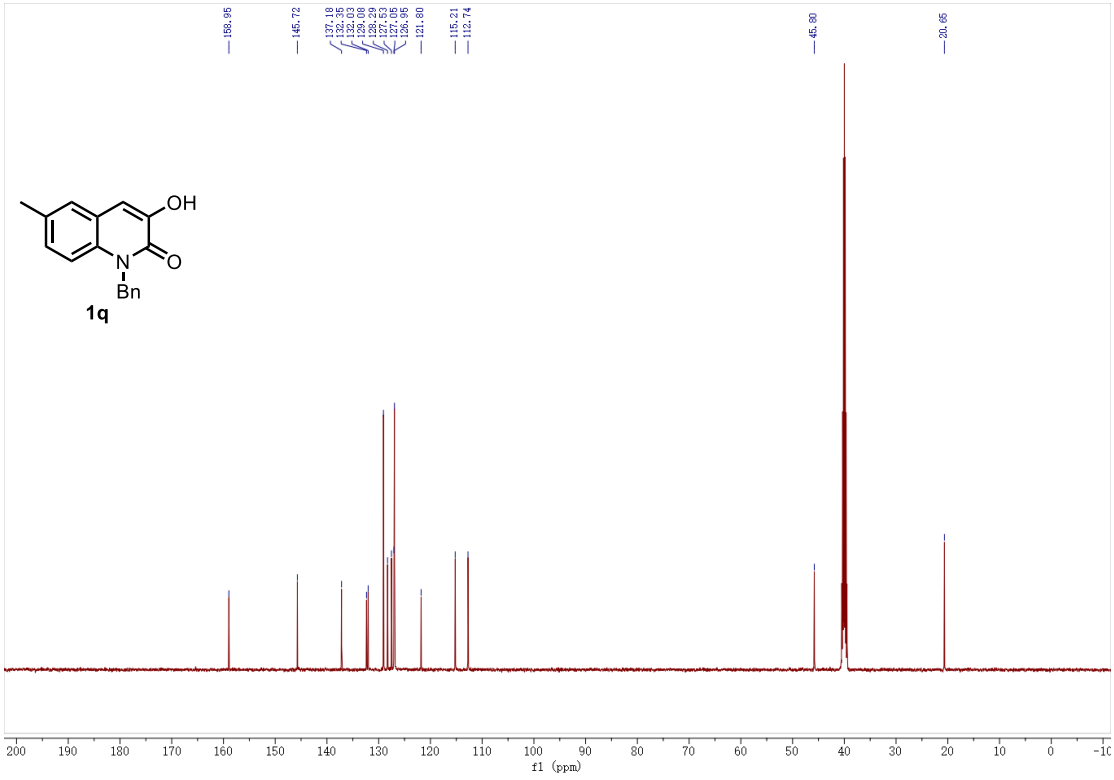
^{13}C NMR of 1p(126 MHz, DMSO)



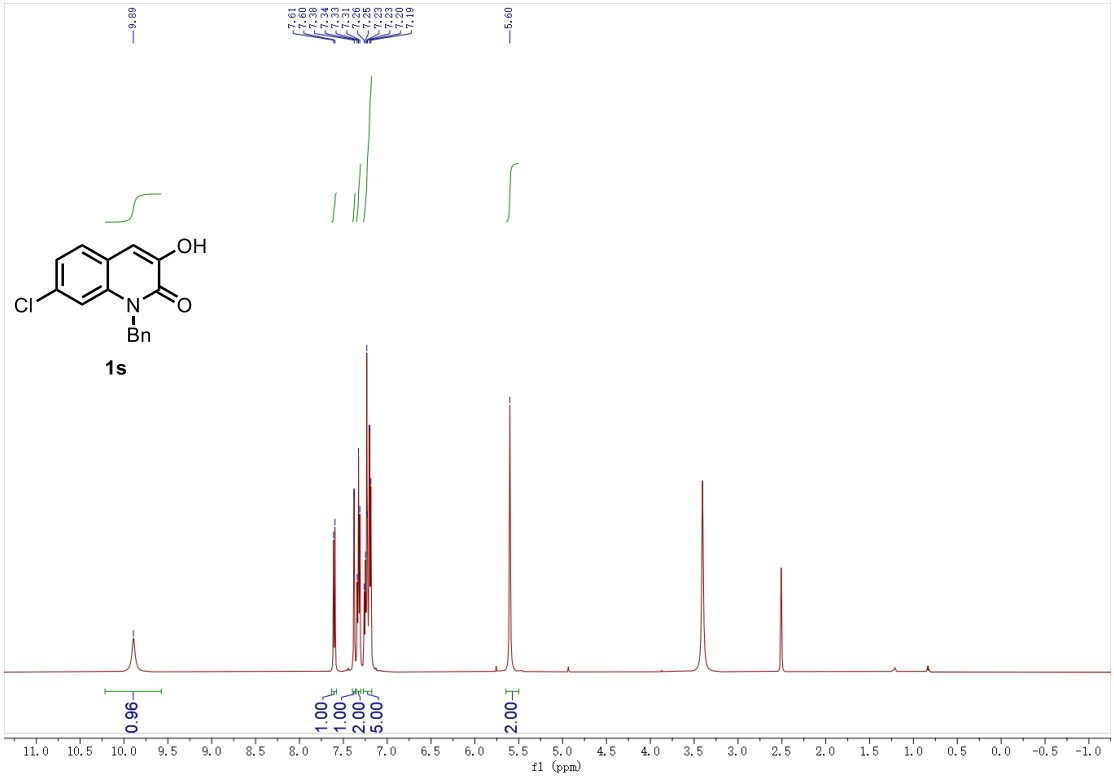
^{1}H NMR of 1q (500 MHz, DMSO)



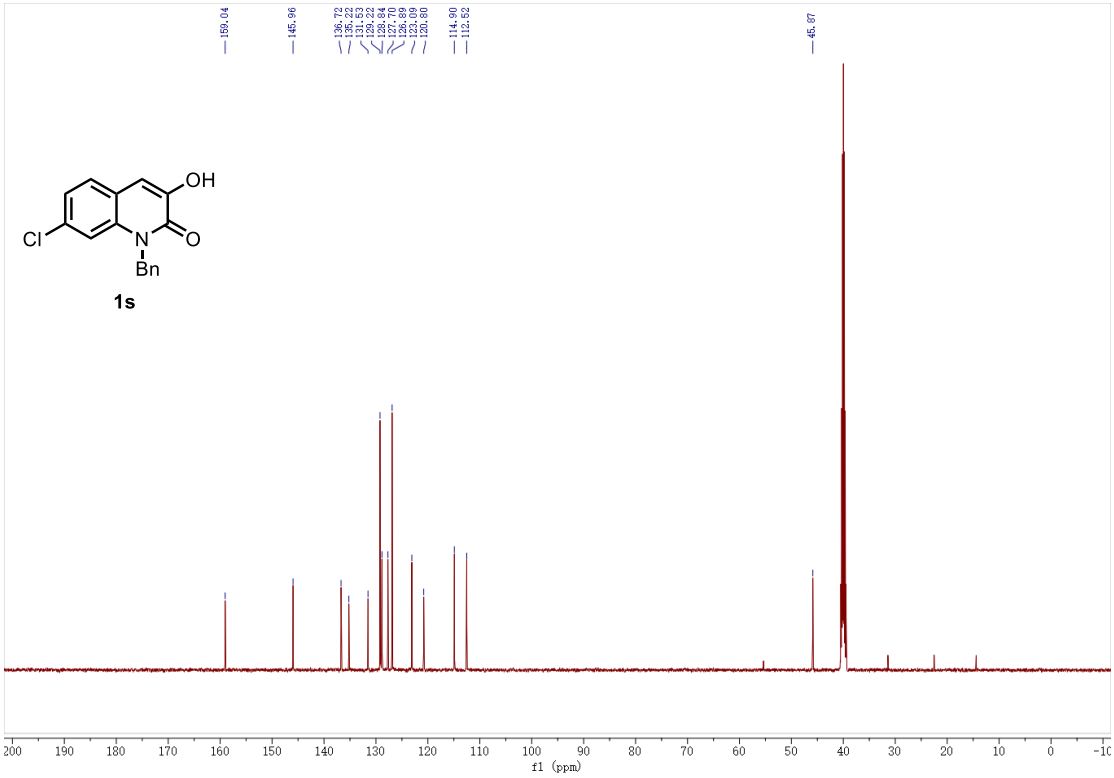
^{13}C NMR of 1q (126 MHz, DMSO)



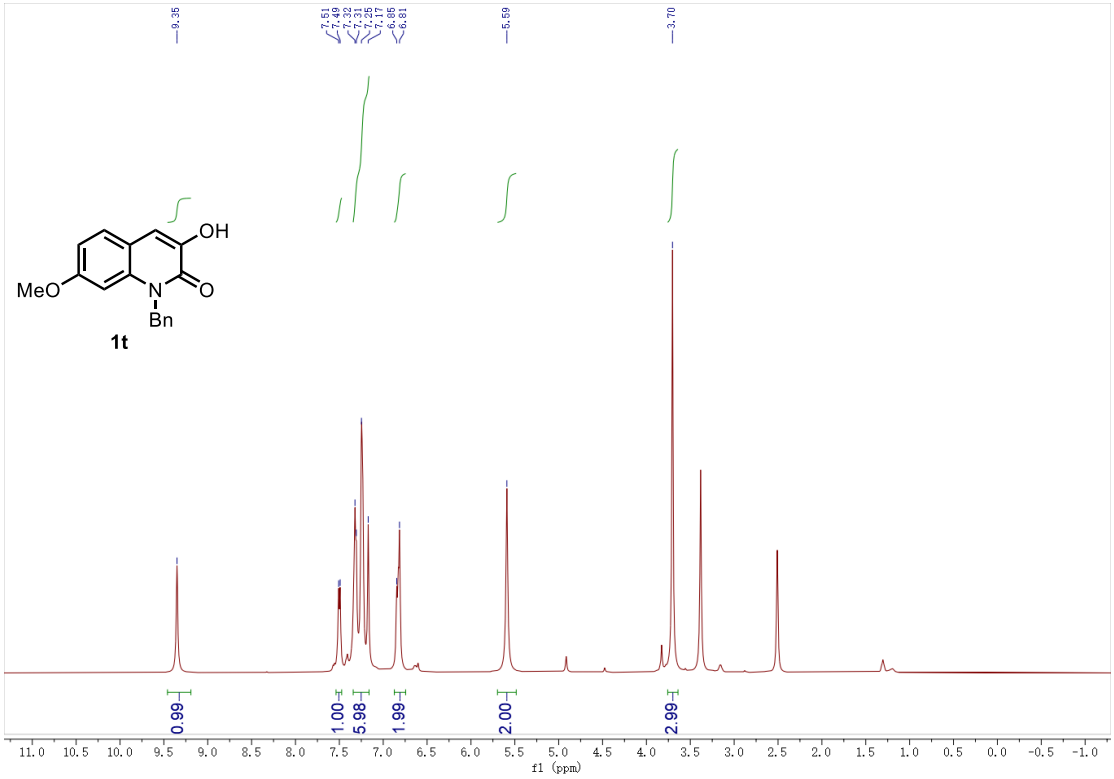
^{1}H NMR of 1s (500 MHz, DMSO)



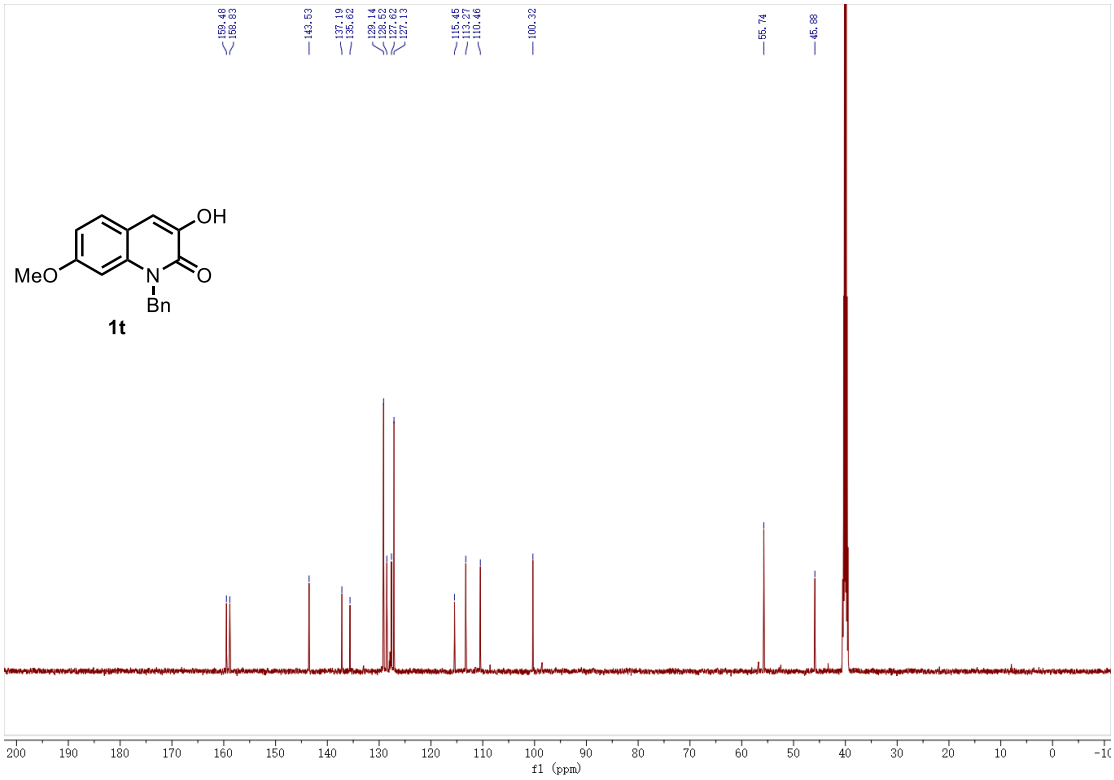
^{13}C NMR of 1s (126 MHz, DMSO)



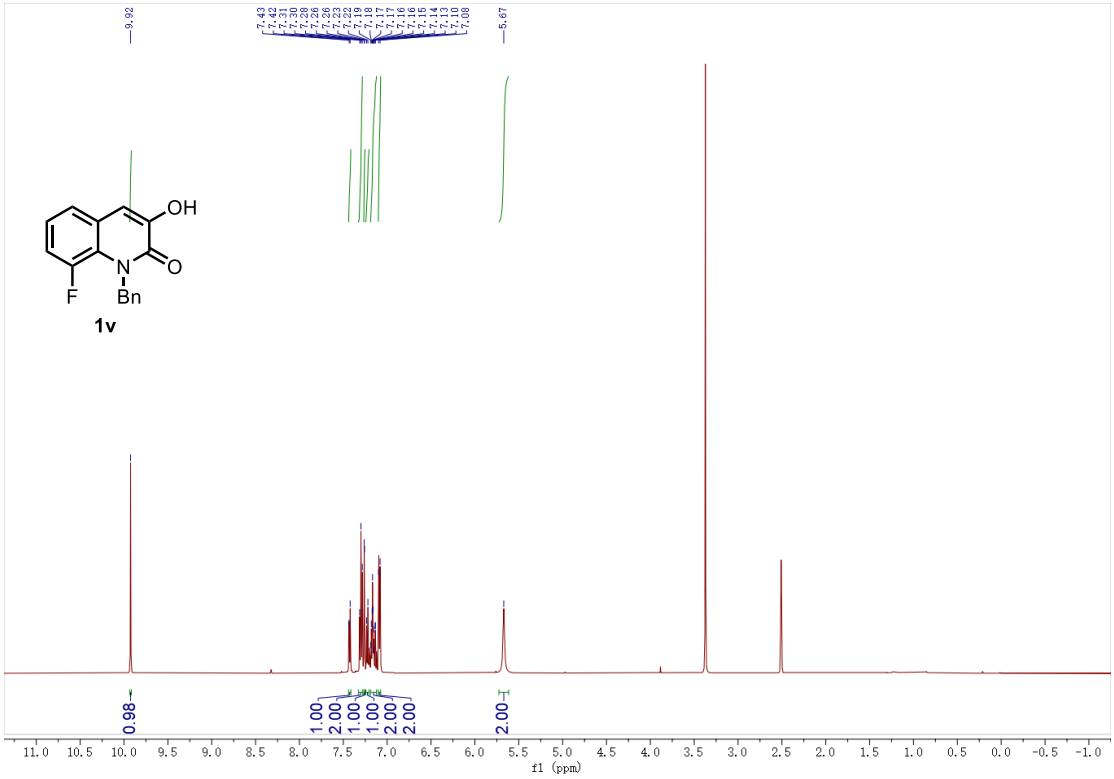
^{1}H NMR of 1t (500 MHz, DMSO)



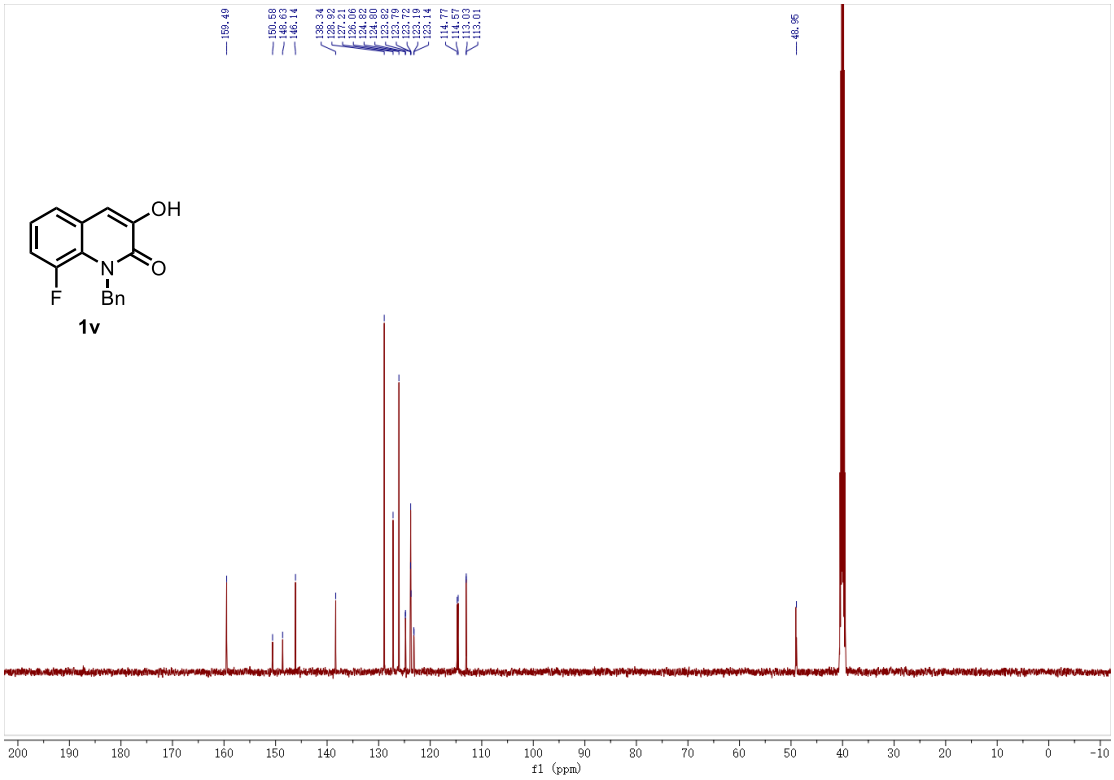
^{13}C NMR of 1t (126 MHz, DMSO)



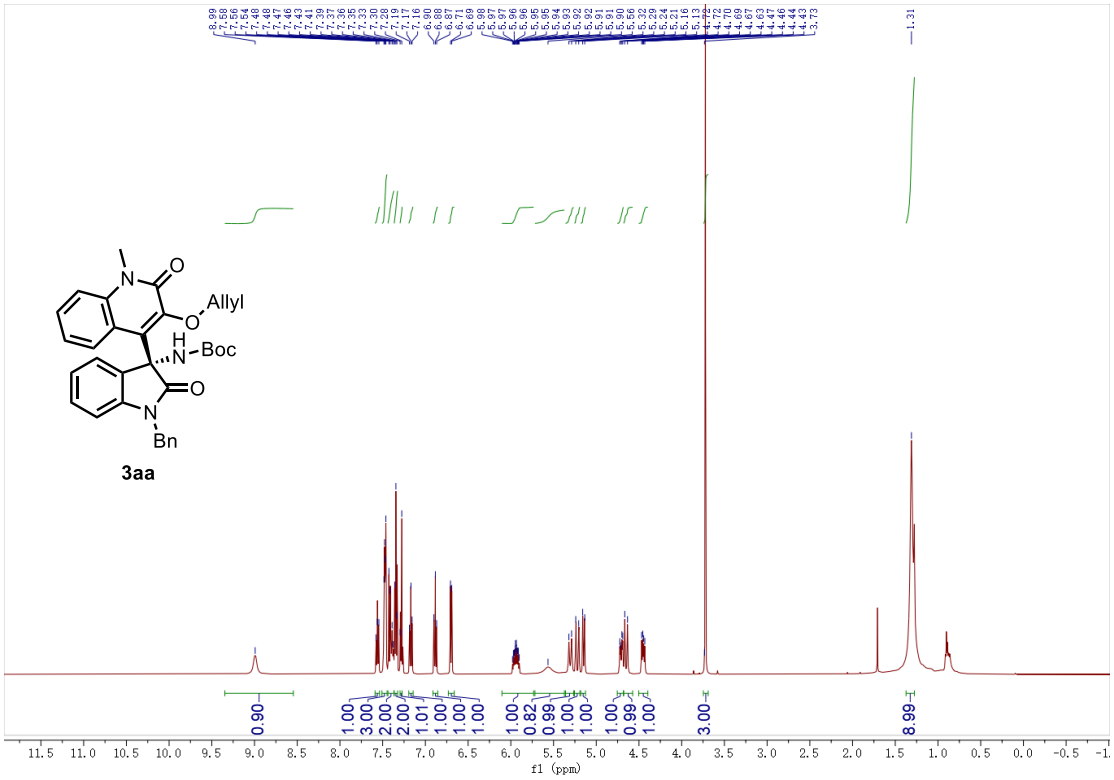
^{1}H NMR of 1v (500 MHz, DMSO)



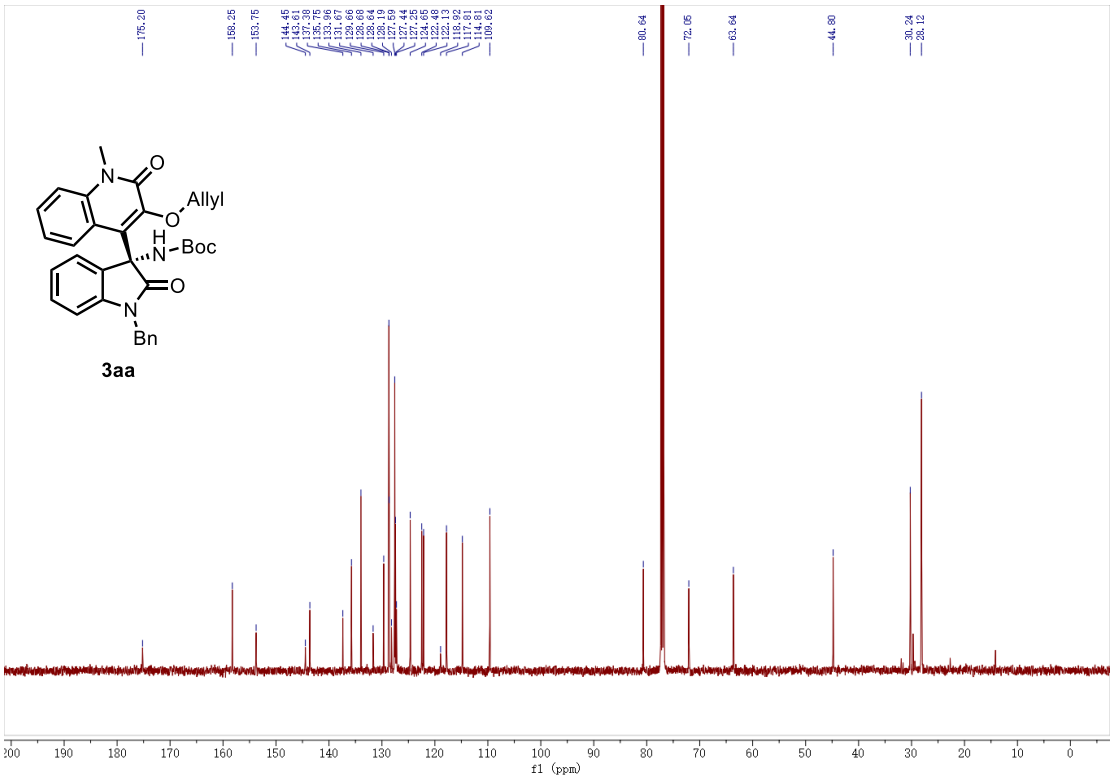
^{13}C NMR of 1v (126 MHz, DMSO)



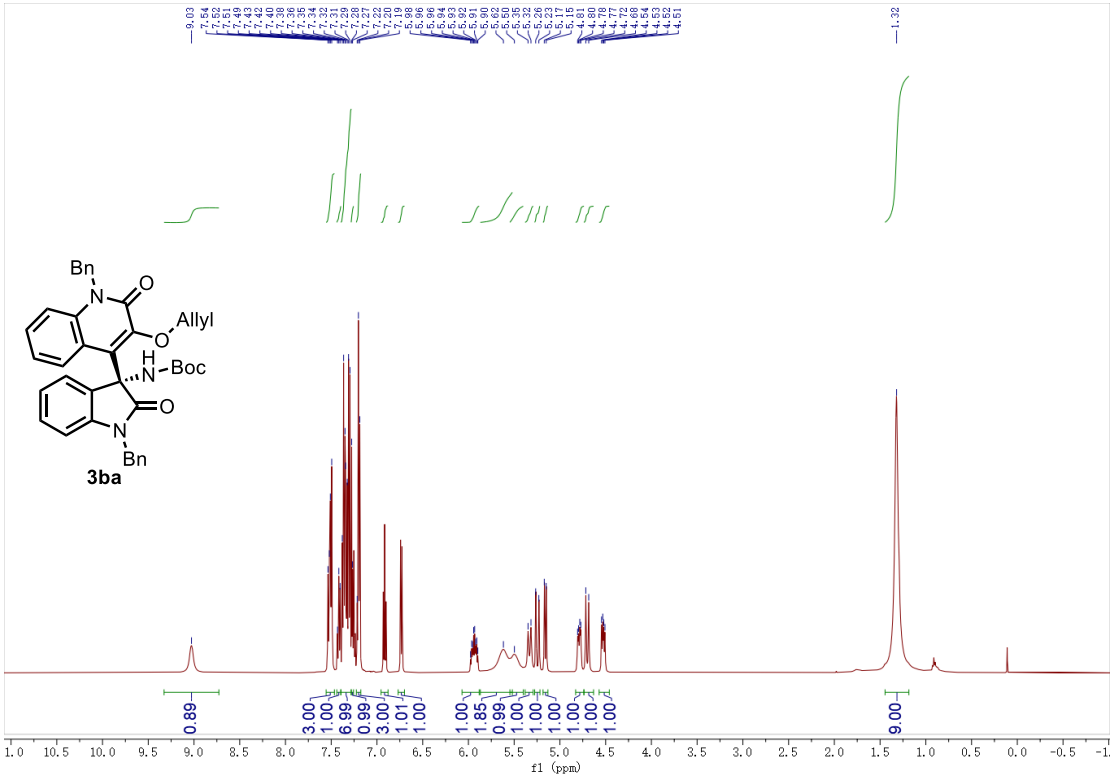
^{1}H NMR of 3aa (500 MHz, $CDCl_3$)



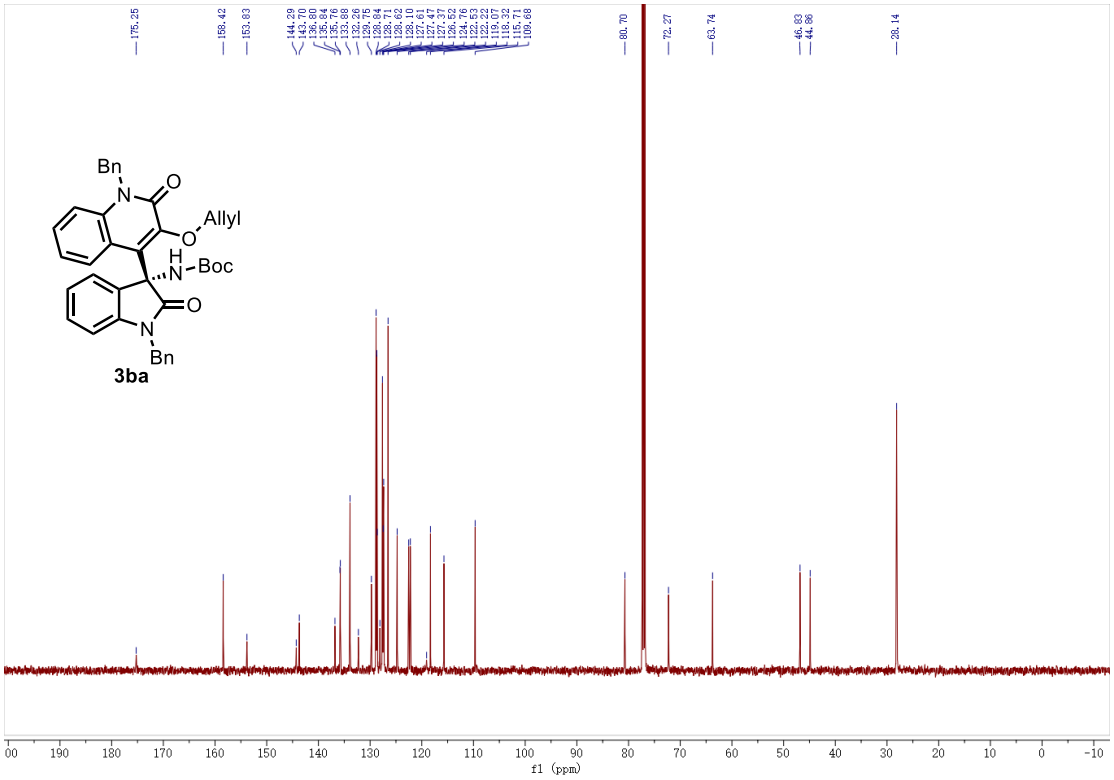
^{13}C NMR of 3aa (126 MHz, $CDCl_3$)



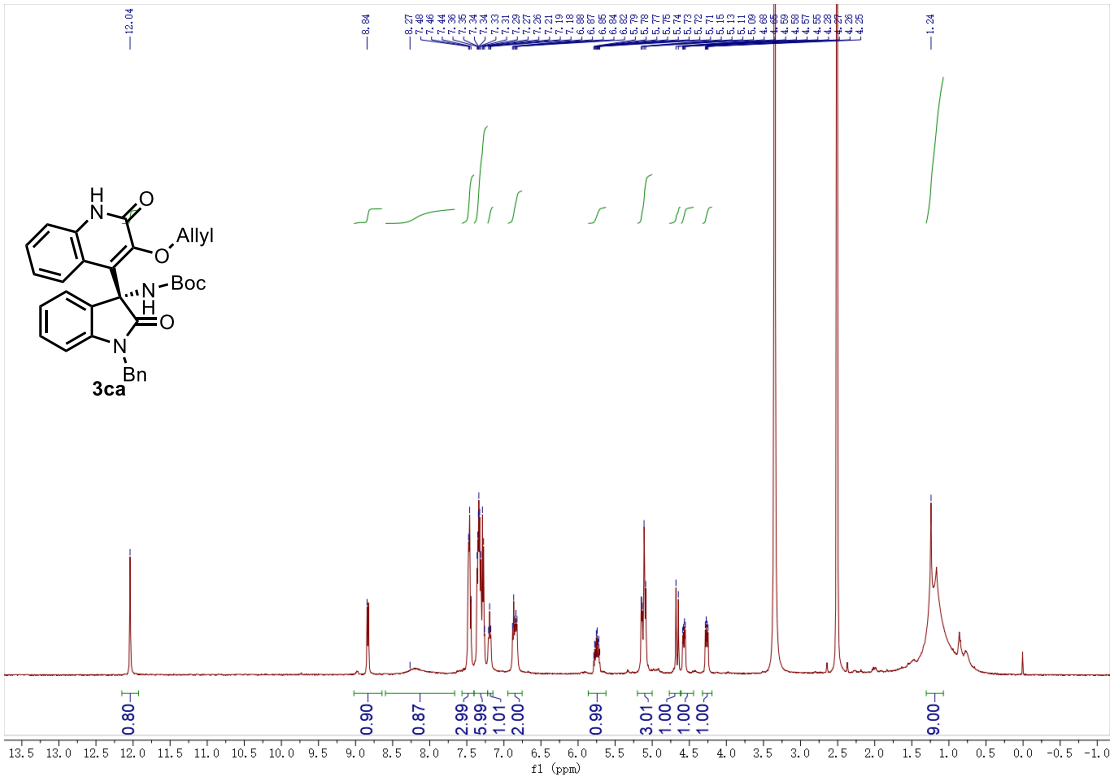
^{1}H NMR of 3ba (500 MHz, $CDCl_3$)



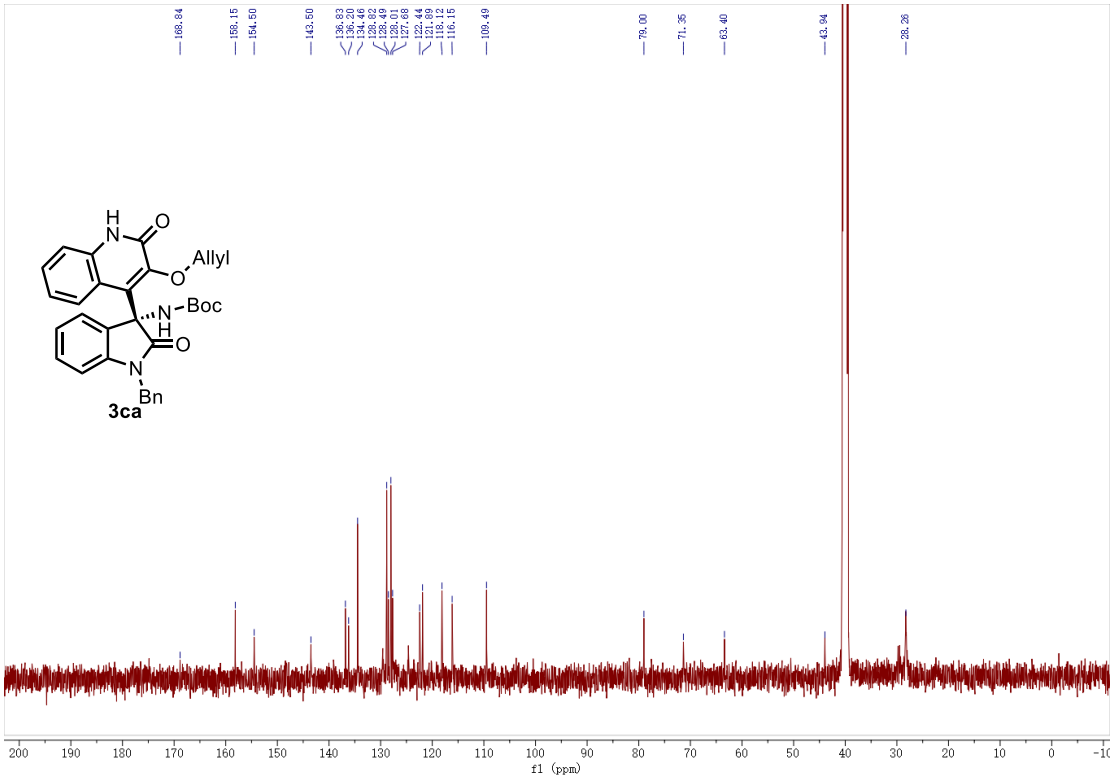
^{13}C NMR of 3ba (126 MHz, $CDCl_3$)



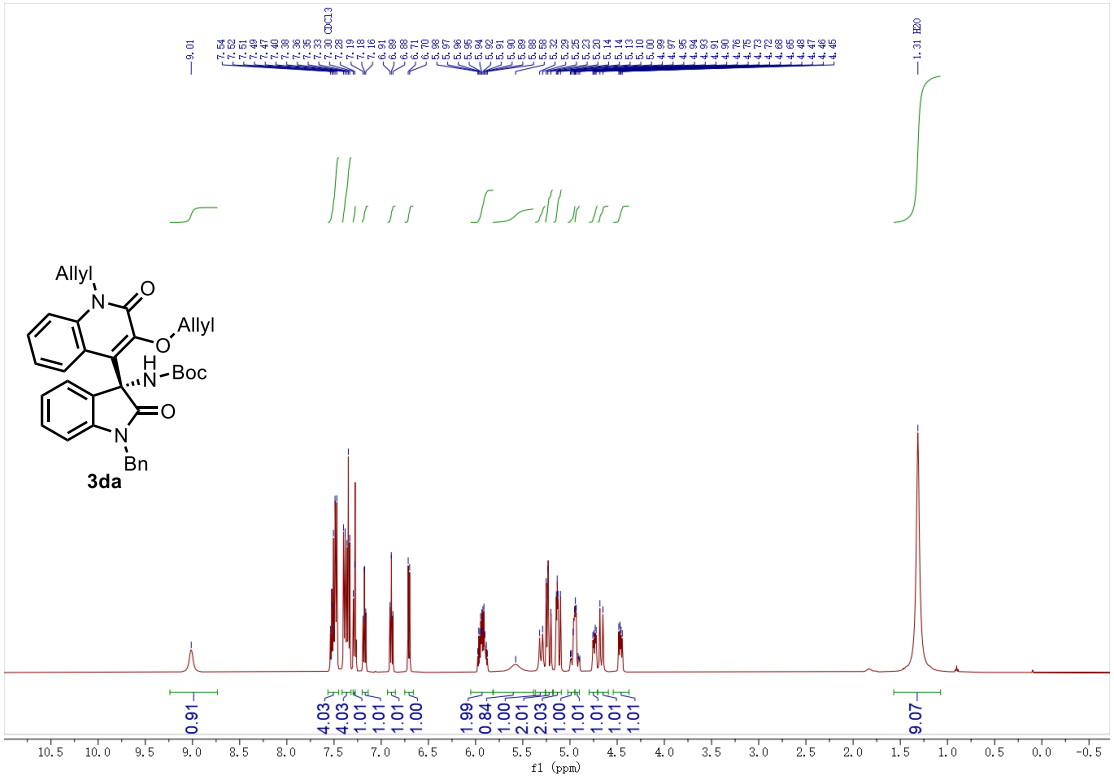
^{1}H NMR of 3ca (500 MHz, DMSO)



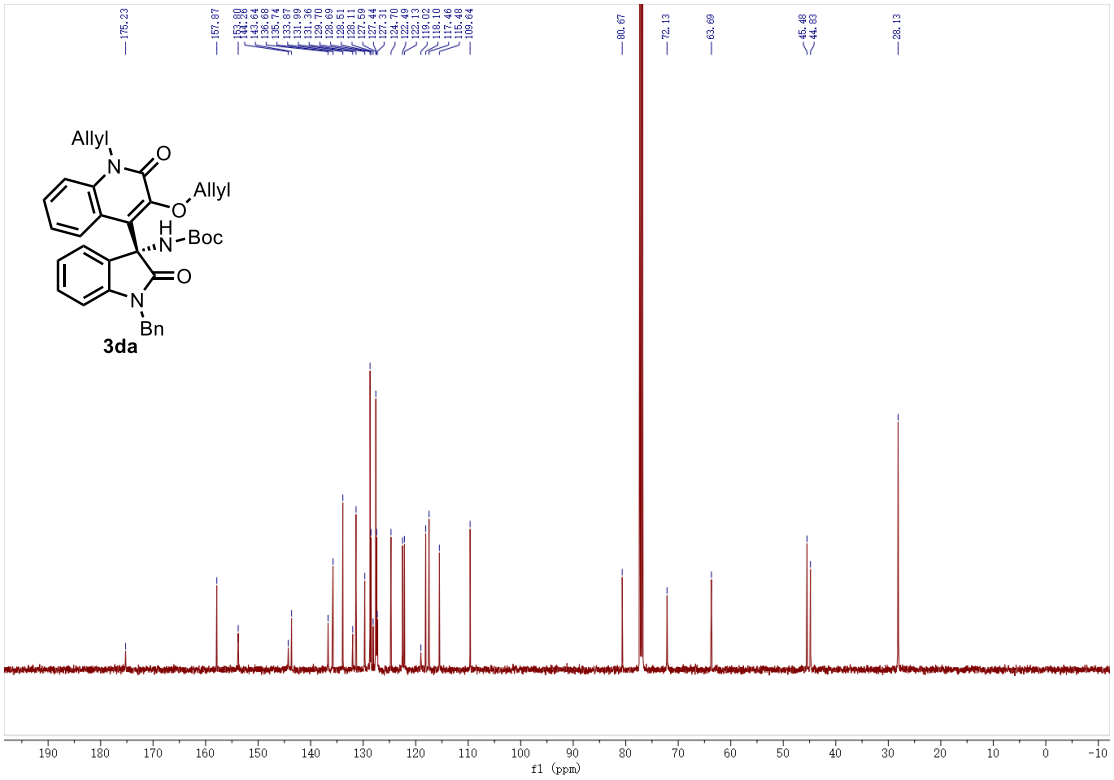
^{13}C NMR of 3ca (126 MHz, DMSO)



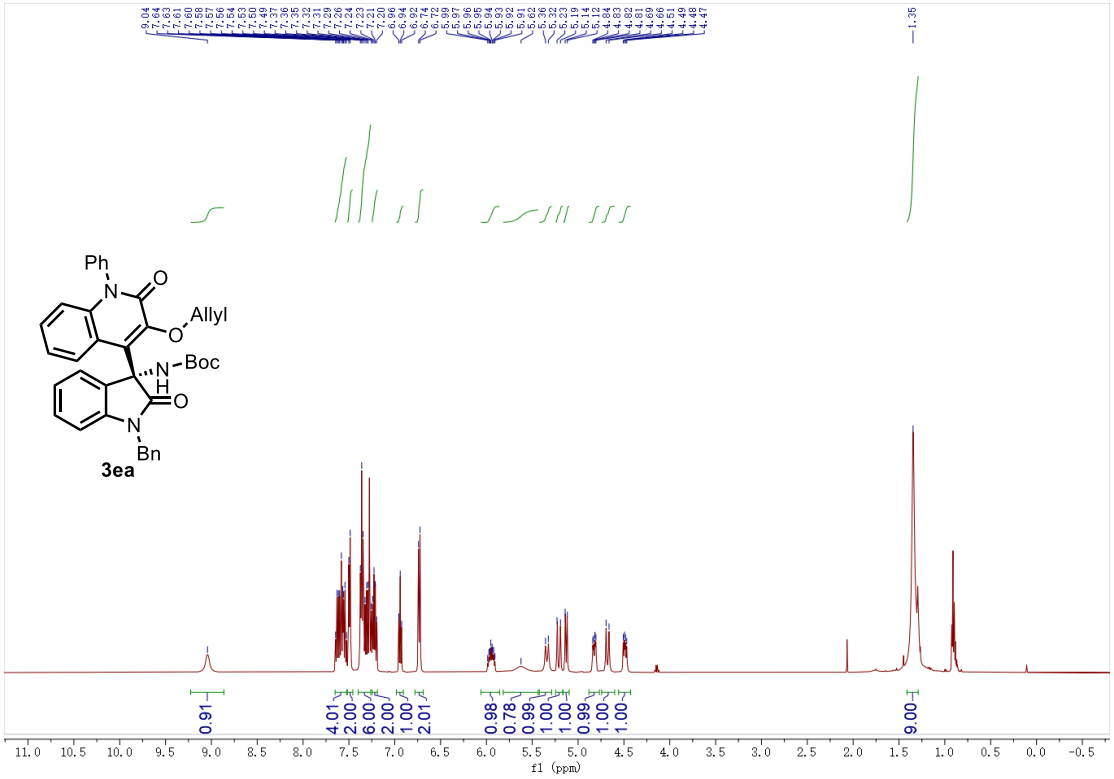
^{1}H NMR of 3da (500 MHz, $CDCl_3$)



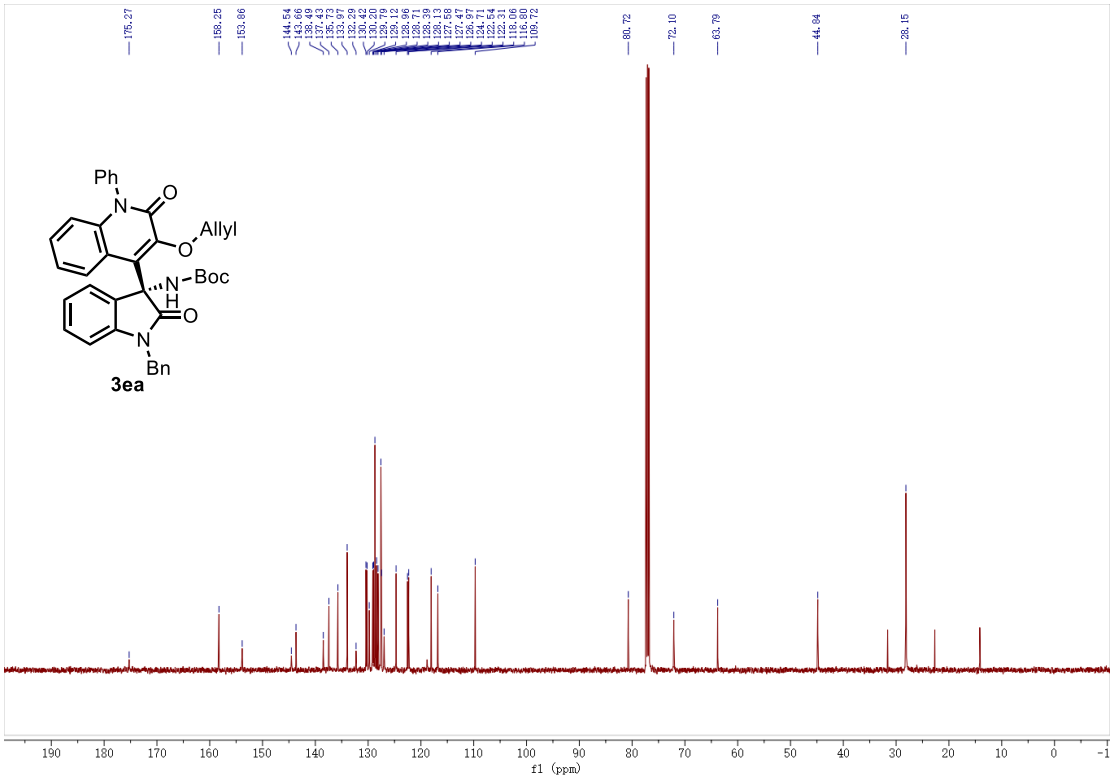
^{13}C NMR of 3da (126 MHz, $CDCl_3$)



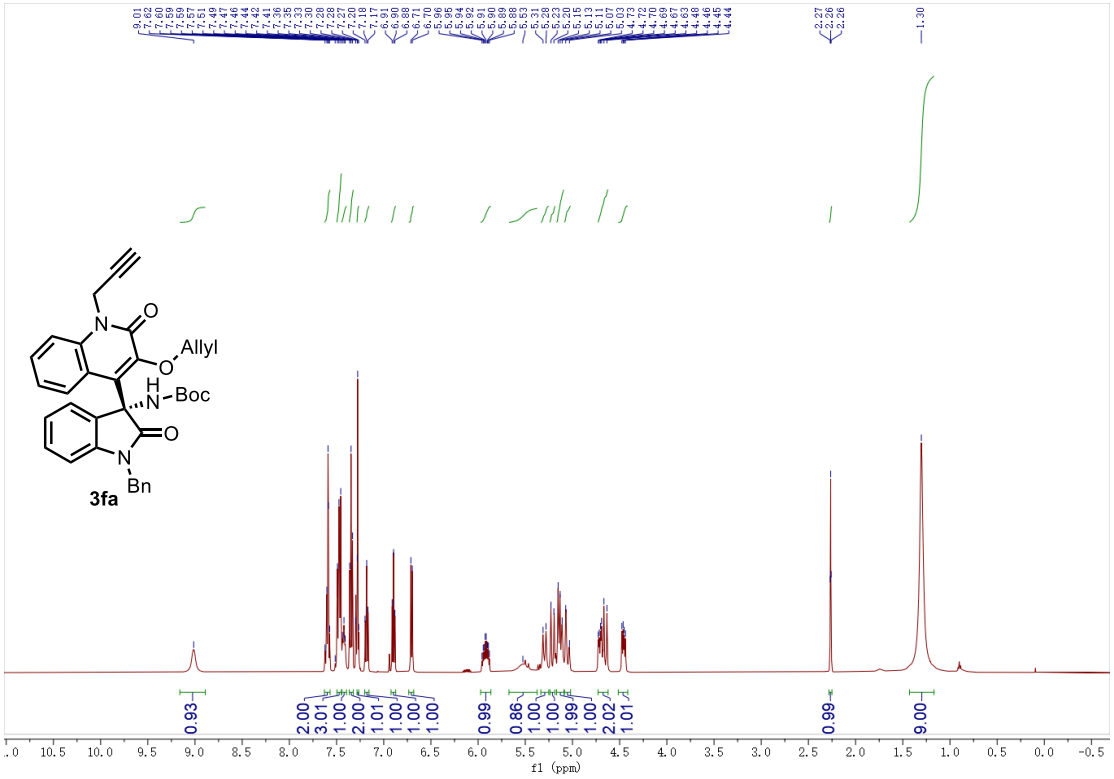
^{1}H NMR of 3ea (500 MHz, $CDCl_3$)



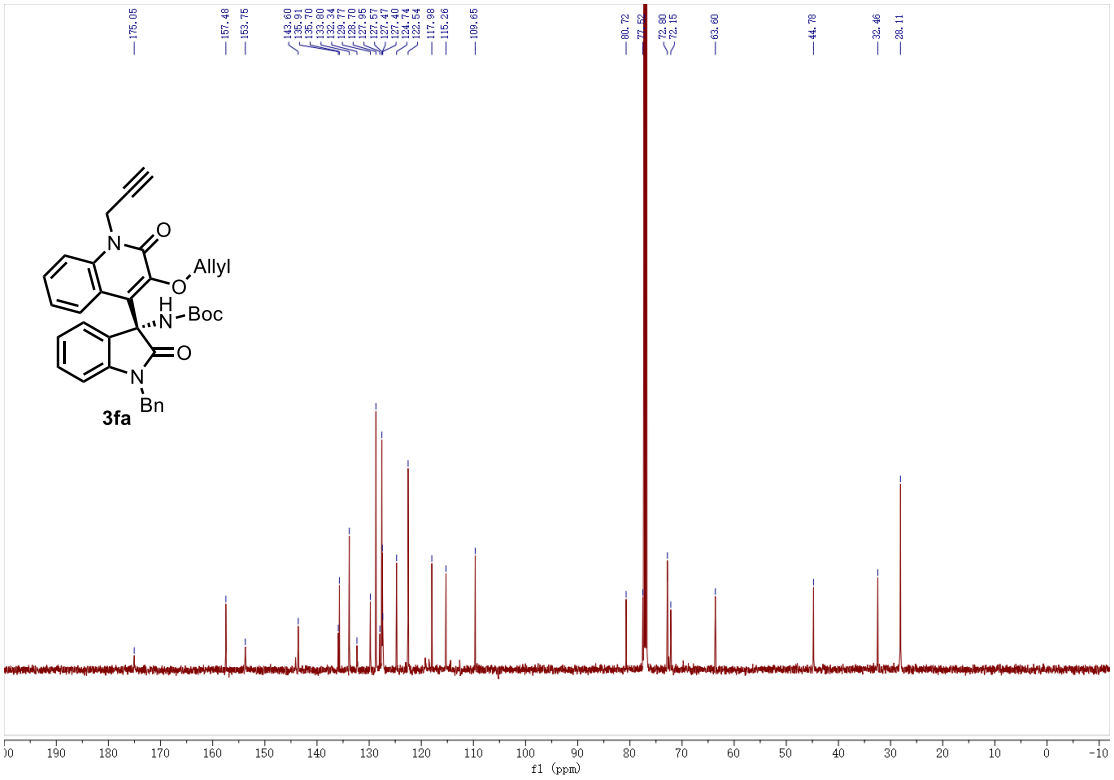
^{13}C NMR of 3ea (126 MHz, $CDCl_3$)



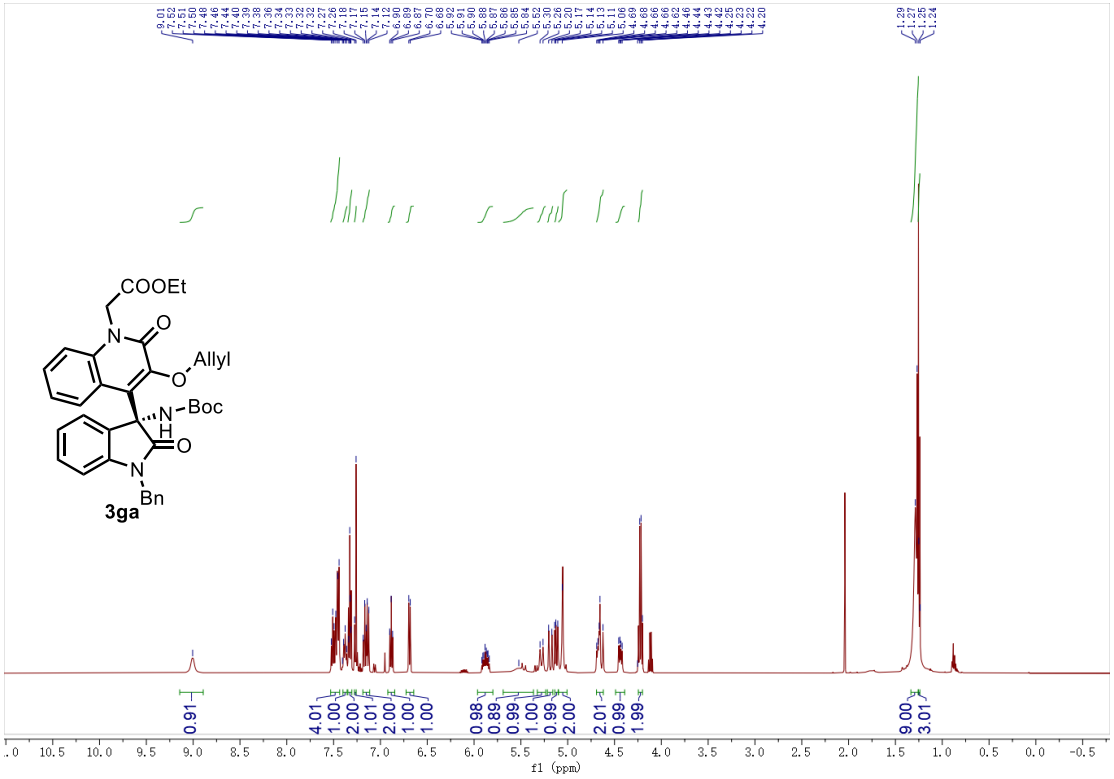
^{1}H NMR of 3fa (500 MHz, $CDCl_3$)



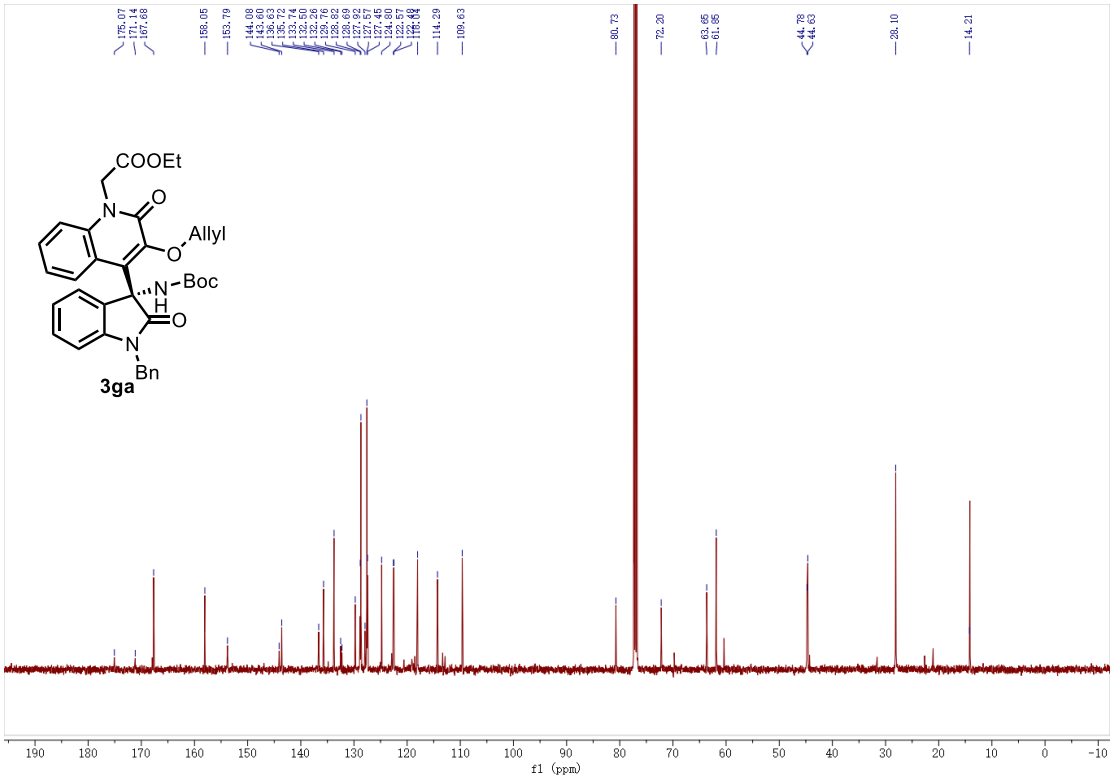
^{13}C NMR of 3fa (126 MHz, $CDCl_3$)



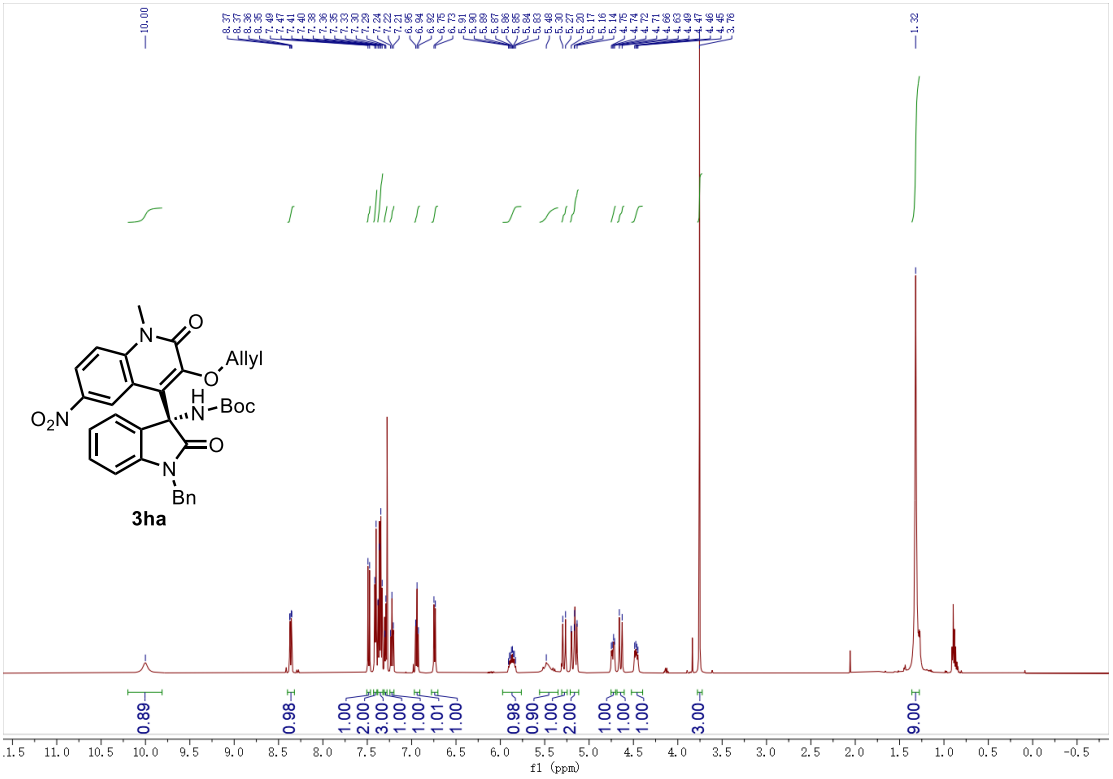
^{1}H NMR of 3ga (500 MHz, $CDCl_3$)



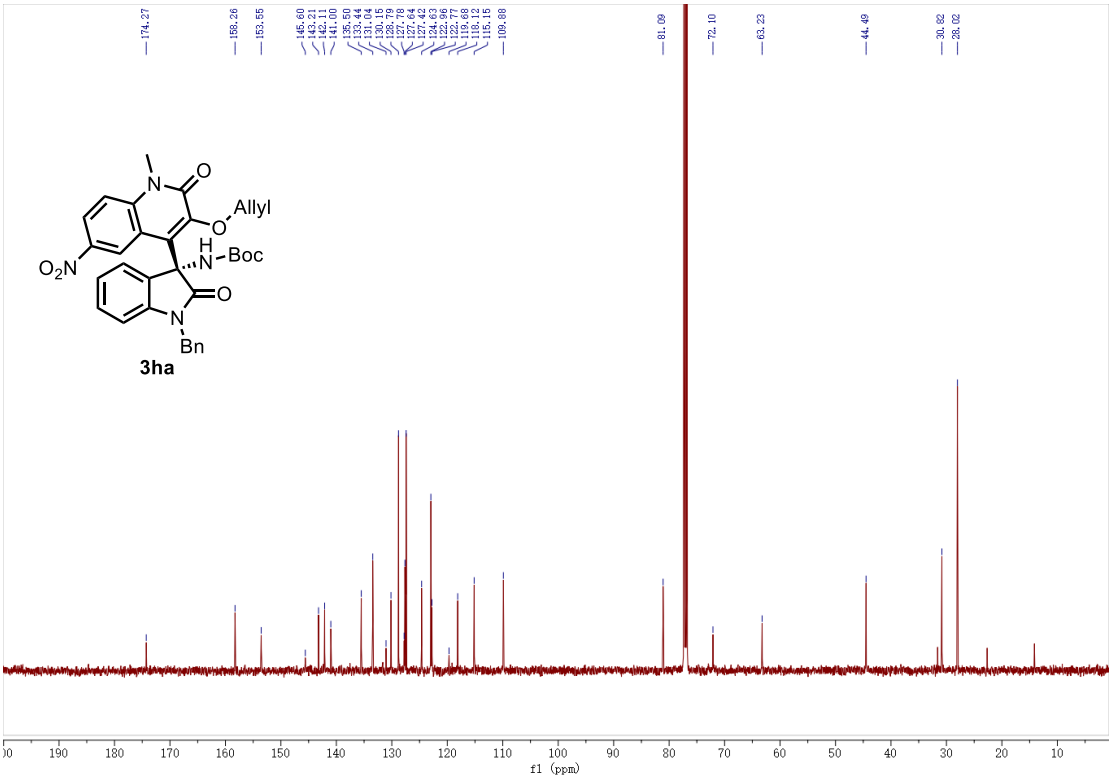
^{13}C NMR of 3ga (126 MHz, $CDCl_3$)



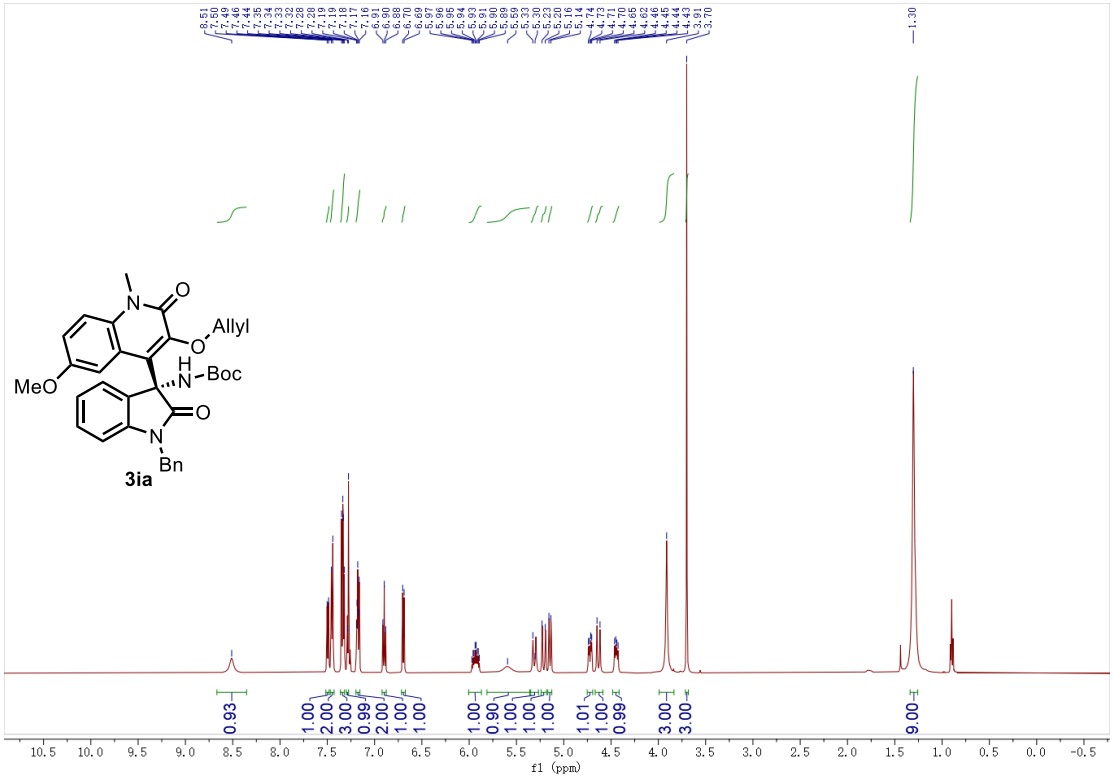
^{1}H NMR of 3ha (500 MHz, $CDCl_3$)



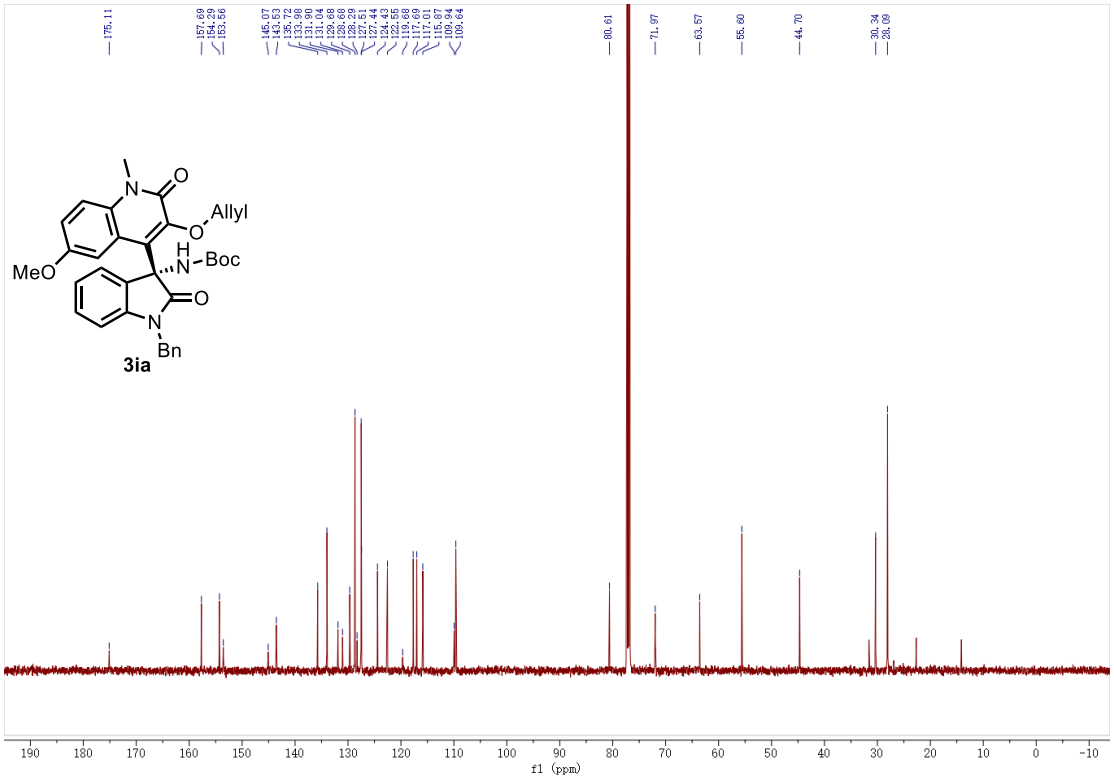
^{13}C NMR 3ha (126 MHz, $CDCl_3$)



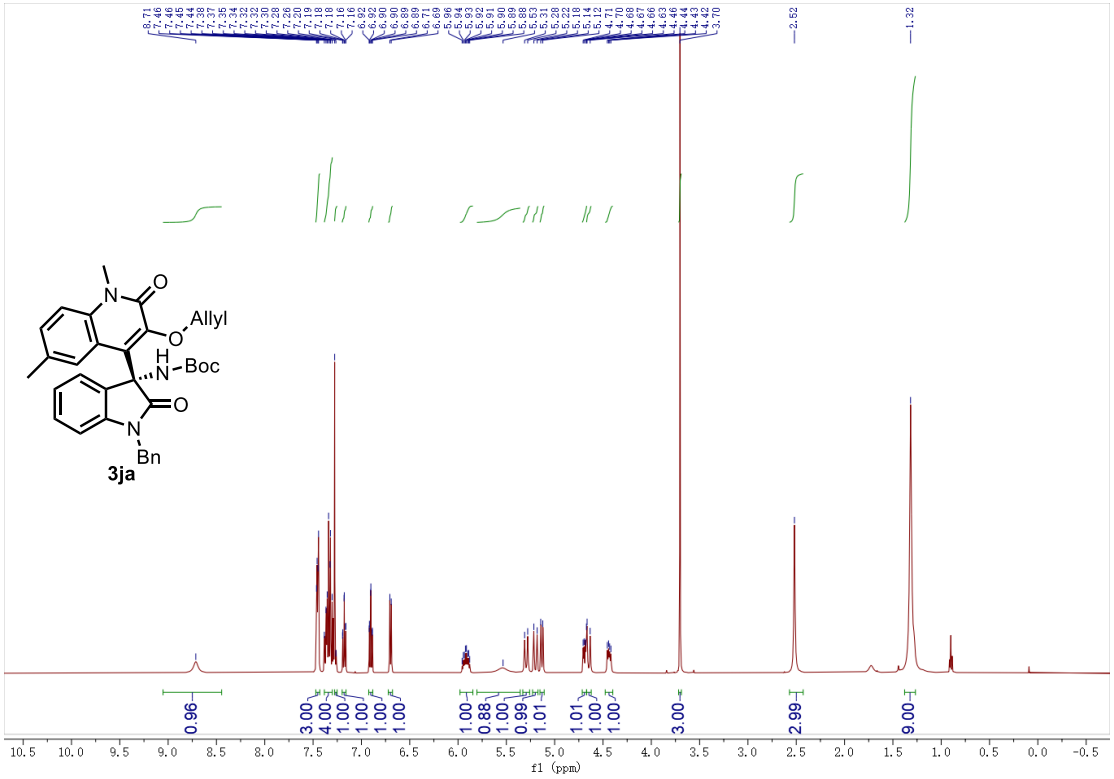
^{1}H NMR of 3ia (500 MHz, $CDCl_3$)



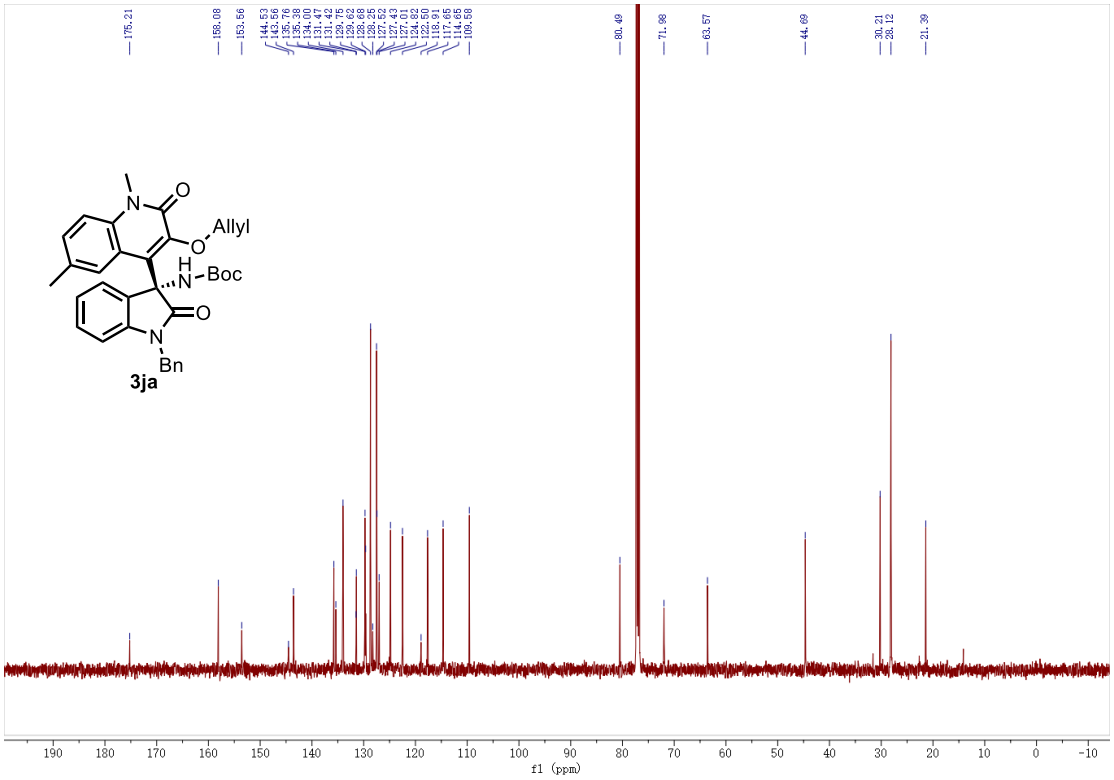
^{13}C NMR of 3ia (126 MHz, $CDCl_3$)



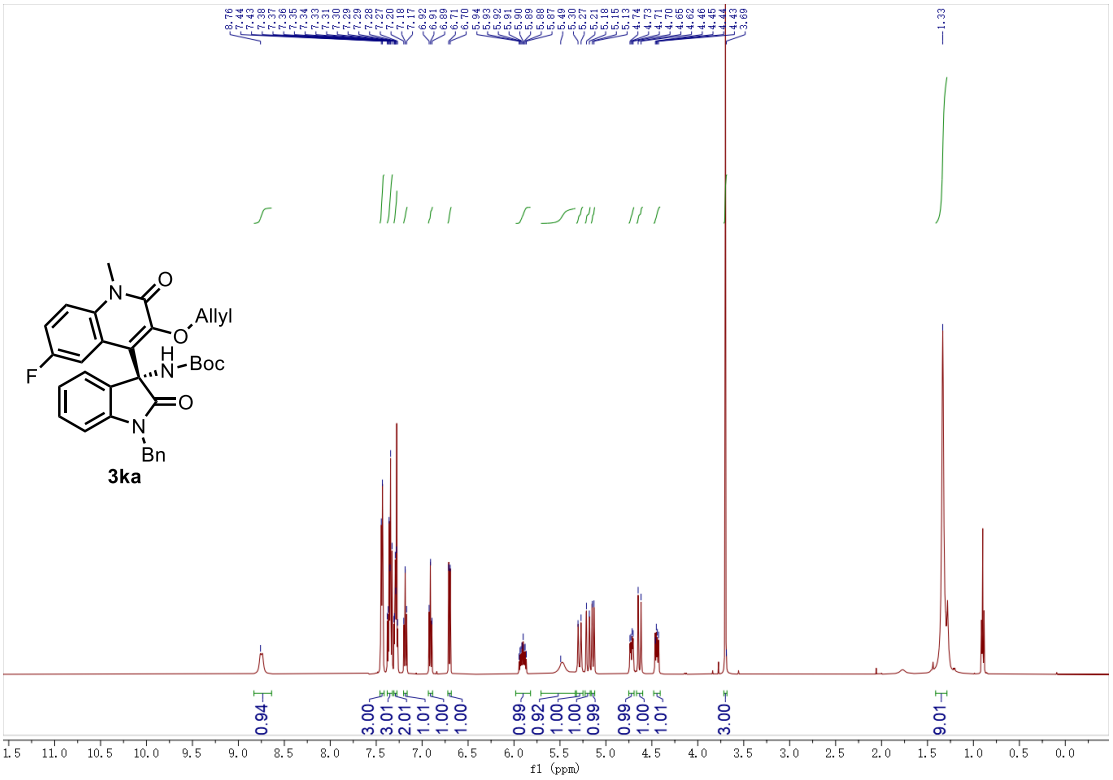
^{1}H NMR of 3ja (500 MHz, $CDCl_3$)



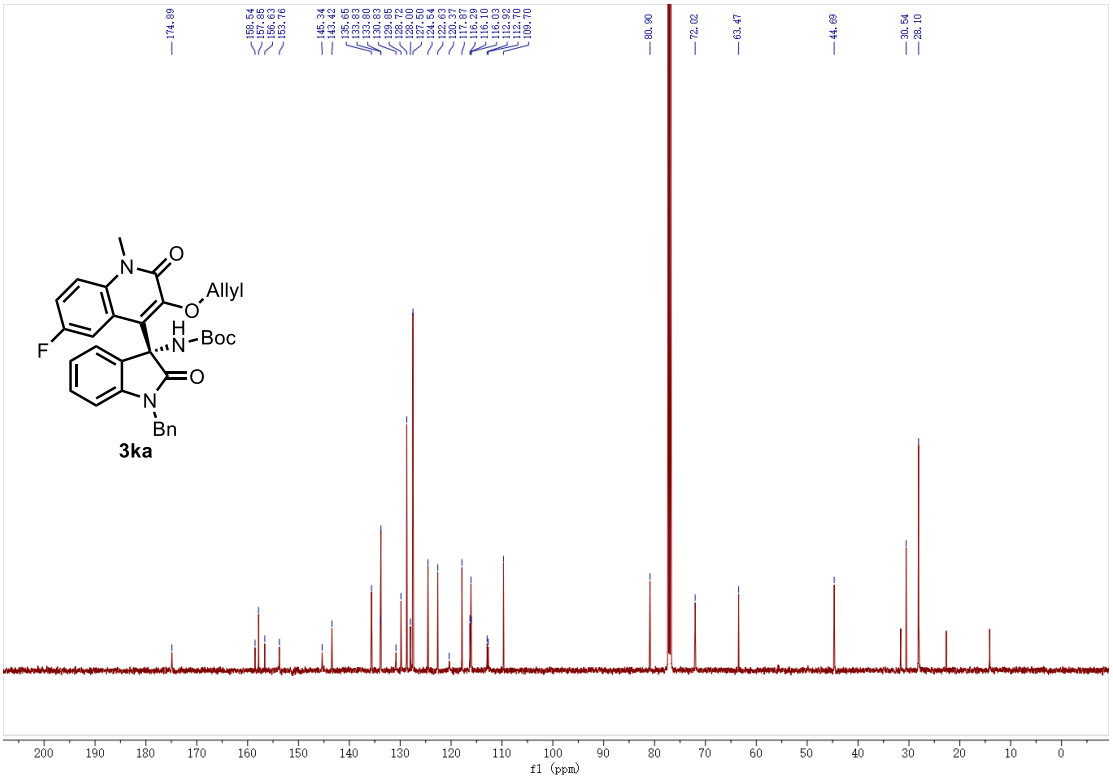
^{13}C NMR of 3ja (126 MHz, $CDCl_3$)



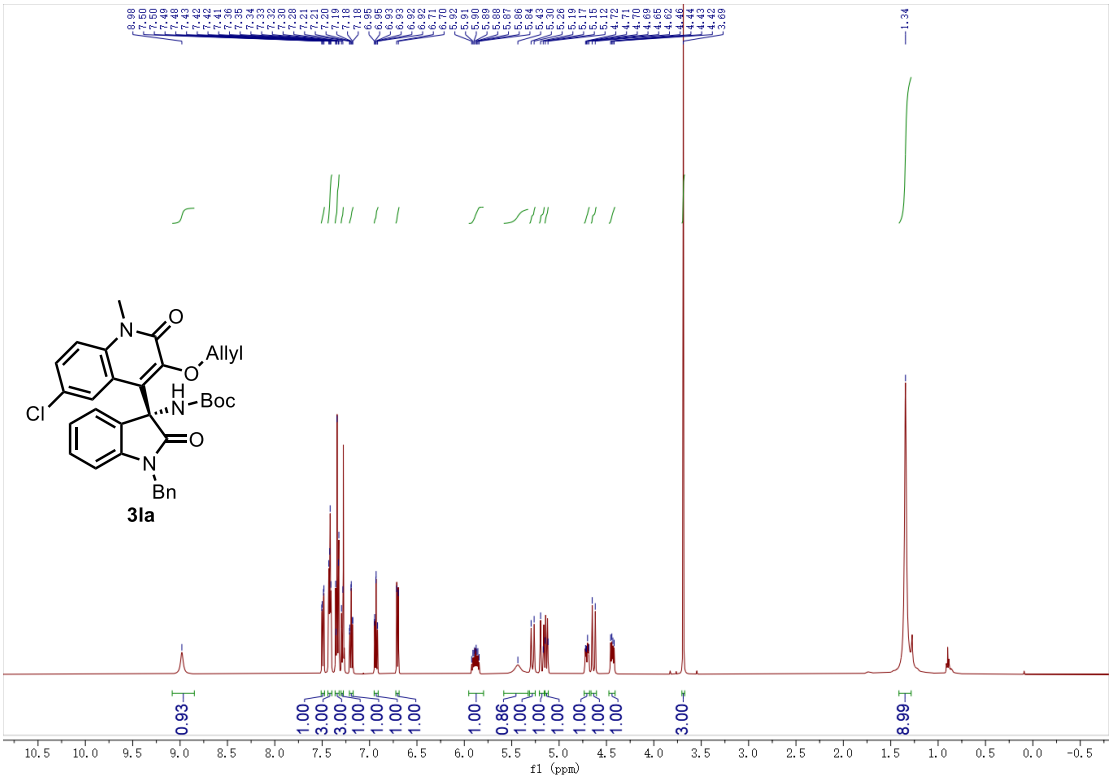
^{1}H NMR of 3ka (500 MHz, $CDCl_3$)



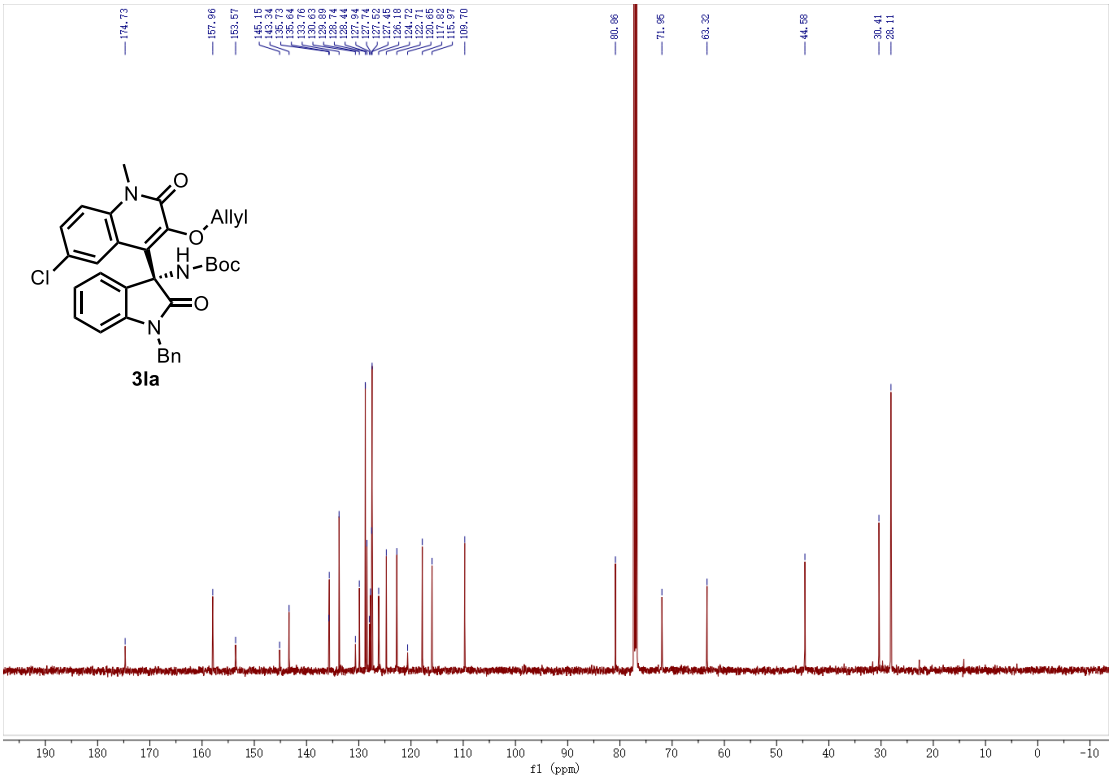
^{13}C NMR of 3ka (126 MHz, $CDCl_3$)



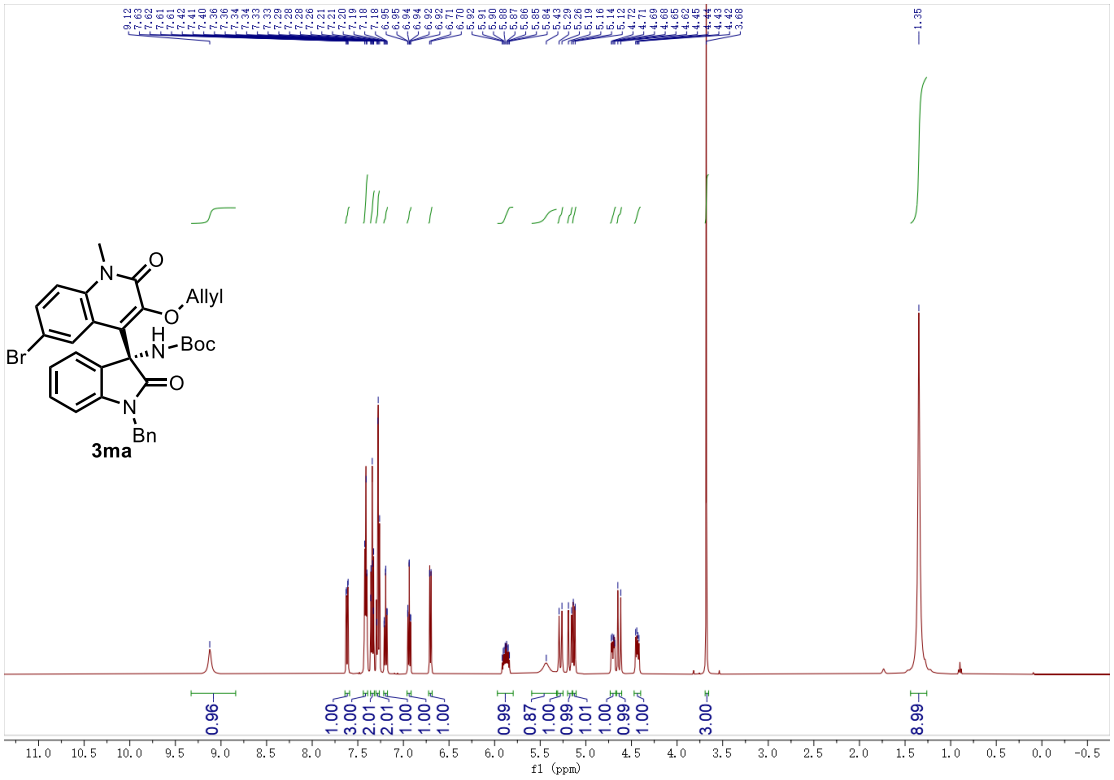
^{1}H NMR of 3la (500 MHz, $CDCl_3$)



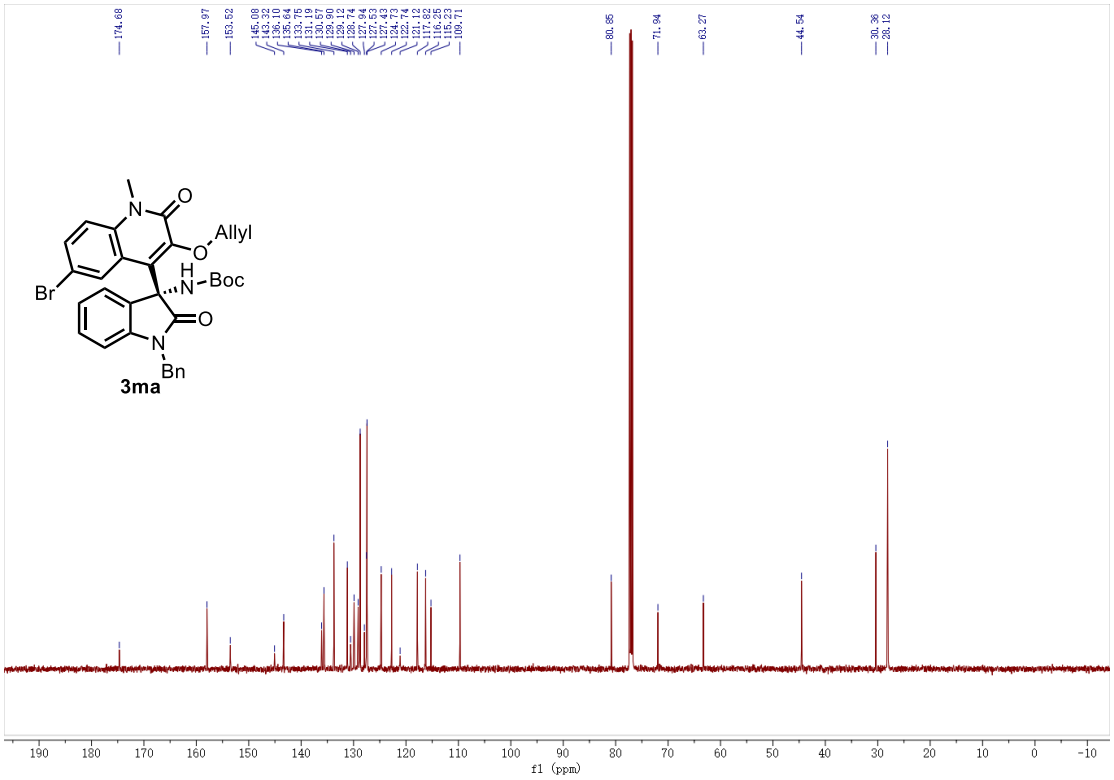
^{13}C NMR of 3la (126 MHz, $CDCl_3$)



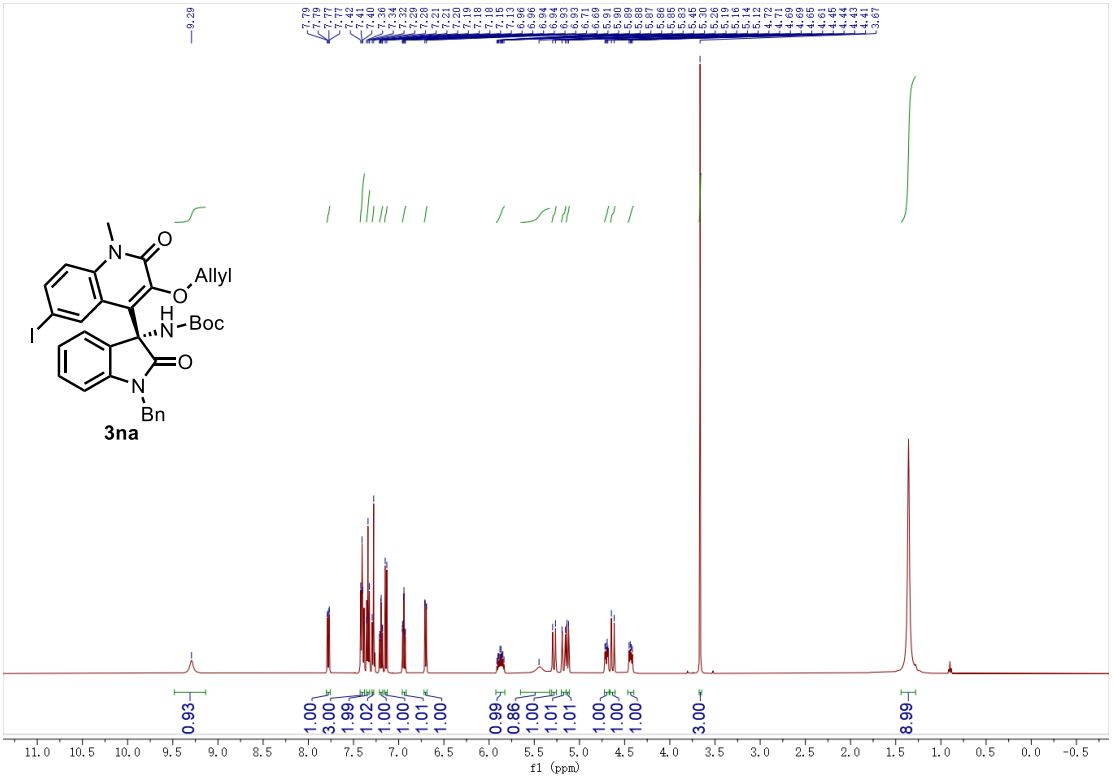
^{1}H NMR of 3ma (500 MHz, $CDCl_3$)



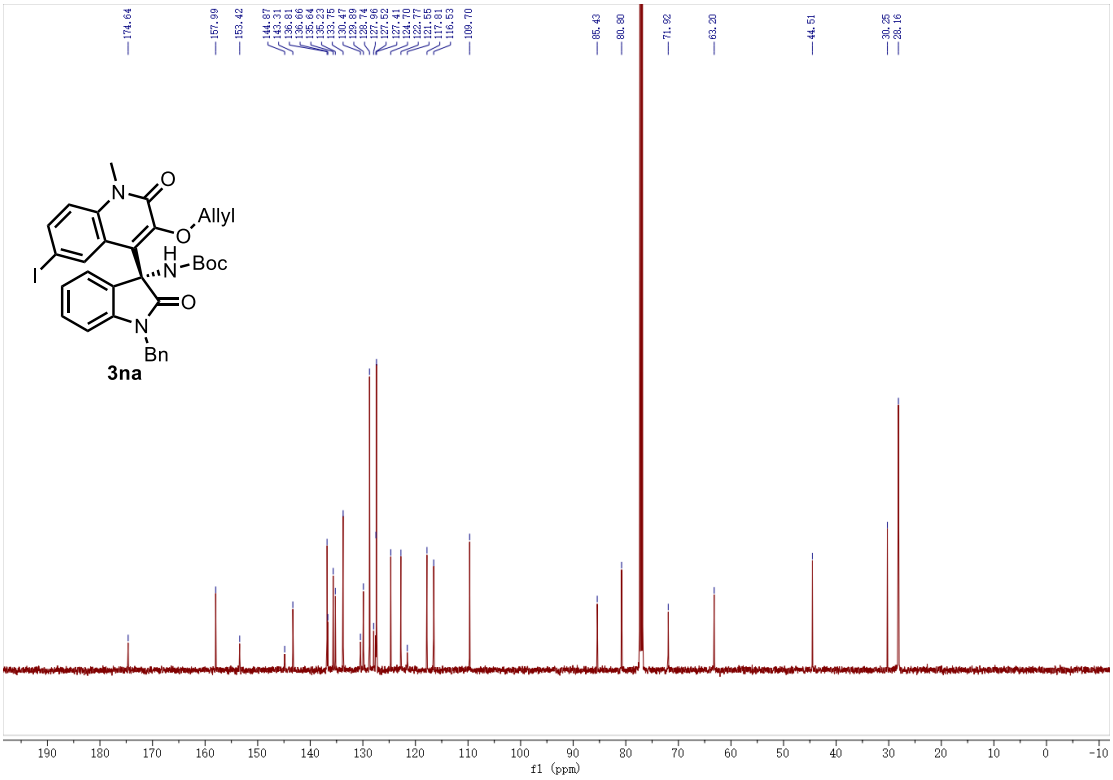
^{13}C NMR of 3ma (126 MHz, $CDCl_3$)



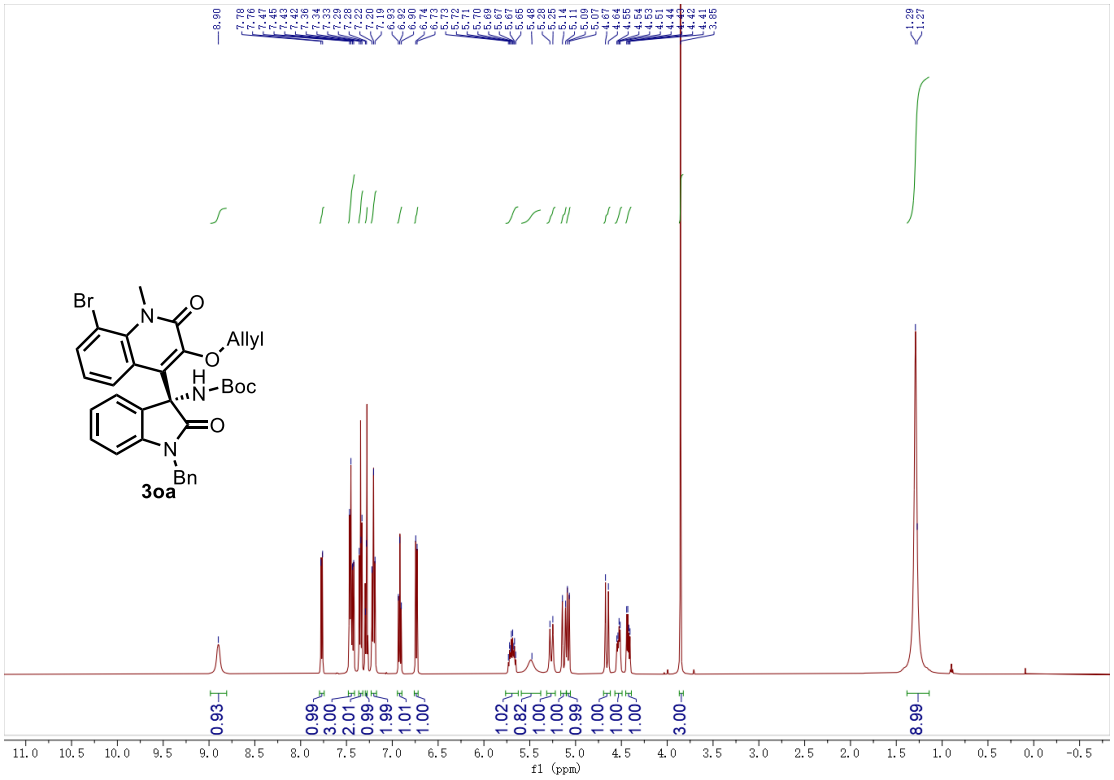
^{1}H NMR of 3na (500 MHz, $CDCl_3$)



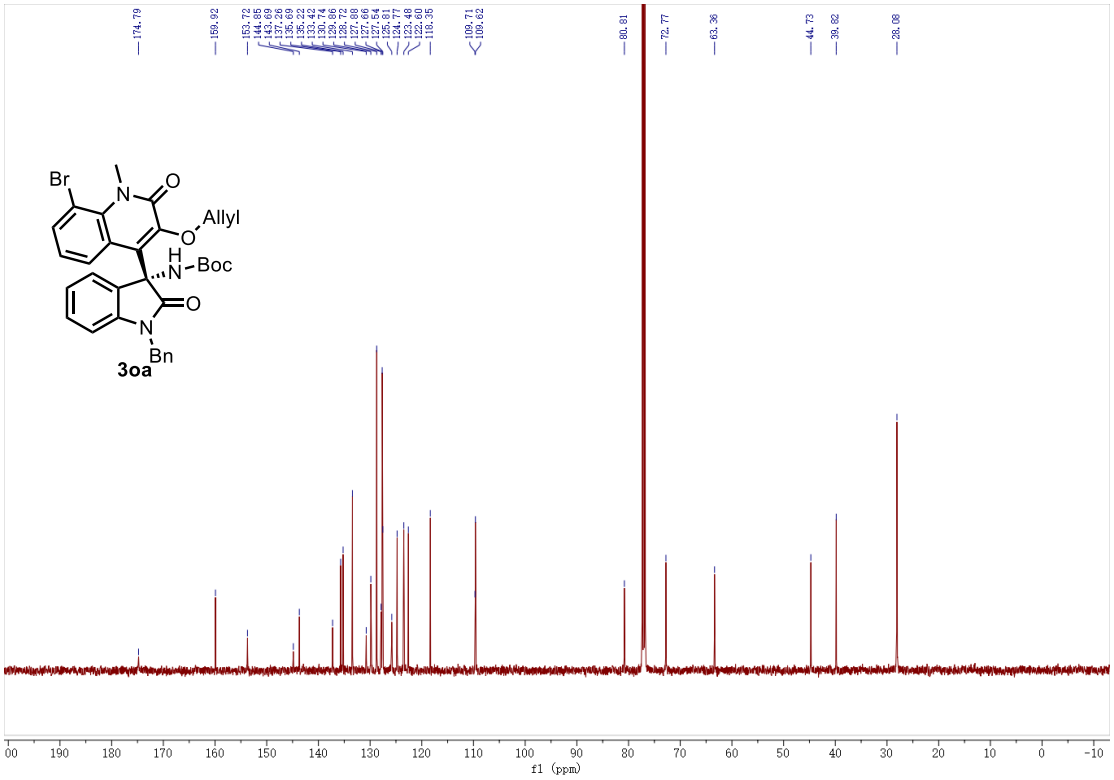
^{13}C NMR of **3na** (126 MHz, $CDCl_3$)



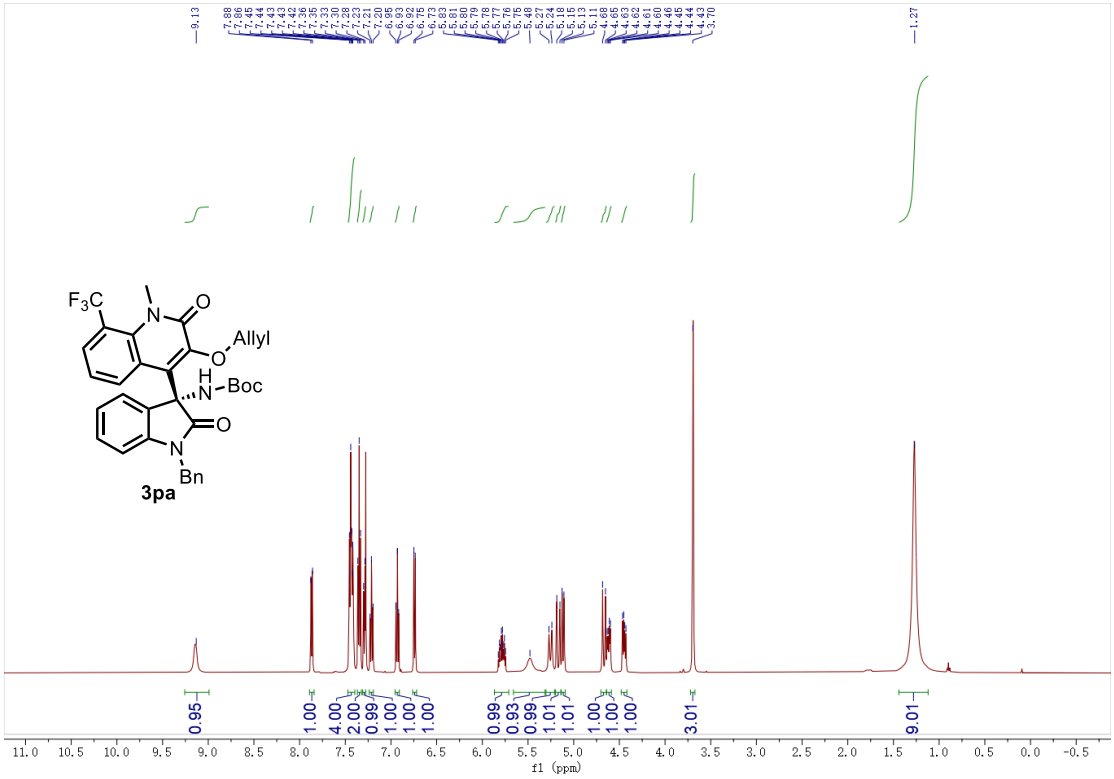
^{1}H NMR of **3oa** (500 MHz, $CDCl_3$)



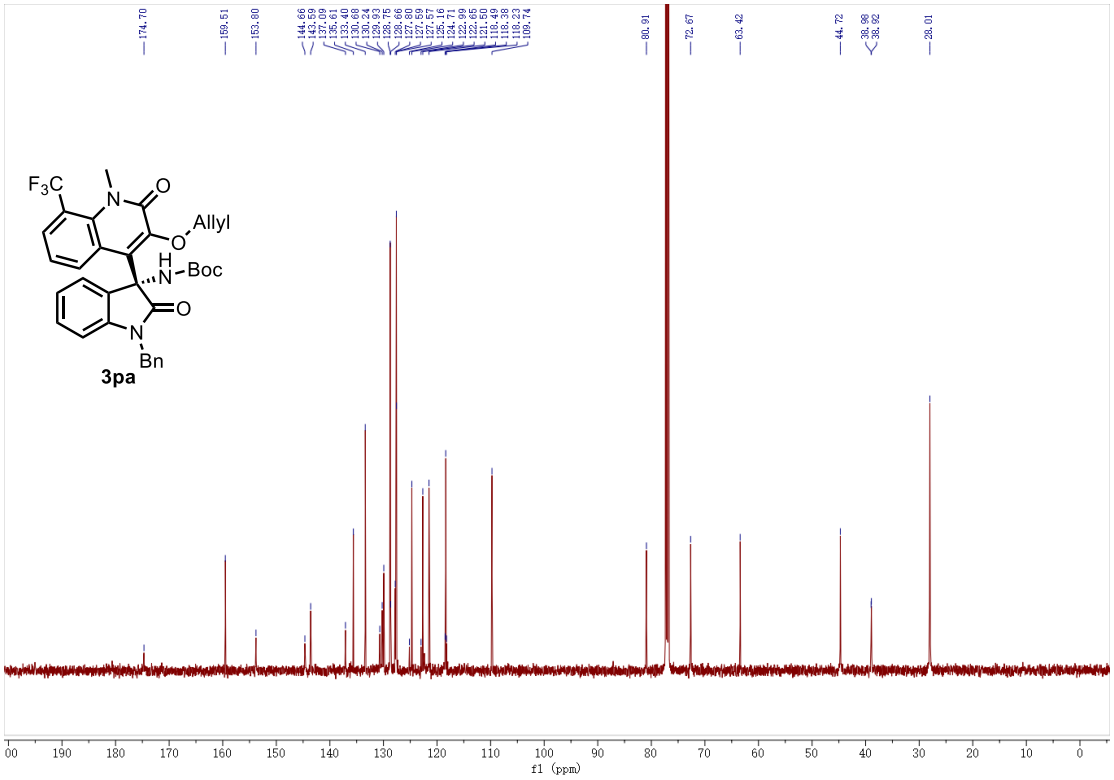
^{13}C NMR of 3oa (126 MHz, $CDCl_3$)



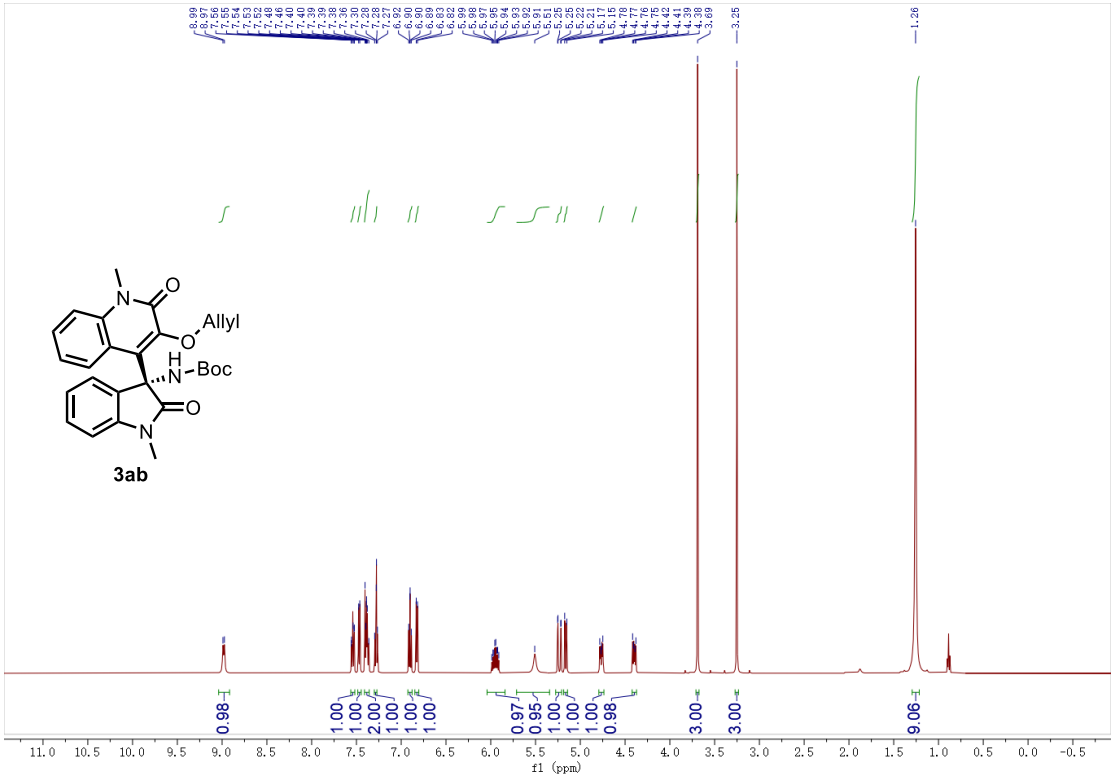
^{1}H NMR of 3pa (500 MHz, $CDCl_3$)



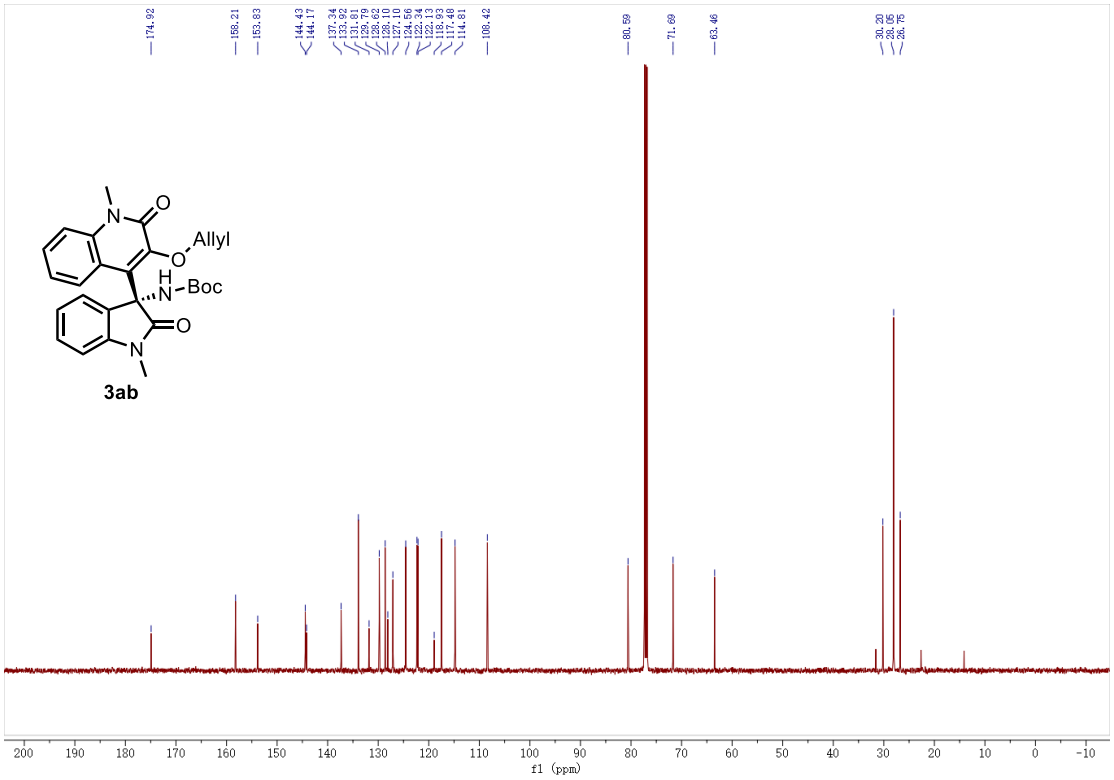
^{13}C NMR of 3pa (126 MHz, $CDCl_3$)



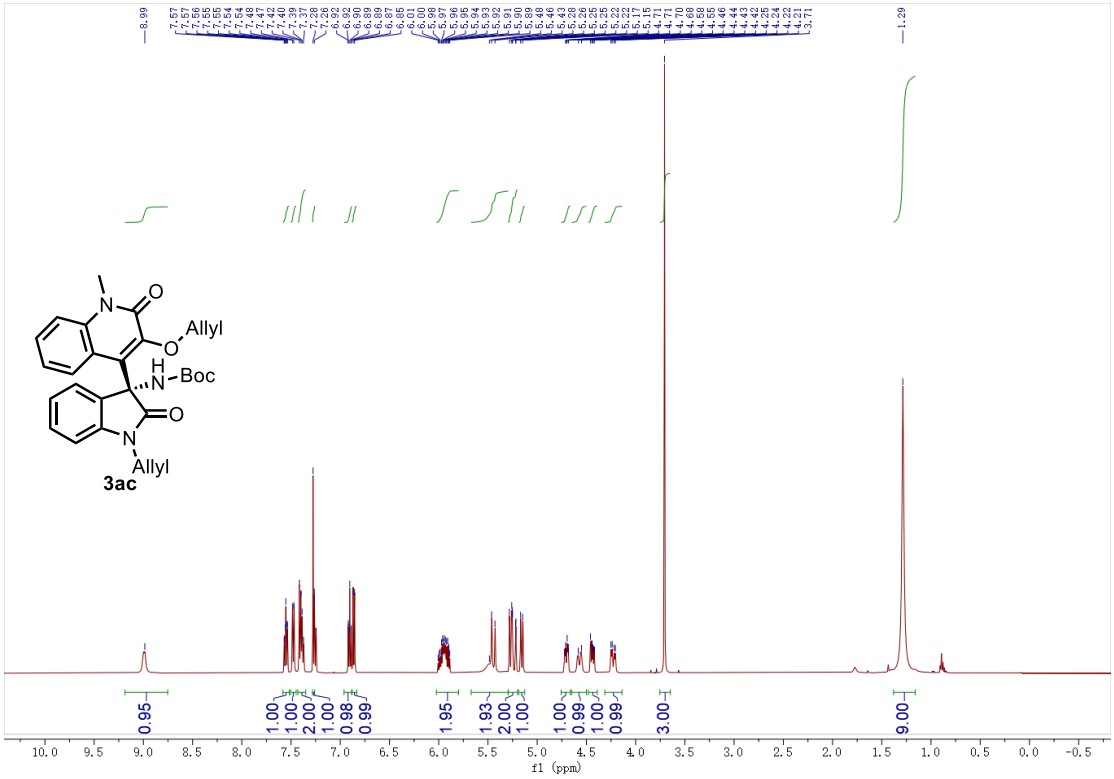
^{1}H NMR of 3ab (500 MHz, $CDCl_3$)



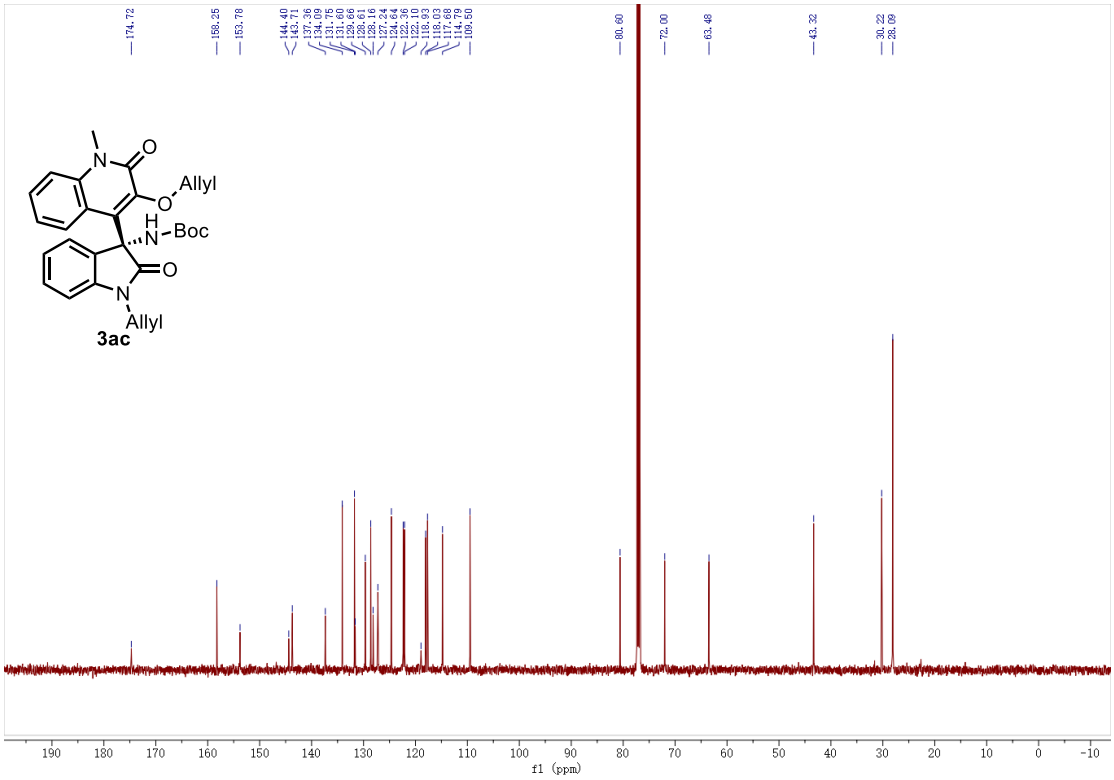
^{13}C NMR of 3ab (126 MHz, $CDCl_3$)



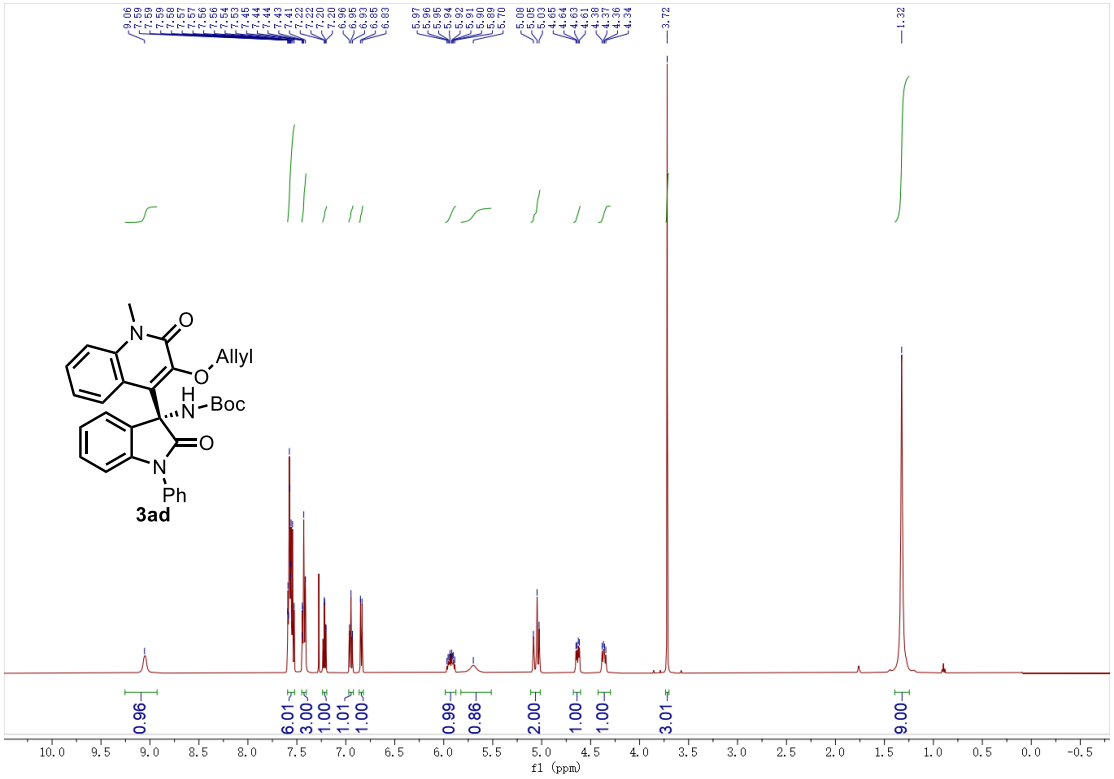
^{1}H NMR of **3ac** (500 MHz, $CDCl_3$)



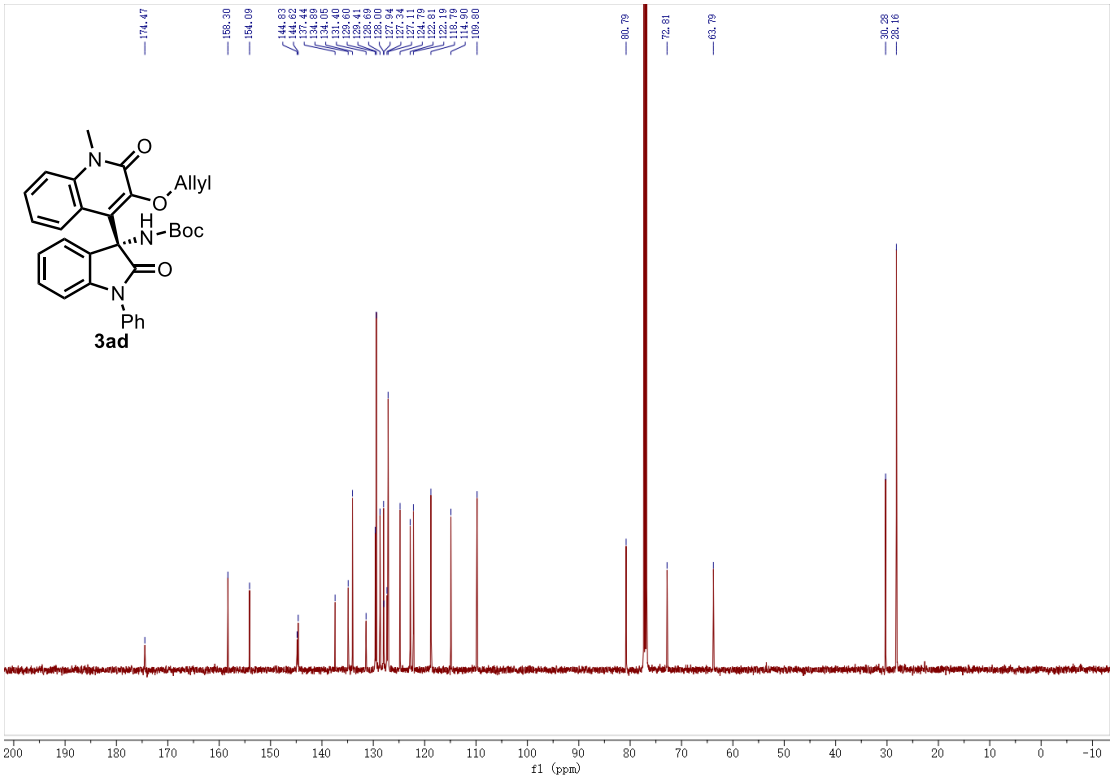
^{13}C NMR of **3ac** (126 MHz, $CDCl_3$)



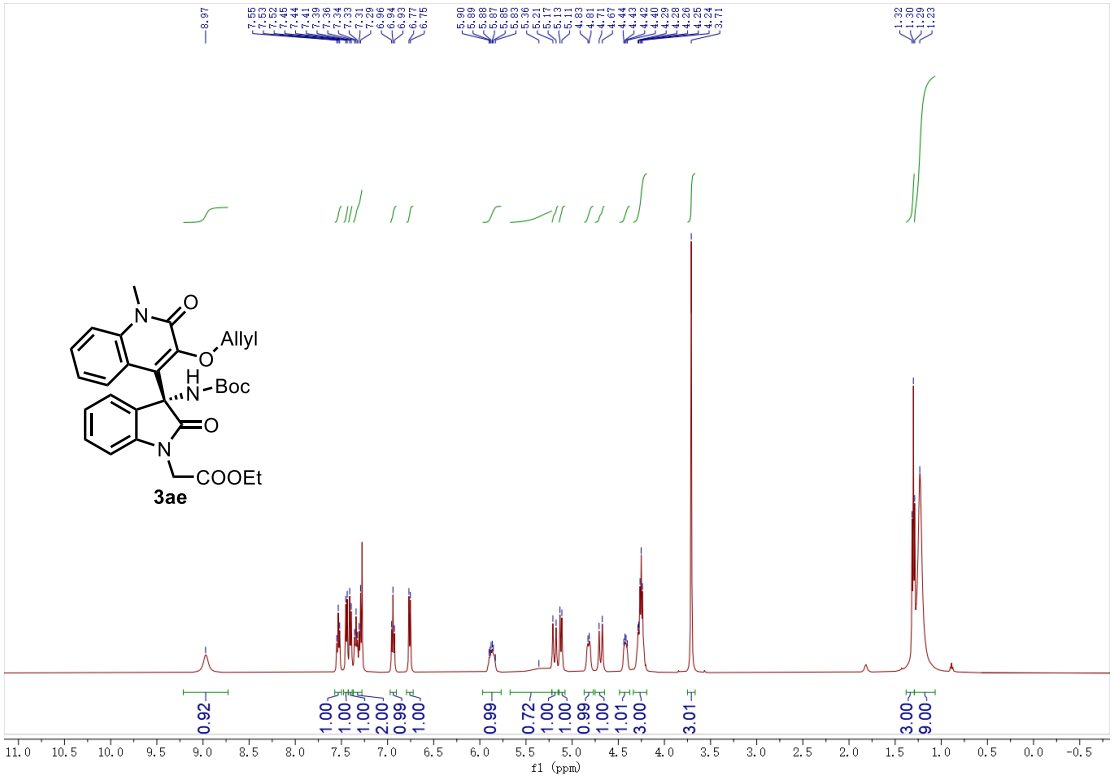
^{1}H NMR of 3ad (500 MHz, $CDCl_3$)



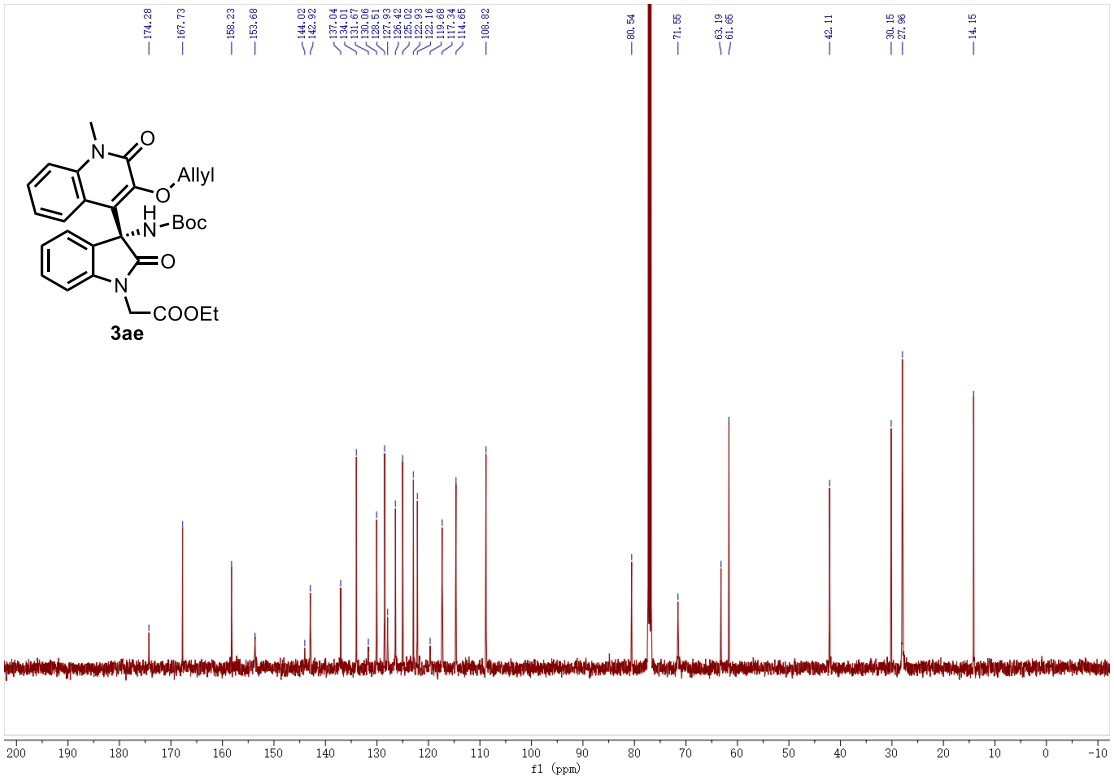
^{13}C NMR of 3ad (126 MHz, $CDCl_3$)



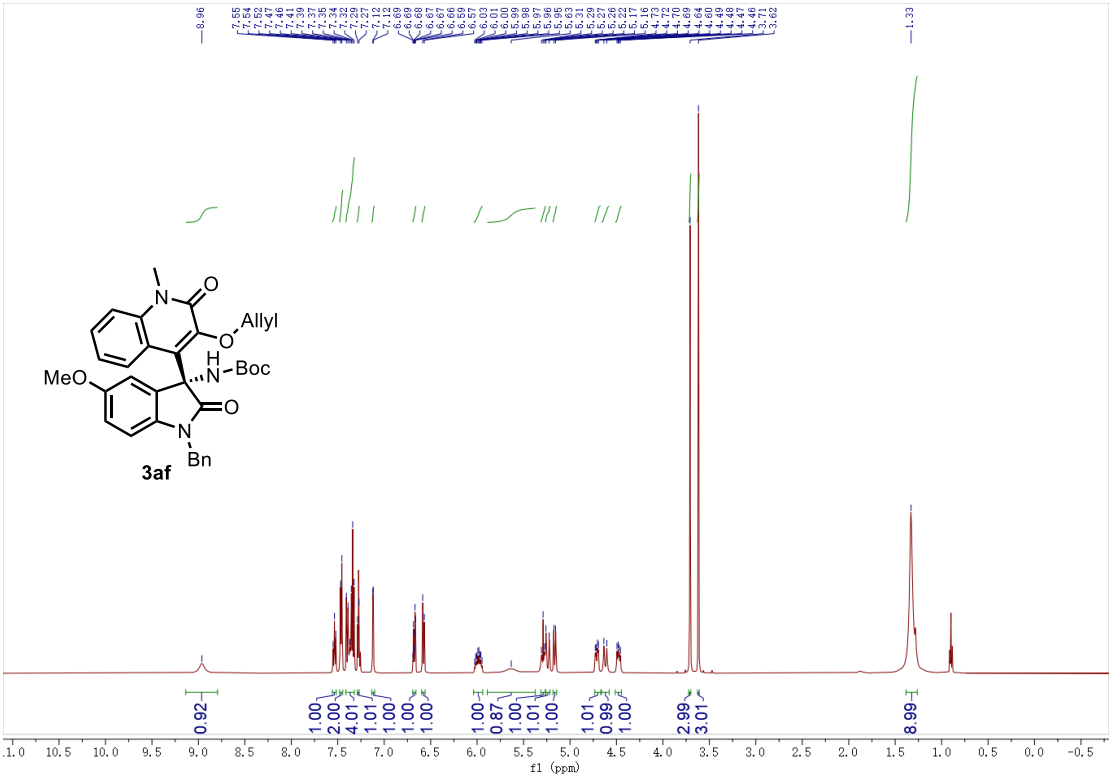
^{1}H NMR of 3ae (500 MHz, $CDCl_3$)



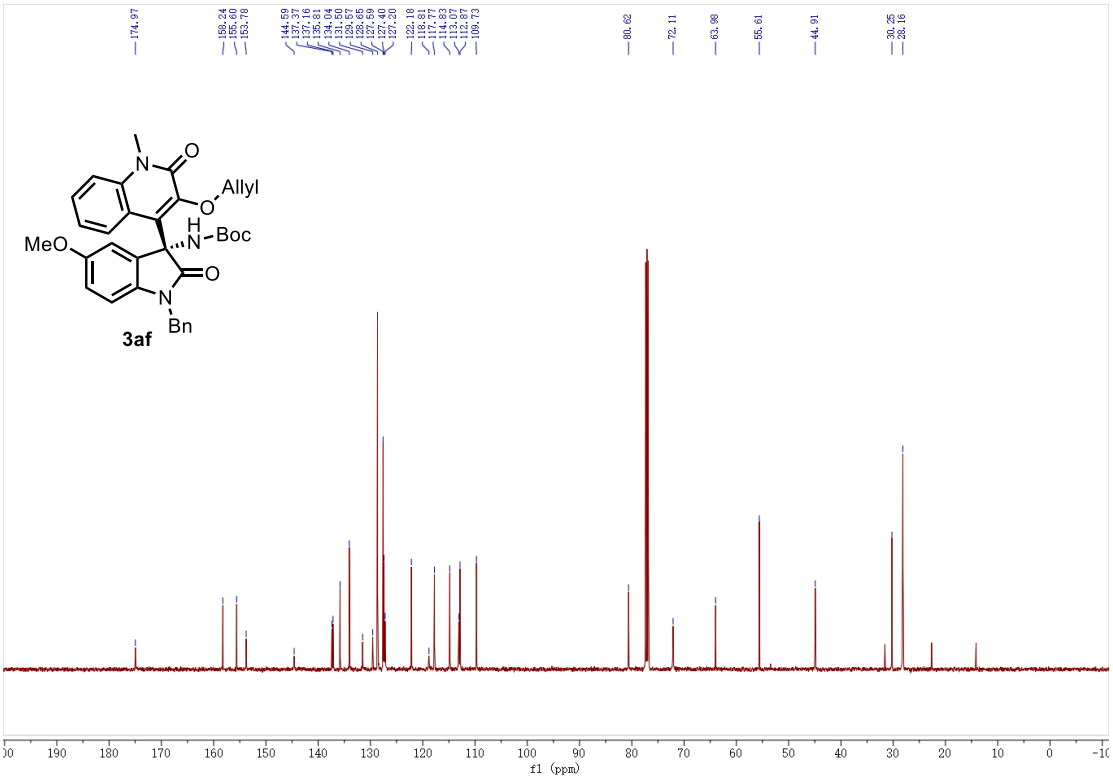
^{13}C NMR of 3ae (126 MHz, $CDCl_3$)



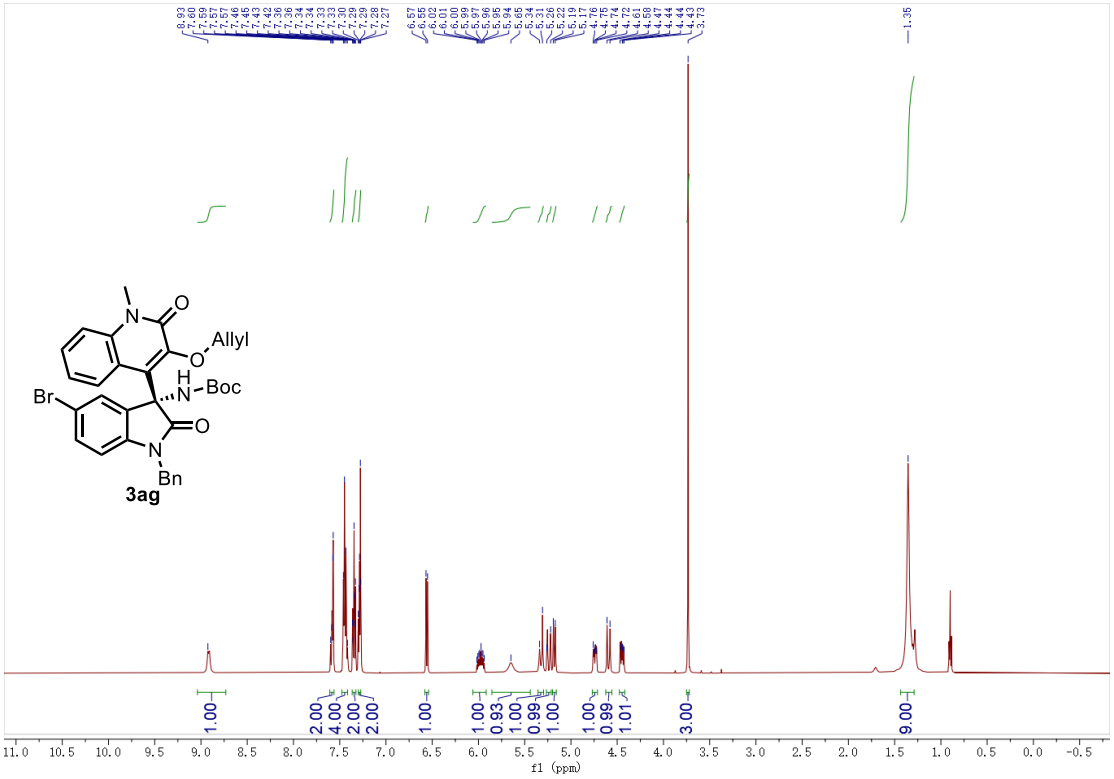
^{1}H NMR of 3af (500 MHz, $CDCl_3$)



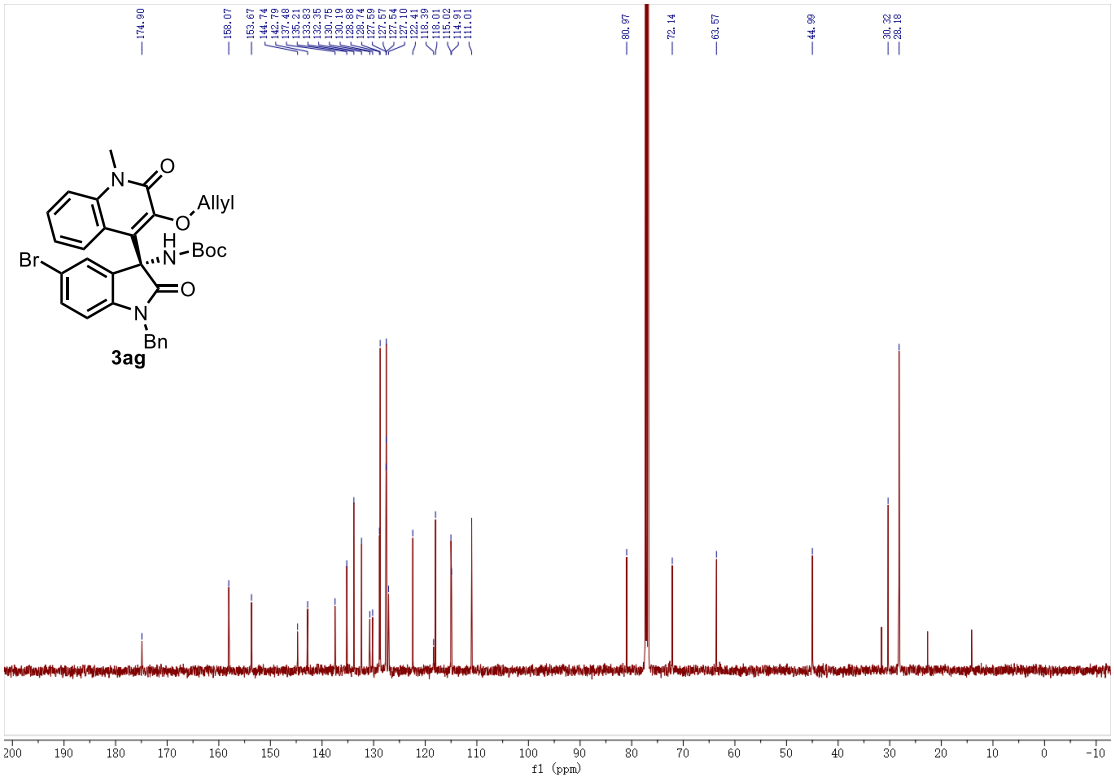
^{13}C NMR of 3af (126 MHz, $CDCl_3$)



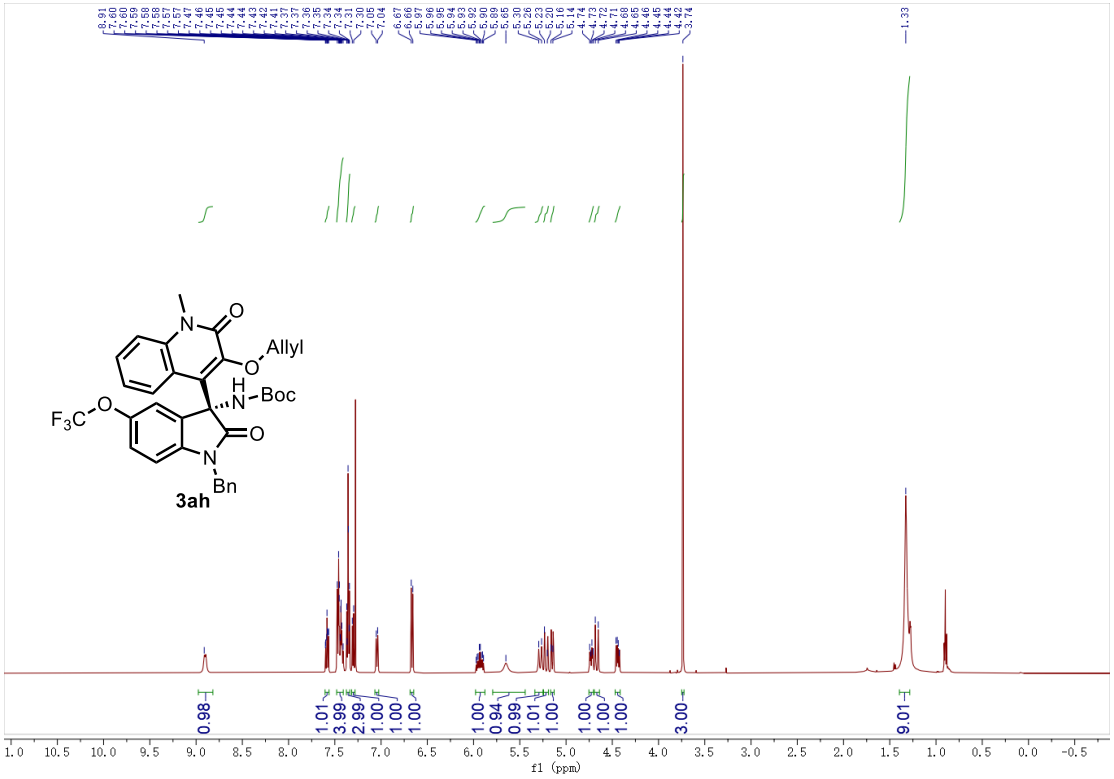
^{1}H NMR of 3ag (500 MHz, $CDCl_3$)



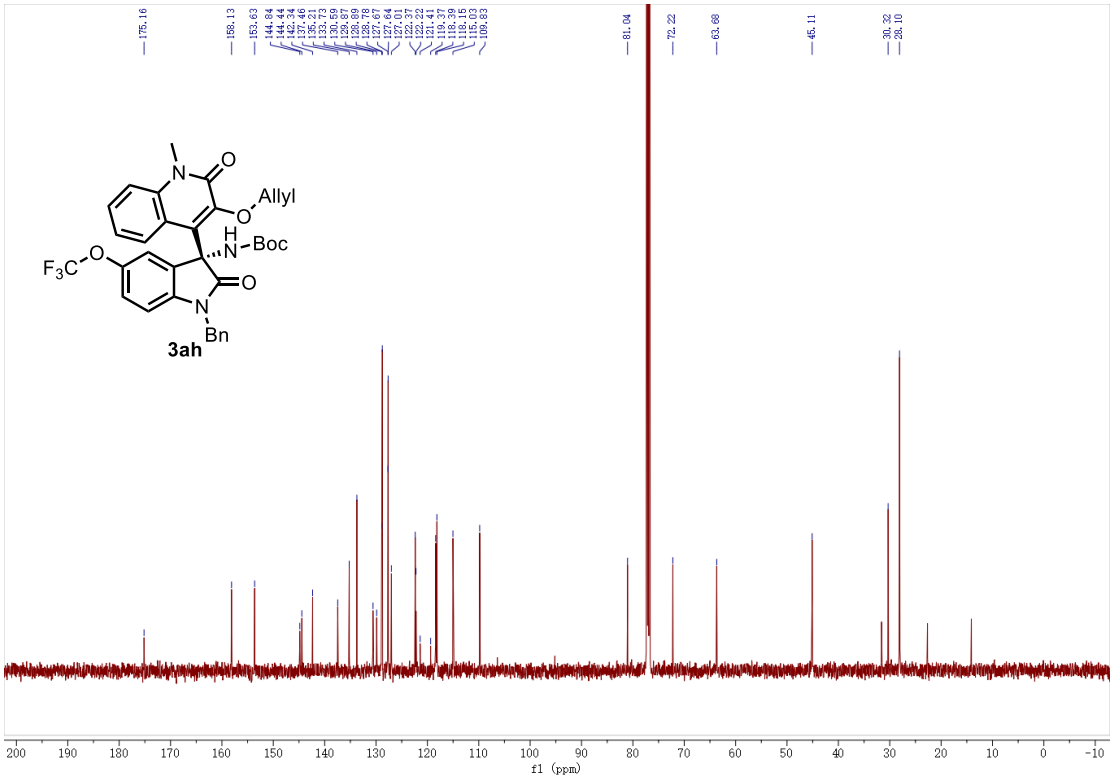
^{13}C NMR of 3ag (126 MHz, $CDCl_3$)



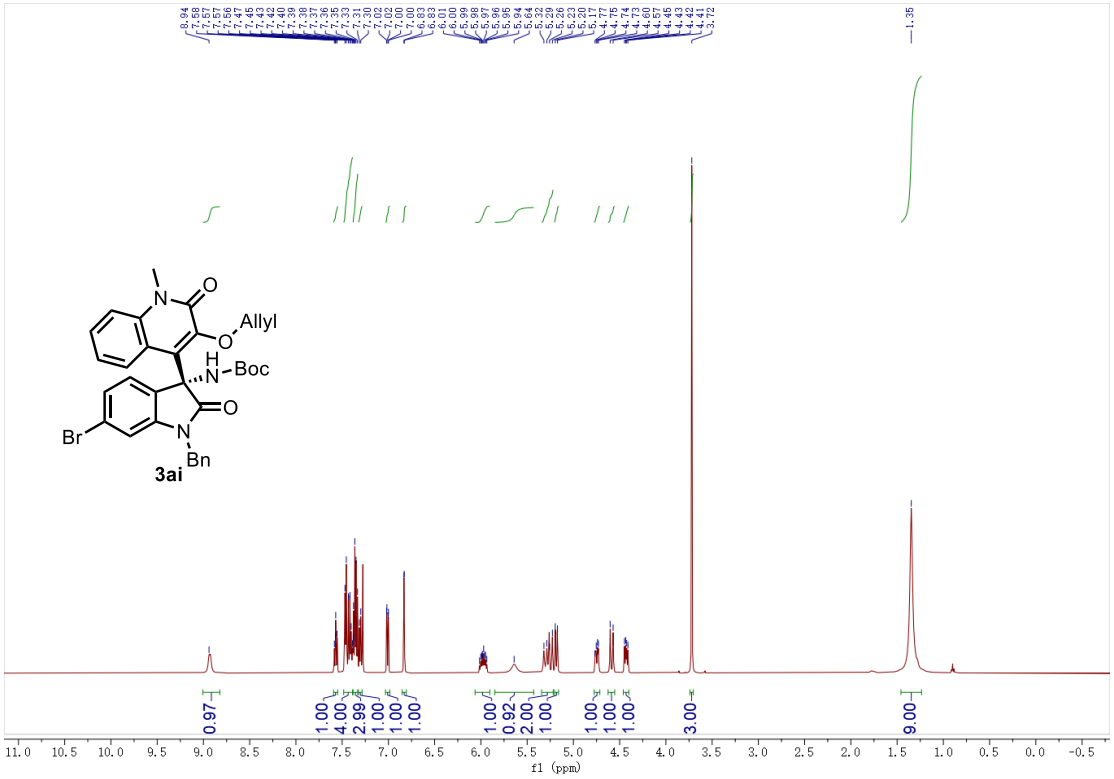
^{1}H NMR of 3ah (500 MHz, $CDCl_3$)



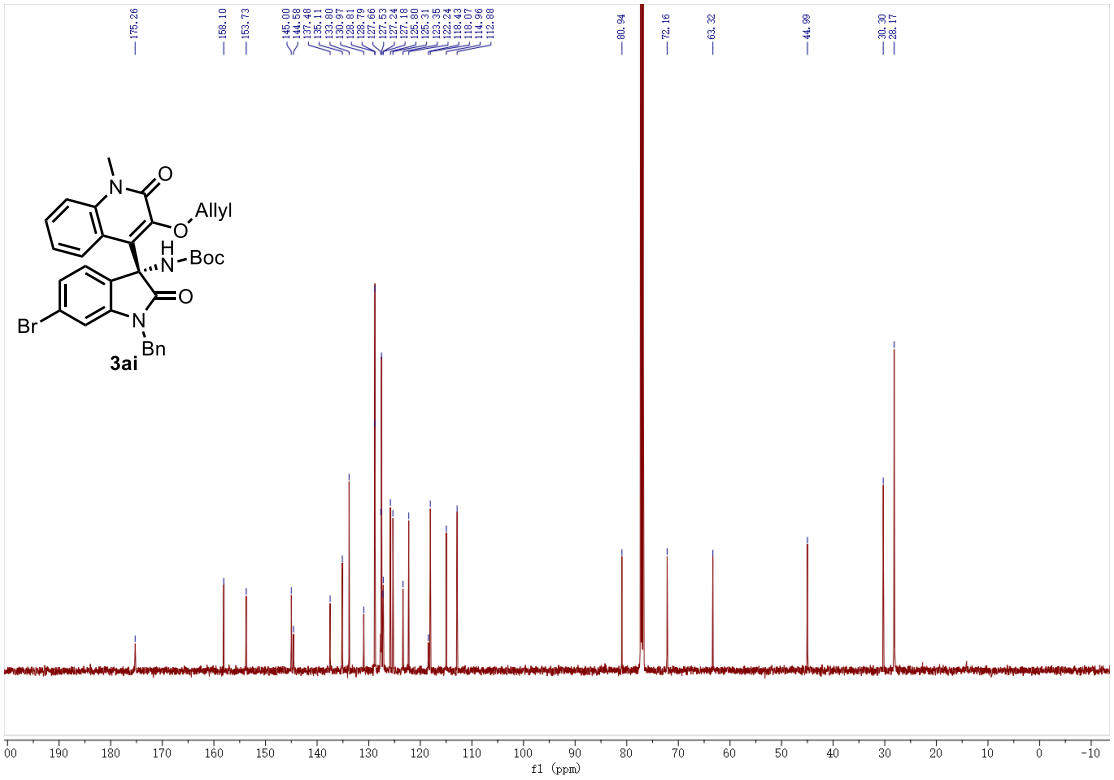
^{13}C NMR of 3ah(126 MHz, $CDCl_3$)



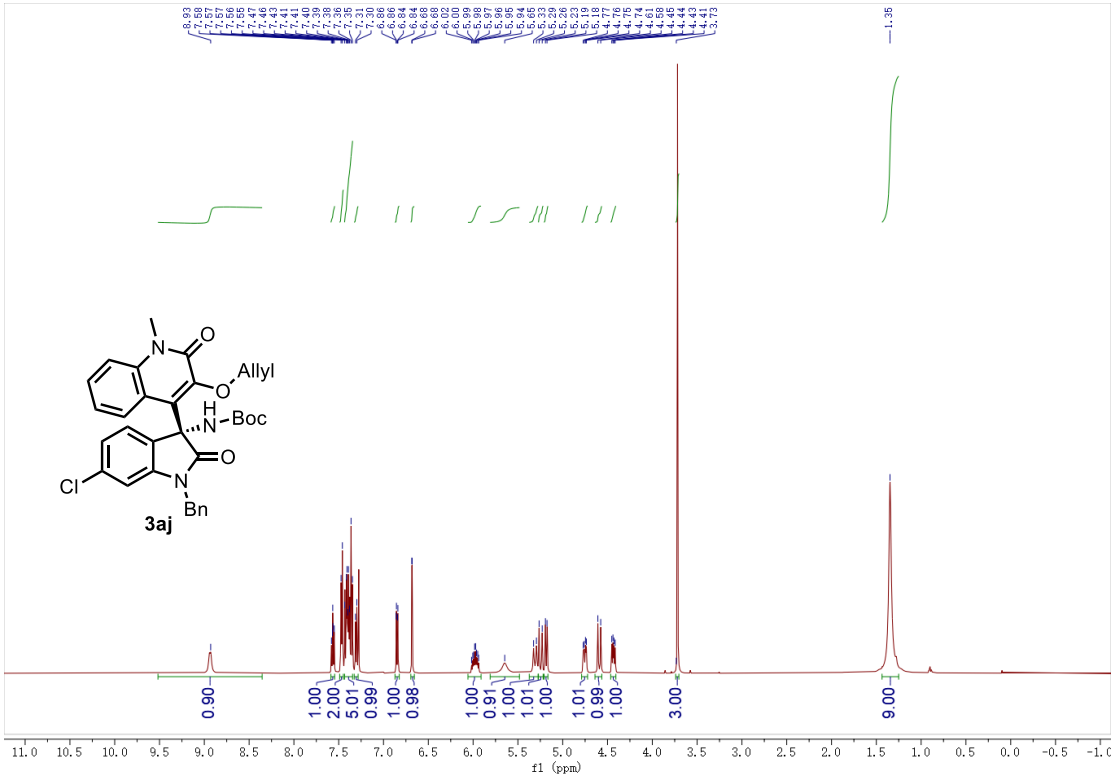
^{1}H NMR of 3ai (500 MHz, $CDCl_3$)



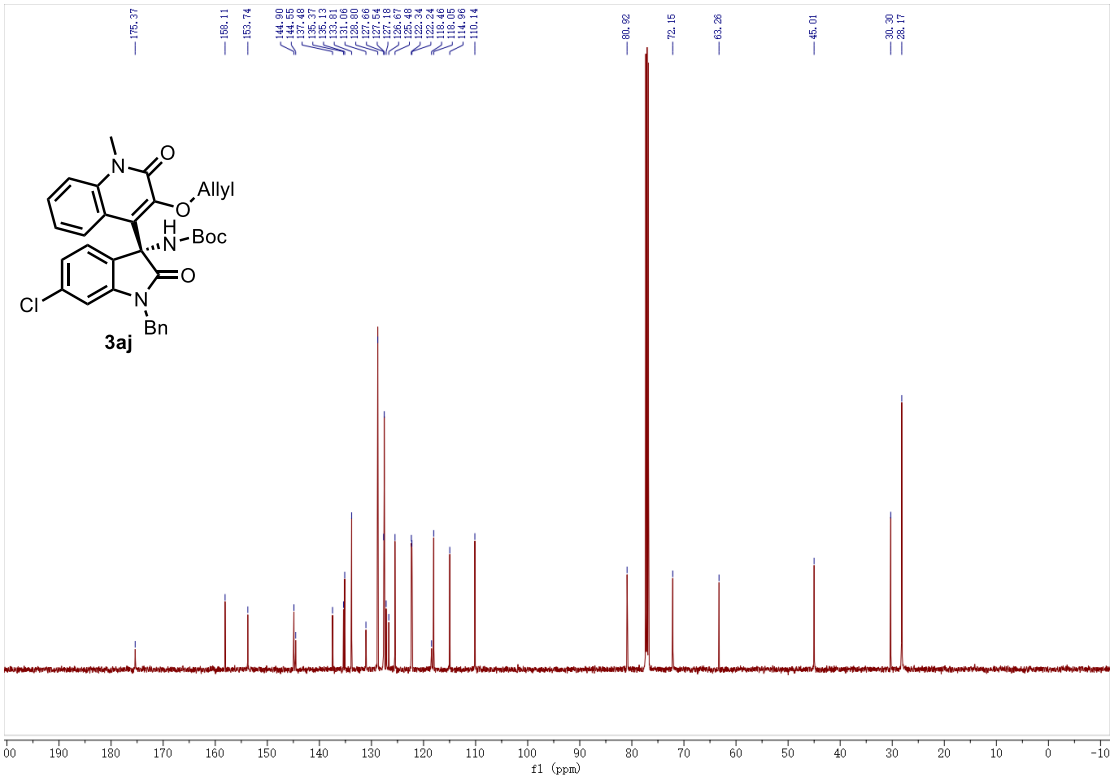
^{13}C NMR of 3ai (126 MHz, $CDCl_3$)



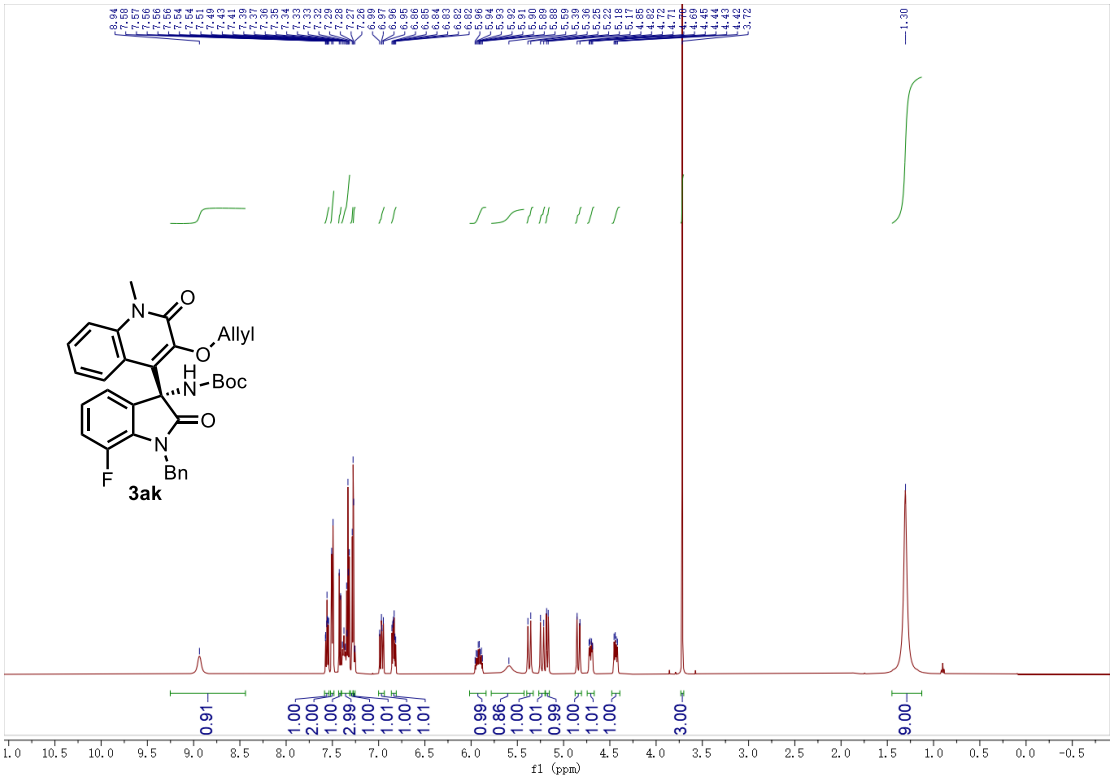
^{1}H NMR of 3aj (500 MHz, $CDCl_3$)



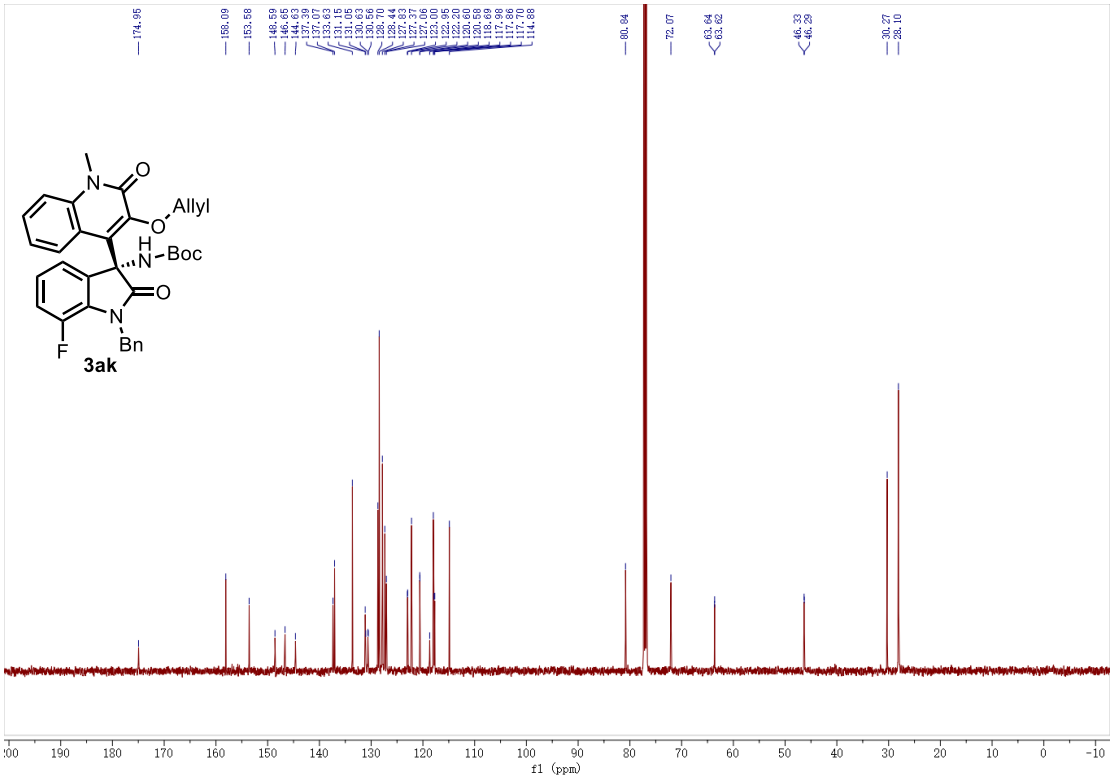
^{13}C NMR of 3aj(126 MHz, $CDCl_3$)



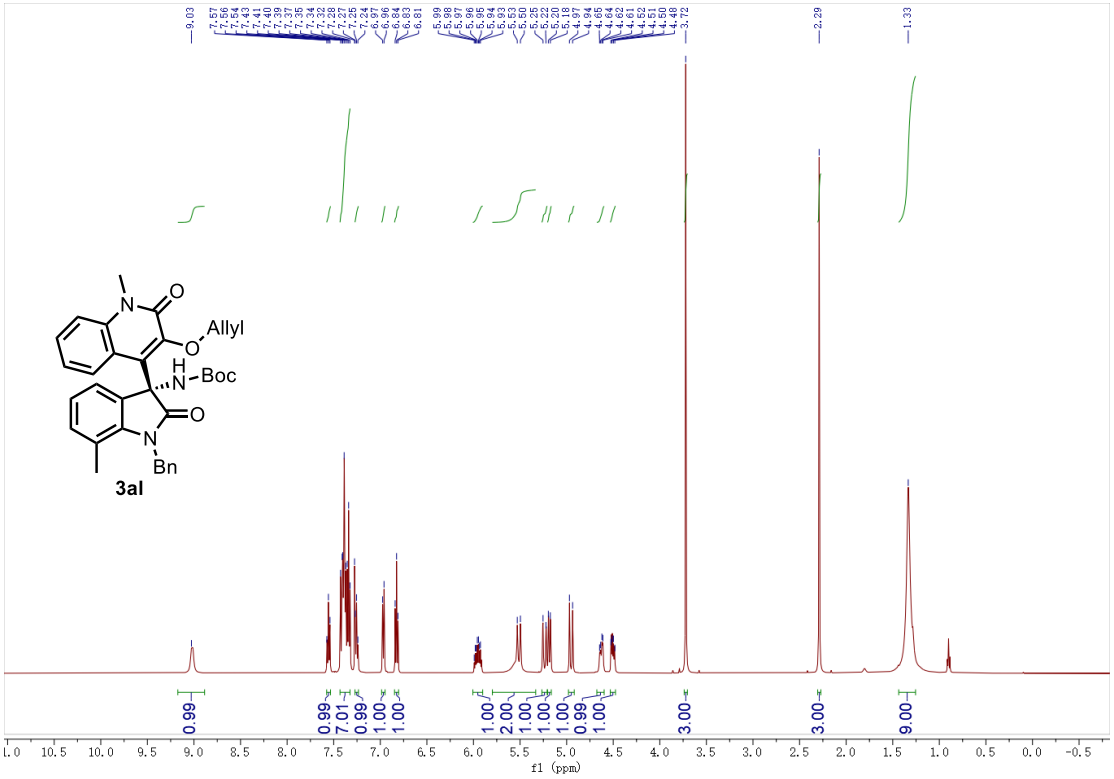
^{1}H NMR of 3ak (500 MHz, $CDCl_3$)



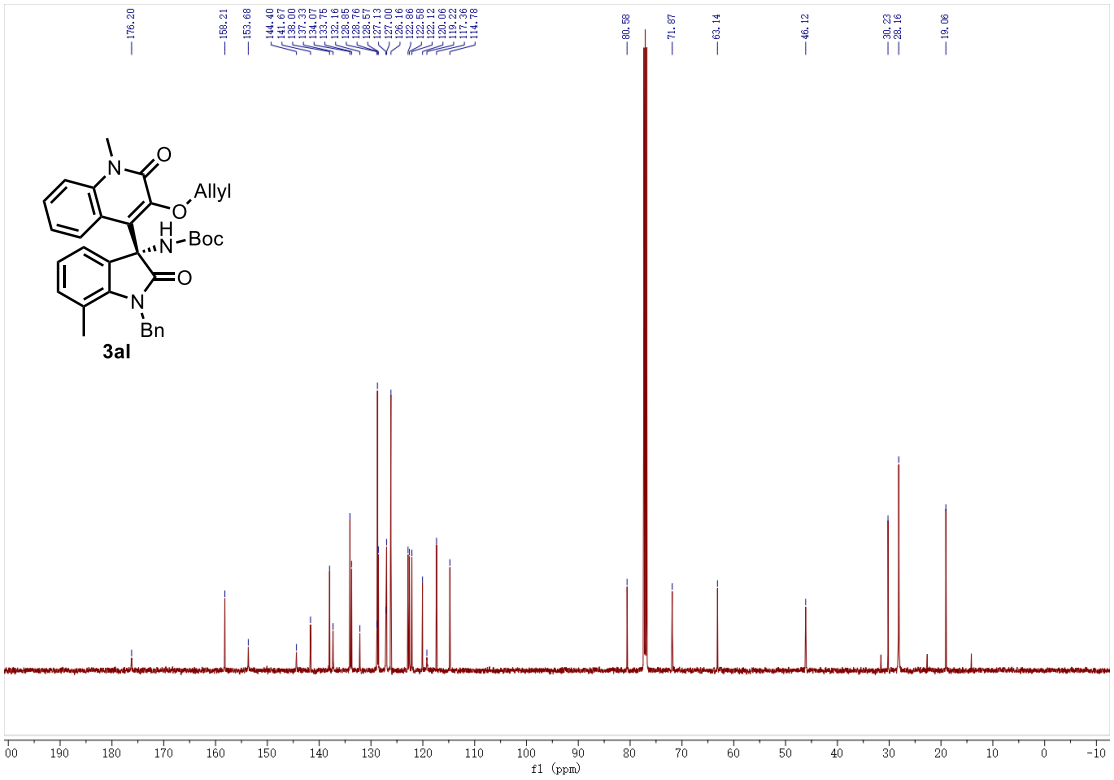
^{13}C NMR of 3ak(126 MHz, $CDCl_3$)



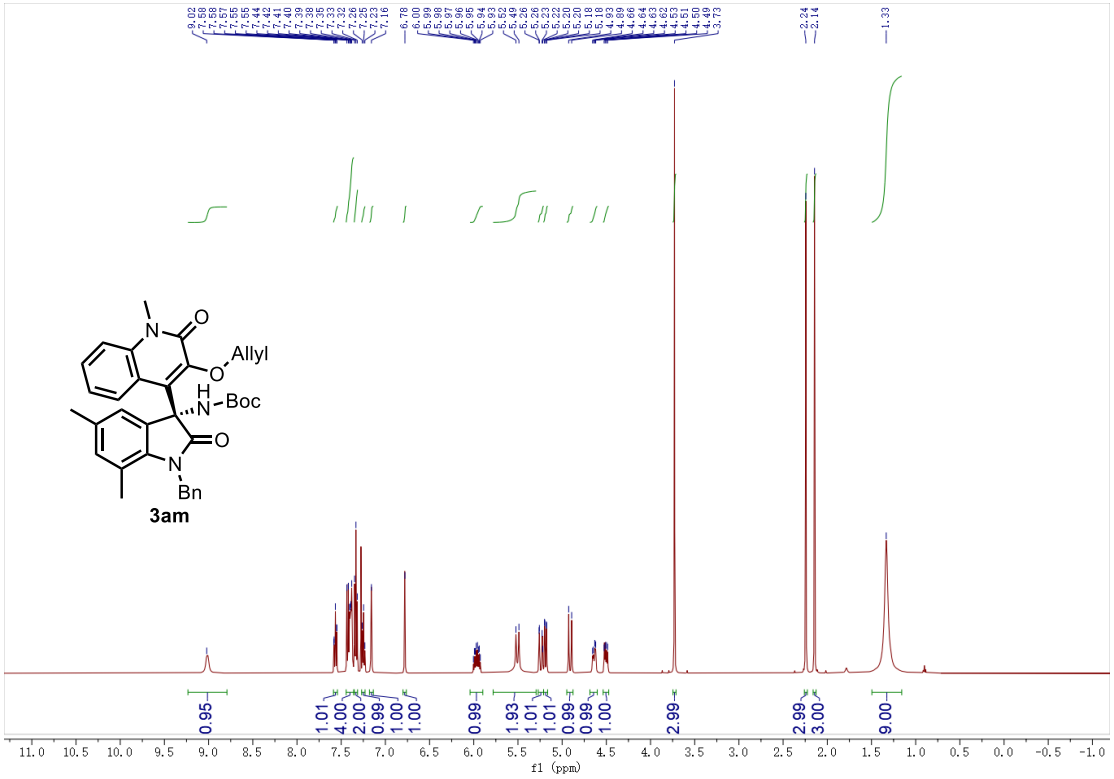
^{1}H NMR of 3al (500 MHz, $CDCl_3$)



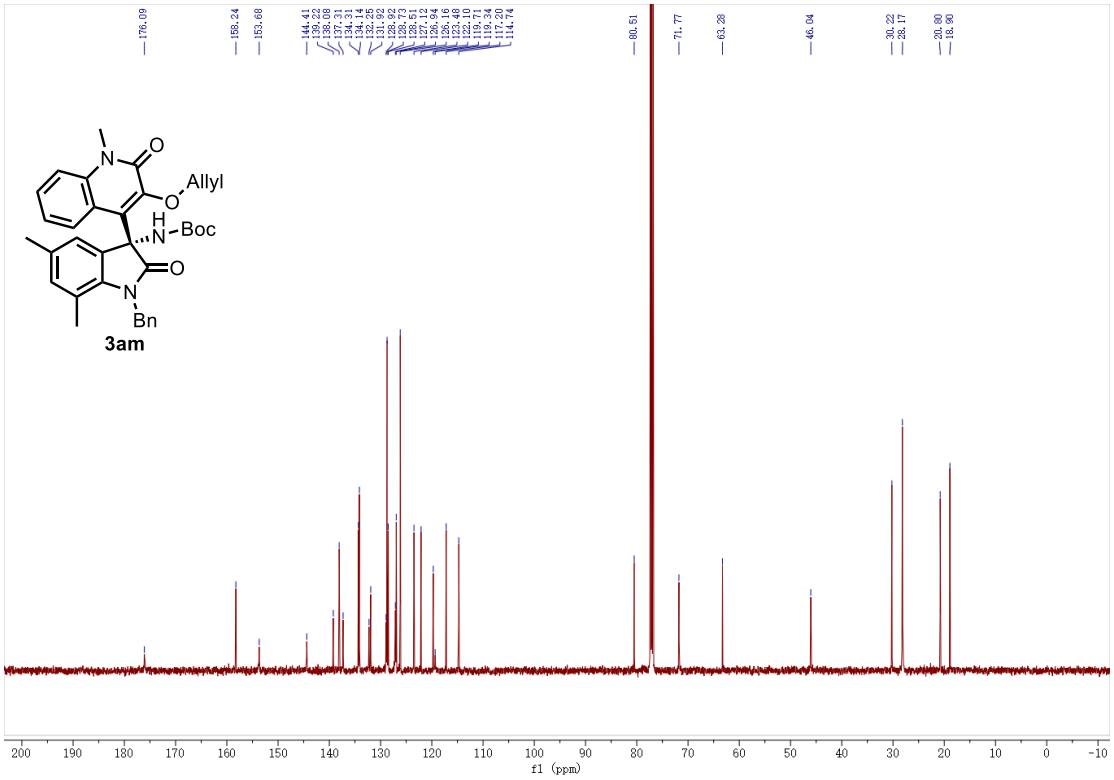
^{13}C NMR of 3al (126 MHz, $CDCl_3$)



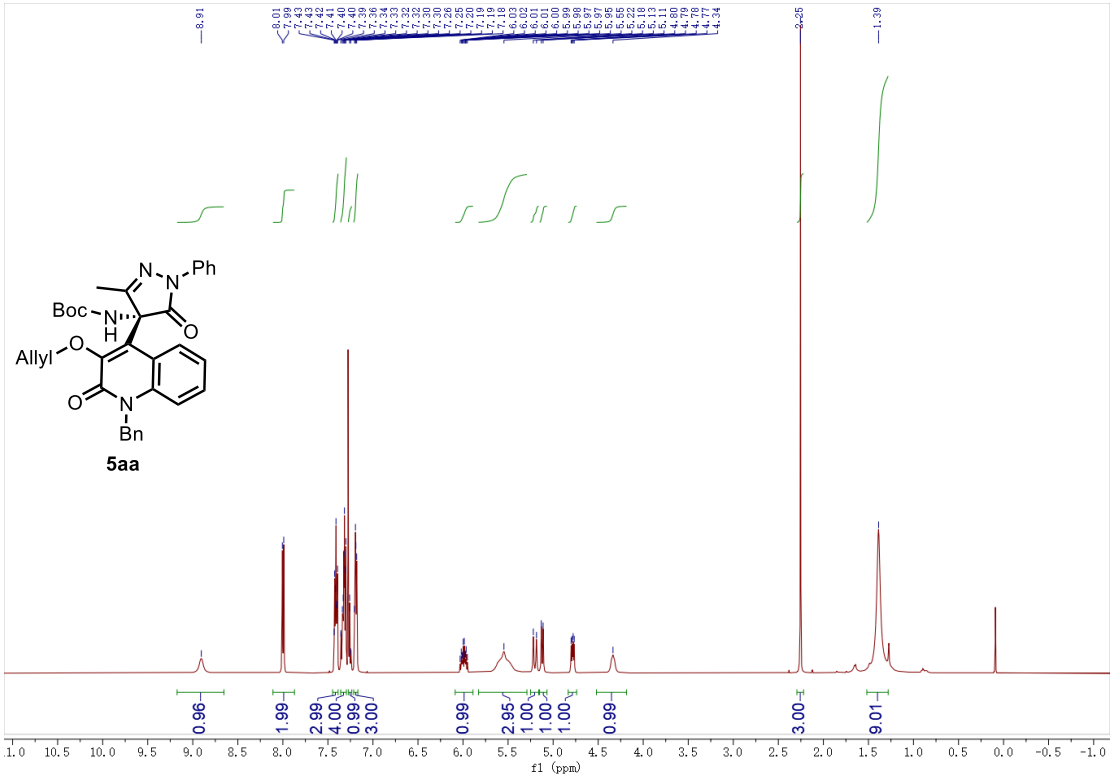
^{1}H NMR of **3am**(500 MHz, $CDCl_3$)



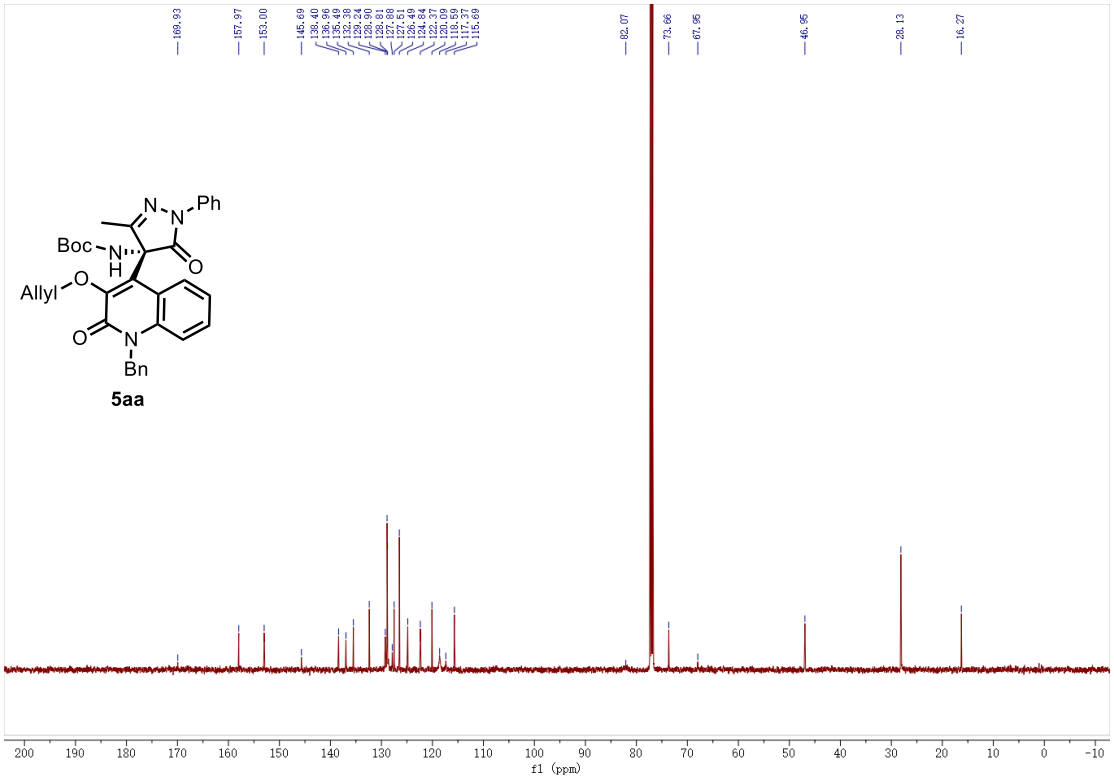
^{13}C NMR of 3am (126 MHz, $CDCl_3$)



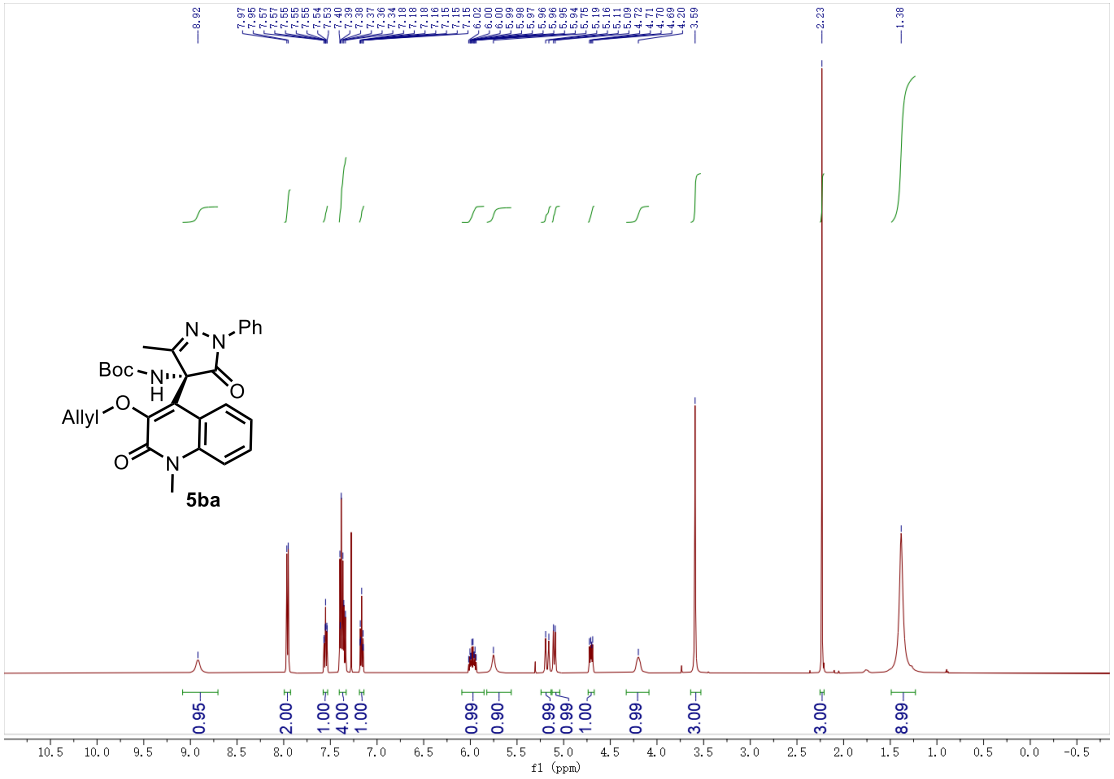
^{1}H NMR of 5aa (500 MHz, $CDCl_3$)



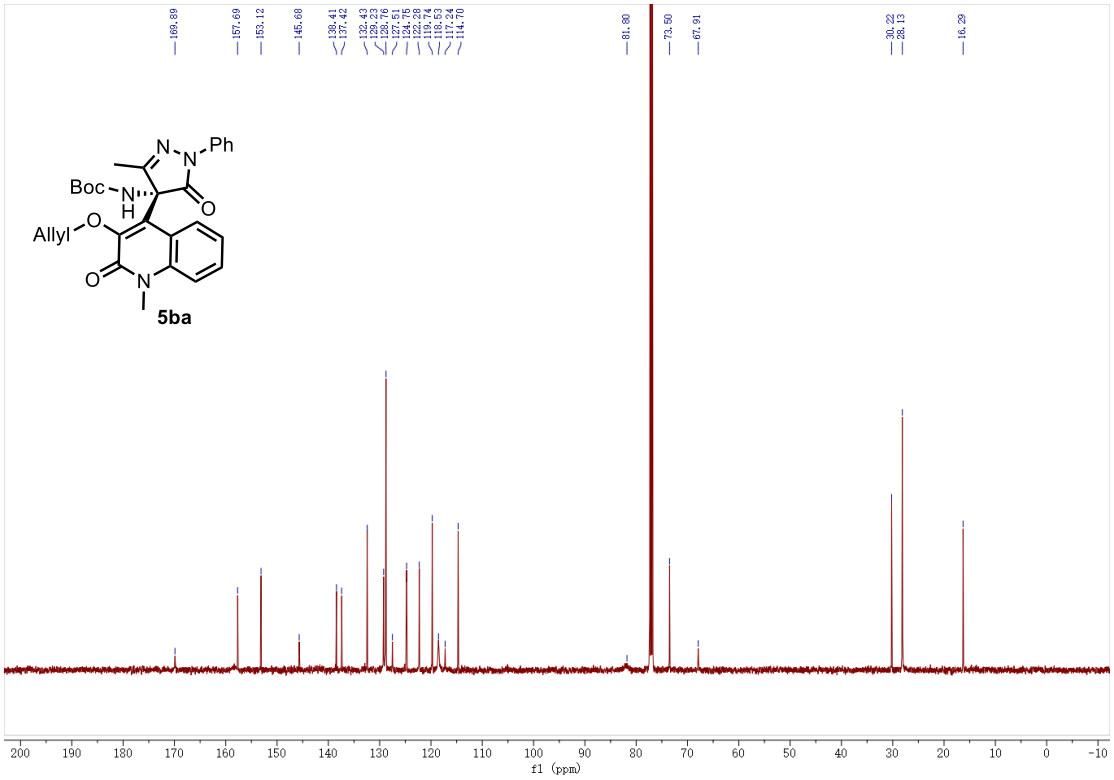
^{13}C NMR of 5aa (126 MHz, CDCl3)



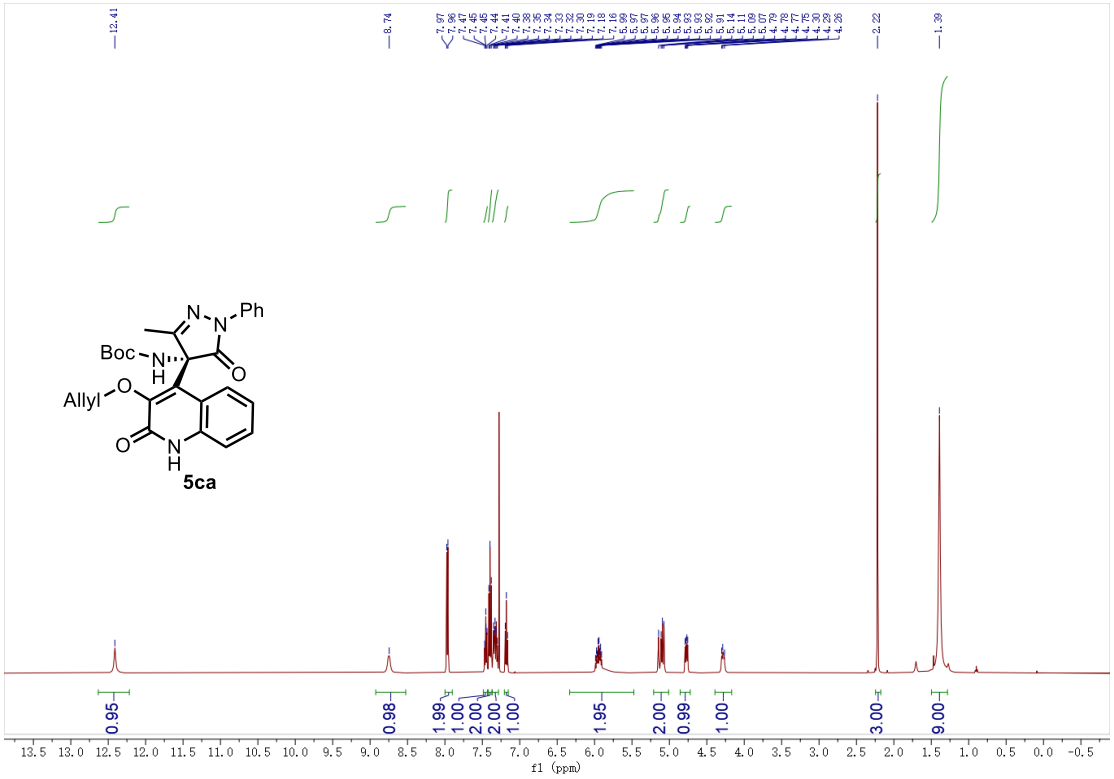
^{1}H NMR of 5ba (500 MHz, $CDCl_3$)



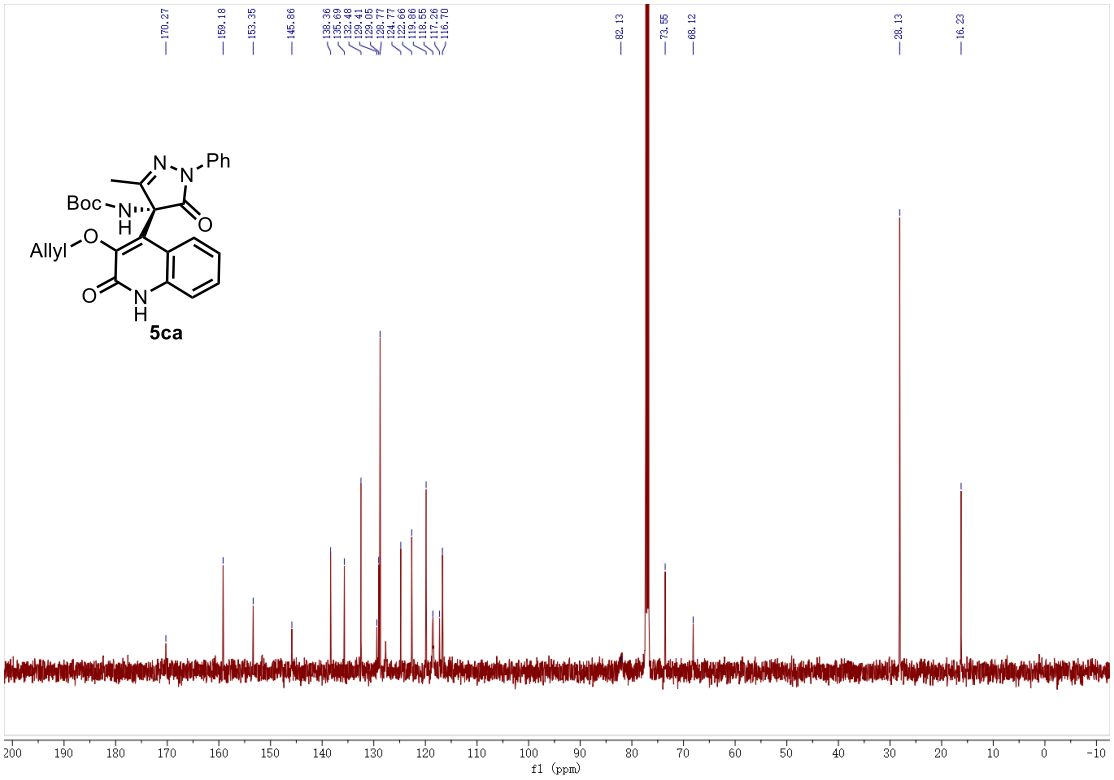
^{13}C NMR of 5ba (126 MHz, $CDCl_3$)



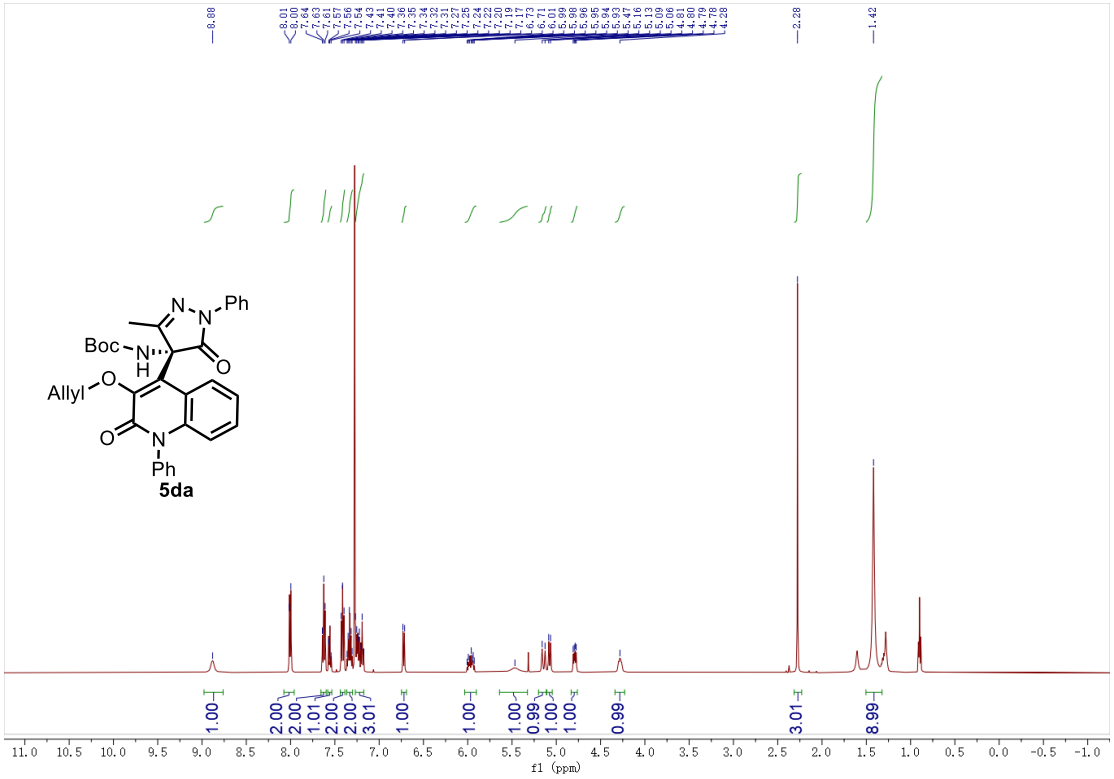
^{1}H NMR of 5ca (500 MHz, $CDCl_3$)



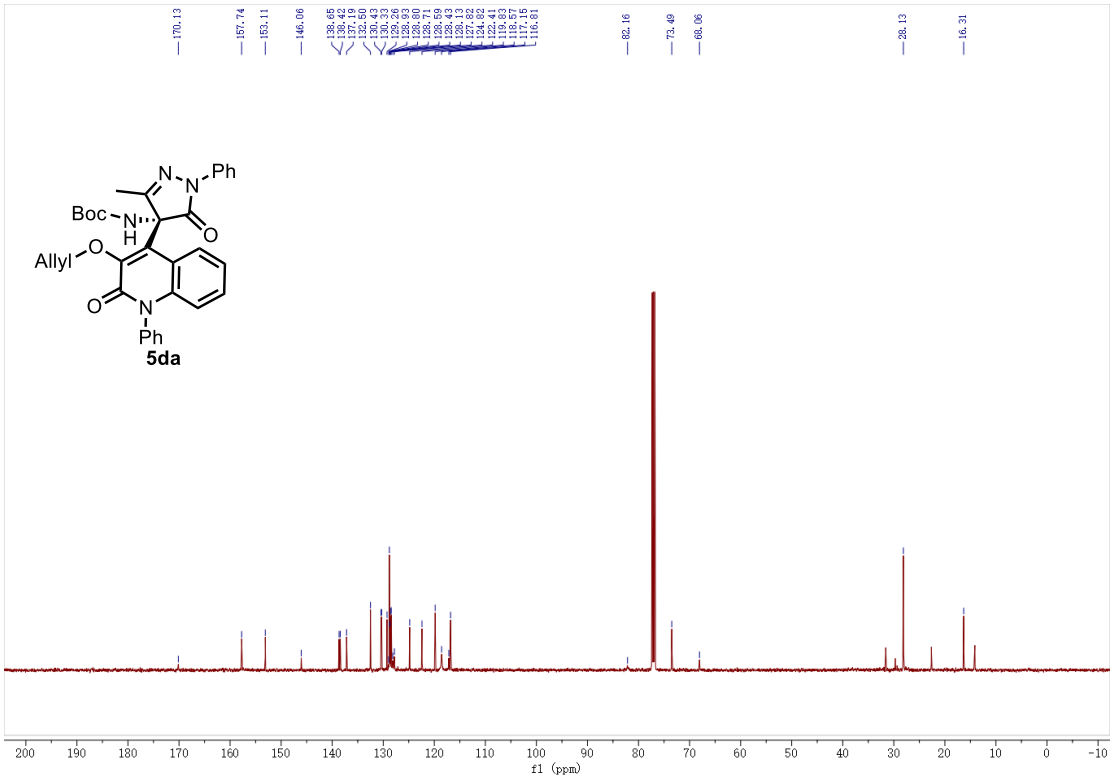
^{13}C NMR of 5ca (126 MHz, $CDCl_3$)



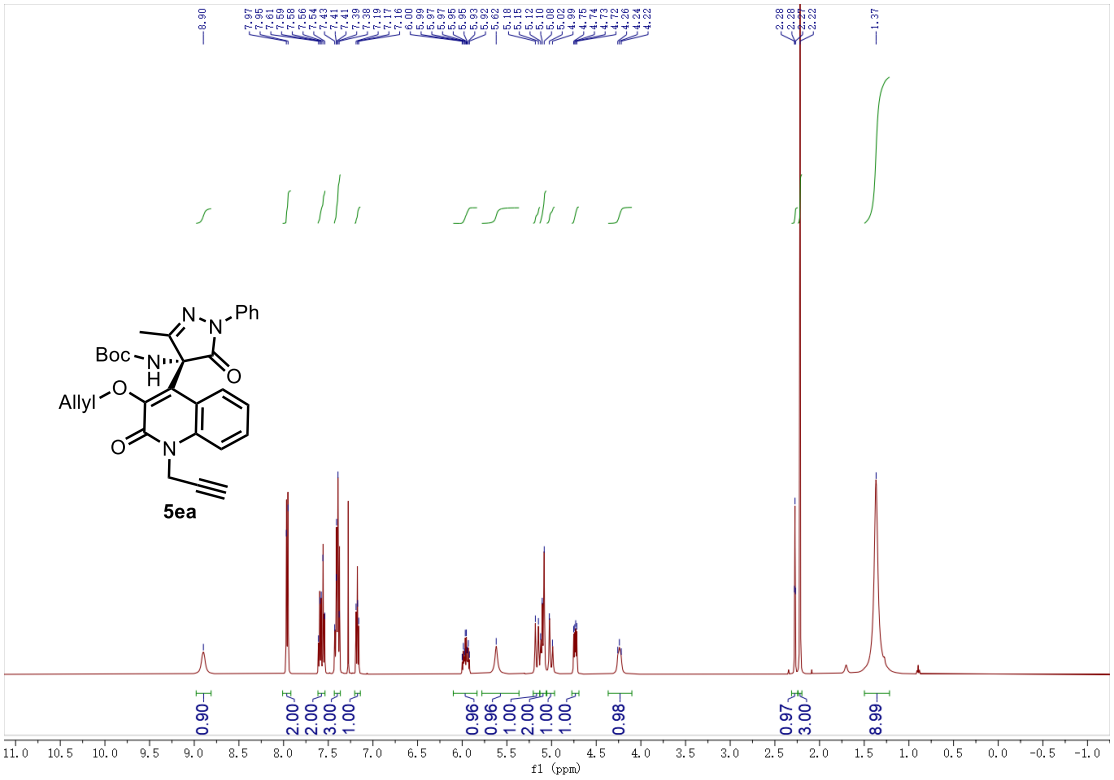
^{1}H NMR of 5da (500 MHz, $CDCl_3$)



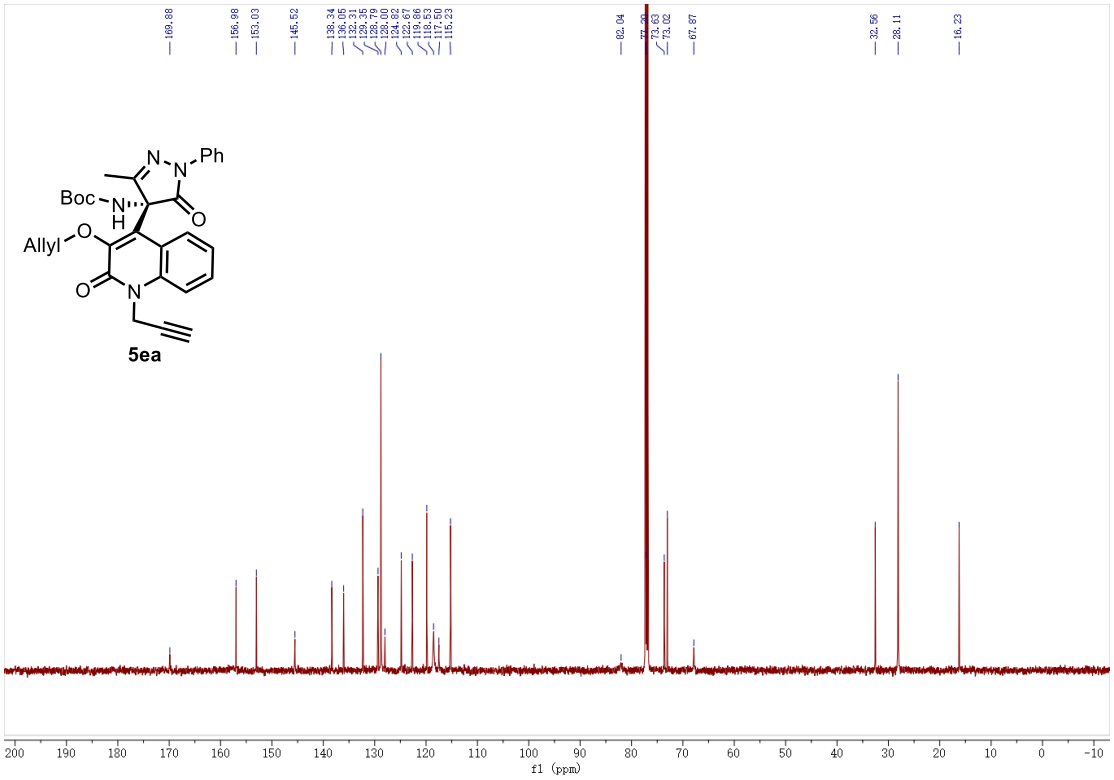
^{13}C NMR of 5da (126 MHz, $CDCl_3$)



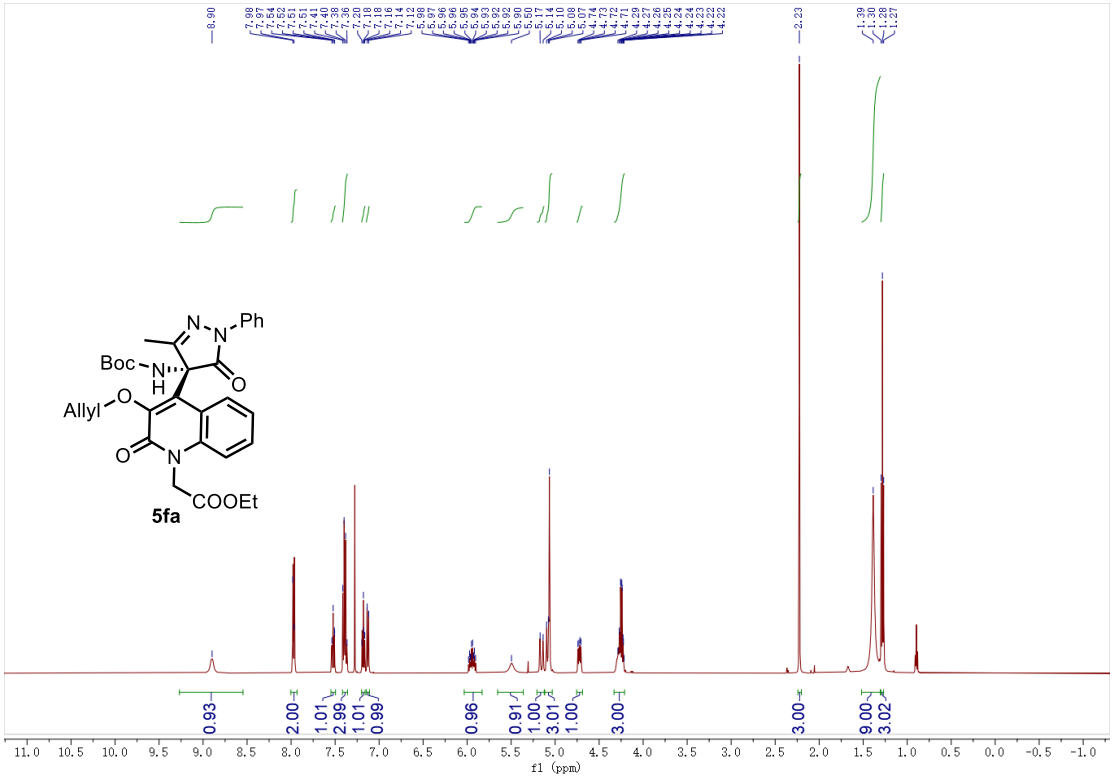
^{1}H NMR of 5ea (500 MHz, $CDCl_3$)



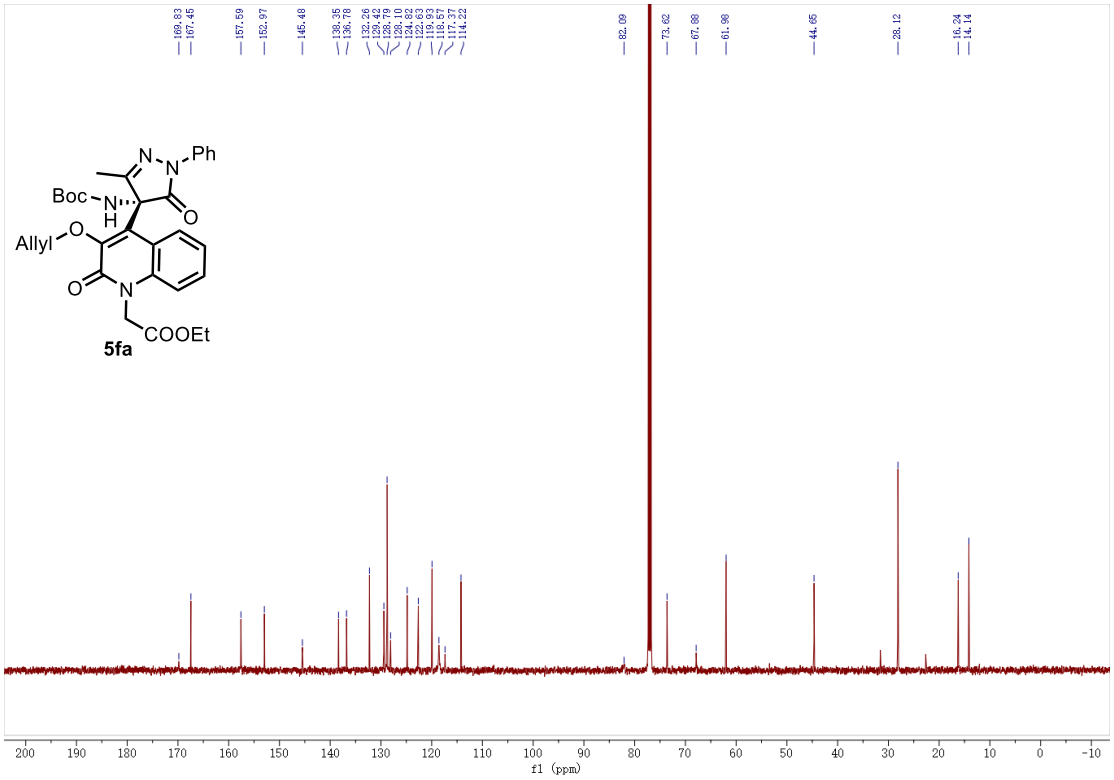
^{13}C NMR of 5ea (126 MHz, $CDCl_3$)



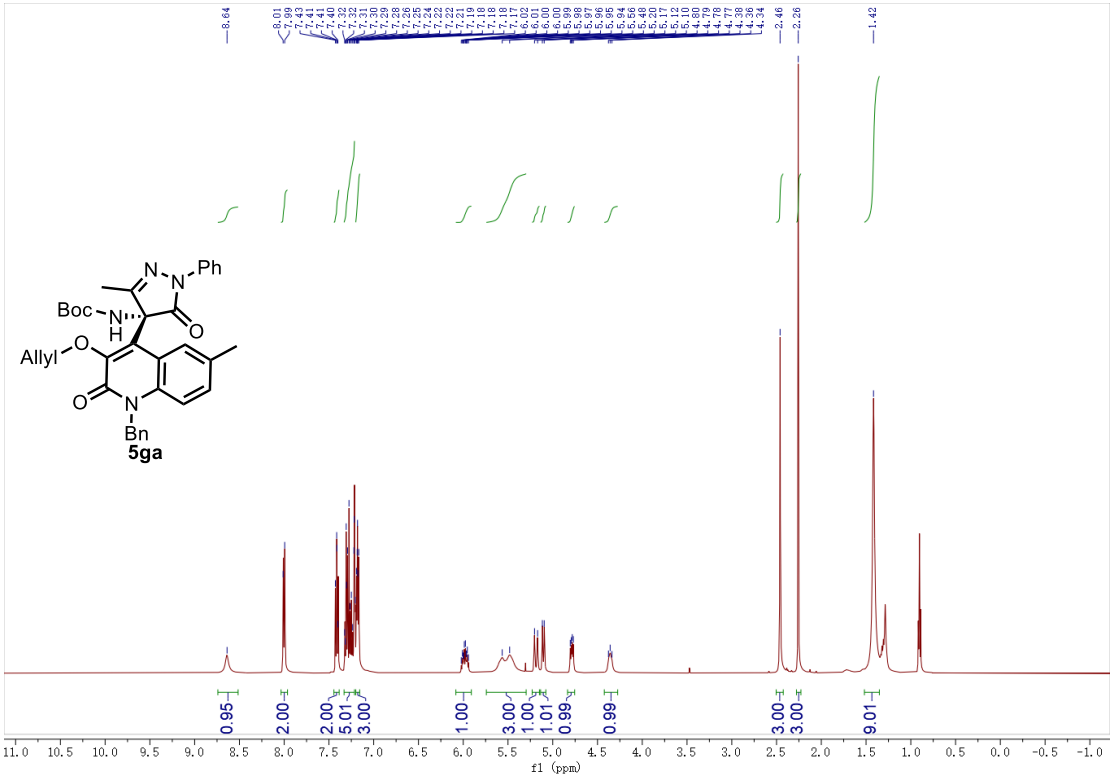
^{1}H NMR 5fa (500 MHz, $CDCl_3$)



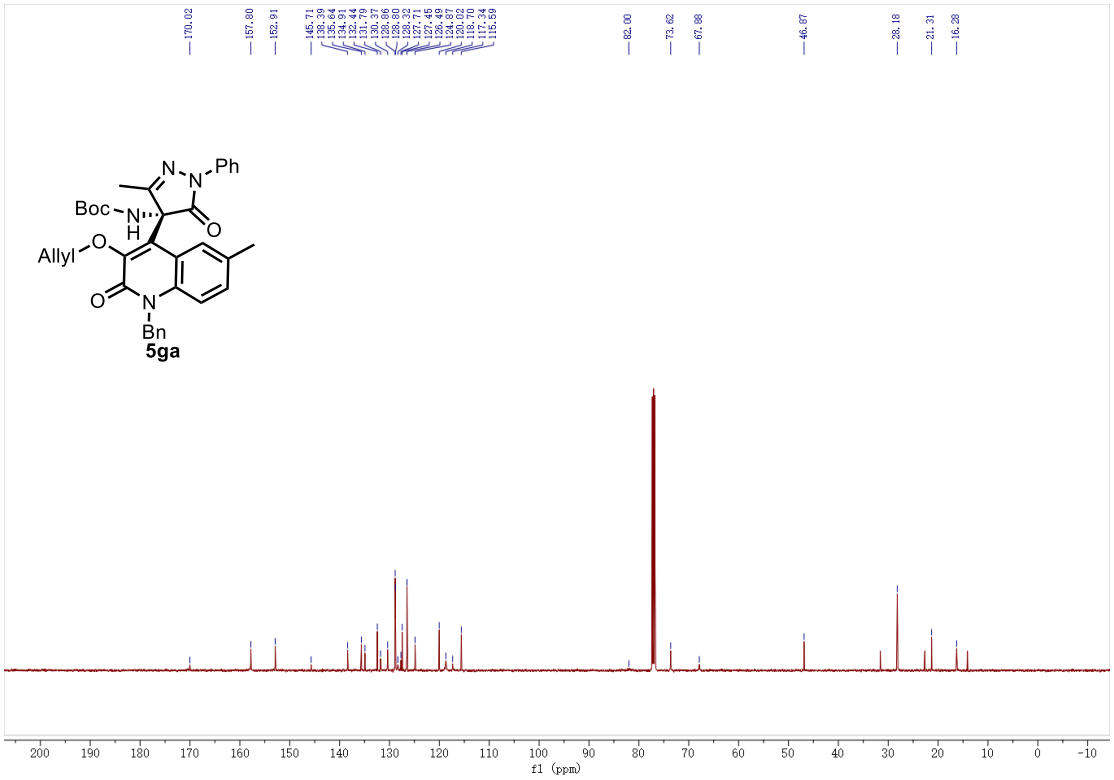
^{13}C NMR of 5fa (126 MHz, $CDCl_3$)



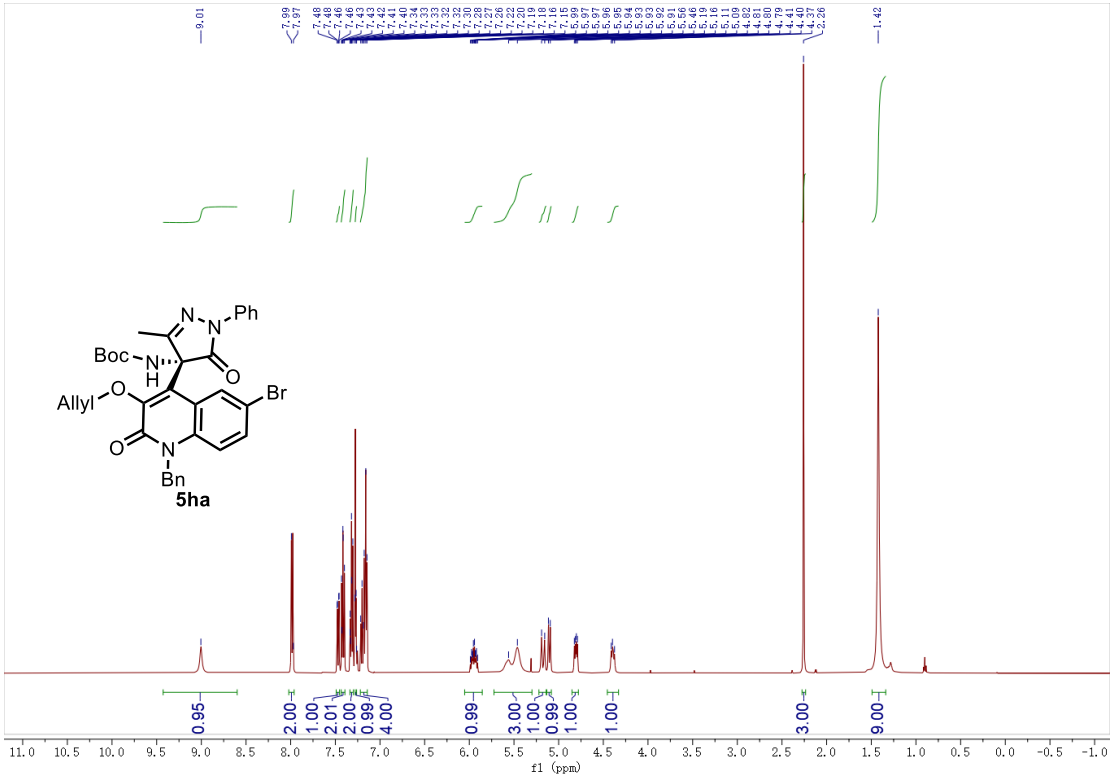
^{1}H NMR of 5ga (500 MHz, $CDCl_3$)



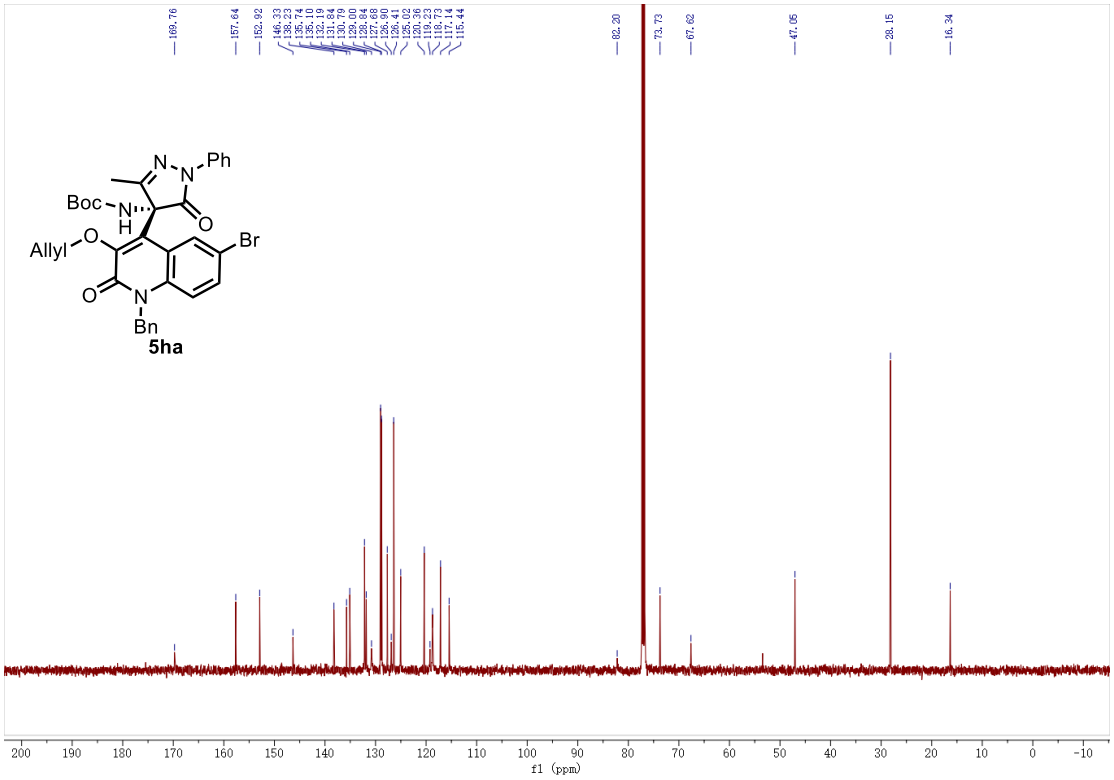
^{13}C NMR of 5ga (126 MHz, $CDCl_3$)



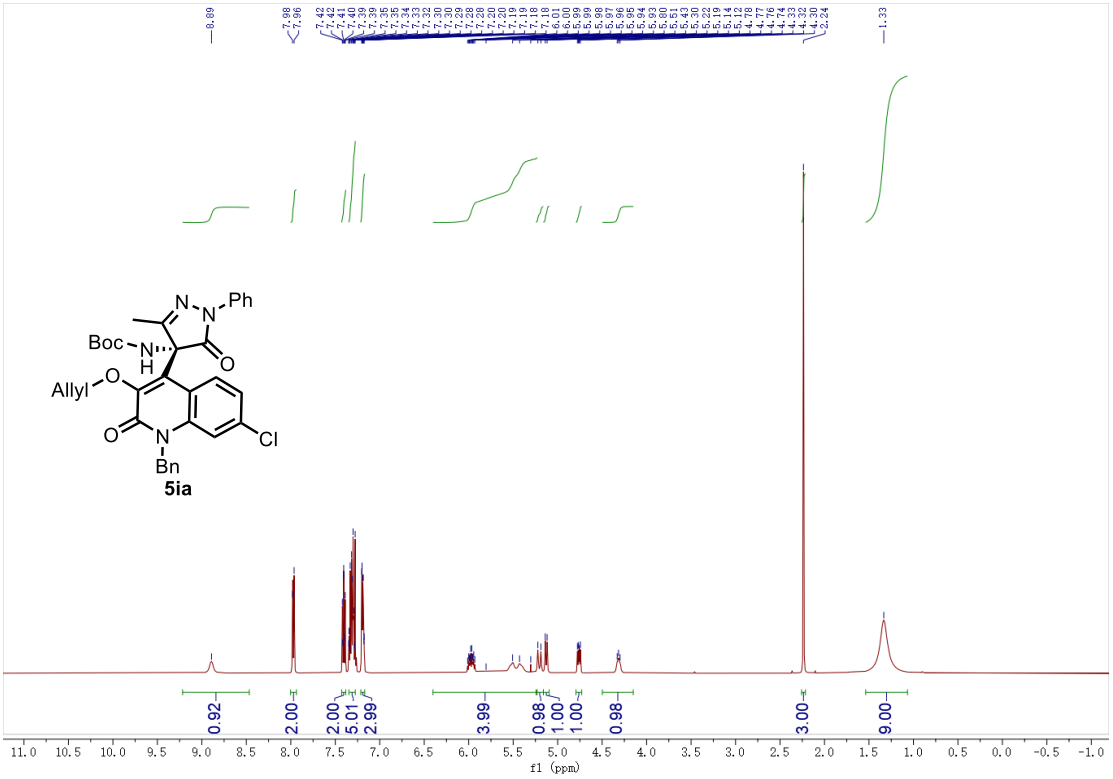
^{1}H NMR of 5ha (500 MHz, $CDCl_3$)



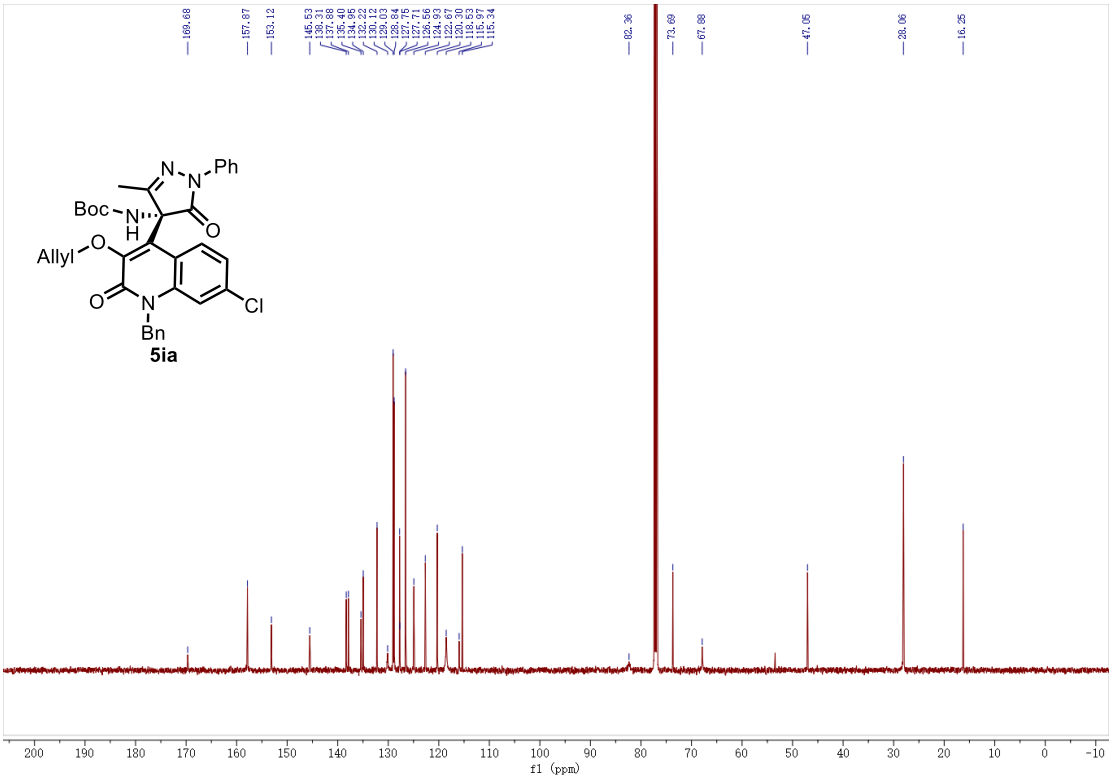
^{13}C NMR of 5ha (126 MHz, $CDCl_3$)



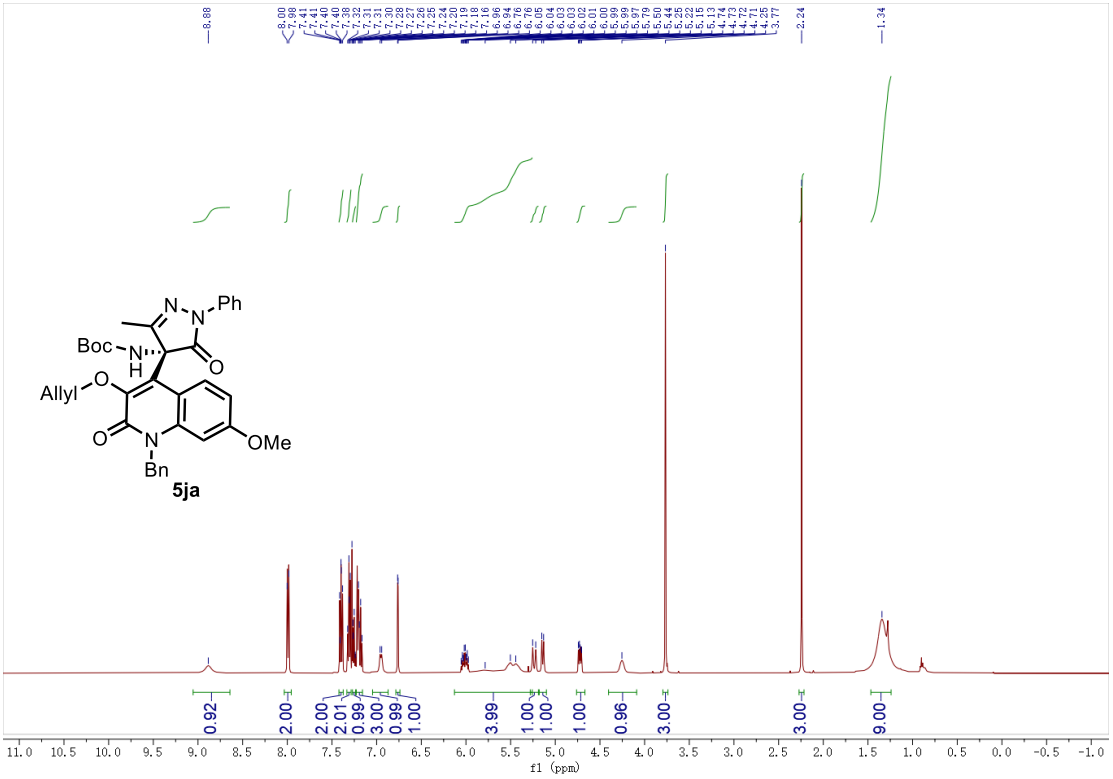
^{1}H NMR of 5ia (500 MHz, $CDCl_3$)



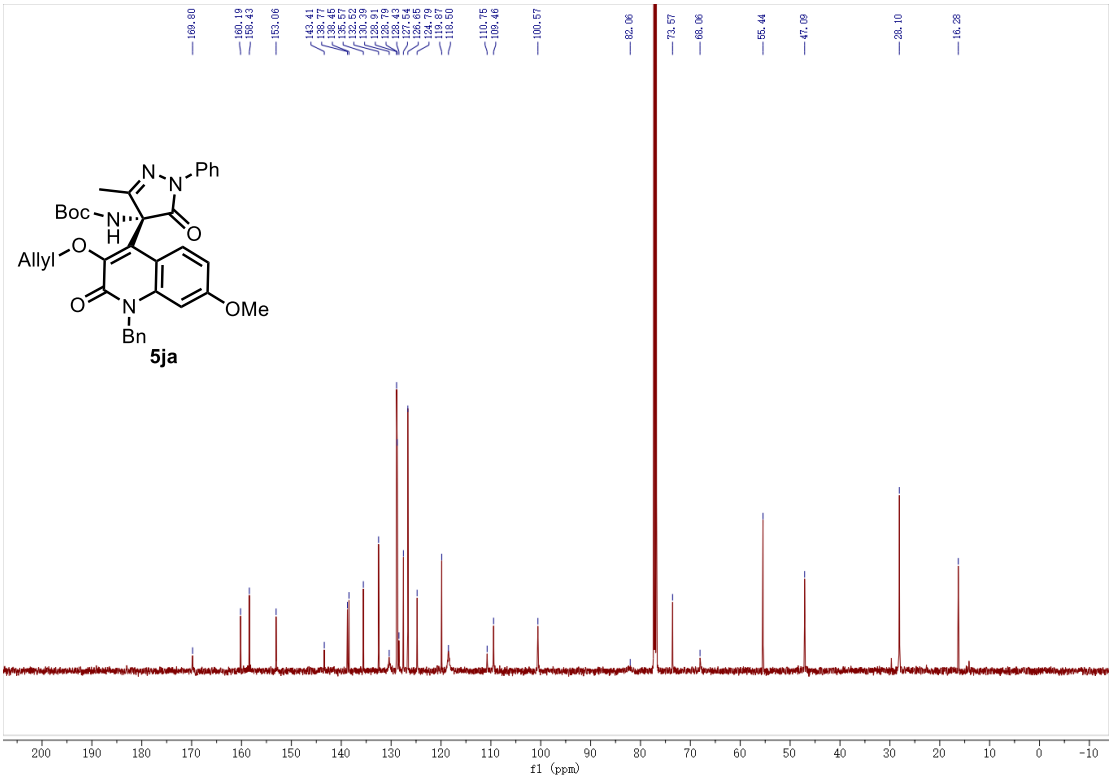
^{13}C NMR of 5ia (126 MHz, $CDCl_3$)



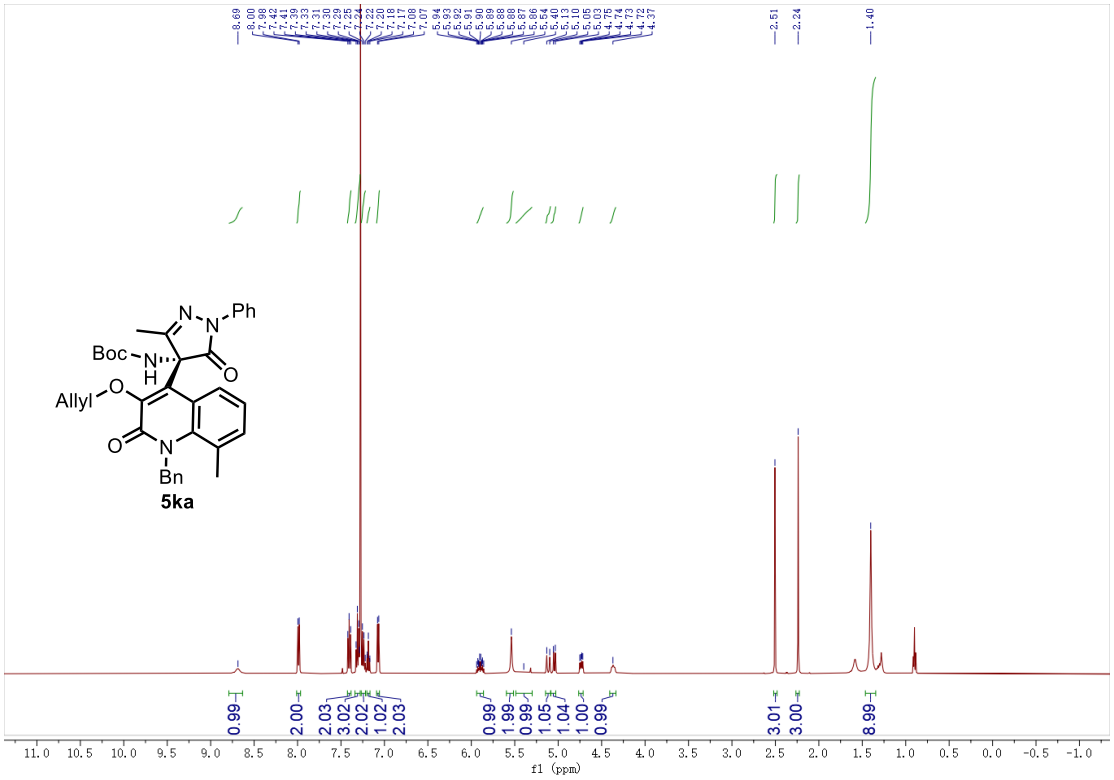
^{1}H NMR of 5ja (500 MHz, $CDCl_3$)



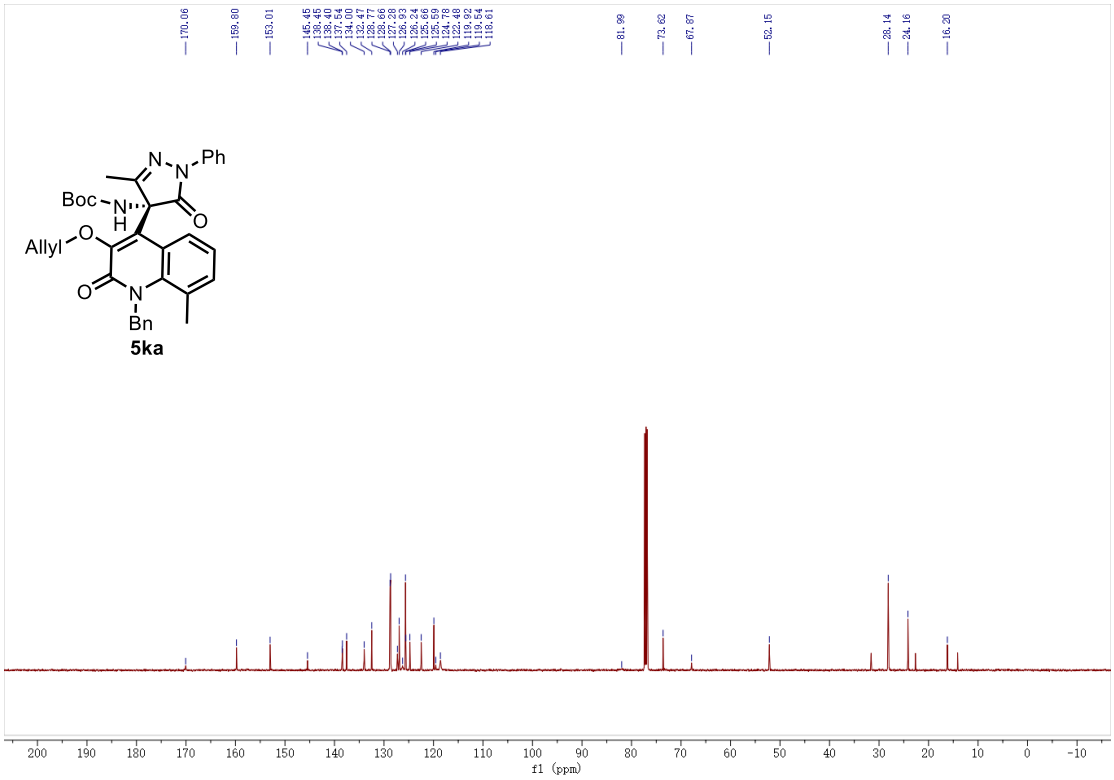
^{13}C NMR of 5ja (126 MHz, $CDCl_3$)



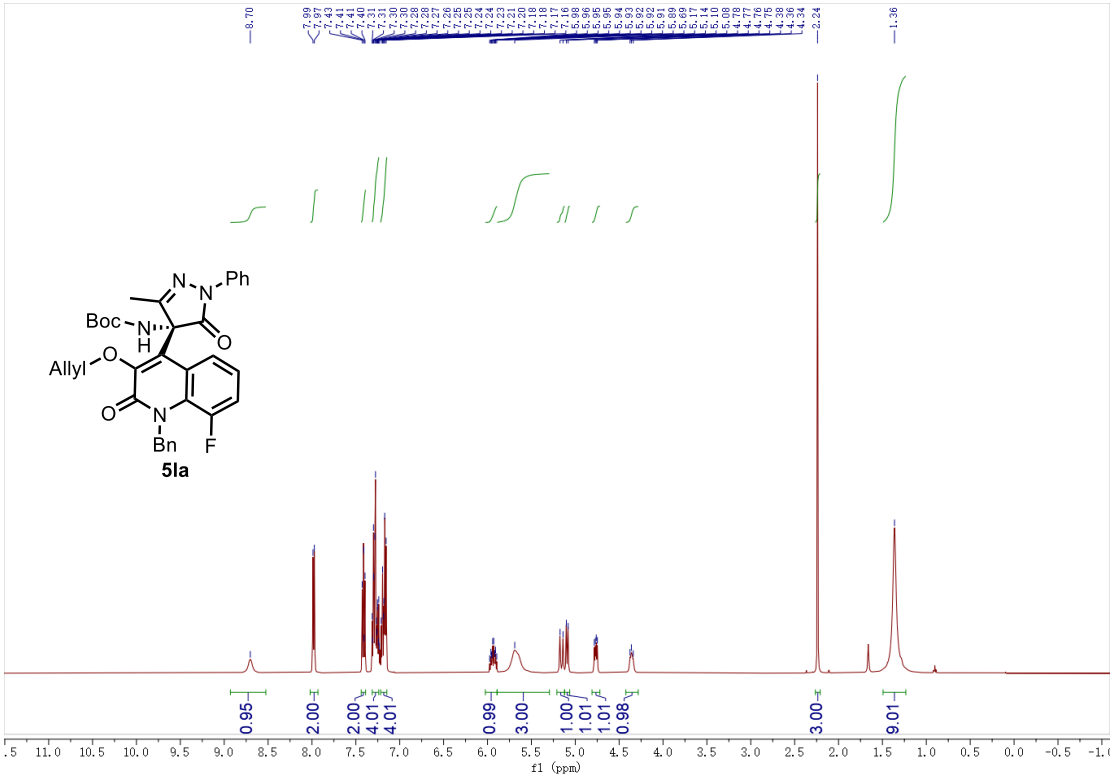
^{1}H NMR of 5ka (500 MHz, $CDCl_3$)



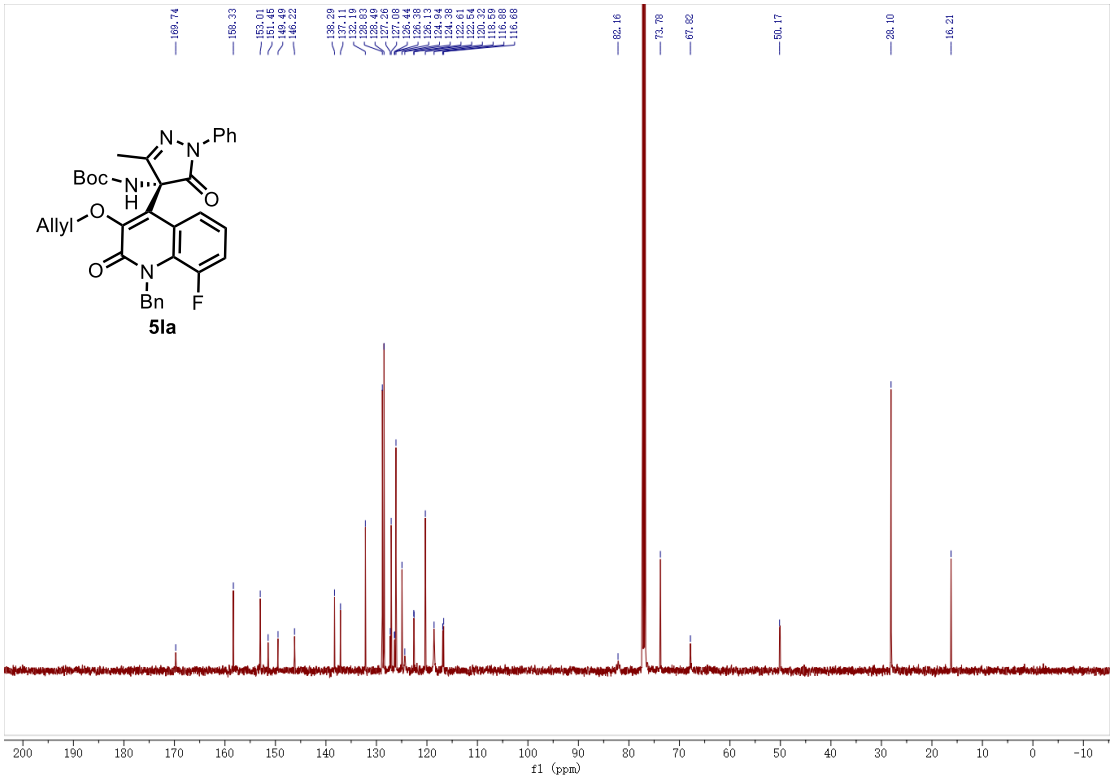
^{13}C NMR of 5ka (126 MHz, $CDCl_3$)



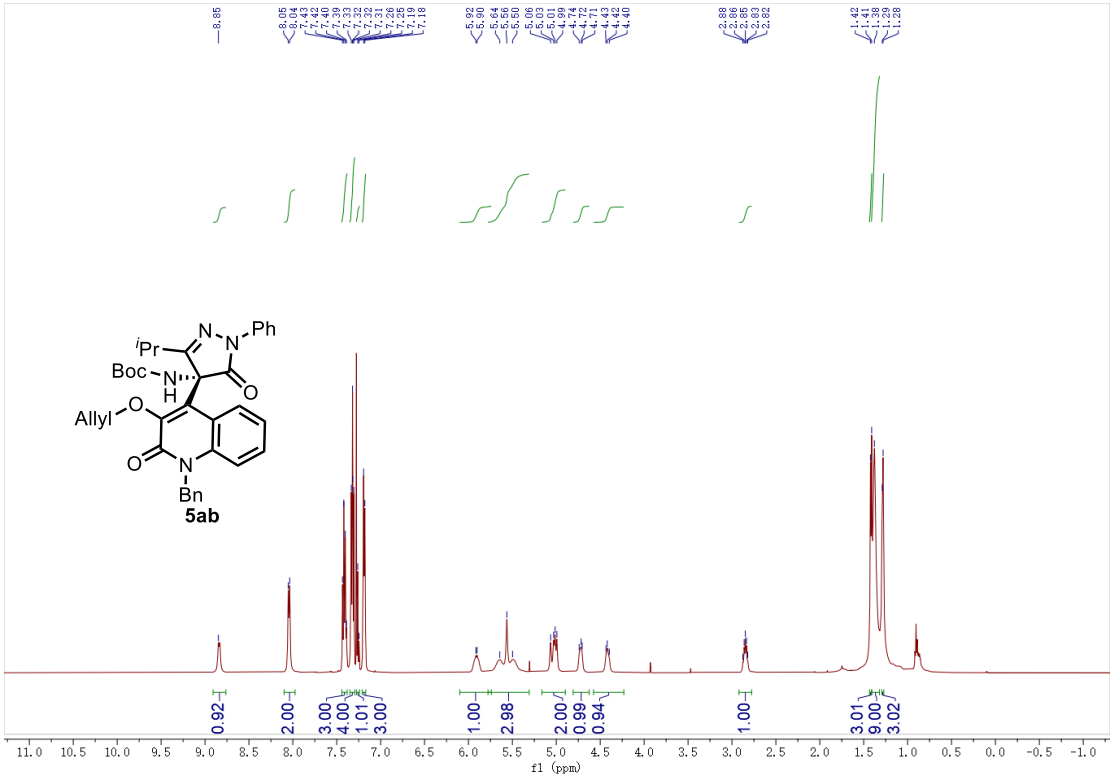
^{1}H NMR of 5la (500 MHz, $CDCl_3$)



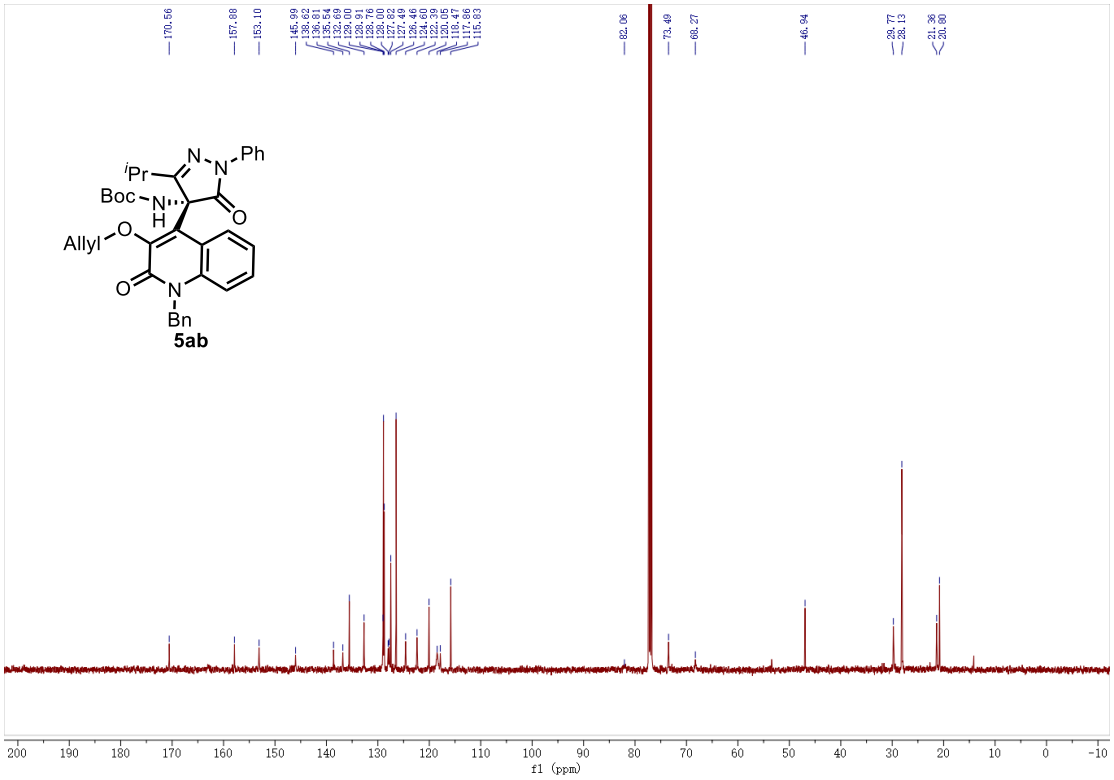
^{13}C NMR of 5la (126 MHz, $CDCl_3$)



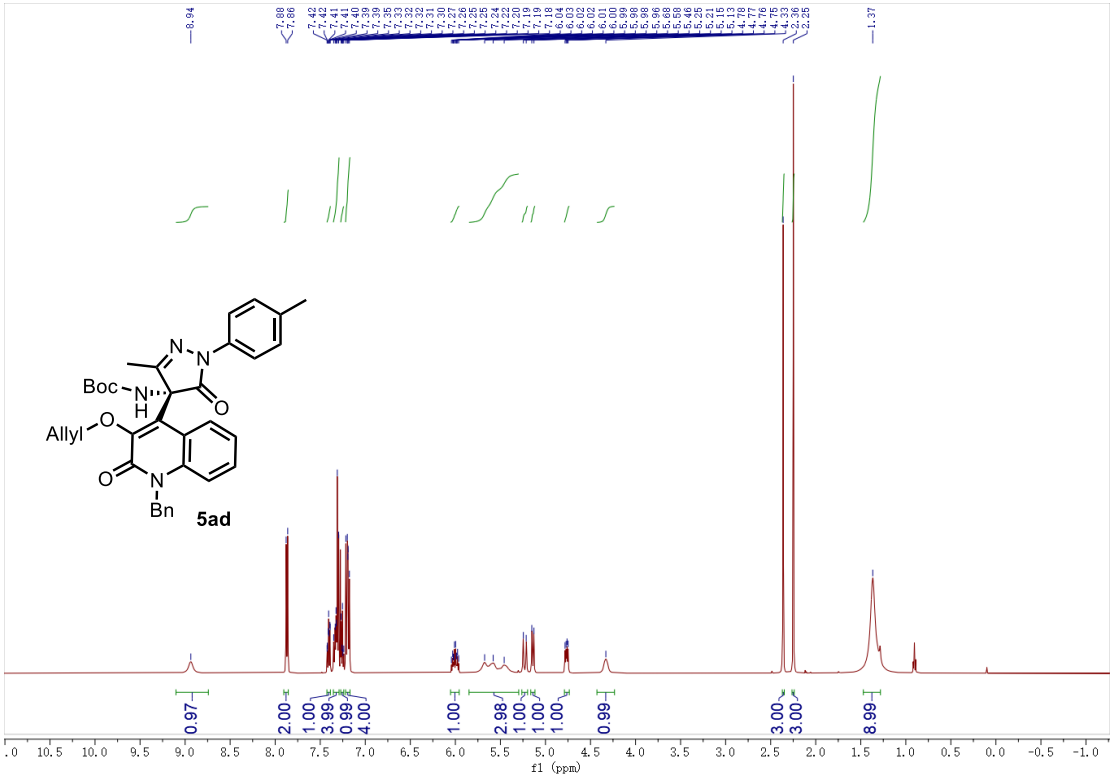
^{1}H NMR of 5ab (500 MHz, $CDCl_3$)



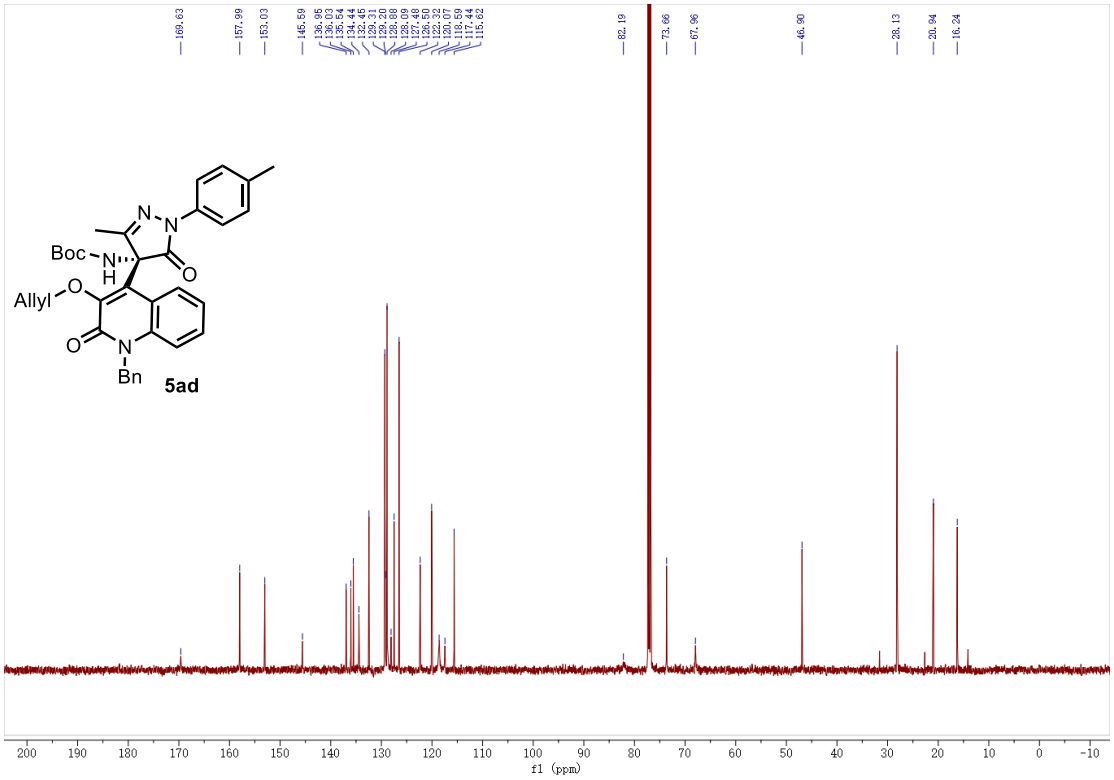
^{13}C NMR of 5ab (126 MHz, $CDCl_3$)



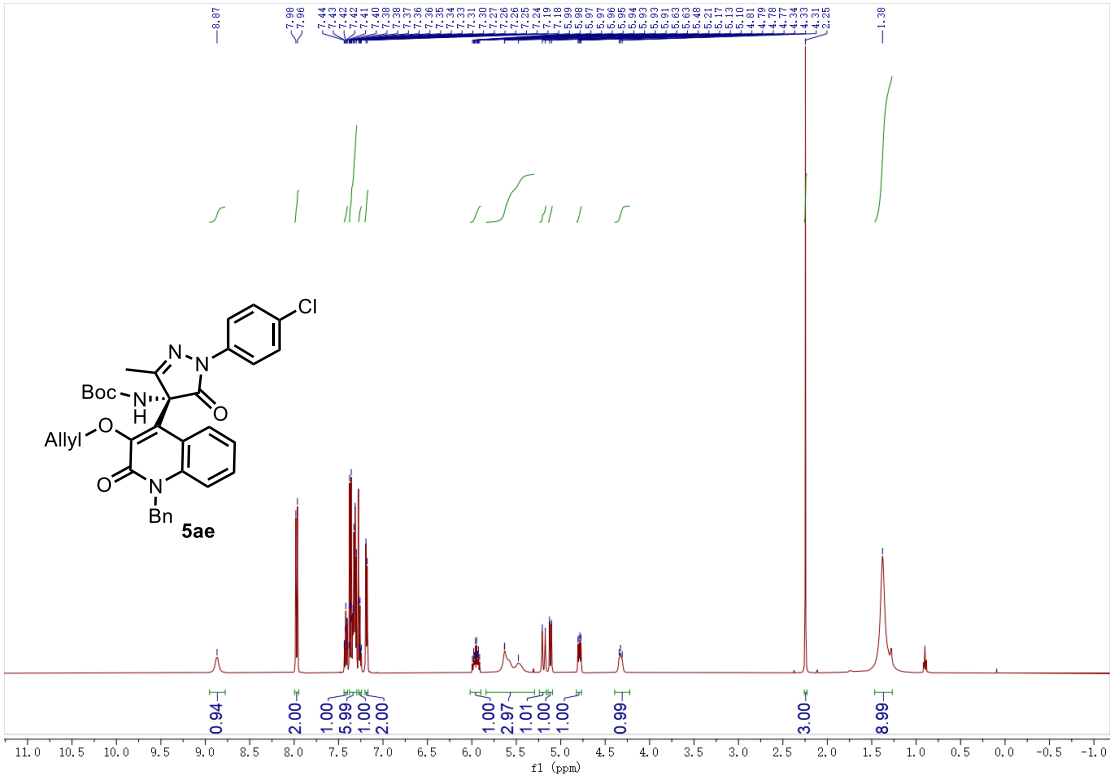
^{1}H NMR of 5ad (500 MHz, $CDCl_3$)



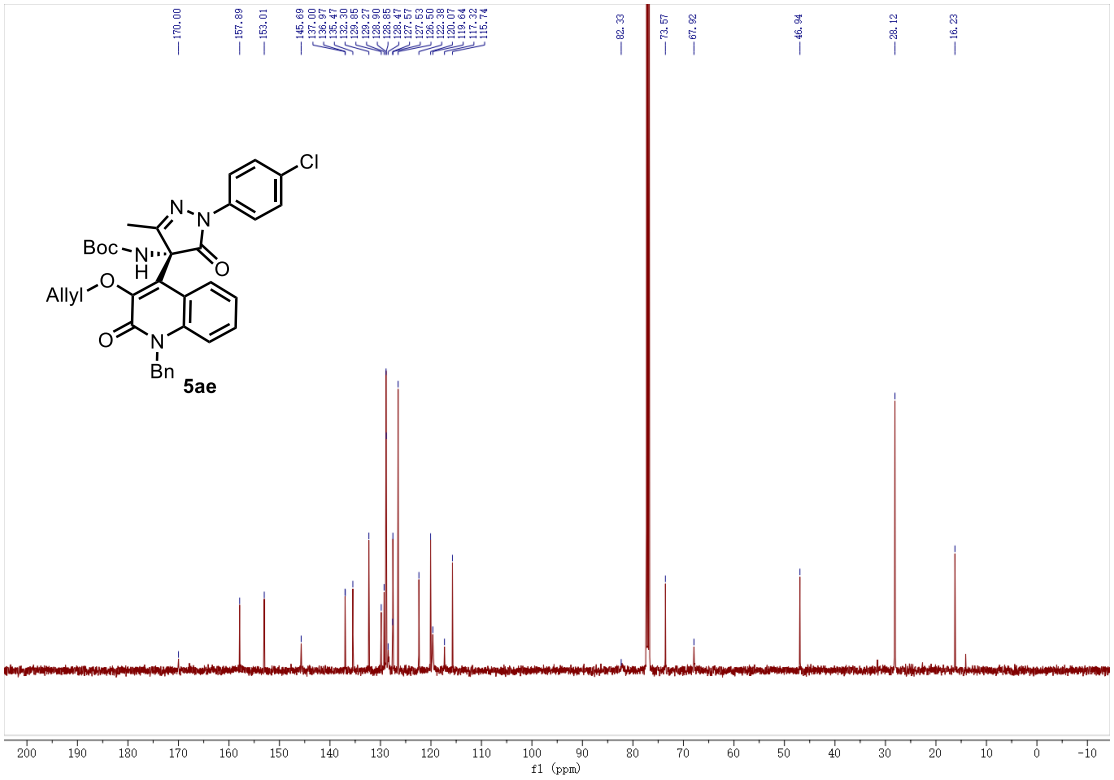
^{13}C NMR of 5ad (126 MHz, $CDCl_3$)



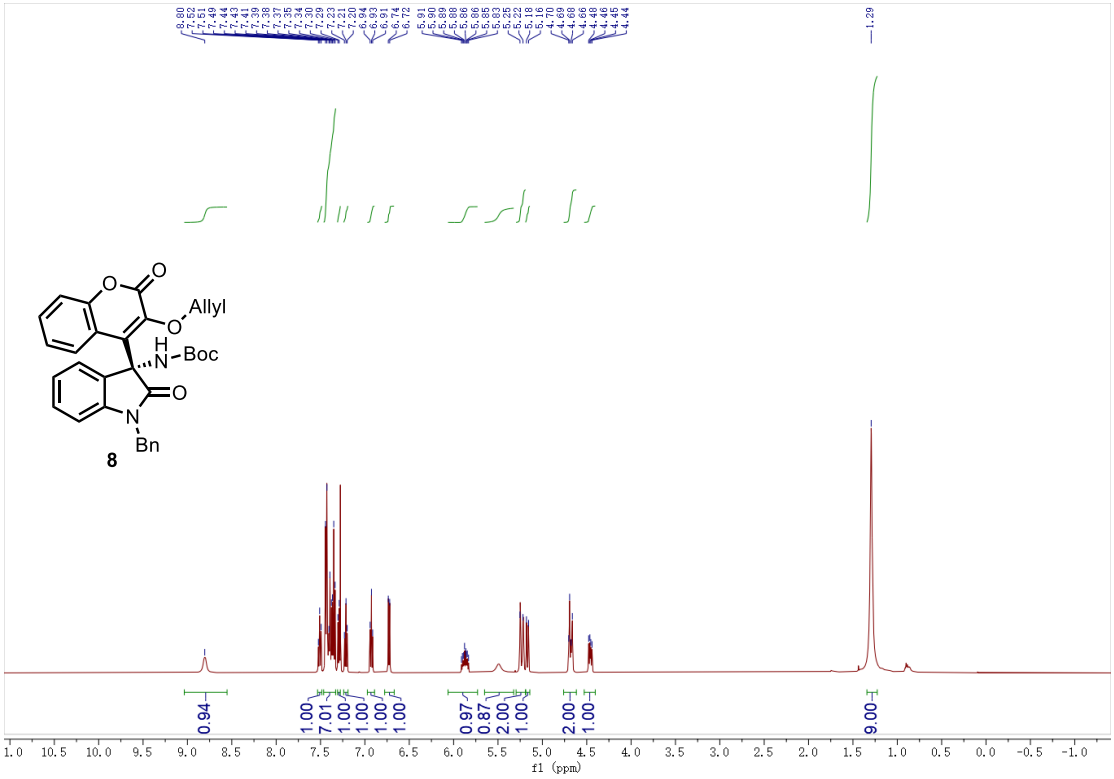
^{1}H NMR of 5ae (500 MHz, $CDCl_3$)



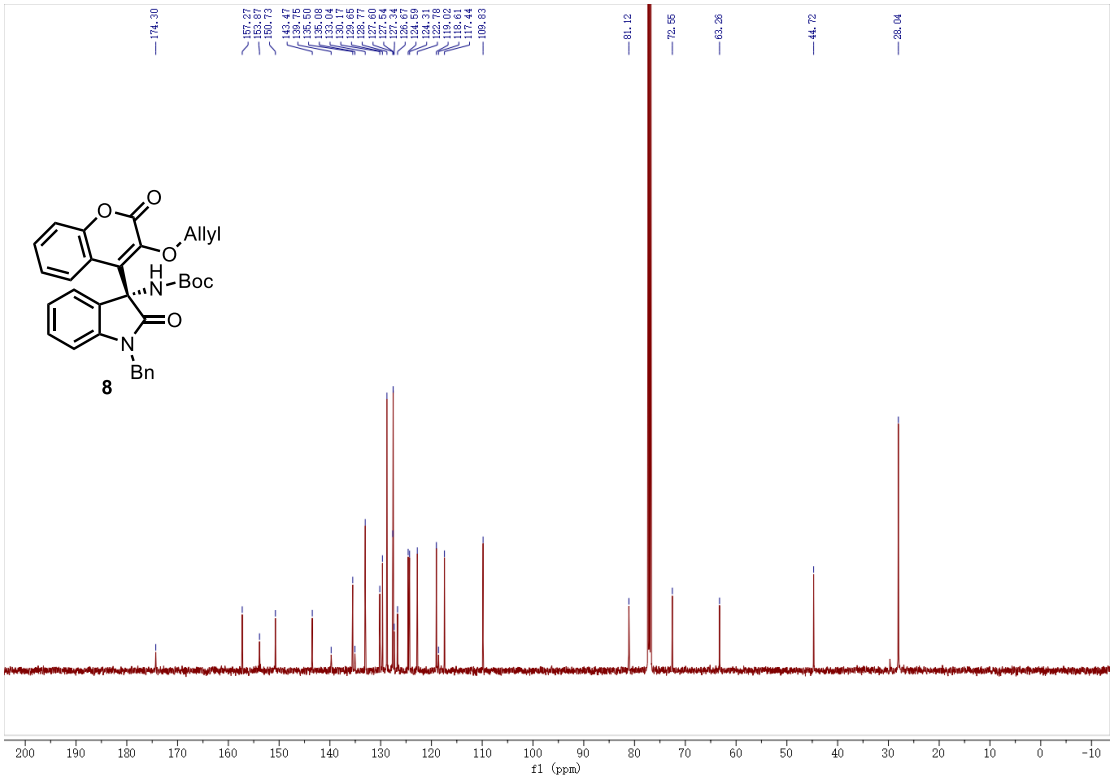
^{13}C NMR of 5ae (126 MHz, $CDCl_3$)



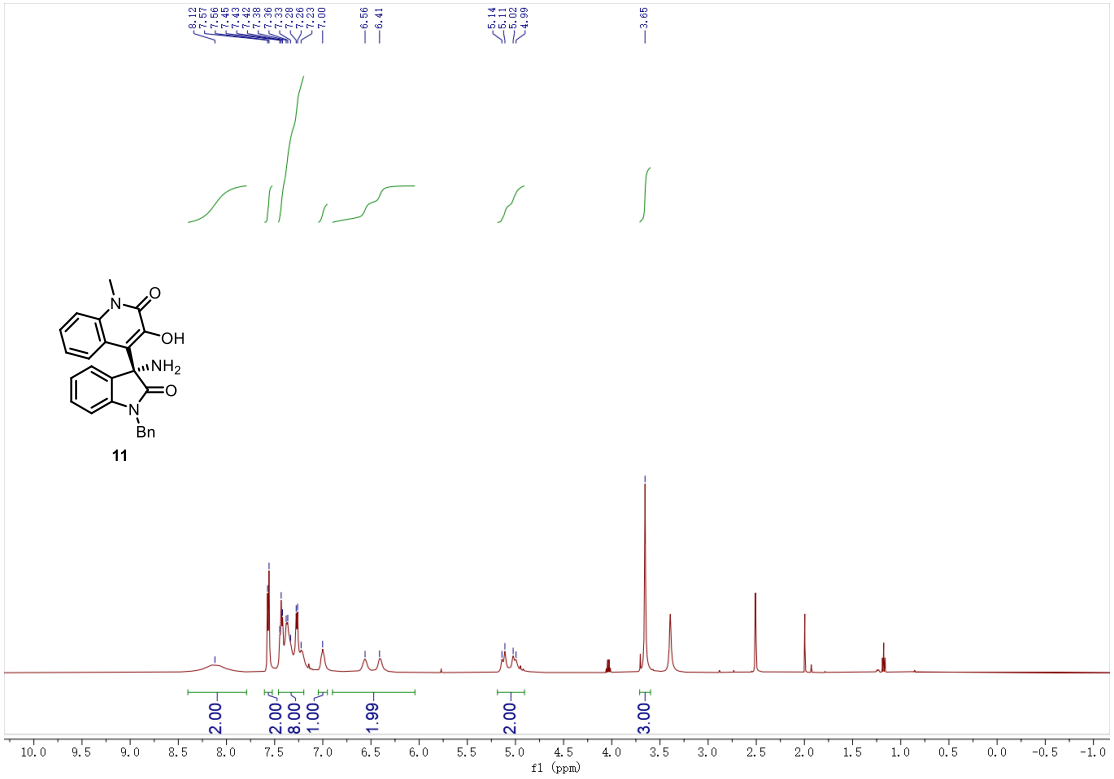
^{1}H NMR of 8 (500 MHz, $CDCl_3$)



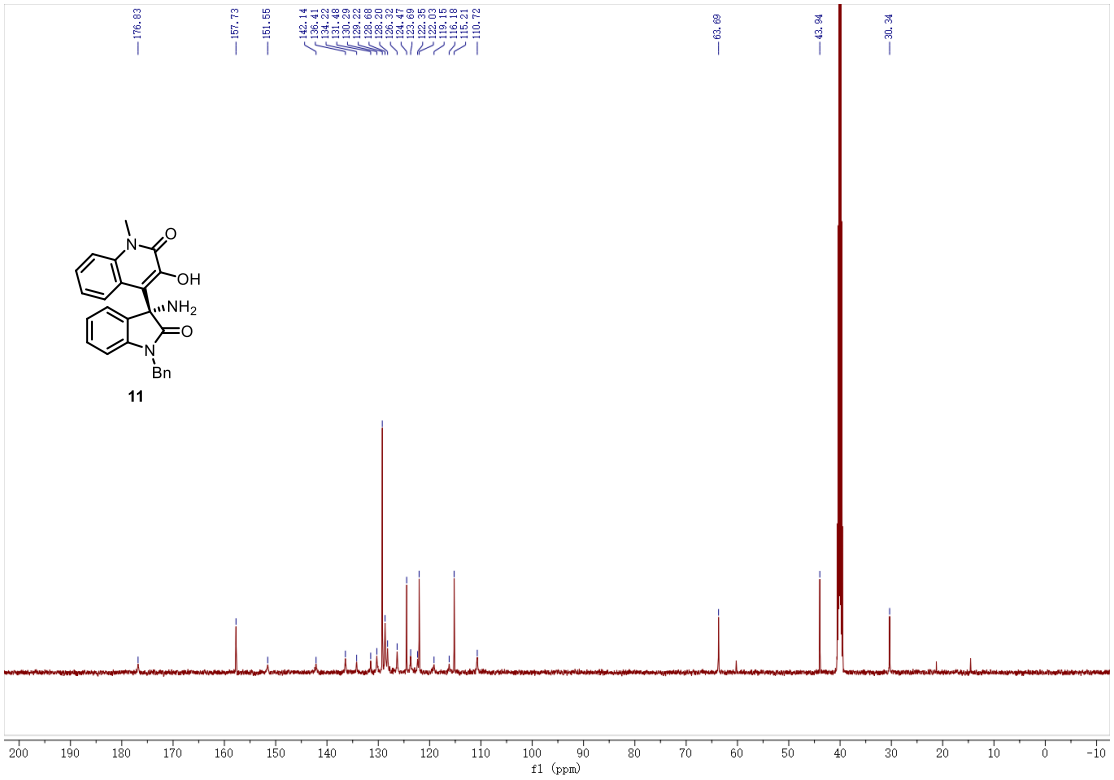
^{13}C NMR of 8 (126 MHz, $CDCl_3$)



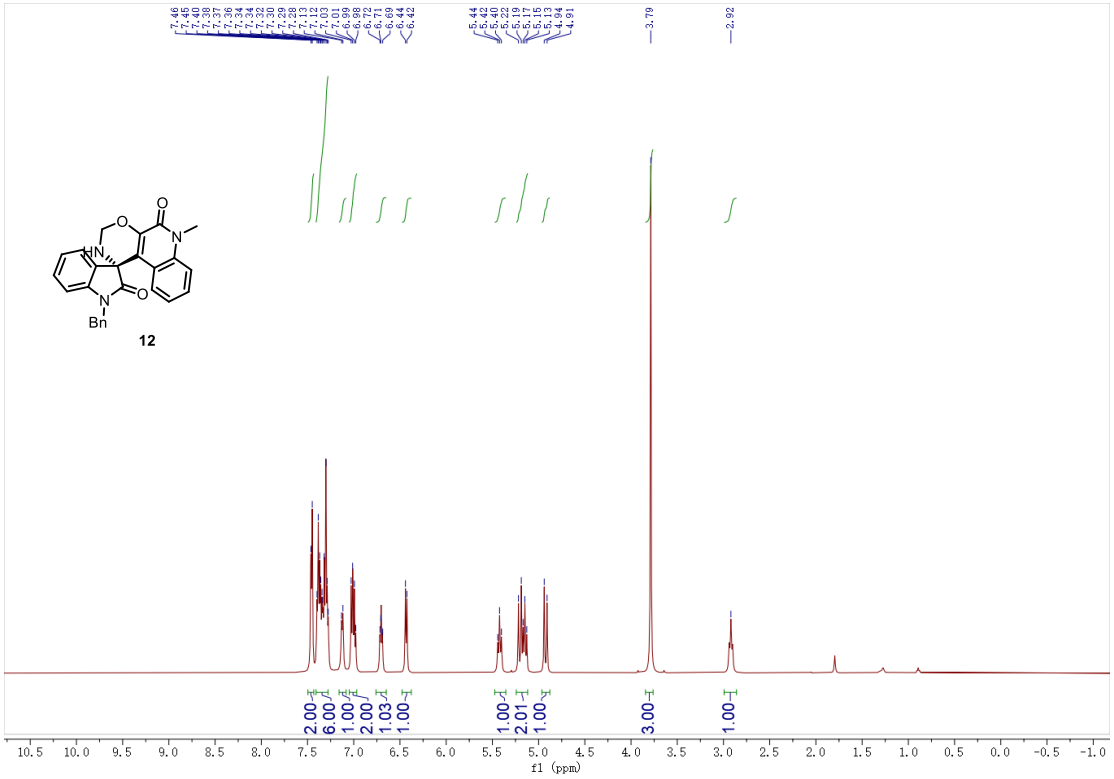
^{1}H NMR of 11 (500 MHz, DMSO)



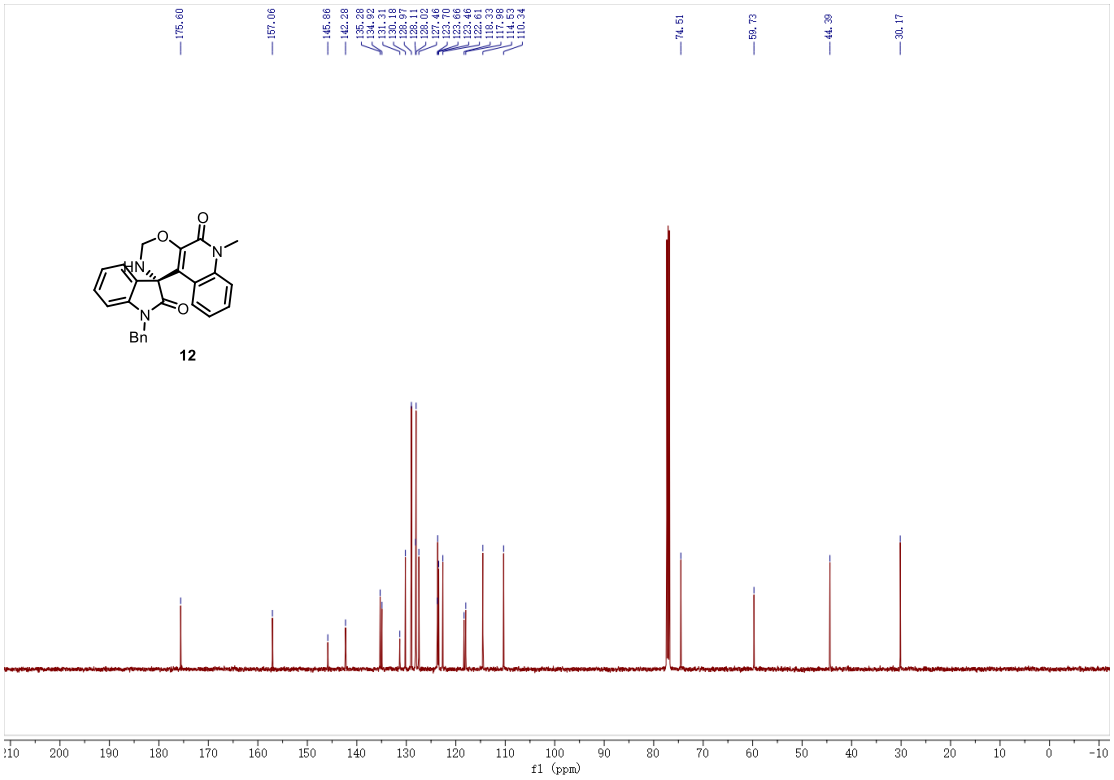
^{13}C NMR of 11 (126 MHz, DMSO)



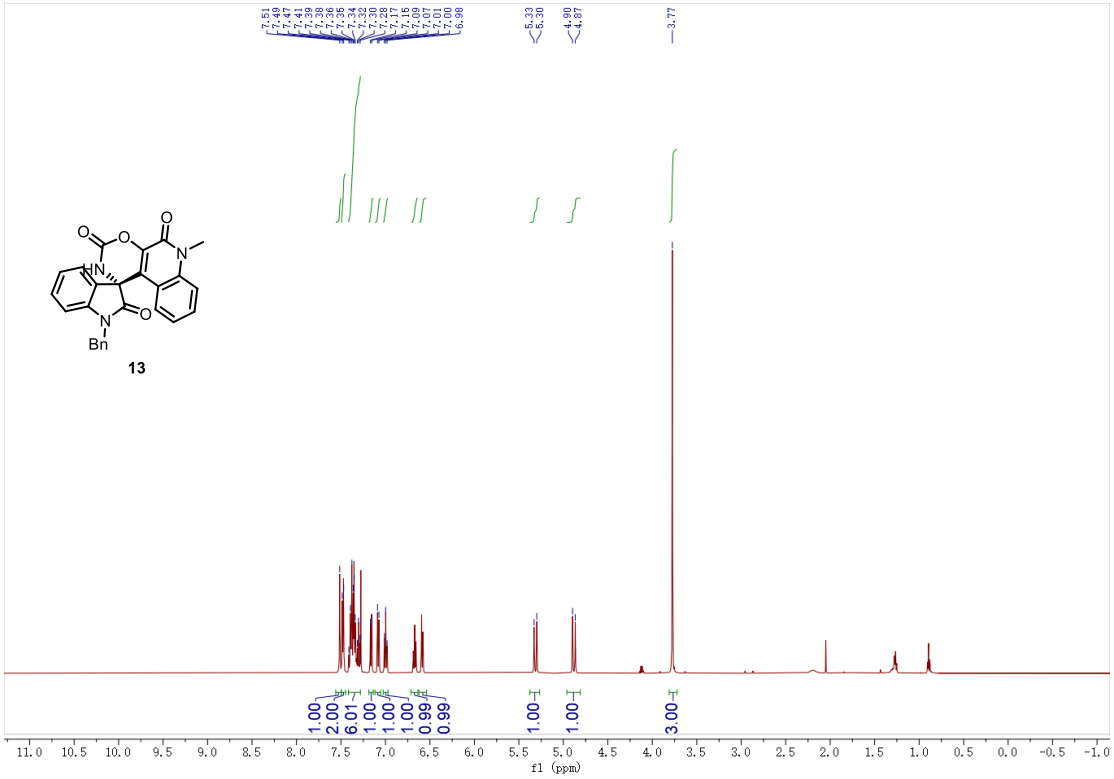
^{1}H NMR of 12 (500 MHz, $CDCl_3$)



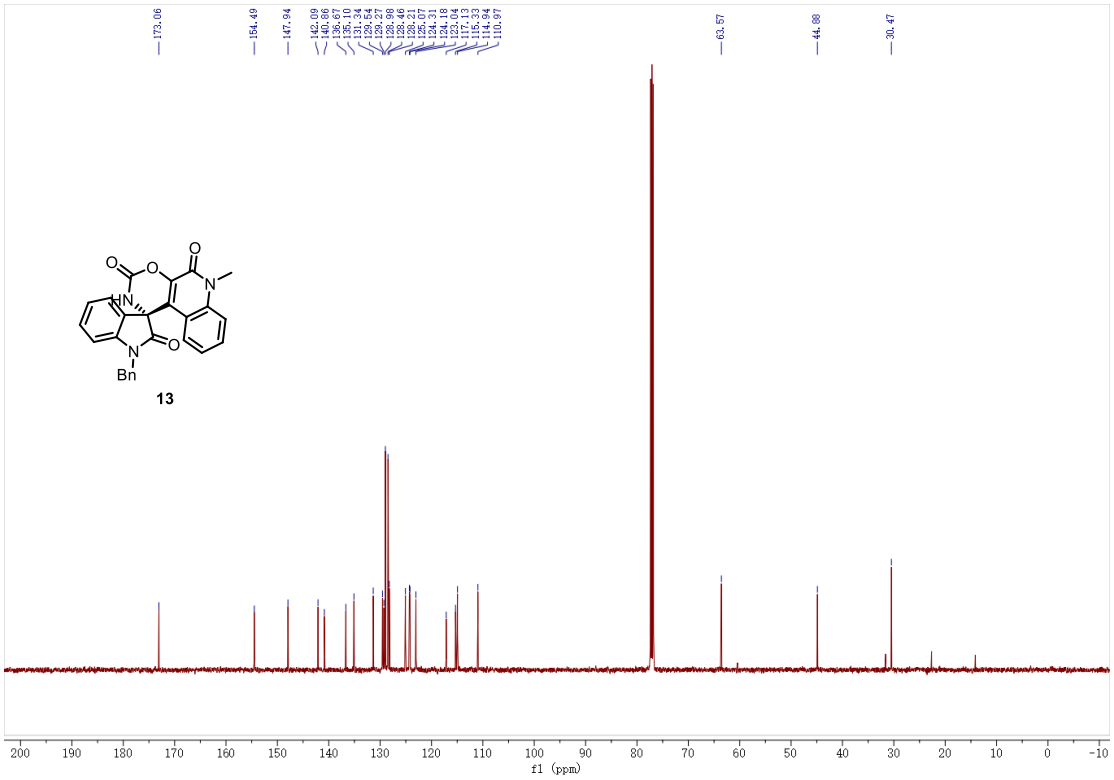
^{13}C NMR of 12 (126 MHz, $CDCl_3$)



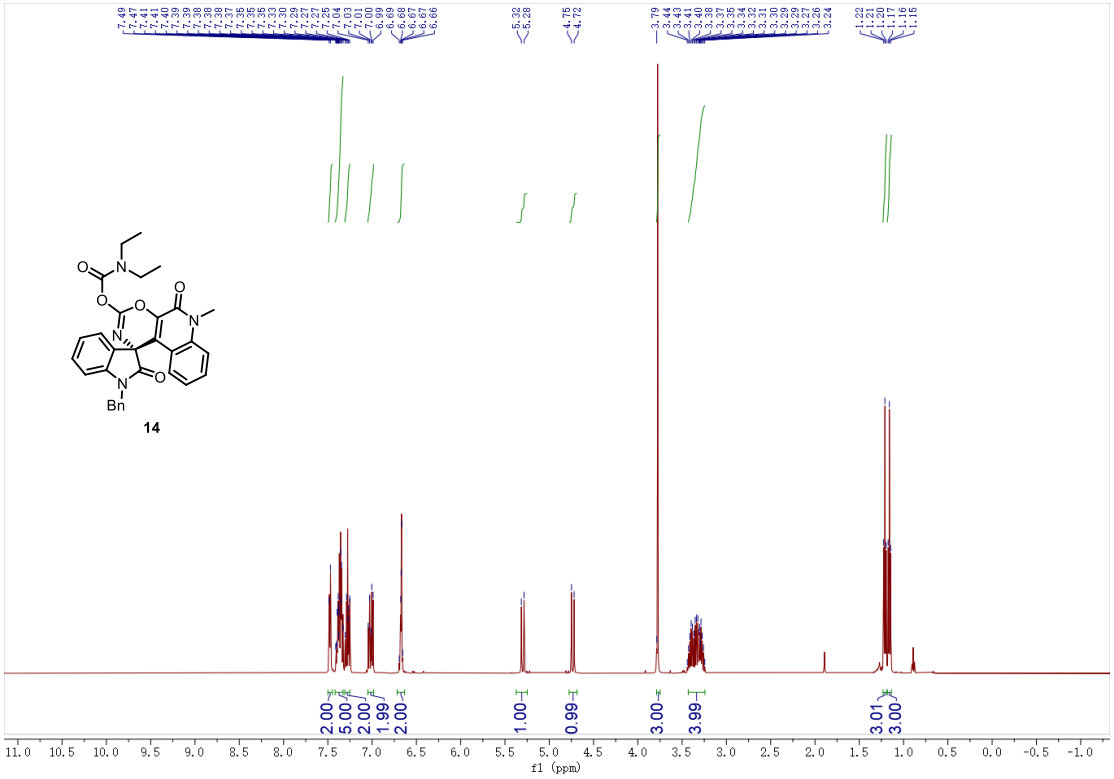
^{1}H NMR of 13 (500 MHz, $CDCl_3$)



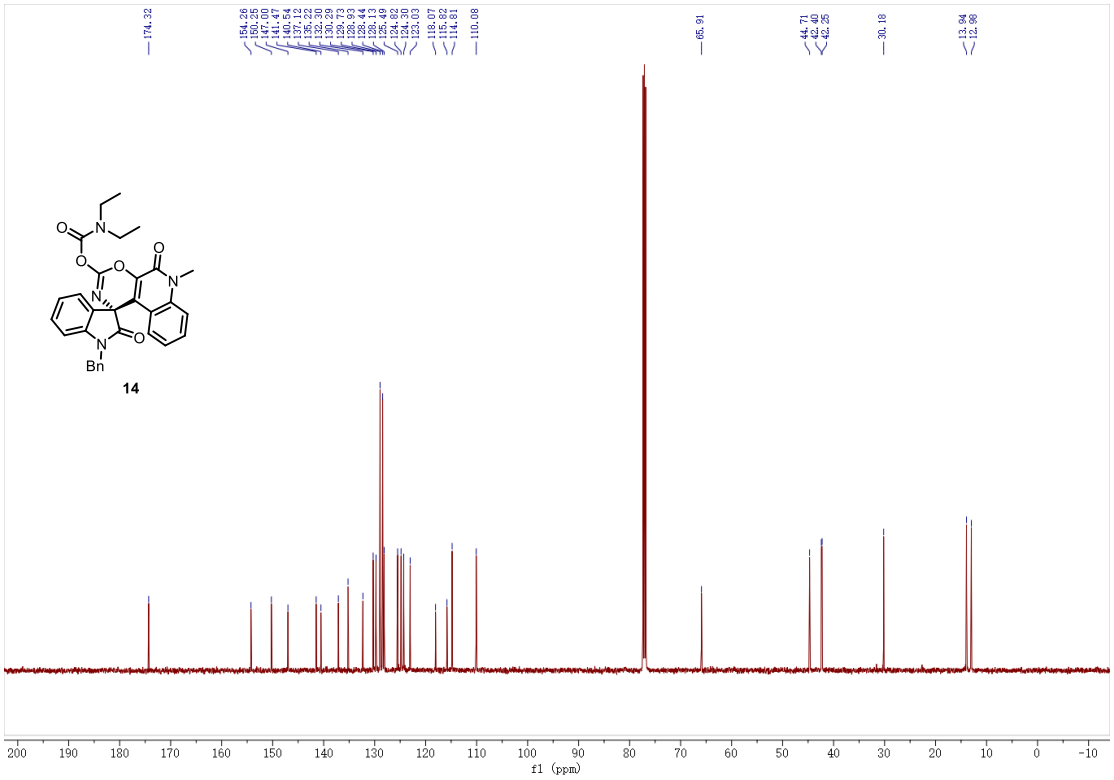
^{13}C NMR of 13 (126 MHz, $CDCl_3$)



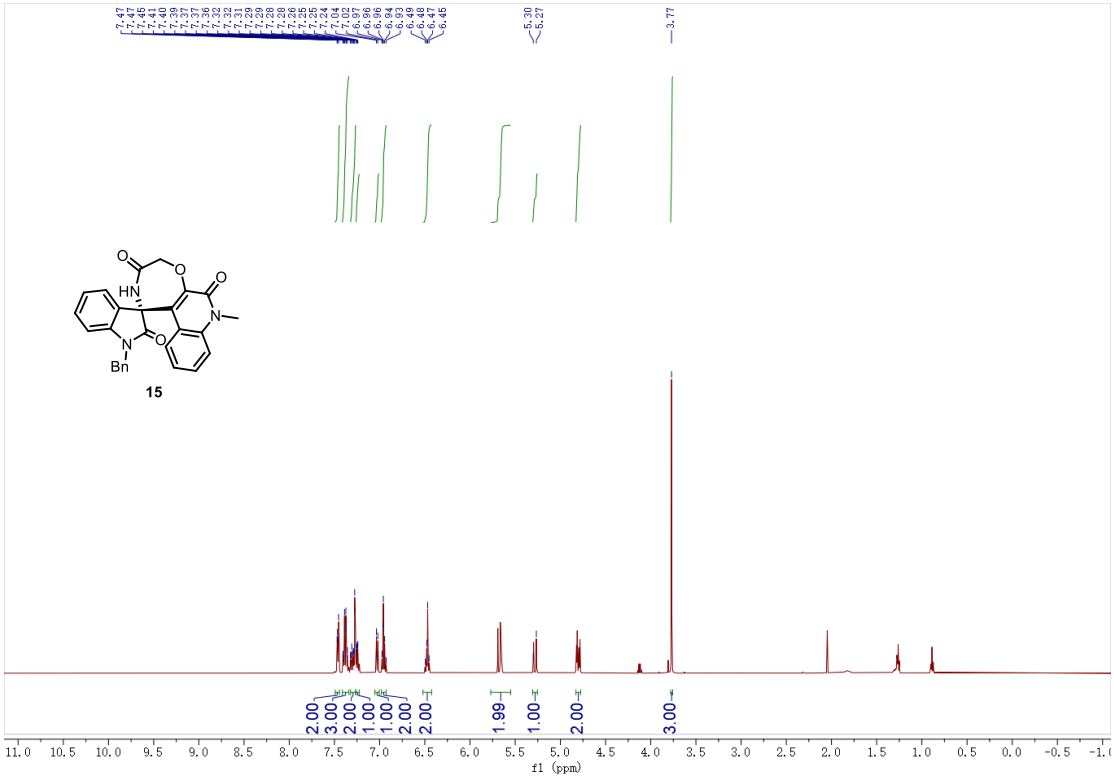
^{1}H NMR of 14 (500 MHz, $CDCl_3$)



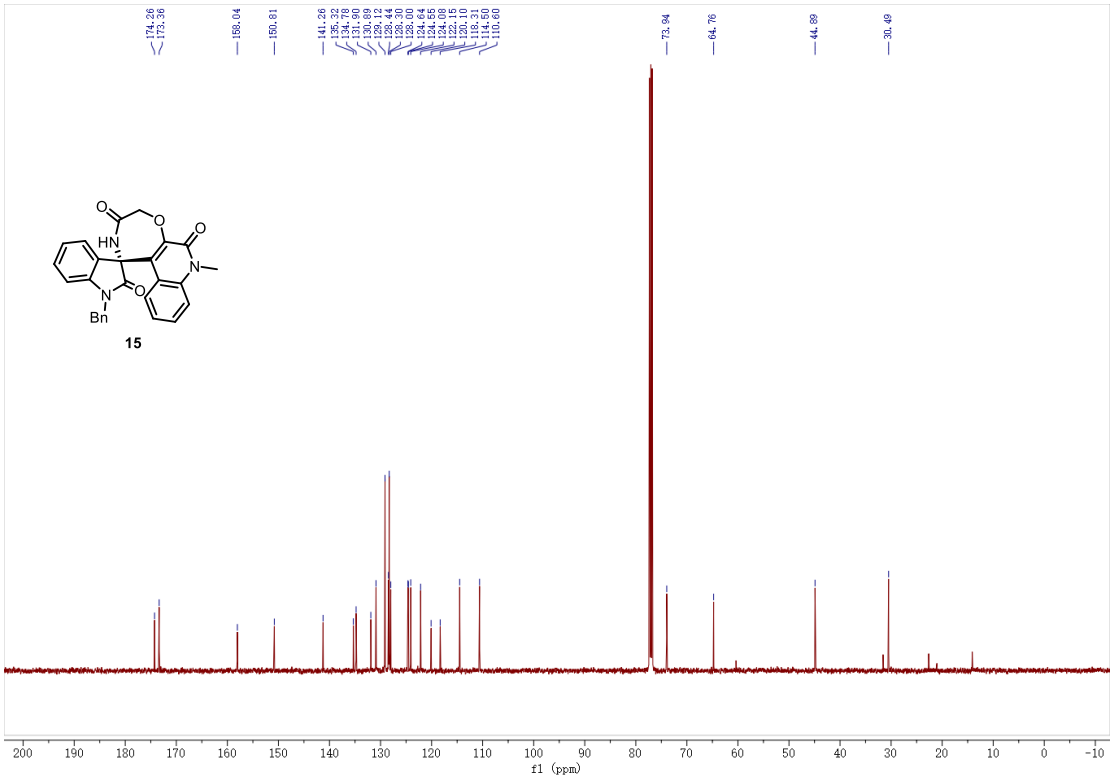
^{13}C NMR of 14 (126 MHz, $CDCl_3$)



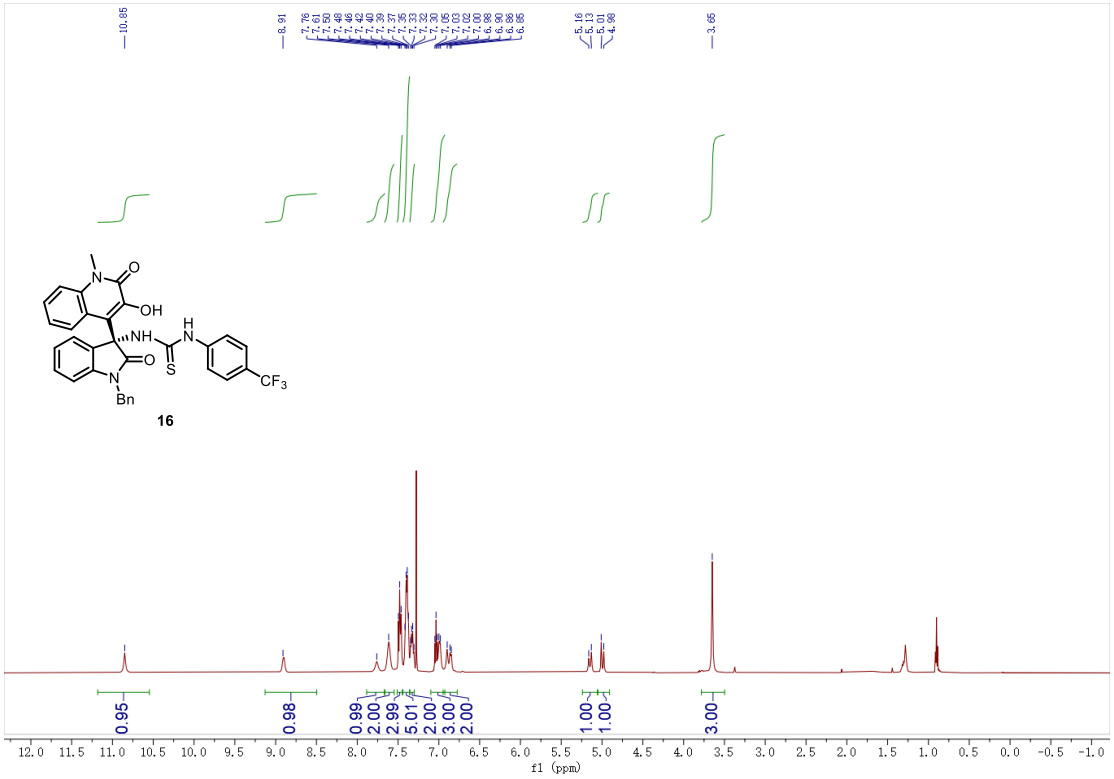
^{1}H NMR of 15 (500 MHz, $CDCl_3$)



^{13}C NMR of 15 (126 MHz, $CDCl_3$)



^{1}H NMR of 16 (500 MHz, $CDCl_3$)



^{13}C NMR of 16 (126 MHz, $CDCl_3$)