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Electronic Supplementary Information

Silver-Catalyzed Asymmetric [3+2] Cycloaddition via Dynamic Kinetic Resolution: Access to Chiral Oxazolines Bearing C–N Axial Chirality

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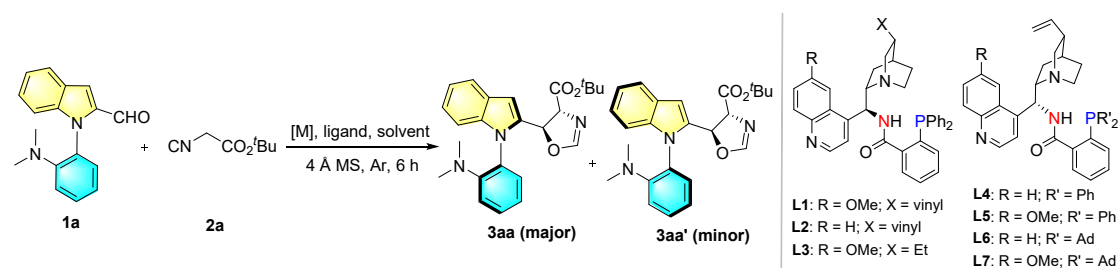
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1. General information

Commercial reagents were used without further purification, and solvents were dried before using. Melting points were determined using a Büchi B-540 capillary melting point apparatus. The ^1H NMR spectra were recorded at 400 MHz. The ^{13}C NMR spectra were recorded at 100 MHz. Chemical shifts were expressed in parts per million (δ) downfield from the internal standard tetramethylsilane, and were reported as s (singlet), d (doublet), t (triplet), dd (doublet of doublet), dt (doublet of triplet), td (triplet of doublet), m (multiplet), etc. The coupling constants J were given in Hz. HPLC analyses were performed on Agilent 1260 with Daicel chiral columns (IA, IC, ID, AS-H, OD-H, OJ-H and AD-H). The conversion of starting materials was monitored by thin layer chromatography (TLC) using silica gel plates (silica gel 60 F254 0.25 mm), and components were visualized by observation under UV light (254 and 365 nm). Optical rotations were determined using an AUTOPOL V polarimeter.

2. Optimization of the reaction conditions

Table S1. Optimization of reaction conditions^a



Entry	Ligand	Temp. (°C)	[M]	Solvent	Yield ^b (%)	ee ^c (%)	dr ^d
1	L1	-20	Ag ₂ CO ₃	EA	98	99	9:1
2	L2	-20	Ag ₂ CO ₃	EA	94	96	8:1
3	L3	-20	Ag ₂ CO ₃	EA	98	97	8:1
4	L4	-20	Ag ₂ CO ₃	EA	98	95	8:1
5	L5	-20	Ag ₂ CO ₃	EA	96	95	9:1
6	L6	-20	Ag ₂ CO ₃	EA	76	56	7:1
7	L7	-20	Ag ₂ CO ₃	EA	64	63	7:1
8	L1	-40	Ag ₂ CO ₃	EA	trace	ND	ND

9	L1	0	Ag ₂ CO ₃	EA	98	99	9:1
10	L1	RT	Ag ₂ CO ₃	EA	98	98	9:1
11	L1	0	Ag ₂ CO ₃	DCM	98	99	9:1
12	L1	0	Ag ₂ CO ₃	THF	98	99	9:1
13	L1	0	Ag ₂ CO ₃	MTBE	98	98	7:1
14	L1	0	Ag ₂ CO ₃	EA	98	99	9:1
15	L1	0	Ag ₂ CO ₃	Toluene	98	99	3:1
16	L1	0	AgSO ₃ CF ₃	EA	70	97	12:1
17	L1	0	Ag ₂ SO ₄	EA	98	98	9:1
18	L1	0	AgNO ₃	EA	91	97	9:1
19	L1	0	Ag ₂ O	EA	98	99	11:1
20	L1	0	AgBF ₄	EA	72	90	15:1
21	L1	0	Ag ₃ PO ₄	EA	90	97	9:1
22	L1	0	CuI	EA	91	45	8:1

^aReaction conditions: **1a** (0.2 mmol), **2a** (0.24 mmol), [M] (0.005 mmol), ligand (0.011 mmol), 4 Å MS (200 mg) in EA (4 mL) under Ar for 6 h. ^bIsolated yields. ^cDetermined by HPLC analysis. ^dDetermined by HPLC analysis.

3. Synthesis and characterization of starting materials

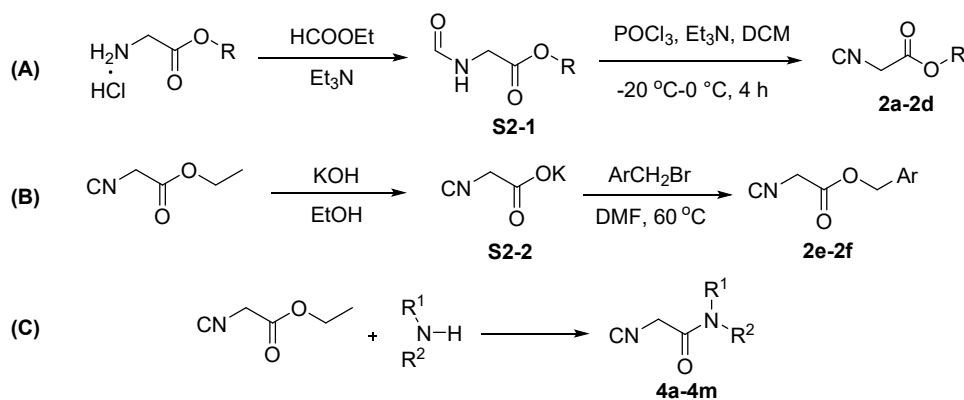
3.1 Synthesis of ligands

Ligands **L1-L7** were prepared according to literature procedures.^{1,2}

3.2 Synthesis of substrate **1a-1m**

Substrates **1a-1m** were prepared according to literature procedures.³

3.3 Synthesis of substrate **2a-2f** and **4a-4m**



Procedure A⁴:

To a 100 mL three-necked flask flushed with Ar were added the corresponding glycinate hydrochloride (40 mmol, 1.0 equiv.), triethylamine (44 mmol, 1.1 equiv.), and ethyl formate (30

mL). The reaction mixture was refluxed at 65 °C for 24 h. Upon completion, the flask was cooled to -5 °C. The mixture was filtered while cold, and the filter cake was washed with EA. The filtrate was concentrated *in vacuo*, and the resulting crude residue was purified by flash column chromatography on silica gel, eluting with PE/EA (2:1) to afford **S2-1** as a colorless transparent liquid (78-86% yield).

To a 250 mL three-necked flask flushed with Ar were added **S2-1** (31.2 mmol, 1.0 equiv.), triethylamine (34.1 mmol, 1.1 equiv.), and DCM (50 mL). The flask was cooled to -20 °C, followed by the slow dropwise addition of phosphorus oxychloride (93.3 mmol, 3.0 equiv.) over approximately 30 min, during which a large amount of white solid was generated. After the addition, the mixture was warmed to 0 °C and stirred for 4 h, observing the solution turning dark. Upon completion, the reaction was quenched by the careful addition of saturated aqueous Na₂CO₃ and the pH was adjusted to 9. The mixture was diluted with water and extracted with DCM (3 × 50 mL). The combined organic layers were washed once with brine, dried over anhydrous Na₂SO₄, and concentrated *in vacuo*. The crude residue was purified by flash column chromatography on silica gel, eluting with PE/EA (10:1) to afford **2a-2d** as a colorless transparent liquid (73-81% yield).

Procedure B^{5,6}:

To a 100 mL round-bottom flask were added EtOH (15 mL) and KOH (10 mmol, 1.14 equiv.). After the mixture was completely dissolved, ethyl isocynoacetate (8.8 mmol, 1.0 equiv.) was added, resulting in the generation of a large amount of yellow solid. The reaction was stirred at 25 °C for 1 h. Upon completion, the mixture was filtered, and the filter cake was washed with EtOH to afford **S2-2** as a golden-yellow solid (98% yield).

To a 10 mL sealed tube flushed with Ar were added **S2-2** (5 mmol, 1.0 equiv.), DMF (3 mL), and ArCH₂Br (5 mmol, 1.0 equiv.). The reaction mixture was stirred at 65 °C for 24 h. Upon completion, the solvent was removed *in vacuo*. The residue was diluted with water and extracted with EA (3 × 10 mL). The combined organic layers were washed once with brine, dried over anhydrous Na₂SO₄, and concentrated *in vacuo*. The crude product was purified by flash column chromatography on silica gel, eluting with PE/EA (8:1) to afford **2e-2f** (32-39% yield).

Procedure C^{5,7}:

To a 5 mL reaction vial was added ethyl isocyanoacetate (5 mmol, 1.0 equiv.) and the corresponding amine (5 mmol, 1.0 equiv.). If the amine was a solid, MeOH (1 mL) was added as the solvent. The reaction mixture was stirred at 25 °C for 24 h. Upon completion, the mixture was cooled to -20 °C. The resulting precipitate was collected and washed with diethyl ether. In cases where no precipitate formed, the residue was purified by flash column chromatography on silica gel to afford the desired products (20-76% yield).

3.4 Synthesis of (Isocyanomethyl)diphenylphosphine oxide

(Isocyanomethyl)diphenylphosphine oxide was prepared according to literature procedures⁸.

4. General procedure for the synthesis of 3 and 5

4.1 General Procedure for the Synthesis of 3

To a dry 10 mL Schlenk tube under an Ar atmosphere were added **1** (0.2 mmol, 1.0 equiv.), Ag₂O (0.005 mmol, 2.5 mol%), ligand **L1** (0.011 mmol, 5.5 mol%), 4 Å MS (200 mg), and EA (4 mL). The mixture was stirred at 25 °C for 30 min and then cooled to 0 °C. A solution of isocyanoacetate **2** (0.24 mmol) in EA (4 mL) was added dropwise via a syringe pump at a rate of 0.2 mL/5 min. The reaction was stirred at 0 °C for 6 h. Upon completion, the solvent was removed under reduced pressure. The residue was purified by flash column chromatography on silica gel (pre-neutralized with 1% Et₃N in PE), eluting with PE/EA (10:1-1:1) to afford the desired product **3a**.

4.2 General Procedure for the Synthesis of 5

The reaction between *N*-aryl indole aldehydes **1** and isocyanoacetamides **4** followed the same procedure as described for **3**, with the reaction time extended to 18 h.

5. Gram-scale experiment and further transformations

5.1 Gram-scale Experiment

To a dry 100 mL double-neck flask under an Ar atmosphere were added **1a** (4 mmol, 1.06 g, 1.0 equiv.), Ag₂O (0.1 mmol, 23.2 mg, 2.5 mol%), ligand **L1** (0.22 mmol, 134.1 mg, 5.5 mol%), 4 Å

MS (500 mg), and EA (20 mL). The mixture was stirred at 25 °C for 30 min and then cooled to 0 °C. A solution of **2b** (4.8 mmol) in EA (4 mL) was added dropwise via a syringe pump at a rate of 10 mL/h. The reaction was stirred at 0 °C for 6 h. Upon completion, the solvent was removed under reduced pressure. The residue was purified by flash column chromatography on silica gel (pre-neutralized with 1% Et₃N in PE), eluting with PE/EA (5:1) to afford the desired product **3ab** (1.32 g, 91% yield, 98% ee, >20:1 dr).

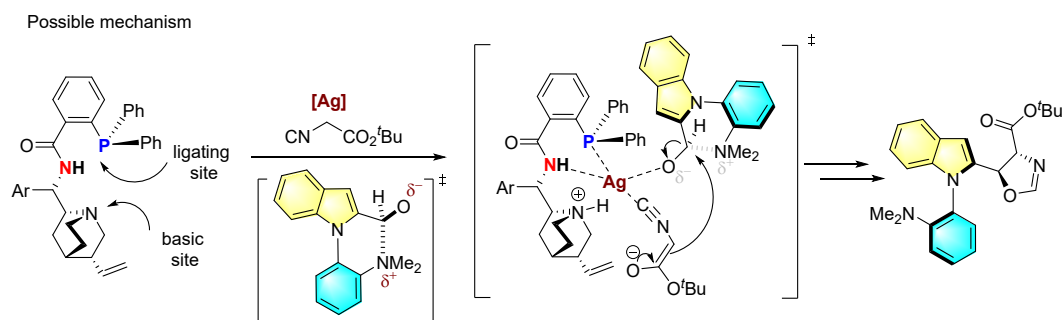
5.2 Further Transformation of **7ab**¹

To a 10 mL round-bottom flask under an Ar atmosphere were added **3ab** (0.15 mmol, 54 mg) and THF (2 mL). After complete dissolution, a solution of 5 drops of concentrated HCl (12 M) diluted in THF (2 mL) was added dropwise. A white solid was observed to form immediately, and the mixture was stirred for 1 h. The residue was quenched with saturated aqueous NaHCO₃ and extracted with EA and water. The aqueous phase was extracted with EA three times. The combined organic layers were washed with brine, dried over anhydrous Na₂SO₄, and concentrated. The residue was purified by flash column chromatography on silica gel, eluting with PE/EA (1:1) to afford the desired product **7ab** (48.5 mg, 85% yield, 97% ee, >20:1 dr).

5.3 Further transformation of **8ab**⁹

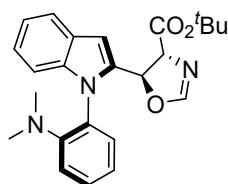
To a 10 mL Schlenk tube under an Ar atmosphere were added LiAlH₄ (5 mmol) and THF (3 mL). The mixture was cooled to -45 °C, and then a solution of **3ab** (1 mmol, 375 mg) in THF (3 mL) was added dropwise over approximately 30 min. The reaction was allowed to warm to 0 °C over 3 h and then stirred at room temperature overnight. Upon completion, the reaction was quenched by the sequential addition of H₂O (0.2 mL), 15% aqueous NaOH (0.2 mL), and H₂O (0.6 mL). The resulting mixture was filtered, and the filter cake was washed with EA. The organic phase was dried over anhydrous MgSO₄ and concentrated under reduced pressure. The residue was purified by flash column chromatography on silica gel, eluting with DCM/MeOH (20:1) to afford the desired product **8ab** (298 mg, 88% yield, 96% ee, >20:1 dr).

6. Possible mechanism



Based on our previous works and literature reports^{1,2,10-12}, a plausible catalytic mechanism is proposed. The basic quinuclidine nitrogen of the cinchona alkaloid-derived ligand L1 facilitates the deprotonation of the α -H of isocyanacetates. Simultaneously, the silver center is coordinated by the phosphorus and nitrogen atoms of the ligand, as well as the carbon atom of the isocyanide group, forming a rigid chiral environment. This multi-point coordination, along with potential interactions involving the substrate's carbonyl oxygen, effectively locks the spatial orientation of the reactants. Crucially, this assembly disrupts the transient intramolecular LABI within the N-aryl indole substrate, which otherwise lead to racemization. By suppressing this racemization process during the [3+2] cycloaddition, the strategy facilitates the simultaneous and efficient construction of both the C–N chiral axis and the adjacent stereogenic centers.

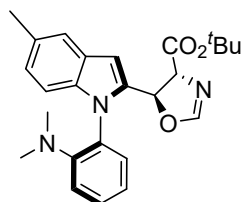
7. Characterization data of products



(*S*_a,*4R*_c,*5R*_c)-tert-butyl 5-(1-(2-(dimethylamino)phenyl)-1*H*-indol-2-yl)-4,5-dihydrooxazole-4-carboxylate (3a)

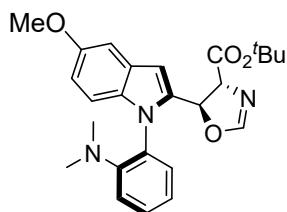
The desired product was purified by silica gel chromatography (PE/EA = 10:1) as pale yellow liquid (79.4 mg, 98% yield, 99% ee, 12:1 dr), $[\alpha]_D^{20} = -108.0$ ($c = 0.2$, CH₂Cl₂). The ee was determined by HPLC on Chiralpak AD-H column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; $t_{R1} = 6.70$ min (minor), $t_{R2} = 7.76$ min (major), $t_{R3} = 11.30$ min (minor). **¹H NMR** (400 MHz, DMSO-*d*₆) δ 7.62 – 7.58 (m, 1H), 7.45 – 7.38 (m, 2H),

7.23 (dd, $J = 7.6, 1.6$ Hz, 1H), 7.16 (dd, $J = 8.0, 1.2$ Hz, 1H), 7.14 – 7.09 (m, 2H), 7.08 – 7.05 (m, 1H), 6.99 (dd, $J = 8.0, 1.6$ Hz, 1H), 6.66 (s, 1H), 5.80 (d, $J = 6.0$ Hz, 1H), 4.37 (dd, $J = 6.0, 2.0$ Hz, 1H), 2.32 (s, 6H), 1.27 (s, 9H). **$^{13}\text{C NMR}$** (100 MHz, DMSO- d_6) δ 169.0, 156.7, 149.7, 138.7, 138.1, 130.9, 130.2, 127.6, 127.3, 123.0, 121.7, 121.0, 120.8, 120.0, 110.7, 101.0, 82.0, 75.7, 74.7, 42.1, 27.9. **HRMS (ESI)** m/z calcd for $\text{C}_{24}\text{H}_{27}\text{N}_3\text{O}_3$ $[\text{M}+\text{H}]^+$: 406.2125, found: 406.2122.



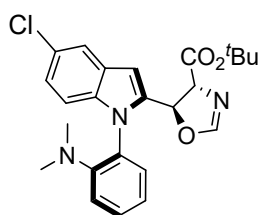
($S_a,4R_c,5R_c$)-tert-butyl 5-(1-(2-(dimethylamino)phenyl)-5-methyl-1H-indol-2-yl)-4,5-dihydrooxazole-4-carboxylate (3ba)

The desired product was purified by silica gel chromatography (PE/EA = 10:1) as pale yellow liquid (78.8 mg, 94% yield, 98% ee, 14:1 dr), $[\alpha]_D^{20} = -197.0$ ($c = 0.2$, CH_2Cl_2). The ee was determined by HPLC on Chiralpak ID column, hexane: isopropanol = 97:3; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; $t_{R1} = 14.91$ min (major), $t_{R2} = 18.63$ min (minor), $t_{R3} = 23.03$ min (minor), $t_{R4} = 29.08$ min (minor). **$^1\text{H NMR}$** (400 MHz, DMSO- d_6) δ 7.42 – 7.36 (m, 3H), 7.19 (dd, $J = 7.6, 1.6$ Hz, 1H), 7.14 (dd, $J = 8.4, 1.2$ Hz, 1H), 7.05 (td, $J = 7.6, 1.2$ Hz, 1H), 6.95 (dd, $J = 8.4, 1.6$ Hz, 1H), 6.87 (d, $J = 8.4$ Hz, 1H), 6.57 (s, 1H), 5.79 (d, $J = 6.0$ Hz, 1H), 4.36 (dd, $J = 6.0, 2.0$ Hz, 1H), 2.37 (s, 3H), 2.32 (s, 6H), 1.27 (s, 9H). **$^{13}\text{C NMR}$** (100 MHz, DMSO- d_6) δ 169.0, 156.7, 149.6, 138.6, 136.6, 130.8, 130.1, 129.4, 127.8, 127.4, 124.5, 121.6, 120.6, 119.6, 110.4, 100.6, 81.9, 75.7, 74.8, 42.0, 27.9, 21.5. **HRMS (ESI)** m/z calcd for $\text{C}_{25}\text{H}_{29}\text{N}_3\text{O}_3$ $[\text{M}+\text{H}]^+$: 420.2282, found: 420.2285.



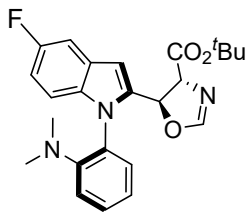
($S_a,4R_c,5R_c$)-tert-butyl 5-(1-(2-(dimethylamino)phenyl)-5-methoxy-1H-indol-2-yl)-4,5-dihydrooxazole-4-carboxylate (3ca)

The desired product was purified by silica gel chromatography (PE/EA = 10:1) as pale yellow liquid (86.1 mg, 99% yield, 99% ee, 7:1 dr), $[\alpha]^{20}_{\text{D}} = -234.0$ ($c = 0.2$, CH_2Cl_2). The ee was determined by HPLC on Chiralpak AD-H column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; $t_{\text{R}1} = 7.52$ min (minor), $t_{\text{R}2} = 10.43$ min (major), $t_{\text{R}3} = 12.97$ min (minor), $t_{\text{R}4} = 13.77$ min (minor). **$^1\text{H NMR}$** (400 MHz, $\text{DMSO-}d_6$) δ 7.43 – 7.37 (m, 2H), 7.20 (dd, $J = 7.6, 1.6$ Hz, 1H), 7.14 (dd, $J = 8.4, 1.2$ Hz, 1H), 7.10 (d, $J = 2.8$ Hz, 1H), 7.05 (td, $J = 7.2, 1.2$ Hz, 1H), 6.88 (d, $J = 9.2$ Hz, 1H), 6.77 (dd, $J = 8.8, 2.4$ Hz, 1H), 6.58 (s, 1H), 5.76 (d, $J = 6.0$ Hz, 1H), 4.37 (dd, $J = 6.4, 2.4$ Hz, 1H), 3.76 (s, 3H), 2.32 (s, 6H), 1.27 (s, 9H). **$^{13}\text{C NMR}$** (100 MHz, $\text{DMSO-}d_6$) δ 169.0, 156.7, 154.7, 149.6, 138.9, 133.2, 130.8, 130.1, 128.0, 127.3, 121.6, 119.5, 113.0, 111.5, 102.7, 100.9, 82.0, 75.7, 74.8, 55.8, 42.0, 27.9. **HRMS (ESI)** m/z calcd for $\text{C}_{25}\text{H}_{29}\text{N}_3\text{O}_4$ $[\text{M}+\text{H}]^+$: 436.2231, found: 436.2228.



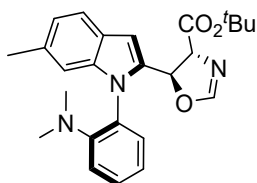
($S_a,4R_c,5R_e$)-tert-butyl 5-(5-chloro-1-(2-(dimethylamino)phenyl)-1H-indol-2-yl)-4,5-dihydrooxazole-4-carboxylate (3da)

The desired product was purified by silica gel chromatography (PE/EA = 10:1) as pale yellow liquid (81.6 mg, 93% yield, 99% ee, 7:1 dr), $[\alpha]^{20}_{\text{D}} = -143.0$ ($c = 0.2$, CH_2Cl_2). The ee was determined by HPLC on Chiralpak IC column, hexane: isopropanol = 85:15; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; $t_{\text{R}1} = 7.59$ min (minor), $t_{\text{R}2} = 8.23$ min (minor), $t_{\text{R}3} = 9.81$ min (minor), $t_{\text{R}4} = 11.56$ min (major). **$^1\text{H NMR}$** (400 MHz, $\text{DMSO-}d_6$) δ 7.66 (d, $J = 2.0$ Hz, 1H), 7.49 – 7.39 (m, 2H), 7.25 (dd, $J = 8.0, 2.0$ Hz, 1H), 7.17 (dd, $J = 8.4, 1.6$ Hz, 1H), 7.14 (dt, $J = 8.8, 1.2$ Hz, 1H), 7.08 (td, $J = 7.6, 1.6$ Hz, 1H), 6.99 (d, $J = 8.8$ Hz, 1H), 6.66 (d, $J = 0.8$ Hz, 1H), 5.80 (d, $J = 6.0$ Hz, 1H), 4.36 (dd, $J = 6.0, 1.6$ Hz, 1H), 2.32 (s, 6H), 1.26 (s, 9H). **$^{13}\text{C NMR}$** (100 MHz, $\text{DMSO-}d_6$) δ 168.8, 156.6, 149.6, 140.4, 136.6, 130.8, 130.5, 128.7, 126.9, 125.4, 123.0, 121.9, 120.2, 119.8, 112.3, 100.7, 82.0, 75.5, 74.7, 42.1, 27.9. **HRMS (ESI)** m/z calcd for $\text{C}_{24}\text{H}_{26}\text{ClN}_3\text{O}_3$ $[\text{M}+\text{H}]^+$: 440.1735, found: 440.1738.



(*S_a,4R_c,5R_c*)-tert-butyl 5-(1-(2-(dimethylamino)phenyl)-5-fluoro-1*H*-indol-2-yl)-4,5-dihydrooxazole-4-carboxylate (3ea)

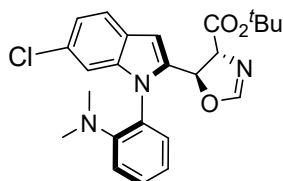
The desired product was purified by silica gel chromatography (PE/EA = 10:1) as pale yellow liquid (81.2 mg, 96% yield, 99% ee, 6:1 dr), $[\alpha]_D^{20} = -158.0$ ($c = 0.2$, CH_2Cl_2). The ee was determined by HPLC on Chiralpak IC column, hexane: isopropanol = 97:3; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; $t_{R1} = 16.77$ min (minor), $t_{R2} = 19.09$ min (minor), $t_{R3} = 28.52$ min (minor), $t_{R4} = 31.80$ min (major). **¹H NMR** (400 MHz, $\text{DMSO-}d_6$) δ 7.45 – 7.40 (m, 2H), 7.40 – 7.36 (m, 1H), 7.24 (dd, $J = 7.6, 1.6$ Hz, 1H), 7.16 (dd, $J = 8.4, 1.2$ Hz, 1H), 7.07 (td, $J = 7.2, 1.2$ Hz, 1H), 6.99 – 6.93 (m, 2H), 6.66 (s, 1H), 5.80 (d, $J = 6.0$ Hz, 1H), 4.37 (dd, $J = 6.0, 2.0$ Hz, 1H), 2.32 (s, 6H), 1.26 (s, 9H). **¹³C NMR** (100 MHz, $\text{DMSO-}d_6$) δ 168.9, 158.1 (d, $J = 231.8$ Hz) 156.6, 149.6, 140.5, 134.8, 130.8, 130.4, 127.9 (d, $J = 10.6$ Hz), 127.1, 121.8, 119.7, 111.8 (d, $J = 9.8$ Hz), 111.1 (d, $J = 26.0$ Hz), 105.8 (d, $J = 23.3$ Hz), 101.0 (d, $J = 4.6$ Hz), 82.0, 75.5, 74.7, 42.0, 27.9. **¹⁹F NMR** (376 MHz, $\text{DMSO-}d_6$) δ -123.66. **HRMS (ESI)** m/z calcd for $\text{C}_{24}\text{H}_{26}\text{FN}_3\text{O}_3$ $[\text{M}+\text{H}]^+$: 424.2031, found: 424.2035.



(*S_a,4R_c,5R_c*)-tert-butyl 5-(1-(2-(dimethylamino)phenyl)-6-methyl-1*H*-indol-2-yl)-4,5-dihydrooxazole-4-carboxylate (3fa)

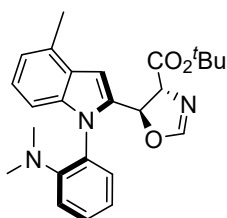
The desired product was purified by silica gel chromatography (PE/EA = 10:1) as pale yellow liquid (82.1 mg, 98% yield, 99% ee, 12:1 dr), $[\alpha]_D^{20} = -162.0$ ($c = 0.2$, CH_2Cl_2). The ee was determined by HPLC on Chiralpak ID column, hexane: isopropanol = 92:8; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; $t_{R1} = 8.57$ min (major), $t_{R2} = 10.71$ min (minor), $t_{R3} = 11.51$ min (minor), $t_{R4} = 13.68$ min (minor). **¹H NMR** (400 MHz, $\text{DMSO-}d_6$) δ 7.47 (d, $J = 8.0$ Hz, 1H), 7.43 – 7.36 (m, 2H), 7.20 (dd, $J = 7.6, 1.6$ Hz, 1H), 7.14 (dd, $J = 8.4, 1.2$ Hz, 1H), 7.06 (td, J

= 7.6, 1.6 Hz, 1H), 6.92 (dd, $J = 8.4, 1.6$ Hz, 1H), 6.79 (s, 1H), 6.59 (s, 1H), 5.78 (d, $J = 6.0$ Hz, 1H), 4.34 (dd, $J = 6.0, 2.0$ Hz, 1H), 2.33 (s, 6H), 2.31 (s, 3H), 1.27 (s, 9H). **^{13}C NMR** (100 MHz, DMSO- d_6) δ 169.0, 156.7, 149.7, 138.6, 138.0, 132.3, 130.9, 130.1, 127.3, 125.4, 122.5, 121.7, 120.7, 119.6, 110.4, 100.9, 81.9, 75.7, 74.7, 42.0, 27.9, 21.9. **HRMS (ESI)** m/z calcd for $\text{C}_{25}\text{H}_{29}\text{N}_3\text{O}_3$ $[\text{M}+\text{H}]^+$: 420.2282, found: 420.2275.



($S_a,4R_c,5R_e$)-tert-butyl 5-(6-chloro-1-(2-(dimethylamino)phenyl)-1H-indol-2-yl)-4,5-dihydrooxazole-4-carboxylate (3ga)

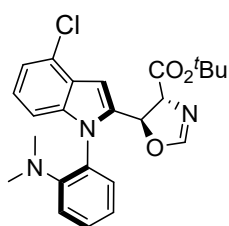
The desired product was purified by silica gel chromatography (PE/EA = 10:1) as pale yellow liquid (86.0 mg, 98% yield, 94% ee, 6:1 dr), $[\alpha]_D^{20} = -168.0$ ($c = 0.2$, CH_2Cl_2). The ee was determined by HPLC on Chiralpak ID column, hexane: isopropanol = 92:8; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; $t_{R1} = 8.06$ min (major), $t_{R2} = 9.41$ min (minor), $t_{R3} = 12.83$ min (minor), $t_{R4} = 18.61$ min (minor). **^1H NMR** (400 MHz, DMSO- d_6) δ 7.62 (d, $J = 8.8$ Hz, 1H), 7.47 – 7.42 (m, 1H), 7.40 (d, $J = 2.0$ Hz, 1H), 7.26 (dd, $J = 8.0, 1.6$ Hz, 1H), 7.17 (dd, $J = 8.4, 1.2$ Hz, 1H), 7.13 – 7.05 (m, 2H), 6.96 (s, 1H), 6.71 (s, 1H), 5.80 (d, $J = 6.0$ Hz, 1H), 4.39 (dd, $J = 6.0, 2.0$ Hz, 1H), 2.33 (s, 6H), 1.27 (s, 9H). **^{13}C NMR** (100 MHz, DMSO- d_6) δ 168.8, 156.6, 149.6, 139.9, 138.5, 130.7, 130.5, 127.7, 126.7, 126.4, 122.5, 121.9, 121.2, 119.8, 110.3, 101.3, 82.0, 75.4, 74.6, 42.1, 27.9. **HRMS (ESI)** m/z calcd for $\text{C}_{24}\text{H}_{26}\text{ClN}_3\text{O}_3$ $[\text{M}+\text{H}]^+$: 440.1735, found: 440.1729.



($S_a,4R_c,5R_e$)-tert-butyl 5-(1-(2-(dimethylamino)phenyl)-4-methyl-1H-indol-2-yl)-4,5-dihydrooxazole-4-carboxylate (3ha)

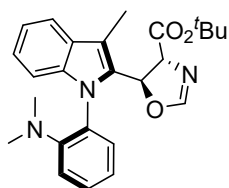
The desired product was purified by silica gel chromatography (PE/EA = 10:1) as pale yellow liquid (82.1 mg, 98% yield, 97% ee, 10:1 dr), $[\alpha]_D^{20} = -132.0$ ($c = 0.2$, CH_2Cl_2). The ee was determined

by HPLC on Chiralpak IC column, hexane: isopropanol = 97:3; flow rate = 0.8 mL/min; column temperature 25 °C; UV detection at 254 nm; t_{R1} = 13.42 min (minor), t_{R2} = 16.30 min (minor), t_{R3} = 28.95 min (minor), t_{R4} = 29.79 min (major). **¹H NMR** (400 MHz, DMSO-*d*₆) δ 7.45 – 7.37 (m, 2H), 7.20 – 7.12 (m, 2H), 7.09 – 6.98 (m, 2H), 6.89 (d, *J* = 7.2 Hz, 1H), 6.80 (d, *J* = 8.4 Hz, 1H), 6.70 (s, 1H), 5.80 (d, *J* = 6.4 Hz, 1H), 4.38 (dd, *J* = 6.0, 2.0 Hz, 1H), 2.49 (s, 3H), 2.32 (s, 6H), 1.27 (s, 9H). **¹³C NMR** (100 MHz, DMSO-*d*₆) δ 169.0, 156.7, 149.7, 138.1, 137.9, 130.9, 130.1, 130.0, 127.5, 127.4, 123.1, 121.7, 120.9, 119.6, 108.3, 99.7, 81.9, 75.7, 74.8, 42.1, 27.9, 18.8. **HRMS (ESI)** *m/z* calcd for C₂₅H₂₉N₃O₃ [M+H]⁺: 420.2282, found: 420.2276.



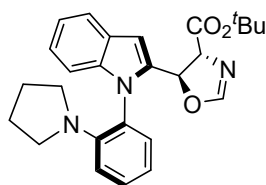
(*S*_a,4*R*_c,5*R*_e)-tert-butyl 5-(4-chloro-1-(2-(dimethylamino)phenyl)-1*H*-indol-2-yl)-4,5-dihydrooxazole-4-carboxylate (3ia)

The desired product was purified by silica gel chromatography (PE/EA = 10:1) as pale yellow liquid (86.0 mg, 98% yield, 98% ee, 7:1 dr), $[\alpha]^{20}_D = -118.0$ (*c* = 0.2, CH₂Cl₂). The ee was determined by HPLC on Chiralpak ID column, hexane: isopropanol = 95:5; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; t_{R1} = 10.14 min (minor), t_{R2} = 11.02 min (major), t_{R3} = 13.08 min (minor), t_{R4} = 15.50 min (minor). **¹H NMR** (400 MHz, DMSO-*d*₆) δ 7.47 – 7.41 (m, 2H), 7.27 (dd, *J* = 8.0, 2.0 Hz, 1H), 7.20 – 7.16 (m, 2H), 7.14 (d, *J* = 8.0 Hz, 1H), 7.12 – 7.08 (m, 1H), 6.97 (dt, *J* = 7.6, 1.2 Hz, 1H), 6.68 (d, *J* = 1.2 Hz, 1H), 5.83 (d, *J* = 6.0 Hz, 1H), 4.38 (dd, *J* = 5.6, 2.0 Hz, 1H), 2.33 (s, 6H), 1.26 (s, 9H). **¹³C NMR** (100 MHz, DMSO-*d*₆) δ 168.8, 156.6, 149.6, 140.1, 138.9, 130.8, 130.6, 126.9, 126.1, 125.0, 123.9, 122.0, 120.5, 120.0, 109.9, 98.8, 82.0, 75.4, 74.6, 42.1, 27.9. **HRMS (ESI)** *m/z* calcd for C₂₄H₂₆ClN₃O₃ [M+H]⁺: 440.1735, found: 440.1745.



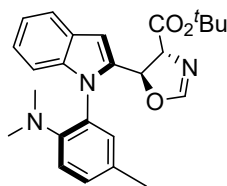
(*S*_a,4*R*_c,5*R*_e)-tert-butyl 5-(1-(2-(dimethylamino)phenyl)-3-methyl-1*H*-indol-2-yl)-4,5-dihydrooxazole-4-carboxylate (3ja)

The desired product was purified by silica gel chromatography (PE/EA = 10:1) as pale yellow liquid (83.0 mg, 99% yield, 99% ee, 3:1 dr), $[\alpha]_D^{20} = -95.0$ ($c = 0.2$, CH_2Cl_2). The ee was determined by HPLC on Chiralpak IC column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; $t_{R1} = 7.04$ min (minor), $t_{R2} = 8.36$ min (minor), $t_{R3} = 13.28$ min (major), $t_{R4} = 15.14$ min (minor). **¹H NMR** (400 MHz, $\text{DMSO}-d_6$) δ 7.61 (m, 1H), 7.40 – 7.33 (m, 2H), 7.17 – 7.11 (m, 3H), 7.06 (m, 1H), 7.03 – 6.95 (m, 1H), 6.93 – 6.89 (m, 1H), 5.49 (d, $J = 7.6$ Hz, 1H), 4.60 (dd, $J = 7.6, 2.0$ Hz, 1H), 2.32 (s, 6H), 2.24 (s, 3H), 1.28 (s, 9H). **¹³C NMR** (100 MHz, $\text{DMSO}-d_6$) δ 169.6, 156.8, 150.0, 136.8, 132.9, 131.3, 130.0, 128.7, 127.1, 123.4, 121.3, 120.2, 119.2, 119.2, 111.2, 110.9, 82.0, 75.9, 74.5, 42.1, 27.8, 8.9. **HRMS (ESI)** m/z calcd for $\text{C}_{25}\text{H}_{29}\text{N}_3\text{O}_3$ $[\text{M}+\text{H}]^+$: 420.2282, found: 420.2291.



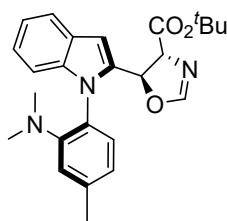
(*S_a,4R_c,5R_e*)-tert-butyl 5-(1-(2-(pyrrolidin-1-yl)phenyl)-1*H*-indol-2-yl)-4,5-dihydrooxazole-4-carboxylate (3ka)

The desired product was purified by silica gel chromatography (PE/EA = 10:1) as pale yellow liquid (81.9 mg, 95% yield, 98% ee, 2.4:1 dr), $[\alpha]_D^{20} = -194.0$ ($c = 0.2$, CH_2Cl_2). The ee was determined by HPLC on Chiralpak ID column, hexane: isopropanol = 92:8; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; $t_{R1} = 10.50$ min (major), $t_{R2} = 12.20$ min (minor), $t_{R3} = 14.11$ min (minor), $t_{R4} = 17.99$ min (minor). **¹H NMR** (400 MHz, $\text{DMSO}-d_6$) δ 7.59 (d, $J = 7.2$ Hz, 1H), 7.40 – 7.24 (m, 2H), 7.19 – 7.03 (m, 3H), 7.00 – 6.92 (dd, $J = 8.4, 2.4$ Hz, 2H), 6.81 (d, $J = 9.2$ Hz, 1H), 6.69 (s, 1H), 5.61 (d, $J = 6.8$ Hz, 1H), 4.58 (dd, $J = 6.8, 2.0$ Hz, 1H), 2.76 – 2.53 (m, 4H), 1.65 – 1.51 (m, 4H), 1.32 (s, 9H). **¹³C NMR** (100 MHz, $\text{DMSO}-d_6$) δ 169.2, 156.4, 146.6, 139.6, 139.2, 131.5, 130.2, 127.2, 123.2, 122.3, 121.2, 120.7, 118.1, 116.6, 111.0, 101.6, 82.0, 75.4, 74.4, 48.7, 27.9, 25.3. **HRMS (ESI)** m/z calcd for $\text{C}_{26}\text{H}_{29}\text{N}_3\text{O}_3$ $[\text{M}+\text{H}]^+$: 432.2282, found: 433.2276.



(*S*,*4R*,*5R*)-*tert*-butyl 5-(1-(2-(dimethylamino)-5-methylphenyl)-1*H*-indol-2-yl)-4,5-dihydrooxazole-4-carboxylate (3la)

The desired product was purified by silica gel chromatography (PE/EA = 10:1) as pale yellow liquid (83.0 mg, 99% yield, 99% ee, 10:1 dr), $[\alpha]_D^{20} = -127.0$ ($c = 0.2$, CH_2Cl_2). The ee was determined by HPLC on Chiralpak ID column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; $t_{R1} = 9.13$ min (major), $t_{R2} = 10.42$ min (minor), $t_{R3} = 11.59$ min (minor), $t_{R4} = 14.31$ min (minor). **¹H NMR** (400 MHz, $\text{DMSO-}d_6$) δ 7.62 – 7.57 (m, 1H), 7.42 (d, $J = 2.0$ Hz, 1H), 7.23 (dd, $J = 8.4, 2.0$ Hz, 1H), 7.13 – 7.05 (m, 4H), 7.01 (dd, $J = 7.6, 1.6$ Hz, 1H), 6.64 (s, 1H), 5.83 (d, $J = 6.0$ Hz, 1H), 4.34 (dd, $J = 6.0, 2.0$ Hz, 1H), 2.29 (s, 6H), 2.28 (s, 3H), 1.27 (s, 9H). **¹³C NMR** (100 MHz, $\text{DMSO-}d_6$) δ 169.0, 156.7, 147.4, 138.9, 138.1, 131.3, 131.0, 130.7, 127.6, 122.8, 120.9, 120.7, 119.8, 110.6, 100.7, 81.8, 75.8, 74.9, 42.4, 27.8, 20.3. **HRMS (ESI)** m/z calcd for $\text{C}_{25}\text{H}_{29}\text{N}_3\text{O}_3$ $[\text{M}+\text{H}]^+$: 420.2282, found: 420.2279.

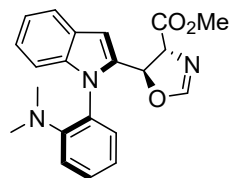


(*S*,*4R*,*5R*)-*tert*-butyl 5-(1-(2-(dimethylamino)-4-methylphenyl)-1*H*-indol-2-yl)-4,5-dihydrooxazole-4-carboxylate (3ma)

The desired product was purified by silica gel chromatography (PE/EA = 10:1) as pale yellow liquid (82.1 mg, 98% yield, 98% ee, 10:1 dr), $[\alpha]_D^{20} = -149.0$ ($c = 0.2$, CH_2Cl_2). The ee was determined by HPLC on Chiralpak ID column, hexane: isopropanol = 95:5; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; $t_{R1} = 11.35$ min (major), $t_{R2} = 16.35$ min (minor), $t_{R3} = 18.73$ min (minor), $t_{R4} = 26.37$ min (minor). **¹H NMR** (400 MHz, $\text{DMSO-}d_6$) δ 7.60 – 7.55 (m, 1H), 7.41 (d, $J = 2.0$ Hz, 1H), 7.14 – 7.06 (m, 3H), 7.00 – 6.95 (m, 2H), 6.88 (dd, $J = 8.0, 1.6$ Hz, 1H), 6.63 (s, 1H), 5.85 (d, $J = 6.0$ Hz, 1H), 4.33 (dd, $J = 6.0, 2.0$ Hz, 1H), 2.35 (s, 3H), 2.30 (s, 6H), 1.27 (s, 9H). **¹³C NMR** (100 MHz, $\text{DMSO-}d_6$) δ 169.0, 156.7, 149.4, 139.5, 138.9, 138.3, 130.6, 127.6,

124.9, 122.8, 122.6, 120.9, 120.7, 120.3, 110.6, 100.6, 81.8, 75.8, 74.8, 42.1, 27.8, 21.7. **HRMS**

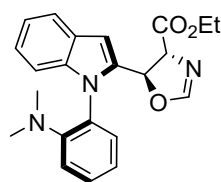
(ESI) m/z calcd for C₂₅H₂₉N₃O₃ [M+H]⁺: 420.2285, found: 420.2283.



(S_a,4R_c,5R_e)-methyl 5-(1-(2-(dimethylamino)phenyl)-1H-indol-2-yl)-4,5-dihydrooxazole-4-carboxylate (3ab)

The desired product was purified by silica gel chromatography (PE/EA = 8:1) as pale yellow liquid (70.4 mg, 97% yield, 97% ee, 14:1 dr), [α]_D²⁰ = -214.0 (c = 0.2, CH₂Cl₂). The ee was determined by HPLC on Chiralpak IC column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; t_{R1} = 18.29 min (minor), t_{R2} = 20.01 min (minor), t_{R3} = 26.13 min (major), t_{R4} = 28.48 min (minor). **¹H NMR** (400 MHz, DMSO-*d*₆) δ 7.61 (dd, *J* = 6.8, 1.6 Hz, 1H), 7.45 – 7.39 (m, 2H), 7.24 (dd, *J* = 7.6, 1.6 Hz, 1H), 7.17 – 7.12 (m, 2H), 7.11 – 7.03 (m, 2H), 7.00 (d, *J* = 7.6 Hz, 1H), 6.67 (s, 1H), 5.89 (d, *J* = 6.8 Hz, 1H), 4.50 (dd, *J* = 7.2, 2.4 Hz, 1H), 3.46 (s, 3H), 2.33 (s, 6H). **¹³C NMR** (100 MHz, DMSO-*d*₆) δ 170.4, 156.8, 149.7, 138.6, 138.2, 131.1, 130.0, 127.6, 127.2, 123.0, 121.7, 121.0, 120.8, 119.5, 110.6, 100.7, 76.1, 73.7, 52.7, 42.1.

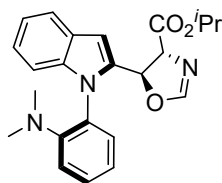
HRMS (ESI) m/z calcd for C₂₁H₂₁N₃O₃ [M+H]⁺: 364.1656, found: 364.1655.



(S_a,4R_c,5R_e)-ethyl 5-(1-(2-(dimethylamino)phenyl)-1H-indol-2-yl)-4,5-dihydrooxazole-4-carboxylate (3ac)

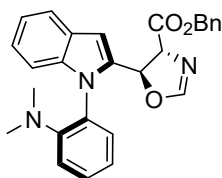
The desired product was purified by silica gel chromatography (PE/EA = 8:1) as pale yellow liquid (66.3 mg, 88% yield, 97% ee, 9:1 dr), [α]_D²⁰ = -140.0 (c = 0.2, CH₂Cl₂). The ee was determined by HPLC on Chiralpak AD-H column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; t_{R1} = 8.60 min (minor), t_{R2} = 11.30 min (major), t_{R3} = 16.46 min (minor). **¹H NMR** (400 MHz, DMSO-*d*₆) δ 7.64 – 7.57 (m, 1H), 7.42 (d, *J* = 2.0 Hz, 1H), 7.23 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.19 – 7.10 (m, 3H), 7.10 – 6.97 (m, 3H), 6.67 (d, *J* = 1.2 Hz, 1H),

5.89 (d, $J = 6.8$ Hz, 1H), 4.46 (dd, $J = 6.4, 2.0$ Hz, 1H), 3.99 – 3.79 (m, 2H), 2.32 (s, 6H), 1.09 (t, $J = 7.2$ Hz, 3H). **¹³C NMR** (100 MHz, DMSO- d_6) δ 169.9, 156.8, 149.7, 138.6, 138.2, 131.0, 130.1, 127.6, 127.2, 123.0, 121.7, 121.0, 120.8, 119.5, 110.6, 100.7, 76.0, 73.8, 61.7, 42.1, 14.3. **HRMS (ESI)** m/z calcd for C₂₂H₂₃N₃O₃ [M+H]⁺: 378.1812, found: 378.1805.



(*S_a,4R_c,5R_e*)-isopropyl 5-(1-(2-(dimethylamino)phenyl)-1*H*-indol-2-yl)-4,5-dihydrooxazole-4-carboxylate (3ad)

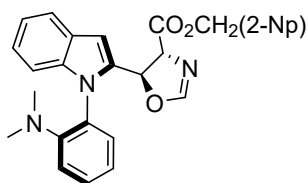
The desired product was purified by silica gel chromatography (PE/EA = 10:1) as pale yellow liquid (73.5 mg, 94% yield, 99% ee, 6:1 dr), $[\alpha]_D^{20} = -117.0$ ($c = 0.2$, CH₂Cl₂). The ee was determined by HPLC on Chiralpak AD-H column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; $t_{R1} = 6.75$ min (minor), $t_{R2} = 9.28$ min (major), $t_{R3} = 12.07$ min (minor). **¹H NMR** (400 MHz, DMSO- d_6) δ 7.62 – 7.57 (m, 1H), 7.44 – 7.37 (m, 2H), 7.22 (dd, $J = 8.0, 1.6$ Hz, 1H), 7.17 – 7.08 (m, 3H), 7.05 (td, $J = 7.6, 1.6$ Hz, 1H), 6.99 (dd, $J = 8.0, 1.2$ Hz, 1H), 6.68 (s, 1H), 5.88 (d, $J = 6.4$ Hz, 1H), 4.69 (h, $J = 6.4$ Hz, 1H), 4.46 (dd, $J = 6.4, 2.0$ Hz, 1H), 2.33 (s, 6H), 1.08 (dd, $J = 6.4, 1.6$ Hz, 6H). **¹³C NMR** (100 MHz, DMSO- d_6) δ 169.3, 156.7, 149.7, 138.6, 138.1, 130.9, 130.1, 127.6, 127.2, 123.0, 121.7, 121.0, 120.8, 119.6, 110.7, 100.9, 75.7, 74.0, 69.4, 42.0, 21.8, 21.7. **HRMS (ESI)** m/z calcd for C₂₃H₂₅N₃O₃ [M+H]⁺: 392.1969, found: 392.1966.



(*S_a,4R_c,5R_e*)-benzyl 5-(1-(2-(dimethylamino)phenyl)-1*H*-indol-2-yl)-4,5-dihydrooxazole-4-carboxylate (3ae)

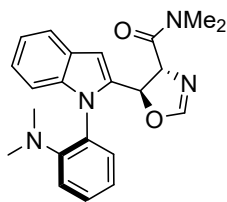
The desired product was purified by silica gel chromatography (PE/EA = 10:1) as pale yellow liquid (79.9 mg, 91% yield, 98% ee, 18:1 dr), $[\alpha]_D^{20} = -195.0$ ($c = 0.2$, CH₂Cl₂). The ee was determined

by HPLC on Chiralpak AD-H column, hexane: isopropanol = 95:5; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; t_{R1} = 19.80 min (minor), t_{R2} = 37.06 min (minor), t_{R3} = 41.93 min (minor), t_{R4} = 46.23 min (major). **¹H NMR** (400 MHz, DMSO-*d*₆) δ 7.63 – 7.58 (m, 1H), 7.42 (d, *J* = 2.0 Hz, 1H), 7.41 – 7.33 (m, 4H), 7.31 – 7.26 (m, 2H), 7.20 (dd, *J* = 7.6, 1.6 Hz, 1H), 7.15 – 7.07 (m, 3H), 7.02 – 6.96 (m, 2H), 6.70 (s, 1H), 5.92 (d, *J* = 6.4 Hz, 1H), 5.02 (d, *J* = 12.4 Hz, 1H), 4.87 (d, *J* = 12.4 Hz, 1H), 4.61 (dd, *J* = 6.8, 2.0 Hz, 1H), 2.31 (s, 6H). **¹³C NMR** (100 MHz, DMSO-*d*₆) δ 169.7, 156.9, 149.7, 138.4, 138.2, 135.8, 131.0, 130.1, 128.9, 128.7, 128.5, 127.5, 127.1, 123.0, 121.6, 121.1, 120.8, 119.5, 110.7, 101.0, 75.9, 73.7, 67.0, 42.0. **HRMS (ESI)** *m/z* calcd for C₂₇H₂₅N₃O₃ [M+H]⁺: 440.1969, found: 440.1960.



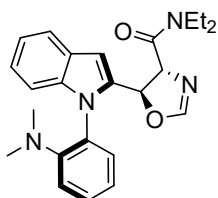
(*S*,*4R*,*5R*)-naphthalen-2-ylmethyl 5-(1-(2-(dimethylamino)phenyl)-1*H*-indol-2-yl)-4,5-dihydrooxazole-4-carboxylate (3af)

The desired product was purified by silica gel chromatography (PE/EA = 10:1) as pale yellow liquid (90.9 mg, 93% yield, 98% ee, 12:1 dr), $[\alpha]_D^{20}$ = -211.0 (*c* = 0.2, CH₂Cl₂). The ee was determined by HPLC on Chiralpak IA column, hexane: isopropanol = 95:5; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; t_{R1} = 26.26 min (minor), t_{R2} = 37.00 min (minor), t_{R3} = 42.25 min (minor), t_{R4} = 44.54 min (major). **¹H NMR** (400 MHz, DMSO-*d*₆) δ 7.95 – 7.84 (m, 4H), 7.61 (dd, *J* = 7.6, 1.2 Hz, 1H), 7.56 – 7.51 (m, 2H), 7.44 (d, *J* = 2.0 Hz, 1H), 7.41 (dd, *J* = 8.8, 2.0 Hz, 1H), 7.37 – 7.31 (m, 1H), 7.19 (dd, *J* = 7.6, 1.6 Hz, 1H), 7.14 – 7.07 (m, 3H), 7.00 – 6.90 (m, 2H), 6.72 (s, 1H), 5.94 (d, *J* = 6.8 Hz, 1H), 5.19 (d, *J* = 12.4 Hz, 1H), 5.05 (d, *J* = 12.4 Hz, 1H), 4.67 (dd, *J* = 6.8, 2.0 Hz, 1H), 2.30 (s, 6H). **¹³C NMR** (100 MHz, DMSO-*d*₆) δ 169.8, 156.9, 149.7, 138.3, 138.2, 133.3, 133.1, 131.0, 130.1, 128.6, 128.3, 128.1, 127.6, 127.5, 126.9, 126.9, 126.4, 123.0, 121.6, 121.1, 120.8, 119.5, 110.7, 101.0, 75.9, 73.7, 67.2, 42.0. **HRMS (ESI)** *m/z* calcd for C₃₁H₂₇N₃O₃ [M+H]⁺: 490.2125, found: 490.2133.



(*S_a*,4*R_c*,5*R_e*)-5-(1-(2-(dimethylamino)phenyl)-1*H*-indol-2-yl)-*N,N*-dimethyl-4,5-dihydrooxazole-4-carboxamide (5aa)

The desired product was purified by silica gel chromatography (PE/EA = 5:1) as pale yellow liquid (71.4 mg, 95% yield, 98% ee, 9:1 dr), $[\alpha]_D^{20} = -158.0$ ($c = 0.2$, CH_2Cl_2). The ee was determined by HPLC on Chiralpak IC column, hexane: isopropanol = 85:15; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; $t_{R1} = 17.84$ min (minor), $t_{R2} = 23.02$ min (major), $t_{R3} = 39.74$ min (minor), $t_{R4} = 50.73$ min (minor). **¹H NMR** (400 MHz, $\text{DMSO-}d_6$) δ 7.61 – 7.58 (m, 1H), 7.36 (t, $J = 8.0$ Hz, 1H), 7.29 (t, $J = 1.6$ Hz, 1H), 7.25 (dt, $J = 8.0, 1.6$ Hz, 1H), 7.13 – 7.07 (m, 3H), 7.04 – 6.98 (m, 2H), 6.65 (s, 1H), 6.24 (d, $J = 6.0$ Hz, 1H), 4.72 (dd, $J = 6.4, 2.0$ Hz, 1H), 2.89 (s, 3H), 2.63 (s, 3H), 2.35 (s, 6H). **¹³C NMR** (100 MHz, $\text{DMSO-}d_6$) δ 167.6, 155.3, 149.9, 140.1, 138.3, 130.8, 129.7, 127.7, 127.5, 122.6, 121.2, 120.8, 120.6, 119.7, 110.4, 100.2, 75.8, 71.8, 42.1, 37.0, 35.6. **HRMS (ESI)** m/z calcd for $\text{C}_{22}\text{H}_{24}\text{N}_4\text{O}_2$ $[\text{M}+\text{H}]^+$: 377.1972, found: 377.1966.

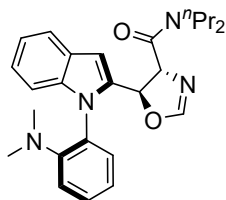


(*S_a*,4*R_c*,5*R_e*)-5-(1-(2-(dimethylamino)phenyl)-1*H*-indol-2-yl)-*N,N*-diethyl-4,5-dihydrooxazole-4-carboxamide (5ab)

The desired product was purified by silica gel chromatography (PE/EA = 5:1) as pale yellow liquid (76.8 mg, 95% yield, 98% ee, 10:1 dr), $[\alpha]_D^{20} = -118.0$ ($c = 0.2$, CH_2Cl_2). The ee was determined by HPLC on Chiralpak ID column, hexane: isopropanol = 92:8; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; $t_{R1} = 18.49$ min (major), $t_{R2} = 26.32$ min (minor). **¹H NMR** (400 MHz, $\text{DMSO-}d_6$) δ 7.59 (dd, $J = 7.2, 2.0$ Hz, 1H), 7.38 – 7.32 (m, 1H), 7.28 (d, $J = 2.0$ Hz, 1H), 7.23 (dd, $J = 8.0, 1.6$ Hz, 1H), 7.13 – 7.05 (m, 3H), 7.00 (td, $J = 7.6, 1.2$ Hz, 2H), 6.63 (s, 1H), 6.23 (d, $J = 5.6$ Hz, 1H), 4.69 (dd, $J = 5.6, 2.0$ Hz, 1H), 3.35 – 3.28 (m, 1H), 3.28 – 3.18 (m, 1H), 3.18 – 3.08 (m, 1H), 3.06 – 2.95 (m, 1H), 2.35 (s, 6H), 1.03 (t, $J = 7.2$ Hz, 3H), 0.89 (t, $J = 7.2$

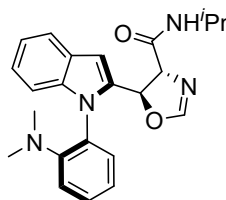
Hz, 3H). **¹³C NMR** (100 MHz, DMSO-*d*₆) δ 167.0, 155.3, 149.8, 140.0, 138.3, 130.8, 129.9, 127.7, 127.5, 122.7, 121.5, 120.8, 120.6, 119.7, 110.5, 100.4, 75.7, 72.0, 42.1, 41.8, 40.5, 14.7, 13.3.

HRMS (ESI) *m/z* calcd for C₂₄H₂₈N₄O₂ [M+H]⁺: 405.2285, found: 405.2282.



(*S*_a,4*R*_c,5*R*_c)-5-(1-(2-(dimethylamino)phenyl)-1*H*-indol-2-yl)-*N,N*-dipropyl-4,5-dihydrooxazole-4-carboxamide (5ac)

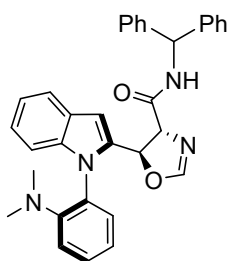
The desired product was purified by silica gel chromatography (PE/EA = 5:1) as pale yellow liquid (80.2 mg, 93% yield, 99% ee, 13:1 dr), [α]²⁰_D = -164.0 (c = 0.2, CH₂Cl₂). The ee was determined by HPLC on Chiralpak ID column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; t_{R1} = 9.96 min (major), t_{R2} = 13.07 min (minor), t_{R3} = 23.18 min (minor), t_{R4} = 25.19 min (minor). **¹H NMR** (400 MHz, DMSO-*d*₆) δ 7.59 (dd, *J* = 6.4, 1.2 Hz, 1H), 7.39 – 7.32(m, 1H), 7.29 (d, *J* = 1.6 Hz, 1H), 7.23 (dd, *J* = 7.6, 1.6 Hz, 1H), 7.14 – 7.06 (m, 3H), 7.03 – 6.96 (m, 2H), 6.64 (s, 1H), 6.21 (d, *J* = 5.6 Hz, 1H), 4.72 (dd, *J* = 5.6, 2.0 Hz, 1H), 3.31 – 3.21 (m, 1H), 3.16 – 3.06 (m, 1H), 3.00 (td, *J* = 7.2, 2.8 Hz, 2H), 2.34 (s, 6H), 1.59 – 1.47 (m, 1H), 1.45 – 1.36 (m, 1H), 1.35 – 1.27 (m, 2H), 0.76 (q, *J* = 7.6 Hz, 6H). **¹³C NMR** (100 MHz, DMSO-*d*₆) δ 167.5, 155.4, 149.8, 139.8, 138.2, 130.7, 129.9, 127.7, 127.4, 122.7, 121.5, 120.8, 120.6, 119.7, 110.6, 100.5, 75.7, 72.0, 49.3, 47.9, 42.1, 22.3, 20.8, 11.8, 11.5. **HRMS (ESI)** *m/z* calcd for C₂₆H₃₂N₄O₂ [M+H]⁺: 433.2598, found: 432.2599.



(*S*_a,4*R*_c,5*R*_c)-5-(1-(2-(dimethylamino)phenyl)-1*H*-indol-2-yl)-*N*-isopropyl-4,5-dihydrooxazole-4-carboxamide (5ad)

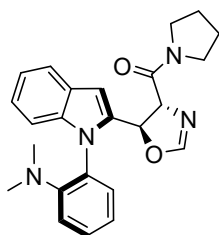
The desired product was purified by silica gel chromatography (PE/EA = 5:1) as pale yellow liquid (67.1 mg, 86% yield, 52% ee, 10:1 dr), [α]²⁰_D = -190.0 (c = 0.2, CH₂Cl₂). The ee was determined

by HPLC on Chiralpak IA column, hexane: isopropanol = 97:3; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; t_{R1} = 19.16 min (major), t_{R2} = 29.58 min (minor). **¹H NMR** (400 MHz, DMSO- d_6) δ 7.78 (d, J = 7.2 Hz, 1H), 7.61 (dd, J = 6.4, 1.6 Hz, 1H), 7.42 – 7.36 (m, 1H), 7.30 (d, J = 2.0 Hz, 1H), 7.15 – 7.08 (m, 4H), 7.01 (td, J = 7.2, 1.2 Hz, 1H), 6.97 – 6.92 (m, 1H), 6.64 (s, 1H), 5.72 (d, J = 6.8 Hz, 1H), 4.45 (dd, J = 6.8, 2.4 Hz, 1H), 3.62 (h, J = 6.4 Hz, 1H), 2.32 (s, 6H), 0.98 (dd, J = 6.8, 4.8 Hz, 6H). **¹³C NMR** (100 MHz, DMSO- d_6) δ 168.1, 155.6, 149.8, 139.4, 137.8, 130.9, 130.0, 127.6, 127.1, 122.8, 121.4, 121.0, 120.7, 119.5, 110.9, 100.8, 75.4, 74.9, 42.0, 41.2, 22.6, 22.5. **HRMS (ESI)** m/z calcd for $C_{23}H_{26}N_4O_2$ [M+H]⁺: 391.2129, found: 391.2122.



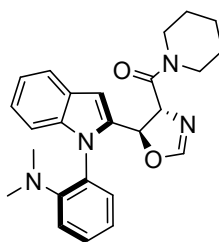
(*S_a*,4*R_c*,5*R_c*)-*N*-benzhydryl-5-(1-(2-(dimethylamino)phenyl)-1*H*-indol-2-yl)-4,5-dihydrooxazole-4-carboxamide (5ae**)**

The desired product was purified by silica gel chromatography (PE/EA = 5:1) as pale yellow liquid (92.5 mg, 90% yield, 85% ee, 2:1 dr), $[\alpha]_D^{20} = -172.0$ ($c = 0.2$, CH_2Cl_2). The ee was determined by HPLC on Chiralpak AD-H column, hexane: isopropanol = 95:5; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; t_{R1} = 32.00 min (minor), t_{R2} = 40.74 min (minor), t_{R3} = 50.77 min (major). **¹H NMR** (400 MHz, DMSO- d_6) δ 8.96 (d, J = 8.4 Hz, 1H), 7.64 – 7.60 (m, 1H), 7.37 – 7.28 (m, 7H), 7.27 – 7.20 (m, 5H), 7.12 – 7.09 (m, 2H), 7.08 (d, J = 1.2 Hz, 1H), 6.99 (dd, J = 7.6, 1.6 Hz, 1H), 6.94 – 6.90 (m, 1H), 6.68 (s, 1H), 6.65 (dd, J = 7.6, 1.6 Hz, 1H), 5.98 (d, J = 8.4 Hz, 1H), 5.75 (d, J = 6.8 Hz, 1H), 4.79 (dd, J = 6.4, 2.0 Hz, 1H), 2.32 (s, 6H). **¹³C NMR** (100 MHz, DMSO- d_6) δ 168.4, 155.9, 149.7, 142.7, 142.3, 139.1, 137.8, 130.6, 129.9, 128.9, 128.8, 128.8, 127.9, 127.6, 127.5, 126.9, 122.9, 121.2, 121.0, 119.3, 111.0, 101.1, 75.2, 74.8, 56.7, 42.0. **HRMS (ESI)** m/z calcd for $C_{33}H_{30}N_4O_2$ [M+H]⁺: 515.2442, found: 515.2440.



(*S_a*,4*R_c*,5*R_e*)- 5-(1-(2-(dimethylamino)phenyl)-1*H*-indol-2-yl)-4,5-dihydrooxazol-4-yl(pyrrolidin-1-yl)methanone (5af)

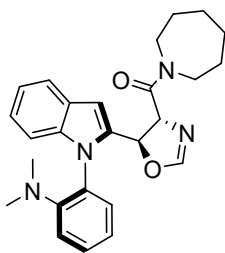
The desired product was purified by silica gel chromatography (PE/EA = 5:1) as pale yellow liquid (76.4 mg, 95% yield, 97% ee, 4:1 dr), $[\alpha]^{20}_{\text{D}} = -161.0$ ($c = 0.2$, CH_2Cl_2). The ee was determined by HPLC on Chiralpak IA column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; $t_{\text{R}1} = 13.42$ min (major), $t_{\text{R}2} = 19.46$ min (minor), $t_{\text{R}3} = 23.33$ min (minor), $t_{\text{R}4} = 37.52$ min (minor). **¹H NMR** (400 MHz, $\text{DMSO-}d_6$) δ 7.59 (dd, $J = 7.6$, 2.0 Hz, 1H), 7.36 – 7.32 (m, 1H), 7.30 (d, $J = 2.4$ Hz, 1H), 7.27 (dd, $J = 7.6$, 1.6 Hz, 1H), 7.17 – 7.13 (m, 1H), 7.12 – 7.08 (m, 2H), 7.05 – 7.01 (m, 2H), 6.62 (s, 1H), 6.21 (d, $J = 6.4$ Hz, 1H), 4.48 (dd, $J = 6.4$, 2.0 Hz, 1H), 3.49 – 3.39 (m, 1H), 3.21 – 3.12 (m, 1H), 3.10 – 2.96 (m, 2H), 2.35 (s, 6H), 1.83 – 1.63 (m, 4H). **¹³C NMR** (100 MHz, $\text{DMSO-}d_6$) δ 165.8, 155.4, 149.9, 140.2, 138.3, 130.6, 129.7, 127.7, 127.5, 122.6, 121.3, 120.8, 120.6, 119.8, 110.4, 99.9, 75.6, 73.2, 46.1, 45.9, 42.1, 25.9, 24.3. **HRMS (ESI)** m/z calcd for $\text{C}_{24}\text{H}_{26}\text{N}_4\text{O}_2$ $[\text{M}+\text{H}]^+$: 403.2129, found: 403.2127.



(*S_a*,4*R_c*,5*R_e*)- 5-(1-(2-(dimethylamino)phenyl)-1*H*-indol-2-yl)-4,5-dihydrooxazol-4-yl(piperidin-1-yl)methanone (5ag)

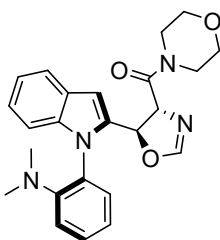
The desired product was purified by silica gel chromatography (PE/EA = 5:1) as pale yellow liquid (79.0 mg, 95% yield, 98% ee, 6:1 dr), $[\alpha]^{20}_{\text{D}} = -175.0$ ($c = 0.2$, CH_2Cl_2). The ee was determined by HPLC on Chiralpak IA column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; $t_{\text{R}1} = 9.85$ min (major), $t_{\text{R}2} = 12.21$ min (minor), $t_{\text{R}3} = 15.50$ min (minor), $t_{\text{R}4} = 36.18$ min (minor). **¹H NMR** (400 MHz, $\text{DMSO-}d_6$) δ 7.59 (dd, $J = 6.4$, 2.0 Hz, 1H), 7.39 – 7.33 (m, 1H), 7.26 (d, $J = 2.0$ Hz, 1H), 7.24 – 7.20 (m, 1H), 7.12 – 7.07 (m,

3H), 7.03 – 6.97 (m, 2H), 6.65 (s, 1H), 6.30 (d, $J = 6.0$ Hz, 1H), 4.77 (dd, $J = 6.0, 2.4$ Hz, 1H), 3.44 – 3.38 (m, 1H), 3.36 – 3.22 (m, 2H), 3.21 – 3.12 (m, 1H), 2.35 (s, 6H), 1.54 – 1.48 (m, 2H), 1.44 – 1.38 (m, 2H), 1.36 – 1.27 (m, 2H). **^{13}C NMR** (100 MHz, DMSO- d_6) δ 165.7, 155.1, 149.9, 140.0, 138.3, 130.8, 129.8, 127.7, 127.5, 122.6, 121.4, 120.8, 120.6, 119.7, 110.5, 100.4, 75.5, 71.8, 46.4, 43.0, 42.1, 26.3, 25.5, 24.4. **HRMS (ESI)** m/z calcd for $\text{C}_{25}\text{H}_{28}\text{N}_4\text{O}_2$ $[\text{M}+\text{H}]^+$: 417.2285, found: 417.2282.



($S_a,4R_c,5R_c$)- (azepan-1-yl) (5-(1-(2-(dimethylamino)phenyl)-1H-indol-2-yl)-4,5-dihydrooxazol-4-yl)methanone (5ah)

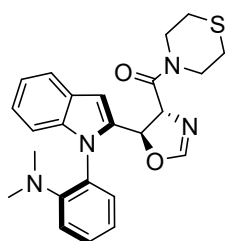
The desired product was purified by silica gel chromatography (PE/EA = 5:1) as pale yellow liquid (78.3 mg, 91% yield, 98% ee, 8:1 dr), $[\alpha]_D^{20} = -210.0$ ($c = 0.2$, CH_2Cl_2). The ee was determined by HPLC on Chiralpak ID column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; $t_{R1} = 16.09$ min (major), $t_{R2} = 29.62$ min (minor). **^1H NMR** (400 MHz, DMSO- d_6) δ 7.59 (d, $J = 7.6$ Hz, 1H), 7.37 – 7.32 (m, 1H), 7.29 (t, $J = 1.6$ Hz, 1H), 7.23 (dd, $J = 7.6, 1.6$ Hz, 1H), 7.13 – 7.07 (m, 3H), 7.01 (t, $J = 7.2$ Hz, 2H), 6.62 (s, 1H), 6.27 (d, $J = 5.6$ Hz, 1H), 4.68 (dd, $J = 6.0, 2.0$ Hz, 1H), 3.45 – 3.42 (m, 1H), 3.38 – 3.33 (m, 1H), 3.28 – 3.20 (m, 1H), 3.12 – 3.05 (m, 1H), 2.34 (s, 6H), 1.53 – 1.40 (m, 6H), 1.38 – 1.32 (m, 2H). **^{13}C NMR** (100 MHz, DMSO- d_6) δ 167.3, 155.3, 149.8, 140.1, 138.3, 130.7, 129.8, 127.7, 127.5, 122.6, 121.4, 120.8, 120.6, 119.7, 110.4, 100.2, 75.8, 72.0, 47.3, 45.9, 42.1, 28.8, 27.2, 26.4. **HRMS (ESI)** m/z calcd for $\text{C}_{26}\text{H}_{30}\text{N}_4\text{O}_2$ $[\text{M}+\text{H}]^+$: 431.2442, found: 431.2439.



($S_a,4R_c,5R_c$)- (5-(1-(2-(dimethylamino)phenyl)-1H-indol-2-yl)-4,5-dihydrooxazol-4-

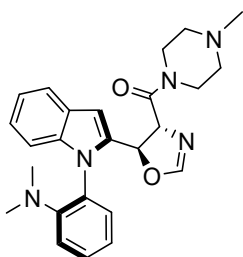
yl)(morpholino)methanone (5ai)

The desired product was purified by silica gel chromatography (PE/EA = 3:1) as white solid (80.2 mg, 96% yield, 99% ee, 10:1 dr), m.p. 73.0-88.4 °C; $[\alpha]_D^{20} = -232.0$ (c = 0.2, CH₂Cl₂). The ee was determined by HPLC on Chiralpak AS-H column, hexane: isopropanol = 85:15; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; $t_{R1} = 8.76$ min (major), $t_{R2} = 11.26$ min (minor), $t_{R3} = 13.18$ min (minor), $t_{R4} = 18.47$ min (minor). **¹H NMR** δ 7.62 – 7.57 (m, 1H), 7.41 – 7.35 (m, 1H), 7.30 (d, *J* = 2.0 Hz, 1H), 7.25 (dd, *J* = 7.6, 1.6 Hz, 1H), 7.13 – 7.09 (m, 2H), 7.08 (d, *J* = 1.6 Hz, 1H), 7.07 – 7.03 (m, 1H), 7.01 (dd, *J* = 7.2, 2.0 Hz, 1H), 6.65 (s, 1H), 6.31 (d, *J* = 5.6 Hz, 1H), 4.80 (dd, *J* = 6.0, 2.4 Hz, 1H), 3.57 – 3.42 (m, 6H), 3.35 – 3.29 (m, 1H), 3.22 – 3.14 (m, 1H), 2.35 (s, 6H). **¹³C NMR** (100 MHz, DMSO-*d*₆) δ 166.2, 155.3, 150.0, 139.9, 138.3, 130.9, 129.8, 127.7, 127.5, 122.7, 121.4, 120.8, 120.6, 119.8, 110.4, 100.3, 75.4, 71.6, 66.5, 66.3, 46.0, 42.4, 42.1. **HRMS (ESI)** *m/z* calcd for C₂₄H₂₆N₄O₃ [M+H]⁺: 419.2078, found: 419.2081.



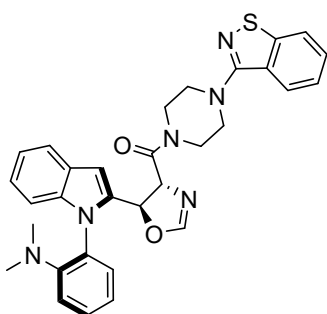
(*S_a*,4*R_c*,5*R_c*)- (5-(1-(2-(dimethylamino)phenyl)-1*H*-indol-2-yl)-4,5-dihydrooxazol-4-yl)(thiomorpholino)methanone (5aj)

The desired product was purified by silica gel chromatography (PE/EA = 5:1) as white solid (79.0 mg, 91% yield, 99% ee, 11:1 dr), m.p. 82.8-89.5 °C; $[\alpha]_D^{20} = -263.0$ (c = 0.2, CH₂Cl₂). The ee was determined by HPLC on Chiralpak ID column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; $t_{R1} = 15.87$ min (major), $t_{R2} = 29.48$ min (minor), $t_{R3} = 31.97$ min (minor), $t_{R4} = 41.66$ min (minor). **¹H NMR** (400 MHz, DMSO-*d*₆) δ 7.70 – 7.66 (m, 1H), 7.51 – 7.45 (m, 1H), 7.41 – 7.35 (m, 2H), 7.23 – 7.16 (m, 3H), 7.16 – 7.08 (m, 2H), 6.72 (s, 1H), 6.41 (d, *J* = 5.6 Hz, 1H), 4.88 (dd, *J* = 6.0, 2.4 Hz, 1H), 3.89 – 3.81 (m, 1H), 3.78 – 3.70 (m, 1H), 3.69 – 3.62 (m, 1H), 3.58 – 3.50 (m, 1H), 2.70 – 2.52 (m, 4H), 2.44 (s, 6H). **¹³C NMR** (100 MHz, DMSO-*d*₆) δ 166.2, 155.4, 150.0, 140.0, 138.3, 131.0, 130.0, 127.7, 127.5, 122.7, 121.3, 120.8, 120.6, 119.8, 110.4, 100.2, 75.5, 71.8, 48.2, 44.8, 42.1, 27.5, 26.8. **HRMS (ESI)** *m/z* calcd for C₂₄H₂₆N₄O₂S [M+H]⁺: 435.1849, found: 435.1841.



(*S_a*,4*R_c*,5*R_c*)- (5-(1-(2-(dimethylamino)phenyl)-1*H*-indol-2-yl)-4,5-dihydrooxazol-4-yl)(4-methylpiperazin-1-yl)methanone (5ak)

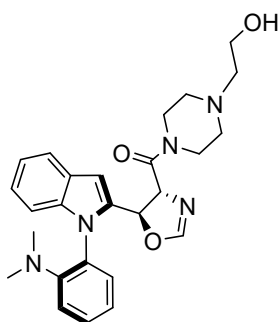
The desired product was purified by silica gel chromatography (DCM/MeOH = 30:1) as white solid (83.6 mg, 97% yield, 95% ee, 7:1 dr), m.p. 76.9-83.3 °C; $[\alpha]_D^{20} = -101.0$ ($c = 0.2$, CH₂Cl₂). The ee was determined by HPLC on Chiralpak ID column, hexane: isopropanol = 80:20; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; $t_{R1} = 16.92$ min (minor), $t_{R2} = 24.73$ min (minor), $t_{R3} = 27.20$ min (major), $t_{R4} = 35.10$ min (minor). **¹H NMR** (400 MHz, DMSO-*d*₆) δ 7.59 (dd, $J = 6.8, 1.6$ Hz, 1H), 7.40 – 7.34 (m, 1H), 7.28 (d, $J = 2.0$ Hz, 1H), 7.24 (dd, $J = 7.6, 1.6$ Hz, 1H), 7.16 – 7.11 (m, 1H), 7.11 – 7.08 (m, 2H), 7.07 – 7.03 (m, 1H), 7.00 (d, $J = 7.6$ Hz, 1H), 6.63 (s, 1H), 6.27 (d, $J = 6.0$ Hz, 1H), 4.78 (dd, $J = 6.0, 2.0$ Hz, 1H), 3.49 – 3.40 (m, 2H), 3.33 – 3.27 (m, 1H), 3.21 – 3.12 (m, 1H), 2.34 (s, 6H), 2.20 – 2.17 (m, 2H), 2.15 (s, 3H), 2.14 – 2.11 (m, 2H). **¹³C NMR** (100 MHz, DMSO-*d*₆) δ 166.0, 155.3, 149.9, 139.9, 138.3, 130.8, 129.9, 127.6, 127.4, 122.7, 121.6, 120.8, 120.6, 119.8, 110.4, 100.3, 75.4, 71.6, 55.0, 54.4, 46.1, 45.3, 42.1, 41.9. **HRMS (ESI)** m/z calcd for C₂₅H₂₉N₅O₂ [M+H]⁺: 432.2394, found: 432.2388.



(*S_a*,4*R_c*,5*R_c*)- (4-(benzo[*d*]isothiazol-3-yl)piperazin-1-yl)(5-(1-(2-(dimethylamino)phenyl)-1*H*-indol-2-yl)-4,5-dihydrooxazol-4-yl)methanone (5al)

The desired product was purified by silica gel chromatography (PE/EA = 2:1) as white solid (100.1 mg, 91% yield, 87% ee, >20:1 dr), m.p. 105.1-113.4 °C; $[\alpha]_D^{20} = -159.0$ ($c = 0.2$, CH₂Cl₂). The ee

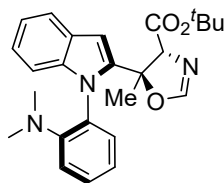
was determined by HPLC on Chiralpak OD-H column, hexane: isopropanol = 80:20; flow rate = 0.9 mL/min; column temperature 25 °C; UV detection at 254 nm; t_{R1} = 12.45 min (major), t_{R2} = 17.38 min (minor), t_{R3} = 20.74 min (minor), t_{R4} = 27.40 min (minor). **¹H NMR** (400 MHz, DMSO-*d*₆) δ 8.09 (d, *J* = 8.0 Hz, 1H), 8.06 (d, *J* = 8.0 Hz, 1H), 7.62 – 7.54 (m, 2H), 7.47 – 7.41 (m, 1H), 7.39 – 7.32 (m, 2H), 7.27 (dd, *J* = 7.6, 1.6 Hz, 1H), 7.15 – 7.08 (m, 3H), 7.04 – 6.99 (m, 2H), 6.66 (s, 1H), 6.33 (d, *J* = 5.6 Hz, 1H), 4.89 (dd, *J* = 5.6, 2.0 Hz, 1H), 3.74 – 3.64 (m, 2H), 3.54 – 3.48 (m, 2H), 3.44 – 3.38 (m, 2H), 3.38 – 3.35 (m, 1H), 3.34 – 3.27 (m, 1H), 2.36 (s, 6H). **¹³C NMR** (100 MHz, DMSO-*d*₆) δ 166.3, 163.6, 155.4, 152.5, 150.0, 139.9, 138.3, 130.9, 129.8, 128.4, 127.7, 127.7, 127.5, 125.0, 124.6, 122.7, 121.6, 121.5, 120.8, 120.6, 119.8, 110.5, 100.3, 75.4, 71.8, 50.1, 49.7, 45.2, 42.1, 41.9. **HRMS (ESI)** *m/z* calcd for C₃₁H₃₀N₆O₂S [M+H]⁺: 551.2224, found: 551.2230.



(*S*_a,4*R*_c,5*R*_c)- (5-(1-(2-(dimethylamino)phenyl)-1*H*-indol-2-yl)-4,5-dihydrooxazol-4-yl)(4-(2-hydroxyethyl)piperazin-1-yl)methanone (5am)

The desired product was purified by silica gel chromatography (DCM/MeOH = 20:1) as white solid (84.8 mg, 92% yield, 81% ee, 20:1 dr), m.p. 113.7-121.0 °C; $[\alpha]^{20}_D = -188.0$ (*c* = 0.2, CH₂Cl₂). The ee was determined by HPLC on Chiralpak OD-H column, hexane: isopropanol = 80:20; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; t_{R1} = 13.18 min (major), t_{R2} = 48.37 min (minor). **¹H NMR** (400 MHz, DMSO-*d*₆) δ 7.61 – 7.56 (m, 1H), 7.40 – 7.34 (m, 1H), 7.27 (d, *J* = 2.0 Hz, 1H), 7.23 (dd, *J* = 7.6, 1.6 Hz, 1H), 7.15 – 7.07 (m, 3H), 7.06 – 6.98 (m, 2H), 6.64 (s, 1H), 6.27 (d, *J* = 5.6 Hz, 1H), 4.78 (dd, *J* = 5.6, 2.0 Hz, 1H), 4.44 (s, 1H), 3.56 – 3.39 (m, 4H), 3.39 – 3.25 (m, 3H), 3.21 – 3.13 (m, 1H), 2.41 (t, *J* = 6.0 Hz, 2H), 2.35 (s, 6H), 2.32 – 2.17 (m, 2H). **¹³C NMR** (100 MHz, DMSO-*d*₆) δ 165.9, 155.2, 149.9, 139.9, 138.3, 130.9, 129.8, 127.6, 127.4, 122.7, 121.5, 120.8, 120.6, 119.8, 110.5, 100.3, 75.4, 71.6, 60.4, 58.8, 53.5, 53.0, 45.3, 42.1, 41.9. **HRMS**

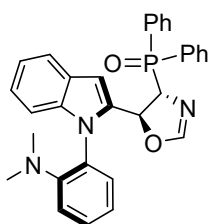
(ESI) m/z calcd for C₂₆H₃₁N₅O₃ [M+H]⁺: 462.2500, found: 462.2495.



(S_a,4R_c,5R_c)-tert-butyl 5-(1-(2-(dimethylamino)phenyl)-1H-indol-2-yl)-5-methyl-4,5-dihydrooxazole-4-carboxylate (6aa)

The desired product was purified by silica gel chromatography (PE/EA = 10:1) as pale yellow liquid (35.2 mg, 42% yield, 98% ee, >20:1 dr), [α]²⁰_D = -220.0 (c = 0.2, CH₂Cl₂). The ee was determined by HPLC on Chiralpak IC column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; t_{R1} = 23.61 min (minor), t_{R2} = 28.35 min (major), t_{R3} = 43.24 min (minor). **¹H NMR** (400 MHz, DMSO-*d*₆) δ 7.61 – 7.58 (m, 1H), 7.41 – 7.36 (m, 1H), 7.14 (dd, *J* = 7.6, 1.6 Hz, 1H), 7.11 – 7.06 (m, 3H), 6.94 (dd, *J* = 7.6, 1.2 Hz, 1H), 6.92 (d, *J* = 2.4 Hz, 1H), 6.76 (s, 1H), 6.73 (d, *J* = 7.6 Hz, 1H), 5.01 (d, *J* = 2.0 Hz, 1H), 2.34 (s, 6H), 1.56 (s, 3H), 1.37 (s, 9H). **¹³C NMR** (100 MHz, DMSO-*d*₆) δ 168.3, 155.9, 149.8, 142.5, 140.3, 132.1, 130.1, 127.6, 126.7, 123.0, 120.9, 120.6, 120.4, 119.2, 111.2, 102.6, 83.9, 82.0, 75.8, 42.0, 28.1, 22.7.

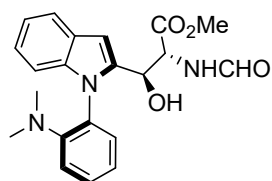
HRMS (ESI) m/z calcd for C₂₅H₂₉N₃O₃ [M+H]⁺: 420.2282, found: 420.2290.



(S_a,4S_c,5S_c)-5-(1-(2-(dimethylamino)phenyl)-1H-indol-2-yl)-4,5-dihydrooxazol-4-yl)diphenylphosphine oxide (6ab)

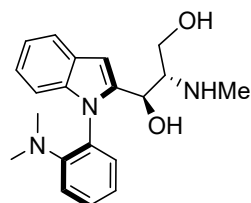
The desired product was purified by silica gel chromatography (PE/EA = 3:1) as white solid (92.9 mg, 92% yield, 87% ee, 3:1 dr), m.p. 92.2-101.3 °C; [α]²⁰_D = -209.0 (c = 0.2, CH₂Cl₂). The ee was determined by HPLC on Chiralpak ID column, hexane: isopropanol = 80:20; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; t_{R1} = 33.42 min (minor), t_{R2} = 38.81 min (minor), t_{R3} = 50.90 min (major), t_{R4} = 66.74 min (minor). **¹H NMR** (400 MHz, DMSO-*d*₆) δ 7.96

– 7.80 (m, 4H), 7.65 – 7.48 (m, 7H), 7.40 – 7.34 (m, 1H), 7.22 – 7.19 (m, 1H), 7.16 – 7.03 (m, 4H), 6.97 (s, 1H), 6.81 – 6.77 (m, 1H), 6.06 (dd, $J = 7.6, 1.6$ Hz, 1H), 5.73 (dd, $J = 7.6, 2.0$ Hz, 1H), 5.51 (dd, $J = 19.6, 8.0$ Hz, 1H), 2.32 (s, 6H). **^{13}C NMR** (100 MHz, DMSO- d_6) δ 156.1, 156.0, 149.8, 137.6, 137.2 (d, $J = 11.3$ Hz), 132.8, 132.6, 132.0, 132.0, 131.7, 131.6, 130.8, 129.9, 129.4, 129.3, 129.0, 128.9, 127.2, 126.1, 123.5, 121.4, 120.8, 120.7, 119.1, 111.7, 104.3, 72.2 (d, $J = 4.7$ Hz), 70.8, 70.0, 41.9. **^{31}P NMR** (162 MHz, DMSO- d_6) δ 31.27. **HRMS (ESI)** m/z calcd for $\text{C}_{31}\text{H}_{28}\text{N}_3\text{O}_2\text{P}$ $[\text{M}+\text{H}]^+$: 506.1992, found: 506.1987.



($S_a,2R_c,3R_e$)-methyl 3-(1-(2-(dimethylamino)phenyl)-1H-indol-2-yl)-2-formamido-3-hydroxypropanoate (7ab)

The desired product was purified by silica gel chromatography (PE/EA = 1:1) as colorless liquid (64.7 mg, 85% yield, 97% ee, >20:1 dr), $[\alpha]_D^{20} = -234.0$ ($c = 0.2, \text{CH}_2\text{Cl}_2$). The ee was determined by HPLC on Chiralpak OD-H column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; $t_{R1} = 17.79$ min (minor), $t_{R2} = 20.83$ min (minor), $t_{R3} = 23.79$ min (minor), $t_{R4} = 28.90$ min (major). **^1H NMR** (400 MHz, DMSO- d_6) δ 8.26 (dd, $J = 9.2, 2.0$ Hz, 1H), 7.88 (d, $J = 1.6$ Hz, 1H), 7.58 – 7.54 (m, 1H), 7.46 – 7.41 (m, 1H), 7.20 (dd, $J = 8.4, 1.6$ Hz, 1H), 7.14 (dd, $J = 8.0, 2.0$ Hz, 1H), 7.10 – 7.03 (m, 3H), 6.96 – 6.91 (m, 1H), 6.64 (s, 1H), 6.04 (d, $J = 5.2$ Hz, 1H), 5.35 (dd, $J = 5.2, 2.4$ Hz, 1H), 4.28 (dd, $J = 9.2, 2.4$ Hz, 1H), 3.54 (s, 3H), 2.34 (s, 6H). **^{13}C NMR** (100 MHz, DMSO- d_6) δ 170.5, 161.6, 149.8, 141.6, 138.1, 131.1, 129.9, 127.8, 127.7, 122.1, 121.6, 120.5, 120.2, 119.4, 110.2, 101.9, 67.1, 55.0, 52.5, 42.2. **HRMS (ESI)** m/z calcd for $\text{C}_{21}\text{H}_{23}\text{N}_3\text{O}_4$ $[\text{M}+\text{H}]^+$: 382.1761, found: 382.1765.



($S_a,1R_c,2S_e$)-1-(1-(2-(dimethylamino)phenyl)-1H-indol-2-yl)-2-(methylamino)propane-1,3-

diol (**8ab**)

The desired product was purified by silica gel chromatography (DCM/MeOH = 20:1) as colorless liquid (59.6 mg, 88% yield, 96% ee, >20:1 dr), $[\alpha]_D^{20} = -188.0$ (c = 0.2, CH₂Cl₂). The ee was determined by HPLC on Chiralpak OD-H column, hexane: isopropanol = 90:10; flow rate = 1 mL/min; column temperature 25 °C; UV detection at 254 nm; $t_{R1} = 7.18$ min (major), $t_{R2} = 9.19$ min (minor). **¹H NMR** (400 MHz, DMSO-*d*₆) δ 7.63 – 7.55 (m, 1H), 7.46 – 7.36 (m, 1H), 7.22 (dd, *J* = 7.6, 1.6 Hz, 1H), 7.17 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.12 – 7.02 (m, 3H), 6.99 – 6.91 (m, 1H), 6.68 (s, 1H), 4.63 (d, *J* = 5.2 Hz, 1H), 4.38 (s, 1H), 3.65 – 3.35 (m, 2H), 3.32 (dd, *J* = 10.8, 5.2 Hz, 1H), 3.18 (dd, *J* = 10.8, 6.0 Hz, 1H), 2.54 – 2.51 (m, 1H), 2.33 (s, 6H), 2.15 (s, 3H). **¹³C NMR** (100 MHz, DMSO-*d*₆) δ 149.9, 144.1, 137.6, 131.3, 129.6, 128.2, 128.1, 121.8, 121.3, 120.4, 120.2, 119.2, 110.5, 100.9, 65.5, 65.3, 60.8, 42.1, 35.3. **HRMS (ESI)** *m/z* calcd for C₂₀H₂₅N₃O₂ [M+H]⁺: 340.2020, found: 340.2017.

8. Investigation on the enantiomerization barrier

The reaction was conducted at 10 mg/mL concentration in a sealed tube. The change in diastereomeric excess (*de*) value over time was determined by HPLC. The rotational barrier of **3ab** was obtained by the racemization kinetic calculation of diastereomers.

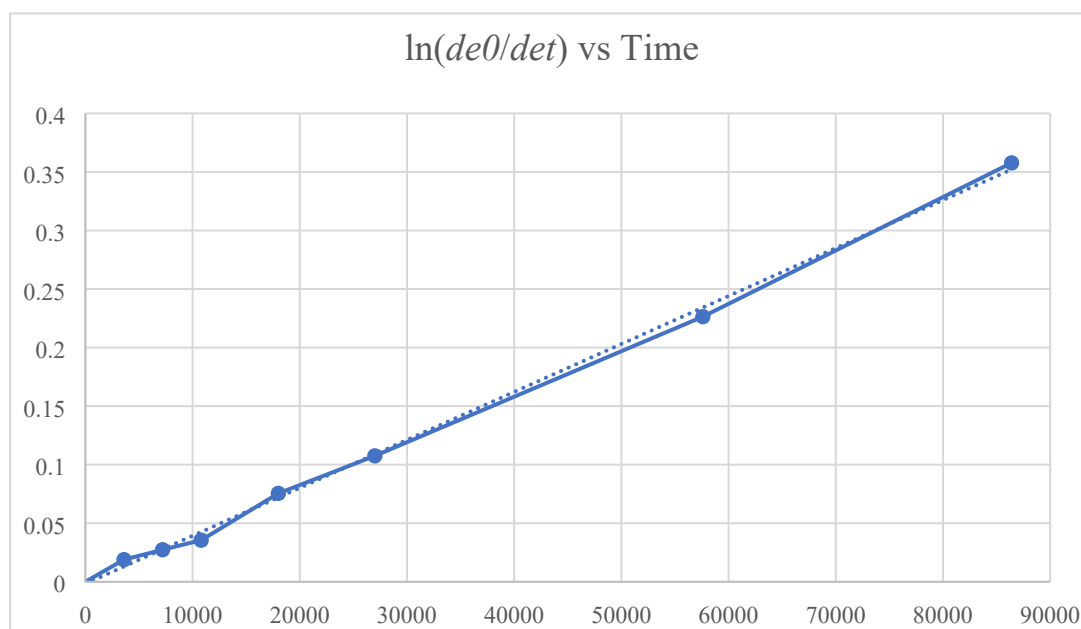
The configurational stability of the chiral axis in **3ab** was investigated by monitoring the *de* over time at 353.15 K. The decay of *de* followed first-order kinetics, and the **epimerization rate constant** (k_{epi}) was determined from the slope of the plot of $\ln(de_0/de_t)$ versus time. As the central chirality remains fixed, the rotation of the axis results in an epimerization process following first-order kinetics:

$$\ln \left(\frac{de_0}{de_t} \right) = k_{\text{epi}} \cdot t$$

The epimerization rate constant (k_{epi}) was determined from the slope of the linear regression of $\ln(de_0/de_t)$ versus time (s).

Table S1. Investigation of the epimerization of 3ab

Time (s)	diastereomeric excess (<i>de</i>)	$\ln(de_0/de_t)$
0	0.9720	0
3600	0.9538	0.018911
7200	0.9457	0.027365
10800	0.9381	0.035523
18000	0.9013	0.075503
27000	0.8729	0.107515
57600	0.7751	0.226403
86400	0.6797	0.357691



Assuming the diastereomers are energetically similar, the individual rotation rate constant of the axis (k_{rot}) is given by:

$$k_{rot} = \frac{k_{epi}}{2} = 2.05 \times 10^{-6} \text{ s}^{-1}$$

The Gibbs free energy of activation for the axial rotation was calculated via the Eyring equation:

$$\Delta G^\ddagger = RT \ln \left(\frac{k_B T}{h k_{rot}} \right)$$

$$R: 8.31446 \text{ J mol}^{-1} \text{ K}^{-1}$$

$$k_B: 1.38065 \times 10^{-23} \text{ J K}^{-1}$$

$$h: 6.62607 \times 10^{-34} \text{ J s}$$

Using $T = 353.15 \text{ K}$, the rotation barrier ΔG^\ddagger for **3ab** was determined to be $30.0 \text{ kcal}\cdot\text{mol}^{-1}$.

Based on the derived barrier, the parameters at 298.15 K (25°C) were predicted:

$$\text{Epimerization rate constant } k_{\text{epi},25^\circ\text{C}} = 1.31 \times 10^{-9} \text{ s}^{-1}$$

Half-life ($t_{1/2}$): Approximately 16.8 years.

9. Crystallographic information

Crystal Structure of **7ak** The qualified crystals were obtained via slow evaporation of a solution of **7ak** in chloroform to n-hexane.

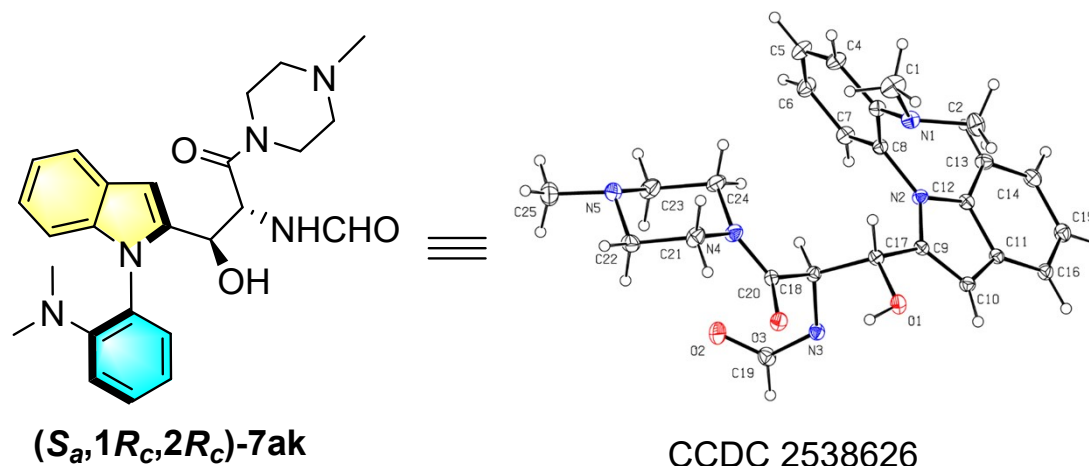


Table S2 Crystal data and structure refinement for 260316_CON_paiqin_LJY.

Identification code	260316_CON_paiqin_LJY
Empirical formula	$\text{C}_{25}\text{H}_{30}\text{N}_5\text{O}_3$
Formula weight	448.54
Temperature/K	170.00
Crystal system	orthorhombic
Space group	$P2_12_12_1$
$a/\text{\AA}$	7.9667(7)
$b/\text{\AA}$	15.6585(13)
$c/\text{\AA}$	18.3346(15)
$\alpha/^\circ$	90

$\beta/^\circ$	90
$\gamma/^\circ$	90
Volume/ \AA^3	2287.2(3)
Z	4
$\rho_{\text{calc}}/\text{g/cm}^3$	1.303
μ/mm^{-1}	0.469
F(000)	956.0
Crystal size/ mm^3	$0.29 \times 0.18 \times 0.06$
Radiation	GaK α ($\lambda = 1.34139$)
2 Θ range for data collection/ $^\circ$	6.458 to 121.328
Index ranges	$-10 \leq h \leq 10, -20 \leq k \leq 20, -23 \leq l \leq 23$
Reflections collected	70110
Independent reflections	5247 [$R_{\text{int}} = 0.0509, R_{\text{sigma}} = 0.0230$]
Data/restraints/parameters	5247/0/302
Goodness-of-fit on F^2	1.056
Final R indexes [$I \geq 2\sigma(I)$]	$R_1 = 0.0338, wR_2 = 0.0873$
Final R indexes [all data]	$R_1 = 0.0360, wR_2 = 0.0891$
Largest diff. peak/hole / $e \text{\AA}^{-3}$	0.51/-0.21
Flack parameter	0.08(6)

Table S3 Fractional Atomic Coordinates ($\times 10^4$) and Equivalent Isotropic Displacement Parameters ($\text{\AA}^2 \times 10^3$) for 260316_CON_paiqin_LJY. U_{eq} is defined as 1/3 of the trace of the orthogonalised U_{IJ} tensor.

Atom	x	y	z	U(eq)
O1	3741.6(18)	2636.3(8)	4943.6(6)	25.6(3)
O2	391(2)	5184.1(9)	4741.8(9)	38.9(4)
O3	3759.2(17)	4231.2(8)	5572.8(7)	26.1(3)
N1	6646.6(18)	2984.6(10)	3092.1(8)	25.1(3)
N2	3019.9(18)	2739.4(9)	2968.5(7)	19.9(3)
N3	1091.6(18)	3784.1(9)	4670.6(8)	22.6(3)
N4	4767(2)	5117.8(11)	4702.2(8)	27.6(3)
N5	5227(2)	6910.3(10)	4591.0(9)	28.8(3)
C1	8353(3)	3267.5(16)	3236.6(14)	40.7(5)
C2	6590(3)	2065.8(13)	2950.9(12)	34.9(5)
C3	5648(2)	3527.2(11)	2662.3(9)	22.3(3)
C4	6334(2)	4234.4(12)	2296.9(11)	29.5(4)
C5	5358(3)	4788.4(13)	1890.6(12)	33.6(4)
C6	3640(3)	4670.4(12)	1835.1(11)	31.1(4)
C7	2926(2)	3991.9(11)	2207.9(10)	25.7(4)
C8	3885(2)	3430.6(11)	2620.4(9)	20.4(3)

Table S3 Fractional Atomic Coordinates ($\times 10^4$) and Equivalent Isotropic Displacement Parameters ($\text{\AA}^2 \times 10^3$) for 260316_CON_paiqin_LJY. U_{eq} is defined as 1/3 of the trace of the orthogonalised U_{IJ} tensor.

Atom	x	y	z	$U(\text{eq})$
C9	2915(2)	2548.3(10)	3709.0(9)	19.1(3)
C10	1981(2)	1826.5(11)	3804.6(9)	20.7(3)
C11	1452(2)	1541.2(10)	3097.0(9)	19.6(3)
C12	2123(2)	2120.5(11)	2587.1(9)	20.2(3)
C13	1896(2)	2025.1(12)	1834.5(9)	24.4(3)
C14	938(2)	1341.9(12)	1603.1(10)	26.7(4)
C15	223(2)	766.5(12)	2103.0(10)	25.7(4)
C16	473(2)	857.4(11)	2843.8(10)	22.5(3)
C17	3709(2)	3108.0(10)	4276.0(9)	20.3(3)
C18	2754(2)	3956.4(10)	4379.9(9)	19.9(3)
C19	62(2)	4434.0(12)	4839.1(10)	28.3(4)
C20	3774(2)	4480.8(11)	4929.7(9)	20.4(3)
C21	5785(3)	5588.3(13)	5238.7(11)	31.6(4)
C22	5132(2)	6494.5(13)	5298.6(10)	29.2(4)
C23	4188(3)	6454.4(13)	4065.0(11)	34.4(4)
C24	4770(3)	5536.5(13)	3988.1(10)	34.8(5)
C25	4729(3)	7807.7(14)	4638.2(14)	40.9(5)

Table S4 Anisotropic Displacement Parameters ($\text{\AA}^2 \times 10^3$) for 260316_CON_paiqin_LJY. The Anisotropic displacement factor exponent takes the form: $-2\pi^2[h^2a^*U_{11}+2hka^*b^*U_{12}+\dots]$.

Atom	U_{11}	U_{22}	U_{33}	U_{23}	U_{13}	U_{12}
O1	35.6(7)	21.2(6)	19.9(6)	-0.6(5)	-5.9(5)	4.2(5)
O2	44.5(8)	23.4(7)	48.7(9)	3.7(6)	4.1(7)	9.4(6)
O3	33.4(7)	24.6(6)	20.3(6)	1.2(5)	-4.4(5)	-1.0(5)
N1	19.6(7)	28.8(8)	26.9(7)	2.4(6)	-2.6(6)	2.0(6)
N2	20.5(6)	20.9(7)	18.3(7)	0.0(5)	-0.3(5)	-3.2(6)
N3	19.6(7)	20.7(7)	27.5(7)	-0.4(5)	-0.2(6)	1.2(6)
N4	32.8(8)	26.5(7)	23.4(7)	-1.6(6)	-0.6(6)	-9.5(7)
N5	30.7(8)	25.3(8)	30.3(8)	-3.6(6)	2.3(6)	-3.5(7)
C1	23.2(9)	51.1(13)	47.8(13)	10.0(10)	-10.6(9)	-1.6(9)
C2	35.1(10)	30.6(10)	39.0(11)	-2.4(8)	-6.2(8)	12.2(9)
C3	21.8(8)	23.6(8)	21.6(8)	0.9(6)	-0.7(6)	0.4(7)
C4	23.6(8)	31.3(9)	33.7(9)	4.4(8)	1.0(7)	-6.8(8)
C5	34.8(10)	28.5(9)	37.5(10)	10.2(8)	1.2(8)	-7.8(8)
C6	32.3(10)	26.4(9)	34.7(10)	9.4(7)	-2.0(8)	2.6(8)

Table S4 Anisotropic Displacement Parameters ($\text{\AA}^2 \times 10^3$) for 260316_CON_paiqin_LJY. The Anisotropic displacement factor exponent takes the form: $-2\pi^2[h^2a^2U_{11}+2hka^*b^*U_{12}+\dots]$.

Atom	U_{11}	U_{22}	U_{33}	U_{23}	U_{13}	U_{12}
C7	21.8(8)	27.3(8)	27.9(9)	2.9(7)	-2.4(7)	1.3(7)
C8	21.9(8)	19.8(7)	19.6(8)	0.3(6)	0.4(6)	-0.2(6)
C9	19.2(7)	19.9(7)	18.3(7)	-0.9(6)	0.6(6)	2.5(6)
C10	21.6(8)	21.4(7)	19.1(7)	0.5(6)	1.1(6)	0.6(6)
C11	18.1(7)	19.7(7)	21.1(8)	-0.3(6)	1.5(6)	2.5(6)
C12	18.3(7)	22.0(8)	20.3(8)	-2.0(6)	-0.5(6)	0.3(6)
C13	25.0(8)	28.9(9)	19.4(8)	0.3(7)	0.7(6)	-1.8(7)
C14	29.4(9)	29.9(9)	20.6(8)	-4.5(7)	-2.0(7)	0.4(8)
C15	24.1(8)	25.0(8)	28.1(9)	-5.2(7)	-2.0(7)	-1.0(7)
C16	20.7(8)	21.0(8)	25.7(8)	-2.2(6)	1.3(6)	-0.8(7)
C17	20.9(8)	21.5(8)	18.6(7)	-1.0(6)	-1.4(6)	0.5(7)
C18	21.5(8)	18.8(7)	19.3(8)	0.6(6)	-1.0(6)	-0.4(6)
C19	26.3(9)	28.1(9)	30.7(9)	0.2(7)	-0.3(7)	5.6(7)
C20	21.2(8)	17.9(7)	22.1(8)	-1.5(6)	0.0(6)	1.2(6)
C21	31.5(10)	29.9(10)	33.4(10)	-1.2(8)	-6.9(8)	-10.3(8)
C22	30.4(9)	32.1(10)	25.2(9)	-6.9(7)	1.6(7)	-6.5(8)
C23	43.1(11)	34.1(10)	26.2(9)	3.6(7)	-5.6(8)	-10.5(9)
C24	49.6(12)	31.7(10)	23.0(9)	-1.7(7)	6.4(8)	-17.7(9)
C25	40.2(11)	29.5(10)	53.0(13)	-4.6(9)	1.2(10)	1.4(9)

Table S5 Bond Lengths for 260316_CON_paiqin_LJY.

Atom	Atom	Length/ \AA	Atom	Atom	Length/ \AA
O1	C17	1.4298(19)	C3	C8	1.415(2)
O2	C19	1.217(2)	C4	C5	1.383(3)
O3	C20	1.242(2)	C5	C6	1.384(3)
N1	C1	1.454(2)	C6	C7	1.386(3)
N1	C2	1.462(3)	C7	C8	1.389(2)
N1	C3	1.405(2)	C9	C10	1.365(2)
N2	C8	1.433(2)	C9	C17	1.500(2)
N2	C9	1.393(2)	C10	C11	1.435(2)
N2	C12	1.392(2)	C11	C12	1.408(2)
N3	C18	1.453(2)	C11	C16	1.404(2)
N3	C19	1.343(2)	C12	C13	1.400(2)
N4	C20	1.340(2)	C13	C14	1.381(3)
N4	C21	1.473(2)	C14	C15	1.406(3)
N4	C24	1.464(2)	C15	C16	1.380(3)

Table S5 Bond Lengths for 260316_CON_paiqin_LJY.

Atom	Atom	Length/Å	Atom	Atom	Length/Å
N5	C22	1.453(3)	C17	C18	1.543(2)
N5	C23	1.458(2)	C18	C20	1.533(2)
N5	C25	1.463(3)	C21	C22	1.516(3)
C3	C4	1.405(2)	C23	C24	1.517(3)

Table S6 Bond Angles for 260316_CON_paiqin_LJY.

Atom	Atom	Atom	Angle/°	Atom	Atom	Atom	Angle/°
C1	N1	C2	111.14(17)	C9	C10	C11	107.55(15)
C3	N1	C1	116.55(16)	C12	C11	C10	106.76(14)
C3	N1	C2	118.56(15)	C16	C11	C10	134.37(16)
C9	N2	C8	128.70(14)	C16	C11	C12	118.86(15)
C12	N2	C8	123.28(13)	N2	C12	C11	108.03(14)
C12	N2	C9	108.02(13)	N2	C12	C13	129.48(16)
C19	N3	C18	120.02(15)	C13	C12	C11	122.47(16)
C20	N4	C21	119.32(15)	C14	C13	C12	117.19(17)
C20	N4	C24	127.80(16)	C13	C14	C15	121.35(16)
C24	N4	C21	111.86(15)	C16	C15	C14	121.14(17)
C22	N5	C23	109.99(15)	C15	C16	C11	118.96(16)
C22	N5	C25	111.31(16)	O1	C17	C9	107.41(13)
C23	N5	C25	110.85(17)	O1	C17	C18	110.36(13)
N1	C3	C8	121.85(16)	C9	C17	C18	112.36(13)
C4	C3	N1	121.60(16)	N3	C18	C17	109.58(13)
C4	C3	C8	116.37(16)	N3	C18	C20	109.96(13)
C5	C4	C3	122.20(17)	C20	C18	C17	106.30(13)
C4	C5	C6	120.77(18)	O2	C19	N3	124.49(19)
C5	C6	C7	118.18(18)	O3	C20	N4	122.38(16)
C6	C7	C8	121.86(17)	O3	C20	C18	116.78(15)
C3	C8	N2	122.26(16)	N4	C20	C18	120.46(15)
C7	C8	N2	117.14(15)	N4	C21	C22	109.13(16)
C7	C8	C3	120.55(16)	N5	C22	C21	109.68(16)
N2	C9	C17	121.66(15)	N5	C23	C24	110.60(17)
C10	C9	N2	109.63(14)	N4	C24	C23	109.92(16)
C10	C9	C17	128.69(15)				

Table S7 Torsion Angles for 260316_CON_paiqin_LJY.

A	B	C	D	Angle/°	A	B	C	D	Angle/°
O1	C17	C18	N3	-54.71(17)	C9	C17	C18	C20	-176.08(14)
O1	C17	C18	C20	64.08(17)	C10	C9	C17	O1	16.3(2)

Table S7 Torsion Angles for 260316_CON_paiqin_LJY.

A	B	C	D	Angle/°	A	B	C	D	Angle/°
N1	C3	C4	C5	-177.98(18)	C10	C9	C17	C18	-105.29(19)
N1	C3	C8	N2	-4.7(3)	C10	C11	C12	N2	-0.31(18)
N1	C3	C8	C7	178.11(16)	C10	C11	C12	C13	178.23(16)
N2	C9	C10	C11	-0.29(19)	C10	C11	C16	C15	-179.36(18)
N2	C9	C17	O1	-165.80(14)	C11	C12	C13	C14	1.6(3)
N2	C9	C17	C18	72.7(2)	C12	N2	C8	C3	-116.75(19)
N2	C12	C13	C14	179.76(17)	C12	N2	C8	C7	60.6(2)
N3	C18	C20	O3	47.3(2)	C12	N2	C9	C10	0.10(19)
N3	C18	C20	N4	-139.67(16)	C12	N2	C9	C17	-178.20(15)
N4	C21	C22	N5	-59.3(2)	C12	C11	C16	C15	1.1(2)
N5	C23	C24	N4	56.1(2)	C12	C13	C14	C15	0.0(3)
C1	N1	C3	C4	9.7(3)	C13	C14	C15	C16	-0.9(3)
C1	N1	C3	C8	-165.22(18)	C14	C15	C16	C11	0.4(3)
C2	N1	C3	C4	-127.22(19)	C16	C11	C12	N2	179.34(15)
C2	N1	C3	C8	57.8(2)	C16	C11	C12	C13	-2.1(3)
C3	C4	C5	C6	0.8(3)	C17	C9	C10	C11	177.85(16)
C4	C3	C8	N2	-179.88(16)	C17	C18	C20	O3	-71.26(19)
C4	C3	C8	C7	2.9(3)	C17	C18	C20	N4	101.80(18)
C4	C5	C6	C7	1.1(3)	C18	N3	C19	O2	2.8(3)
C5	C6	C7	C8	-0.9(3)	C19	N3	C18	C17	176.72(15)
C6	C7	C8	N2	-178.51(17)	C19	N3	C18	C20	60.2(2)
C6	C7	C8	C3	-1.1(3)	C20	N4	C21	C22	-112.21(19)
C8	N2	C9	C10	-179.29(16)	C20	N4	C24	C23	112.8(2)
C8	N2	C9	C17	2.4(3)	C21	N4	C20	O3	-4.9(3)
C8	N2	C12	C11	179.57(15)	C21	N4	C20	C18	-177.54(16)
C8	N2	C12	C13	1.2(3)	C21	N4	C24	C23	-55.5(2)
C8	C3	C4	C5	-2.7(3)	C22	N5	C23	C24	-59.5(2)
C9	N2	C8	C3	62.6(2)	C23	N5	C22	C21	61.2(2)
C9	N2	C8	C7	-120.13(19)	C24	N4	C20	O3	-172.34(19)
C9	N2	C12	C11	0.14(18)	C24	N4	C20	C18	15.0(3)
C9	N2	C12	C13	-178.26(18)	C24	N4	C21	C22	57.1(2)
C9	C10	C11	C12	0.37(18)	C25	N5	C22	C21	-175.57(17)
C9	C10	C11	C16	-179.20(18)	C25	N5	C23	C24	176.93(17)
C9	C17	C18	N3	65.14(17)					

Table S8 Hydrogen Atom Coordinates ($\text{\AA} \times 10^4$) and Isotropic Displacement Parameters ($\text{\AA}^2 \times 10^3$) for 260316_CON_paiqin_LJY.

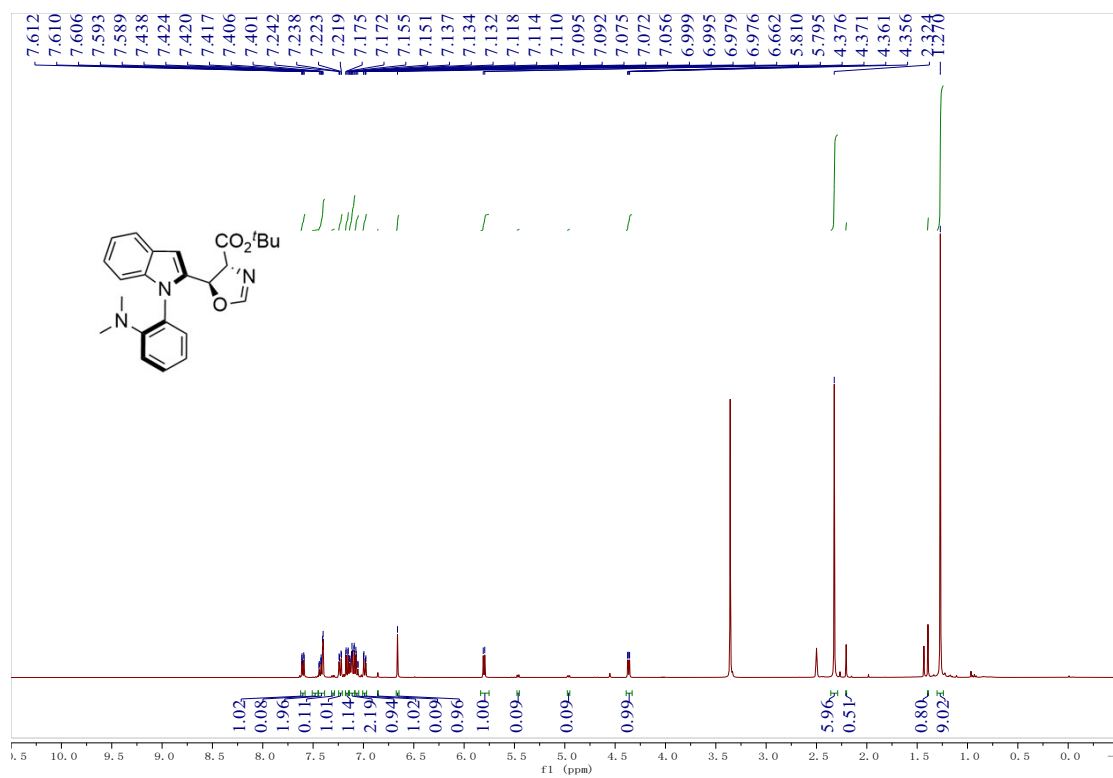
Atom	x	y	z	U(eq)
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Table S8 Hydrogen Atom Coordinates ($\text{\AA}\times 10^4$) and Isotropic Displacement Parameters ($\text{\AA}^2\times 10^3$) for 260316_CON_paiqin_LJY.

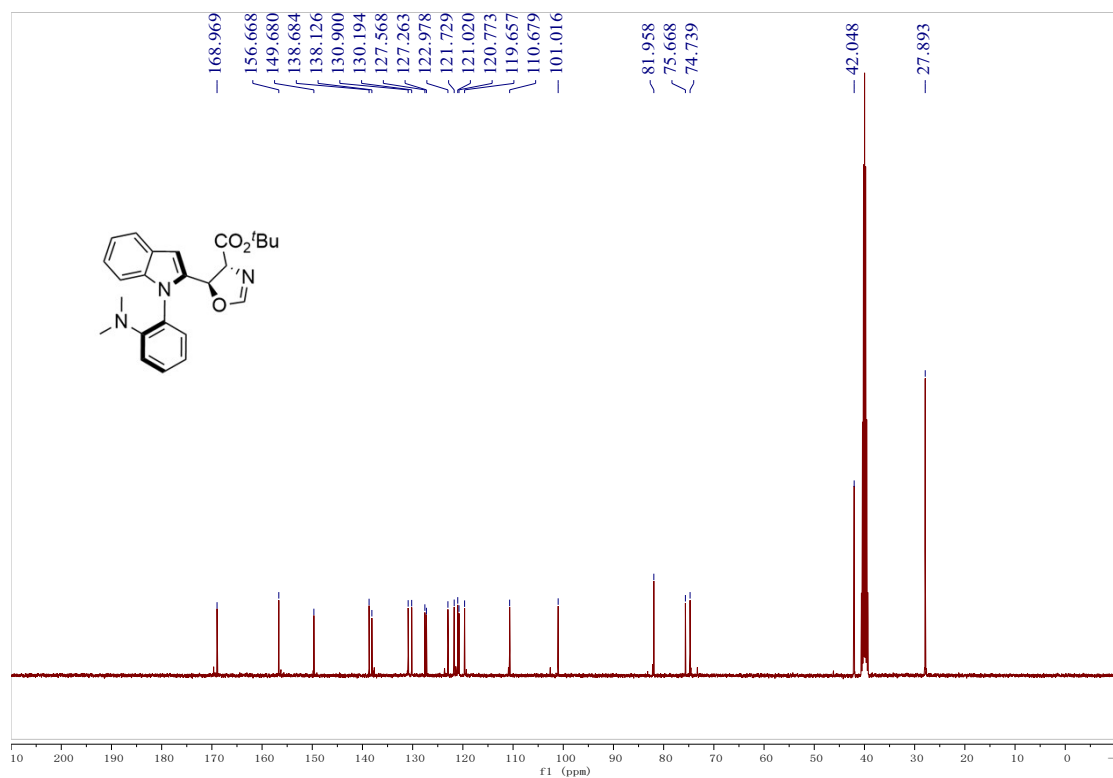
Atom	x	y	z	U(eq)
H1	3828.95	2975.97	5296.21	38
H1A	9000.35	3260.16	2782.03	61
H1B	8878.38	2883.6	3591.74	61
H1C	8331.05	3849.29	3433.28	61
H2A	5442.57	1899.25	2821.3	52
H2B	6941.13	1755.79	3389.5	52
H2C	7349.11	1926.66	2547.4	52
H4	7507	4335.45	2330.07	35
H5	5871.65	5255.35	1646.58	40
H6	2970.19	5044.42	1549.36	37
H7	1746.09	3908.45	2180.54	31
H10	1726.21	1561.15	4257.28	25
H13	2379.9	2414.07	1497.05	29
H14	758.61	1259.07	1095.81	32
H15	-443.57	307.22	1927.76	31
H16	-10.48	463.35	3177.21	27
H17	4889.05	3237.06	4125.62	24
H18	2667.3	4268.24	3905.36	24
H19	-995.52	4298.67	5048.56	34
H21A	6974.69	5595.07	5082.61	38
H21B	5718.5	5302.9	5719.66	38
H22A	3953.29	6487.07	5469.82	35
H22B	5809.84	6816.5	5657.97	35
H23A	4252.87	6743.19	3585.43	41
H23B	3003.05	6464.31	4227.7	41
H24A	4013.38	5226.33	3651.46	42
H24B	5916.5	5523.93	3780.26	42
H25A	5531.01	8117.65	4945.34	61
H25B	3605.16	7848.2	4852.3	61
H25C	4719.11	8058.68	4148.55	61

10. NMR spectra

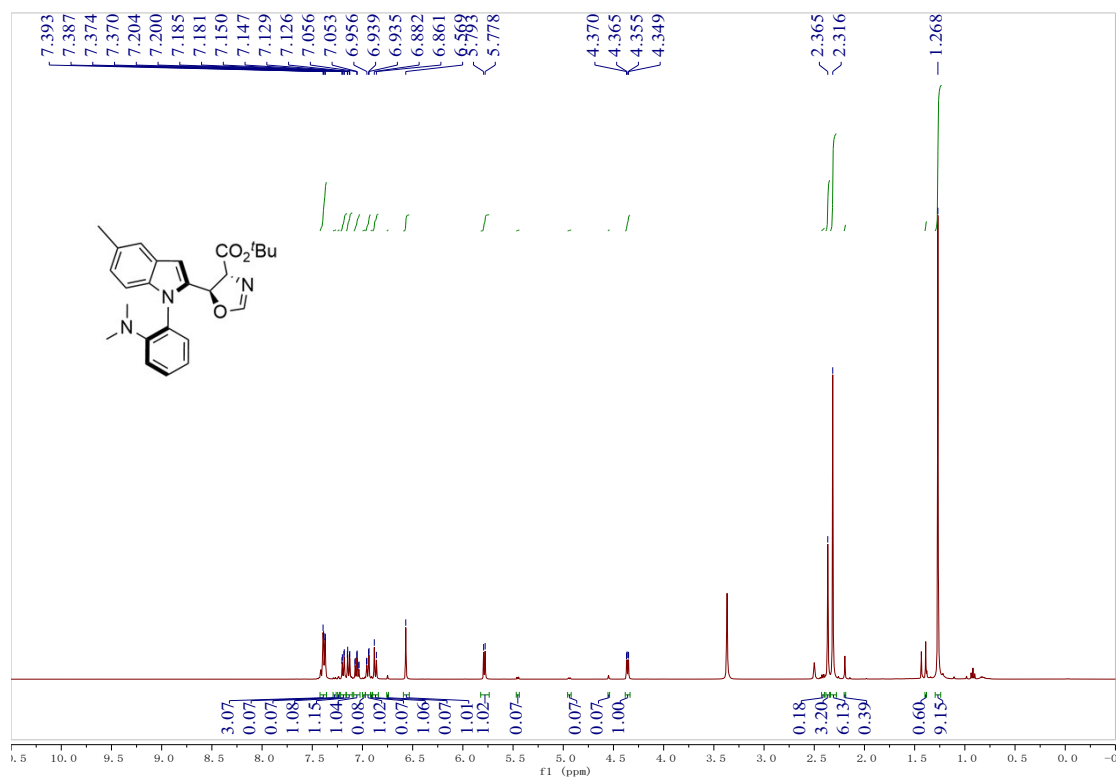
¹H NMR of 3aa (400 MHz, DMSO-d₆)



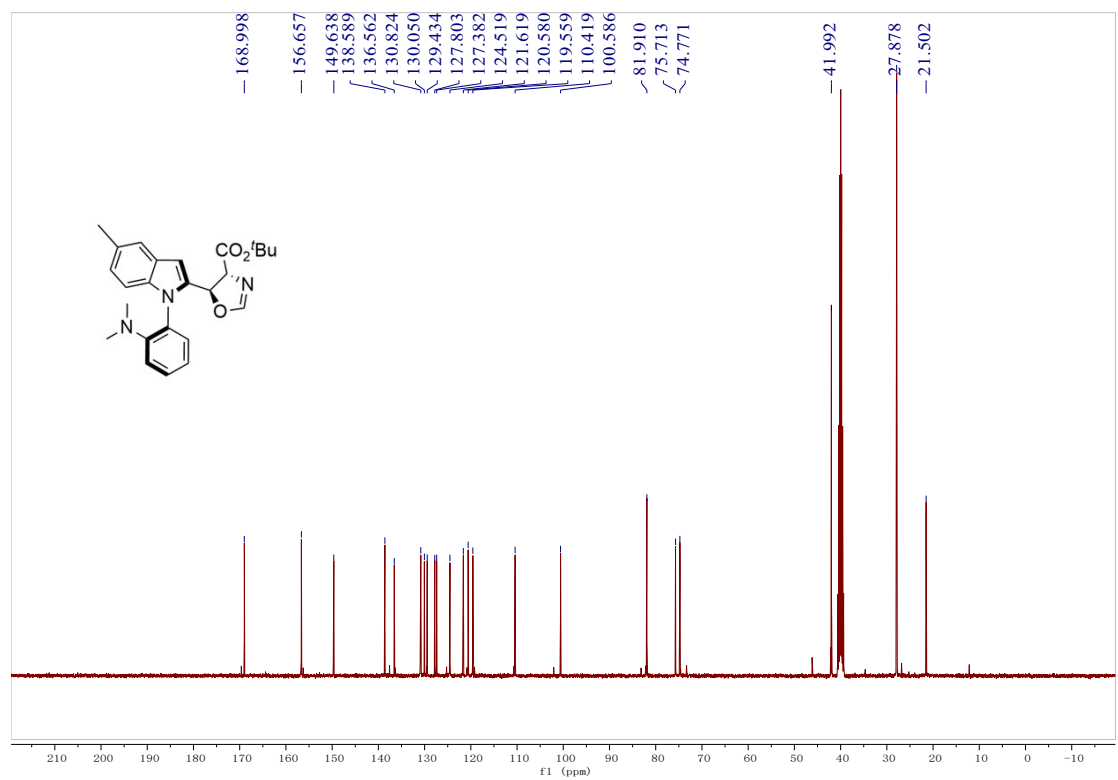
¹³C NMR of 3aa (100 MHz, DMSO-d₆)



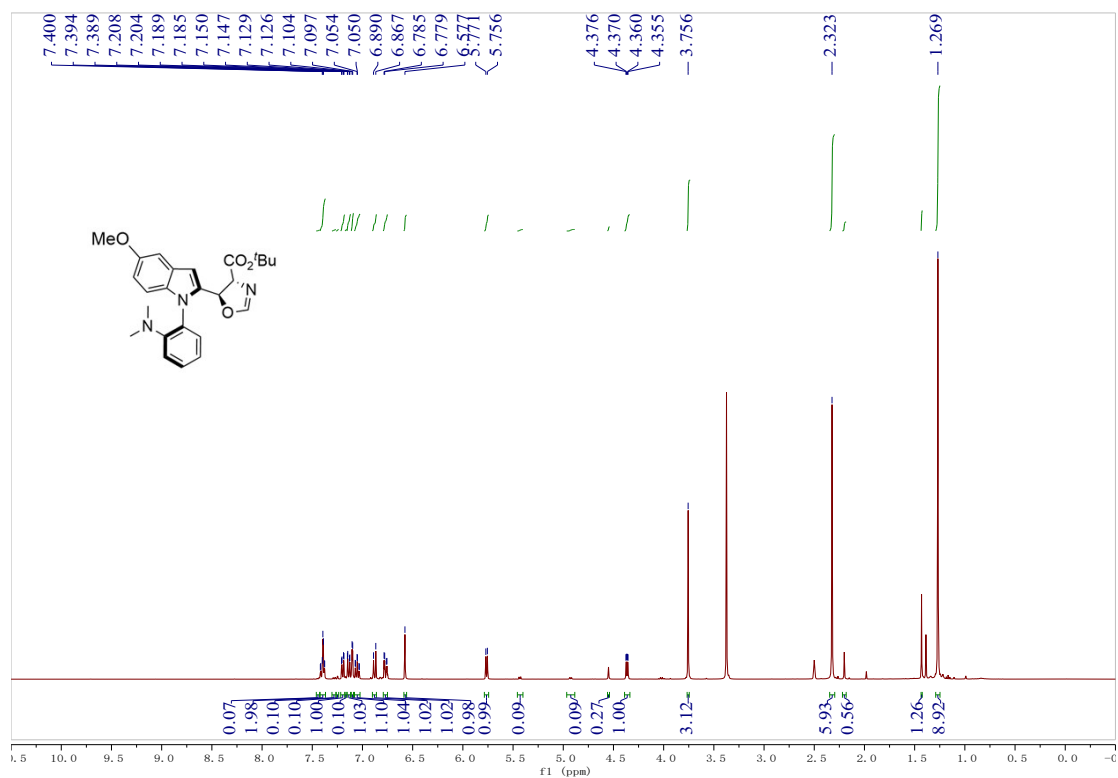
¹H NMR of 3ba (400 MHz, DMSO-d₆)



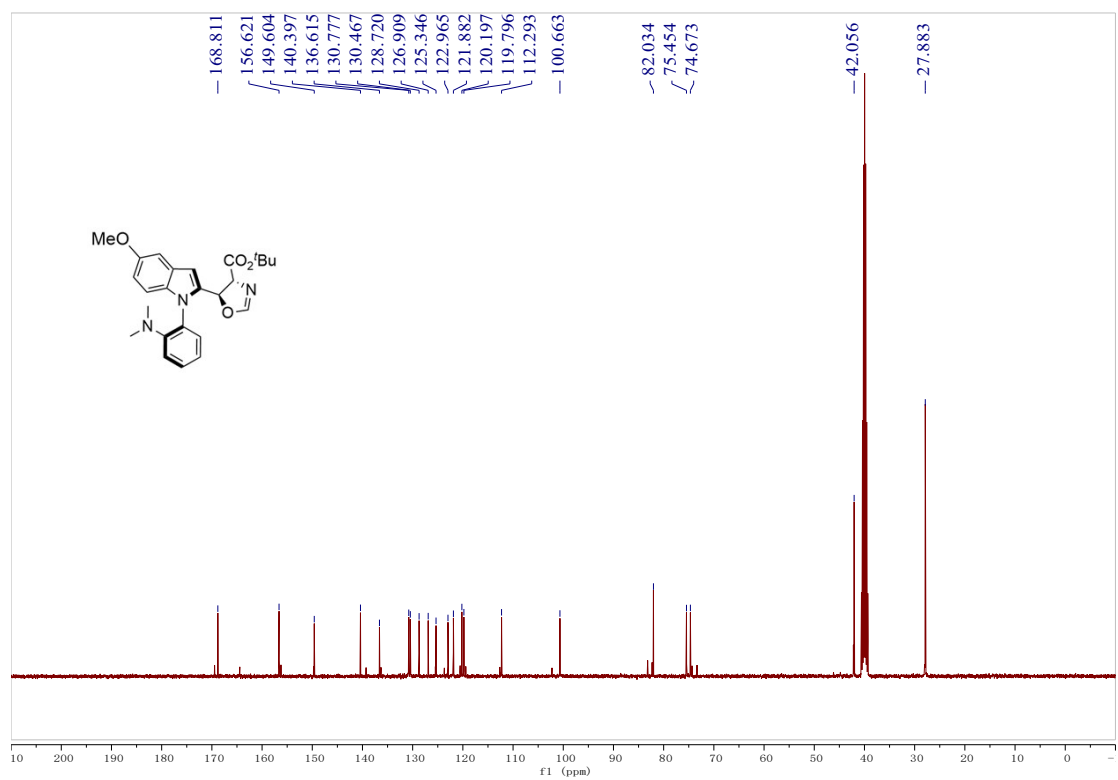
¹³C NMR of 3ba (100 MHz, DMSO-d₆)



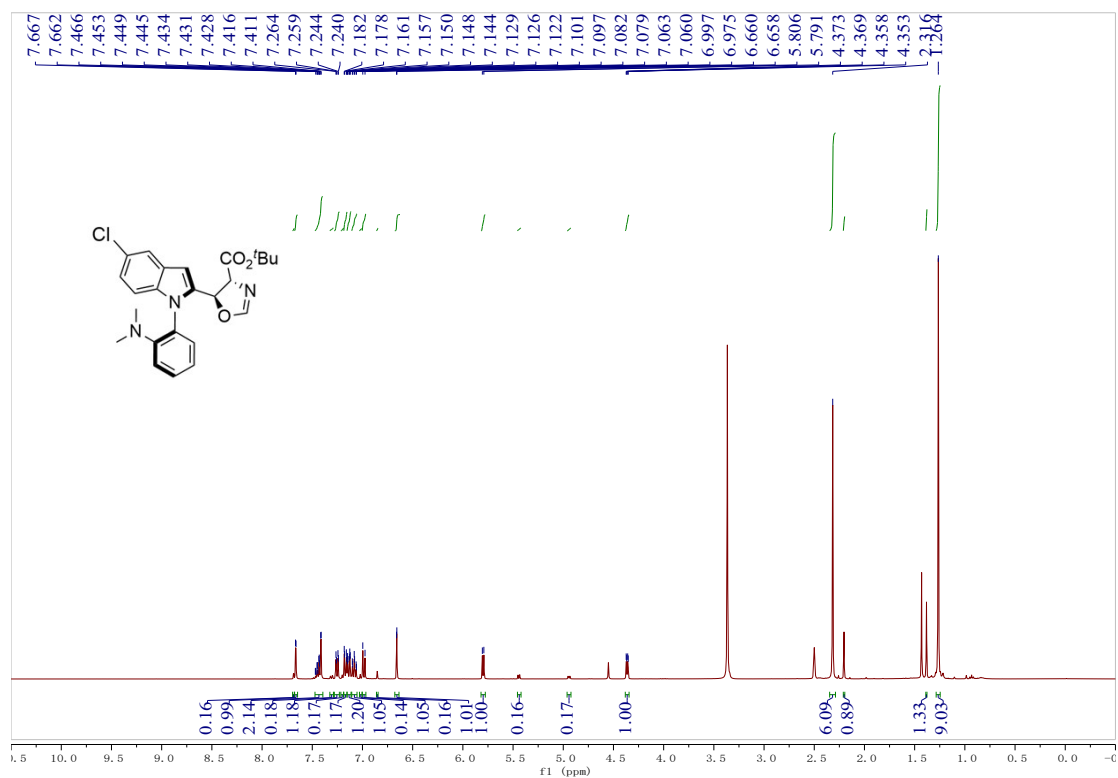
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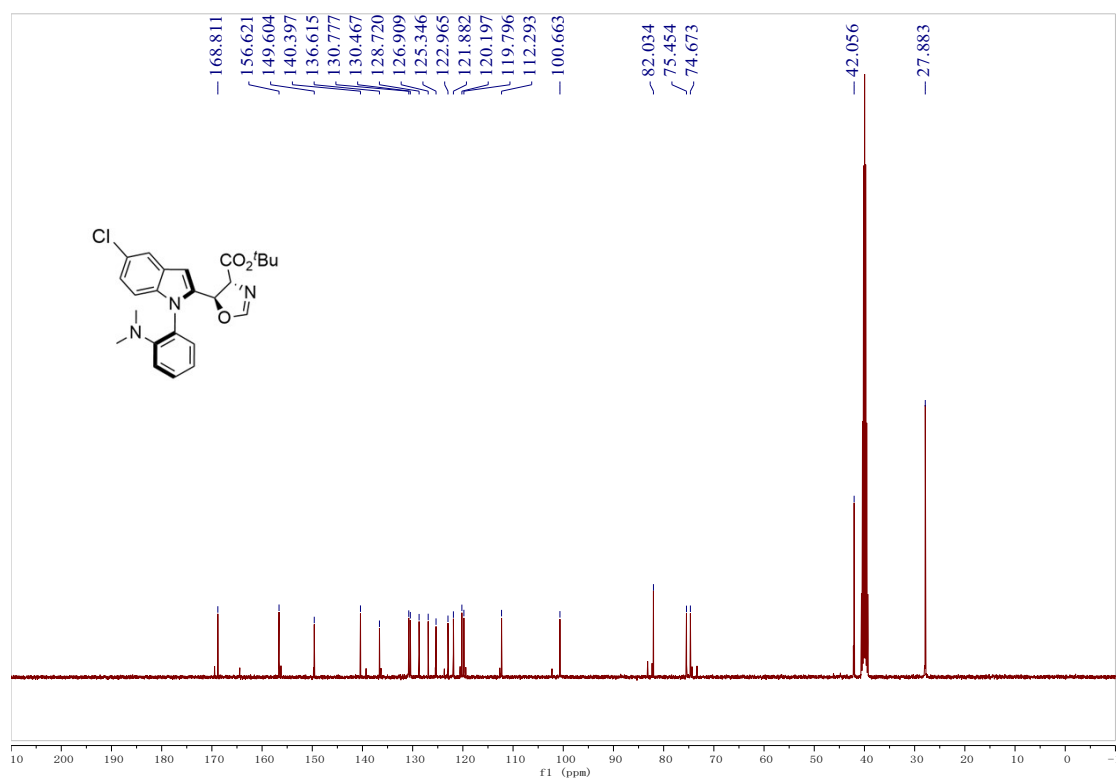
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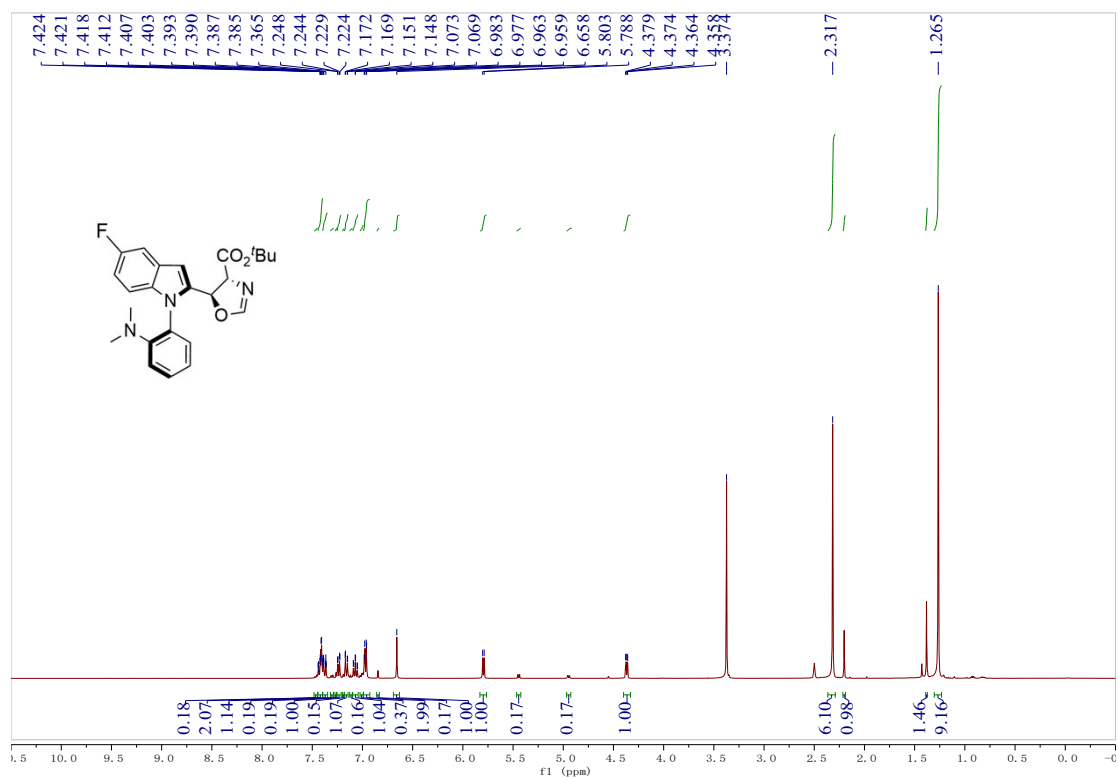
¹H NMR of 3da (400 MHz, DMSO-d₆)



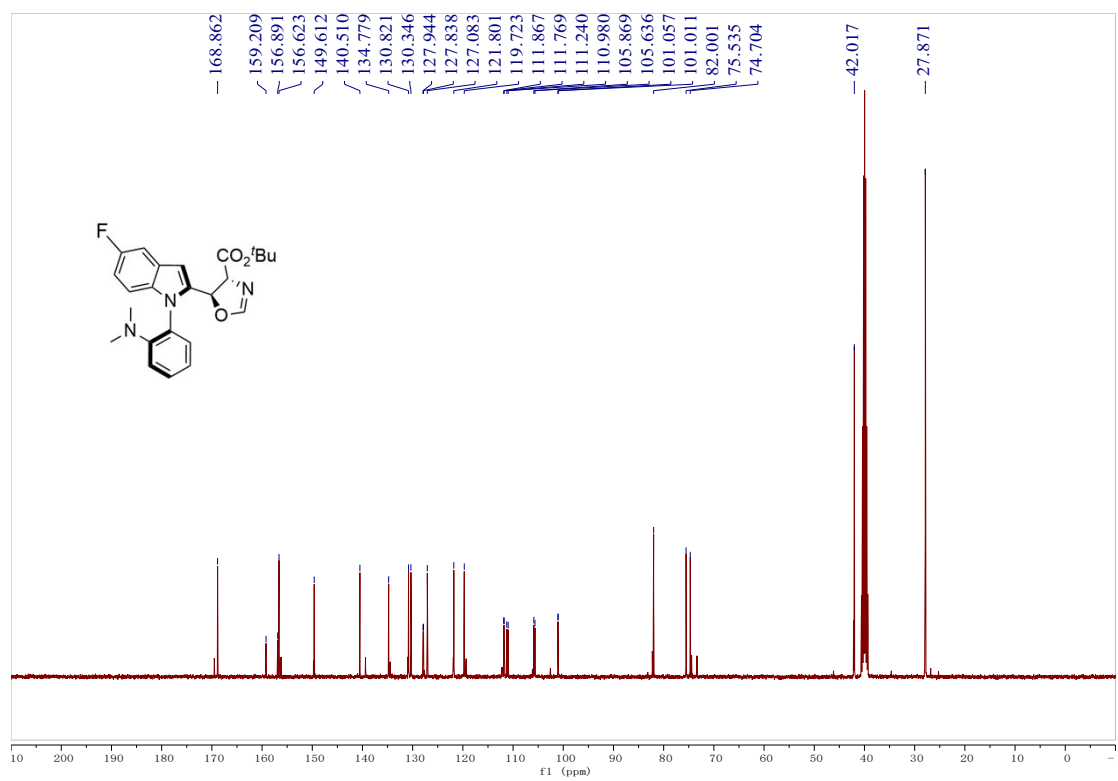
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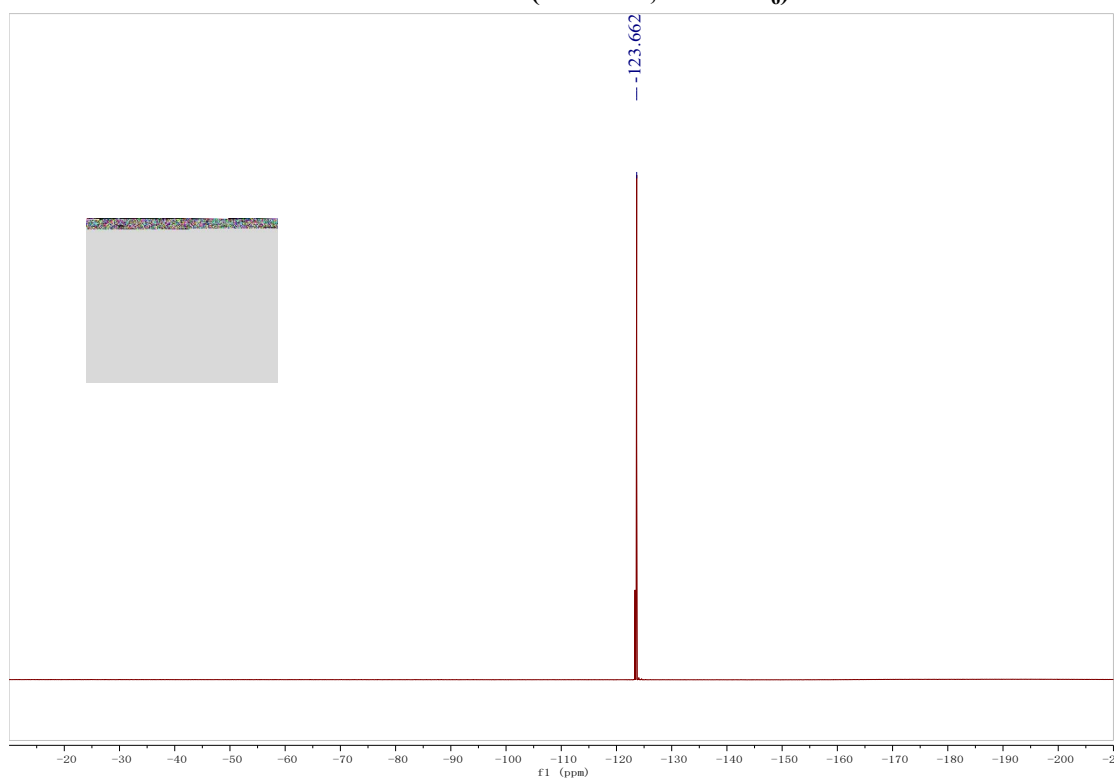
¹H NMR of 3ea (400 MHz, DMSO-d₆)



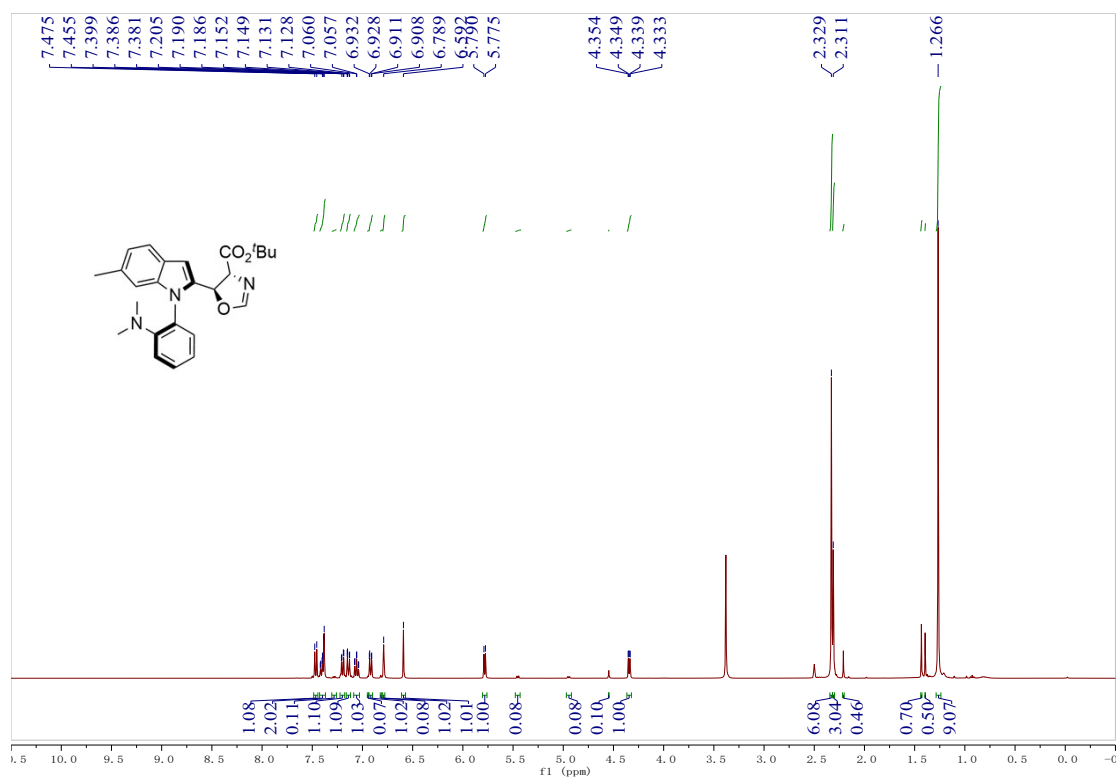
¹³C NMR of 3ea (100 MHz, DMSO-d₆)



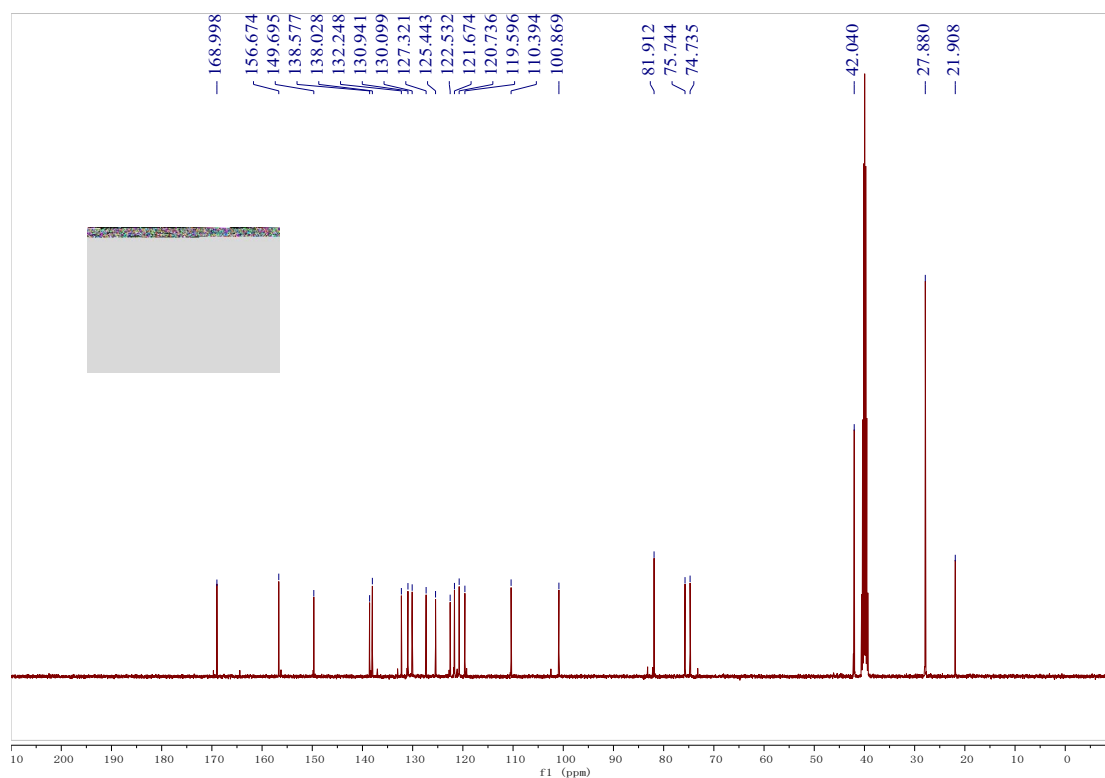
¹⁹F NMR of 3ea (376 MHz, DMSO-*d*₆)



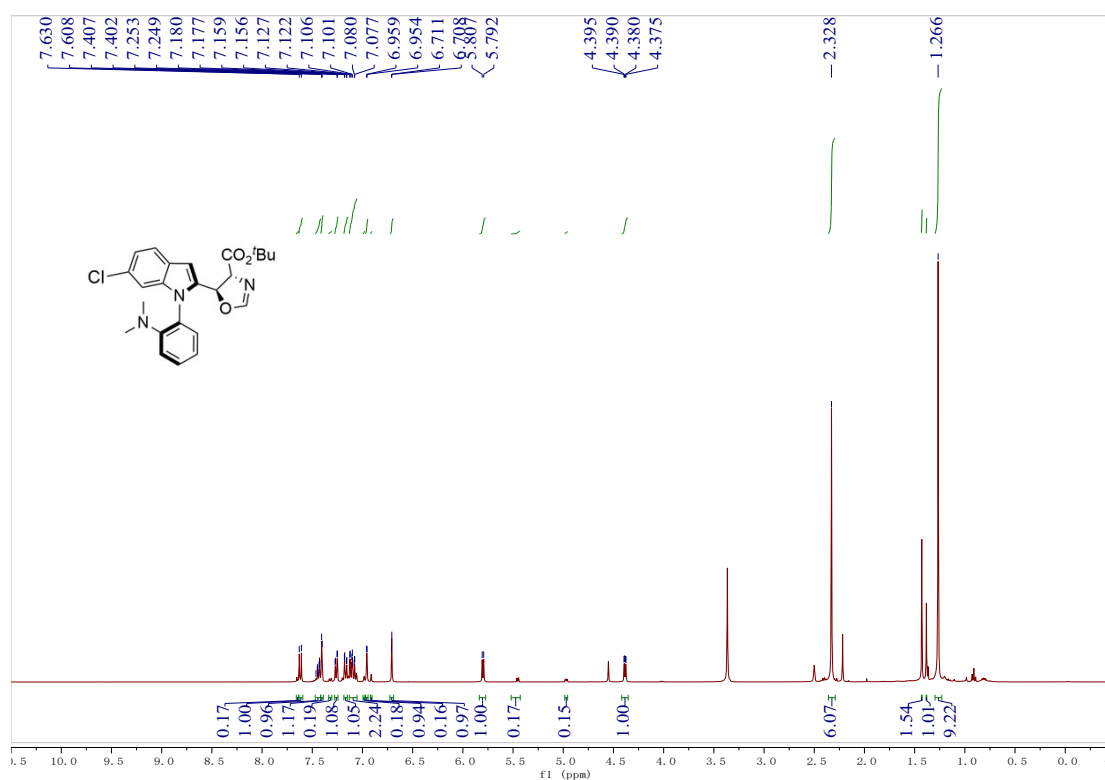
¹H NMR of 3fa (400 MHz, DMSO-*d*₆)



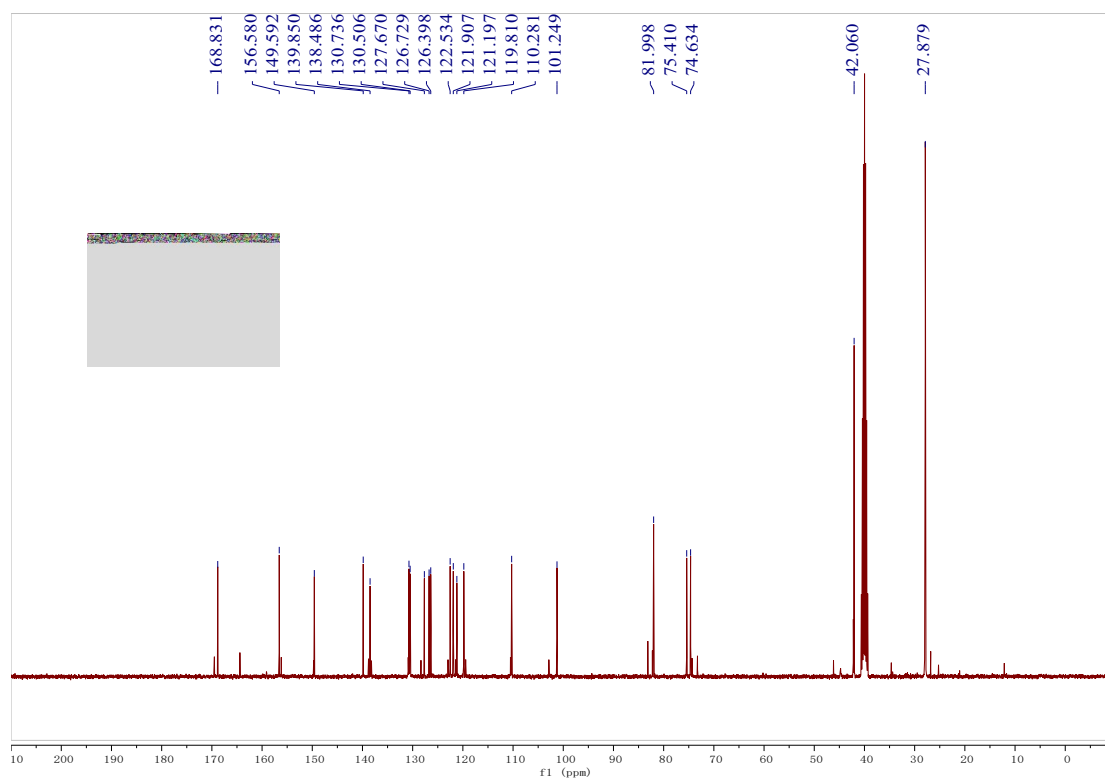
¹³C NMR of 3fa (100 MHz, DMSO-d₆)



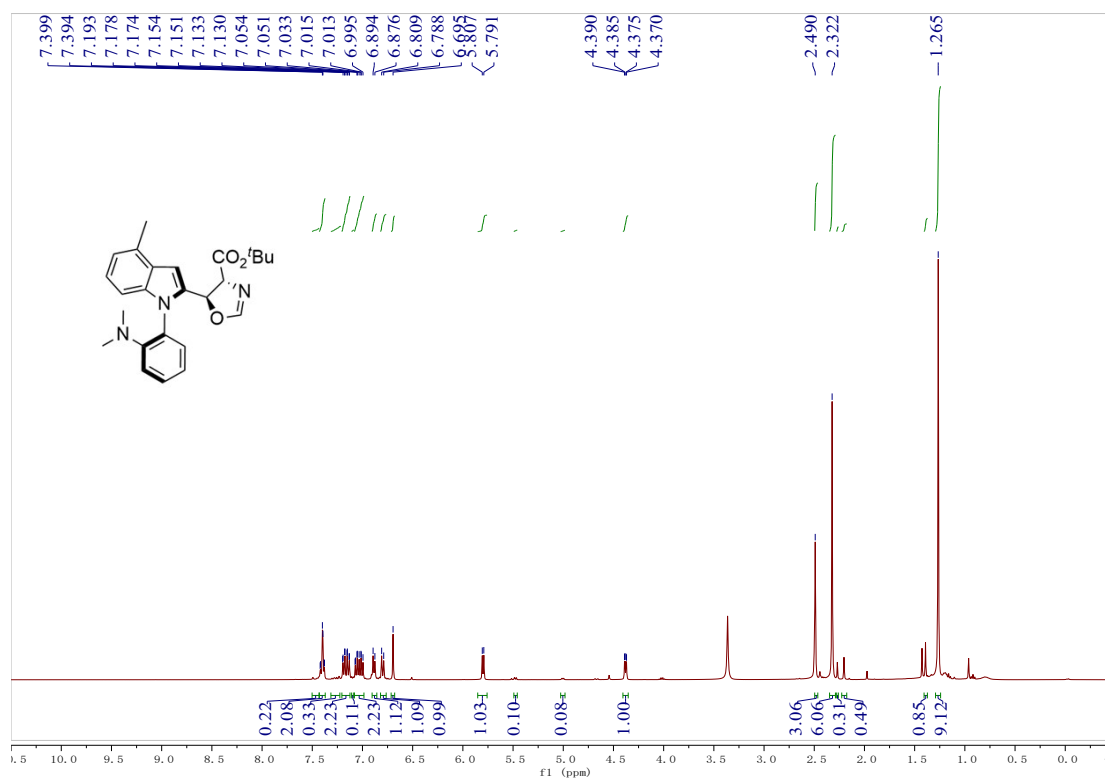
¹H NMR of 3ga (400 MHz, DMSO-d₆)



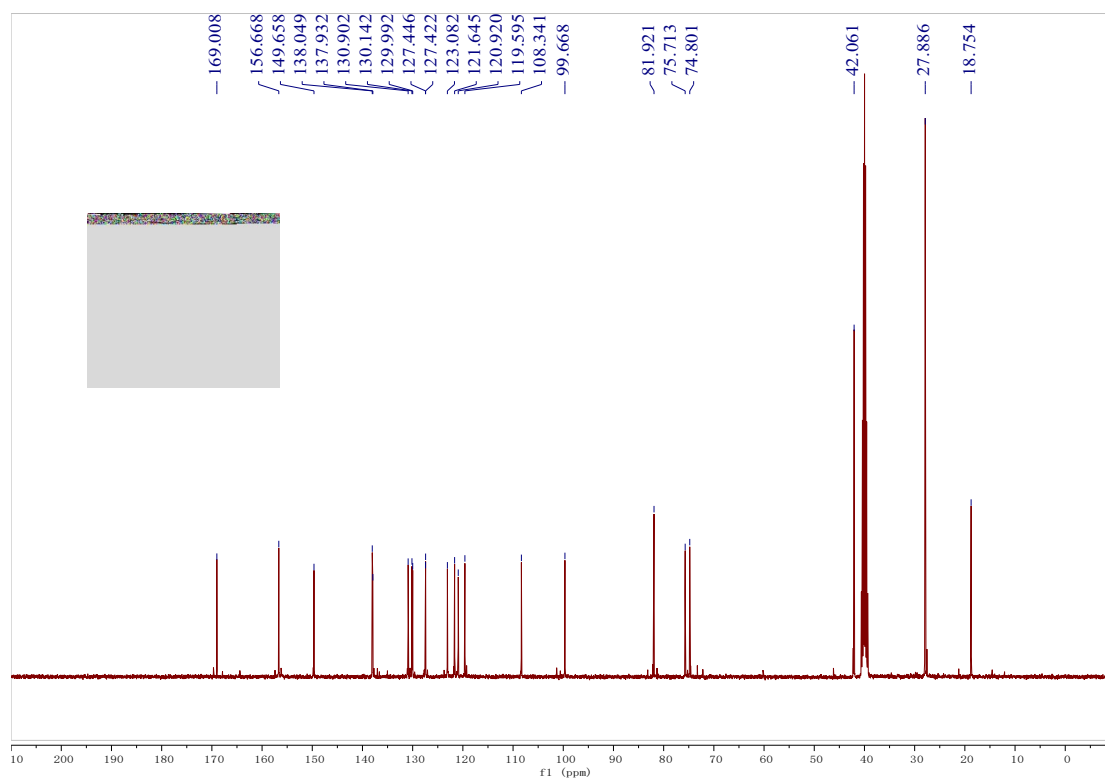
¹³C NMR of 3ga (100 MHz, DMSO-d₆)



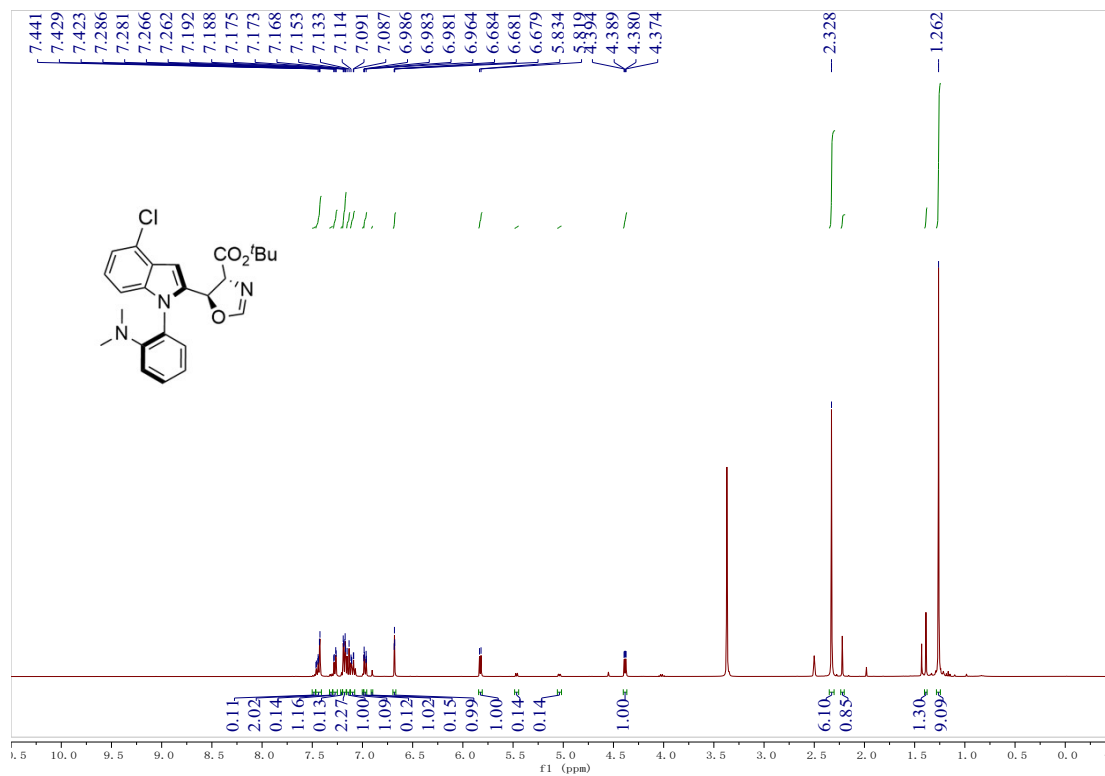
¹H NMR of 3ha (400 MHz, DMSO-d₆)



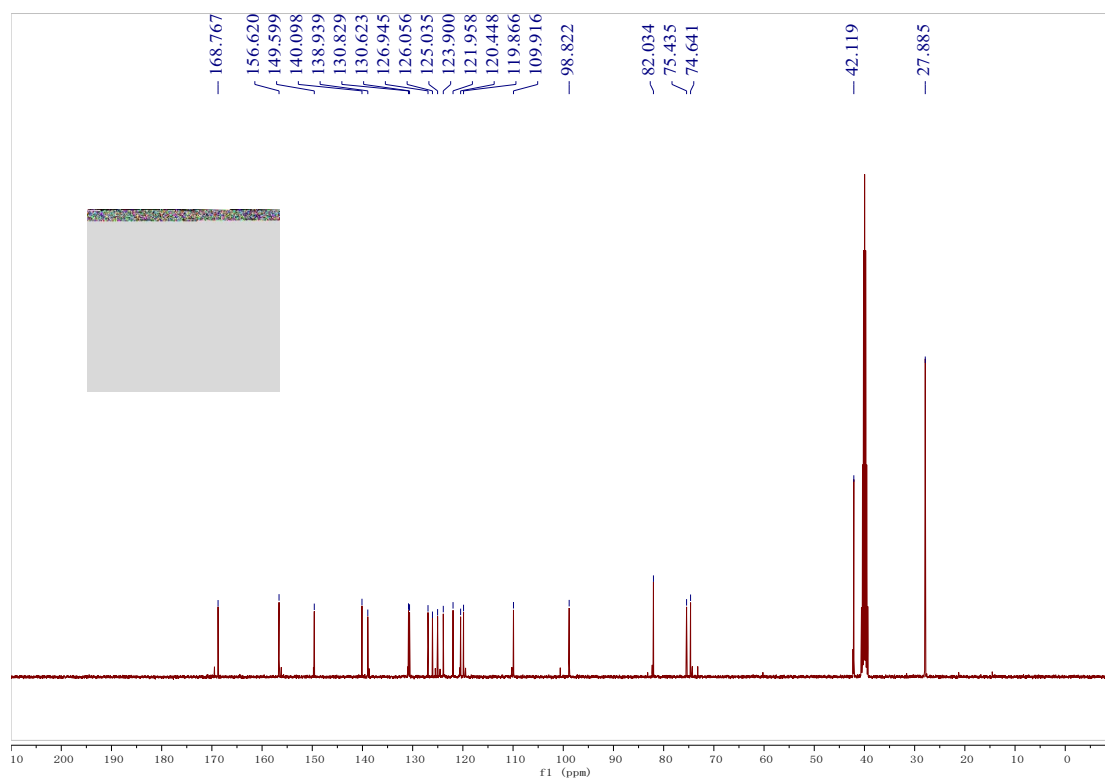
¹³C NMR of 3ha (100 MHz, DMSO-d₆)



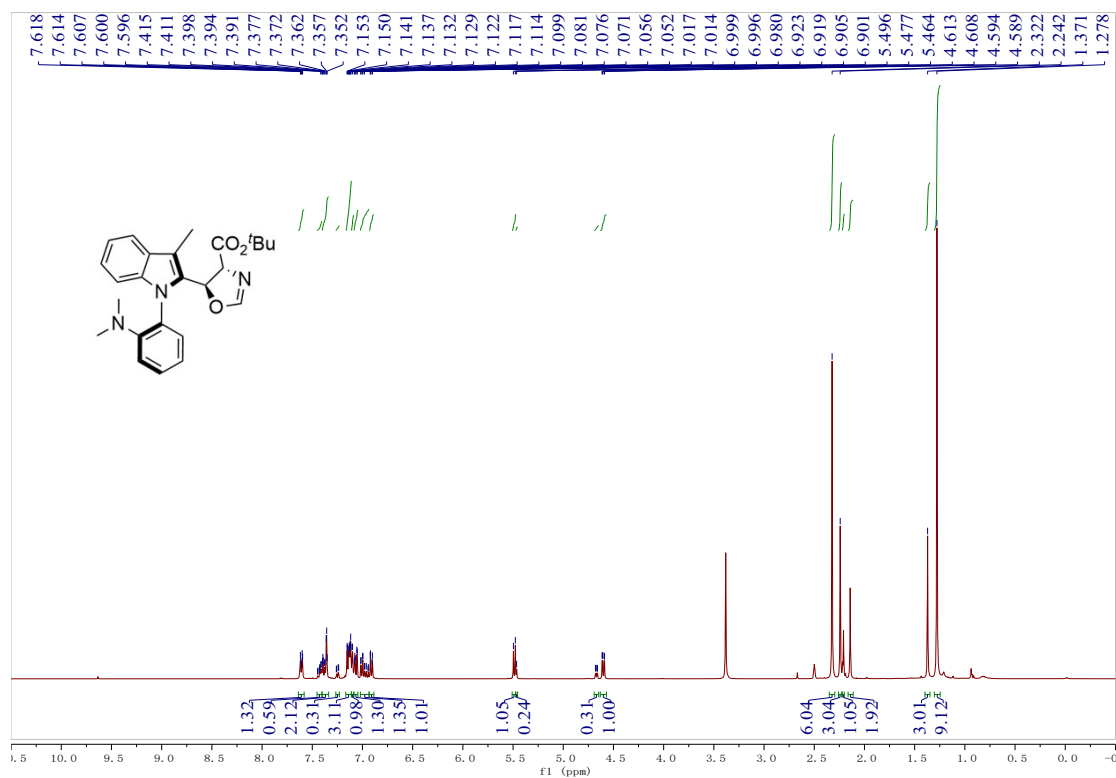
¹H NMR of 3ia (400 MHz, DMSO-d₆)



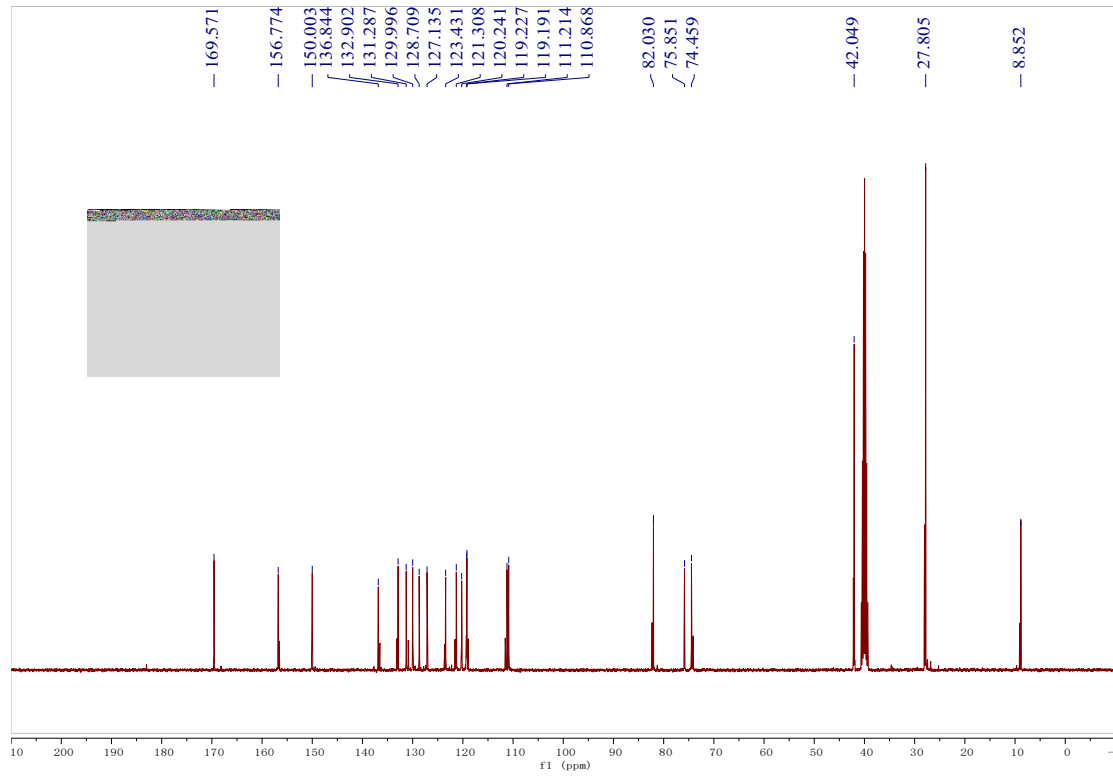
¹³C NMR of 3ia (100 MHz, DMSO-d₆)



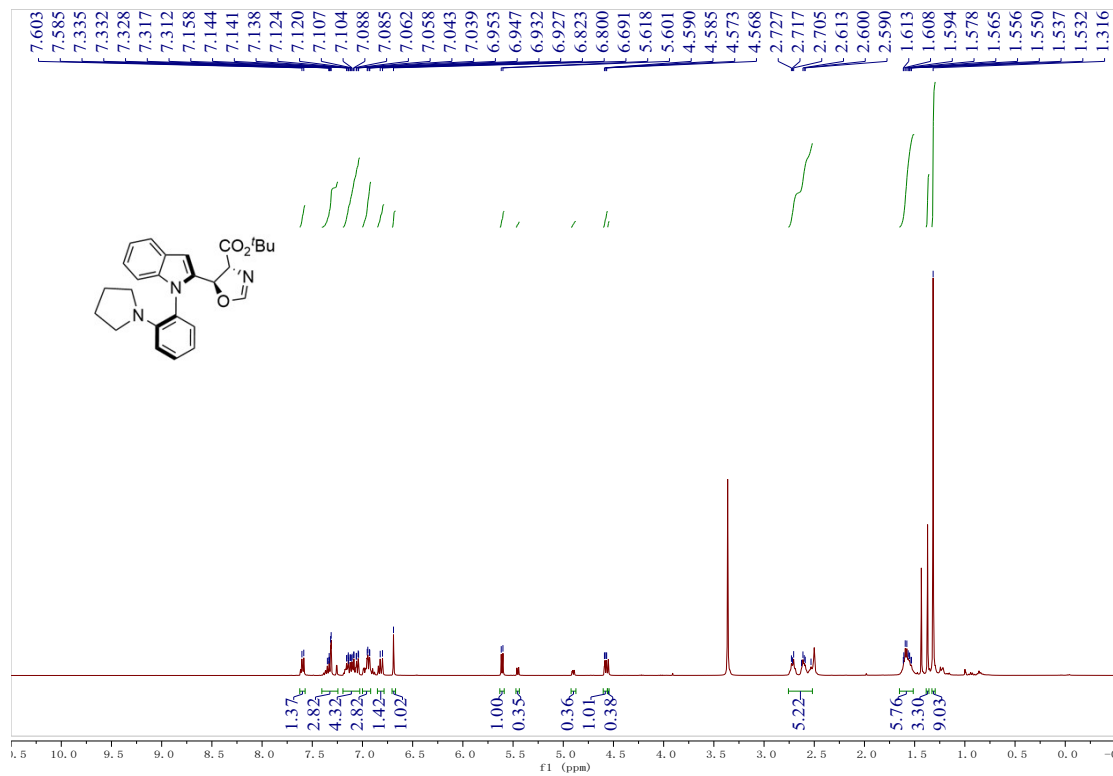
¹H NMR of 3ja (400 MHz, DMSO-d₆)



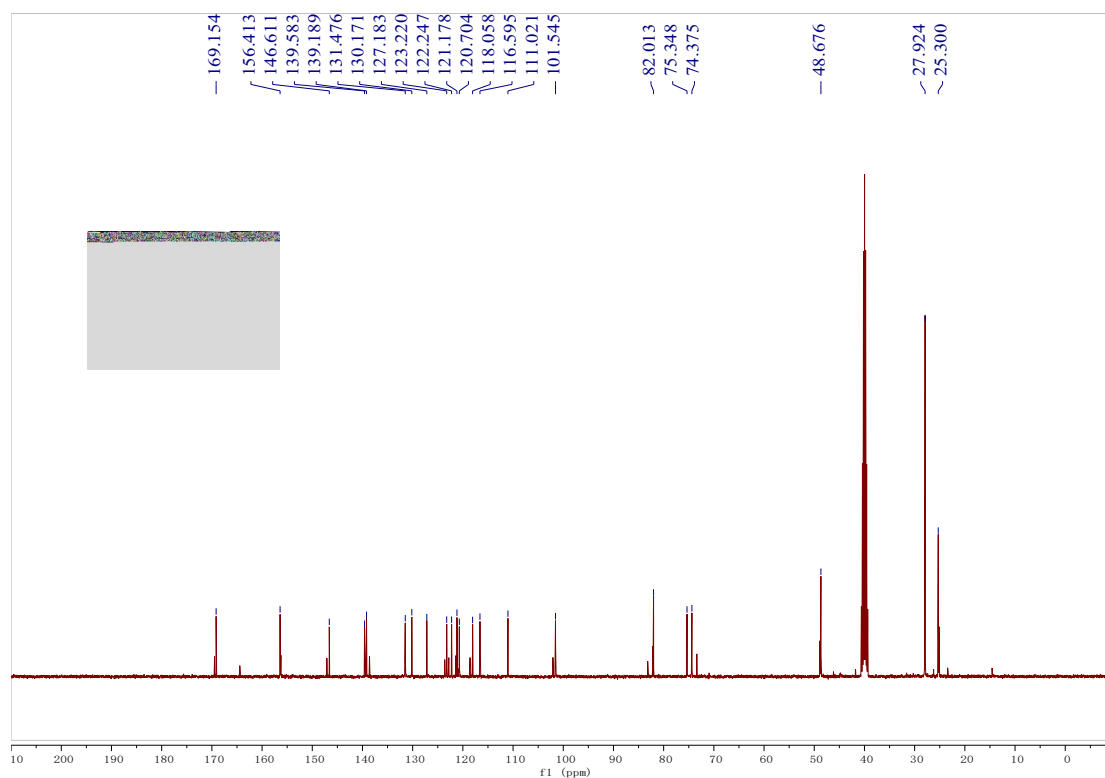
¹³C NMR of 3ja (100 MHz, DMSO-d₆)



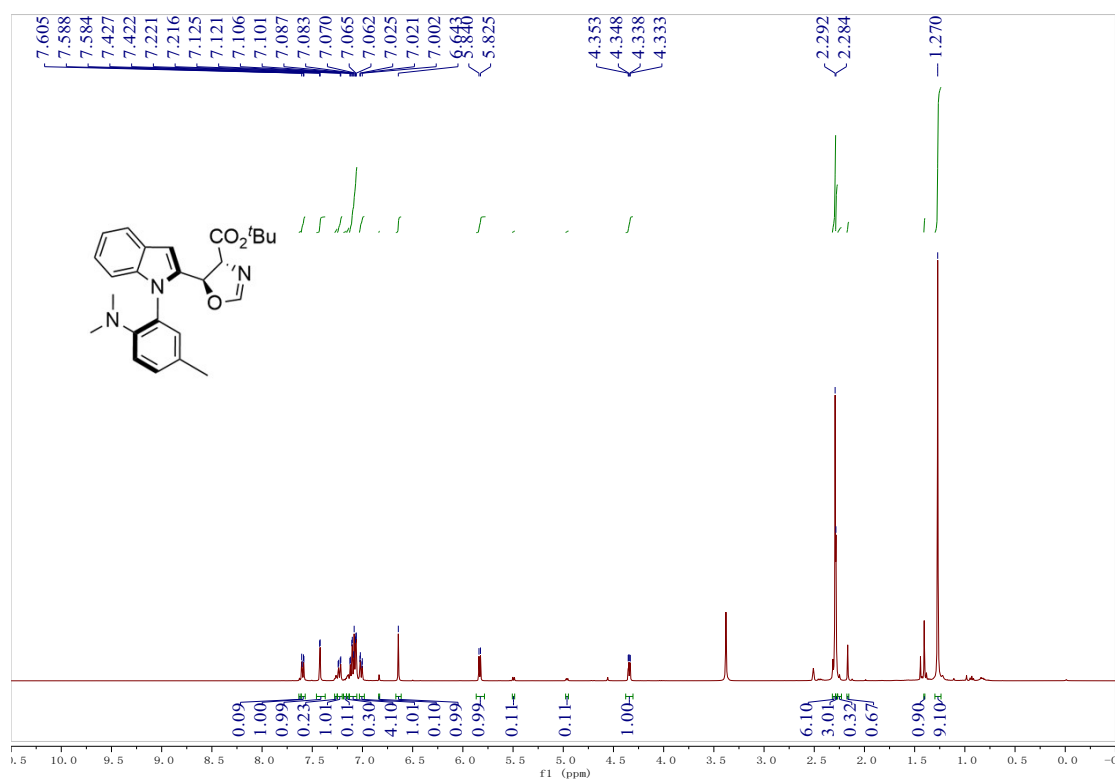
¹H NMR of 3ka (400 MHz, DMSO-d₆)



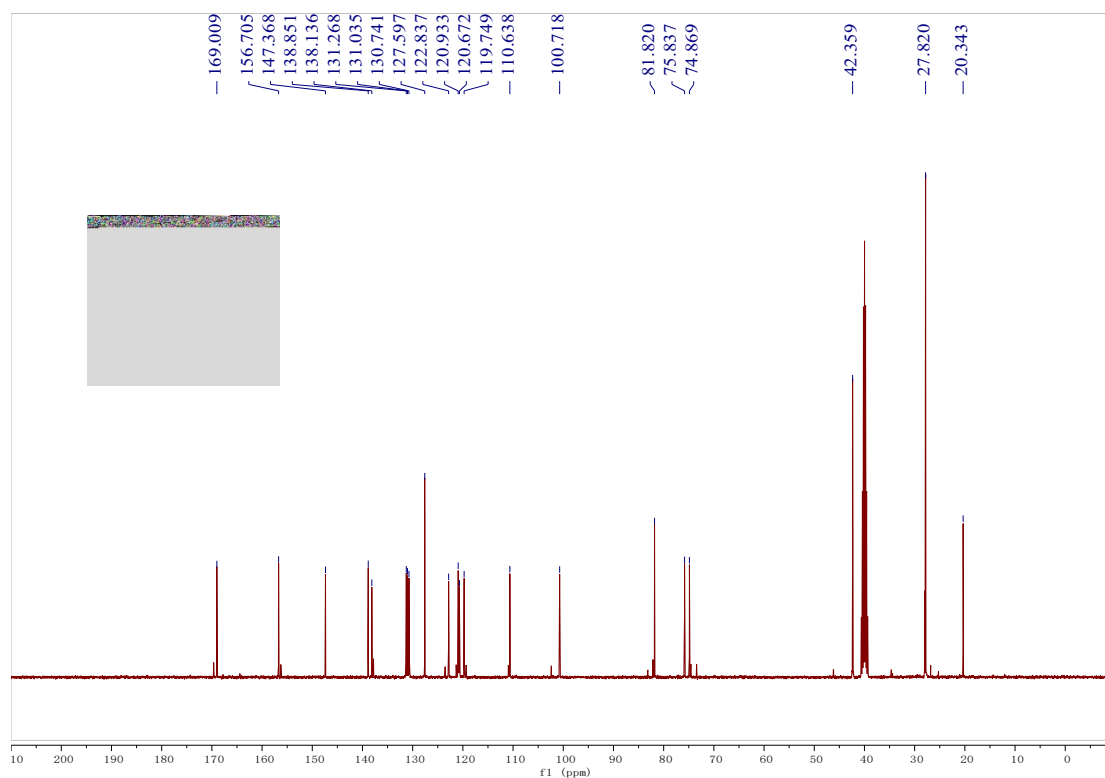
¹³C NMR of 3ka (100 MHz, DMSO-d₆)



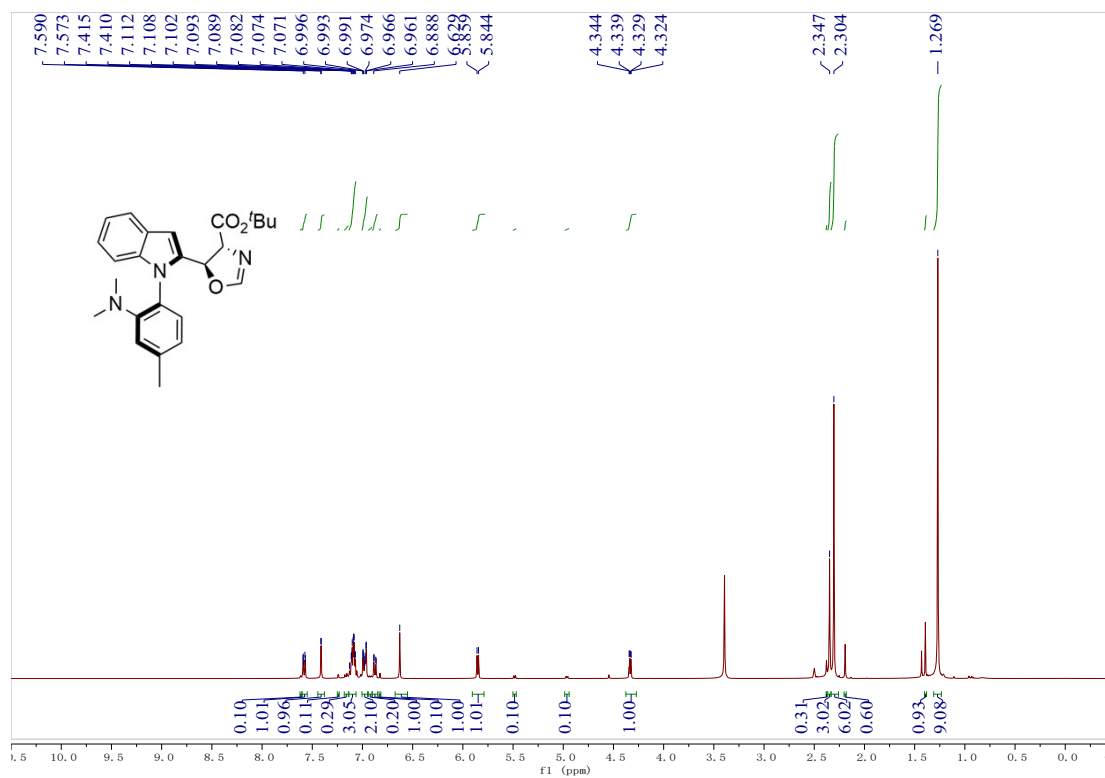
¹H NMR of 3la (400 MHz, DMSO-d₆)



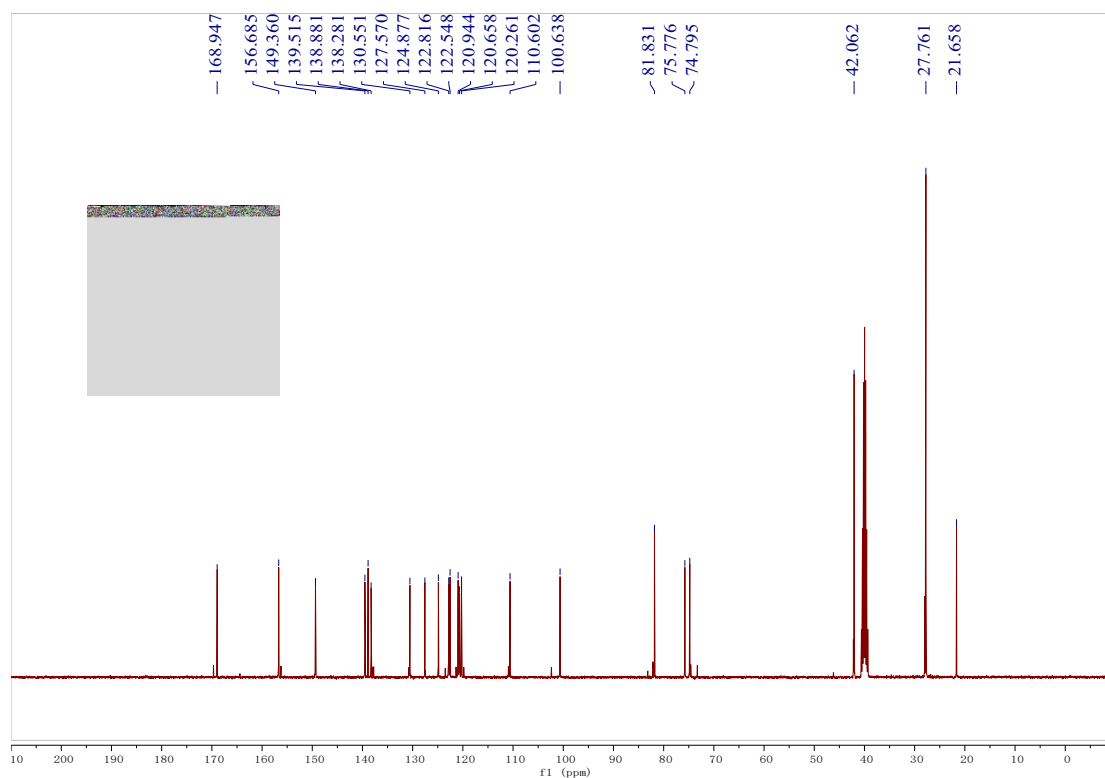
¹³C NMR of 3la (100 MHz, DMSO-d₆)



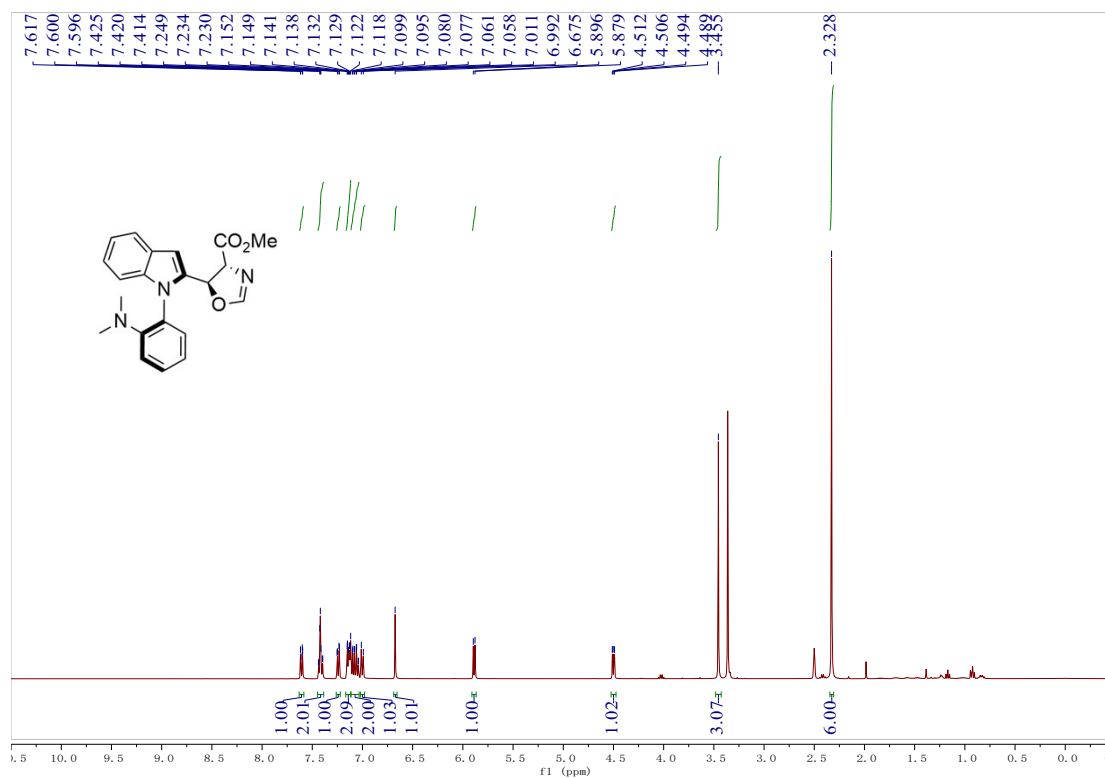
¹H NMR of 3ma (400 MHz, DMSO-d₆)



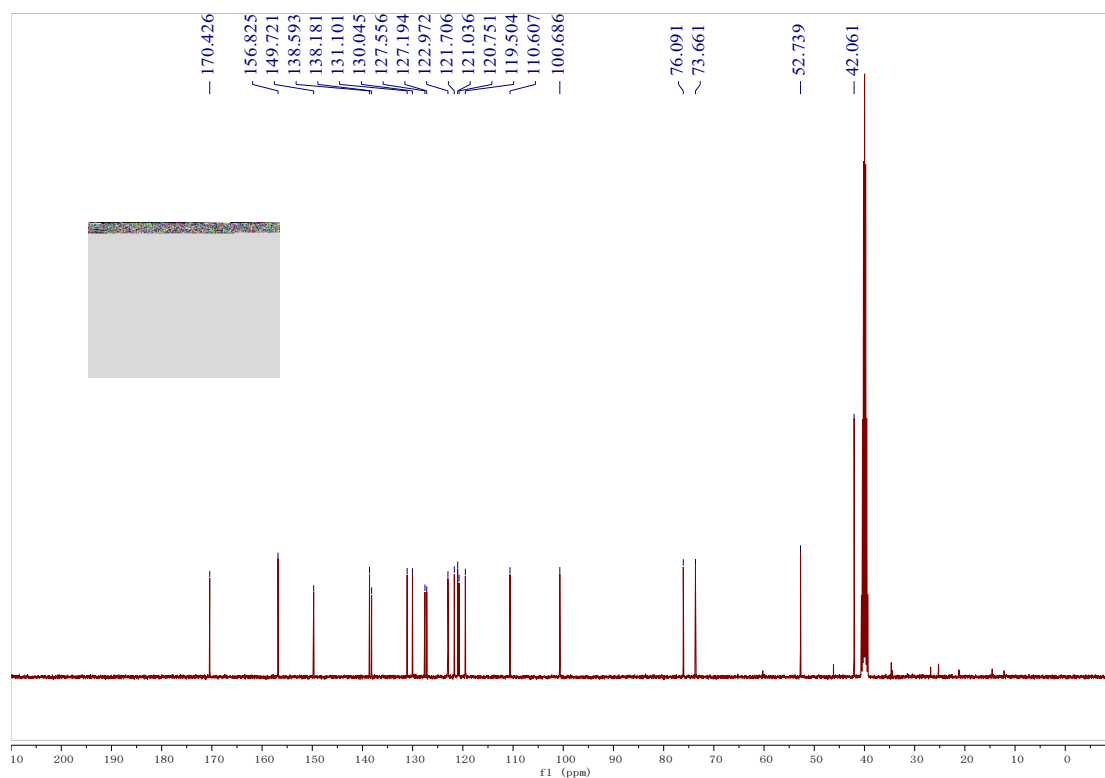
¹³C NMR of 3ma (100 MHz, DMSO-d₆)



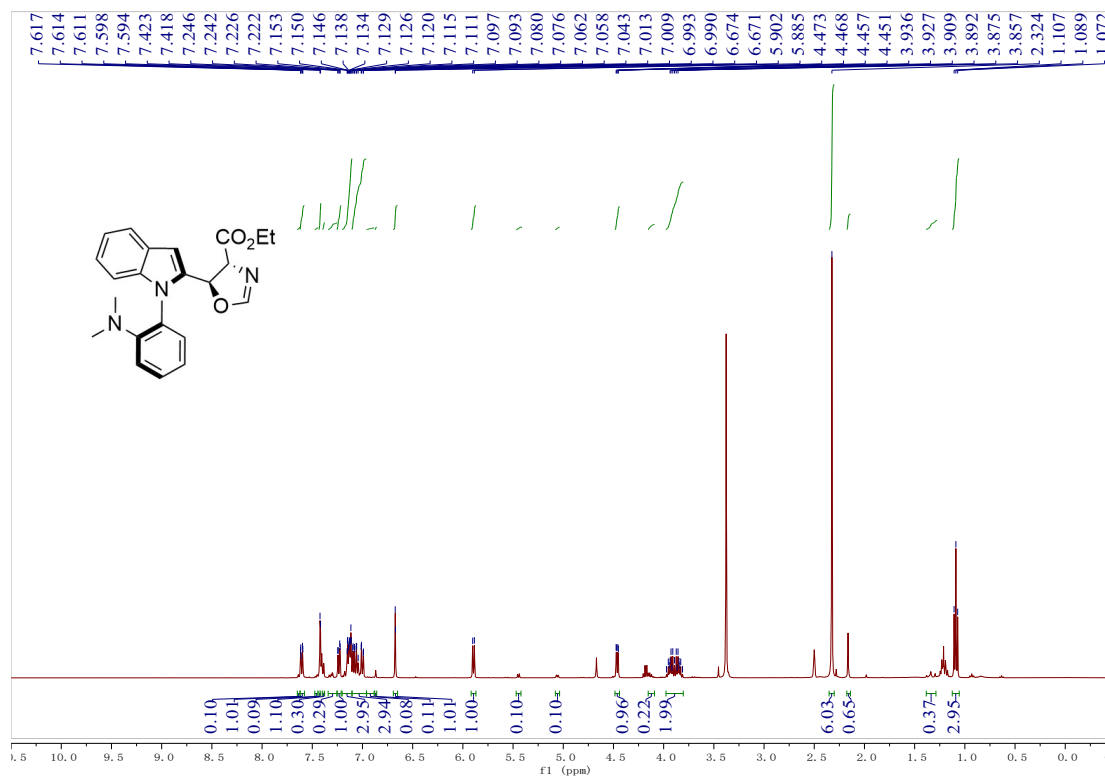
¹H NMR of 3ab (400 MHz, DMSO-d₆)



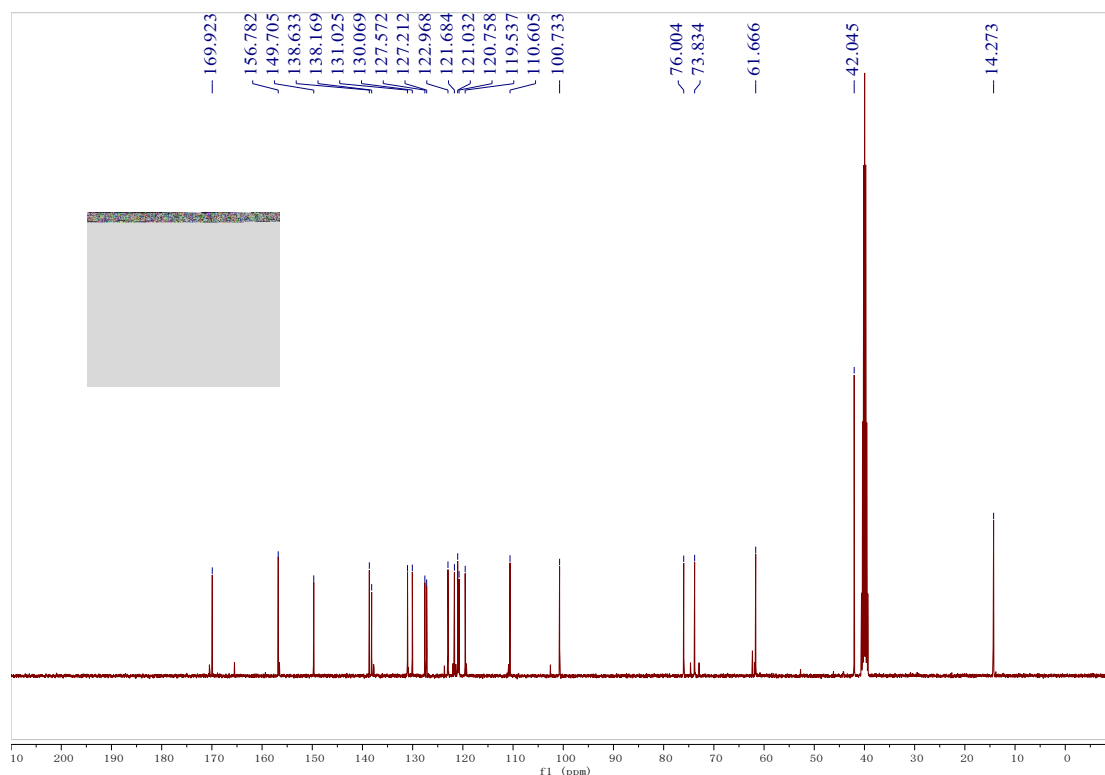
¹³C NMR of 3ab (100 MHz, DMSO-d₆)



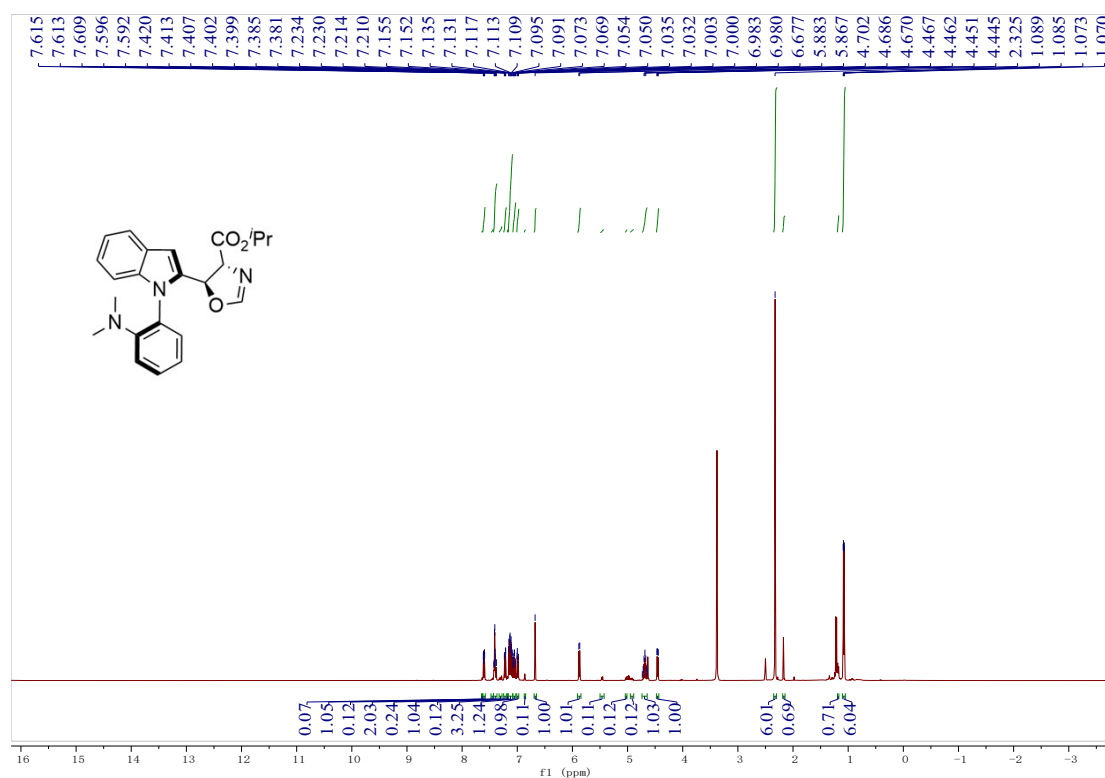
¹H NMR of 3ac (400 MHz, DMSO-d₆)



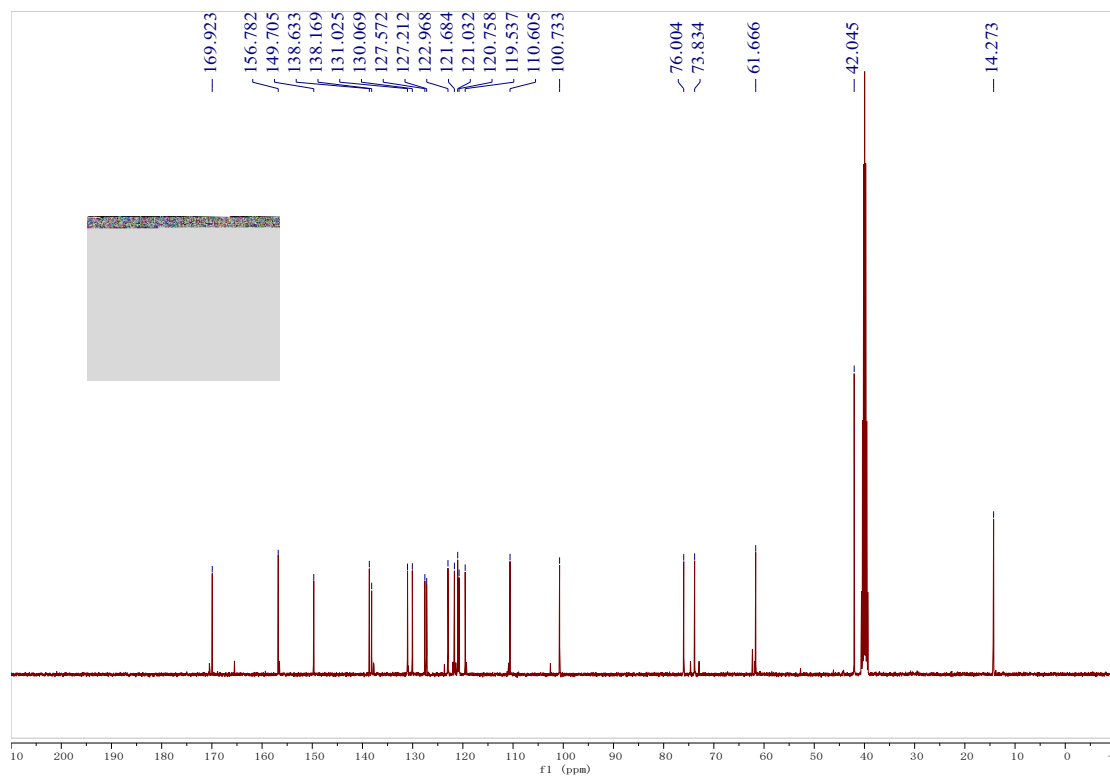
¹³C NMR of 3ac (100 MHz, DMSO-d₆)



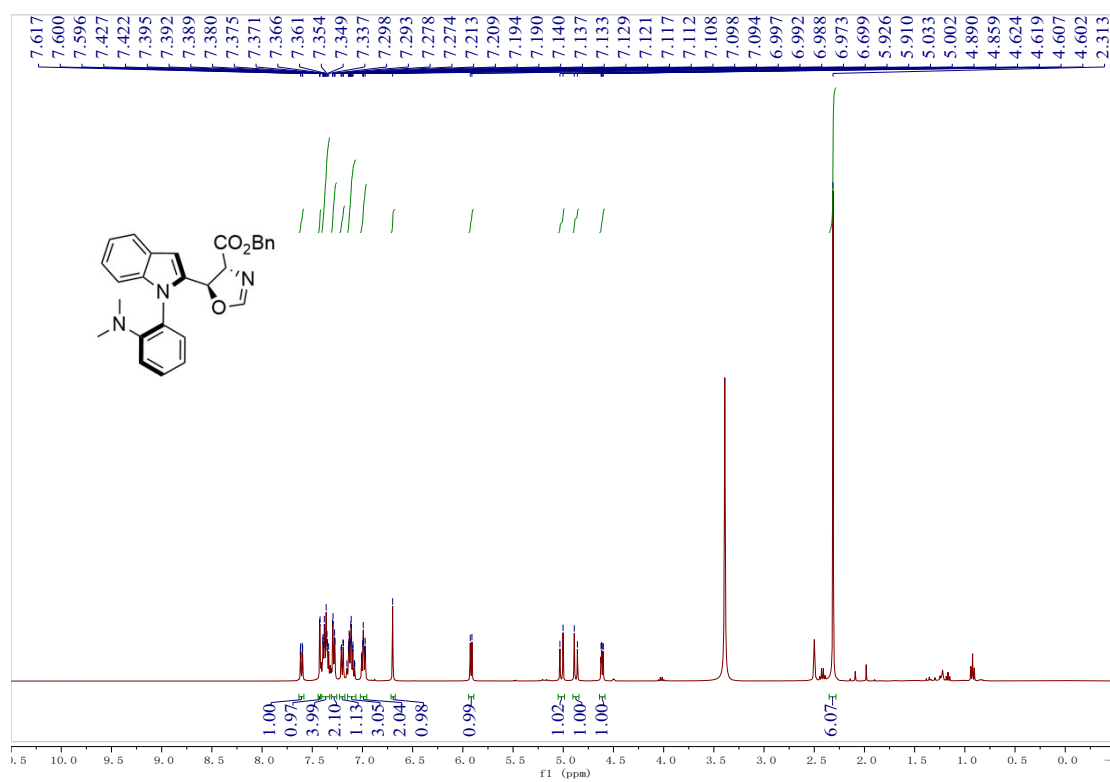
¹H NMR of 3ad (400 MHz, DMSO-d₆)



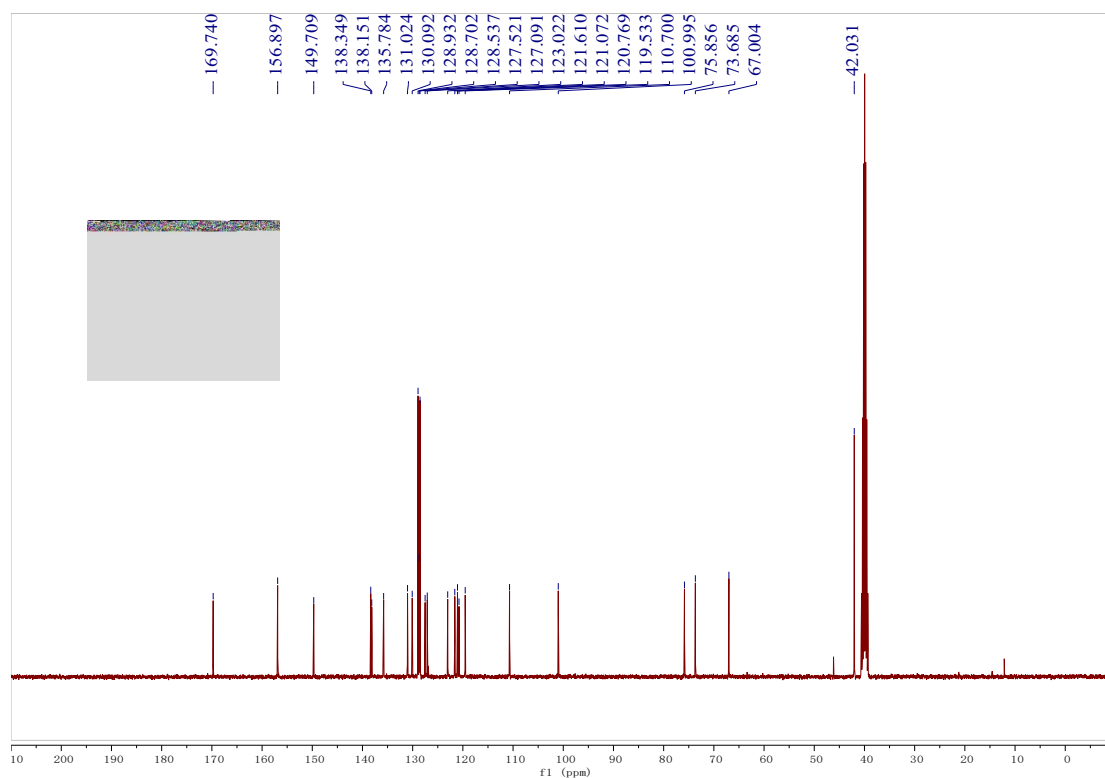
¹³C NMR of 3ad (100 MHz, DMSO-d₆)



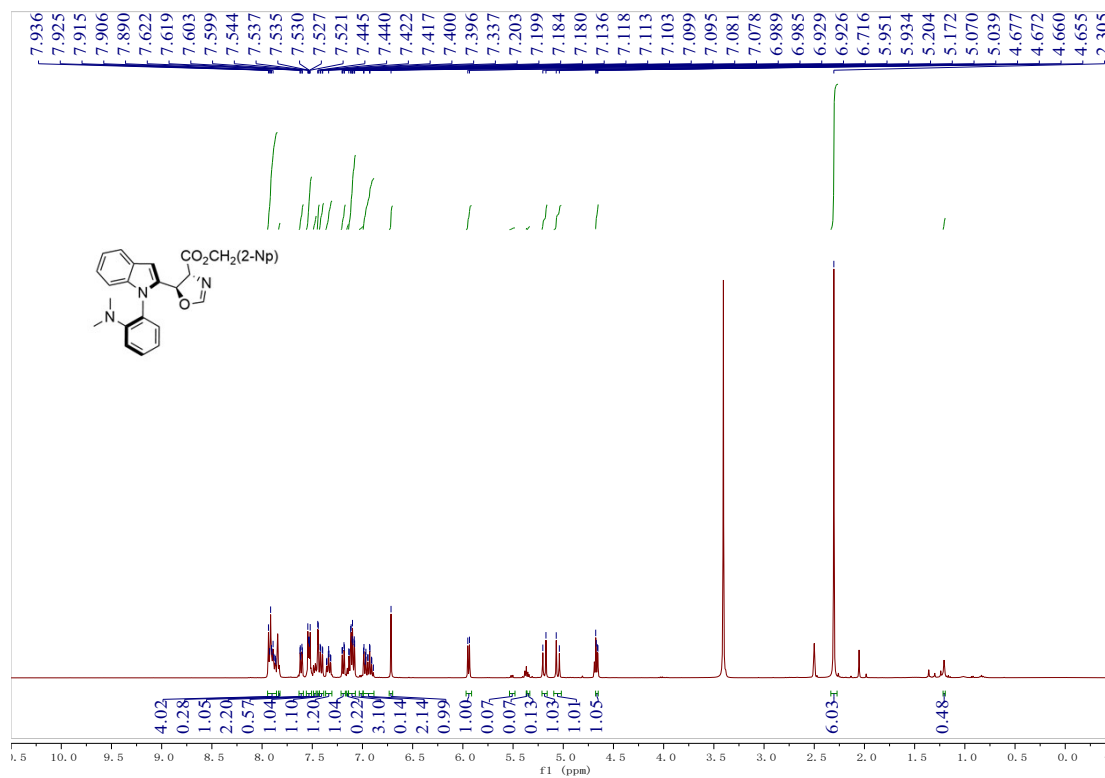
¹H NMR of 3ae (400 MHz, DMSO-d₆)



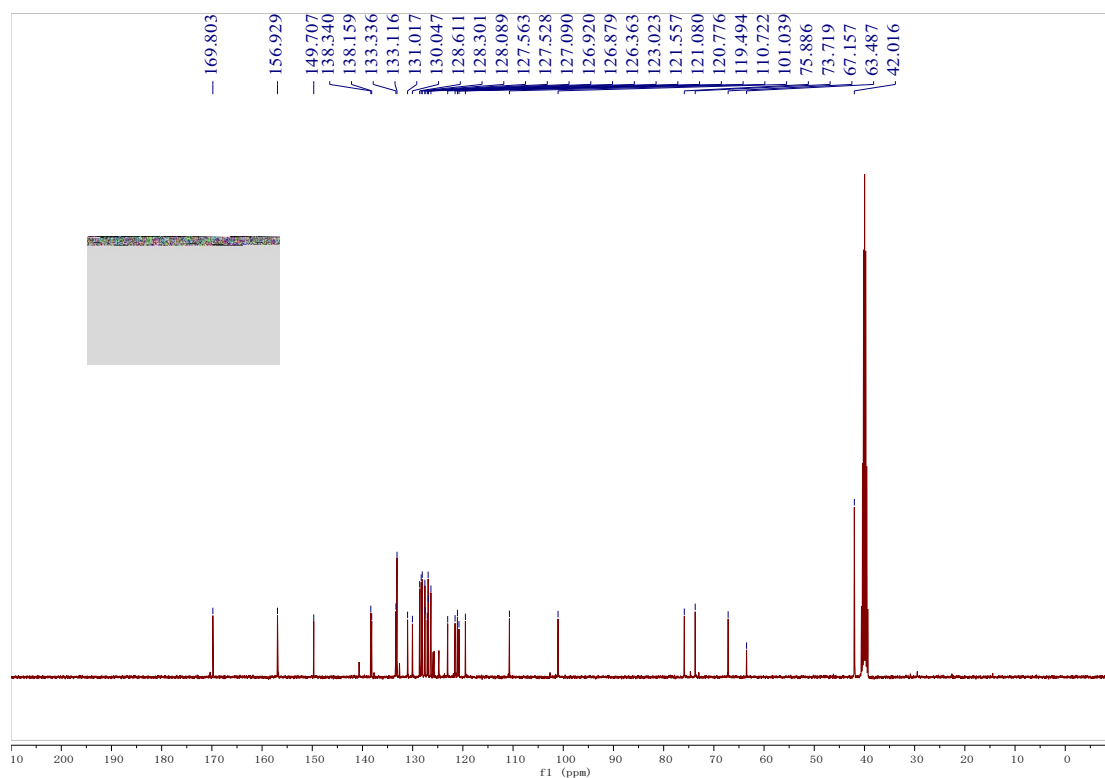
¹³C NMR of 3ae (100 MHz, DMSO-*d*₆)



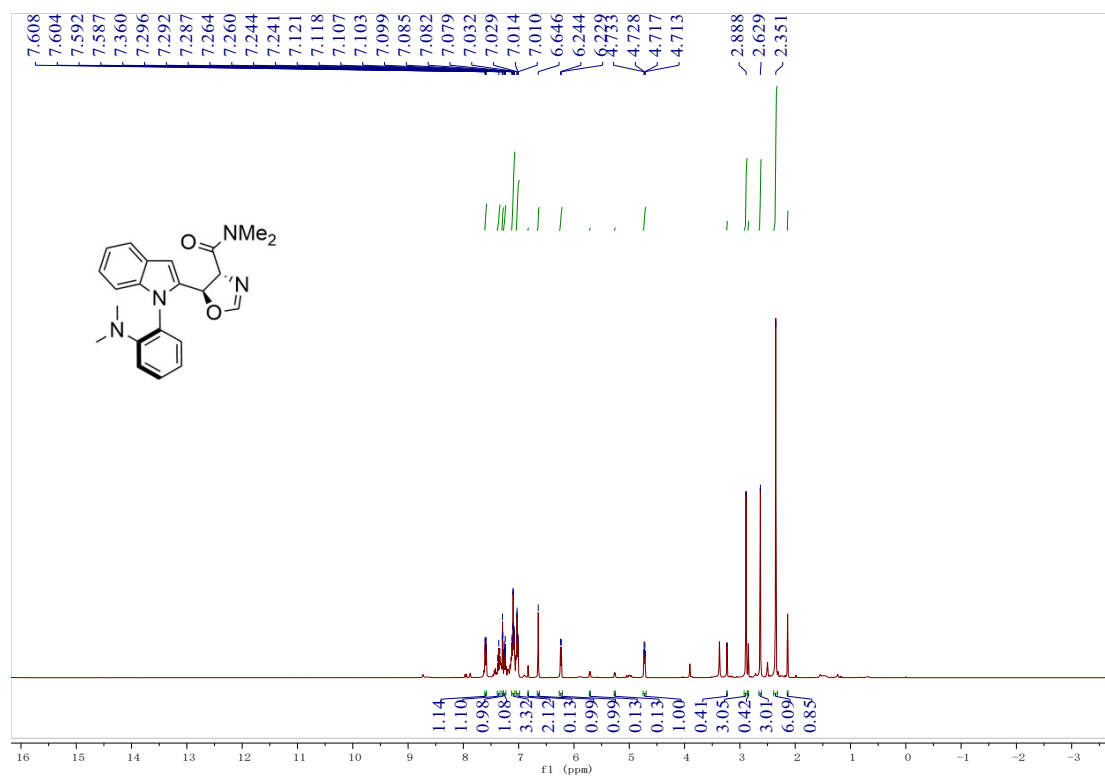
¹H NMR of 3af (400 MHz, DMSO-*d*₆)



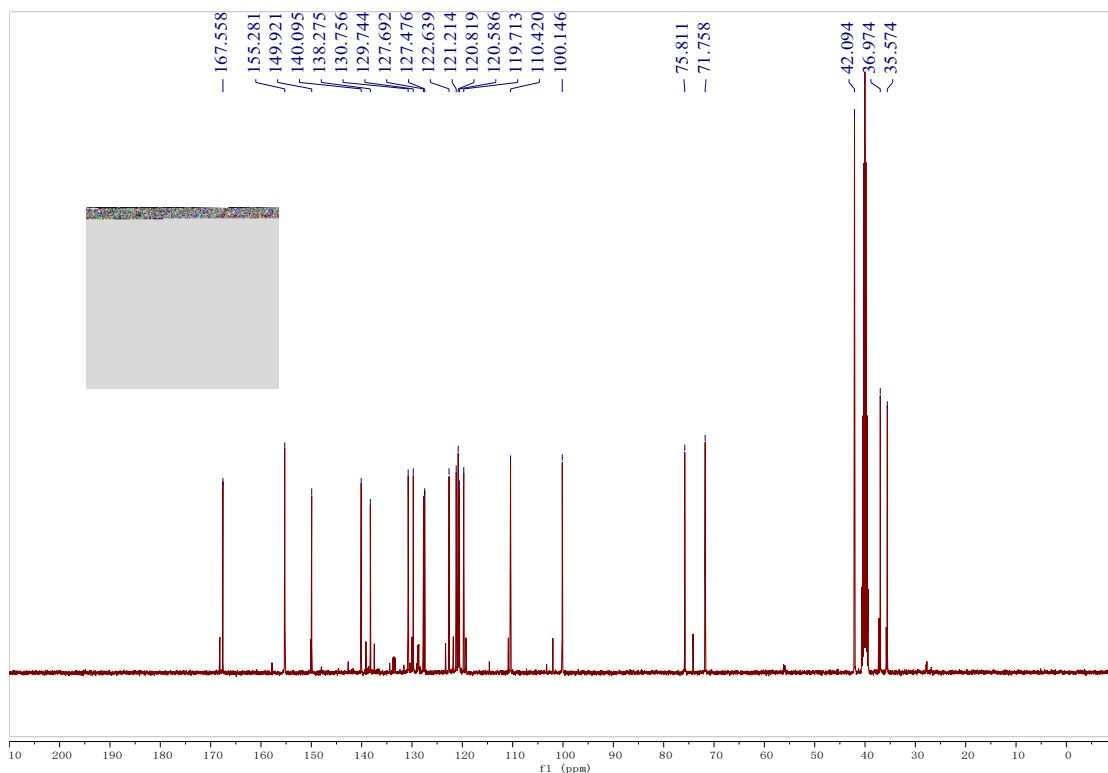
¹³C NMR of 3af (100 MHz, DMSO-d₆)



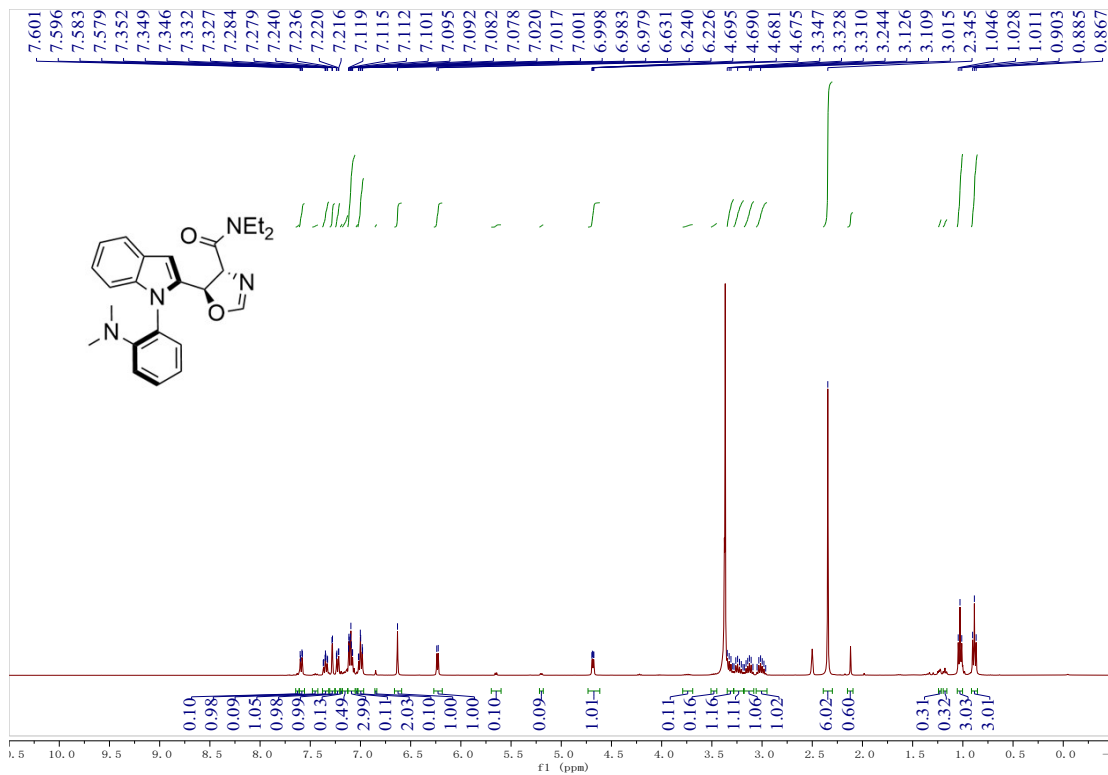
¹H NMR of 5aa (400 MHz, DMSO-d₆)



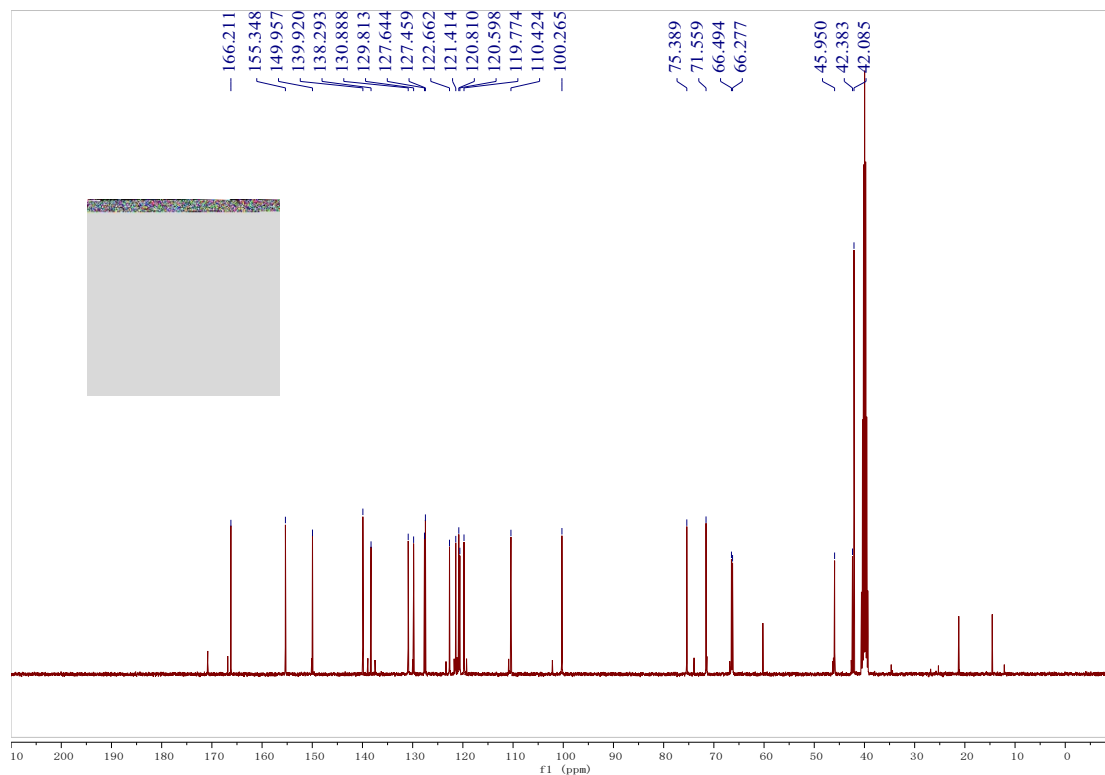
¹³C NMR of 5aa (100 MHz, DMSO-d₆)



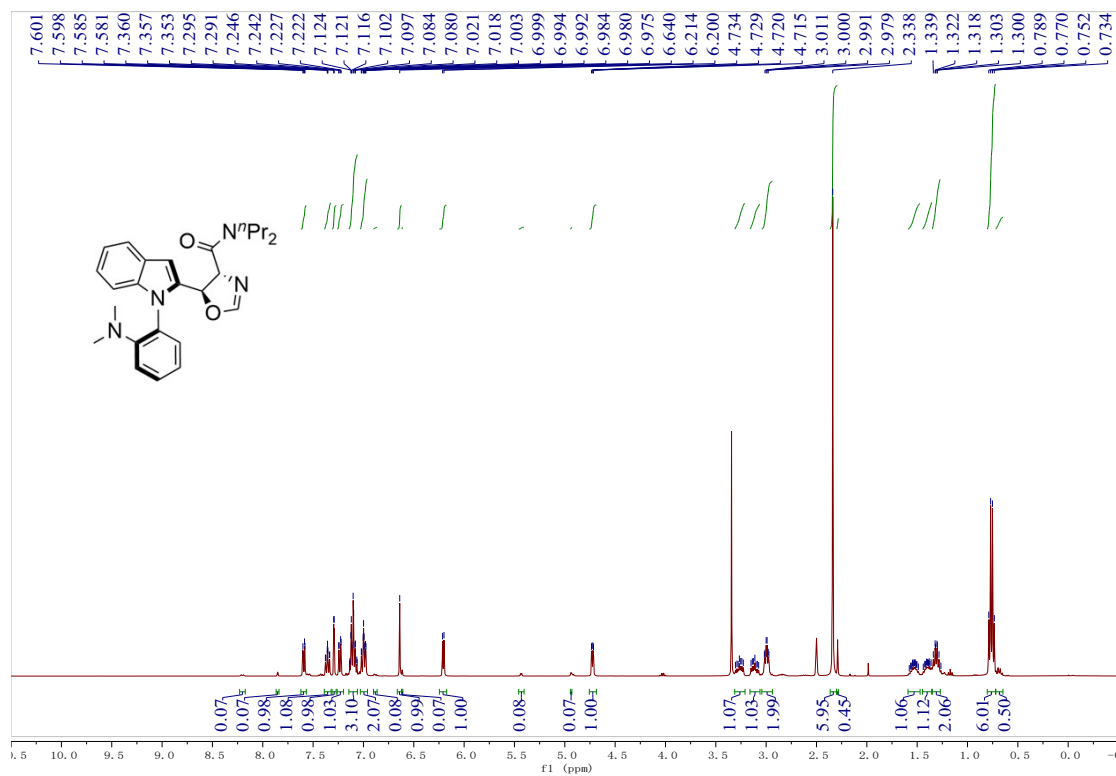
¹H NMR of 5ab (400 MHz, DMSO-d₆)



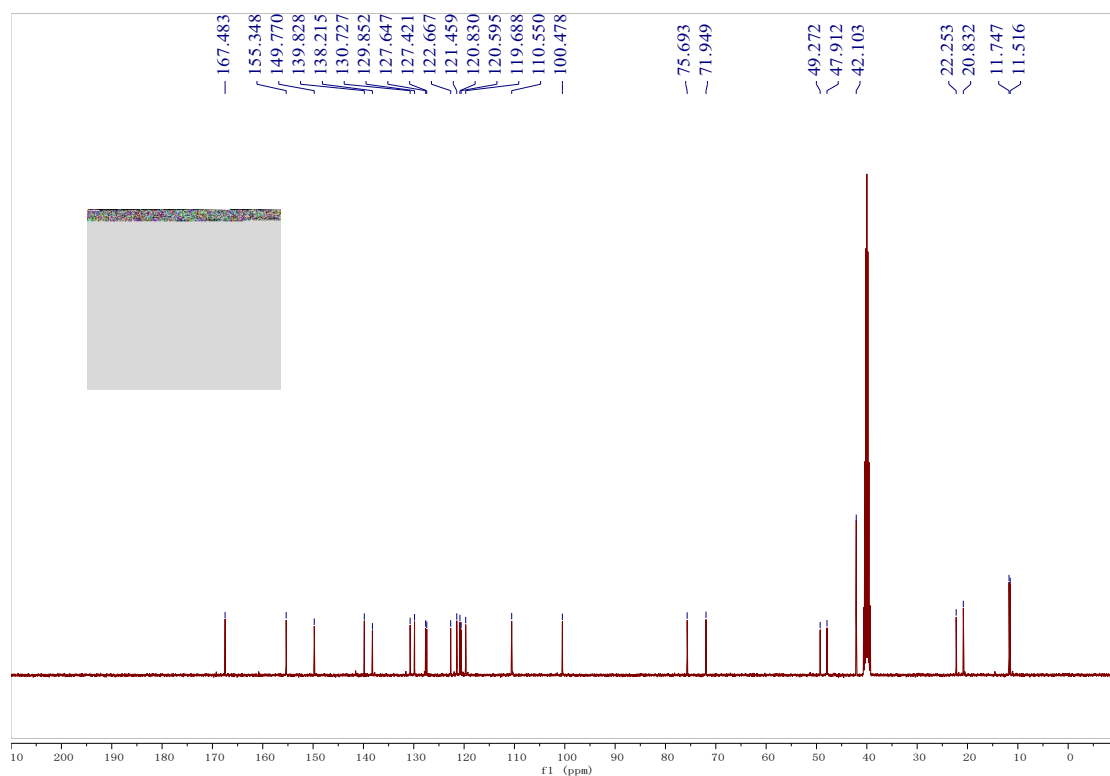
¹³C NMR of 5ab (100 MHz, DMSO-d₆)



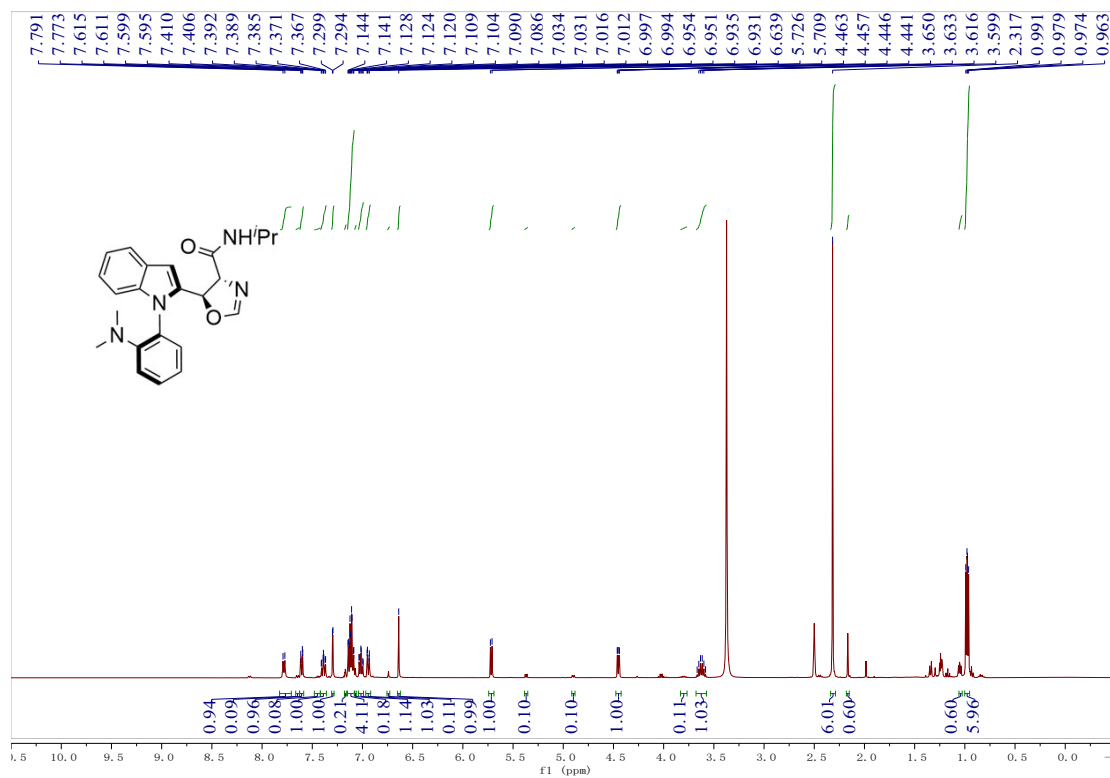
¹H NMR of 5ac (400 MHz, DMSO-d₆)



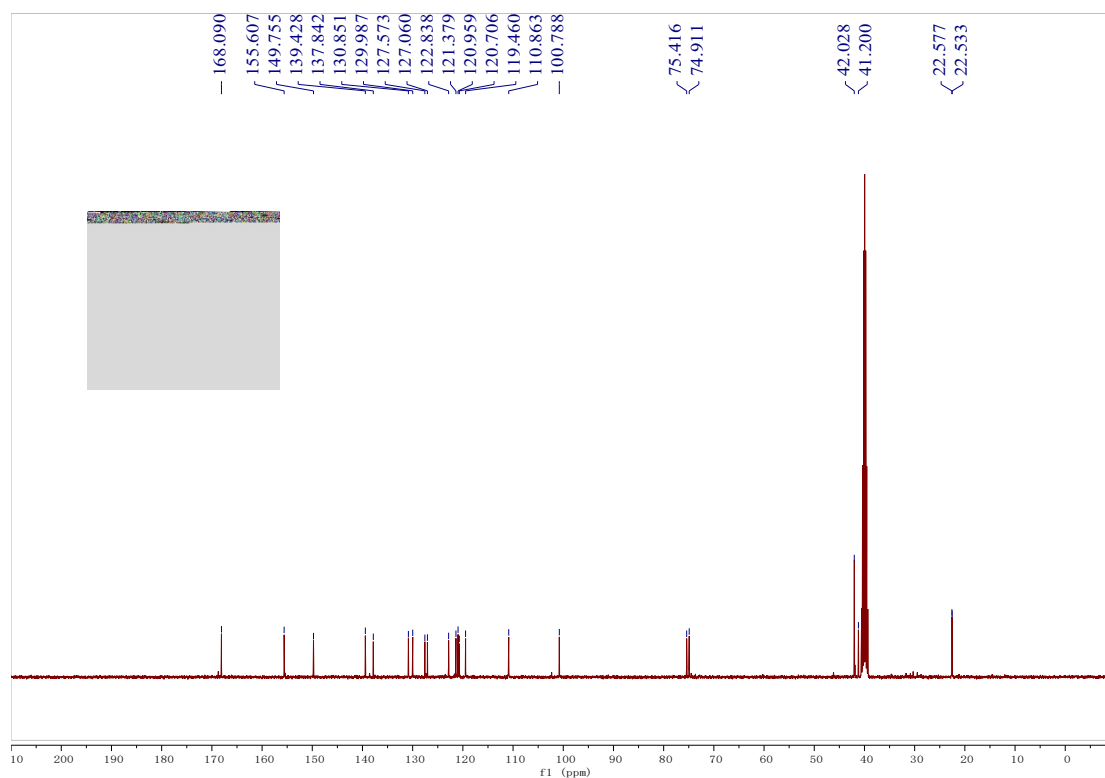
¹³C NMR of 5ac (100 MHz, DMSO-d₆)



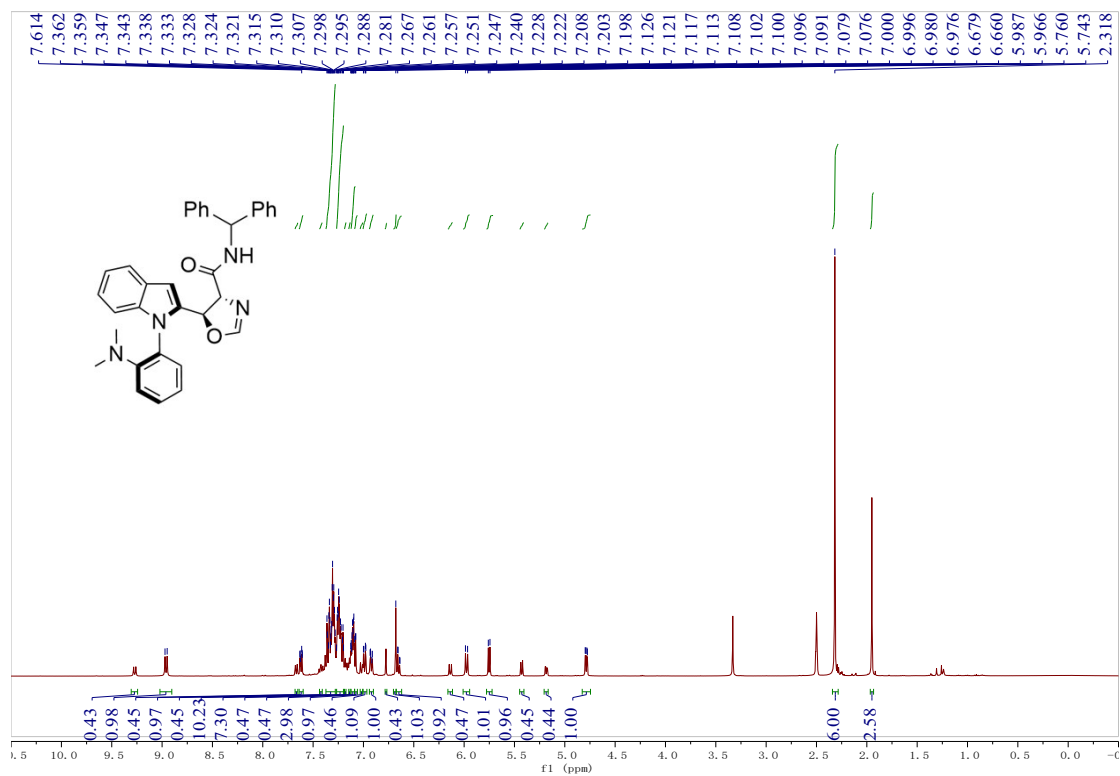
¹H NMR of 5ad (400 MHz, DMSO-d₆)



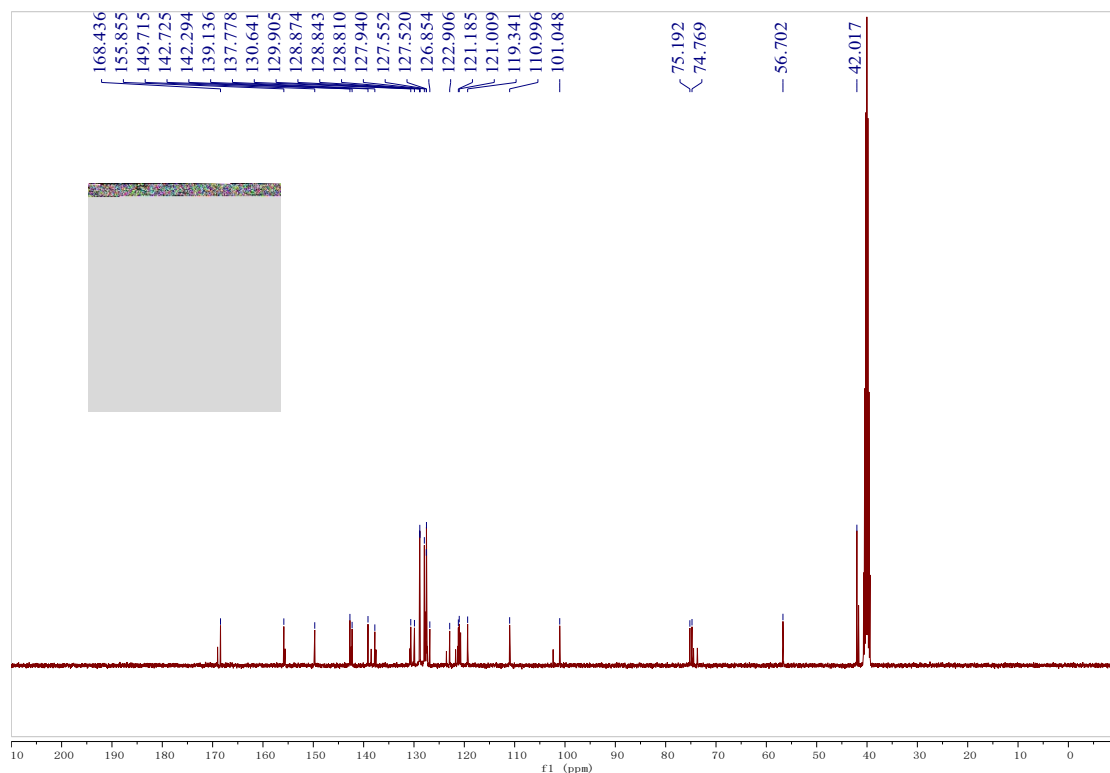
¹³C NMR of 5ad (100 MHz, DMSO-d₆)



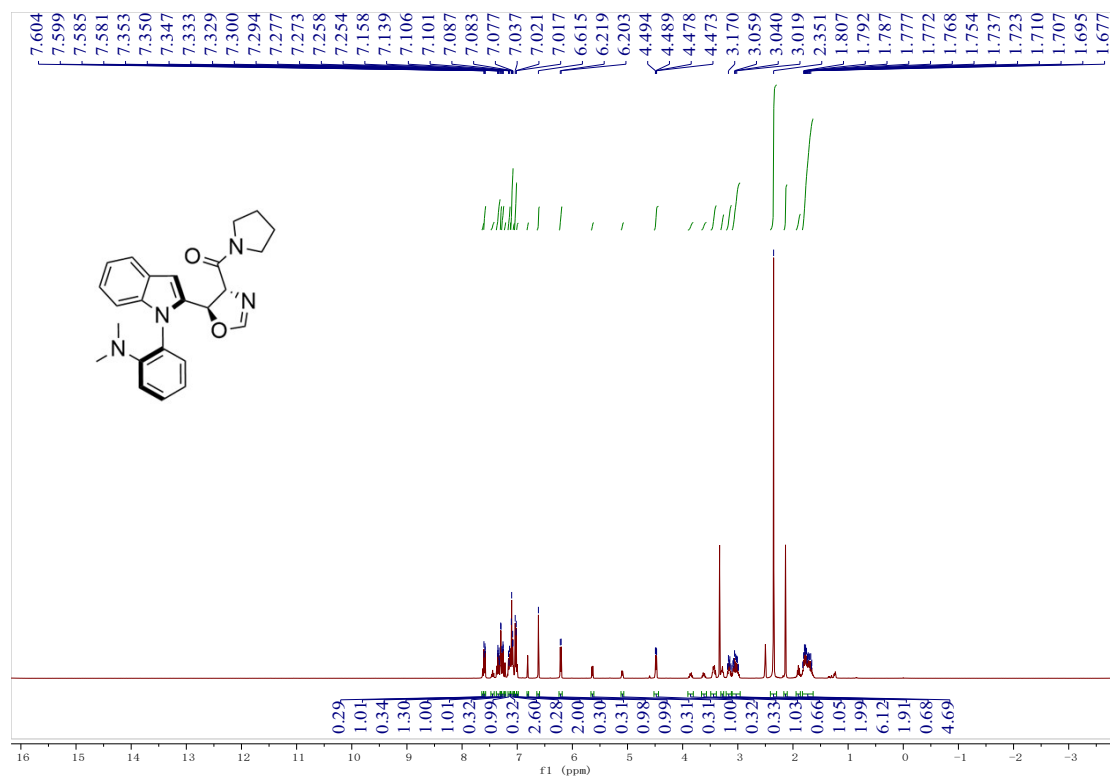
¹H NMR of 5ae (400 MHz, DMSO-d₆)



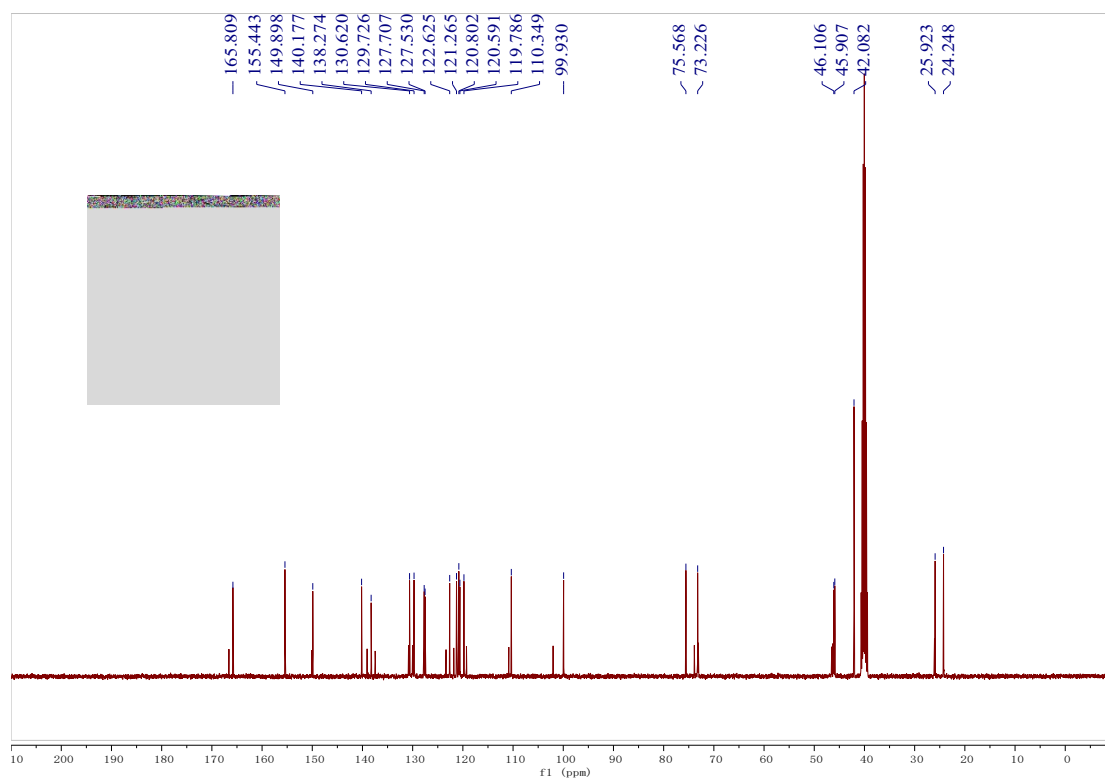
¹³C NMR of 5ae (100 MHz, DMSO-d₆)



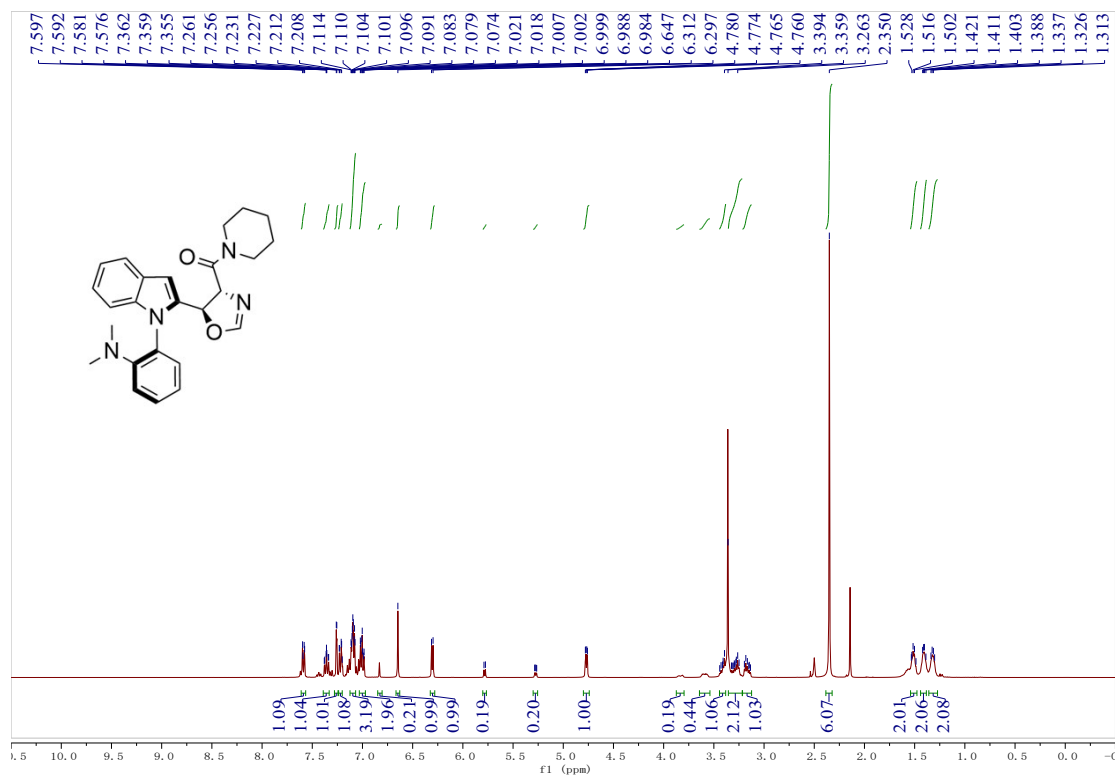
¹H NMR of 5af (400 MHz, DMSO-d₆)



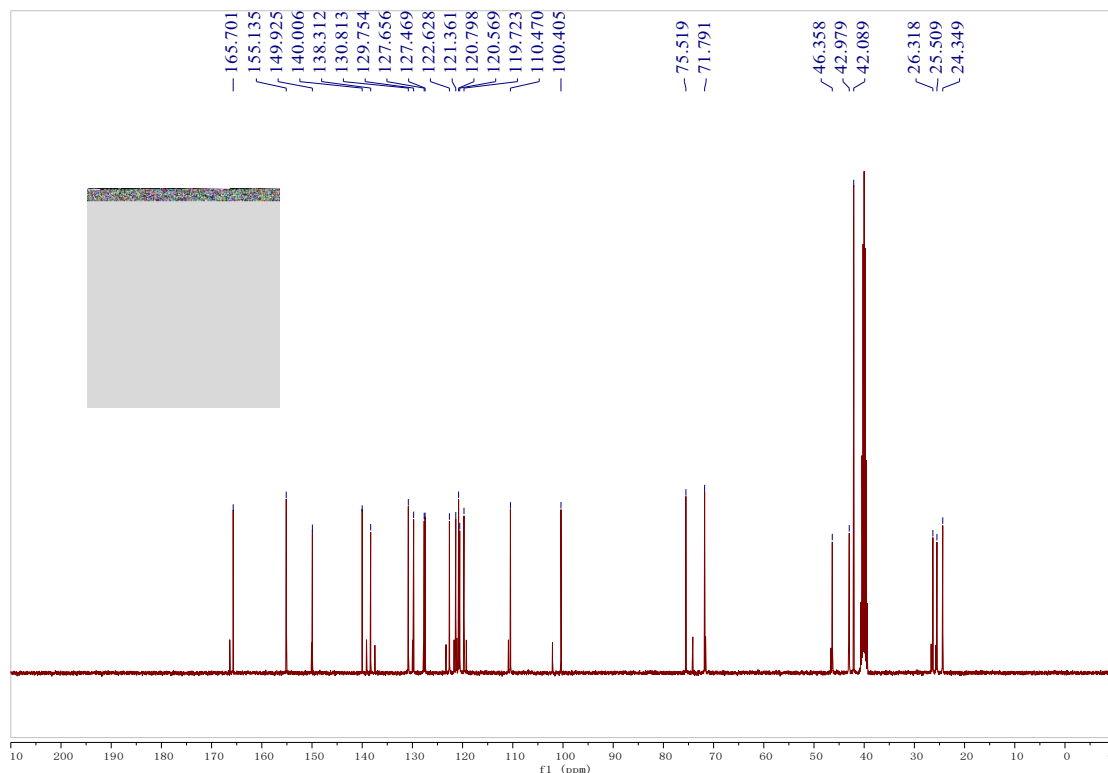
¹³C NMR of 5af (100 MHz, DMSO-d₆)



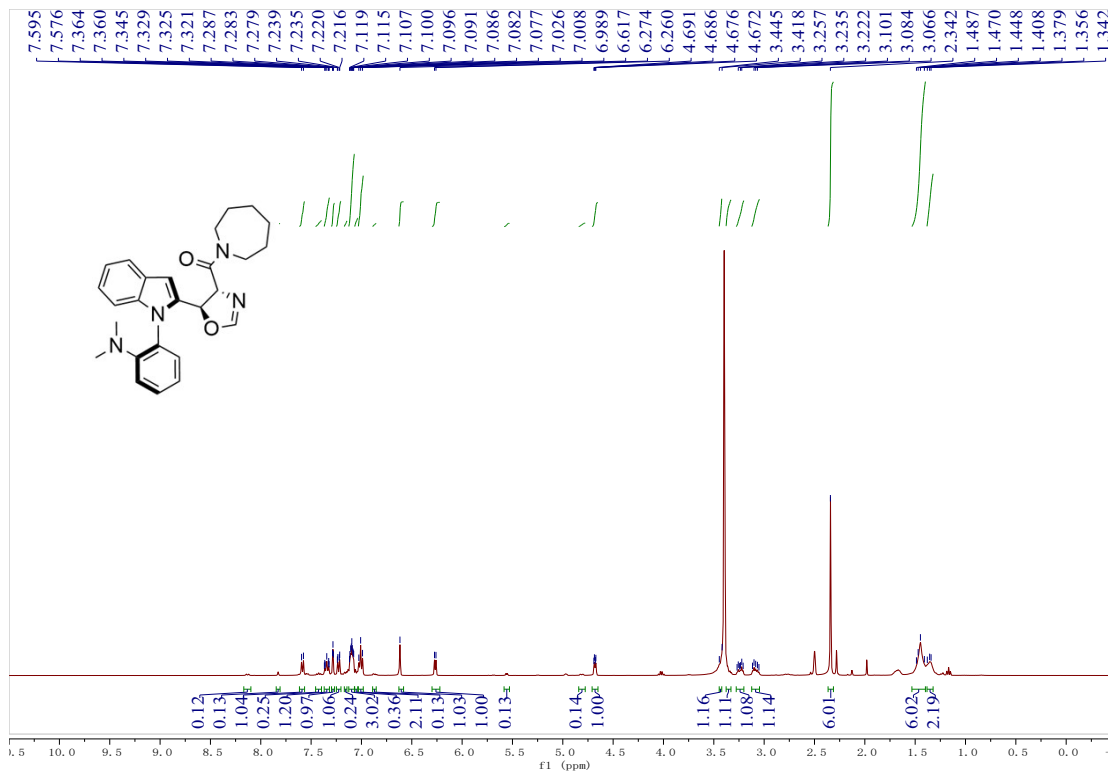
¹H NMR of 5ag (400 MHz, DMSO-d₆)



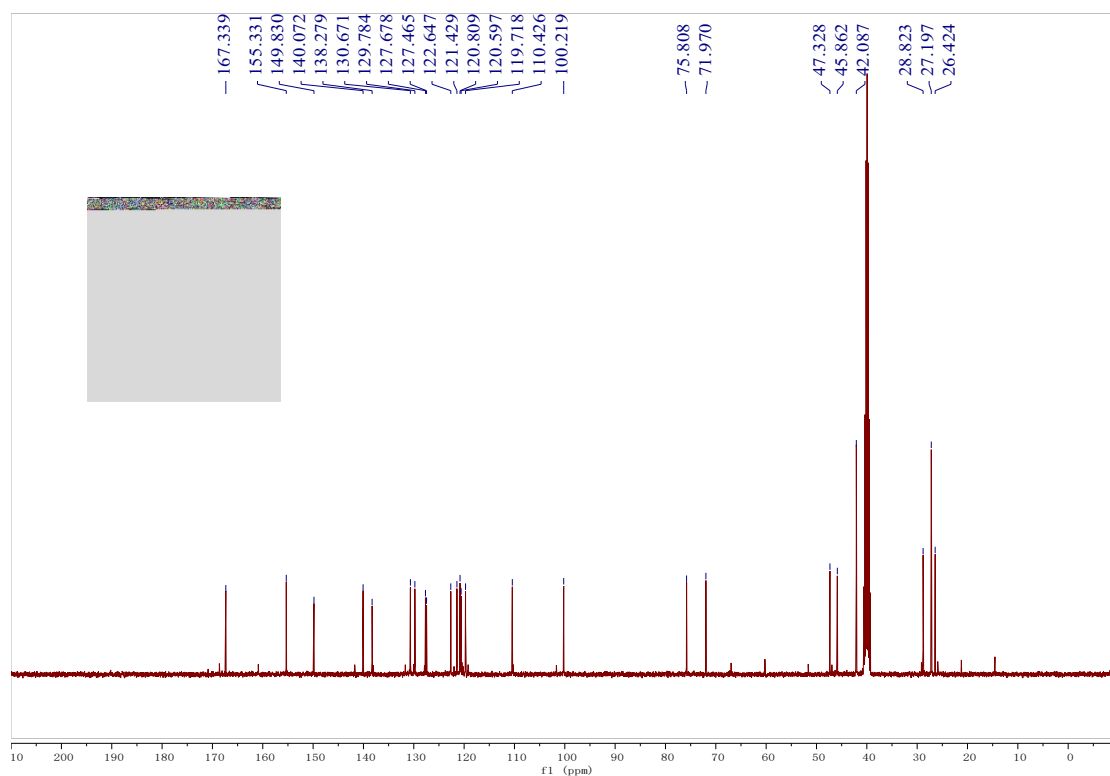
¹³C NMR of 5ag (100 MHz, DMSO-d₆)



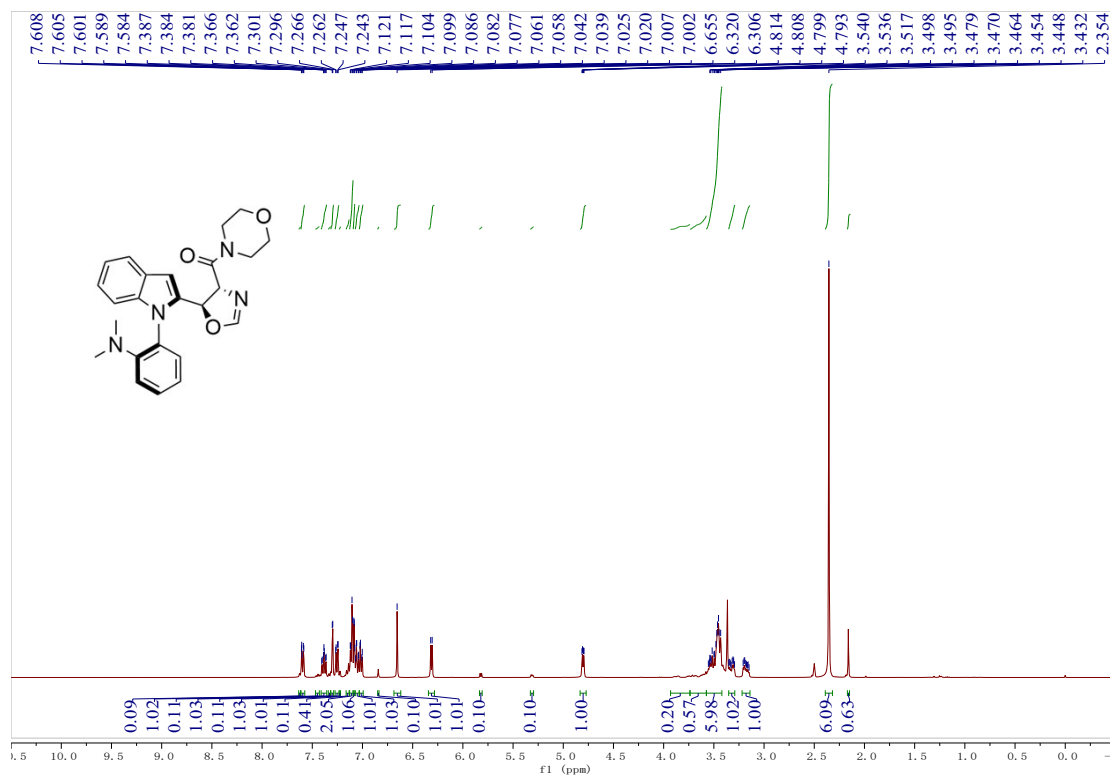
¹H NMR of 5ah (400 MHz, DMSO-d₆)



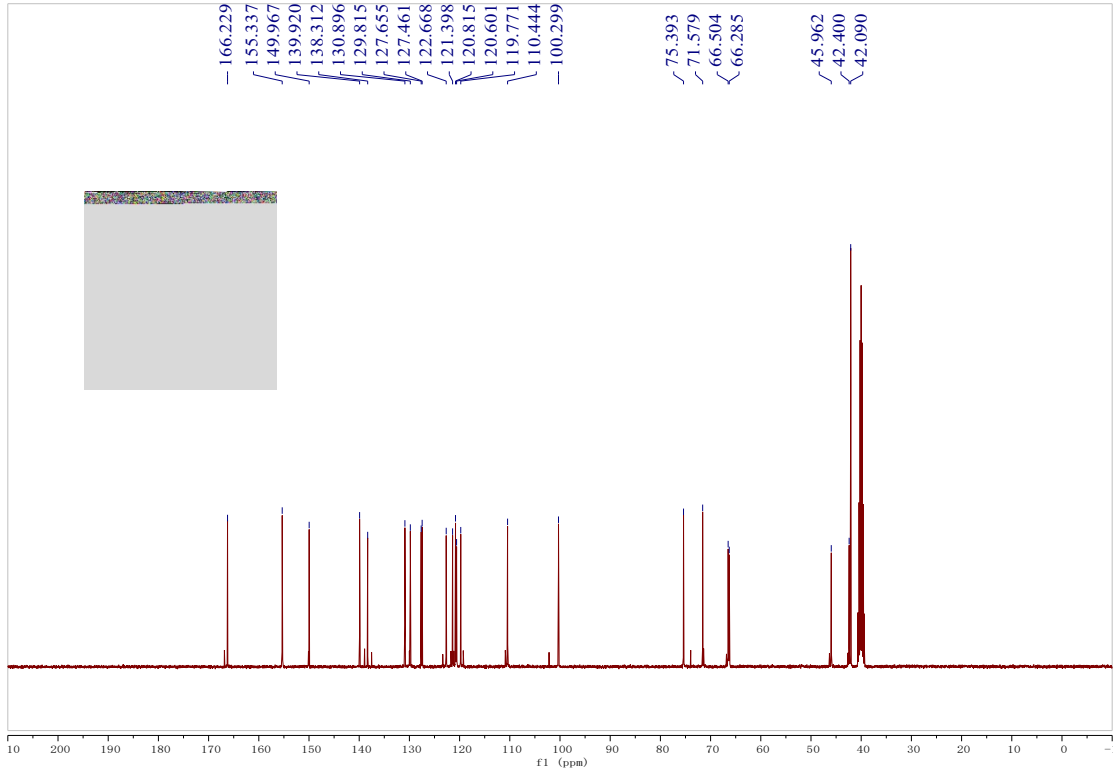
¹³C NMR of 5ah (100 MHz, DMSO-d₆)



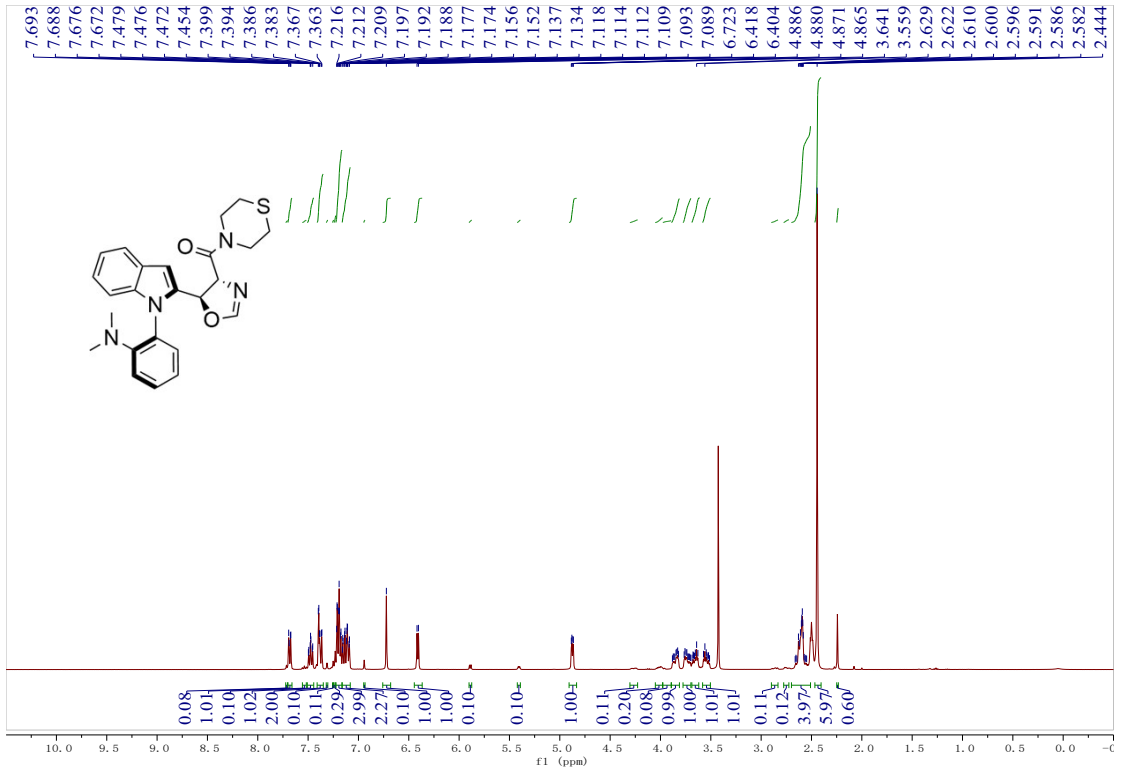
¹H NMR of 5ai (400 MHz, DMSO-d₆)



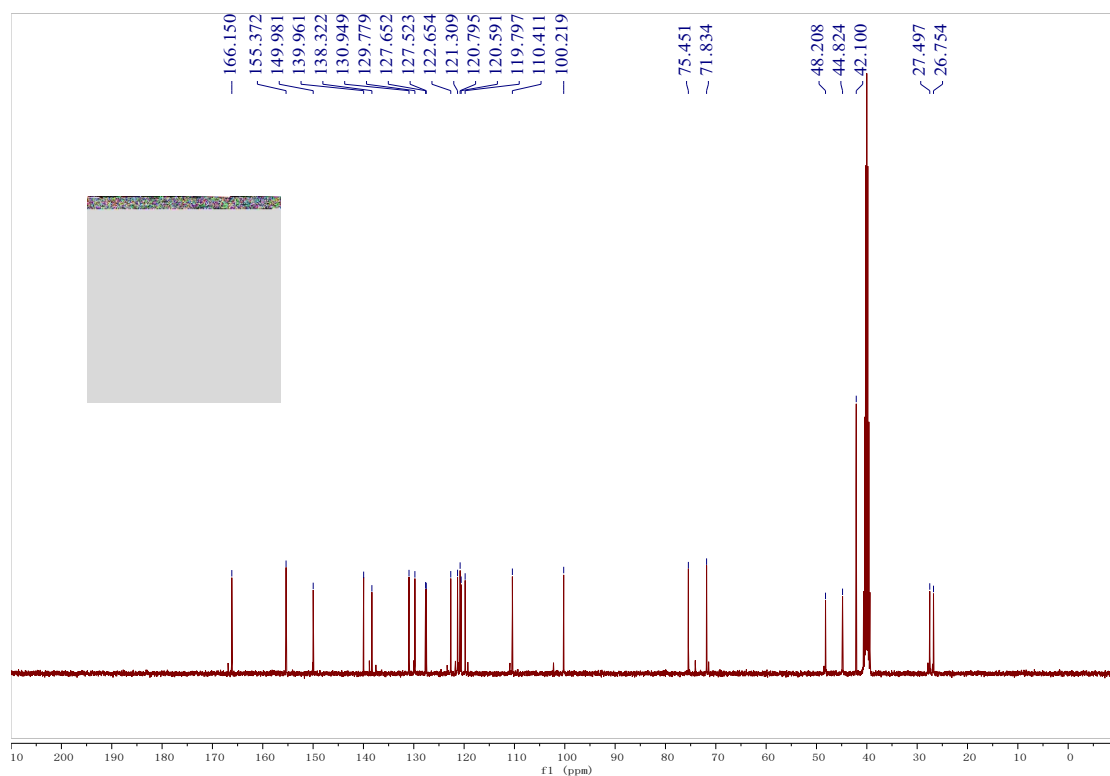
¹³C NMR of 5ai (100 MHz, DMSO-d₆)



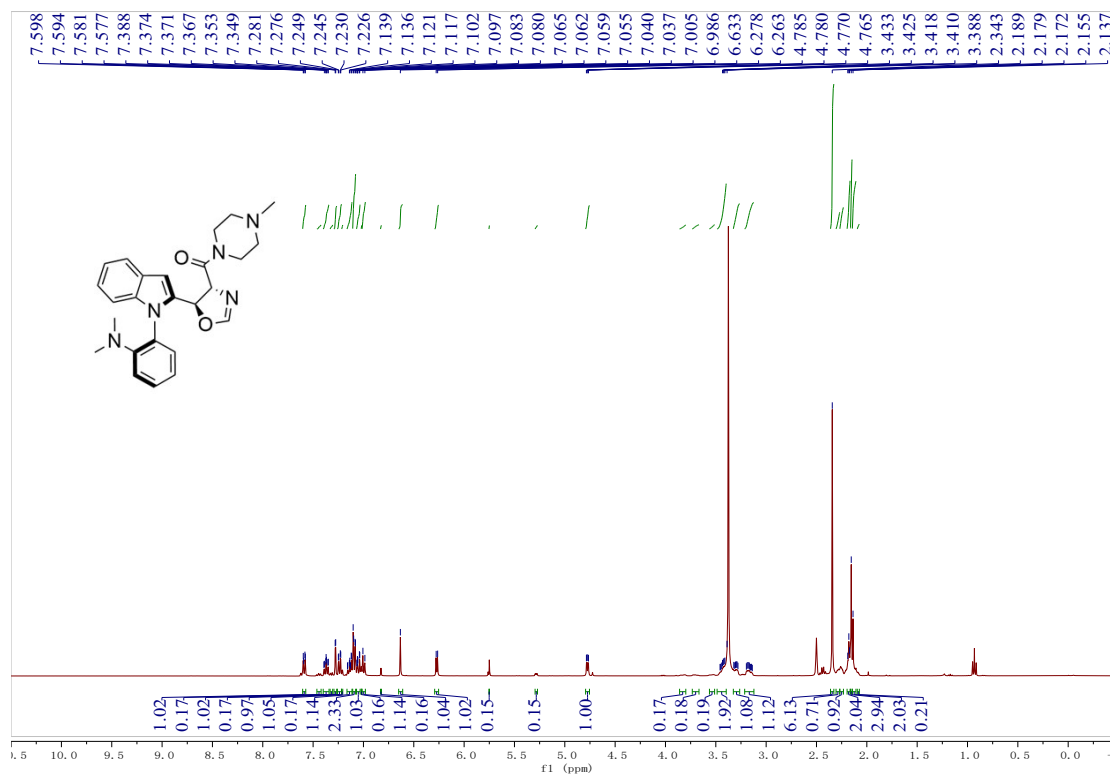
¹H NMR of 5aj (400 MHz, DMSO-d₆)

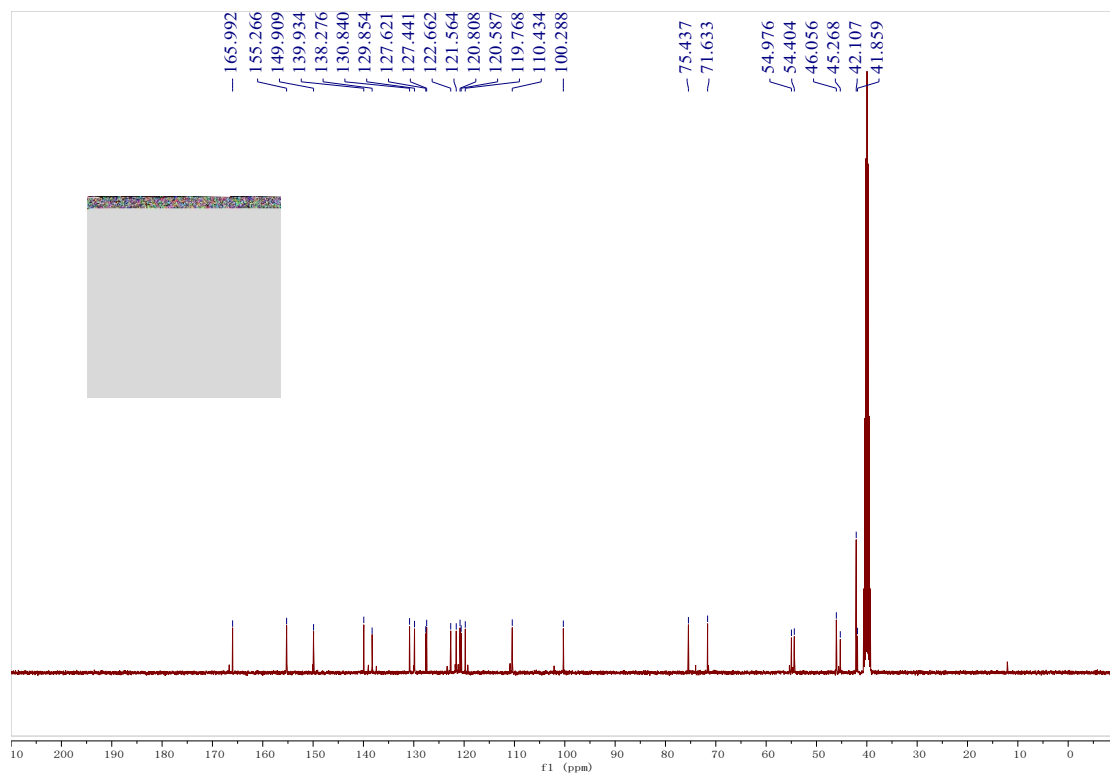


¹³C NMR of 5aj (100 MHz, DMSO-d₆)

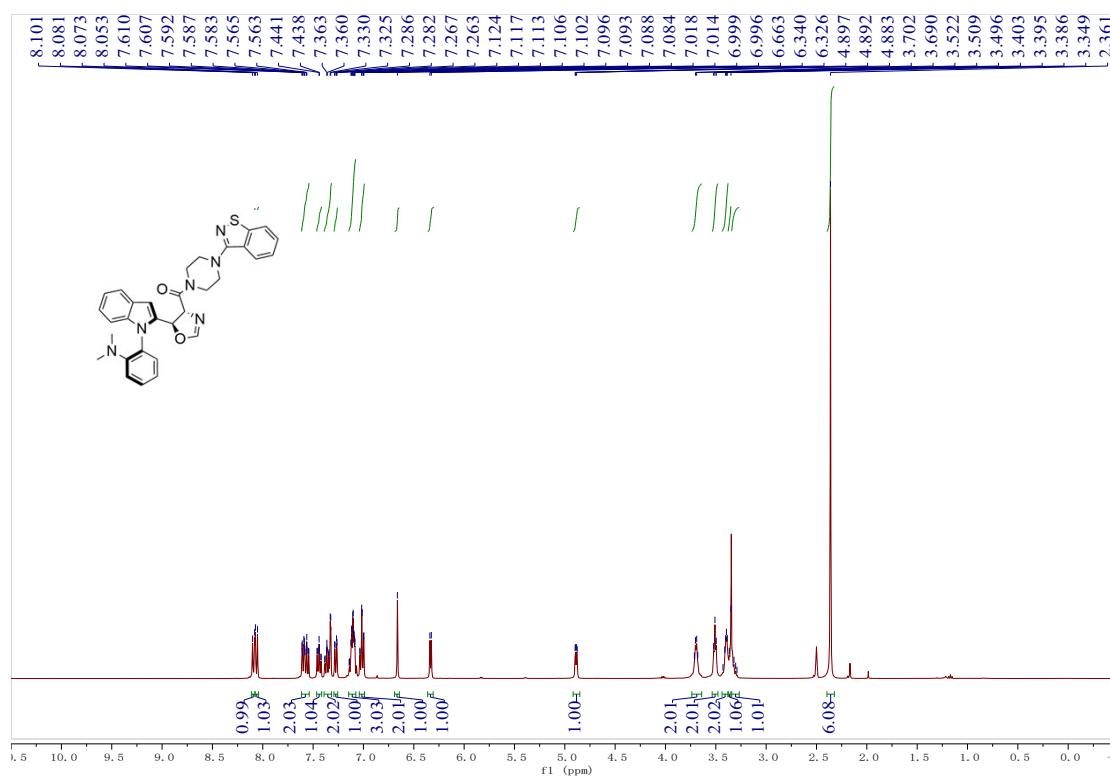


¹H NMR of 5ak (400 MHz, DMSO-d₆)

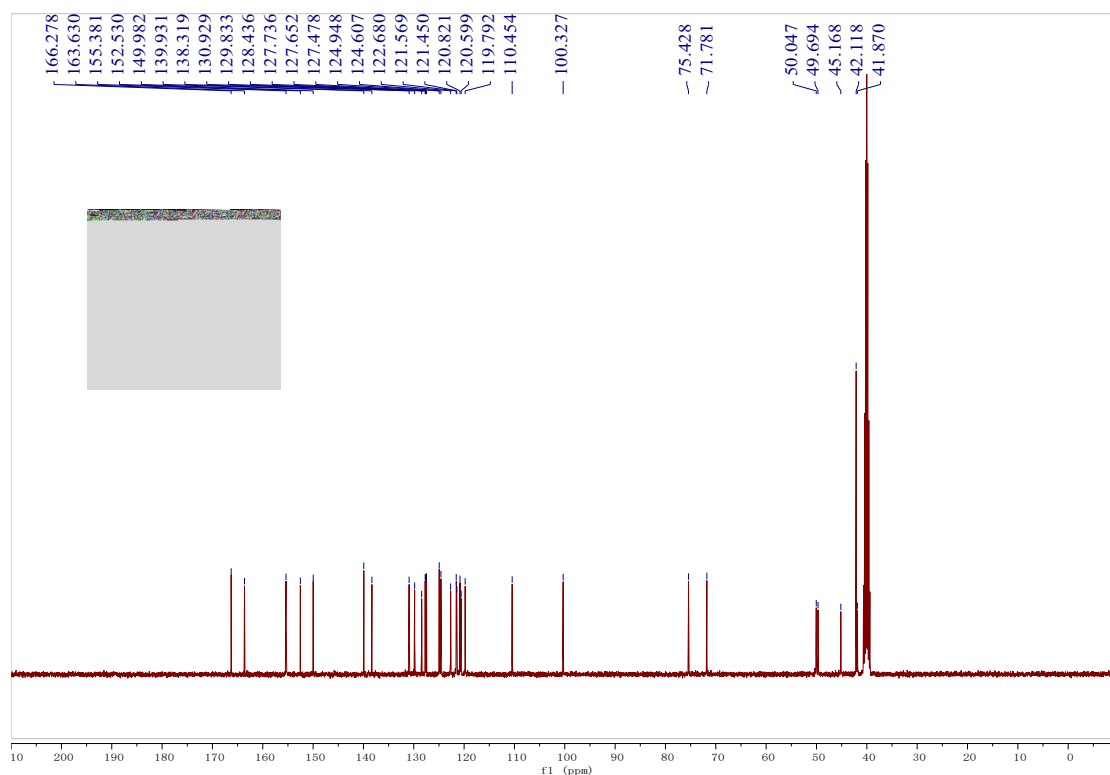




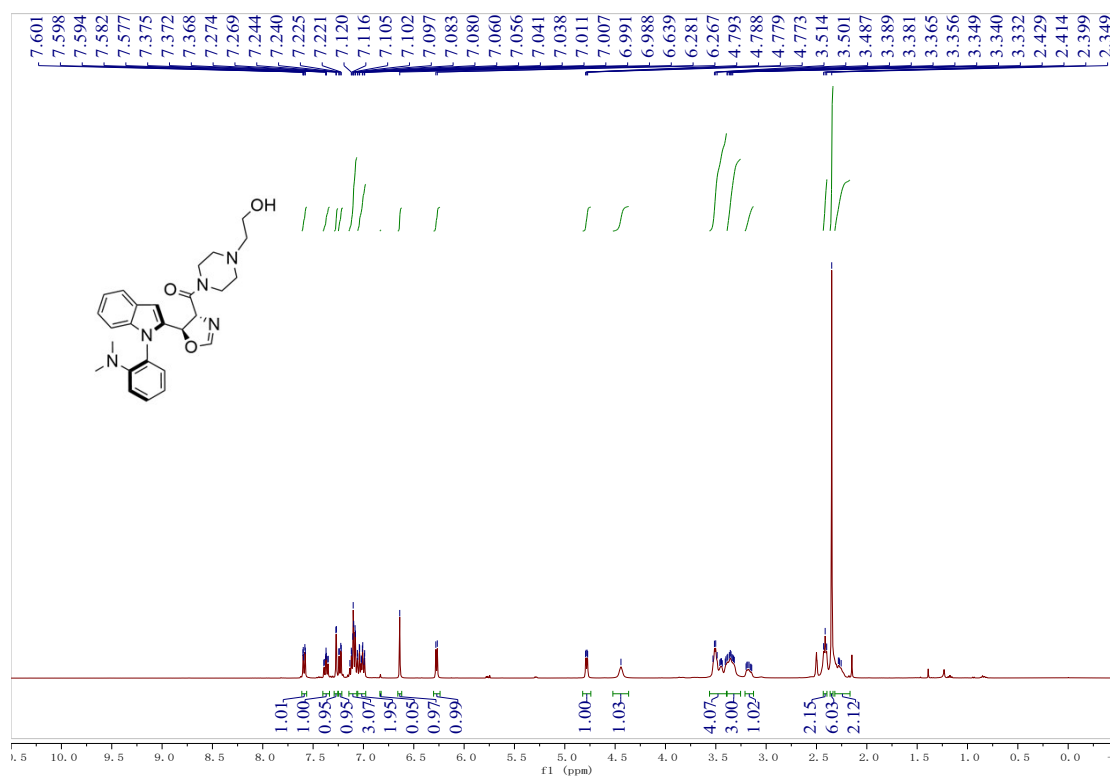
¹H NMR of 5a (400 MHz, DMSO-d₆)



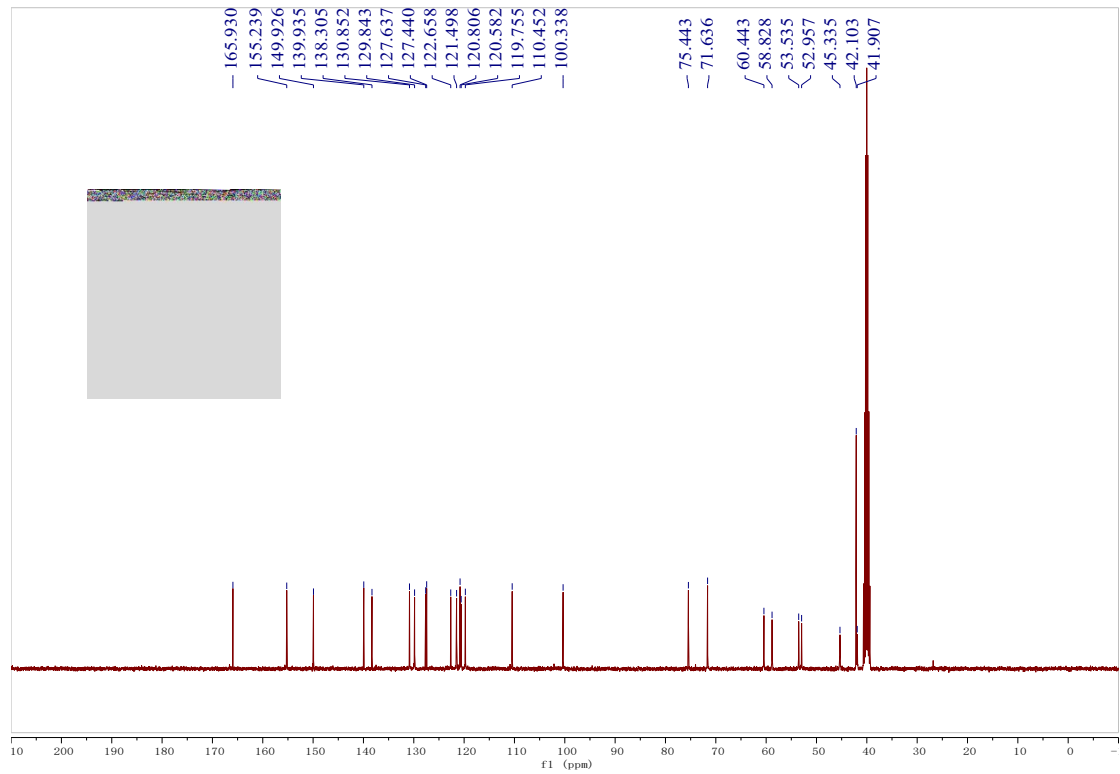
¹³C NMR of 5al (100 MHz, DMSO-d₆)



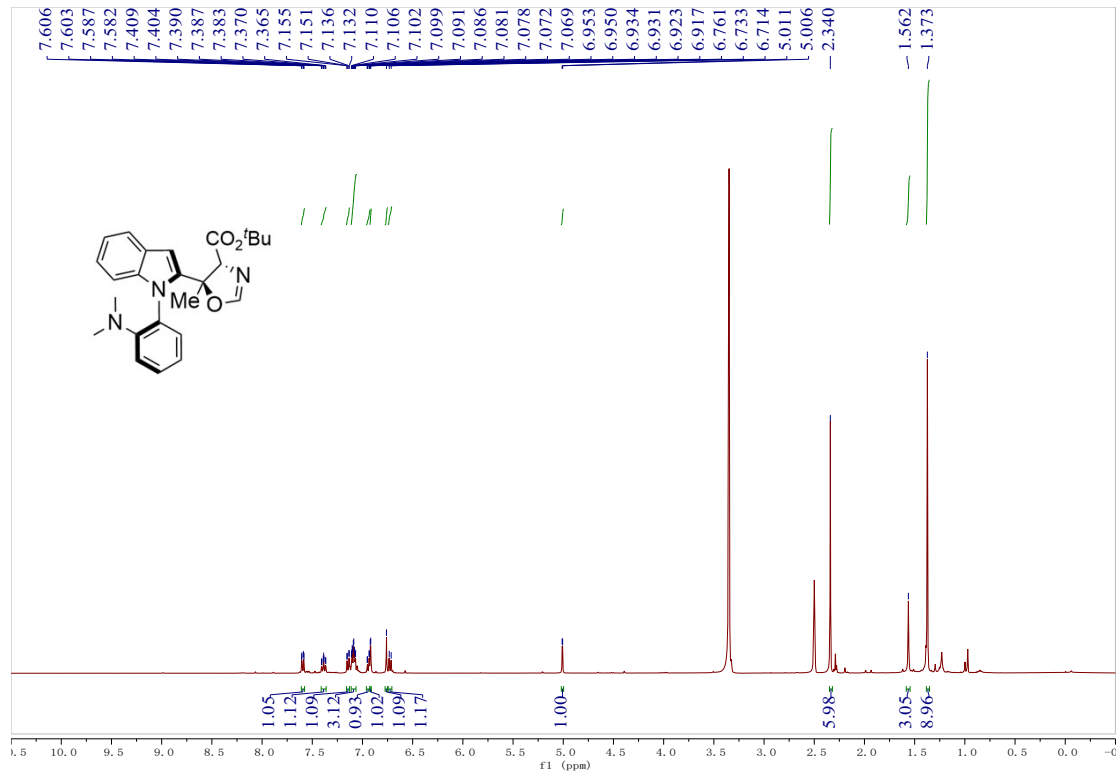
¹H NMR of 5am (400 MHz, DMSO-d₆)



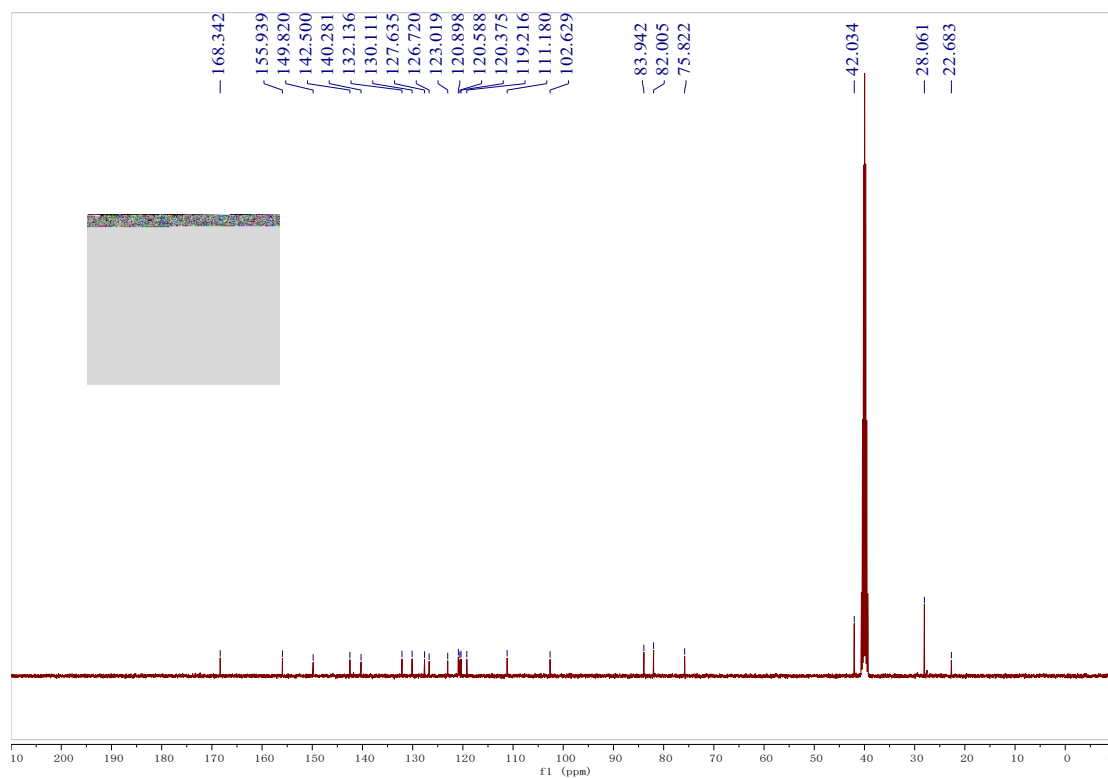
¹³C NMR of 5am (100 MHz, DMSO-d₆)



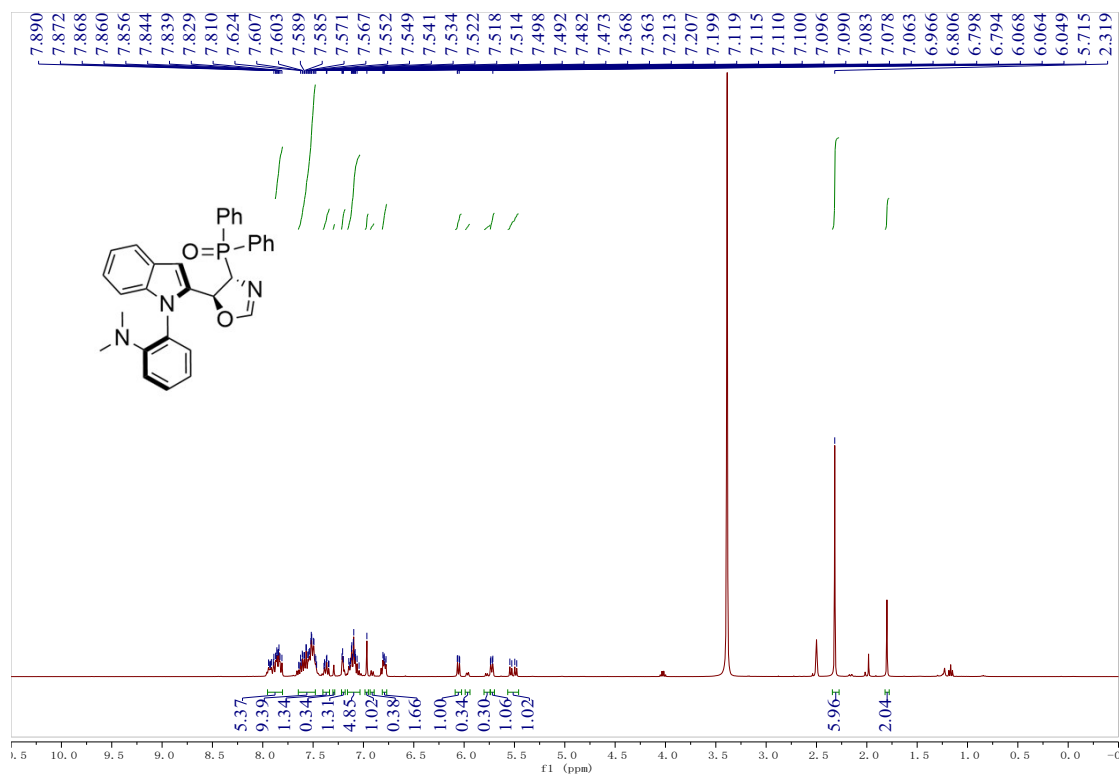
¹H NMR of 6aa (400 MHz, DMSO-d₆)



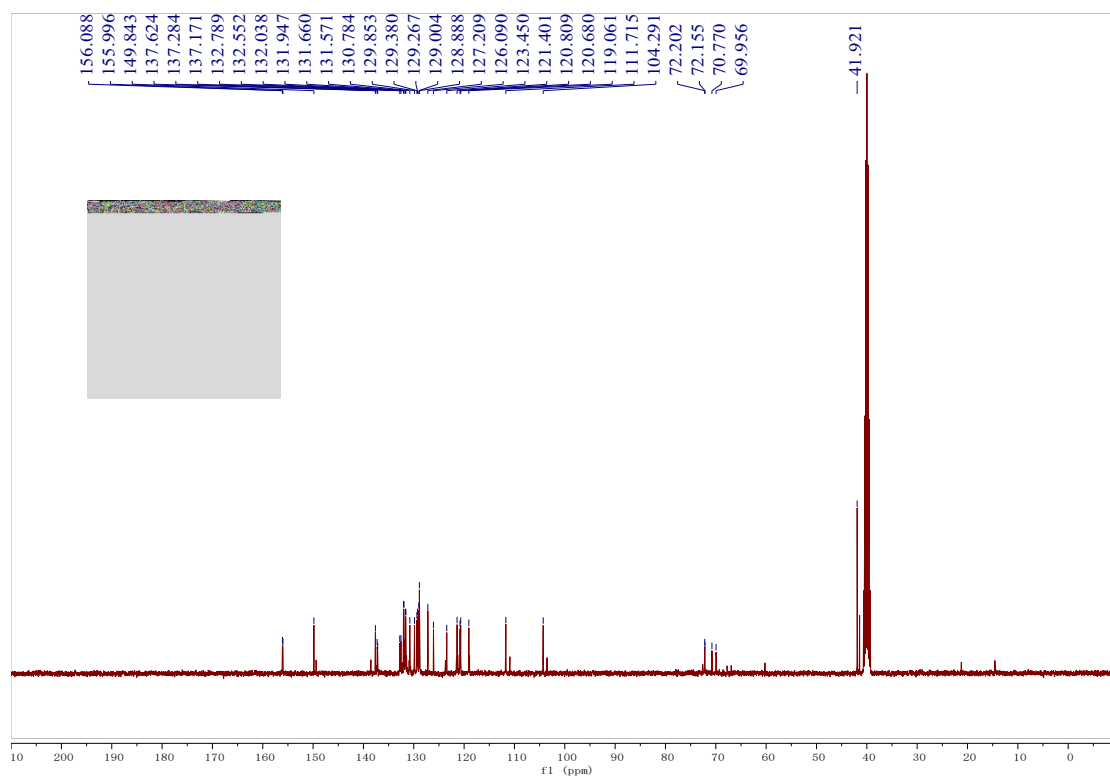
¹³C NMR of 6aa (100 MHz, DMSO-d₆)



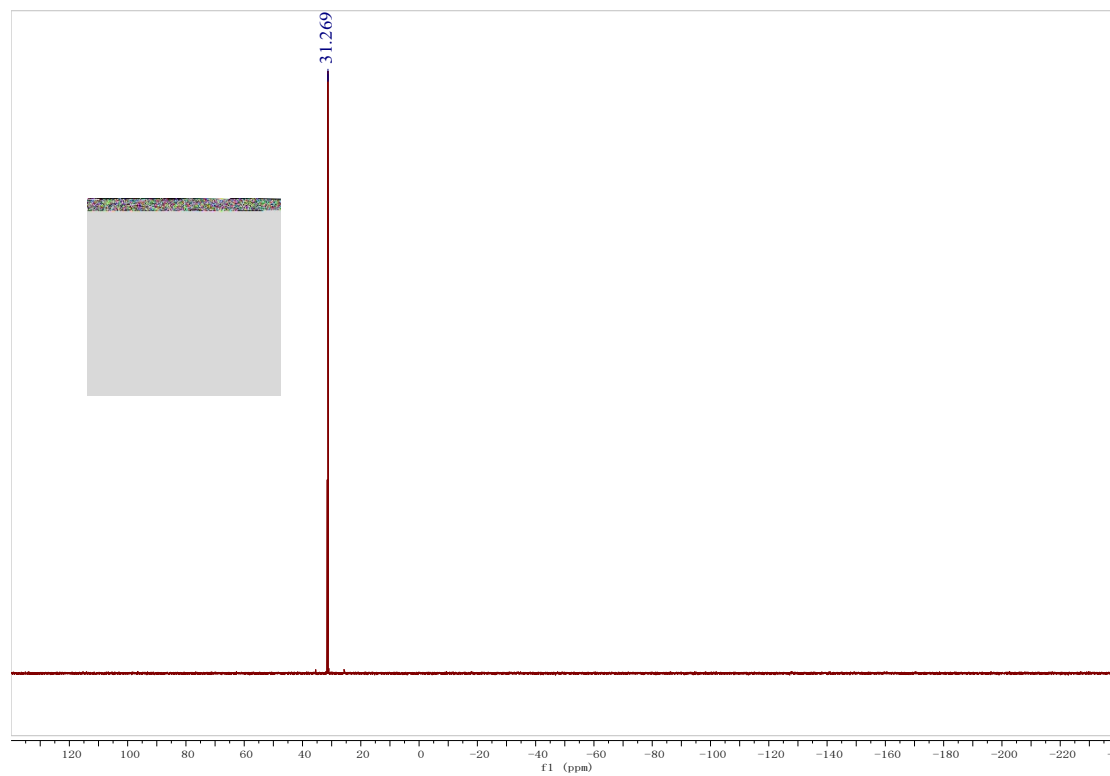
¹H NMR of 6ab (400 MHz, DMSO-d₆)



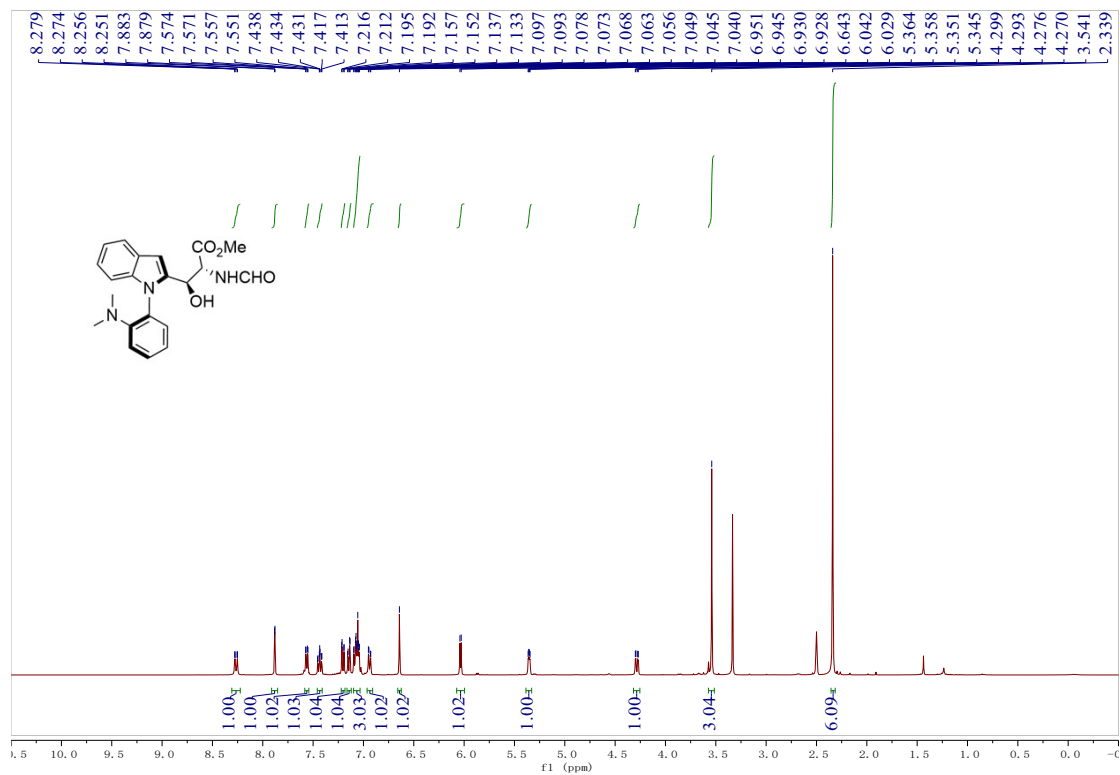
¹³C NMR of 6ab (100 MHz, DMSO-*d*₆)



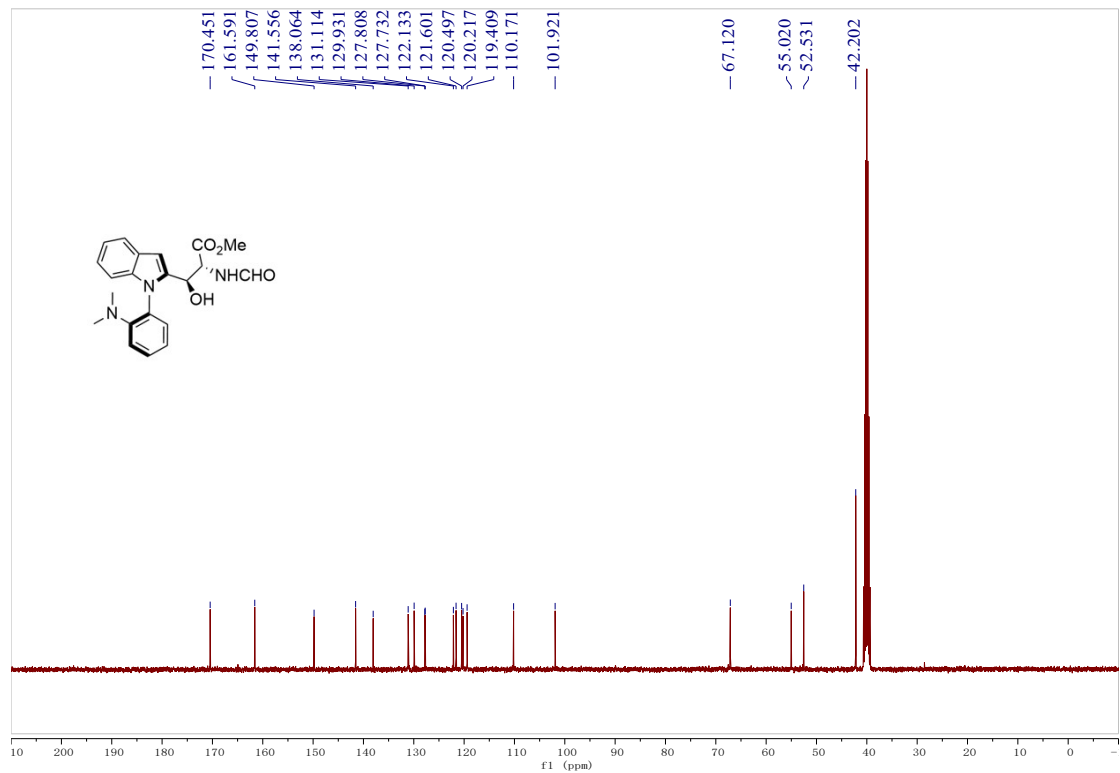
³¹P NMR of 6ab (162 MHz, DMSO-*d*₆)



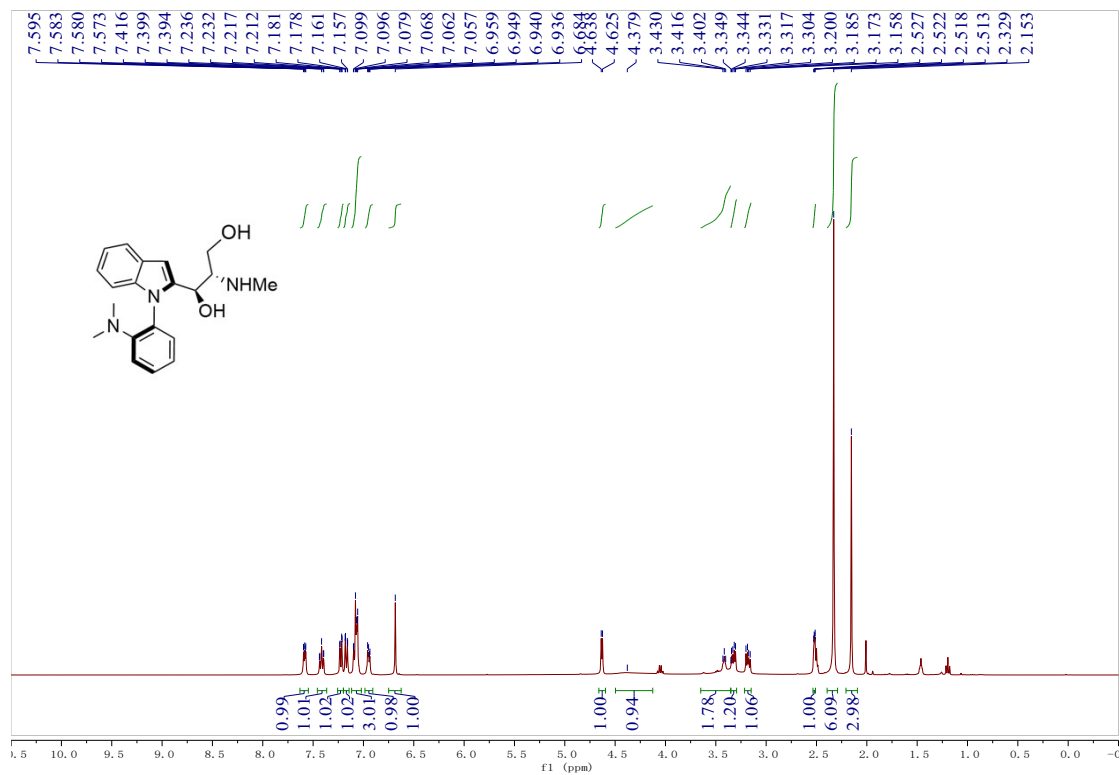
¹H NMR of 7ab (400 MHz, DMSO-d₆)



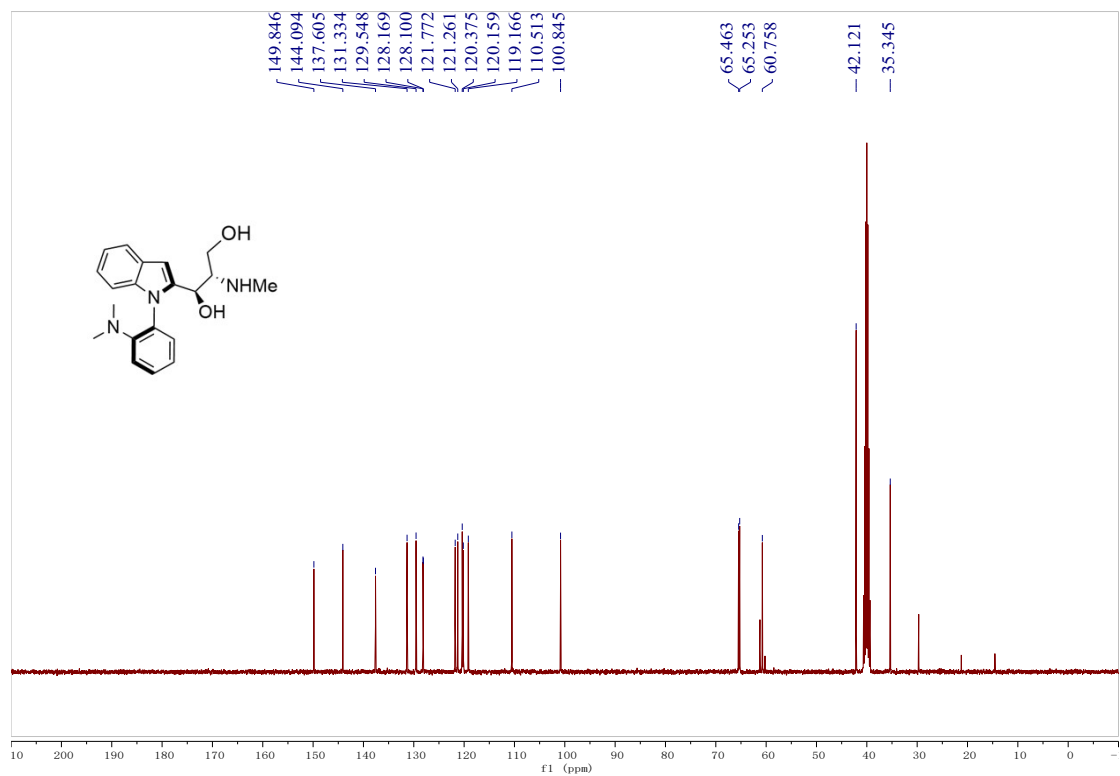
¹³C NMR of 7ab (100 MHz, DMSO-d₆)



¹H NMR of 8ab (400 MHz, DMSO-d₆)

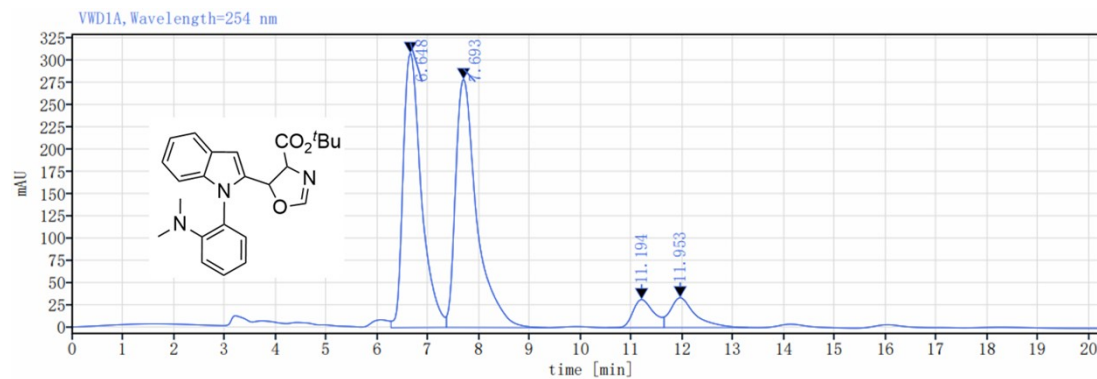


¹³C NMR of 8ab (100 MHz, DMSO-d₆)



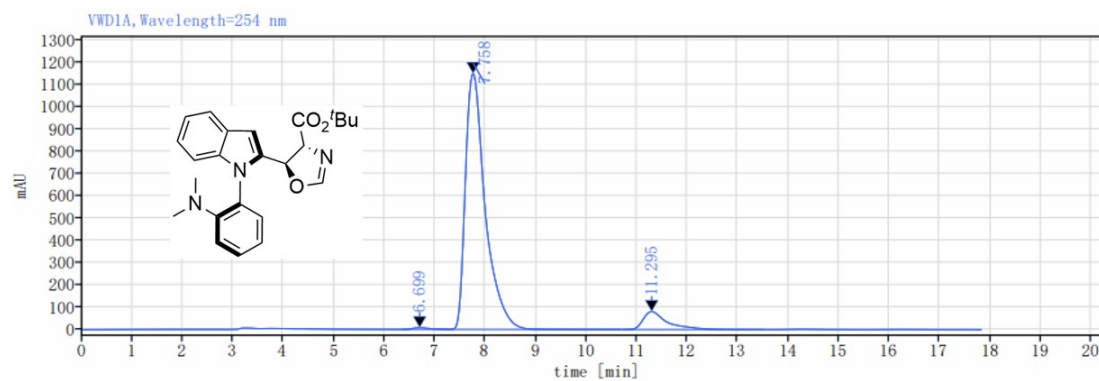
11.HPLC chromatograms

HPLC spectra for racemic and chiral product 3aa



signal : VWD1A, Wavelength=254 nm

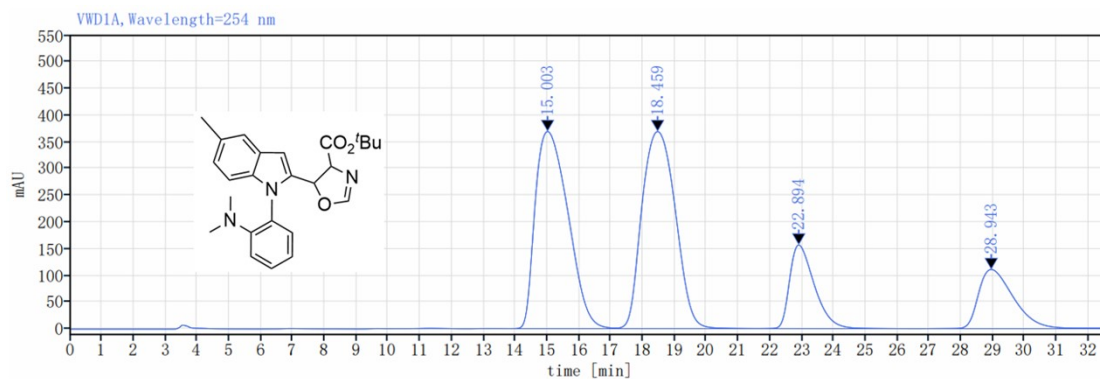
Ret time [min]	Width [min]	Height	Area	Area %
6.65	1.09	308.22	7677.72	43.15
7.69	1.98	278.60	8085.46	45.45
11.19	1.11	31.48	891.73	5.01
11.95	1.65	33.56	1136.84	6.39



signal : VWD1A, Wavelength=254 nm

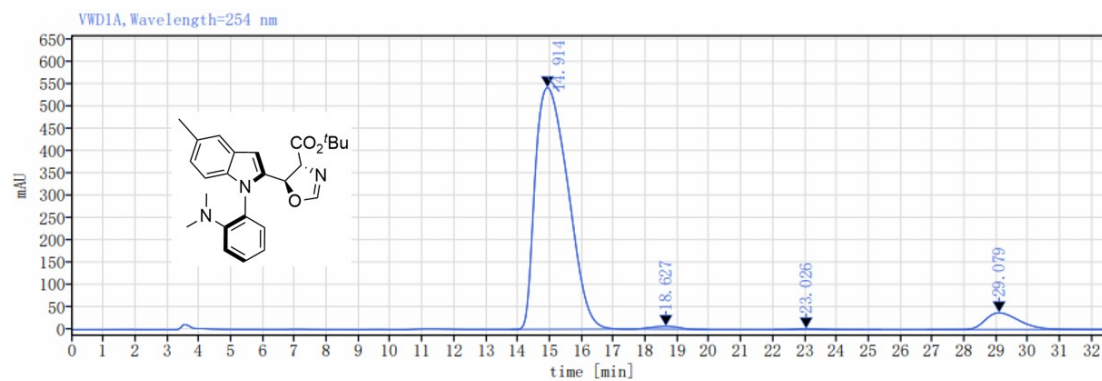
Ret time [min]	Width [min]	Height	Area	Area %
6.70	0.96	7.62	185.03	0.54
7.76	3.38	1150.85	31401.09	91.63
11.30	2.80	80.85	2681.59	7.83

HPLC spectra for racemic and chiral product 3ba



signal : VWD1A, Wavelength=254 nm

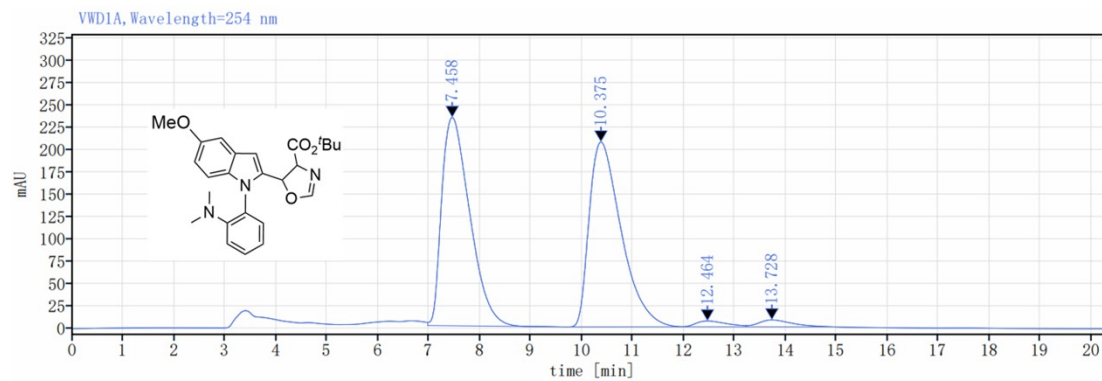
Ret time [min]	Width [min]	Height	Area	Area %
15.00	3.33	369.39	26525.33	37.59
18.46	4.44	369.24	27000.76	38.27
22.89	4.93	156.79	8468.20	12.00
28.94	6.63	110.98	8568.08	12.14



signal : VWD1A, Wavelength=254 nm

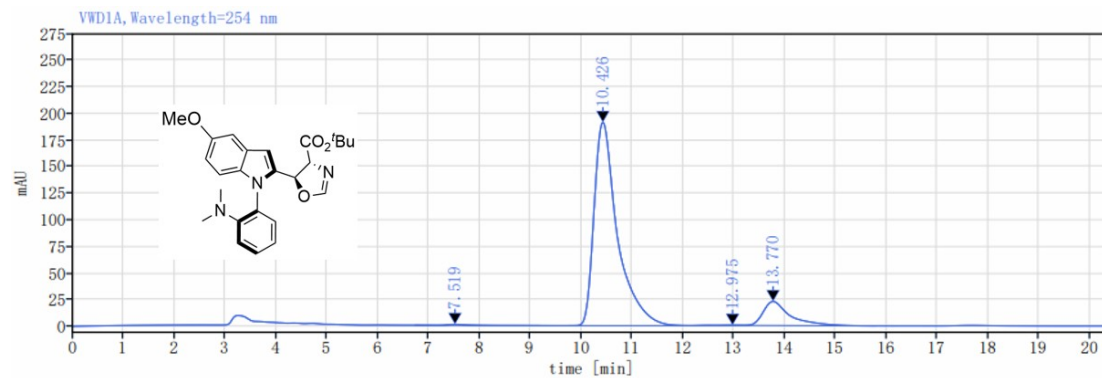
Ret time [min]	Width [min]	Height	Area	Area %
14.91	3.68	542.29	38822.74	92.15
18.63	2.49	6.59	419.53	1.00
23.03	3.37	1.64	86.75	0.21
29.08	6.41	37.61	2800.96	6.65

HPLC spectra for racemic and chiral product 3ca



signal : VWD1A, Wavelength=254 nm

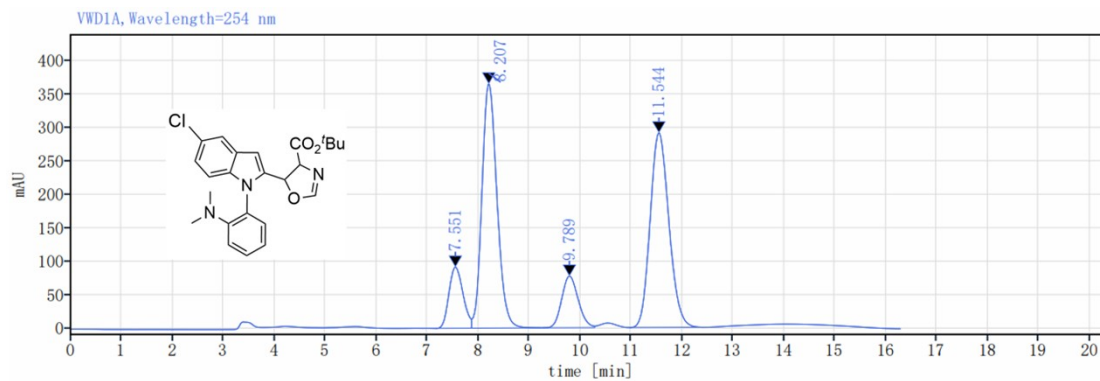
Ret time [min]	Width [min]	Height	Area	Area %
7.46	2.70	233.51	8946.04	48.10
10.37	2.24	207.15	9036.92	48.59
12.46	1.26	6.47	265.02	1.43
13.73	1.71	7.72	349.22	1.88



signal : VWD1A, Wavelength=254 nm

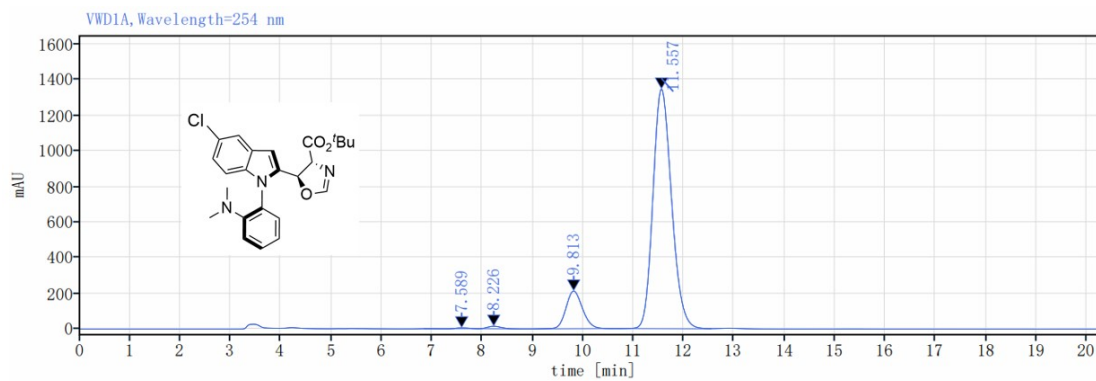
Ret time [min]	Width [min]	Height	Area	Area %
7.52	2.47	0.93	18.29	0.26
10.43	2.39	190.77	6087.85	87.76
12.97	1.06	0.16	6.82	0.10
13.77	2.59	22.50	824.31	11.88

HPLC spectra for racemic and chiral product 3da



signal : VWD1A, Wavelength=254 nm

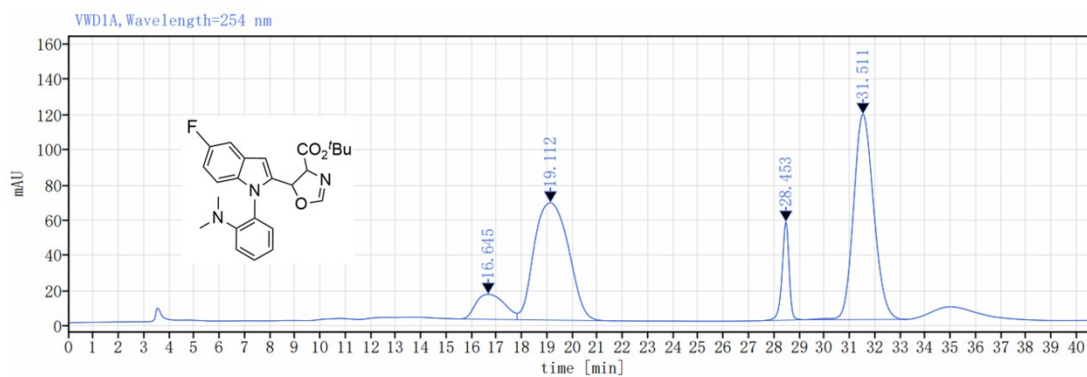
Ret time [min]	Width [min]	Height	Area	Area %
7.55	0.71	91.36	1754.15	9.53
8.21	1.36	364.97	7476.27	40.62
9.79	1.04	77.05	1730.21	9.40
11.54	1.47	290.76	7446.15	40.45



signal : VWD1A, Wavelength=254 nm

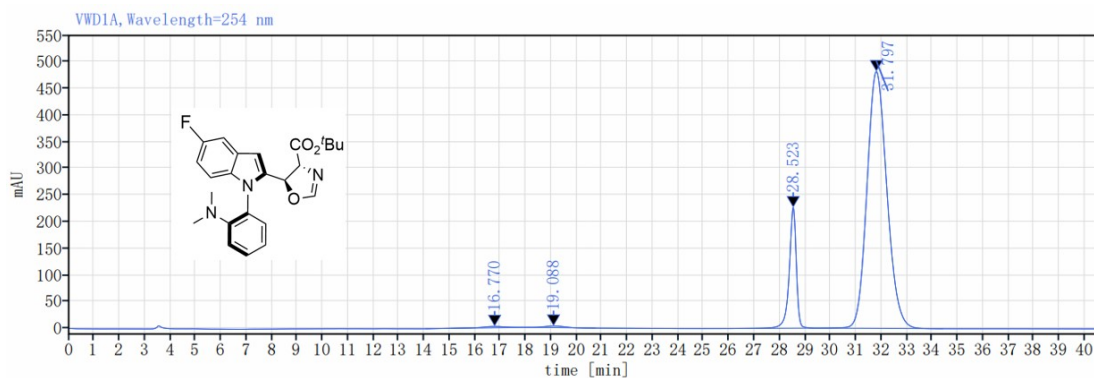
Ret time [min]	Width [min]	Height	Area	Area %
7.59	1.02	4.35	61.87	0.16
8.23	1.06	14.07	260.61	0.65
9.81	1.73	211.93	4842.81	12.17
11.56	1.89	1347.	34640.68	87.02

HPLC spectra for racemic and chiral product 3ea



signal : VWD1A, Wavelength=254 nm

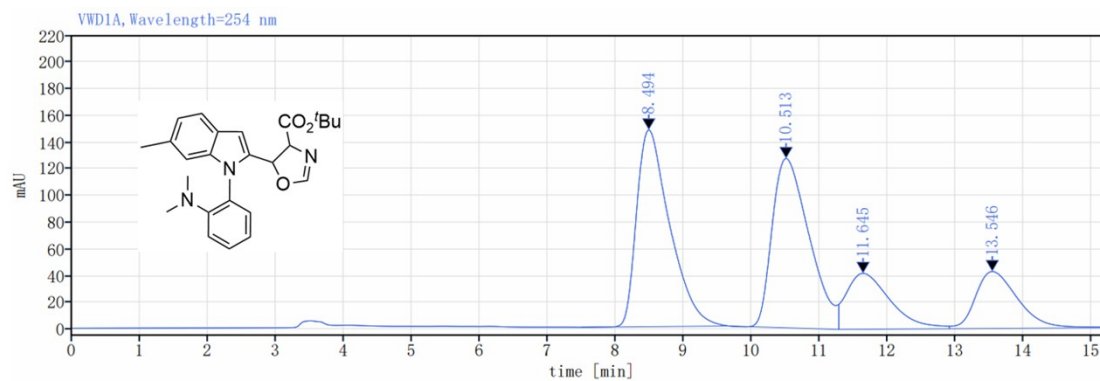
Ret time [min]	Width [min]	Height	Area	Area %
16.65	2.31	14.26	1127.29	7.52
19.11	3.94	66.65	6322.89	42.18
28.45	1.93	55.73	1073.48	7.16
31.51	3.99	116.57	6467.10	43.14



signal : VWD1A, Wavelength=254 nm

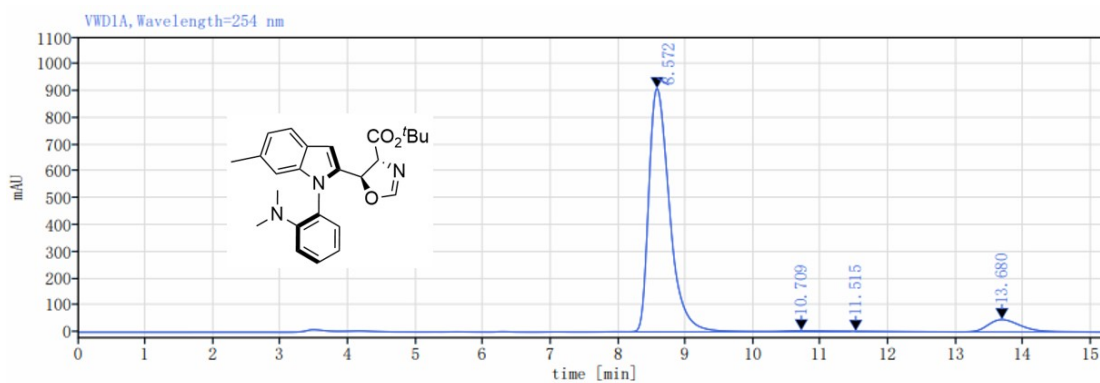
Ret time [min]	Width [min]	Height	Area	Area %
16.77	4.17	2.67	201.53	0.65
19.09	2.52	2.94	161.13	0.52
28.52	3.58	226.30	4418.65	14.22
31.80	4.93	482.00	26289.15	84.61

HPLC spectra for racemic and chiral product 3fa



signal : VWD1A, Wavelength=254 nm

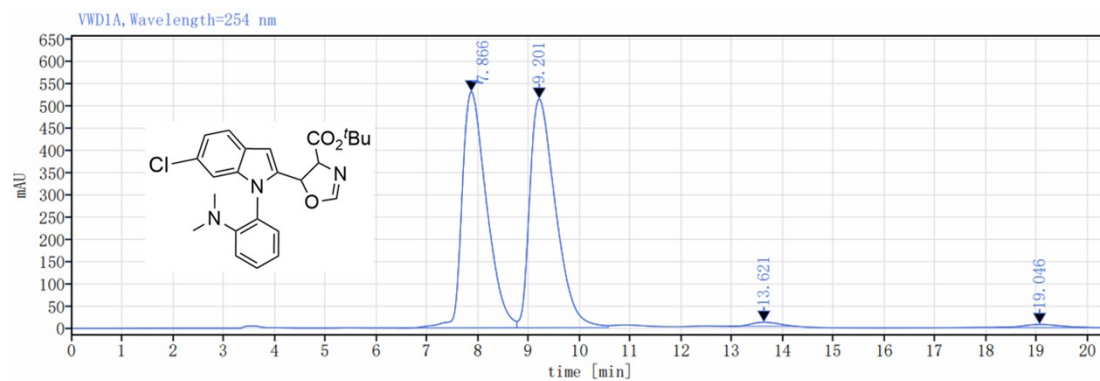
Ret time [min]	Width [min]	Height	Area	Area %
8.49	2.18	147.26	4980.36	36.90
10.51	1.61	126.68	4792.94	35.51
11.64	1.62	41.84	1868.64	13.85
13.55	3.35	42.61	1854.16	13.74



signal : VWD1A, Wavelength=254 nm

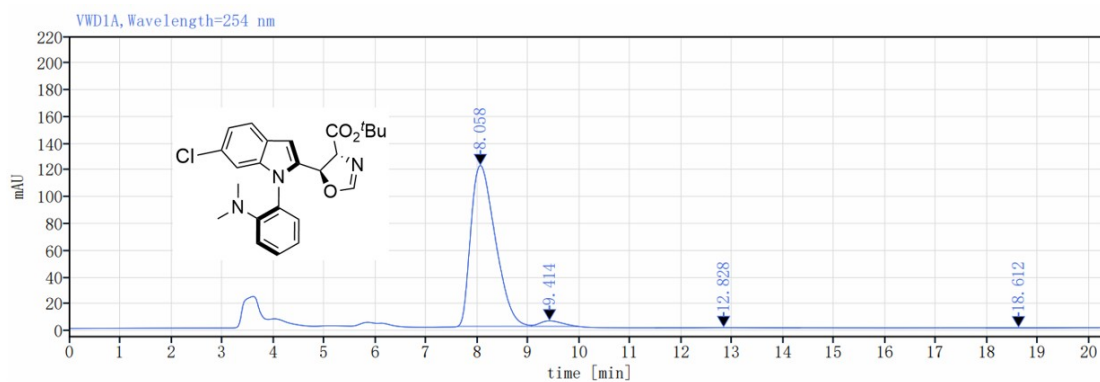
Ret time [min]	Width [min]	Height	Area	Area %
8.57	2.05	908.27	19343.74	91.53
10.71	1.25	3.74	179.29	0.85
11.51	1.05	1.61	44.80	0.21
13.68	2.58	46.17	1565.74	7.41

HPLC spectra for racemic and chiral product 3ga



signal : VWD1A, Wavelength=254 nm

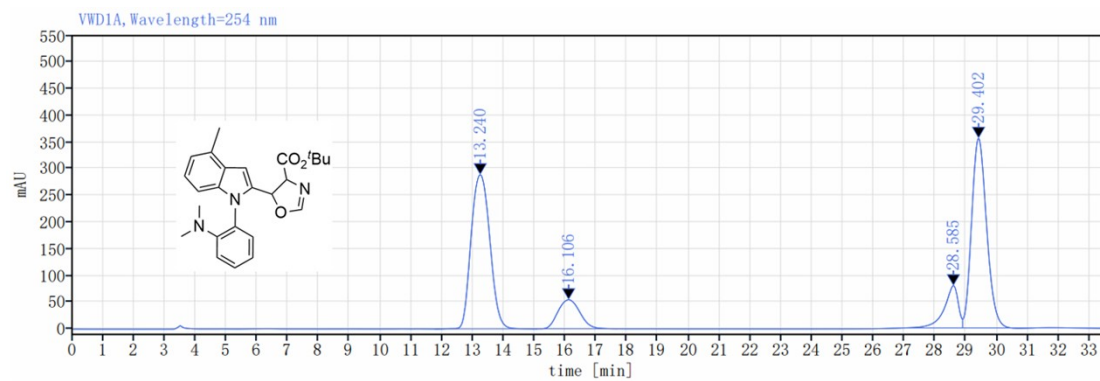
Ret time [min]	Width [min]	Height	Area	Area %
7.87	2.34	530.37	17705.29	48.56
9.20	1.80	513.83	18092.47	49.62
13.62	1.33	9.13	343.49	0.94
19.05	1.83	6.67	319.08	0.88



signal : VWD1A, Wavelength=254 nm

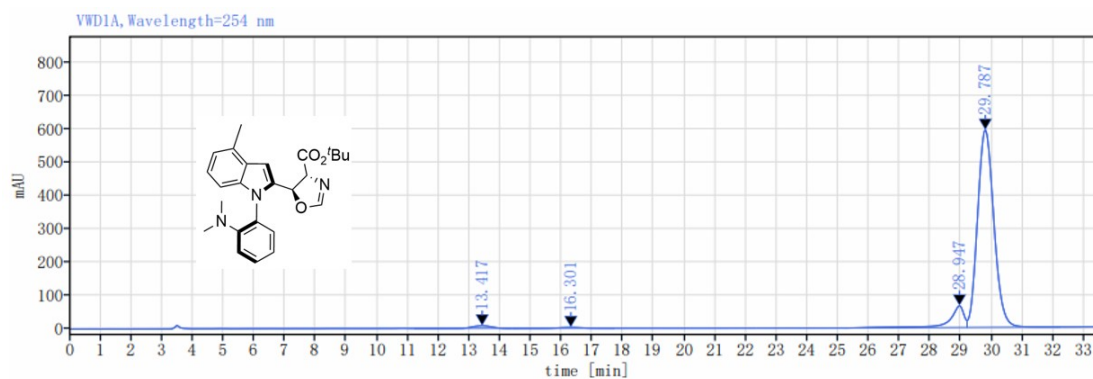
Ret time [min]	Width [min]	Height	Area	Area %
8.06	1.45	120.18	4020.64	96.56
9.41	0.91	4.14	125.13	3.01
12.83	2.86	0.19	14.85	0.36
18.61	2.23	0.05	3.15	0.08

HPLC spectra for racemic and chiral product 3ha



signal : VWD1A, Wavelength=254 nm

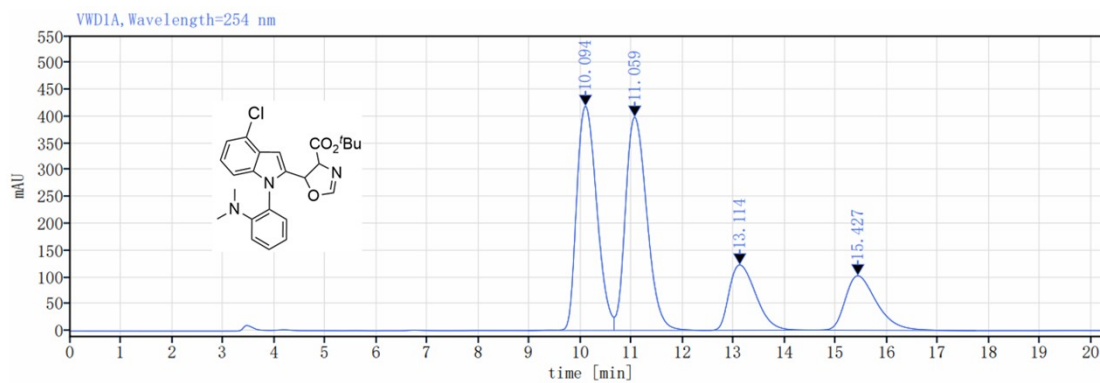
Ret time [min]	Width [min]	Height	Area	Area %
13.24	3.33	288.82	12206.56	41.50
16.11	2.47	54.37	2695.17	9.16
28.59	1.92	79.03	2503.43	8.51
29.40	2.34	355.15	12008.32	40.83



signal : VWD1A, Wavelength=254 nm

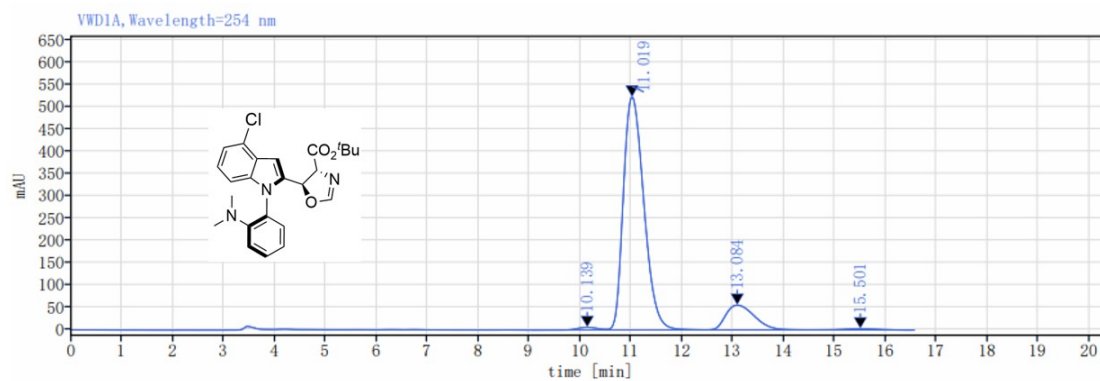
Ret time [min]	Width [min]	Height	Area	Area %
13.42	3.17	7.59	334.05	1.36
16.30	3.32	2.47	134.43	0.55
28.95	4.00	64.88	2143.99	8.76
29.79	3.04	593.51	21871.39	89.33

HPLC spectra for racemic and chiral product 3ia



signal : VWD1A, Wavelength=254 nm

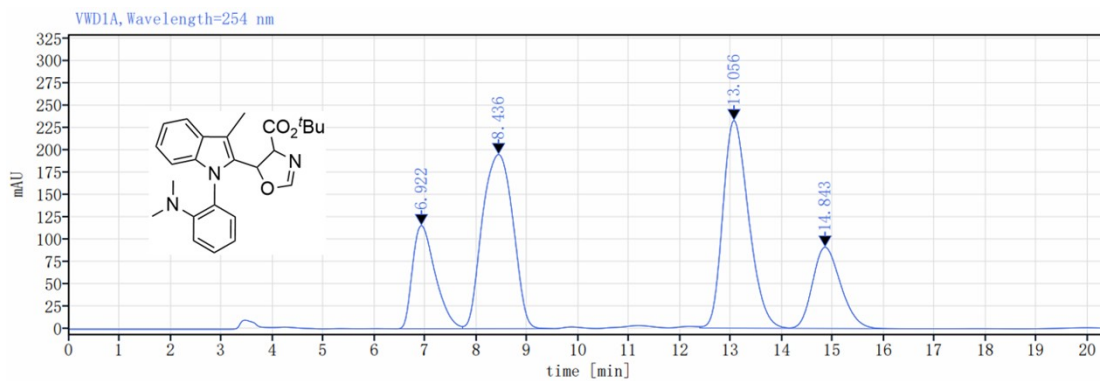
Ret time [min]	Width [min]	Height	Area	Area %
10.09	1.69	418.08	11430.91	35.96
11.06	1.81	397.86	11607.24	36.52
13.11	2.08	122.00	4411.11	13.88
15.43	3.19	101.70	4335.59	13.64



signal : VWD1A, Wavelength=254 nm

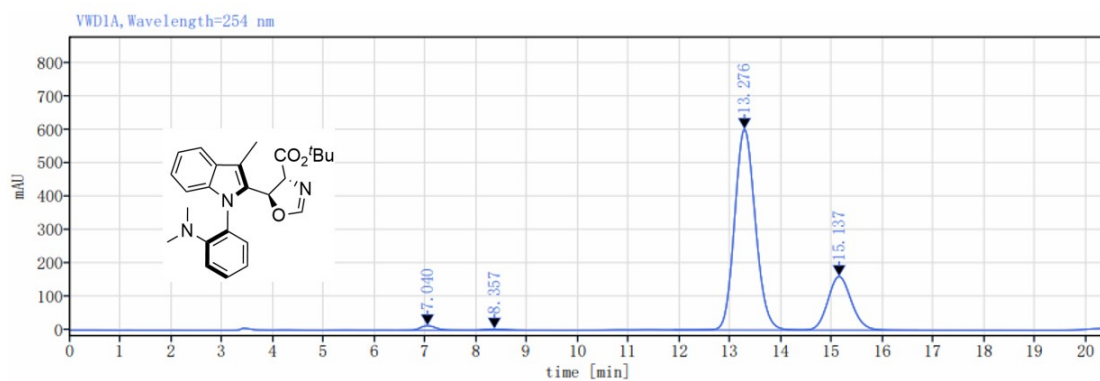
Ret time [min]	Width [min]	Height	Area	Area %
10.14	1.46	6.14	168.75	0.98
11.02	1.96	524.01	14675.86	85.52
13.08	2.03	55.93	2188.01	12.75
15.50	1.99	2.86	128.41	0.75

HPLC spectra for racemic and chiral product 3ja



signal : VWD1A, Wavelength=254 nm

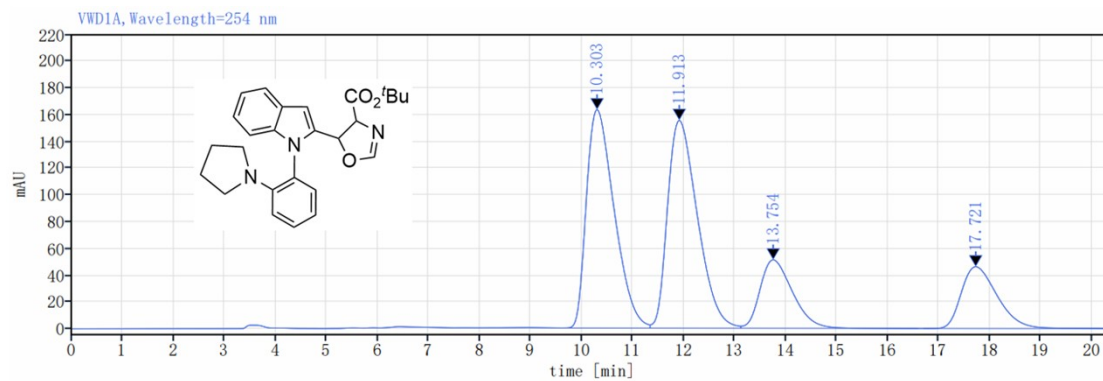
Ret time [min]	Width [min]	Height	Area	Area %
6.92	1.32	115.74	3590.51	15.31
8.44	1.77	195.31	8184.71	34.90
13.06	1.76	232.67	8163.12	34.81
14.84	2.11	91.20	3510.41	14.97



signal : VWD1A, Wavelength=254 nm

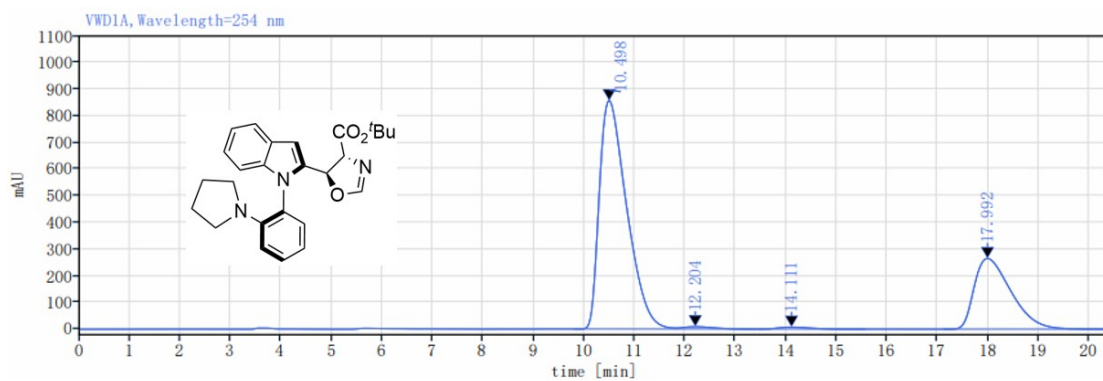
Ret time [min]	Width [min]	Height	Area	Area %
7.04	1.41	13.38	285.70	1.26
8.36	1.09	3.49	98.92	0.44
13.28	2.52	601.99	17105.16	75.48
15.14	2.30	160.89	5171.91	22.82

HPLC spectra for racemic and chiral product 3ka



signal : VWD1A, Wavelength=254 nm

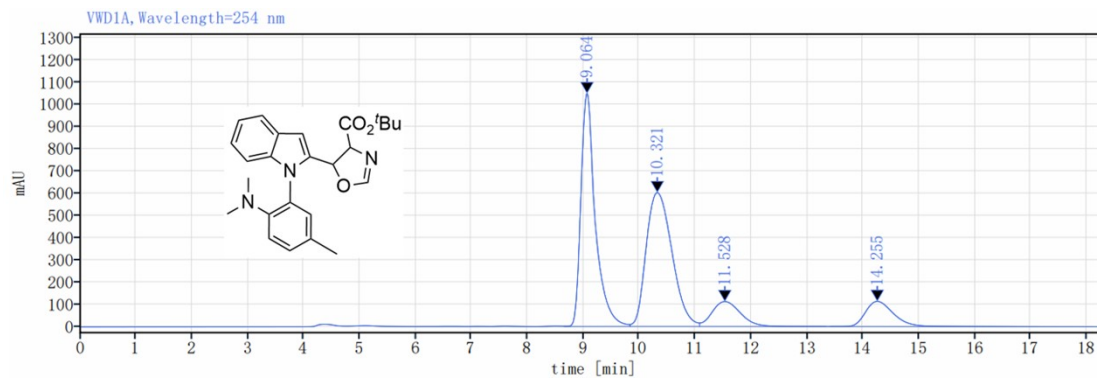
Ret time [min]	Width [min]	Height	Area	Area %
10.30	1.70	163.10	6161.55	36.28
11.91	1.78	155.23	6295.86	37.07
13.75	3.48	51.10	2258.41	13.30
17.72	4.88	46.14	2268.66	13.36



signal : VWD1A, Wavelength=254 nm

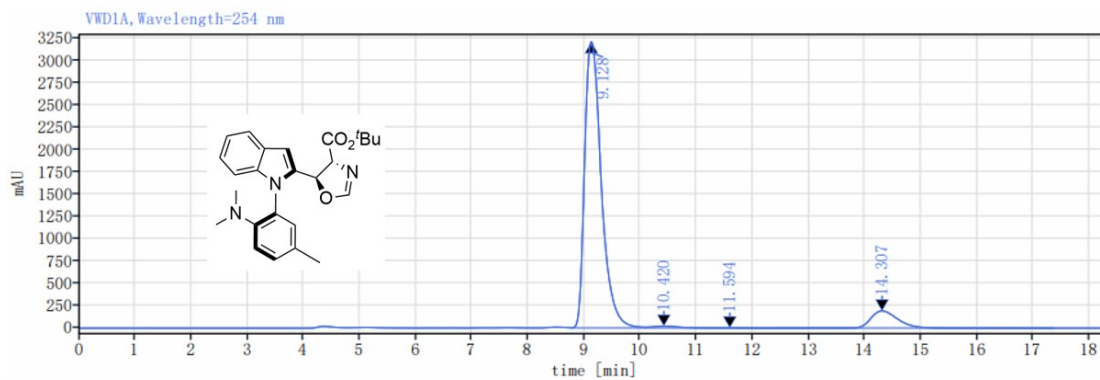
Ret time [min]	Width [min]	Height	Area	Area %
10.50	2.02	856.65	32315.44	69.53
12.20	1.61	8.99	383.88	0.83
14.11	2.14	7.49	326.82	0.70
17.99	5.67	265.15	13448.12	28.94

HPLC spectra for racemic and chiral product 3la



signal : VWD1A, Wavelength=254 nm

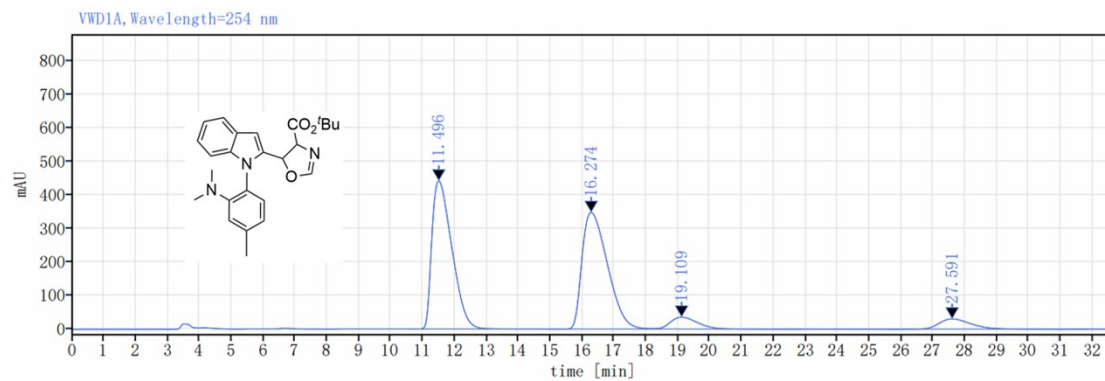
Ret time [min]	Width [min]	Height	Area	Area %
9.06	1.18	1049.24	18784.35	40.77
10.32	1.24	603.06	19327.33	41.94
11.53	2.26	112.73	3976.02	8.63
14.25	3.73	113.82	3991.85	8.66



signal : VWD1A, Wavelength=254 nm

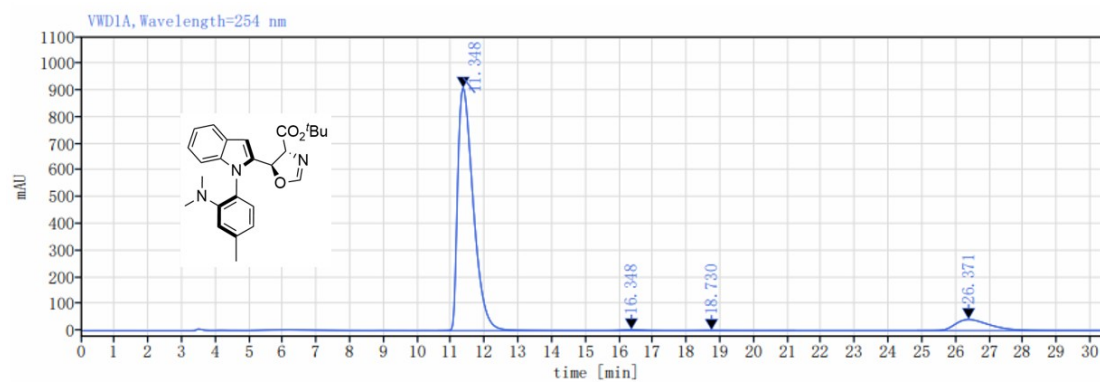
Ret time [min]	Width [min]	Height	Area	Area %
9.13	1.33	3214.45	66317.55	90.05
10.42	1.28	20.69	735.77	1.00
11.59	0.87	1.67	47.45	0.06
14.31	5.13	192.94	6540.84	8.88

HPLC spectra for racemic and chiral product 3ma



signal : VWD1A, Wavelength=254 nm

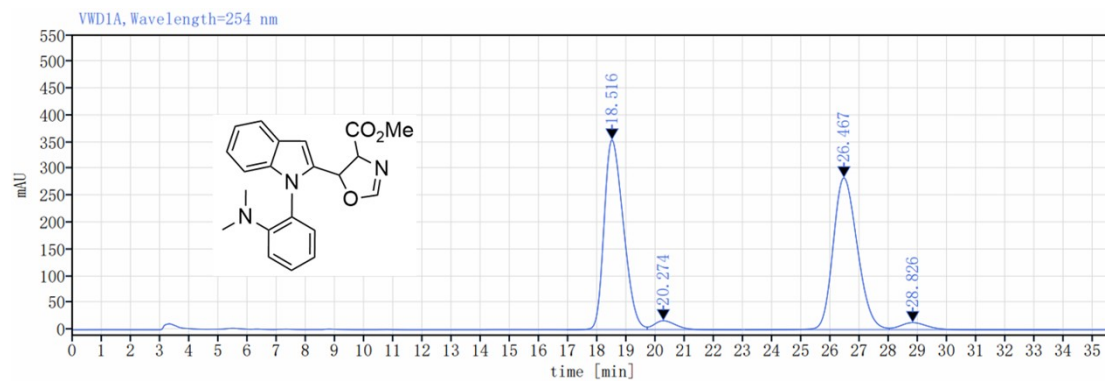
Ret time [min]	Width [min]	Height	Area	Area %
11.50	4.71	442.75	19352.64	45.02
16.27	2.88	348.75	19332.40	44.97
19.11	4.64	35.91	2150.17	5.00
27.59	6.30	30.84	2151.56	5.01



signal : VWD1A, Wavelength=254 nm

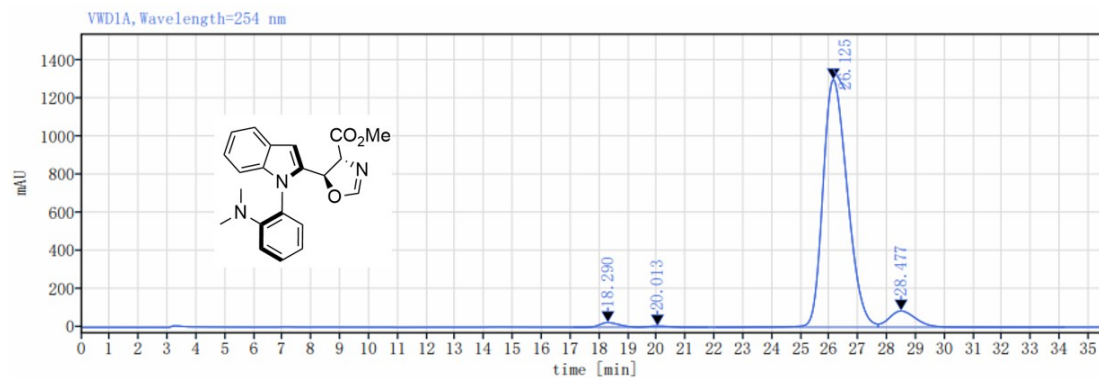
Ret time [min]	Width [min]	Height	Area	Area %
11.35	4.89	908.29	29257.69	90.25
16.35	2.25	3.13	138.02	0.43
18.73	3.49	0.70	36.91	0.11
26.37	5.88	41.57	2985.83	9.21

HPLC spectra for racemic and chiral product 3ab



signal : VWD1A, Wavelength=254 nm

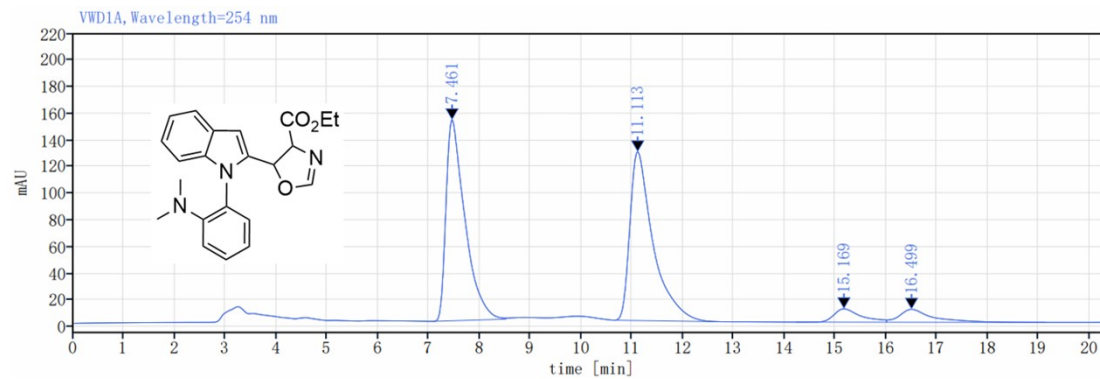
Ret time [min]	Width [min]	Height	Area	Area %
18.52	2.77	354.11	16293.32	47.41
20.27	3.23	16.65	862.37	2.51
26.47	4.95	283.46	16356.56	47.60
28.83	5.83	13.18	852.78	2.48



signal : VWD1A, Wavelength=254 nm

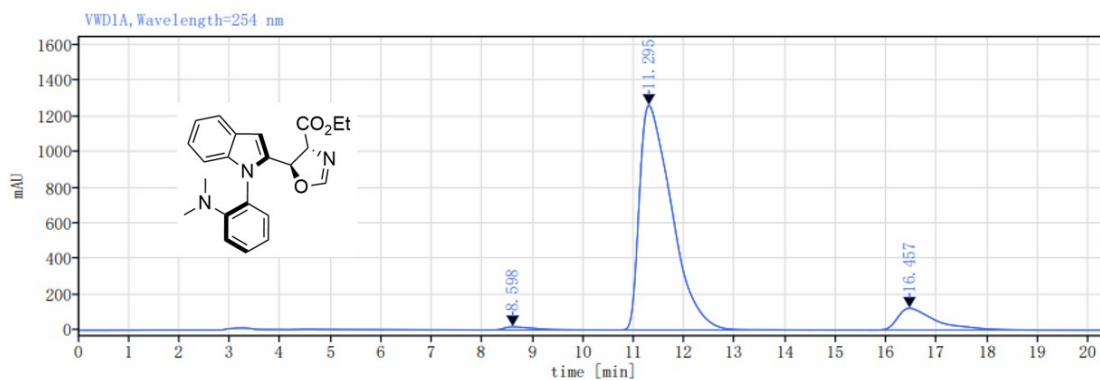
Ret time [min]	Width [min]	Height	Area	Area %
18.29	2.26	24.13	1136.15	1.36
20.01	2.41	5.95	306.24	0.37
26.13	5.63	1299.30	76705.31	91.64
28.48	6.49	85.30	5553.81	6.64

HPLC spectra for racemic and chiral product 3ac



signal : VWD1A, Wavelength=254 nm

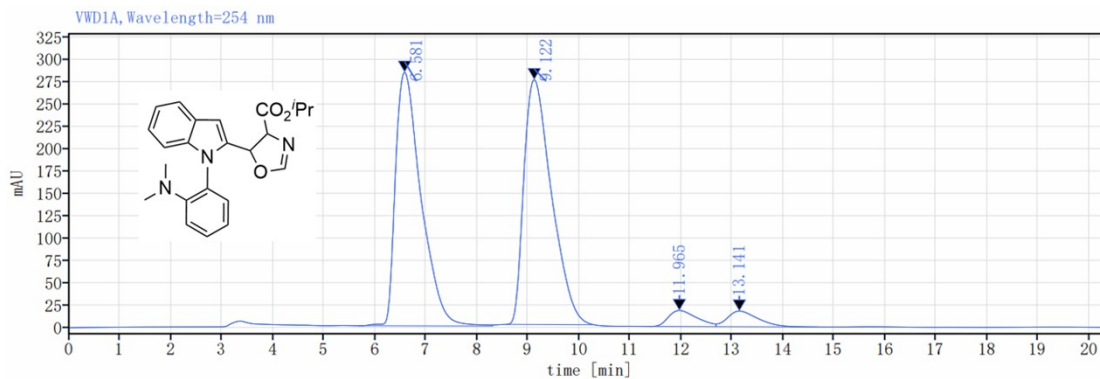
Ret time [min]	Width [min]	Height	Area	Area %
7.46	1.43	150.67	3894.34	44.78
11.11	2.13	126.50	4008.24	46.09
15.17	1.79	9.97	364.52	4.19
16.50	3.13	9.67	430.23	4.95



signal : VWD1A, Wavelength=254 nm

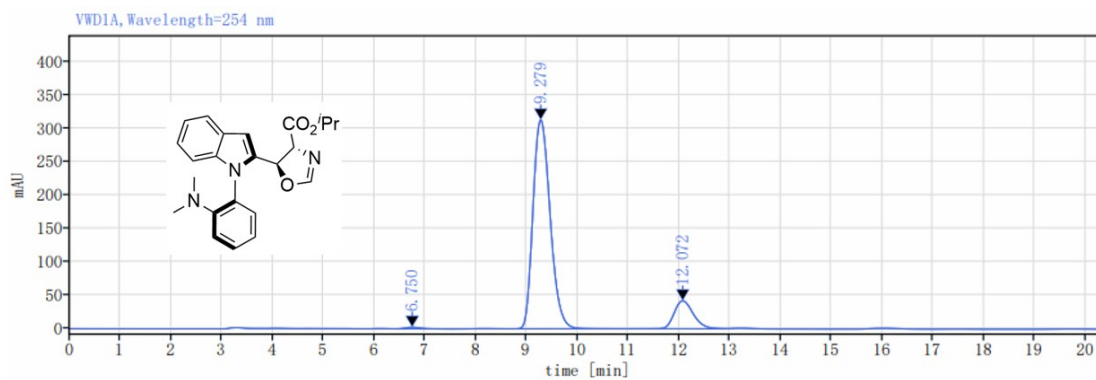
Ret time [min]	Width [min]	Height	Area	Area %
8.60	2.57	18.13	754.23	1.19
11.30	3.84	1261.33	55978.90	88.65
16.46	5.27	122.57	6410.36	10.15

HPLC spectra for racemic and chiral product 3ad



signal : VWD1A, Wavelength=254 nm

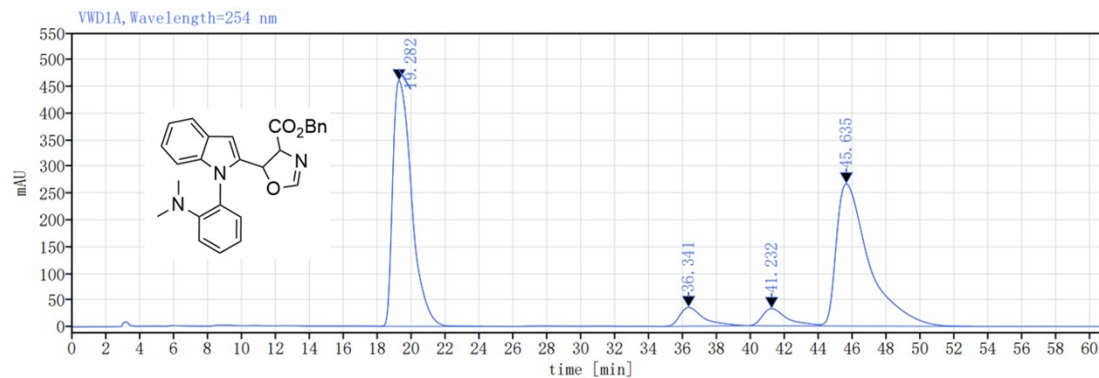
Ret time [min]	Width [min]	Height	Area	Area %
6.58	2.63	283.94	9886.35	45.66
9.12	1.71	274.17	10349.25	47.80
11.96	1.31	17.84	688.00	3.18
13.14	2.02	17.48	726.19	3.35



signal : VWD1A, Wavelength=254 nm

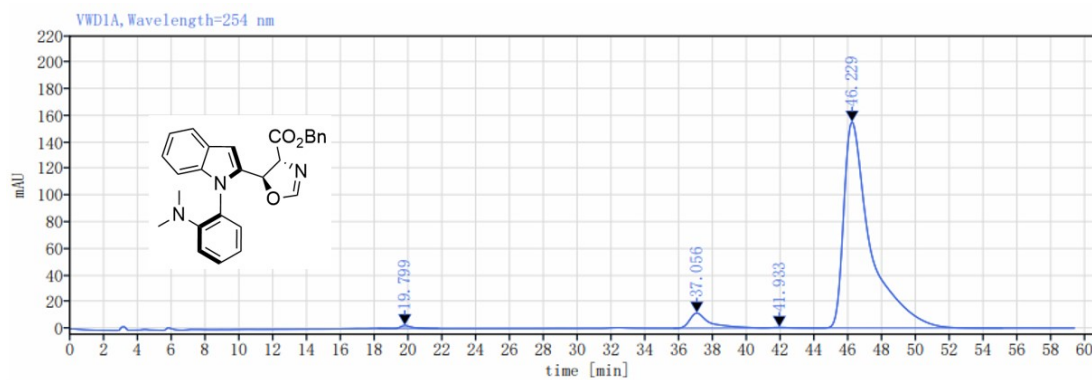
Ret time [min]	Width [min]	Height	Area	Area %
6.75	1.19	2.58	56.69	0.66
9.28	2.00	313.73	7364.21	86.36
12.07	1.43	42.11	1106.37	12.97

HPLC spectra for racemic and chiral product 3ae



signal : VWD1A, Wavelength=254 nm

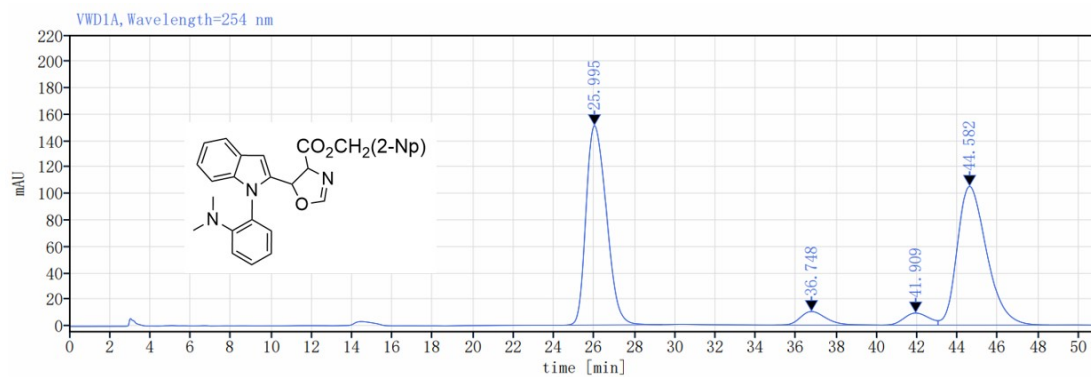
Ret time [min]	Width [min]	Height	Area	Area %
19.28	5.99	459.70	35444.22	45.54
36.34	4.99	35.10	3425.82	4.40
41.23	4.26	32.34	3252.16	4.18
45.63	9.06	266.21	35710.98	45.88



signal : VWD1A, Wavelength=254 nm

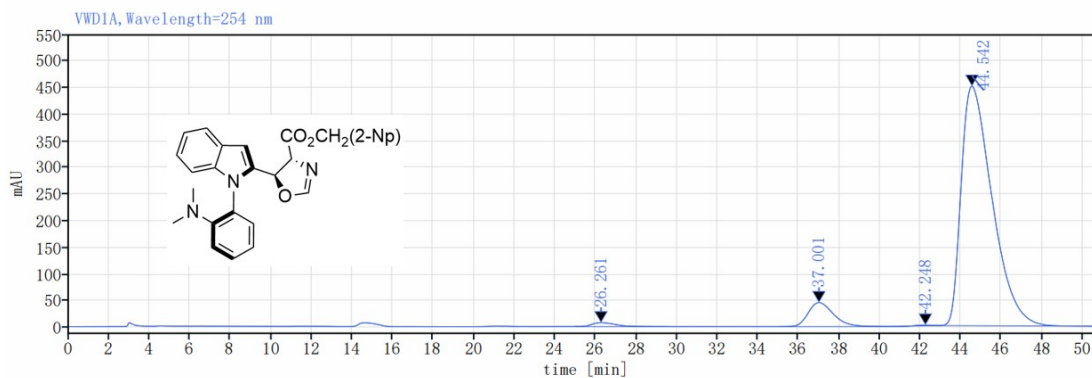
Ret time [min]	Width [min]	Height	Area	Area %
19.80	3.59	2.18	99.64	0.55
37.06	5.24	11.35	945.60	5.21
41.93	3.47	0.51	42.90	0.24
46.23	10.75	154.68	17063.90	94.01

HPLC spectra for racemic and chiral product 3af



signal : VWD1A, Wavelength=254 nm

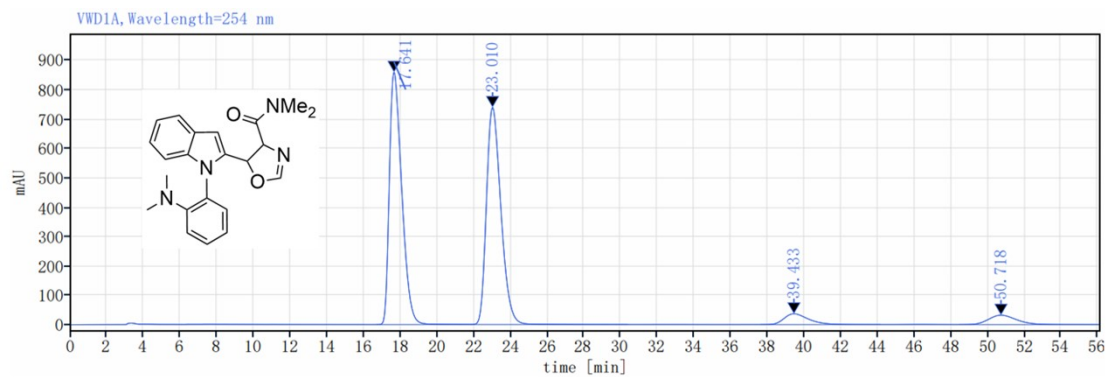
Ret time [min]	Width [min]	Height	Area	Area %
26.00	5.05	150.71	10569.34	46.23
36.75	5.20	10.18	898.63	3.93
41.91	2.77	9.05	774.13	3.39
44.58	5.53	104.83	10619.53	46.45



signal : VWD1A, Wavelength=254 nm

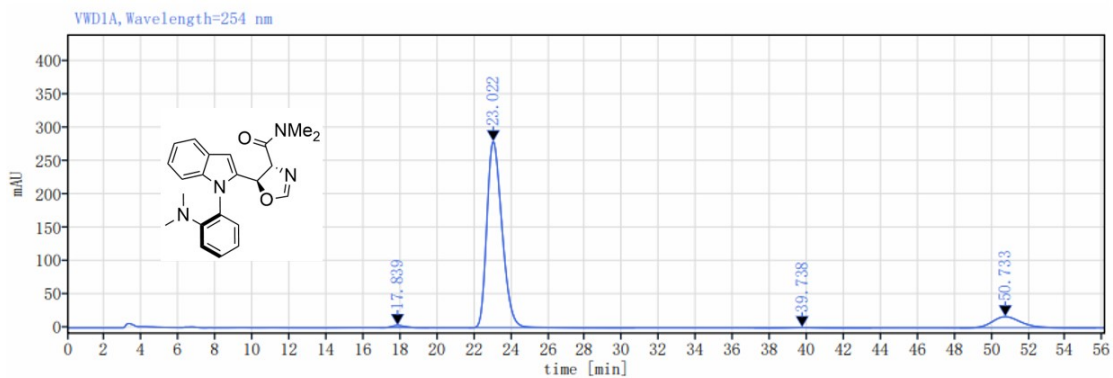
Ret time [min]	Width [min]	Height	Area	Area %
26.26	4.62	7.14	574.82	1.10
37.00	5.52	44.87	3874.92	7.42
42.25	2.35	1.31	64.35	0.12
44.54	10.39	449.38	47725.47	91.36

HPLC spectra for racemic and chiral product 5aa



signal : VWD1A, Wavelength=254 nm

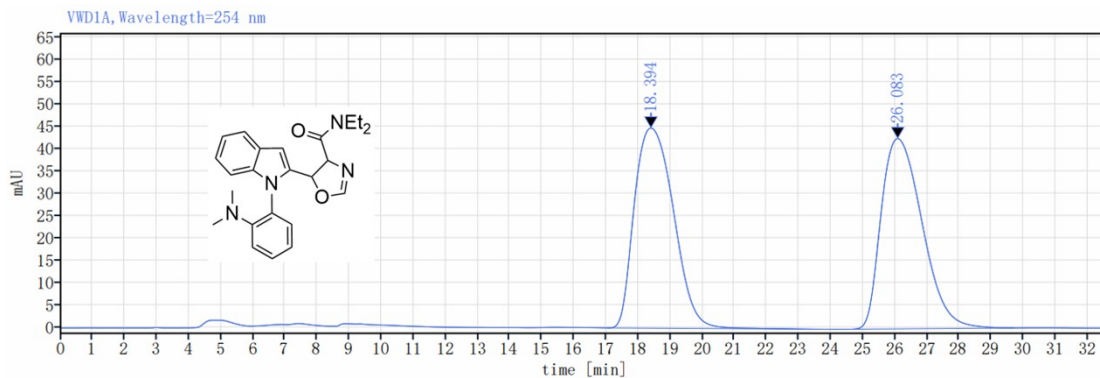
Ret time [min]	Width [min]	Height	Area	Area %
17.64	5.12	857.35	40349.79	46.08
23.01	8.58	737.57	40498.31	46.25
39.43	6.55	36.30	3359.08	3.84
50.72	8.04	31.67	3352.22	3.83



signal : VWD1A, Wavelength=254 nm

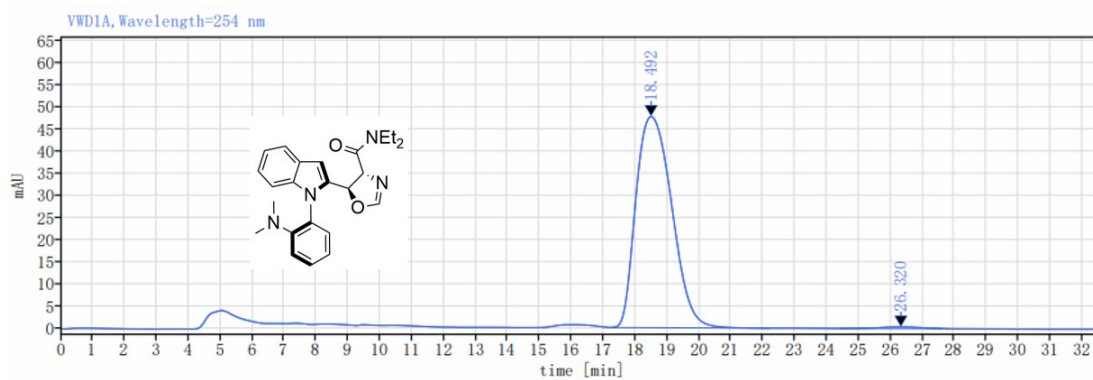
Ret time [min]	Width [min]	Height	Area	Area %
17.84	2.67	3.38	167.55	0.93
23.02	9.02	279.63	15995.83	88.77
39.74	6.34	0.55	51.10	0.28
50.73	7.37	16.74	1804.65	10.02

HPLC spectra for racemic and chiral product 5ab



signal : VWD1A, Wavelength=254 nm

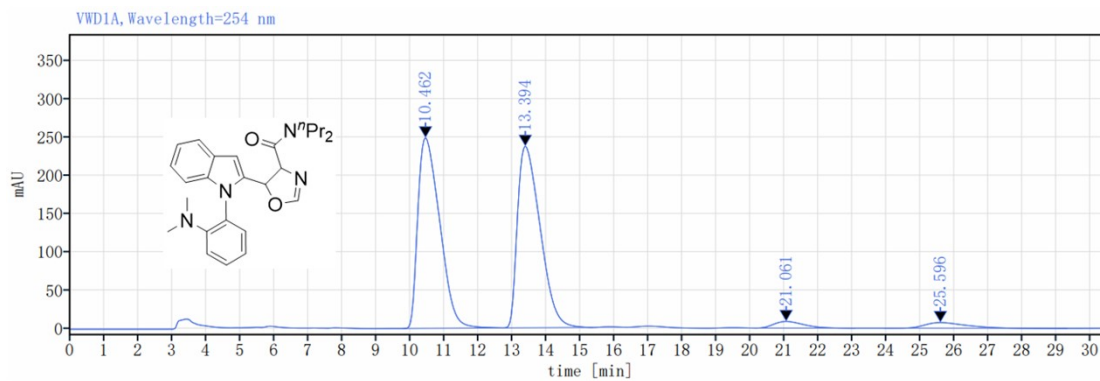
Ret time [min]	Width [min]	Height	Area	Area %
18.39	6.41	44.87	3820.76	50.18
26.08	5.16	42.65	3793.81	49.82



signal : VWD1A, Wavelength=254 nm

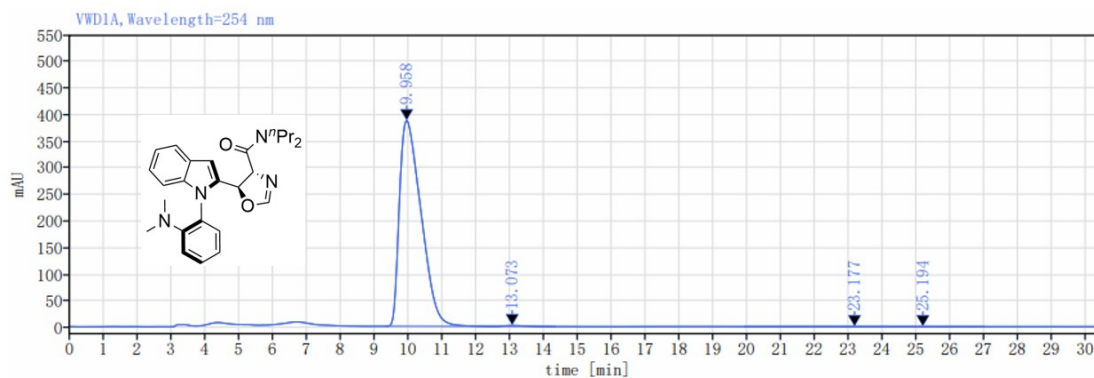
Ret time [min]	Width [min]	Height	Area	Area %
18.49	4.97	47.74	3734.50	99.14
26.32	3.23	0.36	32.58	0.86

HPLC spectra for racemic and chiral product 5ac



signal : VWD1A, Wavelength=254 nm

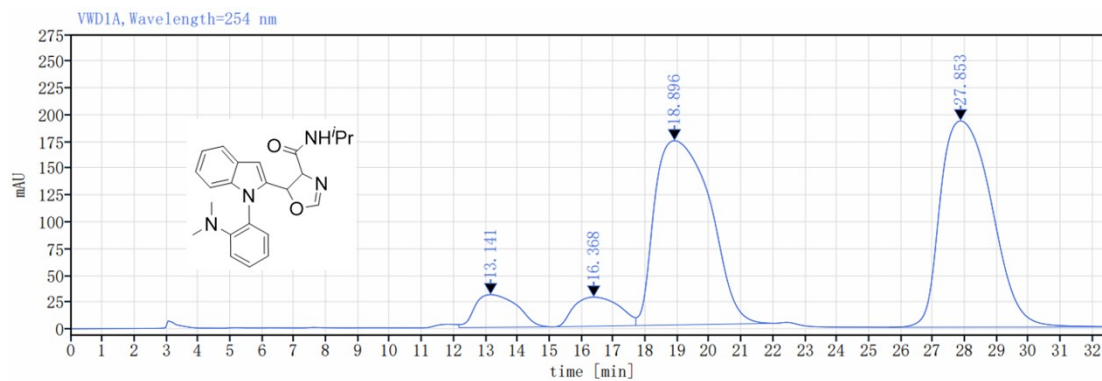
Ret time [min]	Width [min]	Height	Area	Area %
10.46	2.94	249.07	11108.62	47.79
13.39	2.64	237.18	10970.67	47.20
21.06	2.95	8.67	529.20	2.28
25.60	5.40	7.44	634.32	2.73



signal : VWD1A, Wavelength=254 nm

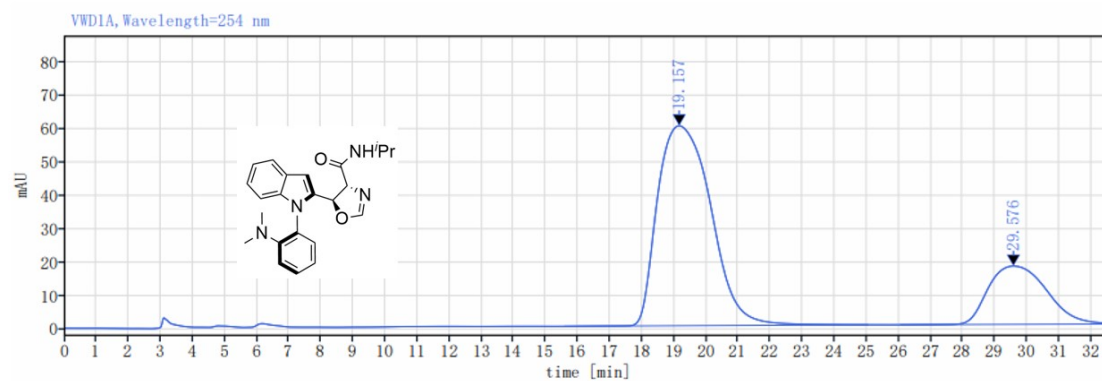
Ret time [min]	Width [min]	Height	Area	Area %
9.96	3.16	386.04	17096.74	99.56
13.07	1.86	1.68	72.13	0.42
23.18	3.85	0.01	1.05	0.01
25.19	3.27	0.03	1.67	0.01

HPLC spectra for racemic and chiral product 5ad



signal : VWD1A, Wavelength=254 nm

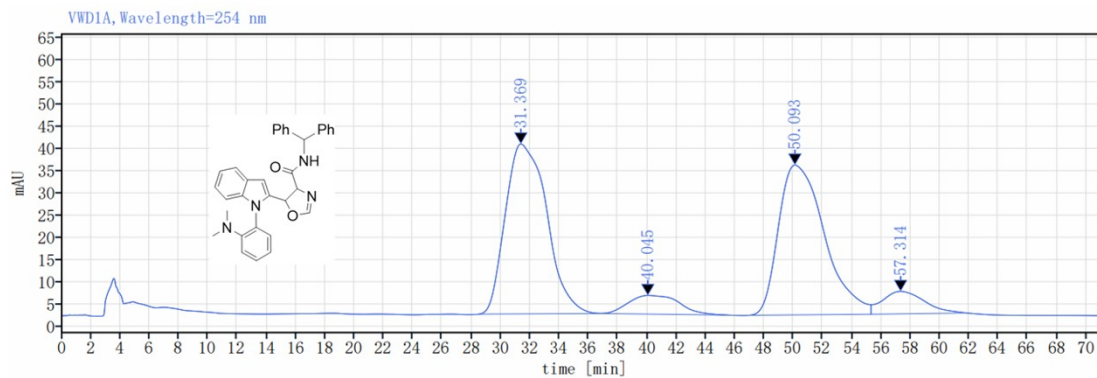
Ret time [min]	Width [min]	Height	Area	Area %
13.14	2.94	30.52	2800.24	5.85
16.37	2.58	27.18	2695.47	5.63
18.90	4.33	171.90	20845.89	43.54
27.85	7.52	192.47	21534.39	44.98



signal : VWD1A, Wavelength=254 nm

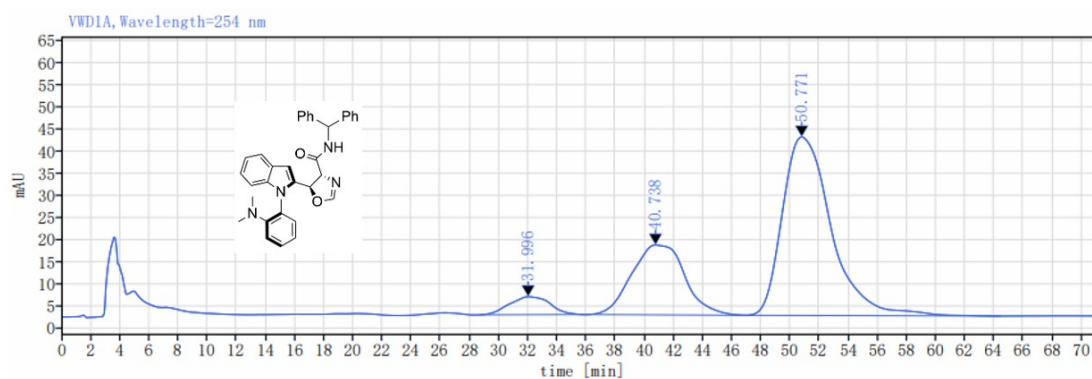
Ret time [min]	Width [min]	Height	Area	Area %
19.16	9.48	59.91	6934.78	75.77
29.58	7.83	17.46	2217.78	24.23

HPLC spectra for racemic and chiral product 5ae



signal : VWD1A, Wavelength=254 nm

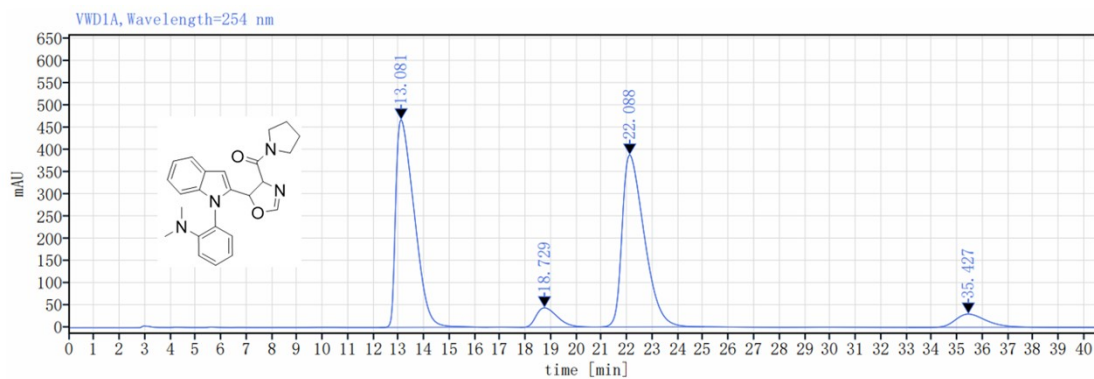
Ret time [min]	Width [min]	Height	Area	Area %
31.37	8.28	38.23	7507.28	44.47
40.05	8.65	4.18	1009.54	5.98
50.09	8.31	33.72	7354.89	43.57
57.31	6.56	5.04	1009.53	5.98



signal : VWD1A, Wavelength=254 nm

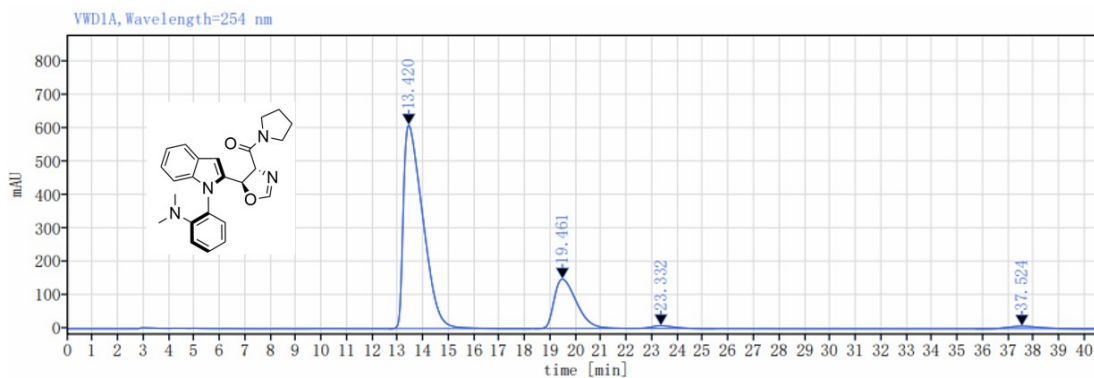
Ret time [min]	Width [min]	Height	Area	Area %
32.00	7.42	4.07	785.78	5.53
40.74	10.75	15.79	4003.33	28.17
50.77	17.57	40.35	9421.69	66.30

HPLC spectra for racemic and chiral product 5af



signal : VWD1A, Wavelength=254 nm

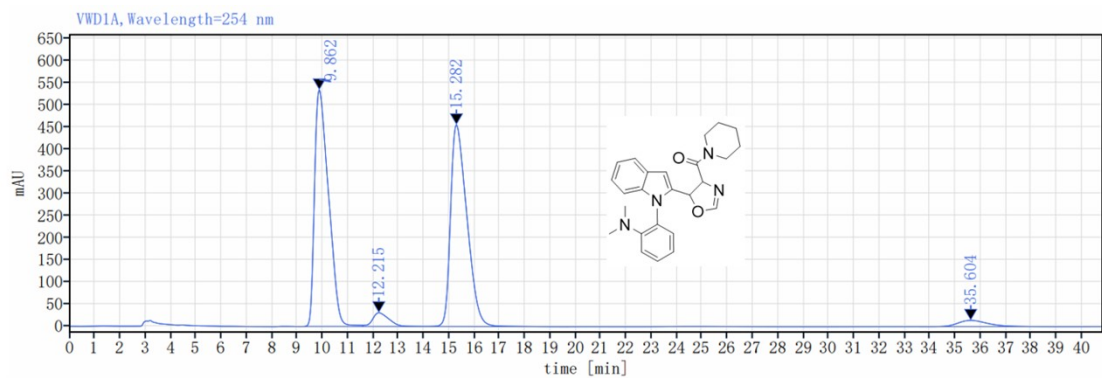
Ret time [min]	Width [min]	Height	Area	Area %
13.08	4.30	467.69	23630.79	45.23
18.73	2.96	43.83	2557.06	4.89
22.09	4.27	387.36	23472.45	44.93
35.43	7.68	30.07	2581.96	4.94



signal : VWD1A, Wavelength=254 nm

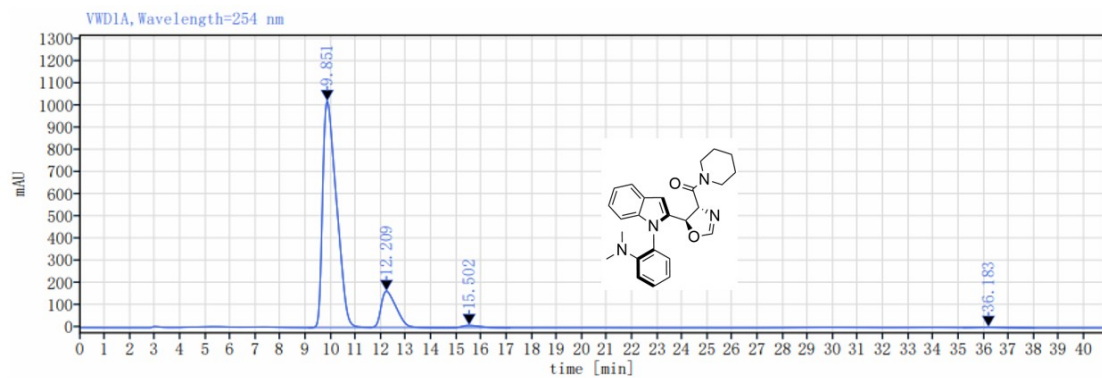
Ret time [min]	Width [min]	Height	Area	Area %
13.42	5.62	610.38	32408.66	75.95
19.46	3.95	148.19	9042.06	21.19
23.33	3.17	8.29	522.36	1.22
37.52	6.61	7.69	696.68	1.63

HPLC spectra for racemic and chiral product 5ag



signal : VWD1A, Wavelength=254 nm

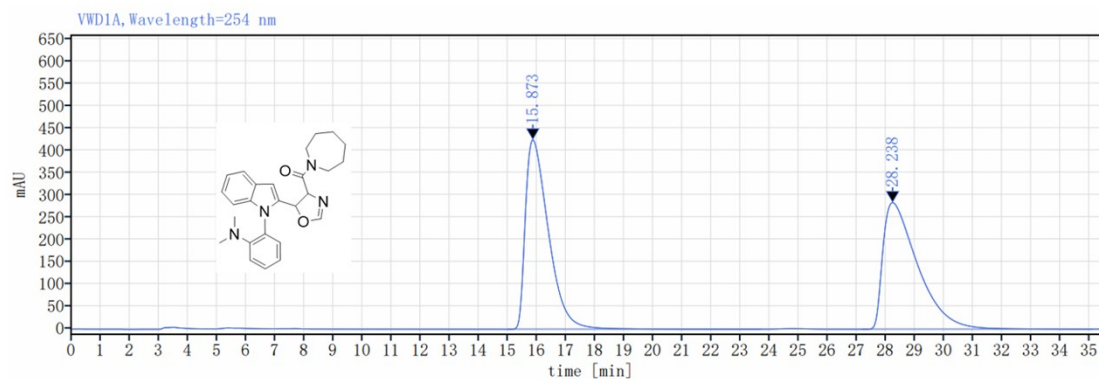
Ret time [min]	Width [min]	Height	Area	Area %
9.86	2.28	534.77	20479.86	47.09
12.22	2.26	31.08	1378.73	3.17
15.28	5.88	456.13	20447.78	47.02
35.60	6.75	14.27	1179.93	2.71



signal : VWD1A, Wavelength=254 nm

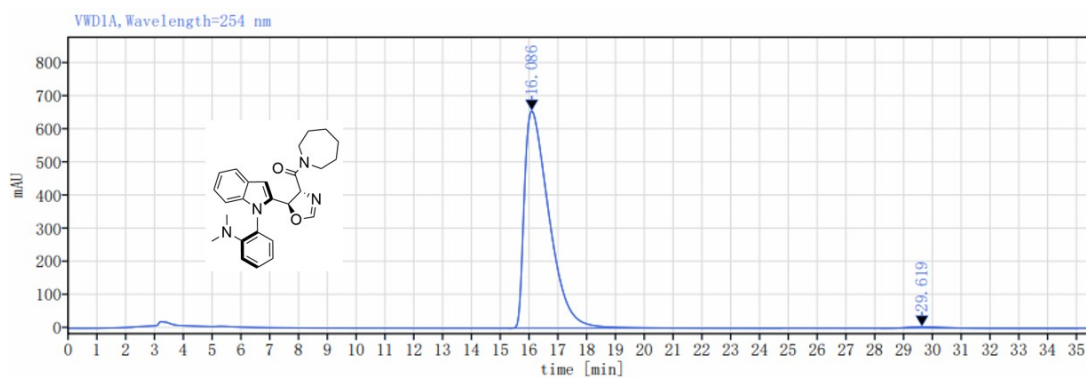
Ret time [min]	Width [min]	Height	Area	Area %
9.85	2.45	1022.23	39154.62	83.87
12.21	3.02	164.35	6898.81	14.78
15.50	2.58	10.27	482.13	1.03
36.18	2.88	2.08	151.56	0.32

HPLC spectra for racemic and chiral product 5ah



signal : VWD1A, Wavelength=254 nm

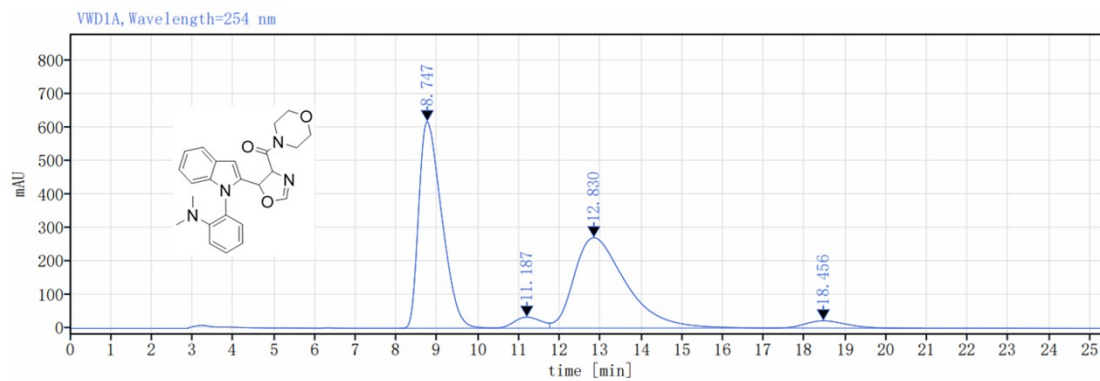
Ret time [min]	Width [min]	Height	Area	Area %
15.87	8.73	425.67	23519.74	49.84
28.24	7.93	284.61	23671.86	50.16



signal : VWD1A, Wavelength=254 nm

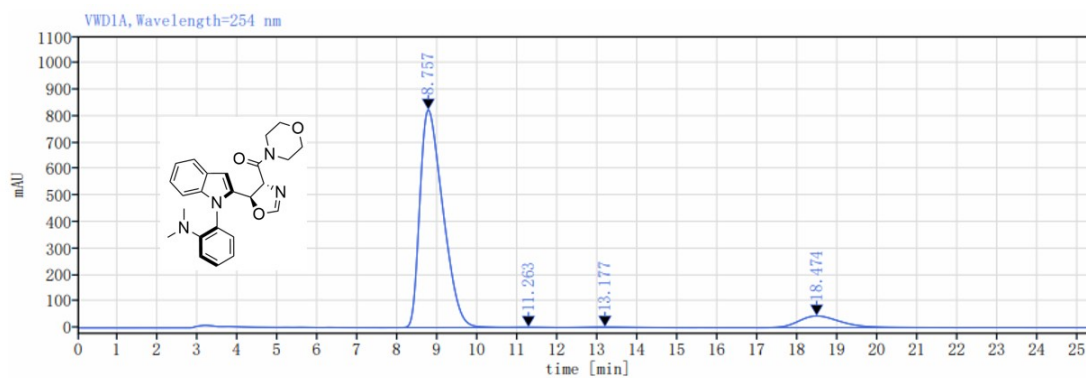
Ret time [min]	Width [min]	Height	Area	Area %
16.09	9.52	656.68	39167.47	99.07
29.62	6.87	4.39	369.15	0.93

HPLC spectra for racemic and chiral product 5ai



signal : VWD1A, Wavelength=254 nm

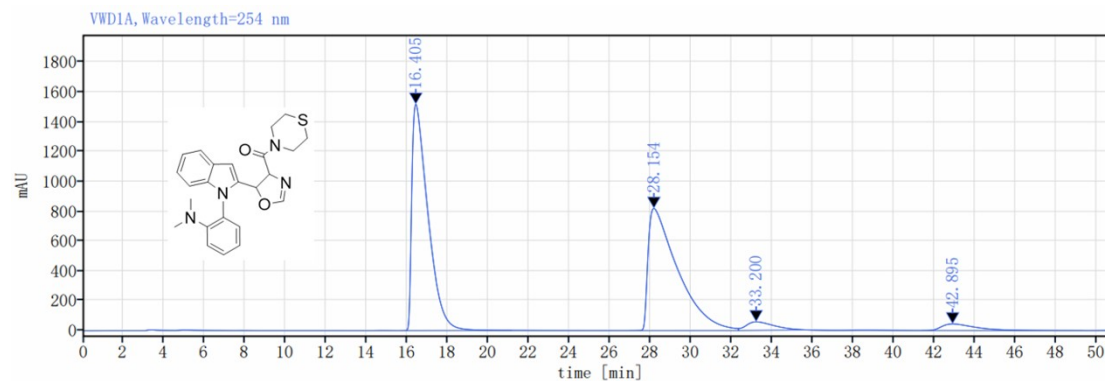
Ret time [min]	Width [min]	Height	Area	Area %
8.75	2.35	618.54	24218.84	47.30
11.19	1.36	32.97	1531.75	2.99
12.83	5.45	270.81	23899.90	46.68
18.46	3.71	21.85	1553.96	3.03



signal : VWD1A, Wavelength=254 nm

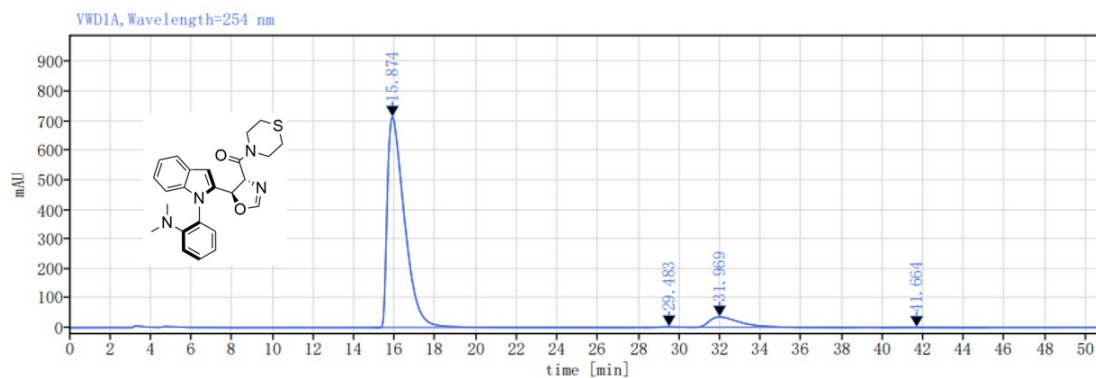
Ret time [min]	Width [min]	Height	Area	Area %
8.76	3.15	822.11	32859.71	90.58
11.26	1.44	0.81	34.50	0.10
13.18	3.34	1.79	140.61	0.39
18.47	5.44	44.31	3241.13	8.93

HPLC spectra for racemic and chiral product 5aj



signal : VWD1A, Wavelength=254 nm

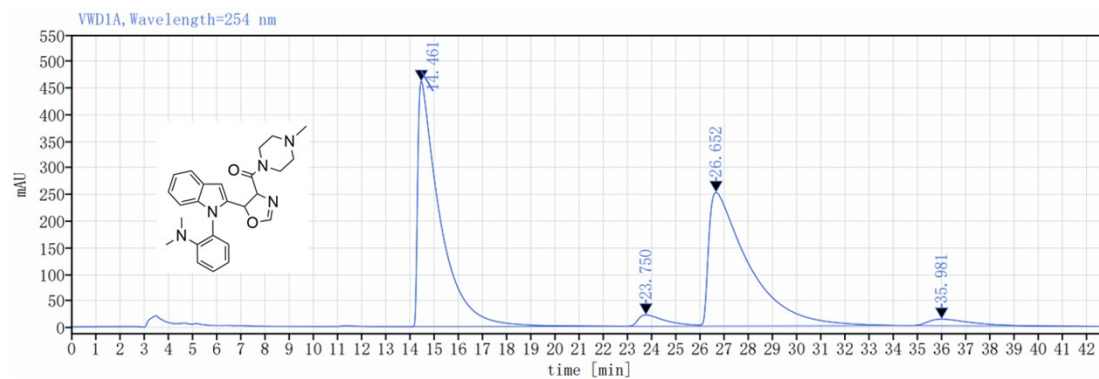
Ret time [min]	Width [min]	Height	Area	Area %
16.40	5.50	1517.84	84088.20	47.15
28.15	5.39	819.49	83250.07	46.68
33.20	3.40	58.15	5606.62	3.14
42.89	7.97	44.98	5378.44	3.02



signal : VWD1A, Wavelength=254 nm

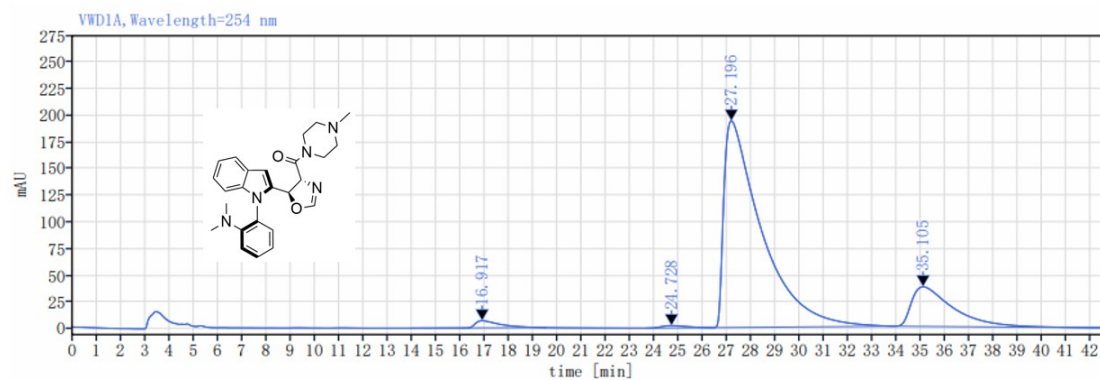
Ret time [min]	Width [min]	Height	Area	Area %
15.87	12.89	711.61	41929.56	91.49
29.48	2.47	2.01	142.70	0.31
31.97	7.98	34.94	3698.98	8.07
41.66	5.30	0.47	58.97	0.13

HPLC spectra for racemic and chiral product 5ak



signal : VWD1A, Wavelength=254 nm

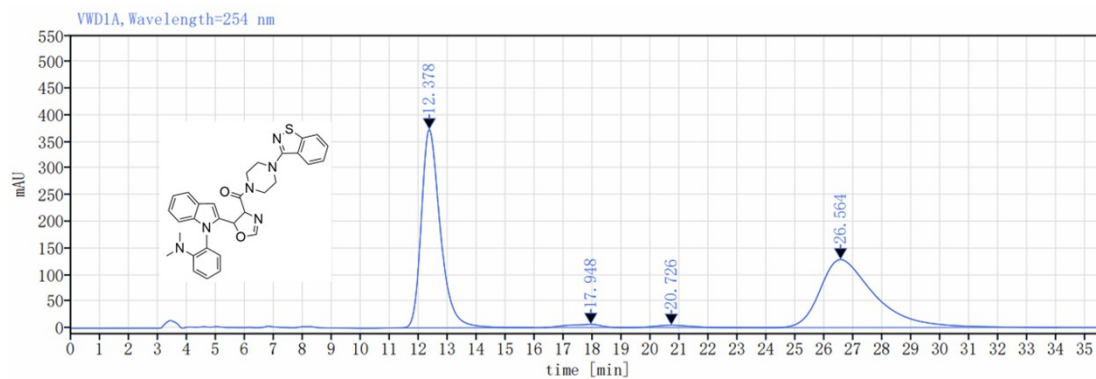
Ret time [min]	Width [min]	Height	Area	Area %
14.46	8.83	460.30	29130.04	47.68
23.75	3.07	21.23	1662.87	2.72
26.65	8.64	250.83	28728.48	47.02
35.98	7.97	12.46	1574.87	2.58



signal : VWD1A, Wavelength=254 nm

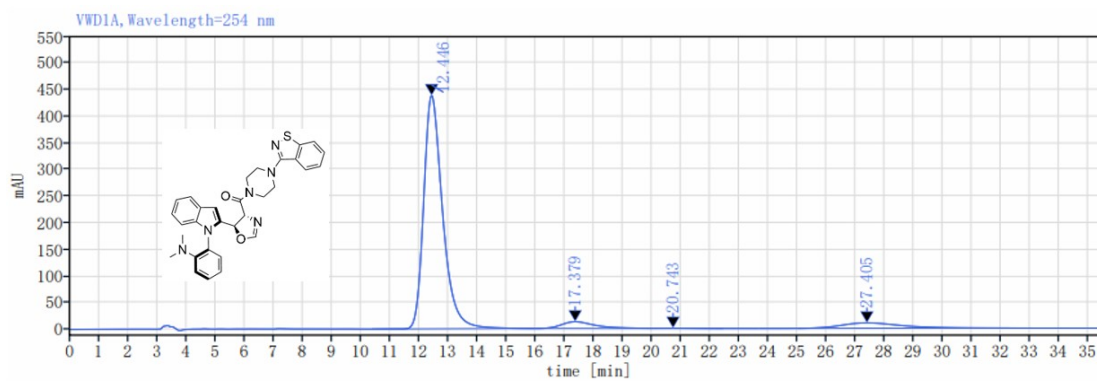
Ret time [min]	Width [min]	Height	Area	Area %
16.92	5.60	6.72	472.19	1.82
24.73	2.77	2.23	162.65	0.63
27.20	7.45	193.61	20927.40	80.57
35.10	8.71	37.14	4413.13	16.99

HPLC spectra for racemic and chiral product 5aI



signal : VWD1A, Wavelength=254 nm

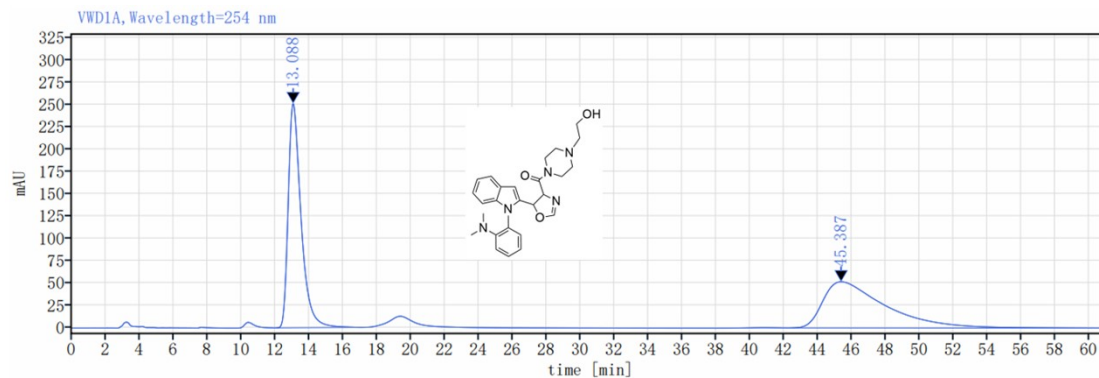
Ret time [min]	Width [min]	Height	Area	Area %
12.38	4.75	372.49	16961.38	49.07
17.95	2.89	5.83	480.55	1.39
20.73	4.49	4.35	392.21	1.13
26.56	10.39	127.68	16733.16	48.41



signal : VWD1A, Wavelength=254 nm

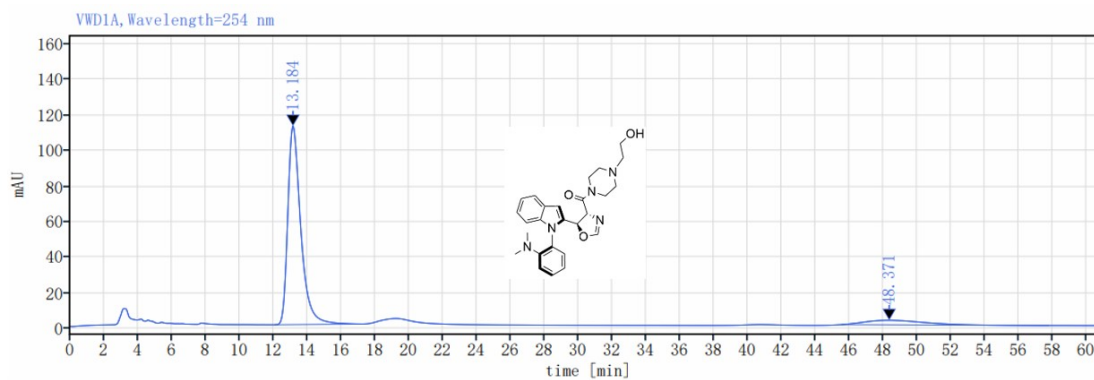
Ret time [min]	Width [min]	Height	Area	Area %
12.45	5.59	437.63	19811.92	88.70
17.38	3.94	12.60	1017.35	4.55
20.74	2.50	0.55	51.14	0.23
27.40	7.28	10.13	1455.61	6.52

HPLC spectra for racemic and chiral product 5am



signal : VWD1A, Wavelength=254 nm

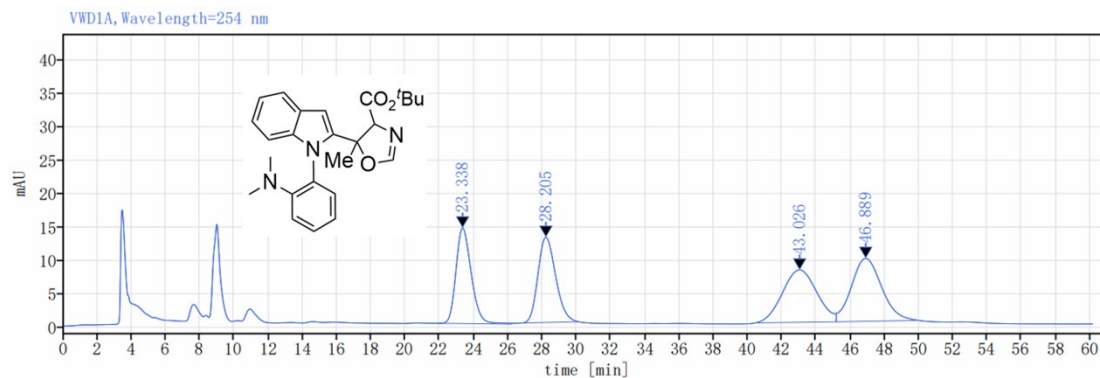
Ret time [min]	Width [min]	Height	Area	Area %
13.09	5.11	251.73	13251.34	49.94
45.39	20.35	51.89	13282.53	50.06



signal : VWD1A, Wavelength=254 nm

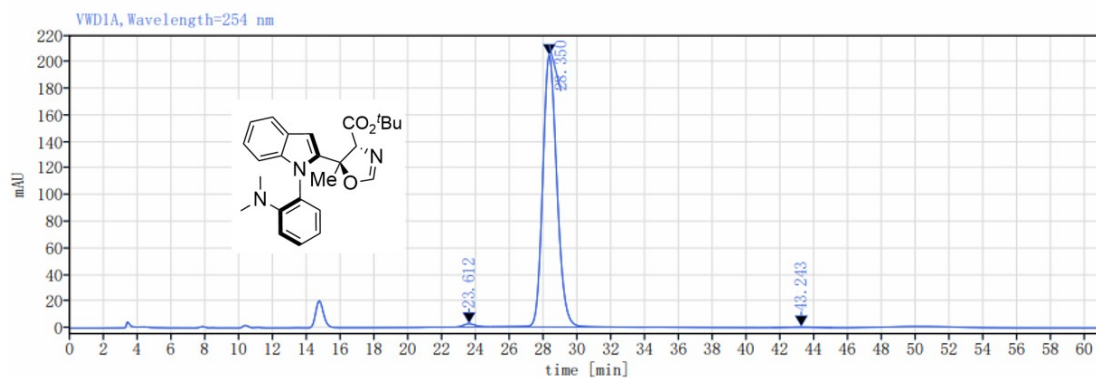
Ret time [min]	Width [min]	Height	Area	Area %
13.18	5.13	111.72	5969.25	90.60
48.37	12.24	2.54	618.98	9.40

HPLC spectra for racemic and chiral product 6aa



signal : VWD1A, Wavelength=254 nm

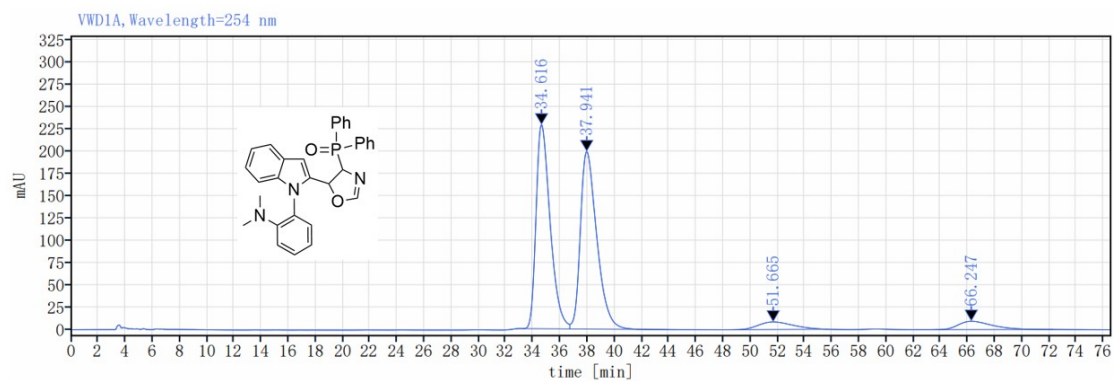
Ret time [min]	Width [min]	Height	Area	Area %
23.34	4.32	14.32	889.16	21.89
28.20	3.33	12.77	916.12	22.56
43.03	4.72	7.84	1098.53	27.05
46.89	4.79	9.43	1157.55	28.50



signal : VWD1A, Wavelength=254 nm

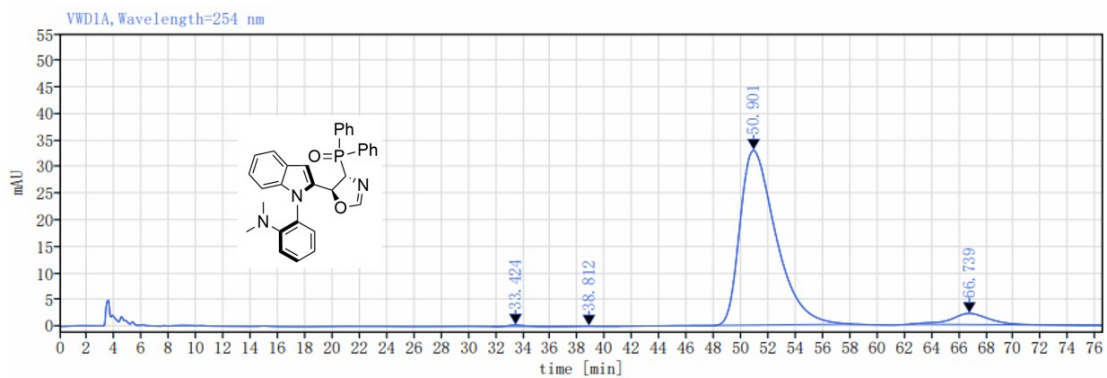
Ret time [min]	Width [min]	Height	Area	Area %
23.61	2.34	2.47	117.91	0.98
28.35	9.02	204.14	11848.70	98.52
43.24	3.51	0.52	59.57	0.50

HPLC spectra for racemic and chiral product 6ab



signal : VWD1A, Wavelength=254 nm

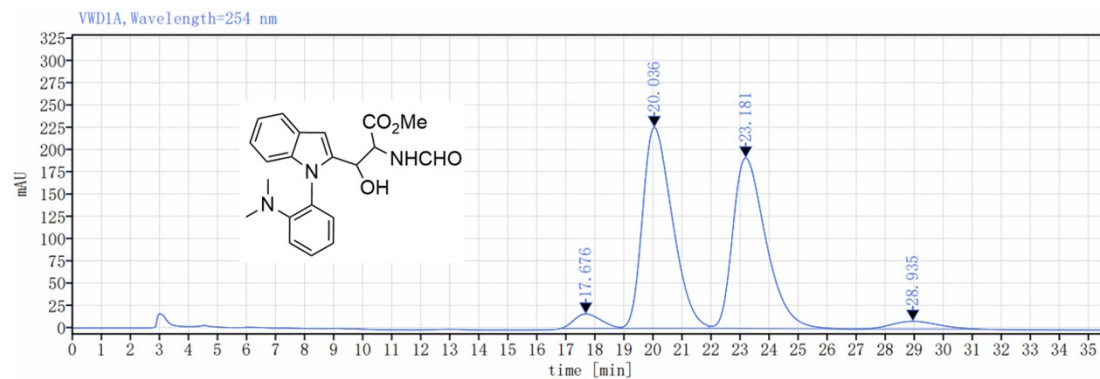
Ret time [min]	Width [min]	Height	Area	Area %
34.62	3.44	228.88	16497.49	45.29
37.94	7.34	199.22	16749.62	45.98
51.67	7.04	8.55	1539.64	4.23
66.25	8.76	9.39	1643.19	4.51



signal : VWD1A, Wavelength=254 nm

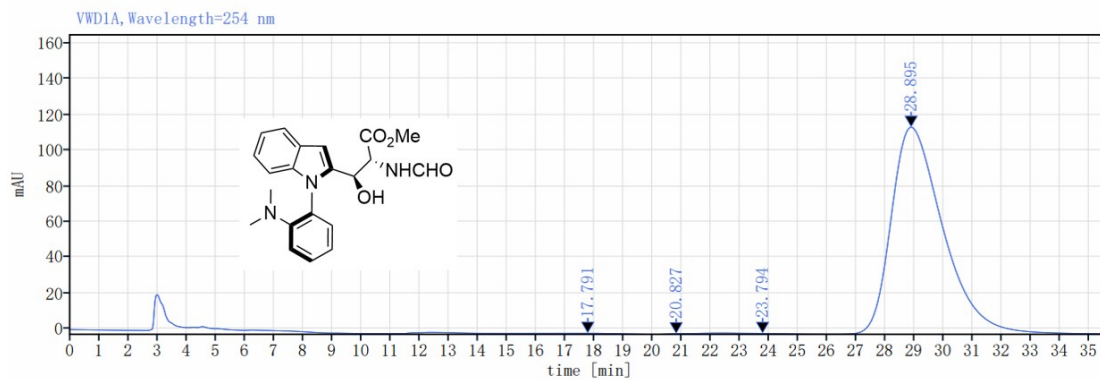
Ret time [min]	Width [min]	Height	Area	Area %
33.42	4.22	0.26	22.77	0.35
38.81	5.10	0.05	5.14	0.08
50.90	12.00	32.88	6027.78	93.40
66.74	14.46	2.06	397.92	6.17

HPLC spectra for racemic and chiral product 7ab



signal : VWD1A, Wavelength=254 nm

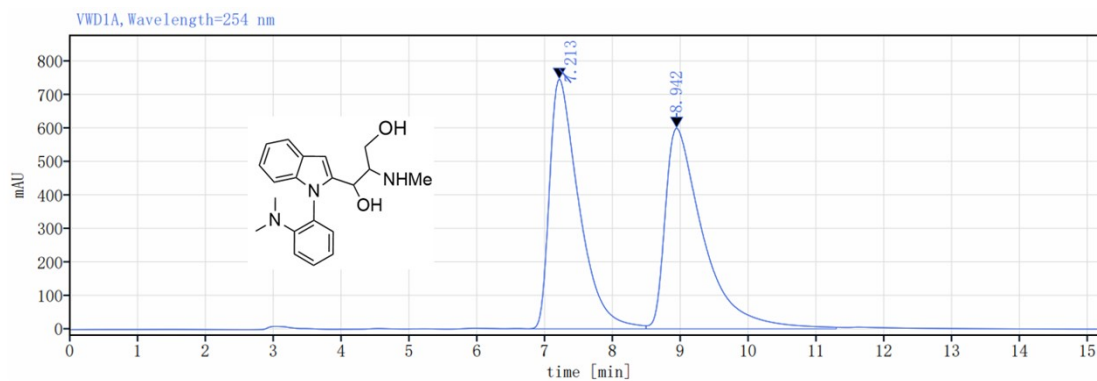
Ret time [min]	Width [min]	Height	Area	Area %
17.68	2.06	16.42	1057.98	3.17
20.04	3.05	225.86	16110.25	48.29
23.18	5.02	191.79	15206.23	45.58
28.93	4.26	8.77	983.63	2.95



signal : VWD1A, Wavelength=254 nm

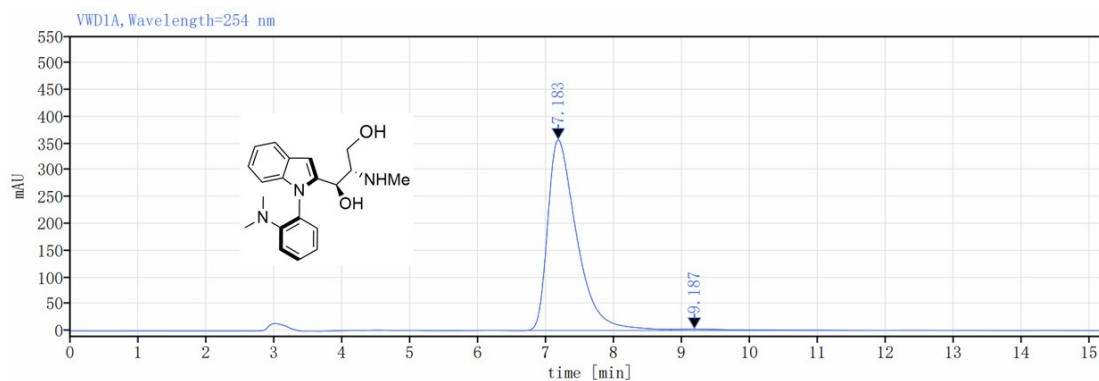
Ret time [min]	Width [min]	Height	Area	Area %
17.79	5.72	0.82	221.60	1.48
20.83	1.45	0.48	38.34	0.26
23.79	3.02	0.54	87.83	0.59
28.90	10.92	116.53	14647.08	97.68

HPLC spectra for racemic and chiral product 8ab



signal : VWD1A, Wavelength=254 nm

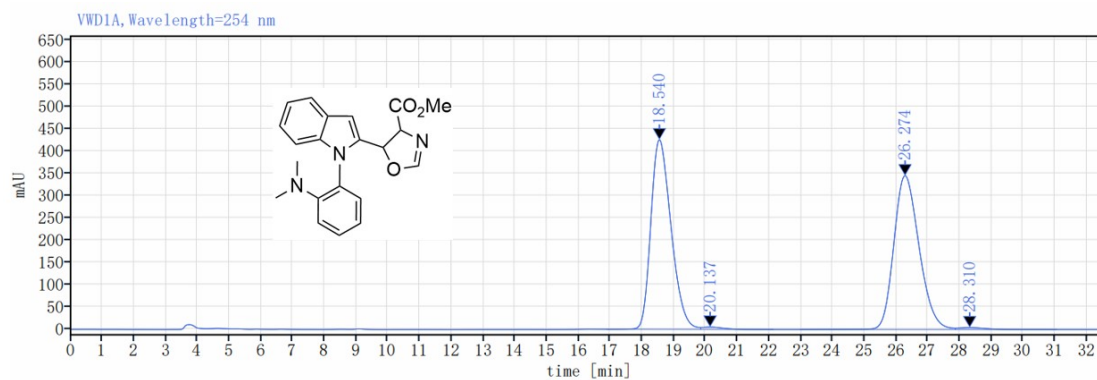
Ret time [min]	Width [min]	Height	Area	Area %
7.21	1.73	745.61	22452.11	48.96
8.94	2.80	599.10	23405.04	51.04



signal : VWD1A, Wavelength=254 nm

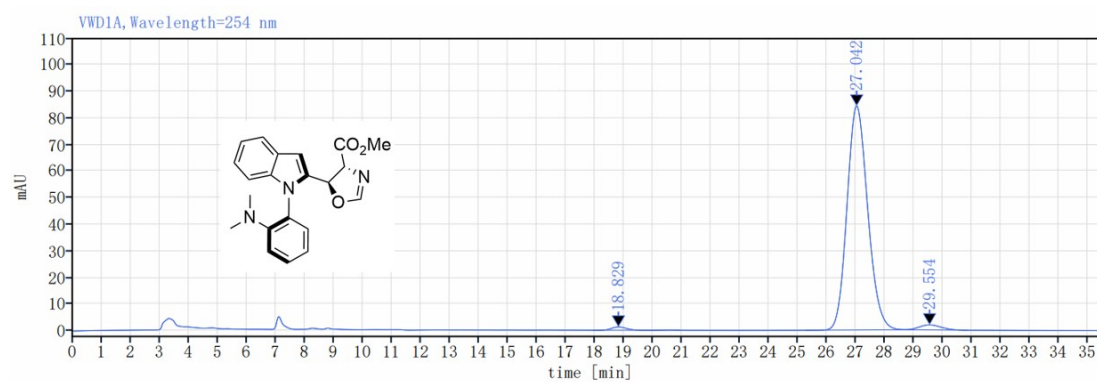
Ret time [min]	Width [min]	Height	Area	Area %
7.18	2.12	356.31	10569.14	98.18
9.19	3.12	2.72	195.82	1.82

HPLC spectra for racemic and chiral product 3ab (gram-scale synthesis)



signal : VWD1A, Wavelength=254 nm

Ret time [min]	Width [min]	Height	Area	Area %
18.54	2.97	426.59	18860.46	49.06
20.14	2.29	5.29	238.37	0.62
26.27	4.89	346.15	19093.37	49.67
28.31	3.19	4.31	249.31	0.65



signal : VWD1A, Wavelength=254 nm

Ret time [min]	Width [min]	Height	Area	Area %
18.83	3.02	1.25	45.69	1.06
27.04	3.43	84.24	4183.74	96.66
29.55	2.16	1.92	98.98	2.29

12. Reference

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