Enantioselective Synthesis of Quartenary 3,4-Dihydroisoquinolinones via Heck Carbonylation Reactions: Development and Application to the Synthesis of Minalrestat Analogues

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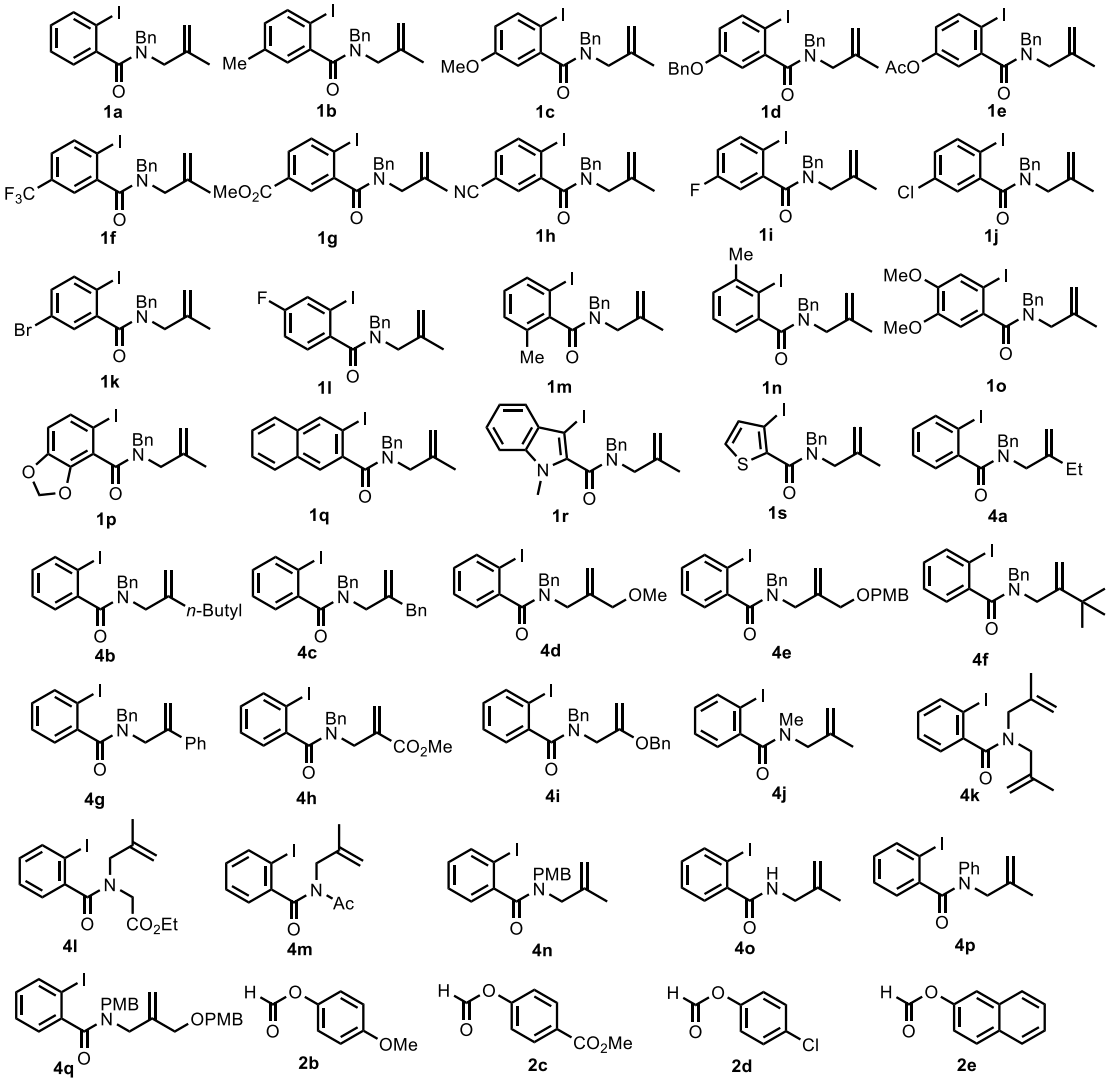
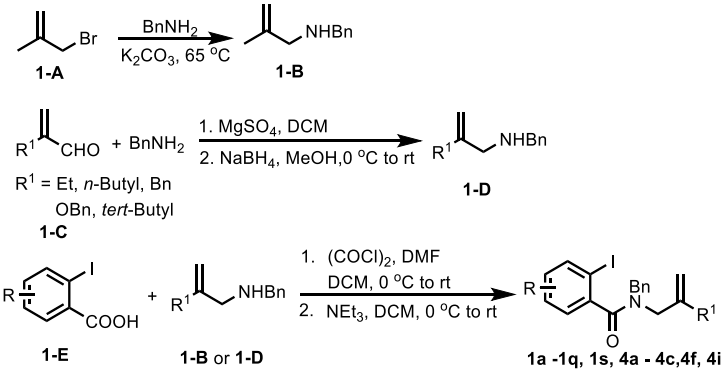
1. General Information:

$Pd(OAc)_2$ was purchased from Strem Chemicals. All the solvents were purified by distillation prior to use. Unless otherwise noted, the other commercial chemicals were used without further purification. ^1H NMR and ^{13}C NMR spectra were recorded on Bruker ARX400 instrument (400 MHz) or Bruker DRX-600 instrument (600 MHz). High resolution mass spectra were measured on Bruker MicroTOF II ESI-TOF mass spectrometer. Optical rotations were taken on AUTOPOL VI. Enantiomeric excesses were determined by chiral HPLC using a Shimadzu instrument. NMR spectra were recorded in $CDCl_3$. ^1H NMR spectra were referenced to residual $CHCl_3$ at 7.26 ppm, and ^{13}C NMR spectra were referenced to the central peak of $CDCl_3$ at 77.0 ppm. Chemical shifts (δ) are reported in ppm, and coupling constants (*J*) are in Hertz (Hz). Multiplicities are reported using the following abbreviations: s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet.

2. General Procedure for the Synthesis of the Substrates



2.1 General Procedure for the Synthesis of 1a – 1q, 1s, 4a - 4c, 4f and 4i:

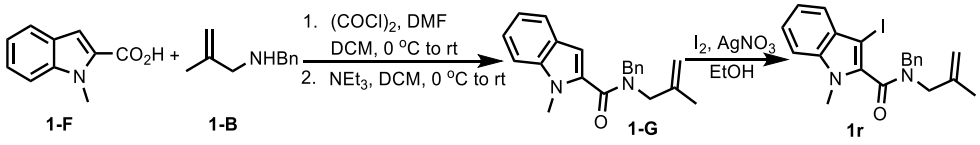


Procedure for the Synthesis of 1-B[1]: A flame dried flask was cooled under a stream of nitrogen and charged with benzylamine (10.9 mL, 100.0 mmol) and potassium carbonate (4.15 g, 30.0 mmol), then cooled on an ice bath. 3-Bromo-2-methylprop-1-ene (**1-A**) (3.38 g, 25.0 mmol) was then added slowly, and the resulting mixture was heated to 65 °C with stirring overnight. The reaction mixture was then cooled to rt and filtered through celite. The celite was rinsed with acetone, and the solution was concentrated in vacuo. The crude product was then purified via flash column chromatography on silica gel (petroleum ether/ethyl acetate = 4:1) to afford 3.00 g (75%) of **1-B** as a pale yellow oil.

General Procedure for the Synthesis of 1-D[2]: A round-bottom flask equipped with a magnetic stir bar and a rubber septum was attached to a double manifold. Oven-dried $MgSO_4$ (2.0 equiv) was added to the flask, and the flask was evacuated and backfilled with N_2 for four times. Unsaturated aldehyde (**1-C**) (10 mmol, 1.0 equiv) and anhydrous CH_2Cl_2 were added sequentially to the flask via syringe. Benzylamine (1.00 equiv) was added dropwisely to the suspension via syringe. The mixture was stirred at rt for 2 h, then filtered and concentrated in vacuo. The crude material was dissolved in anhydrous MeOH and cooled to 0 °C in an ice bath under N_2. $NaBH_4$ (1.5 equiv) was added slowly in four portions. The reaction warmed up to room temperature and stirred overnight. The reaction was quenched with saturated aqueous $NaHCO_3$ solution and extracted with CH_2Cl_2 for three times. The combined extracts were dried over $MgSO_4$ and concentrated in vacuo. The crude product was purified via flash column chromatography on silica gel to afford **1-D** as a colorless oil.

General Procedure for the Synthesis of 1a – 1q, 1s and 4a - 4c, 4f and 4i[3]: To a solution of 2- *o*-iodobenzoic acid derivative (**1-E**) (5 mmol) in dry CH_2Cl_2 (10 mL) was added oxalyl chloride (0.65 mL, 7.5 mmol) and twol drops of DMF. Stirring was continued till the solid disappeared. The volatile was removed under reduced pressure. The contents of the flask were taken up in dry DCM (10 mL) and cooled to 0 °C. The DCM solution (2.5 mL) of **1-B** or **1-D** (5 mmol) and dry NEt_3 (1 equiv) were added. The reaction was allowed to warm up to room temperature and stirred 1h. Once complete as monitored by TLC, the mixture was quenched with aturated $NaHCO_3$ (aq.) and the aqueous phase was extracted with CH_2Cl_2. The combined organic phase was washed with brine, and dried over Na_2SO_4, filtered and concentrated in vacuo. The residue was purified via flash column chromatography on silica gel (petroleum ether/ethyl acetate = 5/1) to afford **1a – 1q, 1s, 4a - 4c, 4f and 4i** as a colorless oil.

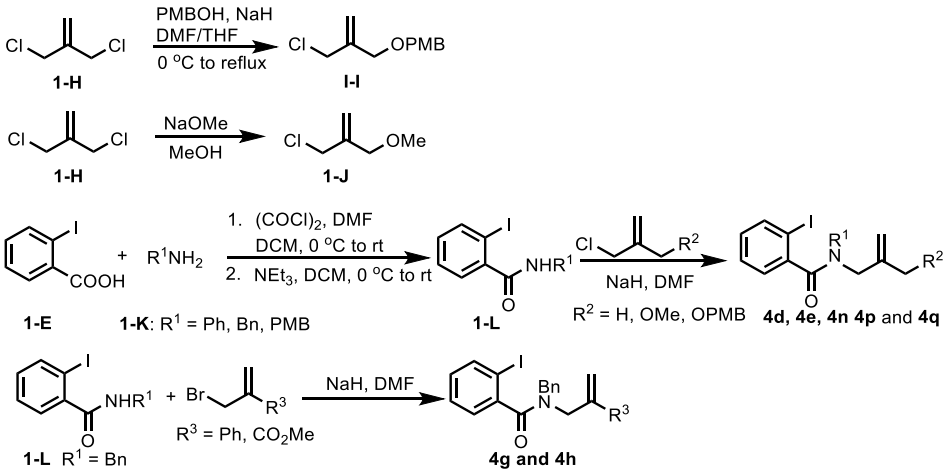
2.2 Procedure for the Synthesis of 1r:



Step 1: To a solution of 1-methyl-1H-indole-2-carboxylic acid (**1-F**) (1.75 g, 10 mmol) in dry CH_2Cl_2 (20 mL) was added oxalyl chloride (1.3 mL, 15 mmol) and two drops of DMF. Stirring was continued till the solid disappeared. The volatile was removed under reduced pressure. The contents of the flask were taken up in dry DCM (20 mL) and cooled to 0 °C. The DCM solution (5 mL) of **1-B** (10 mmol) and dry NEt_3 (1 equiv) were added. The reaction was allowed to warm up to room temperature and stirred for 1 h. Once complete as monitored by TLC, the mixture was quenched with aturated $NaHCO_3$ (aq.) and the aqueous phase was extracted with CH_2Cl_2. The combined organic phase was washed with brine, dried over Na_2SO_4, filtered, and concentrated in vacuo. The residue was purified by silica gel flash column chromatography (PE/EtOAc = 5/1) to afford **1-G** (2.8 g, 88%) as a pale yellow oil.

Step 2[4]: To a solution of compound **1-G** (2.5 g, 8.0 mmol) and $AgNO_3$ (1.63g, 9.6 mmol) in EtOH (10 mL) was added a solution of I_2 (2.44g, 9.6 mmol) in EtOH (15 mL) at room temperature. The resulting mixture was stirred for 40 min. After completion of the reaction as indicated by TLC, the reaction mixture was quenched with saturated $Na_2S_2O_3$ solution (30 mL), and extracted with EtOAc. The combined organic layers were dried over Na_2SO_4, filtered and concentrated in vacuo. The crude residue was purified via flash column chromatography on silica gel to give the compound **1r** (2.84, 80%) as a pale yellow oil.

2.3 General Procedure for the Synthesis of 4d, 4e, 4n, 4q, 4g and 4h:



Procedure for the Synthesis of 1-I[5]**:** To a solution of PMBOH (2.76g, 20 mmol) in THF (13 mL) and DMF (4 mL) was added NaH (1.04 g, 26 mmol) at 0 °C. The mixture was refluxed for 4 h, then cooled to room temperature, and added to a solution of metallyl dichloride (2.48 g, 0.20 mol) in THF (13 mL) dropwise by additional funnel over 1 h. After being stirred at room temperature for 4 h, the resulted mixture was quenched with sat. aq. NH_4Cl. The organic layer was separated, and the aqueous layer was extracted with EtOAc. The combined organic layers were washed with brine, dried over Na_2SO_4, and concentrated in vacuo. The residue was purified by silica gel flash column chromatography (petroleum ether/ethyl acetate = 20/1) to afford **1-I** (2.47 g, 55%) as a colorless oil.

Procedure for the Synthesis of 1-J[6]**:** Sodium methoxide (21.6 g, 400 mmol) was added in one portion to a solution of methallyl dichloride (11.6 mL, 100 mmol) in MeOH (100 mL) at 70 °C. The resulting suspension was vigorously stirred for 1 h before the reaction was quenched with water (100 mL) and the biphasic mixture was allowed to cool to ambient temperature. The product was extracted with pentane (3 x 100 mL), and the combined organic layers were dried ($MgSO_4$), filtered, and concentrated in vacuo. Flash chromatography of the residue (pentane : diethyl ether = 100:0 → 98:2) gave the desired allyl

chloride as a colorless liquid (5.1 g, 41%).

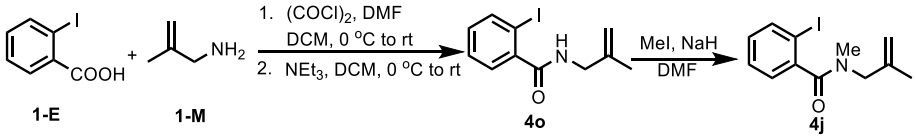
General Procedure for the Synthesis of 4d, 4e, 4n 4p and 4q:

Step 1[7]**:** To a solution of 2-iodobenzoic acid (2.48 g, 10 mmol) in dry CH_2Cl_2 (20 mL) was added oxalyl chloride (1.29 mL, 15 mmol) and two drops of DMF. Stirring was continued till the solid disappeared. The volatile was removed under reduced pressure. Then dry CH_2Cl_2 (20 mL) was added followed by addition of **1-K** (10 mmol) and triethylamine (1.39 mL, 10 mmol) at 0 °C. After being stirred at room temperature for another one hour, the mixture was quenched with water and the aqueous phase was extracted with CH_2Cl_2. The combined organic phase was washed with 10% HCl (aq.), water, saturated $NaHCO_3$ (aq.) and brine, successively, and dried over Na_2SO_4. Evaporation of the solvent afforded **1-L** without further purification.

Step 2: To a suspension of NaH (60% in oil, 0.3 g, 7.5 mmol) in dry DMF (15 mL) was added slowly a solution of **1-L** (5 mmol, 1 equiv) in dry DMF (10 mL) at 0 °C. After stirring for 30 min an allyl chloride derivative (6 mmol, 1.2 equiv) was added dropwise. The reaction was allowed to warm up to room temperature and stirred for 4 h. Once complete as monitored by TLC, the reaction was quenched with saturated aqueous solution of NH_4Cl and extracted with EtOAc. The organic layer was washed with brine, dried over Na_2SO_4, filtered, and concentrated in vacuo. The crude product was purified by silica gel column chromatography with petroleum ether/ethyl acetate 5 : 1 to afford **4d, 4e, 4n 4p and 4q**.

General Procedure for the Synthesis of 4g and 4h: To a suspension of NaH (60% in oil, 0.3 g, 7.5 mmol) in dry DMF (15 mL) was added slowly a solution of **1-L** (5 mmol, 1 equiv) in dry DMF (10 mL) at 0 °C. After stirring for 30 min an allyl bromide derivative (6 mmol, 1.2 equiv) was added dropwise. The reaction was allowed to warm up to room temperature and stirred for 4 h. Once complete as monitored by TLC, the reaction was quenched with saturated aqueous solution of NH_4Cl and extracted with EtOAc. The organic layer was washed with brine, dried over Na_2SO_4, filtered, and concentrated in vacuo. The crude product was purified by silica gel column chromatography with petroleum ether/ethyl acetate 5 : 1 to afford **4g and 4h.**

2.4 Procedures for the Synthesis of 4j:

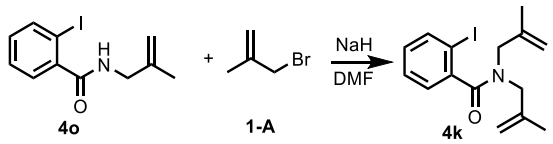


Step 1: To a solution of 2-iodobenzoic acid (2.48 g, 10 mmol) in dry CH_2Cl_2 (20 mL) was added oxalyl chloride (1.29 mL, 15 mmol) and two drops of DMF. Stirring was continued till the solid disappeared. The volatile was removed under reduced pressure. Then dry CH_2Cl_2 (20 mL) was added followed by addition of **1-M** (10 mmol) and triethylamine (1.39 mL, 10 mmol) at 0 °C. After being stirred at room temperature for another one hour, the mixture was quenched with water and the aqueous phase was extracted with CH_2Cl_2. The combined organic phases were washed with 10% HCl (aq.), water, saturated $NaHCO_3$ (aq.) and brine, successively, and dried over Na_2SO_4. Evaporation of the solvent afforded **4o** without further purification (2.98 g, 99% yield).

Step 2: To a suspension of NaH (60% in oil, 0.3 g, 7.5 mmol) in dry DMF (15 mL) was added slowly a solution of **4o** (1.52g, 5 mmol) in dry DMF (5 mL) at 0 °C. After stirring for 30 min, MeI (7.5 mmol) was added dropwise. The reaction was allowed to warm up to room temperature and stirred for 4 h. Once complete as monitored by TLC, the reaction was quenched with saturated aqueous solution of NH_4Cl and extracted with EtOAc. The organic layer was washed with brine, dried over Na_2SO_4, filtered, and concentrated in vacuo. The crude product was purified by silica gel column chromatography with

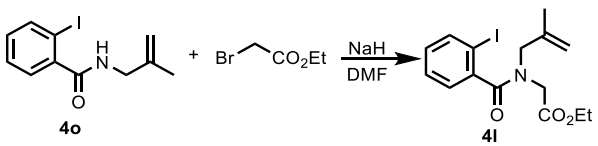
petroleum ether/ethyl acetate 5 : 1 to afford **4j** (1.45g, 92%) as a colorless oil.

2.5 Procedure for the Synthesis of 4k:



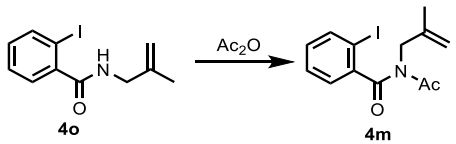
To a suspension of NaH (60% in oil, 0.3 g, 7.5 mmol) in dry DMF (15 mL) was added slowly a solution of 2-iodo-N-(2-methylallyl)benzamide (1.51g, 5 mmol) in dry DMF (5 mL) at 0 °C. After stirring for 30 minutes, **1-A** (7.5 mmol) was added dropwise. The reaction was allowed to warm up to room temperature and stirred for 4 h. Once complete as monitored by TLC, the reaction was quenched with saturated aqueous solution of NH_4Cl and extracted with EtOAc. The organic layer was washed with brine, dried over Na_2SO_4, filtered and concentrated in vacuo. The crude product was purified by silica gel column chromatography with petroleum ether/ethyl acetate 5 : 1 to afford **4k** (1.69g, 95%) as a colorless oil.

2.6 Procedure for the Synthesis of 4l:



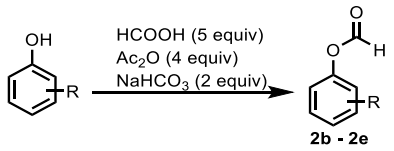
To a suspension of NaH (60% in oil, 0.3 g, 7.5 mmol) in dry DMF (15 mL) was added slowly a solution of **4o** (1.51g, 5 mmol) in dry DMF (5 mL) at 0 °C. After stirring for 30 minutes, ethyl 2-bromoacetate (7.5 mmol) was added dropwise. The reaction was allowed to warm up to room temperature and stirred for 4 h. Once complete as monitored by TLC, the reaction was quenched with saturated aqueous solution of NH_4Cl and extracted with EtOAc. The organic layer was washed with brine, dried over Na_2SO_4, filtered, and concentrated in vacuo. The crude product was purified by silica gel column chromatography with petroleum ether/ethyl acetate 5 : 1 to afford **4l** (1.39g, 72%) as a colorless oil.

2.7 Procedure for the Synthesis of 4m:



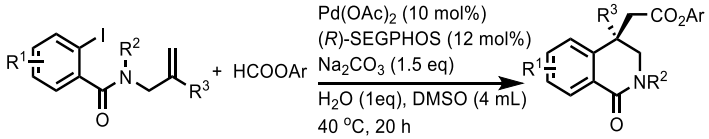
The compound **4o** (1.51g, 5 mmol) was dissolved in acetic anhydride (5 mL) with concentrated sulphuric acid (0.075 mL) as the catalyst. The mixture was refluxed for 4 h and then cooled to room temperature and poured into ice cold water. The product was then extracted with DCM. The organic layer was washed with brine, dried over Na_2SO_4, filtered, and concentrated in vacuo. The crude product was purified by silica gel column chromatography with petroleum ether/ethyl acetate 5 : 1 to afford **4m** (1.17 g, 68%) as a colorless oil.

2.8 General Procedure for the Synthesis of Phenyl Formats Derivatives 2b-2e.[8]



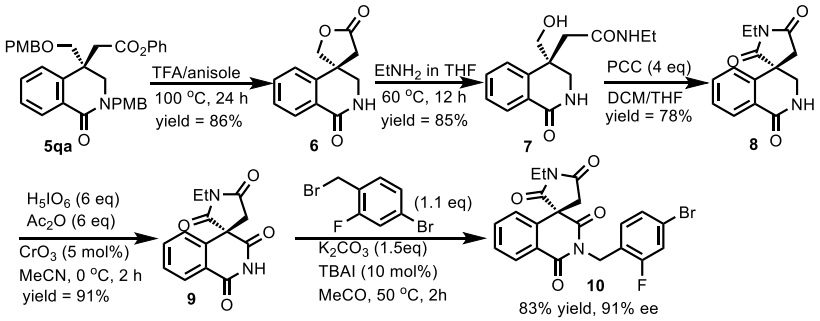
Acetic anhydride (80 mmol, 4.0 equiv) was added to a 250 mL-two-necked flask and the flask was cooled at 0 ºC. Then, formic acid (100 mmol, 5.0 equiv) was added at 0 ºC. After stirring at room temperature for 10 minutes, the mixture was heated at 60 ºC for 1 h. After cooling to room temperature, phenol (20 mmol, 1.0 equiv) and $NaHCO_3$ (40 mmol, 2.0 equiv) were added. The mixture was stirred at room temperature for 4 h. Then, CH_2Cl_2 and water were added to the mixture and the organic layer was extracted with CH_2Cl_2 (three times), washed with water (three times) and brine (one time), and dried over anhydrous Na_2SO_4. After filtration, the filtrate was concentrated in vacuo. The residue was purified by silica gel chromatography using petroleum ether/ethyl acetate (20:1) as an eluent. A colorless oil was obtained.

3. General Procedure for the Synthesis of 3,4-Dihydroisoquinolinones Derivatives.



A 25 mL Schlenk-type tube (with a Teflon screw cap and a side arm) equipped with a magnetic stir bar was charged with $Pd(OAc)_2$ (4.49 mg, 0.02 mmol), (*R*)-SEGPHOS (14.65 mg, 0.024 mmol), Na_2CO_3 (31.80 mg, 0.30 mmol), HCO_2Ar (0.30 mmol, 1.5 eq), the corresponding benzamide (0.2 mmol, 1.0 eq), H_2O (3.60 mg, 1 eq) and DMSO (4 mL). The reaction was frozen with liquid nitrogen and then the tube was evacuated and backfilled with nitrogen (6 times). The mixture was stirred at 40 °C for 20 h. After cooling to room temperature, the reaction mixture was diluted with EtOAc (15 mL), washed with brine (3 times), dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by preparative silica gel TLC with petroleum ether/ethyl acetate to give the corresponding products.

4. Procedure for the Synthesis of the Analogue of Minalrestat 10



Step 1[9]: A sealed tube was charged with **5qa** (551 mg, 1 mmol), TFA (2 mL) and anisole (0.2 mL). The mixture was stirred at 100 °C for 24 h and the volatiles were removed in vacuo. Purification by column chromatography on silica gel afforded the free amide **6** (191.0 mg, 0.88 mmol, 88%) as a white solid.

Step 2: A sealed tube was charged with **6** (109 mg, 0.6 mmol), and ethylamine in THF (5 mL, 2M). The mixture was stirred at 60 °C for 12 h. Then the mixture was concentrated in vacuo and crystallization from dichloromethane/hexane gave the compound **7** (133.6 mg, 85%) as a white solid.

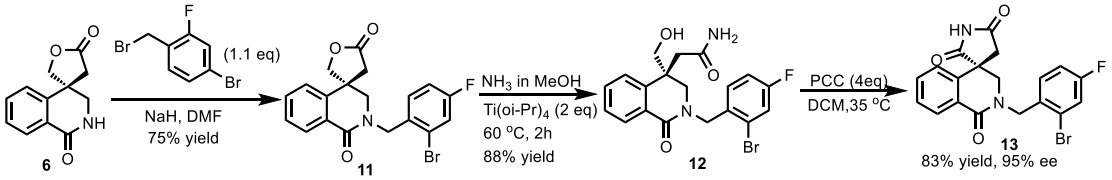
Step 3[10]: To a solution of **7** (105mg, 0.4 mmol) in CH_2Cl_2/THF (3 mL/3 mL) was added PCC (1.6 mmol,

4 equiv) and silica gel (same weight as PCC). The mixture was stirred for 12 h at 35 °C. The reaction mixture was then filtered through a pad of silica gel column using CH_2Cl_2 as the eluent. The solvent was evaporated and the residue was purified by silica gel column chromatography with petroleum ether/ethyl acetate 1 : 1 to afford **8** (80.5 mg, 78%) as a white solid.

Step 4[11]: A mixture of H_5IO_6 (0.28g, 1.2 mmol) and CrO_3 (1 mg, 5 mol%) in acetonitrile (3 mL) was stirred at room temperature for 30 min, and then acetic anhydride (0.15 g, 1.2 mmol) was added. The resulting mixture was cooled to 0 °C, and compound **8** (51.6mg, 0.2 mmol) was added in one portion. After the reaction was complete (monitored by TLC), the reaction mixture was quenched by addition of ice-water, and extracted with EtOAc. The combined organic phase was washed with brine, dried over Na_2SO_4, evaporated in vacuo and crystallization from dichloromethane/hexane gave the compound **9** (49.5 mg, 91%) as a white solid.

Step 5: A mixture of compound **9** (27.2 mg, 0.1 mmol), 4-bromo-1-(bromomethyl)-2-fluorobenzene (1.1 equiv), K_2CO_3 (1.5 equiv), and TBAI (0.01 equiv) in actone (2 mL) was stirred at 50 °C for 2 h. When the reaction was complete, H_2O was added, extracted with EtOAc. The combined organic phase was washed with brine, dried over Na_2SO_4, evaporated in vacuo and purified by silica gel column chromatography with petroleum ether/ethyl acetate 3 : 1 to afford **10** (41.7 mg, 91%) as a white solid.

5. Procedure for the Synthesis of the Non-racemizable Derivative of Minalrestat 13

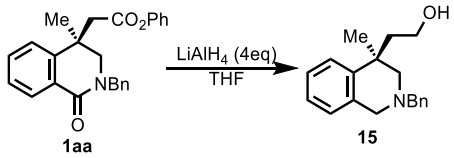


Step 1: To a suspension of NaH (60% in oil, 12 mg, 0.3 mmol) in dry DMF (1 mL) was added slowly a solution of **6** (43.4mg, 0.2 mmol) in DMF (1 mL) at 0 °C under N_2. After stirring for 20 min, 4-bromo-1-(bromomethyl)-2-fluorobenzene (58.5 mg, 0.22 mmol) was added. The reaction was allowed to warm up to room temperature and stirred for 1 h. Once complete as monitored by TLC, the reaction was quenched with saturated aqueous solution of NH_4Cl and extracted with EtOAc. The organic layer was washed with brine, dried over Na_2SO_4, filtered, and concentrated in vacuo. The crude product was purified by silica gel column chromatography with petroleum ether/ethyl acetate 5 : 1 to afford **11** (60.5 mg, 75%) as a white solid.

Step 2: A sealed tube was charged with **11** (80.6 mg, 0.2 mmol), Ti(O*i*-Pr)$_4$ (2 equiv) and ammonia in MeOH (4 mL, 4 M). The mixture was stirred at 60 °C for 2 h. After cooling to room temperature, aq HCl, H_2O, and EtOAc were added. The organic phase was separated and the aqueous layer was extracted with EtOAc. The combined organic phase was washed with brine, dried over Na_2SO_4, evaporated in vacuo and crystallization from dichloromethane/hexane gave the compound **12** (74 mg, 88%) as a white solid.

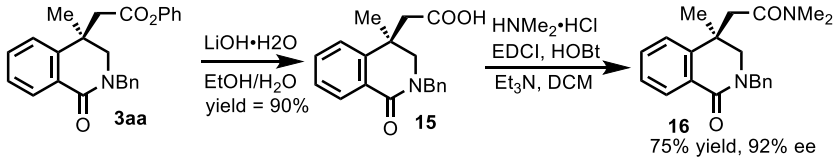
Step 3[10]: To a solution of **12** (42 mg, 0.1 mmol) in CH_2Cl_2 (2 mL) was added PCC (0.4 mmol, 4 equiv) and silica gel (same weight as PCC). The mixture was stirred for 12 h at 35 °C. The reaction mixture was then filtered through a pad of silica gel column using CH_2Cl_2 as the eluent. The solvent was evaporated in vacuo and the residue was purified by silica gel column chromatography with DCM/MeOH 20 : 1 to afford **13** (34.5 mg, 83%) as a white solid.

6. Procedure for the Synthesis of Alcohol 15



To a solution of $LiAlH_4$ (0.8 mmol, 4 eq) in dry THF (2 mL) was added slowly a solution of **1aa** (0.2mmol, 1 eq) in THF (5 mL) at 0 °C. The reaction was allowed to warm up to room temperature until the reaction was judged to be completed by TLC analysis. 1 N HCl was added, and the mixture was extracted with EtOAc. The organic layer was washed with brine, dried over Na_2SO_4, filtered and concentrated in vacuo. The crude product was purified by silica gel column chromatography with petroleum ether/ethyl acetate 5 : 1 to afford **15** as a colorless oil (45.5 mg, 81%)

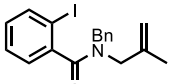
7. Procedure for the Synthesis of Amide 16



Step 1: $LiOH{\cdot}H_2O$ (4 eq) was added to **3aa** (77.0 mg, 0.2 mmol) in $EtOH/H_2O$ (2 mL, 2/1). The mixture was stirred at room temperature for 4 h. Once complete as monitored by TLC, the reaction was added with 1 M hydrochloric acid solution and then extracted with ethyl acetate for 3 times. The organic layer was dried over Na_2SO_4, filtered, and concentrated in vacuo. The crude product was purified by silica gel column chromatography with petroleum ether/ethyl acetate 1 : 1 to afford **15** (55.6 mg, 90%) as a colorless oil

Step 2: A mixture of compound **15** (61.8 mg, 0.2 mmol), EDCI (45.8 mg, 0.24 mmol), HOBt (32.4 mg, 0.24mmol), Et_3N (0.033 ml, 0.24 mmol), dimethylamine hydrochloride (19.6 mg, 0.24 mmol) in CH_2Cl_2 (4 mL) was stirred at room temperature overnight. When the reaction was complete, H_2O was added. The organic phase was separated and the aqueous phase was extracted with CH_2Cl_2 for 3 times. The combined organic phase was washed with brine, dried over Na_2SO_4, evaporated in vacuo and purified by silica gel column chromatography to afford **16** (50.4 mg, 75%) as a white solid.

8. Characterization of the Substrates



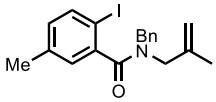
1a

N-benzyl-2-iodo-N-(2-methylallyl)benzamid 1a (two rotamers): Colorless oil, actual mass 1.82 g, 93% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.84 – 7.79 (m, 1H), 7.49 (d, *J* = 7.2 Hz, 1H), 7.36 – 7.25 (m, 5H), 7.14 (d, *J* = 7.2 Hz, 1H), 7.05 – 7.02 (m, 1H), 5.38 (d, *J* = 14.0 Hz, ~ 0.6H), 4.98 – 4.87 (m, 2H), 4.56 (d, *J* = 14.6 Hz, ~ 0.4H), 4.34 – 4.33 (m, ~ 0.6H), 4.13 (d, *J* = 14.0 Hz, ~ 0.6H), 3.66 (d, *J* = 14.6 Hz, ~ 0.4H), 3.54 (s, ~ 1.4H), 1.89, 1.56 (2s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 171.0, 170.8, 142.2, 141.8, 139.8, 139.5, 139.4, 139.1, 136.2, 135.7, 130.1, 130.0, 129.4, 128.6, 128.3, 128.1, 127.9, 127.6, 127.5, 127.3, 114.2, 113.4, 92.6, 92.5, 52.9, 50.8, 48.6, 46.5, 21.0, 20.1.

HRMS (ESI) calcd for $[C_{26}H_{25}NNaO_4]^+$ 414.0325, found 414.0322.



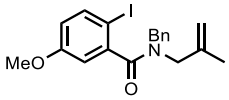
1b

N-benzyl-2-iodo-5-methyl-N-(2-methylallyl)benzamide 1b (two rotamers): Colorless oil, actual mass 1.82 g, 90% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.68 – 7.64 (m, 1H), 7.49 (d, *J* = 7.3 Hz, 1H), 7.35 – 7.24 (m, 3H), 7.14 – 7.03 (m, 2H), 6.85 (t, *J* = 8.4 Hz, 1H), 5.35 (d, *J* = 14.1 Hz, ~ 0.6H), 4.97 – 4.86 (m, ~ 2.2H), 5.54 (d, *J* = 14.7 Hz, ~ 0.4H), 4.34 (s, ~ 0.8H), 4.13 (d, *J* = 14.1 Hz, ~ 0.6H), 3.67 (d, *J* = 14.7 Hz, ~ 0.4H), , 3.55 (d, *J* = 5.5 Hz, ~ 1.2H), 2.27, 2.21 (2s, 3H), 1.89, 1.57 (2s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 171.2, 171.1, 142.1, 141.7, 140.0, 139.7, 139.2, 139.0, 138.4, 138.3, 136.5, 136.1, 131.3, 131.2, 129.5, 128.7, 128.6, 128.4, 128.3, 127.7, 127.6, 127.5, 114.4, 113.7, 88.5, 53.1, 51.0, 48.9, 46.6, 21.2, 21.0, 21.0, 20.3.

HRMS (ESI) calcd for $[C_{19}H_{20}INNaO]^+$ 428.0482, found 428.0484.



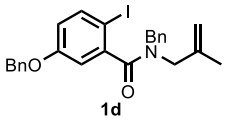
1c

N-benzyl-2-iodo-5-methoxy-N-(2-methylallyl)benzamide 1c (two rotamers): Colorless oil, actual mass 1.89 g, 90% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.67 – 7.63 (m, 1H), 7.49 (d, *J* = 7.2 Hz, 1H), 7.35 – 7.25 (m, 3H), 7.14 (d, *J* = 7.2 Hz, 1H), 6.83 – 6.75 (m, 1H), 6.65 – 6.61 (m, 1H), 5.41 (d, *J* = 14.2 Hz, ~ 0.6H), 4.98 – 4.89 (m, 2H), 4.65 (d, *J* = 14.7 Hz, ~ 0.4H), 4.35 (d, *J* = 3.8 Hz, ~ 0.8H), 4.08 (d, *J* = 14.2 Hz, ~ 0.6H), 3.75 and 3.62 (2s, 3H), 3.60 – 3.54 (m, ~ 1.6H), 1.89 and 1.58 (2s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 170.8, 170.6, 159.6, 159.5, 142.9, 142.5, 140.1, 139.9, 139.8, 139.7, 136.2, 136.0, 129.4, 128.6, 128.3, 127.5, 127.2, 117.0, 116.7, 114.3, 113.4, 113.2, 113.2, 80.8, 80.8, 55.4, 55.2, 52.8, 50.7, 49.0, 46.6, 21.0, 20.2.

HRMS (ESI) calcd for $[C_{19}H_{20}INNaO_2]^+$ 444.0431, found 444.0433.



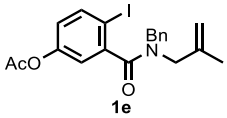
1d

N-benzyl-5-(benzyloxy)-2-iodo-N-(2-methylallyl)benzamide 1d (two rotamers): Colorless oil, actual mass 2.14 g, 86% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.63 – 7.68 (m , 1H), 7.47 (d, *J* = 7.4 Hz, 1H), 7.37 – 7.27 (m, 8H), 7.13 (d, *J* = 7.4 Hz, 1H), 6.87 – 6.82 (m, 1H), 6.72 – 6.68 (m, 1H), 5.34 (d, *J* = 14.2 Hz, ~ 0.6H), 5.06 – 4.84 (m, ~ 4.6H), 4.57 (d, *J* = 14.9 Hz, ~ 0.4H), 4.32 (s, ~ 0.8H), 4.10 (d, *J* = 14.2 Hz, ~ 0.6H), 3.66 (d, *J* = 14.9 Hz, ~ 0.4H), 3.52 – 3.51 (m, ~ 1.2H), 1.88 and 1.51 (2s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 170.7, 170.6, 158.7, 158.7, 143.0, 142.6, 140.2, 140.0, 139.9, 139.6, 136.3, 136.1, 136.1, 136.0, 129.5, 128.7, 128.7, 128.6, 128.3, 128.2, 128.2, 127.6, 127.4, 127.3, 127.3, 117.9, 117.7, 114.3, 114.2, 114.1, 113.5, 81.3, 81.2, 70.1, 70.1, 52.9, 50.8, 49.0, 46.5, 21.1, 20.2.

HRMS (ESI) calcd for $[C_{25}H_{24}INNaO_2]^+$ 520.0744, found 520.0741.



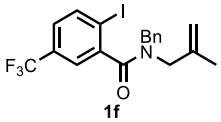
1e

3-(benzyl(2-methylallyl)carbamoyl)-4-iodophenyl acetate 1e (two rotamers): Colorless oil, actual mass 1.91 g, 85% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.83 – 7.78 (m, 1H), 7.48 (d, *J* = 7.2 Hz, 1H), 7.36 – 7.15 (m, 4H), 7.04 – 7.01 (m, 1H), 6.86 – 6.83 (m, 1H), 5.33 (d, *J* = 13.9 Hz, ~ 0.7H), 4.98 – 4.86 (m, 2H), 4.44 (d, *J* = 14.8 Hz, ~ 0.3H), 4.35 (s, ~ 0.7H), 4.14 (d, *J* = 14.1 Hz, ~ 0.7H), 3.75 (d, *J* = 14.8 Hz, ~ 0.3H), 3.57 (s, ~ 1.3H), 2.28, 2.26 (2s, 3H), 1.87, 1.59 (2s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 170.0, 169.7, 168.6, 168.4, 150.7, 150.6, 143.2, 142.8, 140.4, 140.2, 139.8, 139.6, 136.2, 135.5, 129.4, 128.7, 128.4, 127.7, 127.6, 123.7, 123.7, 121.3, 121.1, 114.3, 113.8, 88.2, 88.2, 53.0, 51.0, 48.8, 46.6, 21.0, 21.0, 20.0.

HRMS (ESI) calcd for $[C_{20}H_{20}INNaO_3]^+$ 472.0380, found 472.0381.



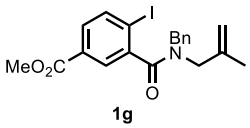
1f

N-benzyl-2-iodo-N-(2-methylallyl)-5-(trifluoromethyl)benzamide 1f (two rotamers): Colorless oil, actual mass 1.90 g, 83% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.98 – 7.94 (m, 1H), 7.50 – 7.49 (m, 2H), 7.39 – 7.08 (m, 5H), 5.43 (d, *J* = 12.8 Hz, ~ 0.6H), 5.01 – 4.88 (m, 2H), 4.61 (d, *J* = 14.4 Hz, ~ 0.4H), 4.36 – 4.25 (m, ~ 0.7H), 4.11 (d, *J* = 13.7 Hz, ~ 0.7H), 3.73 (d, *J* = 14.4 Hz, ~ 0.4H), 3.54 – 3.49 (m, ~ 1.4H), 1.90, 1.56 (2s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 169.8, 169.7, 143.3, 142.8, 140.2, 140.1, 139.7, 139.4, 136.1, 135.6, 130.7 and 130.7 (2q, *J* = 33.2 Hz), 129.5, 128.8, 128.5, 128.0, 127.8, 127.2, 126.6 (2, *J* = 3.3 Hz), 124.5 and 124.2 (2q, *J* = 3.7 Hz) , 123.5 and 123.4 (2q, *J* = 272.4 Hz), 114.7, 113.9, 97.2, 97.2, 97.1, 97.1, 53.0, 51.0, 49.6, 47.1, 21.1, 20.1.

HRMS (ESI) calcd for $[C_{19}H_{17}F_3INNaO]^+$ 482.0199, found 482.0201.



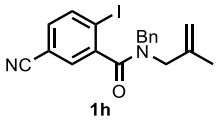
1g

methyl 3-(benzyl(2-methylallyl)carbamoyl)-4-iodobenzoate 1g (two rotamers): Colorless oil, actual mass 1.80 g, 80% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.95 – 7.87 (m, 2H), 7.69 – 7.66 (m, 1H), 7.49 (d, *J* = 7.2 Hz, 1H), 7.37 – 7.25 (m, 3H), 7.13 (d, *J* = 7.3 Hz, 1H), 5.33 (s, ~ 0.6H), 4.99 – 4.89 (m, 2H), 4.51 (d, *J* = 14.0 Hz, ~ 0.4H), 4.32 (d, *J* = 13.7 Hz, ~ 0.6H), 4.19 (s, ~ 0.6H), 3.90 and 3.87 (2s, 3H), 3.87 – 3.74 (m, ~ 0.4H), 3.54 (d, *J* = 7.3 Hz, ~ 1.4H), 1.89 and 1.57 (2s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 170.2, 167.0, 165.8, 165.7, 142.6, 142.2, 139.8, 139.7, 139.6, 139.2, 136.1, 135.5, 130.6, 130.6, 130.1, 130.1, 129.4, 128.7, 128.4, 128.4, 128.2, 127.7, 127.6, 127.3, 114.4, 113.8, 98.9, 53.0, 52.4, 52.3, 51.0, 49.0, 46.7, 21.0, 20.1.

HRMS (ESI) calcd for $[C_{20}H_{20}INNaO_3]^+$ 472.0380, found 472.0385.



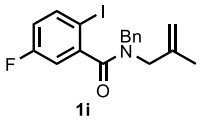
1h

N-benzyl-5-cyano-2-iodo-N-(2-methylallyl)benzamide 1h (two rotamers): Colorless oil, actual mass 1.71 g, 82% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.99 – 7.95 (m, 1H), 7.48 – 7.46 (m, 2H), 7.39 – 7.08 (m, 5H), 5.37 (d, *J* = 13.7 Hz, ~ 0.6H), 5.03 – 4.89 (m, 2H), 4.55 (d, *J* = 14.5 Hz, ~ 0.4H), 4.37 – 4.24 (m, ~ 0.7H), 4.13 (d, *J* = 13.8 Hz, 0.7H), 3.74 (d, *J* = 14.5 Hz, ~ 0.4H), 3.57 – 3.43 (m, ~ 1.3H), 1.89, 1.58 (2s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 169.0, 168.9, 143.8, 143.3, 140.5, 140.4, 139.4, 139.0, 135.8, 135.2, 132.7, 132.6, 130.4, 130.0, 129.4, 128.9, 128.5, 128.0, 127.8, 127.1, 117.5, 117.3, 114.8, 113.6, 112.4, 112.3, 98.9, 98.8, 52.8, 50.9, 49.5, 47.1, 21.0, 20.2.

HRMS (ESI) calcd for $[C19H17IN2NaO]^+$ 439.0278, found 439.0284.



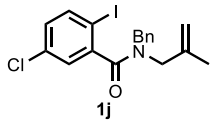
1i

N-benzyl-5-fluoro-2-iodo-N-(2-methylallyl)benzamide 1i (two rotamers): Colorless oil, actual mass 1.70 g, 83% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.78 – 7.72 (m, 1H), 7.48 (d, *J* = 7.3 Hz, 1H), 7.35 – 7.12 (m, 4H), 7.01 – 6.97 (m, 1H), 6.82 – 6.78 (m, 1H), 5.38 (d, *J* = 13.7 Hz, ~ 0.6H), 4.99 – 4.88 (m, 2H), 4.54 (d, *J* = 14.5 Hz, ~ 0.4H), 4.34 (s, ~ 0.7H), 4.10 (d, *J* = 13.7 Hz, ~ 0.6H), 3.67 (d, *J* = 14.5 Hz, ~ 0.4H), 3.54 (s, ~ 1.3H), 1.88, 1.57 (2s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 169.8 (d, *J* = 1.5 Hz), 169.6 (d, *J* = 1.5 Hz), 163.5, 163.4, 161.8, 161.8, 144.1 (d, *J* = 6.6 Hz), 143.6 (d, *J* = 6.6 Hz), 141.1 (d, *J* = 7.7 Hz), 140.9 (d, *J* = 7.7 Hz), 139.7, 139.4, 136.2, 135.6, 129.5, 128.9, 128.5, 128.4, 127.9, 127.8, 127.4, 118.0 (d, *J* = 21.9 Hz), 117.9 (d, *J* = 21.9 Hz), 115.4 (d, *J* = 23.9 Hz), 115.1 (d, *J* = 23.9 Hz), 114.7, 113.7, 85.8 (d, *J* = 3.3 Hz), 85.7 (d, *J* = 3.3 Hz), 52.9, 50.9, 49.0, 46.9, 21.2, 20.3.

HRMS (ESI) calcd for $[C_{18}H_{17}FINNaO]^+$ 432.0231, found 432.0228.



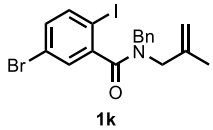
1j

N-benzyl-5-chloro-2-iodo-N-(2-methylallyl)benzamide 1j (two rotamers): Colorless oil, actual mass 1.87 g, 88% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.75 – 7.70 (m, 1H), 7.47 (d, *J* = 7.2 Hz, 1H), 7.36 – 7.28 (m, 3H), 7.23 – 7.18 (m, 2H), 7.05 – 7.03 (m, 1H), 5.34 (d, *J* = 13.6 Hz, ~ 0.6H), 5.07 – 4.81 (m, ~ 2.2H), 4.51 – 4.12 (m, ~ 1.8H), 3.72 – 3.53 (m, ~ 1.6H), 1.88 and 1.56 (2s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 169.7, 169.6, 143.8, 143.4, 140.7, 140.5, 139.7, 139.4, 136.2, 135.6, 134.8, 134.7, 130.5, 130.5, 129.5, 128.8, 128.5, 127.9, 127.8, 127.6, 127.5, 114.6, 113.8, 89.8, 89.8, 53.0, 51.0, 49.1, 46.9, 21.1, 20.3.

HRMS (ESI) calcd for $[C_{18}H_{17}ClINNaO]^+$ 447.9936, found 447.9943.



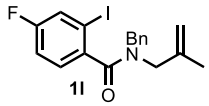
1k

N-benzyl-5-bromo-2-iodo-N-(2-methylallyl)benzamide 1k (two rotamers): Colorless oil, actual mass 2.09 g, 89% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.68 – 7.63 (m, 1H), 7.47 (d, *J* = 7.2 Hz, 1H), 7.37 – 7.27 (m, 4H), 7.19 – 7.16 (m, 1H), 7.12 (d, *J* = 7.2 Hz, 1H), 5.33 (d, *J* = 13.7 Hz, ~ 0.7H), 5.01 – 4.87 (m, 2H), 4.50 (d, *J* = 14.6 Hz, ~ 0.4H), 4.36 – 4.29 (m, ~ 0.8H), 4.13 (d, *J* = 13.7 Hz, ~ 0.7H), 3.72 (d, *J* = 14.6 Hz, ~ 0.4H), 3.54 – 3.53 (m, ~ 1.3H), 1.88 and 1.59 (2s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 169.5, 169.3, 144.0, 143.5, 140.8, 140.6, 139.6, 139.3, 136.0, 135.5, 133.3, 133.2, 130.6, 130.3, 129.4, 128.7, 128.4, 127.8, 127.7, 127.4, 122.6, 122.5, 114.5, 113.8, 90.6, 52.9, 50.9, 49.0, 46.7, 21.0, 20.2.

HRMS (ESI) calcd for $[C_{18}H_{17}BrINNaO]^+$ 491.9430, found 491.9439.



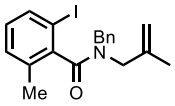
1l

N-benzyl-4-fluoro-2-iodo-N-(2-methylallyl)benzamide 1l (two rotamers): Colorless oil, actual mass 1.70 g, 83% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.58 – 7.53 (m, 1H), 7.48 – 7.47 (m, ~ 1.3H), 7.36 – 7.20 (m, 4H), 7.13 – 7.11 (m, ~ 0.7H), 7.09 – 7.01 (m, 1H), 5.37 (d, *J* = 13.0 Hz, ~ 0.6H), 4.98 – 4.88 (m, 2H), 4.57 (d, *J* = 13.6 Hz, ~ 0.3H), 4.33 (s, 0.8H), 4.12 (d, *J* = 13.0 Hz, ~ 0.6H), 3.67 (d, *J* = 14.0 Hz, ~ 0.4H), 3.53 – 3.52 (m, ~ 1.3H), 1.88 and 1.56 (2s, 3H)

^{13}C NMR (151 MHz, $CDCl_3$) δ 170.4, 170.2, 162.4 (d, *J* = 1.5 Hz), 160.7 (d, *J* = 3.0 Hz), 139.7, 139.4, 138.5 (d, *J* = 4.5 Hz), 138.0 (d, *J* = 3.0 Hz), 136.2, 135.7, 129.4, 128.7, 128.6, 128.5, 128.4, 128.4, 127.7, 127.6, 127.1, 126.5 (d, *J* = 22.6 Hz), 126.3 (d, *J* = 22.6 Hz), 115.5 (d, *J* = 21.1 Hz), 115.4 (d, *J* = 21.1 Hz), 114.3, 113.3, 92.4 (d, *J* = 7.8 Hz), 92.4 (d, *J* = 7.8 Hz), 52.9, 50.9, 49.0, 46.8, 21.0, 20.1.

HRMS (ESI) calcd for $[C_{18}H_{17}FINNaO]^+$ 432.0231, found 432.0235.



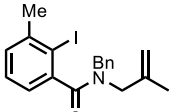
1m

N-benzyl-2-iodo-6-methyl-N-(2-methylallyl)benzamide 1m (two rotamers): Colorless oil, actual mass 1.84 g, 91% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.68 – 7.60 (m, 1H), 7.51 (d, *J* = 7.2 Hz, 1H), 7.34 – 7.26 (m, 3H), 7.16 – 7.07 (m, 2H), 6.96 – 6.89 (m, 1H), 4.99 – 4.88 (m, 3H), 4.54 (d, *J* = 14.0 Hz, ~ 0.6H), 4.32 – 4.30 (m, 1H), 4.01 (d, *J* = 14.0 Hz, ~ 0.4H), 3.62 – 3.57 (m, 1H), 2.27, 2.25 (2s, 3H), 1.89, 1.62 (2s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 170.93, 170.6, 141.7, 141.0, 140.3, 139.5, 136.9, 136.8, 136.8, 136.7, 136.3, 135.5, 130.2, 130.2, 130.2, 130.0, 129.9, 128.8, 128.5, 128.3, 127.8, 127.7, 115.2, 114.9, 93.4, 93.3, 53.3, 51.2, 49.2, 46.6, 21.5, 20.9, 20.4, 20.1.

HRMS (ESI) calcd for $[C_{19}H_{20}INNaO]^+$ 428.0482, found 428.0479.



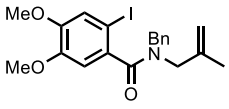
1n

N-benzyl-2-iodo-3-methyl-N-(2-methylallyl)benzamide 1n (two rotamers): Colorless oil, actual mass 1.74 g, 86% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.50 (d, *J* = 7.4 Hz, 1H), 7.36 – 7.15 (m, 6H), 7.04 (t, *J* = 8.7 Hz, 1H), 5.39 (d, *J* = 14.2 Hz, ~ 0.6H), 4.97 – 4.87 (m, 2H), 4.54 (d, *J* = 14.9 Hz, ~ 0.4H), 4.48 – 4.30 (m, ~ 0.8H), 4.12 (d, *J* = 14.2 Hz, ~ 0.6H), 3.68 (d, *J* = 14.9 Hz, ~ 0.4H), 3.58 – 3.50 (m, ~ 1.3H), 2.48 and 2.45 (2s, 3H), 1.89 and 1.57 (2s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 171.7, 171.5, 143.4, 143.0, 142.8, 142.5, 140.0, 139.6, 136.3, 135.8, 129.6, 129.5, 128.6, 128.3, 128.3, 128.1, 127.6, 127.5, 127.5, 124.8, 124.6, 114.3, 113.6, 99.5, 99.4, 52.9, 50.9, 48.6, 46.5, 29.0, 28.9, 21.2, 20.2.

HRMS (ESI) calcd for $[C_{19}H_{20}INNaO]^+$ 428.0482, found 428.0484.



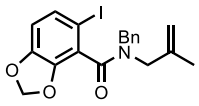
1o

N-benzyl-2-iodo-4,5-dimethoxy-N-(2-methylallyl)benzamide 1o (two rotamers): Colorless oil, actual mass 1.94 g, 86% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.49 (d, *J* = 7.2 Hz, 1H), 7.36 – 7.12 (m, 5H), 6.80, 6.64 (2s, 1H), 5.48 (d, *J* = 12.9 Hz, ~ 0.5H), 4.99 – 4.90 (m, 2H), 4.78 (d, *J* = 13.1 Hz, ~ 0.5H), 4.36 (d, *J* = 7.4 Hz, ~ 0.8H), 4.02 (d, *J* = 13.1 Hz, ~ 0.5H), 3.86 – 3.81 (m, 5H), 3.60 – 3.54 (m, ~ 2.7H), 1.90, 1.57 (2s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 171.0, 170.9, 149.5, 149.5, 149.0, 148.9, 140.0, 139.9, 136.5, 136.3, 134.4, 134.1, 129.3, 128.6, 128.3, 127.5, 127.4, 126.8, 121.3, 121.2, 114.1, 112.9, 110.6, 110.5, 81.0, 80.8, 56.1, 55.9, 55.6, 52.9, 50.7, 49.4, 46.7, 21.0, 20.2.

HRMS (ESI) calcd for $[C_{20}H_{22}INNaO_3]^+$ 474.0537, found 474.0544.



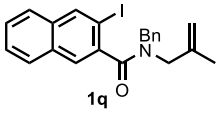
1p

N-benzyl-5-iodo-N-(2-methylallyl)benzo[d][1,3]dioxole-4-carboxamide 1p (two rotamers): Colorless oil, actual mass 1.76 g, 81% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.45 (d, *J* = 7.3 Hz, 1H), 7.34 – 7.25 (m, 4H), 7.21 (d, *J* = 7.3 Hz, 1H), 6.56 (t, *J* = 7.9 Hz, 1H), 6.01 – 5.88 (m, 2H), 5.09 (d, *J* = 14.4 Hz, ~ 0.5H), 4.96 – 4.86 (m, 2H), 4.41 – 4.38 (m, ~ 1.5H), 4.25 (d, *J* = 14.9 Hz, ~ 0.5H), 3.93 (d, *J* = 14.9 Hz, ~ 0.5H), 3.65 (q, *J* = 15.8 Hz, 1H), 1.85, 1.63 (2s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 166.7, 166.4, 148.2, 148.1, 144.8, 144.7, 139.7, 139.3, 136.1, 135.7, 132.5, 132.3, 129.2, 128.5, 128.3, 127.9, 127.6, 127.5, 123.6, 123.1, 114.6, 114.2, 110.6, 110.5, 102.0, 101.9, 81.6, 81.5, 53.3, 51.1, 49.0, 46.6, 20.8, 20.1.

HRMS (ESI) calcd for $[C_{19}H_{18}INNaO_3]^+$ 458.0224, found 458.0220.



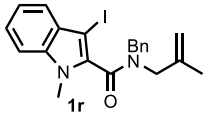
1q

N-benzyl-3-iodo-N-(2-methylallyl)-2-naphthamide 1q (two rotamers): Colorless oil, actual mass 1.87 g, 85% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.38, 8.35 (2s, 1H), 7.77 – 7.69 (m, 3H), 7.54 – 7.47 (m, 3H), 7.38 – 7.14 (m, 4H), 5.42 (d, *J* = 13.8 Hz, ~ 0.6H), 5.00 – 4.92 (m, 2H), 4.60 (d, *J* = 14.5 Hz, ~ 0.4H), 4.38 (s, ~ 0.7H), 4.20 (d, *J* = 13.8 Hz, ~ 0.7H)), 3.75 (d, *J* = 14.5 Hz, ~ 0.4H), 3.58 (s, ~ 1.3H), 1.93, 1.55 2(s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 171.0, 170.9, 139.9, 139.6, 139.0, 138.8, 138.7, 138.3, 136.4, 135.8, 134.3, 134.3, 131.9, 131.8, 129.5, 128.6, 128.4, 128.0, 127.9, 127.6, 127.6, 127.5, 127.4, 127.3, 127.2, 127.2, 126.6, 126.6, 126.5, 126.3, 114.3, 113.5, 89.2, 89.1, 53.1, 51.0, 48.9, 46.7, 21.1, 20.2.

HRMS (ESI) calcd for $[C_{22}H_{20}INNaO]^+$ 464.0482, found 464.0482.



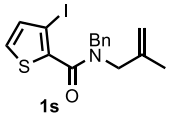
1r

N-benzyl-3-iodo-1-methyl-N-(2-methylallyl)-1H-indole-2-carboxamide 1r (two rotamers): Colorless oil, actual mass 2.84 g, 80% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.50 (d, *J* = 7.3 Hz, 1H), 7.46 – 7.43 (m, 1H), 7.37 (t, *J* = 7.3 Hz, 1H), 7.33 – 7.20 (m, 5H), 6.96 – 6.95 (m, 1H), 5.45 (d, *J* = 14.3 Hz, ~ 0.6H), 5.05 – 4.79 (m, 3H), 4.38 (d, *J* = 15.6 Hz, ~ 0.4H), 4.15 (d, *J* = 14.3 Hz, ~ 0.6H), 4.06 (d, *J* = 15.6 Hz, ~ 0.6H), 3.77 (s, ~ 1.6H), 3.67 – 3.57 (m, ~ 2.3H), 1.94, 1.55 (2s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 164.4, 164.1, 140.0, 139.9, 137.3, 137.2, 136.4, 136.2, 135.3, 134.7, 129.7, 129.7, 129.3, 128.8, 128.6, 127.9, 127.8, 127.6, 124.0, 124.0, 121.8, 121.8, 121.1, 121.1, 114.9, 113.9, 110.0, 110.0, 58.0, 57.8, 53.9, 51.7, 49.8, 46.9, 31.8, 31.7, 21.0, 20.2.

HRMS (ESI) calcd for $[C_{21}H_{21}IN_2NaO]^+$ 467.0591, found 467.0586.



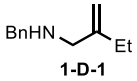
1s

N-benzyl-3-iodo-N-(2-methylallyl)thiophene-2-carboxamide 1s (two rotamers): Colorless oil, actual mass 1.65 g, 83% yield.

^{1}H NMR (600 MHz, DMSO-d_6) δ 7.66 (s, 1H), 7.43 – 7.30 (m, 4H), 7.17 (d, J = 5.0 Hz, 2H), 4.96 – 4.80 (m, 2H), 4.62 – 4.43 (m, 2H), 3.95 – 3.71 (m, 2H), 1.79 – 1.55 (m, 3H).

^{13}C NMR (151 MHz, DMSO-d_6) δ 165.1, 140.3, 140.1, 137.1, 136.5, 136.1, 135.5, 129.7, 129.0, 128.5, 128.4, 127.9, 127.5, 113.6, 113.3, 82.0, 54.2, 51.6, 49.6, 47.8, 20.9, 20.3.

HRMS (ESI) calcd for $[C_{16}H_{16}INNaOS]^+$ 419.9889, found 419.9888.



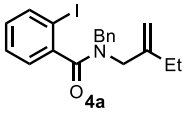
1-D-1

N-benzyl-2-methylenebutan-1-amine 1-D-1: Colorless oil, actual mass 1.37 g, 78% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.34 – 7.31 (m, 4H), 7.25 – 7.23 (m, 1H), 4.93 (s, 1H), 4.86 (s, 1H), 3.77 (s, 2H), 3.22 (s, 2H), 2.09 (q, J = 7.4 Hz, 2H), 1.49 (s, 1H), 1.05 (t, J = 7.4 Hz, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 149.5, 140.5, 128.3, 128.1, 126.8, 108.7, 53.8, 53.1, 27.1, 12.2.

HRMS (ESI) calcd for $[C_{12}H_{17}NNa]^+$ 198.1253, found 198.1259.



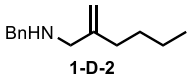
4a

N-benzyl-2-iodo-N-(2-methylenebutyl)benzamide 4a (two rotamers): Colorless oil, actual mass 1.76 g, 87% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.82 – 7.77 (m, 1H), 7.49 (d, J = 7.4 Hz, 1H), 7.34 – 7.24 (m, 5H), 7.13 (d, J = 7.4 Hz, 1H), 7.03 – 6.99 (m, 1H), 5.37 (d, J = 13.6 Hz, ~ 0.6H), 4.99 – 4.91 (m, 2H), 4.58 (d, J = 14.6 Hz, ~ 0.4H), 4.32 (s, ~ 0.7H), 4.14 (d, J = 13.7 Hz, ~ 0.6H), 3.70 (d, J = 14.6 Hz, ~ 0.4H), 3.56 (s, ~ 1.4H), 2.21 – 2.18, 1.80 – 1.78 (2m, 2H), 1.10, 0.85 (2t, J = 7.4 Hz, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 171.2, 170.9, 145.4, 145.3, 142.4, 141.9, 139.5, 139.3, 136.5, 135.9, 130.2, 130.2, 129.5, 128.7, 128.4, 128.2, 128.0, 127.7, 127.7, 127.7, 127.5, 127.5, 112.1, 111.1, 92.7, 92.7, 52.0, 51.0, 47.7, 46.9, 27.1, 26.3, 12.1, 12.0.

HRMS (ESI) calcd for $[C_{19}H_{20}INNaO]^+$ 428.0482, found 428.0480.



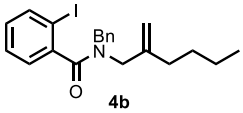
1-D-2

N-benzyl-2-methylenehexan-1-amine 1-D-2: Colorless oil, actual mass 1.44 g, 71% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.33 – 7.27 (m, 4H), 7.24 – 7.21 (m, 1H), 4.93 (s, 1H), 4.85 (s, 1H), 3.75 (s, 2H), 3.19 (s, 2H), 2.07 – 2.05 (m, 2H), 1.44 – 1.29 (m, 5H), 0.90 (t, J = 7.3 Hz, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 147.9, 140.4, 128.2, 128.0, 126.7, 109.6, 53.7, 53.1, 34.0, 29.9, 22.4, 13.9.

HRMS (ESI) calcd for $[C_{14}H_{21}NNa]^+$ 226.1566, found 226.1568.



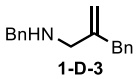
4b

N-benzyl-2-iodo-N-(2-methylenehexyl)benzamide 4b (two rotamers): Colorless oil, actual mass 1.75 g, 81% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.84 – 7.79 (m, 1H), 7.49 (d, *J* = 7.4 Hz, 1H), 7.35 – 7.25 (m, 5H), 7.14 (d, *J* = 7.4 Hz, 1H), 7.06 – 7.02 (m, 1H), 5.41 (d, *J* = 14.1 Hz, ~ 0.6H), 4.99 – 4.92 (m, 2H), 4.57 (d, *J* = 14.8 Hz, ~ 0.4H), 4.33 (s, ~ 0.7H), 4.12 (d, *J* = 14.1 Hz, ~ 0.6H), 3.68 (d, *J* = 14.8 Hz, ~ 0.4H), 3.55 (s, ~ 1.3H), 2.17 (q, *J* = 8.9 Hz, ~ 0.6H), 1.81 – 1.79 (m, ~ 1.5H), 1.53 – 1.47 (m, ~ 0.7H), 1.34 (q, *J* = 7.3 Hz, ~ 0.8H), 1.15 – 1.14 (m, ~ 2.5H), 0.91 (t, *J* = 7.3 Hz, 1H), 0.80 (t, *J* = 6.7 Hz, 2H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 171.1, 170.8, 143.9, 143.6, 142.2, 141.7, 139.4, 139.1, 136.4, 135.8, 130.1, 130.1, 129.4, 128.6, 128.3, 128.1, 127.9, 127.6, 127.6, 127.5, 127.4, 127.3, 112.9, 111.7, 92.6, 92.6, 51.7, 50.8, 47.4, 46.9, 33.8, 33.2, 29.6, 29.5, 22.4, 22.1, 14.0, 13.8.

HRMS (ESI) calcd for $[C_{21}H_{24}INNaO]^+$ 456.0795, found 456.0796.



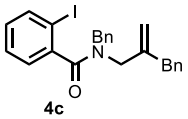
1-D-3

N,2-dibenzylprop-2-en-1-amine 1-D-3: Colorless oil, actual mass 1.47 g, 62% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.31 – 7.18 (m, 10H), 5.04 (s, 1H), 4.87 (s, 1H), 3.71 (s, 2H), 3.40 (s, 2H), 3.16 (s, 2H), 1.54 (s, 1H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 147.1, 140.3, 139.4, 128.9, 128.3, 128.3, 128.1, 126.8, 126.0, 112.2, 53.1, 53.0, 41.2.

HRMS (ESI) calcd for $[C_{17}H_{19}NNa]^+$ 260.1410, found 260.1414.



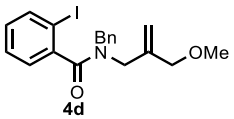
4c

N-benzyl-N-(2-benzylallyl)-2-iodobenzamide 4c (two rotamers): Colorless oil, actual mass 2.01 g, 86% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.82, 1.71 (2d, 7.9 Hz, 1H), 7.32 – 7.15 (m, 10H), 7.05 – 6.92 (m, 3H), 5.38 (d, *J* = 12.8 Hz, ~ 0.6H), 5.22 – 4.94 (m, 2H), 5.38 (d, *J* = 14.7 Hz, ~ 0.4H), 4.34 – 4.33 (m, ~ 0.6H), 4.05 (d, *J* = 12.8 Hz, ~ 0.6H), 3.66 (d, *J* = 14.7 Hz, ~ 0.4H), 3.52 – 3.49 (m, 2H), 3.14 – 3.02 (m, ~ 1.4H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 171.1, 170.7, 143.1, 142.6, 142.1, 141.4, 139.3, 139.0, 138.7, 137.8, 136.2, 135.5, 130.1, 130.0, 129.4, 129.1, 128.5, 128.5, 128.3, 128.3, 128.2, 128.1, 127.8, 127.6, 127.5, 127.4, 127.4, 127.0, 126.2, 126.1, 115.1, 113.4, 92.6, 92.4, 50.9, 50.9, 47.1, 47.0, 40.9, 40.4.

HRMS (ESI) calcd for $[C_{24}H_{22}INNaO]^+$ 490.0638, found 490.0634.



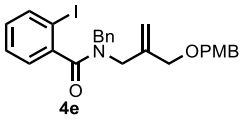
4d

N-benzyl-2-iodo-N-(2-(methoxymethyl)allyl)benzamide 4d (two rotamers): Colorless oil, actual mass 1.85 g, 88% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.84 – 7.78 (m, 1H), 7.49 (d, *J* = 7.4 Hz, 1H), 7.34 – 7.24 (m, 5H), 7.15 (d, *J* = 7.4 Hz, 1H), 7.04 (t, *J* = 7.4 Hz, 1H), 5.38 (d, *J* = 13.9 Hz, ~ 0.6H), 5.30 – 5.07 (m, 2H), 4.55 (d, *J* = 14.9 Hz, ~ 0.4H), 4.37 (s, ~ 0.8H), 4.18 (d, *J* = 13.9 Hz, ~ 0.6H), 4.12 – 4.01 (m, ~ 0.9H), 3.75 – 3.65 (m, ~ 2.8H), 3.37 (s, ~ 1.1H), 3.12 (s, ~ 1.7H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 171.1, 170.8, 142.0, 141.6, 140.3, 140.2, 139.3, 139.1, 136.2, 135.7, 130.2, 130.1, 129.3, 128.6, 128.3, 128.1, 127.8, 127.6, 127.6, 127.5, 127.3, 127.3, 115.1, 114.8, 92.6, 92.5, 73.9, 73.4, 58.2, 57.6, 51.2, 49.4, 47.0, 45.5.

HRMS (ESI) calcd for $[C_{19}H_{20}INNaO_2]^+$ 444.0431, found 444.0432.



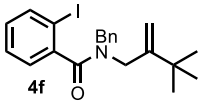
4e

N-benzyl-2-iodo-N-(2-(((4-methoxybenzyl)oxy)methyl)allyl)benzamide 4e (two rotamers): Colorless oil, actual mass 2.50 g, 95% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.80 – 7.75 (m, 1H), 7.47 (d, *J* = 7.2 Hz, 1H), 7.32 – 7.20 (m, 6H), 7.13 – 7.06 (m, 2H), 7.02 – 6.97 (m, 1H), 6.86 – 6.82 (m, 2H), 5.35 – 5.09 (m, ~ 2.8H), 4.61 – 4.44 (m, ~ 1.4H), 4.34 (s, 1H), 4.19 – 4.04 (m, ~ 2.8H), 3.78 – 3.77 (m, 4H), 3.68 (s, 1H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 171.0, 170.7, 159.0, 159.0, 141.9, 141.5, 140.3, 140.3, 139.2, 139.0, 136.2, 135.6, 130.1, 130.0, 130.0, 129.6, 129.3, 129.2, 129.1, 128.6, 128.3, 128.0, 127.8, 127.6, 127.5, 127.4, 127.2, 127.2, 115.1, 114.8, 113.6, 113.5, 92.6, 92.5, 71.9, 71.2, 71.0, 70.7, 55.1, 51.0, 49.5, 47.0, 45.5.

HRMS (ESI) calcd for $[C_{26}H_{26}INNaO_3]^+$ 550.0850, found 550.0856.



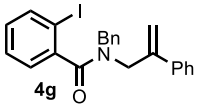
4f

N-benzyl-N-(3,3-dimethyl-2-methylenebutyl)-2-iodobenzamide 4f (two rotamers): Colorless oil, actual mass 1.86 g, 86% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.86 – 7.78 (m, 1H), 7.50 (d, *J* = 6.9 Hz, 1.5H), 7.36 – 7.24 (m, 5H), 7.16 (m, d, *J* = 6.9 Hz, 0.5H), 7.06 – 7.01 (m, 1H), 5.58 (d, *J* = 14.5 Hz, ~ 0.7H), 5.22 – 4.95 (m, 2H), 4.42 – 4.37 (m, ~ 0.7H), 3.97 (d, *J* = 14.5 Hz, 1H), 3.66 – 3.56 (m, ~ 1.6H), 1.03 and 0.80 (2s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 171.4, 171.0, 151.3, 150.2, 142.2, 141.6, 139.6, 139.0, 136.5, 135.8, 130.1, 130.1, 129.4, 128.6, 128.4, 128.0, 127.7, 127.7, 127.6, 127.6, 127.5, 127.0, 107.1, 107.0, 92.8, 92.5, 51.4, 47.9, 47.7, 43.9, 35.3, 34.6, 28.9, 28.7.

HRMS (ESI) calcd for $[C_{21}H_{24}INNaO]^+$ 456.0795, found 456.0791.



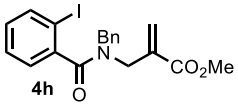
4g

N-benzyl-2-iodo-N-(2-phenylallyl)benzamide 4g (two rotamers): Colorless oil, actual mass 2.04 g, 90% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.80 – 7.74 (m, 1H), 7.55 – 7.50 (m, 2H), 7.37 – 7.23 (m, 7H), 7.17 – 6.96 (m, 4H), 5.52 – 5.46 (m, 1H), 5.23 and 5.18 (2s, 1H), 4.41 – 4.14 (m, 2H), 4.00 (s, 1H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 171.2, 170.7, 143.3, 142.9, 141.9, 141.5, 139.4, 139.2, 138.8, 138.7, 136.2, 135.6, 130.2, 130.1, 129.4, 128.6, 128.5, 128.4, 128.4, 128.1, 128,0, 127.9, 127.7, 127.6, 127.5, 127.4, 126.9, 126.2, 116.7, 114.6, 92.5, 92.4, 50.9, 47.1, 46.2.

HRMS (ESI) calcd for $[C_{23}H_{20}INNaO]^+$ 476.0482, found 476.0486.



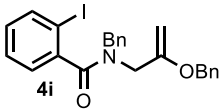
4h

methyl 2-((N-benzyl-2-iodobenzamido)methyl)acrylate 4h (two rotamers): Colorless oil, actual mass 1.91 g, 88% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.84 – 7.80 (m, 1H), 7.47 (d, *J* = 8.6 Hz, 1H), 7.36 – 7.16 (m, 6H), 7.07 – 7.04 (m, 1H), 6.43 and 6.35 (2s, 1H), 6.12 (s, ~ 0.5H), 5.69 (s, ~ 0.5H), 5.31 (d, *J* = 14.5 Hz, ~ 0.5H), 4.43 (d, *J* = 11.6 Hz, 1H), 4.23 (d, *J* = 14.5 Hz,, ~ 0.5H), 4.05 (d, *J* = 15.5 Hz, ~ 0.5H), 3.96 – 3.89 (m, 1H), 3.77 and 3.67 (2s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 171.4, 171.0, 166.8, 165.7, 141.7, 141.5, 139.4, 139.3, 136.1, 135.9, 135.0, 134.3, 130.3, 130.3, 129.2, 128.7, 128.7, 128.5, 128.2, 128.0, 127.8, 127.7, 127.6, 127.3, 127.2, 126.6, 92.7, 92.5, 52.4, 52.1, 52.0, 48.1, 47.5, 44.5.

HRMS (ESI) calcd for $[C_{19}H_{18}INNaO_3]^+$ 458.0224, found 458.0221.



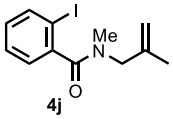
4i

N-benzyl-N-(2-(benzyloxy)allyl)-2-iodobenzamide 4i (two rotamers): Colorless oil, actual mass 1.96 g, 81% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.80 – 7.75 (m, 1H), 7.50 – 7.13 (m, 12H), 7.01 – 6.98 (m, 1H), 5.41 (d, *J* = 14.4 Hz, ~ 0.6H), 5.26 (s, ~ 0.4H), 4.80 (s, ~ 0.6H), 4.70 – 4.65 (m, ~ 1.4H), 4.56 (d, *J* = 14.9 Hz,, ~ 0.3H), 4.46 – 4.21 (m, 2H), 4.14 (d, *J* = 2.7 Hz, ~ 0.6H), 3.99 (d, *J* = 2.7 Hz, ~ 0.6H), 3.88 (d, *J* = 14.9 Hz, ~ 0.3H), 3.76 (d, *J* = 15.7 Hz, ~ 0.6H), 3.55 (d, *J* = 15.7 Hz, ~ 0.6H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 171.1, 170.9, 157.1, 156.5, 142.0, 141.7, 139.3, 138.8, 136.7, 136.4, 136.2, 135.9, 130.1, 129.9, 129.3, 128.6, 128.4, 128.4, 128.3, 128.1, 128.0, 127.8, 127.8, 127.7, 127.6, 127.6, 127.5, 127.4, 92.7, 92.5, 85.6, 85.4, 69.8, 69.6, 51.9, 50.5, 46.9, 46.1.

HRMS (ESI) calcd for $[C_{24}H_{22}INNaO_2]^+$ 506.0587, found 506.0585.



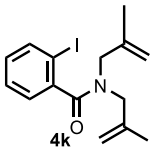
4j

2-iodo-N-methyl-N-(2-methylallyl)benzamide 4j (two rotamers): Colorless oil, actual mass 2.99g, 95% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.77 (t, *J* = 8.8 Hz, 1H), 7.36 – 7.30 (m, 1H), 7.16 (t, *J* = 7.2 Hz, 1H), 7.04 – 7.00 (m, 1H), 4.93 (s, 1H), 4.87, 4.82 (2s, 2H), 4.10 (s, 1H), 3.66 – 3.54 (m, 1H), 3.01, 2.69 (2s, 3H), 1.79,1.54 (2s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 171.2, 170.6, 142.9, 142.3, 140.2, 139.9, 139.2, 139.1, 130.2, 130.1, 128.4, 128.2, 127.3, 127.1, 113.4, 113.3, 92.9, 92.2, 56.7, 52.4, 35.6, 32.3, 20.5, 20.0.

HRMS (ESI) calcd for $[C_{12}H_{15}INO]^+$ 316.0193, found 316.0191.



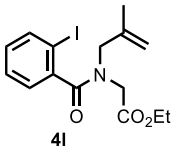
4k

2-iodo-N,N-bis(2-methylallyl)benzamide 4k: Colorless oil, actual mass 1.69 g, 95% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.83 (d, *J* = 8.0 Hz, 1H), 7.34 (t, *J* = 7.6 Hz, 1H), 7.24 (d, *J* = 7.6 Hz, 1H), 7.06 (t, *J* = 7.6 Hz, 1H), 5.02 – 4.84 (m, 4H), 4.65 (d, *J* = 14.2 Hz, 1H), 3.65 – 3.61 (m, 3H), 1.89 (s, 3H), 1.56 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 170.9, 142.2, 140.1, 139.6, 139.3, 130.1, 127.9, 127.4, 114.0, 112.9, 92.5, 52.8, 48.8, 21.1, 20.2.

HRMS (ESI) calcd for $[C_{15}H_{18}INNaO]^+$ 378.0325, found 378.0328.



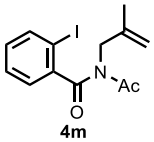
4l

ethyl N-(2-iodobenzoyl)-N-(2-methylallyl)glycinate 4l (two rotamers): Colorless oil, actual mass 1.39 g, 72% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.86 – 7.82 (m, 1H), 7.39 – 7.33 (m, 1H), 7.28 – 7.25 (m, 1H), 7.10 – 7.06 (m, 1H), 5.00 – 4.78 (m, ~2.5H), 4.26 – 4.11 (m, ~3H), 3.80 – 3.65 (m, ~2.5H), 1.87 and 1.64 (2s, 3H), 1.31 and 1.20 (2t, *J* = 7.1 Hz, 2H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 171.4, 170.9, 168.8, 168.7, 141.9, 141.4, 140.0, 139.5, 139.4, 139.3, 130.4, 130.3, 128.2, 127.9, 127.6, 127.5, 114.7, 114.5, 92.4, 92.0, 61.3, 61.2, 55.6, 50.9, 48.8, 45.3, 20.7, 20.2, 14.2, 14.1.

HRMS (ESI) calcd for $[C_{15}H_{19}INO_3]^+$ 388.0404, found 388.0406.



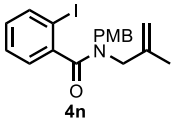
4m

N-acetyl-2-iodo-N-(2-methylallyl)benzamide 4m (two rotamers): Colorless oil, actual mass 1.17 g, 68% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.85 (d, *J* = 8.0 Hz, 1H), 7.39 (t, *J* = 7.6 Hz, 1H), 7.25 (d, *J* = 7.6 Hz, 1H), 7.14 (t, *J* = 7.6 Hz, 1H), 4.86 (s, 1H), 4.66 (s, 1H), 4.12 (s, 2H), 2.51 (s, 3H), 1.61 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 172.9, 172.8, 141.7, 140.4, 139.2, 131.0, 128.0, 127.7, 110.7, 91.5, 50.1, 26.3, 20.4.

HRMS (ESI) calcd for $[C_{13}H_{14}INNaO_2]^+$ 365.9961, found 365.9960.



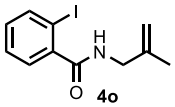
4n

2-iodo-N-(4-methoxybenzyl)-N-(2-methylallyl)benzamide 4n (two rotamers): Colorless oil, actual mass 2.2 g, 96% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.85 – 7.79 (m, 1H), 7.42 – 7.34 (m, 2H), 7.28 – 7.24 (m, 1H), 7.07 – 7.03 (m, 2H), 6.89 – 6.83 (m, 2H), 5.34 (d, *J* = 14.1 Hz, ~ 0.6H), 5.98 – 4.87 (m, 2H), 4.49 (d, *J* = 14.9 Hz, ~ 0.4H), 4.27 (d, *J* = 6.8 Hz, ~ 0.7H), 4.03 (d, *J* = 14.1 Hz, ~ 0.6H), 3.81 and 3.79 (2s, 3H), 3.69 – 3.66 (m, ~ 0.4H), 3.52 (s, ~ 1.4H), 1.88 and 1.56 (2s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 170.9, 170.7, 159.1, 159.0, 142.4, 141.9, 139.9, 139.7, 139.4, 139.2, 130.8, 130.1, 130.0, 128.8, 128.4, 128.1, 128.0, 127.6, 127.3, 114.1, 114.0, 113.6, 113.4, 92.7, 92.6, 55.2, 55.2, 52.7, 50.3, 48.4, 45.9, 21.0, 20.1.

HRMS (ESI) calcd for $[C_{19}H_{20}INNaO_2]^+$ 444.0431, found 444.0433.



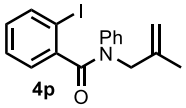
4o

2-iodo-N-(2-methylallyl)benzamide 4o: white solid, actual mass 2.98g, 99% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.85 (d, *J* = 7.9 Hz, 1H), 7.38 – 7.34 (m, 2H), 7.10 – 7.07 (m, 1H), 5.97 (s, 1H), 4.96 and 4.89 (2s, 2H), 3.99 (d, *J* = 5.9 Hz, 2H), 1.82 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 169.2, 142.2, 141.4, 139.9, 131.1, 128.2, 128.1, 111.8, 92.3, 45.5, 20.6.

HRMS (ESI) calcd for $[C_{11}H_{12}INNaO]^+$ 323.9856, found 323.9851.



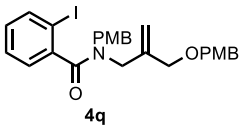
4p

2-iodo-N-(2-methylallyl)-N-phenylbenzamide 4p (two rotamers): Colorless oil, actual mass 1.60 g, 85% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.86 – 7.67 (m, 1H), 7.50 – 7.27 (m, 1H), 7.16 – 7.05 (m, 5H), 6.98 – 6.82 (m, 2H), 4.88 – 4.85 (m, 2H), 4.55 and 4.03 (2s, 2H), 1.89 and 1.76 (2s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 170.0, 142.4, 141.8, 140.4, 139.3, 139.1, 130.3, 129.7, 129.0, 128.8, 128.5, 128.2, 127.7, 127.3, 127.2, 127.1, 126.5, 114.0, 113.9, 94.0, 92.8, 57.9, 54.9, 20.7, 20.3.

HRMS (ESI) calcd for $[C_{17}H_{16}INNaO]^+$ 400.0169, found 400.0171.



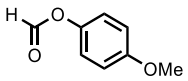
4q

2-iodo-N-(4-methoxybenzyl)-N-(2-(((4-methoxybenzyl)oxy)methyl)allyl)benzamide 4q (two rotamers): Colorless oil, actual mass 2.67 g, 96% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.82 – 7.76 (m, 1H), 7.40 – 7.20 (m, 4H), 7.09 – 6.98 (m, 3H), 6.88 – 6.81 (m, 4H), 5.35 – 5.09 (m, 3H), 4.55 – 4.06 (m, 5H), 3.80 – 3.78 (m, 8H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 171.1, 170.7, 159.1, 159.1, 159.1, 159.0, 142.2, 141.7, 140.5, 140.4, 139.3, 139.1, 130.8, 130.2, 130.1, 130.0, 129.7, 129.4, 129.2, 128.8, 128.3, 128.1, 127.9, 127.6, 127.5, 127.2, 115.0, 114.7, 114.0, 113.7, 113.7, 113.6, 92.7, 92.5, 72.0, 71.3, 71.1, 70.8, 55.2, 55.1, 50.5, 49.3, 46.4, 45.3.

HRMS (ESI) calcd for $[C_{27}H_{28}INNaO_4]^+$ 580.0955, found 580.0955.



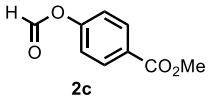
2b

4-methoxyphenyl formate 2b: Colorless oil, actual mass 2.49 g, 82% yield.

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.26 (s, 1H), 7.05 – 7.03 (m, 2H), 6.90 – 6.89 (m, 2H), 3.78 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 159.7, 157.5, 143.2, 121.9, 114.6, 55.5.

MS (EI): (M^+): 152.05.



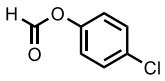
2c

methyl 4-(formyloxy)benzoate 2c: white solid, actual mass 2.81 g, 78% yield.

^{1}H NMR (600 MHz, CDCl3) δ 8.31 (s, 1H), 8.09 (d, *J* = 8.7 Hz, 2H), 7.22 (d, *J* = 8.7 Hz, 2H), 3.92 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 166.04, 158.37, 153.24, 131.28, 128.16, 121.11, 52.20.

MS (EI): (M^+): 180.02.



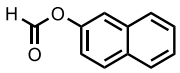
2d

4-chlorophenyl formate 2d: white solid, actual mass 2.50 g, 80% yield.

^{1}H NMR (600 MHz, CDCl3) δ 8.26 (s, 1H), 7.36 – 7.34 (m, 2H), 7.08 – 7.06 (m, 2H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 158.7, 148.1, 131.7, 129.6, 122.5.

MS (EI): (M^+): 156.00.



2e

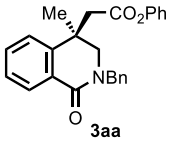
naphthalen-2-yl formate 2e: white solid, actual mass 2.72 g, 79% yield.

^{1}H NMR (600 MHz, CDCl3) δ 8.35 (s, 1H), 7.82 (t, *J* = 8.7 Hz, 2H), 7.77 (d, *J* = 7.9 Hz, 1H), 7.56 (d, *J* = 2.0 Hz, 1H), 7.49 – 7.44 (m, 2H), 7.23 (dd, *J* = 8.87, 2.3 Hz, 1H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 159.4, 147.4, 133.6, 131.5, 129.7, 127.7, 127.6, 126.8, 126.0, 120.4, 118.1.

MS (EI): (M^+): 172.05.

9. Characterization of the Products



3aa

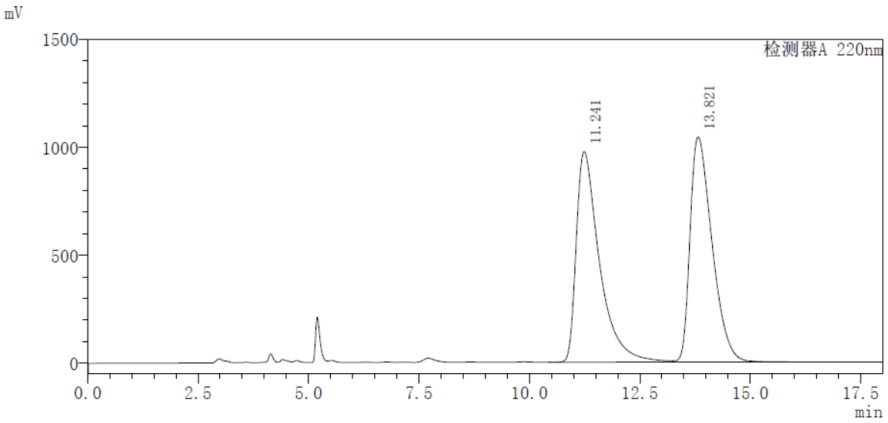
phenyl (R)-2-(2-benzyl-4-methyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate 3aa: Colorless oil; actual mass 68.2 mg, 88% yield; 92% ee; $[\alpha]_D^{25}$ = -74.2 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.23 (d, *J* = 7.6 Hz, 1H), 7.51 (t, *J* = 7.6 Hz, 1H), 7.42 (t, *J* = 7.6 Hz, 1H), 7.36 – 7.20 (m, 10H), 6.89 (d, *J* = 7.9 Hz, 2H), 5.06 (d, *J* = 14.5 Hz, 1H), 4.60 (d, *J* = 14.5 Hz, 1H), 3.62 (d, *J* = 12.8 Hz, 1H), 3.44 (d, *J* = 12.8 Hz, 1H), 2.78 – 2.71 (m, 2H), 1.50 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 169.2, 164.1, 150.2, 144.0, 136.9, 132.3, 129.4, 129.1, 128.6, 128.6, 128.3, 127.6, 127.6, 126.0, 123.9, 121.4, 54.8, 50.7, 42.8, 36.6, 22.6.

HRMS (ESI) calcd for $[C_{25}H_{23}NNaO_3]^+$ 408.1570, found 408.1576.

HPLC (Daicel CHIRALCEL OD-H, *n*-hexane : isopropanol = 80 : 20, Flow rate = 1.0 mL/min, λ = 225 nm): t_R = 11.02 min (major enantiomer), t_R = 13.97 min (minor enantiomer).



〈Peak table〉

检测器A 220nm

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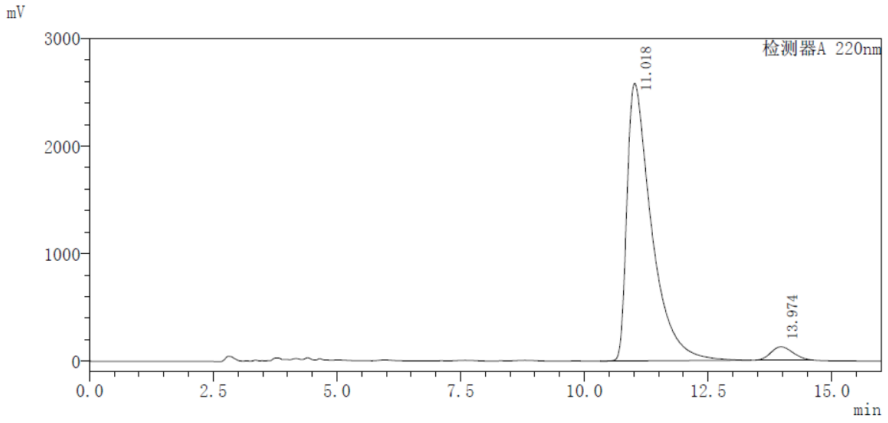
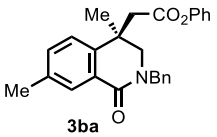


〈Peak table〉

检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	11.018	2582455	89382975	95.877
2	13.974	124072	3844101	4.123
总计		2706527	93227077	100.000



3ba

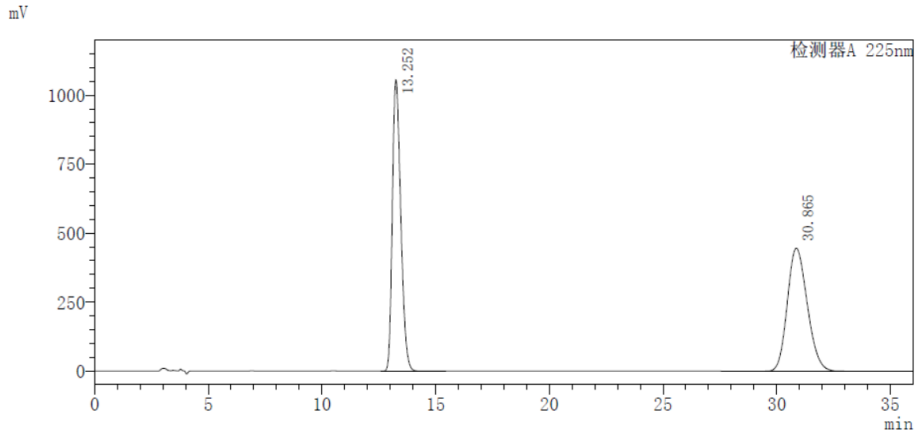
phenyl (R)-2-(2-benzyl-4,7-dimethyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate 3ba: Colorless oil; actual mass 60.0 mg, 75% yield; 94% ee; $[\alpha]_D^{25}$ = -59.0 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.04 (s, 1H), 7.36 – 7.30 (m, 7H), 7.37 – 7.20 (m, 3H), 6.91 (d, *J* = 7.7 Hz, 2H), 5.09 (d, *J* = 14.5 Hz, 1H), 4.57 (d, *J* = 14.5 Hz, 1H), 3.60 (d, *J* = 12.8 Hz, 1H), 3.40 (d, *J* = 12.8 Hz, 1H), 2.77 – 2.29 (m, 2H), 2.41 (s, 3H), 1.48 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 169.3, 164.3, 150.2, 141.2, 137.3, 136.9, 133.0, 129.5, 129.4, 128.6, 128.5, 128.0, 127.5, 125.9, 123.9, 121.4, 54.9, 50.7, 42.9, 36.3, 22.6, 21.0.

HRMS (ESI) calcd for $[C_{26}H_{25}NNaO_3]^+$ 422.1727, found 422.1732.

HPLC (Daicel CHIRALPAK AD-H, *n*-hexane : isopropanol = 70 : 30, Flow rate = 1.0 mL/min, λ = 225 nm): t_R = 13.22 min (major enantiomer), t_R = 30.97 min (minor enantiomer).

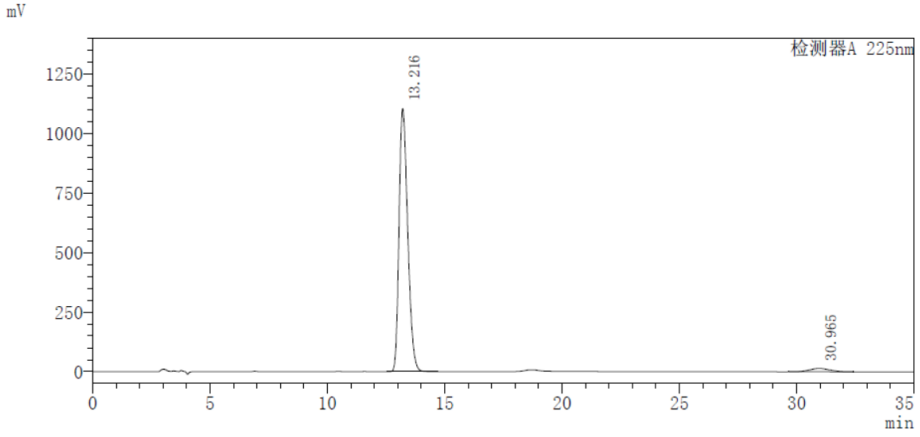


〈Peak table〉

检测器A 225nm

Peak#	Ret. Time	Height	Area	Area%
1	13.252	1056426	27200382	49.871
2	30.865	446929	27341191	50.129
总计		1503355	54541573	100.000

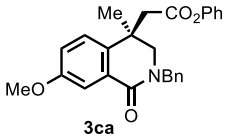
〈chromatogram〉



〈Peak table〉

检测器A 225nm

Peak#	Ret. Time	Height	Area	Area%
1	13.216	1104755	28395054	97.145
2	30.965	14075	834551	2.855
总计		1118830	29229605	100.000



3ca

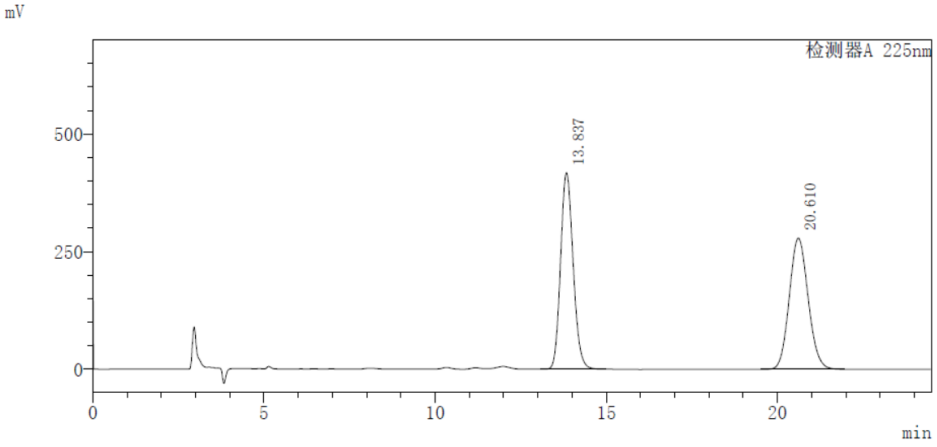
phenyl (R)-2-(2-benzyl-7-methoxy-4-methyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate 3ca: Colorless oil; actual mass 68.4 mg, 83% yield; 95% ee; $[\alpha]_D^{25}$ = -8.4 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.75 (d, *J* = 2.8 Hz, 1H), 7.37 – 7.21 (m, 9H), 7.07 – 7.05 (m, 1H), 6.91 (d, *J* = 7.7 Hz, 2H), 5.06 (d, *J* = 14.5 Hz, 1H), 4.60 (d, *J* = 14.5 Hz, 1H), 3.88 (s, 3H), 3.60 (d, *J* = 12.8 Hz, 1H), 3.41 (d, *J* = 12.8 Hz, 1H), 2.75– 2.68 (m, 2H), 1.48 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 169.3, 164.1, 158.9, 150.2, 136.8, 136.3, 129.4, 128.7, 128.6, 127.6, 126.0, 125.4, 121.4, 119.6, 112.2, 55.6, 55.1, 50.9, 43.0, 36.1, 22.7.

HRMS (ESI) calcd for $[C_{26}H_{25}NNaO_4]^+$ 438.1676, found 438.1680.

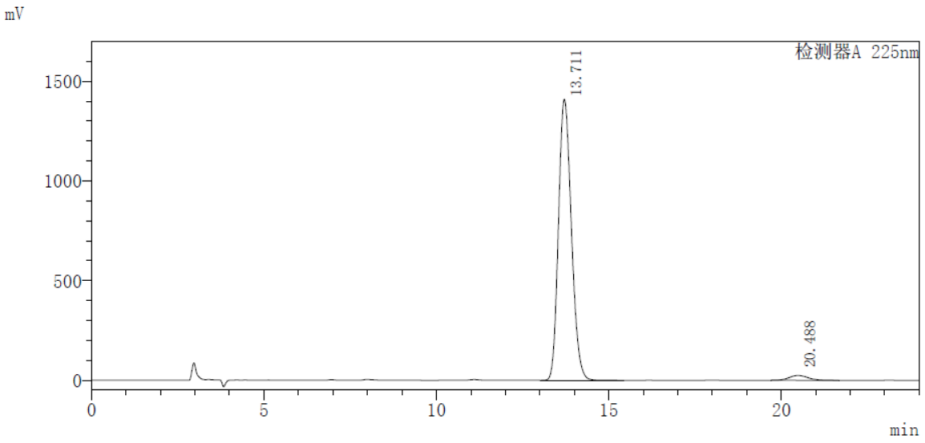
HPLC (Daicel CHIRALPAK AD-H, *n*-hexane : isopropanol = 65 : 35, Flow rate = 1.0 mL/min, λ = 220 nm): t_R = 13.71 min (major enantiomer), t_R = 20.49 min (minor enantiomer).



〈Peak table〉

检测器A 225nm

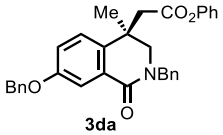
Peak#	Ret. Time	Height	Area	Area%
1	13.837	417757	10669581	49.946
2	20.610	278960	10692708	50.054
总计		696717	21362288	100.000



〈Peak table〉

检测器A 225nm

Peak#	Ret. Time	Height	Area	Area%
1	13.711	1410566	36785427	97.485
2	20.488	25003	948977	2.515
总计		1435569	37734404	100.000



3da

phenyl (R)-2-(2-benzyl-7-(benzyloxy)-4-methyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate

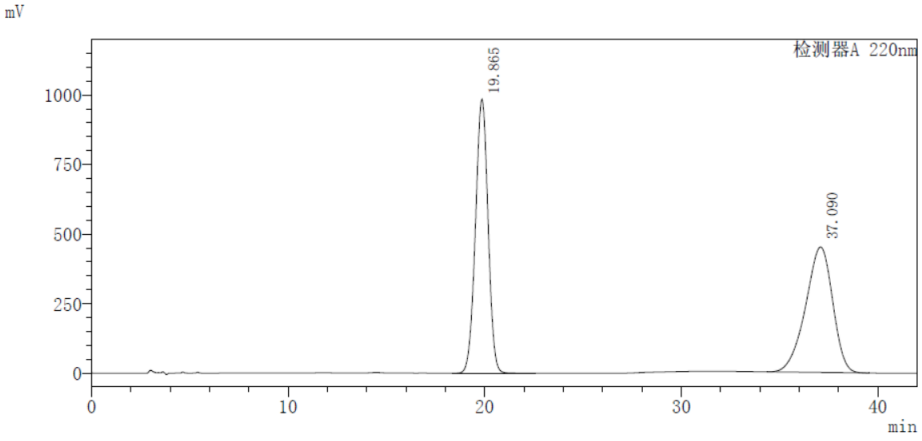
3da: Colorless oil; actual mass 78.6 mg, 80% yield; 90% ee; $[\alpha]_D^{25}$ = -49.8 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.85 (d, *J* = 2.5 Hz, 1H), 7.46 – 7.39 (m, 4H), 7.36 – 7.21 (m, 10H), 7.14 – 7.12 (m, 1H), 6.90 (d, *J* = 7.7 Hz, 2H), 5.17 – 5.12 (m, 2H), 5.06 (d, *J* = 14.5 Hz, 1H), 4.60 (d, *J* = 14.5 Hz, 1H), 3.59 (d, *J* = 12.8 Hz, 1H), 3.41 (d, *J* = 12.8 Hz, 1H), 2.74 – 2.68 (m, 2H), 1.48 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 169.3, 164.1, 158.1, 150.2, 136.8, 136.6, 136.5, 129.4, 128.7, 128.6, 128.6, 128.1, 127.6, 127.6, 126.0, 125.5, 121.4, 120.2, 113.2, 70.2, 55.0, 50.9, 43.0, 36.1, 22.7.

HRMS (ESI) calcd for $[C_{32}H_{29}NNaO_4]^+$ 514.1989, found 514.1997.

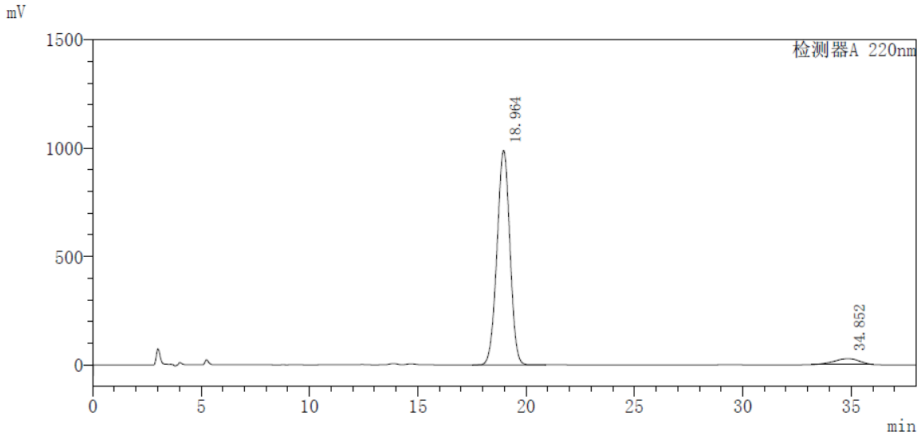
HPLC (Daicel CHIRALPAK AD-H, *n*-hexane : isopropanol = 65 : 35, Flow rate = 1.0 mL/min, λ = 220 nm): t_R = 18.94 min (major enantiomer), t_R = 34.85 min (minor enantiomer).



〈Peak table〉

检测器A 220nm

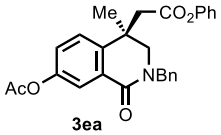
Peak#	Ret. Time	Height	Area	Area%
1	19.865	984901	43914906	50.358
2	37.090	451095	43289826	49.642
总计		1435996	87204732	100.000



〈Peak table〉

检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	18.964	989456	41114754	94.795
2	34.852	27897	2257358	5.205
总计		1017353	43372112	100.000



3ea

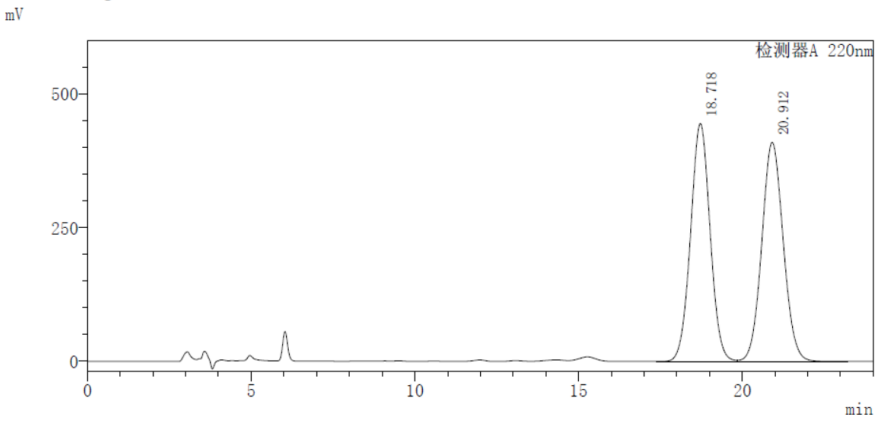
phenyl (R)-2-(7-acetoxy-2-benzyl-4-methyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate 3ea: Colorless oil; actual mass 44.0 mg, 50% yield; 87% ee; $[\alpha]_D^{25}$ = -56.1 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.92 (d, *J* = 2.3 Hz, 1H), 7.37 – 7.28 (m, 8H), 7.25 – 7.21 (m, 2H), 6.91 (d, *J* = 7.8 Hz, 2H), 5.07 (d, *J* = 14.5 Hz, 1H), 4.58 (d, *J* = 14.5 Hz, 1H), 3.60 (d, *J* = 12.9 Hz, 1H), 3.43 (d, *J* = 12.9 Hz, 1H), 2.77 – 2.71 (m, 2H), 2.33 (s, 3H), 1.50 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 169.4, 169.2, 163.3, 150.2, 150.0, 141.5, 136.6, 129.9, 129.5, 128.7, 128.6, 127.7, 126.1, 125.6, 125.5, 122.2, 121.4, 54.8, 50.8, 42.8, 36.5, 22.6, 21.1.

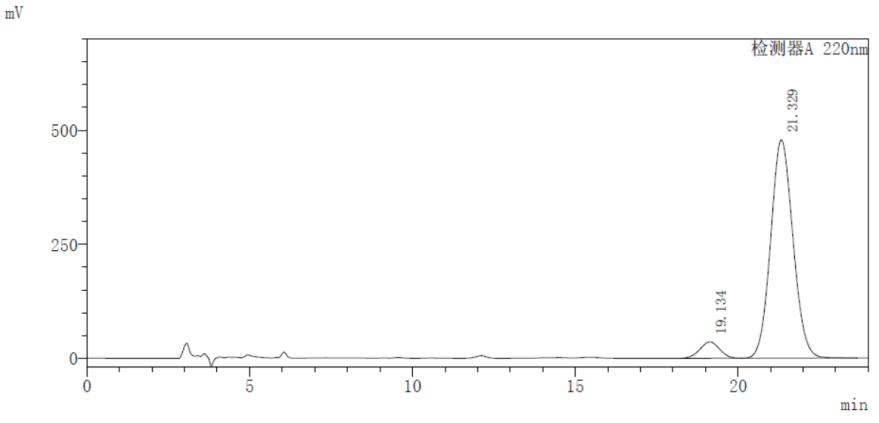
HRMS (ESI) calcd for $[C_{27}H_{25}NNaO_5]^+$ 466.1625, found 466.1633.

HPLC (Daicel CHIRALPAK AD-H, *n*-hexane : isopropanol = 65 : 35, Flow rate = 1.0 mL/min, λ = 220 nm): t_R = 19.13 min (minor enantiomer), t_R = 21.33 min (major enantiomer).



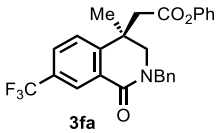
〈Peak table〉
检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	18.718	445356	18496157	49.684
2	20.912	410172	18731466	50.316
总计		855528	37227623	100.000



〈Peak table〉
检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	19.134	36069	1542240	6.321
2	21.329	478616	22857937	93.679
总计		514686	24400178	100.000



3fa

phenyl (R)-2-(2-benzyl-4-methyl-1-oxo-7-(trifluoromethyl)-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate 3fa: Colorless oil; actual mass 58.8 mg, 65% yield; 86% ee; $[\alpha]_D^{25}$ = -58.5 (c = 0.2, $CHCl_3$).

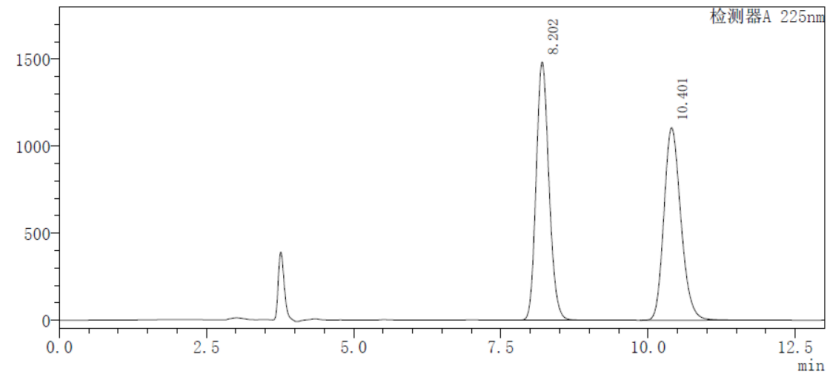
^{1}H NMR (600 MHz, $CDCl_3$) δ 8.52 (s, 1H), 7.75 (d, *J* = 7.9 Hz, 1H), 7.49 (d, *J* = 8.1 Hz, 1H), 7.37 – 7.22 (m, 8H), 6.90 (d, *J* = 7.7 Hz, 2H), 5.06 (d, *J* = 14.5 Hz, 1H), 4.62 (d, *J* = 14.5 Hz, 1H), 3.66 (d, *J* = 13.0 Hz, 1H), 3.45 (d, *J* = 13.0 Hz, 1H), 2.80 – 2.73 (m, 2H), 1.52 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 168.8, 162.8, 150.0, 147.5, 136.4, 130.1 (q, *J* = 33.2 Hz), 129.5, 129.06, 128.8, 128.7 (q, *J* = 3.5 Hz), 128.6, 127.8, 126.3 (q, *J* = 3.5 Hz), 126.1, 125.0, 124.6, 122.8, 121.3, 54.48, 50.84, 42.49, 36.75, 22.61.

HRMS (ESI) calcd for $[C_{26}H_{22}F_3NNaO_3]^+$ 476.1444, found 476.1447.

HPLC (Daicel CHIRALPAK AD-H, *n*-hexane : isopropanol = 70 : 30, Flow rate = 1.0 mL/min, λ = 225 nm): t_R = 8.21 min (major enantiomer), t_R = 10.44 min (minor enantiomer).

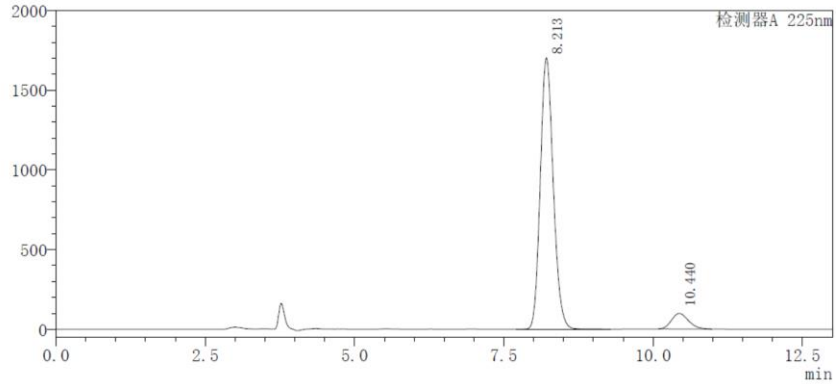
<chromatogram>
mV



<Peak table>
检测器A 225nm

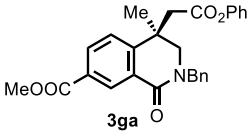
Peak#	Ret. Time	Height	Area	Area%
1	8.202	1483717	22489062	50.274
2	10.401	1106226	22243943	49.726
总计		2589943	44733004	100.000

mV



<Peak table>
检测器A 225nm

Peak#	Ret. Time	Height	Area	Area%
1	8.213	1703482	25797908	92.977
2	10.440	97981	1948584	7.023
总计		1801463	27746492	100.000



3ga

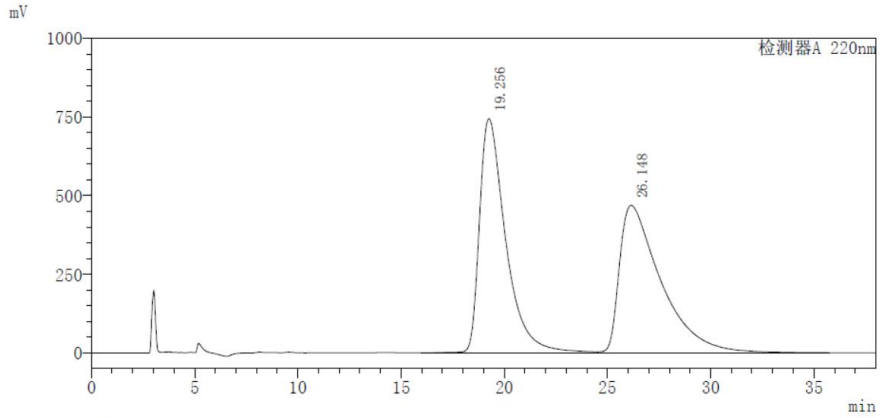
methyl (R)-2-benzyl-4-methyl-1-oxo-4-(2-oxo-2-phenoxyethyl)-1,2,3,4-tetrahydroisoquinoline-7-carboxylate 3ga: Colorless oil; actual mass 70.0 mg, 79% yield; 91% ee; $[\alpha]_D^{25}$ = -52.6 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.77 (s, 1H), 8.19 – 8.17 (m, 1H), 7.45 (d, *J* = 8.1 Hz, 1H), 7.37 – 7.28 (m, 7H), 7.23 (t, *J* = 7.4 Hz, 1H), 6.91 (d, *J* = 7.8 Hz, 2H), 5.09 (d, *J* = 14.4 Hz, 1H), 4.60 (d, *J* = 14.4 Hz, 1H), 3.95 (s, 3H), 3.66 (d, *J* = 12.9 Hz, 1H), 3.43 (d, *J* = 12.9 Hz, 1H), 2.81 – 2.73 (m, 2H), 1.52 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 168.9, 166.2, 163.3, 150.1, 148.6, 136.6, 133.1, 130.4, 129.7, 129.5, 128.7, 128.6, 127.7, 126.1, 124.4, 121.3, 54.4, 52.3, 50.8, 42.5, 36.9, 22.6.

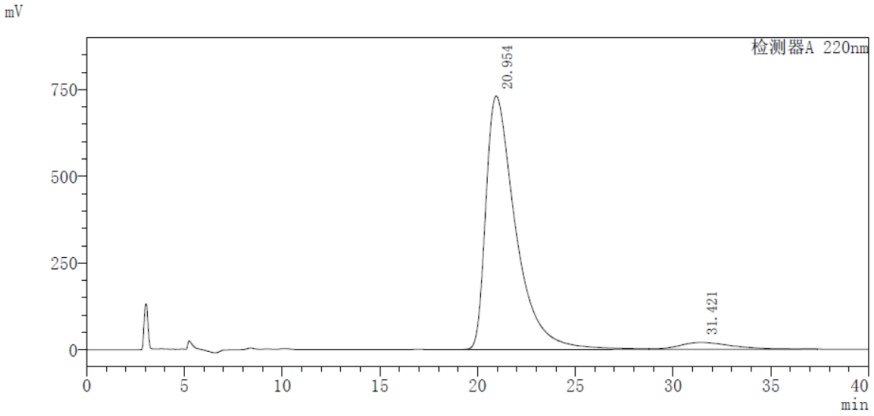
HRMS (ESI) calcd for $[C_{27}H_{25}NNaO_5]^+$ 466.1625, found 466.1632.

HPLC (Daicel CHIRALCEL OD-H, *n*-hexane : isopropanol = 70 : 30, Flow rate = 1.0 mL/min, λ = 220 nm): t_R = 20.95 min (major enantiomer), t_R = 31.42 min (minor enantiomer).



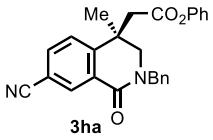
〈Peak table〉
检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	19.256	743677	65540829	50.061
2	26.148	467938	65382390	49.939
总计		1211615	130923219	100.000



〈Peak table〉
检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	20.954	731056	77568270	95.428
2	31.421	19907	3716375	4.572
总计		750963	81284645	100.000



3ha

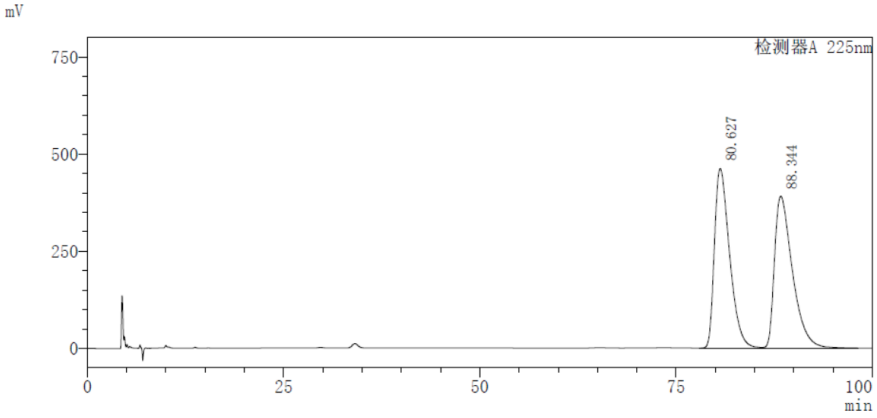
phenyl (R)-2-(2-benzyl-7-cyano-4-methyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate 3ha: Colorless oil; actual mass 54.2 mg, 66% yield; 79% ee; $[\alpha]_D^{25}$ = -60.2 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.52 (d, *J* = 1.6 Hz, 1H), 7.77 (dd, *J* = 8.1, 1.6 Hz, 1H), 7.49 (d, *J* = 8.1 Hz, 1H), 7.37 – 7.28 (m, 7H), 7.24 – 7.22 (m, 1H), 6.90 (d, *J* = 7.7 Hz, 2H), 5.02 (d, *J* = 14.4 Hz, 1H), 4.62 (d, *J* = 14.4 Hz, 1H), 3.66 (d, *J* = 13.0 Hz, 1H), 3.44 (d, *J* = 13.0 Hz, 1H), 2.82 – 2.69 (m, 2H), 1.51 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 168.6, 162.1, 150.0, 148.6, 136.2, 135.1, 133.0, 129.6, 129.5, 128.8, 128.7, 127.9, 126.2, 125.5, 121.2, 117.9, 112.0, 54.4, 50.9, 42.4, 36.9, 22.6.

HRMS (ESI) calcd for$[C_{26}H_{22}N_2NaO_3]^+$ 433.1523, found 433.1517.

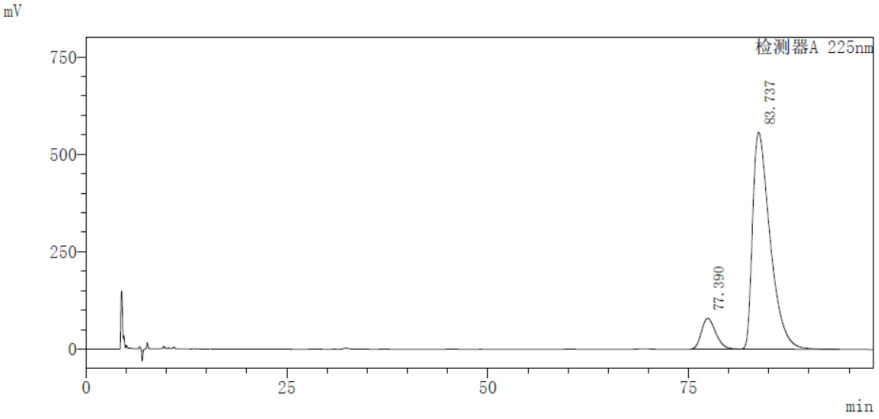
HPLC (Daicel CHIRALPAK IC-3, *n*-hexane : isopropanol = 70 : 30, Flow rate = 1.0 mL/min, λ = 225 nm): t_R = 77.39 min (minor enantiomer), t_R = 83.74 min (major enantiomer).



〈Peak table〉

检测器A 225nm

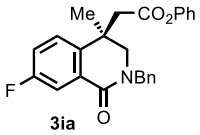
Peak#	Ret. Time	Height	Area	Area%
1	80.627	462153	62018050	49.922
2	88.344	390836	62211742	50.078
总计		852989	124229792	100.000



〈Peak table〉

检测器A 225nm

Peak#	Ret. Time	Height	Area	Area%
1	77.390	78985	9758224	10.361
2	83.737	556186	84424111	89.639
总计		635171	94182334	100.000



3ia

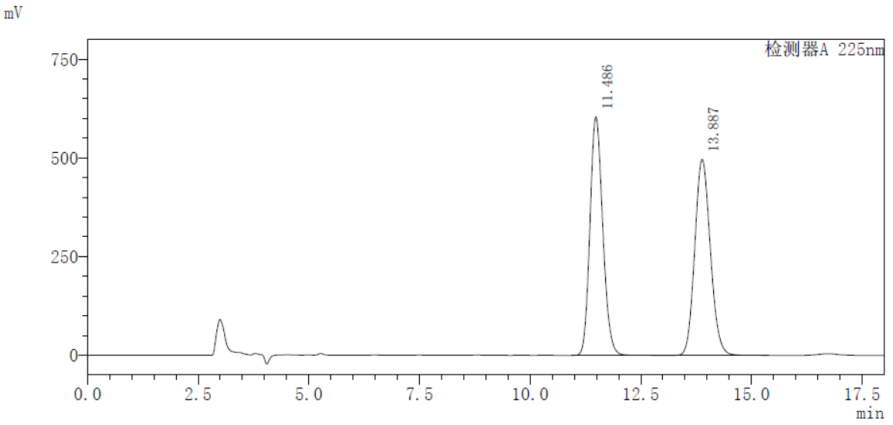
phenyl (R)-2-(2-benzyl-7-fluoro-4-methyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate 3ia: Colorless oil; actual mass 58.2 mg, 72% yield; 93% ee; $[\alpha]_D^{25}$ = -80.0 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.91 – 7.89 (m, 1H), 7.37 – 7.27 (m, 8H), 7.24 – 7.18 (m, 2H), 6.90 (d, *J* = 7.8 Hz, 2H), 5.03 (d, *J* = 14.4 Hz, 1H), 4.61 (d, *J* = 14.4 Hz, 1H), 3.61 (d, *J* = 12.9 Hz, 1H), 3.42 (d, *J* = 12.9 Hz, 1H), 2.75 – 2.69 (m, 2H), 1.49 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 169.03, 163.1 (d, *J* = 1.8 Hz), 162.82, 161.19, 150.10, 139.8 (d, *J* = 3.2 Hz), 136.54, 130.5 (d, *J* = 7.5 Hz), 129.43, 128.70, 128.60, 127.73, 126.2 (d, *J* = 7.4 Hz), 126.04, 121.32, 119.2 (d, *J* = 21.9 Hz), 115.7 (d, *J* = 23.2 Hz), 54.86, 50.81, 42.83, 36.30, 22.74.

HRMS (ESI) calcd for$[C_{25}H_{23}FNO_3]^+$ 404.1656, found 404.1659.

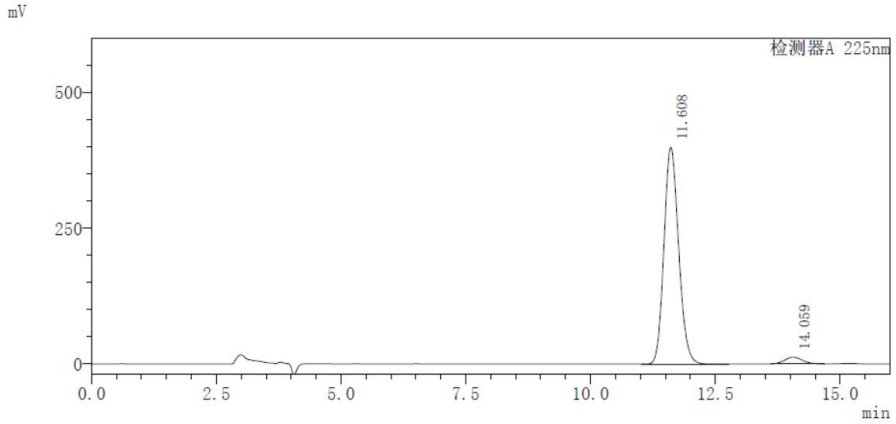
HPLC (Daicel CHIRALPAK AD-H, *n*-hexane : isopropanol = 70 : 30, Flow rate = 1.0 mL/min, λ = 225 nm): t_R = 11.61 min (major enantiomer), t_R = 14.06 min (minor enantiomer).



〈Peak table〉

检测器A 225nm

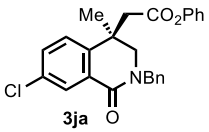
Peak#	Ret. Time	Height	Area	Area%
1	11.486	604391	12192229	49.966
2	13.887	496714	12208749	50.034
总计		1101106	24400978	100.000



〈Peak table〉

检测器A 225nm

Peak#	Ret. Time	Height	Area	Area%
1	11.608	399561	8159488	96.455
2	14.059	12209	299858	3.545
总计		411770	8459346	100.000



3ja

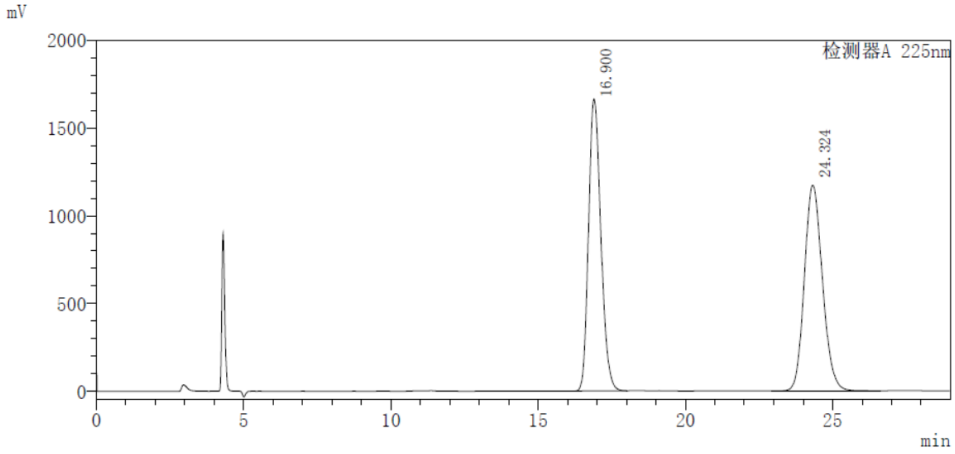
phenyl (R)-2-(2-benzyl-7-chloro-4-methyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate 3ja: Colorless oil; actual mass 72.0 mg, 86% yield; 92% ee; $[\alpha]_D^{25}$ = -64.1 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.20 (d, *J* = 2.2 Hz, 1H), 7.47 – 7.46 (m, 1H), 7.37 – 7.21 (m, 9H), 6.91 (d, *J* = 7.7 Hz, 2H), 5.04 (d, *J* = 14.4 Hz, 1H), 4.59 (d, *J* = 14.4 Hz, 1H), 3.61 (d, *J* = 12.9 Hz, 1H), 3.41 (d, *J* = 12.9 Hz, 1H), 2.76 – 2.69 (m, 2H), 1.48 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 169.0, 163.0, 150.1, 142.3, 136.5, 133.8, 132.1, 129.9, 129.4, 129.1, 128.7, 128.6, 127.7, 126.1, 125.8, 121.3, 54.7, 50.8, 42.7, 36.4, 22.6.

HRMS (ESI) calcd for$[C_{25}H_{23}ClNO_3]^+$ 420.1361, found 420.1361.

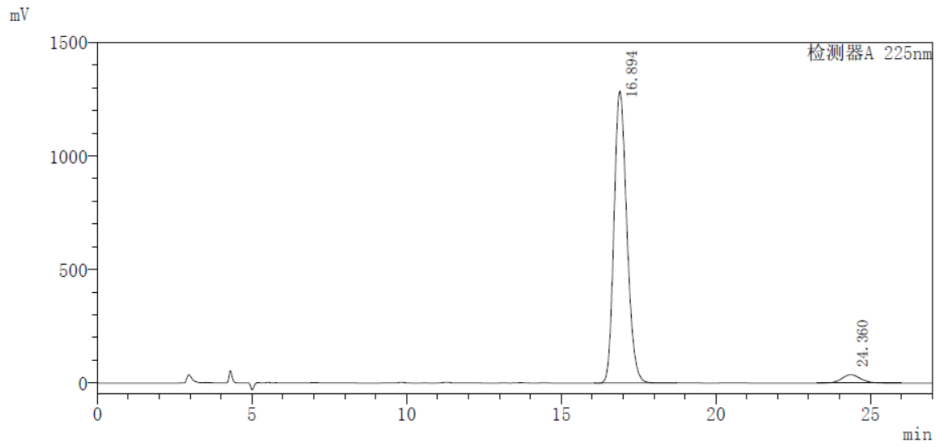
HPLC (Daicel CHIRALPAK AD-H, *n*-hexane : isopropanol = 80 : 20, Flow rate = 1.0 mL/min, λ = 225 nm): t_R = 16.89 min (major enantiomer), t_R = 24.36 min (minor enantiomer).



〈Peak table〉

检测器A 225nm

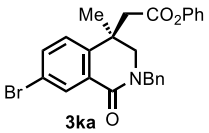
Peak#	Ret. Time	Height	Area	Area%
1	16.900	1665856	48437210	49.218
2	24.324	1173005	49976947	50.782
总计		2838860	98414157	100.000



〈Peak table〉

检测器A 225nm

Peak#	Ret. Time	Height	Area	Area%
1	16.894	1286379	37125478	96.094
2	24.360	36119	1509151	3.906
总计		1322498	38634629	100.000



3ka

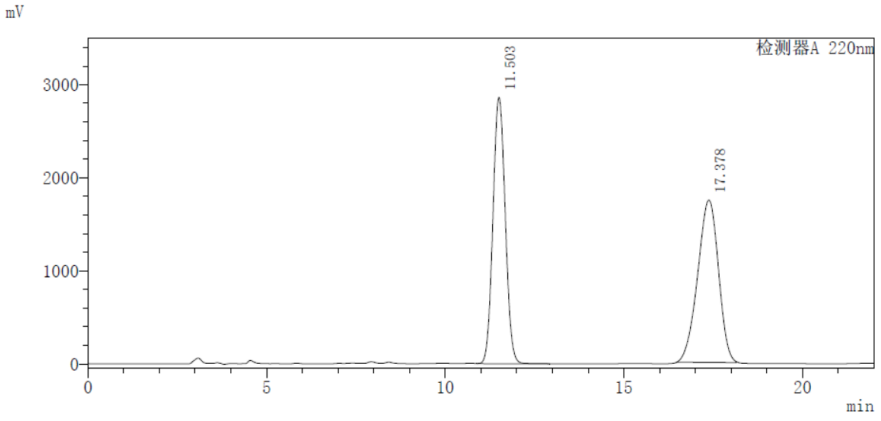
phenyl (R)-2-(2-benzyl-7-bromo-4-methyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate 3ka: Colorless oil; actual mass 58.4 mg, 63% yield; 92% ee; $[\alpha]_D^{25}$ = -56.1 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.35 (d, *J* = 1.8 Hz, 1H), 7.62 (dd, *J* = 8.3, 1.8 Hz, 1H), 7.37 – 7.28 (m, 7H), 7.24 – 7.22 (m, 2H), 6.91 (d, *J* = 7.7 Hz, 2H), 5.04 (d, *J* = 14.4 Hz, 1H), 4.58 (d, *J* = 14.4 Hz, 1H), 3.61 (d, *J* = 12.9 Hz, 1H), 3.41 (d, *J* = 12.9 Hz, 1H), 2.76 – 2.69 (m, 2H), 1.48 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 168.9, 162.8, 150.1, 142.8, 136.5, 135.1, 132.0, 130.1, 129.4, 128.7, 128.6, 127.7, 126.1, 126.0, 121.7, 121.3, 54.6, 50.8, 42.6, 36.4, 22.6.

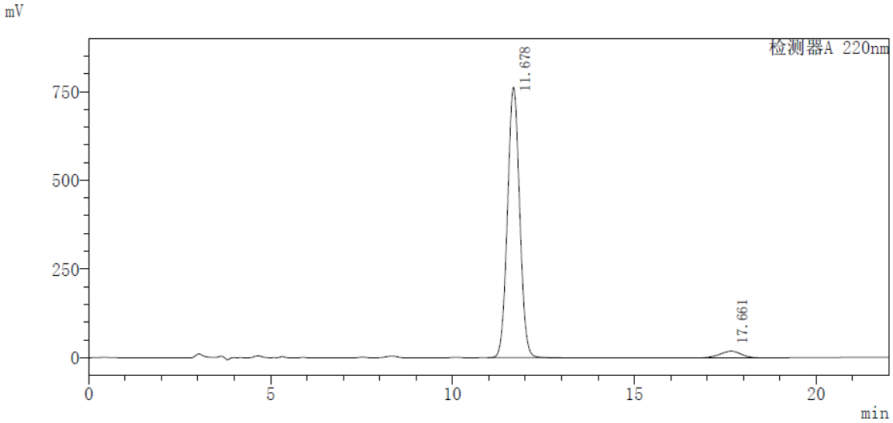
HRMS (ESI) calcd for $[C_{25}H_{22}BrNNaO_3]^+$ 486.0675, found 486.0670.

HPLC (Daicel CHIRALPAK AD-H, *n*-hexane : isopropanol = 65 : 35, Flow rate = 1.0 mL/min, λ = 220 nm): t_R = 11.68 min (major enantiomer), t_R = 17.66 min (minor enantiomer).



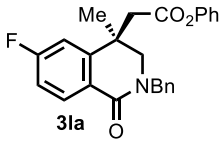
〈Peak table〉
检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	11.503	2860958	68575522	49.299
2	17.378	1744383	70525104	50.701
总计		4605341	139100626	100.000



〈Peak table〉
检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	11.678	762383	17747709	95.930
2	17.661	18740	752982	4.070
总计		781123	18500691	100.000



3la

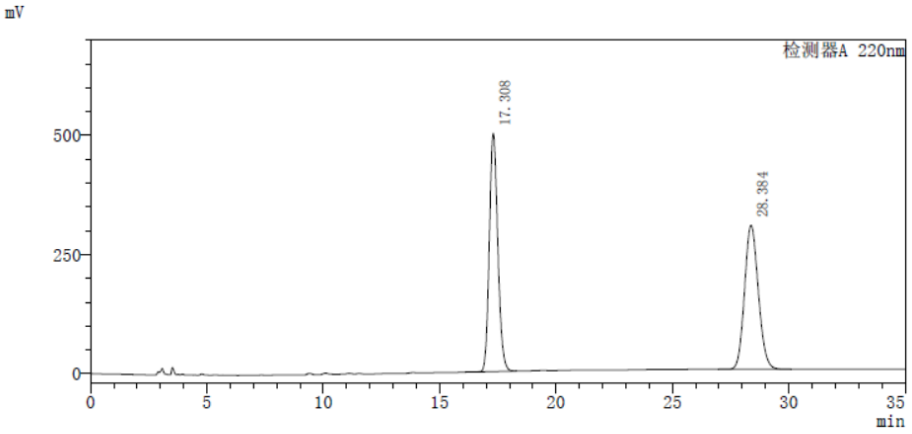
phenyl (R)-2-(2-benzyl-6-fluoro-4-methyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate 3la: Colorless oil; actual mass 56.4 mg, 70% yield; 90% ee; $[\alpha]_D^{25}$ = -65.5 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.25 – 8.22 (m, 1H), 7.37 – 7.31 (m, 6H), 7.29 – 7.22 (m, 2H), 7.10 – 7.03 (m, 2H), 6.92 (d, *J* = 8.6 Hz, 2H), 5.03 (d, *J* = 14.5 Hz, 1H), 4.60 (d, *J* = 14.5 Hz, 1H), 3.63 (d, *J* = 12.9 Hz, 1H), 3.43 (d, *J* = 12.9 Hz, 1H), 2.84 – 2.66 (m, 2H), 1.48 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ 168.9, 166.0, 164.3, 163.3, 150.1, 147.0 (d, *J* = 7.8 Hz), 136.7, 132.0 (d, *J* = 9.3 Hz), 129.4, 128.7, 128.6, 127.7, 126.1, 124.6 (d, *J* = 2.8 Hz), 121.3, 114.7 (d, *J* = 21.6 Hz), 111.2 (d, *J* = 22.9 Hz), 54.6, 50.7, 42.6, 36.7, 22.5.

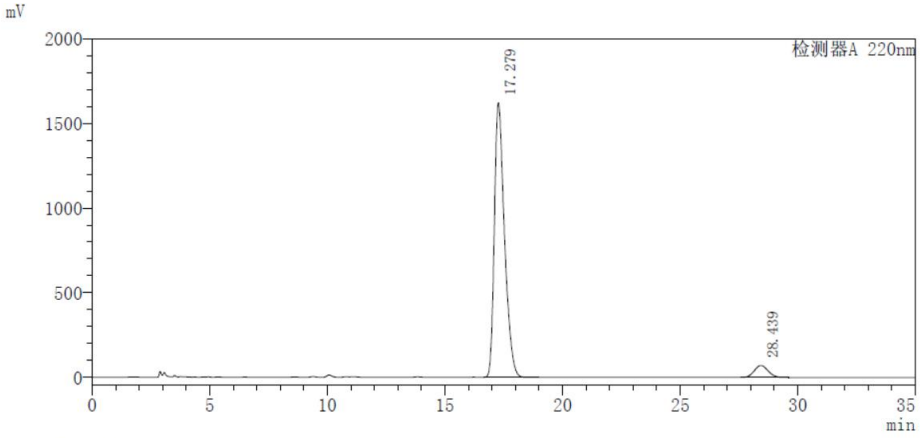
HRMS (ESI) calcd for $[C_{25}H_{22}FNNaO_3]^+$ 426.1476, found 426.1474.

HPLC (Daicel CHIRALPAK AD-H, *n*-hexane : isopropanol = 85 : 15, Flow rate = 1.0 mL/min, λ = 220 nm): t_R = 17.28 min (major enantiomer), t_R = 28.44 min (minor enantiomer).



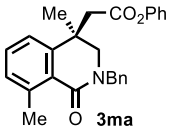
〈Peak table〉
检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	17.308	499889	12652456	50.445
2	28.384	302173	12429368	49.555
总计		802062	25081824	100.000



〈Peak table〉
检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	17.279	1624068	48605226	94.322
2	28.439	71229	2925988	5.678
总计		1695298	51531214	100.000



3ma

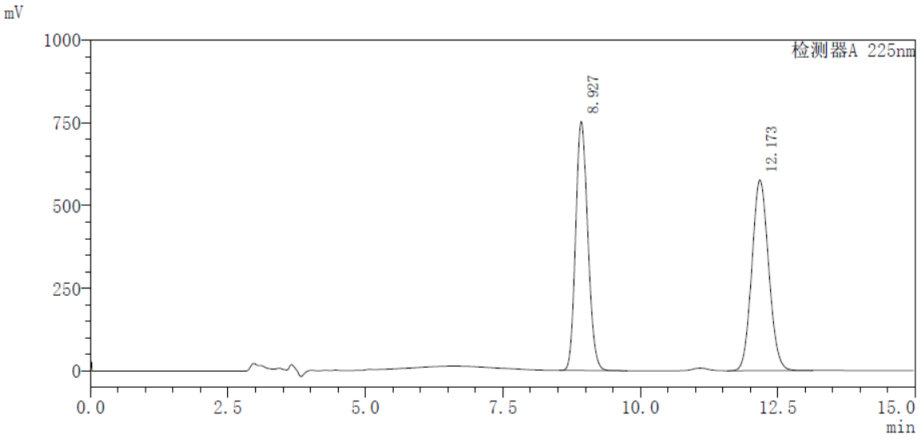
phenyl (R)-2-(2-benzyl-4,8-dimethyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate 3ma: Colorless oil; actual mass 67 mg, 84% yield; 90% ee; $[\alpha]_D^{25}$ = -107.2 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.36 – 7.25 (m, 8H), 7.23 – 7.18 (m, 3H), 6.92 (d, *J* = 7.9 Hz, 2H), 5.13 (d, *J* = 14.5 Hz, 1H), 4.55 (d, *J* = 14.5 Hz, 1H), 3.57 (d, *J* = 12.9 Hz, 1H), 3.39 (d, *J* = 12.9 Hz, 1H), 2.78 – 2.69 (m, 5H), 1.46 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 169.3, 164.6, 150.2, 145.3, 141.5, 137.2, 131.5, 131.2, 129.4, 128.6, 128.5, 127.5, 127.0, 126.0, 121.9, 121.4, 54.4, 50.5, 42.5, 37.2, 22.9, 22.7.

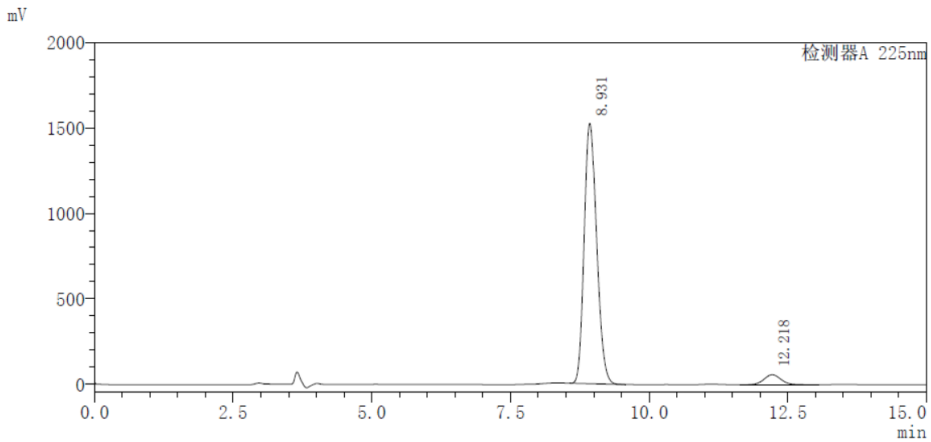
HRMS (ESI) calcd for$[C_{26}H_{25}NNaO_3]^+$ 422.1727, found 422.1732.

HPLC (Daicel CHIRALPAK AD-H, *n*-hexane : isopropanol = 65 : 35, Flow rate = 1.0 mL/min, λ = 225 nm): t_R = 8.93 min (major enantiomer), t_R = 12.22 min (minor enantiomer).



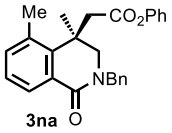
〈Peak table〉
检测器A 225nm

Peak#	Ret. Time	Height	Area	Area%
1	8.927	752520	11473994	48.434
2	12.173	575974	12215732	51.566
总计		1328494	23689725	100.000



〈Peak table〉
检测器A 225nm

Peak#	Ret. Time	Height	Area	Area%
1	8.931	1527319	23766679	95.042
2	12.218	58763	1239789	4.958
总计		1586082	25006469	100.000



3na

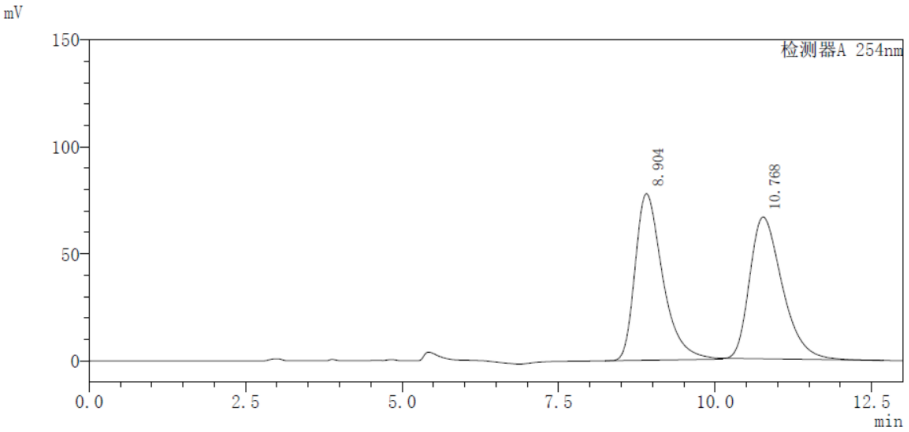
phenyl (R)-2-(2-benzyl-4,5-dimethyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate 3na: Colorless oil; actual mass 63.8 mg, 80% yield; 93% ee; $[\alpha]_D^{25}$ = -53.1 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.15 (t, *J* = 4.6 Hz, 1H), 7.39 – 7.34 (m, 4H), 7.32 – 7.30 (m, 4H), 7.27 – 7.23 (m, 2H), 6.96 (d, *J* = 7.7 Hz, 2H), 5.21 (d, *J* = 14.5 Hz, 1H), 4.46 (d, *J* = 14.5 Hz, 1H), 3.66 (d, *J* = 13.0 Hz, 1H), 3.36 (d, *J* = 13.0 Hz, 1H), 2.98 (d, *J* = 14.5 Hz, 1H), 2.77 (d, *J* = 14.5 Hz, 1H), 2.53 (s, 3H), 1.57 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 169.3, 164.6, 150.2, 142.3, 136.9, 136.6, 134.7, 129.6, 129.5, 128.6, 128.5, 127.9, 127.5, 127.3, 126.0, 121.4, 55.1, 50.6, 40.4, 38.1, 23.6, 23.0.

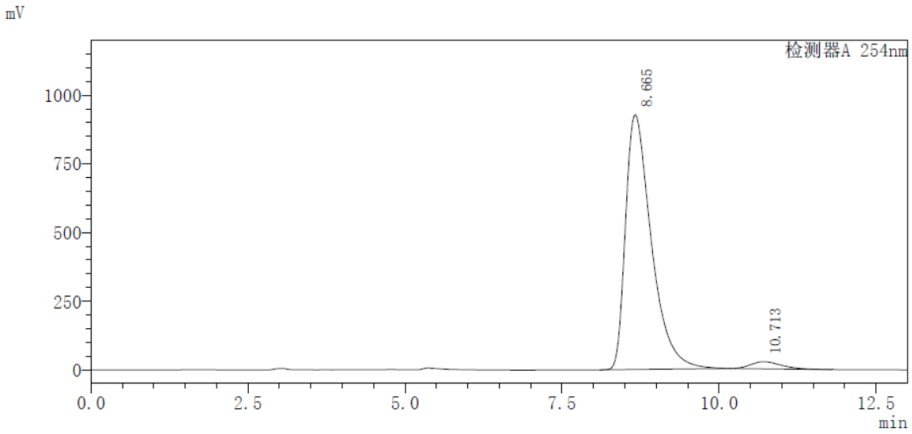
HRMS (ESI) calcd for $[C26H25NNaO3]^+$ 422.1727, found 422.1725.

HPLC (Daicel CHIRALCEL OD-H, *n*-hexane : isopropanol = 70 : 30, Flow rate = 1.0 mL/min, λ = 254 nm): t_R = 8.67 min (major enantiomer), t_R = 10.71 min (minor enantiomer).



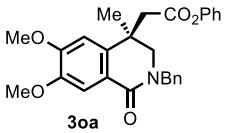
〈Peak table〉
检测器A 254nm

Peak#	Ret. Time	Height	Area	Area%
1	8.904	77771	2384833	49.746
2	10.768	66257	2409174	50.254
总计		144028	4794006	100.000



〈Peak table〉
检测器A 254nm

Peak#	Ret. Time	Height	Area	Area%
1	8.665	927856	26565327	96.710
2	10.713	25865	903684	3.290
总计		953721	27469011	100.000



3oa

phenyl (R)-2-(2-benzyl-6,7-dimethoxy-4-methyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate

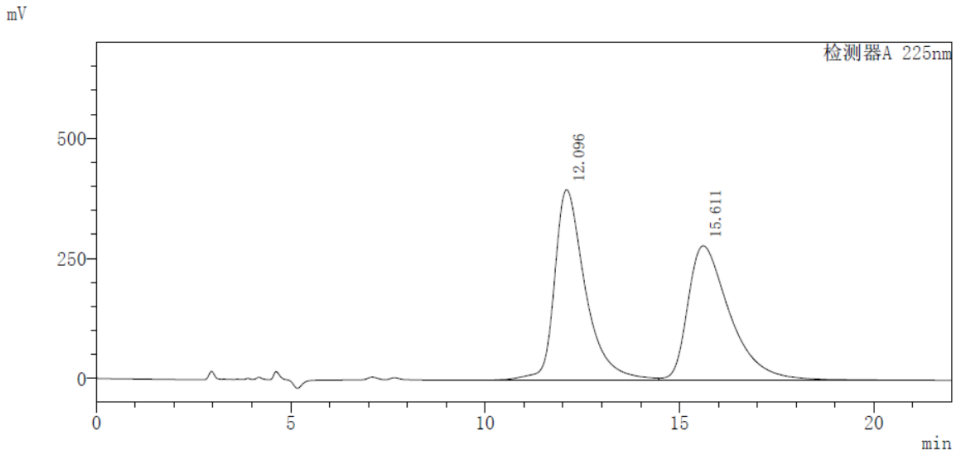
3oa: Colorless oil; actual mass 57.8 mg, 65% yield; 96% ee; $[\alpha]_D^{25}$ = -9.6 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.73 (s, 1H), 7.37 – 7.26 (m, 7H), 7.24 – 7.21 (m, 1H), 6.90 (d, *J* = 7.8 Hz, 2H), 6.78 (s, 1H), 5.02 (d, *J* = 14.5 Hz, 1H), 4.61 (d, *J* = 14.5 Hz, 1H), 3.97 (s, 3H), 3.90 (s, 3H), 3.59 (d, *J* = 12.8 Hz, 1H), 3.42 (d, *J* = 12.8 Hz, 1H), 2.75 – 2.70 (m, 2H), 1.49 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 169.27, 164.2, 152.1, 150.2, 148.2, 137.7, 137.0, 129.4, 128.6, 128.5, 127.6, 126.0, 121.3, 121.1, 111.1, 106.5, 56.1, 56.1, 55.2, 50.7, 42.9, 36.4, 22.8.

HRMS (ESI) calcd for $[C_{27}H_{28}NO_5]^+$ 446.1962, found 446.1961.

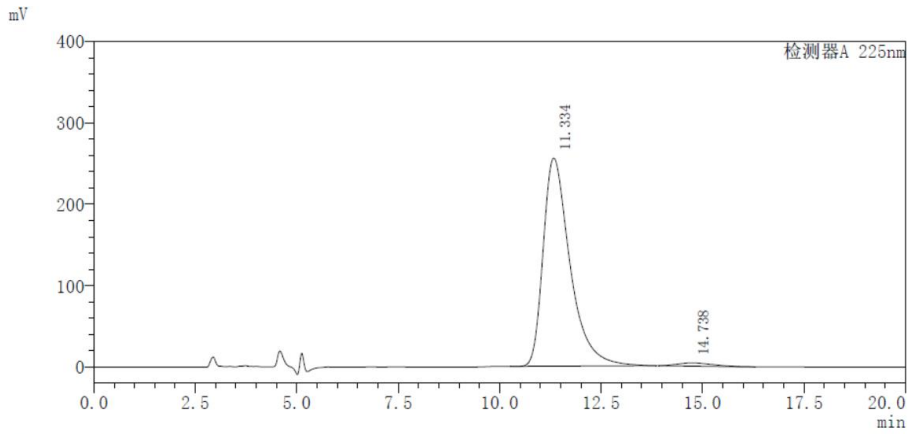
HPLC (Daicel CHIRALCEL OD-H, *n*-hexane : isopropanol = 70 : 30, Flow rate = 1.0 mL/min, λ = 225 nm): t_R = 11.33 min (major enantiomer), t_R = 14.74 min (minor enantiomer).



〈Peak table〉

检测器A 225nm

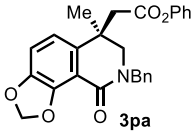
Peak#	Ret. Time	Height	Area	Area%
1	12.096	396969	22021950	50.993
2	15.611	280022	21163970	49.007
总计		676991	43185920	100.000



〈Peak table〉

检测器A 225nm

Peak#	Ret. Time	Height	Area	Area%
1	11.334	255764	11824650	97.966
2	14.738	3978	245484	2.034
总计		259742	12070134	100.000



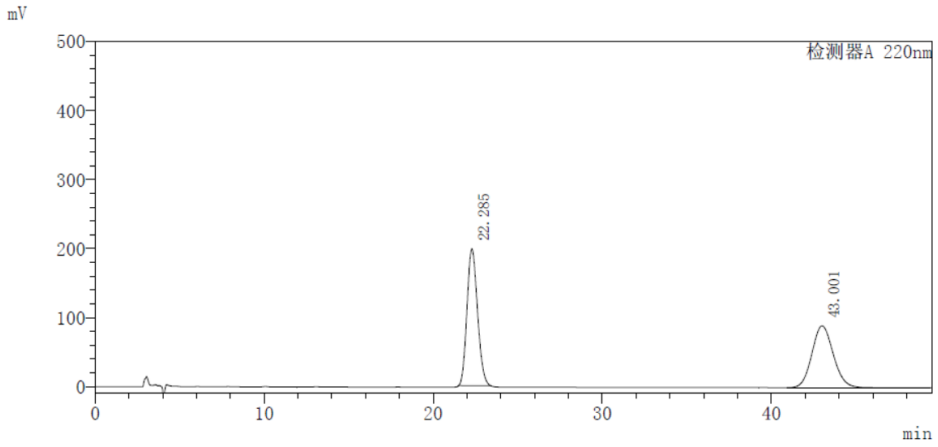
phenyl (R)-2-(8-benzyl-6-methyl-9-oxo-6,7,8,9-tetrahydro-[1,3]dioxolo[4,5-h]isoquinolin-6-yl)acetate 3pa: Colorless oil; actual mass 73.8 mg, 86% yield; 96% ee; $[\alpha]_D^{25}$ = -32.1 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.39 – 7.27 (m, 7H), 7.23 (t, *J* = 7.4 Hz, 1H), 6.91 (t, *J* = 8.1 Hz, 3H), 6.78 (d, *J* = 8.1 Hz, 1H), 6.18 and 6.13 (2s, 2H), 5.04 (d, *J* = 14.4 Hz, 1H), 4.57 (d, *J* = 14.4 Hz, 1H), 3.56 (d, *J* = 12.9 Hz, 1H), 3.39 (d, *J* = 12.9 Hz, 1H), 2.72 – 2.66 (m, 2H), 1.46 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 169.2, 162.1, 150.2, 148.4, 148.2, 137.5, 136.9, 129.4, 128.8, 128.6, 127.6, 126.0, 121.4, 116.8, 112.1, 110.9, 102.4, 55.1, 50.2, 42.9, 36.8, 22.9.

HRMS (ESI) calcd for $[C_{26}H_{24}NO_5]^+$ 430.1649, found 430.1651.

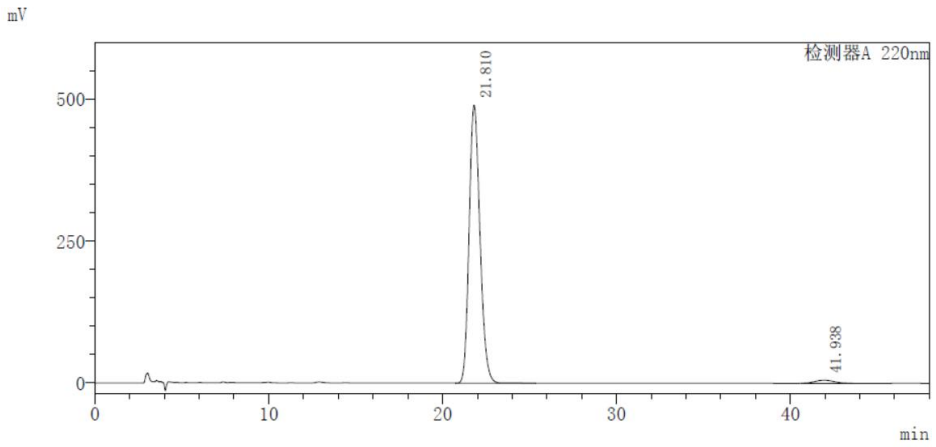
HPLC (Daicel CHIRALPAK AD-H, *n*-hexane : isopropanol = 70 : 30, Flow rate = 1.0 mL/min, λ = 220 nm): t_R = 21.81 min (major enantiomer), t_R = 41.94 min (minor enantiomer).



〈Peak table〉

检测器A 220nm

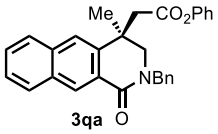
Peak#	Ret. Time	Height	Area	Area%
1	22.285	198078	8563347	52.137
2	43.001	89407	7861486	47.863
总计		287485	16424832	100.000



〈Peak table〉

检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	21.810	490502	21248279	98.121
2	41.938	5324	406868	1.879
总计		495826	21655147	100.000



3qa

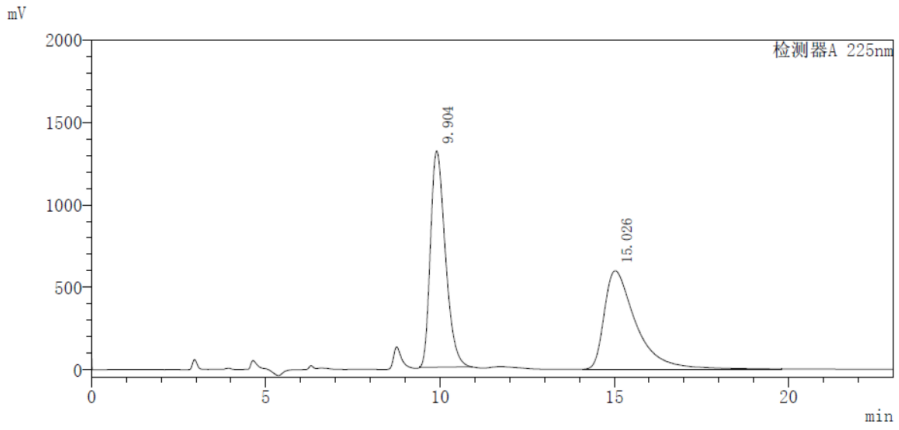
phenyl (R)-2-(2-benzyl-4-methyl-1-oxo-1,2,3,4-tetrahydrobenzo[g]isoquinolin-4-yl)acetate 3qa: Colorless oil; actual mass 71.4 mg, 82% yield; 90% ee; $[\alpha]_D^{25}$ = -12.4 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.80 (s, 1H), 7.99 (d, *J* = 8.1 Hz, 1H), 7.83 (d, *J* = 8.1 Hz, 1H), 7.75 (s, 1H), 7.58 – 7.51 (m, 2H), 7.39 – 7.27 (m, 7H), 7.19 (t, *J* = 7.4 Hz, 1H), 6.84 (d, *J* = 7.9 Hz, 2H), 5.17 (d, *J* = 14.5 Hz, 1H), 4.63 (d, *J* = 14.5 Hz, 1H), 3.69 (d, *J* = 12.8 Hz, 1H), 3.50 (d, *J* = 12.8 Hz, 1H), 2.88 – 2.80 (m, 2H), 1.62 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 169.3, 164.3, 150.2, 140.5, 136.9, 134.9, 132.1, 130.6, 129.4, 129.3, 128.7, 128.6, 128.1, 127.6, 127.6, 126.5, 126.1, 125.9, 122.9, 121.4, 54.9, 51.0, 43.1, 37.0, 22.9.

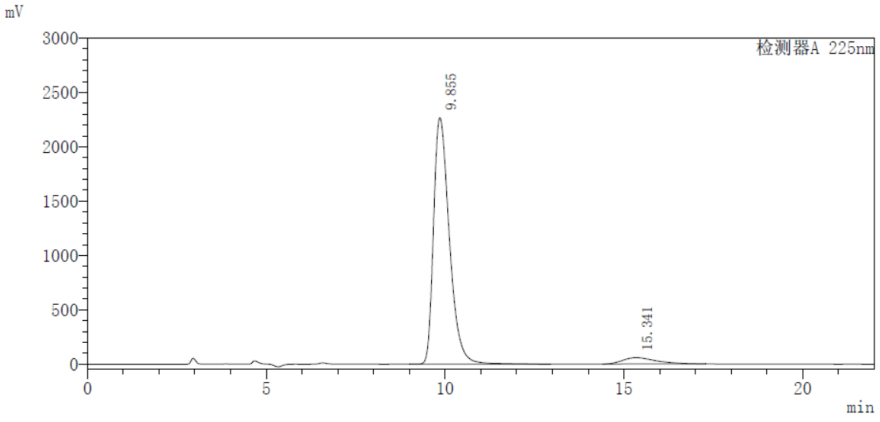
HRMS (ESI) calcd for $[C_{29}H_{25}NNaO_3]^+$ 458.1727, found 458.1730.

HPLC (Daicel CHIRALCEL OD-H, *n*-hexane : isopropanol = 70 : 30, Flow rate = 1.0 mL/min, λ = 225 nm): t_R = 9.86 min (major enantiomer), t_R = 15.34 min (minor enantiomer).



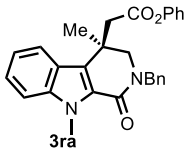
〈Peak table〉
检测器A 225nm

Peak#	Ret. Time	Height	Area	Area%
1	9.904	1313932	39166601	50.871
2	15.026	598049	37824704	49.129
总计		1911981	76991305	100.000



〈Peak table〉
检测器A 225nm

Peak#	Ret. Time	Height	Area	Area%
1	9.855	2267918	69794622	94.963
2	15.341	57744	3702308	5.037
总计		2325663	73496930	100.000



phenyl (R)-2-(2-benzyl-4,9-dimethyl-1-oxo-2,3,4,9-tetrahydro-1H-pyrido[3,4-b]indol-4-yl)acetate

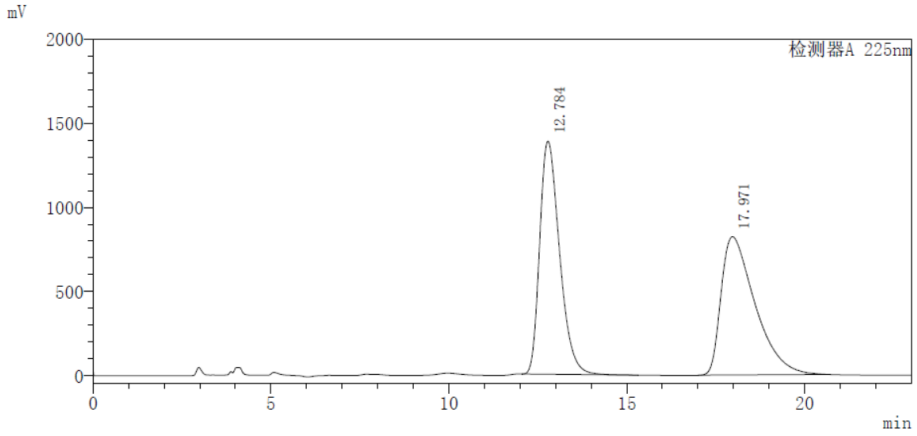
3ra: Colorless oil; actual mass 70.0 mg, 80% yield; 95% ee; $[\alpha]_D^{25}$ = -64.9 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.79 (d, *J* = 8.1 Hz, 1H), 7.43 – 7.27 (m, 9H), 7.20 – 7.13 (m, 2H), 6.76 (d, *J* = 7.7 Hz, 2H), 4.92 (d, *J* = 14.6 Hz, 1H), 4.66 (d, *J* = 14.6 Hz, 1H), 4.19 (s, 3H), 3.69 (d, *J* = 12.7 Hz, 1H), 3.53 (d, *J* = 12.7 Hz, 1H), 2.91 (d, *J* = 14.1 Hz, 1H), 2.77 (d, *J* = 14.1 Hz, 1H), 1.70 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 169.6, 161.1, 150.2, 139.4, 137.2, 129.3, 128.7, 128.5, 127.6, 125.9, 125.5, 124.5, 124.3, 122.7, 121.4, 121.4, 120.3, 110.5, 57.2, 49.7, 42.1, 35.6, 31.3, 23.1.

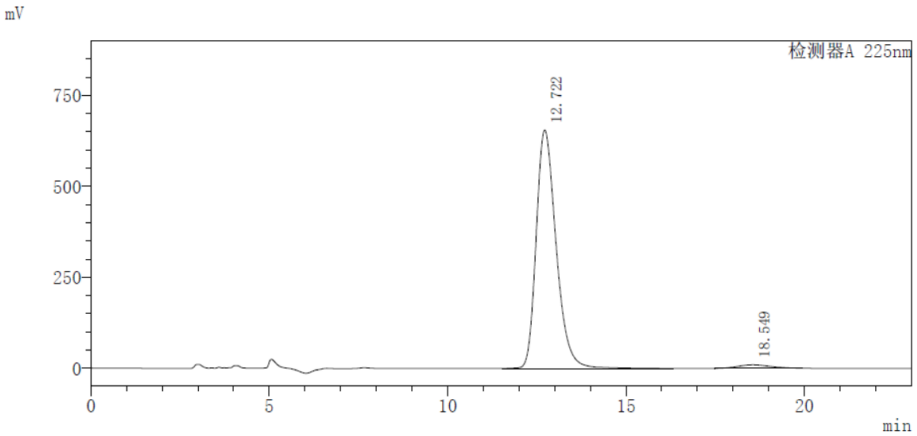
HRMS (ESI) calcd for $[C_{28}H_{27}N_2O_3]^+$ 439.2016, found 439.2020.

HPLC (Daicel CHIRALCEL OD-H, *n*-hexane : isopropanol = 70 : 30, Flow rate = 1.0 mL/min, λ = 225 nm): t_R = 12.72 min (major enantiomer), t_R = 18.55 min (minor enantiomer).



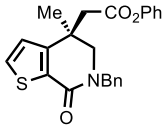
〈Peak table〉
检测器A 225nm

Peak#	Ret. Time	Height	Area	Area%
1	12.784	1388104	53894987	49.683
2	17.971	822787	54583815	50.317
总计		2210891	108478802	100.000



〈Peak table〉
检测器A 225nm

Peak#	Ret. Time	Height	Area	Area%
1	12.722	655497	25515687	97.673
2	18.549	9417	607927	2.327
总计		664914	26123614	100.000



3sa

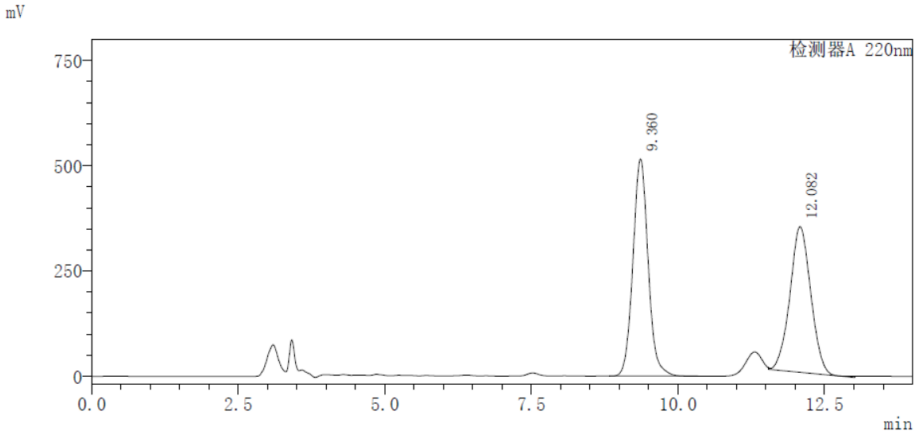
phenyl (R)-2-(6-benzyl-4-methyl-7-oxo-4,5,6,7-tetrahydrothieno[2,3-c]pyridin-4-yl)acetate 3sa: Colorless oil; actual mass 45.4 mg, 58% yield; 90% ee; $[\alpha]_D^{25}$ = -49.5 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.51 (d, *J* = 5.0 Hz, 1H), 7.37 – 7.27 (m, 7H), 7.22 (t, *J* = 7.4 Hz, 1H), 7.02 (d, *J* = 5.0 Hz, 1H), 6.92 (d, *J* = 7.7 Hz, 2H), 4.88 (d, *J* = 14.5 Hz, 1H), 4.62 (d, *J* = 14.5 Hz, 1H), 3.60 (d, *J* = 12.8 Hz, 1H), 3.47 (d, *J* = 12.8 Hz, 1H), 2.77 – 2.68 (m, 2H), 1.46 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 169.1, 161.1, 150.1, 149.8, 136.9, 131.7, 129.4, 128.7, 128.6, 127.6, 126.0, 124.6, 121.4, 56.8, 49.9, 42.3, 36.2, 22.9.

HRMS (ESI) calcd for $[C_{23}H_{22}NO_3S]^+$ 392.1315, found 392.1314.

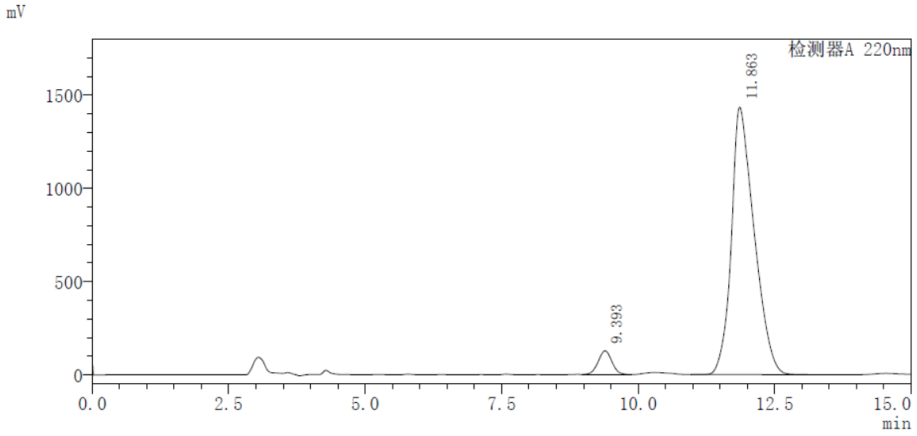
HPLC (Daicel CHIRALPAK AD-H, *n*-hexane : isopropanol = 65 : 35, Flow rate = 1.0 mL/min, λ = 220 nm): t_R = 9.39 min (minor enantiomer), t_R = 11.86 min (major enantiomer).



〈Peak table〉

检测器A 220nm

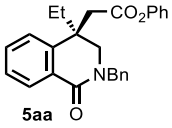
Peak#	Ret. Time	Height	Area	Area%
1	9.360	515815	9306196	52.002
2	12.082	346315	8589491	47.998
总计		862129	17895688	100.000



〈Peak table〉

检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	9.393	126800	2213617	5.053
2	11.863	1434142	41595315	94.947
总计		1560942	43808932	100.000



5aa

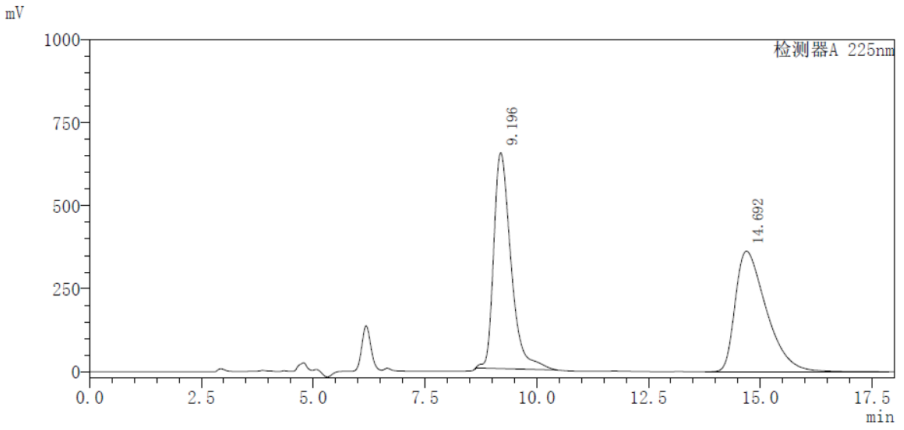
phenyl (R)-2-(2-benzyl-4-ethyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate 5aa: Colorless oil; actual mass 63.8 mg, 80% yield; 91% ee; $[\alpha]_D^{25}$ = -22.5 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.25 (d, *J* = 7.7 Hz, 1H), 7.50 (t, *J* = 7.5 Hz, 1H), 7.41 (t, *J* = 7.5 Hz, 1H), 7.37 – 7.28 (m, 8H), 7.20 (t, *J* = 7.5 Hz, 1H), 6.85 (d, *J* = 7.9 Hz, 2H), 5.02 (d, *J* = 14.5 Hz, 1H), 4.60 (d, *J* = 14.5 Hz, 1H), 3.73 (d, *J* = 12.8 Hz, 1H), 3.50 (d, *J* = 12.8 Hz, 1H), 2.82 (s, 2H), 1.88 (q, *J* = 7.5 Hz, 2H), 0.77 (t, *J* = 7.5 Hz, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 169.3, 163.2, 150.2, 142.2, 136.8, 131.8, 129.4, 129.3, 128.8, 128.7, 128.7, 127.6, 127.4, 126.0, 124.6, 121.4, 52.5, 50.8, 40.7, 40.1, 29.0, 8.3.

HRMS (ESI) calcd for$[C_{26}H_{25}NNaO_3]^+$ 422.1727, found 422.1727.

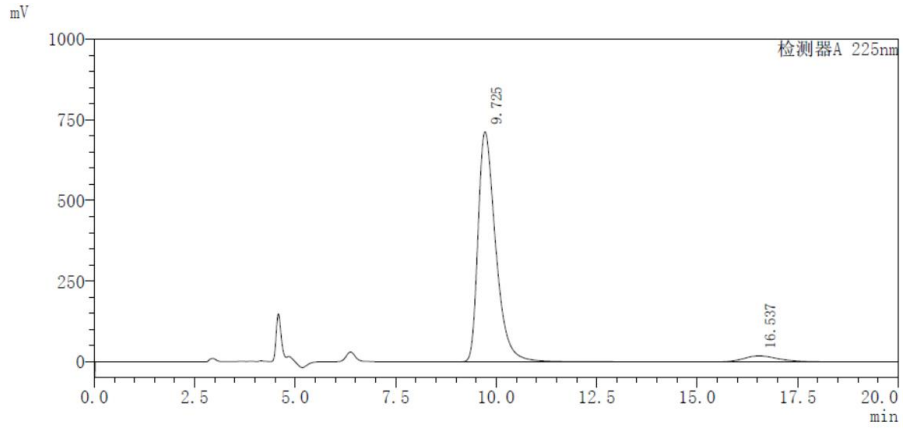
HPLC (Daicel CHIRALCEL OD-H, *n*-hexane : isopropanol = 70 : 30, Flow rate = 1.0 mL/min, λ = 225 nm): t_R = 9.73 min (major enantiomer), t_R = 16.54 min (minor enantiomer).



〈Peak table〉

检测器A 225nm

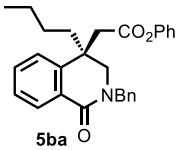
Peak#	Ret. Time	Height	Area	Area%
1	9.196	650264	17499010	49.922
2	14.692	362995	17553581	50.078
总计		1013259	35052592	100.000



〈Peak table〉

检测器A 225nm

Peak#	Ret. Time	Height	Area	Area%
1	9.725	712859	21732671	95.362
2	16.537	18111	1056910	4.638
总计		730970	22789580	100.000



5ba

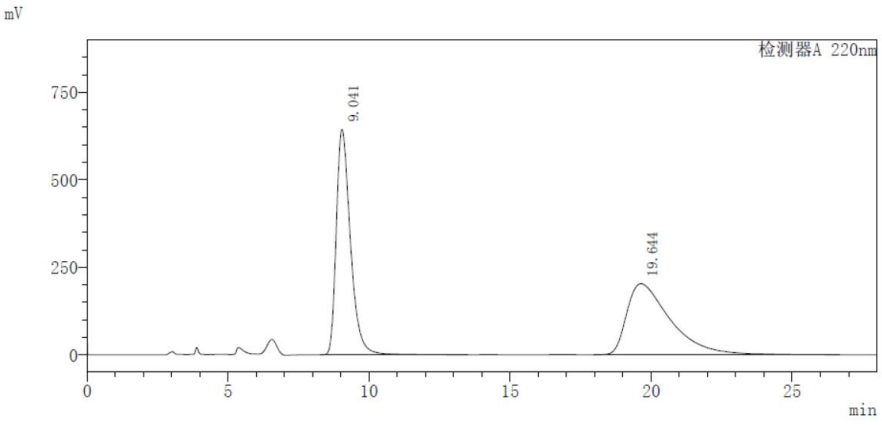
phenyl (R)-2-(2-benzyl-4-butyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate 5ba: Colorless oil; actual mass 64.0 mg, 75% yield; 93% ee; $[\alpha]_D^{25}$ = -5.4 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.25 (d, *J* = 7.7 Hz, 1H), 7.50 (t, *J* = 7.4 Hz, 1H), 7.41 (t, *J* = 7.6 Hz, 1H), 7.37 – 7.27 (m, 8H), 7.20 (t, *J* = 7.4 Hz, 1H), 6.85 (d, *J* = 7.8 Hz, 2H), 5.06 (d, *J* = 14.4 Hz, 1H), 4.55 (d, *J* = 14.4 Hz, 1H), 3.75 (d, *J* = 12.8 Hz, 1H), 3.50 (d, *J* = 12.8 Hz, 1H), 2.83 (s, 2H), 1.79 – 1.76 (m, 2H), 1.22 – 1.14 (m, 2H), 1.13 – 1.03 (m, 2H), 0.80 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 169.4, 163.9, 150.1, 142.6, 136.8, 131.8, 129.4, 129.3, 128.7, 128.6, 127.6, 127.3, 126.0, 124.5, 121.3, 52.7, 50.7, 40.9, 39.9, 36.3, 25.9, 23.1, 13.8.

HRMS (ESI) calcd for $[C_{28}H_{29}NNaO_3]^+$ 450.2040, found 450.2045.

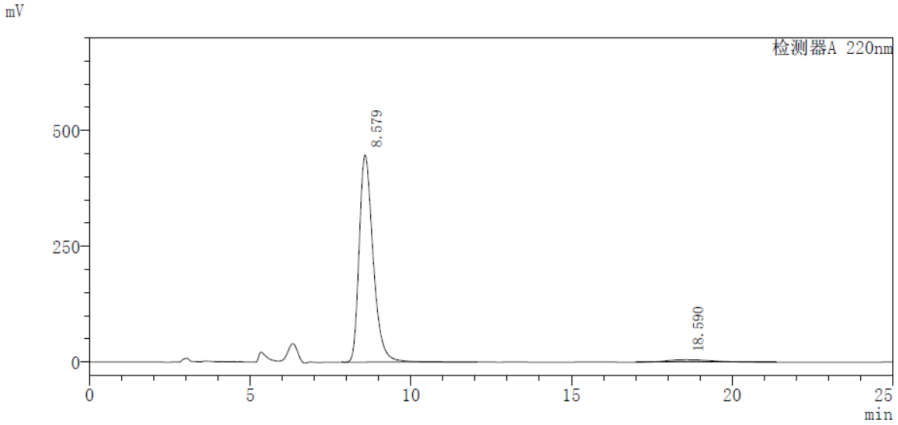
HPLC (Daicel CHIRALCEL OD-H, *n*-hexane : isopropanol = 70 : 30, Flow rate = 1.0 mL/min, λ = 220 nm): t_R = 8.58 min (major enantiomer), t_R = 18.59 min (minor enantiomer).



〈Peak table〉

检测器A 220nm

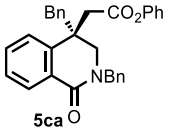
Peak#	Ret. Time	Height	Area	Area%
1	9.041	644253	22494431	50.631
2	19.644	203100	21933714	49.369
总计		847353	44428144	100.000



〈Peak table〉

检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	8.579	447474	13568193	96.357
2	18.590	5468	513034	3.643
总计		452942	14081227	100.000



5ca

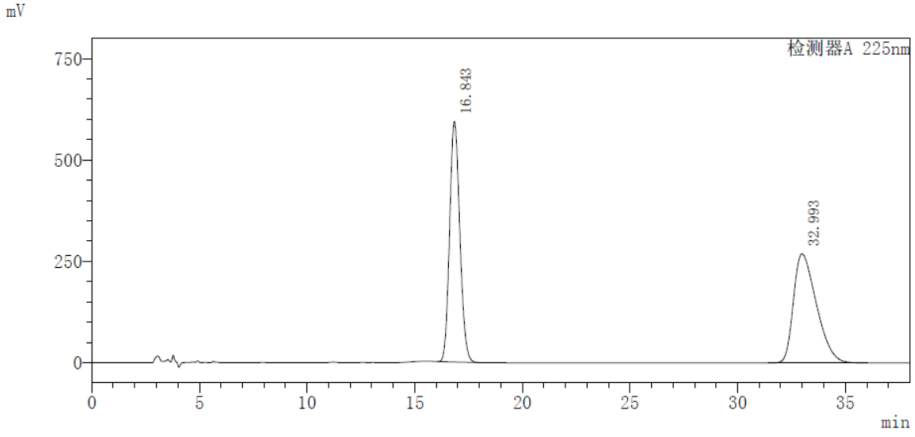
phenyl (R)-2-(2,4-dibenzyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate 5ca: Colorless oil; actual mass 55.4 mg, 60% yield; 89% ee; $[\alpha]_D^{25}$ = +44.8 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.29 (d, *J* = 7.4 Hz, 1H), 7.44 – 7.27 (m, 9H), 7.23 – 7.17 (m, 4H), 6.93 (d, *J* = 7.6 Hz, 1H), 6.83 (d, *J* = 7.8 Hz, 2H), 6.77 (d, *J* = 7.1 Hz, 2H), 4.90 (d, *J* = 14.4 Hz, 1H), 4.72 (d, *J* = 14.4 Hz, 1H), 3.82 (d, *J* = 12.7 Hz, 1H), 3.51 (d, *J* = 12.7 Hz, 1H), 3.20 (d, *J* = 13.5 Hz, 1H), 2.88 – 2.85 (m, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 169.4, 163.9, 150.1, 141.8, 136.7, 135.8, 131.5, 130.7, 129.4, 129.3, 128.7, 128.7, 128.4, 128.0, 127.7, 127.6, 126.9, 126.0, 125.1, 121.3, 53.6, 50.9, 42.9, 40.5, 38.7.

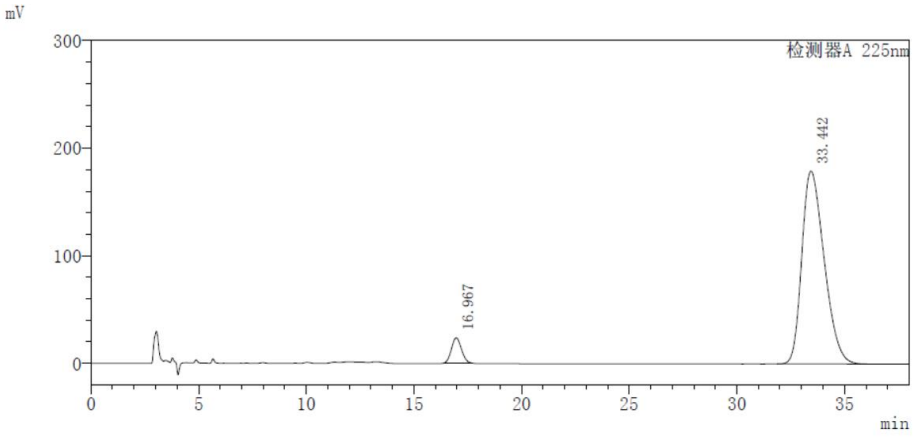
HRMS (ESI) calcd for $[C_{31}H_{27}NNaO_3]^+$ 484.1883, found 484.1879.

HPLC (Daicel CHIRALPAK AD-H, *n*-hexane : isopropanol = 70 : 30, Flow rate = 1.0 mL/min, λ = 220 nm): t_R = 16.97 min (minor enantiomer), t_R = 33.44 min (major enantiomer).



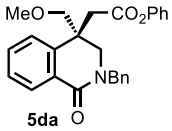
〈Peak table〉
检测器A 225nm

Peak#	Ret. Time	Height	Area	Area%
1	16.843	593233	19597489	49.570
2	32.993	268953	19937829	50.430
总计		862185	39535318	100.000



〈Peak table〉
检测器A 225nm

Peak#	Ret. Time	Height	Area	Area%
1	16.967	23535	757145	5.529
2	33.442	179319	12937352	94.471
总计		202854	13694497	100.000



5da

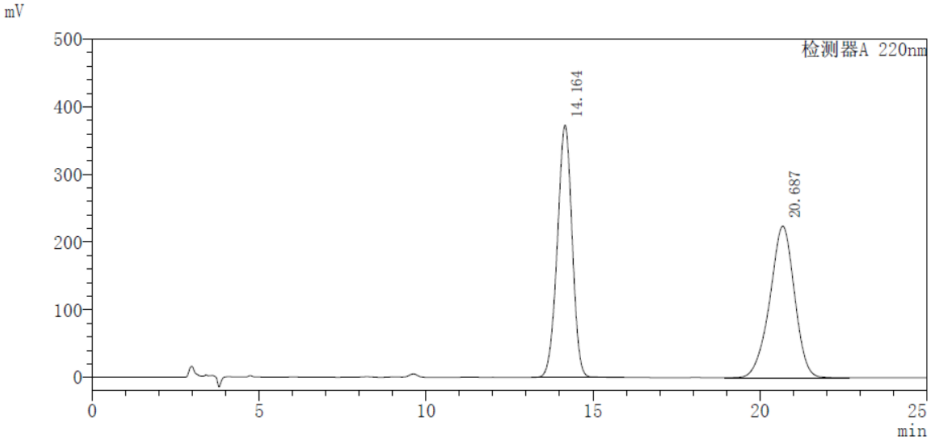
phenyl (S)-2-(2-benzyl-4-(methoxymethyl)-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate 5da: Colorless oil; actual mass 37.4 mg, 45% yield; 90% ee; $[\alpha]_D^{25}$ = -3.4 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.24 (d, *J* = 7.5 Hz, 1H), 7.50 (t, *J* = 7.5 Hz, 1H), 7.43 (t, *J* = 7.5 Hz, 1H), 7.38 – 7.25 (m, 8H), 7.19 (t, *J* = 7.4 Hz, 1H), 6.86 (d, *J* = 7.8 Hz, 2H), 5.03 (d, *J* = 14.4 Hz, 1H), 4.56 (d, *J* = 14.4 Hz, 1H), 3.84 (d, *J* = 12.8 Hz, 1H), 3.62 – 3.53 (m, 3H), 3.21 (s, 3H), 2.92 (s, 2H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 169.4, 163.8, 150.2, 140.6, 137.0, 132.1, 129.3, 129.2, 128.7, 128.6, 128.6, 127.8, 127.5, 125.9, 124.4, 121.4, 75.4, 59.0, 50.7, 50.6, 41.1, 38.6.

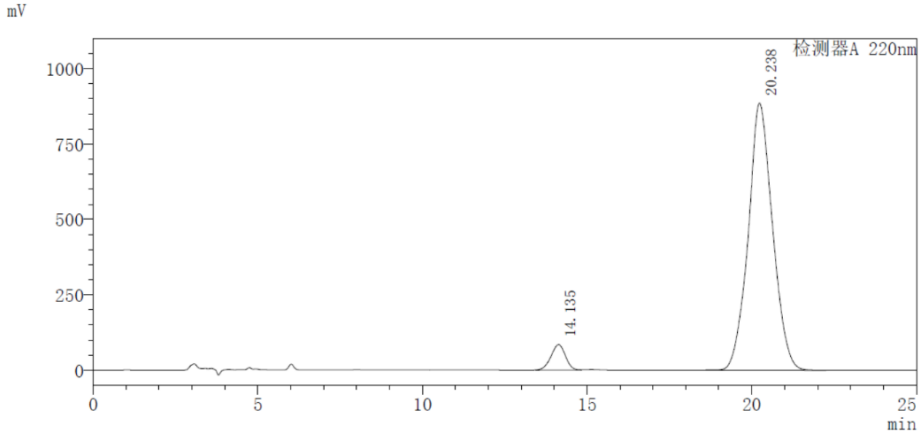
HRMS (ESI) calcd for $[C_{26}H_{25}NNaO_4]^+$ 438.1676, found 438.1683.

HPLC (Daicel CHIRALPAK AD-H, *n*-hexane : isopropanol = 65 : 35, Flow rate = 1.0 mL/min, λ = 220 nm): t_R = 14.14 min (minor enantiomer), t_R = 20.24 min (major enantiomer).



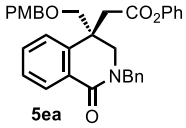
〈Peak table〉
检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	14.164	373316	11525605	50.019
2	20.687	224211	11516687	49.981
总计		597527	23042292	100.000



〈Peak table〉
检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	14.135	84235	2548755	5.299
2	20.238	886668	45553862	94.701
总计		970903	48102617	100.000



5ea

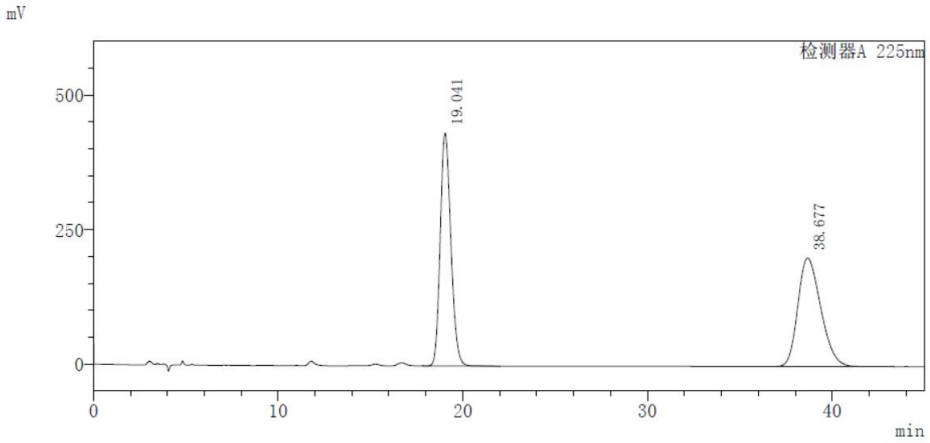
phenyl (S)-2-(2-benzyl-4-(((4-methoxybenzyl)oxy)methyl)-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate 5ea: Colorless oil; actual mass 62.6 mg, 60% yield; 93% ee; $[\alpha]_D^{25}$ = -3.3 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.24 – 8.22 (m, 1H), 7.49 – 7.27 (m, 10H), 7.19 – 7.11 (m, 3H), 6.84 (d, *J* = 8.6 Hz, 2H), 6.78 (d, *J* = 7.7 Hz, 2H), 4.97 (d, *J* = 14.4 Hz, 1H), 4.57 (d, *J* = 14.4 Hz, 1H), 4.28 (s, 2H), 3.87 (d, *J* = 12.8 Hz, 1H), 3.80 (s, 3H), 3.64 – 3.58 (m, 3H), 2.99 – 2.93 (m, 2H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 169.4, 163.8, 159.2, 150.2, 140.6, 137.0, 132.0, 129.7, 129.3, 129.3, 129.2, 128.8, 128.6, 127.8, 127.6, 125.8, 124.6, 121.4, 113.7, 73.0, 72.7, 55.2, 50.8, 50.6, 41.1, 38.6.

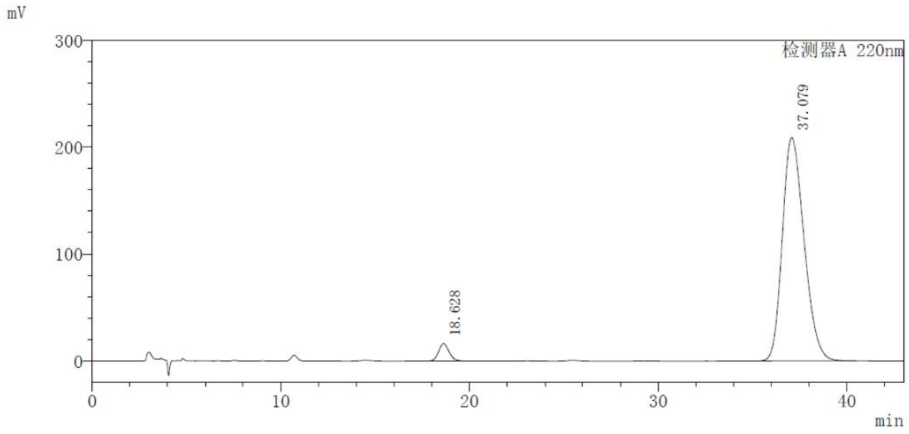
HRMS (ESI) calcd for $[C_{33}H_{31}NNaO_5]^+$ 544.2094, found 544.2099.

HPLC (Daicel CHIRALPAK AD-H, *n*-hexane : isopropanol = 70 : 30, Flow rate = 1.0 mL/min, λ = 220 nm): t_R = 18.63 min (minor enantiomer), t_R = 37.08 min (major enantiomer).



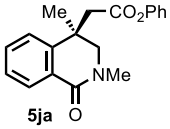
〈Peak table〉
检测器A 225nm

Peak#	Ret. Time	Height	Area	Area%
1	19.041	432565	17354861	49.998
2	38.677	201626	17355933	50.002
总计		634191	34710794	100.000



〈Peak table〉
检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	18.628	16162	617371	3.561
2	37.079	209095	16717726	96.439
总计		225256	17335097	100.000



5ja

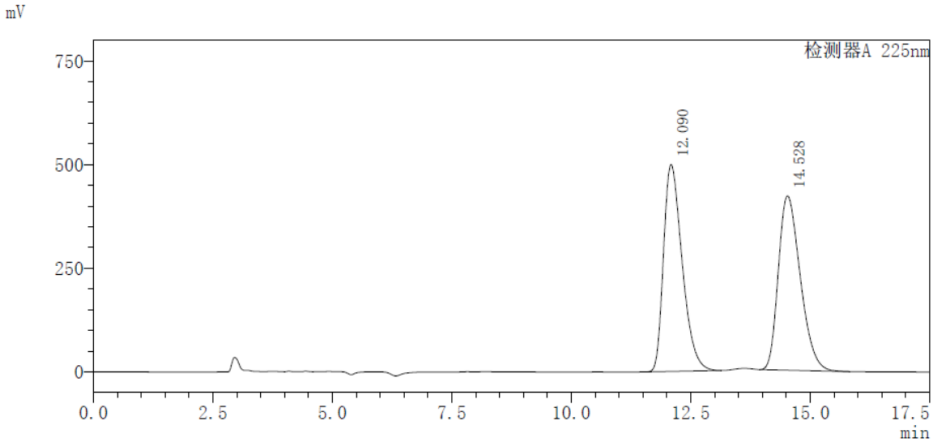
phenyl (R)-2-(2,4-dimethyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate 5ja: Colorless oil; actual mass 56.2 mg, 91% yield; 90% ee; $[\alpha]_D^{25}$ = -86.7 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.16 (d, *J* = 6.9 Hz, 1H), 7.53 – 7.50 (m, 1H), 7.41 – 7.35 (m, 4H), 7.24 – 7.22 (m, 1H), 6.97 (d, *J* = 7.7 Hz, 2H), 3.66 (d, *J* = 12.7 Hz, 1H), 3.58 (d, *J* = 12.7 Hz, 1H), 3.20 (s, 3H), 2.91 (d, *J* = 14.2 Hz, 1H), 2.77 (d, *J* = 14.2 Hz, 1H), 1.60 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 169.3, 164.4, 150.2, 144.1, 132.1, 129.5, 128.8, 128.3, 127.5, 126.0, 123.8, 121.4, 57.1, 43.1, 36.8, 35.1, 22.5.

HRMS (ESI) calcd for$[C_{19}H_{19}NNaO_3]^+$ 332.1257, found 332.1254.

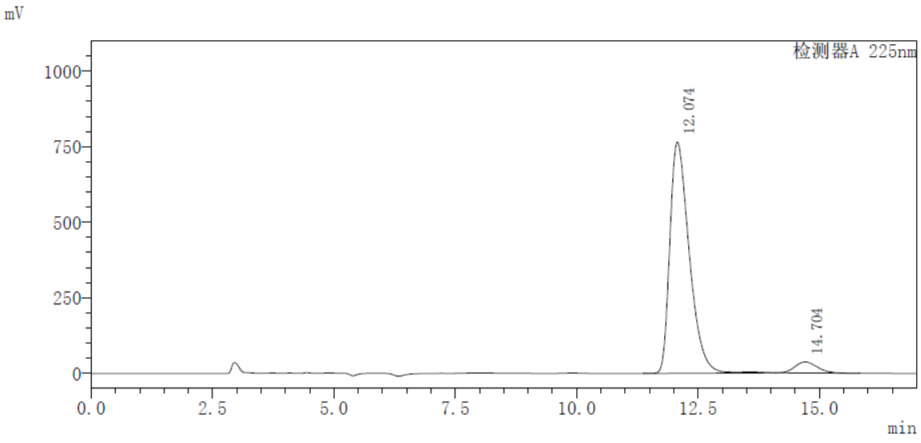
HPLC (Daicel CHIRALCEL OD-H, *n*-hexane : isopropanol = 80 : 20, Flow rate = 1.0 mL/min, λ = 225 nm): t_R = 12.07 min (major enantiomer), t_R = 14.70 min (minor enantiomer).



〈Peak table〉

检测器A 225nm

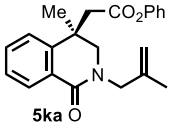
Peak#	Ret. Time	Height	Area	Area%
1	12.090	498996	13539885	50.220
2	14.528	420366	13421314	49.780
总计		919362	26961199	100.000



〈Peak table〉

检测器A 225nm

Peak#	Ret. Time	Height	Area	Area%
1	12.074	765618	21362186	94.818
2	14.704	36621	1167467	5.182
总计		802239	22529652	100.000



5ka

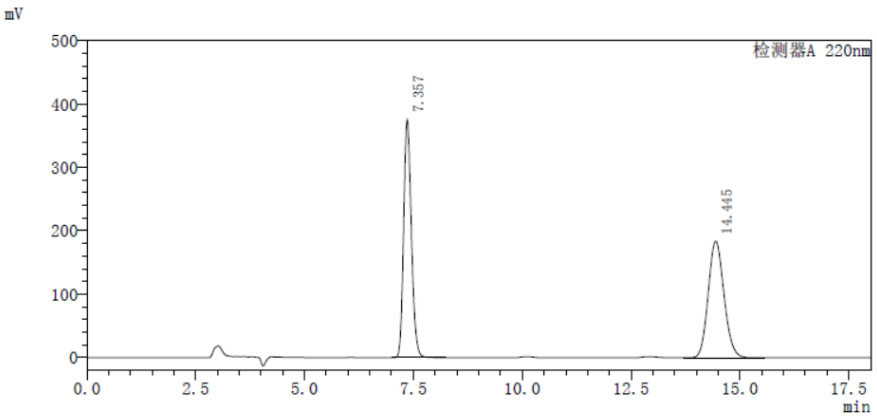
phenyl (R)-2-(4-methyl-2-(2-methylallyl)-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate 5ka: Colorless oil; actual mass 64.2 mg, 92% yield; 91% ee; $[\alpha]_D^{25}$ = -81.3 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.19 (d, *J* = 7.6 Hz, 1H), 7.52 (t, *J* = 7.4 Hz, 1H), 7.43 – 7.35 (m, 4H), 7.23 (t, *J* = 7.4 Hz, 1H), 6.95 (d, *J* = 7.8 Hz, 2H), 4.94 and 4.89 (2s, 2H), 4.48 (d, *J* = 14.7 Hz, 1H), 3.96 (d, *J* = 14.7 Hz, 1H), 3.61 (d, *J* = 12.9 Hz, 1H), 3.46 (d, *J* = 12.9 Hz, 1H), 2.92 – 2.75 (m, 2H), 1.76 (s, 3H), 1.59 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 169.2, 164.0, 150.2, 144.1, 140.5, 132.2, 129.5, 129.1, 128.2, 127.5, 126.0, 123.9, 121.4, 113.8, 54.6, 53.1, 43.0, 36.6, 22.8, 20.2.

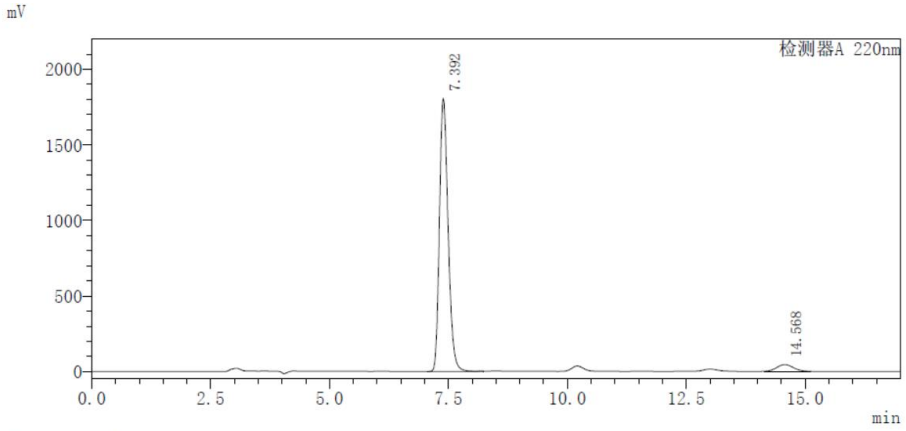
HRMS (ESI) calcd for $[C_{22}H_{23}NNaO_3]^+$ 372.1570, found 372.1573.

HPLC (Daicel CHIRALPAK AD-H, *n*-hexane : isopropanol = 70 : 30, Flow rate = 1.0 mL/min, λ = 220 nm): t_R = 7.39 min (major enantiomer), t_R = 14.57 min (minor enantiomer).



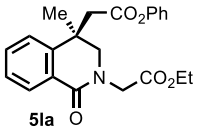
〈Peak table〉
检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	7.357	375095	4631262	49.990
2	14.445	184100	4633055	50.010
总计		559196	9264317	100.000



〈Peak table〉
检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	7.392	1804808	22879675	95.462
2	14.568	45118	1087715	4.538
总计		1849927	23967389	100.000



5la

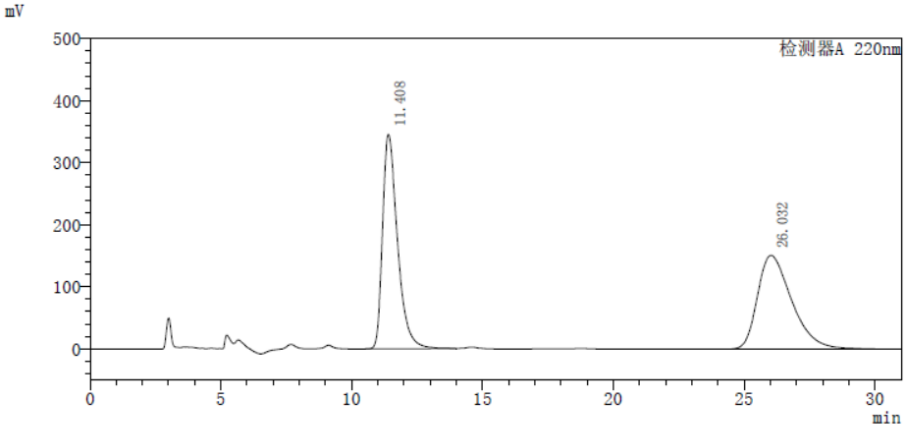
ethyl (R)-2-(4-methyl-1-oxo-4-(2-oxo-2-phenoxyethyl)-3,4-dihydroisoquinolin-2(1H)-yl)acetate 5la: Colorless oil; actual mass 48.0 mg, 63% yield; 92% ee. $[\alpha]_D^{25}$ = -78.0 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.16 (d, *J* = 7.6 Hz, 1H), 7.54 (t, *J* = 7.5 Hz, 1H), 7.42 – 7.35 (m, 4H), 7.22 (t, *J* = 7.4 Hz, 1H), 6.98 (d, *J* = 7.7 Hz, 2H), 4.44 (d, *J* = 17.4 Hz, 1H), 4.29 (d, *J* = 17.4 Hz, 1H), 4.21 (q, *J* = 7.1 Hz, 2H), 3.77 – 3.70 (m, 2H), 3.05 (d, *J* = 14.7 Hz, 1H), 2.85 (d, *J* = 14.7 Hz, 1H), 1.62 (s, 3H), 1.28 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 169.6, 169.2, 164.6, 150.2, 144.6, 132.6, 129.4, 129.1, 127.6, 127.5, 126.0, 124.0, 121.4, 61.3, 56.2, 49.0, 42.9, 36.8, 22.2, 14.1.

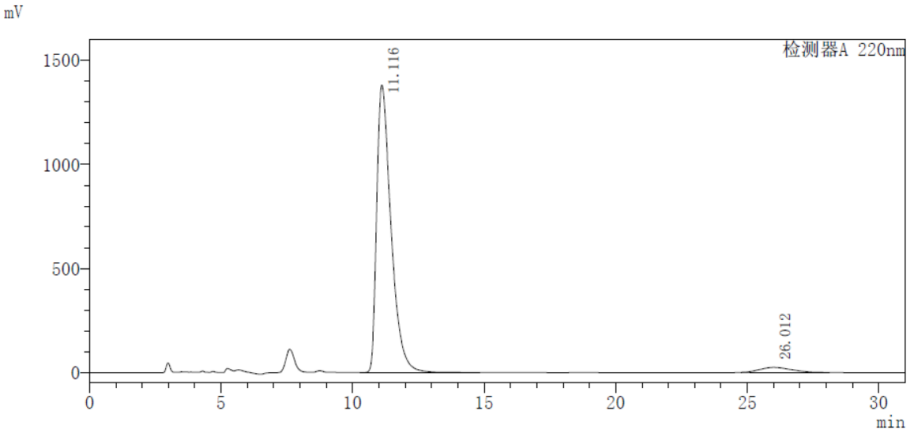
HRMS (ESI) calcd for $[C_{22}H_{23}NNaO_5]^+$ 404.1468, found 404.1469.

HPLC (Daicel CHIRALCEL OD-H, *n*-hexane : isopropanol = 70 : 30, Flow rate = 1.0 mL/min, λ = 220 nm): t_R = 11.12 min (major enantiomer), t_R = 26.01 min (minor enantiomer).



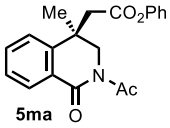
〈Peak table〉
检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	11.408	345611	13714999	49.933
2	26.032	150854	13751822	50.067
总计		496465	27466821	100.000



〈Peak table〉
检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	11.116	1380594	52405479	95.997
2	26.012	24806	2185119	4.003
总计		1405400	54590598	100.000



5ma

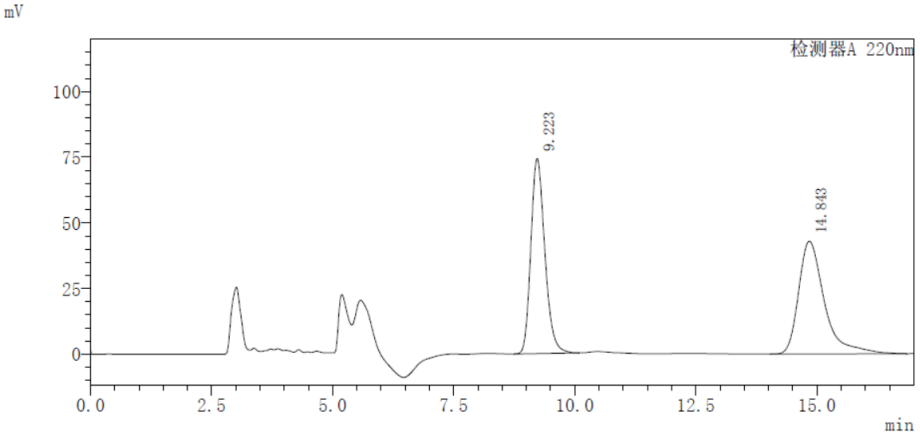
phenyl (R)-2-(2-acetyl-4-methyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate 5ma: Colorless oil; actual mass 43.8 mg, 65% yield; 91% ee; $[\alpha]_D^{25}$ = -52.1 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.22 (d, *J* = 7.5 Hz, 1H), 7.61 (t, *J* = 7.5 Hz, 1H), 7.47 – 7.45 (m, 2H), 7.34 (t, *J* = 7.8 Hz, 2H), 7.20 (t, *J* = 7.4 Hz, 1H), 6.92 (d, *J* = 7.9 Hz, 2H), 4.60 (d, *J* = 13.5 Hz, 1H), 3.66 (d, *J* = 13.5 Hz, 1H), 2.87 – 2.81 (m, 2H), 2.71 (s, 3H), 1.63 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 173.6, 168.7, 165.2, 150.1, 145.7, 133.8, 130.0, 129.4, 128.0, 127.8, 126.0, 124.8, 121.3, 50.9, 43.2, 36.7, 27.5, 23.4.

HRMS (ESI) calcd for $[C_{20}H_{20}NO_4]^+$ 338.1387, found 338.1384.

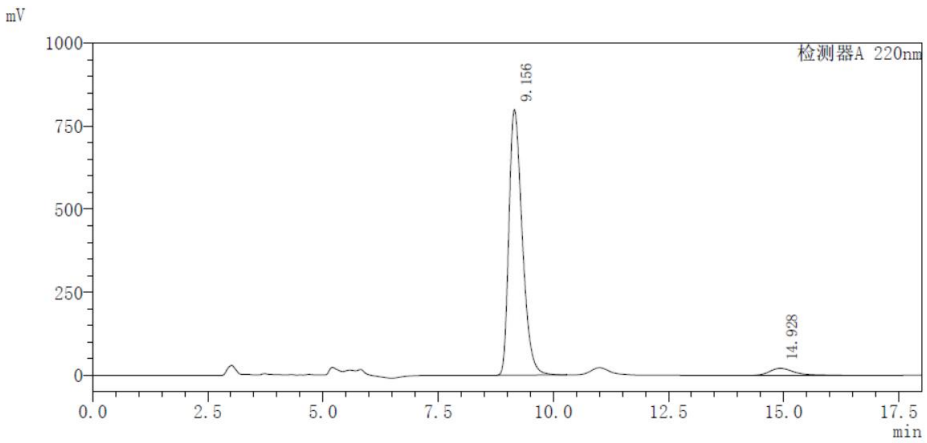
HPLC (Daicel CHIRALCEL OD-H, *n*-hexane : isopropanol = 70 : 30, Flow rate = 1.0 mL/min, λ = 220 nm): t_R = 9.16 min (major enantiomer), t_R = 14.93 min (minor enantiomer).



〈Peak table〉

检测器A 220nm

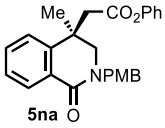
Peak#	Ret. Time	Height	Area	Area%
1	9.223	74268	1492204	48.399
2	14.843	42948	1590937	51.601
总计		117216	3083141	100.000



〈Peak table〉

检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	9.156	799401	16111046	95.334
2	14.928	21188	788582	4.666
总计		820589	16899628	100.000



5na

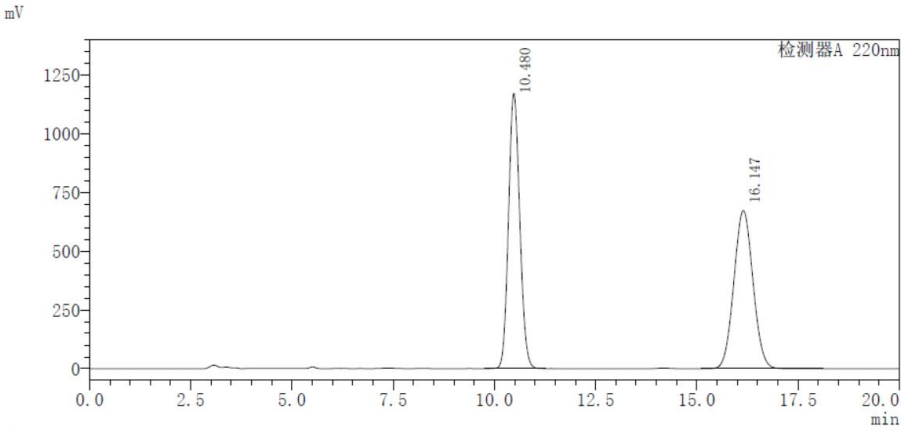
phenyl (R)-2-(2-(4-methoxybenzyl)-4-methyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate 5na: Colorless oil; actual mass 58.2 mg, 70% yield; 92% ee; $[\alpha]_D^{25}$ = -91.6 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.23 – 8.21 (m, 1H), 7.52 – 7.49 (m, 1H), 7.43 – 7.40 (m, 1H), 7.36 – 7.28 (m, 5H), 7.21 (t, *J* = 7.4 Hz, 1H), 6.89 – 6.88 (m, 2H), 6.85 – 6.84 (m, 2H), 4.91 (d, *J* = 14.3 Hz, 1H), 4.61 (d, *J* = 14.3 Hz, 1H), 3.76 (s, 3H), 3.61 (d, *J* = 12.8 Hz, 1H), 3.41 (d, *J* = 12.8 Hz, 1H), 2.76 – 2.69 (m, 2H), 1.50 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 169.1, 164.0, 159.0, 150.1, 144.0, 132.2, 129.9, 129.3, 129.0, 128.9, 128.3, 127.5, 125.9, 123.9, 121.3, 113.9, 55.1, 54.4, 49.9, 42.7, 36.5, 22.5.

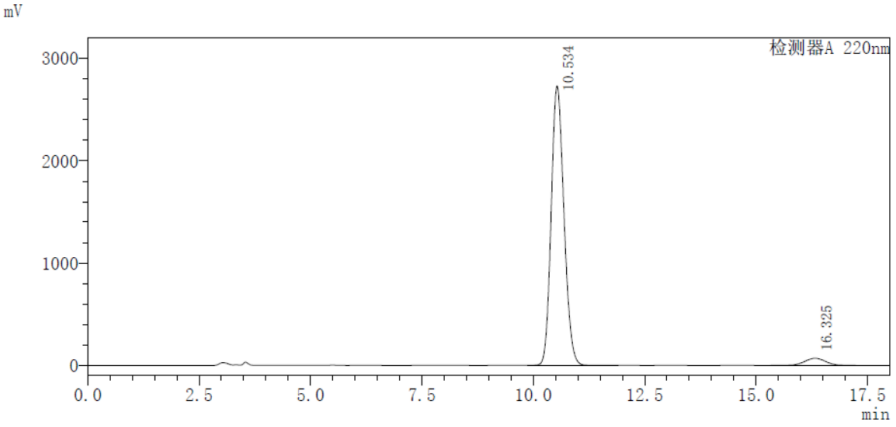
HRMS (ESI) calcd for $[C_{26}H_{25}NNaO_4]^+$ 438.1676, found 438.1684.

HPLC (Daicel CHIRALPAK AD-H, *n*-hexane : isopropanol = 65 : 35, Flow rate = 1.0 mL/min, λ = 220 nm): t_R = 10.53 min (major enantiomer), t_R = 16.33 min (minor enantiomer).



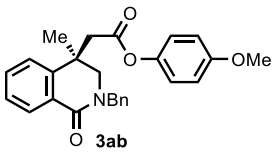
〈Peak table〉
检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	10.480	1171347	22685278	50.684
2	16.147	673372	22072760	49.316
总计		1844719	44758038	100.000



〈Peak table〉
检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	10.534	2731144	54555951	95.818
2	16.325	71233	2381185	4.182
总计		2802377	56937136	100.000



3ab

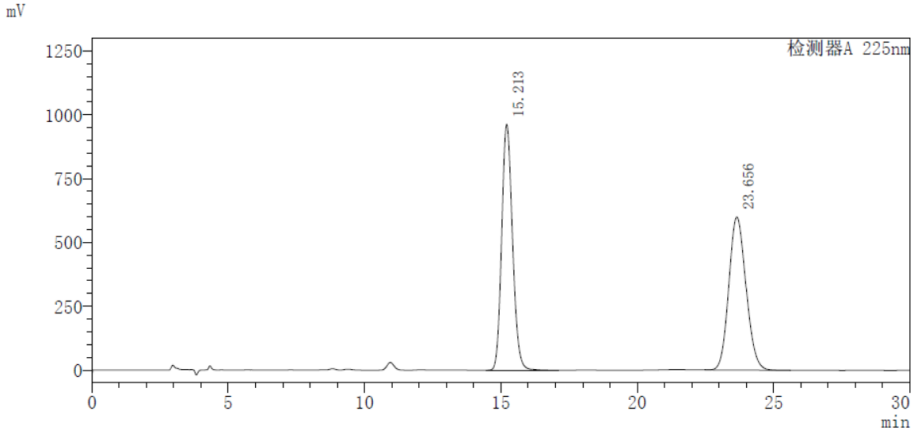
4-methoxyphenyl (R)-2-(2-benzyl-4-methyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate 3ab: Colorless oil; actual mass 68.0 mg, 82% yield; 92% ee; $[\alpha]_D^{25}$ = -80.3 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.22 (d, *J* = 7.6 Hz, 1H), 7.51 (t, *J* = 7.6 Hz, 1H), 7.41 (t, *J* = 7.6 Hz, 1H), 7.36 – 7.27 (m, 6H), 6.86 – 6.80 (m, 4H), 5.07 (d, *J* = 14.5 Hz, 1H), 4.59 (d, *J* = 14.5 Hz, 1H), 3.78 (s, 3H), 3.61 (d, *J* = 12.8 Hz, 1H), 3.43 (d, *J* = 12.8 Hz, 1H), 2.75 – 2.69 (m, 2H), 1.49 (s, 3H).

δ $_C$ (151 MHz, $CDCl_3$) 169.6, 164.1, 157.3, 144.1, 143.7, 136.9, 132.3, 129.1, 128.6, 128.6, 128.3, 127.6, 127.5, 123.9, 122.1, 114.4, 55.6, 54.8, 50.7, 42.8, 36.6, 22.7.

HRMS (ESI) calcd for $[C_{26}H_{25}NNaO_4]^+$ 438.1676, found 438.1676.

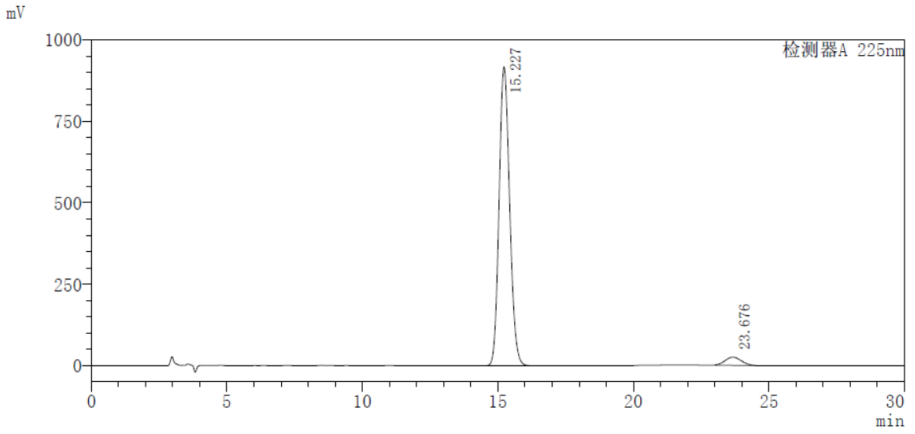
HPLC (Daicel CHIRALPAK AD-H, *n*-hexane : isopropanol = 65 : 35, Flow rate = 1.0 mL/min, λ = 225 nm): t_R = 15.23 min (major enantiomer), t_R = 23.68 min (minor enantiomer).



〈Peak table〉

检测器A 225nm

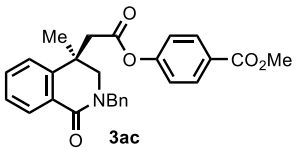
Peak#	Ret. Time	Height	Area	Area%
1	15.213	963134	26608574	50.351
2	23.656	599700	26237086	49.649
总计		1562834	52845659	100.000



〈Peak table〉

检测器A 225nm

Peak#	Ret. Time	Height	Area	Area%
1	15.227	917072	24903948	96.004
2	23.676	25201	1036554	3.996
总计		942273	25940502	100.000



3ac

methyl (R)-4-(2-(2-benzyl-4-methyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetoxy)benzoate

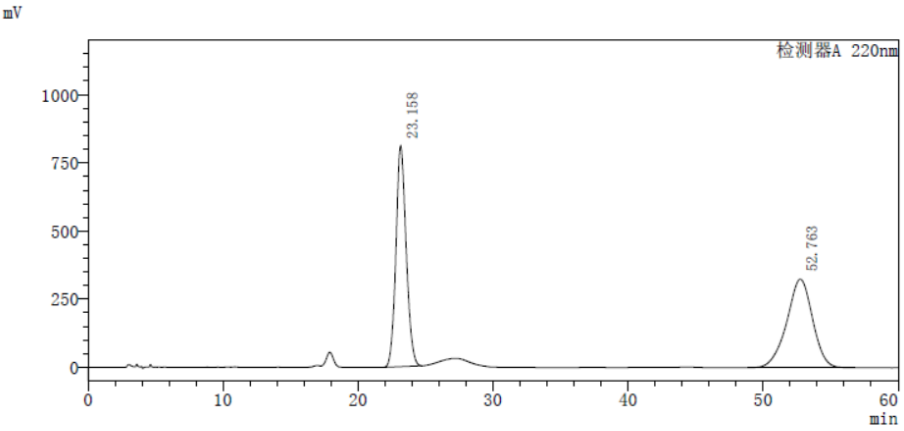
3ac: Colorless oil; actual mass 54.0 mg, 61% yield; 93% ee; $[\alpha]_D^{25}$ = -70.1 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.23 (d, *J* = 7.7 Hz, 1H), 8.03 (d, *J* = 8.6 Hz, 2H), 7.52 (t, *J* = 7.5 Hz, 1H), 7.43 (t, *J* = 7.5 Hz, 1H), 7.37 – 7.27 (m, 6H), 6.95 (d, *J* = 8.6 Hz, 2H), 4.97 (d, *J* = 14.5 Hz, 1H), 4.68 (d, *J* = 14.5 Hz, 1H), 3.91 (s, 2H), 3.59 (d, *J* = 12.9 Hz, 1H), 3.46 (d, *J* = 12.9 Hz, 1H), 2.74 (s, 2H), 1.51 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 168.6, 166.2, 164.1, 153.7, 143.8, 136.8, 132.3, 131.1, 129.2, 128.7, 128.6, 128.3, 127.8, 127.7, 124.0, 121.4, 54.8, 52.2, 50.7, 42.8, 36.6, 22.5.

HRMS (ESI) calcd for $[C_{27}H_{25}NNaO_5]^+$ 466.1625, found 466.1630.

HPLC (Daicel CHIRALPAK AD-H, *n*-hexane : isopropanol = 70 : 30, Flow rate = 1.0 mL/min, λ = 220 nm): t_R = 23.58 min (major enantiomer), t_R = 53.16 min (minor enantiomer).

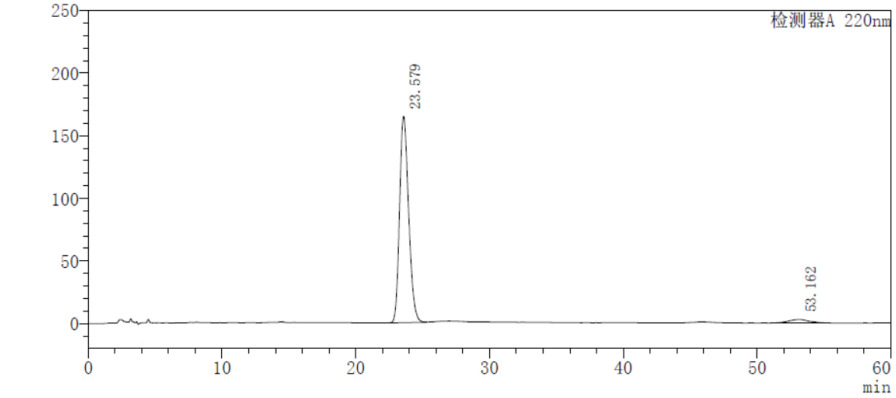


〈Peak table〉

检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	23.158	810187	42710802	49.743
2	52.763	324574	43152478	50.257
总计		1134761	85863280	100.000

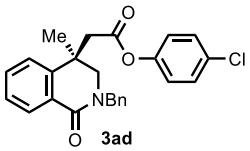
〈chromatogram〉



〈Peak table〉

检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	23.579	164698	7723850	96.622
2	53.162	2640	270003	3.378
总计		167337	7993853	100.000



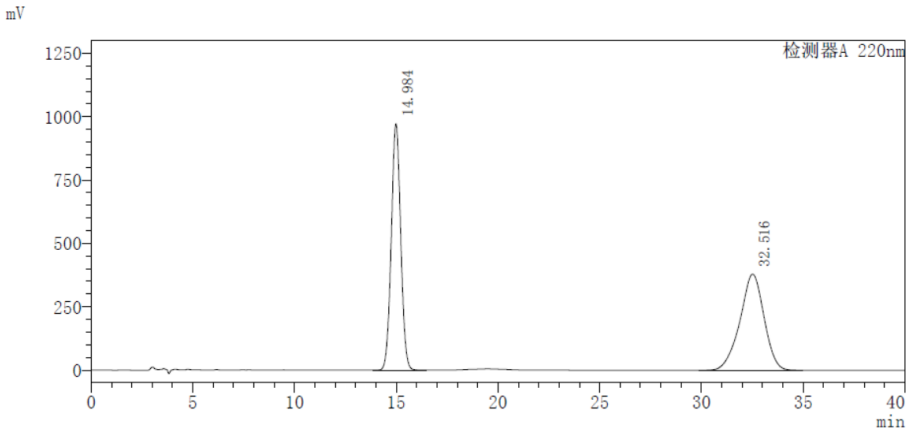
4-chlorophenyl (R)-2-(2-benzyl-4-methyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate 3ad: Colorless oil; actual mass 47.8 mg, 57% yield; 92% ee; $[\alpha]_D^{25}$ = -94.9 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.23 (d, *J* = 7.7 Hz, 1H), 7.51 (t, *J* = 7.5 Hz, 1H), 7.42 (t, *J* = 7.4 Hz, 1H), 7.36 – 7.27 (m, 8H), 6.81 (d, *J* = 8.7 Hz, 2H), 4.99 (d, *J* = 14.5 Hz, 1H), 4.65 (d, *J* = 14.5 Hz, 1H), 3.58 – 3.43 (m, 2H), 2.72 (s, 2H), 1.49 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 168.9, 164.1, 148.5, 143.8, 136.8, 132.3, 131.3, 129.4, 129.1, 128.7, 128.6, 128.2, 127.6, 124.0, 122.7, 54.8, 50.7, 42.8, 36.5, 22.6.

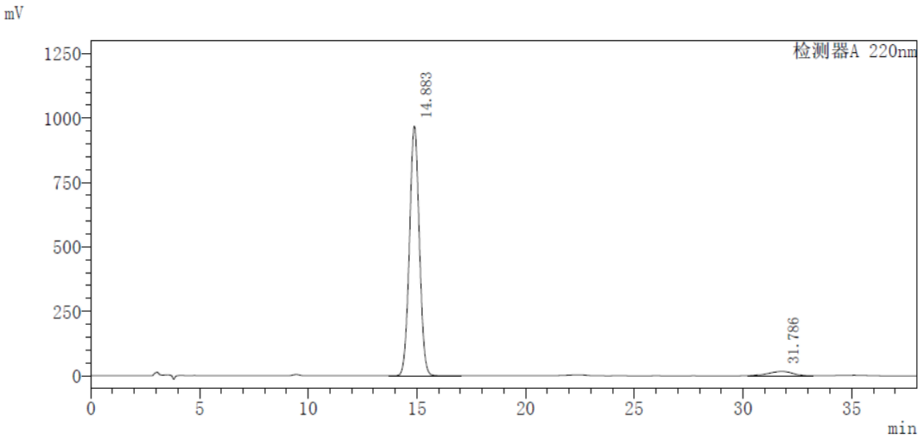
HRMS (ESI) calcd for $[C_{25}H_{23}ClNO_3]^+$ 420.1361, found 420.1366.

HPLC (Daicel CHIRALPAK AD-H, *n*-hexane : isopropanol = 65 : 35, Flow rate = 1.0 mL/min, λ = 220 nm): t_R = 14.88 min (major enantiomer), t_R = 31.79 min (minor enantiomer).



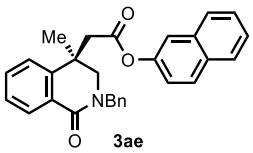
〈Peak table〉
检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	14.984	972120	31384364	50.088
2	32.516	379558	31273822	49.912
总计		1351678	62658186	100.000



〈Peak table〉
检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	14.883	969540	30641756	95.988
2	31.786	17235	1280620	4.012
总计		986774	31922376	100.000



3ae

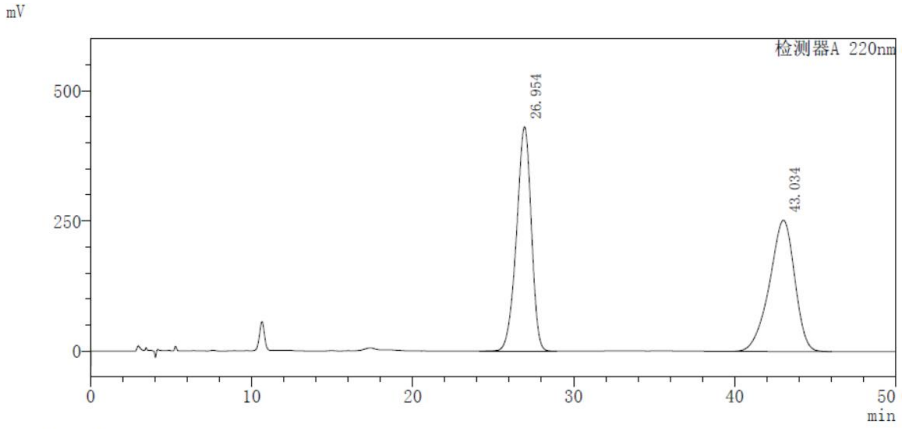
naphthalen-2-yl (R)-2-(2-benzyl-4-methyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate 3ae: Colorless oil; actual mass 67.8 mg, 78% yield; 92% ee; $[\alpha]_D^{25}$ = -98.2 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.25 (d, *J* = 7.7 Hz, 1H), 7.84 – 7.77 (m, 3H), 7.55 – 7.43 (m, 4H), 7.38 – 7.27 (m, 7H), 7.03 – 7.02 (m, 1H), 5.06 (d, *J* = 14.5 Hz, 1H), 4.64 (d, *J* = 14.5 Hz, 1H), 3.65 (d, *J* = 12.9 Hz, 1H), 3.47 (d, *J* = 12.9 Hz, 1H), 2.83 – 2.77 (m, 2H), 1.54 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 169.3, 164.2, 147.8, 144.1, 136.9, 133.6, 132.3, 131.5, 129.4, 129.2, 128.7, 128.6, 128.3, 127.7, 127.6, 127.6, 127.6, 126.6, 125.8, 124.0, 120.8, 118.4, 54.8, 50.7, 42.9, 36.6, 22.6.

HRMS (ESI) calcd for $[C_{29}H_{25}NNaO_3]^+$ 458.1727, found 458.1727.

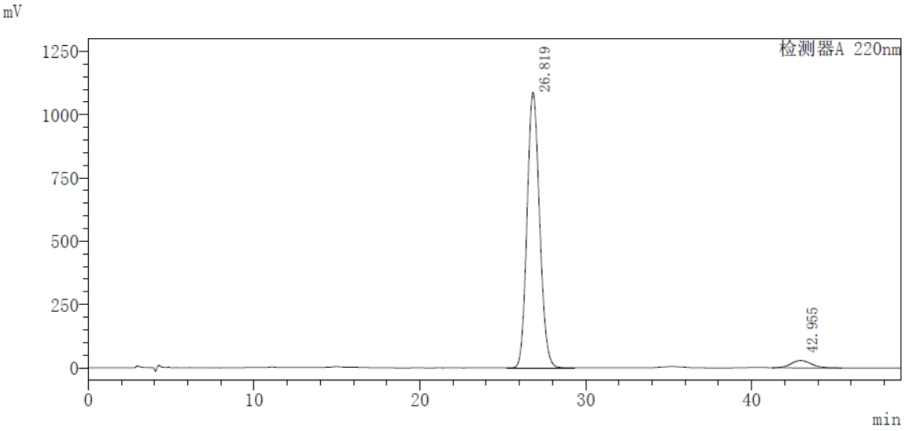
HPLC (Daicel CHIRALPAK AD-H, *n*-hexane : isopropanol = 70 : 30, Flow rate = 1.0 mL/min, λ = 220 nm): t_R = 26.82 min (major enantiomer), t_R = 42.96 min (minor enantiomer).



〈Peak table〉

检测器A 220nm

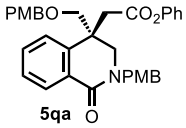
Peak#	Ret. Time	Height	Area	Area%
1	26.954	430830	27648505	50.189
2	43.034	251854	27440796	49.811
总计		682684	55089302	100.000



〈Peak table〉

检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	26.819	1087275	57207677	95.923
2	42.955	29078	2431521	4.077
总计		1116353	59639198	100.000



5qa

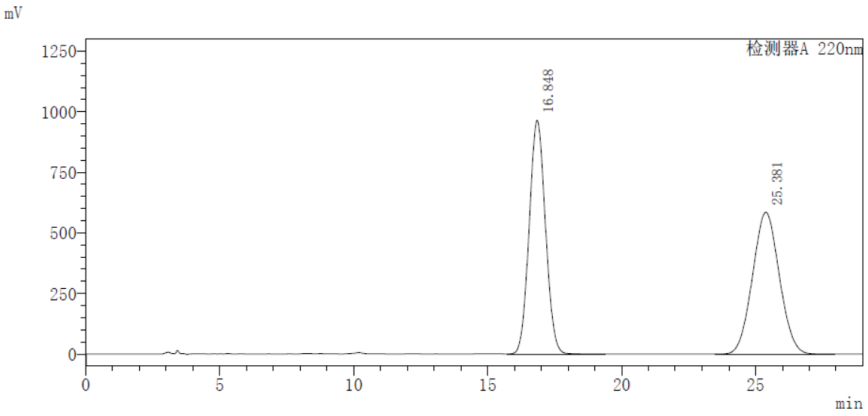
phenyl (S)-2-(2-(4-methoxybenzyl)-4-(((4-methoxybenzyl)oxy)methyl)-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetate 5qa: Colorless oil; actual mass 68.4 mg, 62% yield; 93% ee; $[\alpha]_D^{25}$ = -3.6 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.22 – 8.21 (m, 1H), 7.49 – 7.46 (m, 1H), 7.41 (t, *J* = 7.5 Hz, 1H), 7.36 (d, *J* = 7.7 Hz, 1H), 7.30 – 7.27 (m, 4H), 7.17 (t, *J* = 7.4 Hz, 1H), 7.14 – 7.12 (m, 2H), 6.85 – 6.83 (m, 4H), 6.78 (d, *J* = 8.0 Hz, 2H), 4.86 (d, *J* = 14.3 Hz, 1H), 4.54 (d, *J* = 14.3 Hz, 1H), 4.32 – 4.27 (m, 2H), 3.86 – 3.83 (m, 1H), 3.79 (s, 3H), 3.74 (s, 3H), 3.63 – 3.57 (m, 3H), 2.97 – 2.91 (m, 2H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 169.3, 163.7, 159.2, 159.0, 150.2, 140.6, 132.0, 130.0, 129.7, 129.3, 129.2, 129.1, 128.8, 127.8, 125.8, 124.5, 121.2, 113.9, 113.7, 73.0, 72.7, 55.2, 55.1, 50.3, 50.0, 41.0, 38.5.

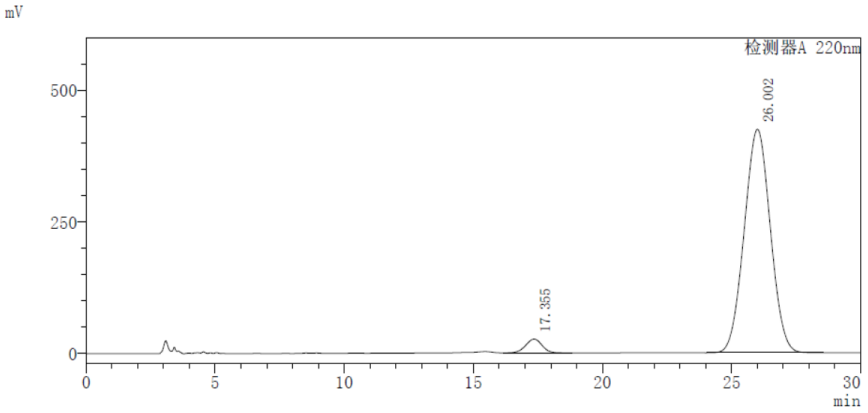
HRMS (ESI) calcd for $[C_{34}H_{33}NNaO_6]^+$ 574.2200, found 574.2192.

HPLC (Daicel CHIRALPAK AD-H, *n*-hexane : isopropanol = 65 : 35, Flow rate = 1.0 mL/min, λ = 220 nm): t_R = 17.36 min (minor enantiomer), t_R = 26.00 min (major enantiomer).



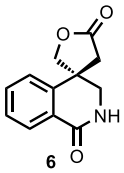
〈Peak table〉
检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	16.848	964322	40665119	49.963
2	25.381	585323	40724837	50.037
总计		1549646	81389955	100.000



〈Peak table〉
检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	17.355	26084	1139310	3.663
2	26.002	425219	29960580	96.337
总计		451303	31099890	100.000



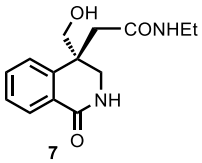
6

(S)-2',3'-dihydro-1'H,2H-spiro[furan-3,4'-isoquinoline]-1',5(4H)-dione 6: white solid; actual mass 191.0 mg, 86% yield; $[\alpha]_D^{25}$ = +80.2 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.18 – 8.16 (m, 1H), 7.63 – 7.60 (m, 1H), 7.51 – 7.48 (m, 1H), 7.37 (d, *J* = 7.7 Hz, 1H), 7.12 (s, 1H), 4.53 (d, *J* = 9.6 Hz, 1H), 4.38 (d, *J* = 9.6 Hz, 1H), 3.65 – 3.60 (m, 2H), 3.04 (d, *J* = 17.7 Hz, 1H), 2.75 (d, *J* = 17.7 Hz, 1H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 174.6, 165.7, 139.6, 133.5, 129.3, 128.7, 128.0, 123.2, 74.7, 48.0, 43.1, 38.5.

HRMS (ESI) calcd for $[C_{12}H_{11}NNaO_3]^+$ 240.0631, found 240.0636.



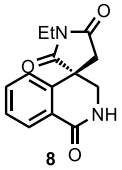
7

(S)-N-ethyl-2-(4-(hydroxymethyl)-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetamide 7: white solid; actual mass 133.6 mg, 85% yield; $[\alpha]_D^{25}$ = -26.9 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, Methanol-*d*) δ 7.99 (d, *J* = 7.7 Hz, 1H), 7.53 (t, *J* = 7.5 Hz, 1H), 7.44 – 7.37 (m, 2H), 3.89 – 3.83 (m, 2H), 3.68 – 3.55 (m, 2H), 3.07 (q, *J* = 7.2 Hz, 2H), 2.70 – 2.61 (m, 2H), 0.99 (t, *J* = 7.2 Hz, 3H).

^{13}C NMR (151 MHz, Methanol-*d*) δ $_C$ 172.0, 166.9, 143.2, 133.0, 128.6, 128.4, 127.6, 126.1, 65.8, 45.5, 42.3, 40.4, 34.5, 13.9.

HRMS (ESI) calcd for $[C_{14}H_{18}N_2NaO_3]^+$ 285.1210, found 285.1206.



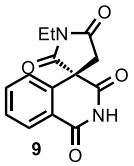
8

(S)-1'-ethyl-2,3-dihydro-1H-spiro[isoquinoline-4,3'-pyrrolidine]-1,2',5'-trione 8: white solid; actual mass 80.5 mg, 78% yield; $[\alpha]_D^{25}$ = -113.1 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.16 (d, *J* = 7.7 Hz, 1H), 7.55 (t, *J* = 7.5 Hz, 1H), 7.48 (t, *J* = 7.6 Hz, 1H), 6.99 (d, *J* = 7.7 Hz, 1H), 6.53 (s, 1H), 4.13 (d, *J* = 12.3 Hz, 1H), 3.73 (q, *J* = 7.2 Hz, 2H), 3.42 – 3.39 (m, 1H), 3.22 (d, *J* = 18.6 Hz, 1H), 2.77 (d, *J* = 18.6 Hz, 1H), 1.30 (t, *J* = 7.2 Hz, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 177.6, 174.1, 165.2, 139.7, 133.6, 129.1, 128.9, 128.0, 124.0, 48.4, 48.1, 41.8, 34.5, 13.3.

HRMS (ESI) calcd for $[C_{14}H_{14}N_2NaO_3]^+$ 281.0897, found 281.0903.



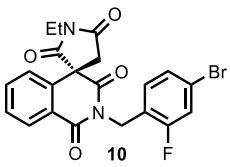
9

(S)-1'-ethyl-1H-spiro[isoquinoline-4,3'-pyrrolidine]-1,2',3,5'(2H)-tetraone 9: white solid; actual mass 49.5 mg, 91% yield; $[\alpha]_D^{25}$ = +144.5 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, DMSO-d_6) δ 8.13 (d, J = 7.7 Hz, 1H), 7.75 (t, J = 7.4 Hz, 1H), 7.62 – 7.58 (m, 2H), 3.51 – 3.30 (m, 5H), 1.07 (t, J = 7.0 Hz, 3H).

^{13}C NMR (151 MHz, DMSO) δ_C 176.0, 174.4, 171.0, 165.1, 138.2, 135.6, 129.1, 128.7, 127.2, 126.5, 57.3, 42.9, 35.1, 13.3.

HRMS (ESI) calcd for $[C_{14}H_{12}N_2NaO_4]^+$ 295.0689, found 295.0688.



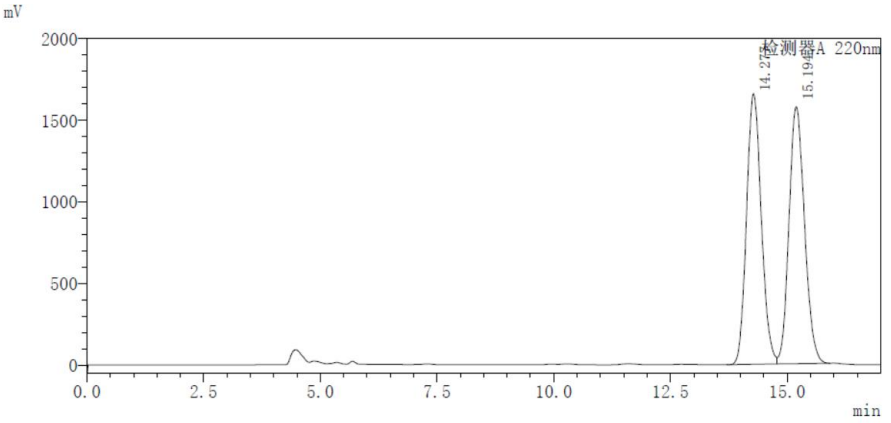
(R)-2-(4-bromo-2-fluorobenzyl)-1'-ethyl-1H-spiro[isoquinoline-4,3'-pyrrolidine]-1,2',3,5'(2H)-tetraone 10: white solid; actual mass 41.7 mg, 83% yield; 91% ee; $[\alpha]_D^{25}$ = +63.9 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.32 (d, *J* = 7.8 Hz, 1H), 7.69 (t, *J* = 7.2 Hz, 1H), 7.58 (t, *J* = 7.6 Hz, 1H), 7.23 – 7.15 (m, 4H), 5.26 – 5.20 (m, 2H), 3.80 (d, *J* = 18.2 Hz, 1H), 3.61 (q, *J* = 7.2 Hz, 2H), 3.14 (d, *J* = 18.2 Hz, 1H), 1.16 (t, *J* = 7.2 Hz, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 174.3, 172.5, 169.0, 163.2, 161.4 (d, *J* = 252.4 Hz), 135.5, 135.0, 130.3 (d, *J* = 4.3 Hz), 130.1, 129.4, 127.5 (d, *J* = 3.4 Hz), 125.4, 124.0, 122.3 (d, *J* = 14.4 Hz), 121.5 (d, *J* = 9.2 Hz), 119.1 (d, *J* = 24.6 Hz), 56.6, 41.5, 38. 0 (d, *J* = 4.4 Hz), 35.2, 12.6.

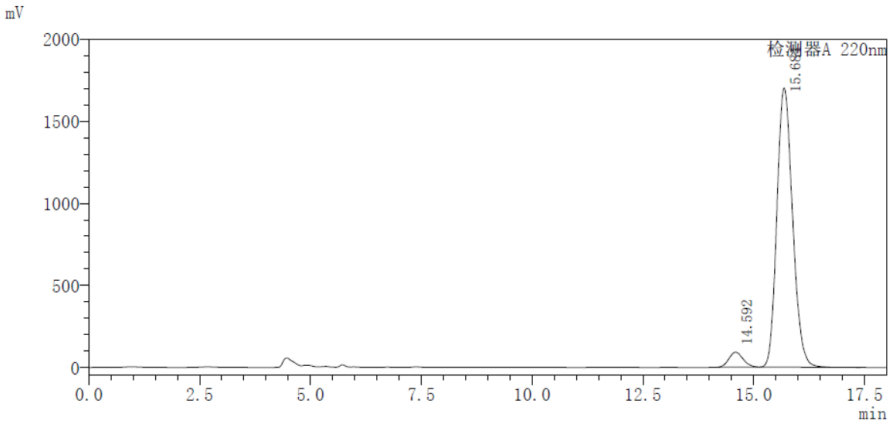
HRMS (ESI) calcd for $[C_{21}H_{16}BrFN_2NaO_4]^+$ 481.0170, found 481.0166.

HPLC (Daicel CHIRALPAK AD-H, *n*-hexane : isopropanol = 65 : 35, Flow rate = 1.0 mL/min, λ = 220 nm): t_R = 14.59 min (major enantiomer), t_R = 15.68 min (minor enantiomer).



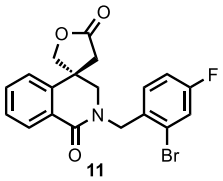
〈Peak table〉
检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	14.277	1660364	36617548	50.104
2	15.194	1575330	36465762	49.896
总计		3235693	73083310	100.000



〈Peak table〉
检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	14.592	91053	2021759	4.614
2	15.684	1703041	41794513	95.386
总计		1794094	43816272	100.000



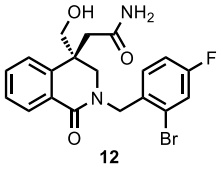
11

(S)-2'-(2-bromo-4-fluorobenzyl)-2',3'-dihydro-1'H,2H-spiro[furan-3,4'-isoquinoline]-1',5(4H)-dione 11: white solid; actual mass 60.5 mg, 75% yield; $[\alpha]_D^{25}$ = +16.3 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.19 (d, *J* = 7.7 Hz, 1H), 7.57 – 7.55 (m, 1H), 7.47 (t, *J* = 7.6 Hz, 1H), 7.36 (t, *J* = 8.1 Hz, 1H), 7.30 – 7.27 (m, 3H), 4.77 (s, 2H), 4.31 – 4.21 (m, 2H), 3.62 – 3.55 (m, 2H), 2.92 (d, *J* = 17.7 Hz, 1H), 2.55 (d, *J* = 17.7 Hz, 1H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 174.3, 163.9, 160.8 (d, *J* = 250.9 Hz), 139.2, 133.2, 132.6 (d, *J* = 4.5 Hz), 129.70 128.7, 128.1, 128.0 (d, *J* = 3.8 Hz), 122.9, 122.4 (d, *J* = 15.1 Hz), 122.3 (d, *J* = 9.6 Hz), 119.4, 119.2, 74.9, 53.6, 44.2 (d, *J* = 2.4 Hz), 42.9, 38.6.

HRMS (ESI) calcd for $[C_{19}H_{15}BrFNNaO_3]^+$ 426.0112, found 426.0118.



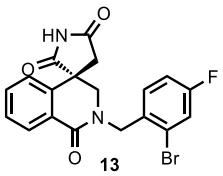
12

(S)-2-(2-(2-bromo-4-fluorobenzyl)-4-(hydroxymethyl)-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetamide 12: white solid; actual mass 74.0 mg, 88% yield; $[\alpha]_D^{25}$ = -34.5 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, DMSO-d_6) δ 7.94 (d, *J* = 7.7 Hz, 1H), 7.56 (d, *J* = 9.6 Hz, 1H), 7.50 (t, *J* = 7.6 Hz, 1H), 7.42 – 7.33 (m, 5H), 6.83 (s, 1H), 5.07 – 5.06 (m, 1H), 4.80 – 4.64 (m, 2H), 3.86 (d, *J* = 12.5 Hz, 1H), 3.61 – 3.58 (m, 1H), 3.48 – 3.45 (m, 2H), 2.58 (d, *J* = 14.8 Hz, 1H), 2.46 (d, *J* = 14.8 Hz, 1H).

^{13}C NMR (151 MHz, DMSO) δ $_C$ 172.5, 163.5, 161.3 (d, *J* = 250.0 Hz), 142.9, 132.6 (d, *J* = 5.1 Hz), 132.1, 128.6, 128.3, 128.2 (d, *J* = 3.1 Hz), 127.1, 126.2, 124.3 (d, *J* = 15.1 Hz), 121.1 (d, *J* = 9.4 Hz), 119.2 (d, *J* = 25.3 Hz), 65.7, 50.7, 44.1, 42.1, 39.2.

HRMS (ESI) calcd for $[C_{19}H_{18}BrFN_2NaO_3]^+$ 443.0377, found 443.0372.



13

(S)-2-(2-bromo-4-fluorobenzyl)-2,3-dihydro-1H-spiro[isoquinoline-4,3'-pyrrolidine]-1,2',5'-trione

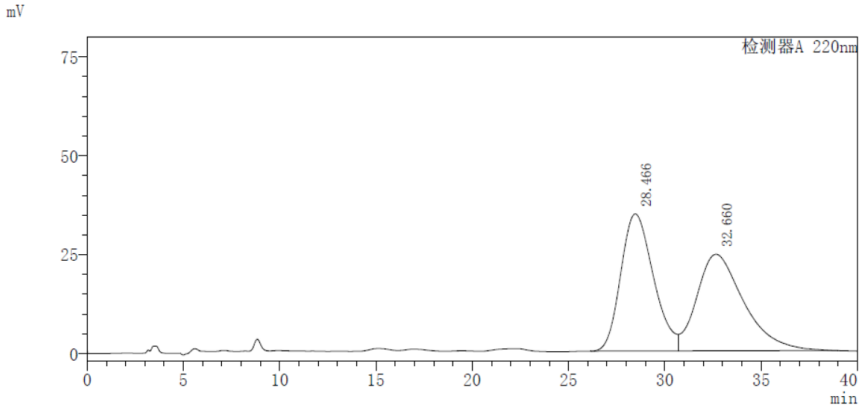
13: white solid, actual mass 34.5 mg, 83% yield; 95% ee; $[\alpha]_D^{25}$ = -79.3 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, DMSO-d_6) δ 11.65 (s, 1H), 8.00 (d, J = 7.7 Hz, 1H), 7.60 – 7.56 (m, 2H), 7.49 (t, J = 7.5 Hz, 1H), 7.41 – 7.34 (m, 2H), 7.24 (d, J = 7.7 Hz, 1H), 4.77 – 4.68 (m, 2H), 3.88 – 3.77 (m, 2H), 2.93 – 2.82 (m, 2H).

^{13}C NMR (151 MHz, DMSO) δ $_C$ 179.5, 176.5, 163.2, 160.8 (d, J = 250.1 Hz), 139.7, 133.3, 132.5 (d, J = 4.6 Hz), 128.8 (d, J = 10.3 Hz), 128.7, 128.1 (d, J = 3.1 Hz), 125.0, 123.9 (d, J = 15.1 Hz), 121.2 (d, J = 9.6 Hz), 119.2 (d, J = 21.2 Hz), 52.7, 48.9, 44.2 (d, J = 3.0 Hz), 42.0.

HRMS (ESI) calcd for $[C_{19}H_{14}BrFN_2NaO_3]^+$ 439.0064, found 439.0066.

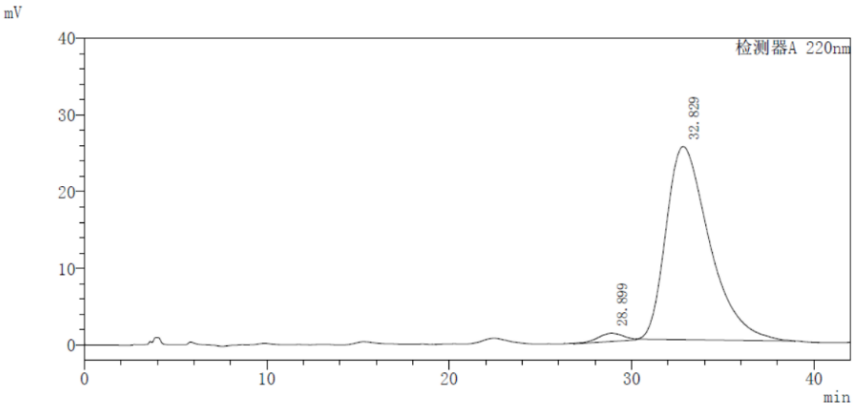
HPLC (Daicel CHIRALCEL OD-H, *n*-hexane : isopropanol = 65 : 35, Flow rate = 1.0 mL/min, λ = 220 nm): t_R = 28.90 min (minor enantiomer), t_R = 32.83 min (major enantiomer).



〈Peak table〉

检测器A 220nm

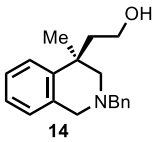
Peak#	Ret. Time	Height	Area	Area%
1	28.466	34685	4097449	49.646
2	32.660	24415	4155907	50.354
总计		59100	8253356	100.000



〈Peak table〉

检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	28.899	1074	104161	2.437
2	32.829	25166	4170138	97.563
总计		26240	4274299	100.000



14

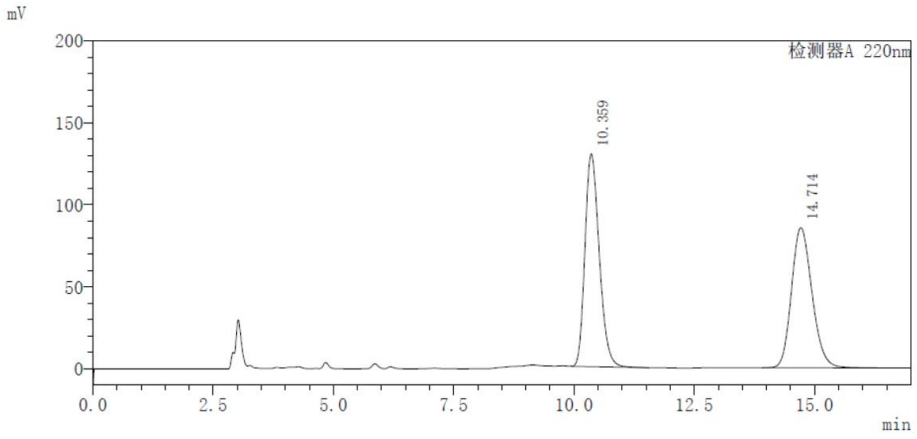
(R)-2-(2-benzyl-4-methyl-1,2,3,4-tetrahydroisoquinolin-4-yl)ethan-1-ol 14: Colorless oil; actual mass 45.5 mg, 81% yield; 92% ee; $[\alpha]_D^{25}$ = +10.9 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 7.37 – 7.30 (m, 5H), 7.21 – 7.18 (m, 2H), 7.11 – 7.08 (m, 1H), 6.93 (d, *J* = 7.7 Hz, 1H), 3.92 (d, *J* = 12.5 Hz, 1H), 3.80 – 3.77 (m, 1H), 3.44 (d, *J* = 12.5 Hz, 1H), 3.39 – 3.36 (m, 1H), 3.25 (d, *J* = 14.7 Hz, 1H), 2.99 – 2.97 (m, 1H), 2.94 – 2.90 (m, 1H), 2.40 (d, *J* = 11.7 Hz, 1H), 1.91 – 1.84 (m, 2H), 1.32 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 139.4, 135.7, 133.7, 129.8, 128.6, 127.8, 127.0, 126.6, 126.0, 126.0, 64.5, 63.3, 58.9, 55.4, 48.8, 38.7, 29.5.

HRMS (ESI) calcd for $[C19H23NNaO]^+$ 304.1672, found 304.1675.

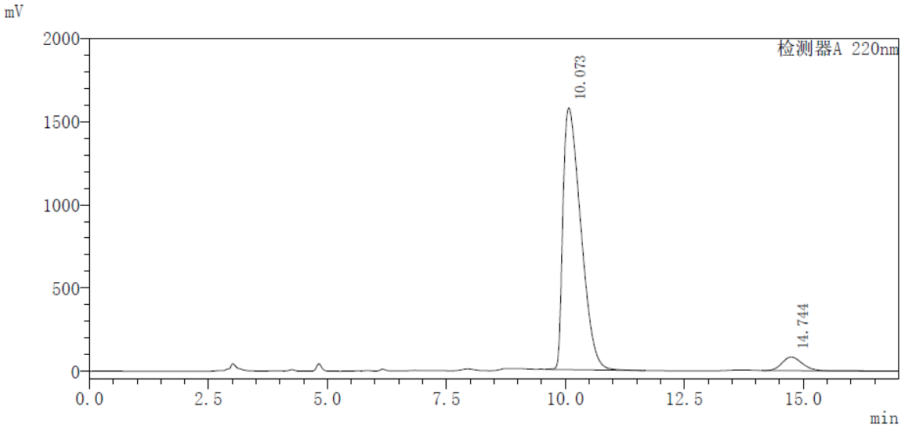
HPLC (Daicel CHIRALCEL OD-H, *n*-hexane : isopropanol = 95 : 5, Flow rate = 1.0 mL/min, λ = 220 nm): t_R = 10.07 min (major enantiomer), t_R = 14.74 min (minor enantiomer).



〈Peak table〉

检测器A 220nm

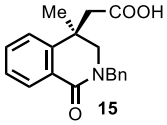
Peak#	Ret. Time	Height	Area	Area%
1	10.359	129817	2684723	51.455
2	14.714	85371	2532880	48.545
总计		215189	5217603	100.000



〈Peak table〉

检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	10.073	1573769	41042221	94.510
2	14.744	81400	2383941	5.490
总计		1655169	43426161	100.000



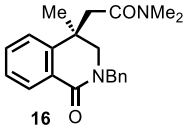
15

(R)-2-(2-benzyl-4-methyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)acetic acid 15: Colorless oil; actual mass 55.6 mg; 90% yield; $[\alpha]_D^{25}$ = -46.9 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.16 (d, *J* = 7.2 Hz, 1H), 7.48 – 7.46 (m, 1H), 7.38 – 7.23 (m, 7H), 5.06 (d, *J* = 14.5 Hz, 1H), 4.55 (d, *J* = 14.5 Hz, 1H), 3.61 (d, *J* = 12.9 Hz, 1H), 3.35 (d, *J* = 12.9 Hz, 1H), 2.61 (d, *J* = 14.7 Hz, 1H), 2.44 (d, *J* = 14.7 Hz, 1H), 1.40 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 174.9, 164.4, 144.5, 136.6, 132.4, 129.0, 128.6, 128.5, 128.4, 128.0, 127.6, 127.4, 123.8, 54.4, 50.8, 42.7, 36.2, 22.6.

HRMS (ESI) calcd for $[C_{19}H_{19}NNaO_3]^+$ 332.1257, found 332.1262.



16

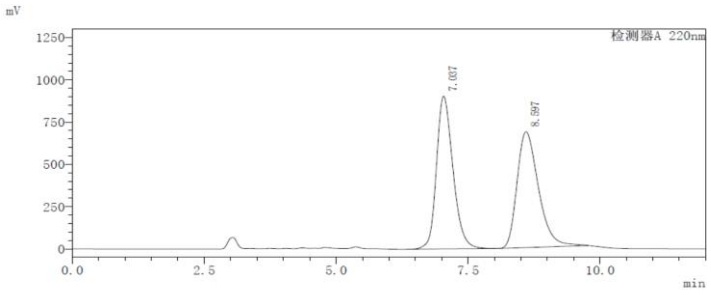
(R)-2-(2-benzyl-4-methyl-1-oxo-1,2,3,4-tetrahydroisoquinolin-4-yl)-N,N-dimethylacetamide 16: white solid; actual mass 50.4 mg, 75% yield; 92% ee; $[\alpha]_D^{25}$ = -100.4 (c = 0.2, $CHCl_3$).

^{1}H NMR (600 MHz, $CDCl_3$) δ 8.18 – 8.17 (m, 1H), 7.49 – 7.46 (m, 1H), 7.39 – 7.37 (m, 3H), 7.32 – 7.25 (m, 4H), 4.83 – 4.73 (m, 2H), 3.66 (d, *J* = 12.7 Hz, 1H), 3.44 (d, *J* = 12.7 Hz, 1H), 2.74 (s, 3H), 2.55 (d, *J* = 14.9 Hz, 1H), 2.48 (s, 3H), 2.22 (d, *J* = 14.9 Hz, 1H), 1.50 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$) δ $_C$ 169.7, 164.1, 145.0, 137.2, 132.0, 128.8, 128.7, 128.5, 128.4, 127.5, 127.2, 124.3, 55.2, 50.7, 40.3, 37.3, 36.7, 35.2, 22.4.

HRMS (ESI) calcd for $[C_{21}H_{24}N_2NaO_2]^+$ 359.1730, found 359.1731.

HPLC (Daicel CHIRALCEL OD-H, *n*-hexane : isopropanol = 65 : 35, Flow rate = 1.0 mL/min, λ = 220 nm): t_R = 7.10 min (minor enantiomer), t_R = 8.55 min (major enantiomer).



<Peak table>
检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
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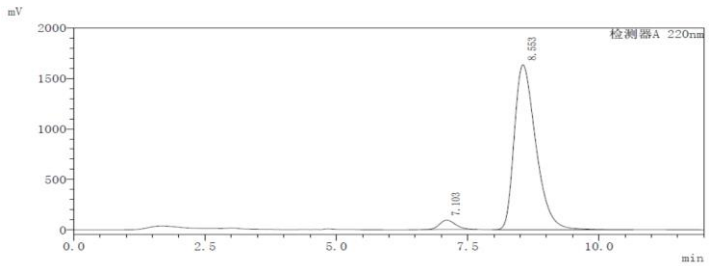
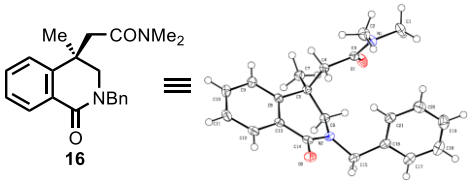
2	8.597	684585	19301062	49.561
总计		1587534	38944234	100.000



<Peak table>
检测器A 220nm

Peak#	Ret. Time	Height	Area	Area%
1	7.103	92727	1983069	4.078
2	8.553	1633815	46647014	95.922
总计		1726542	48630083	100.000

10. Crystal Structure and Corresponding Date of 16



16

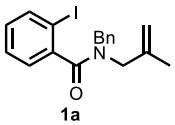
CDDC 1921698

Table 1 Crystal data and structure refinement for 16.

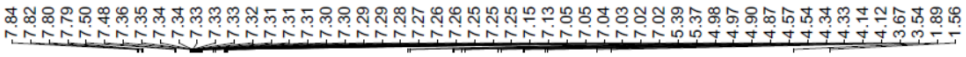
Identification code	**16**
Empirical formula	$C_{21}H_{24}N_2O_2$
Formula weight	336.42
Temperature/K	120.0(1)
Crystal system	orthorhombic
Space group	$P2_12_12_1$
a/Å	5.88450(10)
b/Å	13.8755(2)
c/Å	21.6675(3)
α/°	90
β/°	90
γ/°	90
Volume/Å^3	1769.16(5)
Z	4
ρ_{calc}g/cm^3	1.263
μ/mm^{-1}	0.646
F(000)	720.0
Crystal size/mm^3	0.24 × 0.23 × 0.11
Radiation	CuKα (λ = 1.54184)
2Θ range for data collection/°	7.566 to 148.758
Index ranges	$-4 \le h \le 7$, $-16 \le k \le 17$, $-26 \le l \le 26$
Reflections collected	8662
Independent reflections	3495 [R_{int} = 0.0206, R_{sigma} = 0.0256]
Data/restraints/parameters	3495/0/229
Goodness-of-fit on F^2	1.044
Final R indexes [I>=2σ (I)]	R_1 = 0.0280, wR_2 = 0.0709
Final R indexes [all data]	R_1 = 0.0291, wR_2 = 0.0715
Largest diff. peak/hole / e Å^{-3}	0.15/-0.18
Flack parameter	-0.06(9)

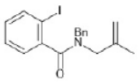
11. NMR Spectra

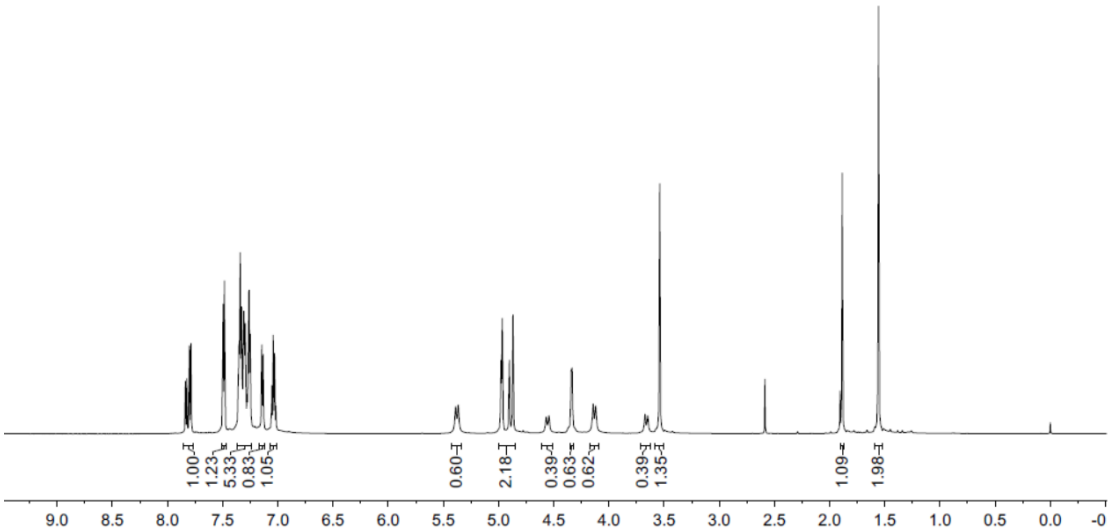
11.1 NMR Spectra of the Substrates

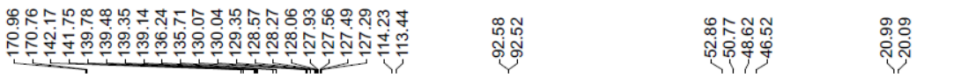


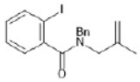
1a

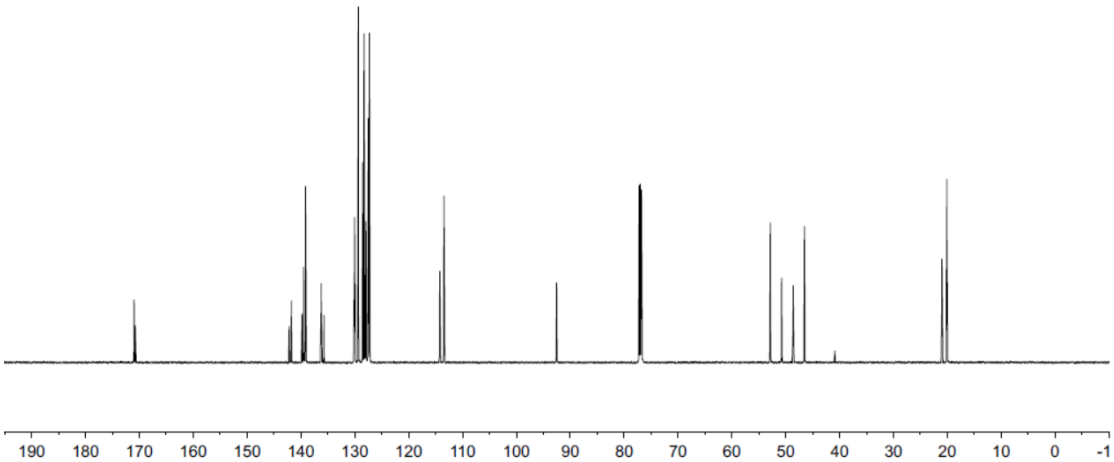


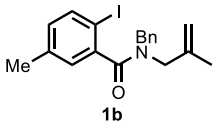




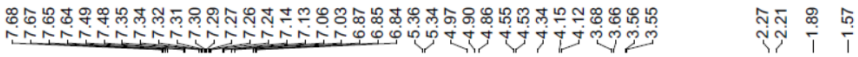







I
Bn
N
Me
O

1b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7.03
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5.34
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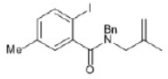
1.57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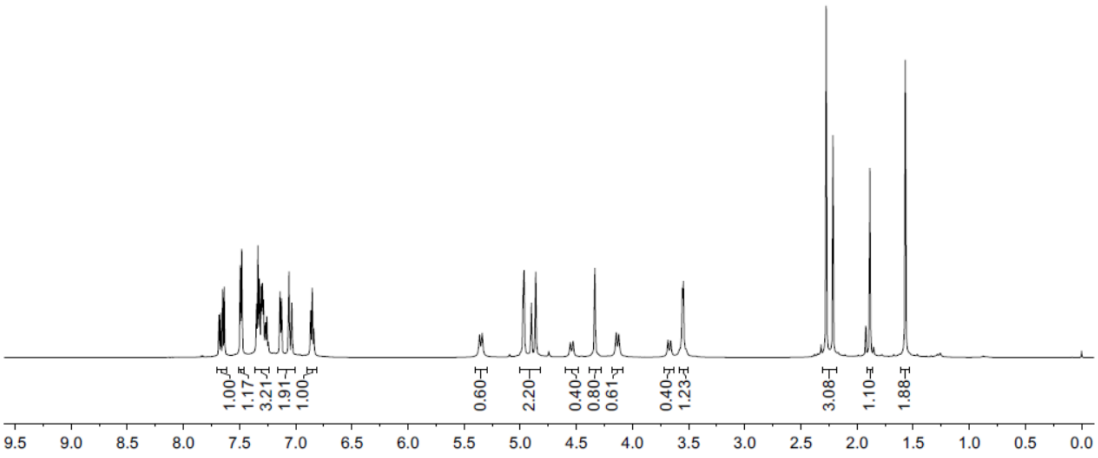
Bn
N
Me
O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1.10
1.88
9.5
9.0
8.5
8.0
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7.0
6.5
6.0
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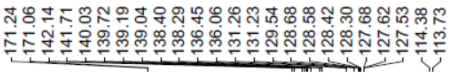
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131.23
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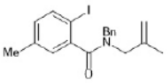
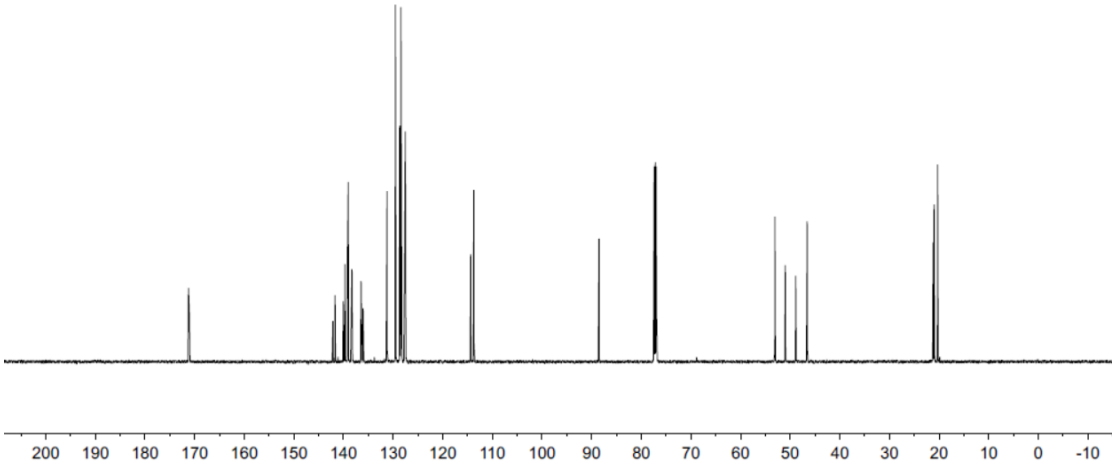

I
Bn
N
Me
O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80
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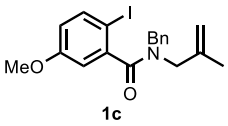
20
10
0
-10


I
Bn
N
MeO
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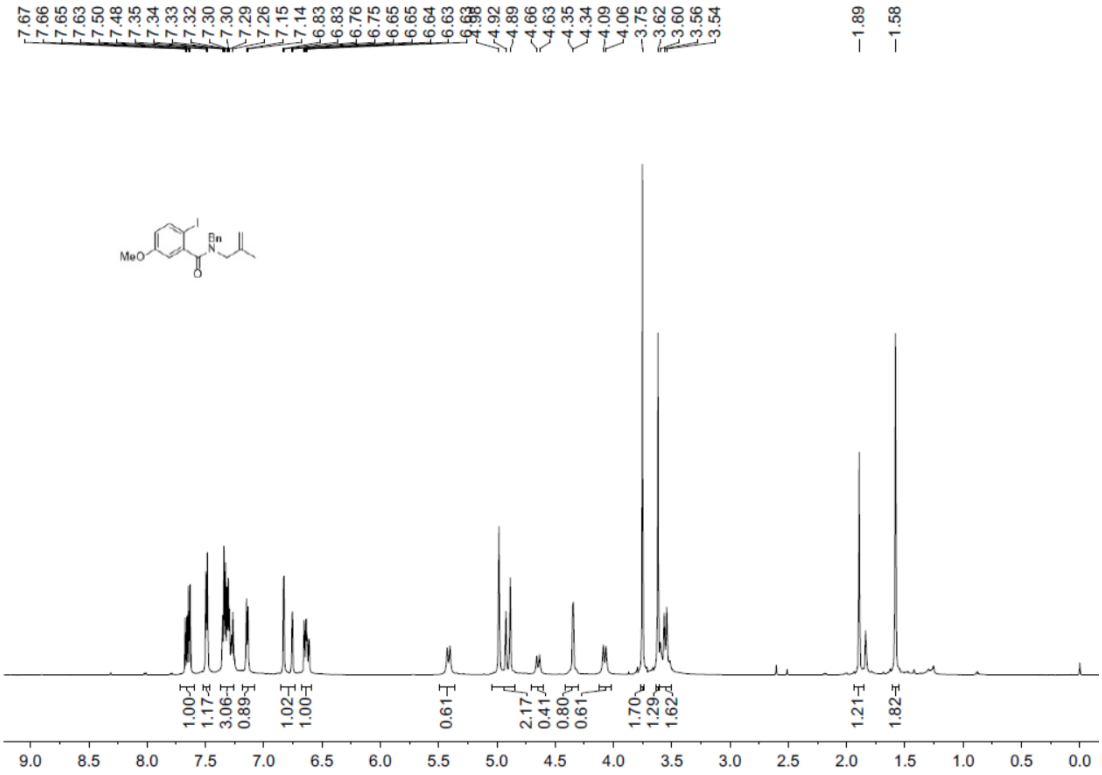
1c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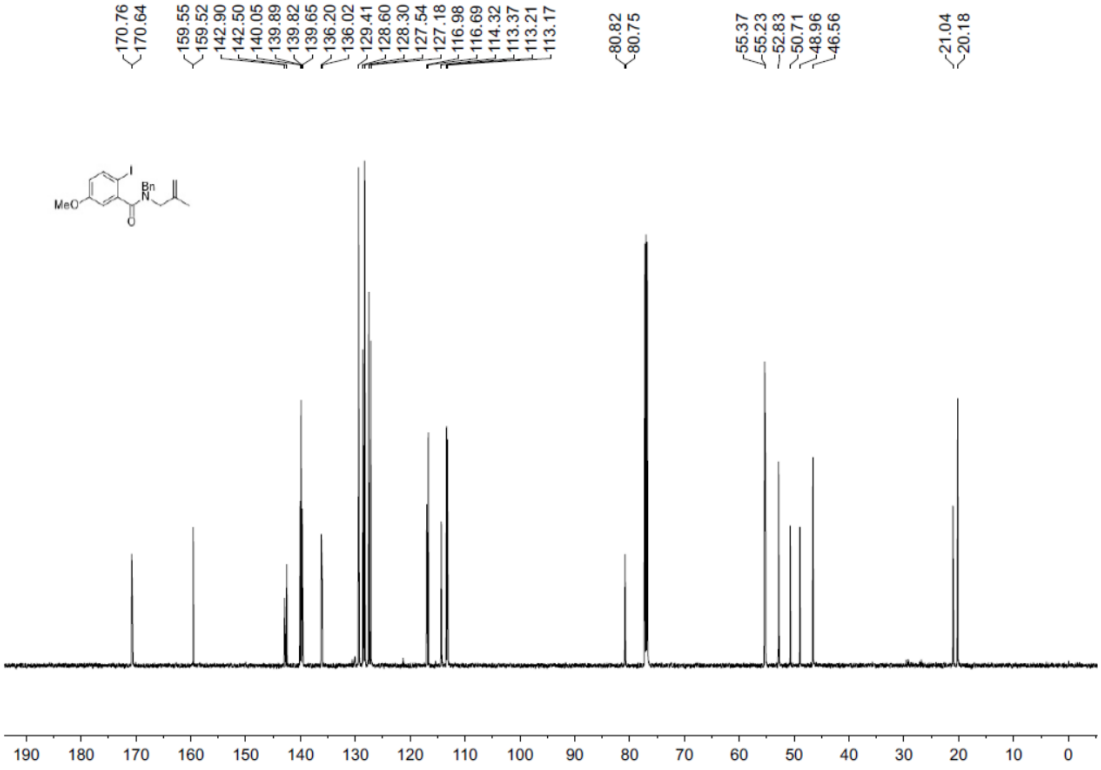
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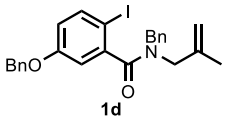
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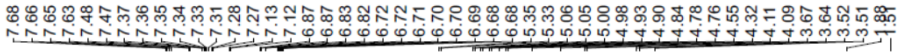
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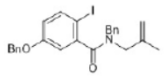
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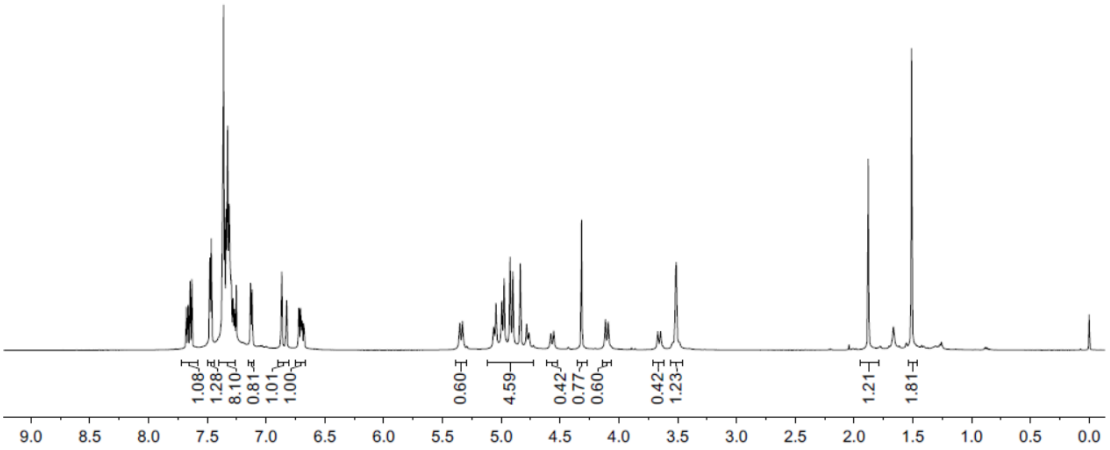
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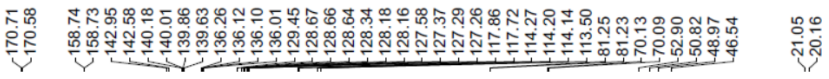
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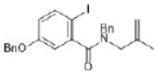
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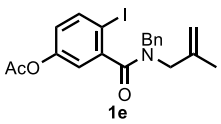
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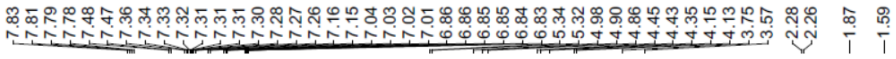
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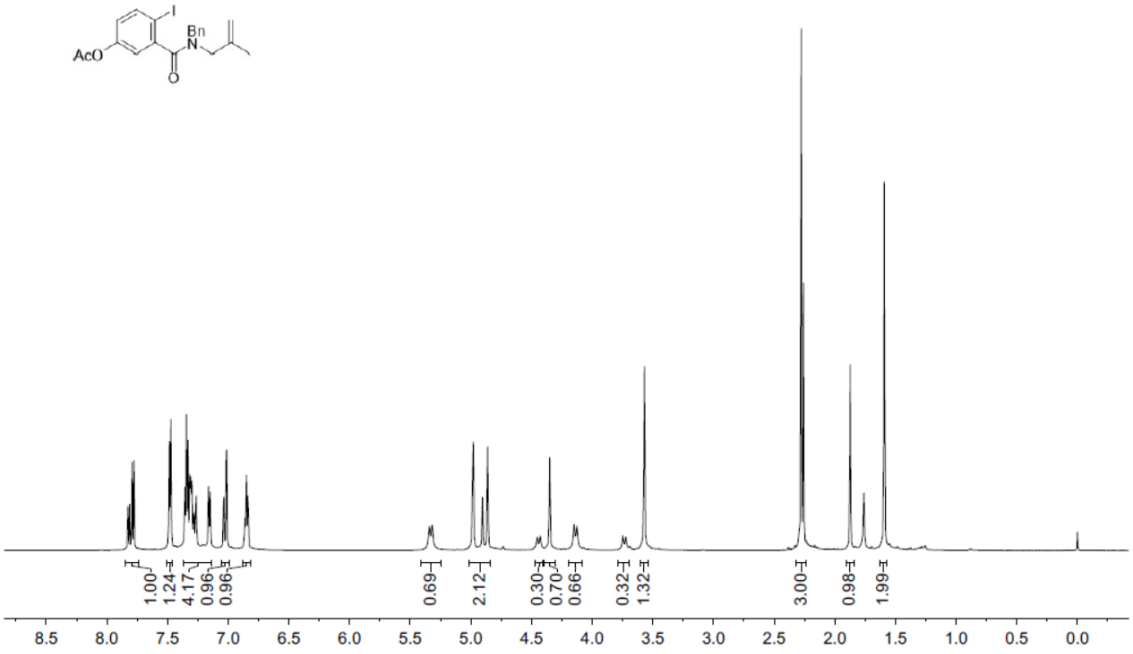
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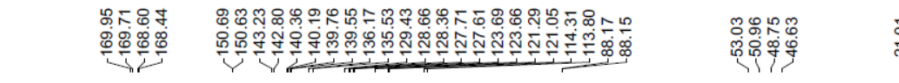
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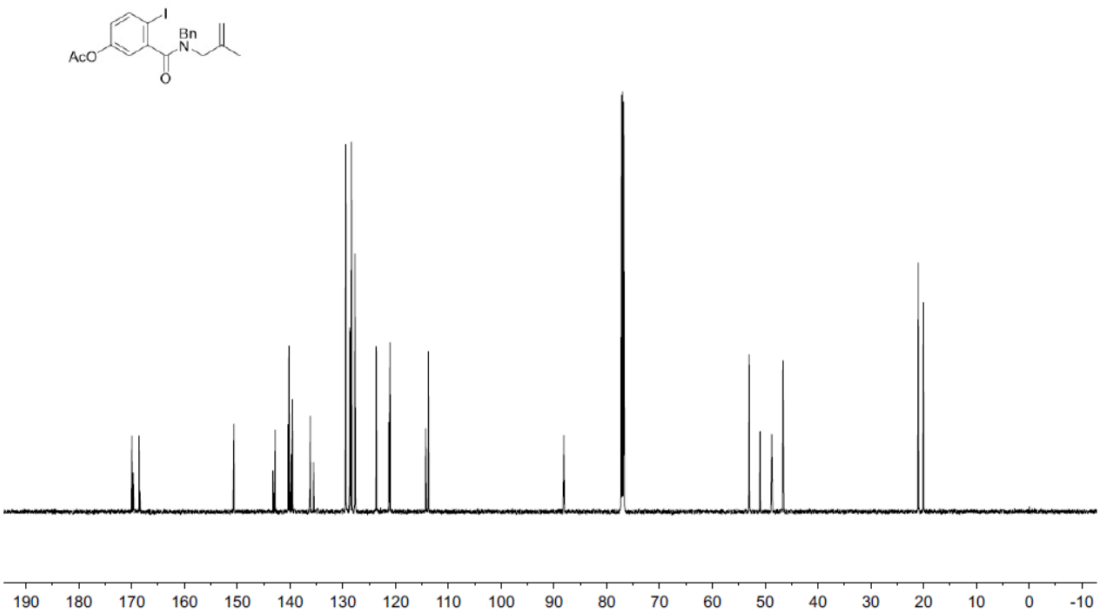
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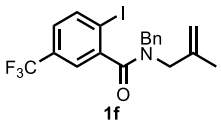
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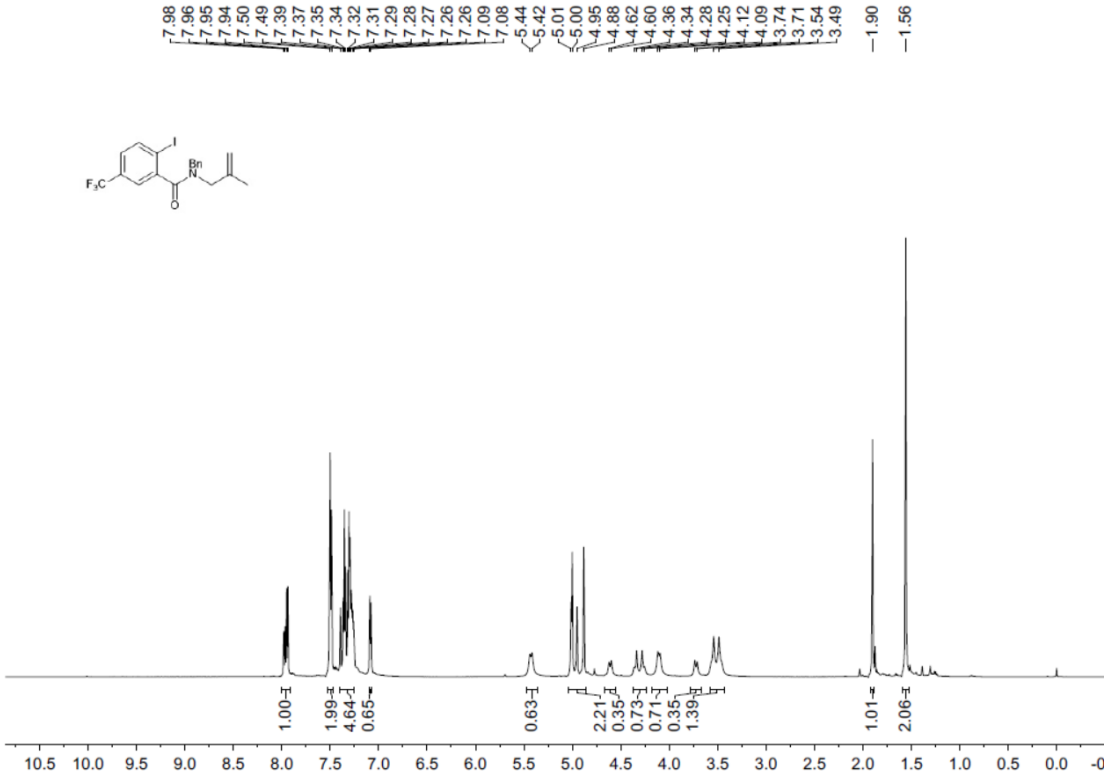
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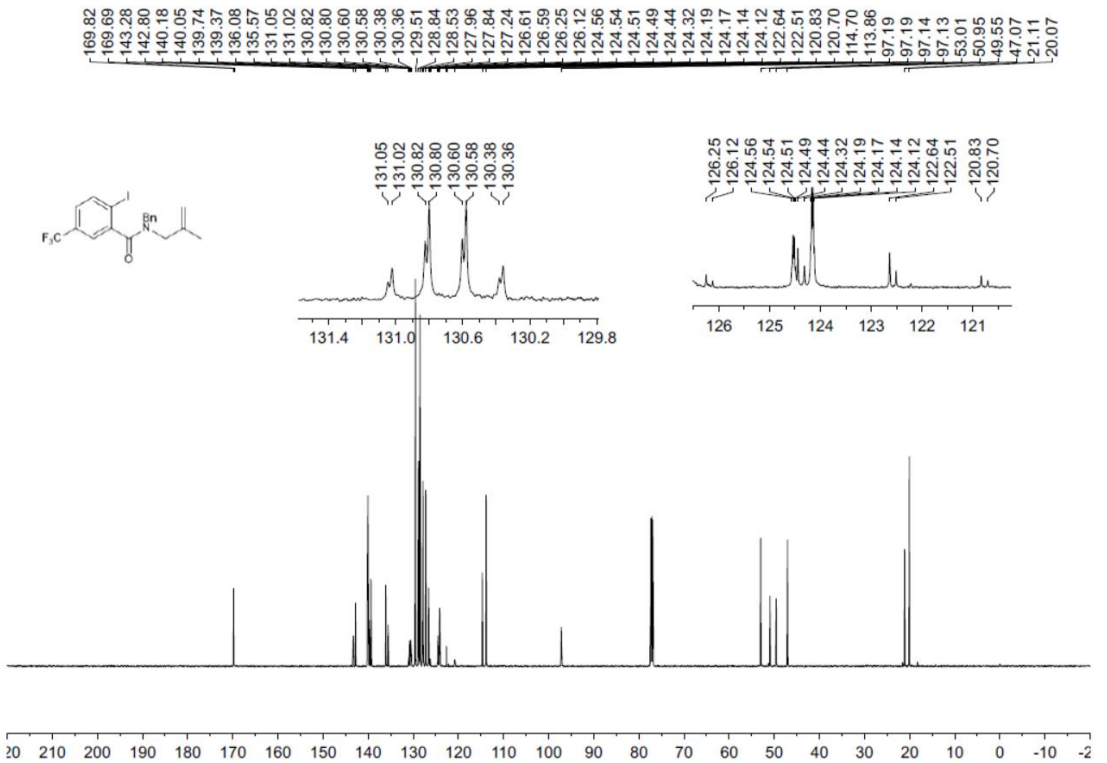
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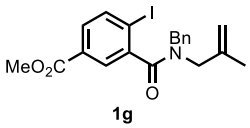
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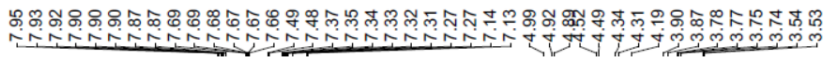
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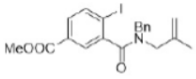
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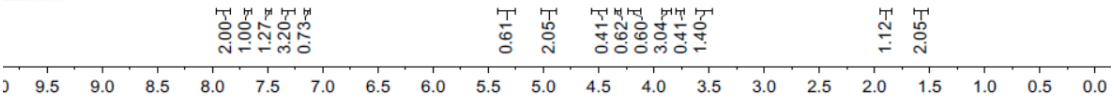
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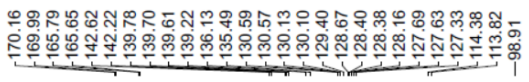
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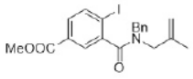
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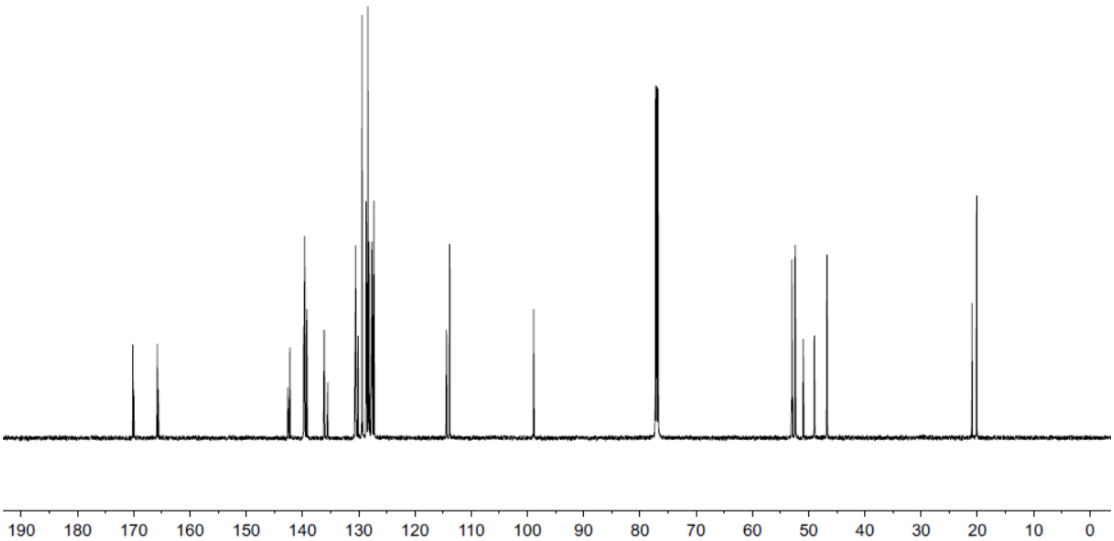
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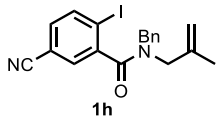
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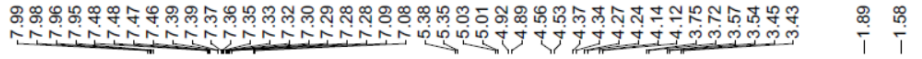
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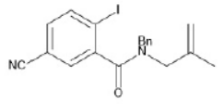
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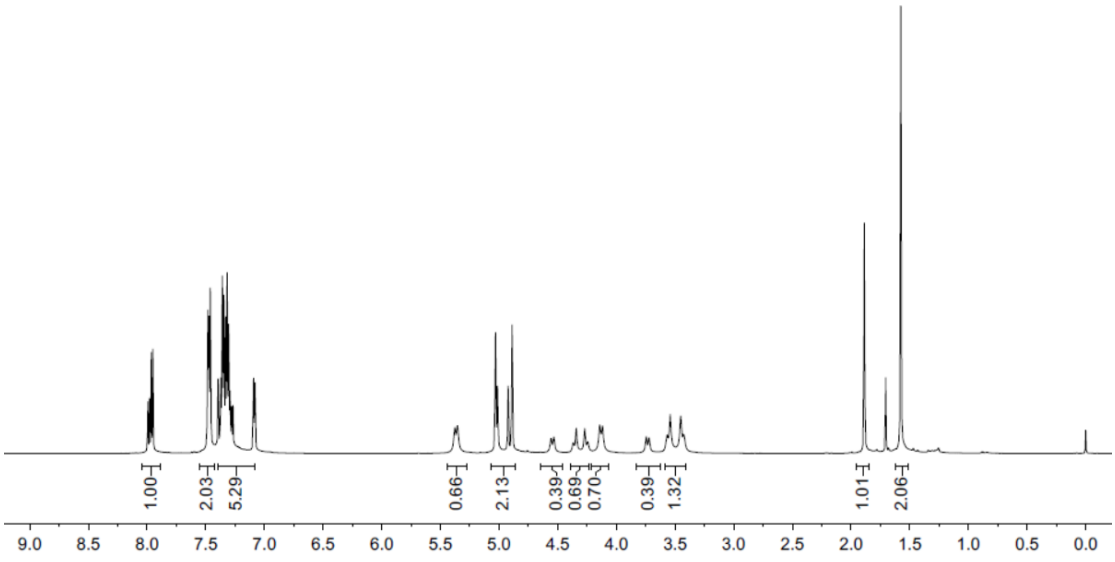
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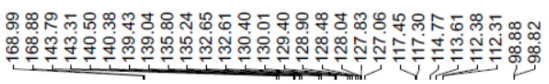
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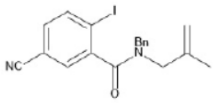
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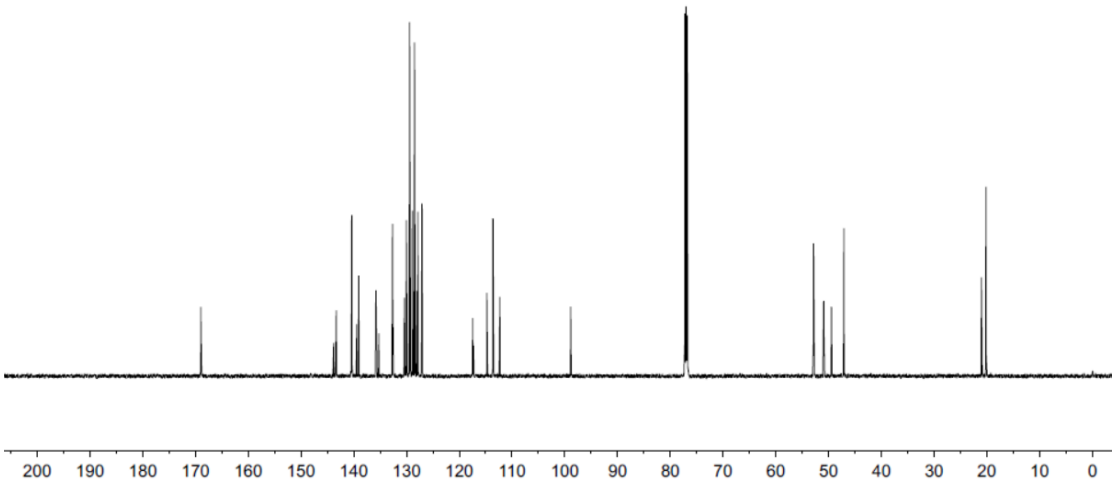
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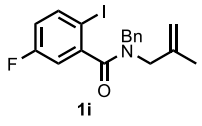
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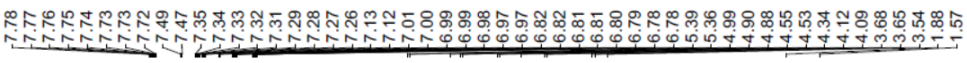
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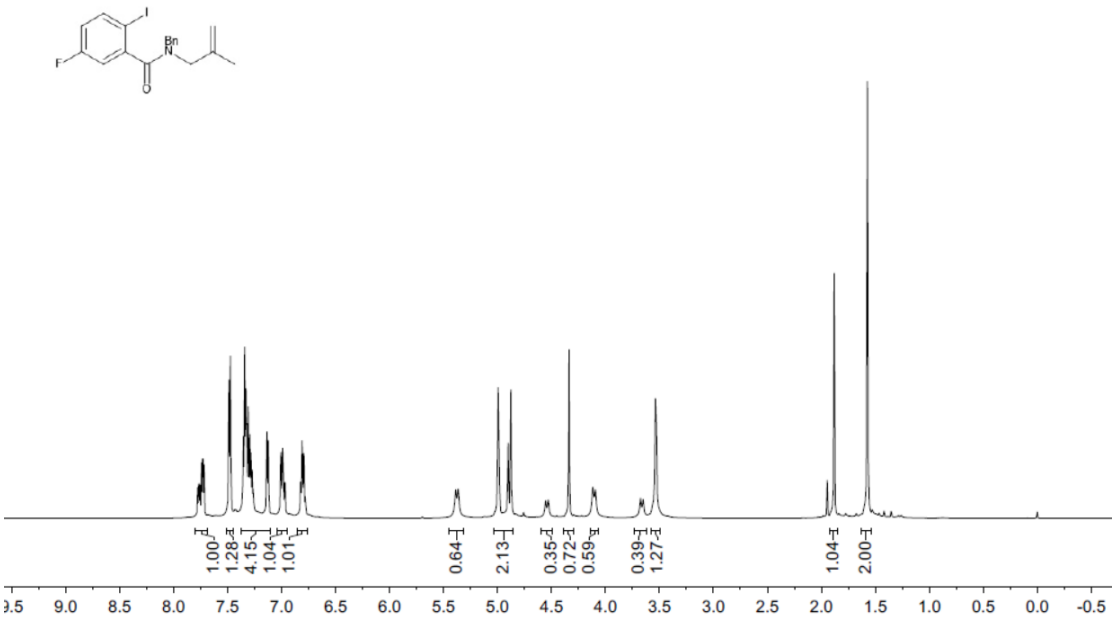
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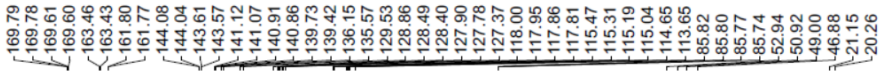
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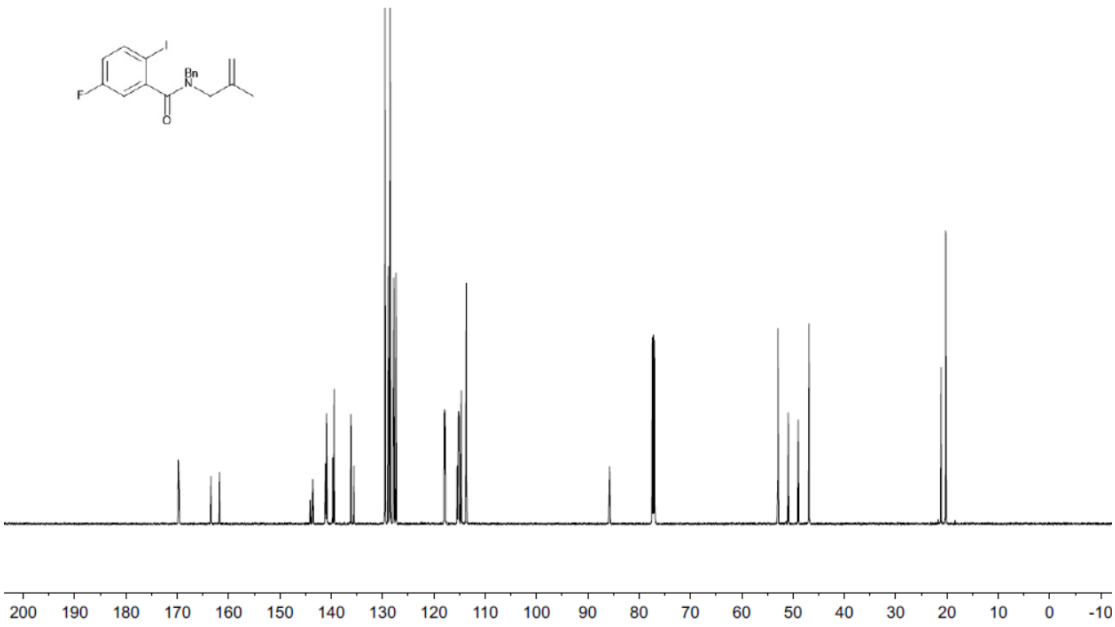
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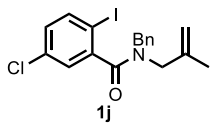
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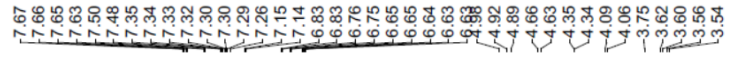
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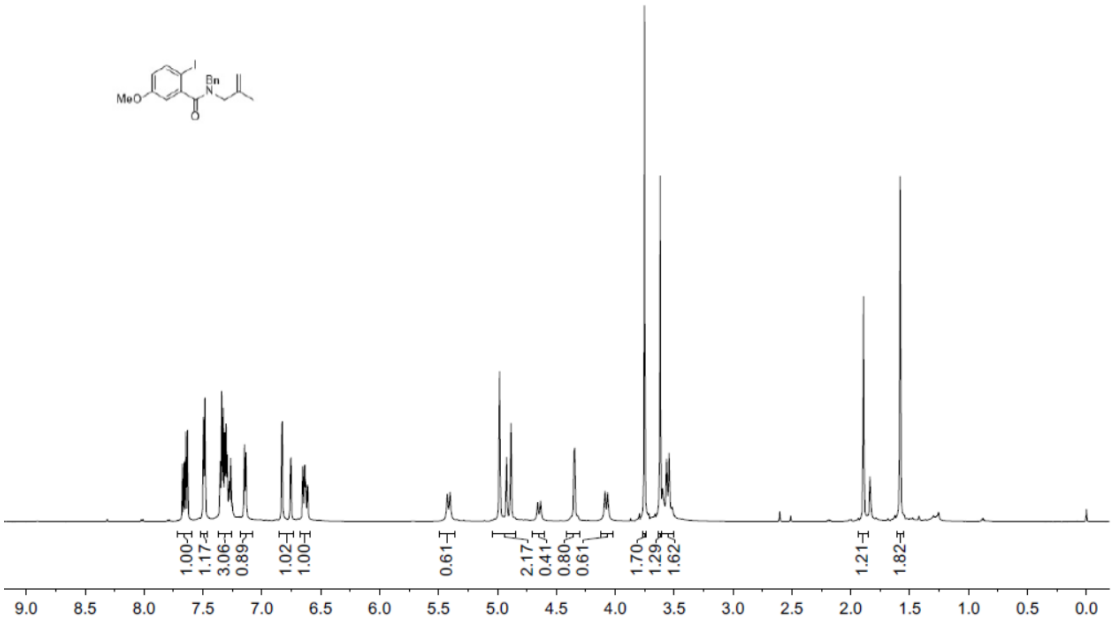
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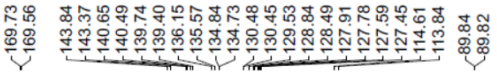
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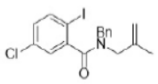
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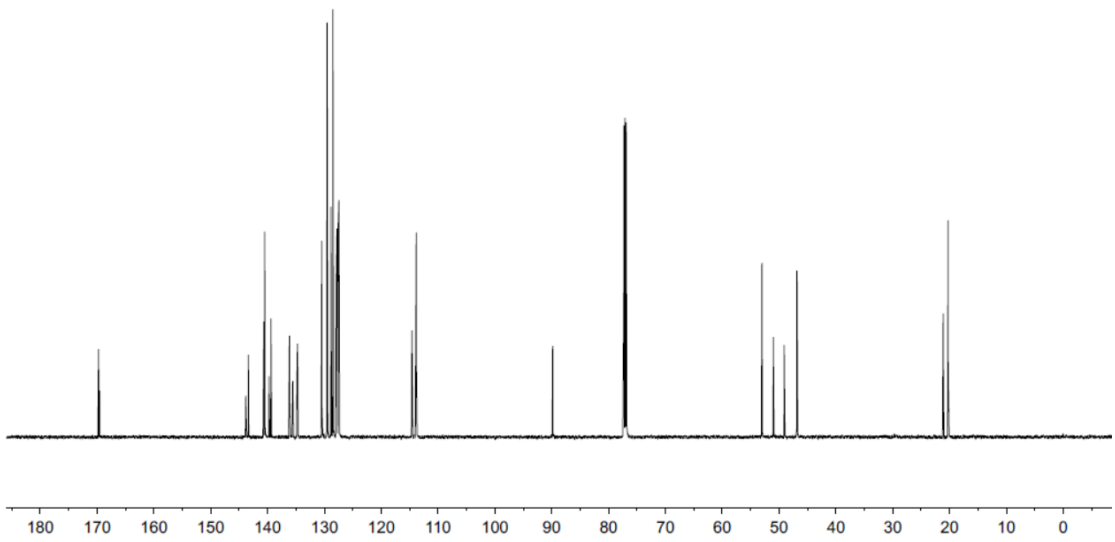
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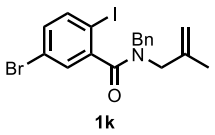
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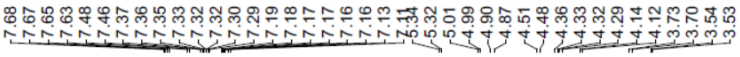
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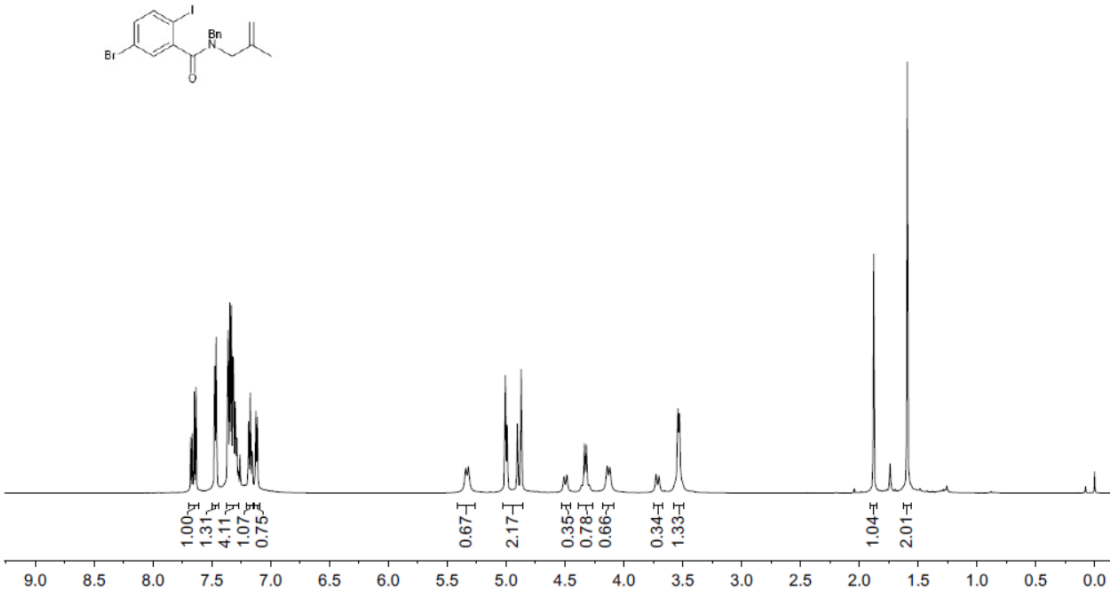
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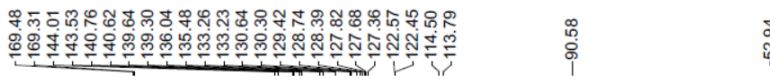
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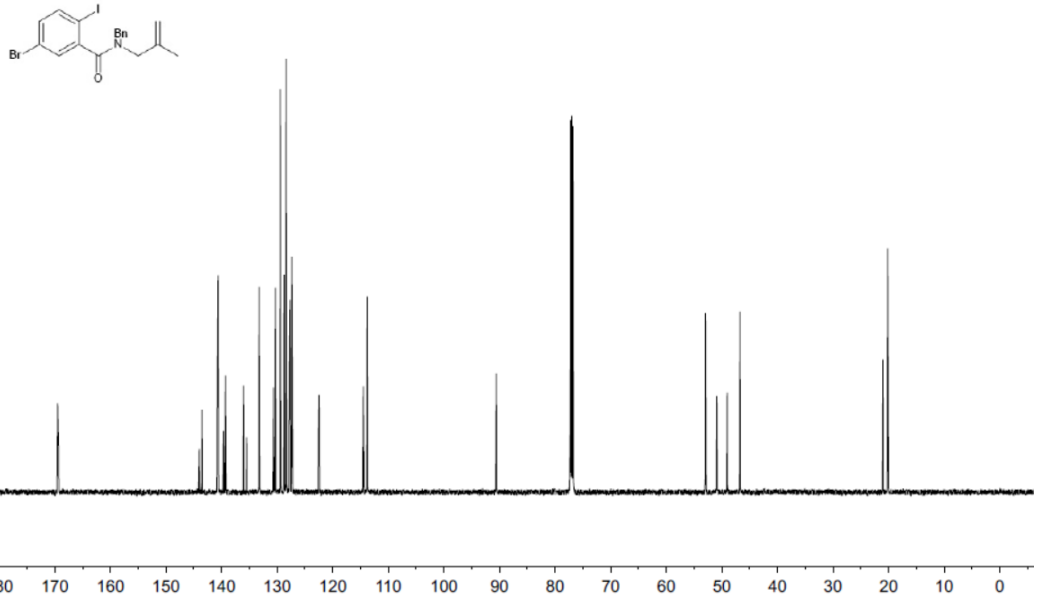
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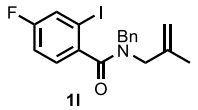
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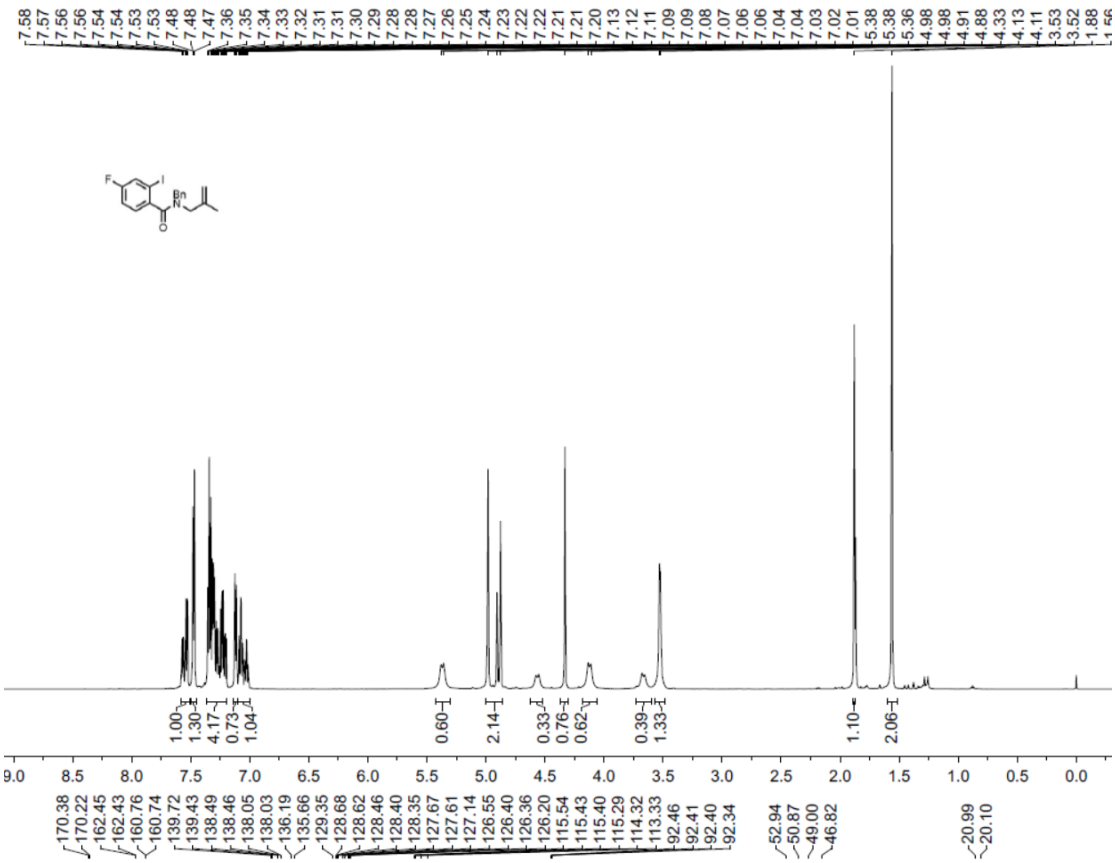
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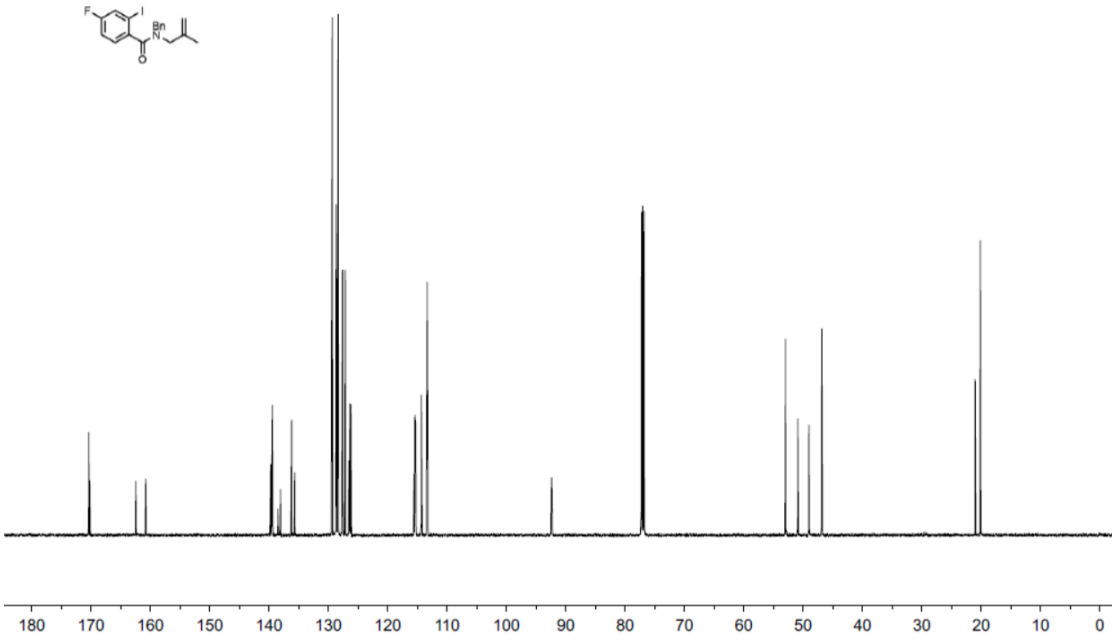
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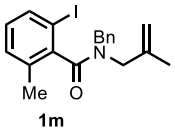
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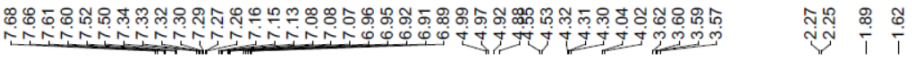
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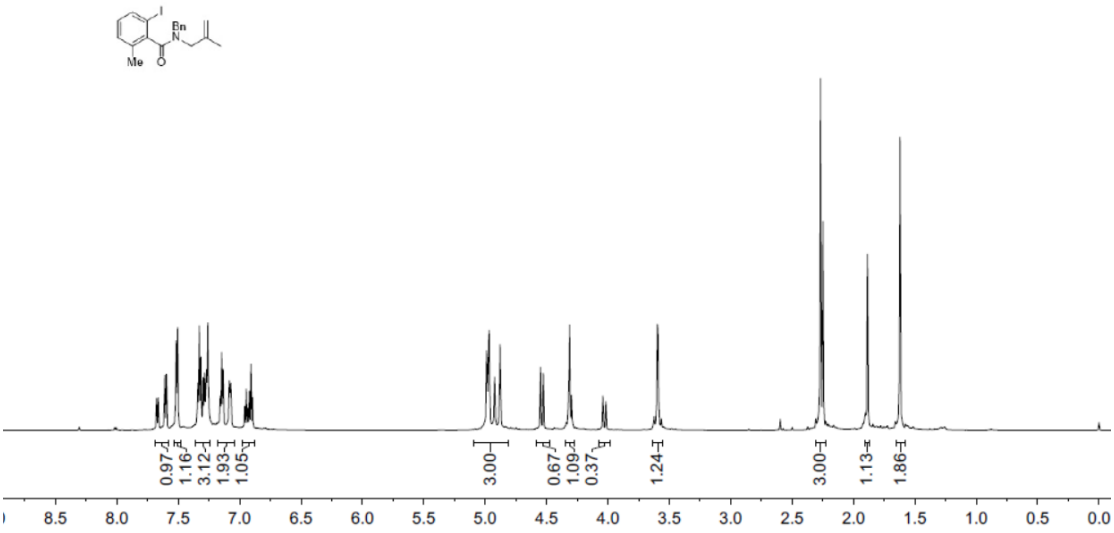
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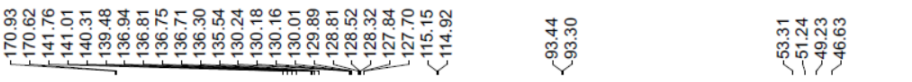
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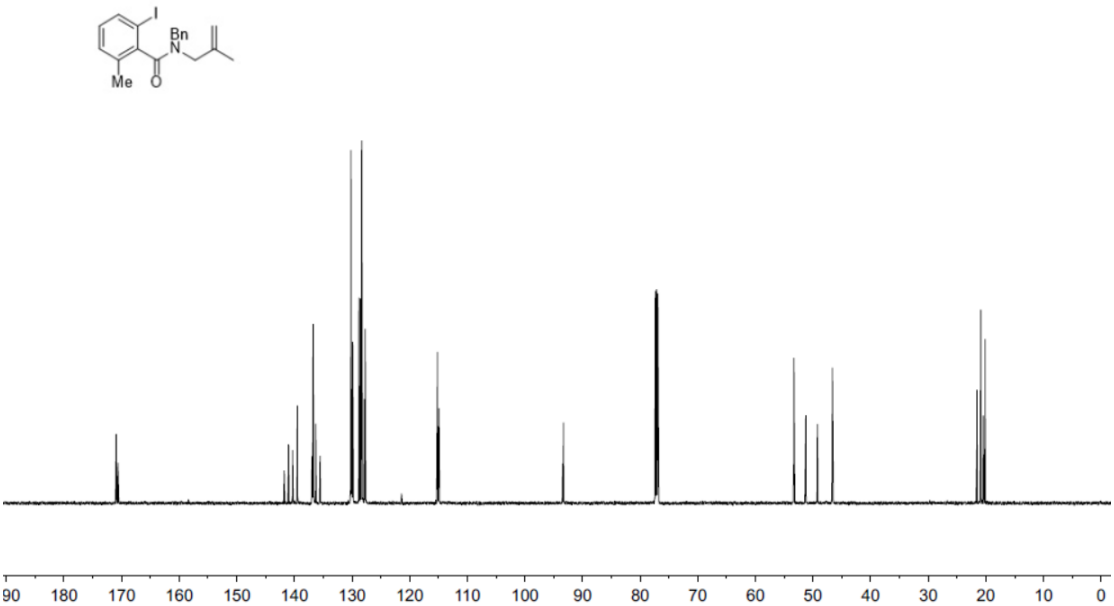
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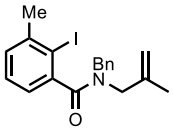
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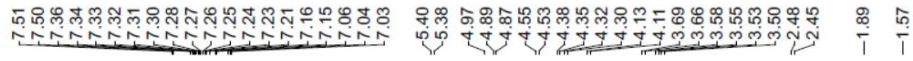
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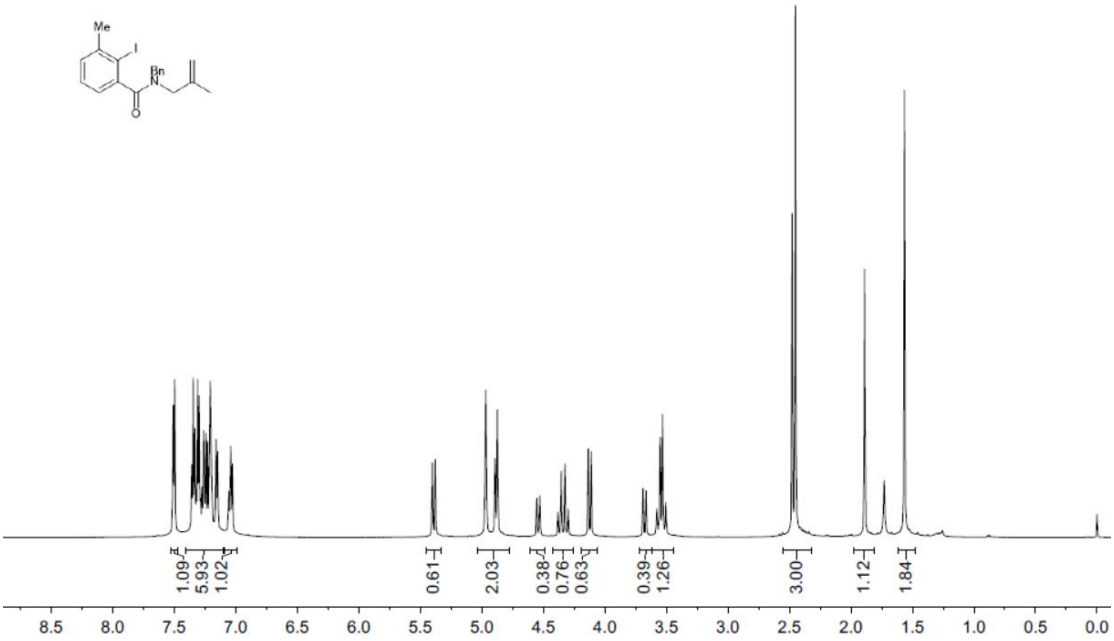
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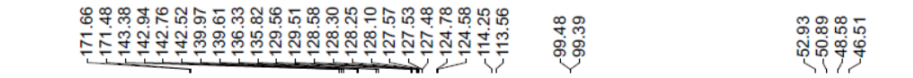
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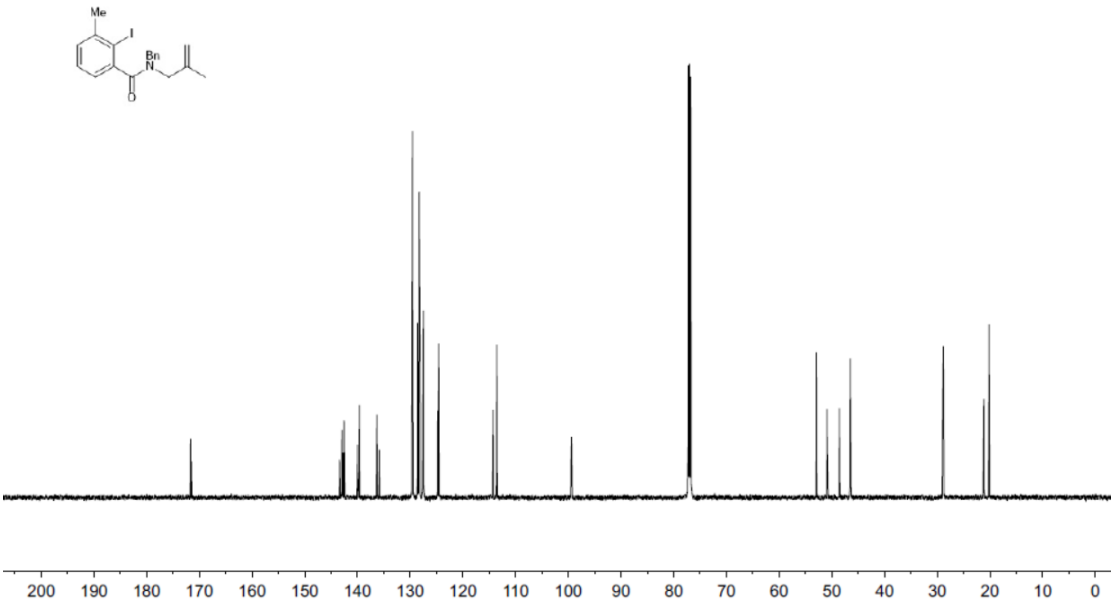
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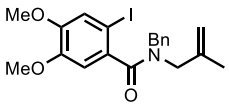
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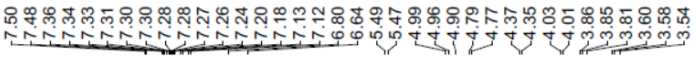
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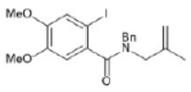
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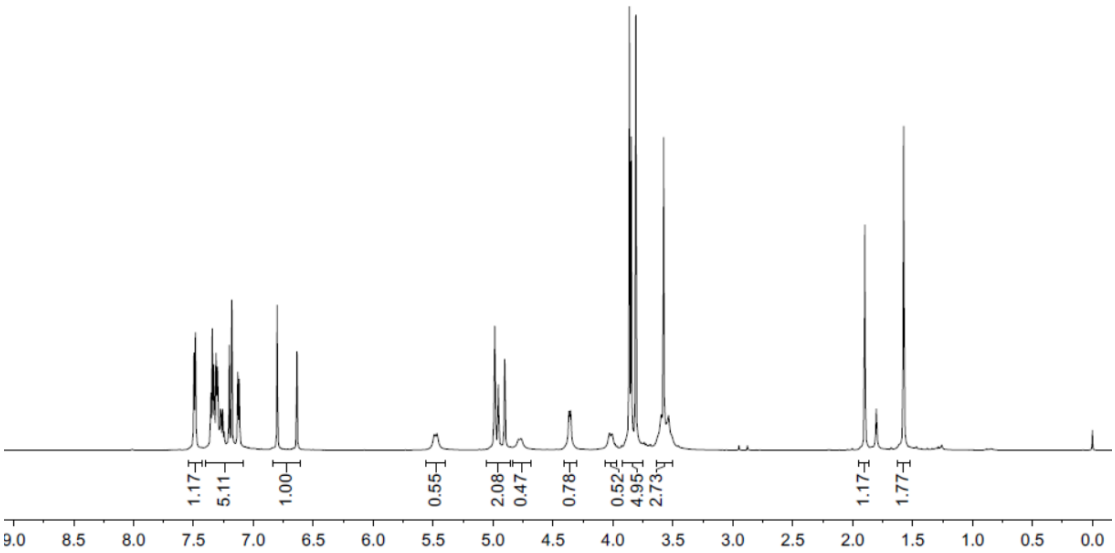
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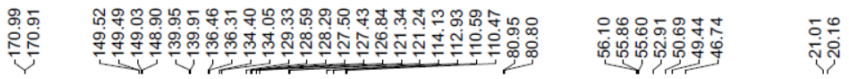
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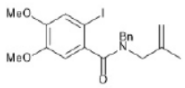
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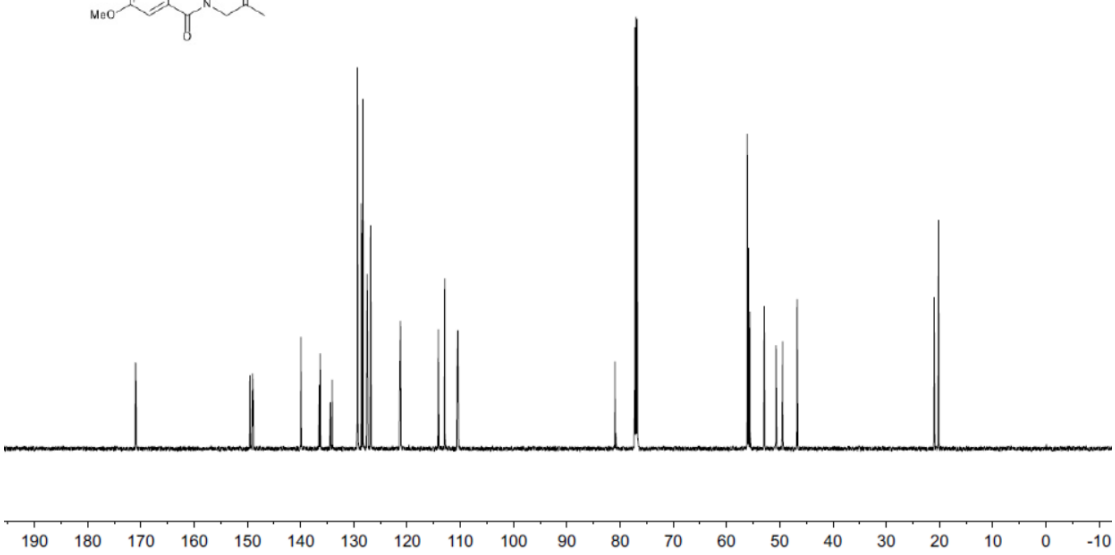
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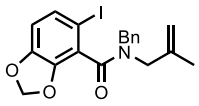
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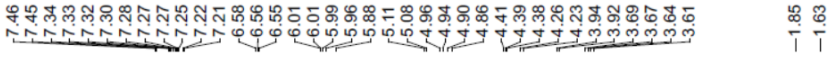
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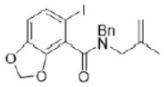
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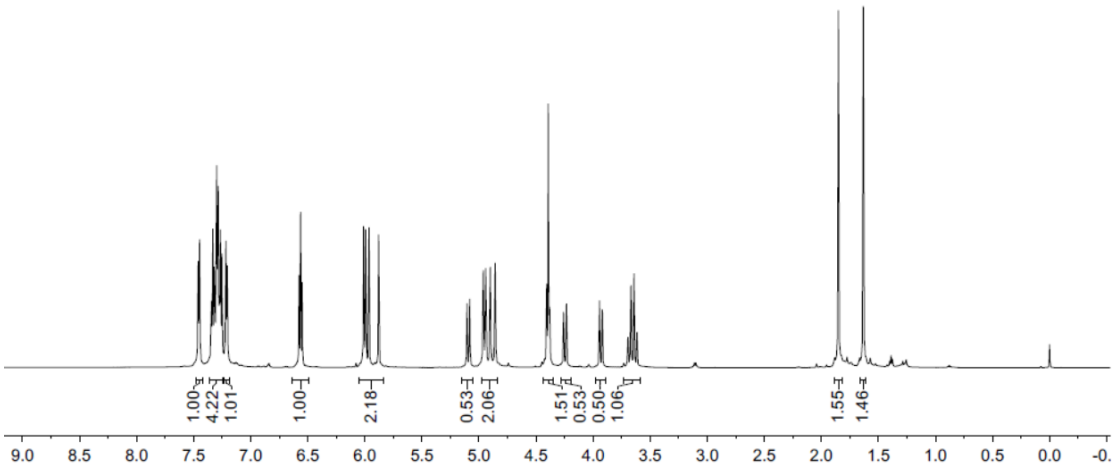
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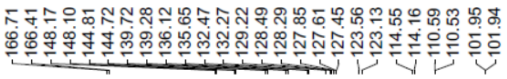
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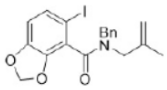
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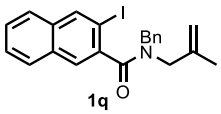
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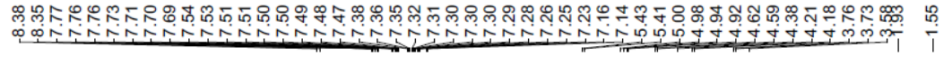
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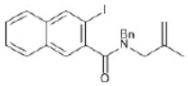
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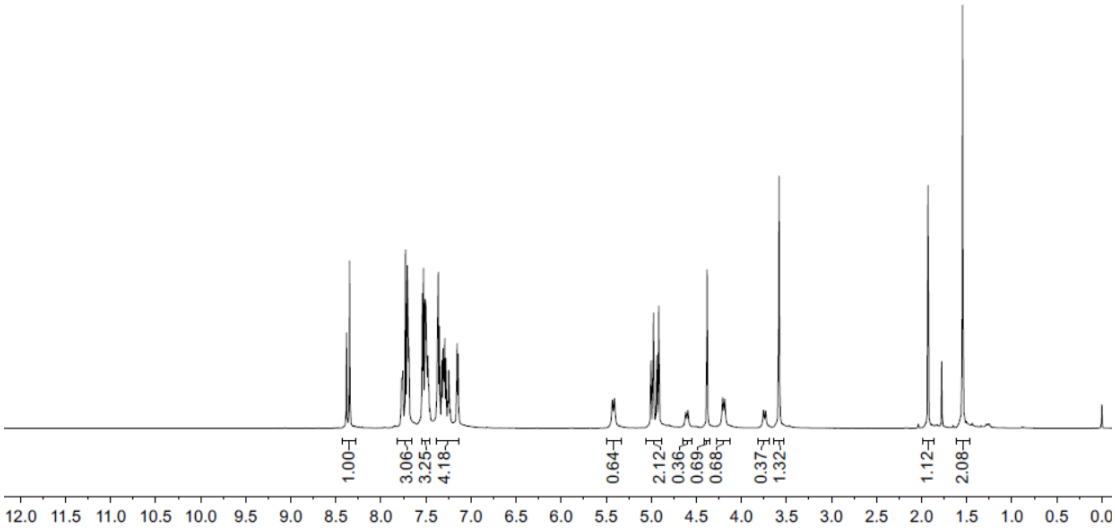
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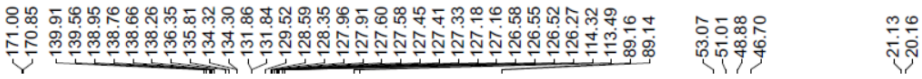
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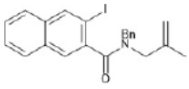
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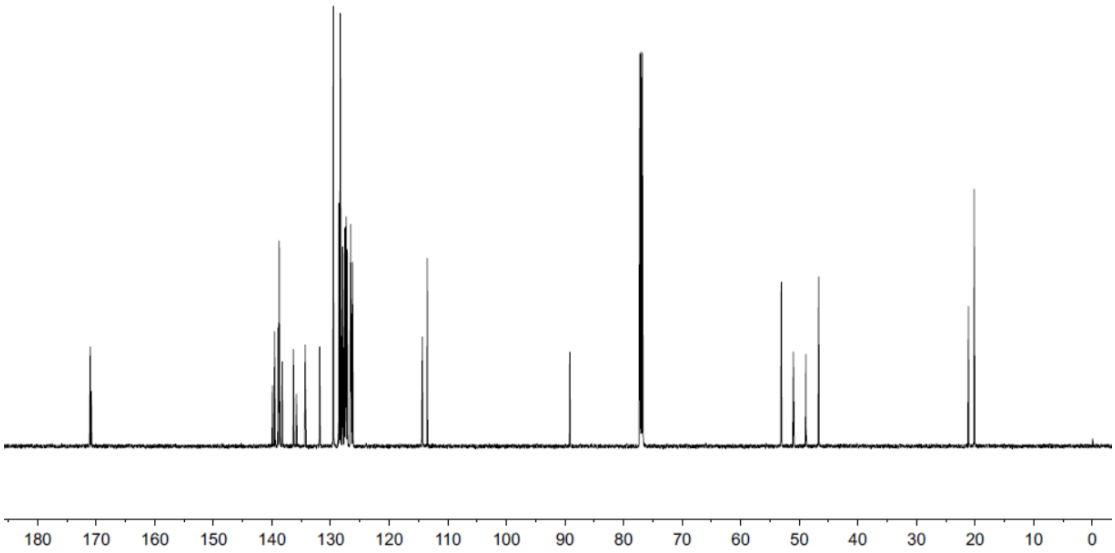
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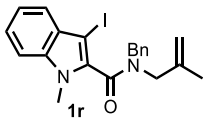
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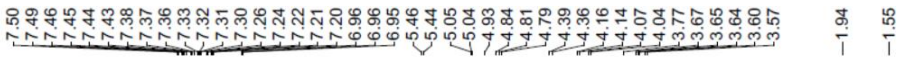
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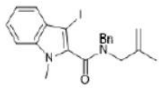

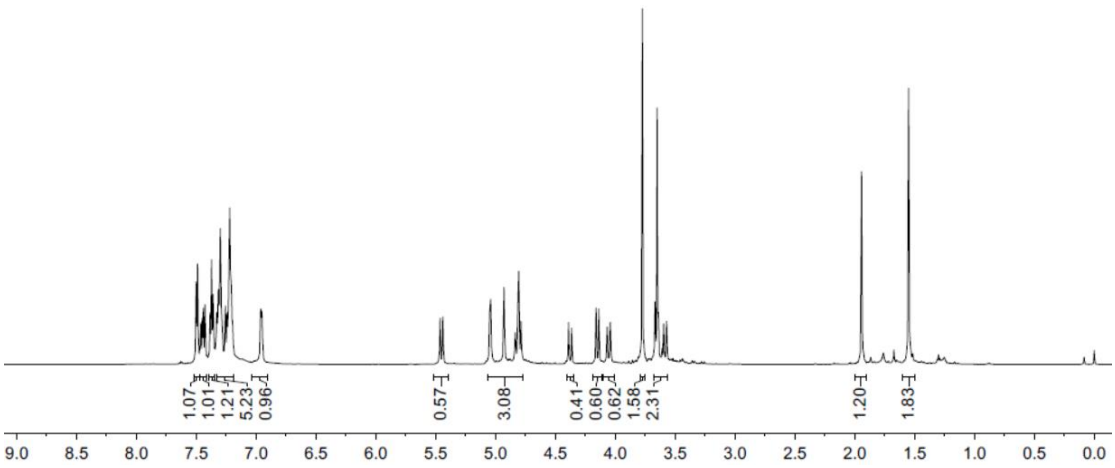
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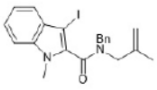

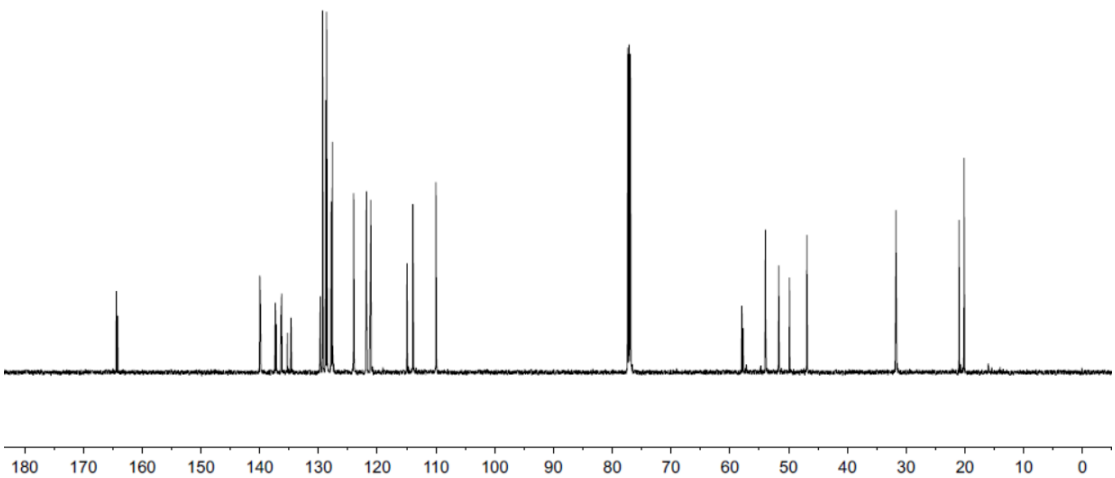
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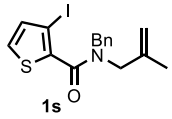
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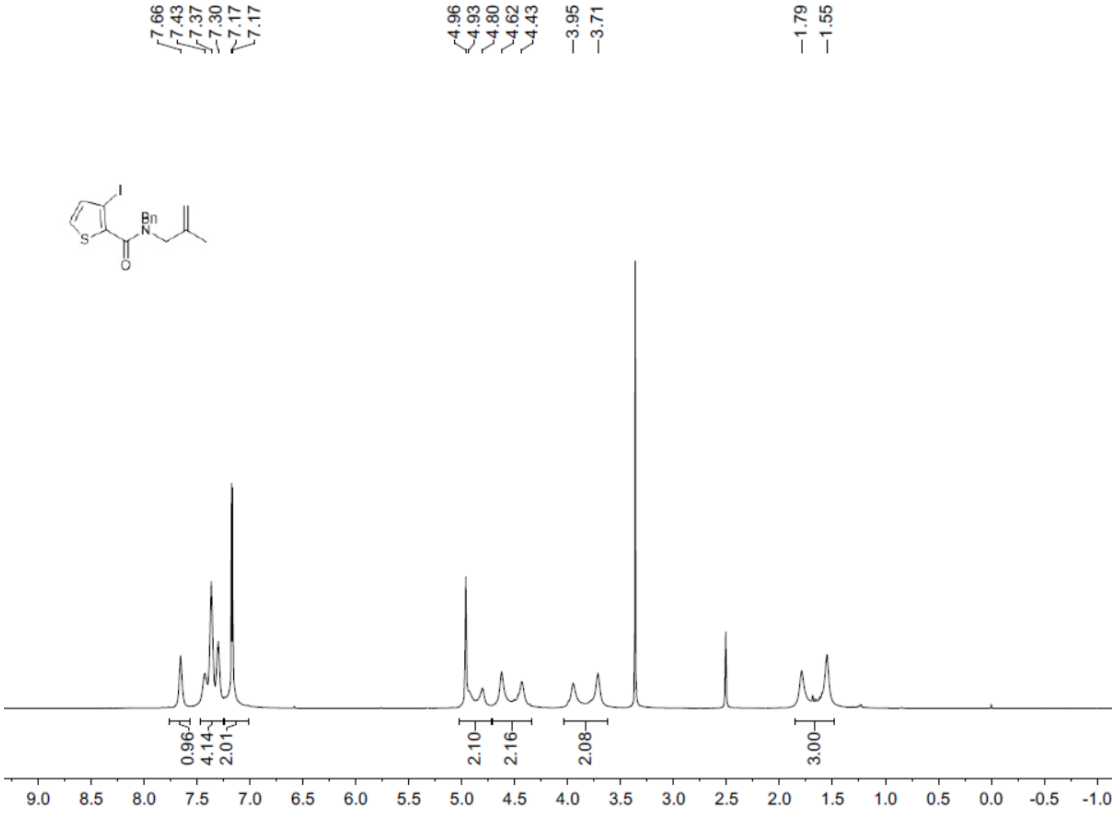
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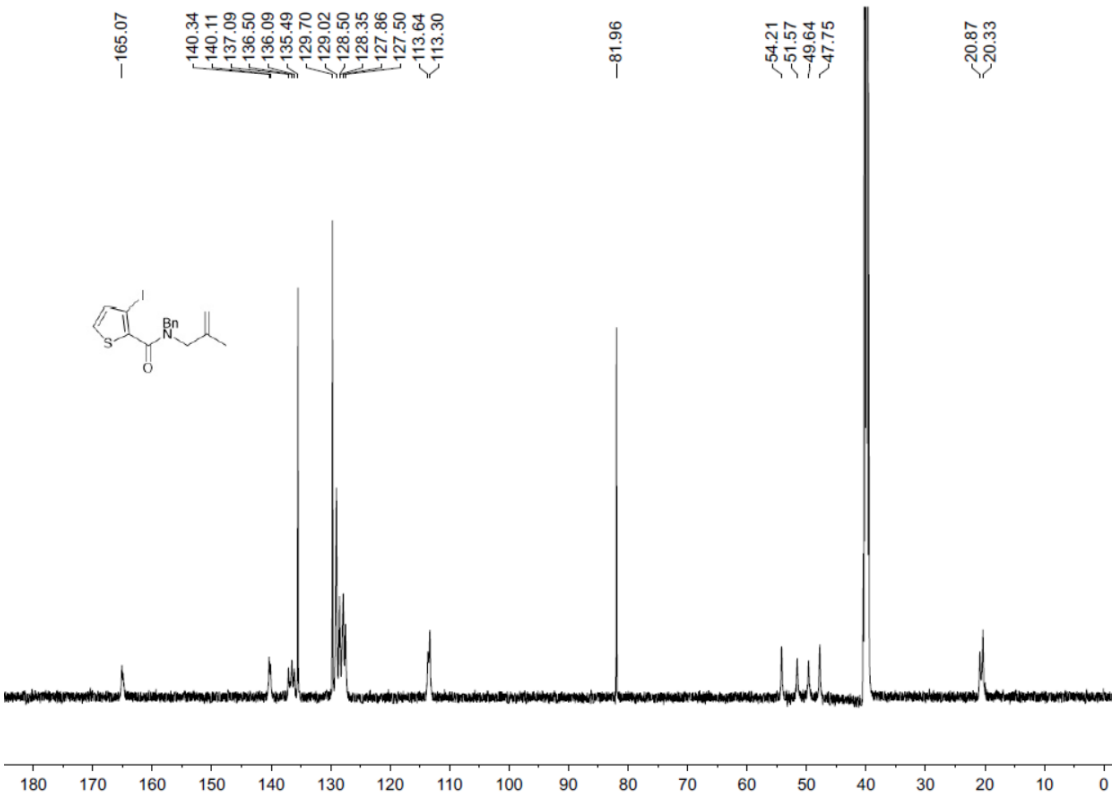
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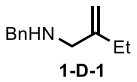
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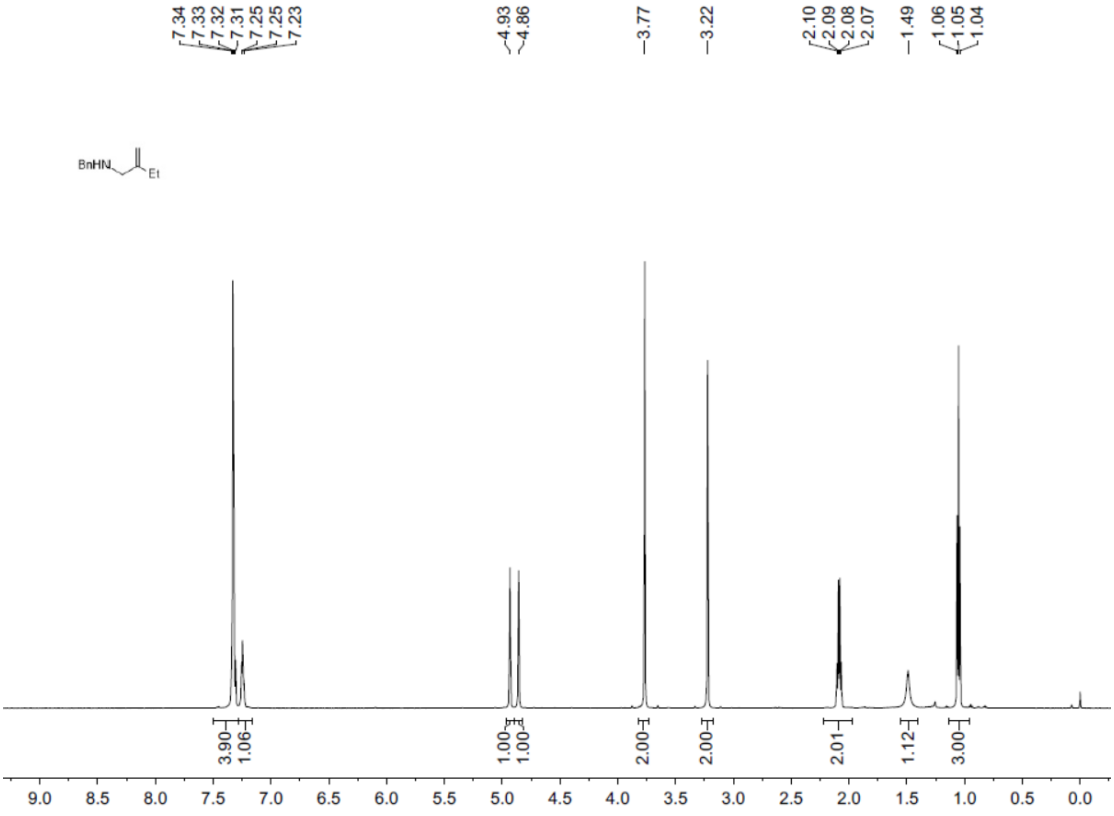
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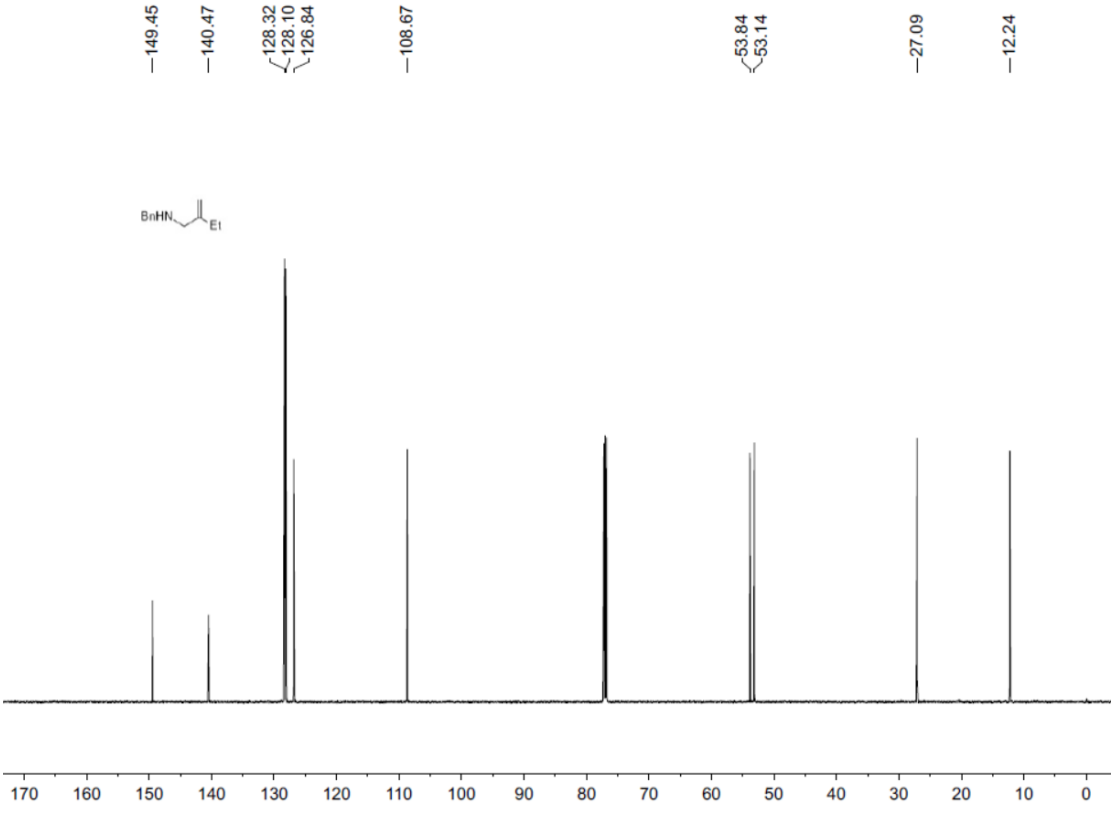
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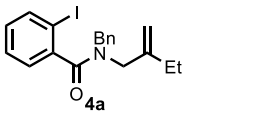
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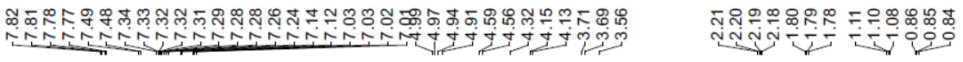
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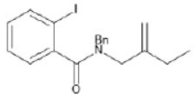
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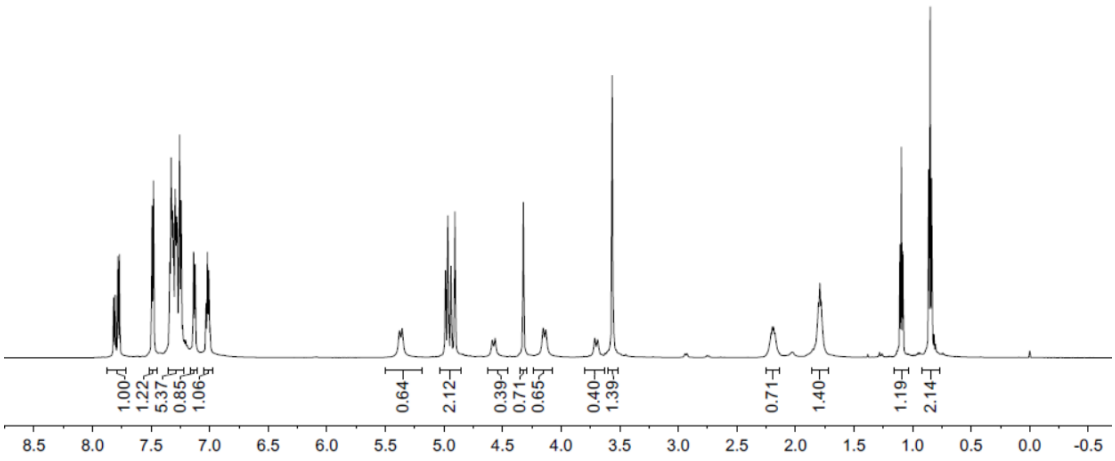
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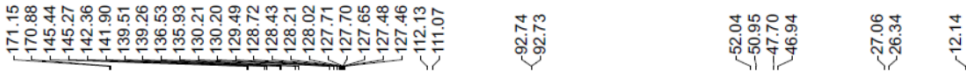
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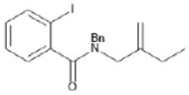
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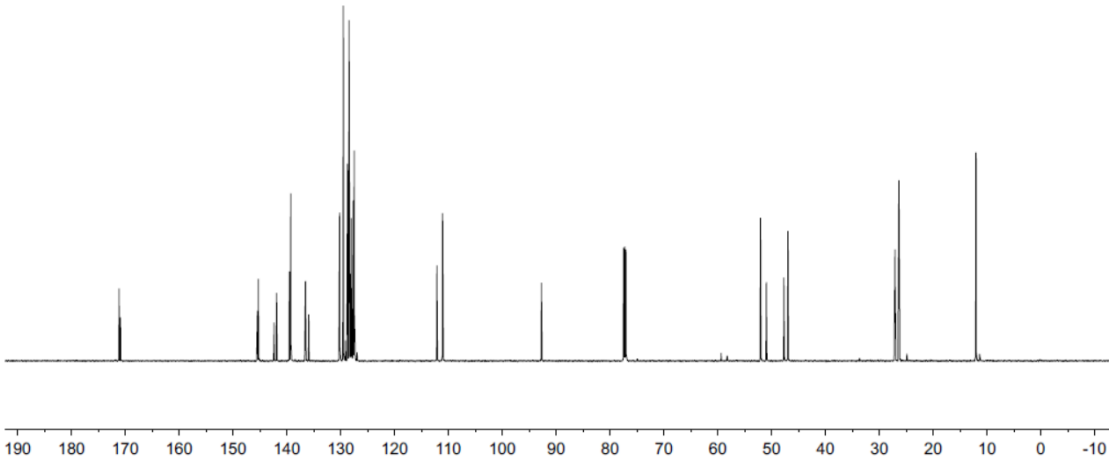
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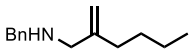
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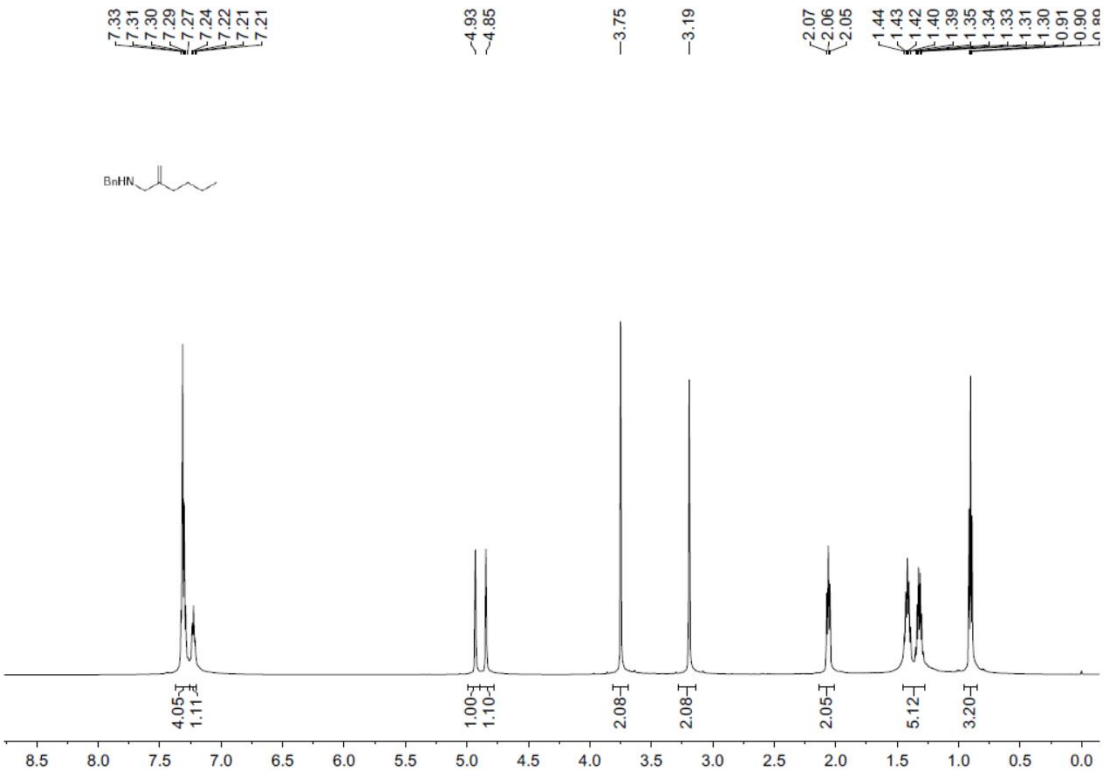
1-D-2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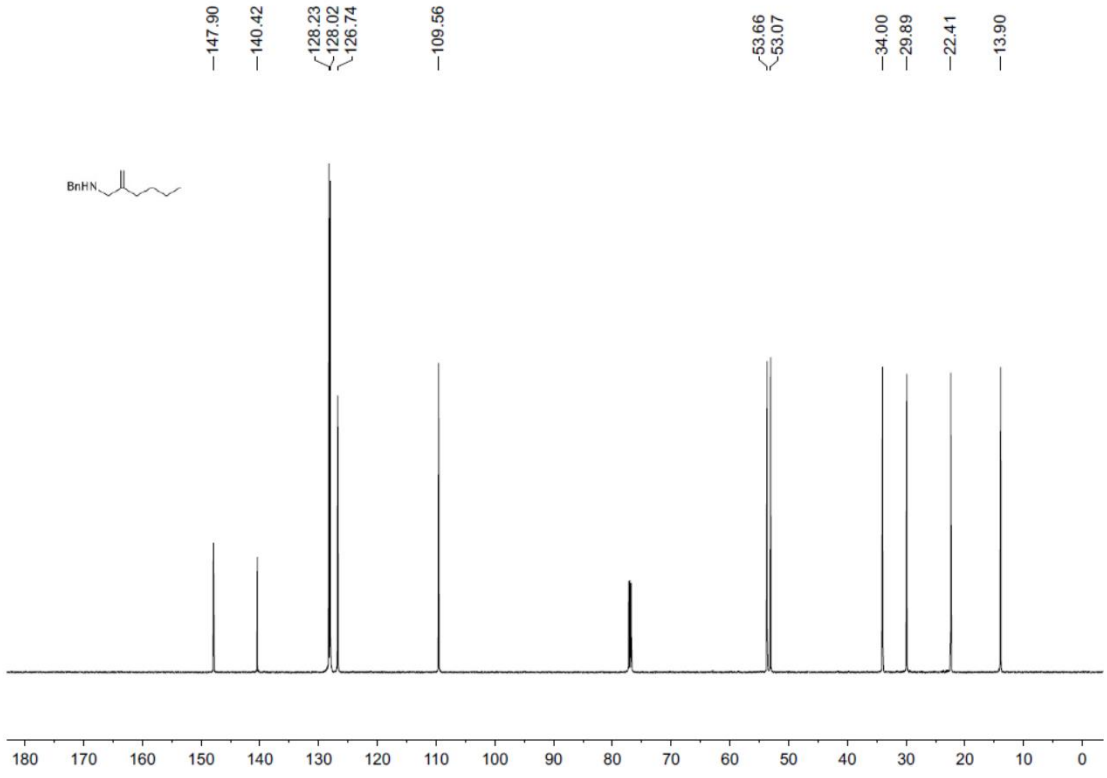
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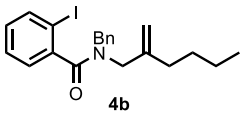
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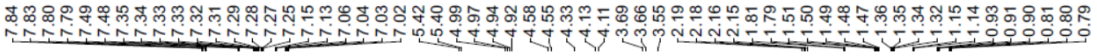
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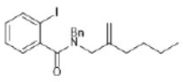

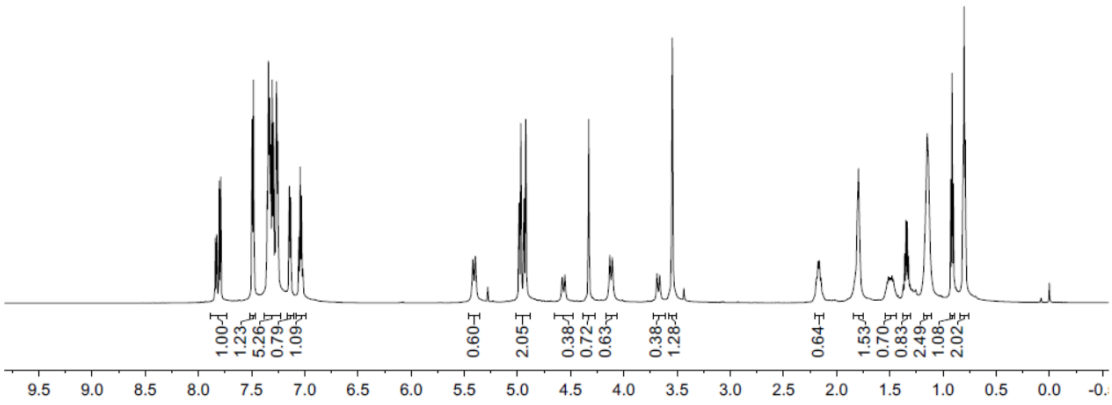
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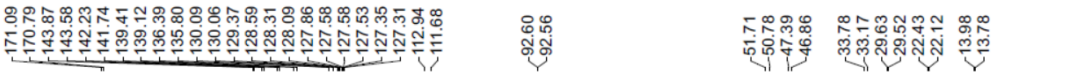
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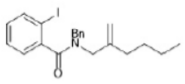

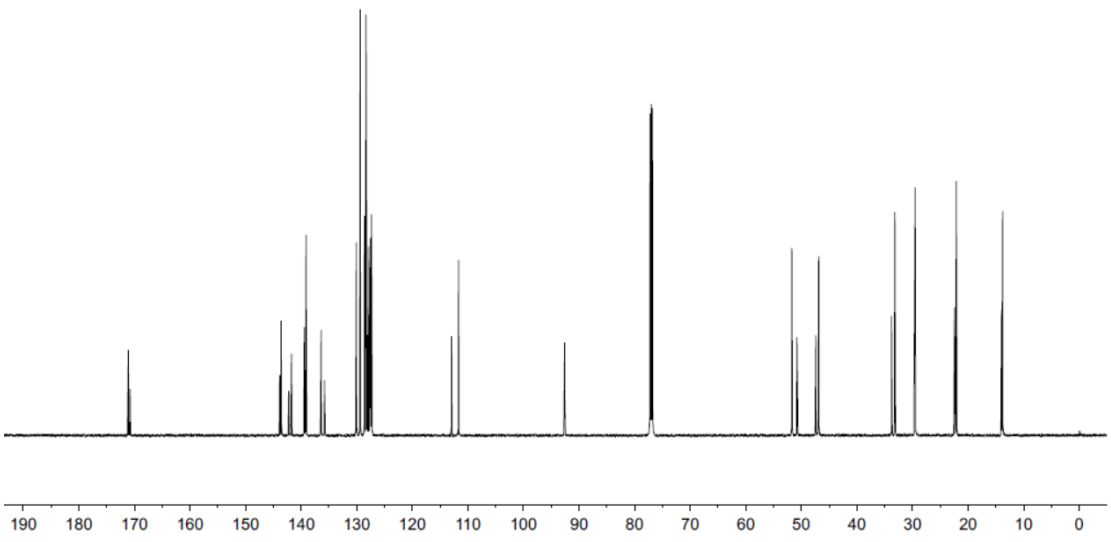
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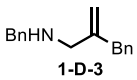
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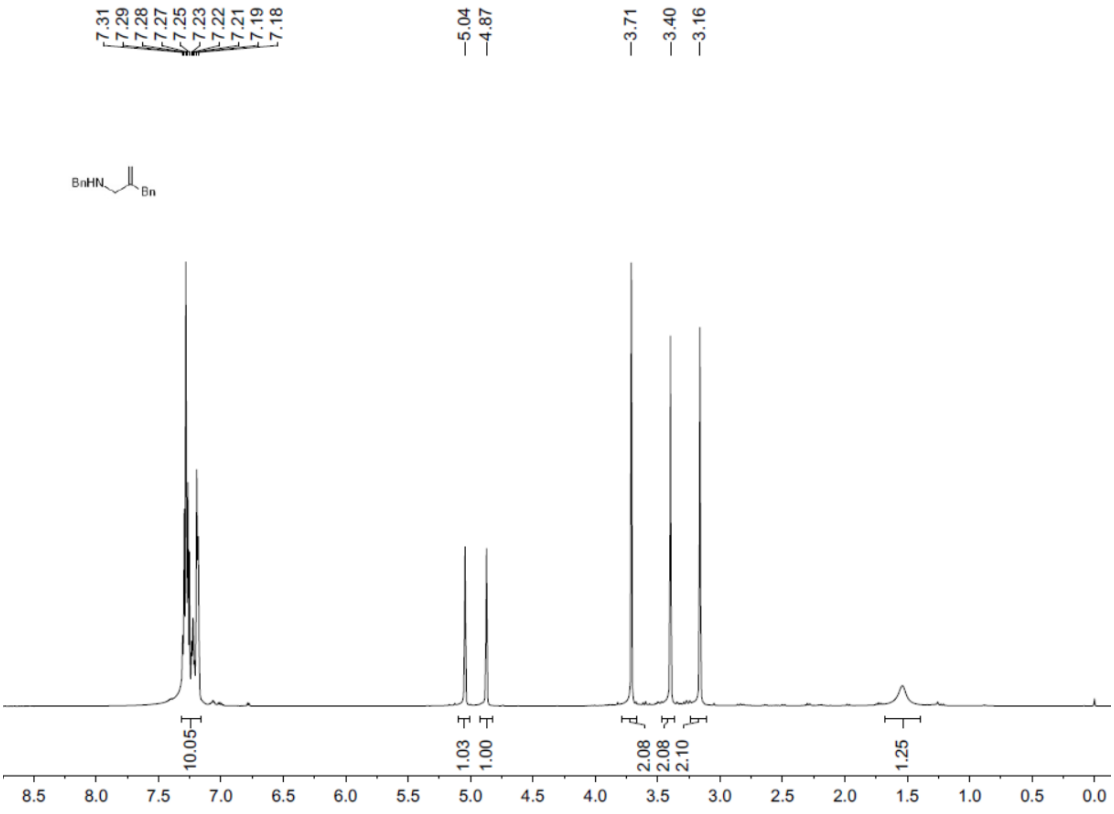
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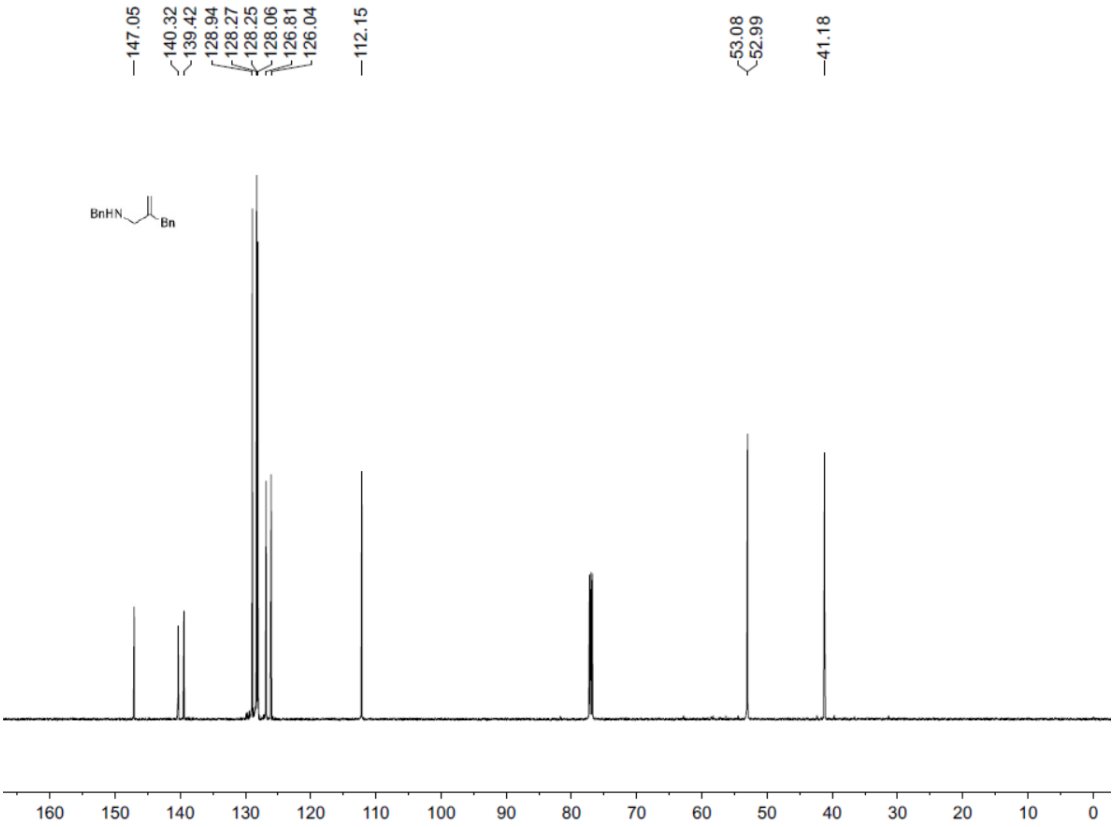
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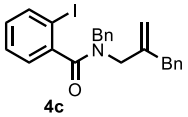
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4c


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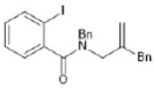
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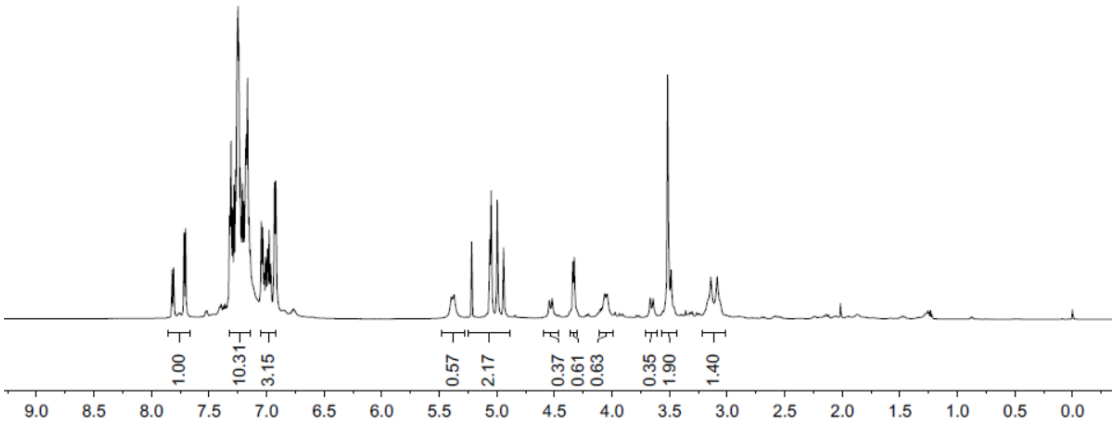
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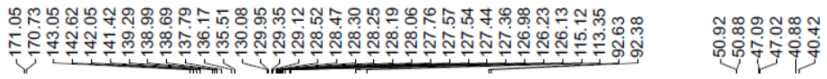
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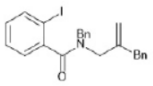
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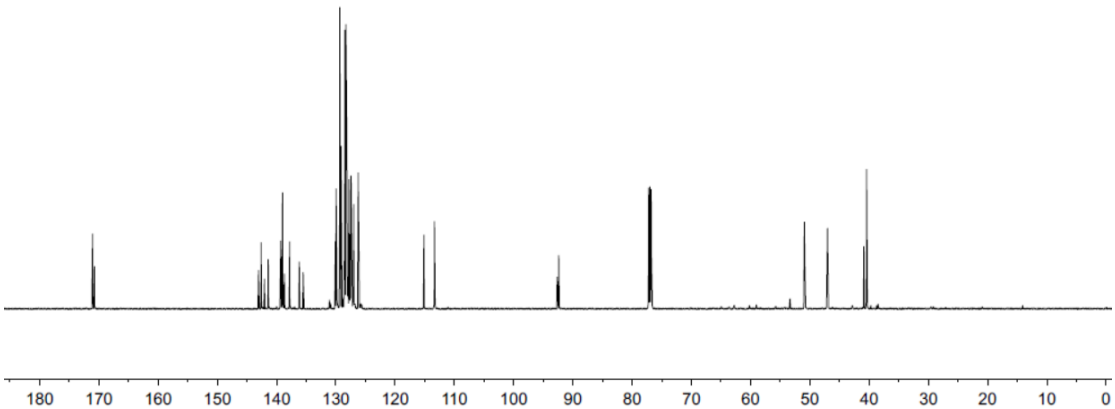
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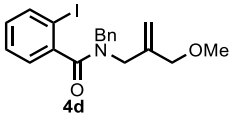
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OMe
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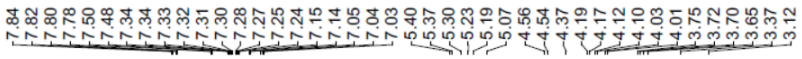
4d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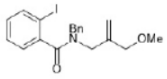

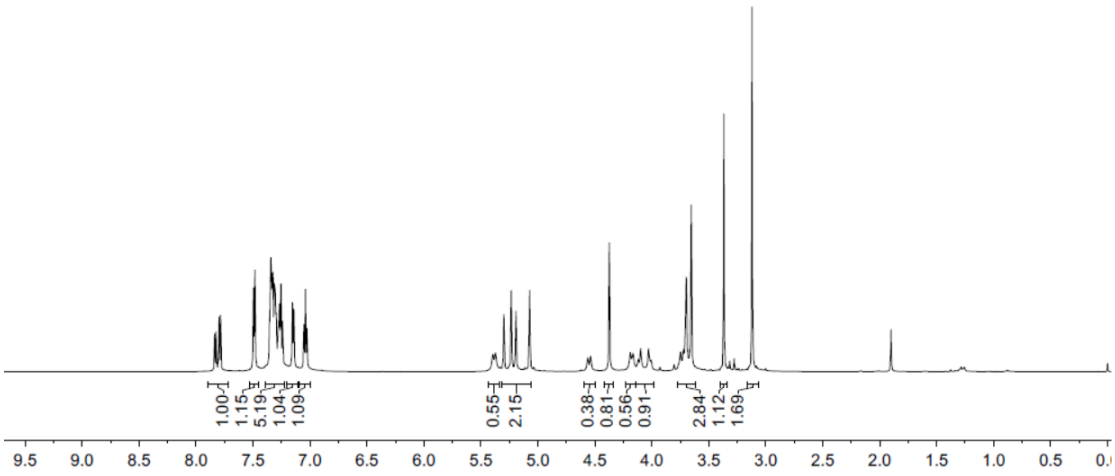
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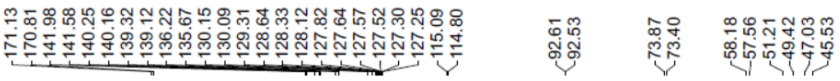
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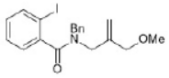

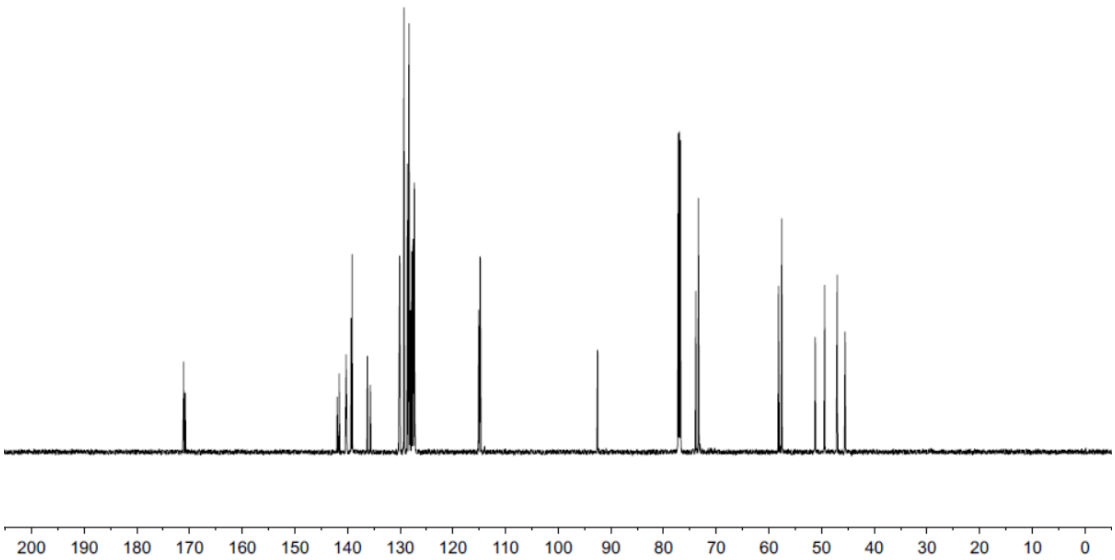
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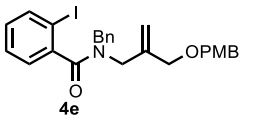
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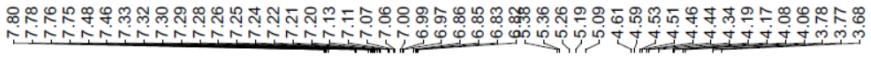
4e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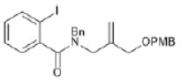
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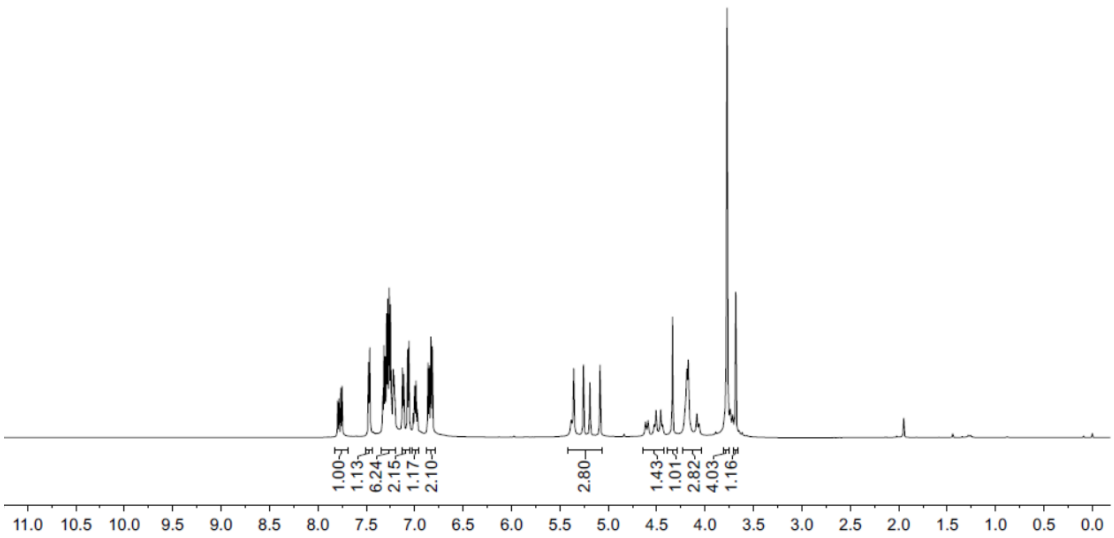
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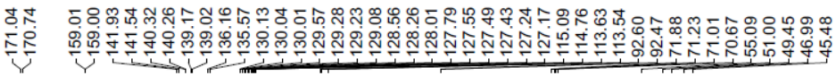
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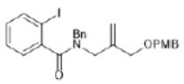
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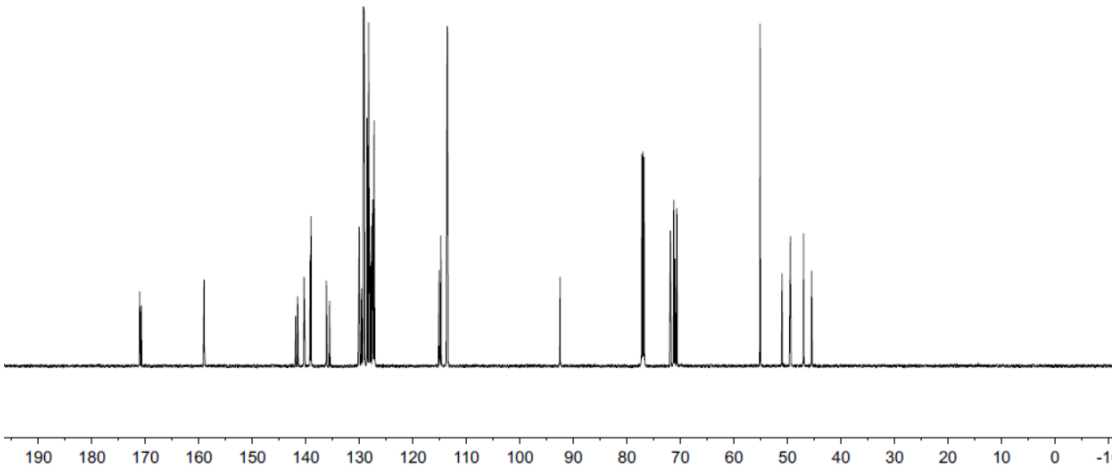
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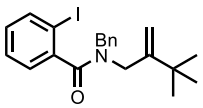

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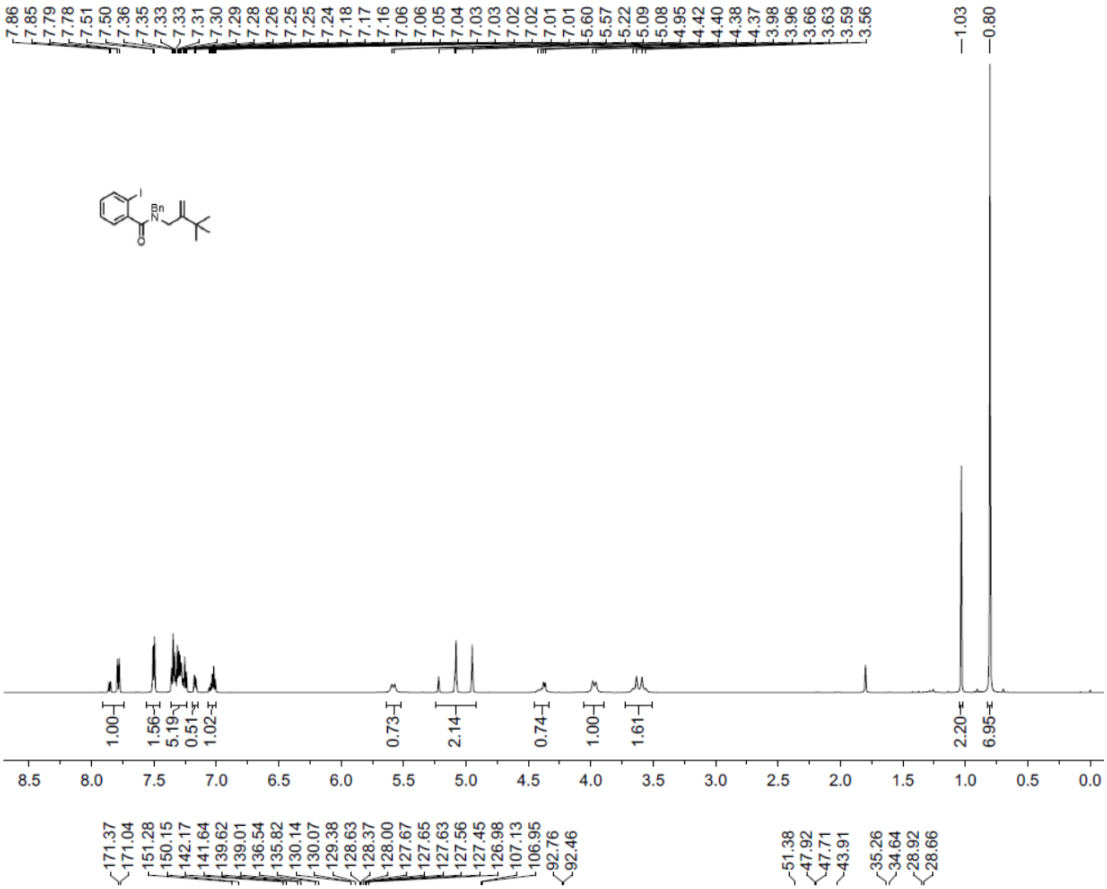
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7.01
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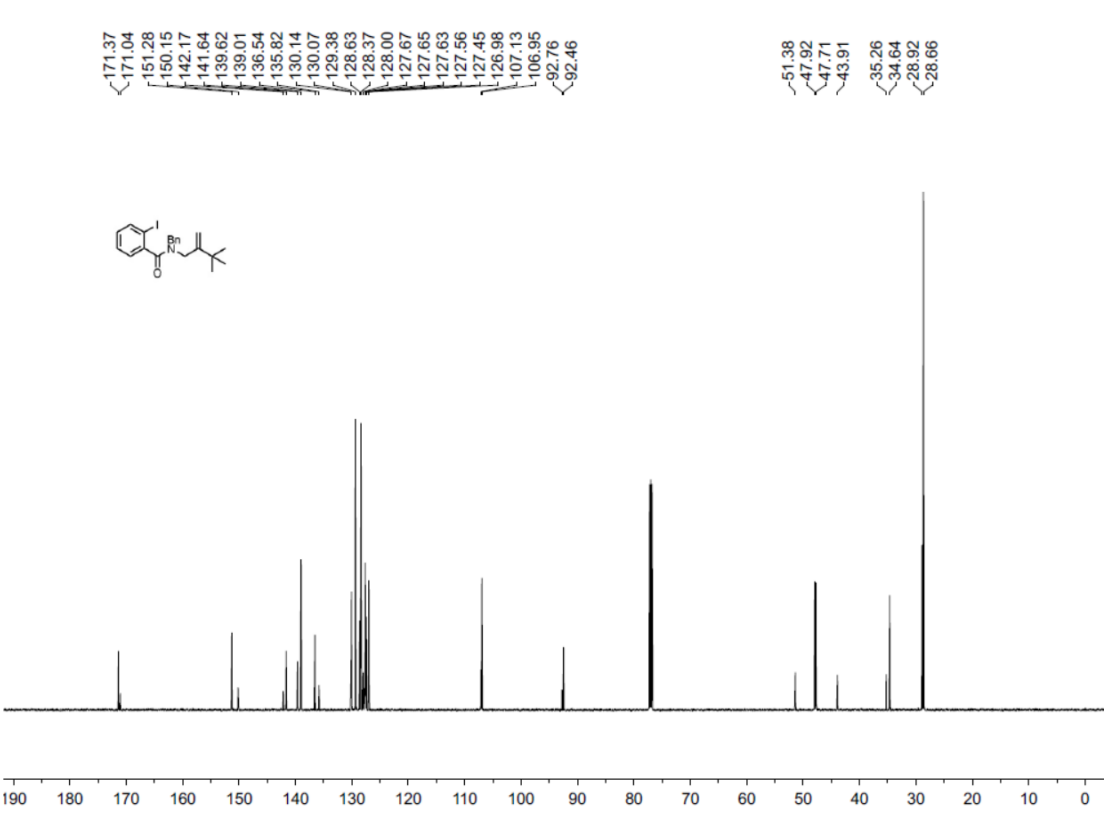
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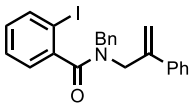
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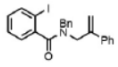

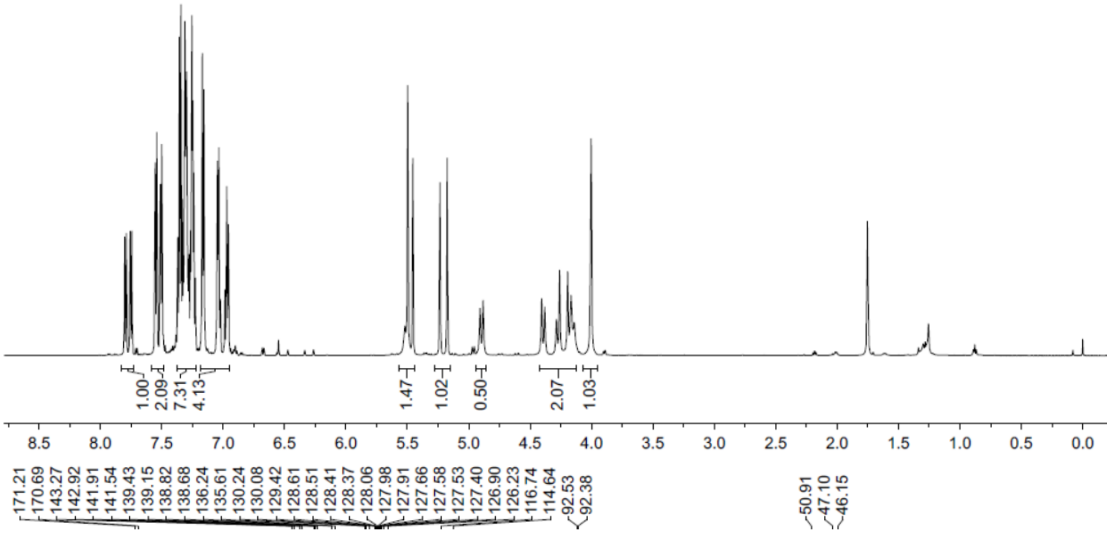
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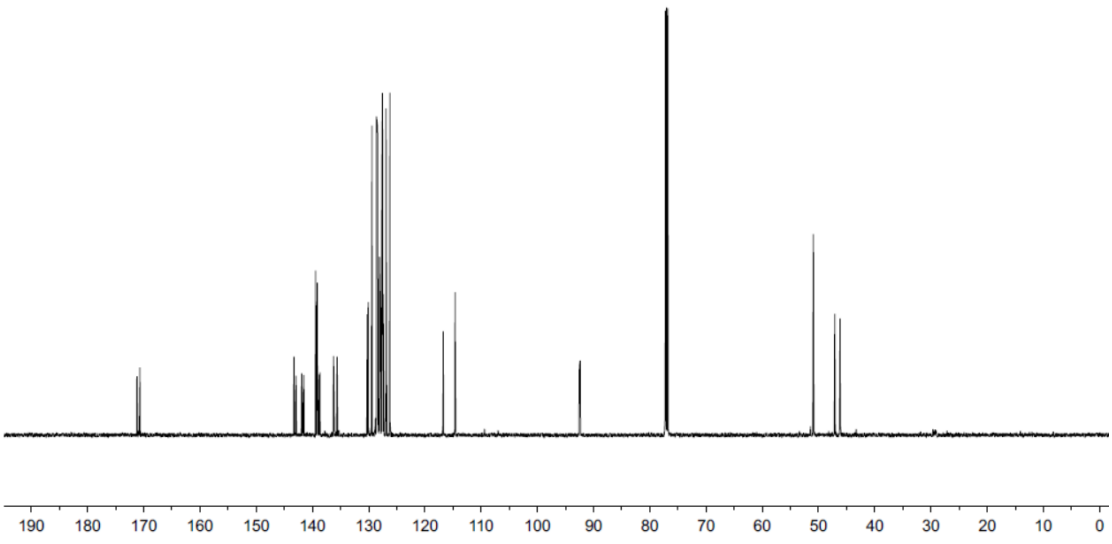
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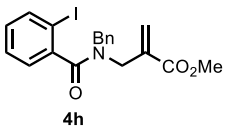
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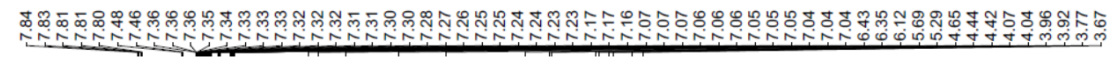
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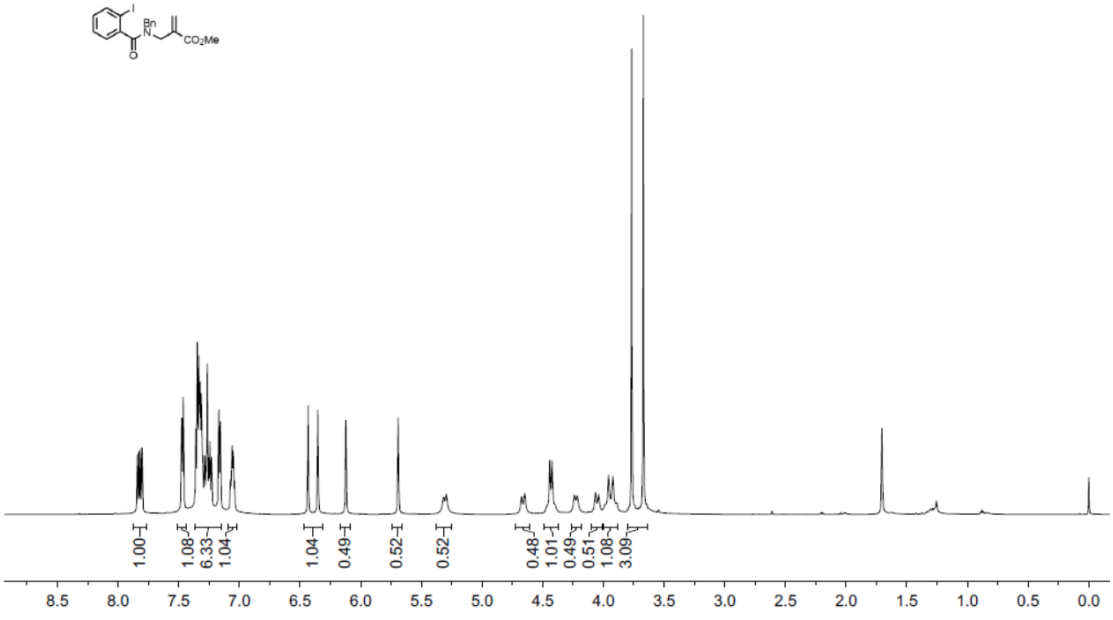
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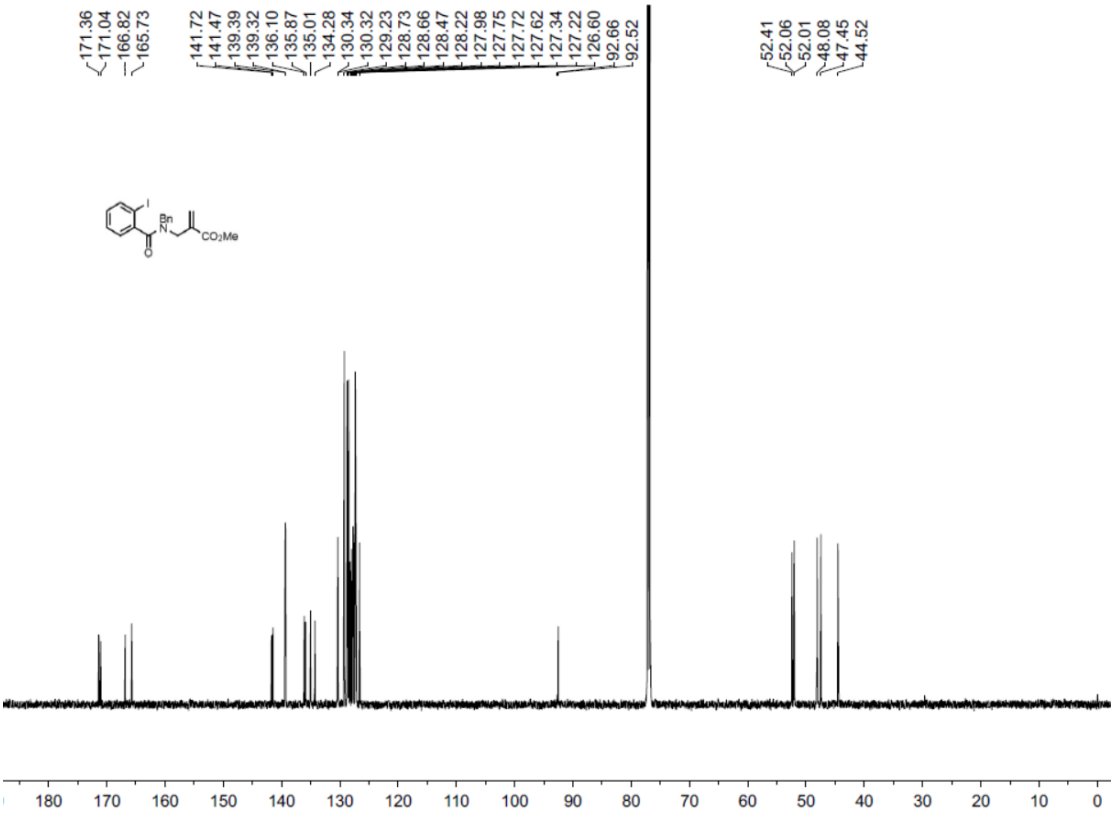
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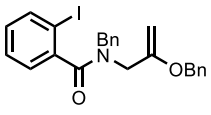
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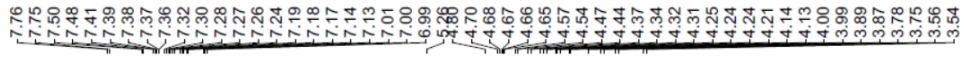
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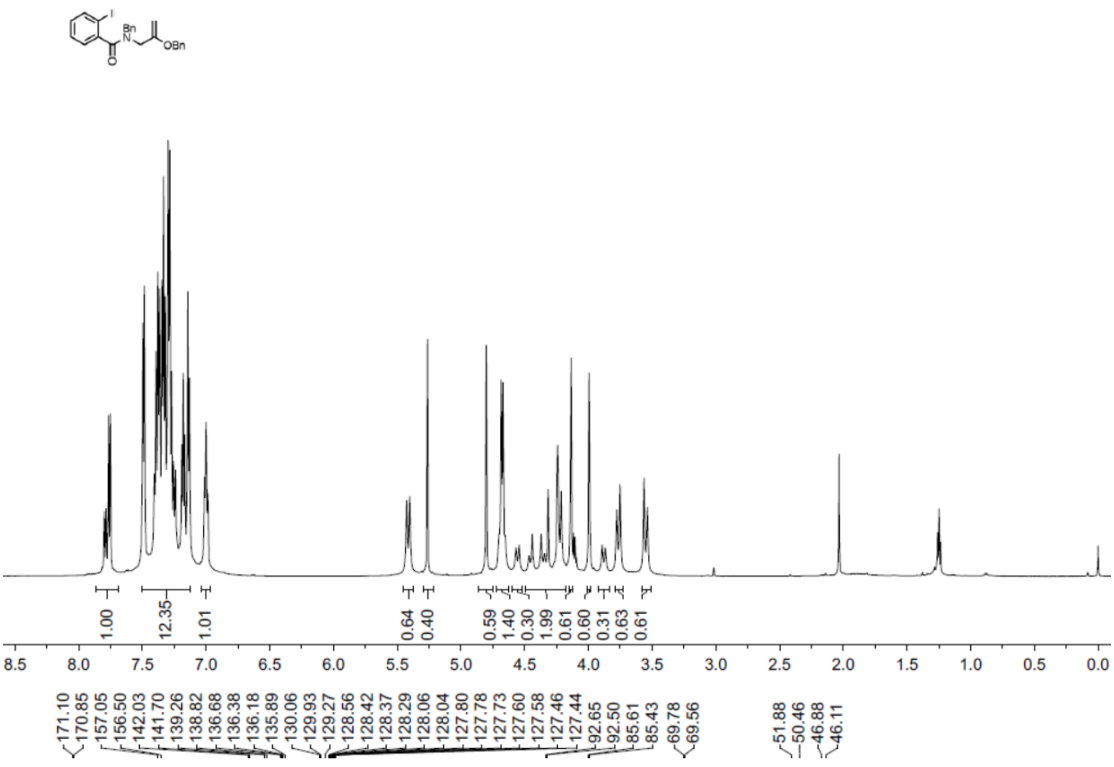
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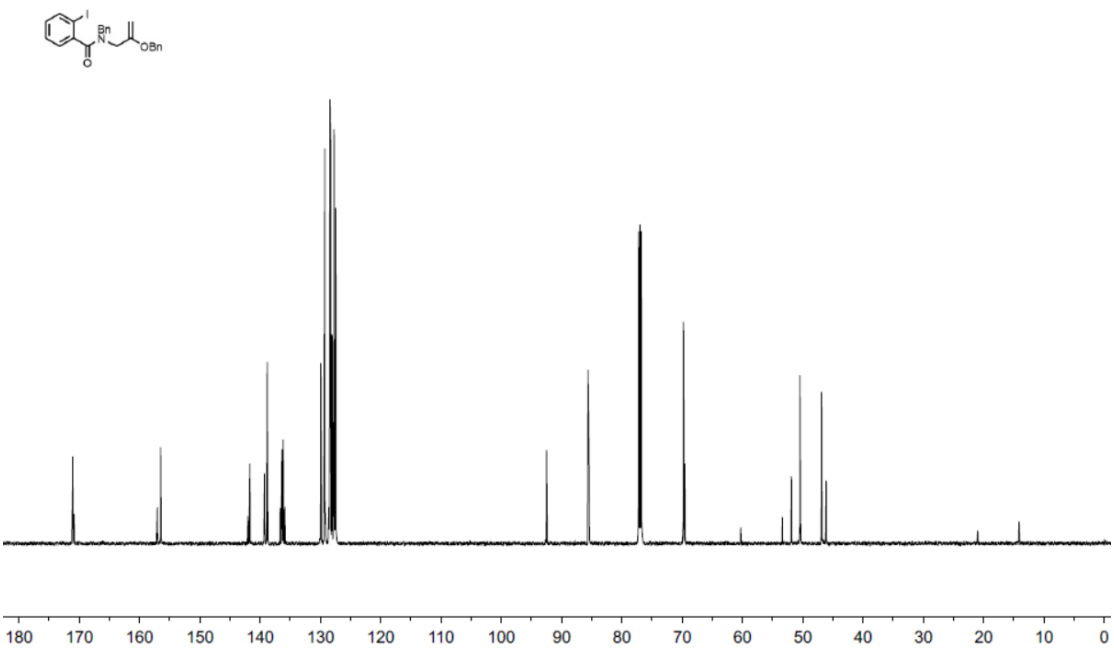
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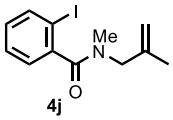
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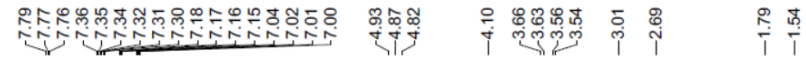
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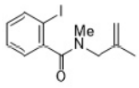
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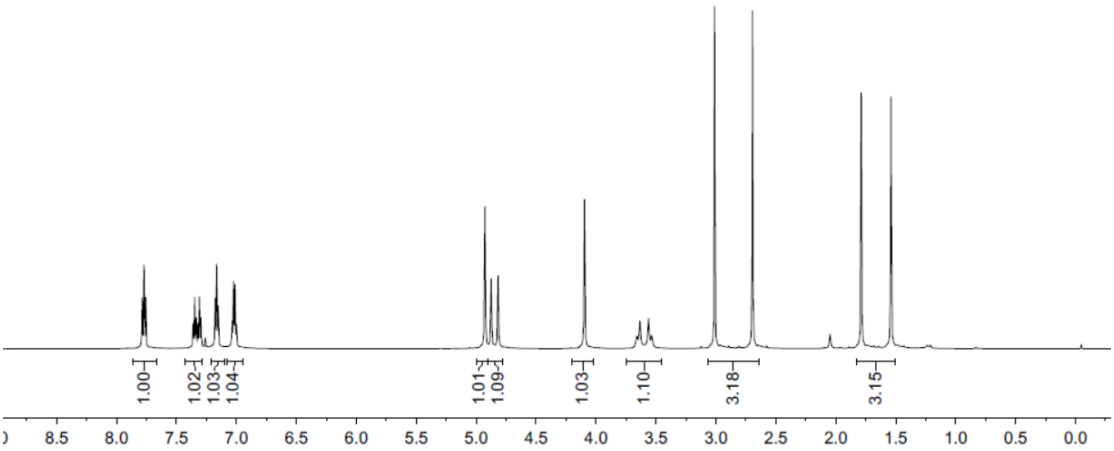
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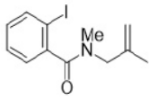
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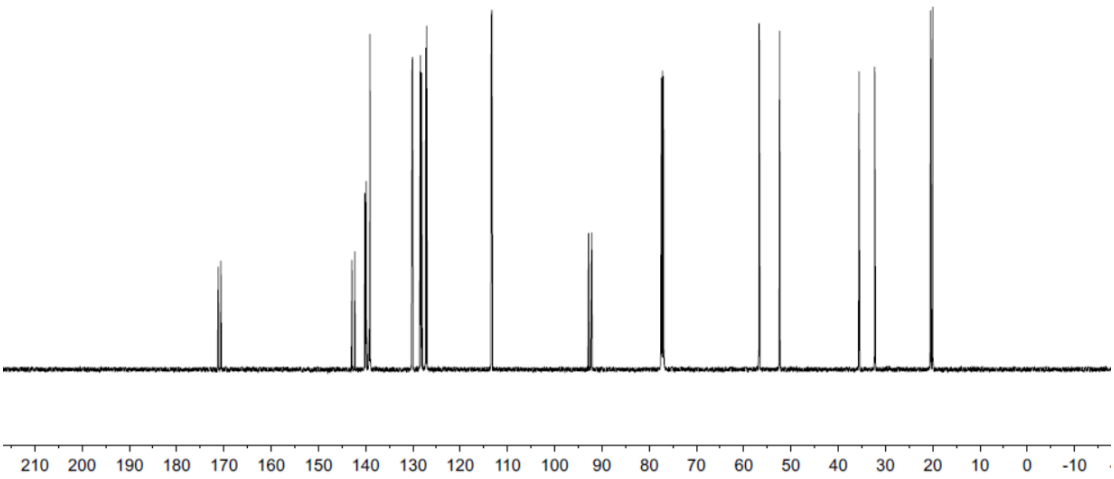
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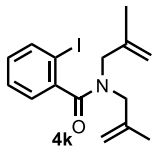
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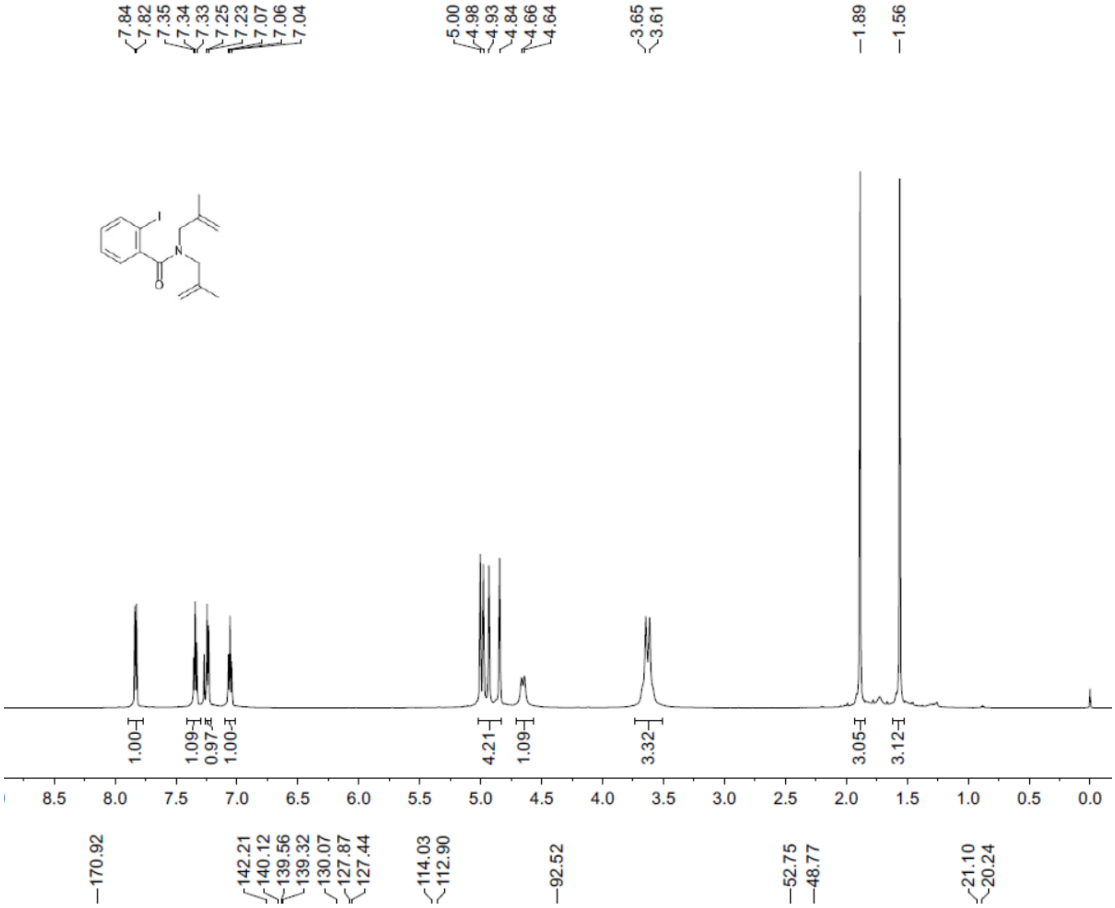
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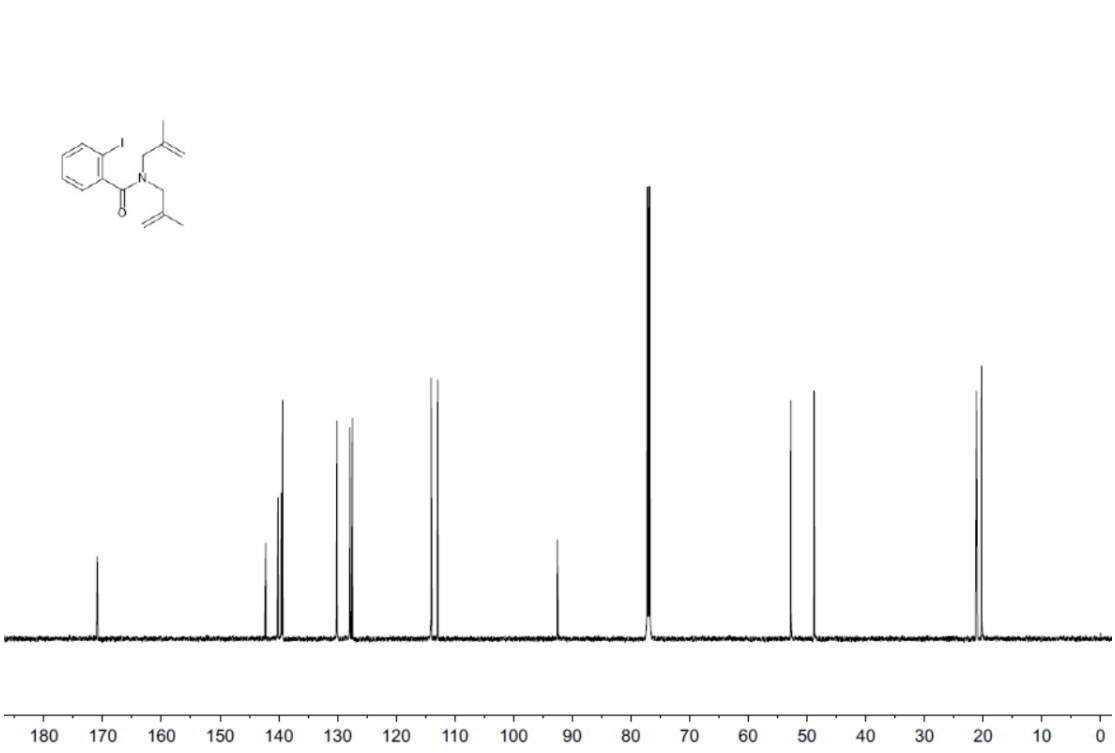
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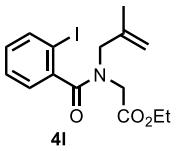
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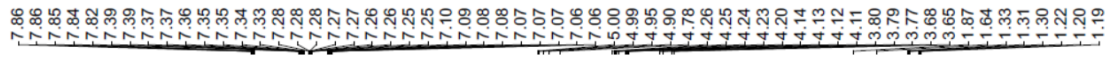
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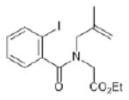
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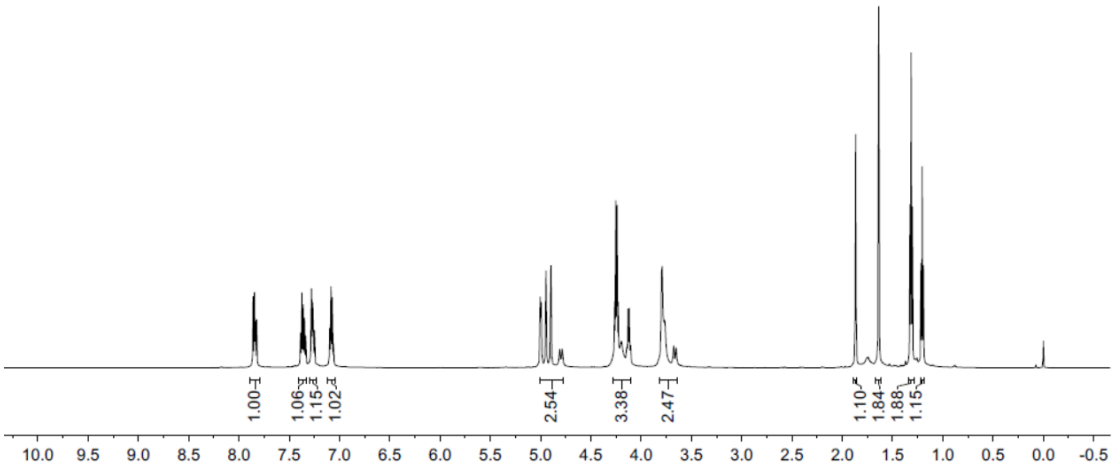
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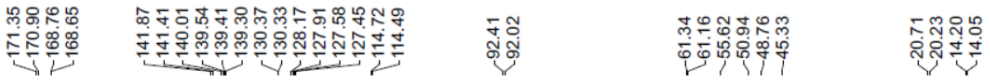
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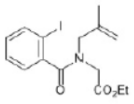
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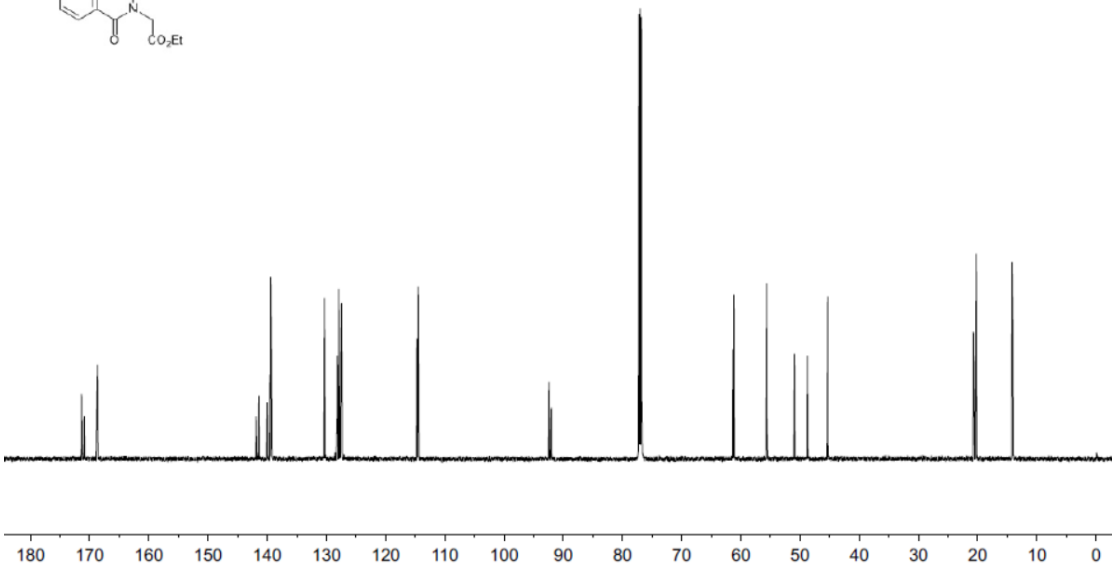
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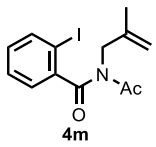
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Ac
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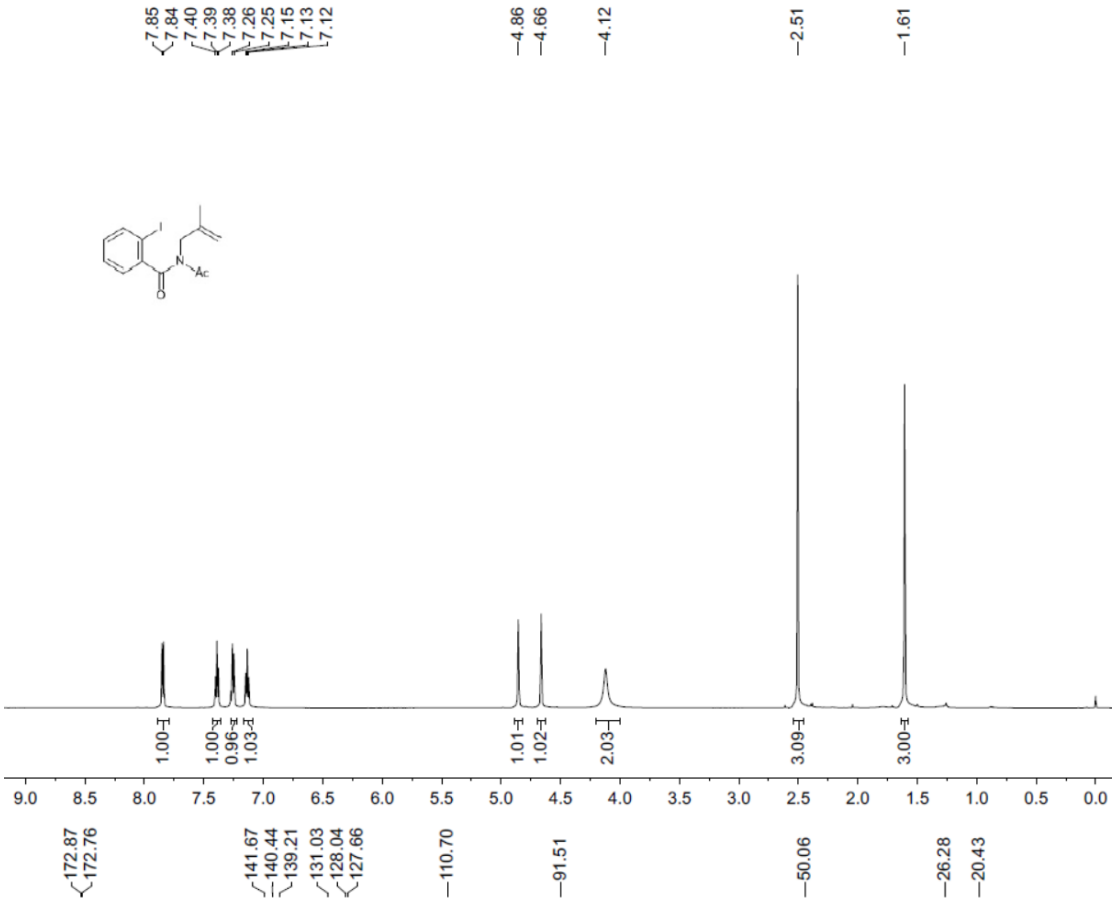
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1.00
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1.01
1.02
2.03
3.09
3.00
9.0
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8.0
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0.0

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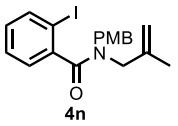
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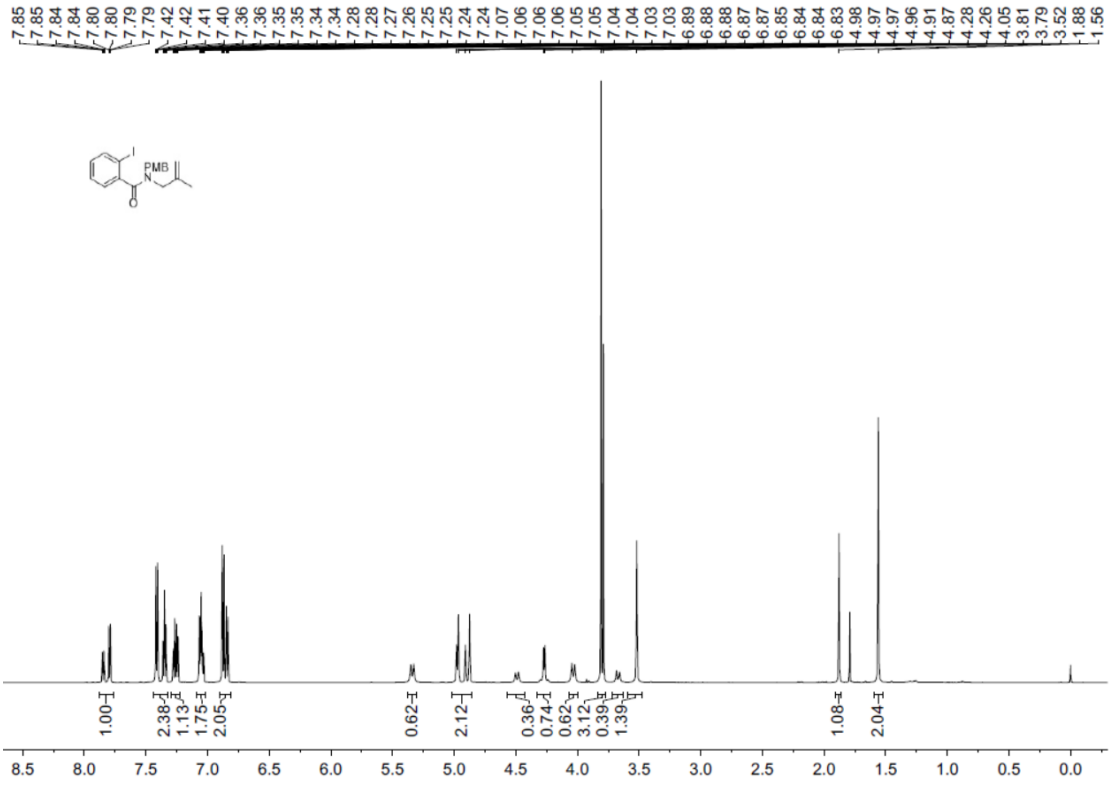
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1.00 2.38 1.13 1.75 2.05 0.62 2.12 0.36 0.74 0.62 3.12 0.39 1.39 1.08 2.04
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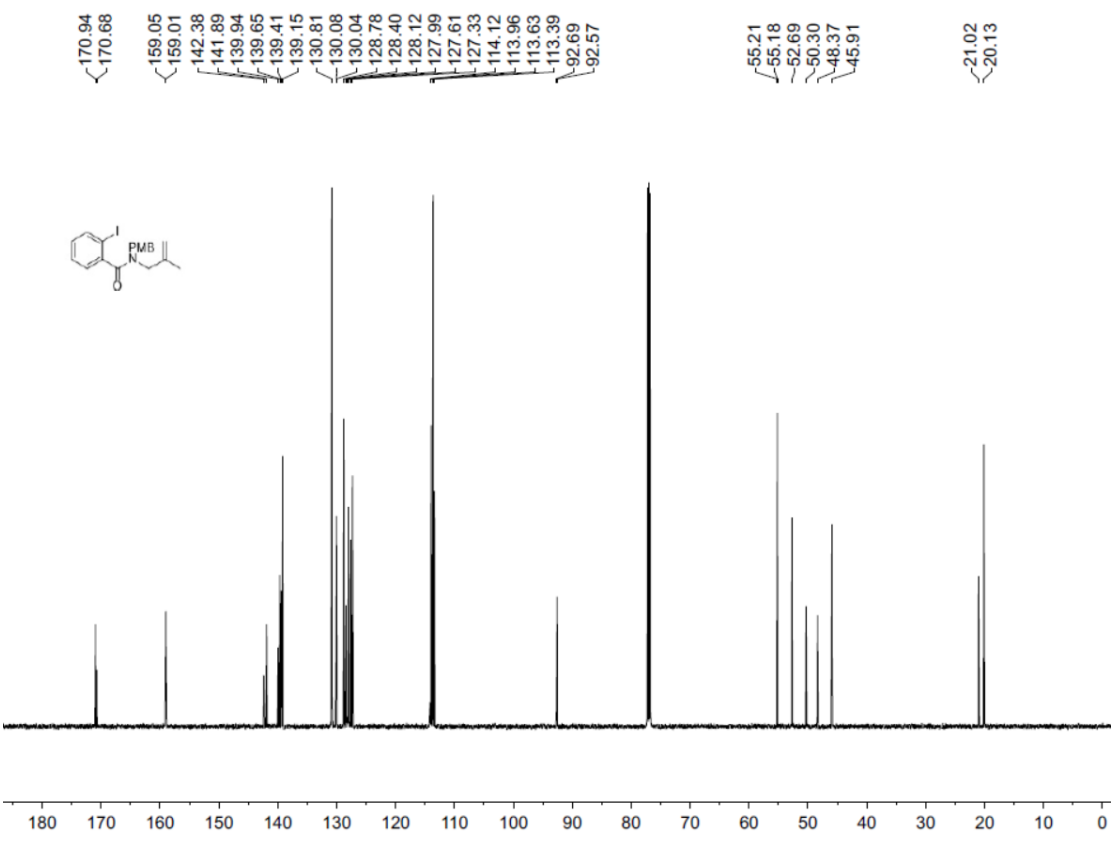
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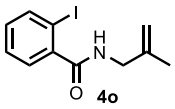

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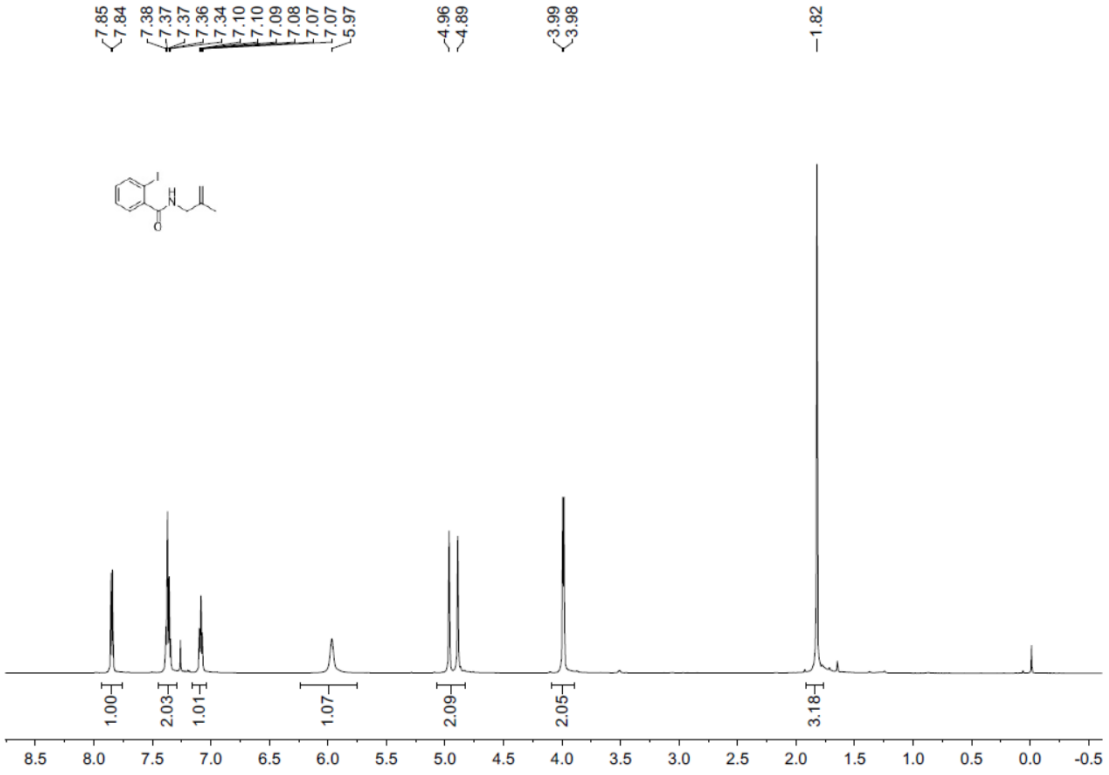
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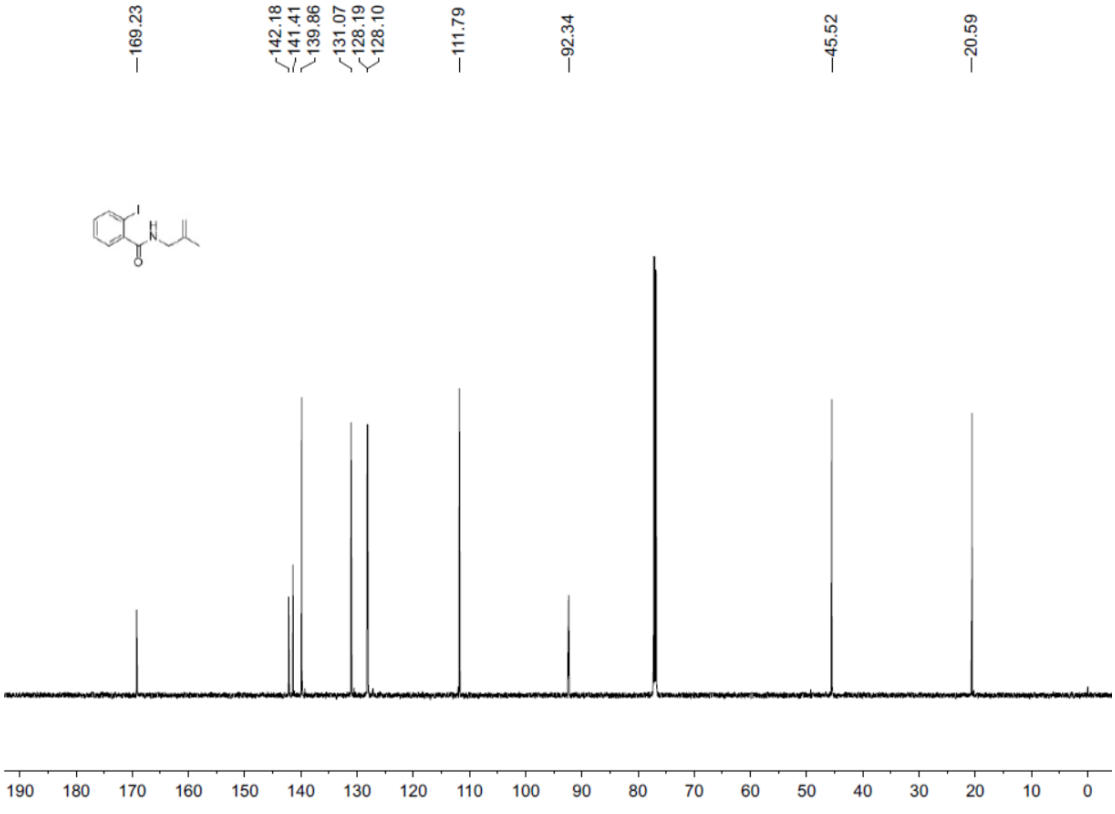
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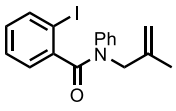

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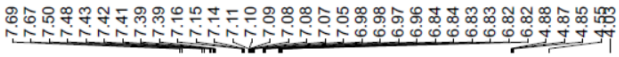
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6.98
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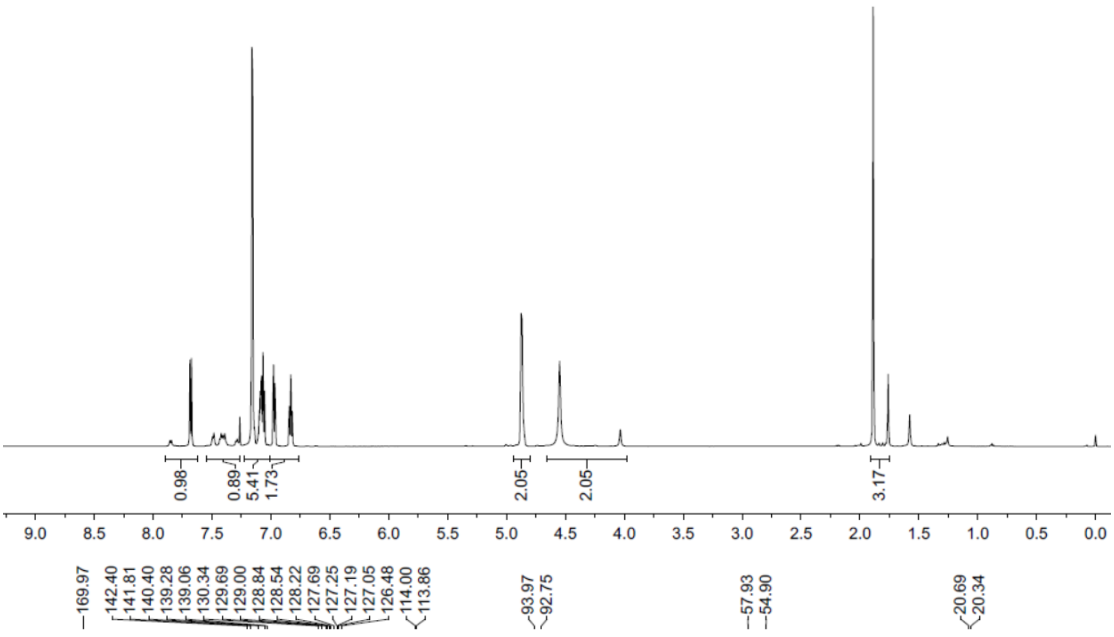
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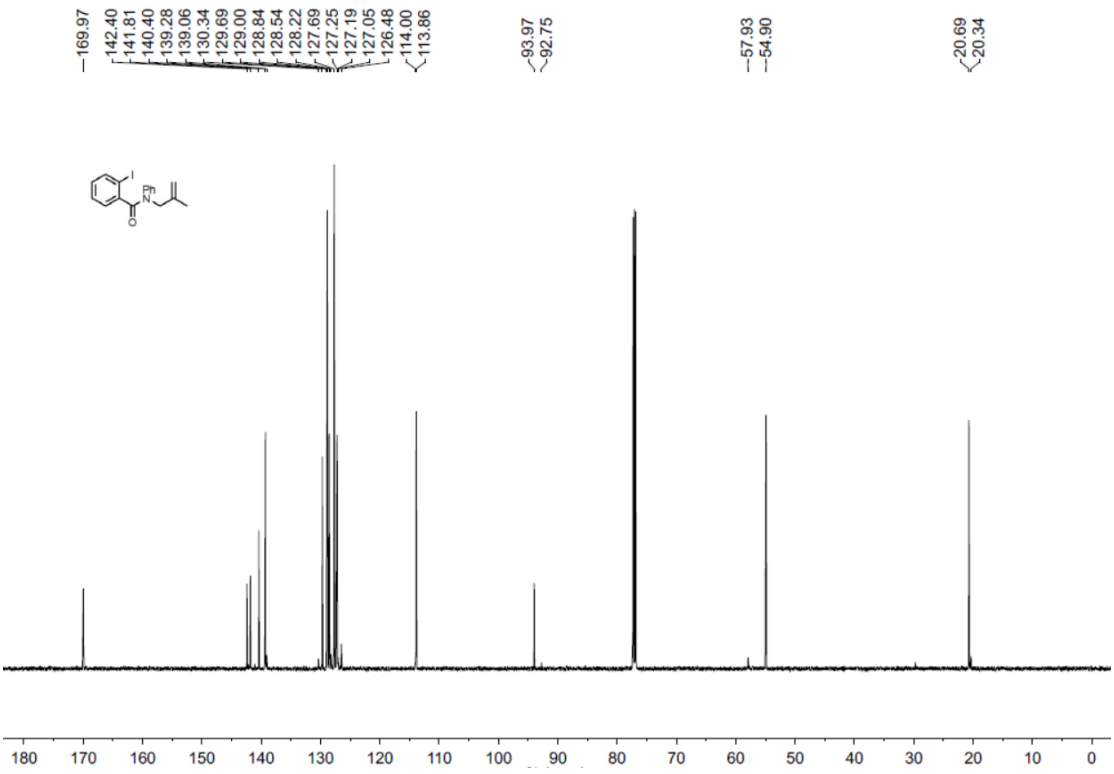
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127.05
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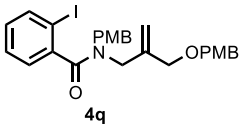
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OPMB
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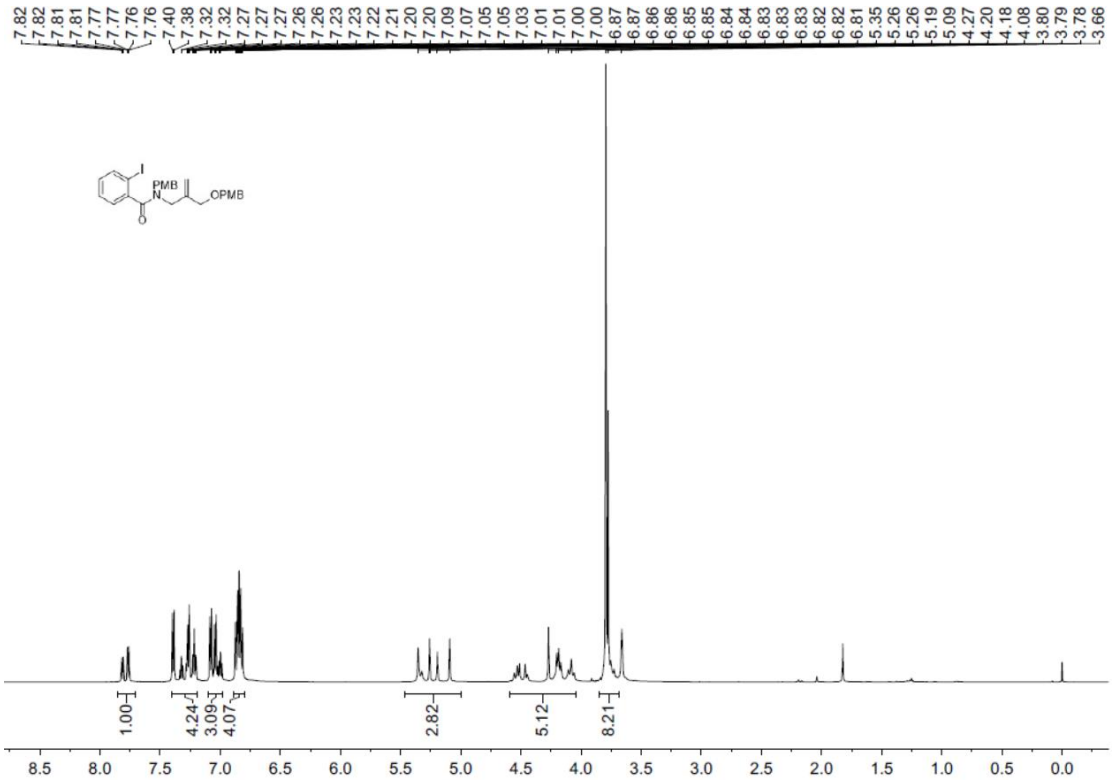
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OPMB
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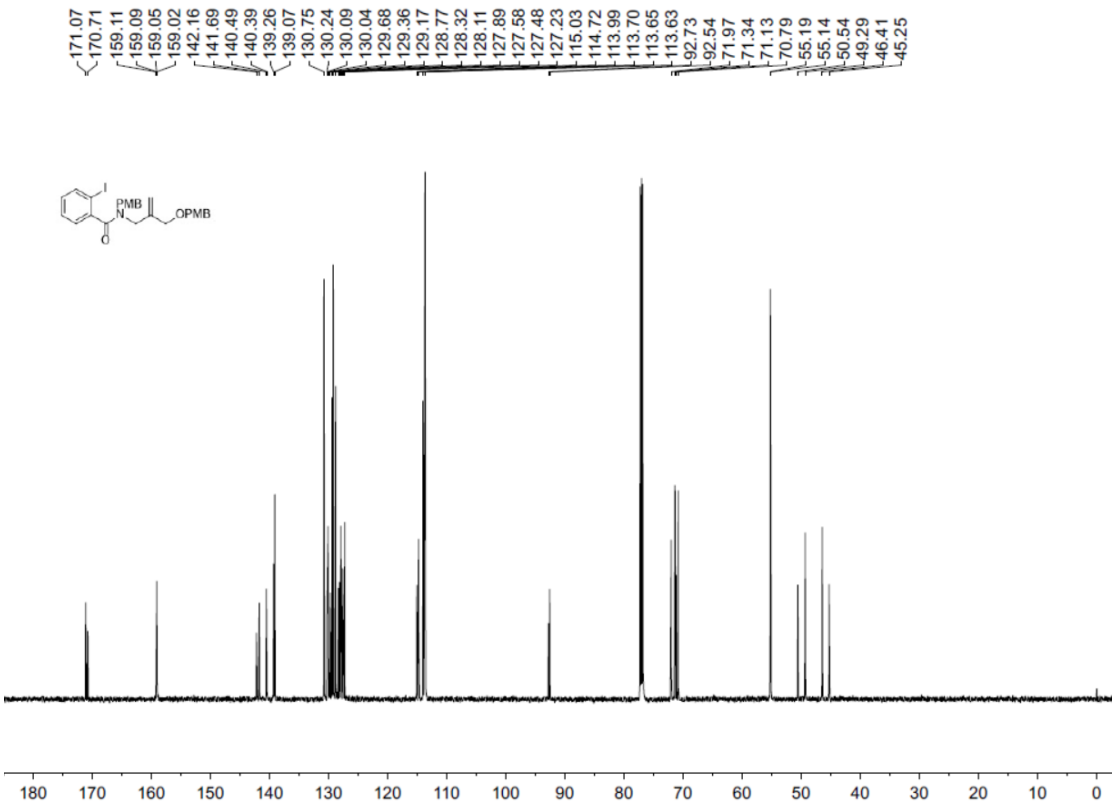
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71.13
70.79
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55.14
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49.29
46.41
45.25
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PMB
N
OPMB
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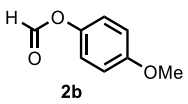
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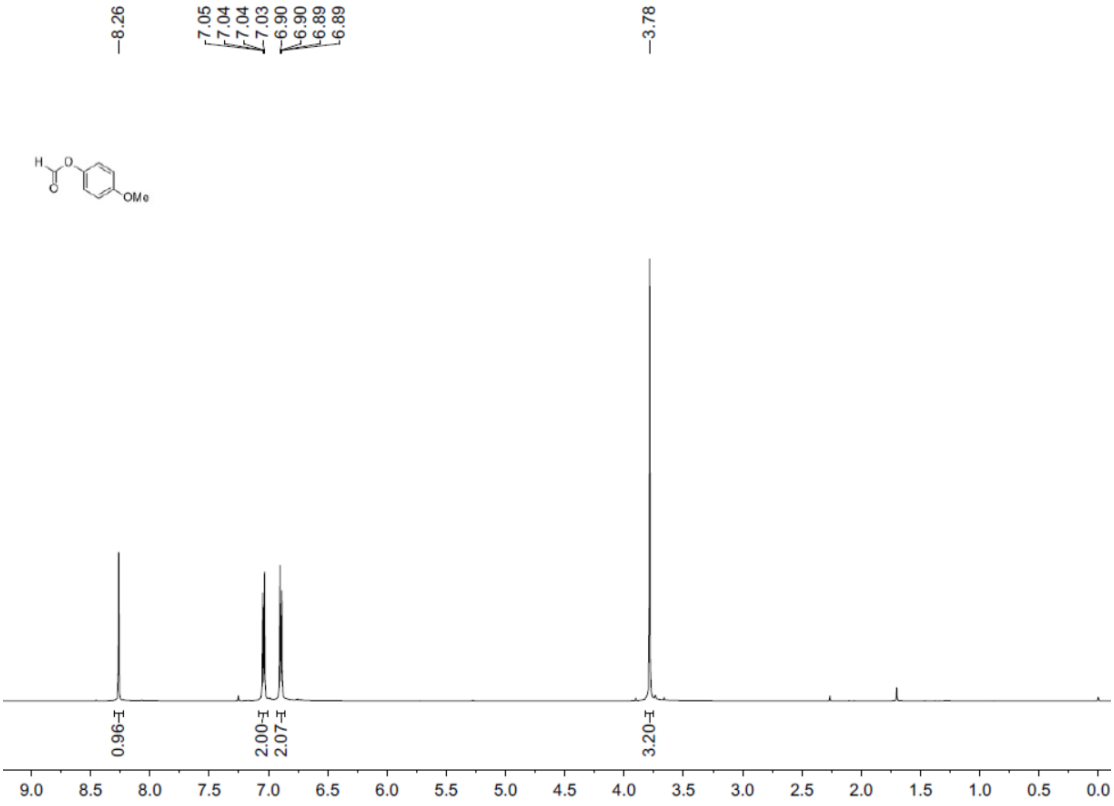
O
O
OMe

2b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2.07
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8.5
8.0
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7.0
6.5
6.0
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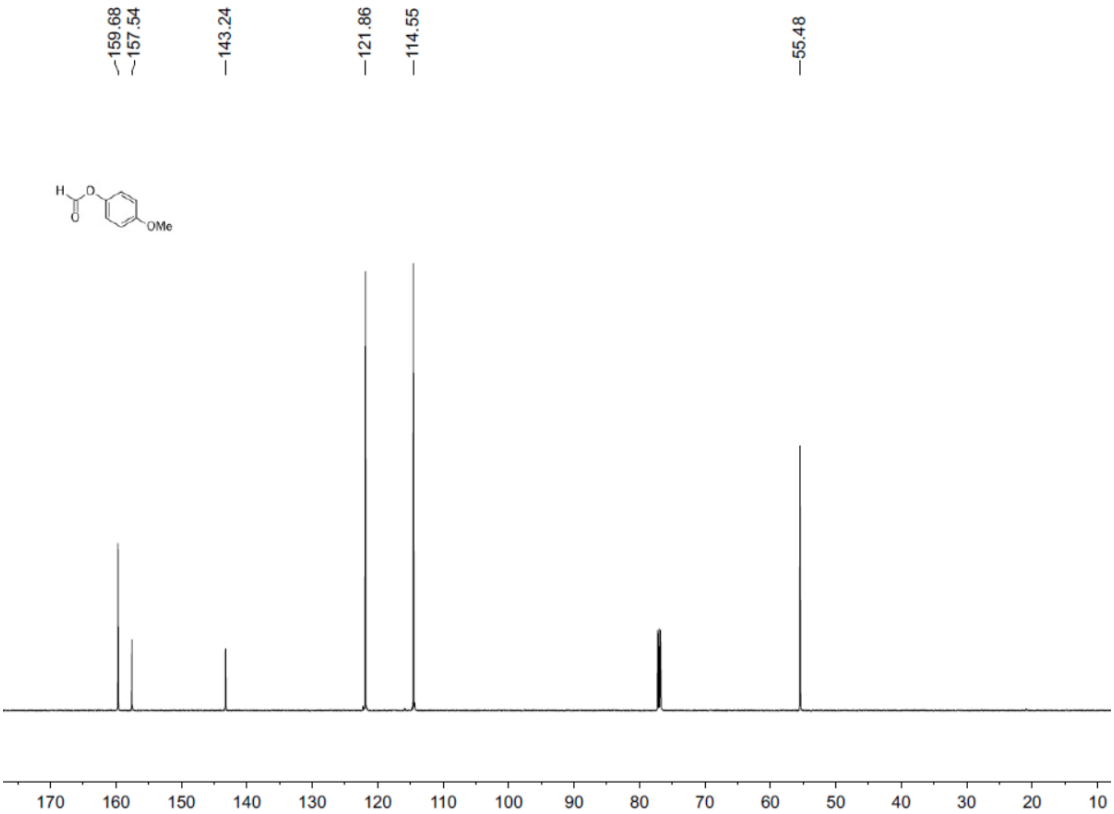
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20
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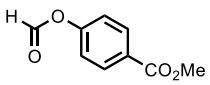

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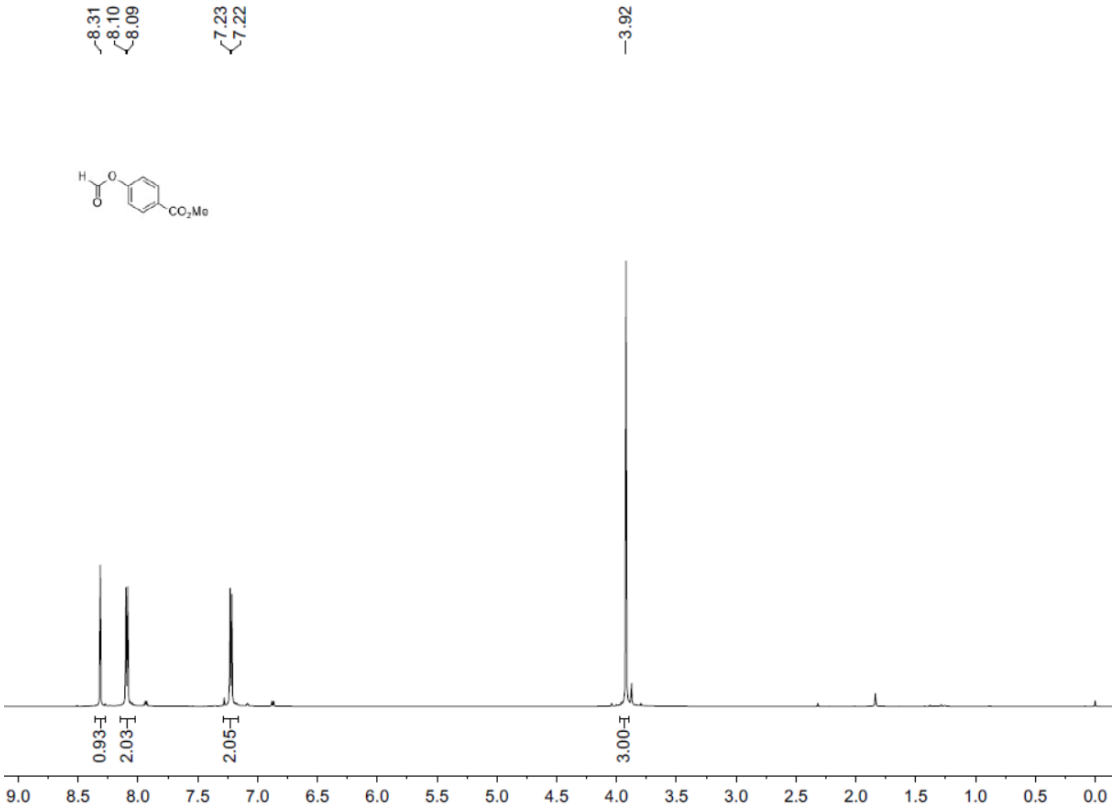
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3.00
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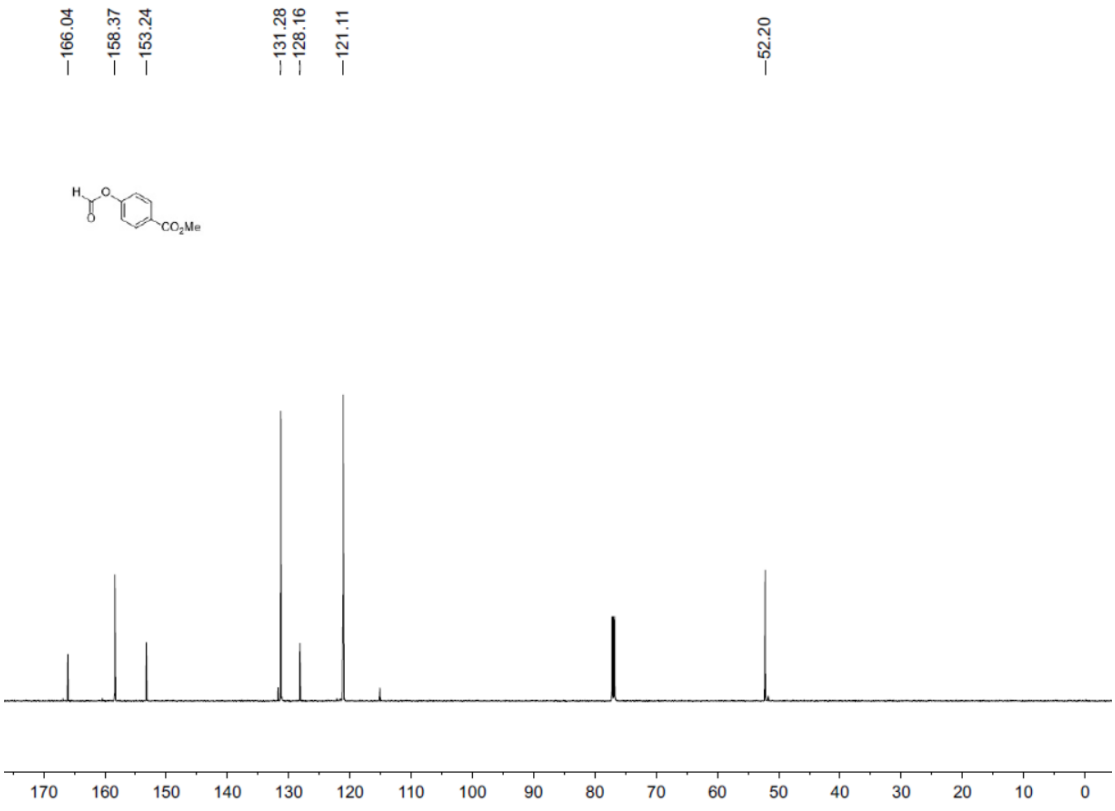
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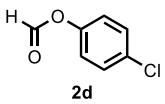

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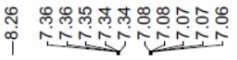
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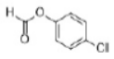

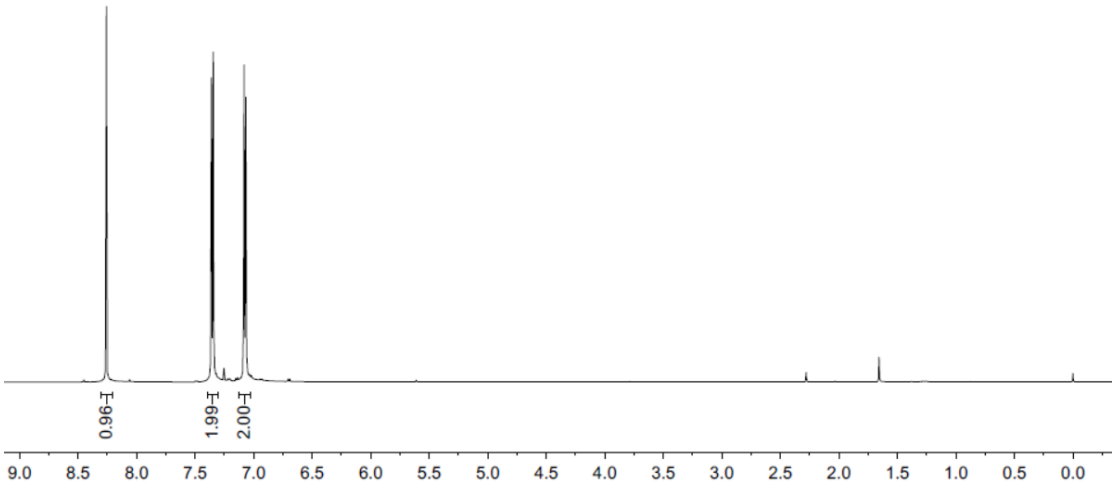
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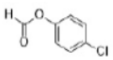

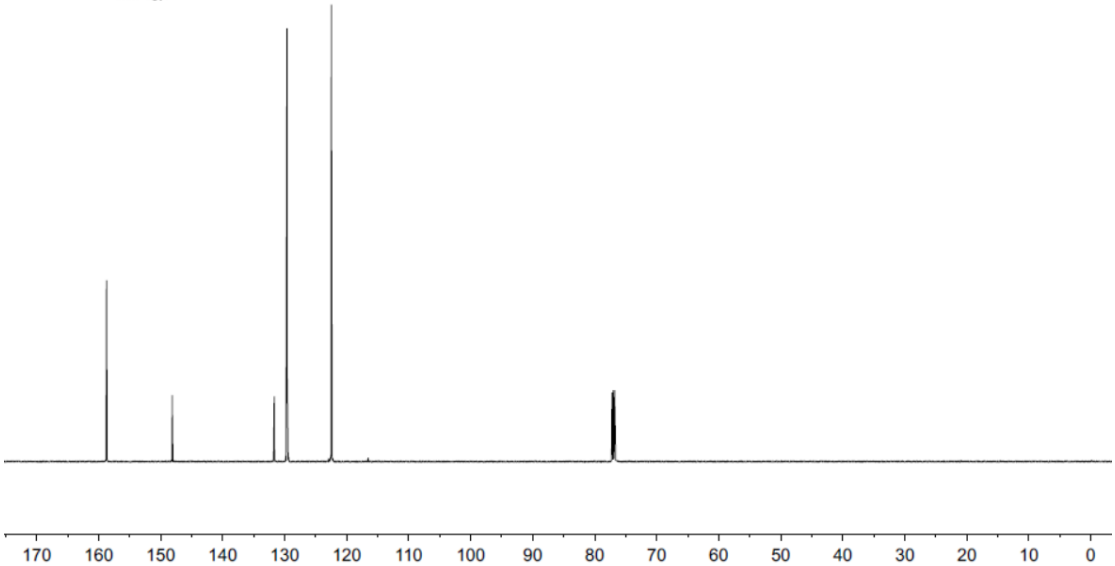
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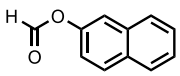

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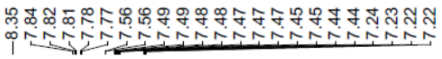
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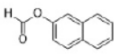

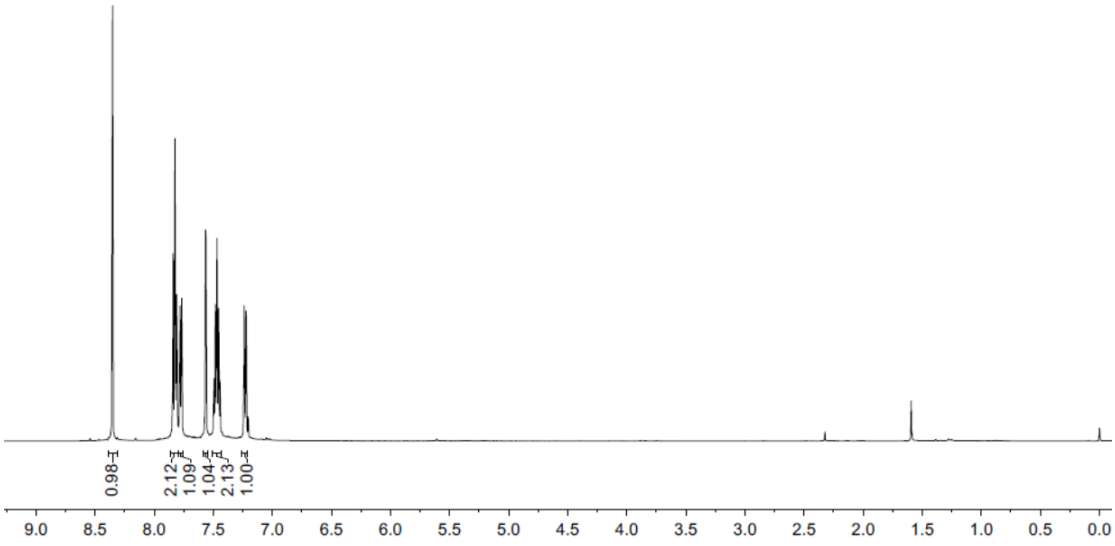
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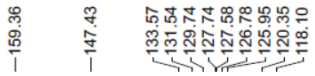
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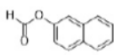

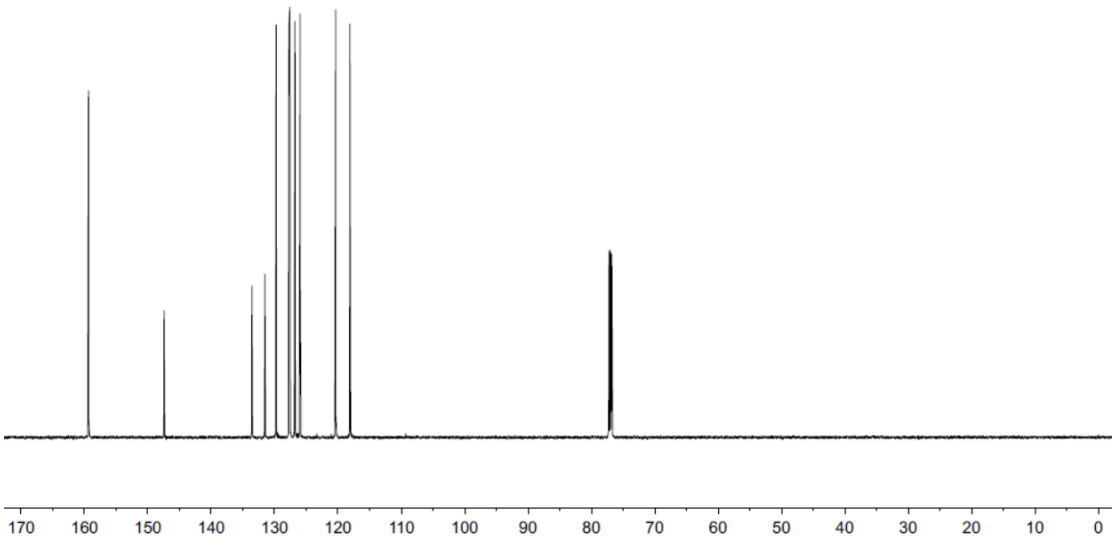
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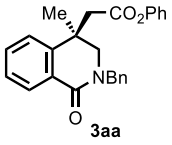
11.2 NMR Spectra of the products



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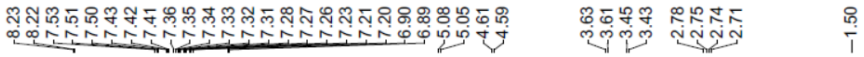

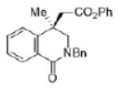

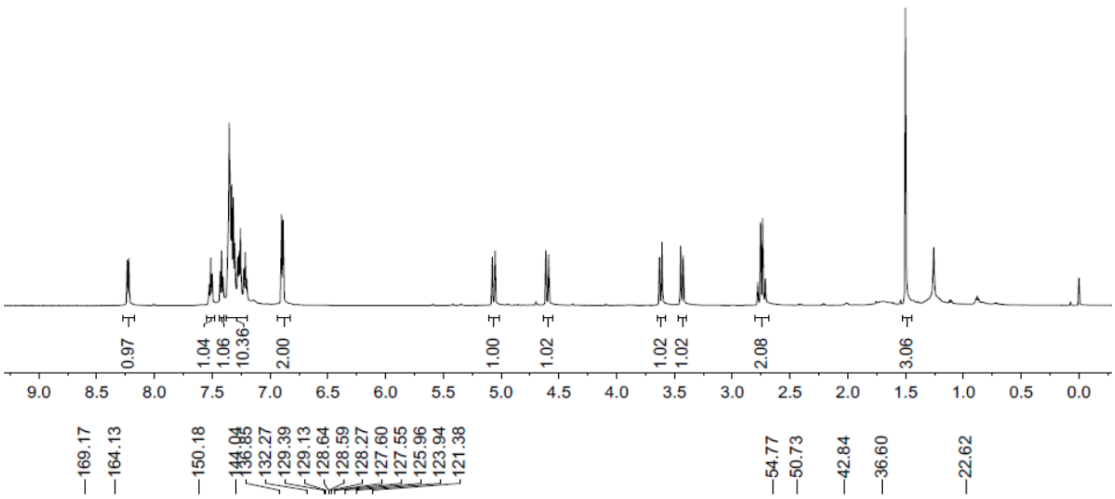

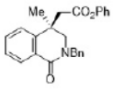

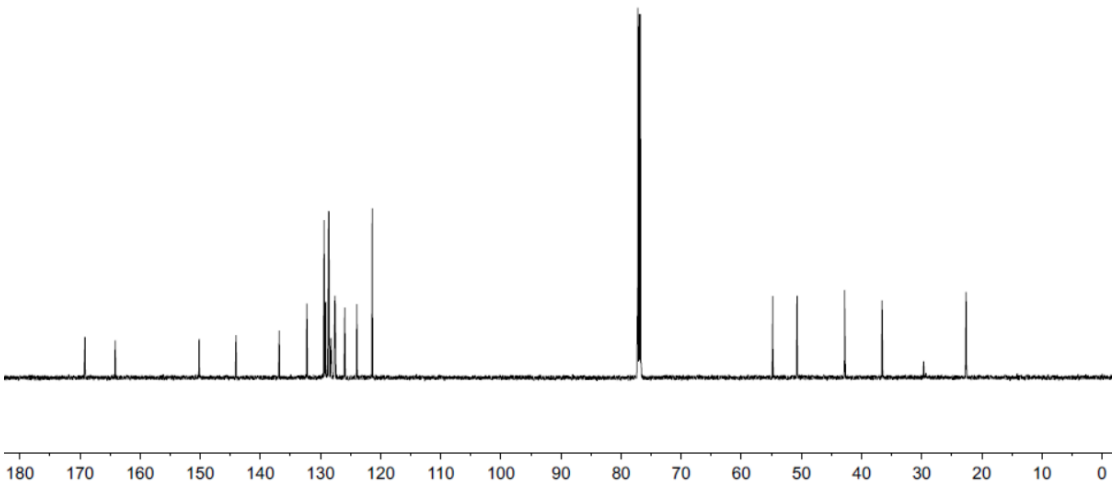

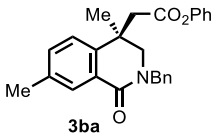
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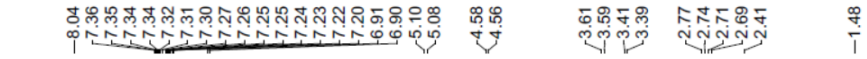
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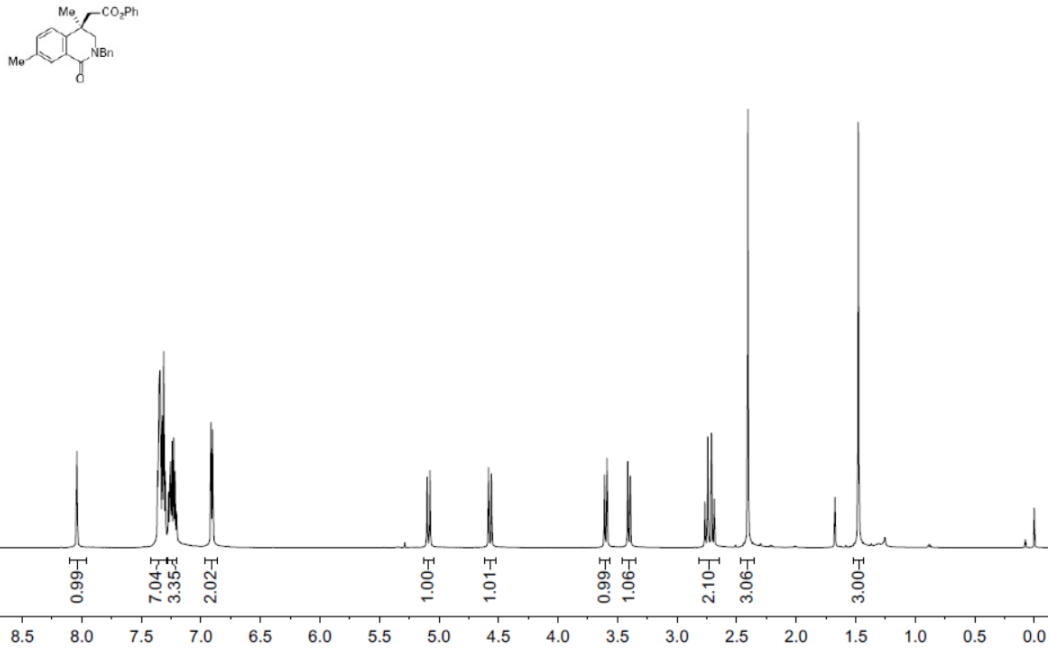
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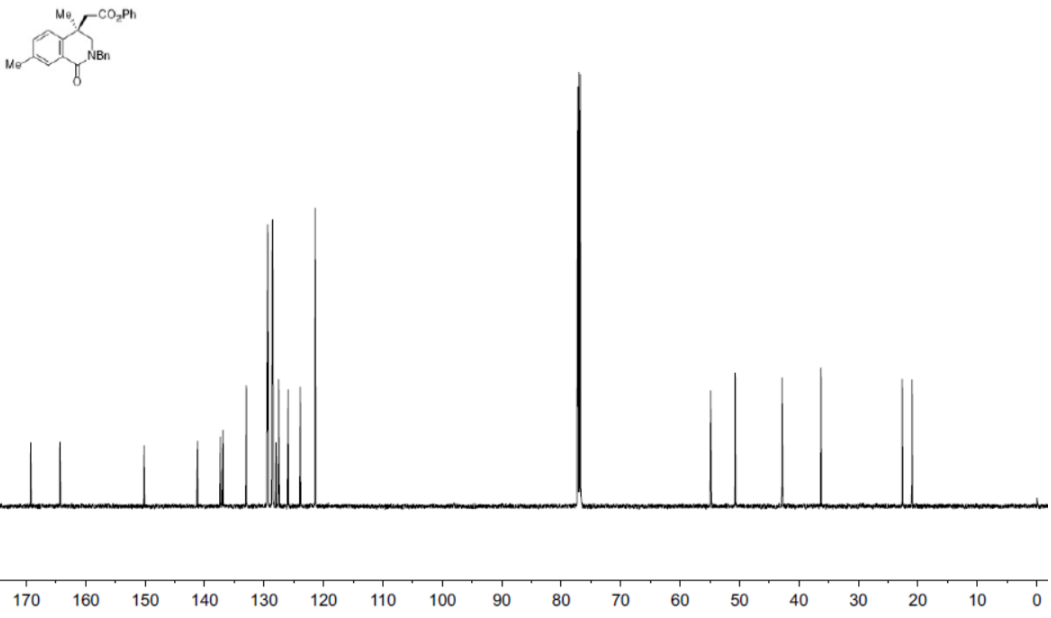
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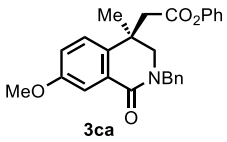
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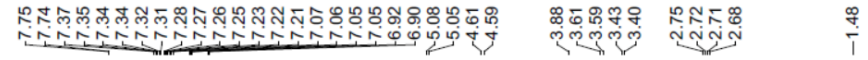
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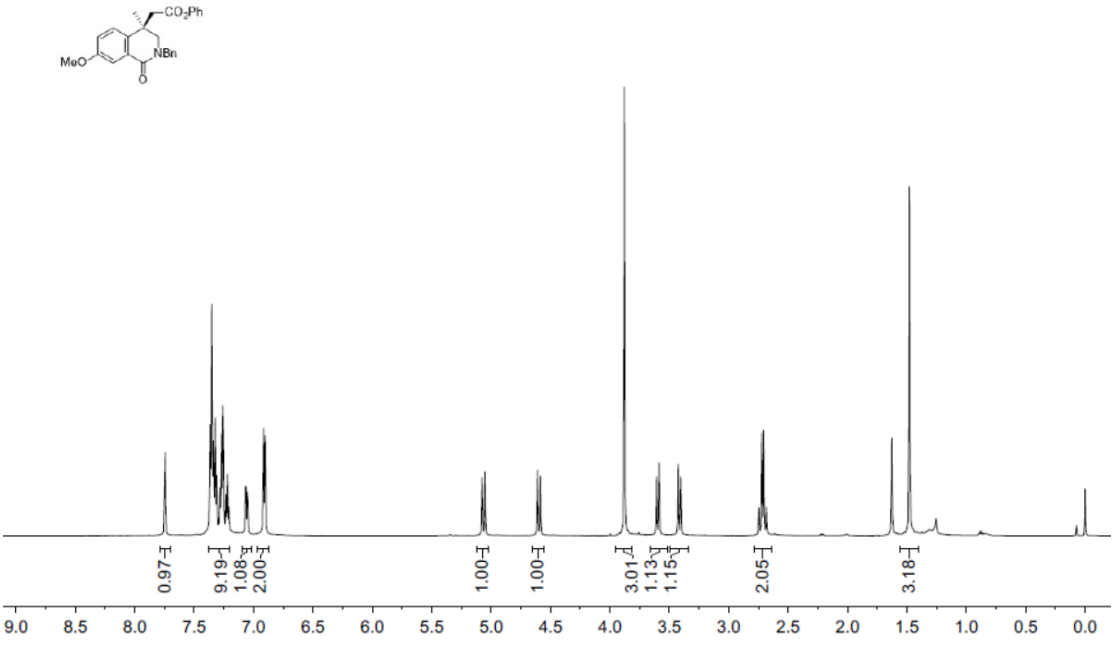
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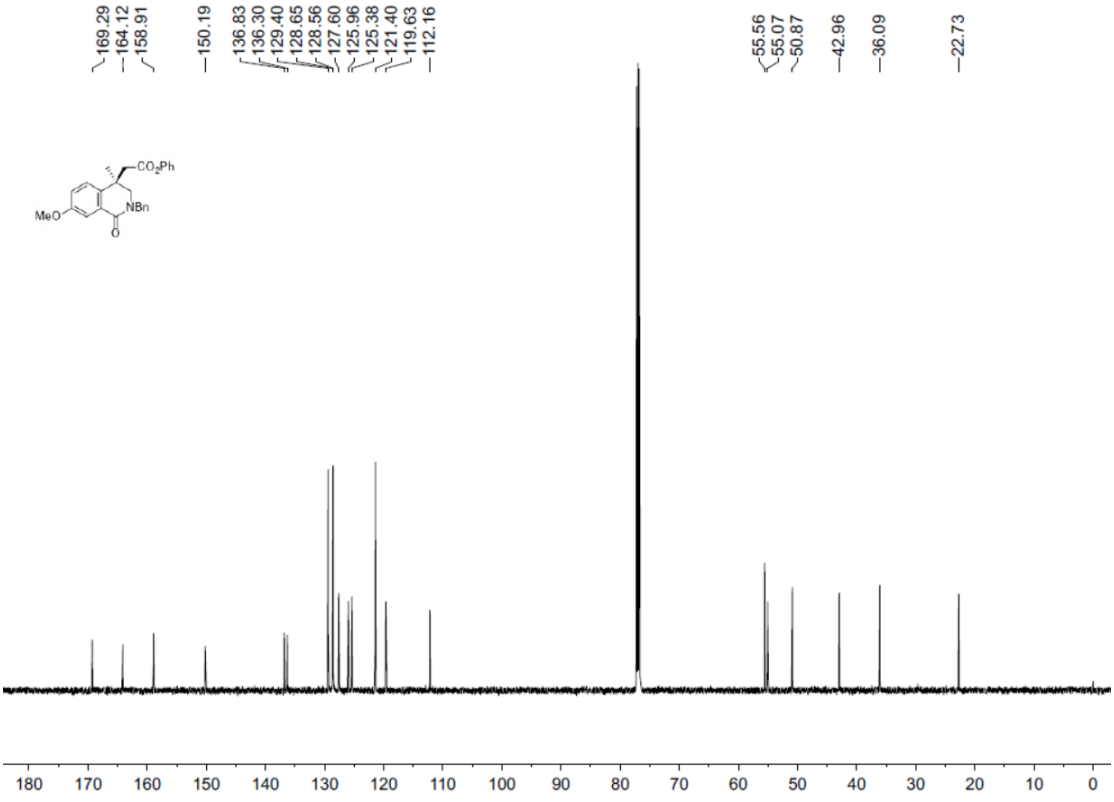
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CO2Ph
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NBn
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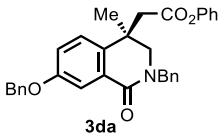
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O

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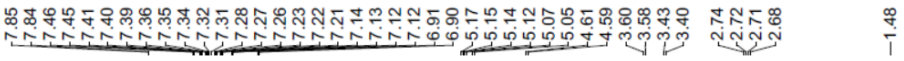
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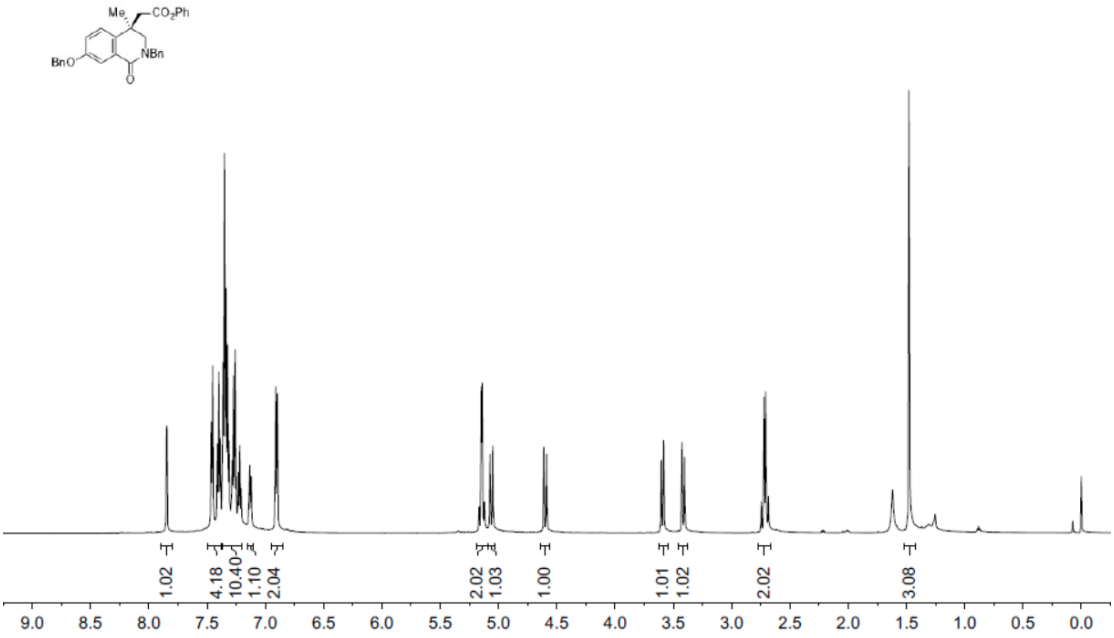
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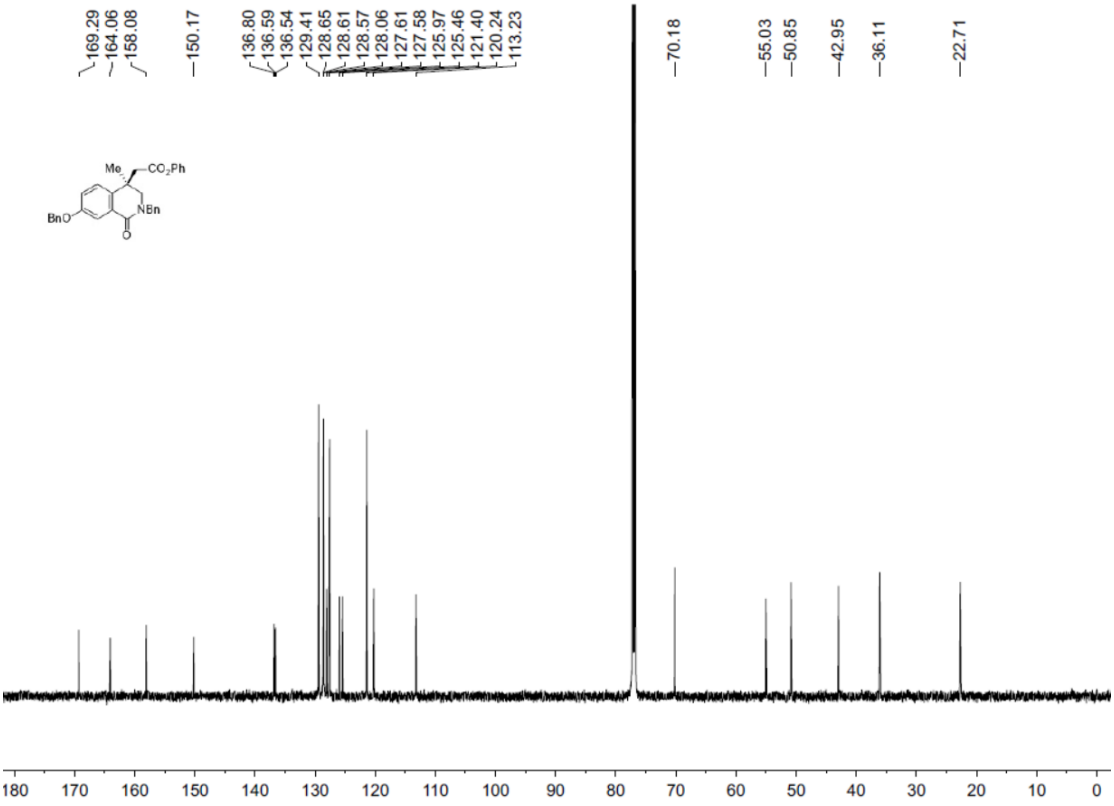
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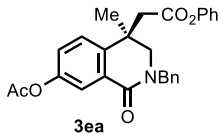
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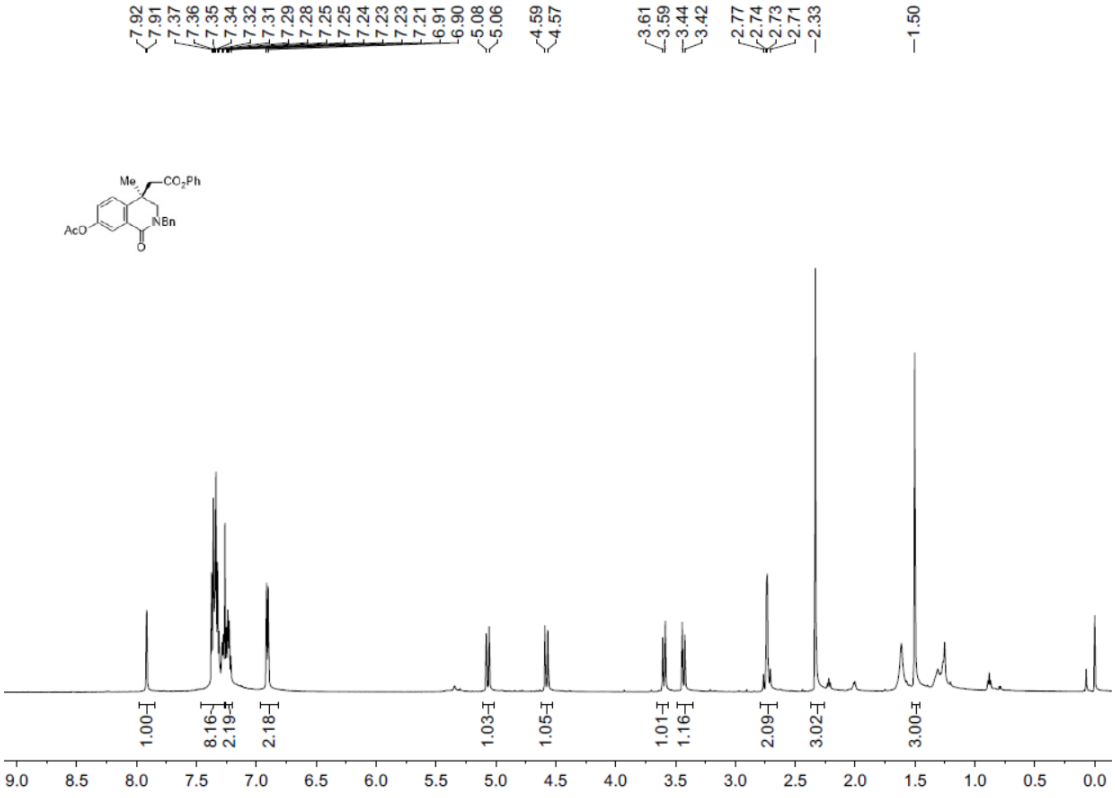
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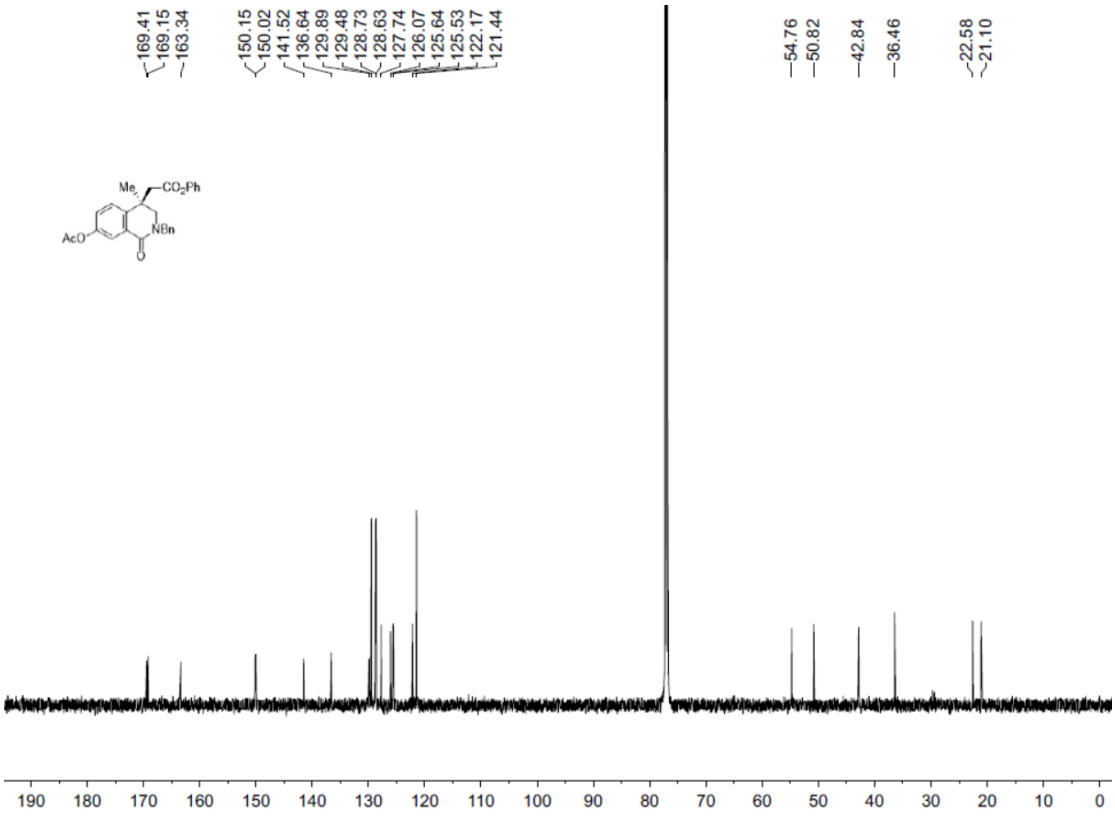
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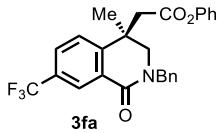
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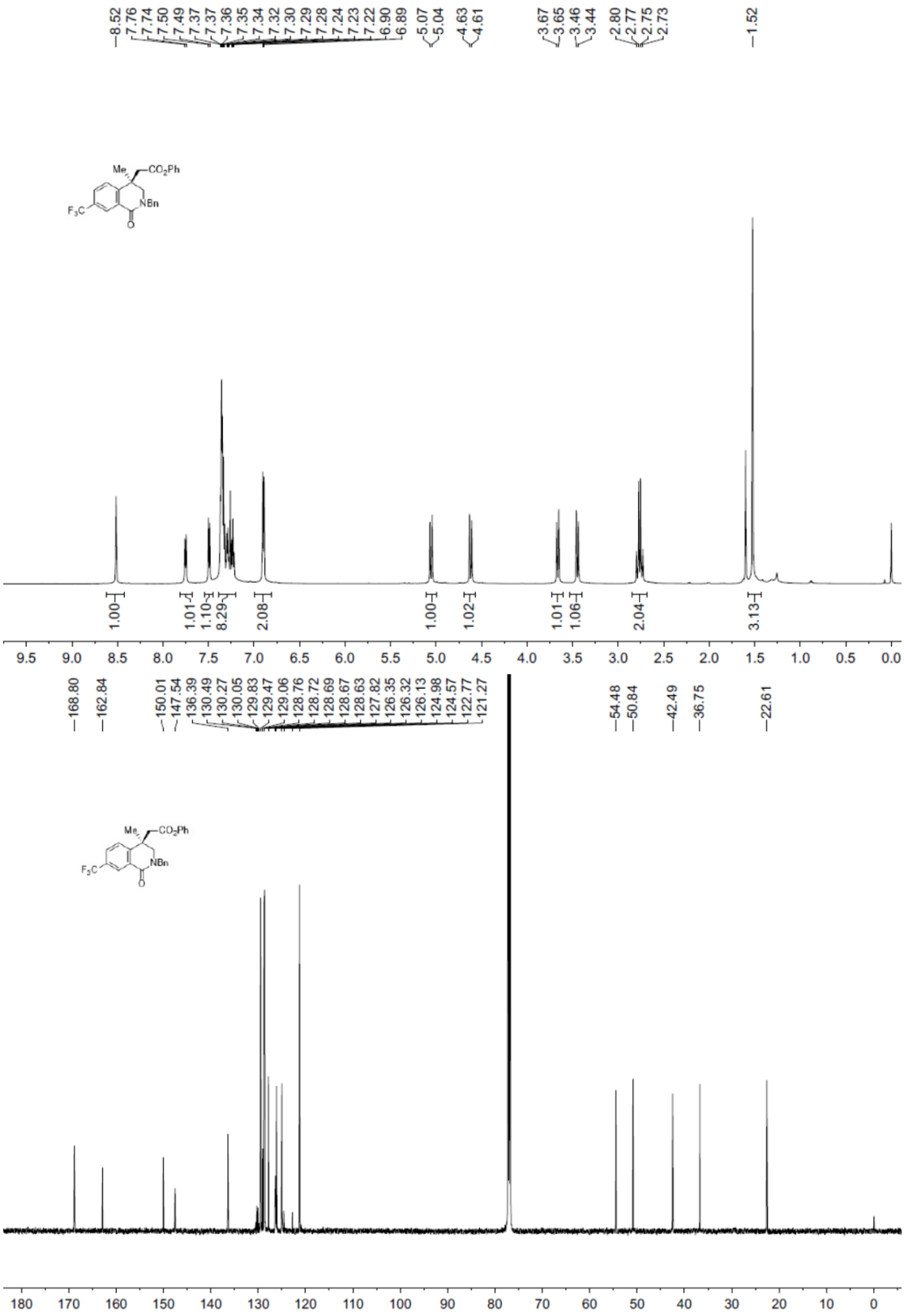
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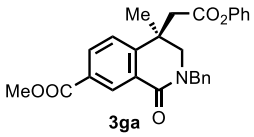
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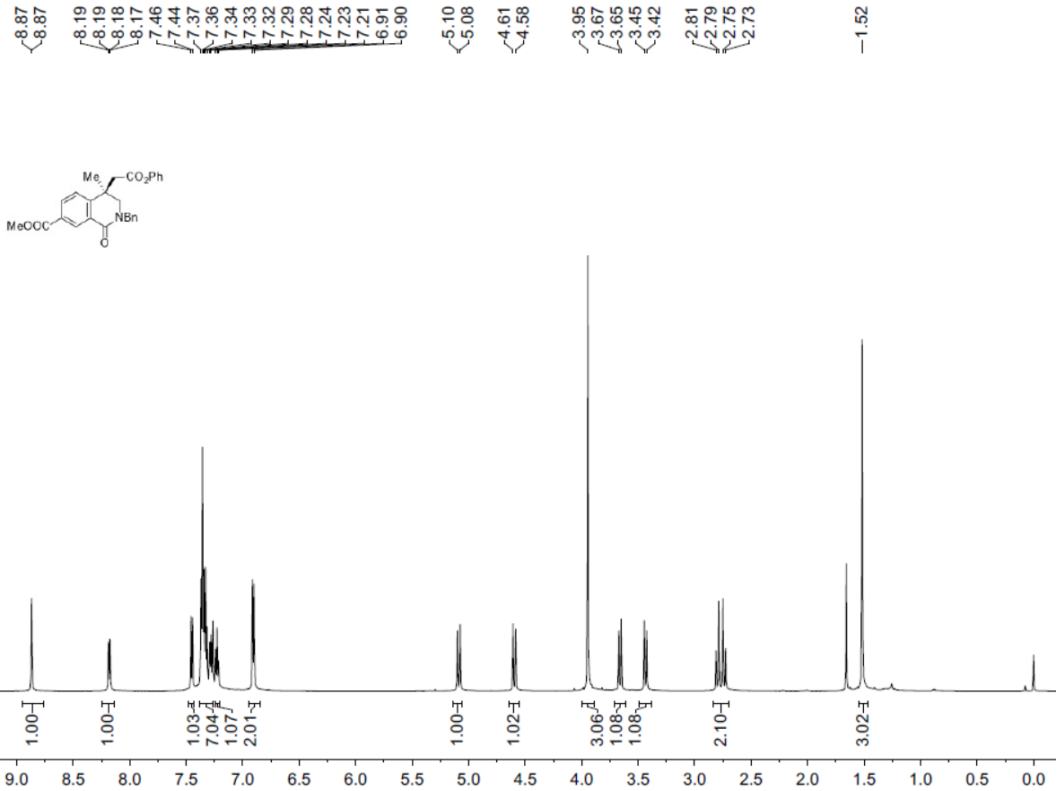
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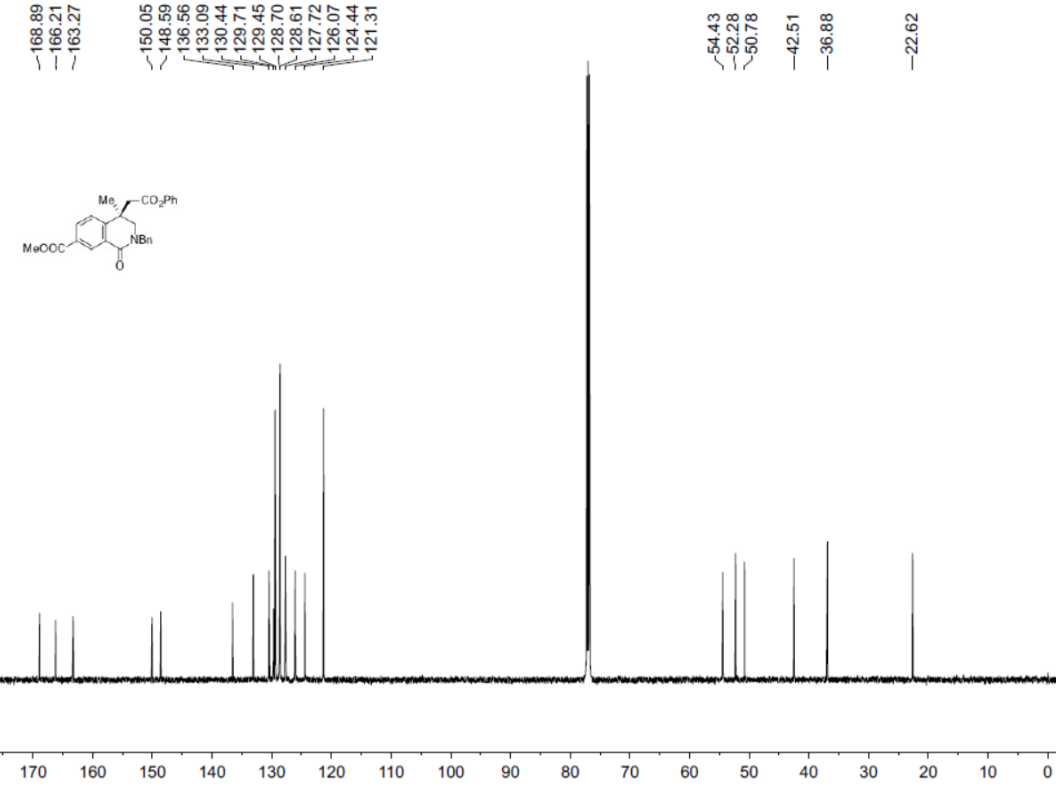
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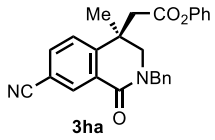
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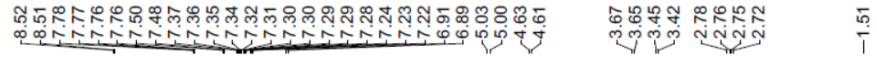
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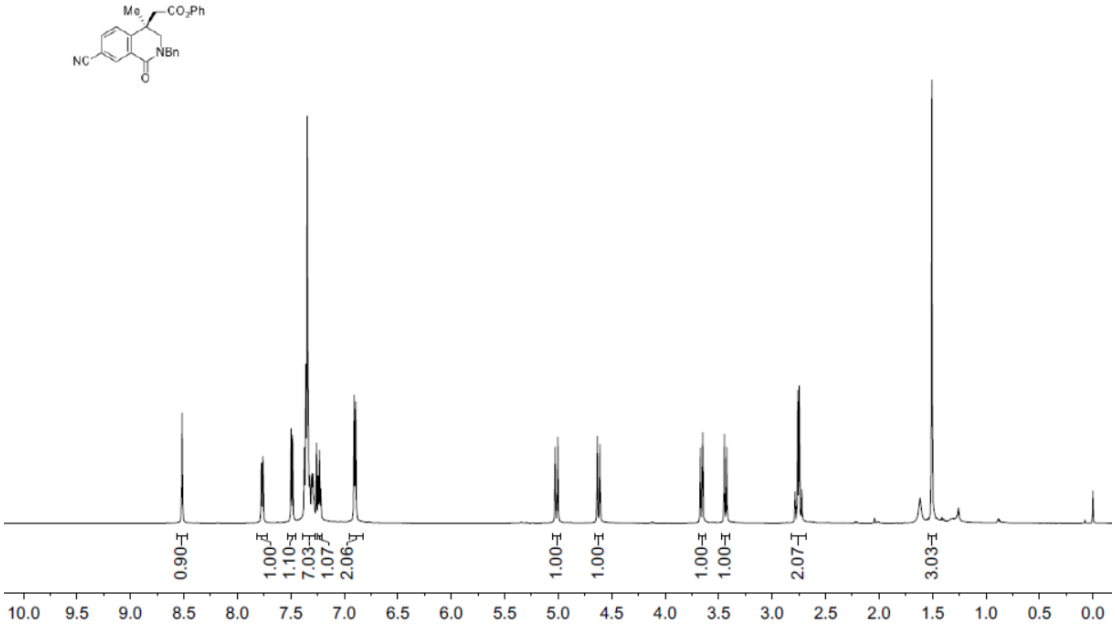
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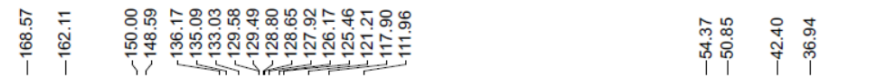
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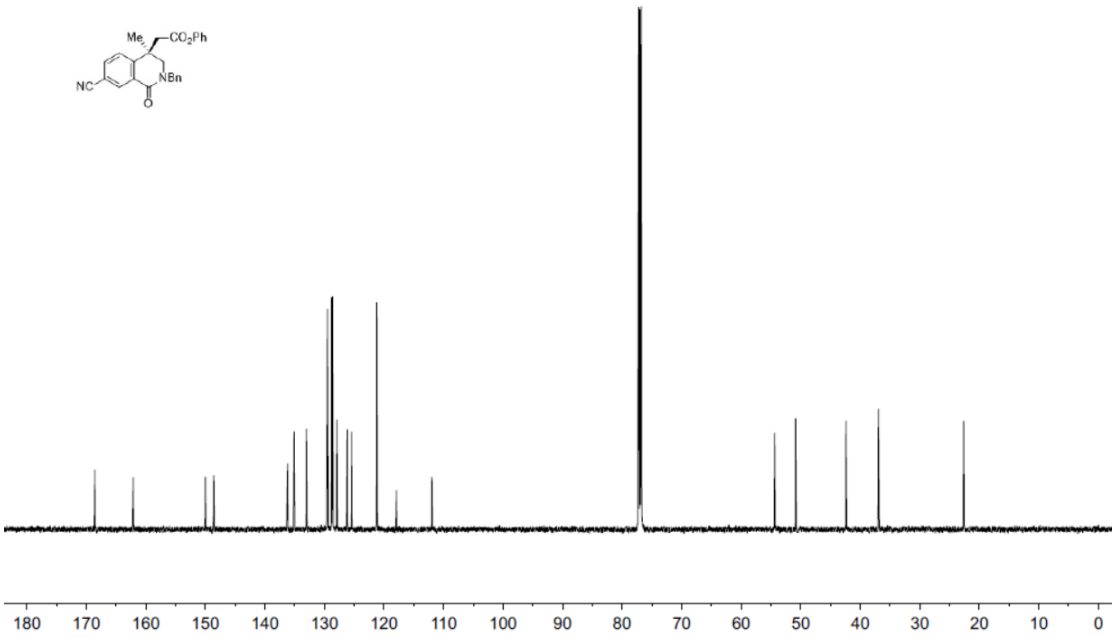
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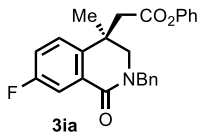
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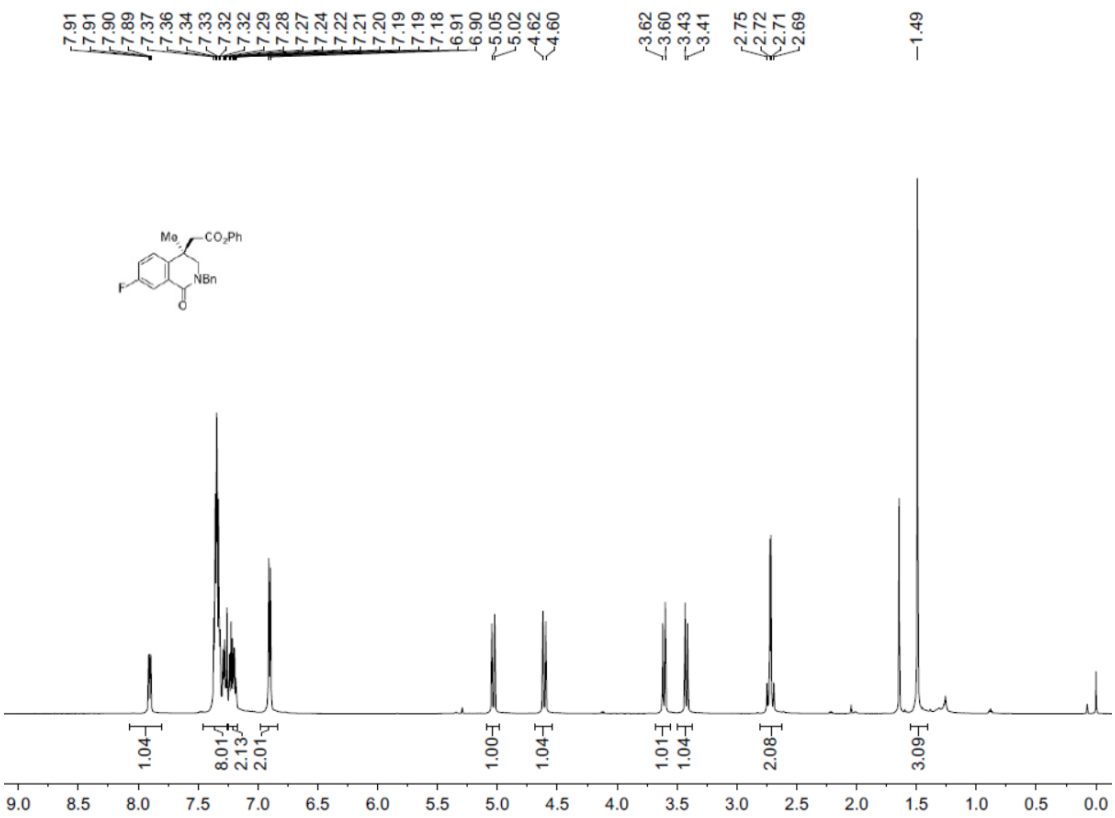
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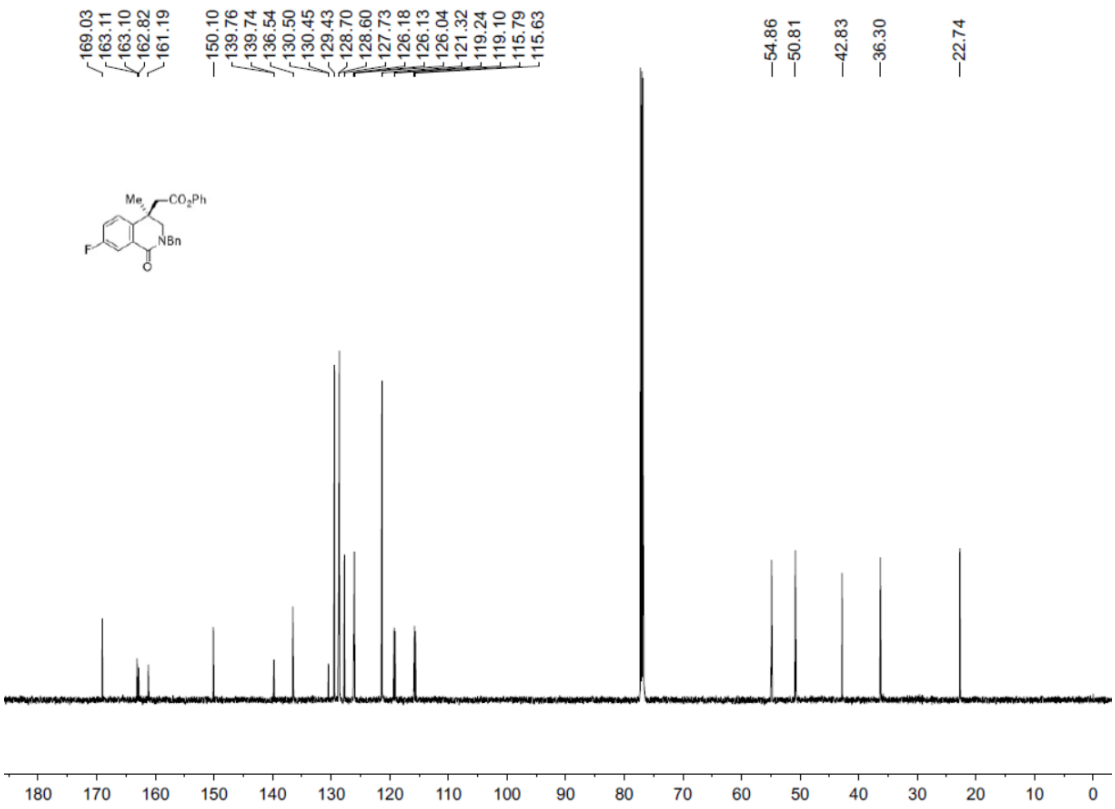
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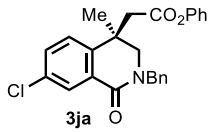
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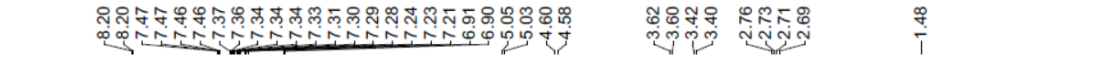
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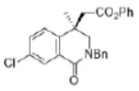
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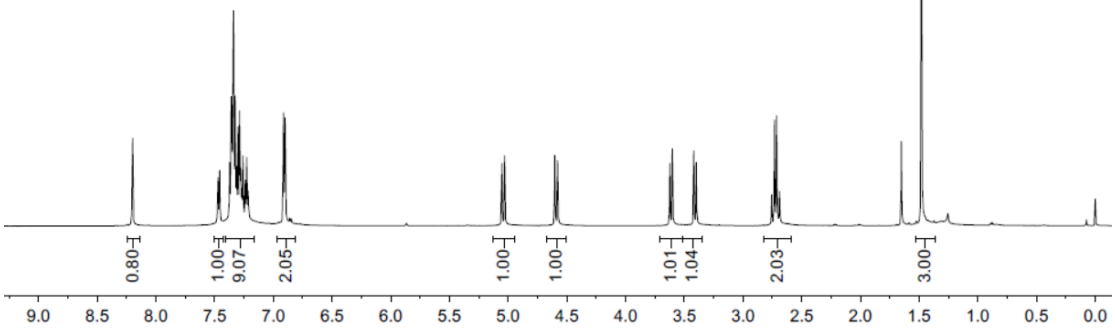
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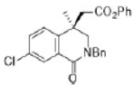
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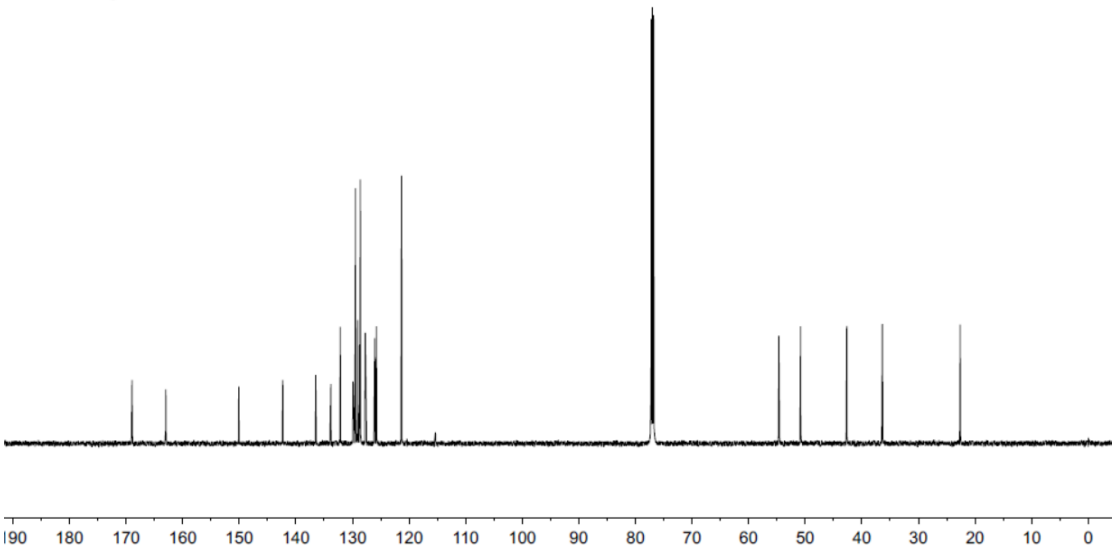
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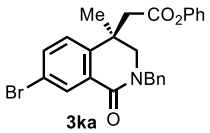
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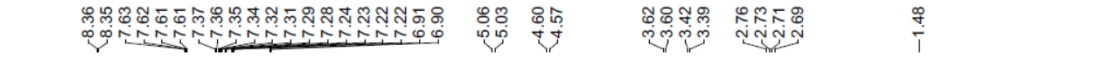
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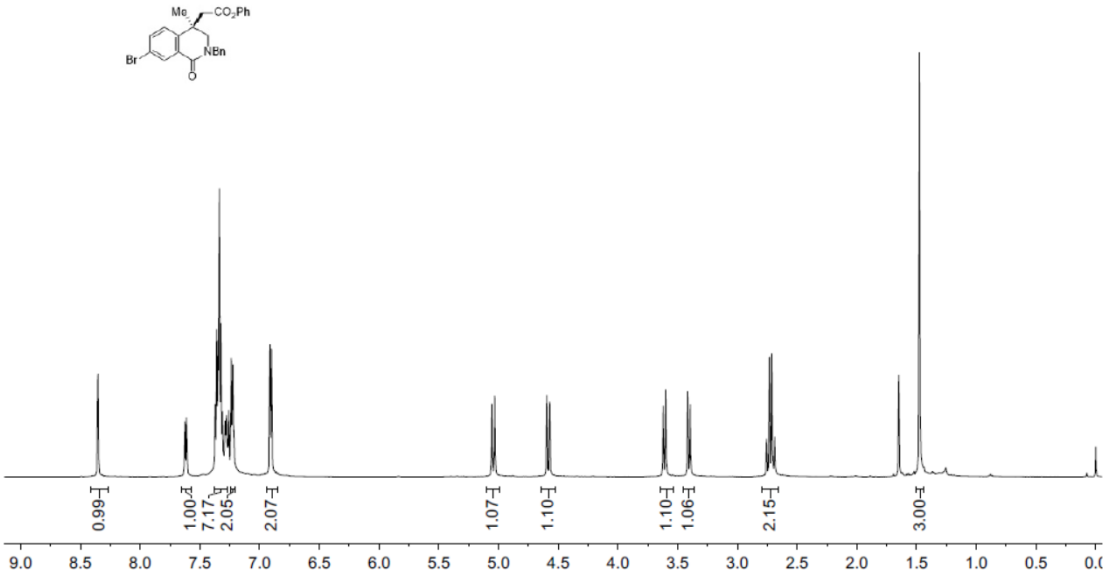
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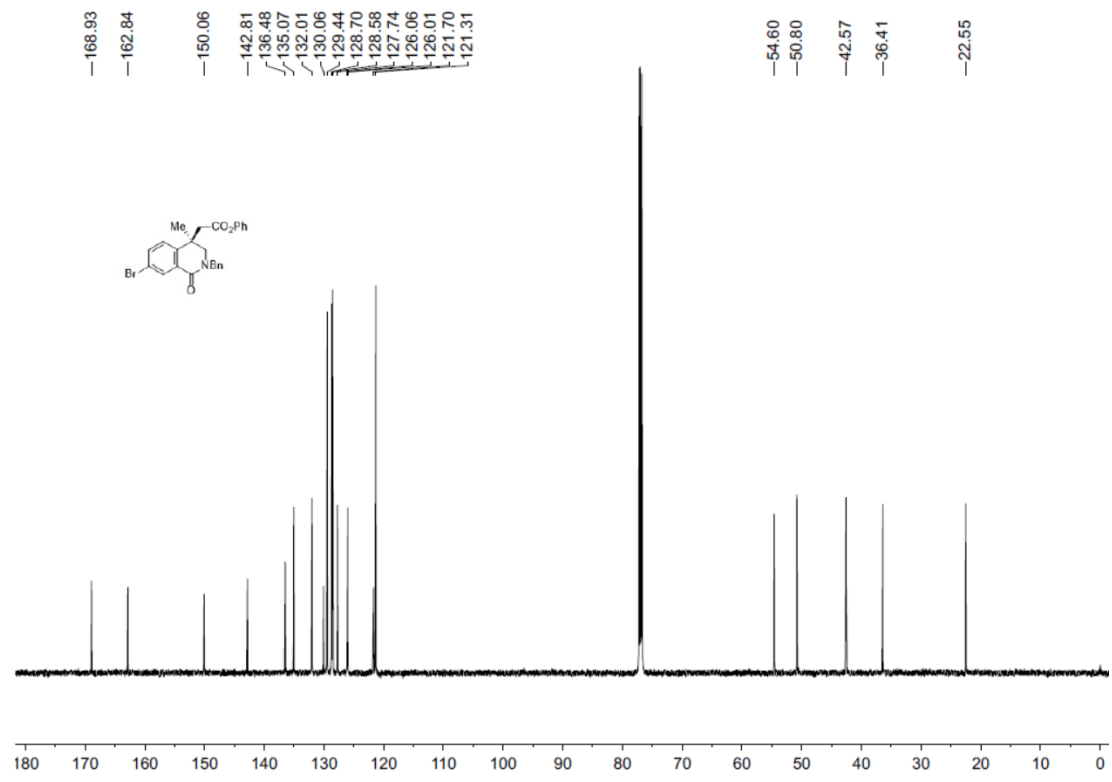
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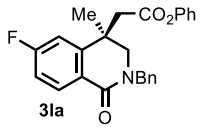
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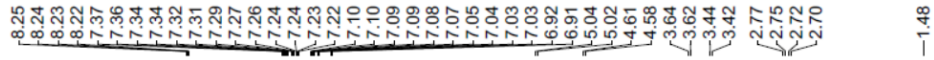
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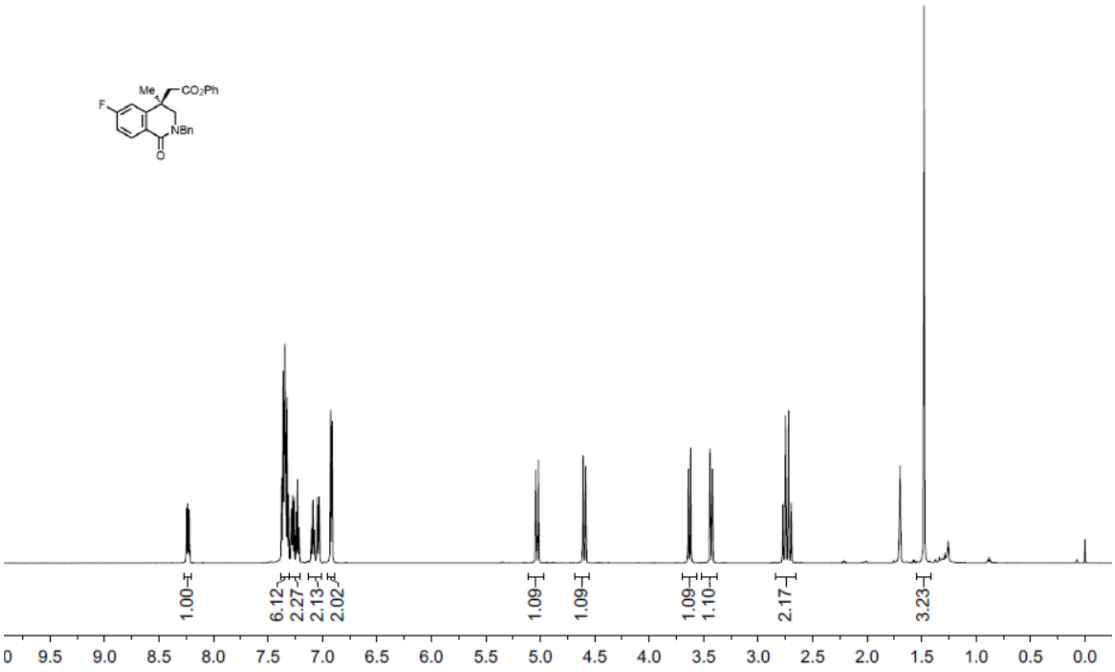
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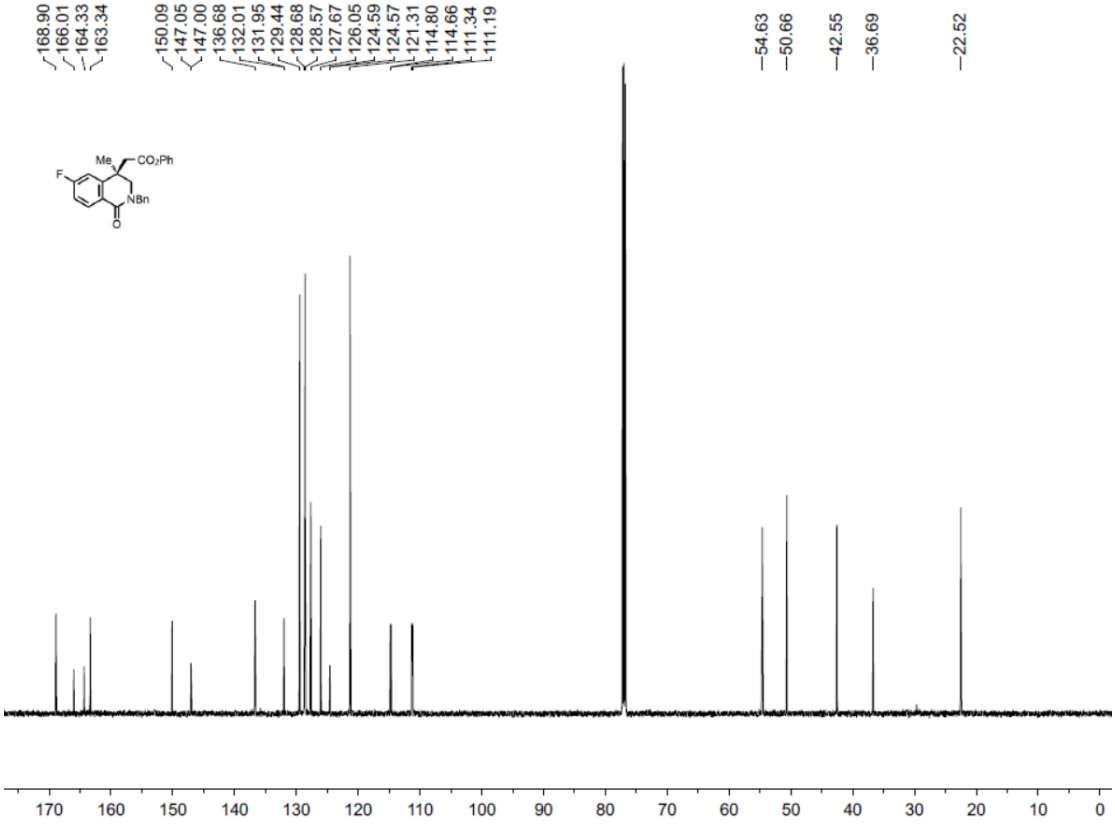
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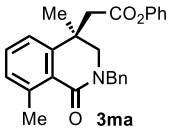
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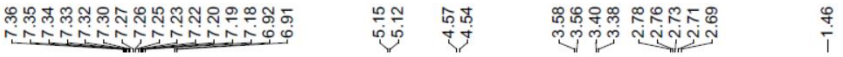
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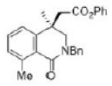
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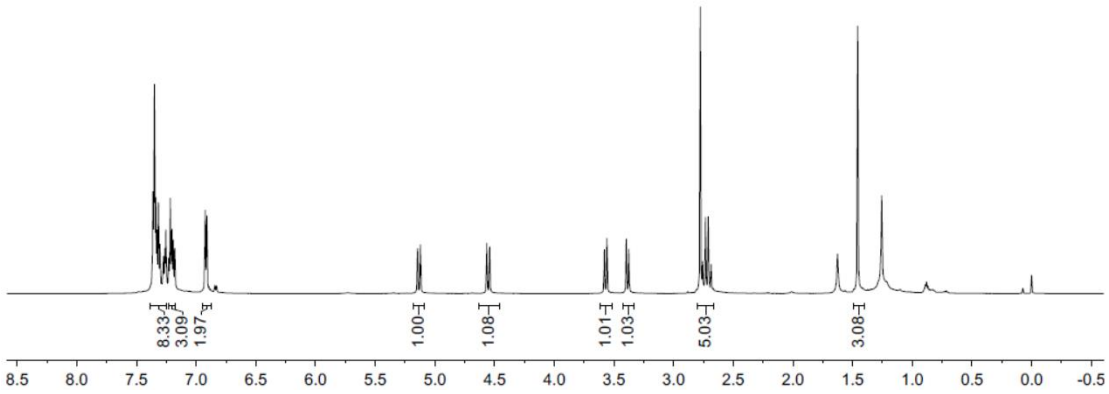
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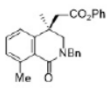
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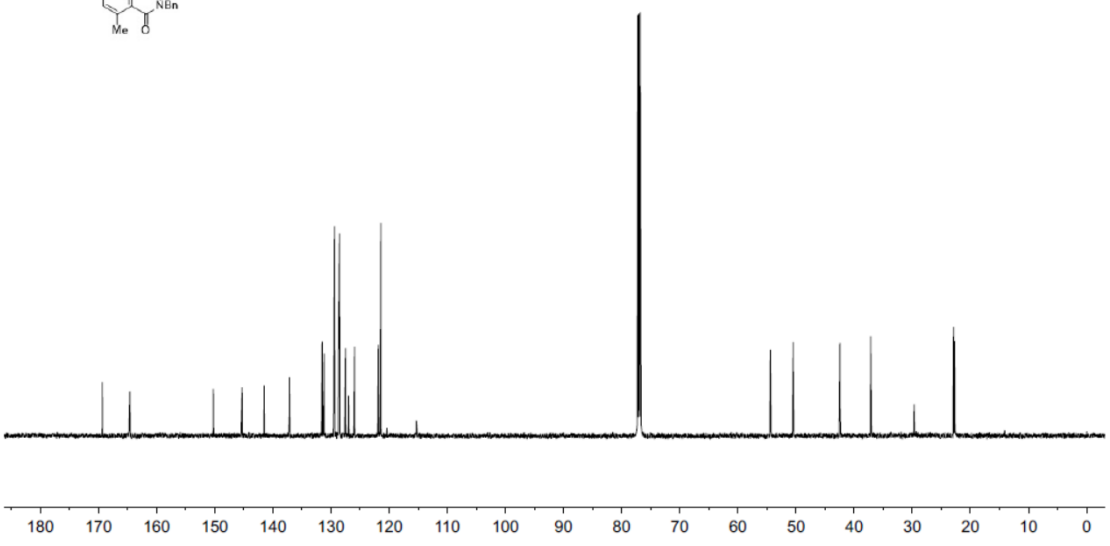
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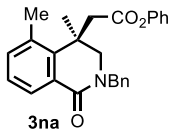
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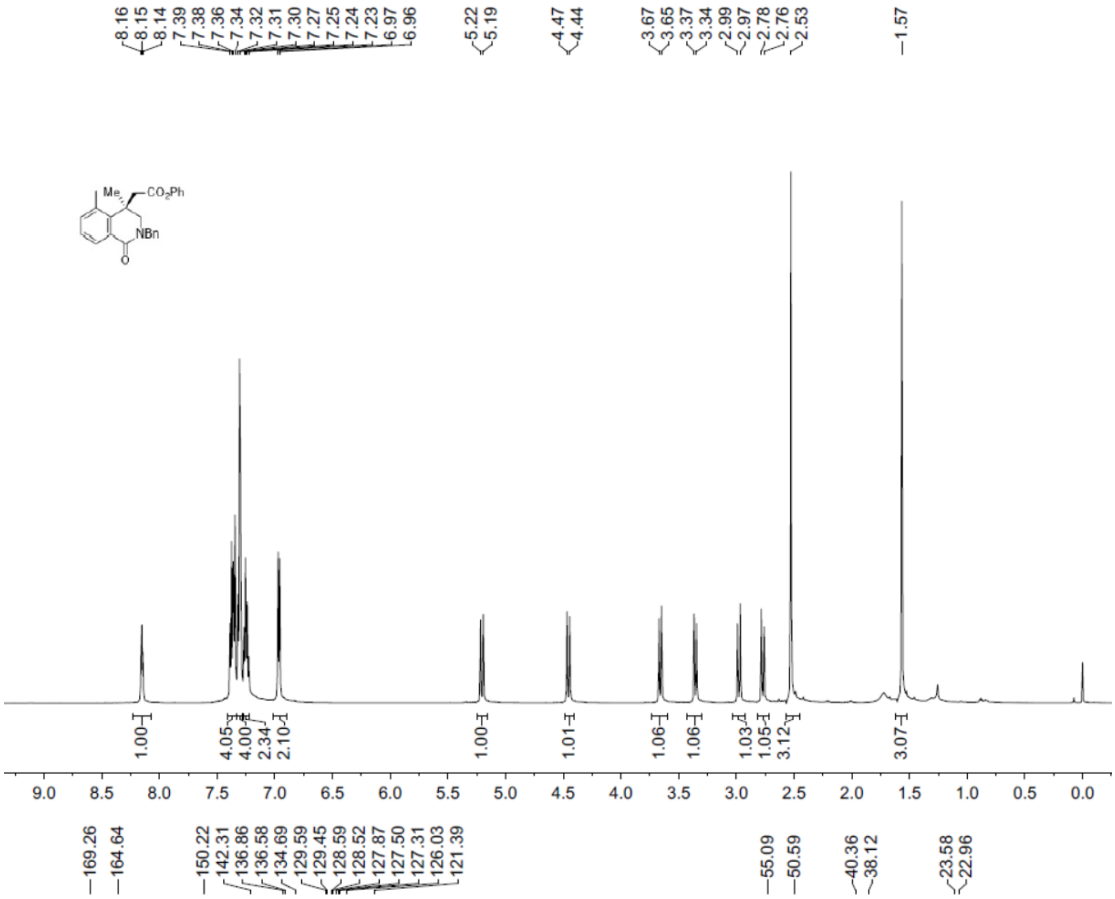
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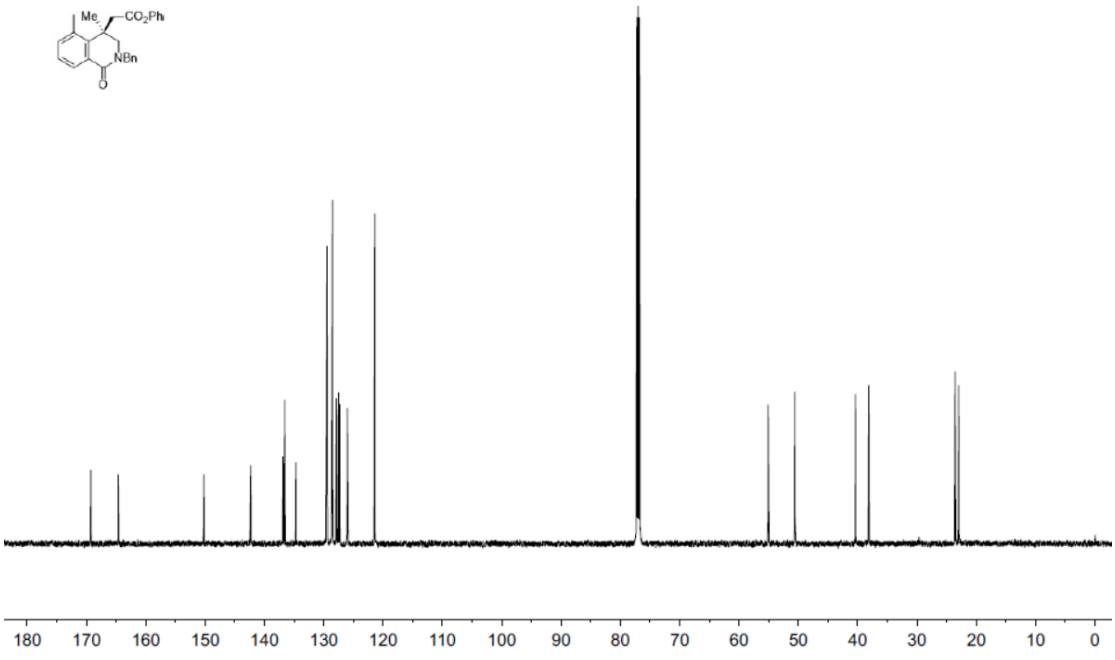
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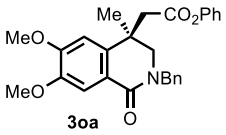
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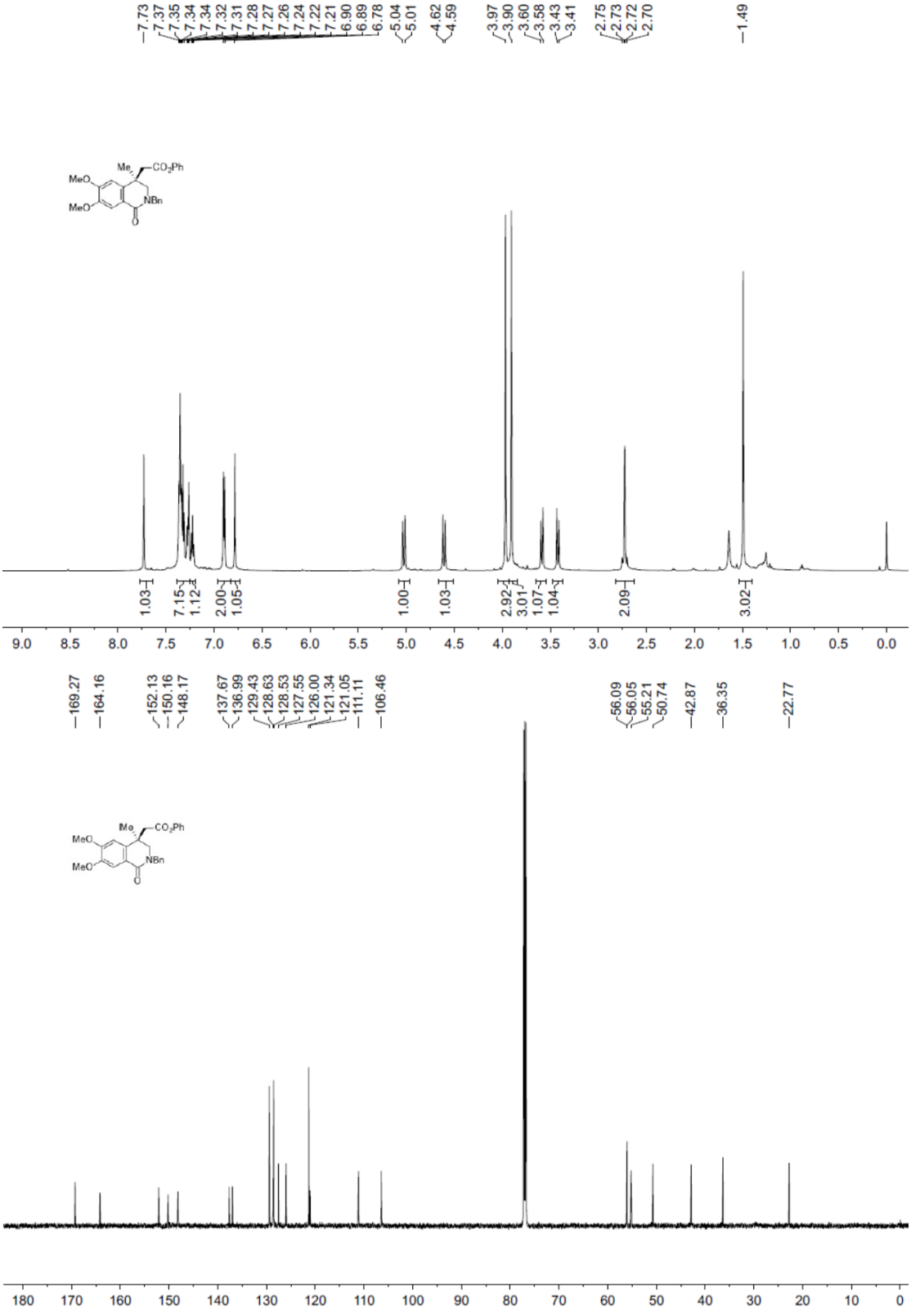
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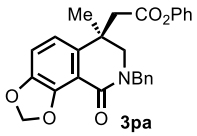
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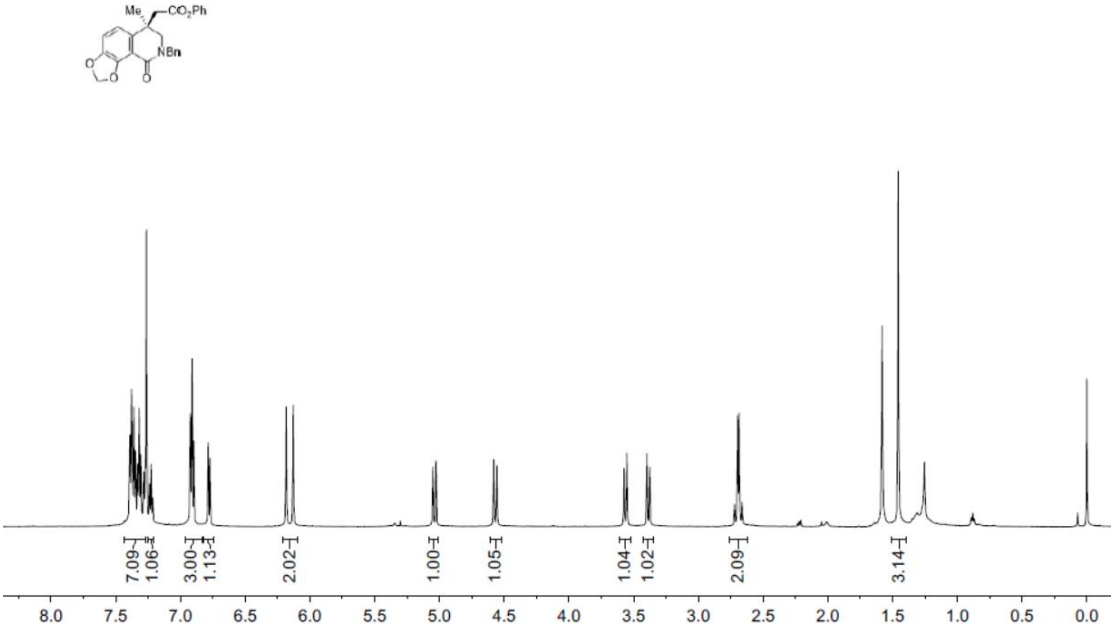
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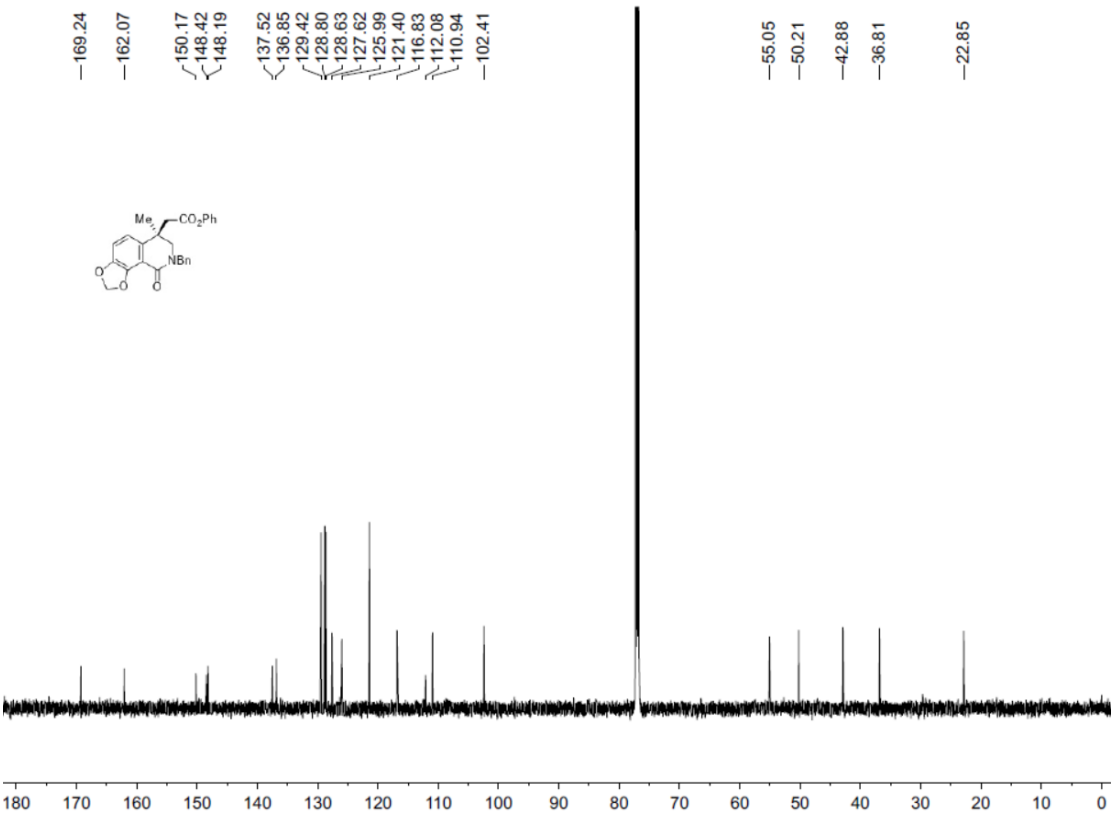
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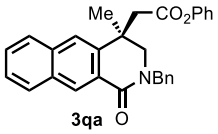
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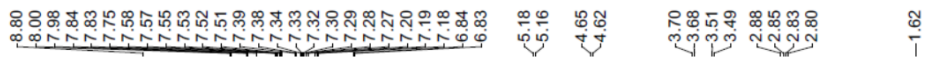
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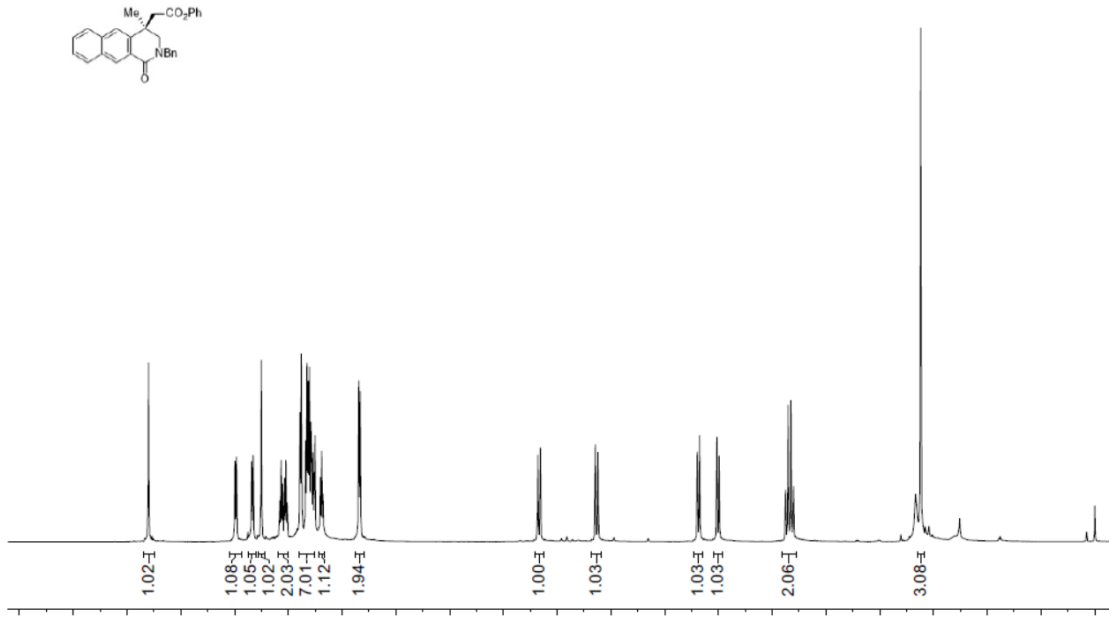
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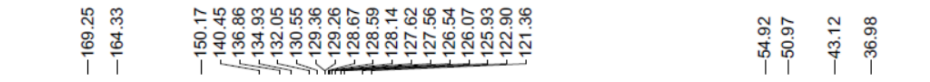
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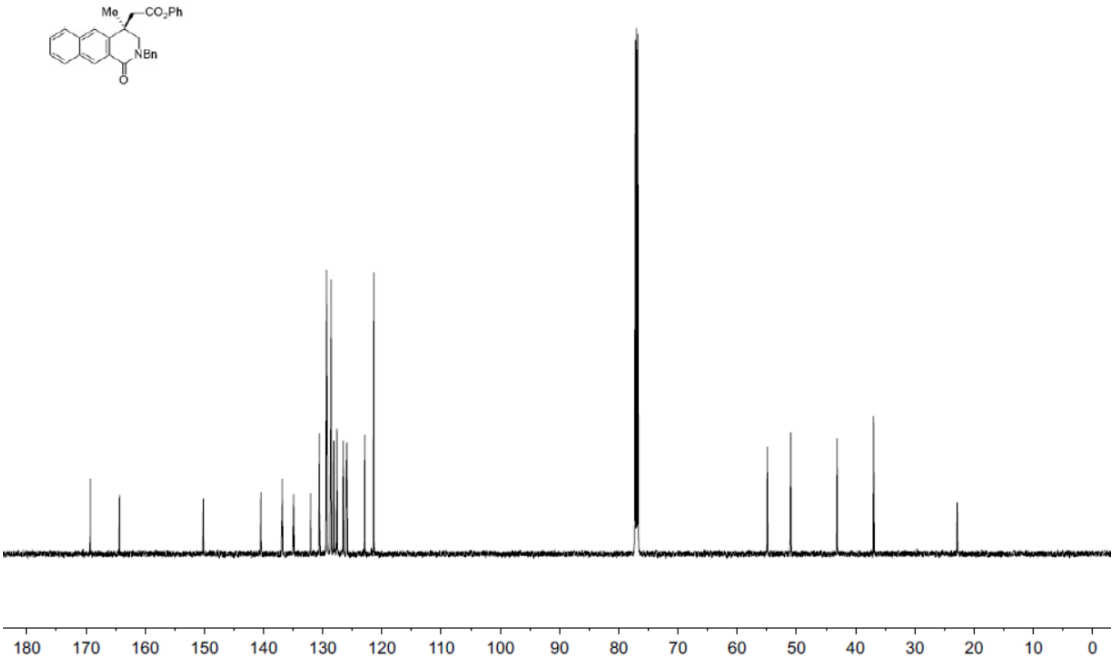
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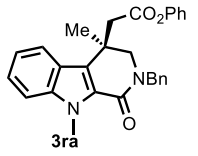
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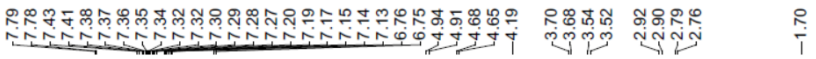
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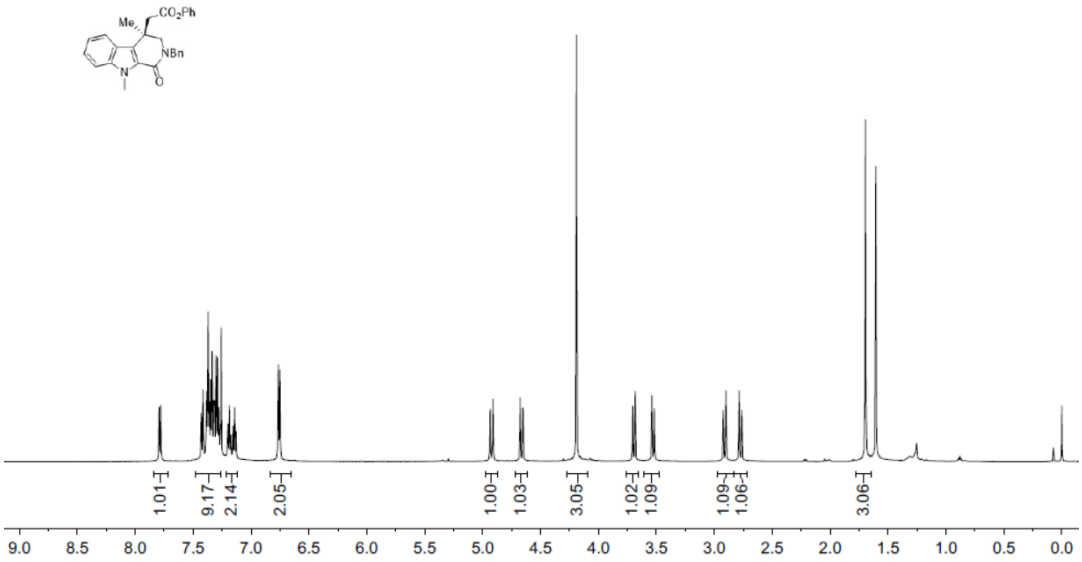
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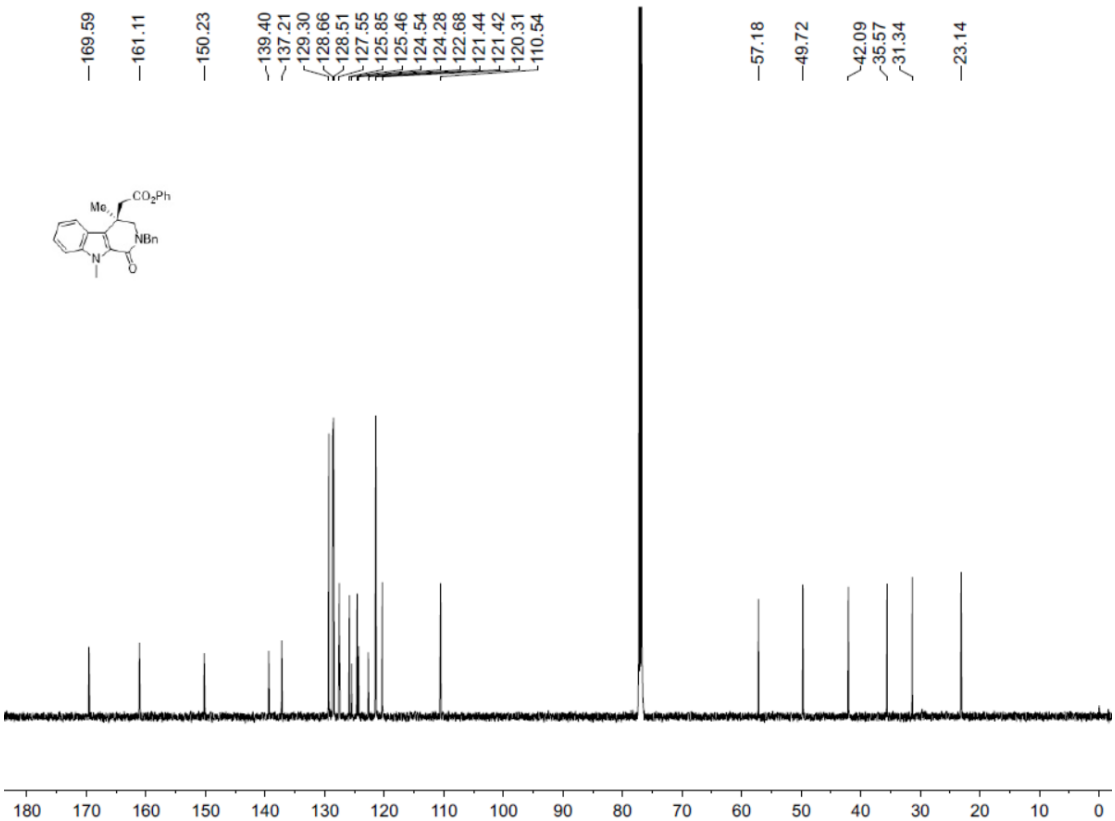
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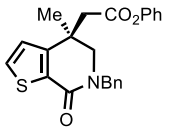
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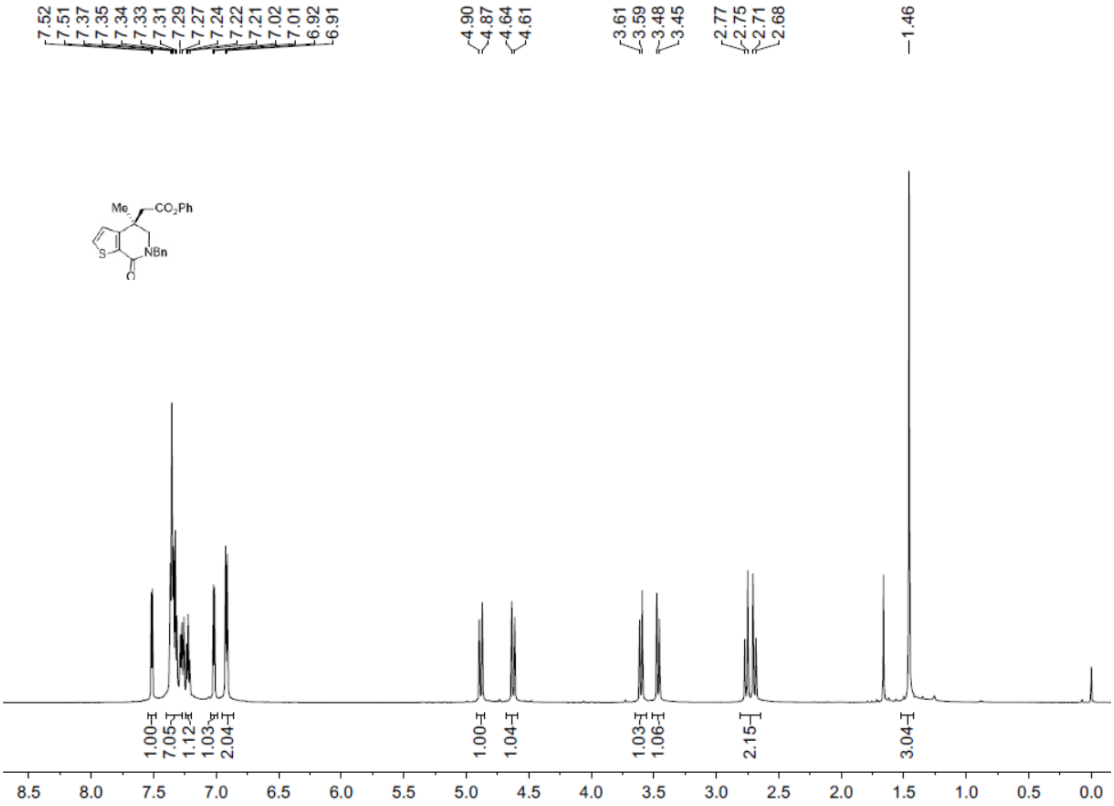
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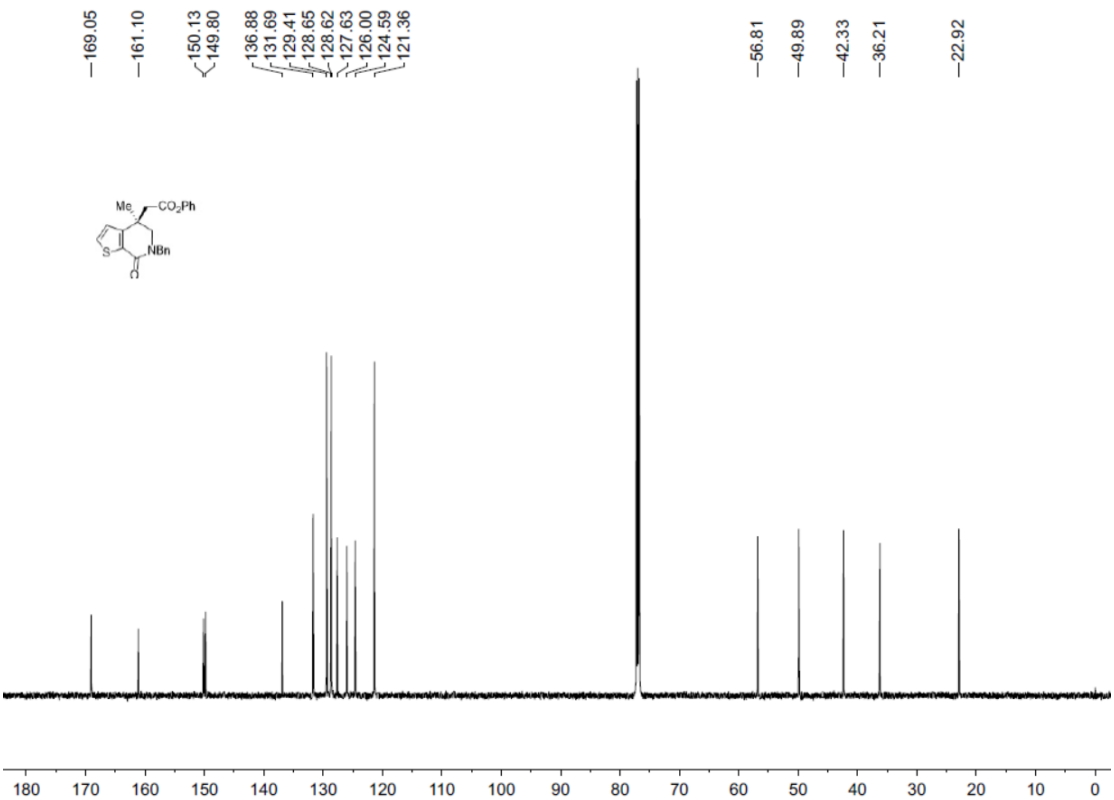
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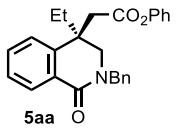
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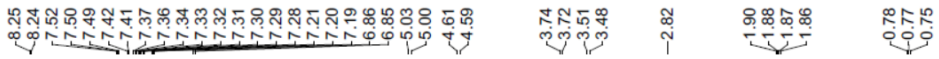
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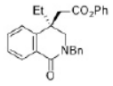
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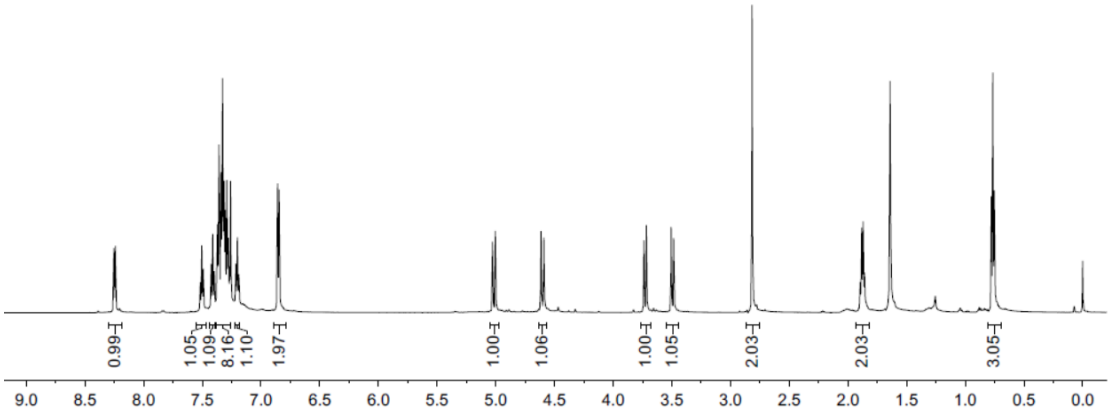
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Et
CO2Ph
NBn
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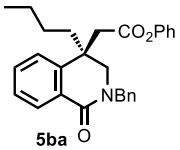
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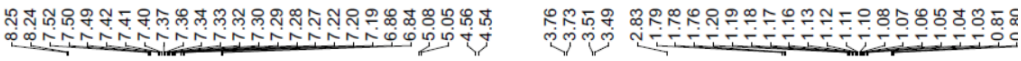
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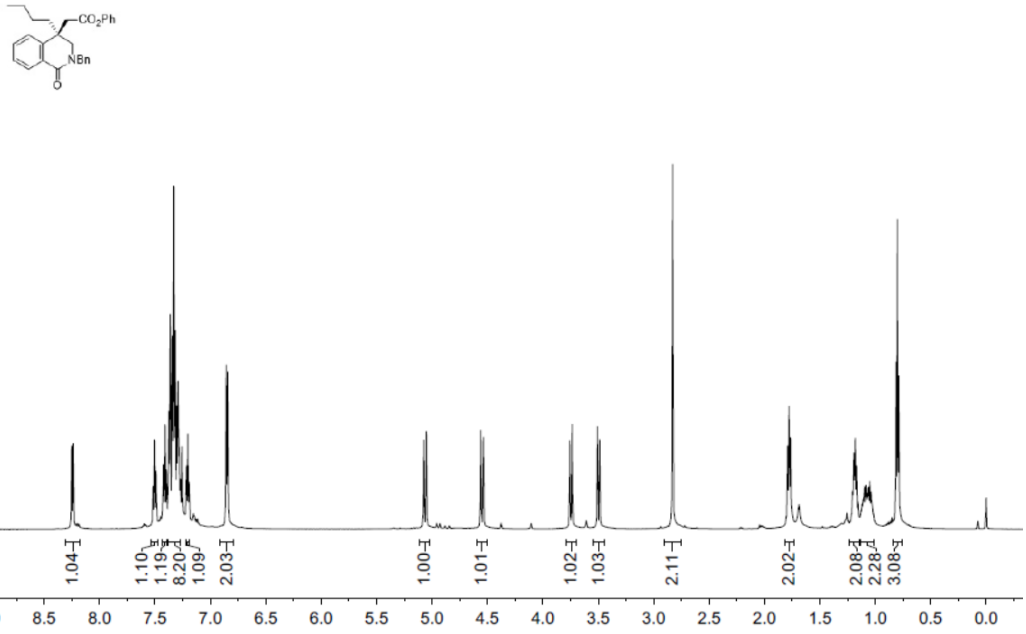
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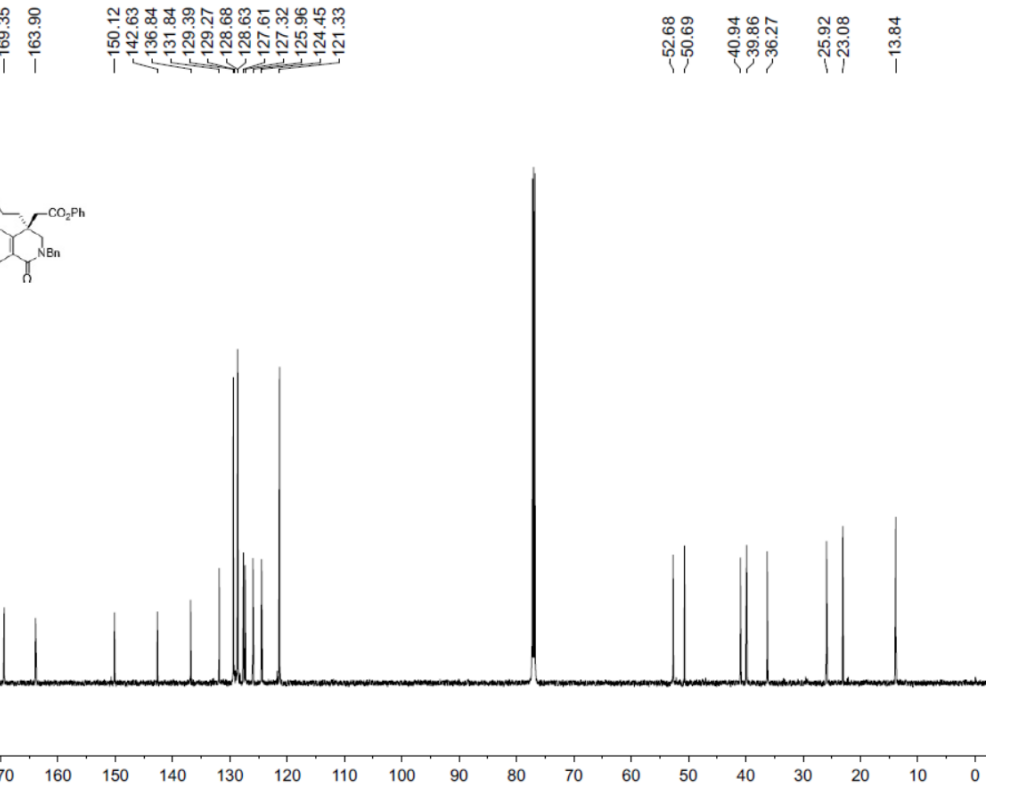
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CO2Ph
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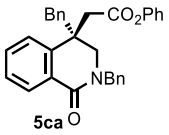
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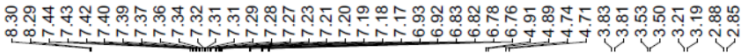
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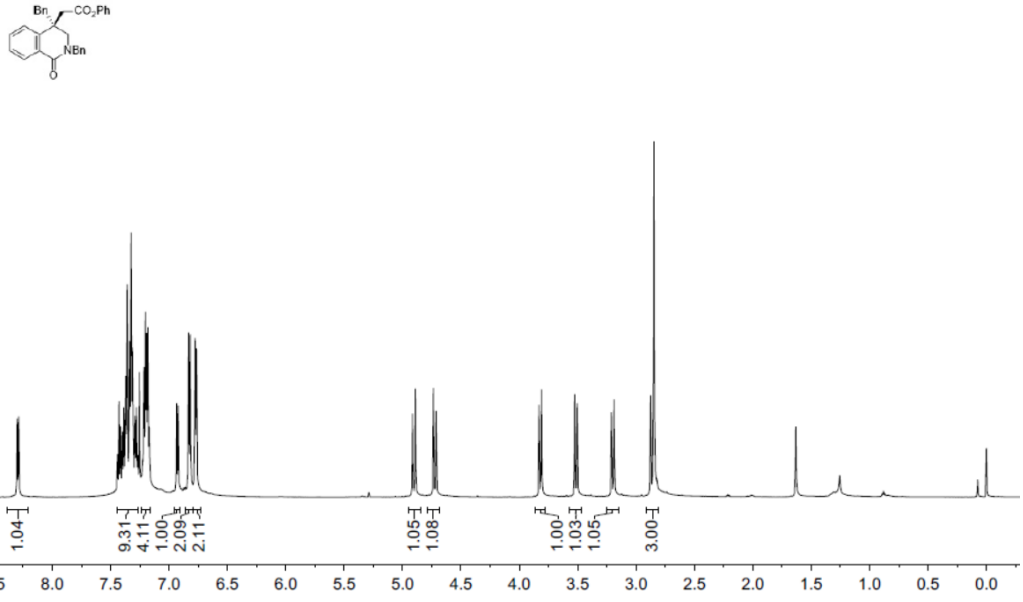
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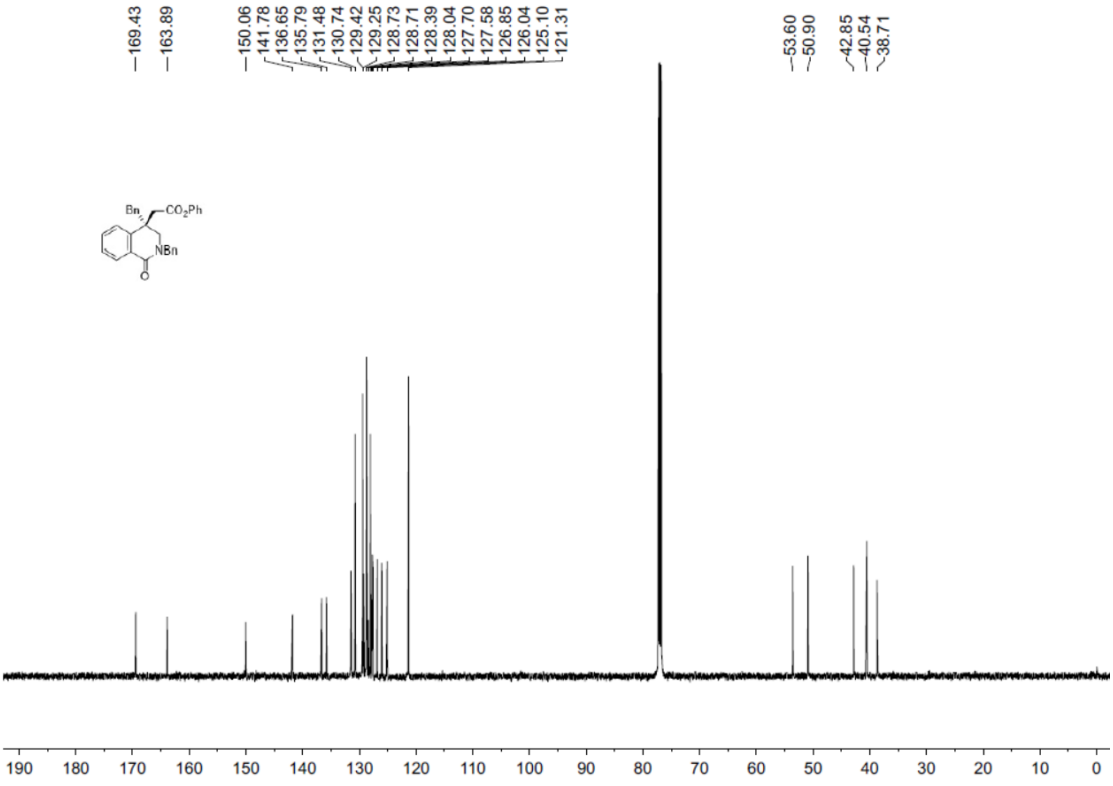
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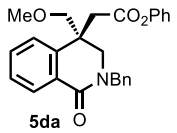
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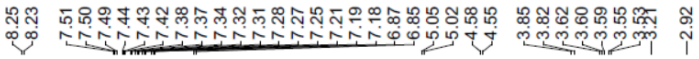
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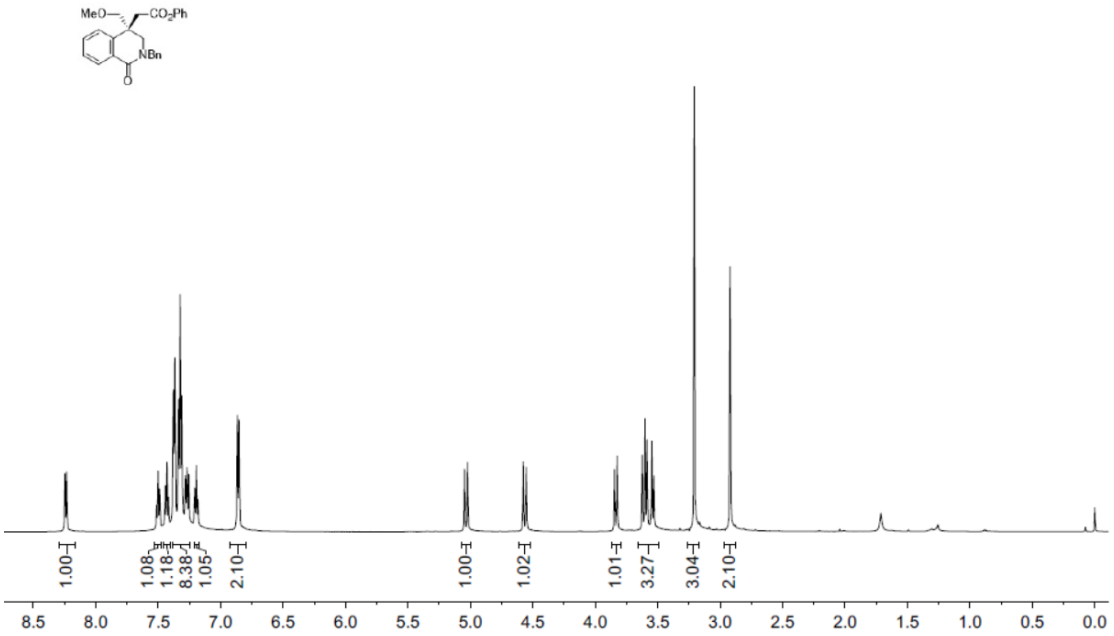
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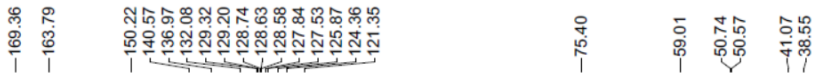
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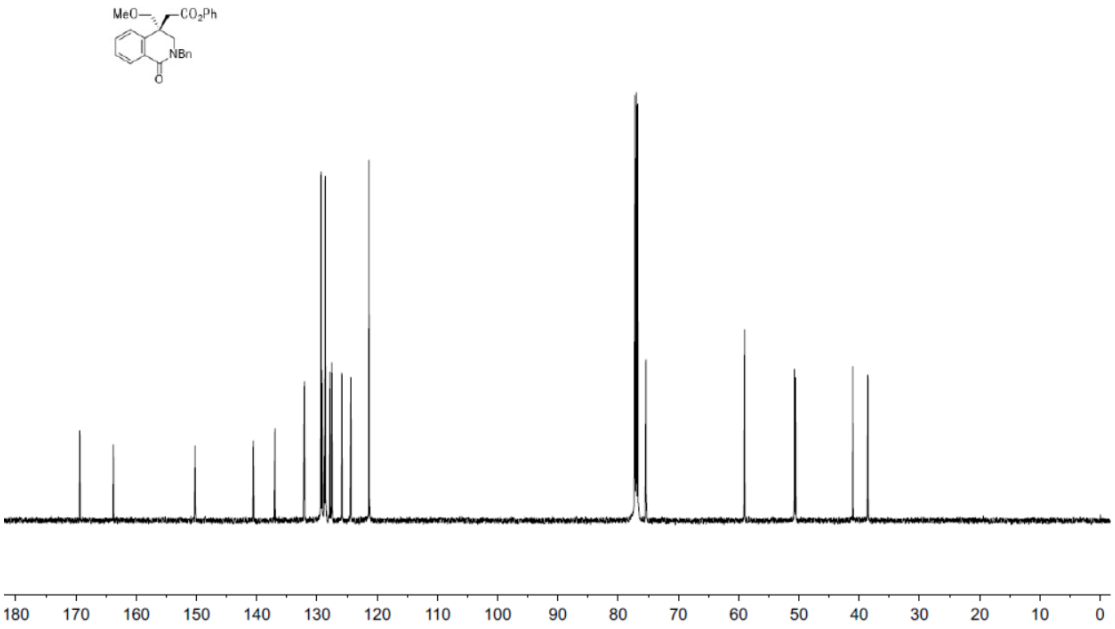
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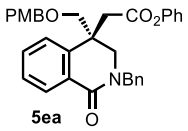
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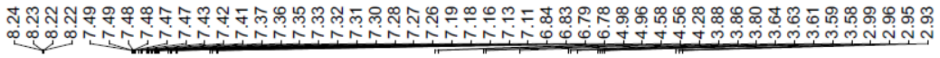
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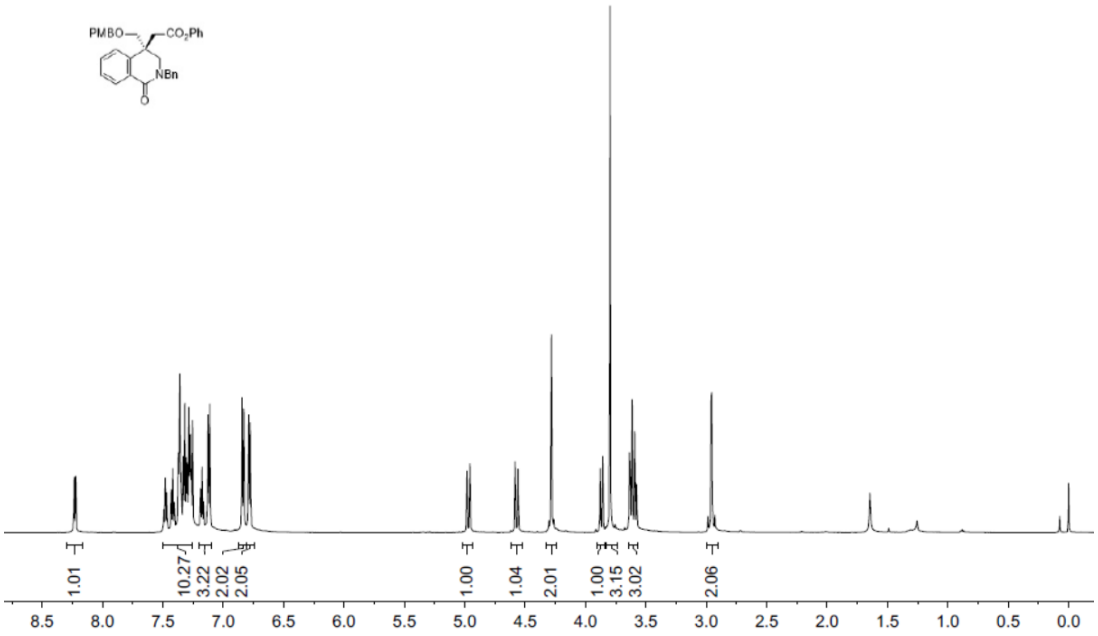
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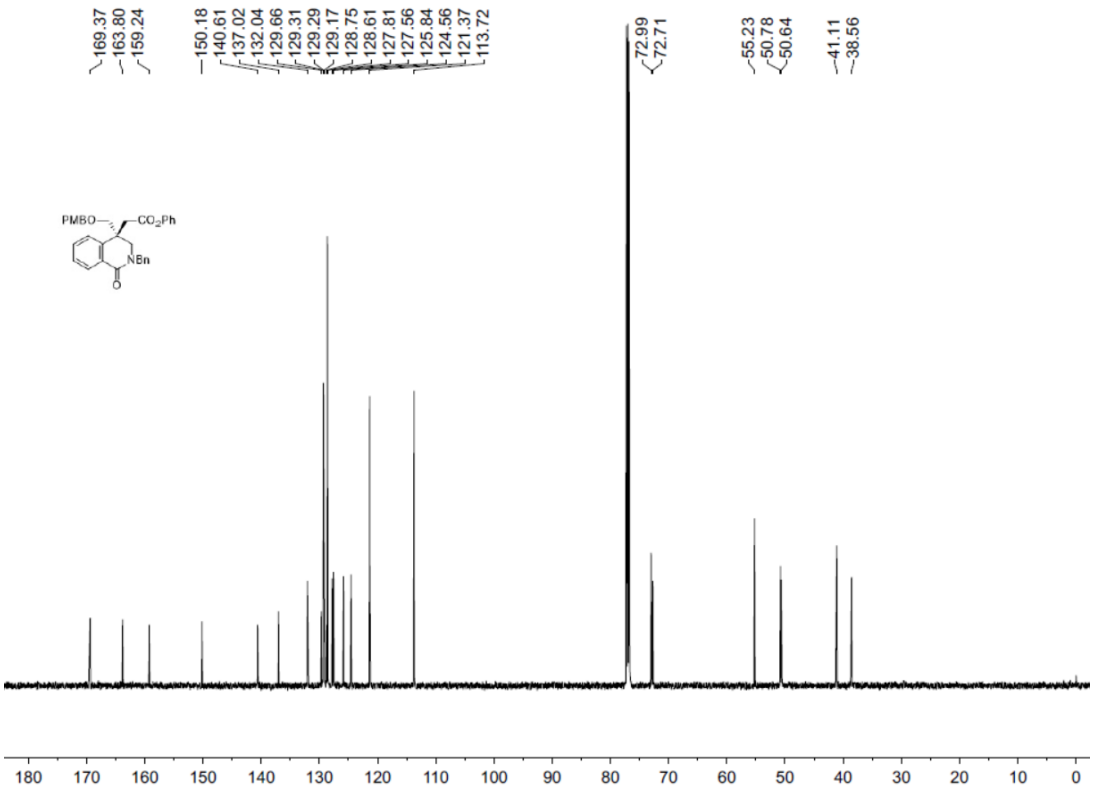
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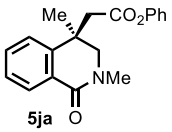
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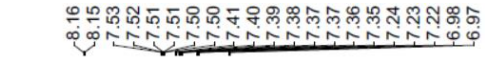
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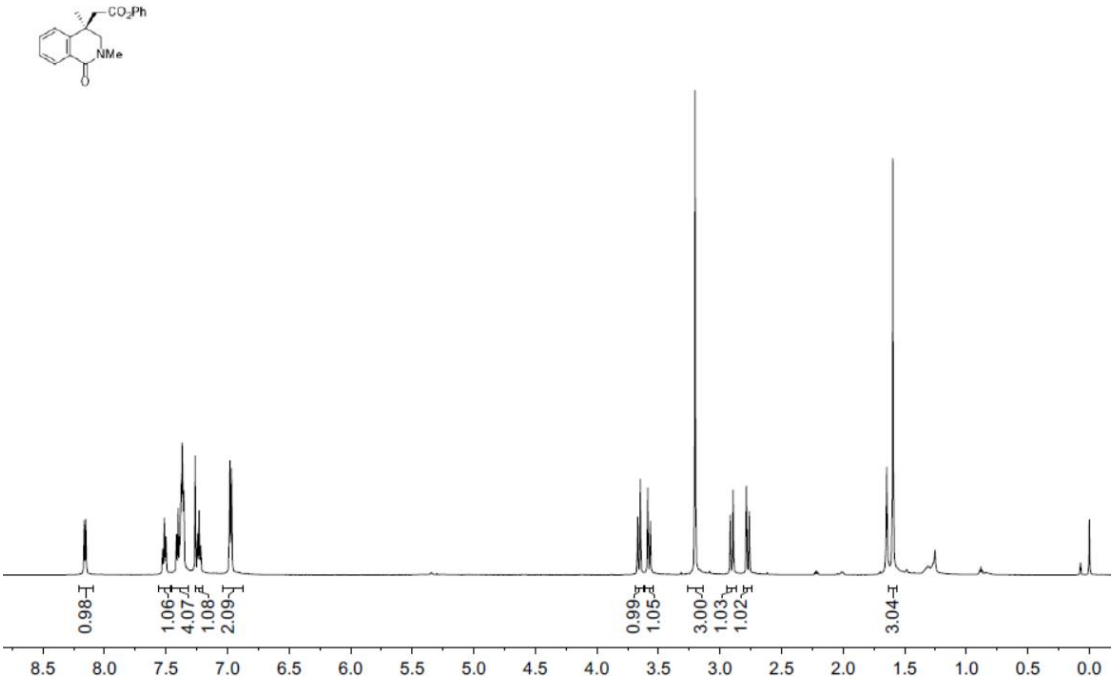
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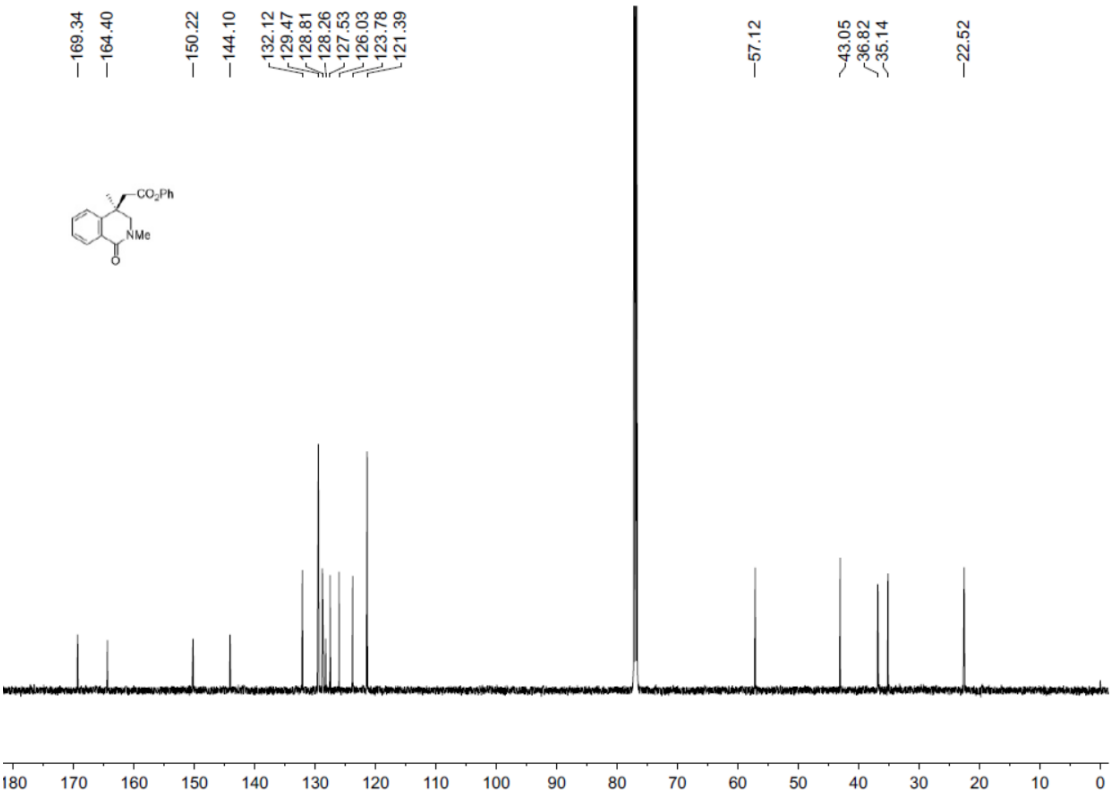
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CO2Ph
NMe
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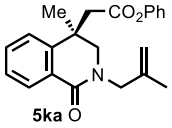
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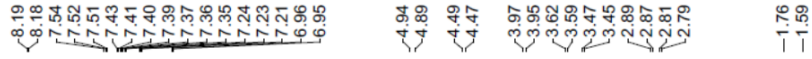
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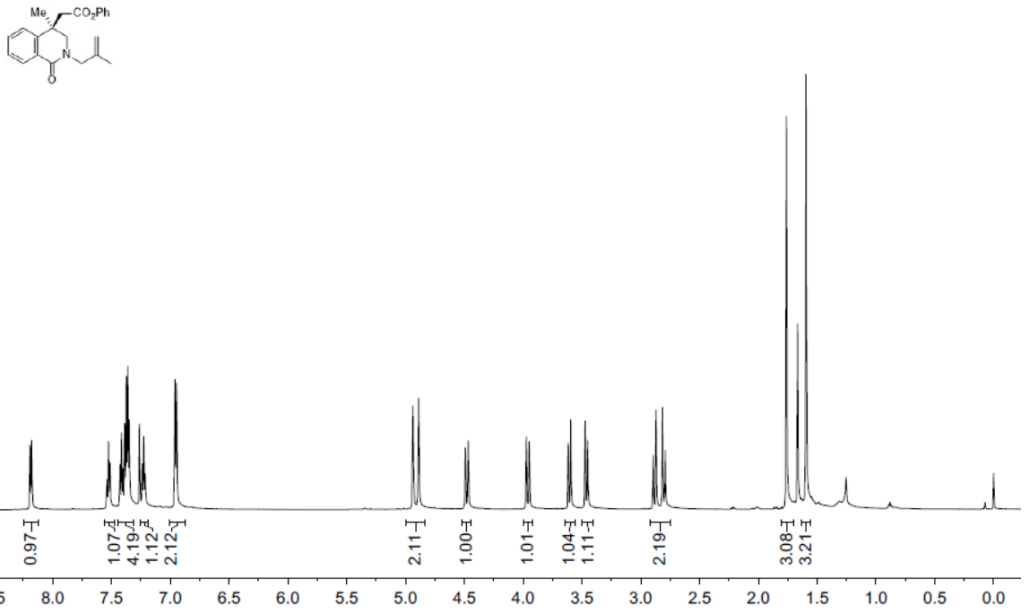
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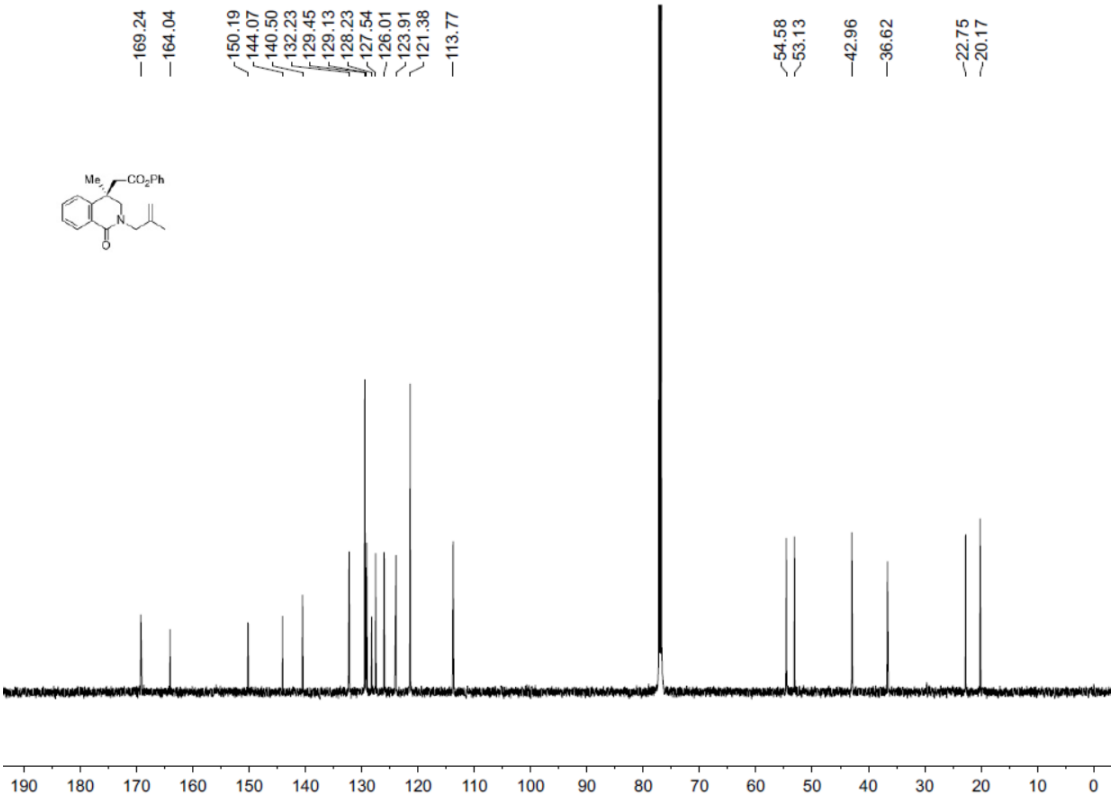
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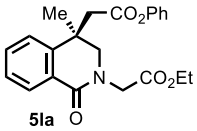
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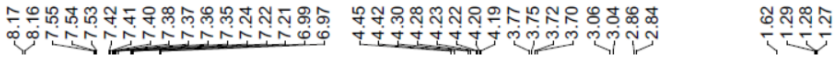
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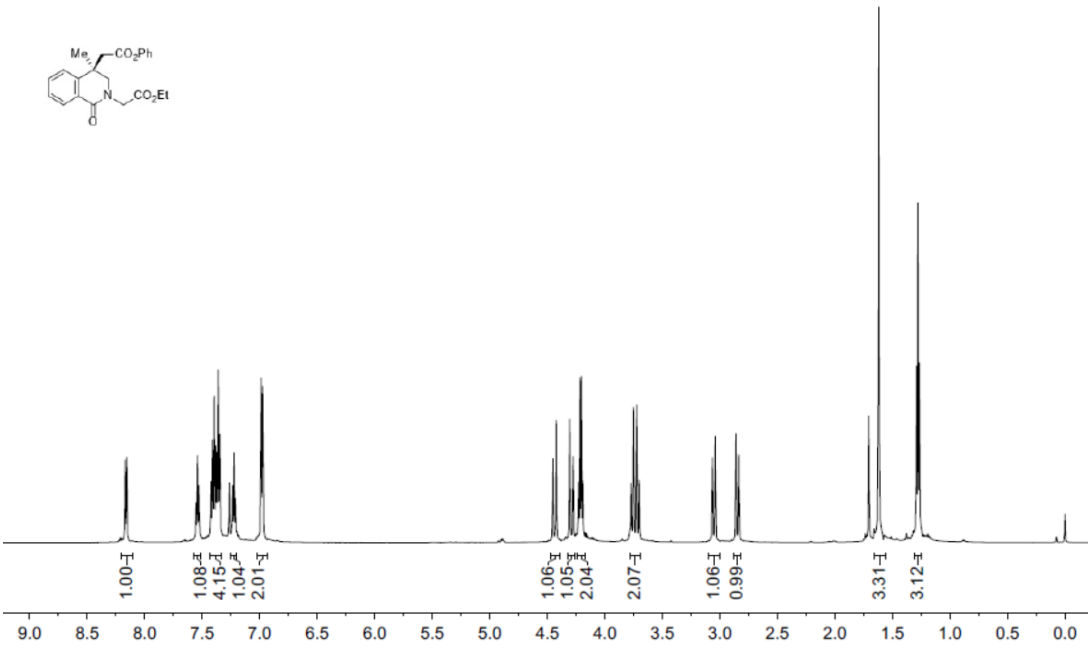
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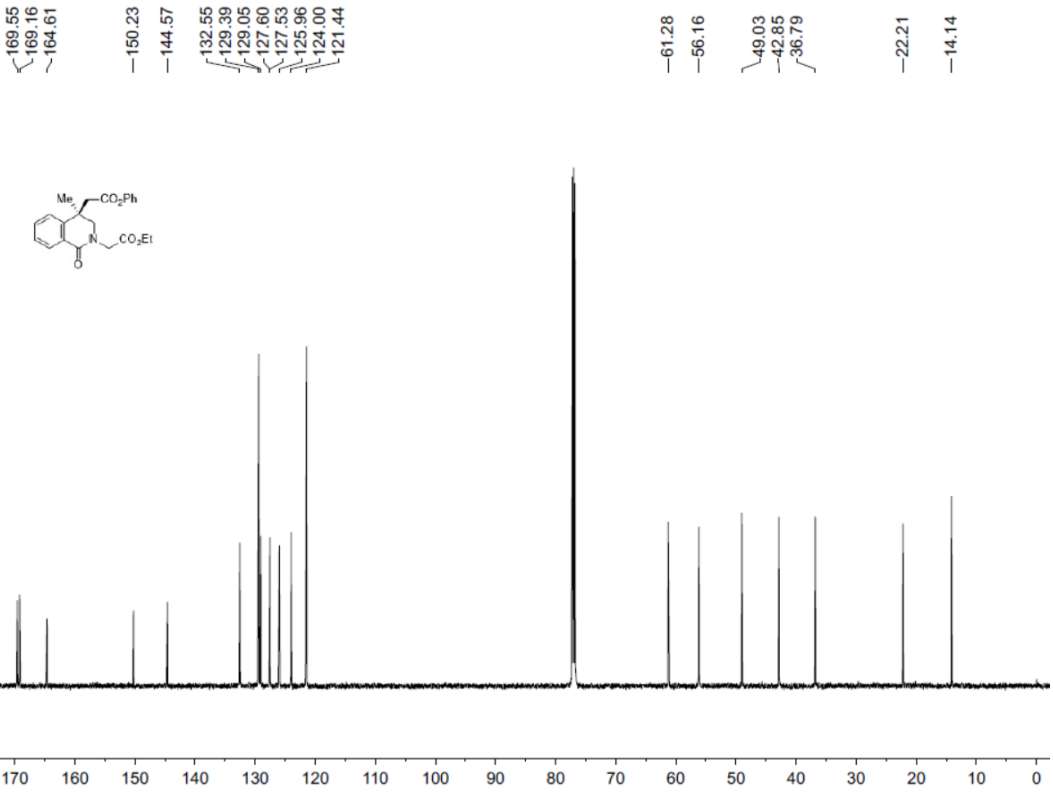
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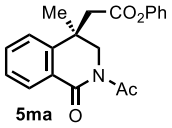
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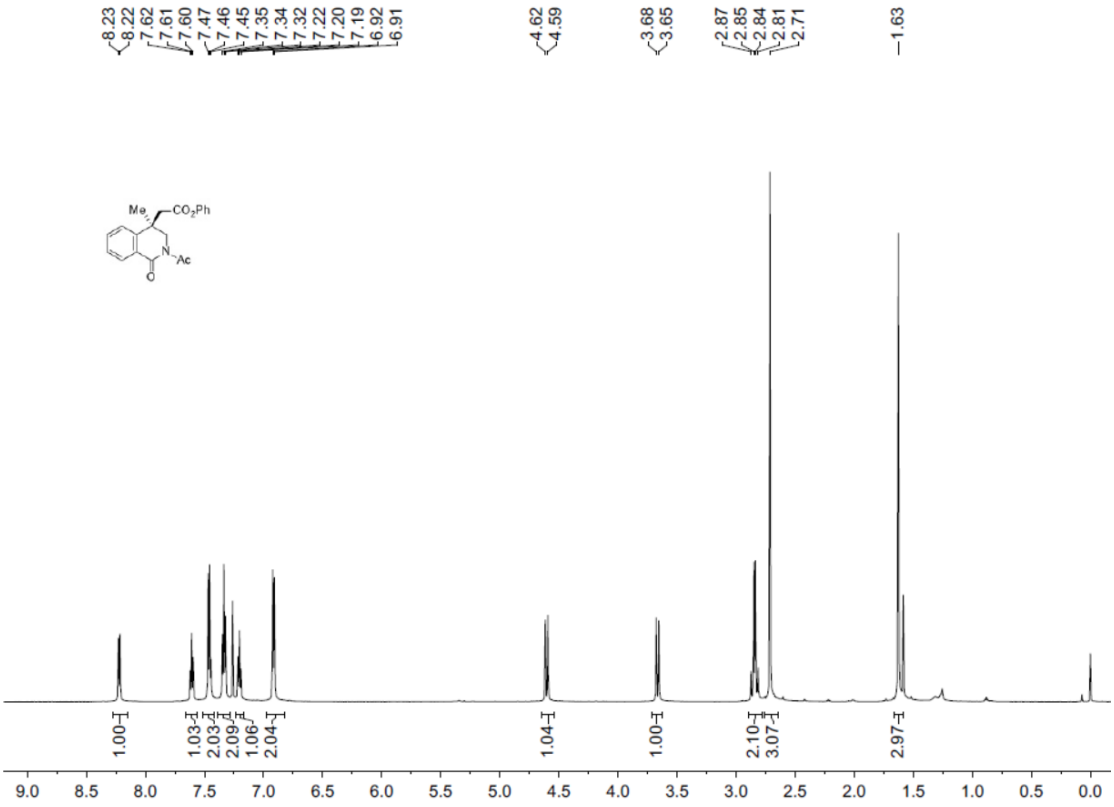
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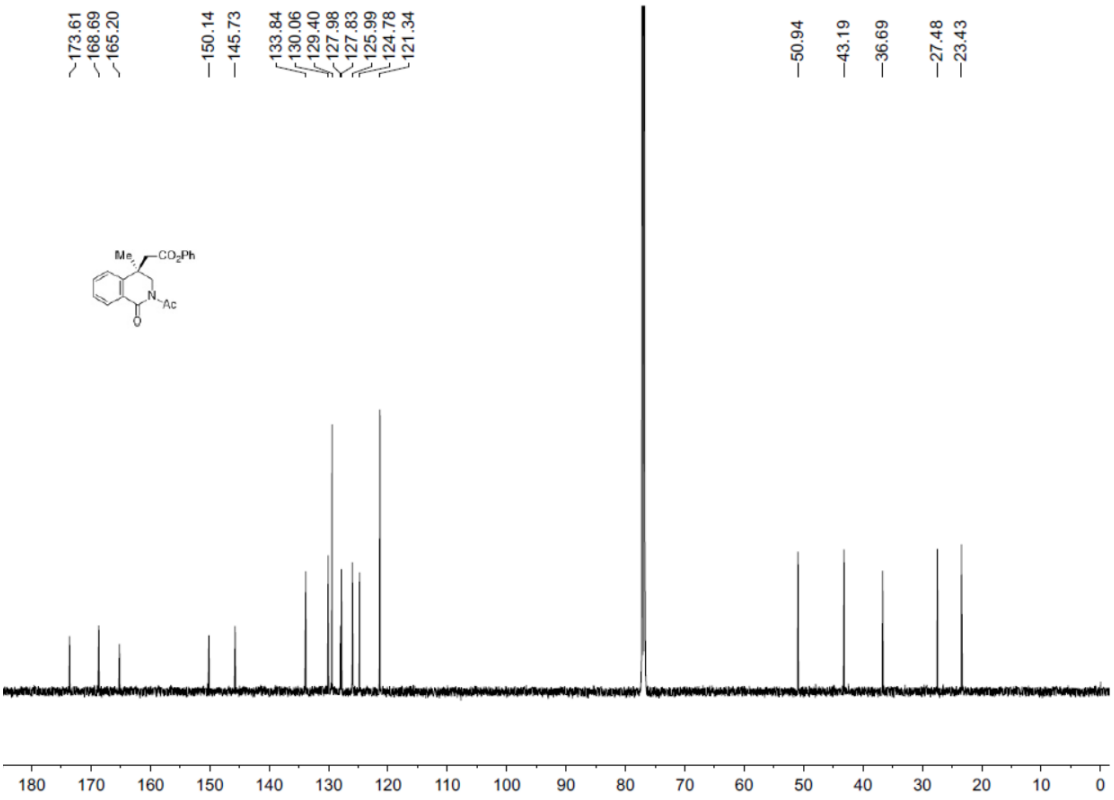
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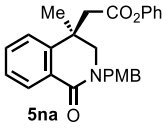
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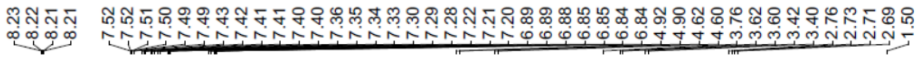
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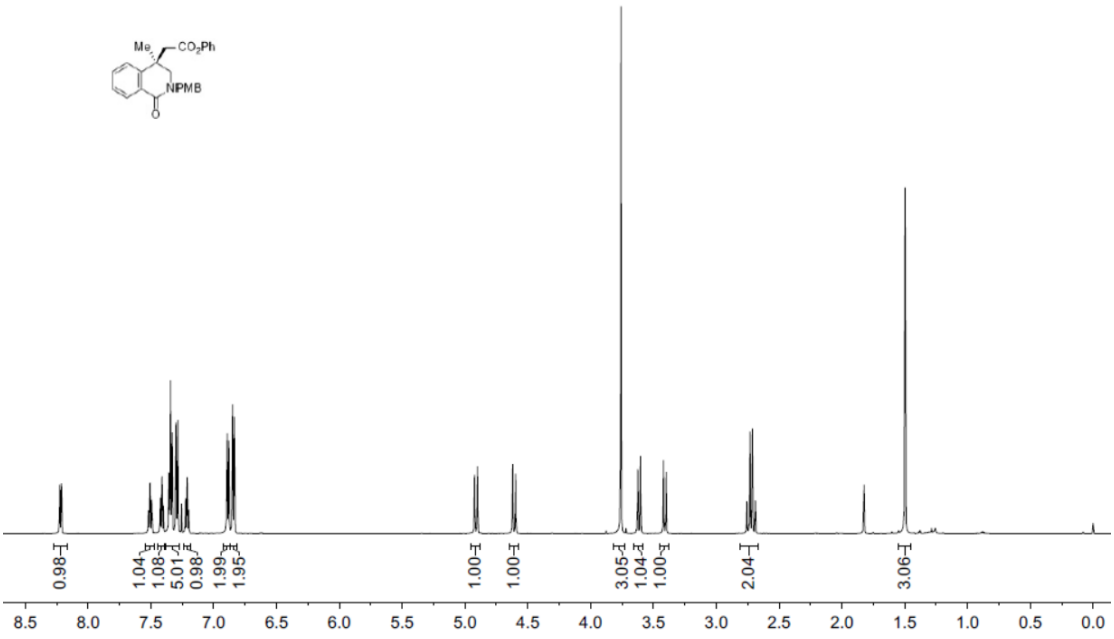
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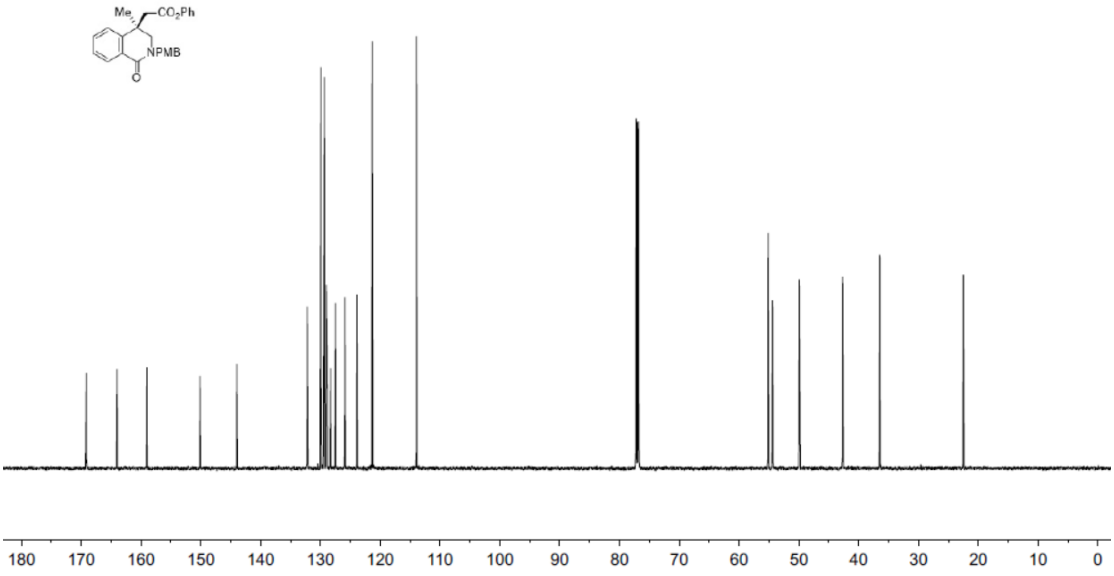
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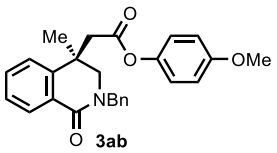
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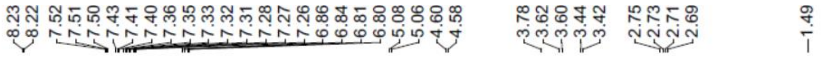
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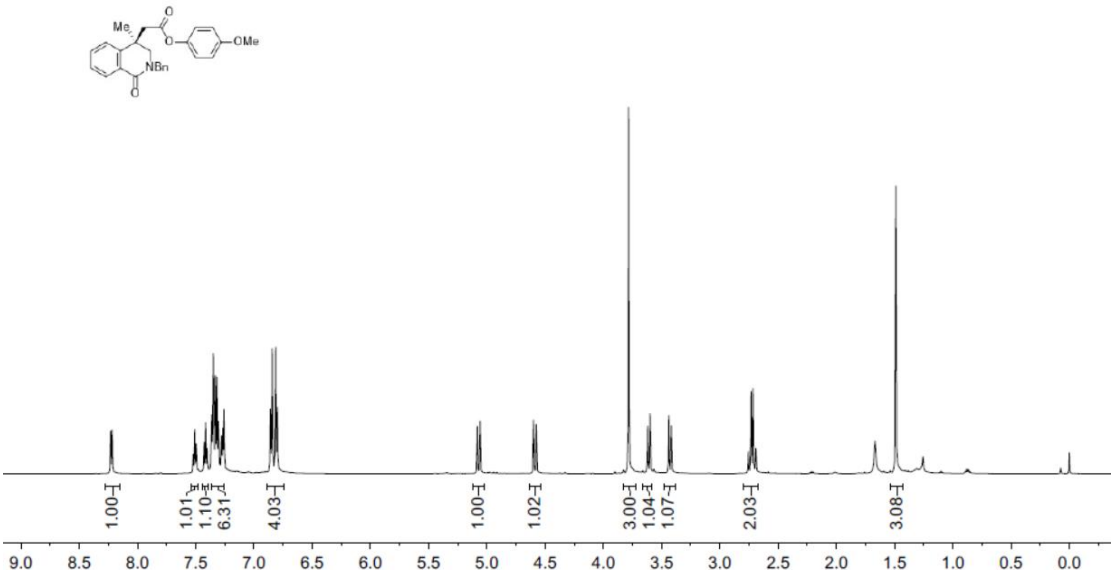
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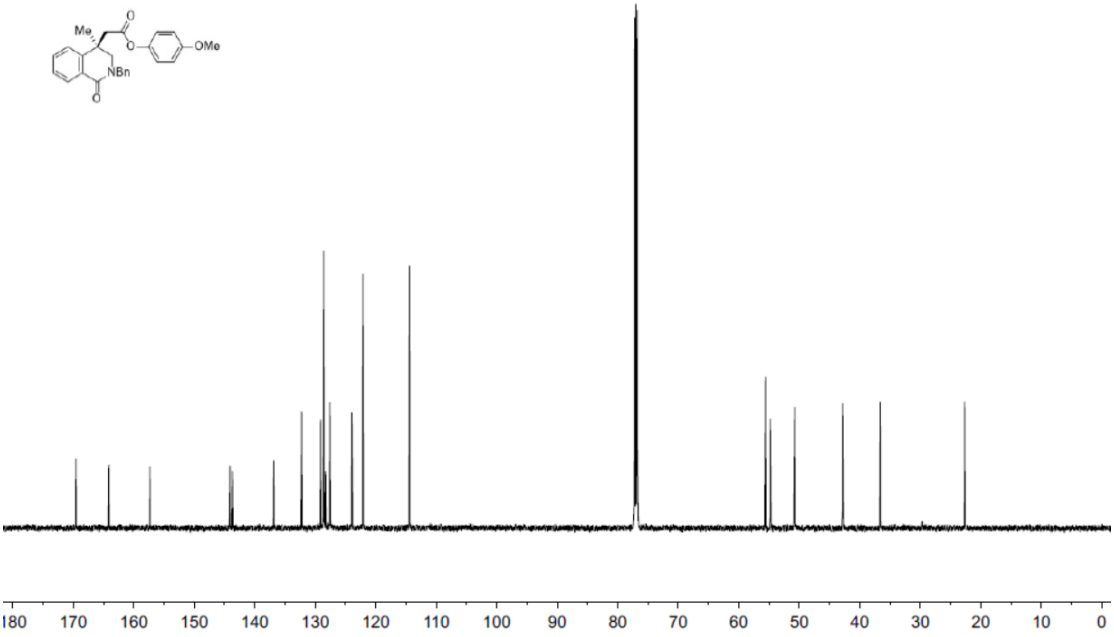
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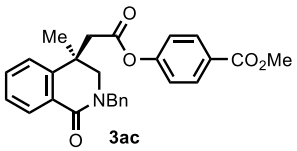
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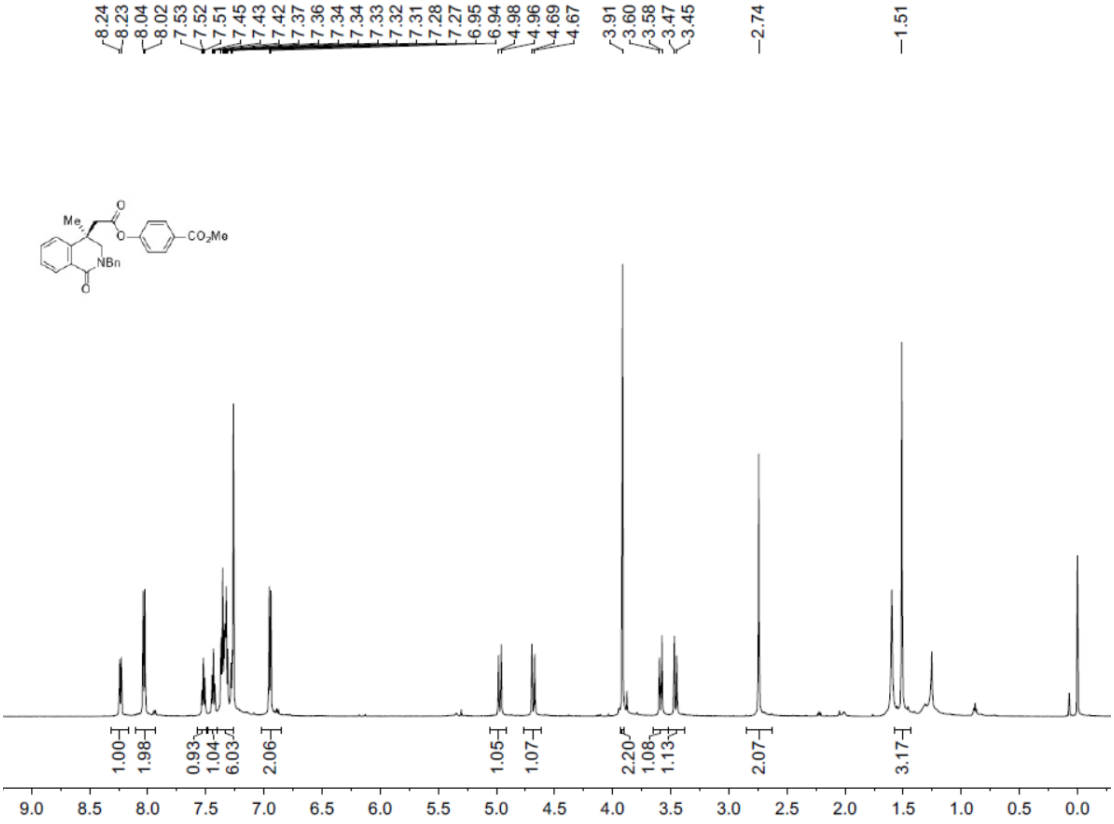
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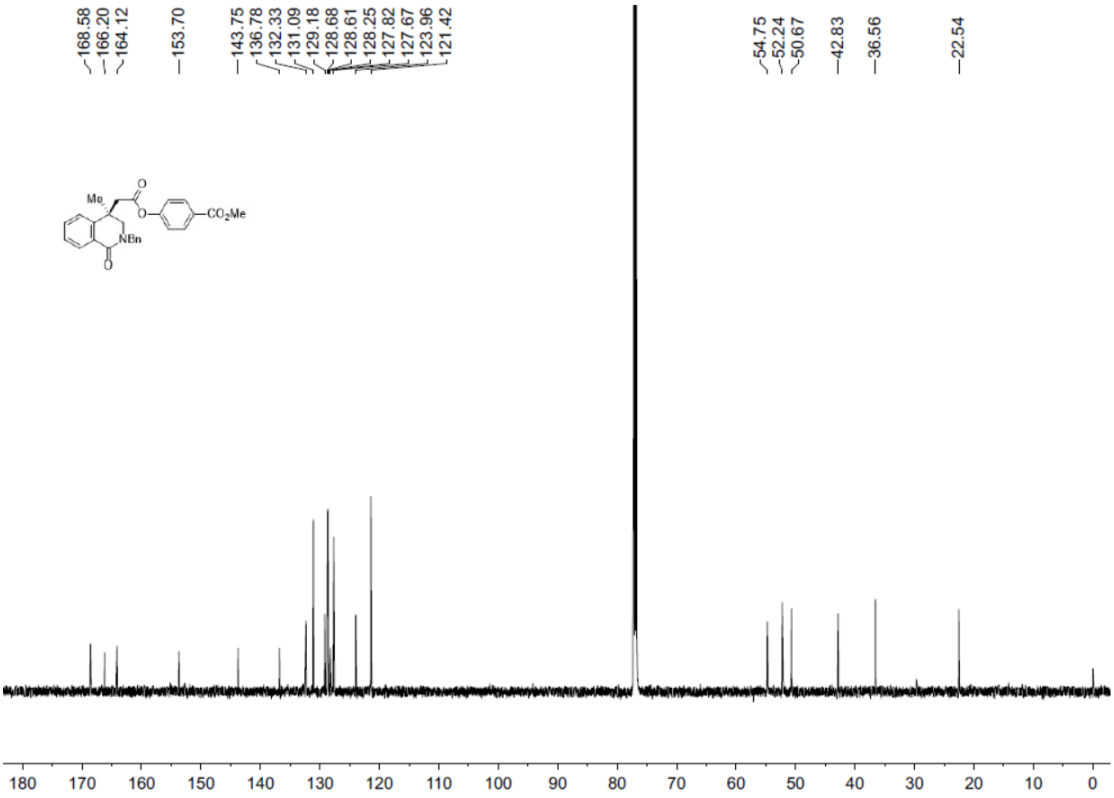
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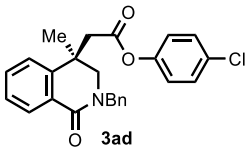
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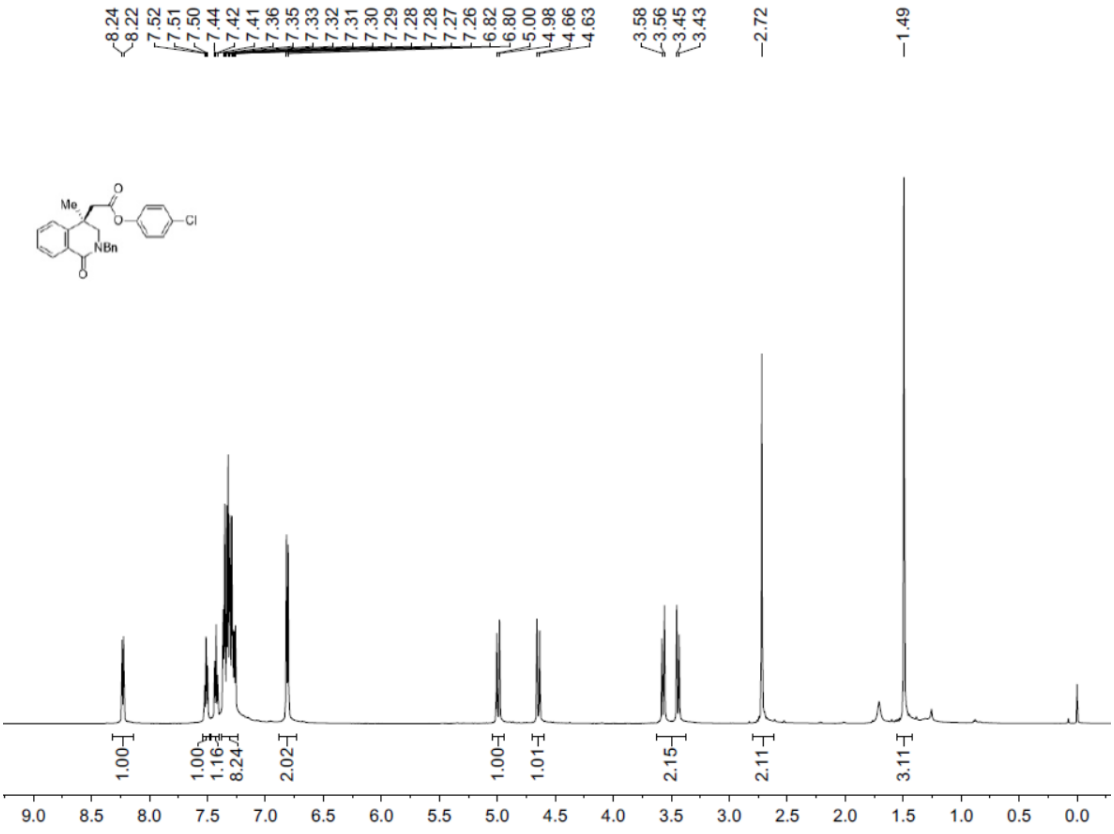
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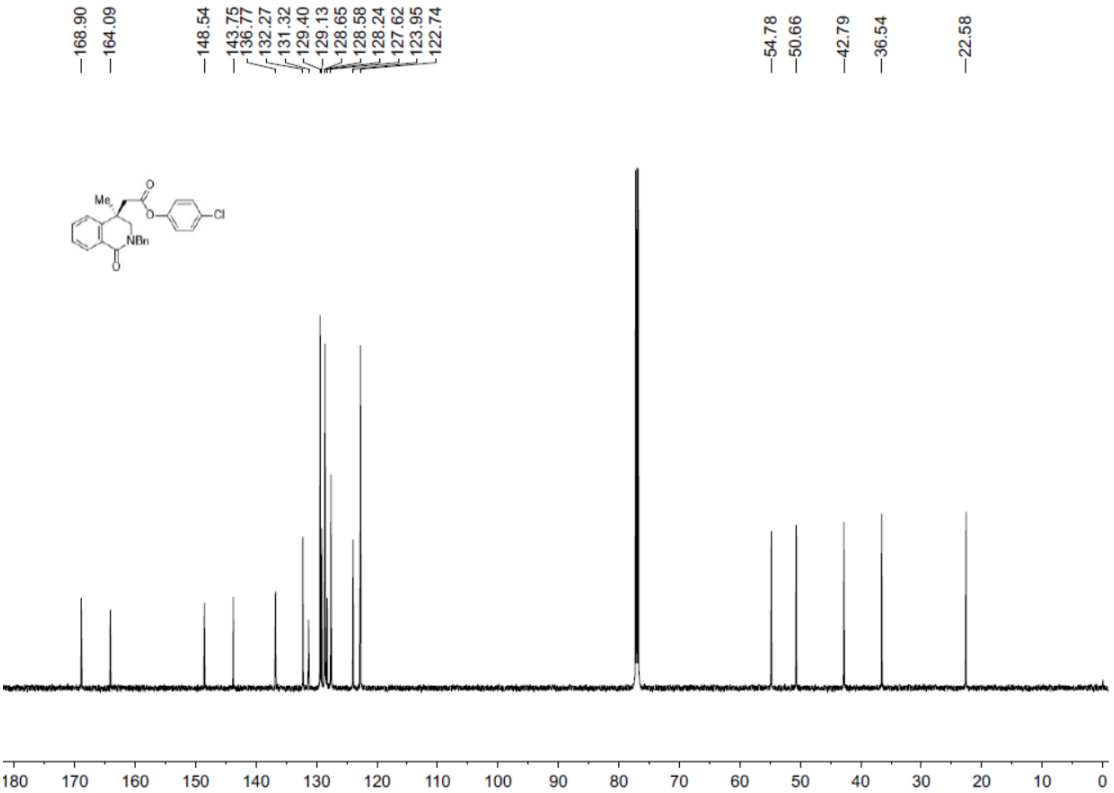
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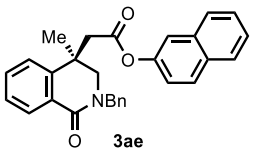
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NBn
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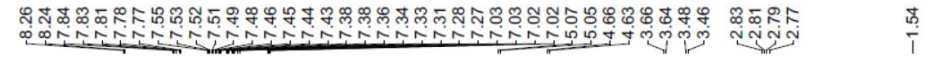
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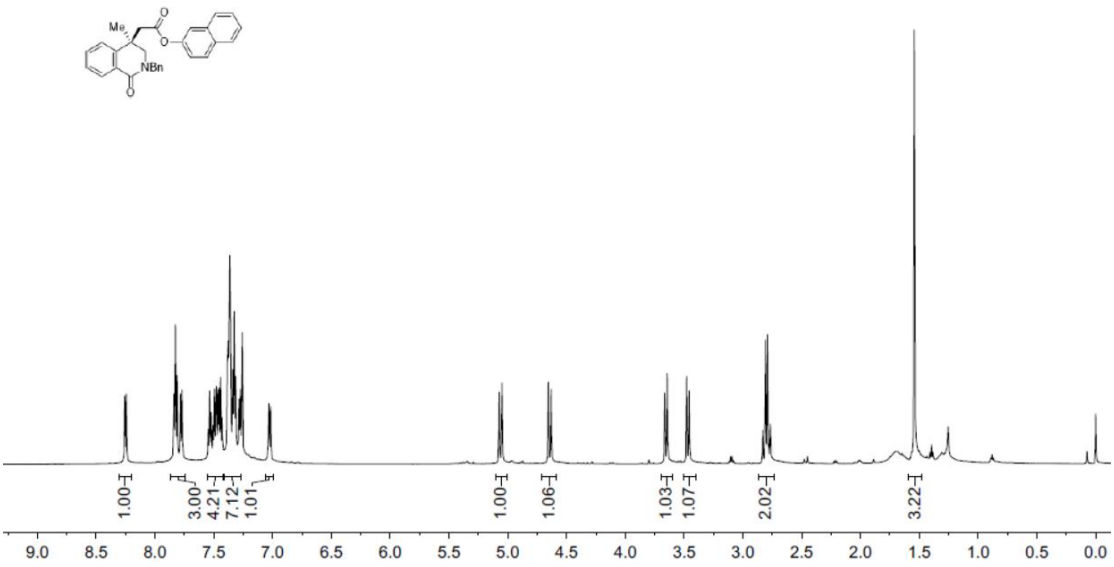
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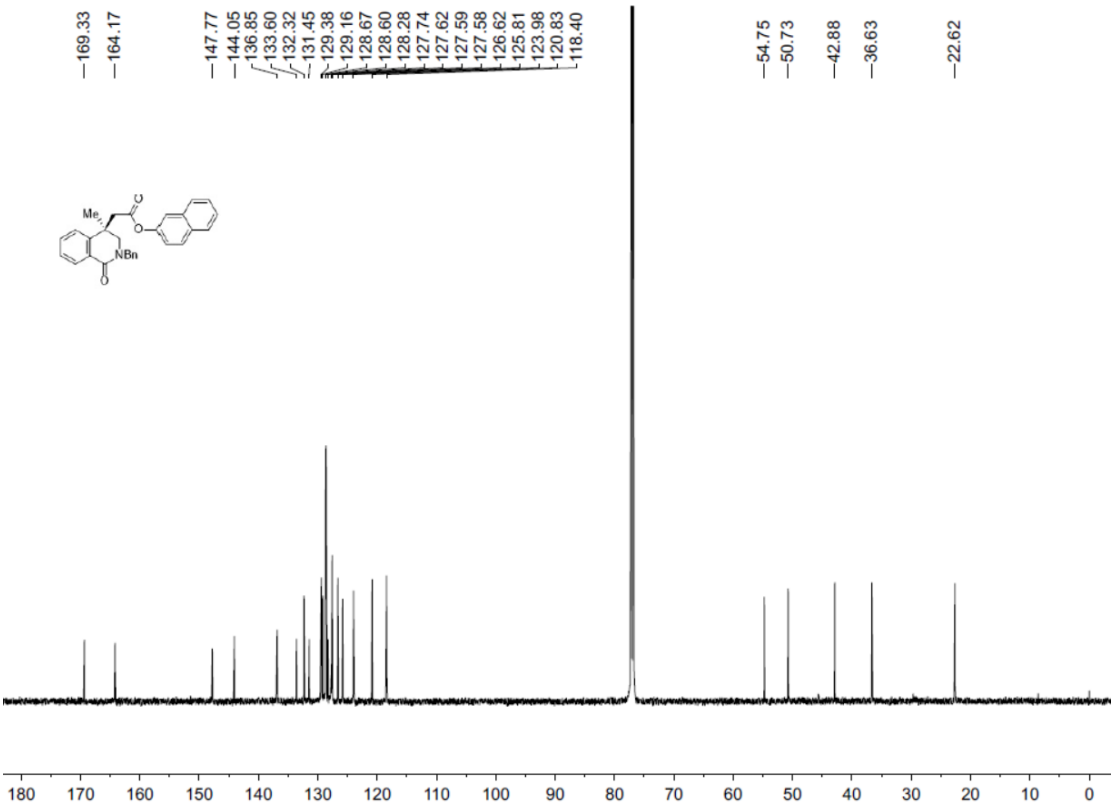
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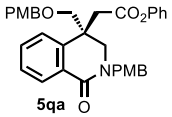

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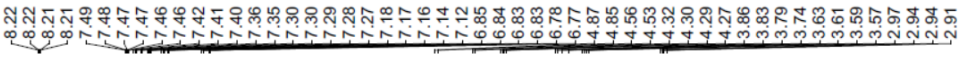

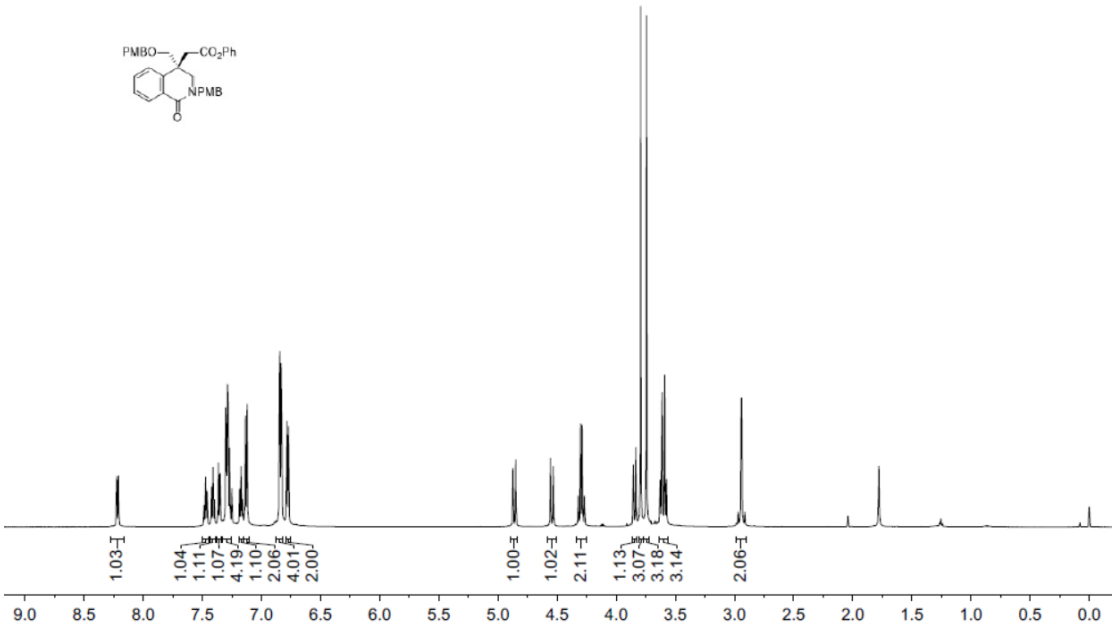

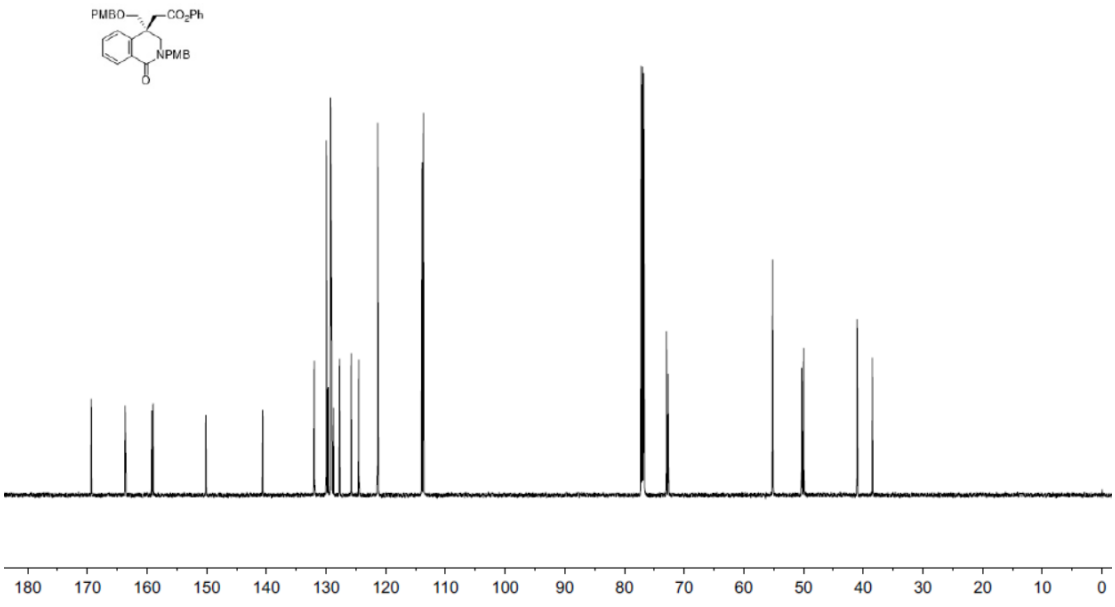

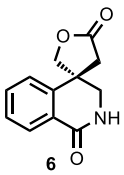
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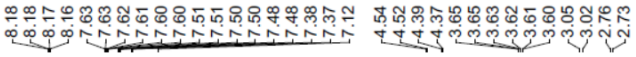
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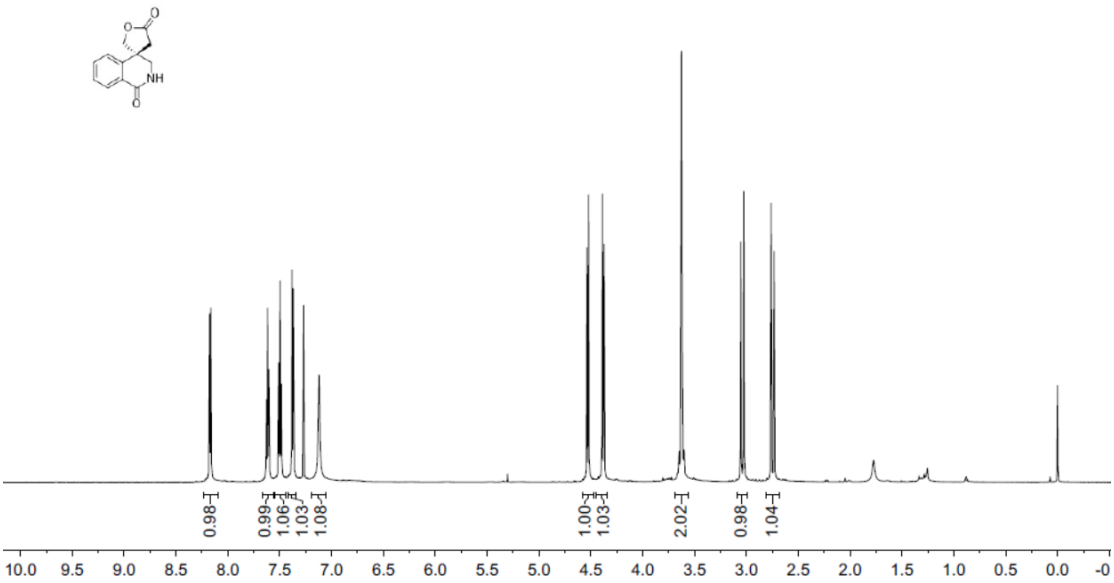
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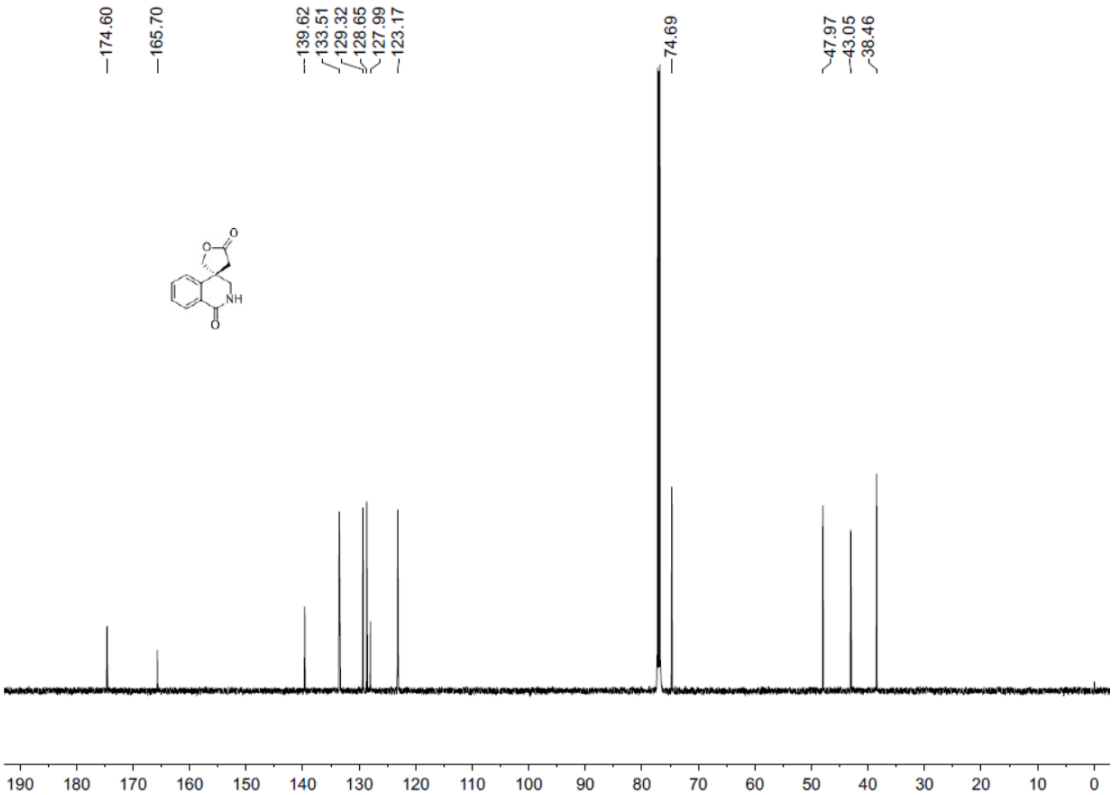
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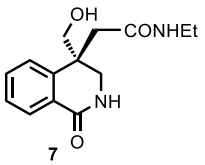
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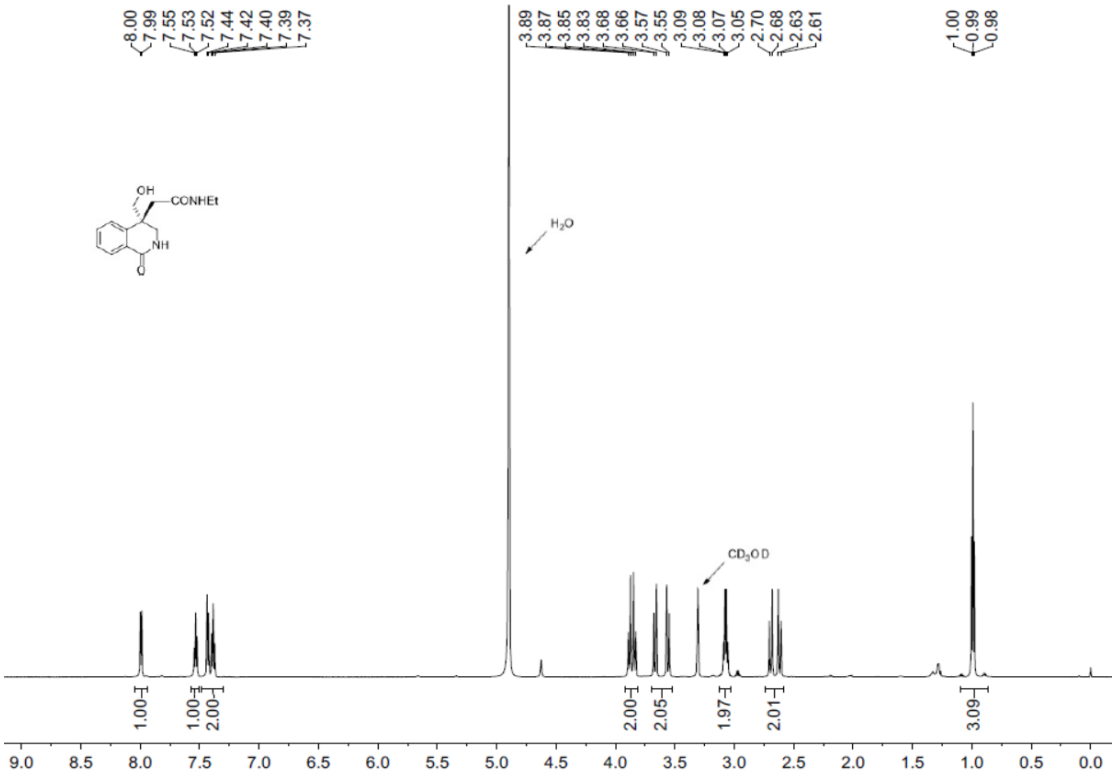
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CD3OD
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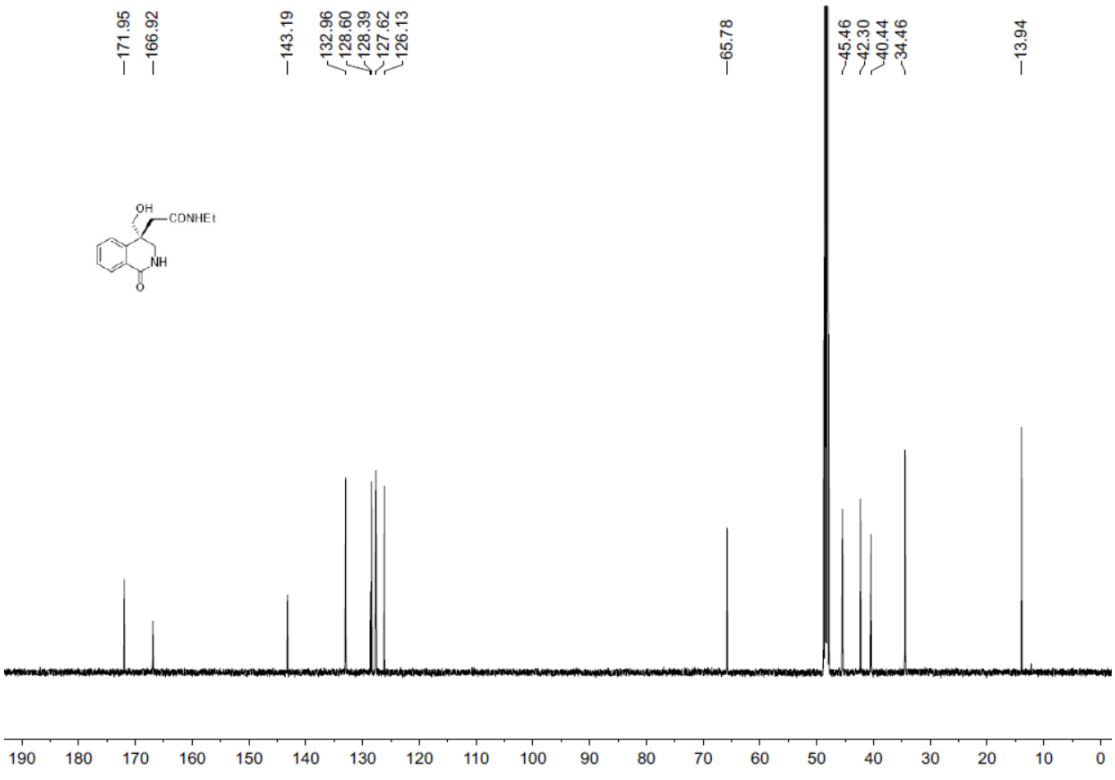
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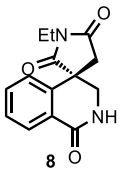
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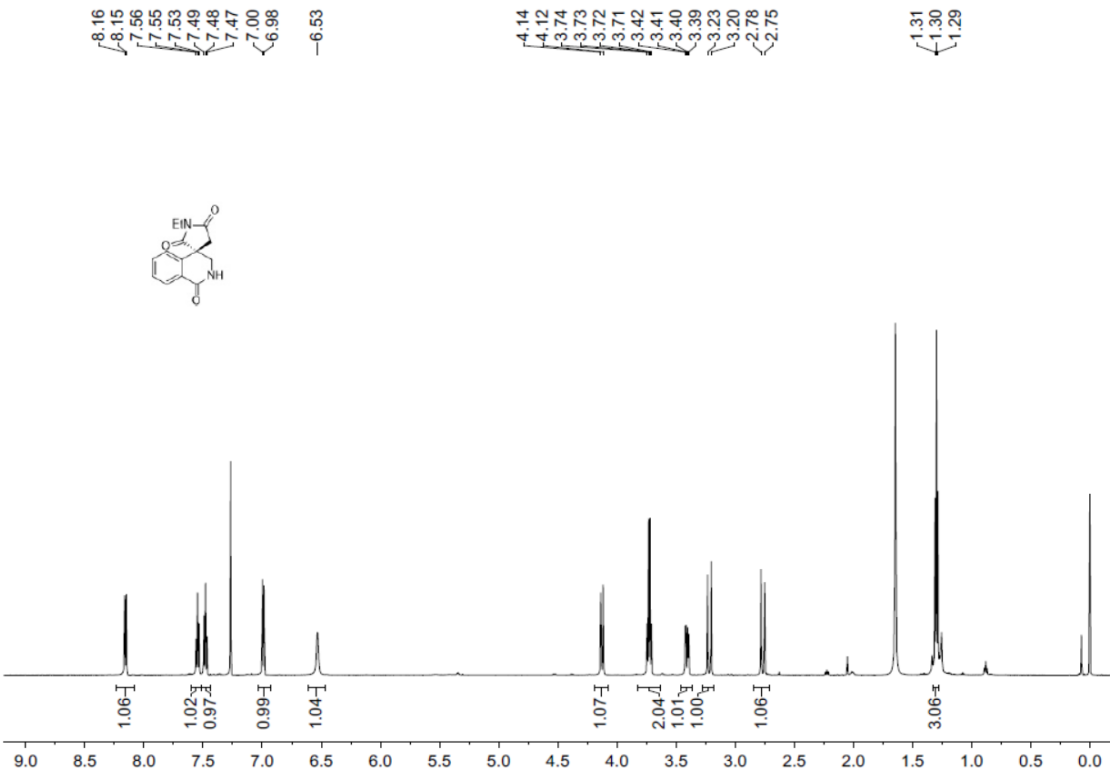
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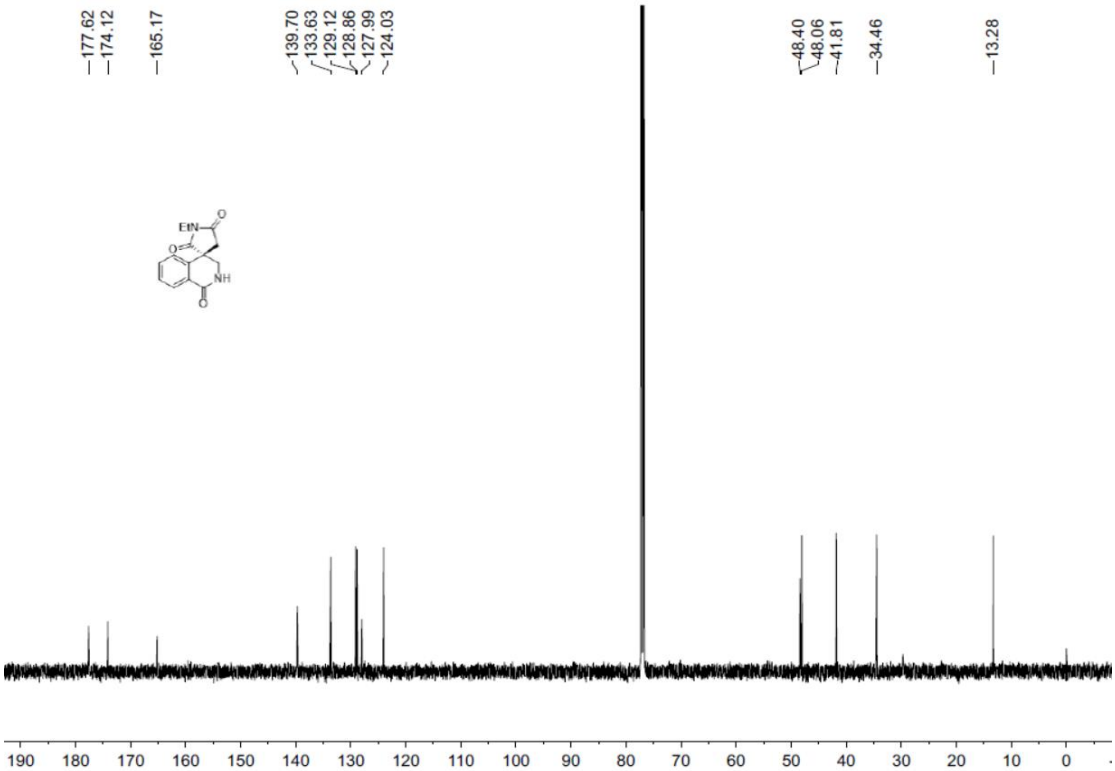
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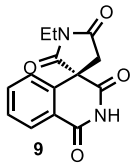
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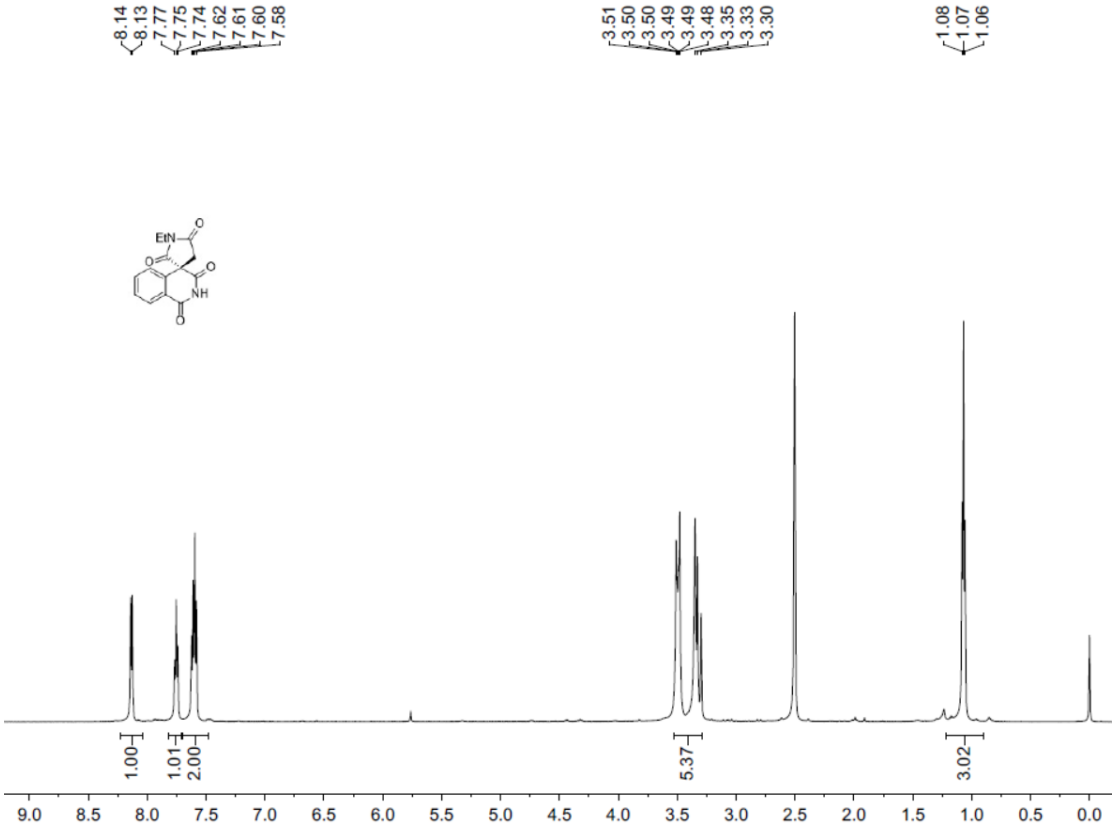
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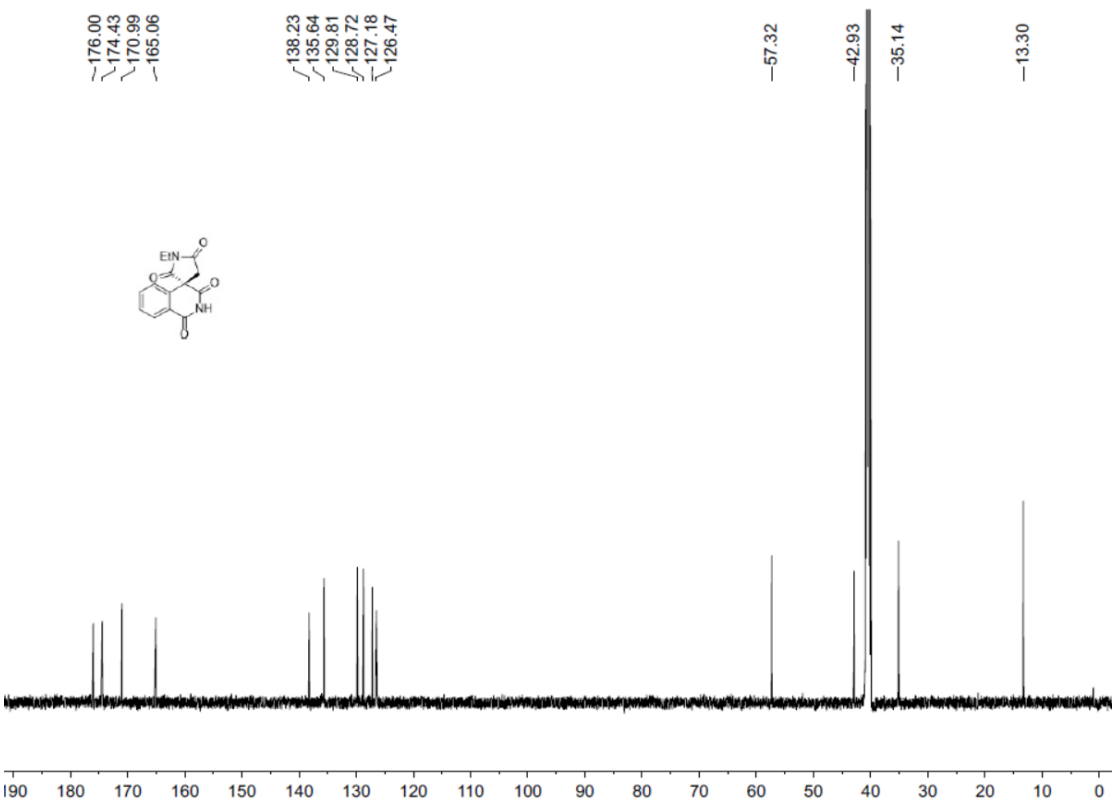
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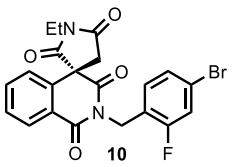
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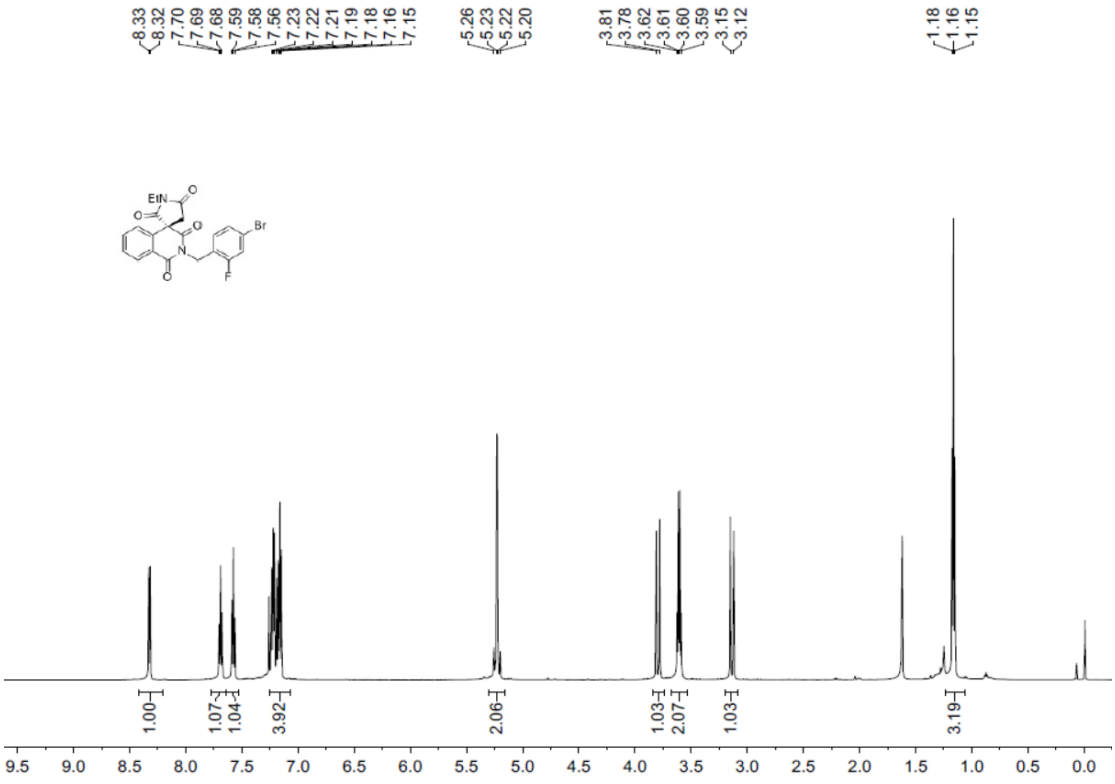
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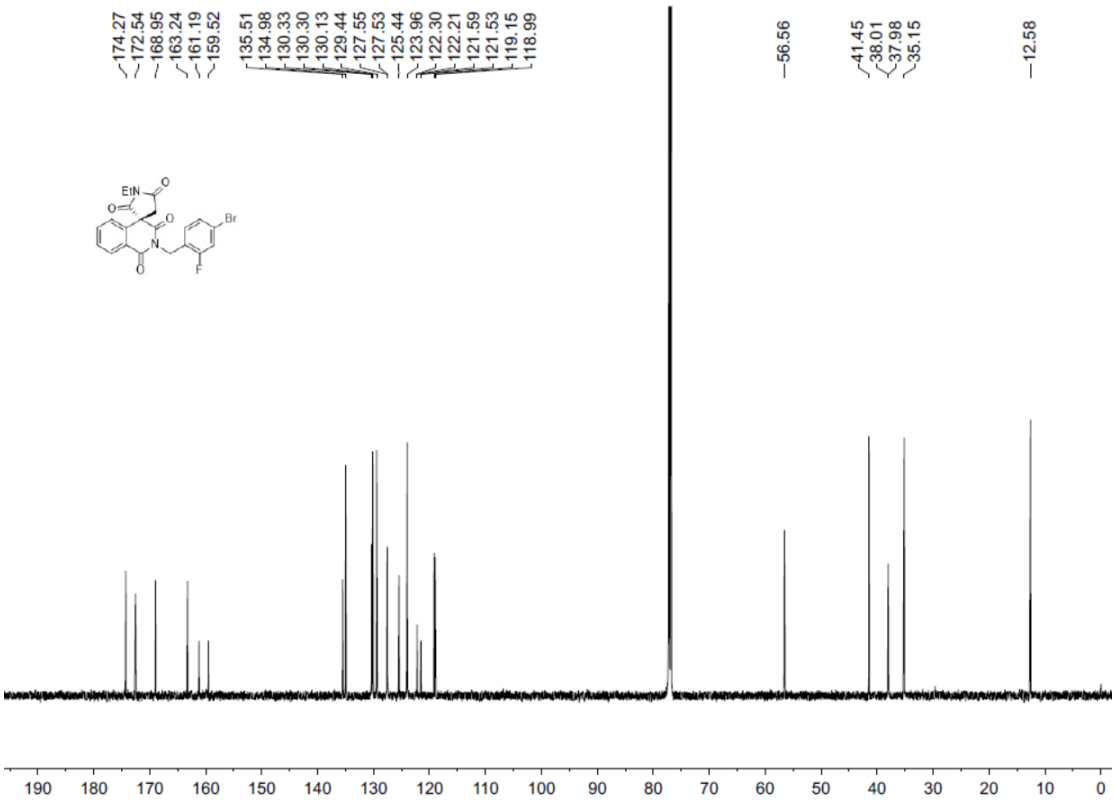
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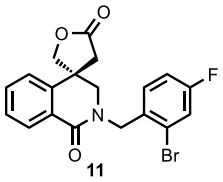
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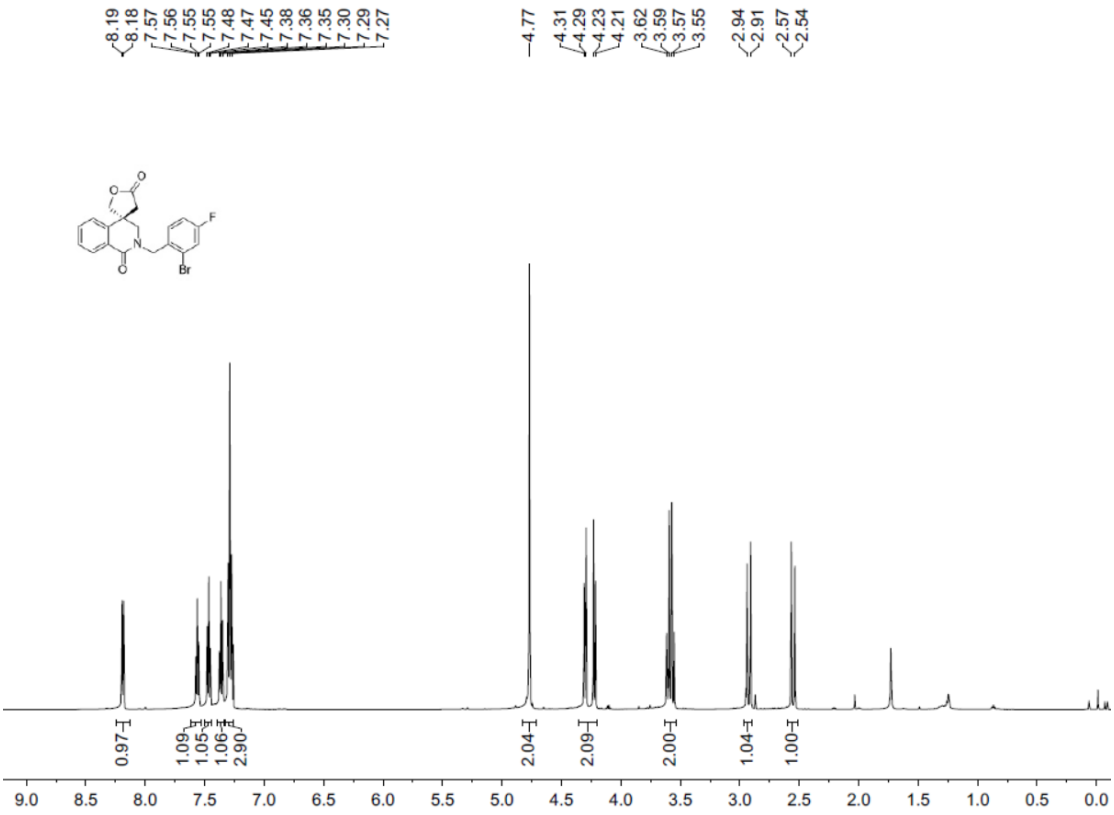
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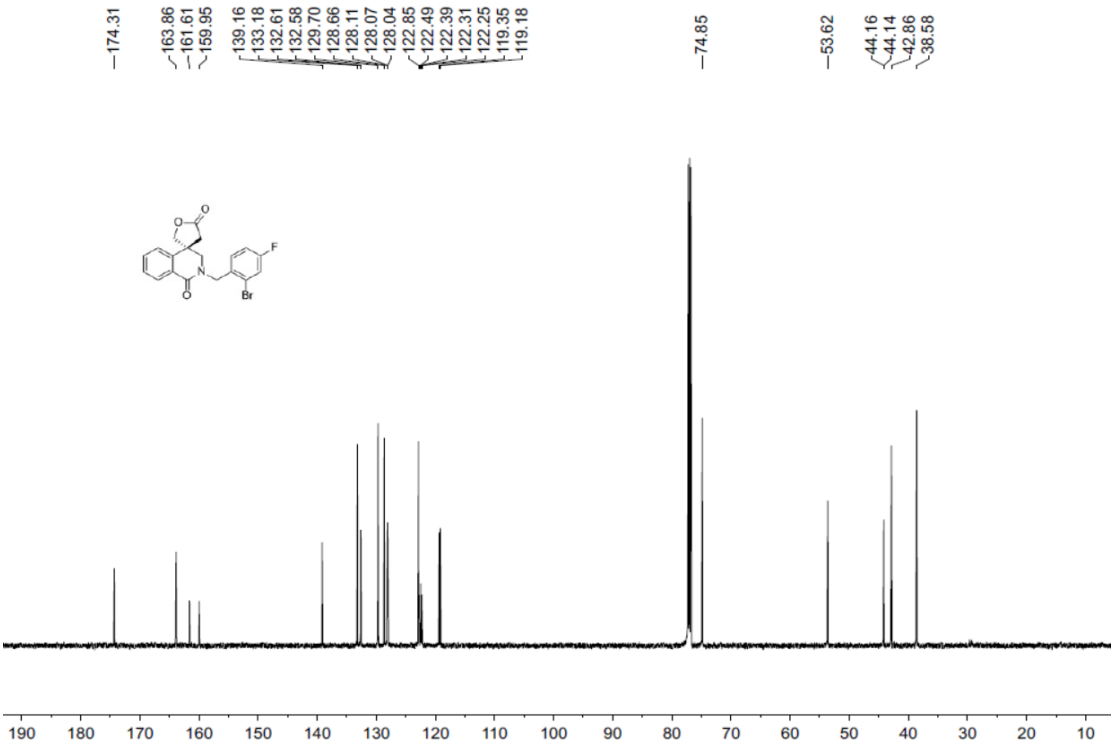
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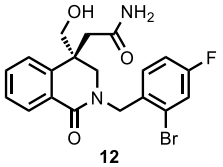
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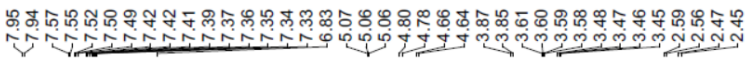
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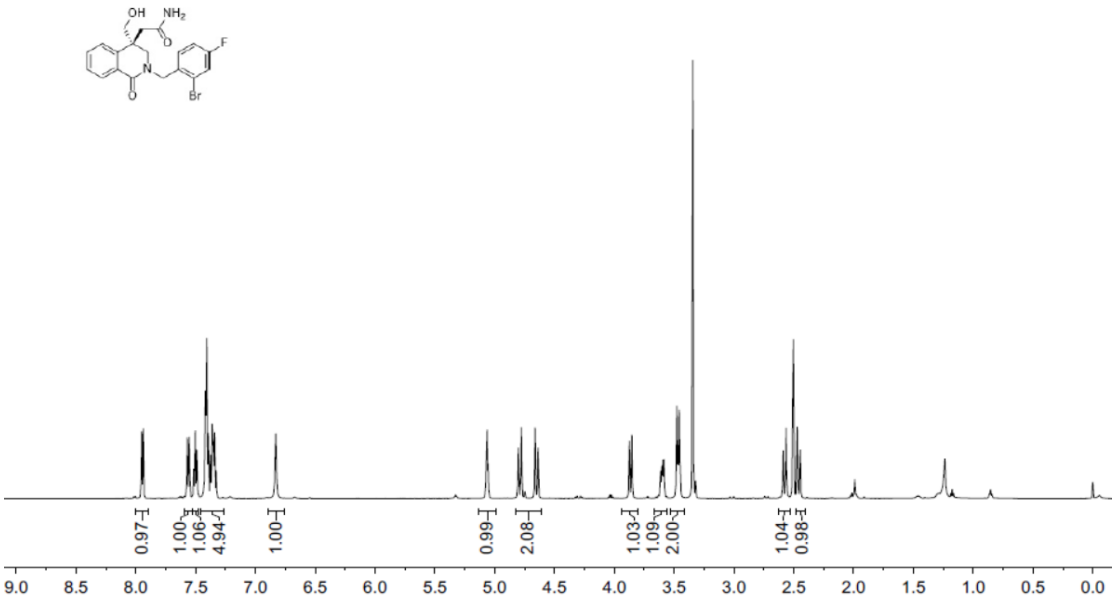
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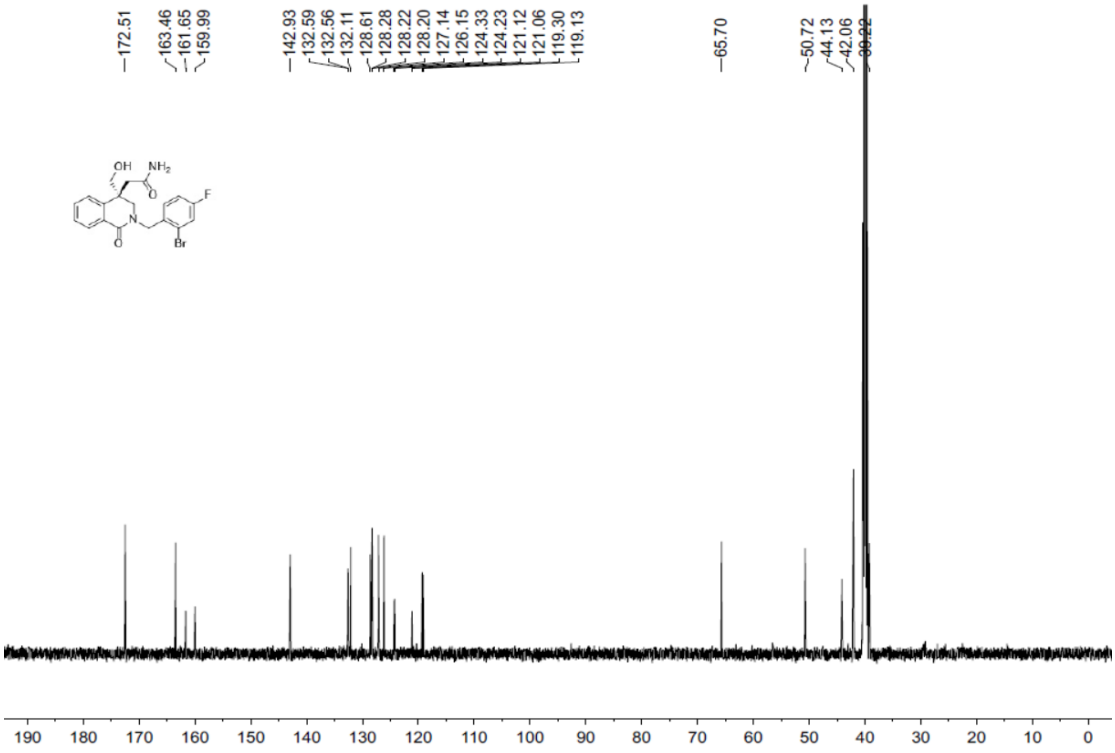
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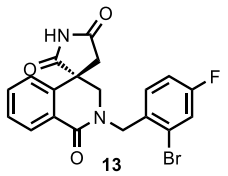
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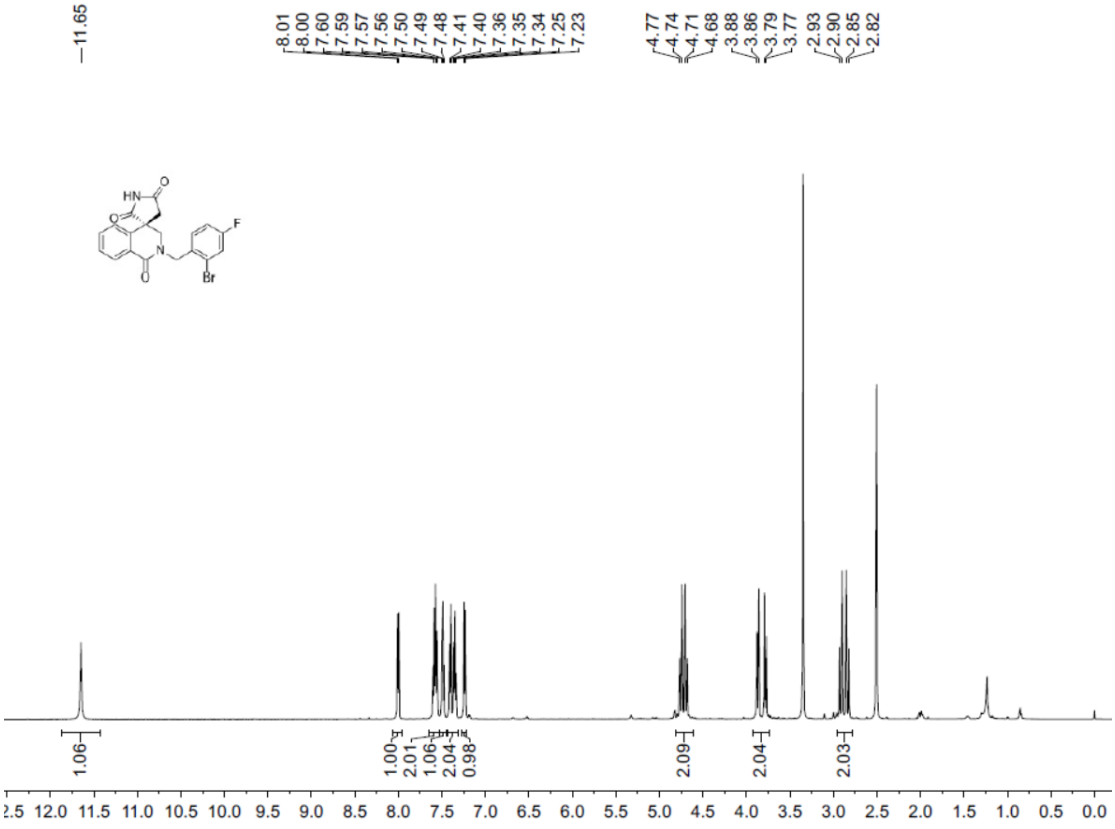
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2.03
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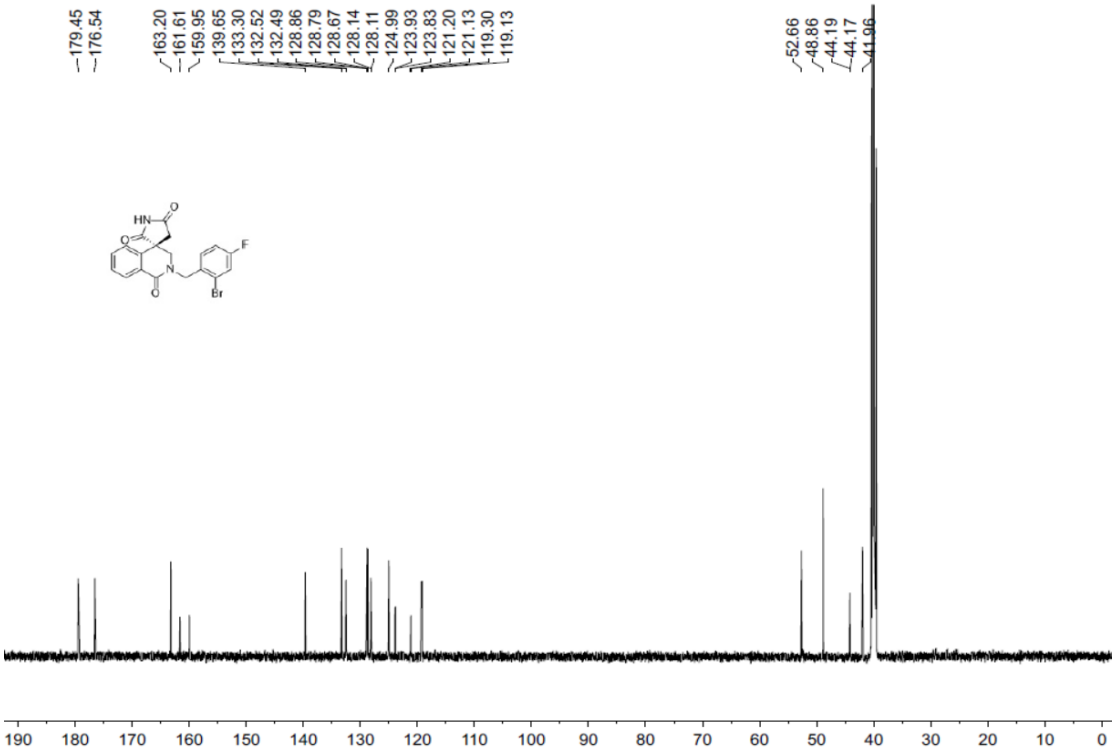
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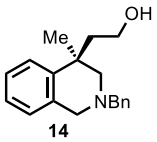
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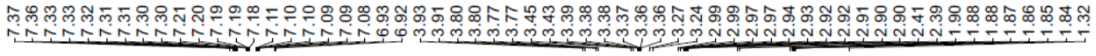
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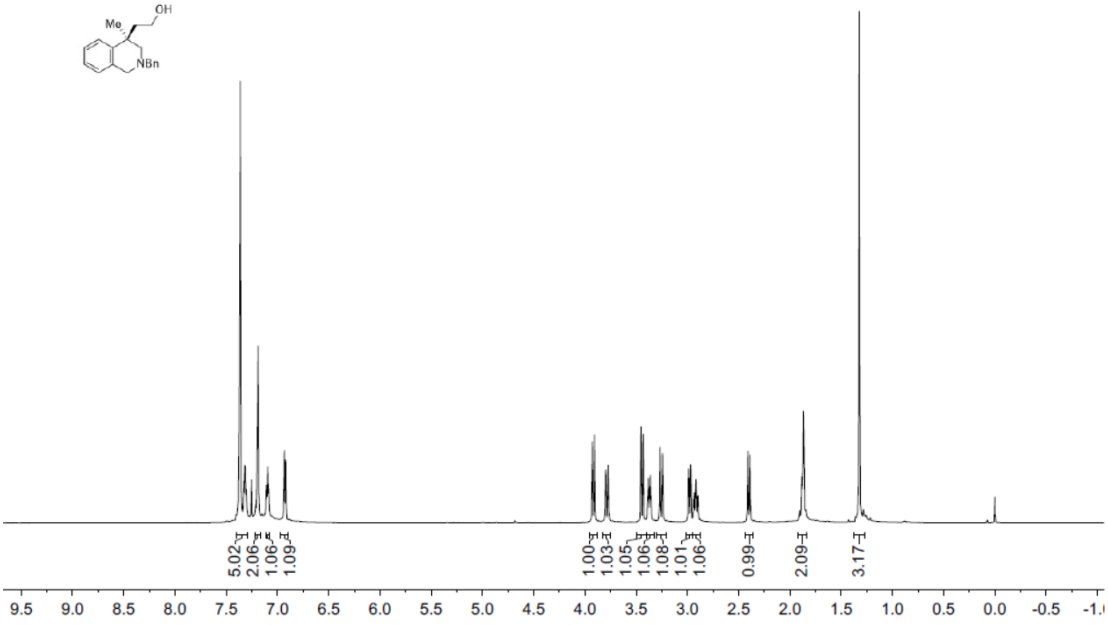
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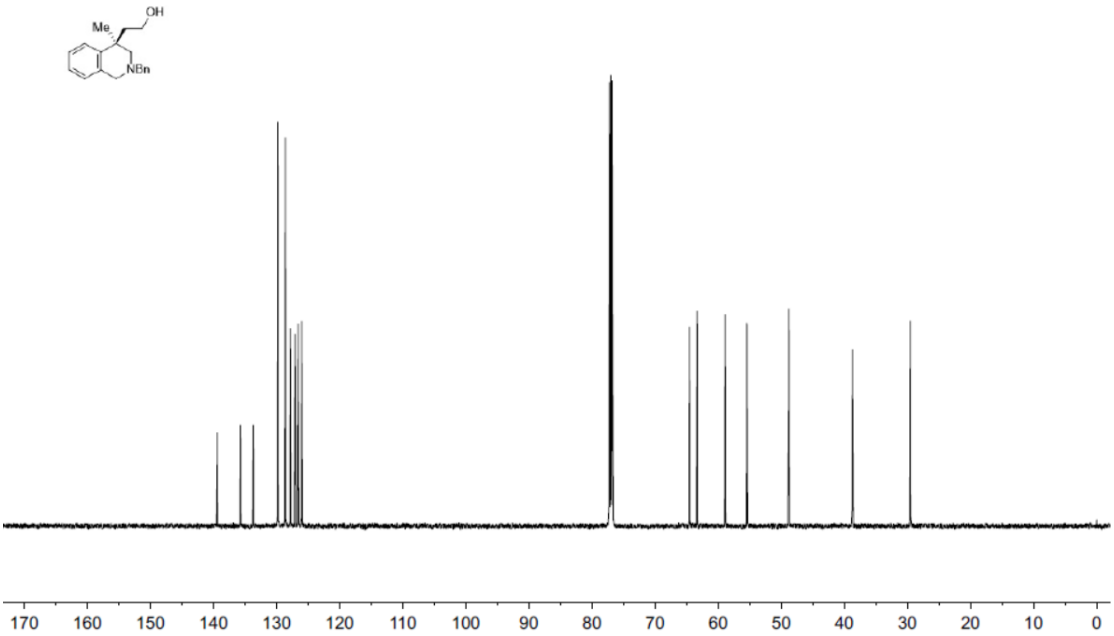
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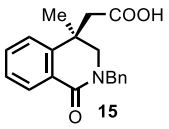
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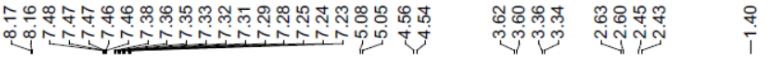
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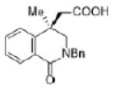
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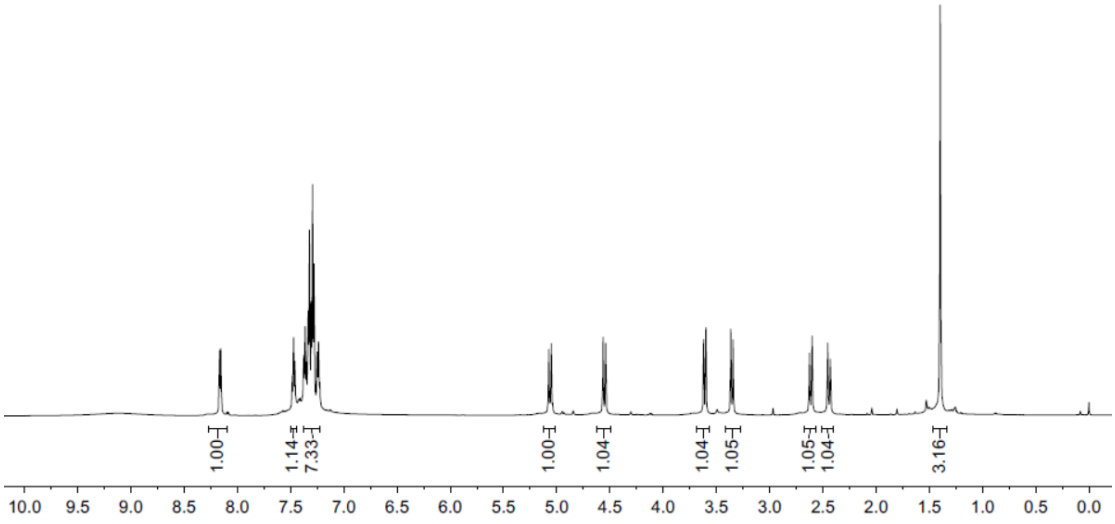
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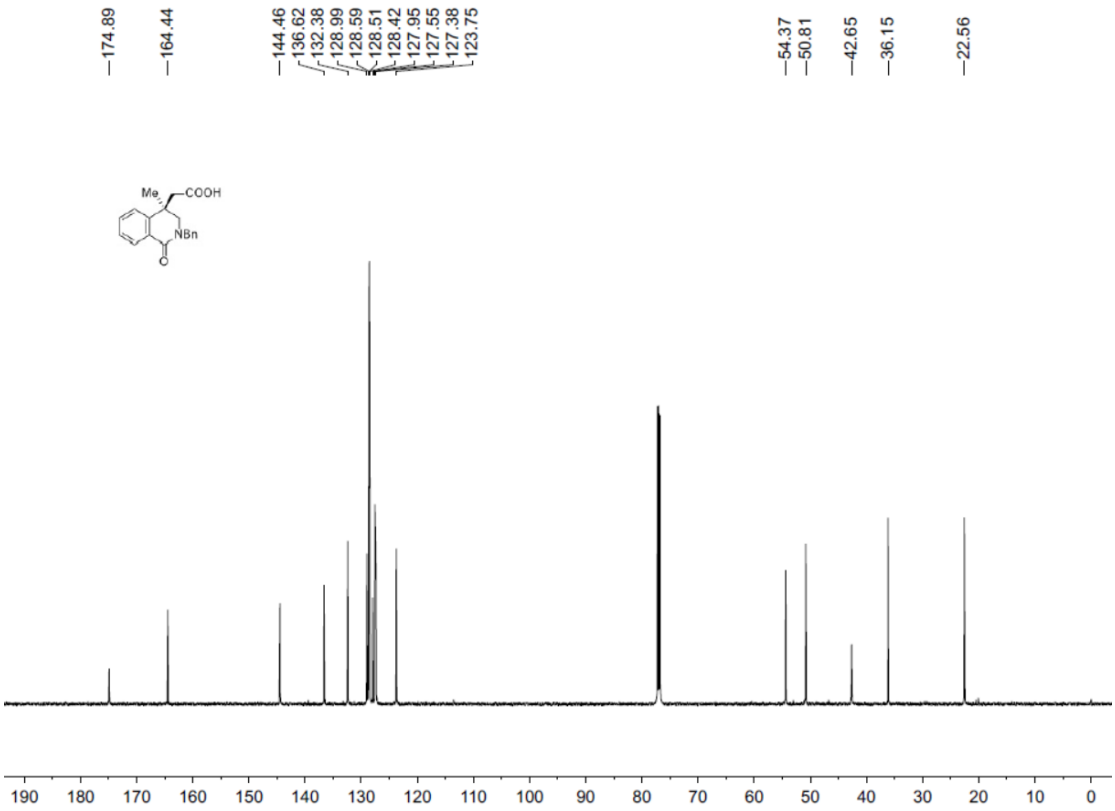
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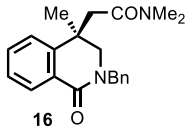
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O

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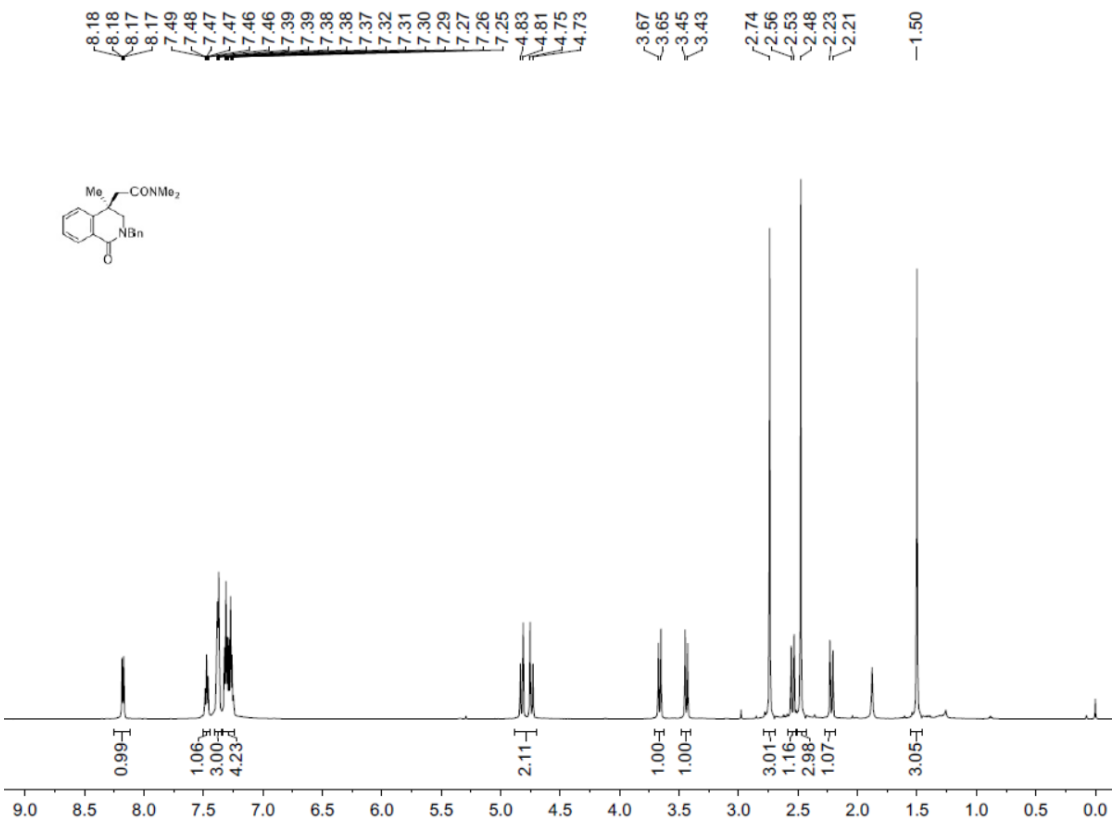
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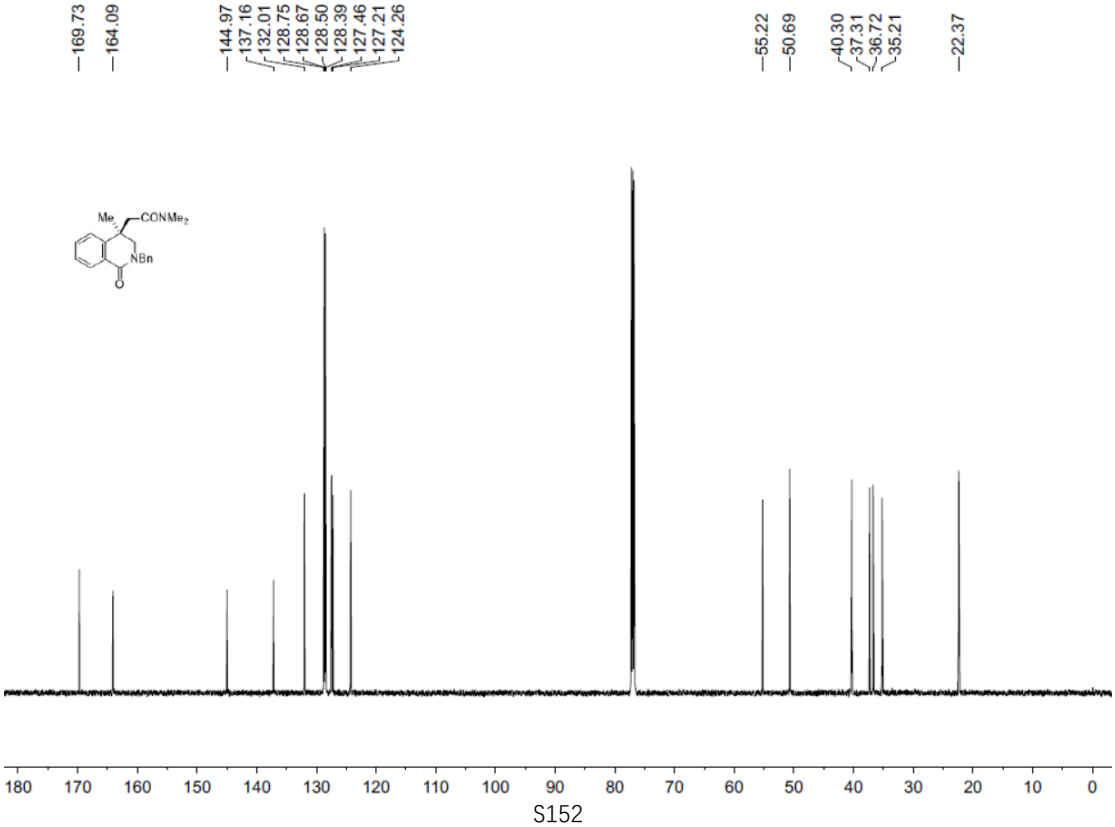
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0

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