Electronic Supplementary Material (ESI) for Organic & Biomolecular Chemistry.

I_2/TBHP Mediated Diastereoselective Synthesis of Spiroaziridines

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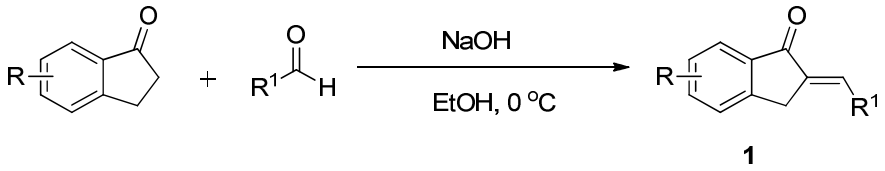
General Experimental Methods

All the reactions were performed with commercially available best grade chemicals without further purification. All the solvents used are reagent grade and commercially available. Column chromatography was performed using 100 – 200 mesh silica gel and mixtures of hexane – ethyl acetate were used for elution of the products. Proton nuclear magnetic resonance spectra (^{1}H NMR) were recorded on a Bruker AMX 500 spectrometer ($CDCl_3$, $(CD_3)_2CO$ and CD_3CN as solvents). Chemical shifts for ^{1}H NMR spectra are reported as δ in units of parts per million (ppm) downfield from $SiMe_4$ (δ 0.0) and relative to the signal of chloroform-d (δ 7.25), $(CD_3)_2CO$ (δ 2.09) and CD_3CN (δ 1.96). Multiplicities were given as: s (singlet); d (doublet); t (triplet); q (quartet); dd (doublet of doublet); dt (doublet of triplet), m (multiplet). Coupling constants are reported as *J* value in Hz. Carbon nuclear magnetic resonance spectra (^{13}C NMR) are reported as δ in units of parts per million (ppm) downfield from $SiMe_4$ (δ 0.0) and relative to the signal of chloroform-d (δ 77.03), $(CD_3)_2CO$ (δ 30.06 ppm) and CD_3CN (1.79 ppm). Mass spectra were recorded under EI/HRMS at 60,000 resolution using Thermo Scientific Exactive- LCMS mass spectrometer by electron spray ionization method with ions given in m/z using Orbitrap analyzer. IR spectra were recorded on Bruker FT-IR spectrometer. Melting points were determined on a Buchi melting point apparatus and are uncorrected.

General Procedures

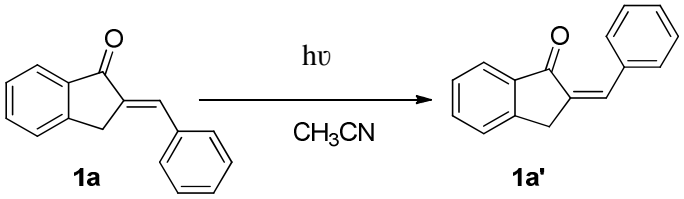
General Procedure for the synthesis of (*E*)-2-arylidene-2,3-dihydro-1*H*-inden-1-one[1]



1

One equivalent of aryl aldehyde or heteroaryl aldehyde was added to a solution of one equivalent of indanone in ethanol. 10% aqueous solution of NaOH was added dropwise to the reaction mixture at 0°C and allowed to stir at room temperature for 30 minutes. The precipitate formed was collected by filtration and washed with hexane. The precipitate was dried and used for further reaction.

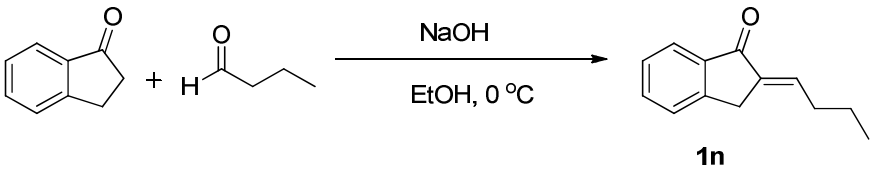
Procedure for the synthesis of (Z)-2-benzylidene-2,3-dihydro-1*H*-inden-1-one[2]



1a **1a'**

A N_2 - bubbled solution of **1a** in CH_3CN was irradiated using a photochemical reactor at 352 nm for 4h. The solvent was removed under reduced pressure and the product was purified by column chromatography using ethyl acetate and hexane (4% ethyl acetate in hexane) as the eluents.

Procedure for the synthesis of (*E*)-2-alkylidene-2,3-dihydro-1*H*-inden-1-one

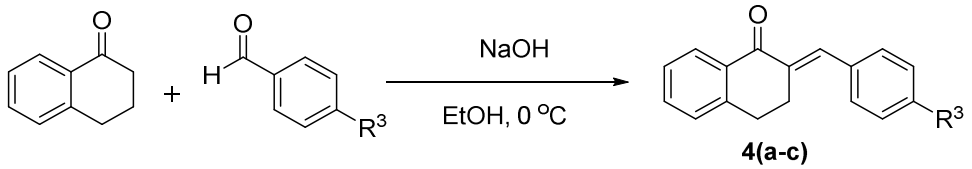


1n

One equivalent of butanal was added to a solution of one equivalent of indanone in ethanol. 10% aqueous solution of NaOH was added dropwise to the reaction mixture at 0°C. The reaction was allowed to stir at room temperature by monitoring the TLC. After the completion of the reaction the product was extracted with ethylacetate (3 x 10 mL). The solvent was evaporated in vacuo and the residue on silica gel (100 -

200 mesh) column chromatography yielded the product as pale yellow liquid with hexane and ethylacetate as eluent.

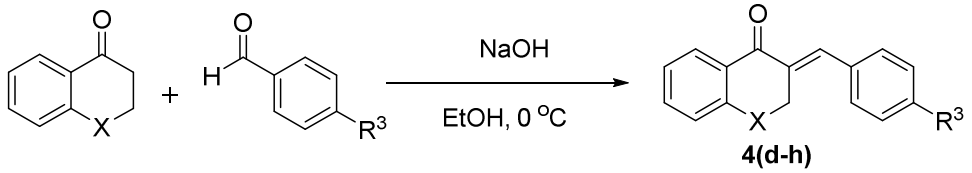
General Procedure for the synthesis of (*E*)-2-arylidene-3,4-dihydronaphthalen-1(2*H*)-one



4(a-c)

To a solution of tetralone (1 equiv.) in ethanol added corresponding aryl aldehyde (1 equiv.) at 0 °C. An aqueous solution of NaOH (10%, 10 mL) was added drop wise to this reaction mixture. The solid precipitate formed was collected by filtration and washed with water and hexane. It was dried and used for further reaction.

General Procedure for the synthesis of (*E*)-3-arylidenechroman-4-one/ (*Z*)-3-arylidenethiochroman-4-one



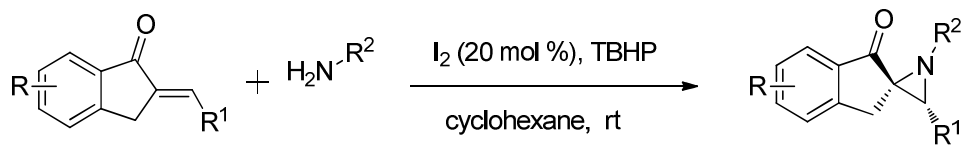
4(d-h)

X= O, S **X= O, S**

One equivalent of aryl aldehyde was added to a solution of one equivalent of chroman-4-one/thiochroman-4-one in ethanol. 10% aqueous solution of NaOH was added dropwise to the reaction mixture at 0°C and allowed to stir at room temperature for 30 minutes. The precipitate formed was collected by filtration and washed with hexane. The precipitate was dried and used for further reaction.

General Procedure for the synthesis of spiroaziridine

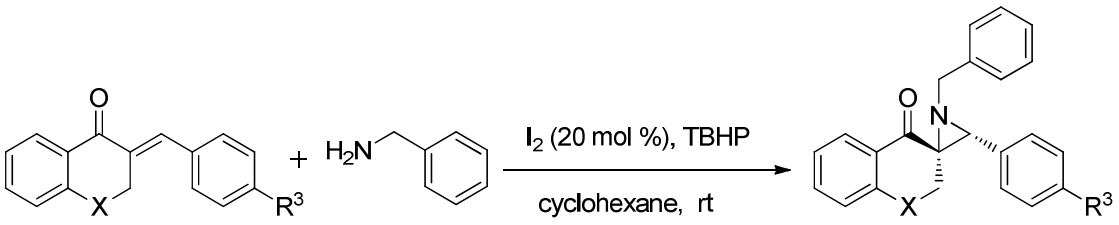
Procedure A:



1 **2** **3**

To a mixture of (*E*)-2-aryl/alkylidene-2,3-dihydro-1*H*-inden-1-one (1equiv.) and primary amine (4 equiv.) 20 mol% of iodine was added. Cyclohexane (2 mL) was added to the reaction mixture followed by the addition of TBHP (2 equiv.). The reaction mixture was then allowed to stir at room temperature for 3 – 10 hours by monitoring the TLC. After the completion of the reaction, the mixture was extracted with ethylactate and washed with aqueous solution of sodium thiosulfate and brine solution. The organic layer was dried over anhydrous Na_2SO_4 and solvent was evaporated in *vacuo*. The residue on column chromatography yielded the products with hexane and ethyl acetate as eluents.

Procedure B:



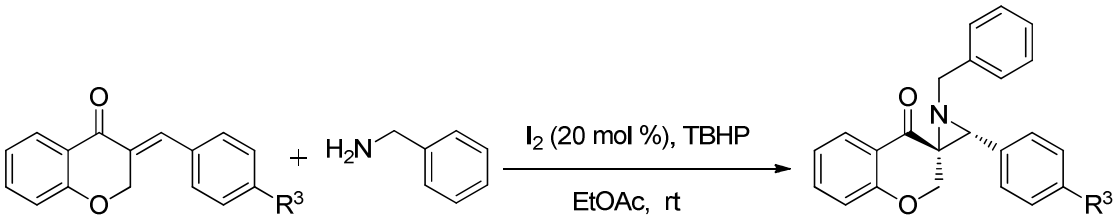
4
X= CH_2, S

2a

5
X= CH_2, S

To a mixture of (*E*)-2-arylidene-3,4-dihydronaphthalen-1(2*H*)-one/ (*Z*)-3-arylidenethiochroman-4-one (1equiv.) and benzylamine (4 equiv.) 20 mol% of iodine was added. Cyclohexane (2 mL) was added to the reaction mixture followed by the addition of TBHP (2 equiv.). The reaction mixture was then allowed to stir at room temperature for 3 – 10 hours by monitoring the TLC. After the completion of the reaction, the mixture was extracted with ethylactate and washed with aqueous solution of sodium thiosulfate and brine solution. The organic layer was dried over anhydrous Na_2SO_4 and solvent was evaporated in *vacuo*. The residue on column chromatography yielded the products with hexane and ethylacetate as eluents.

Procedure C:



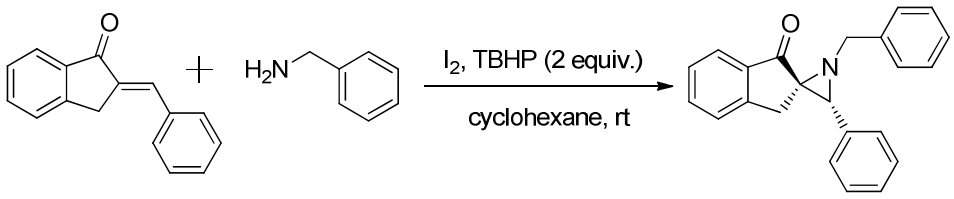
4(d-f)

2a

5(d-f)

To a mixture of (*E*)-3-arylidenechroman-4-one (1equiv) and benzylamine (4 equiv) 20 mol% of iodine was added. Ethylacetate (2 mL) was added to the reaction mixture followed by the addition of TBHP (2 equiv). The reaction mixture was then allowed to stir at room temperature for 2 – 4 hours by monitoring the TLC. After the completion of the reaction, the mixture was washed with aqueous solution of sodium thiosulfate and brine solution. The organic layer was dried over anhydrous Na_2SO_4 and solvent was evaporated in *vacuo*. The residue on column chromatography yielded the products with hexane and ethylacetate as eluents.

Table S1. Optimization of Iodine loading[a)]



1a **2a** **3a**

Entry	Catalyst loading (mol%)	Yield [%][b)]
1.	10	50
2.	20	61
3.	30	61
4.	40	43

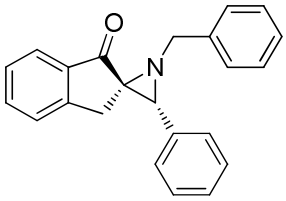
Reaction conditions: [a)] **1a** (0.15 mmol), **2a** (0.6 mmol), TBHP (0.3 mmol), 8h. [b)] Isolated yield.

Control Experiment Procedure

3 Equivalents of TEMPO was added to a mixture of (*E*)-2-benzylidene-2,3-dihydro-1*H*-inden-1-one (1equiv.), primary amine (4 equiv.) and 20 mol% of iodine. Cyclohexane (2 mL) was added to the reaction mixture followed by the addition of TBHP (2 equiv.). The reaction mixture was then allowed to stir at room temperature for 8 hours by monitoring the TLC. After the completion of the reaction, the mixture was extracted with ethylactate and washed with aqueous solution of sodium thiosulfate and brine solution. The organic layer was dried over anhydrous Na_2SO_4 and solvent was evaporated in *vacuo*. The residue on column chromatography yielded the products with hexane and ethyl acetate as eluents.

Synthesis and characterisation of spiroaziridines

1-benzyl-3-phenylspiro[aziridine-2,2'-inden]-1'(3'*H*)-one (3a)



The reaction was performed according to procedure A with (*E*)-2-benzylidene-2,3-dihydro-1*H*-inden-1-one (34 mg, 0.15 mmol), benzylamine (65 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (30 mg, 61%).

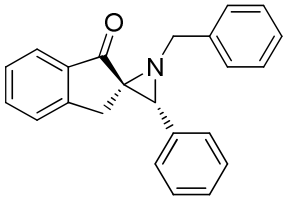
IR (neat) ν_{max}: 3031, 1697,1603, 1494, 1466, 804,739 cm^{-1}

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.74 (d, *J* = 7.5 Hz, 1H), 7.53 (t, *J* = 7.5 Hz, 1H), 7.38 – 7.31 (m, 8H), 7.28 – 7.23 (m, 3H), 7.17 (t, *J* = 7.5 Hz, 1H), 4.47 (d, *J* = 14.0 Hz, 1H), 4.39 (d, *J* = 14.5 Hz, 1H), 3.73 (s, 1H), 3.08 (d, *J* = 18.5 Hz, 1H), 2.78 (d, *J* = 18.0 Hz, 1H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 201.4, 152.3, 139.6, 137.5, 137.1, 134.6, 128.3, 128.30, 128.1, 127.6, 127.5, 127.3, 126.8, 126.3, 123.3, 55.2, 54.5, 54.0, 32.1.

HRMS (ESI) (m/z): Calcd for $C_{23}H_{20}NO$, $(M+H)^+$: 326.15449; Found: 326.15527.

1-benzyl-3-phenylspiro[aziridine-2,2'-inden]-1'(3'*H*)-one obtained from (*Z*) arylidinone (3a)



The reaction was performed according to procedure A with (*Z*)-2-benzylidene-2,3-dihydro-1*H*-inden-1-one (34 mg, 0.15 mmol), benzylamine (65 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (20 mg, 40%).

IR (neat) ν_{max}: 3030, 1699, 1605, 1494,740, 699 cm^{-1}

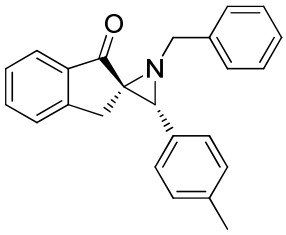
^{1}H NMR (500 MHz, $CDCl_3$): δ 7.78 (d, *J* = 8.0 Hz, 1H), 7.55 (t, *J* = 7.5 Hz, 1H), 7.41 – 7.33 (m, 8H), 7.31 – 7.27 (m, 3H), 7.20 (d, *J* = 7.5 Hz, 1H), 4.50 (d, *J* = 14.0 Hz,

1H), 4.42 (d, *J* = 14.0 Hz, 1H), 3.76 (s, 1H), 3.11 (d, *J* = 18.5 Hz, 1H), 2.81 (d, *J* = 18.5 Hz, 1H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 201.4, 152.3, 139.6, 137.5, 137.1, 134.6, 128.3, 128.3, 128.1, 127.6, 127.5, 127.4, 126.8, 126.3, 123.3, 55.2, 54.5, 54.0, 32.1.

HRMS (ESI) (m/z): Calcd for $C_{23}H_{20}NO$, $(M+H)^+$: 326.15449; Found: 326.15507.

1-benzyl-3-(*p*-tolyl)spiro[aziridine-2,2'-inden]-1'(3'*H*)-one (3b)



The reaction was performed according to procedure A with (*E*)-2-(4-methylbenzylidene)-2,3-dihydro-1*H*-inden-1-one (36 mg, 0.15 mmol), benzylamine (65 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (29 mg, 57%).

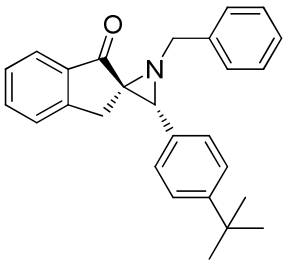
IR (neat) ν_{max}: 3030, 2921, 1698, 1605, 1514, 1495, 1089 cm^{-1}

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.74 (d, *J* = 7.5 Hz, 1H), 7.52 (t, *J* = 7.5 Hz, 1H), 7.37 - 7.31 (m, 4H), 7.23 (d, *J* = 8.0 Hz, 4H), 7.17 - 7.13 (m, 3H), 4.46 (d, *J* = 14.0 Hz, 1H), 4.38 (d, *J* = 14.0 Hz, 1H), 3.70 (s, 1H), 3.07 (d, *J* = 18.5 Hz, 1H), 2.79 (d, *J* = 18.5 Hz, 1H), 2.33 (s, 3H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 201.5, 152.3, 139.7, 137.5, 137.3, 134.5, 134.1, 129.0, 128.3, 128.0, 127.4, 127.3, 126.7, 126.2, 123.3, 55.2, 54.5, 54.1, 32.1, 21.2.

HRMS (ESI) (m/z): Calcd for $C_{24}H_{22}NO$, $(M+H)^+$: 340.17014; Found: 340.17059.

1-benzyl-3-(4-(tert-butyl)phenyl)spiro[aziridine-2,2'-inden]-1'(3'*H*)-one (3c)



The reaction was performed according to procedure A with (*E*)-2-(4-(tert-butyl)benzylidene)-2,3-dihydro-1*H*-inden-1-one (47 mg, 0.15 mmol), benzylamine (65 mg, 0.60 mmol). The crude product was purified by column chromatography (3%

ethyl acetate in hexane) to afford the desired product as a colourless liquid (25 mg, 48%).

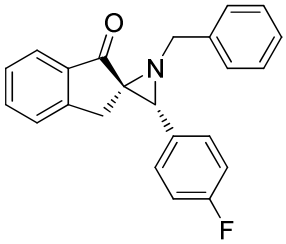
IR (neat) ν_{max}: 3030, 2961, 1698, 1648, 1604, 1495 cm^{-1}

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.74 (d, *J* = 7.5 Hz, 1H), 7.56 – 7.50 (m, 1H), 7.38 - 7.31 (m, 6H), 7.27 - 7.23 (m, 4H), 7.17 (t, *J* = 7.5 Hz, 1H), 4.45 (d, *J* = 14.0 Hz, 1H), 4.39 (d, *J* = 14.0 Hz, 1H), 3.71 (s, 1H), 3.08 (d, *J* = 18.0 Hz, 1H), 2.83 (d, *J* = 18.5 Hz, 1H), 1.31 (s, 9H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 201.5, 152.4, 150.6, 139.7, 137.5, 134.5, 134.1, 129.7, 128.3, 128.1, 127.3, 127.2, 126.7, 126.2, 126.0, 125.2, 123.2, 55.2, 54.5, 54.1, 34.6, 32.2, 31.4, 31.1.

HRMS (ESI) (m/z): Calcd for $C_{27}H_{28}NO$, $(M+H)^+$: 382.21709; Found: 382.21669.

1-benzyl-3-(4-fluorophenyl)spiro[aziridine-2,2'-inden]-1'(3'*H*)-one (3d)



The reaction was performed according to procedure A with (*E*)-2-(4-fluorobenzylidene)-2,3-dihydro-1*H*-inden-1-one (38 mg, 0.15 mmol), benzylamine (65 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (27 mg, 52%).

IR (neat) ν_{max}: 3064, 3031, 2923, 1701, 1606, 1495, 1048 cm^{-1}

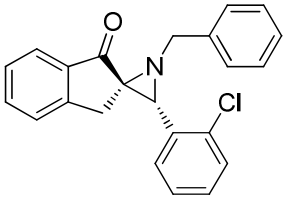
^{1}H NMR (500 MHz, $CDCl_3$): δ 7.78 (d, *J* = 7.5 Hz, 1H), 7.54 (t, *J* = 7.5 Hz, 1H), 7.41 (d, *J* = 7.0 Hz, 3H), 7.37 (t, *J* = 7.5 Hz, 1H), 7.32 - 7.25 (m, 4H), 7.23 - 7.19 (m, 3H), 4.45 (d, *J* = 13.5 Hz, 1H), 4.37 (d, *J* = 14.0 Hz, 1H), 3.90 (s, 1H), 2.95 (d, *J* = 18.0 Hz, 1H), 2.62 (d, *J* = 18.0 Hz, 1H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 201.1, 152.2, 139.5, 137.3, 135.1, 134.7, 134.3, 129.2, 128.9, 128.8, 128.4, 128.4, 127.4, 126.9, 126.7, 126.2, 123.4, 54.2, 53.4, 53.1, 32.2.

^{19}F NMR (471 MHz, $CDCl_3$): δ -114.59.

HRMS (ESI) (m/z): Calcd for $C_{23}H_{19}FNO$, $(M+H)^+$: 344.1557; Found: 344.14557.

1-benzyl-3-(2-chlorophenyl)spiro[aziridine-2,2'-inden]-1'(3'*H*)-one (3e)



The reaction was performed according to procedure A with (*E*)-2-(2-chlorobenzylidene)-2,3-dihydro-1*H*-inden-1-one (39 mg, 0.15 mmol), benzylamine (65 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (25 mg, 44%).

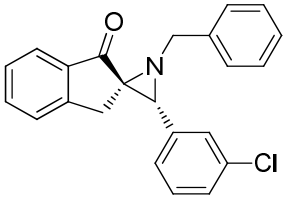
IR (neat) ν_{max}: 3066, 3031, 2921, 1702, 1606, 1468, 1048 cm^{-1}

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.78 (d, *J* = 7.5 Hz, 1H), 7.54 (t, *J* = 7.5 Hz, 1H), 7.41 (d, *J* = 7.0 Hz, 3H), 7.37 (t, *J* = 7.5 Hz, 1H), 7.32 – 7.29 (m, 2H), 7.27 – 7.25 (m, 2H), 7.23 - 7.19 (m, 3H), 4.45 (d, *J* = 13.5 Hz, 1H), 4.37 (d, *J* = 13.5 Hz, 1H), 3.90 (s, 1H), 2.95 (d, *J* = 18.0 Hz, 1H), 2.62 (d, *J* = 18.0 Hz, 1H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 201.1, 152.2, 139.5, 137.3, 135.2, 134.6, 134.3, 129.2, 128.9, 128.7, 128.4, 128.4, 127.4, 126.9, 126.7, 126.2, 123.4, 54.2, 53.4, 53.1, 32.2.

HRMS (ESI) (m/z): Calcd for $C_{23}H_{19}ClNO$, $(M+H)^+$: 360.11552; Found: 360.11652.

1-benzyl-3-(3-chlorophenyl)spiro[aziridine-2,2'-inden]-1'(3'*H*)-one (3f)



The reaction was performed according to procedure A with (*E*)-2-(3-chlorobenzylidene)-2,3-dihydro-1*H*-inden-1-one (39 mg, 0.15 mmol), benzylamine (65 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (25 mg, 47%).

IR (neat) ν_{max}: 3063, 3030, 2919, 1699, 1601, 1494, 1046 cm^{-1}

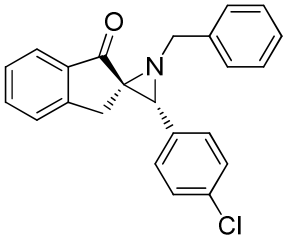
^{1}H NMR (500 MHz, $CDCl_3$): δ 7.74 (d, *J* = 7.5 Hz, 1H), 7.54 (t, *J* = 7.5 Hz, 1H), 7.37-7.34 (m, 5H), 7.26 – 7.24 (m, 5H), 7.18 (t, *J* = 7.5 Hz, 1H), 4.44 (d, *J* = 14.0 Hz, 1H),

4.37 (d, *J* = 14.0 Hz, 1H), 3.69 (s, 1H), 3.08 (d, *J* = 18.0 Hz, 1H), 2.76 (d, *J* = 18.5 Hz, 1H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 200.9, 152.1, 139.4, 139.3, 137.3, 134.8, 134.4, 129.6, 128.3, 128.1, 127.8, 127.5, 127.4, 126.9, 126.3, 125.8, 123.4, 54.6, 54.1, 53.9, 32.1.

HRMS (ESI) (m/z): Calcd for $C_{23}H_{19}ClNO$, $(M+H)^+$: 360.11552; Found: 360.11652.

1-benzyl-3-(4-chlorophenyl)spiro[aziridine-2,2'-inden]-1'(3'*H*)-one (3g)



The reaction was performed according to procedure A with (*E*)-2-(4-chlorobenzylidene)-2,3-dihydro-1*H*-inden-1-one (39 mg, 0.15 mmol), benzylamine (65 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (25 mg, 47%).

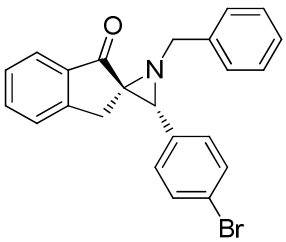
IR (neat) ν_{max}: 3063, 3031, 2923, 1699, 1606, 1491, 1047 cm^{-1}

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.75 (d, *J* = 7.5 Hz, 1H), 7.54 (t, *J* = 7.5 Hz, 1H), 7.37 - 7.31 (m, 4H), 7.29 (d, *J* = 5.0 Hz, 3H), 7.26 - 7.23 (m, 3H), 7.18 (t, *J* = 7.5 Hz, 1H), 4.45 (d, *J* = 14.0 Hz, 1H), 4.36 (d, *J* = 14.0 Hz, 1H), 3.69 (s, 1H), 3.06 (d, *J* = 18.0 Hz, 1H), 2.74 (d, *J* = 18.0 Hz, 1H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 201.1, 152.1, 139.4, 137.4, 135.7, 134.8, 133.4, 128.8, 128.5, 128.3, 128.1, 127.5, 126.9, 126.3, 123.4, 54.5, 54.3, 53.9, 32.0.

HRMS (ESI) (m/z): Calcd for $C_{23}H_{19}ClNO$, $(M+H)^+$: 360.11552; Found: 360.11680.

1-benzyl-3-(4-bromophenyl)spiro[aziridine-2,2'-inden]-1'(3'*H*)-one (3h)



The reaction was performed according to procedure A with (*E*)-2-(4-bromobenzylidene)-2,3-dihydro-1*H*-inden-1-one (45 mg, 0.15 mmol), benzylamine

(65 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (16 mg, 27%).

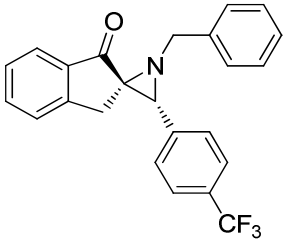
IR (neat) ν_{max}: 30362, 3030, 2920, 1699, 1606, 1487, 1047 cm^{-1}

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.77 (d, *J* = 7.5 Hz, 1H), 7.57 (d, *J* = 7.5 Hz, 1H), 7.48 (d, *J* = 8.0 Hz, 2H), 7.40 – 7.35 (m, 4H), 7.28 - 7.23 (m, 4H), 7.20 (t, *J* = 7.5 Hz, 1H), 4.47 (d, *J* = 14.0 Hz, 1H), 4.38 (d, *J* = 14.0 Hz, 1H), 3.70 (s, 1H), 3.08 (d, *J* = 18.0 Hz, 1H), 2.77 (d, *J* = 18.5 Hz, 1H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 201.0, 152.1, 139.4, 137.4, 136.2, 134.7, 131.5, 129.2, 128.3, 128.0, 127.5, 126.9, 126.3, 123.4, 121.5, 54.5, 54.3, 53.9, 32.0.

HRMS (ESI) (m/z): Calcd for $C_{23}H_{19}BrNO$, $(M+H)^+$: 404.06500; Found: 404.06561, $(M+2)^+$: 406.06296; Found: 406.06360.

1-benzyl-3-(4-(trifluoromethyl)phenyl)spiro[aziridine-2,2'-inden]-1'(3'*H*)-one (3i)



The reaction was performed according to procedure A with (*E*)-2-(4-(trifluoromethyl)benzylidene)-2,3-dihydro-1*H*-inden-1-one (44 mg, 0.15 mmol), benzylamine (65 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (29 mg, 49%).

IR (neat) ν_{max}: 3062, 2925, 1702, 1612, 1323, 1163, 1123, 1065 cm^{-1}

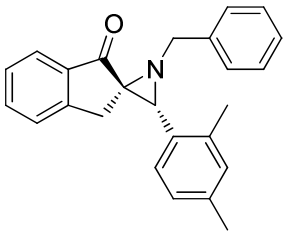
^{1}H NMR (500 MHz, $CDCl_3$): δ 7.76 (d, *J* = 8.0 Hz, 1H), 7.59 (d, *J* = 8.0 Hz, 2H), 7.54 (d, *J* = 7.5 Hz, 1H), 7.46 (d, *J* = 8.0 Hz, 2H), 7.38 - 7.32 (m, 4H), 7.26 (t, *J* = 8.0 Hz, 2H), 7.19 (t, *J* = 7.5 Hz, 1H), 4.45 (d, *J* = 13.5 Hz, 1H), 4.37 (d, *J* = 14.0 Hz, 1H), 3.76 (s, 1H), 3.09 (d, *J* = 18.0 Hz, 1H), 2.73 (d, *J* = 18.0 Hz, 1H).

^{13}C NMR (126 MHz, $CDCl_3$): δ 200.8, 152.0, 139.3, 137.3, 134.8, 128.4, 128.1, 127.8, 127.5, 126.9, 126.3, 125.3, 125.3, 123.4, 54.7, 54.1, 53.9, 32.0.

^{19}F NMR (471 MHz, $CDCl_3$): δ -62.46.

HRMS (ESI) (m/z): Calcd for $C_{24}H_{19}F_3NO$, $(M+H)^+$: 394.14187; Found: 394.14267.

1-benzyl-3-(2,4-dimethylphenyl)spiro[aziridine-2,2'-inden]-1'(3'*H*)-one (3j)



The reaction was performed according to procedure A with (*E*)-2-(2,4-dimethylbenzylidene)-2,3-dihydro-1*H*-inden-1-one (38 mg, 0.15 mmol), benzylamine (65 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (20 mg, 37%).

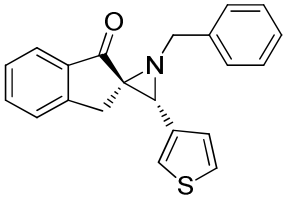
IR (neat) ν_{max}: 3061, 3030, 2921, 1697, 1607, 1497, 1458, 1048 cm^{-1}

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.76 (d, *J* = 7.5 Hz, 1H), 7.53 (t, *J* = 7.5 Hz, 1H), 7.41 (d, *J* = 7.5 Hz, 2H), 7.36 (t, *J* = 7.5 Hz, 1H), 7.30 - 7.25 (m, 4H), 7.19 (t, *J* = 7.5 Hz, 1H), 6.99 (d, *J* = 7.5 Hz, 1H), 6.92 (s, 1H), 4.46 (d, *J* = 13.7 Hz, 1H), 4.39 (d, *J* = 13.7 Hz, 1H), 3.69 (s, 1H), 2.95 (d, *J* = 18.3 Hz, 1H), 2.57 (d, *J* = 18.3 Hz, 1H), 2.29 (s, 3H), 2.06 (s, 3H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 201.8, 152.3, 139.7, 137.4, 137.12, 136.5, 134.5, 132.5, 130.5, 128.3, 127.3, 127.2, 126.9, 126.6, 126.2, 123.3, 54.4, 54.0, 53.4, 32.2, 21.1, 18.9.

HRMS (ESI) (m/z): Calcd for $C_{25}H_{24}NO$, $(M+H)^+$: 354.18579; Found: 354.18594.

1-benzyl-3-(thiophen-3-yl)spiro[aziridine-2,2'-inden]-1'(3'*H*)-one (3k)



The reaction was performed according to procedure A with (*E*)-2-(thiophen-2-ylmethylene)-2,3-dihydro-1*H*-inden-1-one (34 mg, 0.15 mmol), benzylamine (65 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (16 mg, 32%).

IR (neat) ν_{max}: 3063, 3030, 2920, 1696, 1605, 1495, 1466, 1086 cm^{-1}

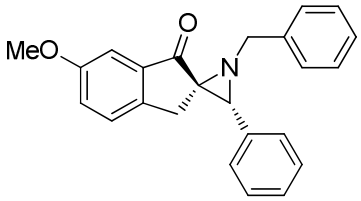
^{1}H NMR (500 MHz, $CDCl_3$): δ 7.65 (d, *J* = 7.5 Hz, 1H), 7.45 (t, *J* = 7.5 Hz, 1H), 7.27 (d, *J* = 6.0 Hz, 4H), 7.19 – 7.11 (m, 4H), 7.08 (t, *J* = 7.0 Hz, 1H), 6.94 (d, *J* = 4.5 Hz,

1H), 4.35 (d, *J* = 14.5 Hz, 1H), 4.25 (d, *J* = 14.5 Hz, 1H), 3.64 (s, 1H), 3.01 (d, *J* = 18.0 Hz, 1H), 2.78 (d, *J* = 18.0 Hz, 1H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 201.4, 152.3, 139.6, 139.0, 137.5, 134.6, 128.3, 127.9, 127.4, 126.9, 126.8, 126.3, 125.8, 123.3, 122.5, 54.2, 54.1, 51.7, 32.5.

HRMS (ESI) (m/z): Calcd for $C_{21}H_{18}NOS$, $(M+H)^+$: 332.11091; Found: 332.11093.

1-benzyl-6'-methoxy-3-phenylspiro[aziridine-2,2'-inden]-1'(3'*H*)-one (3l)



The reaction was performed according to procedure A with (*E*)-2-benzylidene-6-methoxy-2,3-dihydro-1*H*-inden-1-one (38 mg, 0.15 mmol), benzylamine (65 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (20 mg, 38%).

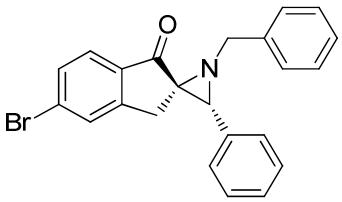
IR (neat) ν_{max}: 3083, 3061, 2938, 1695, 1614, 1491, 1279, 1147 cm^{-1}

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.41 (d, *J* = 7.5 Hz, 2H), 7.37 – 7.34 (m, 4H), 7.31 - 7.24 (m, 4H), 7.22 - 7.18 (m, 2H), 7.16 (d, *J* = 8.5 Hz, 1H), 4.49 (d, *J* = 14.0 Hz, 1H), 4.43 (d, *J* = 14.0 Hz, 1H), 3.86 (s, 3H), 3.75 (s, 1H), 3.03 (d, *J* = 18.0 Hz, 1H), 2.73 (d, *J* = 18.0 Hz, 1H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 201.3, 159.3, 145.2, 139.6, 138.5, 137.2, 128.3, 128.0, 127.6, 127.5, 127.0, 126.8, 123.8, 104.7, 55.6, 55.2, 55.2, 54.1, 31.5.

HRMS (ESI) (m/z): Calcd for $C_{24}H_{22}NO_2$, $(M+H)^+$: 356.16505; Found: 356.16556.

1-benzyl-5'-bromo-3-phenylspiro[aziridine-2,2'-inden]-1'(3'*H*)-one (3m)



The reaction was performed according to procedure A with (*E*)-2-benzylidene-6-bromo-2,3-dihydro-1*H*-inden-1-one (45 mg, 0.15 mmol), benzylamine (65 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (30 mg, 47%).

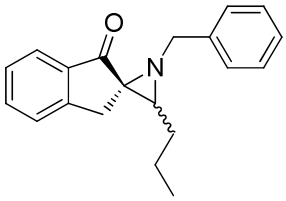
IR (neat) ν_{max}: 3084, 3061, 2920, 1701, 1596, 1494, 1421, 1051 cm^{-1}

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.51 (d, *J* = 8.0 Hz, 1H), 7.42 (d, *J* = 7.0 Hz, 2H), 7.29 – 7.25 (m, 6H), 7.20 - 7.16 (m, 3H), 7.10 (t, *J* = 7.0 Hz, 1H), 4.37 (d, *J* = 14.0 Hz, 1H), 4.30 (d, *J* = 14.0 Hz, 1H), 3.66 (s, 1H), 2.97 (d, *J* = 18.5 Hz, 1H), 2.67 (d, *J* = 18.4 Hz, 1H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 200.2, 153.7, 139.4, 136.8, 136.2, 130.9, 130.1, 129.5, 128.4, 128.3, 128.0, 127.8, 127.5, 126.9, 124.5, 55.4, 54.4, 54.0, 31.8.

HRMS (ESI) (m/z): Calcd for $C_{23}H_{19}BrNO$, $(M+H)^+$: 404.06500; Found: 404.06543, $(M+2)^+$: 406.06296; Found: 406.06332.

1-benzyl-3-propylspiro[aziridine-2,2'-inden]-1'(3'*H*)-one (3n)



The reaction was performed according to procedure A with (*E*)-2-butylidene-2,3-dihydro-1*H*-inden-1-one (28 mg, 0.15 mmol), benzylamine (65 mg, 0.60 mmol). Isolation by column chromatography (3% and 10% ethyl acetate in hexane) gave the diastereomeric ratio of 1.9:1. The major isomer was obtained as a colourless liquid (21 mg, 49%) and the minor product also as a colourless liquid (11 mg, 26%).

Analytical data of major isomer

IR (neat) ν_{max}: 3030, 2958, 1699, 1607, 1495, 1292, 740, 697 cm^{-1}

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.75 (d, *J* = 7.5 Hz, 1H), 7.60 (t, *J* = 7.5 Hz, 1H), 7.48 (d, *J* = 7.5 Hz, 1H), 7.39 (t, *J* = 7.5 Hz, 1H), 7.31 (d, *J* = 7.0 Hz, 2H), 7.26 (m, 2H), 7.19 (t, *J* = 7.0 Hz, 1H), 4.23 (d, *J* = 13.5 Hz, 1H), 4.16 (d, *J* = 13.5 Hz, 1H), 3.26 (d, *J* = 18.0 Hz, 1H), 3.15 (d, *J* = 18.0 Hz, 1H), 2.61 (t, *J* = 6.0 Hz, 1H), 1.57 – 1.53 (m, 2H), 1.41 – 1.32 (m, 2H), 0.92 (t, *J* = 7.0 Hz, 3H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 202.5, 152.3, 139.6, 137.7, 134.5, 128.4, 128.3, 127.4, 126.8, 126.2, 123.2, 54.5, 52.9, 51.5, 33.2, 32.5, 20.6, 13.9.

HRMS (ESI) (m/z): Calcd for $C_{20}H_{22}NO$, $(M+H)^+$: 292.17014; Found: 292.17041.

Analytical data of minor isomer

IR (neat) ν_{max}: 3062, 3029, 2958, 1710, 1605, 1494, 1455, 738, 698 cm^{-1}

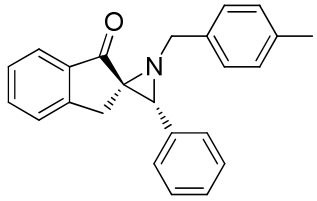
^{1}H NMR (500 MHz, $CDCl_3$): δ 7.83 (d, *J* = 7.5 Hz, 1H), 7.60 (t, *J* = 7.5 Hz, 1H), 7.46 (d, *J* = 7.5 Hz, 1H), 7.42 (t, *J* = 7.5 Hz, 1H), 7.37 (d, *J* = 7.5 Hz, 2H), 7.30 (t, *J* = 7.5 Hz, 2H), 7.23 (t, *J* = 7.5 Hz, 1H), 3.98 (d, *J* = 14.5 Hz, 1H), 3.81 (d, *J* = 14.5 Hz, 1H),

3.38 (d, *J* = 17.0 Hz, 1H), 3.25 (d, *J* = 17.5 Hz, 1H), 2.26 (t, *J* = 6.5 Hz, 1H), 1.92 - 1.85 (m, 1H), 1.72 - 1.65 (m, 1H), 1.38 - 1.27 (m, 1H), 1.24 - 1.17 (m, 1H), 0.84 (t, *J* = 7.5 Hz, 3H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 202.1, 151.0, 138.7, 137.1, 134.39, 128.4, 127.6, 127.4, 126.9, 126.2, 123.5, 59.7, 56.3, 52.7, 29.5, 28.4, 20.8, 13.8.

HRMS (ESI) (m/z): Calcd for $C_{20}H_{22}NO$, $(M+H)^+$: 292.17014; Found: 292.17020.

1-(4-methylbenzyl)-3-phenylspiro[aziridine-2,2'-inden]-1'(3'*H*)-one (3o)



The reaction was performed according to procedure A with (*E*)-2-benzylidene-2,3-dihydro-1*H*-inden-1-one (34 mg, 0.15 mmol), 4-methylbenzylamine (73 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (19 mg, 37%).

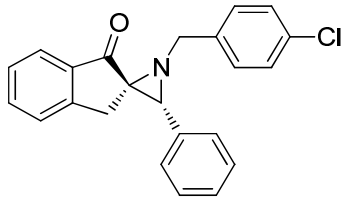
IR (neat) ν_{max}: 3030, 2921, 1700, 1606, 1466, 1047 cm^{-1}

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.75 (d, *J* = 8.0 Hz, 1H), 7.52 (t, *J* = 7.5 Hz, 1H), 7.36 – 7.27 (m, 6H), 7.26 (d, *J* = 7.5 Hz, 3H), 7.05 (d, *J* = 7.5 Hz, 2H), 4.41 (d, *J* = 14.0 Hz, 1H), 4.34 (d, *J* = 14.0 Hz, 1H), 3.72 (s, 1H), 3.07 (d, *J* = 18.5 Hz, 1H), 2.76 (d, *J* = 18.5 Hz, 1H), 2.26 (s, 3H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 201.4, 152.3, 137.5, 137.2, 136.6, 136.3, 134.6, 128.9, 128.3, 128.0, 127.6, 127.5, 127.3, 126.2, 123.3, 55.2, 54.5, 53.8, 32.2, 21.1.

HRMS (ESI) (m/z): Calcd for $C_{24}H_{22}NO$, $(M+H)^+$: 340.17014; Found: 340.16971.

1-(4-chlorobenzyl)-3-phenylspiro[aziridine-2,2'-inden]-1'(3'*H*)-one (3p)



The reaction was performed according to procedure A with (*E*)-2-benzylidene-2,3-dihydro-1*H*-inden-1-one (34 mg, 0.15 mmol), 4-chlorobenzylamine (85 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (22 mg, 41%).

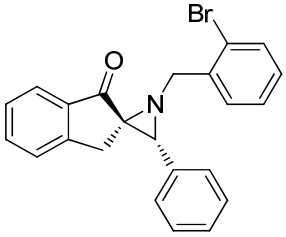
IR (neat) ν_{max}: 3062, 3032, 2922, 1698, 1604, 1491, 1467, 1090, 1048 cm^{-1}

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.74 (d, *J* = 7.5 Hz, 1H), 7.53 (t, *J* = 7.5 Hz, 1H), 7.37 – 7.28 (m, 9H), 7.21 (d, *J* = 8.0 Hz, 2H), 4.42 (d, *J* = 14.5 Hz, 1H), 4.36 (d, *J* = 14.0 Hz, 1H), 3.71 (s, 1H), 3.05 (d, *J* = 18.0 Hz, 1H), 2.78 (d, *J* = 18.5 Hz, 1H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 201.3, 152.2, 138.1, 137.3, 136.9, 134.7, 132.5, 129.4, 128.4, 128.4, 127.7, 127.4, 127.4, 126.3, 123.3, 55.1, 54.4, 53.3, 32.1.

HRMS (ESI) (m/z): Calcd for $C_{23}H_{19}ClNO$, $(M+H)^+$: 360.11552; Found: 360.11498.

1-(2-bromobenzyl)-3-phenylspiro[aziridine-2,2'-inden]-1'(3'*H*)-one (3q)



The reaction was performed according to procedure A with (*E*)-2-benzylidene-2,3-dihydro-1*H*-inden-1-one (34 mg, 0.15 mmol), 2-bromobenzylamine (112 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (33 mg, 54%).

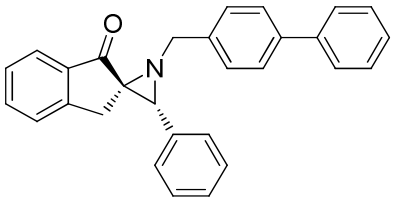
IR (neat) ν_{max}: 3062, 3032, 1696, 1603, 1493, 778, 736 cm^{-1}

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.68 (d, *J* = 8.0 Hz, 1H), 7.48 - 7.43 (m, 2H), 7.37 (d, *J* = 7.5 Hz, 1H), 7.30 – 7.26 (m, 6H), 7.22 - 7.18 (m, 1H), 7.09 (t, *J* = 7.5 Hz, 1H), 6.97 (t, *J* = 7.5 Hz, 1H), 4.47 (d, *J* = 15.5 Hz, 1H), 4.40 (d, *J* = 15.0 Hz, 1H), 3.69 (s, 1H), 3.08 (d, *J* = 18.5 Hz, 1H), 2.75 (d, *J* = 18.0 Hz, 1H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 201.1, 152.2, 139.1, 137.2, 136.9, 134.7, 132.6, 129.2, 128.4, 128.2, 127.7, 127.5, 127.4, 127.4, 126.3, 123.7, 123.4, 55.0, 54.7, 54.1, 32.1.

HRMS (ESI) (m/z): Calcd for $C_{23}H_{19}BrNO$, $(M+H)^+$: 404.06500; Found: 404.06485, $(M+2)^+$: 406.06296; Found: 406.06296.

1-([1,1'-biphenyl]-4-ylmethyl)-3-phenylspiro[aziridine-2,2'-inden]-1'(3'*H*)-one (3r)



The reaction was performed according to procedure A with (*E*)-2-benzylidene-2,3-dihydro-1*H*-inden-1-one (34 mg, 0.15 mmol), 4-phenylbenzylamine (110 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a white solid (24 mg, 40%).

MP: 110-112 ^{0}C

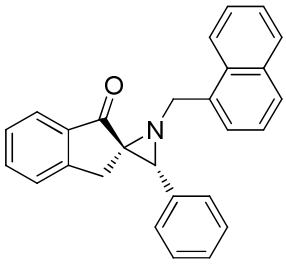
IR (neat) ν_{max}: 3061, 3030, 1699, 1605, 1488, 1048 cm^{-1}

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.78 (d, *J* = 7.5 Hz, 1H), 7.57 (d, *J* = 7.0 Hz, 3H), 7.51 (d, *J* = 7.5 Hz, 2H), 7.47 (d, *J* = 8.0 Hz, 2H), 7.43 - 7.35 (m, 9H), 7.32 (d, *J* = 8.0 Hz, 1H), 4.53 (d, *J* = 14.5 Hz, 1H), 4.47 (d, *J* = 14.5 Hz, 1H), 3.78 (s, 1H), 3.14 (d, *J* = 18.0 Hz, 1H), 2.83 (d, *J* = 18.5 Hz, 1H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 201.4, 152.3, 141.0, 139.6, 138.7, 137.5, 137.1, 134.6, 128.7, 128.5, 128.4, 127.6, 127.5, 127.4, 127.1, 127.0, 127.0, 126.3, 123.3, 55.2, 54.6, 53.8, 32.2.

HRMS (ESI) (m/z): Calcd for $C_{29}H_{24}NO$, $((M+H)^+$: 402.18579; Found: 402.18555.

1-(naphthalen-1-ylmethyl)-3-phenylspiro[aziridine-2,2'-inden]-1'(3'*H*)-one (3s)



The reaction was performed according to procedure A with (*E*)-2-benzylidene-2,3-dihydro-1*H*-inden-1-one (34 mg, 0.15 mmol), 1-naphthylmethylamine (95 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (26 mg, 47%).

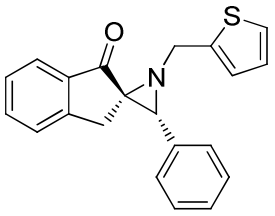
IR (neat) ν_{max}: 3055, 1698, 1602, 793, 778, 750 cm^{-1}

^{1}H NMR (500 MHz, $CDCl_3$); δ 8.35 (d, *J* = 8.5 Hz, 1H), 7.82 (d, *J* = 8.0 Hz, 1H), 7.76 (d, *J* = 7.5 Hz, 1H), 7.69 (d, *J* = 8.0 Hz, 1H), 7.55 – 7.46 (m, 4H), 7.36 - 7.26 (m, 8H), 4.92 (d, *J* = 14.5 Hz, 1H), 4.86 (d, *J* = 14.5 Hz, 1H), 3.82 (s, 1H), 3.07 (d, *J* = 18.5 Hz, 1H), 2.78 (d, *J* = 18.5 Hz, 1H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 201.5, 152.3, 137.5, 137.2, 135.3, 134.6, 133.7, 132.0, 128.5, 128.3, 127.6, 127.5, 127.5, 127.3, 126.3, 125.8, 125.8, 125.5, 125.4, 124.3, 123.3, 55.2, 54.8, 51.7, 32.1.

HRMS (ESI) (m/z): Calcd for $C_{27}H_{22}NO$, $(M+H)^+$: 376.17014; Found: 376.17242.

3-phenyl-1-(thiophen-2-ylmethyl)spiro[aziridine-2,2'-inden]-1'(3'*H*)-one (3t)



The reaction was performed according to procedure A with (*E*)-2-benzylidene-2,3-dihydro-1*H*-inden-1-one (34 mg, 0.15 mmol), 2-thiophenemethylamine (68 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (30 mg, 60%).

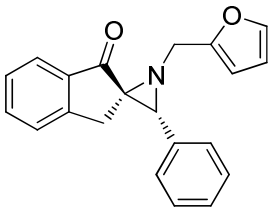
IR (neat) ν_{max}: 3064, 3032, 2921, 1696, 1605, 1494, 1044 cm^{-1}

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.68 (d, *J* = 8.0 Hz, 1H), 7.46 (t, *J* = 7.5 Hz, 1H), 7.27 – 7.18 (m, 6H), 7.21 – 7.18 (m, 1H), 7.05 (d, *J* = 4.5 Hz, 1H), 6.83 (s, 1H),6.79 (s, 1H), 4.53 (s, 2H), 3.66 (s, 1H), 3.03 (d, *J* = 18.5 Hz, 1H), 2.69 (d, *J* = 18.0 Hz, 1H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 201.2, 152.4, 142.7, 137.4, 136.81, 134.7, 128.3, 127.7, 127.5, 127.4, 126.5, 126.3, 124.9, 124.5, 123.3, 55.0, 54.5, 49.0, 32.0.

HRMS (ESI) (m/z): Calcd for $C_{21}H_{18}NOS$, $(M+H)^+$: 332.11091; Found: 332.11093.

1-(furan-2-ylmethyl)-3-phenylspiro[aziridine-2,2'-inden]-1'(3'*H*)-one (3u)



The reaction was performed according to procedure A with (*E*)-2-benzylidene-2,3-dihydro-1*H*-inden-1-one (34 mg, 0.15 mmol), furfurylamine (59 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (11 mg, 23%).

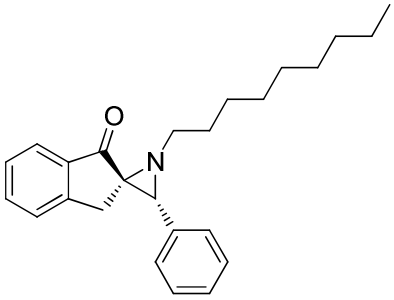
IR (neat) ν_{max}: 3063, 3032, 2921, 1697, 1605, 1467, 1073 cm^{-1}

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.78 (d, *J* = 7.5 Hz, 1H), 7.55 (t, *J* = 7.5 Hz, 1H), 7.38 (t, *J* = 7.5 Hz, 1H), 7.31 – 7.25 (m, 7H), 6.21 (s, 1H), 6.13 (s, 1H), 4.43 (d, *J* = 14.5 Hz, 1H), 4.38 (d, *J* = 14.0 Hz, 1H), 3.72 (s, 1H), 3.05 (d, *J* = 18.5 Hz, 1H), 2.76 (d, *J* = 18.5 Hz, 1H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 201.3, 153.0, 152.3, 141.9, 137.41, 136.8, 134.7, 128.3, 127.7, 127.5, 127.4, 126.3, 123.3, 110.1, 107.3, 54.8, 54.1, 46.8, 31.9.

HRMS (ESI) (m/z): Calcd for $C_{21}H_{18}NO_2$, $(M+H)^+$: 316.13375; Found: 316.13300.

1-nonyl-3-phenylspiro[aziridine-2,2'-inden]-1'(3'*H*)-one (3v)



The reaction was performed according to procedure A with (*E*)-2-benzylidene-2,3-dihydro-1*H*-inden-1-one (34 mg, 0.15 mmol), nonylamine (86 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (24 mg, 45%).

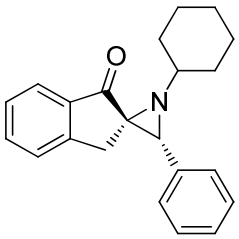
IR (neat) ν_{max}: 3032, 2924, 1701, 1606, 1464, 1088 cm^{-1}

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.79 (d, *J* = 7.5 Hz, 1H), 7.57 (t, *J* = 7.5 Hz, 1H), 7.41 – 7.35 (m, 6H), 7.30 – 7.28 (m, 1H), 3.58 (s, 1H), 3.28 – 3.23 (m, 1H), 3.15 – 3.10 (m, 1H), 3.05 (d, *J* = 18.5 Hz, 1H), 2.77 (d, *J* = 18.5 Hz, 1H), 1.56 – 1.49 (m, 2H), 1.38 - 1.34 (m, 2H), 1.28 - 1.23 (m, 10H), 0.89 (t, *J* = 7.0 Hz, 3H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 201.4, 152.3, 137.6, 137.6, 134.5, 128.3, 127.5, 127.4, 127.3, 126.3, 123.2, 55.2, 54.4, 50.5, 32.3, 31.9, 30.2, 29.5, 29.2, 27.2, 22.7, 14.1.

HRMS (ESI) (m/z): Calcd for $C_{25}H_{32}NO$, $(M+H)^+$: 362.24839; Found: 362.24865.

1-cyclohexyl-3-phenylspiro[aziridine-2,2'-inden]-1'(3'*H*)-one (3w)



The reaction was performed according to procedure A with (*E*)-2-benzylidene-2,3-dihydro-1*H*-inden-1-one (34 mg, 0.15 mmol), cyclohexylamine (82 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (11 mg, 23%).

IR (neat) ν_{max}: 3060, 3032, 2927, 1699, 1605, 1494, 1092, 1042 cm^{-1}

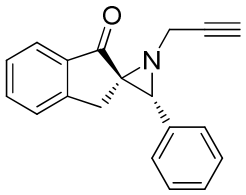
^{1}H NMR (500 MHz, $CDCl_3$): δ 7.79 (d, *J* =8.0 Hz, 1H), 7.57 (t, *J* = 7.5 Hz, 1H), 7.41 (d, *J* = 7.5 Hz, 1H), 7.39 – 7.33 (m, 5H), 7.28 (s, 1H), 3.63 (s, 1H), 3.33 – 3.25 (m,

1H), 3.01 (d, *J* = 18.0 Hz, 1H), 2.77 (d, *J* = 18.0 Hz, 1H), 1.86 - 1.79 (m, 2H), 1.67 (s, 2H), 1.50 – 1.33 (m, 3H), 1.30 – 1.27 (m, 3H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 201.7, 152.2, 137.9, 137.5, 134.5, 128.3, 127.5, 127.4, 127.3, 126.3, 123.3, 56.7, 54.4, 53.9, 32.9, 32.8, 32.7, 26.2, 24.4, 24.1.

HRMS (ESI) (m/z): Calcd for $C_{22}H_{24}NO$, $(M+H)^+$: 318.18579; Found: 318.18616.

3-phenyl-1-(prop-2-yn-1-yl)spiro[aziridine-2,2'-inden]-1'(3'*H*)-one (3x)



The reaction was performed according to procedure A with (*E*)-2-benzylidene-2,3-dihydro-1*H*-inden-1-one (34 mg, 0.15 mmol), propargylamine (34 mg, 0.60 mmol). The crude product was purified by column chromatography (5% ethyl acetate in hexane) to afford the desired product as a colourless liquid (8 mg, 20%).

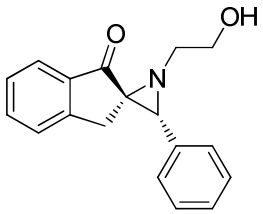
IR (neat) ν_{max}: 2916, 1699, 1604, 1493, 752, 702 cm^{-1}

1H NMR (500 MHz, CDCl3): δ 7.79 (d, J = 7.5 Hz, 1H), 7.57 (d, J = 7.5 Hz, 1H), 7.40 – 7.34 (m, 6H), 7.31 - 7.26 (m, 1H), 4.08 (d, J = 16.5 Hz, 1H), 4.02 (d, J= 16.5 Hz, 1H), 3.63 (s, 1H), 3.12 (d, J = 18.5 Hz, 1H), 2.78 (d, J = 18.5 Hz, 1H), 2.15 (s, 1H);

^{13}C NMR (125 MHz, $CDCl_3$): δ 200.9, 152.3, 137.2, 137.1, 134.84, 128.4, 127.8, 127.5, 126.3, 123.4, 80.9, 71.9, 55.1, 53.9, 39.7, 31.9.

HRMS (ESI) (m/z): Calcd for $C_{19}H_{16}NO$, $(M+H)^+$: 274.12319; Found: 274.12332.

1-(2-hydroxyethyl)-3-phenylspiro[aziridine-2,2'-inden]-1'(3'*H*)-one (3y)



The reaction was performed according to procedure A with (*E*)-2-benzylidene-2,3-dihydro-1*H*-inden-1-one (34 mg, 0.15 mmol), ethanolamine (37 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (22 mg, 53%).

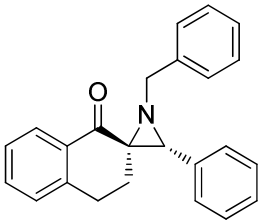
IR (neat) ν_{max}: 3425, 3064, 3032, 2929, 1699, 1605, 1493, 1070, 1041 cm^{-1}

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.79 (d, *J* = 8.0 Hz, 1H), 7.59 (t, *J* = 7.5 Hz, 1H), 7.41 (d, *J* = 7.5 Hz, 1H), 7.37 (d, *J* = 7.5 Hz, 3H), 7.34 (d, *J* = 8.0 Hz, 2H), 7.31 (d, *J* = 6.5 Hz, 1H), 3.79 – 3.77 (m, 1H), 3.73 – 3.70 (m, 1H), 3.63 (s, 1H), 3.45 - 3.43 (m, 1H), 3.38 – 3.35 (m, 1H), 3.10 (d, *J* = 18.0 Hz, 1H), 2.82 (d, *J* = 18.0 Hz, 1H), 1.76 (bs, 1H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 201.2, 152.3, 137.3, 136.8, 134.8, 128.5, 127.8, 127.5, 127.3, 126.3, 123.4, 62.3, 54.4, 54.1, 52.4, 32.1.

HRMS (ESI) (m/z): Calcd for $C_{18}H_{18}NO_2$, $(M+H)^+$: 280.13375; Found: 280.13330.

1-benzyl-3-phenyl-3',4'-dihydro-1'*H*-spiro[aziridine-2,2'-naphthalen]-1'-one (5a)



The reaction was performed according to procedure B with (*E*)-2-benzylidene-3,4-dihydronaphthalen-1(2*H*)-one (36 mg, 0.15 mmol), benzylamine (65 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (13 mg, 25%).

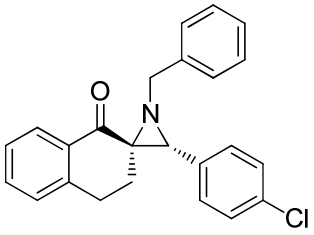
IR (neat) ν_{max}: 3061, 3028, 1666, 1599, 1494, 1453, 1302, 1222, 740, 698 cm^{-1}

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.09 (d, *J* = 7.5 Hz, 1H), 7.45 (t, *J* = 7.5 Hz, 1H), 7.38 (d, *J* = 7.5 Hz, 2H), 7.33 - 7.30 (m, 5H), 7.28 – 7.26 (m, 1H), 7.20 – 7.13 (m, 4H), 4.11 (d, *J* = 13.0 Hz, 1H), 4.05 (s, 1H), 3.83 (d, *J* = 13.0 Hz, 1H), 2.85 - 2.80 (m, 1H), 2.69 (d, *J* = 16.0 Hz, 1H), 1.88 (t, *J* = 11.0 Hz, 1H), 1.66 (d, J = 13 Hz, 1H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 193.7, 144.6, 139.3, 136.8, 133.9, 133.6, 128.8, 128.4, 128.1, 128.1, 127.9, 127.3, 127.2, 126.9, 126.6, 55.5, 52.1, 51.4, 28.5, 27.5.

HRMS (ESI) (m/z): Calcd for $C_{24}H_{22}NO$, $(M+H)^+$: 340.17014; Found: 340.17062.

1-benzyl-3-(4-chlorophenyl)-3',4'-dihydro-1'*H*-spiro[aziridine-2,2'-naphthalen]-1'-one (5b)



The reaction was performed according to procedure B with (*E*)-2-(4-chlorobenzylidene)-3,4-dihydronaphthalen-1(2*H*)-one (41 mg, 0.15 mmol), benzylamine (65 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (16 mg, 29%).

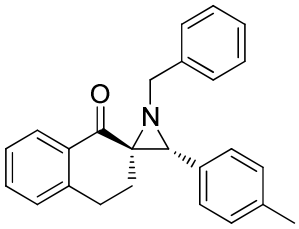
IR (neat) ν_{max}: 3087, 3029, 1668, 1599, 1490, 1305, 1223, 740, 699 cm^{-1}

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.05 (d, *J* = 8.0 Hz, 1H), 7.43 (t, *J* = 7.5 Hz, 1H), 7.32 – 7.25 (m, 7H), 7.17 – 7.14 (m, 4H), 4.06 (d, *J* = 13.0 Hz, 1H), 3.97 (s, 1H), 3.79 (d, *J* = 13.5 Hz, 1H), 2.82 - 2.77 (m, 1H), 2.67 (d, *J* = 16.0 Hz, 1H), 1.85 – 1.79 (m, 1H), 1.63 - 1.57 (m, 1H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 193.4, 144.5, 139.1, 135.3, 133.8, 133.7, 132.9, 129.2, 128.8, 128.5, 128.3, 128.1, 127.3, 127.1, 126.6, 55.4, 51.5, 51.2, 28.4, 27.5.

HRMS (ESI) (m/z): Calcd for $C_{24}H_{21}ClNO$, $(M+H)^+$: 374.13117; Found: 374.13259.

1-benzyl-3-(*p*-tolyl)-3',4'-dihydro-1'*H*-spiro[aziridine-2,2'-naphthalen]-1'-one (5c)



The reaction was performed according to procedure B with (*E*)-2-(4-methylbenzylidene)-3,4-dihydronaphthalen-1(2*H*)-one (38 mg, 0.15 mmol), benzylamine (65 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (15 mg, 28%).

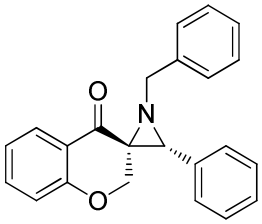
IR (neat) ν_{max}: 3059, 3028, 2922, 1666, 1629, 1513, 1454, 1305, 811, 741, 671cm^{-1}

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.06 (d, *J* = 8.0 Hz, 1H), 7.42 (t, *J* = 7.5 Hz, 1H), 7.32 (d, *J* = 7.5 Hz, 1H), 7.28 (d, *J* = 7.5 Hz, 2H), 7.25 – 7.23 (m, 2H), 7.17 – 7.10 (m, 6H), 4.08 (d, *J* = 13.5 Hz, 1H), 3.98 (s, 1H), 3.81 (d, *J* = 13.5 Hz, 1H), 2.81 (t, *J* = 12.5 Hz, 1H), 2.66 (d, *J* = 16.0 Hz, 1H), 2.33 (s, 3H), 1.84 (t, *J* = 11.0 Hz, 1H), 1.65 (d, *J* = 13.5 Hz, 1H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 193.9, 144.6, 139.4, 136.8, 133.9, 133.7, 133.5, 128.8, 128.4, 128.1, 127.8, 127.3, 126.9, 126.6, 55.5, 52.1, 51.3, 28.4, 27.5, 21.2.

HRMS (ESI) (m/z): Calcd for $C_{25}H_{24}NO$, $(M+H)^+$: 354.18579; Found: 354.18610.

1-benzyl-3-phenylspiro[aziridine-2,3'-chroman]-4'-one (5d)



The reaction was performed according to procedure C with (*E*)-3-benzylidenechroman-4-one (36 mg, 0.15 mmol), benzylamine (65 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (31 mg, 60%).

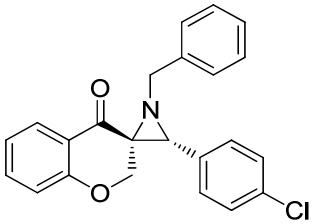
IR (neat) ν_{max}: 3062, 3030, 2919, 1671, 1603, 1578, 1494, 755, 697cm^{-1}

^{1}H NMR (500 MHz, Acetone): δ 7.90 (d, *J* = 8.0 Hz, 1H), 7.56 (t, *J* = 8.0 Hz, 1H), 7.46 (d, *J* = 7.0 Hz, 2H), 7.40 - 7.30 (m, 4H), 7.34 – 7.21 (m, 1H), 7.23 (t, *J* = 7.5 Hz, 2H), 7.17 (t, *J* = 7.5 Hz, 1H), 7.10 (t, *J* = 7.5 Hz, 1H), 6.97 (d, *J* = 8.5 Hz, 1H), 4.27 (d, *J* = 12.5 Hz, 1H), 4.19 (d, *J* = 13.5 Hz, 1H), 4.11 (d, *J* = 12.5 Hz, 1H), 4.01 (s, 1H), 3.98 (d, *J* = 13.5 Hz, 1H).

^{13}C NMR (125 MHz, Acetone): δ 187.4, 161.6, 139.1, 136.2, 135.4, 128.3, 128.2, 128.1, 127.7, 127.6, 126.9, 126.7, 122.8, 121.5, 117.9, 70.8, 54.7, 50.9, 48.3.

HRMS (ESI) (m/z): Calcd for $C_{23}H_{20}NO_2$, $(M+H)^+$: 342.14940; Found: 342.14999.

1-benzyl-3-(4-chlorophenyl)spiro[aziridine-2,3'-chroman]-4'-one (5e)



The reaction was performed according to procedure C with (*E*)-3-(4-chlorobenzylidene)chroman-4-one (41 mg, 0.15 mmol), benzylamine (65 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (14 mg, 24%).

IR (neat) ν_{max}: 2990, 1685, 1604, 1578, 1474, 1326, 1307, 757 cm^{-1}

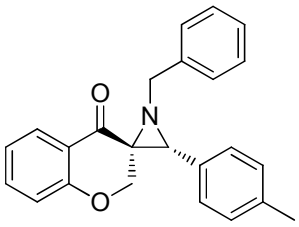
^{1}H NMR (500 MHz, Acetone): δ 7.72 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.40 - 7.37 (m,1H), 7.31 – 7.29 (m, 2H), 7.24 - 7.19 (m, 4H), 7.06 (d, *J* = 7.5 Hz, 2H), 7.00 – 6.97 (m, 1H), 6.9 – 6.90 (m, 1H), 6.80 (dd, *J* = 8.5, 0.5 Hz, 1H), 4.10 (d, *J* = 12.5 Hz, 1H),

4.01 (d, *J* = 13.5 Hz, 1H), 3.93 (d, *J* = 12.5 Hz, 1H), 3.82 (s, 1H), 3.80 (d, *J* = 13.5 Hz, 1H).

^{13}C NMR (125 MHz, Acetone): δ 187.1, 161.6, 138.9, 136.3, 134.5, 133.0, 129.4, 128.4, 128.2, 128.1, 126.9, 126.7, 122.8, 121.5, 117.9, 70.7, 54.6, 50.0, 48.4.

HRMS (ESI) (m/z): Calcd for $C_{23}H_{19}ClNO_2$, $(M+H)^+$: 376.11043; Found: 376.11127.

1-benzyl-3-(*p*-tolyl)spiro[aziridine-2,3'-chroman]-4'-one (5f)



The reaction was performed according to procedure C with (*E*)-3-(4-methylbenzylidene)chroman-4-one (38 mg, 0.15 mmol), benzylamine (65 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (22 mg, 42%).

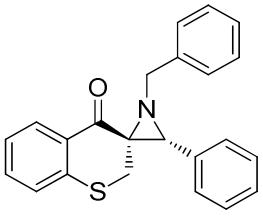
IR (neat) ν_{max}: 3030, 2922, 1670, 1603, 1512, 1462, 816, 756 cm^{-1}

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.93 (d, *J* = 7.5 Hz, 1H), 7.47 - 7.44 (m, 1H), 7.36 (d, *J* = 7.5 Hz, 2H), 7.28 – 7.25 (m, 3H), 7.22 (t, *J* = 7.5 Hz, 2H), 7.15 (d, *J* = 7.5 Hz, 3H), 7.03 (t, *J* = 7.5 Hz, 1H), 6.92 (d, *J* = 8.0 Hz, 1H), 4.15 (d, *J* = 11.0 Hz, 1H), 4.12 (d, *J* = 13.0 Hz, 1H), 3.97 (d, *J* = 17.0 Hz, 2H), 2.35 (s, 3H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 188.4, 161.7, 138.8, 137.5, 136.1, 132.1, 129.1, 128.3, 128.2, 127.5, 127.0, 126.9, 122.9, 121.4, 118.1, 71.1, 55.2, 51.3, 48.2, 21.2

HRMS (ESI) (m/z): Calcd for $C_{24}H_{22}NO_2$, $(M+H)^+$: 356.16505; Found: 356.16553.

1-benzyl-3-phenylspiro[aziridine-2,3'-thiochroman]-4'-one (5g)



The reaction was performed according to procedure B with (*Z*)-3-benzylidenethiochroman-4-one (38 mg, 0.15 mmol), benzylamine (65 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (10 mg, 19%).

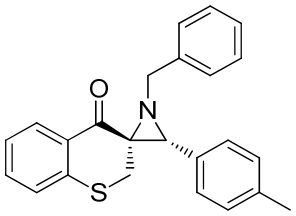
IR (neat) ν_{max}: 3061, 3030, 2923, 1661, 1587, 1493, 1455, 1297, 740, 699 cm^{-1}

^{1}H NMR (500 MHz, $CDCl_3$): δ 8.16 (d, *J* = 8.0 Hz, 1H), 7.39 – 7.32 (m, 7H), 7.30 – 7.28 (m, 1H), 7.24 - 7.21 (m, 4H), 7.19 - 7.16 (m, 1H), 4.20 (s, 1H), 3.97 (d, *J* = 13.5 Hz, 1H), 3.84 (d, *J* = 13.5 Hz, 1H), 3.18 (d, *J* = 14.0 Hz, 1H), 2.60 (d, *J* = 13.5 Hz, 1H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 190.1, 142.6, 138.6, 135.8, 133.3, 132.6, 129.7, 128.8, 128.3, 128.1, 127.9, 127.8, 127.6, 127.1, 125.2, 55.8, 53.4, 50.4, 32.5.

HRMS (ESI) (m/z): Calcd for $C_{23}H_{20}NOS$, $(M+H)^+$: 358.12656; Found: 358.12750.

1-benzyl-3-(*p*-tolyl)spiro[aziridine-2,3'-thiochroman]-4'-one (5h)



The reaction was performed according to procedure B with (*Z*)-3-benzylidenethiochroman-4-one (40 mg, 0.15 mmol), benzylamine (65 mg, 0.60 mmol). The crude product was purified by column chromatography (3% ethyl acetate in hexane) to afford the desired product as a colourless liquid (13 mg, 25%).

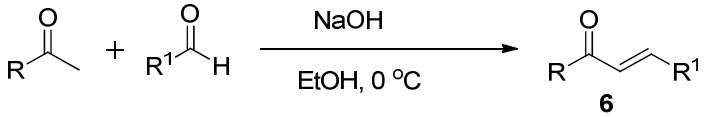
IR (neat) ν_{max}: 3063, 3029, 2957, 1686, 1605, 1427, 1307, 749, 699 cm^{-1}

^{1}H NMR (500 MHz, Acetone): δ 7.95 (d, *J* = 8.0 Hz, 1H), 7.31 (t, *J* = 7.5 Hz, 1H), 7.22 (d, *J* = 7.5 Hz, 2H), 7.15 (d, *J* = 7.5 Hz, 4H), 7.06 (t, *J* = 7.5 Hz, 2H), 7.02 (d, *J* = 7.5 Hz, 3H), 3.93 (s, 1H), 3.81 (d, *J* = 13.5 Hz, 1H), 3.66 (d, *J* = 13.5 Hz, 1H), 3.11 (d, *J* = 14.0 Hz, 1H), 2.49 (d, *J* = 14.0 Hz, 1H), 2.17 (s, 3H).

^{13}C NMR (125 MHz, Acetone): δ 189.3, 142.2, 139.0, 137.1, 133.3, 132.9, 132.8, 129.3, 128.9, 128.6, 127.9, 127.8, 127.7, 126.9, 125.2, 55.3, 53.0, 50.3, 31.9, 20.2.

HRMS (ESI) (m/z): Calcd for $C_{24}H_{22}NOS$, $(M+H)^+$: 372.14221; Found: 372.14312.

General Procedure for the synthesis of (*E*)-chalcone

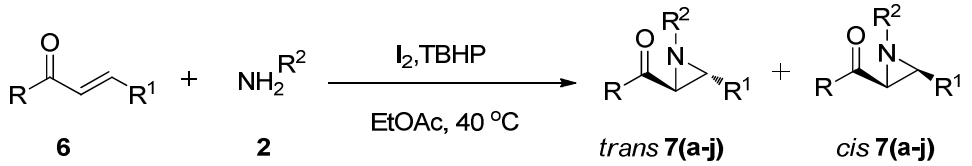


6

One equivalent of aryl aldehyde was added to a solution of one equivalent of acetophenone in ethanol. 10% aqueous solution of NaOH was added dropwise to the reaction mixture at 0°C and allowed to stir at room temperature for 30 minutes. The precipitate formed was collected by filtration and washed with hexane. The precipitate was dried and used for further reaction.

General Procedure for the synthesis of 2-aroylaziridines

Procedure D:

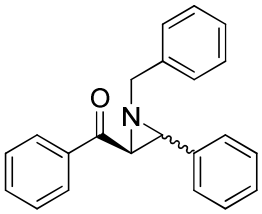


6 **2** *trans* **7(a-j)** *cis* **7(a-j)**

To a mixture of (*E*)-chalcone (1equiv.) and primary amine (2 equiv.) 10 mol% of iodine was added. Ethylacetate (2 mL) was added to the reaction mixture followed by the addition of TBHP (1 equiv.). The reaction mixture was then allowed to stir at 40 °C for 1- 2 hours by monitoring the TLC. After the completion of the reaction, the mixture was washed with aqueous solution of sodium thiosulfate and brine solution. The organic layer was dried over anhydrous Na_2SO_4 and solvent was evaporated in *vacuo*. The residue on column chromatography yielded the products with hexane and ethylacetate as eluents.

Characterisation of 2-aroylaziridines

1-benzyl-3-phenylaziridin-2-yl)(phenyl)methanone (7a)



The reaction was performed according to procedure D with (*E*)-chalcone (42 mg, 0.20 mmol), benzylamine (43 mg, 0.4 mmol). Isolation by column chromatography (3% and 10% ethyl acetate in hexane) gave *trans* and *cis* isomers with dr of 2.8:1. The *trans* isomer was obtained as colourless liquid (43 mg, 69%) and the *cis* isomer as white crystalline solid (15 mg, 24%).

Analytical data of *trans* 7a

IR (neat) ν_{max}: 3061, 3030, 1664, 1600, 1540, 1493, 695 cm^{-1}

^{1}H NMR (500 MHz, CD_3CN): δ 7.99 (d, *J* = 7.5 Hz, 2H), 7.62 (t, *J* = 7.5 Hz, 1H), 7.50 (t, *J* = 7.7 Hz, 2H), 7.41 (d, *J* = 7.5 Hz, 2H), 7.35 (t, *J* = 7.0 Hz, 4H), 7.30 (d, *J* = 7.0 Hz, 1H), 7.24 (t, *J* = 8.0 Hz, 2H), 7.19 (d, *J* = 7.5 Hz, 1H), 4.12 (d, *J* = 14.0 Hz, 1H), 4.00 (d, *J* = 14.0 Hz, 1H), 3.81 (d, *J* = 2.5 Hz, 1H), 3.61 (d, *J* = 2.5 Hz, 1H).

^{13}C NMR (125 MHz, CD_3CN): δ 195.1, 140.1, 139.6, 138.6, 133.9, 129.2, 128.9, 128.9, 128.7, 128.0, 127.4, 126.9, 55.0, 49.3, 48.3.

HRMS (ESI) (m/z): Calcd for $C_{22}H_{20}NO$, $(M+H)^+$: 314.15449; Found: 314.15500.

Analytical data of *cis* 7a

MP: 88-90 ^oC

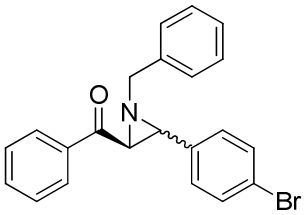
IR (neat) ν_{max}: 3061, 3030, 16821598, 1495, 1449, 1222, 732, 694 cm^{-1}

^{1}H NMR (500 MHz, CD_3CN) δ 7.92 (dd, *J* = 8.3, 1.2 Hz, 2H), 7.58 – 7.55 (m, 1H), 7.51 (d, *J* = 7.5 Hz, 2H), 7.45 (t, *J* = 8.0 Hz, 2H), 7.35 (dd, *J* = 15.5, 7.5 Hz, 4H), 7.29 (d, *J* = 7.0 Hz, 1H), 7.20 - 7.17 (m, 2H), 7.15 - 7.13 (m, 1H), 3.98 (d, *J* = 14.0 Hz, 1H), 3.75 (d, *J* = 13.5 Hz, 1H), 3.66 (d, *J* = 7.0 Hz, 1H), 3.47 (d, *J* = 7.0 Hz, 1H).

^{13}C NMR (125 MHz, $CDCl_3$): δ 193.6, 139.5, 137.4, 136.6, 133.8, 129.2, 128.9, 128.6, 128.5, 128.4, 128.1, 127.8, 127.7, 63.6, 52.1, 49.6.

HRMS (ESI) (m/z): Calcd for $C_{22}H_{20}NO$, $(M+H)^+$: 314.15449; Found: 314.15518.

((1-benzyl-3-(4-bromophenyl)aziridin-2-yl)(phenyl)methanone (7b)



The reaction was performed according to procedure D with (*E*)-3-(4-bromophenyl)-1-phenylprop-2-en-1-one (58 mg, 0.20 mmol), benzylamine (43 mg, 0.4 mmol). Isolation by column chromatography (3% and 10% ethyl acetate in hexane) gave *trans* and *cis* isomers with dr of 2.8:1. The *trans* isomer was obtained as colourless liquid (48 mg, 61%) and the *cis* isomer as white crystalline solid (17 mg, 22%).

Analytical data of *trans* 7b

IR (neat) ν_{max}: 3088, 3061, 1663, 1593, 1535, 1488, 1070, 1009, 696 cm^{-1}

^{1}H NMR (500 MHz, CD_3CN): δ 7.97 (d, *J* = 8.0 Hz, 2H), 7.64 - 7.60 (m, 1H), 7.50 (d, *J* = 8.0 Hz, 4H), 7.33 (t, *J* = 8.5 Hz, 4H), 7.24 (t, *J* = 7.5 Hz, 2H), 7.19 (d, *J* = 7.5 Hz, 1H), 4.10 (d, *J* = 14.0 Hz, 1H), 3.97 (d, *J* = 14.0 Hz, 1H), 3.78 (d, *J* = 2.5 Hz, 1H), 3.59 (d, *J* = 2.5 Hz, 1H).

^{13}C NMR (125 MHz, CD_3CN): δ 194.9, 139.9, 139.1, 138.5, 133.9, 131.9, 129.3, 129.0, 128.9, 128.7, 128.7, 127.5, 121.4, 117.9, 54.9, 48.5, 48.3.

HRMS (ESI) (m/z): Calcd for $C_{22}H_{19}BrNO$, $(M+H)^+$: 392.06500; Found: 392.06531, $(M+2)^+$: 394.06296; Found: 394.06335.

Analytical data of *cis* 7b

MP: 120-122 ^{o}C

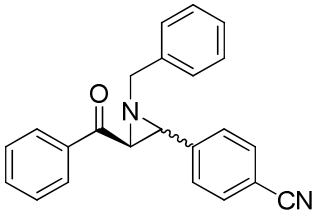
IR (neat) ν_{max}: 3084, 3029, 1682, 1581, 1487, 1450, 1224, 734, 695 cm^{-1}

^{1}H NMR (500 MHz, CD_3CN): δ 7.91 (dd, *J* = 8.5, 1.1 Hz, 2H), 7.58 (t, *J* = 7.5 Hz, 1H), 7.49 (d, *J* = 7.5 Hz, 2H), 7.45 (t, *J* = 8.0 Hz, 2H), 7.37 – 7.33 (m, 4H), 7.30 (d, *J* = 7.0 Hz, 1H), 7.27 – 7.25 (m, 2H), 3.96 (d, *J* = 14.0 Hz, 1H), 3.76 (d, *J* = 14.5 Hz, 1H), 3.69 (d, *J* = 7.0 Hz, 1H), 3.45 (d, *J* = 7.0 Hz, 1H).

^{13}C NMR (125 MHz, CD_3CN): δ 193.5, 139.3, 137.3, 135.9, 133.9, 131.3, 130.1, 129.2, 128.9, 128.6, 128.5, 127.8, 121.2, 63.4, 51.9, 48.9.

HRMS (ESI) (m/z): Calcd for $C_{22}H_{19}BrNO$, $(M+H)^+$: 392.06500; Found: 392.06622, $(M+2)^+$: 394.06296; Found: 394.06433.

4-(3-benzoyl-1-benzylaziridin-2-yl)benzonitrile (7c)



The reaction was performed according to procedure D with (E)-4-(3-oxo-3-phenylprop-1-en-1-yl)benzonitrile (47 mg, 0.20 mmol), benzylamine (43 mg, 0.4 mmol). Isolation by column chromatography (3% and 10% ethyl acetate in hexane) gave *trans* and *cis* isomers with dr of 3.4:1. The *trans* isomer was obtained as colourless liquid (25 mg, 37%) and the *cis* isomer as white crystalline solid (7 mg, 11%).

Analytical data of *trans* 7c

IR (neat) ν_{max}: 3063, 3033, 2227, 1668, 1601, 1490, 1450, 697 cm^{-1}

^{1}H NMR (500 MHz, CD_3CN): δ 7.98 (d, *J* = 7.5 Hz, 2H), 7.70 (d, *J* = 8.5 Hz, 2H), 7.63 (t, *J* = 7.5 Hz, 1H), 7.56 (d, *J* = 8.0 Hz, 2H), 7.50 (t, *J* = 8.0 Hz, 2H), 7.34 (d, *J* = 7.5 Hz, 2H), 7.24 (t, *J* = 7.5 Hz, 2H), 7.18 (t, *J* = 7.5 Hz, 1H), 4.11 (d, *J* = 13.8 Hz, 1H), 3.98 (d, *J* = 13.9 Hz, 1H), 3.84 (d, *J* = 2.5 Hz, 1H), 3.69 (d, *J* = 2.3 Hz, 1H).

^{13}C NMR (125 MHz, CD_3CN): δ 194.5, 145.4, 139.8, 138.4, 134.1, 132.8, 129.3, 128.9, 128.8, 128.8, 127.9, 127.6, 119.3, 111.4, 54.9, 48.8, 48.4.

HRMS (ESI) (m/z): Calcd for $C_{23}H_{19}N_2O$, $(M+H)^+$: 339.14974; Found: 339.15028.

Analytical data of *cis* 7c

MP: 84- 86 ^{o}C

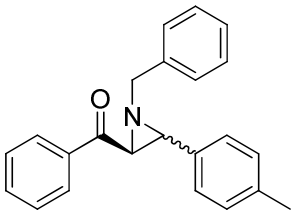
IR (neat) ν_{max}: 3061, 3031, 2227, 1682, 1607, 1497, 1224, 735, 696 cm^{-1}

^{1}H NMR (500 MHz, CD_3CN): δ 7.90 (dd, *J* = 8.0, 1.0 Hz, 2H), 7.58 (t, *J* = 7.5 Hz, 1H), 7.54 (d, *J* = 8.0 Hz, 2H), 7.50 (d, *J* = 8.5 Hz, 4H), 7.45 (t, *J* = 8.0 Hz, 2H), 7.36 (t, *J* = 8.0 Hz, 2H), 7.29 (t, *J* = 7.5 Hz, 1H), 3.99 (d, *J* = 13.5 Hz, 1H), 3.78 (dd, *J* = 11.5, 4.0 Hz, 2H), 3.55 (d, *J* = 7.1 Hz, 1H).

^{13}C NMR (125 MHz, CD_3CN): δ 194.5, 145.4, 139.8, 138.4, 134.1, 132.8, 129.3, 128.9, 128.8, 128.8, 127.9, 127.6, 119.3, 111.4, 54.9, 48.8, 48.4.

HRMS (ESI) (m/z): Calcd for $C_{23}H_{19}N_2O$, $(M+H)^+$: 339.14974; Found: 339.15020.

(**1-benzyl-3-(*p*-tolyl)aziridin-2-yl)(phenyl)methanone (7d)**



The reaction was performed according to procedure D with (*E*)-1-phenyl-3-(p-tolyl)prop-2-en-1-one (45 mg, 0.20 mmol), benzylamine (43 mg, 0.4 mmol). Isolation by column chromatography (3% and 10% ethyl acetate in hexane) gave *trans* and *cis* isomers with dr of 2.4:1. The *trans* isomer was obtained as colourless liquid (31 mg, 48%) and the *cis* isomer as white crystalline solid (13 mg, 20%).

Analytical data of *trans* 7d

IR (neat) ν_{max}: 3060, 3030, 1663, 1602, 1541, 1312, 693 cm^{-1}

^{1}H NMR (500 MHz, CD_3CN) δ 7.99 (d, *J* = 7.5 Hz, 2H), 7.63 (t, *J* = 7.5 Hz, 1H), 7.50 (t, *J* = 8.0 Hz, 2H), 7.35 (d, *J* = 7.0 Hz, 2H), 7.29 – 7.22 (m, 5H), 7.19 - 7.15 (m, 2H), 4.10 (d, *J* = 14 Hz, 1H), 3.99 (d, *J* = 13.5 Hz, 1H), 3.79 (d, *J* = 2.5 Hz, 1H), 3.56 (d, *J* = 2.5 Hz, 1H), 2.33 (s, 3H).

^{13}C NMR (125 MHz, CD_3CN): δ 195.3, 140.2, 138.7, 137.8, 136.6, 133.9, 129.5, 129.2, 128.8, 128.7, 127.4, 126.9, 55.1, 49.4, 48.1, 20.8.

HRMS (ESI) (m/z): Calcd for $C_{23}H_{22}NO$, $(M+H)^+$: 328.17014; Found: 328.17035.

Analytical data of *cis* 7d

MP: 110- 112 ^{o}C

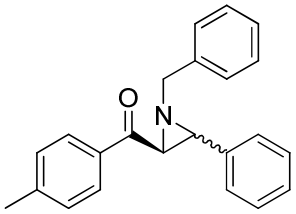
IR (neat) ν_{max}: 3060, 3029, 2977, 1681, 1598, 1495, 1177, 729, 696 cm^{-1}

^{1}H NMR (500 MHz, CD_3CN): δ 7.92 (dd, *J* = 8.6, 1.5 Hz, 2H), 7.58 - 7.55 (m, 1H), 7.50 (d, *J* = 7.5 Hz, 2H), 7.45 (t, *J* = 8.0 Hz, 2H), 7.35 (t, *J* = 7.5 Hz, 2H), 7.28 (t, *J* = 7.5 Hz, 1H), 7.22 (d, *J* = 8.0 Hz, 2H), 7.01 (d, *J* = 8.0 Hz, 2H), 3.98 (d, *J* = 13.5 Hz, 1H), 3.73 (d, *J* = 14.0 Hz, 1H), 3.62 (d, *J* = 7.0 Hz, 1H), 3.42 (d, *J* = 7.0 Hz, 1H), 2.23 (s, 3H).

^{13}C NMR (125 MHz, CD_3CN): δ 193.7, 139.5, 137.6, 137.4, 133.8, 133.5, 129.2, 129.0, 128.9, 128.6, 128.5, 127.9, 127.7, 63.6, 52.1, 49.6, 20.6.

HRMS (ESI) (m/z): Calcd for $C_{23}H_{22}NO$, $(M+H)^+$: 328.17014; Found: 328.17126.

(1-benzyl-3-phenylaziridin-2-yl)(*p*-tolyl)methanone (7e)



The reaction was performed according to procedure D with (*E*)-3-phenyl-1-(p-tolyl)prop-2-en-1-one (45 mg, 0.20 mmol), benzylamine (43 mg, 0.4 mmol). Isolation by column chromatography (3% and 10% ethyl acetate in hexane) gave *trans* and *cis* isomers with dr of 3.5:1. The *trans* isomer was obtained as colourless liquid (42 mg, 64%) and the *cis* isomer as white solid (12 mg, 18%).

Analytical data of *trans* 7e

IR (neat) ν_{max}: 3061, 3030, 2921, 1663, 1605, 1495, 1176, 697 cm^{-1}

^{1}H NMR (500 MHz, CD_3CN): δ 7.90 (d, *J* = 8.0 Hz, 2H), 7.40 (d, *J* = 7.5 Hz, 2H), 7.35 (t, *J* = 7.5 Hz, 4H), 7.30 (d, *J* = 7.5 Hz, 3H), 7.24 (t, *J* = 7.5 Hz, 2H), 7.19 (d, *J* = 7.5 Hz, 1H), 4.09 (d, *J* = 14.0 Hz, 1H), 3.99 (d, *J* = 14.0 Hz, 1H), 3.78 (d, *J* = 2.0 Hz, 1H), 3.59 (s, 1H), 2.40 (s, 3H).

^{13}C NMR (125 MHz, CD_3CN): δ 194.5, 145.0, 140.2, 139.7, 136.2, 129.9, 129.0, 128.9, 128.7, 127.9, 127.4, 126.9, 54.9, 49.1, 48.2, 21.3.

HRMS (ESI) (m/z): Calcd for $C_{23}H_{22}NO$, $(M+H)^+$: 328.17014; Found: 328.17114.

Analytical data of *cis* 7e

MP: 98-100 ^oC

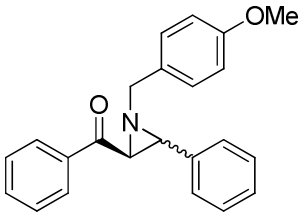
IR (neat) ν_{max}: 3061, 3029, 1680, 1605, 1495, 1177, 1091, 735, 697 cm^{-1}

^{1}H NMR (500 MHz, CD_3CN): δ 7.82 (d, *J* = 8.5 Hz, 2H), 7.51 (d, *J* = 7.5 Hz, 2H), 7.37 – 7.32 (m, 4H), 7.29 (d, *J* = 7.5 Hz, 1H), 7.25 (d, *J* = 8.0 Hz, 2H), 7.20 – 7.18 (m, 2H), 7.15 – 7.13 (m, 1H), 3.97 (d, *J* = 14.0 Hz, 1H), 3.75 (d, *J* = 13.5 Hz, 1H), 3.62 (d, *J* = 7.0 Hz, 1H), 3.43 (d, *J* = 7.0 Hz, 1H), 2.36 (s, 3H).

^{13}C NMR (125 MHz, CD_3CN): δ 193.0, 144.8, 139.5, 136.7, 134.9, 129.8, 128.9, 128.6, 128.6, 128.3, 128.0, 127.8, 127.7, 63.6, 52.1, 49.4.

HRMS (ESI) (m/z): Calcd for $C_{23}H_{22}NO$, $(M+H)^+$: 328.17014; Found: 328.17059.

(1-(4-methoxybenzyl)-3-phenylaziridin-2-yl)(phenyl)methanone (7f)



The reaction was performed according to procedure D with (*E*)-chalcone (42 mg, 0.20 mmol), 4-methoxybenzylamine (55 mg, 0.4 mmol). Isolation by column chromatography (3% and 10% ethyl acetate in hexane) gave *trans* and *cis* isomers with dr of 2.8:1. The *trans* isomer was obtained as colourless liquid (45 mg, 65%) and the *cis* isomer as pale yellow liquid (16 mg, 23%).

Analytical data of *trans* 7f

IR (neat) ν_{max}: 3059, 1663, 1607, 1512, 1247, 1175, 1028, 696 cm^{-1}

^{1}H NMR (500 MHz, CD_3CN): δ 7.98 (d, *J* = 7.5 Hz, 2H), 7.62 (t, *J* = 7.5 Hz, 1H), 7.49 (t, *J* =8.0 Hz, 2H), 7.39 (d, *J* = 7.5 Hz, 2H), 7.35 (t, *J* = 8.0 Hz, 2H), 7.29 (d, *J* = 7.0 Hz, 1H), 7.25 (d, *J* = 8.5 Hz, 2H), 6.78 (d, *J* = 8.5 Hz, 2H), 4.02 (d, *J* = 13.5 Hz, 1H), 3.90 (d, *J* = 13.5 Hz, 1H), 3.77 (d, *J* = 2.5 Hz, 1H), 3.71 (s, 3H), 3.60 (d, *J* = 2.0 Hz, 1H).

^{13}C NMR (125 MHz, CD_3CN): δ 195.2, 159.2, 139.7, 138.7, 133.9, 132.0, 130.1, 129.2, 128.8, 128.8, 127.9, 126.9, 114.0, 55.3, 54.5, 49.2, 48.3.

HRMS (ESI) (m/z): Calcd for $C_{23}H_{22}NO_2$, $(M+H)^+$: 344.16505; Found: 344.16592.

Analytical data of *cis* 7f

IR (neat) ν_{max}: 3060, 1665, 1610, 1512, 1250, 1177, 1209, 716, 698 cm^{-1}

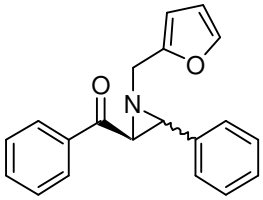
^{1}H NMR (500 MHz, CD_3CN): δ 7.91 (dd, *J* = 8.6, 1.0 Hz, 2H), 7.58 – 7.54 (m, 1H), 7.46 – 7.40 (m, 4H), 7.33 – 7.31 (m, 2H), 7.20 – 7.16 (m, 2H), 7.14 - 7.11 (m, 1H),

6.91 – 6.88 (m, 2H), 3.91 (d, *J* = 13.0 Hz, 1H), 3.77 (s, 3H), 3.67 (d, *J* = 13.5 Hz, 1H), 3.63 (d, *J* = 7.0 Hz, 1H), 3.44 (d, *J* = 7.0 Hz, 1H).

^{13}C NMR (125 MHz, CD_3CN): δ 193.7, 159.5, 137.4, 136.6, 133.8, 131.7, 129.9, 129.2, 128.5, 128.3, 128.4, 127.8, 114.2, 63.0, 55.4, 51.9, 49.5.

HRMS (ESI) (m/z): Calcd for $C_{23}H_{22}NO_2$, $(M+H)^+$: 344.16505; Found: 344.16544.

(1-(furan-2-ylmethyl)-3-phenylaziridin-2-yl)(phenyl)methanone (7g)



The reaction was performed according to procedure D with (*E*)-chalcone (42 mg, 0.20 mmol), furfurylamine (39 mg, 0.4 mmol). Isolation by column chromatography (3% and 10% ethyl acetate in hexane) gave *trans* and *cis* isomers with dr of 2.7:1. The *trans* isomer was obtained as colourless liquid (36 mg, 60%) and the *cis* isomer as pale yellow liquid (13 mg, 22%).

Analytical data of *trans* 7g

IR (neat) ν_{max}: 3032, 1672, 1599, 1448, 1266, 1176, 752, 697 cm^{-1}

^{1}H NMR (500 MHz, CD_3CN): δ 8.03 (d, *J* = 7.5 Hz, 2H), 7.65 (t, *J* = 7.5 Hz, 1H), 7.53 (t, *J* = 8.0 Hz, 2H), 7.38 – 7.33 (m, 5H), 7.29 (t, *J* = 7.0 Hz, 1H), 6.26 – 6.25 (m, 1H), 6.13 (d, *J* = 3.0 Hz, 1H), 4.11 (d, *J* = 14.0 Hz, 1H), 4.00 (d, *J* = 14.0 Hz, 1H), 3.80 (d, *J* = 3.0 Hz, 1H), 3.59 (d, *J* = 2.5 Hz, 1H).

^{13}C NMR (125 MHz, CD_3CN): δ 195.2, 153.6, 142.6, 139.3, 138.7, 133.9, 129.3, 128.9, 128.9, 128.1, 126.9, 110.8, 108.0, 49.4, 47.6, 47.5.

HRMS (ESI) (m/z): Calcd for $C_{20}H_{18}NO_2$, $(M+H)^+$: 304.13375; Found: 304.13355.

Analytical data of *cis* 7g

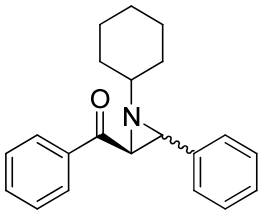
IR (neat) ν_{max}: 3121, 3060, 2928, 1698, 1598, 1448, 1013, 745, 697 cm^{-1}

^{1}H NMR (500 MHz, CD_3CN): δ 7.91 (dd, *J* = 90, 1.5 Hz, 2H), 7.59 – 7.55 (m, 1H), 7.48 – 7.43 (m, 3H), 7.30 (d, *J* = 7.0 Hz, 2H), 7.20 – 7.17 (m, 2H), 7.16 – 7.12 (m, 1H), 6.40 - 6.37 (m, 2H), 3.90 (d, *J* = 14.0 Hz, 1H), 3.75 (d, *J* = 14.0 Hz, 1H), 3.66 (d, *J* = 7.5 Hz, 1H), 3.48 (d, *J* = 7.0 Hz, 1H).

^{13}C NMR (125 MHz, CD_3CN): δ 193.5, 152.9, 143.0, 137.3, 136.4, 133.8, 129.2, 128.5, 128.4, 127.9, 127.8, 108.3, 55.7, 51.5, 49.2.

HRMS (ESI) (m/z): Calcd for $C_{20}H_{18}NO_2$, $(M+H)^+$: 304.13375; Found: 304.13462.

(1-cyclohexyl-3-phenylaziridin-2-yl)(phenyl)methanone (7h)



The reaction was performed according to procedure D with (*E*)-chalcone (42 mg, 0.20 mmol), cyclohexylamine (55 mg, 0.4 mmol). Isolation by column chromatography (3% and 10% ethyl acetate in hexane) gave *trans* and *cis* isomers with dr of 3.1:1. The *trans* isomer was obtained as crystalline solid (41 mg, 68%) and the *cis* isomer as white solid (13 mg, 22%).

Analytical data of *trans* 7h

MP: 89- 91 ^oC

IR (neat) ν_{max}: 3062, 3031, 2928, 2854, 1667, 1598, 1495, 1222, 747, 698 cm^{-1}

^1H NMR (500 MHz, CD_3CN): δ 8.08 (d, *J* = 7.0 Hz, 2H), 7.66 (t, *J* = 7.0 Hz, 1H), 7.55 (t, *J* = 7.0 Hz, 2H), 7.38 – 7.34 (m, 4H), 7.29 (d, *J* = 6.0 Hz, 1H), 3.71 (s, 1H), 3.49 (s, 1H), 2.59 (s, 1H), 1.83 – 1.76 (m, 2H), 1.61 – 1.55 (m, 2H), 1.43 – 1.39 (m, 1H), 1.32 – 1.21 (m, 4H), 1.09- 1.04 (m, 1H).

^{13}C NMR (125 MHz, CD_3CN): δ 195.2, 140.4, 138.8, 133.9, 133.9, 129.4, 128.9, 127.9, 127.1, 58.4, 48.3, 47.5, 33.4, 33.2, 26.4, 24.9, 24.6.

HRMS (ESI) (m/z): Calcd for $C_{21}H_{24}NO$, $(M+H)^+$: 306.18579; Found: 306.18625.

Analytical data of *cis* 7h

MP: 86- 88 ^oC

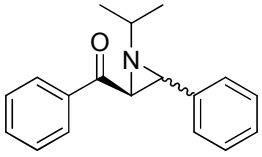
IR (neat) ν_{max}: 3063, 3029, 2928, 2854, 1685, 1495, 1177, 737, 697 cm^{-1}

^1H NMR (500 MHz, CD_3CN): δ 7.93 (dd, *J* = 8.5, 1.5 Hz, 2H), 7.58 – 7.54 (m, 1H), 7.45 (t, *J* = 8.0 Hz, 2H), 7.34 (d, J=7.5 Hz, 2H), 7.21 – 7.18 (m, 2H), 7.15 – 7.12 (m, 1H), 3.48 (d, *J* = 7.0 Hz, 1H), 3.31 (d, *J* = 7.0 Hz, 1H), 1.97 - 1.81 (m, 4H), 1.76 - 1.71 (m, 1H), 1.64 – 1.61 (m, 1H), 1.54 – 1.45 (m, 2H), 1.37 – 1.26 (m, 3H).

^{13}C NMR (125 MHz, CD_3CN): δ 193.9, 137.5, 137.3, 133.6, 129.1, 128.9, 128.3, 128.1, 127.7, 68.4, 50.7, 48.9, 32.8, 32.3, 26.5, 24.7, 24.7.

HRMS (ESI) (m/z): Calcd for $C_{21}H_{24}NO$, $(M+H)^+$: 306.18579; Found: 306.18643.

(1-isopropyl-3-phenylaziridin-2-yl)(phenyl)methanone (7i)



The reaction was performed according to procedure D with (*E*)-chalcone (42 mg, 0.20 mmol), isopropylamine (24 mg, 0.4 mmol). Isolation by column chromatography (3% and 10% ethyl acetate in hexane) gave *trans* and *cis* isomers with dr of 1.9:1. The *trans* isomer was obtained as colourless liquid (30 mg, 57%) and the *cis* isomer as pale yellow liquid (16 mg, 30%).

Analytical data of *trans* 7i

IR (neat) ν_{max}: 3068, 2976, 1666, 1644, 1175, 695 cm^{-1}

^{1}H NMR (500 MHz, CD_3CN): δ 8.09 (d, *J* = 8.0 Hz, 2H), 7.66 (t, *J* = 7.5 Hz, 1H), 7.55 (t, *J* = 8.0 Hz, 2H), 7.40 (d, *J* = 7.5 Hz, 2H), 7.35 (t, *J* = 7.5 Hz, 2H), 7.28 (t, *J* = 7.0 Hz, 1H), 3.73 (d, *J* = 1.5 Hz, 1H), 3.49 (d, *J* = 1.5 Hz, 1H), 2.94 - 2.89 (m, 1H), 1.17 (d, *J* = 6.5 Hz, 3H), 0.88 (d, *J* = 6.0 Hz, 3H).

^{13}C NMR (125 MHz, CD_3CN): δ 195.1, 140.2, 138.8, 133.9, 129.4, 128.9, 127.9, 127.0, 50.8, 48.8, 48.1, 22.4.

HRMS (ESI) (m/z): Calcd for $C_{18}H_{20}NO$, $(M+H)^+$: 266.15449; Found: 266.15508.

Analytical data of *cis* 7i

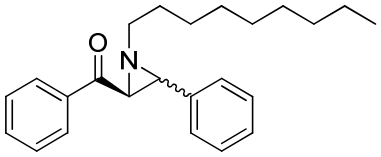
IR (neat) ν_{max}: 3062, 2969, 1681, 1598, 1451, 1225, 697 cm^{-1}

^{1}H NMR (500 MHz, CD_3CN): δ 7.94 (dd, *J* = 8.5, 1.5 Hz, 2H), 7.57 – 7.55 (m, 1H), 7.45 (t, *J* = 8.0 Hz, 2H), 7.34 (d, *J* = 7.1 Hz, 2H), 7.21 – 7.18 (m, 2H), 7.15 – 7.12 (m, 1H), 3.48 (d, *J* = 7.0 Hz, 1H), 3.31 (d, *J* = 7.5 Hz, 1H), 2.05- 2.00 (m, 1H), 1.22 (d, *J* = 1.5 Hz, 3H), 1.21 (d, *J* = 2.0 Hz, 3H).

^{13}C NMR (125 MHz, CD_3CN): δ 193.8, 137.5, 137.2, 133.6, 129.1, 128.5, 128.3, 128.1, 127.7, 61.1, 51.2, 49.4, 21.9, 21.6.

HRMS (ESI) (m/z): Calcd for $C_{18}H_{20}NO$, $(M+H)^+$: 266.15449; Found: 266.15458.

(1-nonyl-3-phenylaziridin-2-yl)(phenyl)methanone (7j)



The reaction was performed according to procedure D with (*E*)-chalcone (42 mg, 0.20 mmol), nonylamine (58 mg, 0.4 mmol). Isolation by column chromatography (3% and 10% ethyl acetate in hexane) gave *trans* and *cis* isomers with dr of 2.7:1. The *trans* isomer was obtained as colourless liquid (47 mg, 67%) and the *cis* isomer as pale yellow liquid (17 mg, 25%).

Analytical data of *trans* 7j

IR (neat) ν_{max}: 3060, 3030, 2954, 1666, 1600, 1492, 714, 697 cm^{-1}

^{1}H NMR (500 MHz, CD_3CN): δ 8.06 (d, *J* = 7.5 Hz, 2H), 7.65 (t, *J* = 7.5 Hz, 1H), 7.54 (t, *J* = 7.5 Hz, 2H), 7.38 – 7.30 (m, 4H), 7.28 (t, *J* = 7.0 Hz, 1H), 3.67 (d, *J* = 1.5 Hz, 1H), 3.38 (s, 1H), 2.82 – 2.71 (m, 2H), 1.50 - 1.46 (m, 1H), 1.41 - 1.36 (m, 1H), 1.30 – 1.19 (m, 12H), 0.87 (t, *J* = 7.5 Hz, 3H).

^{13}C NMR (125 MHz, CD_3CN): δ 194.9, 140.0, 138.6, 133.9, 129.3, 128.9, 127.9, 126.9, 51.6, 48.9, 48.2, 32.2, 30.4, 29.7, 29.5, 27.5, 22.9, 13.9.

HRMS (ESI) (m/z): Calcd for $C_{24}H_{32}NO$, $(M+H)^+$: 350.24839; Found: 350.24895.

Analytical data of *cis* 7j

IR (neat) ν_{max}: 2925, 2854, 1685, 1599, 1493, 1224, 698 cm^{-1}

^{1}H NMR (500 MHz, CD_3CN): δ 7.92 (dd, *J* = 8.5, 1.3 Hz, 2H), 7.58 – 7.54 (m, 1H), 7.45 (t, *J* = 8.0 Hz, 2H), 7.33 (d, *J* = 7.0 Hz, 2H), 7.20 (t, *J* = 8.0 Hz, 2H), 7.15 – 7.12 (m, 1H), 3.43 (d, *J* = 7.0 Hz, 1H), 3.24 (d, *J* = 7.0 Hz, 1H), 2.79 - 2.74 (m, 1H), 2.51 - 2.45(m, 1H), 1.67 – 1.61 (m, 2H), 1.48 - 1.42 (m, 2H), 1.35 – 1.28 (m, 10H), 0.90 (t, *J* = 7.5 Hz, 3H).

^{13}C NMR (125 MHz, CD_3CN): δ 193.9, 137.6, 136.9, 133.6, 129.1, 128.5, 128.3, 128.1, 127.7, 60.6, 51.8, 49.8, 32.2, 29.9, 29.8, 29.6, 27.6, 22.9.

HRMS (ESI) (m/z): Calcd for $C_{24}H_{32}NO$, $(M+H)^+$: 350.24839; Found: 350.24848.

References:

1. T. M. Kadayat, C. Park, K. Y. Jun, T. T. Magar, G. Bist, H. Y. Yoo, Y. Kwon and E. S. Lee, *Bioorg. Med. Chem.,* 2015, **23**, 3499.

2. C. Berthelette, C. McCooye, Y. Leblanc and L. A. Trimble, *J. Org. Chem.,* 1997, **62***,* 4339.

^{1}H and ^{13}C NMR

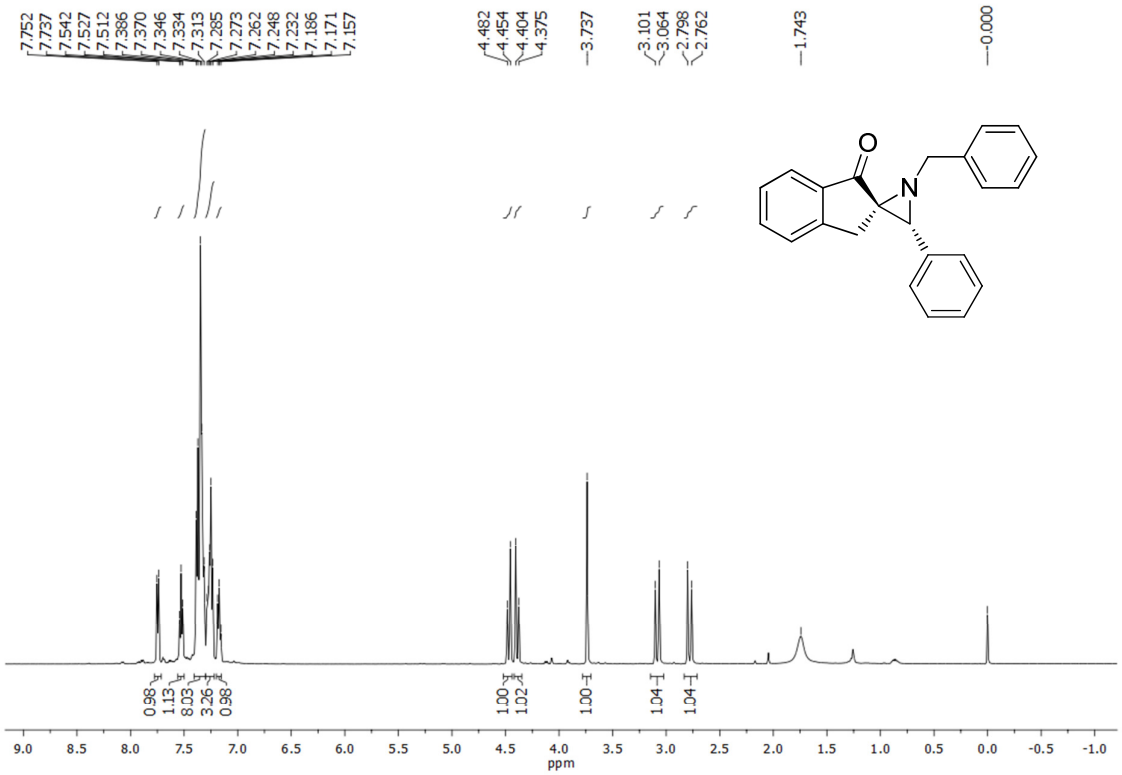


Fig S1. ^{1}H NMR of 3a

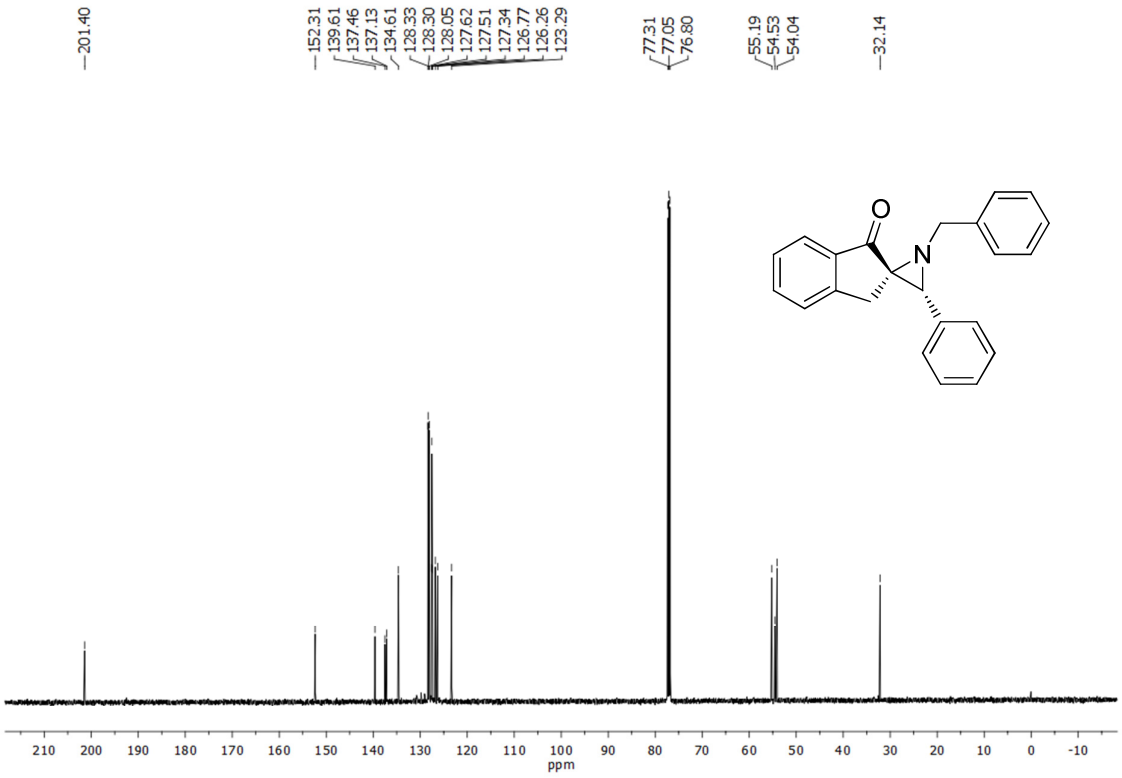


Fig S2. ^{13}C NMR of 3a

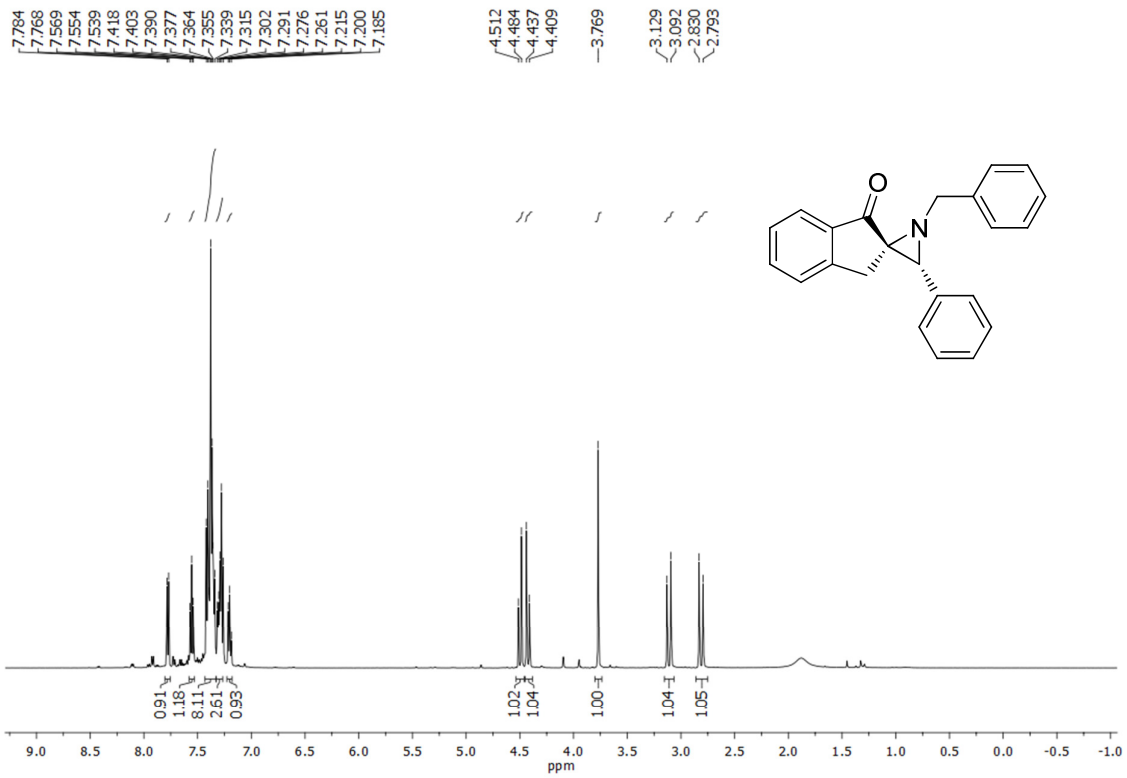


Fig S3. ^{1}H NMR of 3a obtained from (Z) arylidinone

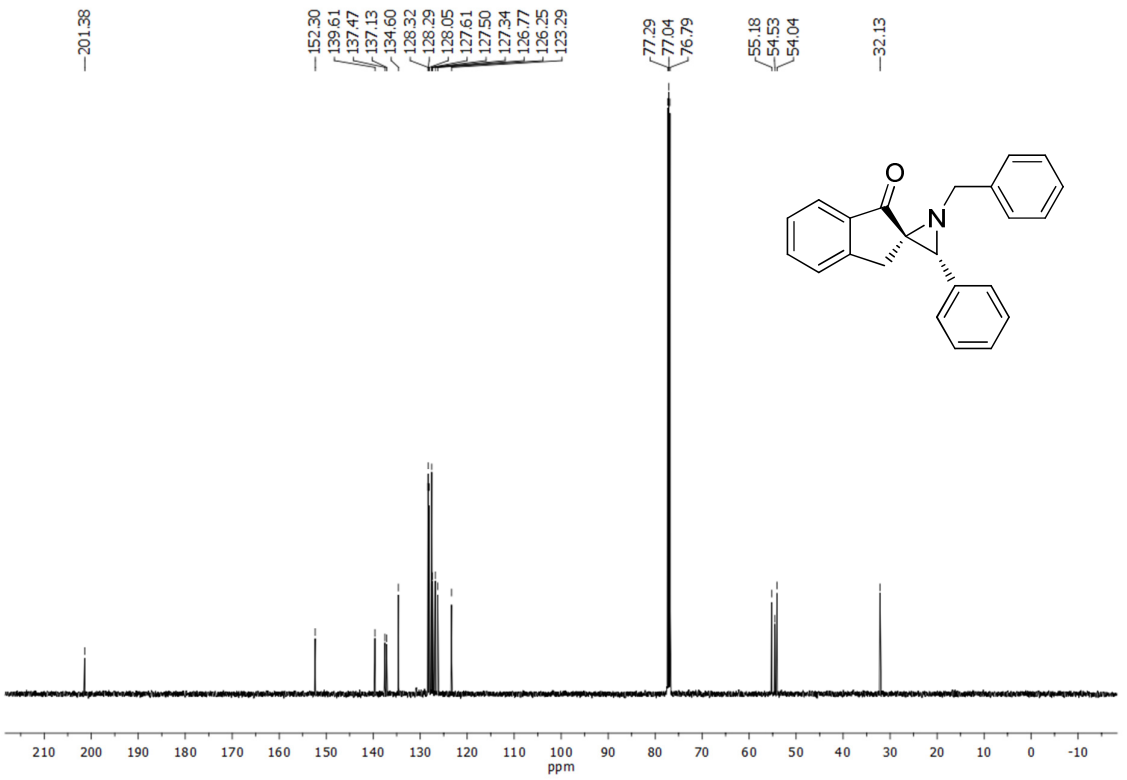


Fig S4. ^{13}C NMR of 3a obtained from (Z) arylidinone

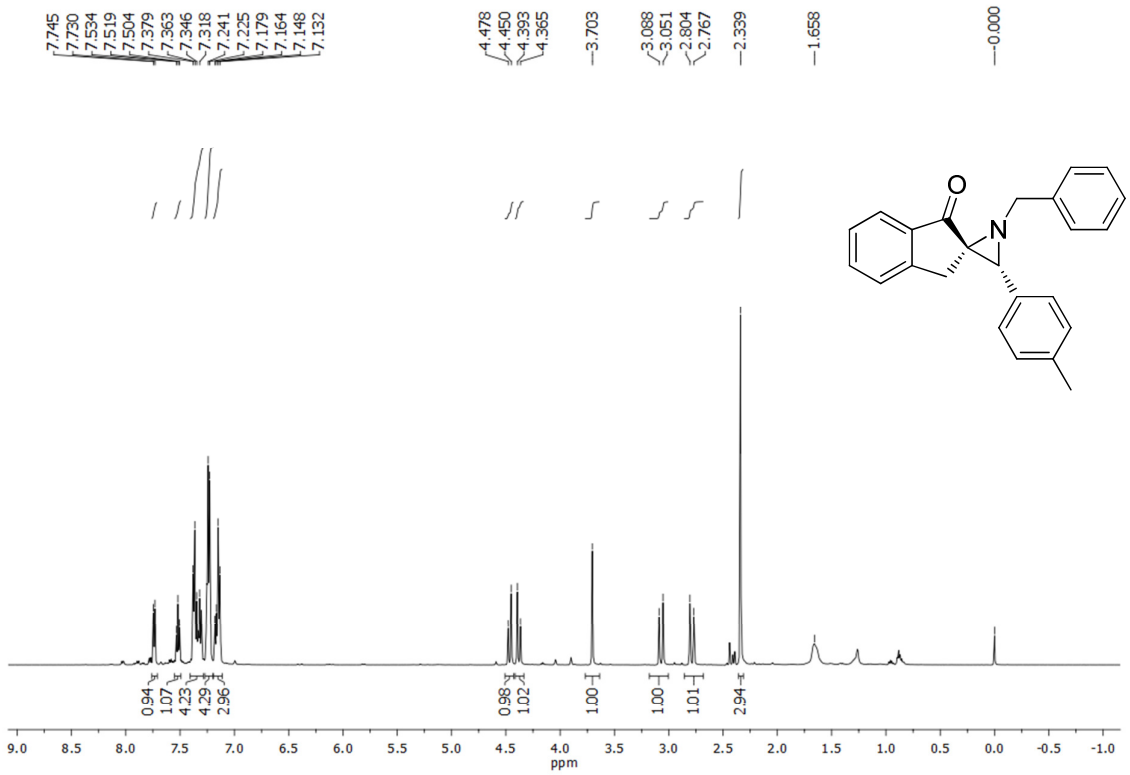


Fig S5. ^{1}H NMR of 3b

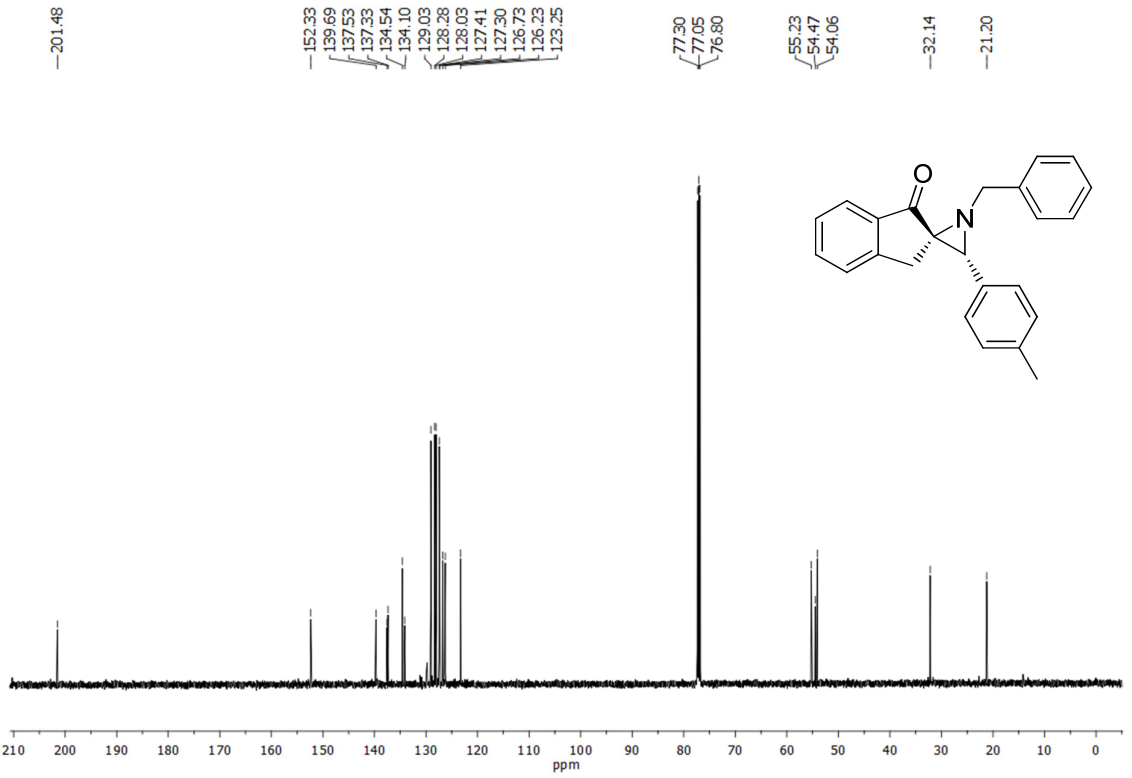


Fig S6. ^{13}C NMR of 3b

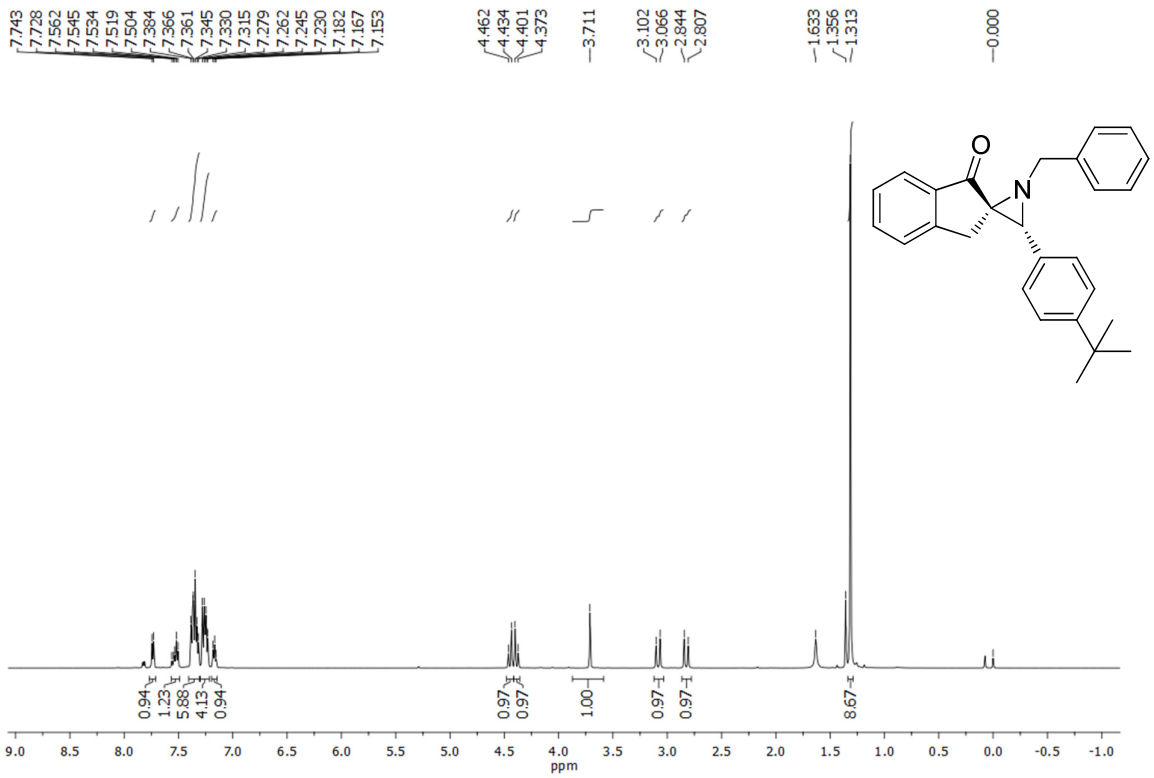


Fig S7. ^{1}H NMR of 3c

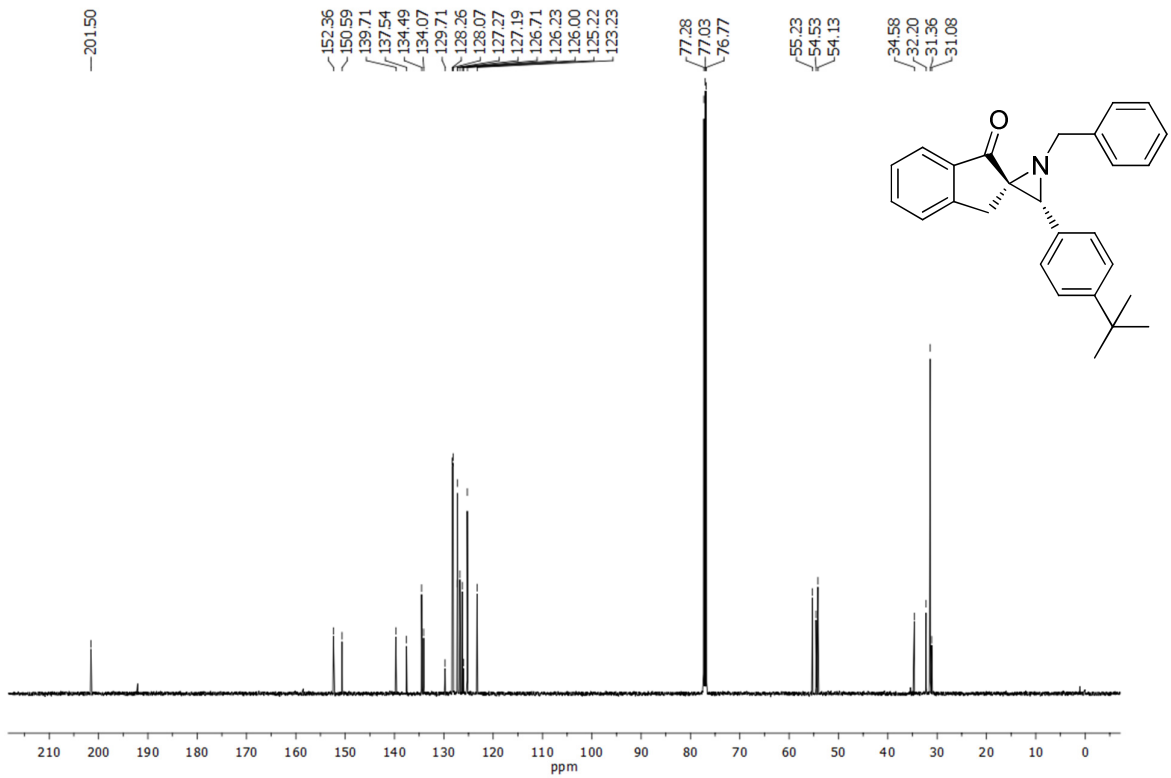


Fig S8. ^{13}C NMR of 3c

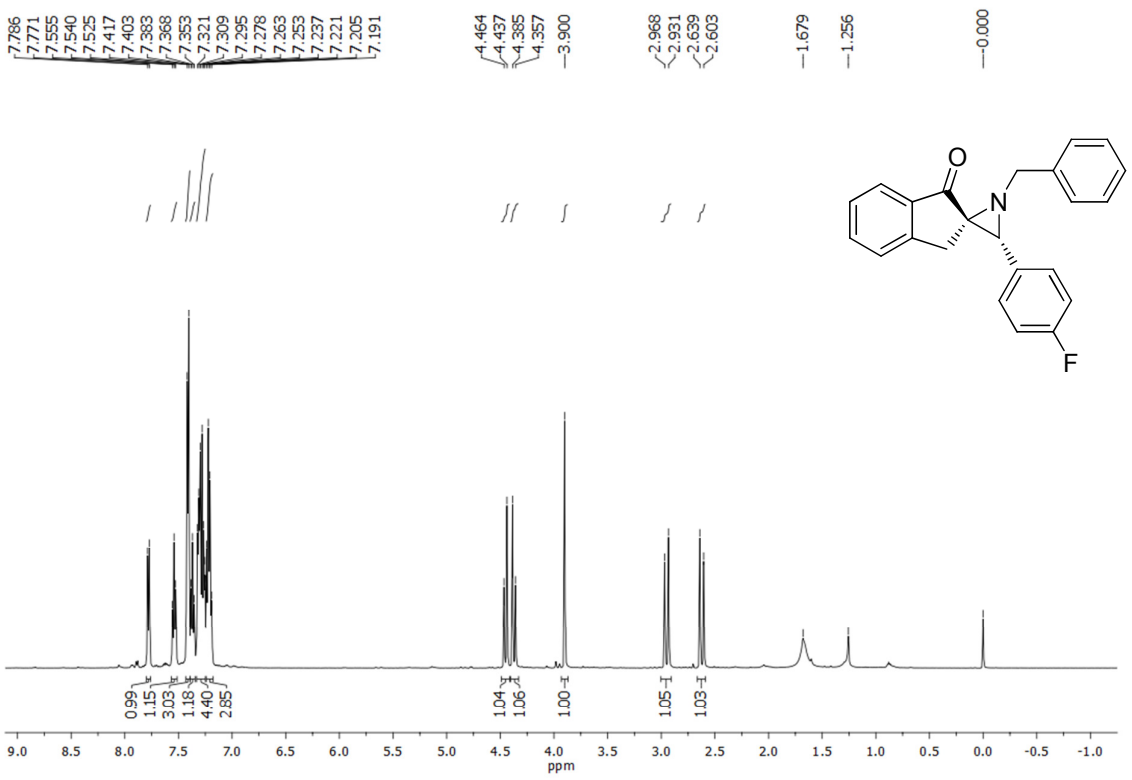


Fig S9. ^{1}H NMR of 3d

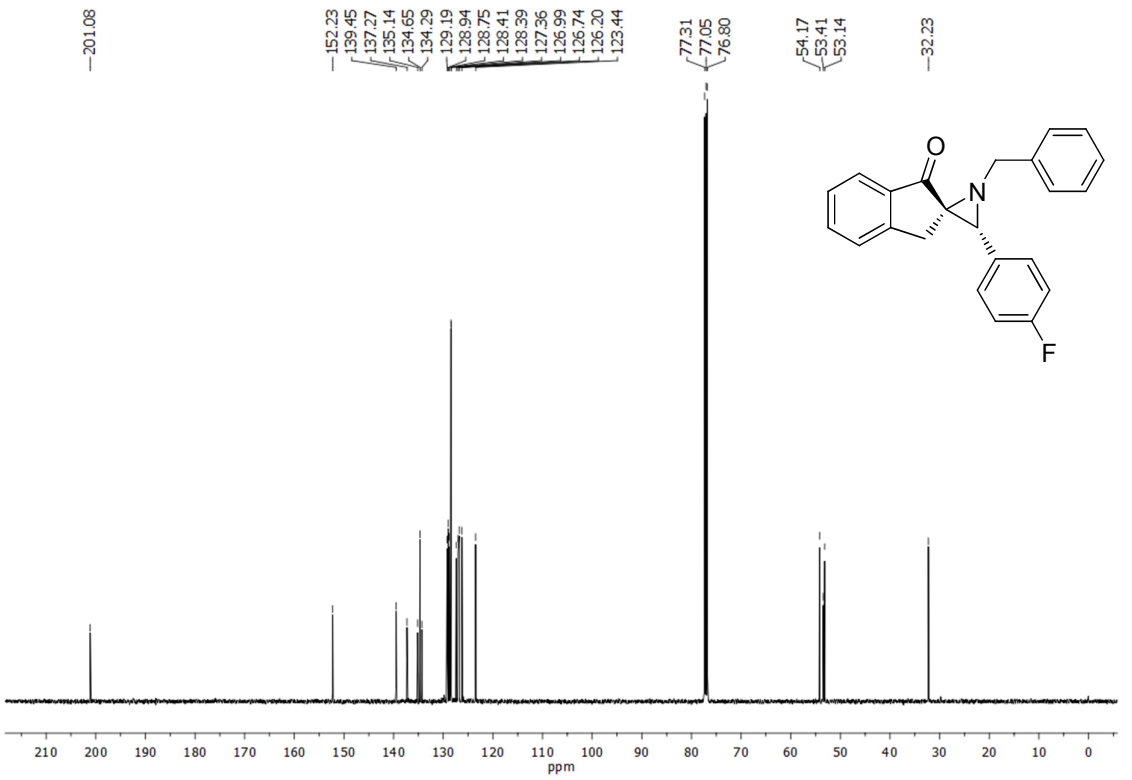


Fig S10. ^{13}C NMR of 3d

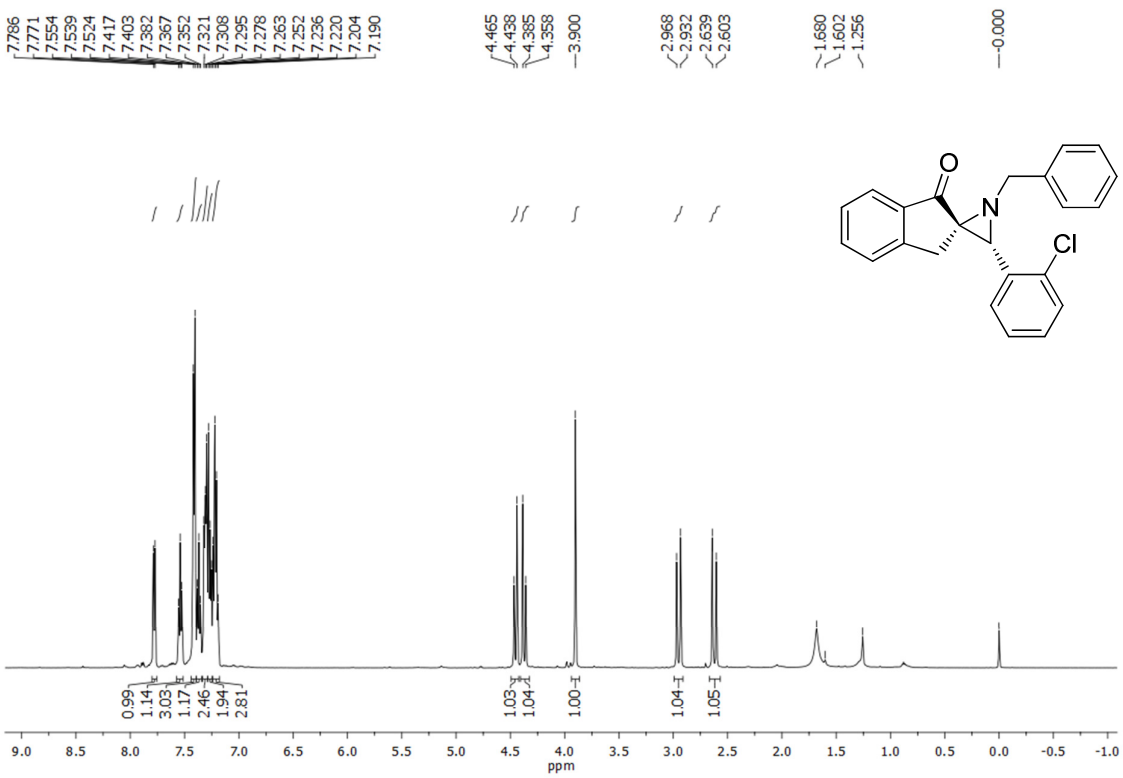


Fig S11. ^{1}H NMR of 3e

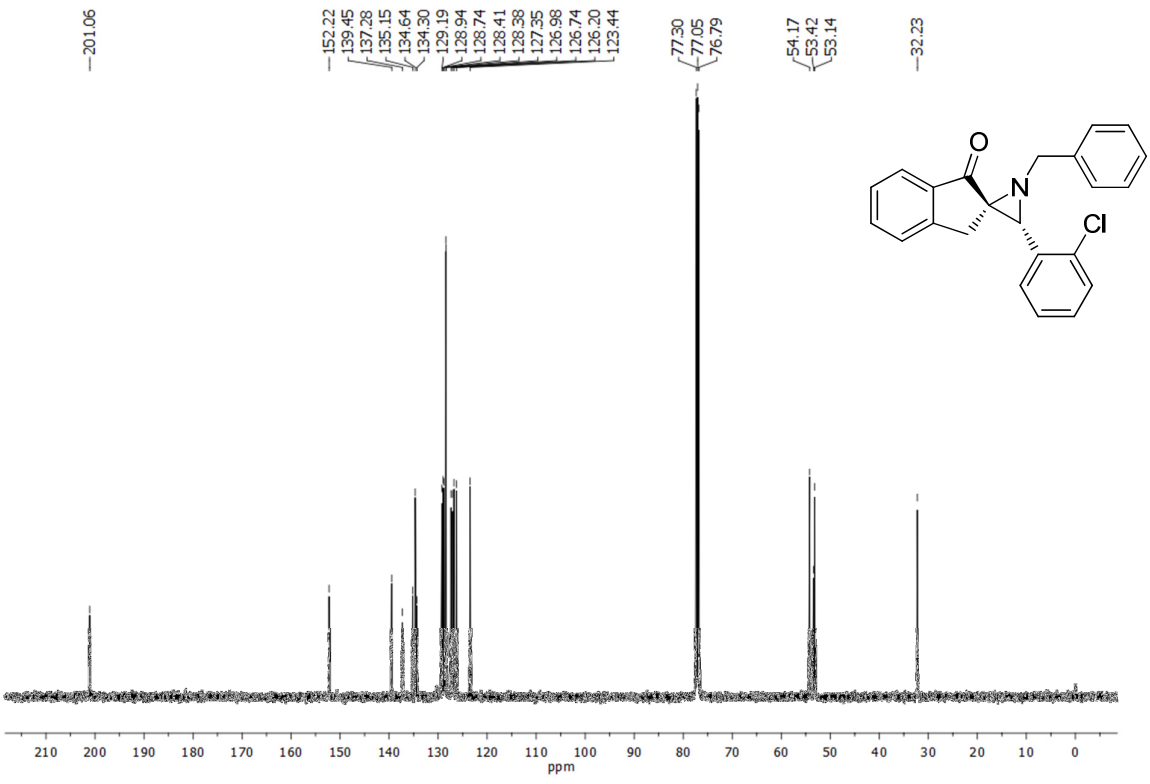


Fig S12. ^{13}C NMR of 3e

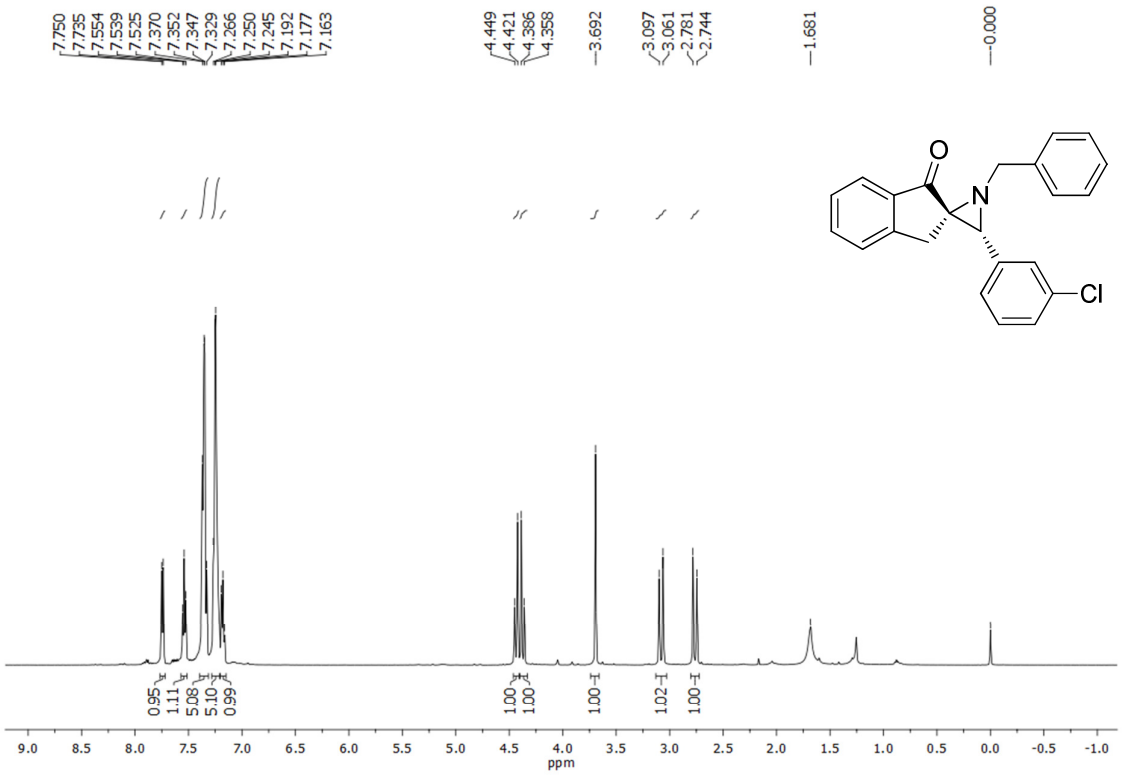


Fig S13. ^{1}H NMR of 3f

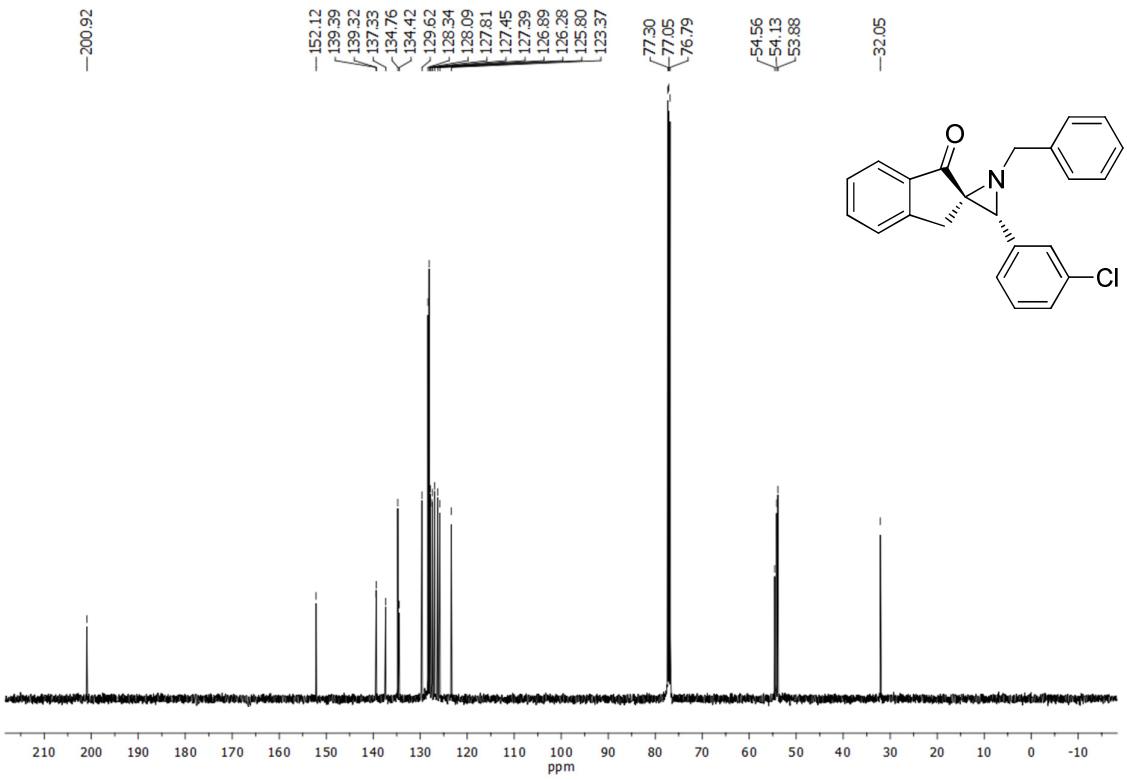


Fig S14. ^{13}C NMR of 3f

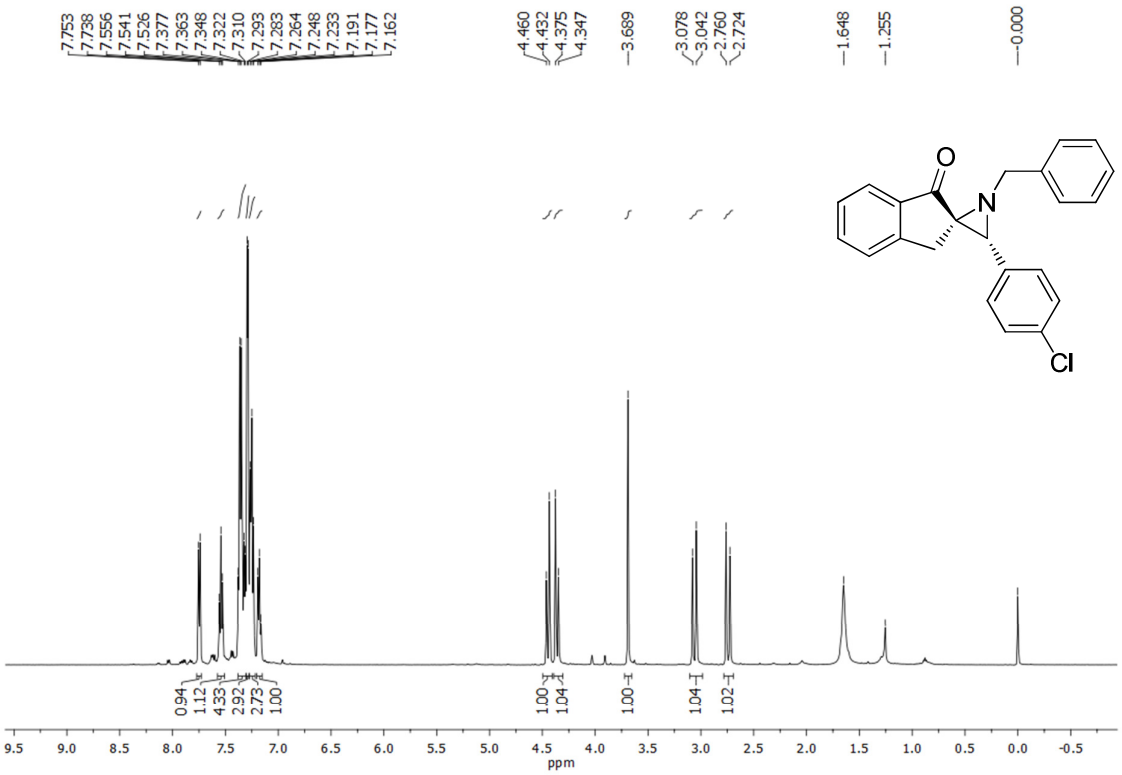


Fig S15. ^{1}H NMR of 3g

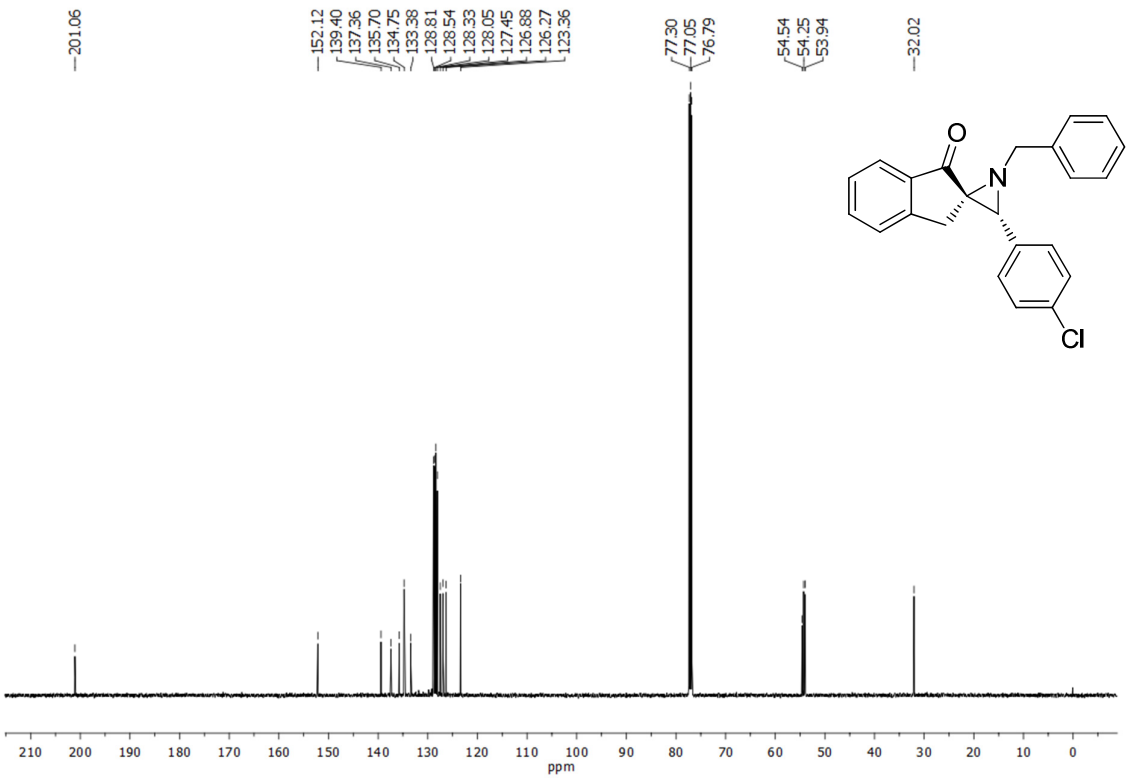


Fig S16. ^{13}C NMR of 3g

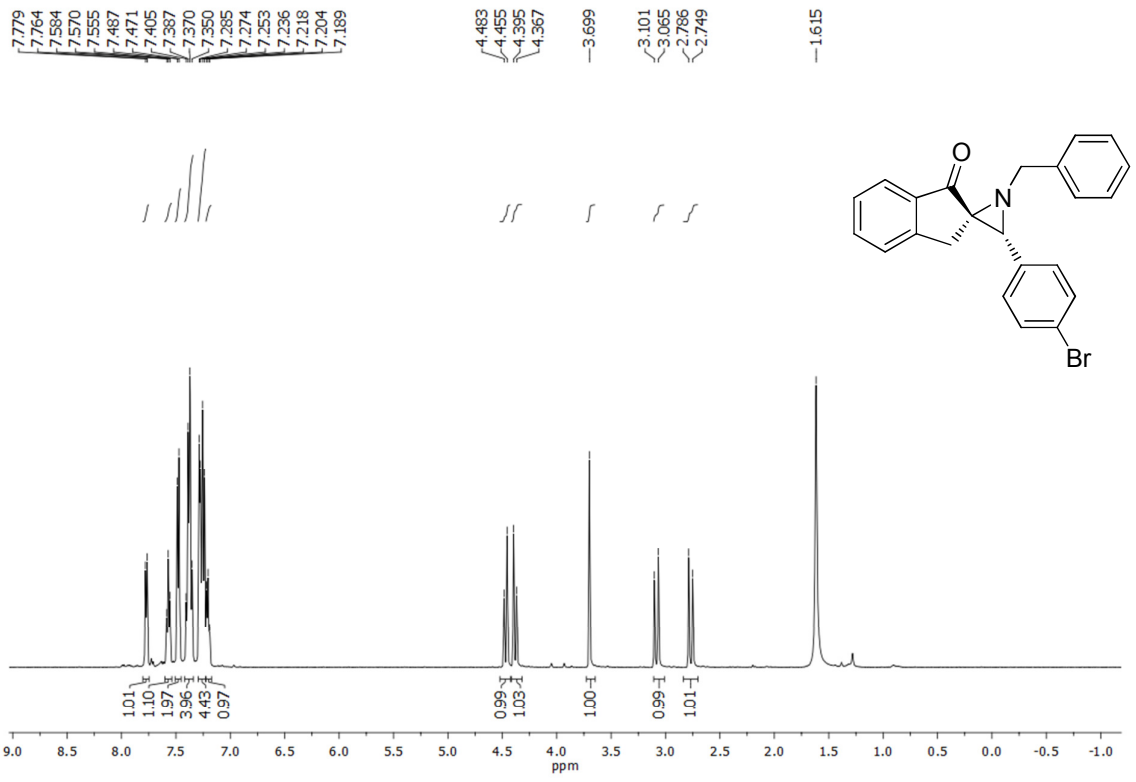


Fig S17. ^{1}H NMR of 3h

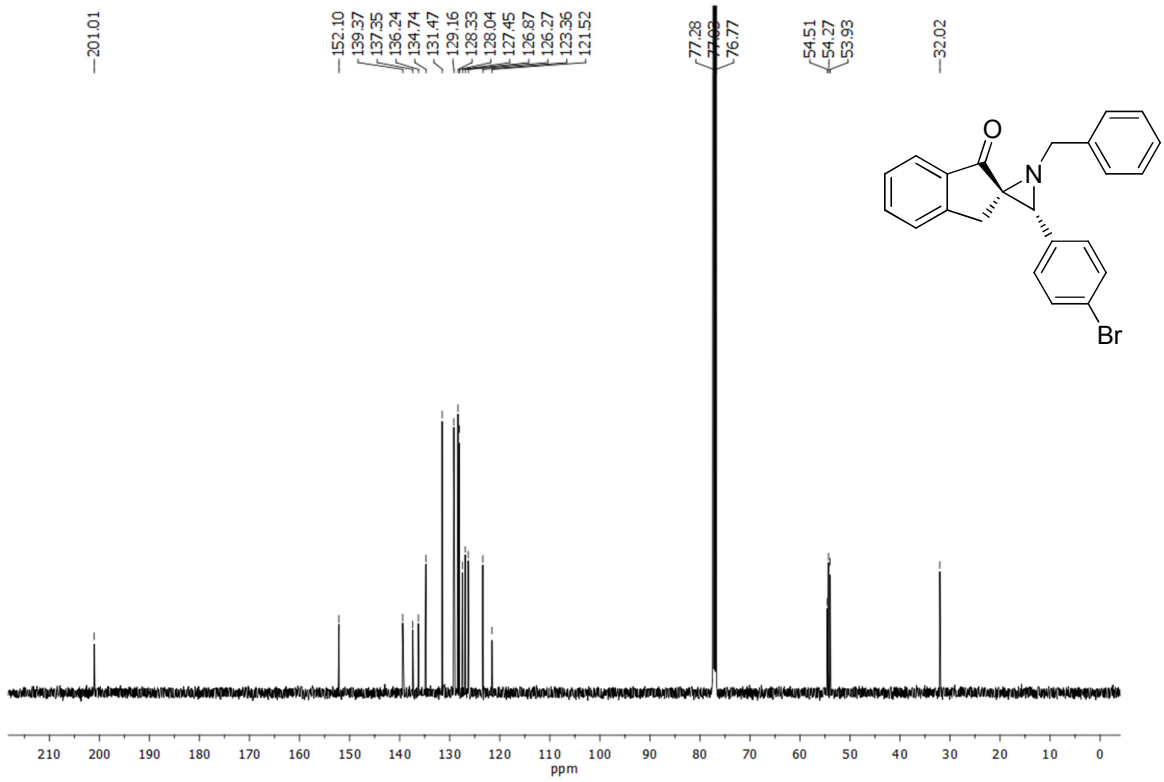


Fig S18. ^{13}C NMR of 3h

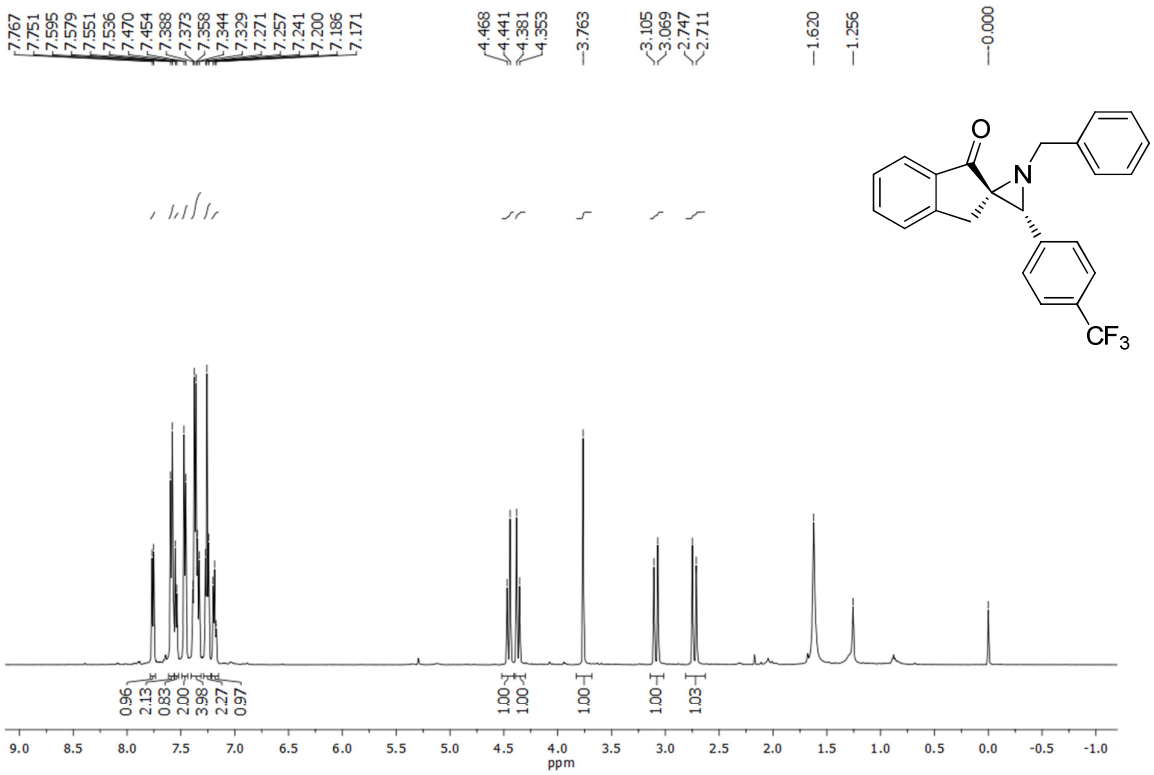


Fig S19. ^{1}H NMR of 3i

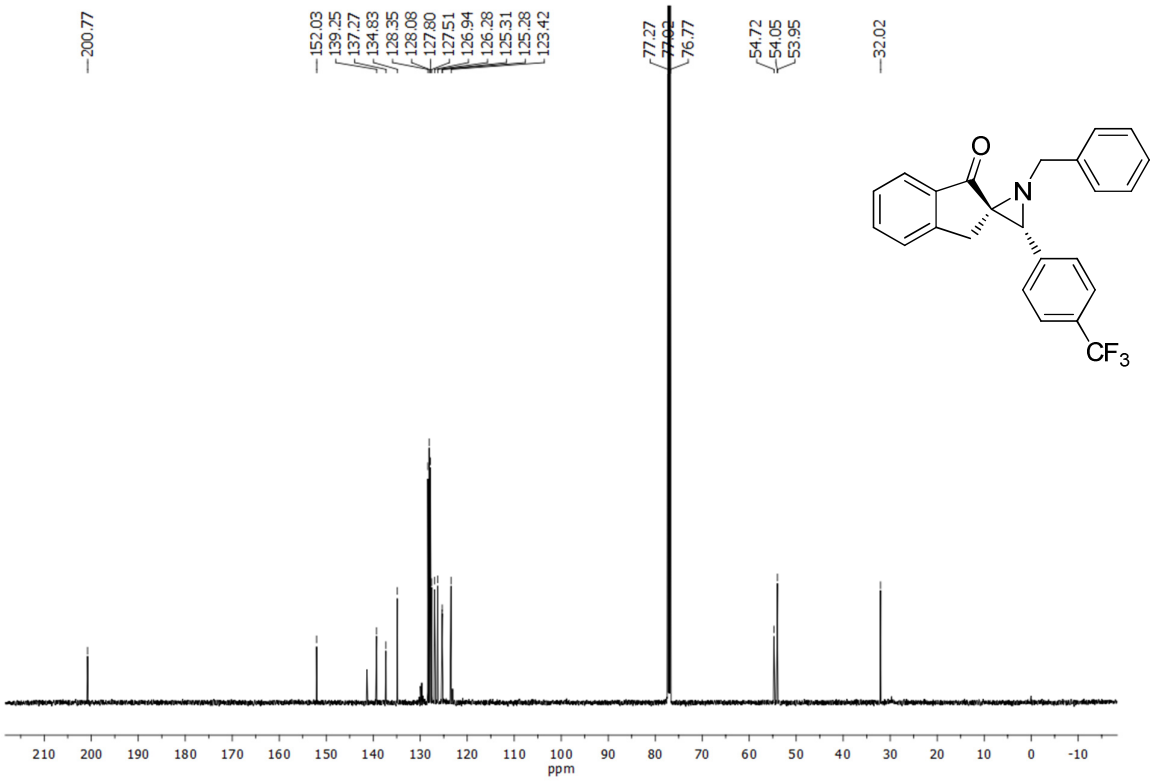


Fig S20. ^{13}C NMR of 3i

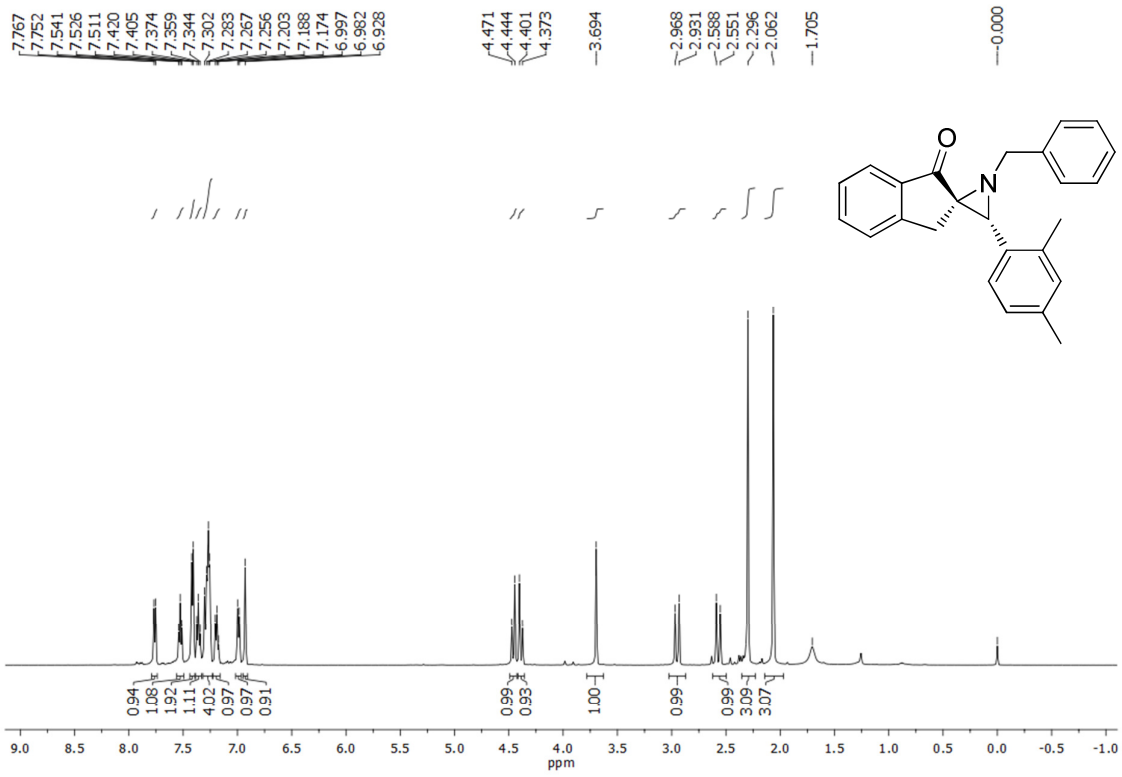


Fig S21. ^{1}H NMR of 3j

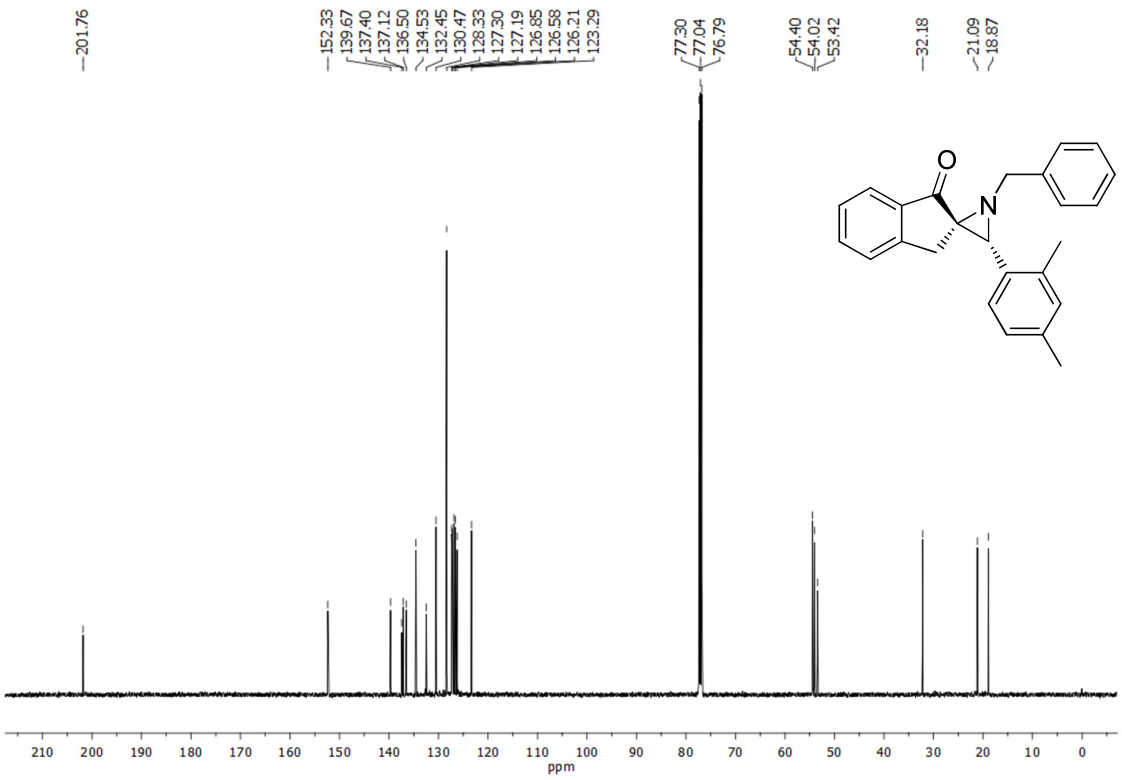


Fig S22. ^{13}C NMR of 3j

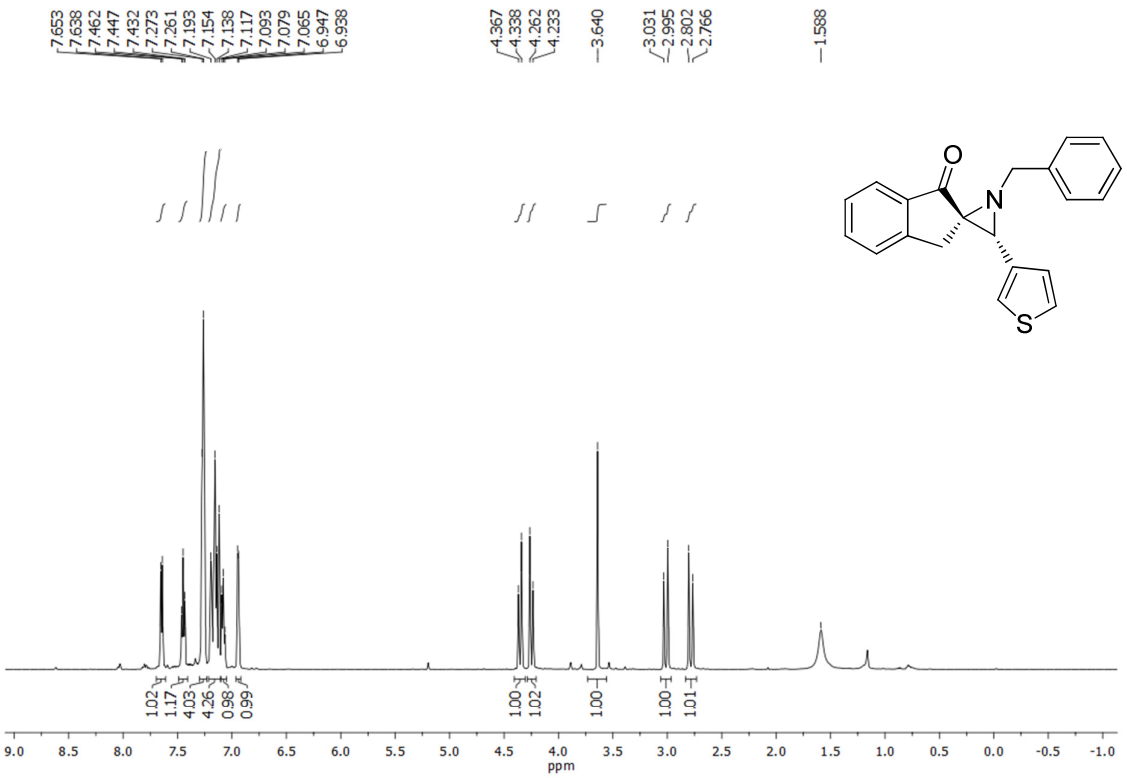


Fig S23. ^{1}H NMR of 3k

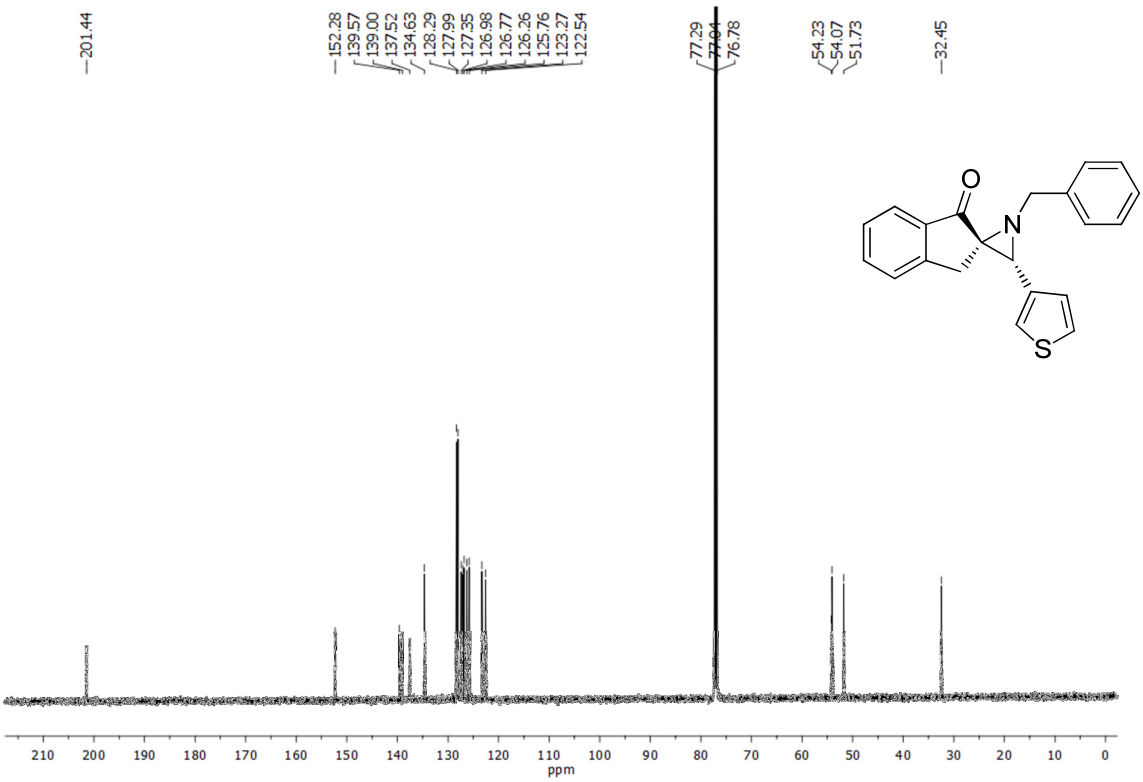


Fig S24. ^{13}C NMR of 3k

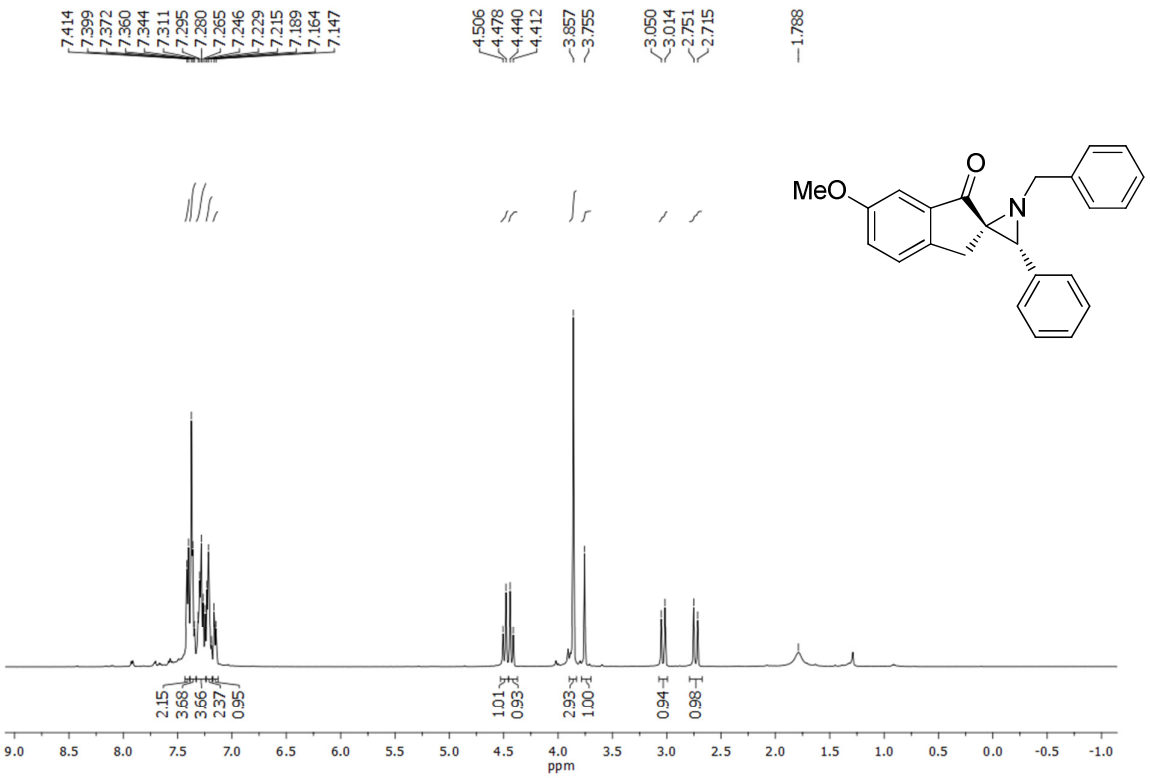


Fig S25. ^{1}H NMR of 3l

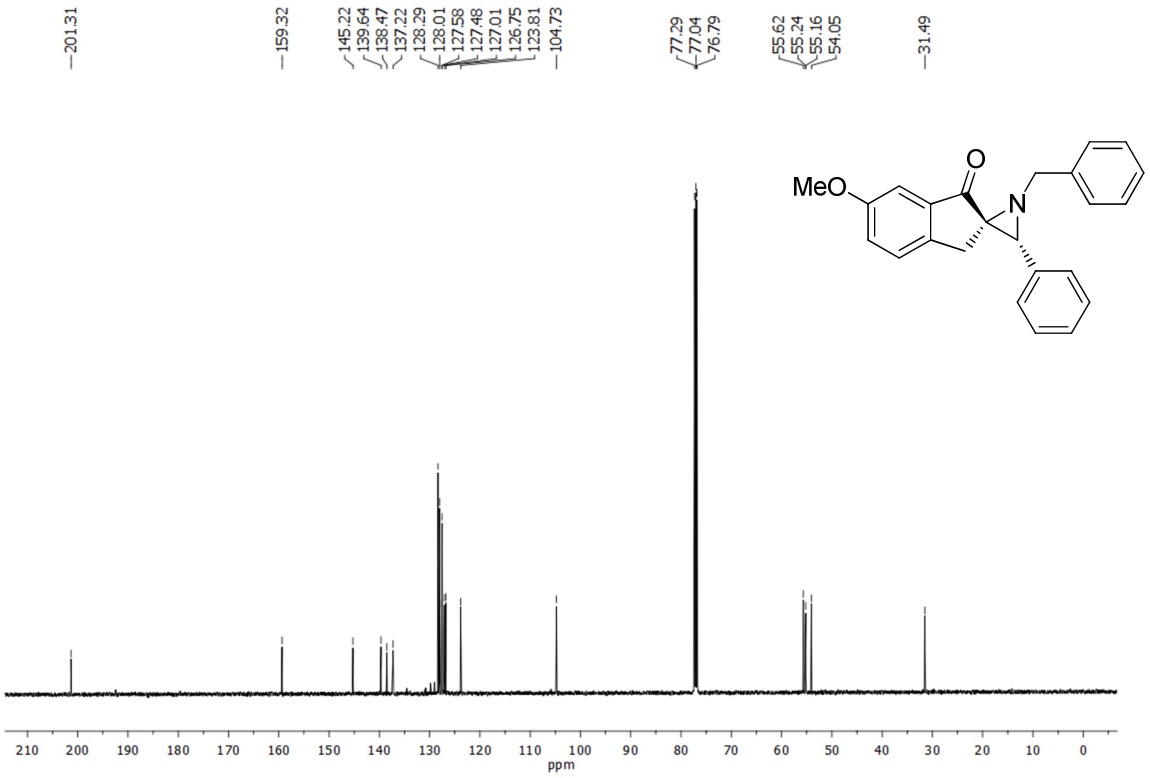


Fig S26. ^{13}C NMR of 3l

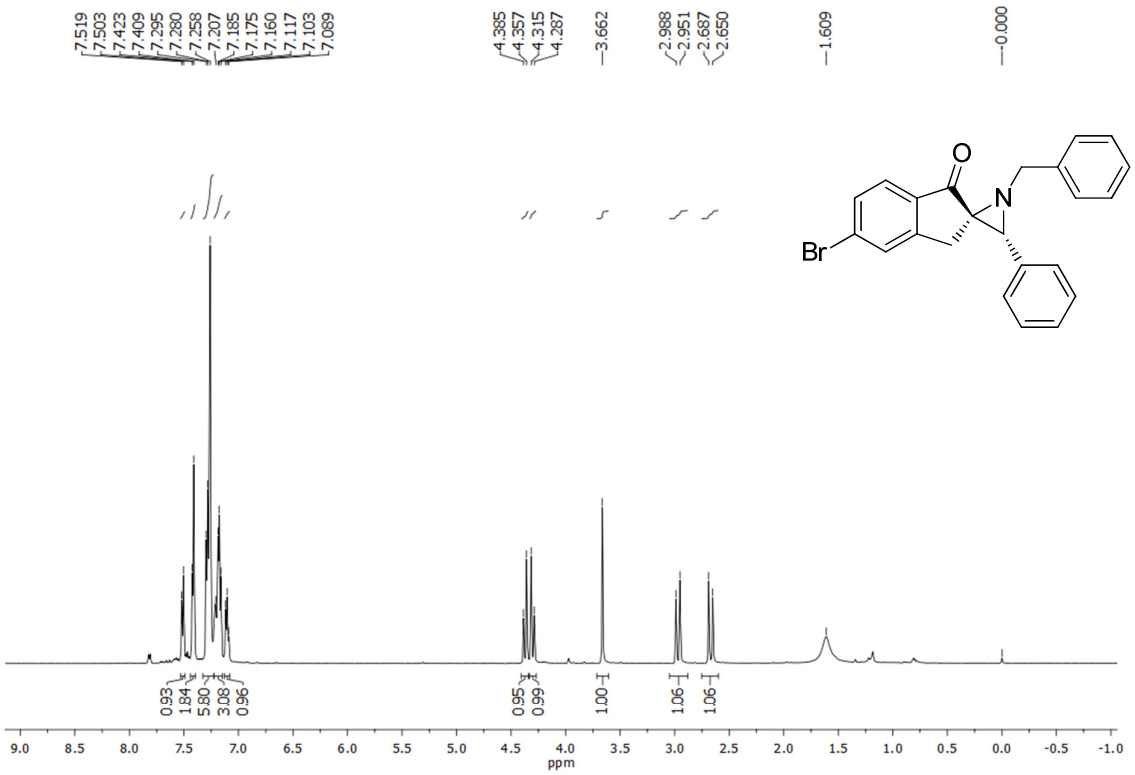


Fig S27. ^{1}H NMR of 3m

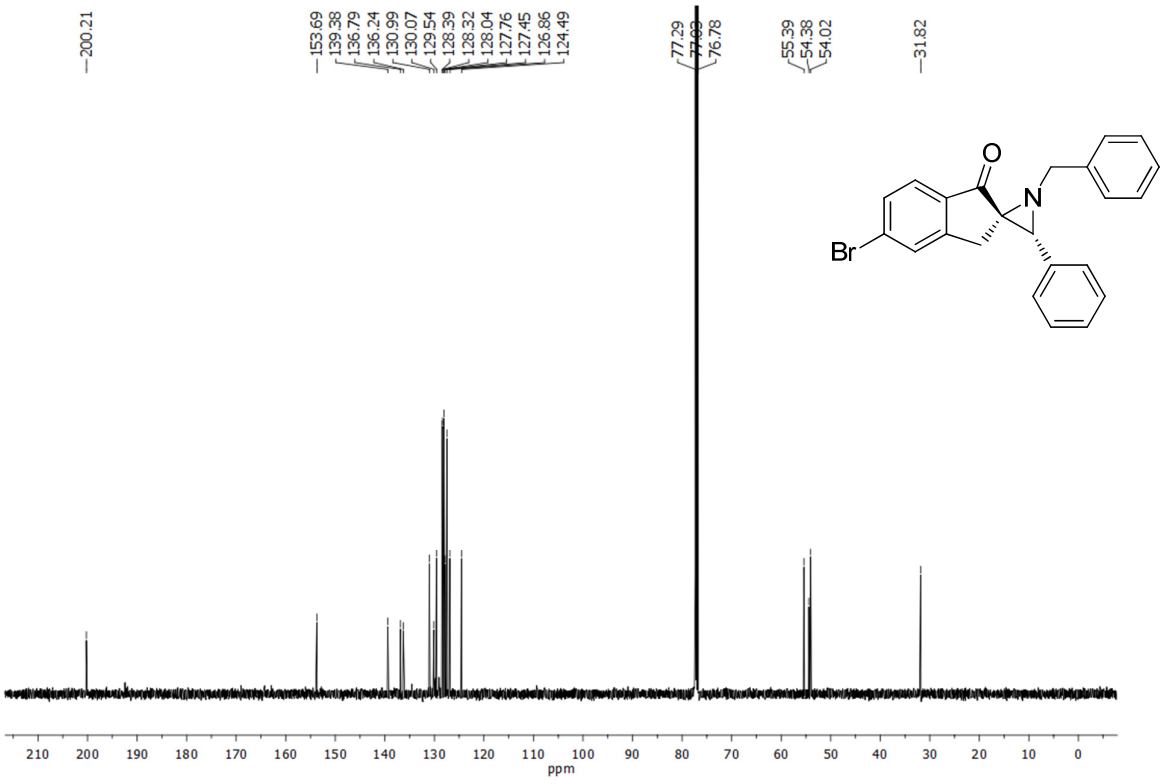


Fig S28. ^{13}C NMR of 3m

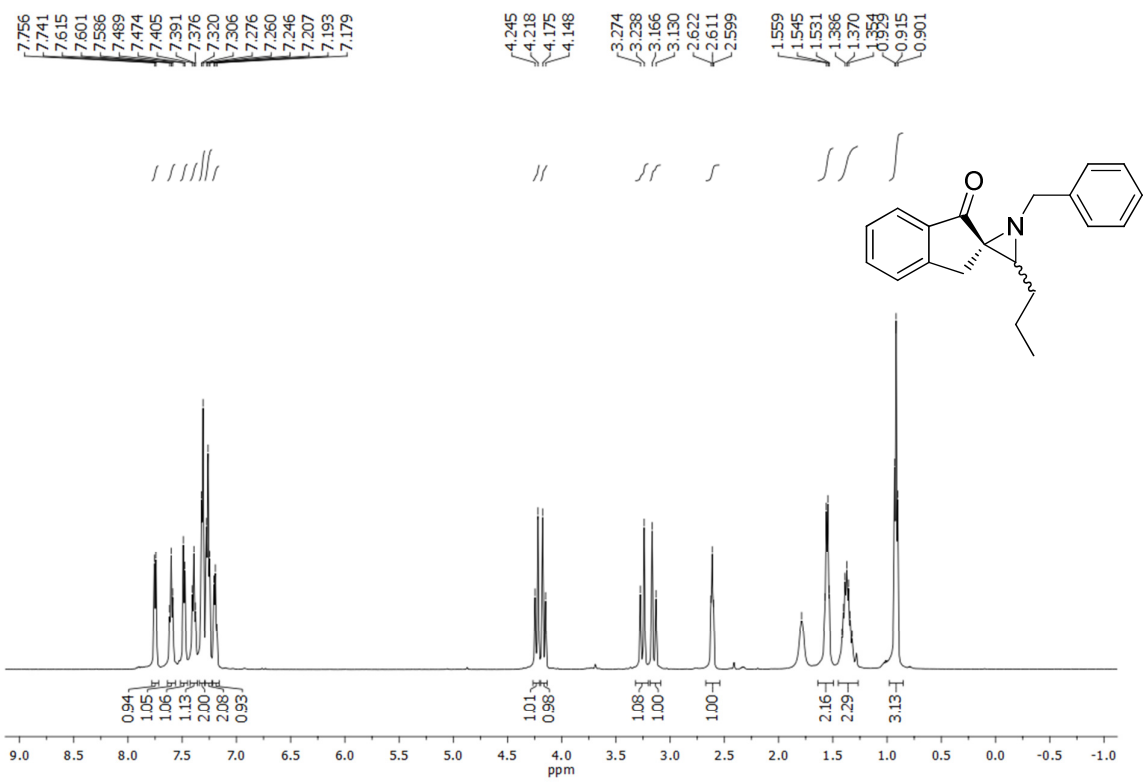


Fig S29. ^{1}H NMR of 3n (major isomer)

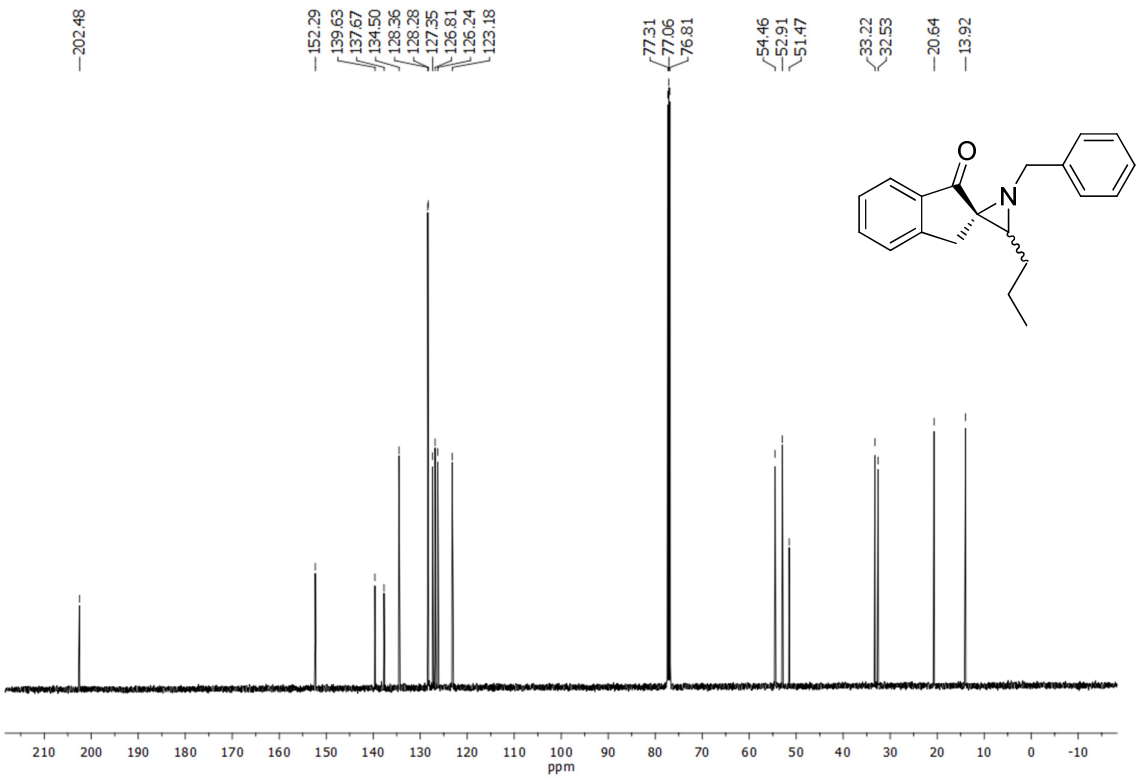


Fig S30. ^{13}C NMR of 3n (major isomer)

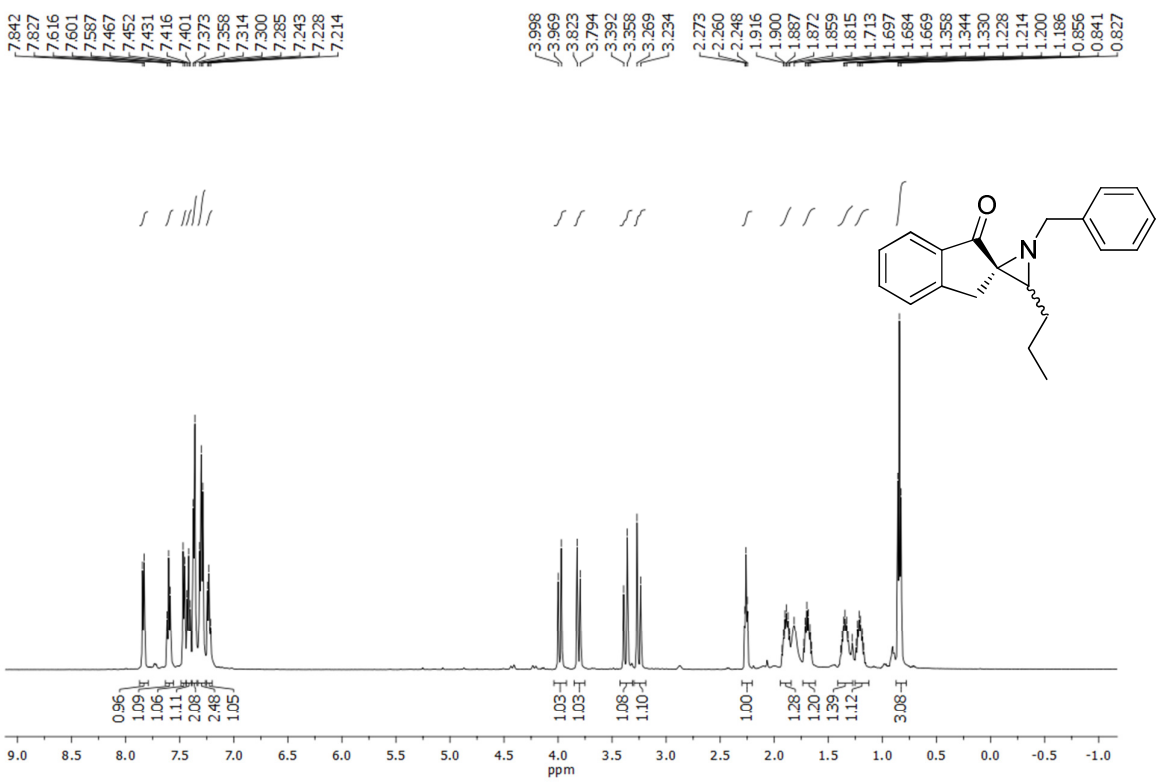


Fig S31. ^{1}H NMR of 3n (minor isomer)

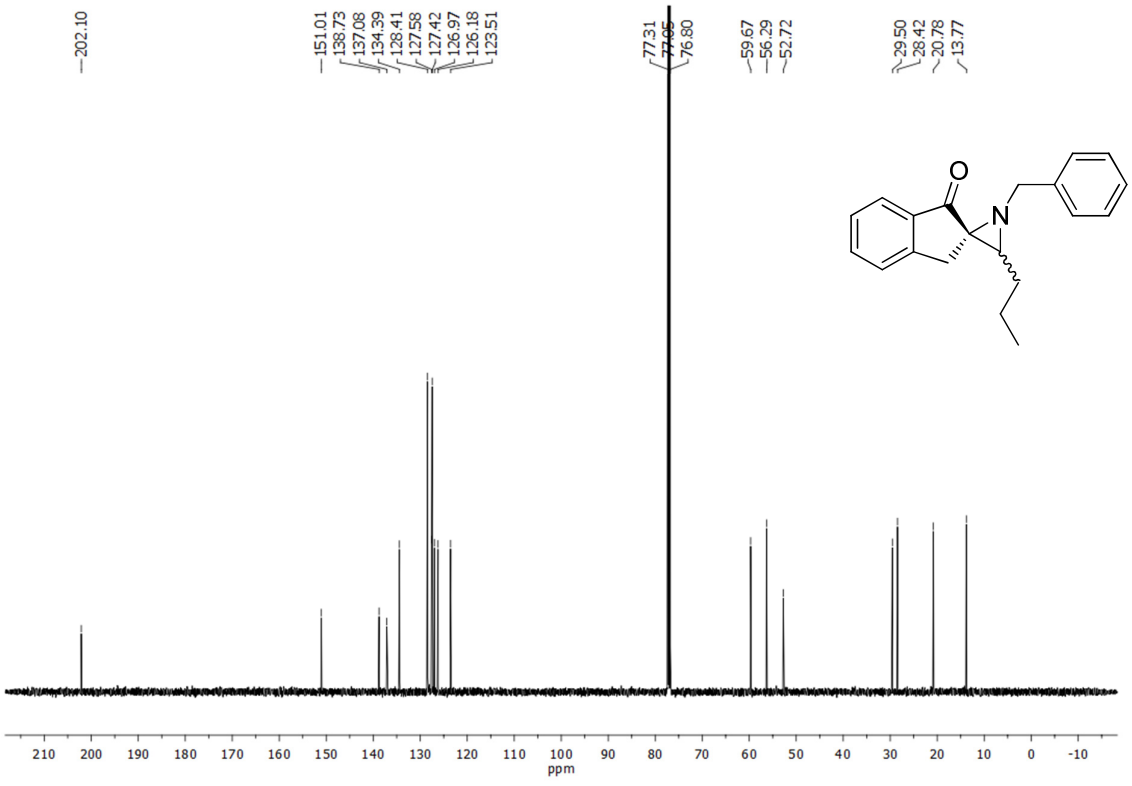


Fig S32. ^{13}C NMR of 3n (minor isomer)

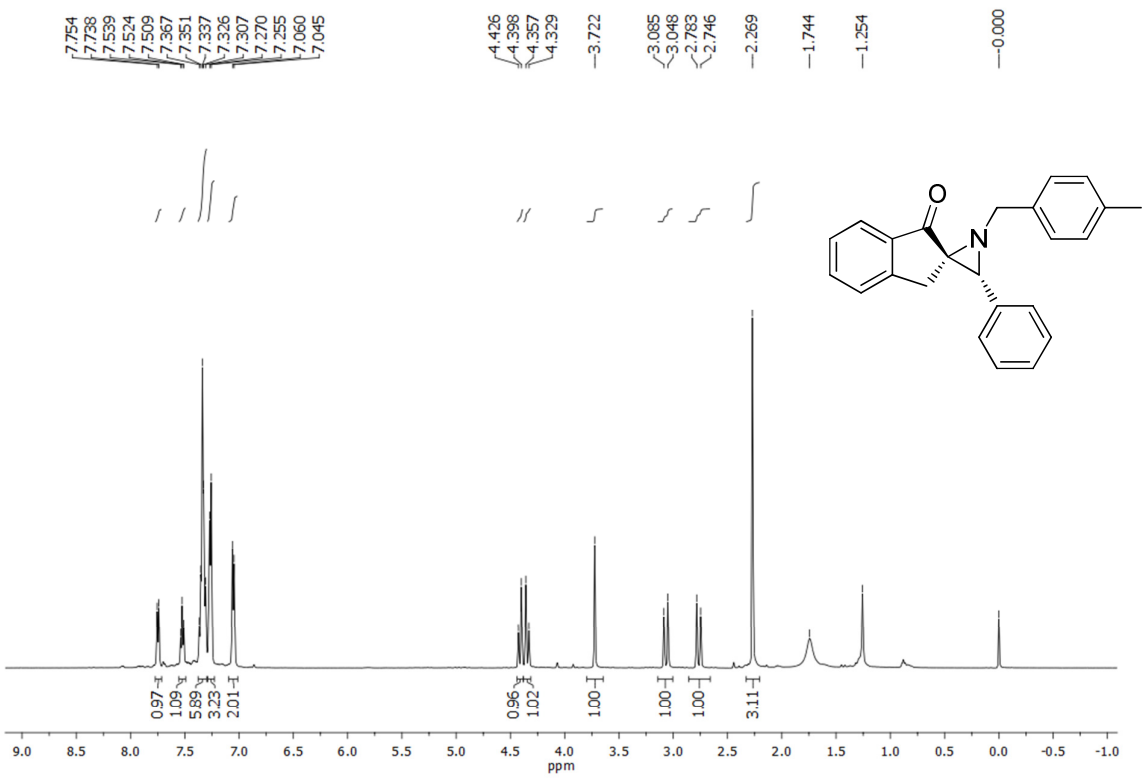


Fig S33. ^{1}H NMR of 3o

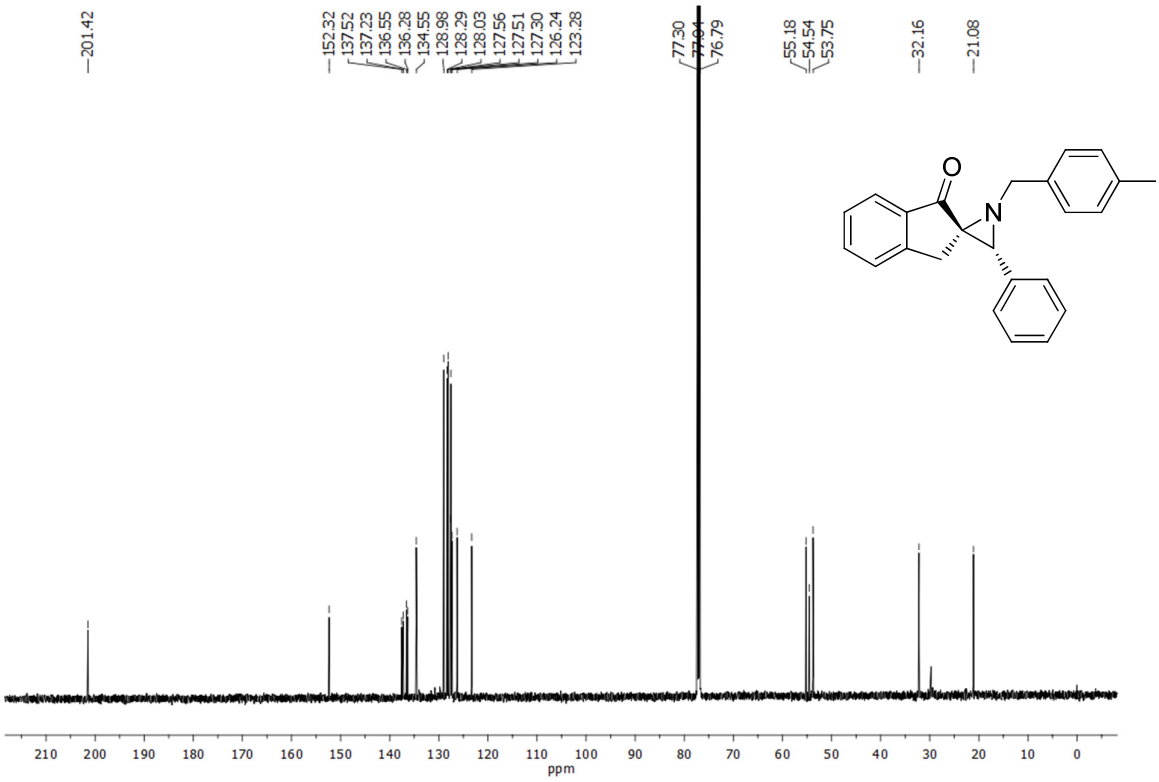


Fig S34. ^{13}C NMR of 3o

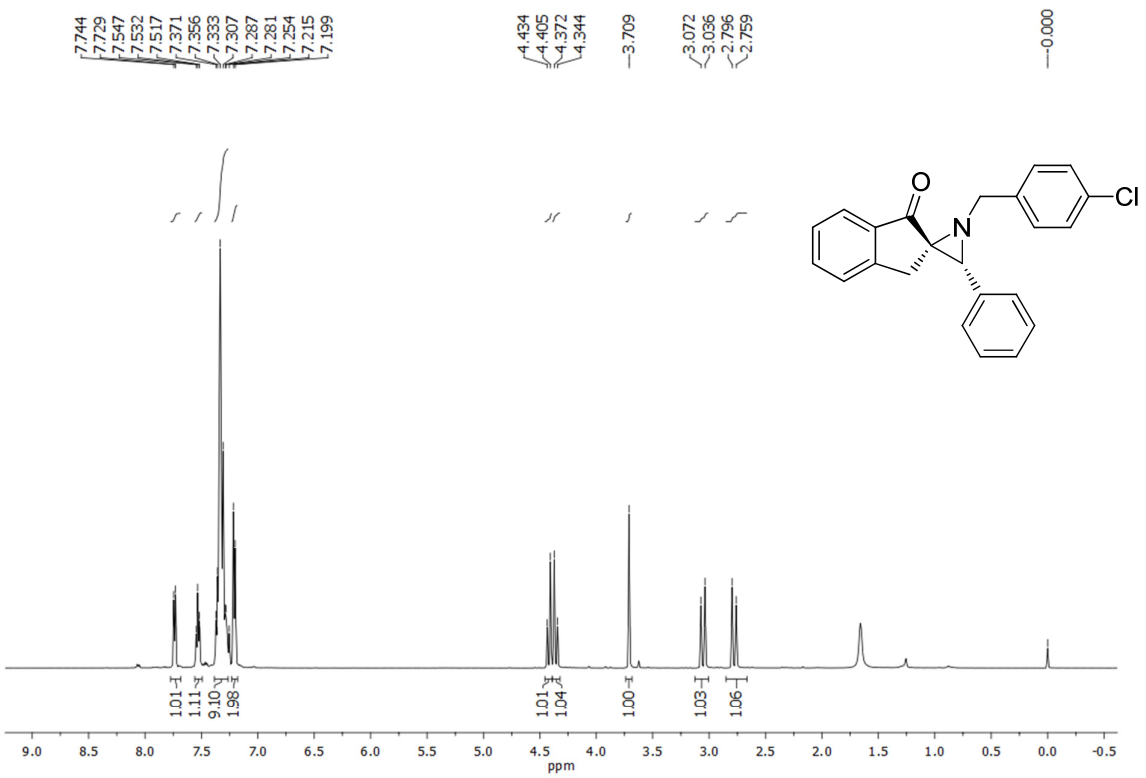


Fig S35. ^{1}H NMR of 3p

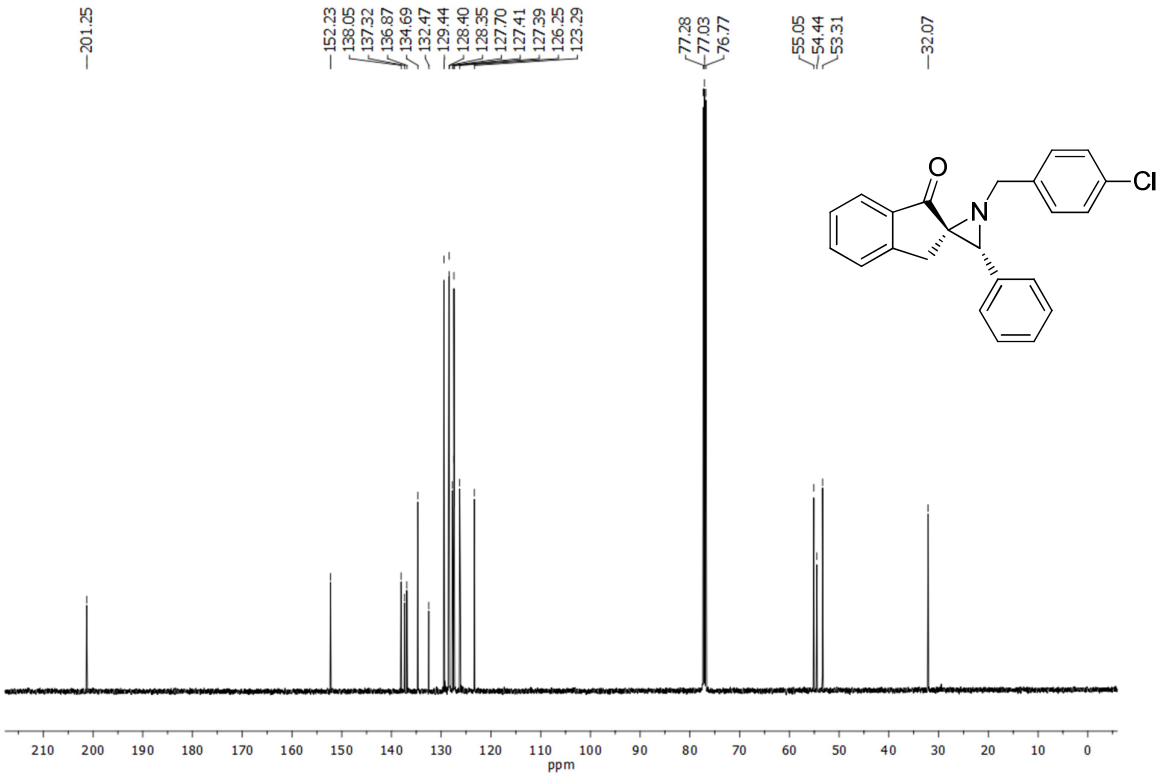


Fig S36. ^{13}C NMR of 3p

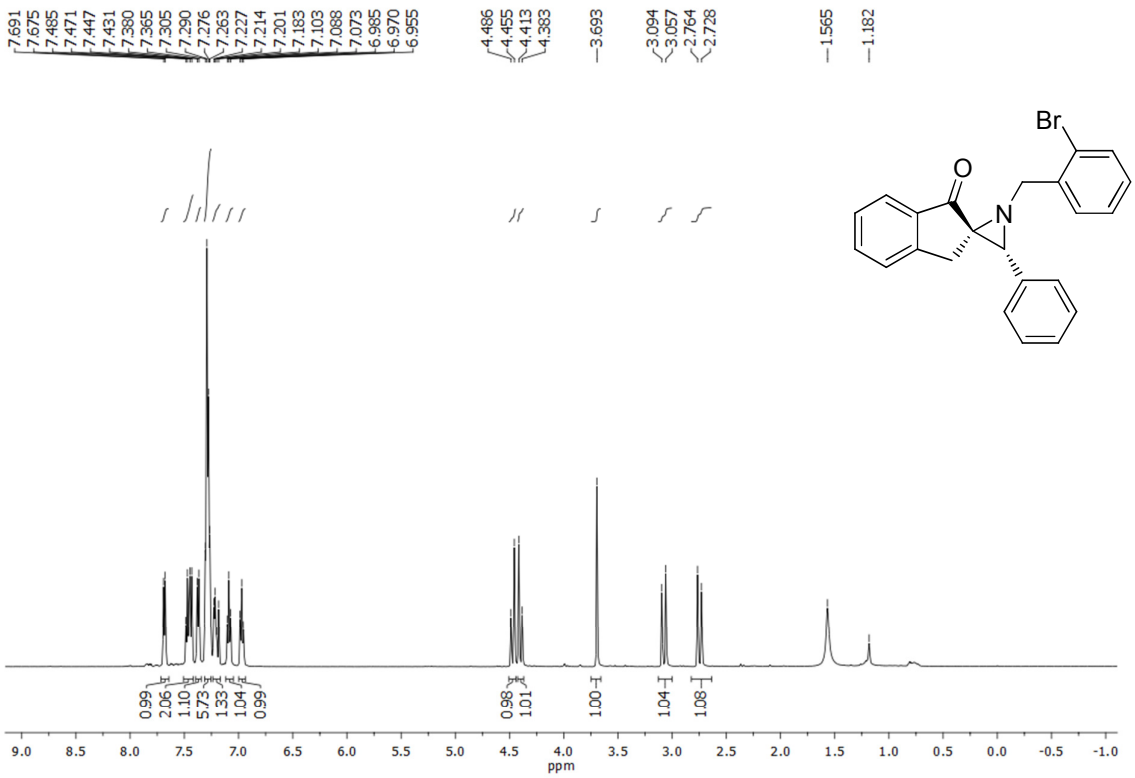


Fig S37. ^{1}H NMR of 3q

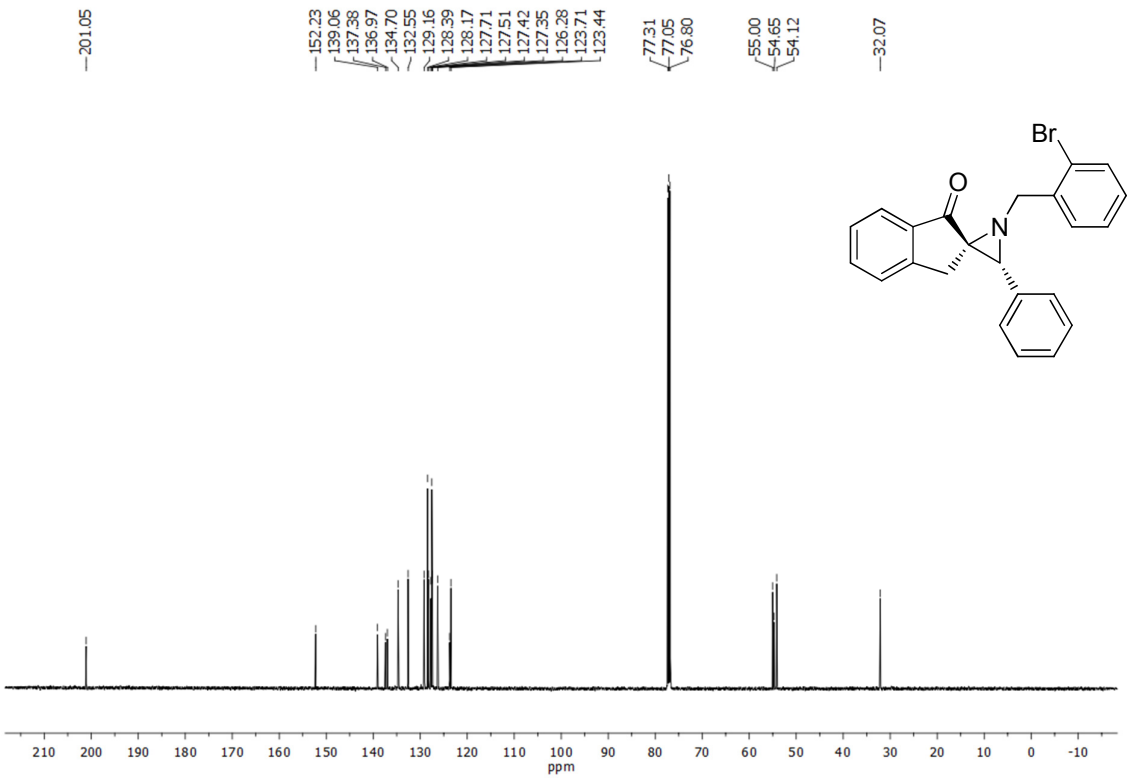


Fig S38. ^{13}C NMR of 3q

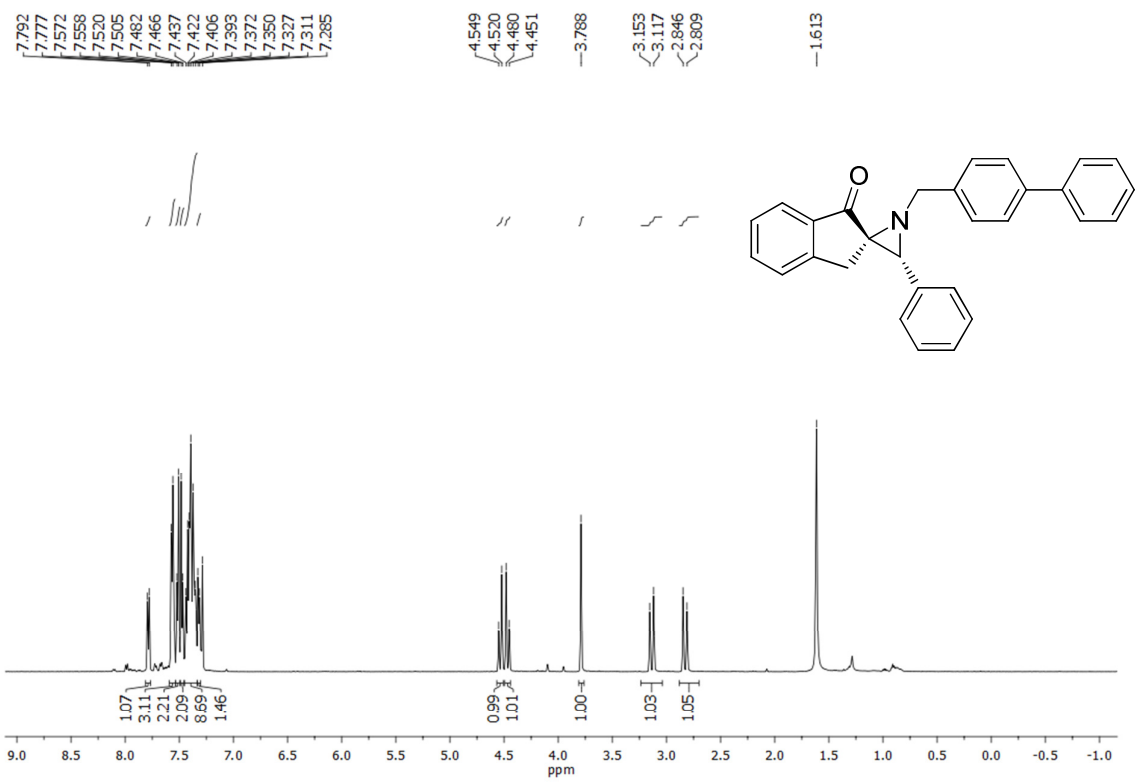


Fig S39. ^{1}H NMR of 3r

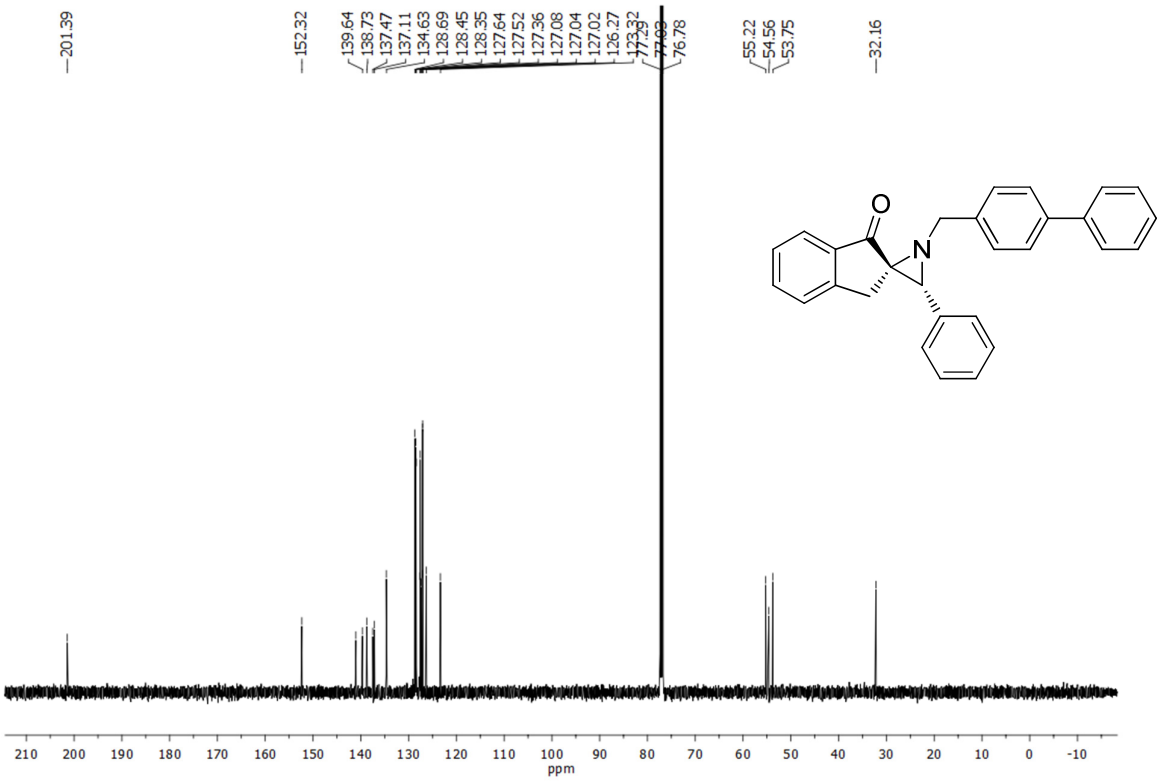


Fig S40. ^{13}C NMR of 3r

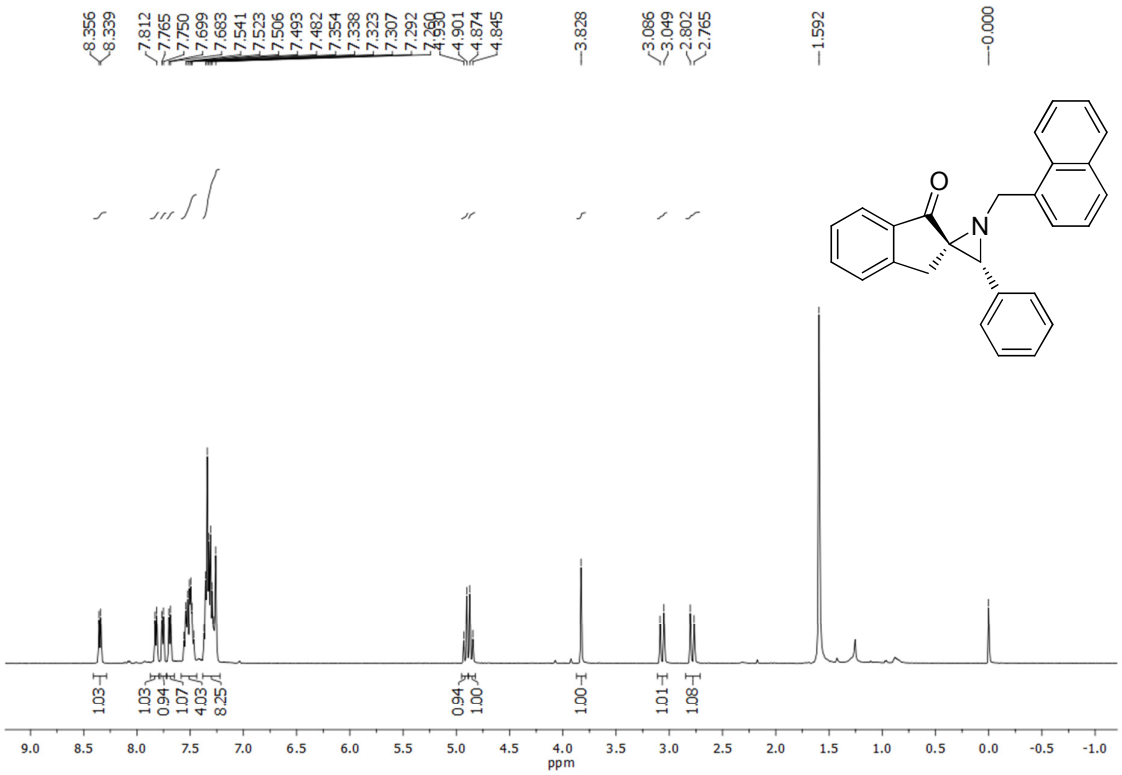


Fig S41. ^{1}H NMR of 3s

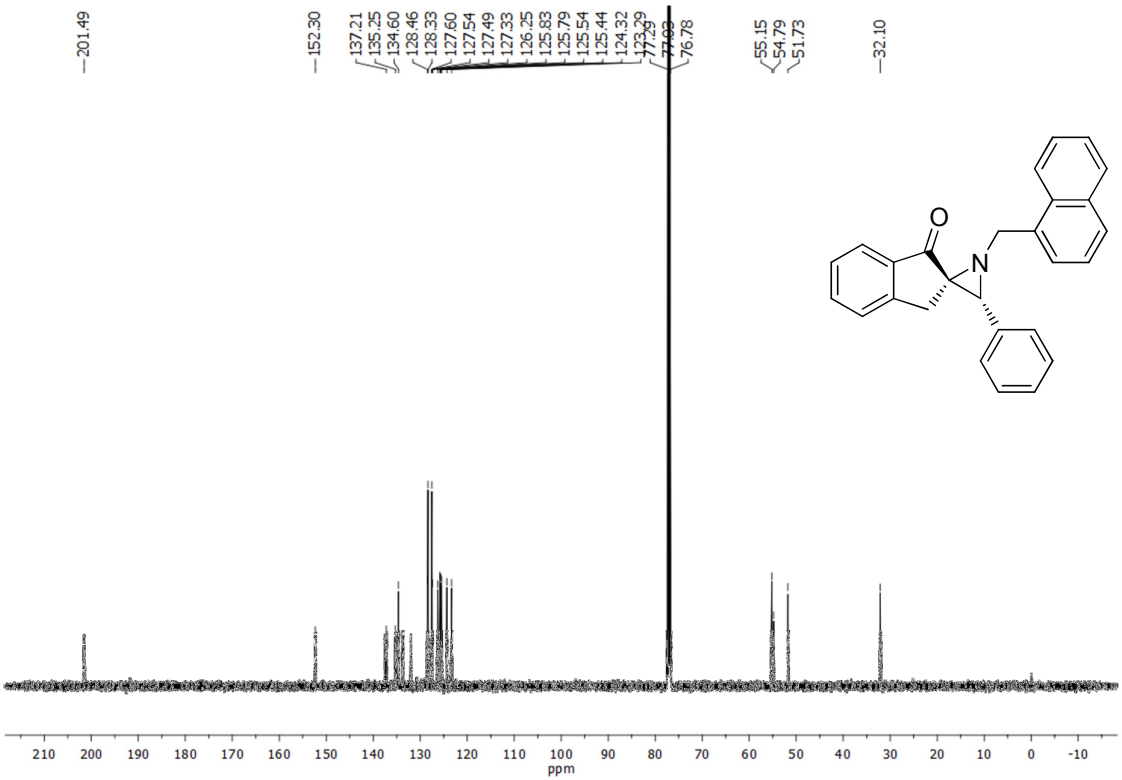


Fig S42. ^{13}C NMR of 3s

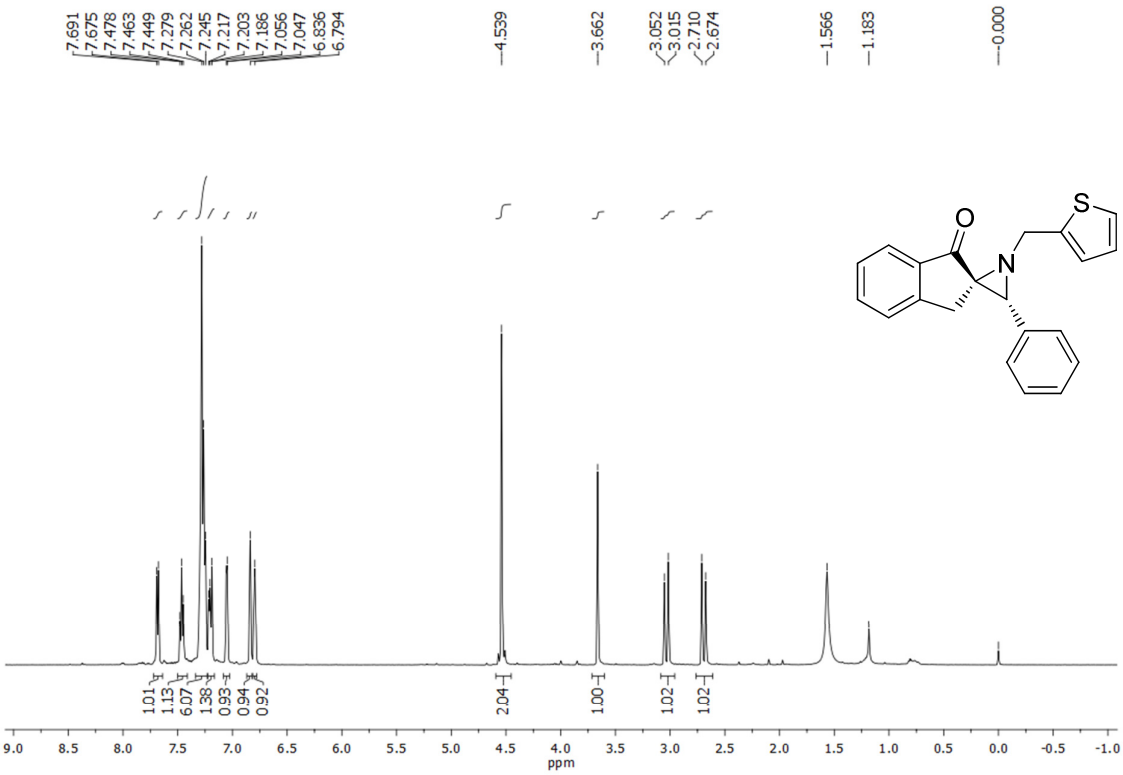


Fig S43. ^{1}H NMR of 3t

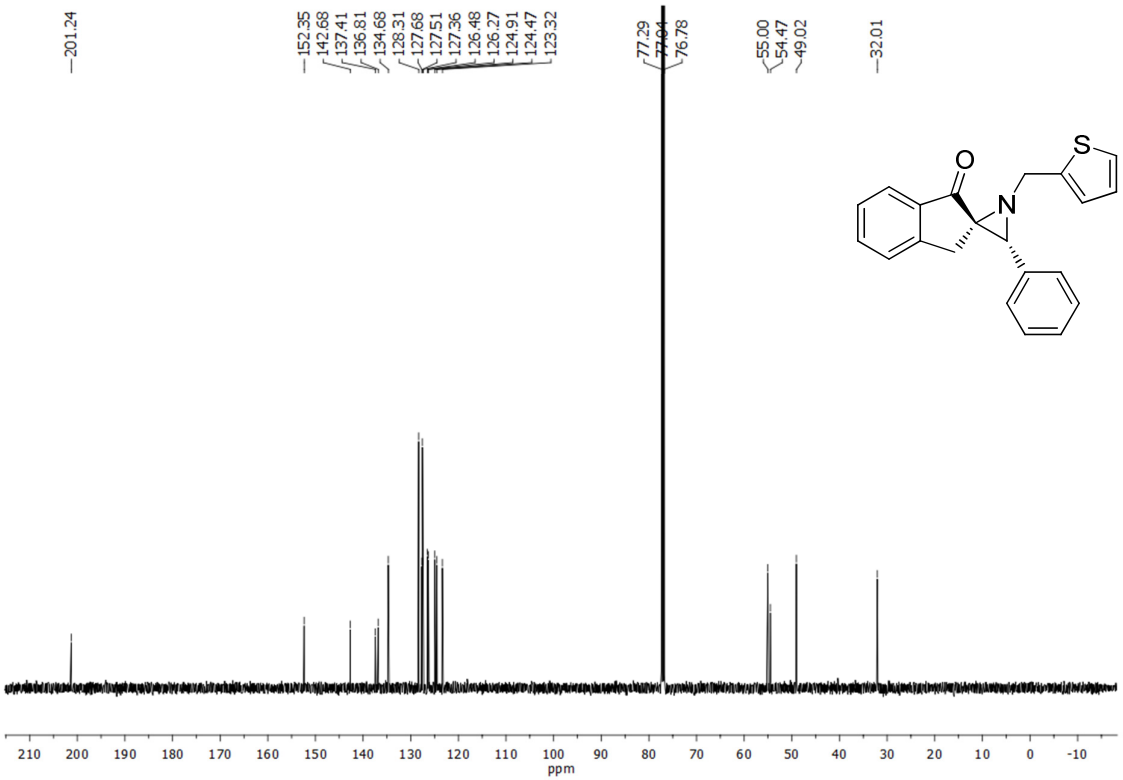


Fig S44. ^{13}C NMR of 3t

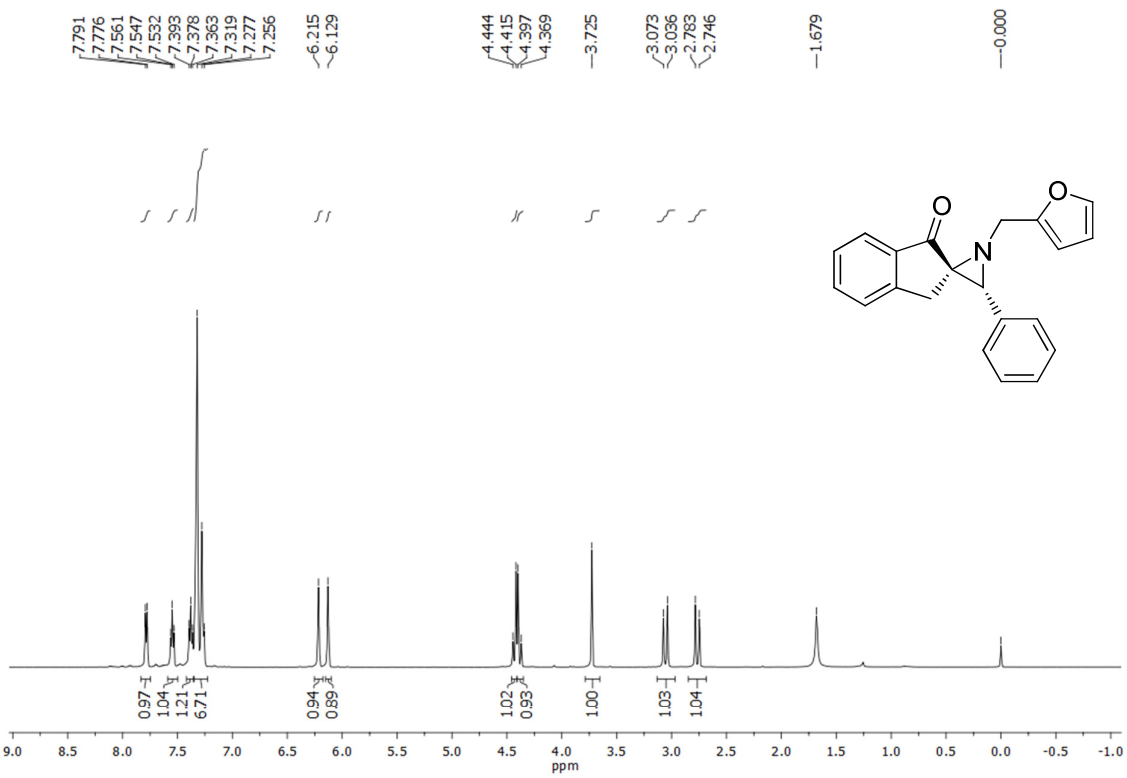


Fig S45. ^{1}H NMR of 3u

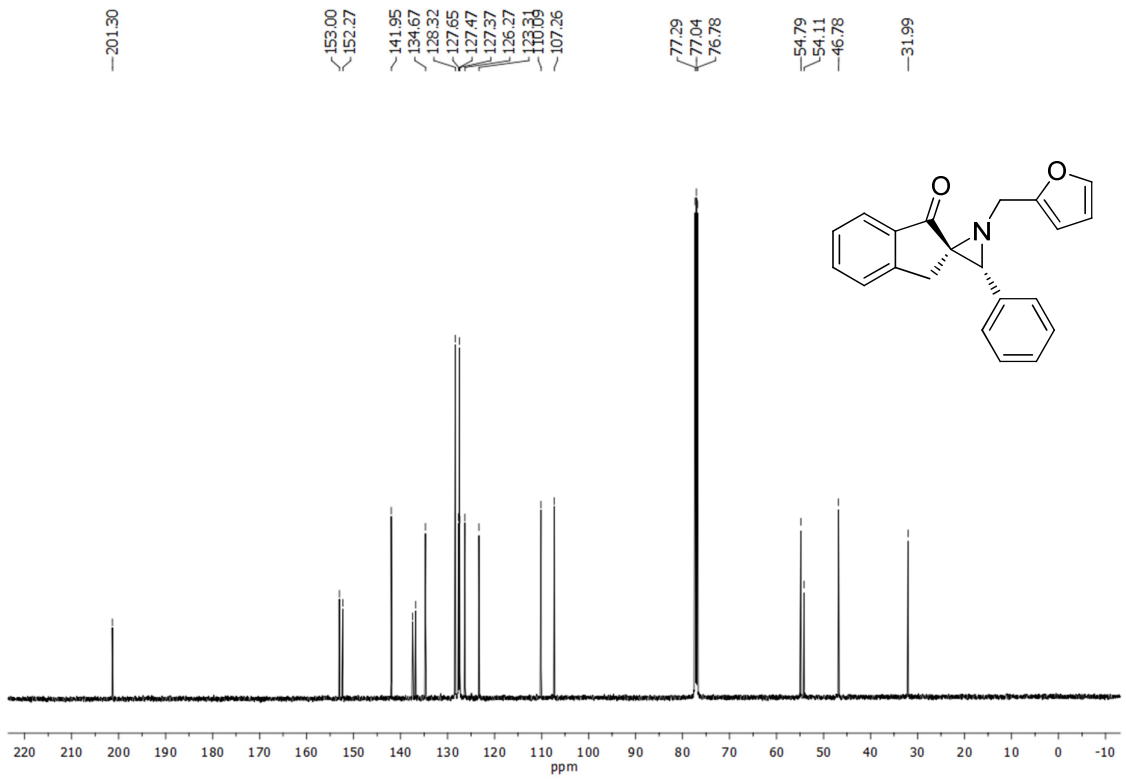


Fig S46. ^{13}C NMR of 3u

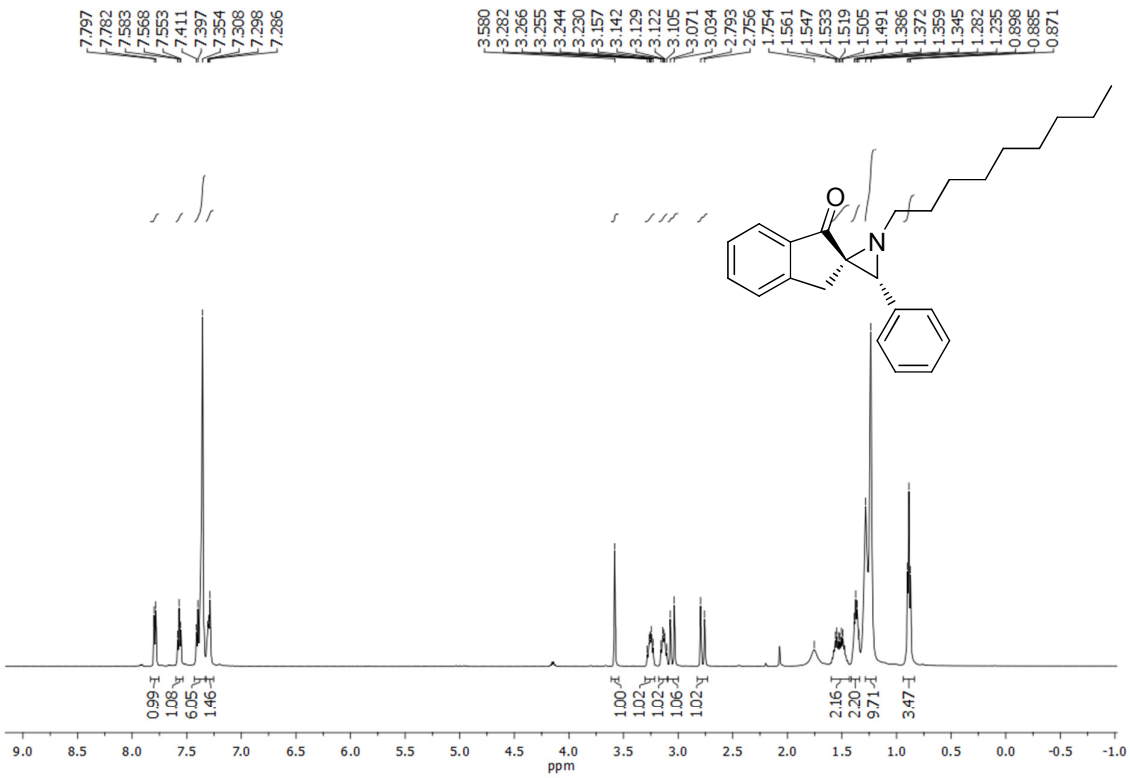


Fig S47. ^{1}H NMR of 3v

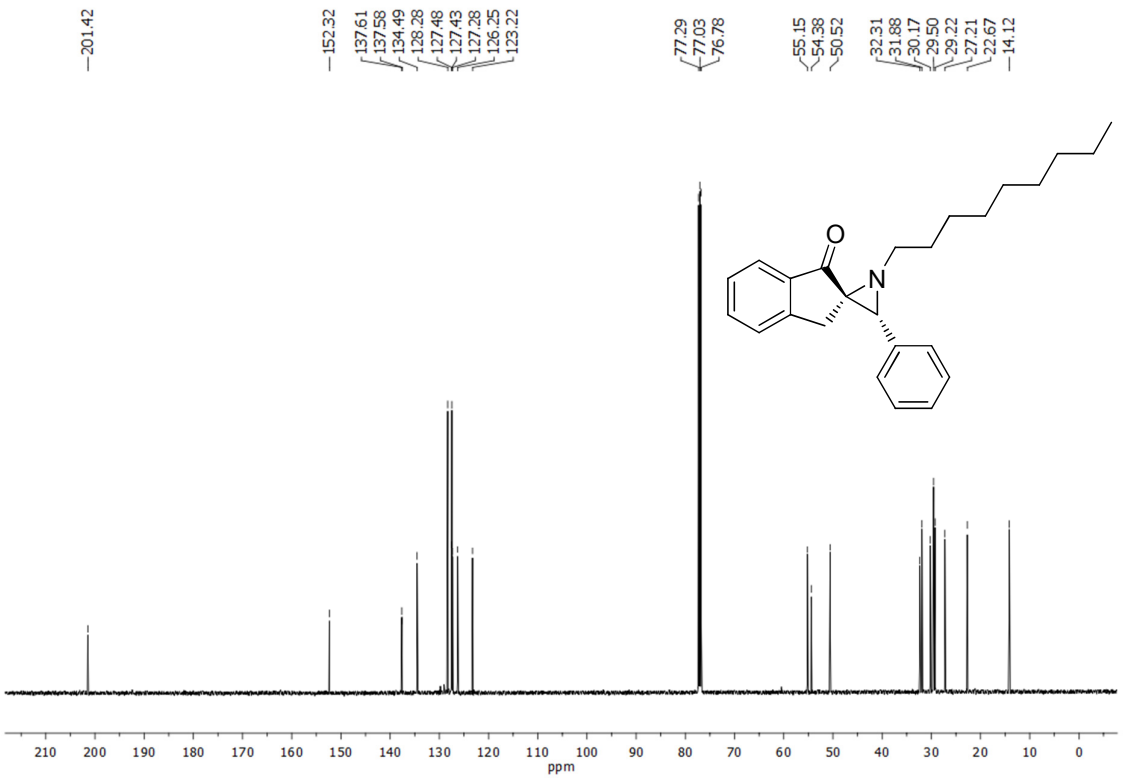


Fig S48. ^{13}C NMR of 3v

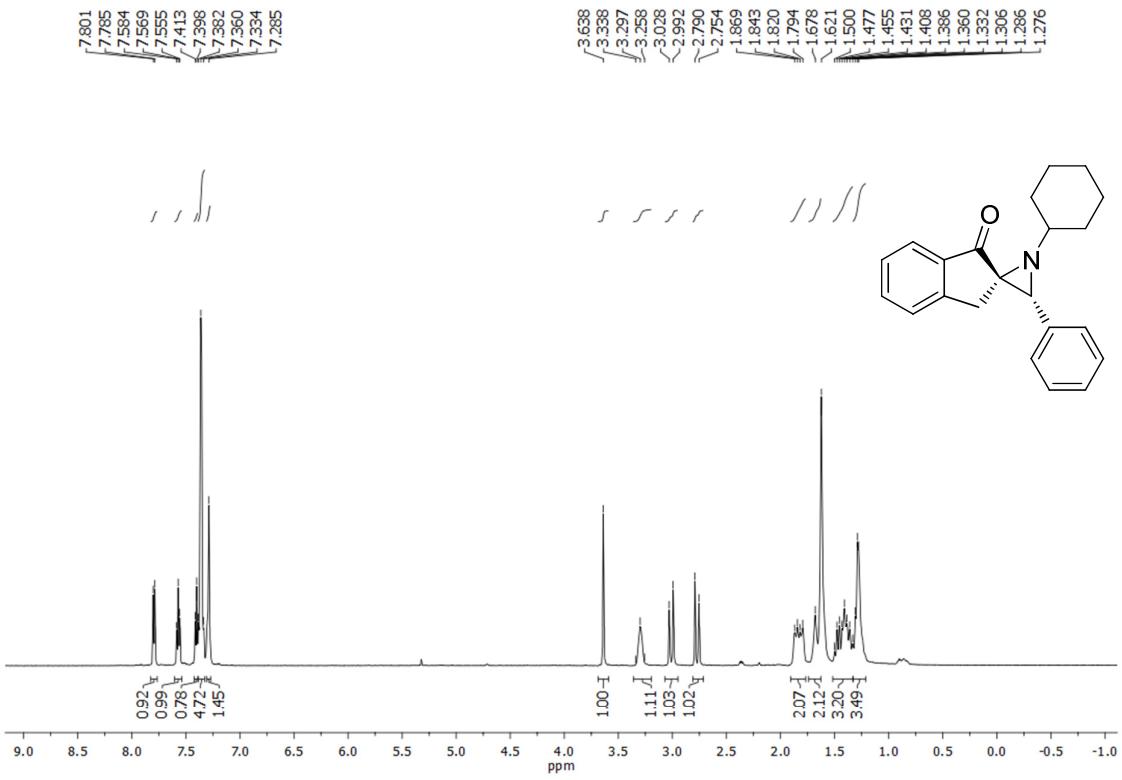


Fig S49. ^{1}H NMR of 3w

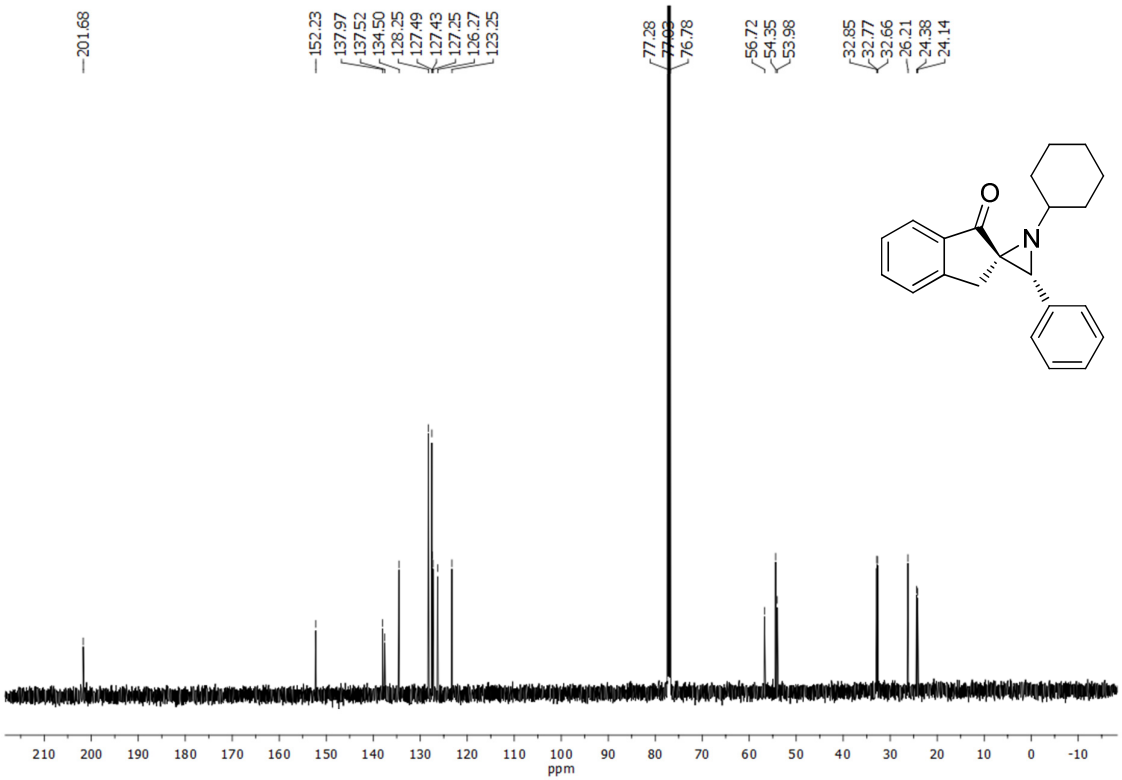


Fig S50. ^{13}C NMR of 3w

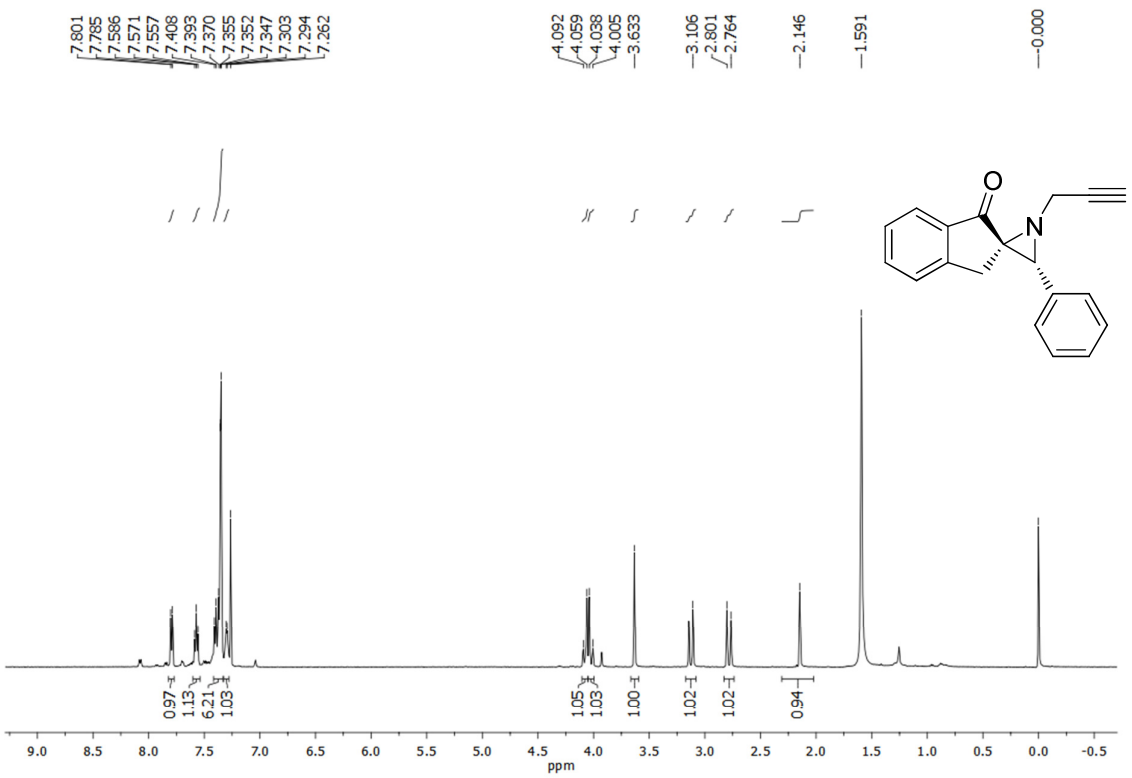


Fig S51. ^{1}H NMR of 3x

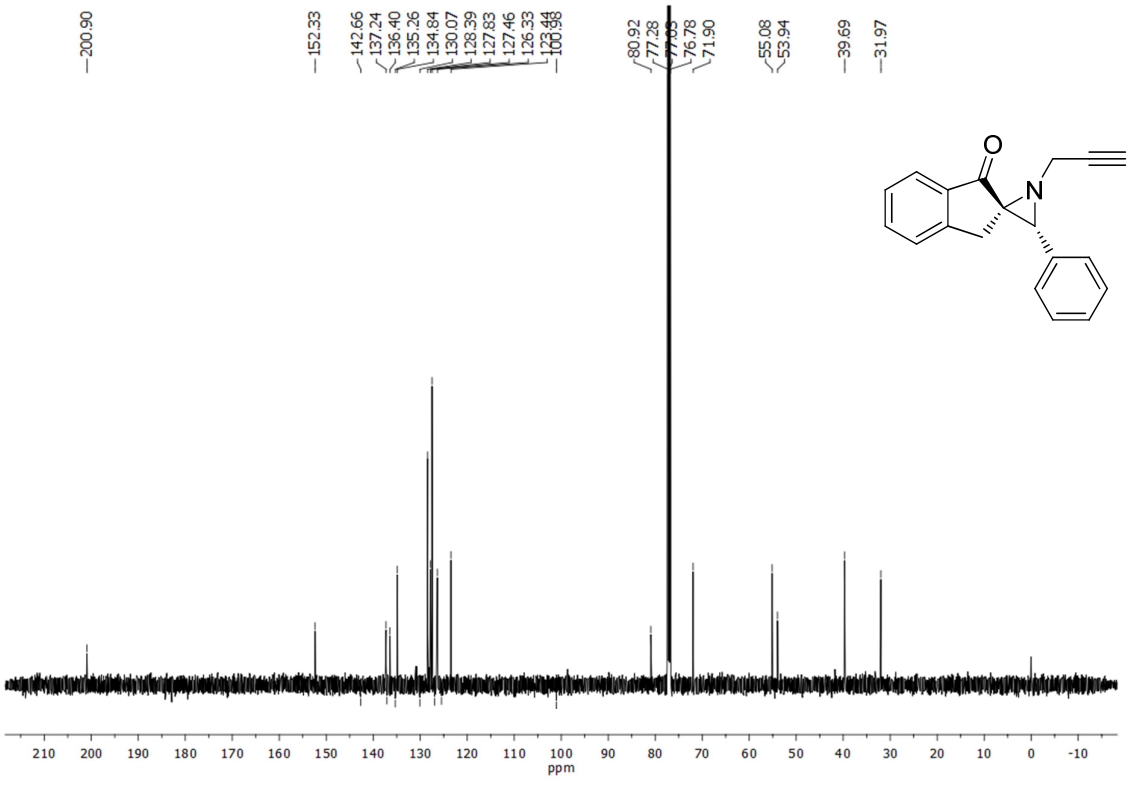


Fig S52. ^{13}C NMR of 3x

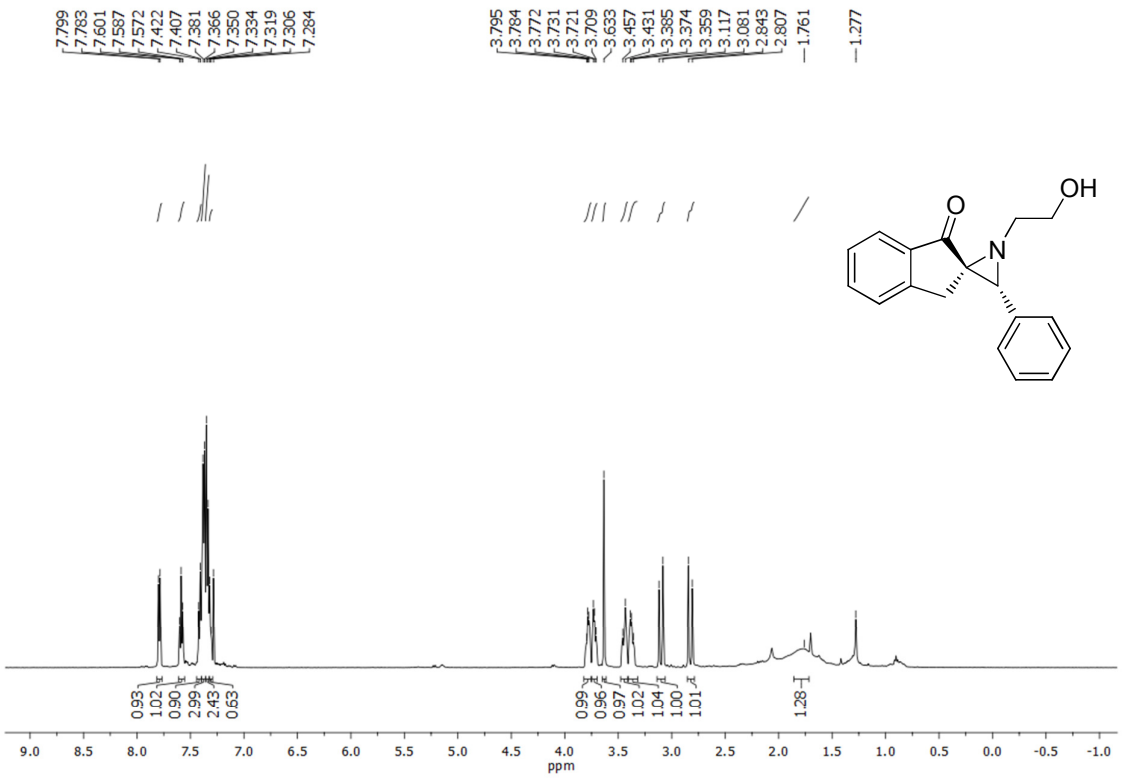


Fig S53. ^{1}H NMR of 3y

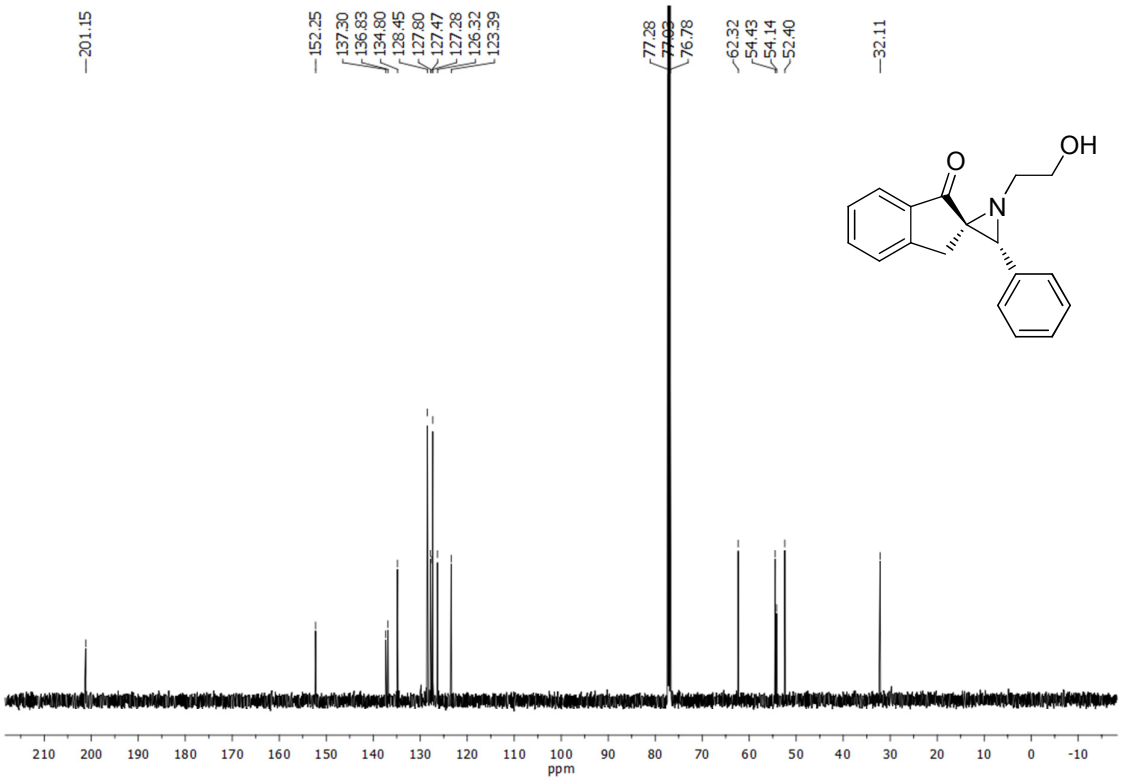


Fig S54. ^{13}C NMR of 3y

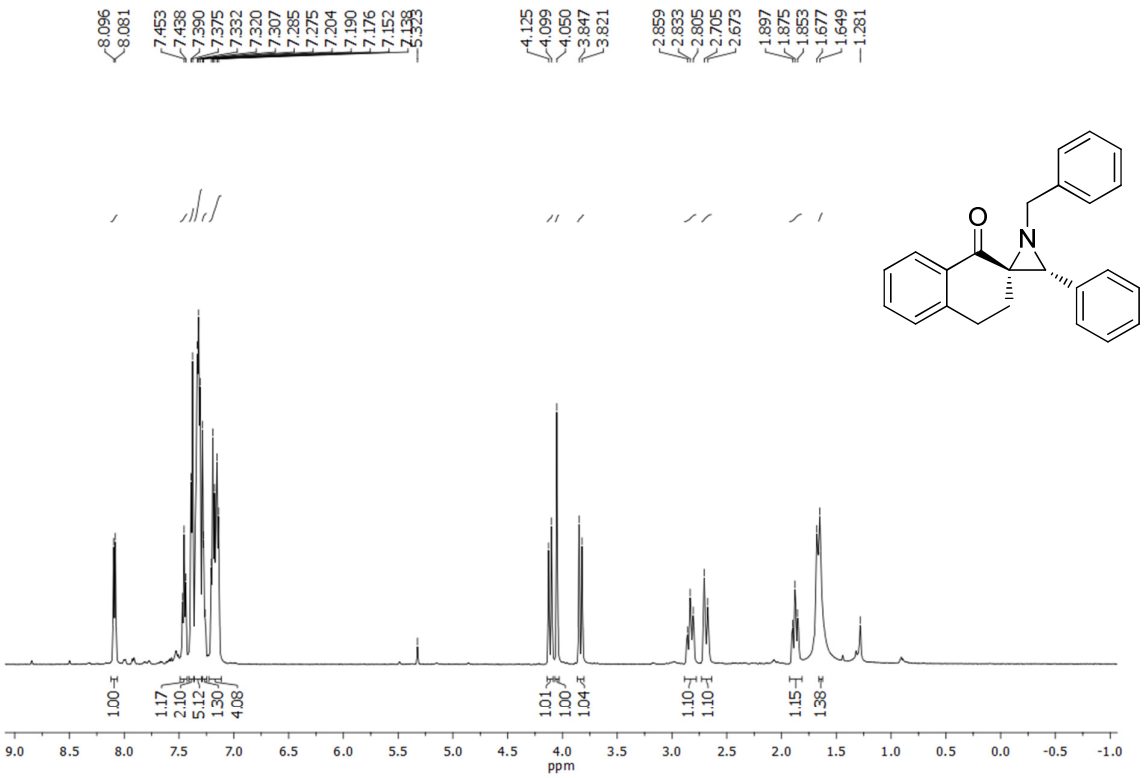


Fig S55. ^{1}H NMR of 5a

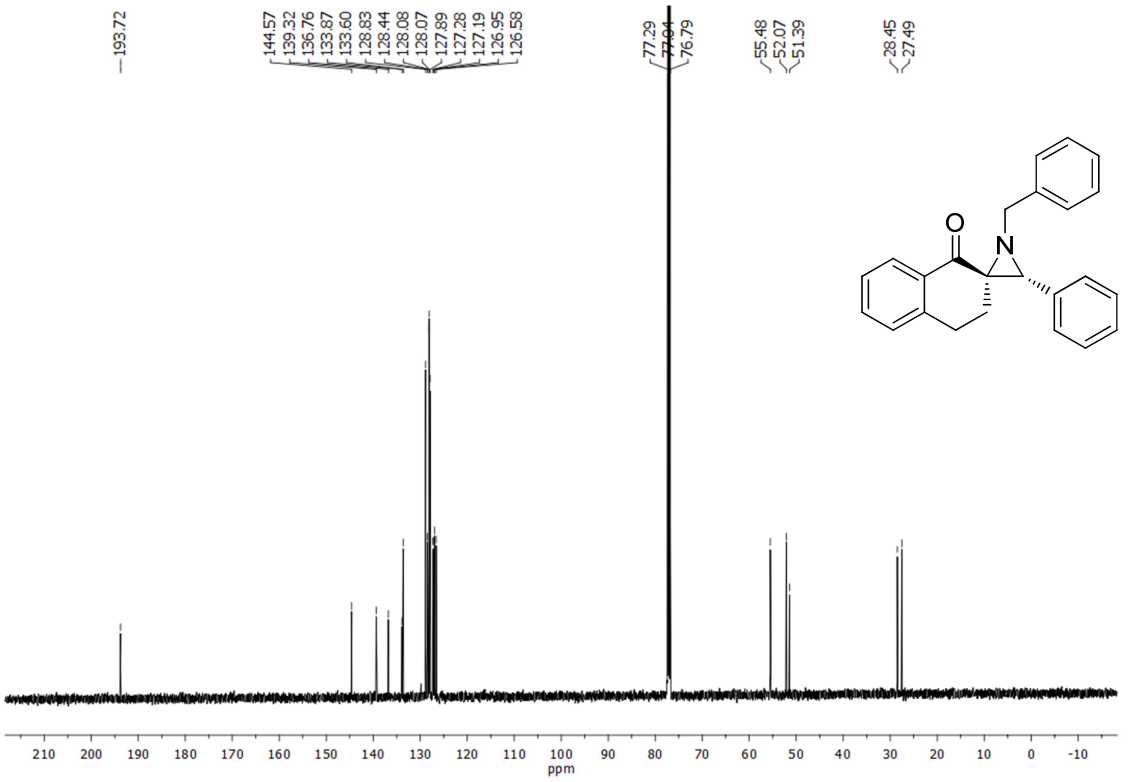


Fig S56. ^{13}C NMR of 5a

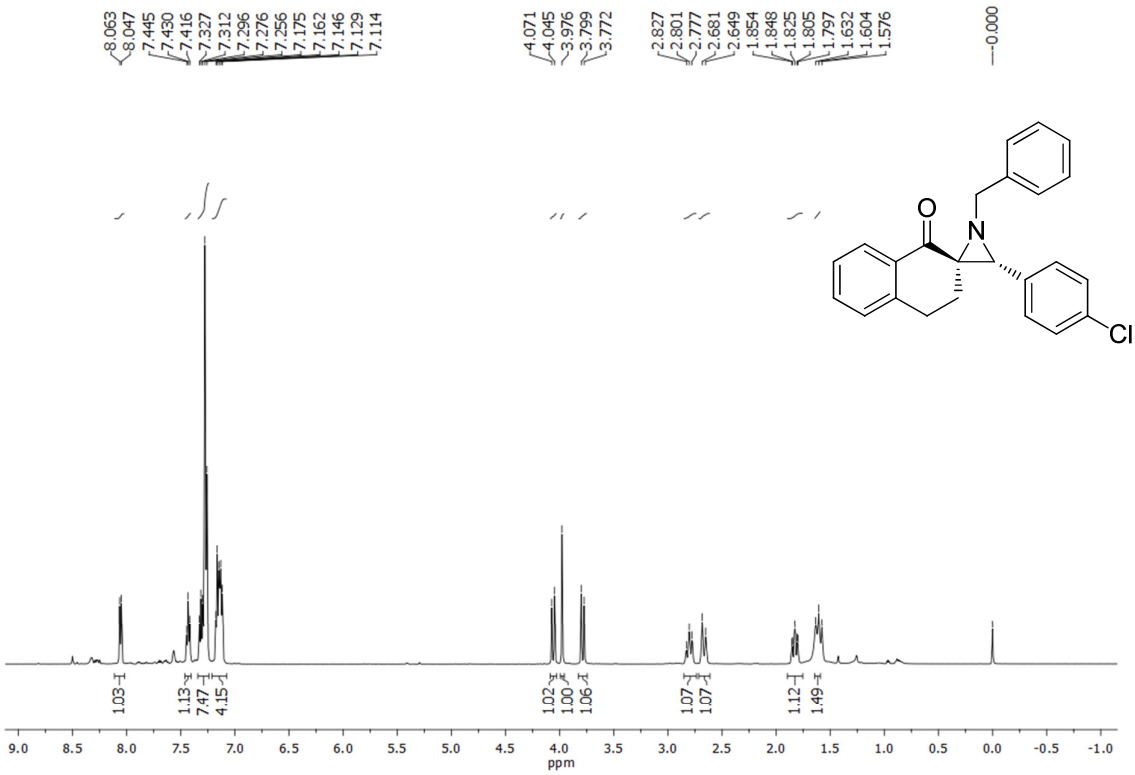


Fig S57. ^{1}H NMR of 5b

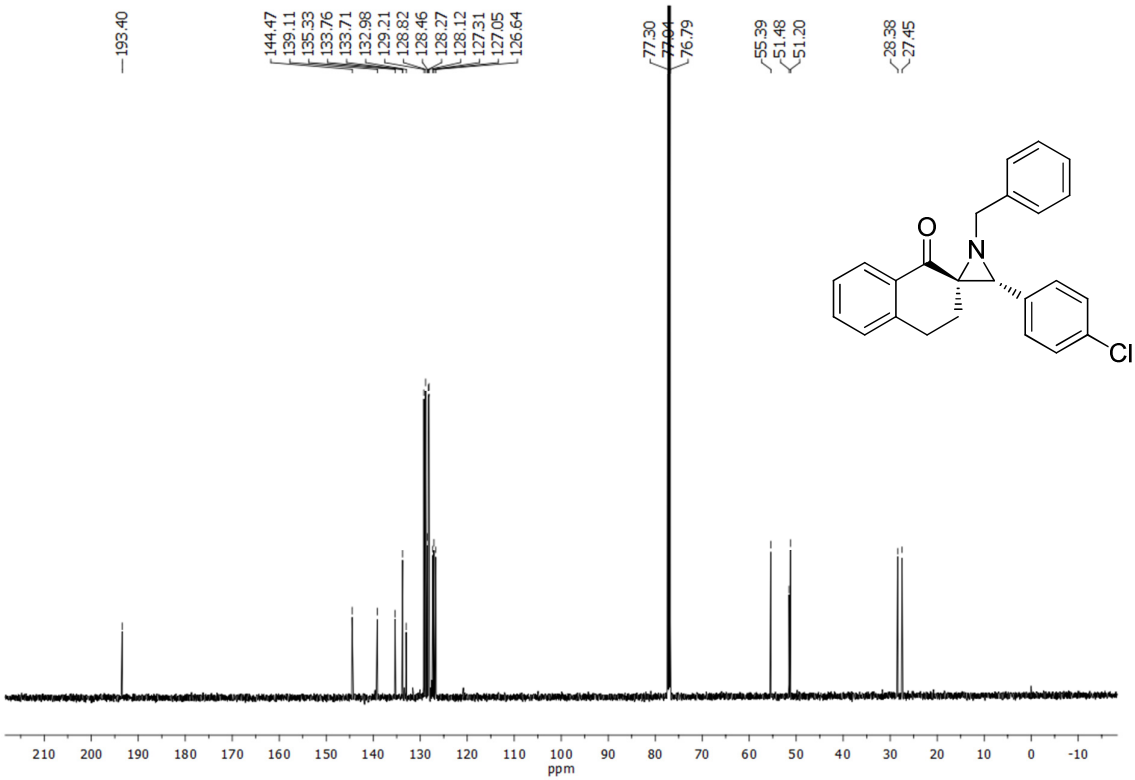


Fig S58. ^{13}C NMR of 5b

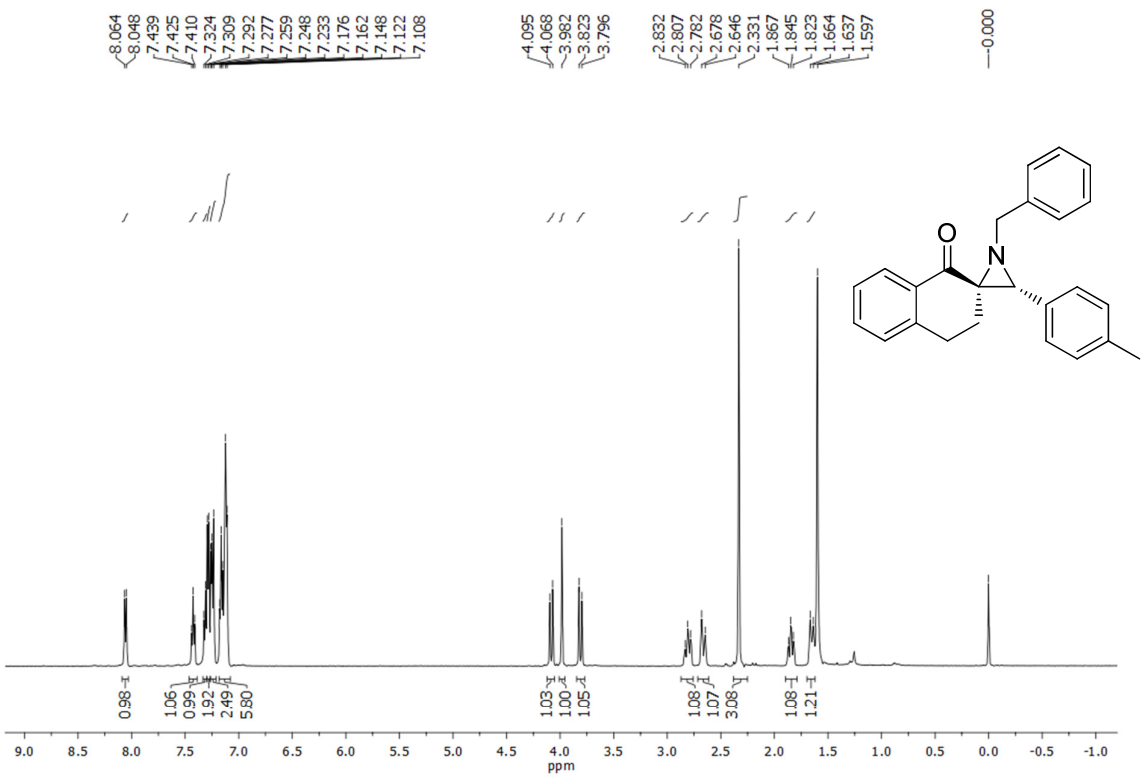


Fig S59. ^{1}H NMR of 5c

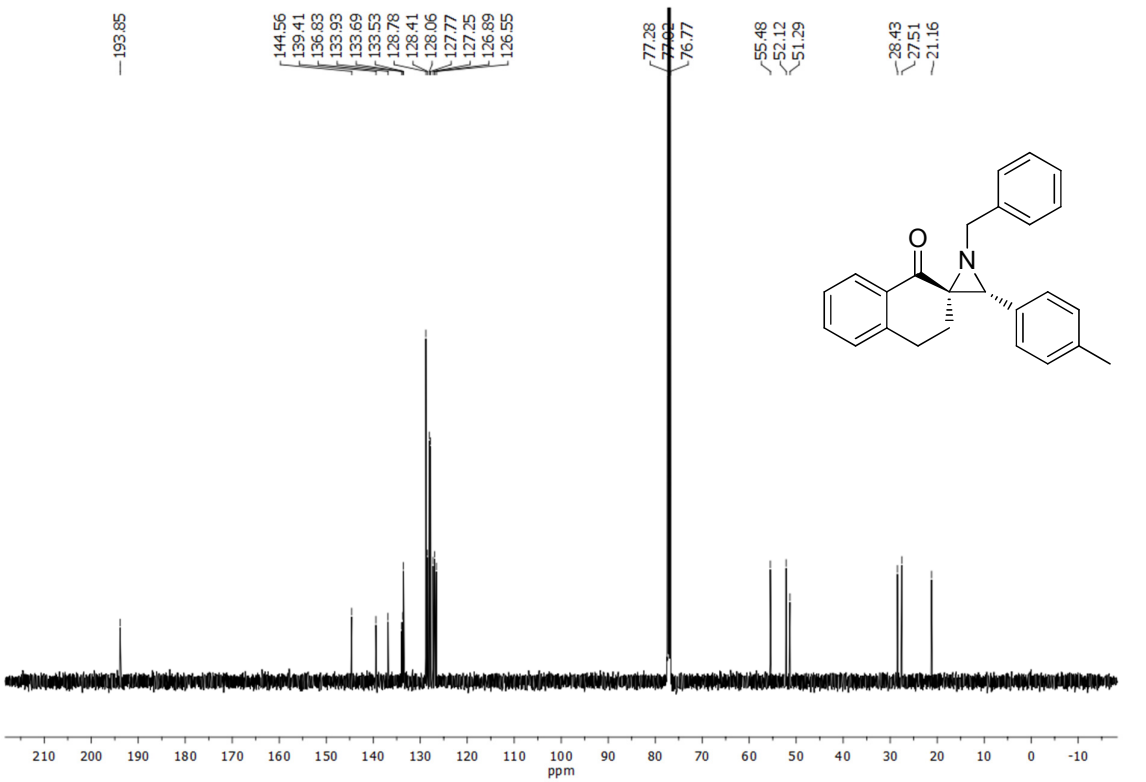


Fig S60. ^{13}C NMR of 5c

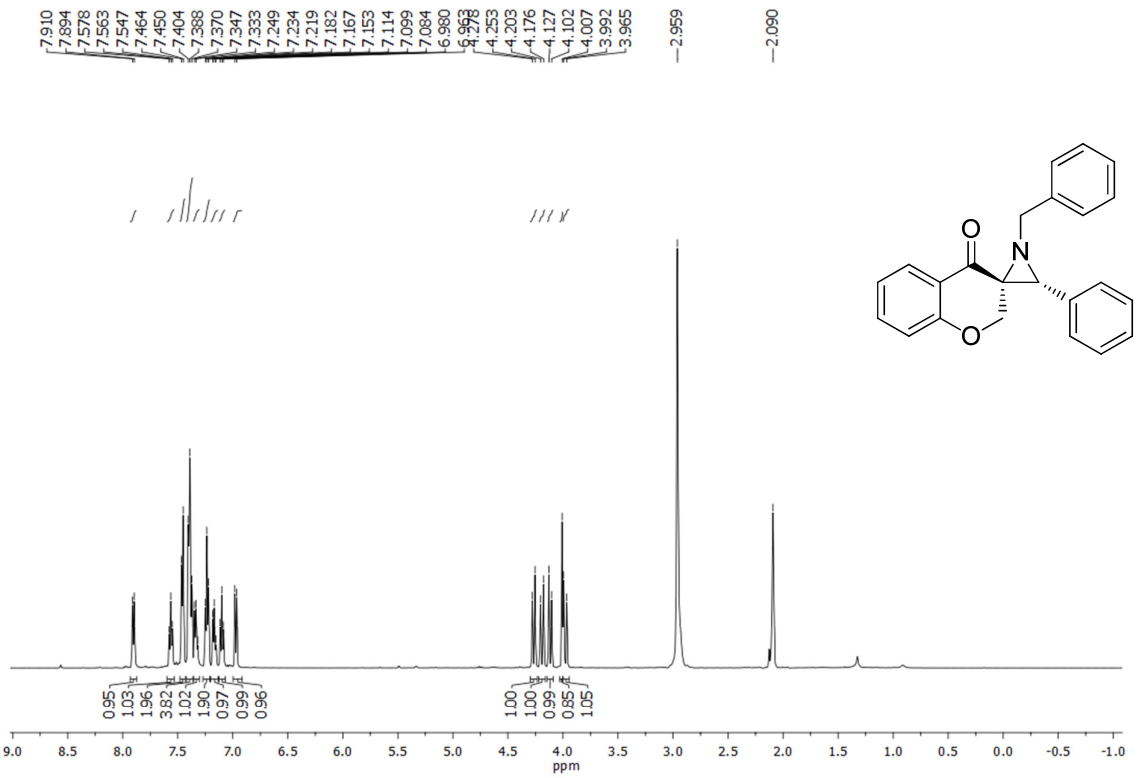


Fig S61. ^{1}H NMR of 5d

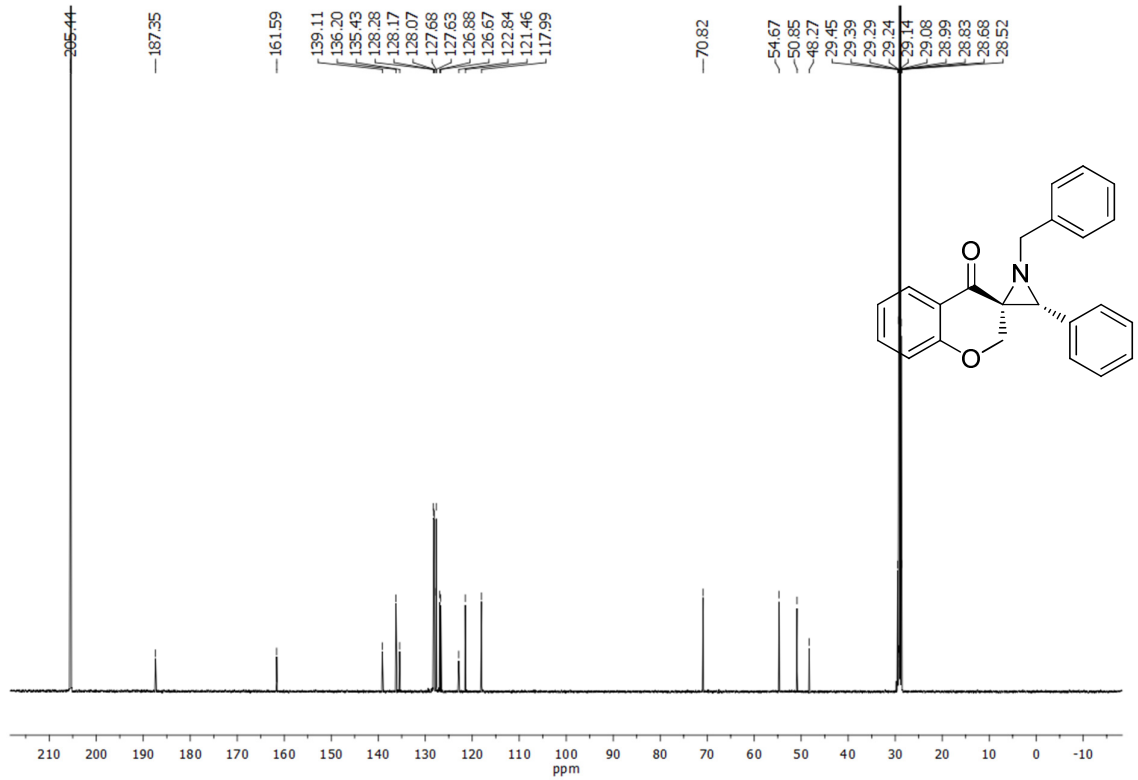


Fig S62. ^{13}C NMR of 5d

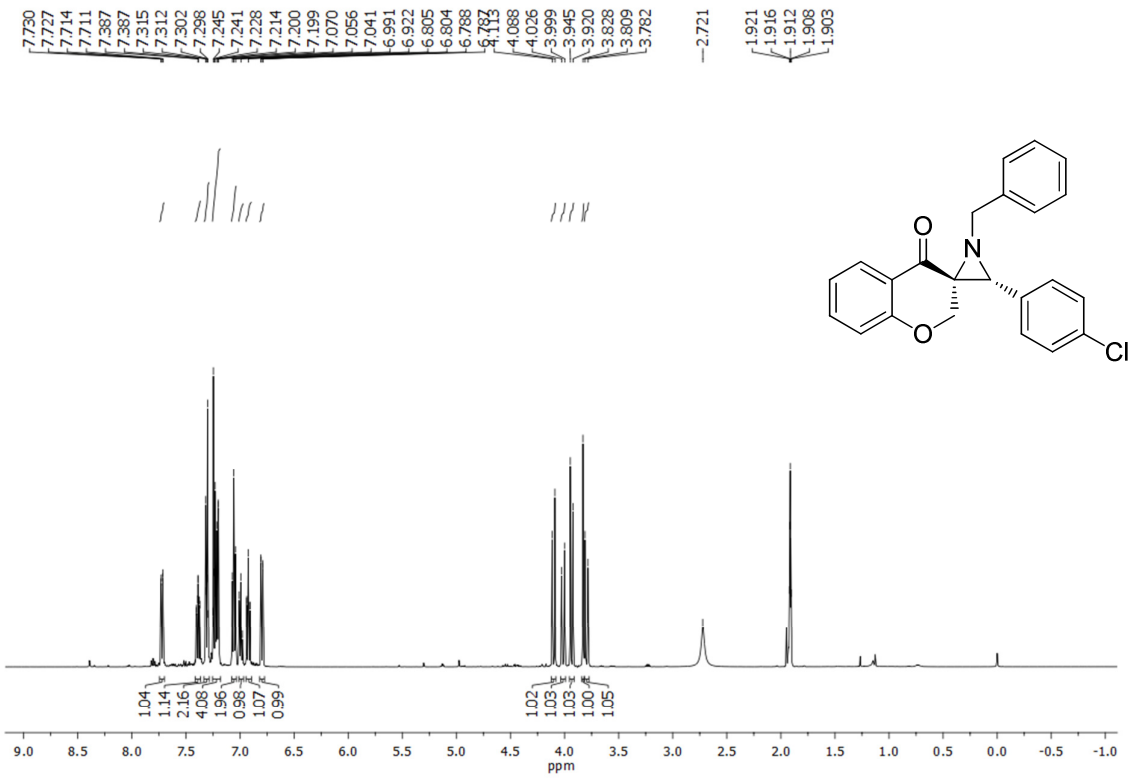


Fig S63. ^{1}H NMR of 5e

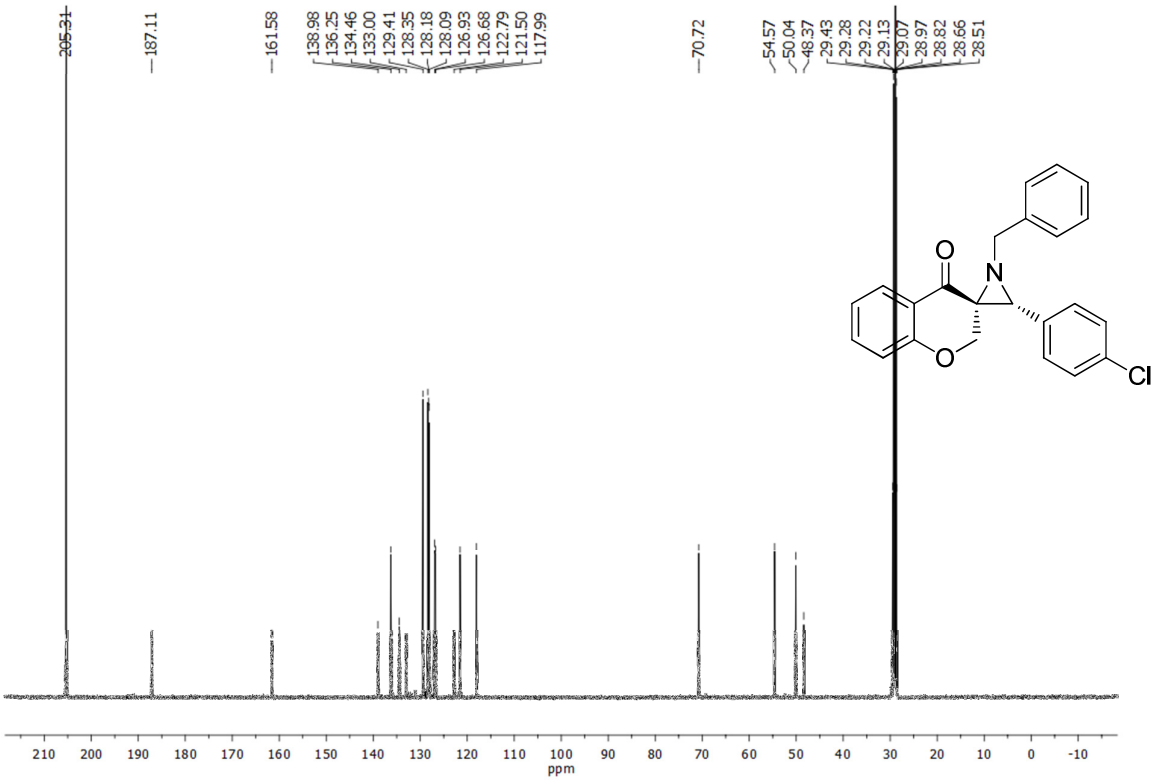


Fig S64. ^{13}C NMR of 5e

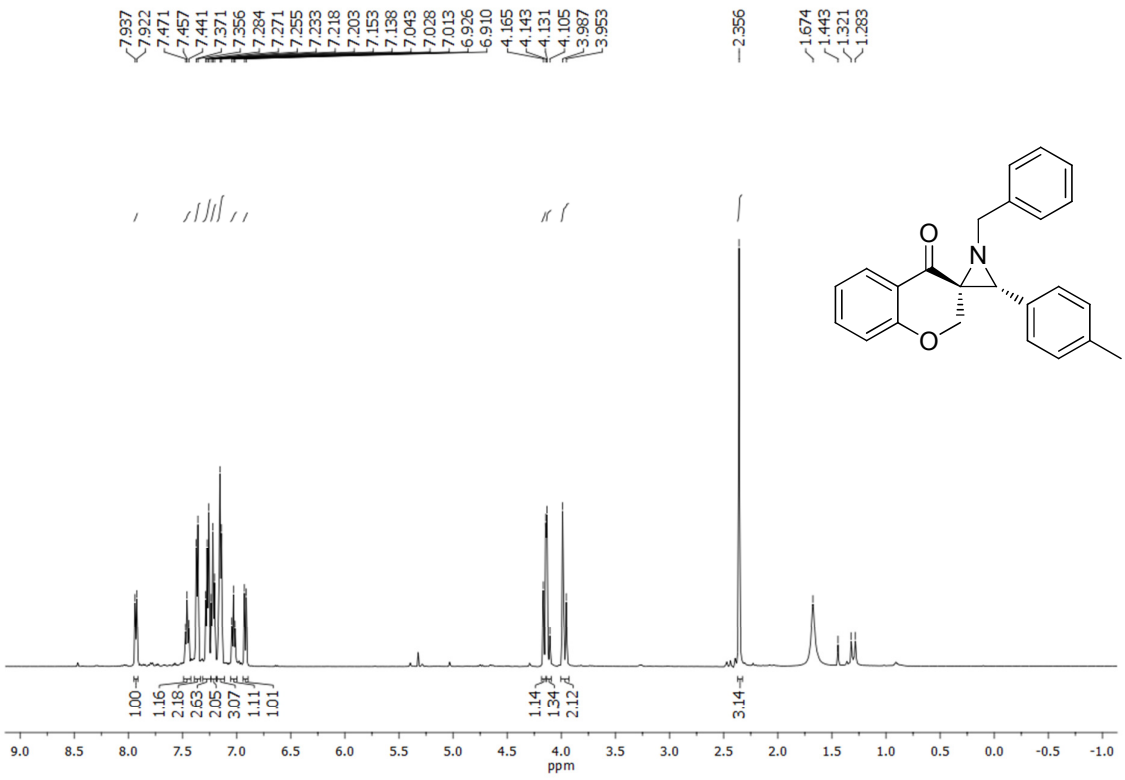


Fig S65. ^{1}H NMR of 5f

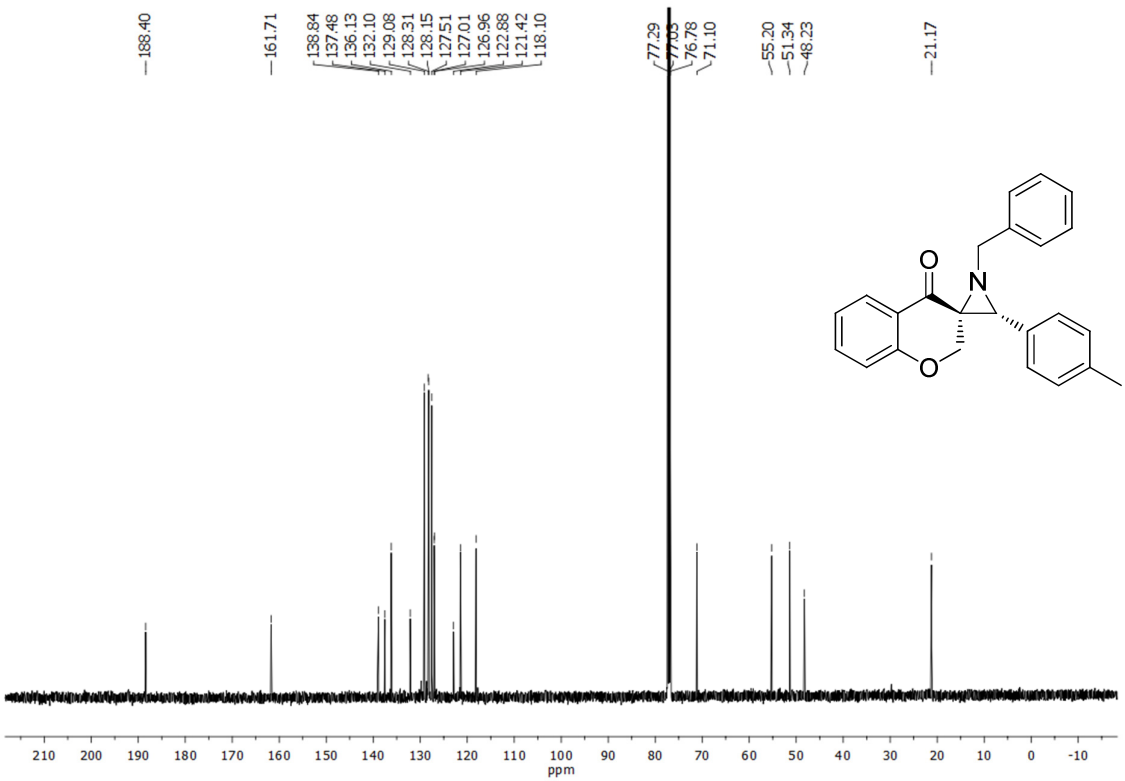


Fig S66. ^{13}C NMR of 5f

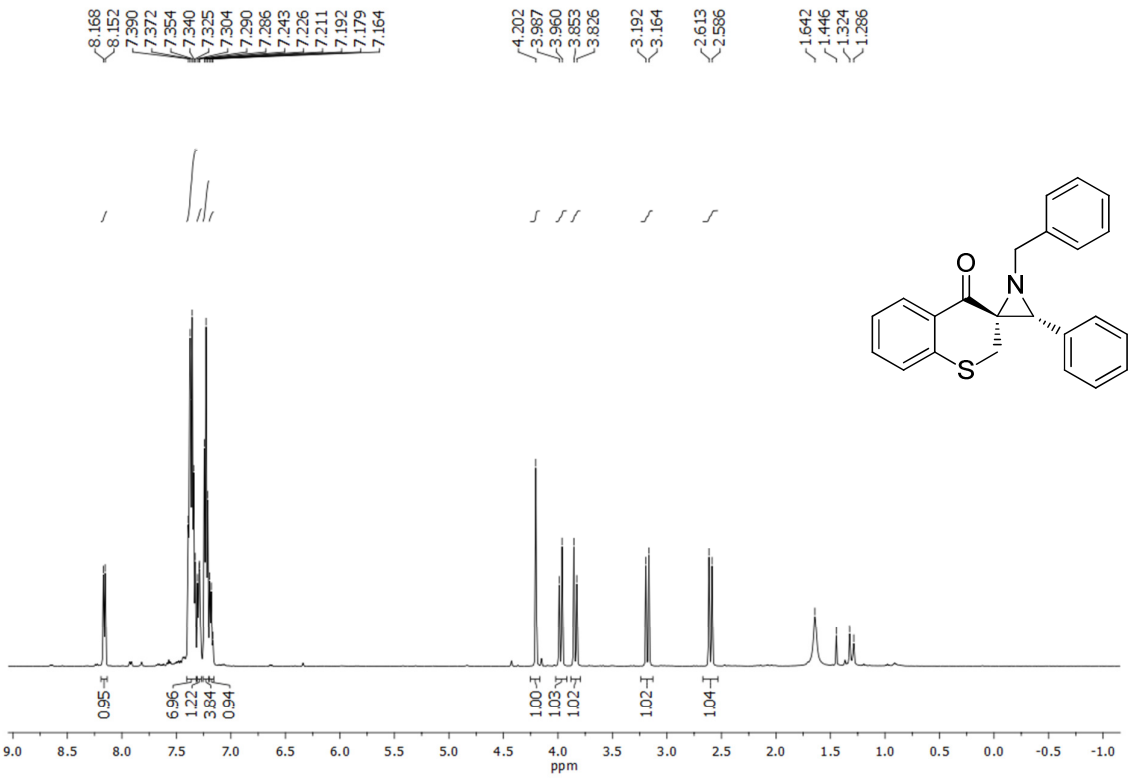


Fig S67. ^{1}H NMR of 5g

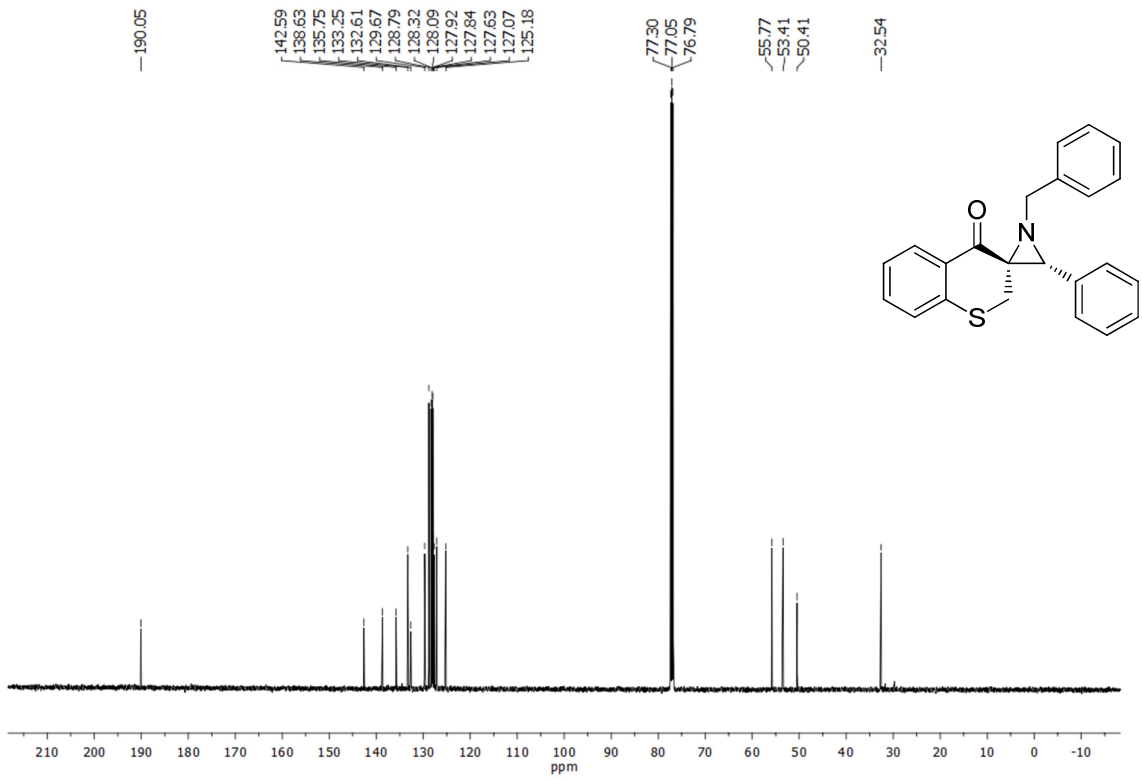


Fig S68. ^{13}C NMR of 5g

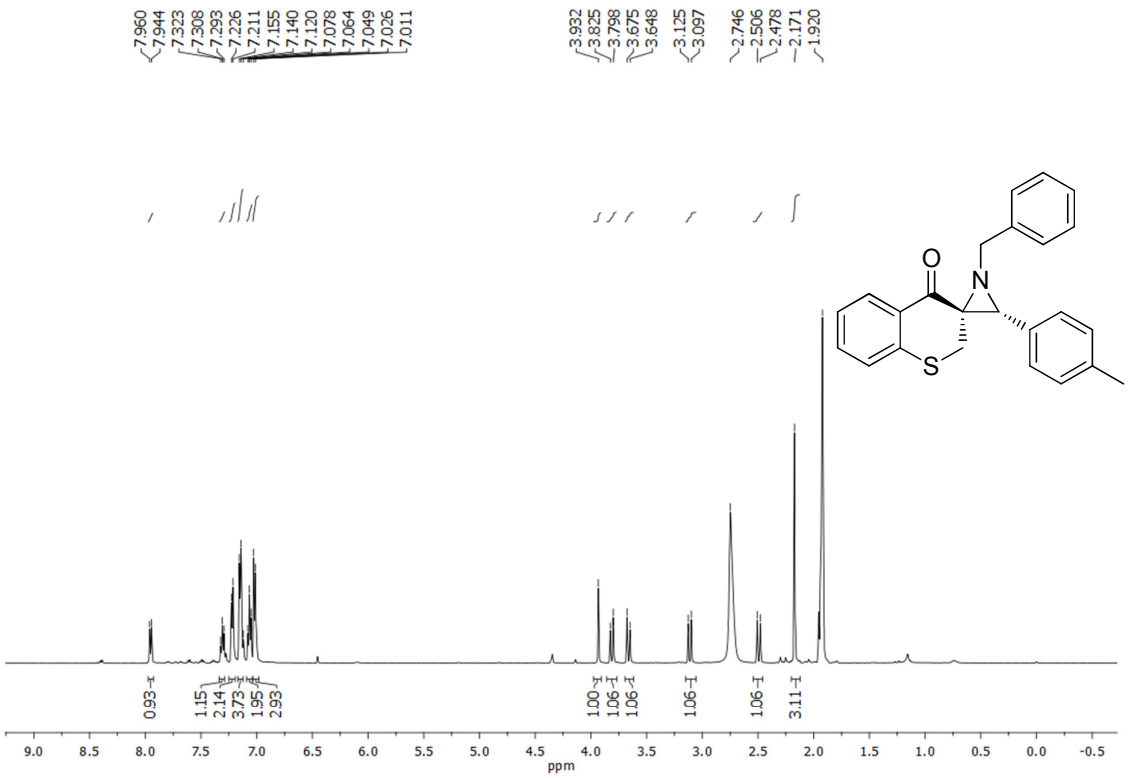


Fig S69. ^{1}H NMR of 5h

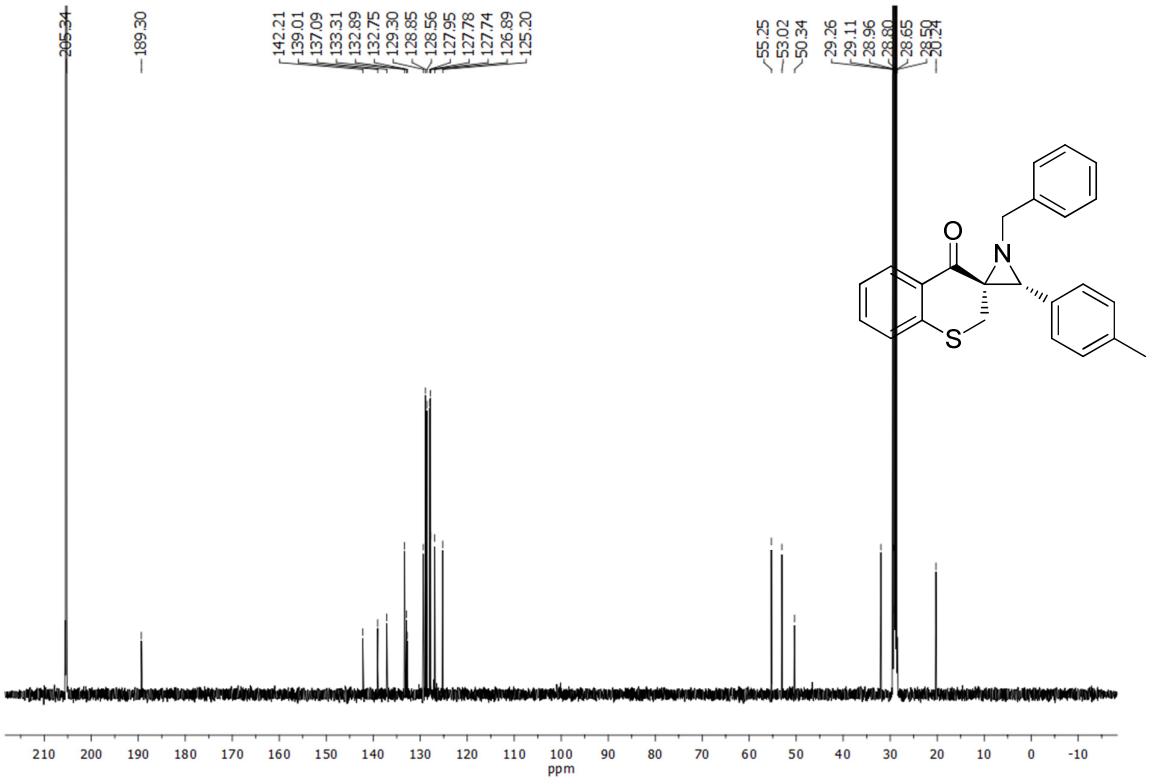


Fig S70. ^{13}C NMR of 5h

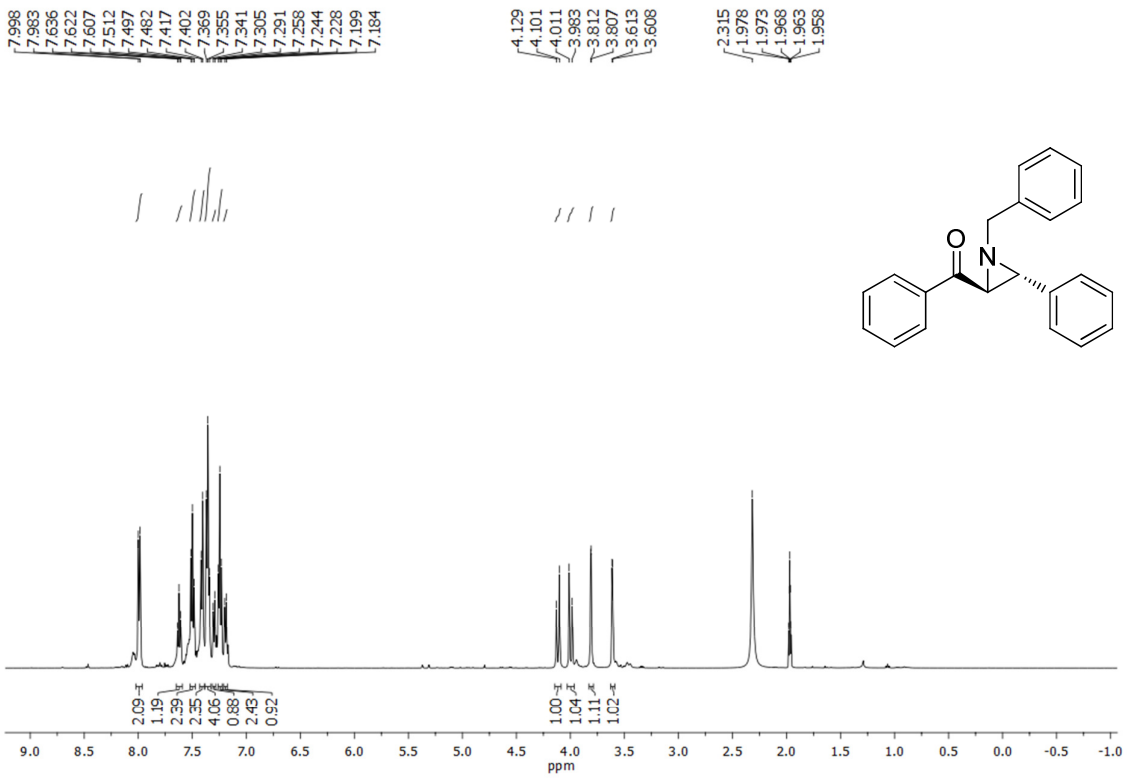


Fig S71. ^{1}H NMR of 7a (trans isomer)

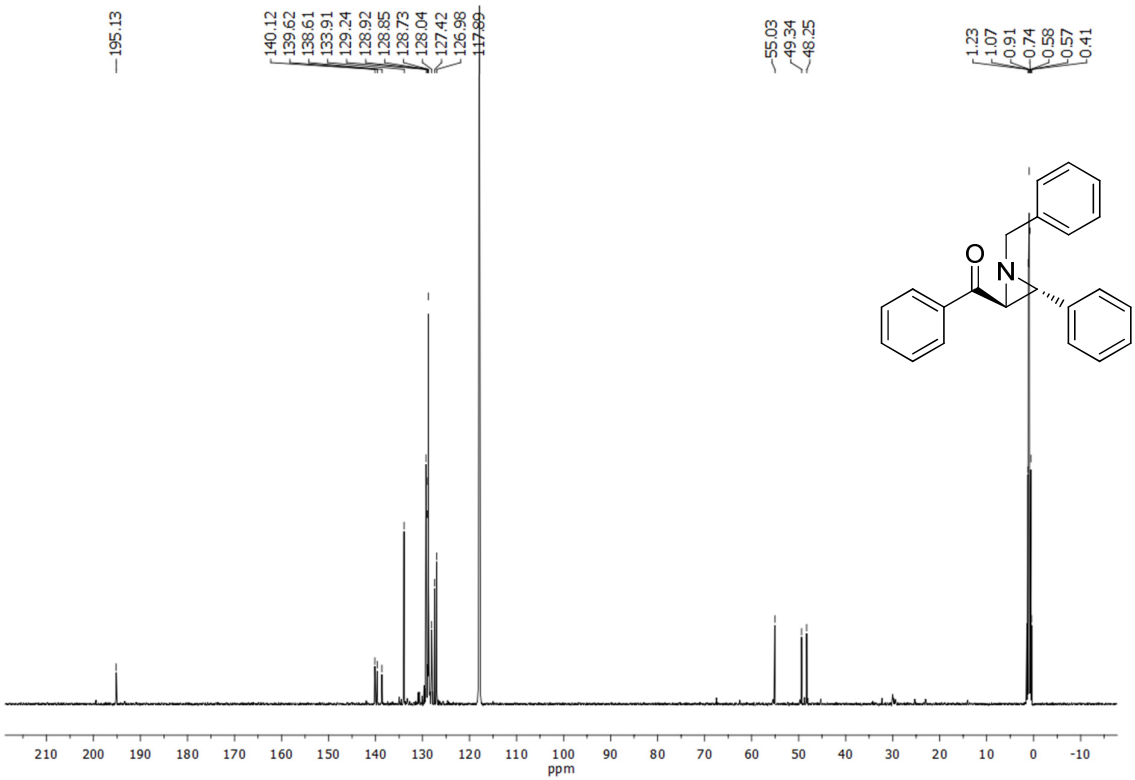


Fig S72. ^{13}C NMR of 7a (trans isomer)

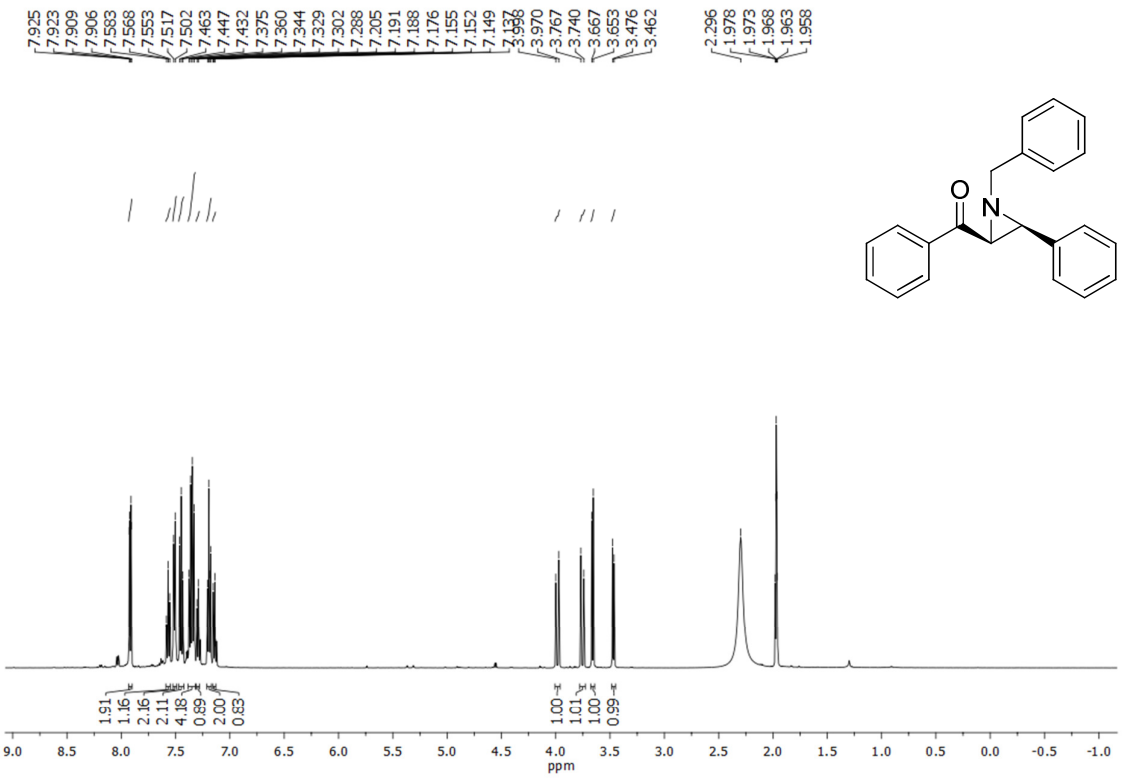


Fig S73. ^{1}H NMR of 7a (cis isomer)

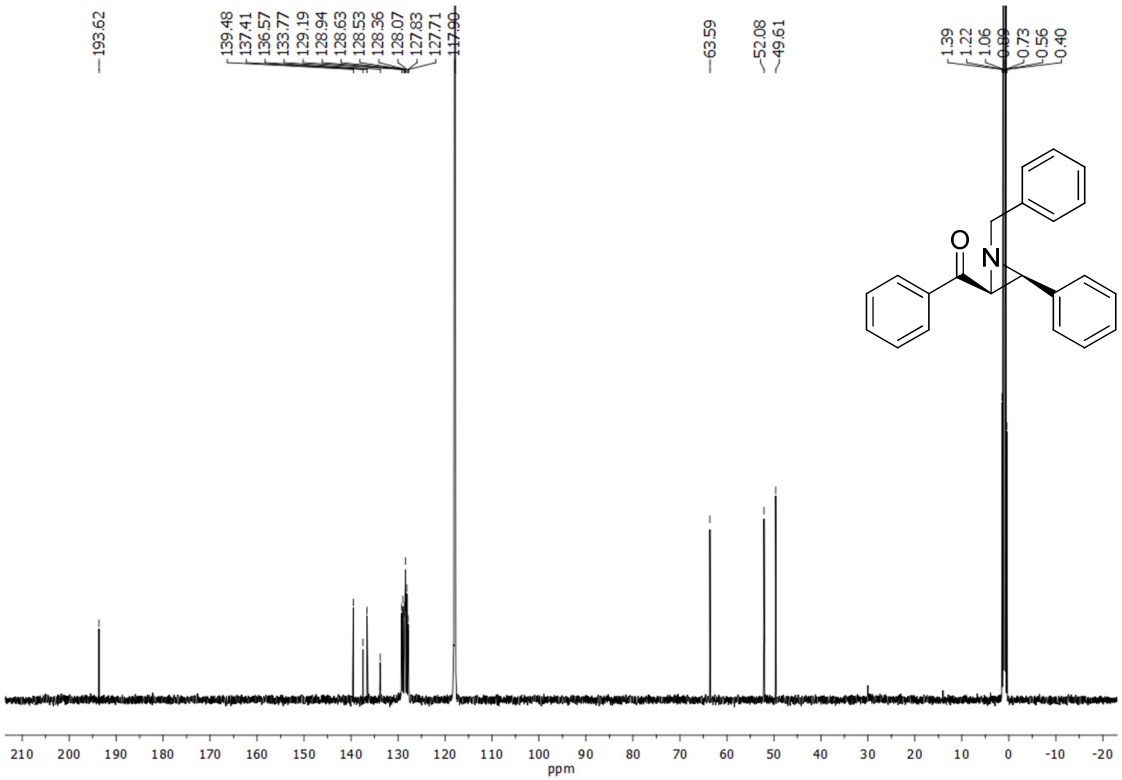


Fig S74. ^{13}C NMR of 7a (cis isomer)

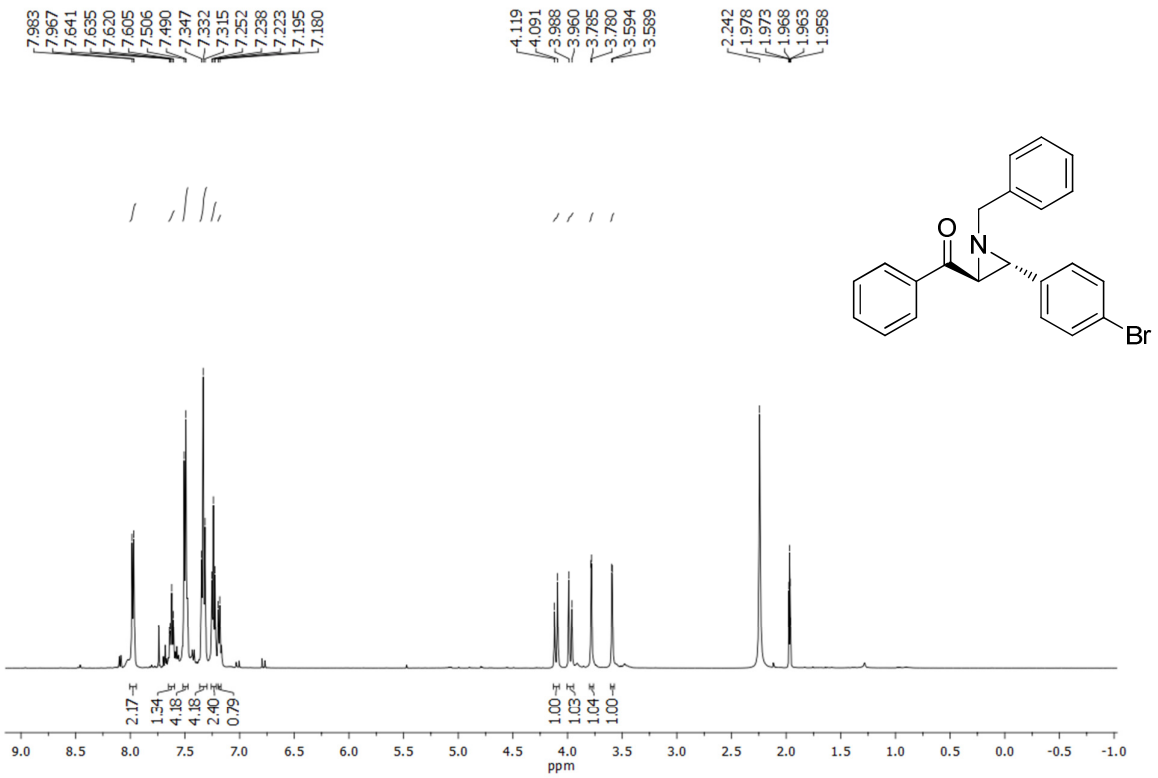


Fig S75. ^{1}H NMR of 7b (trans isomer)

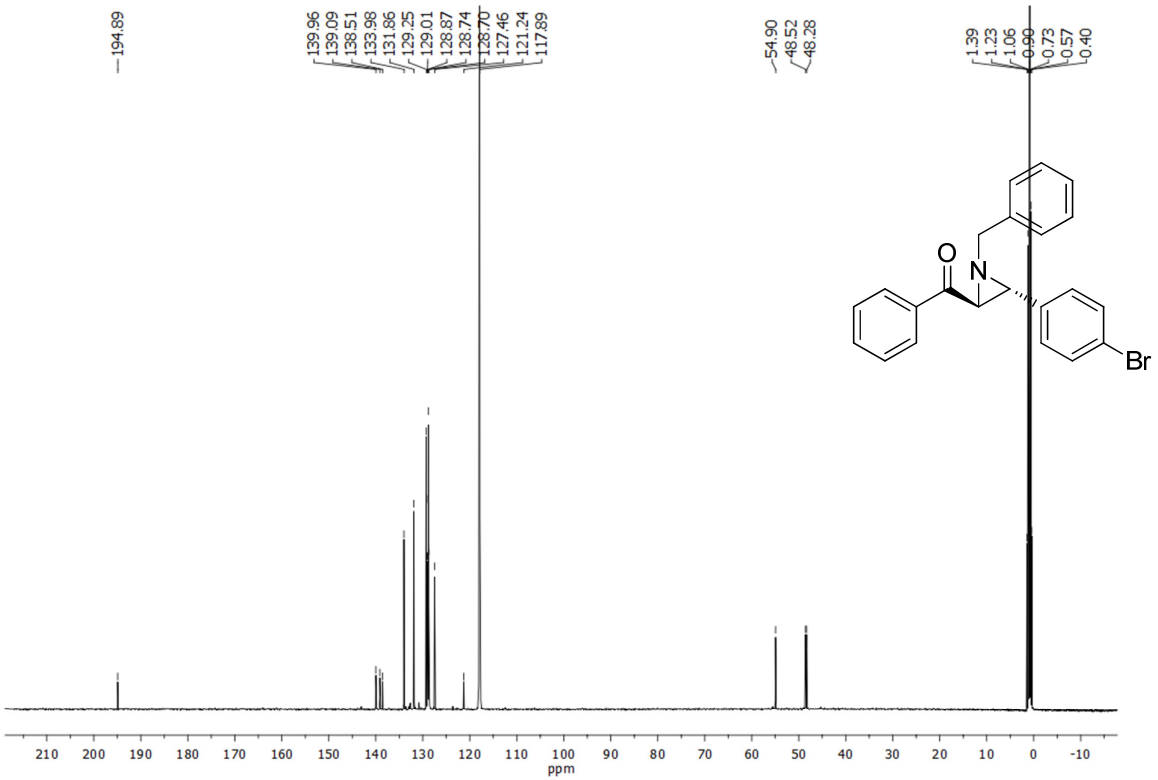


Fig S76. ^{13}C NMR of 7b (trans isomer)

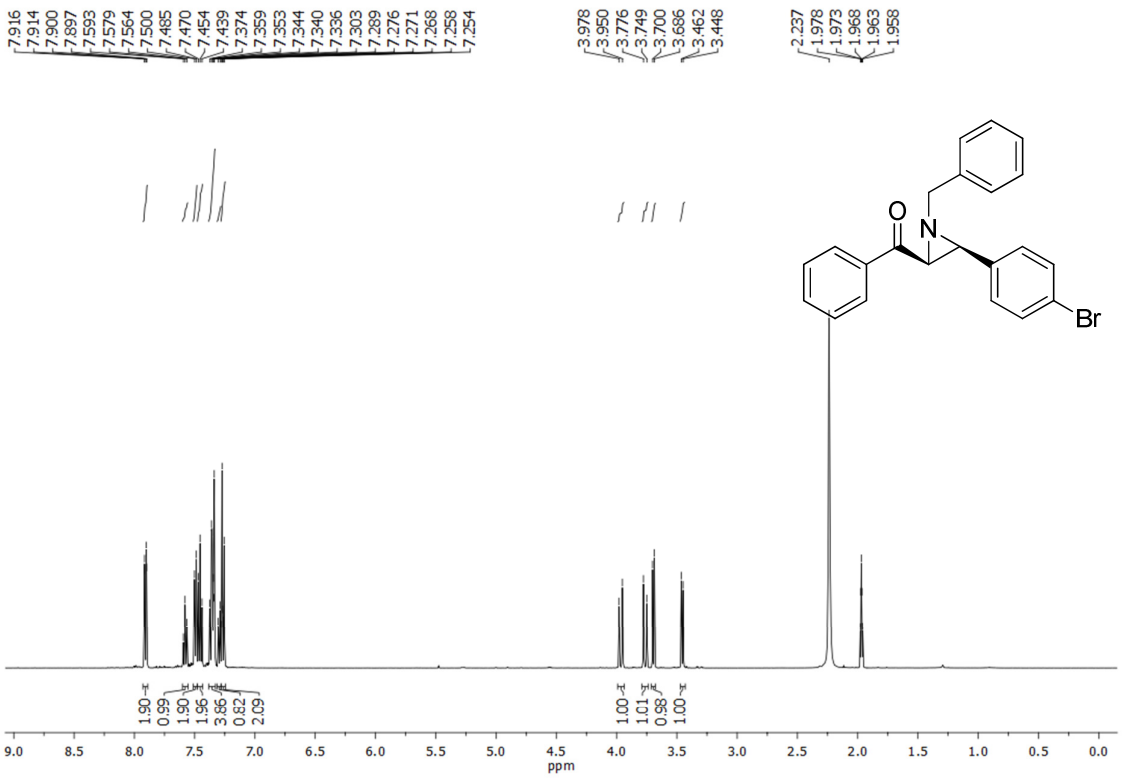


Fig S77. ^{1}H NMR of 7b (cis isomer)

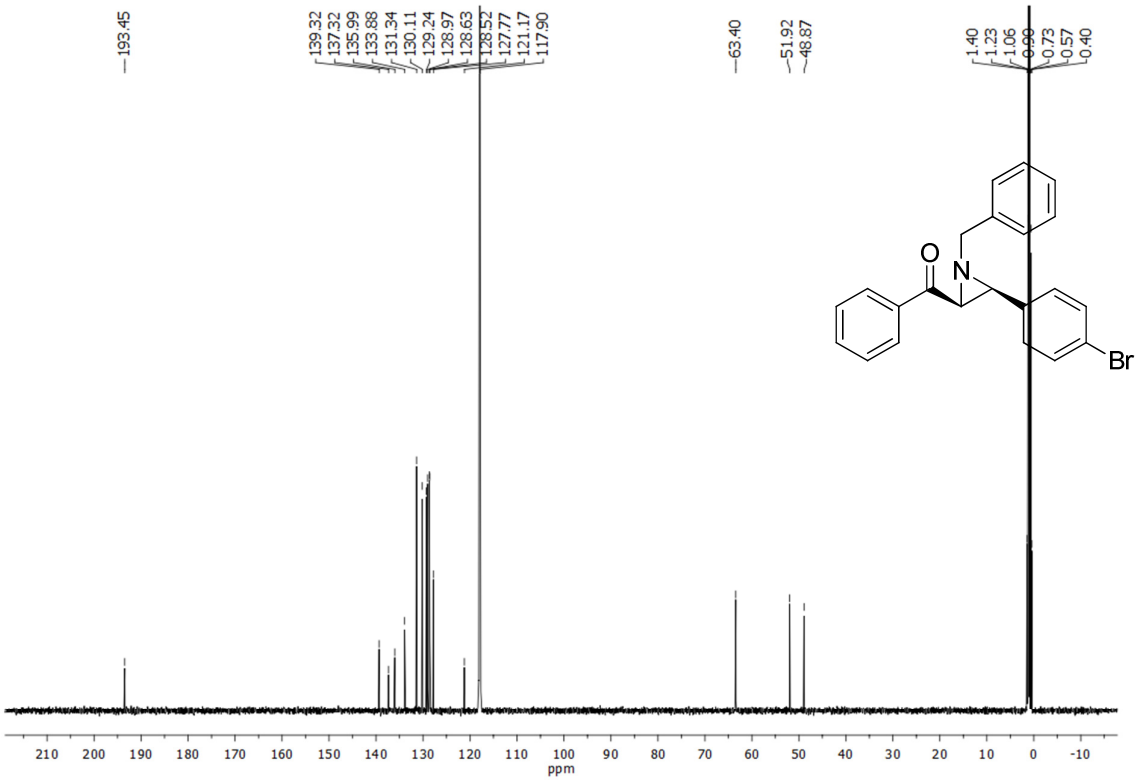


Fig S78. ^{13}C NMR of 7b (cis isomer)

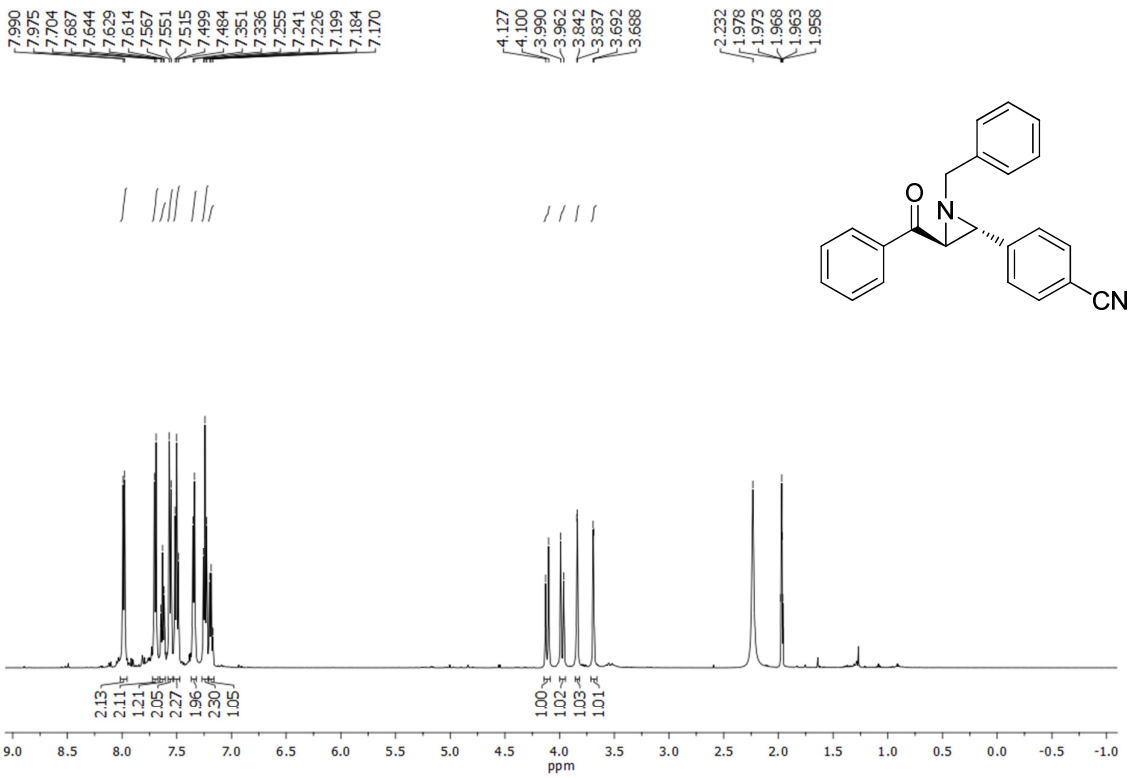


Fig S79. ^{1}H NMR of 7c (trans isomer)

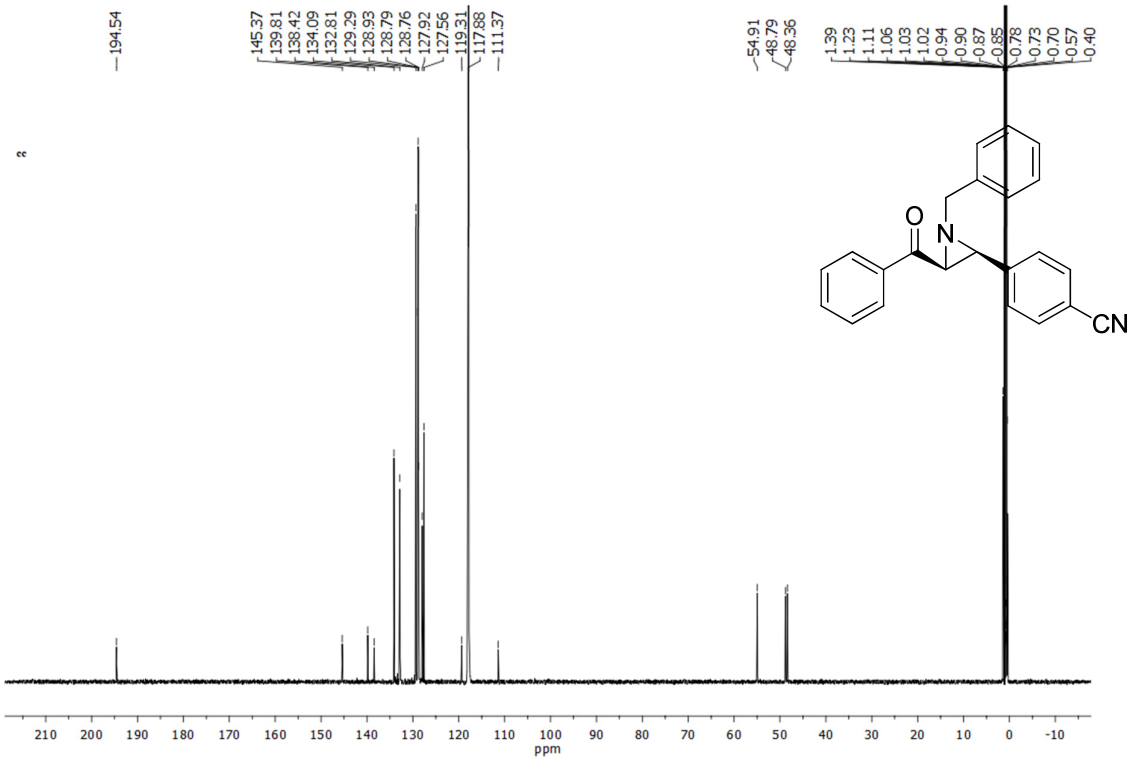


Fig S80. ^{13}C NMR of 7c (trans isomer)

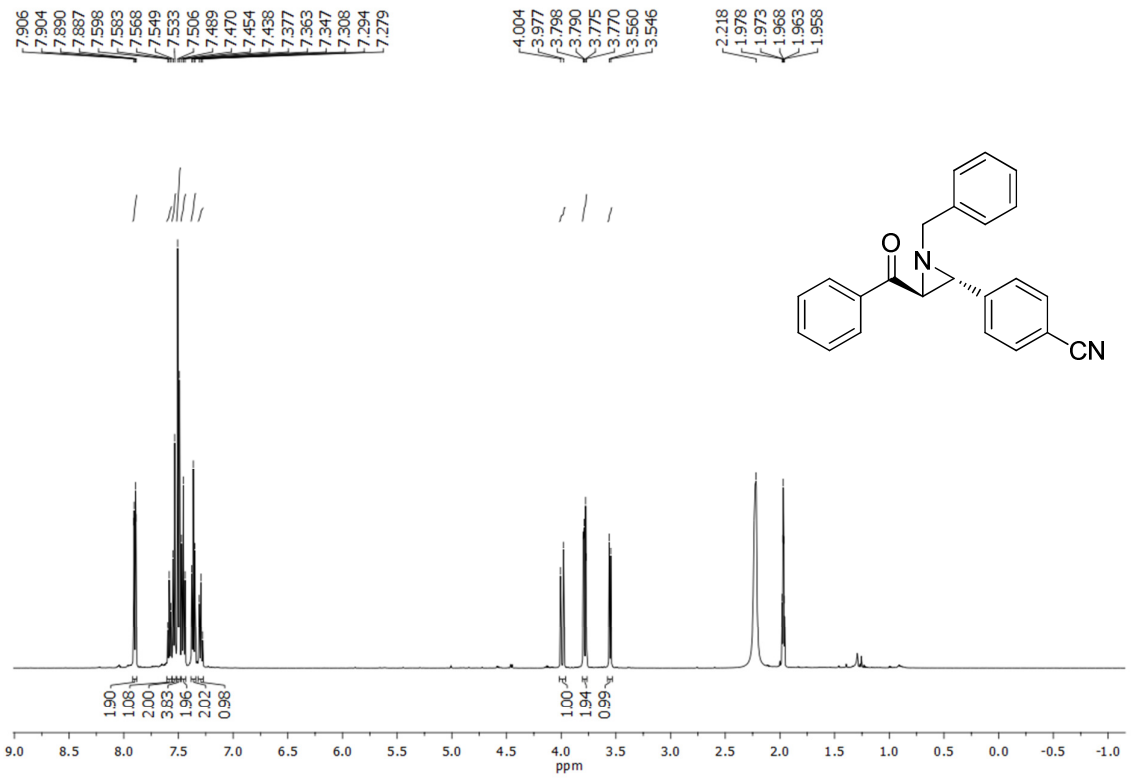


Fig S81. ^{1}H NMR of 7c (cis isomer)

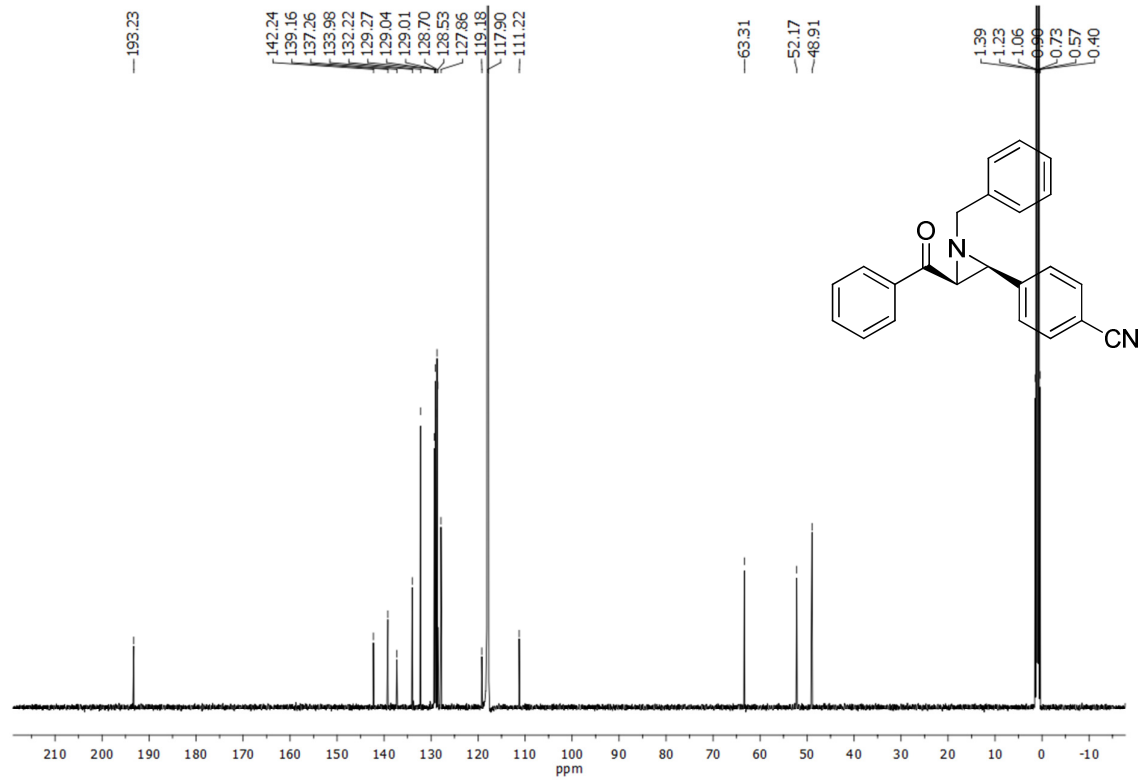


Fig S82. ^{13}C NMR of 7c (cis isomer)

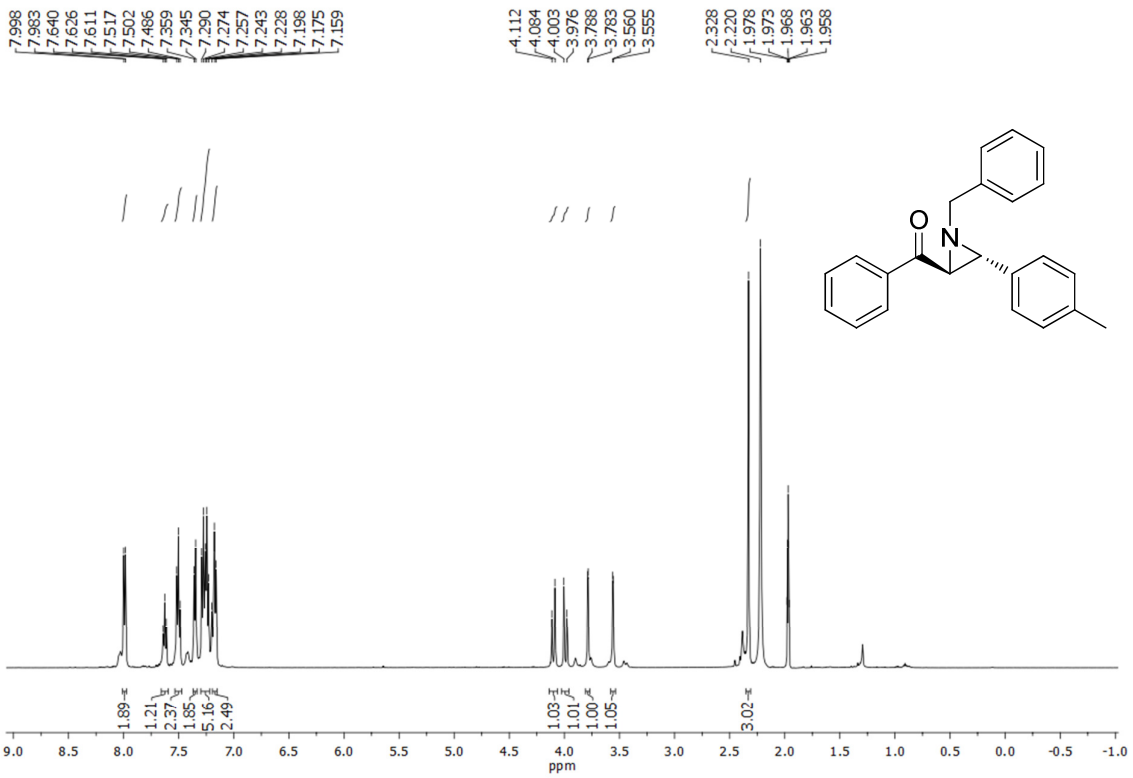


Fig S83. ^{1}H NMR of 7d (trans isomer)

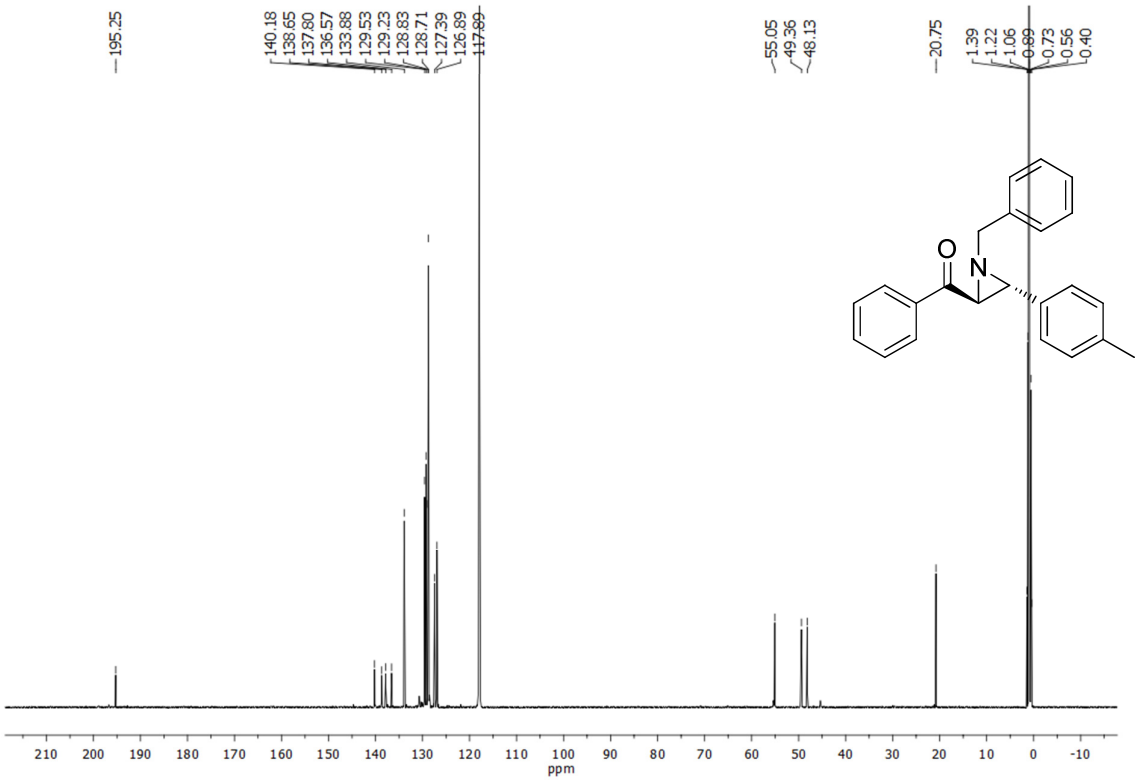


Fig S84. ^{13}C NMR of 7d (trans isomer)

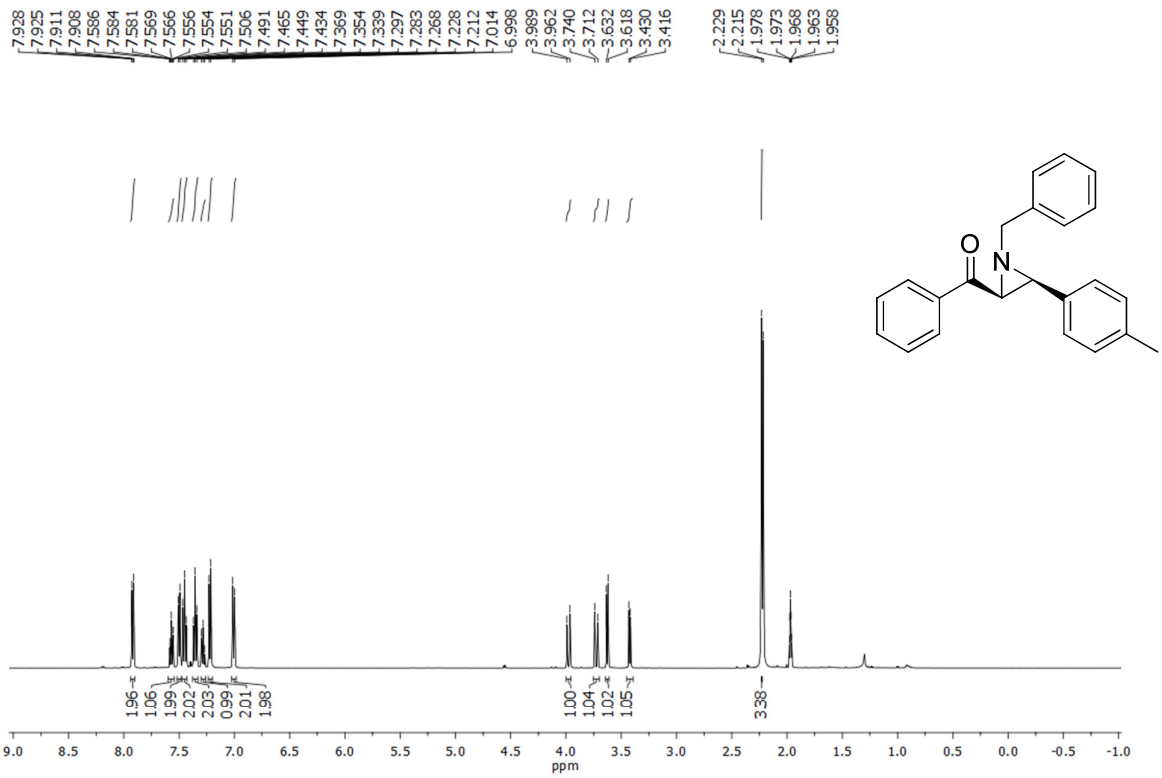


Fig S85. ^{1}H NMR of 7d (cis isomer)

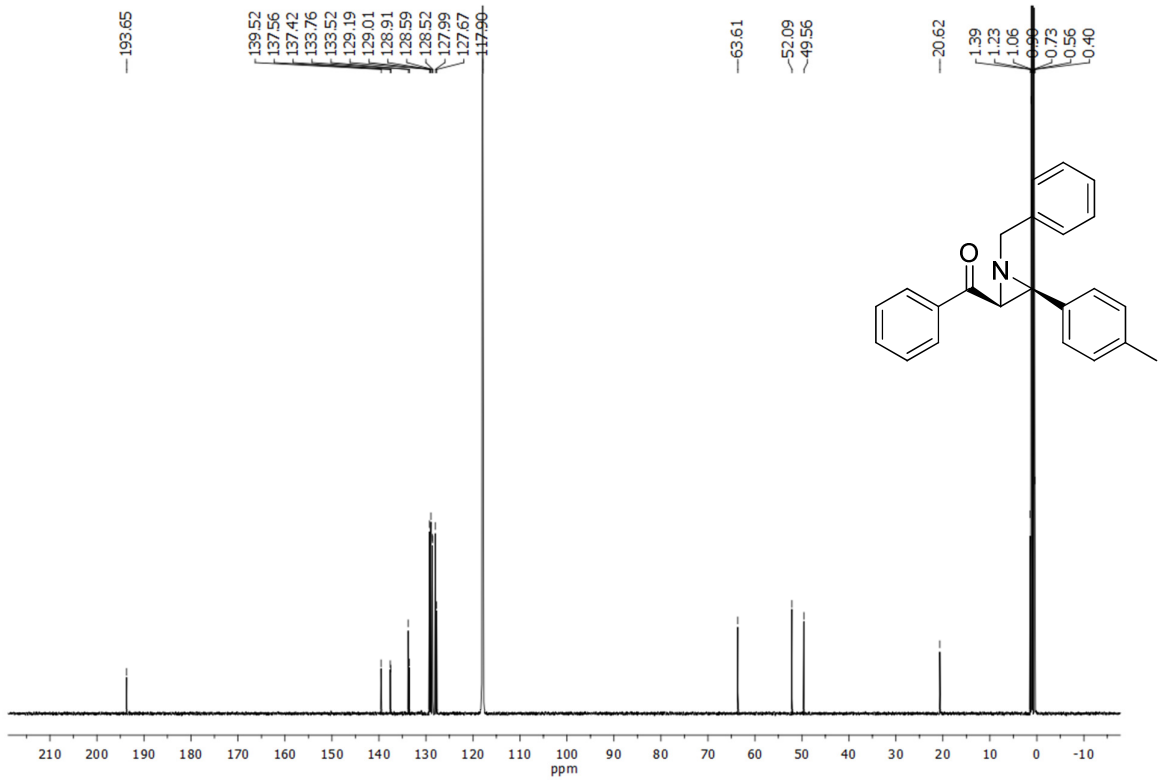


Fig S86. ^{13}C NMR of 7d (cis isomer)

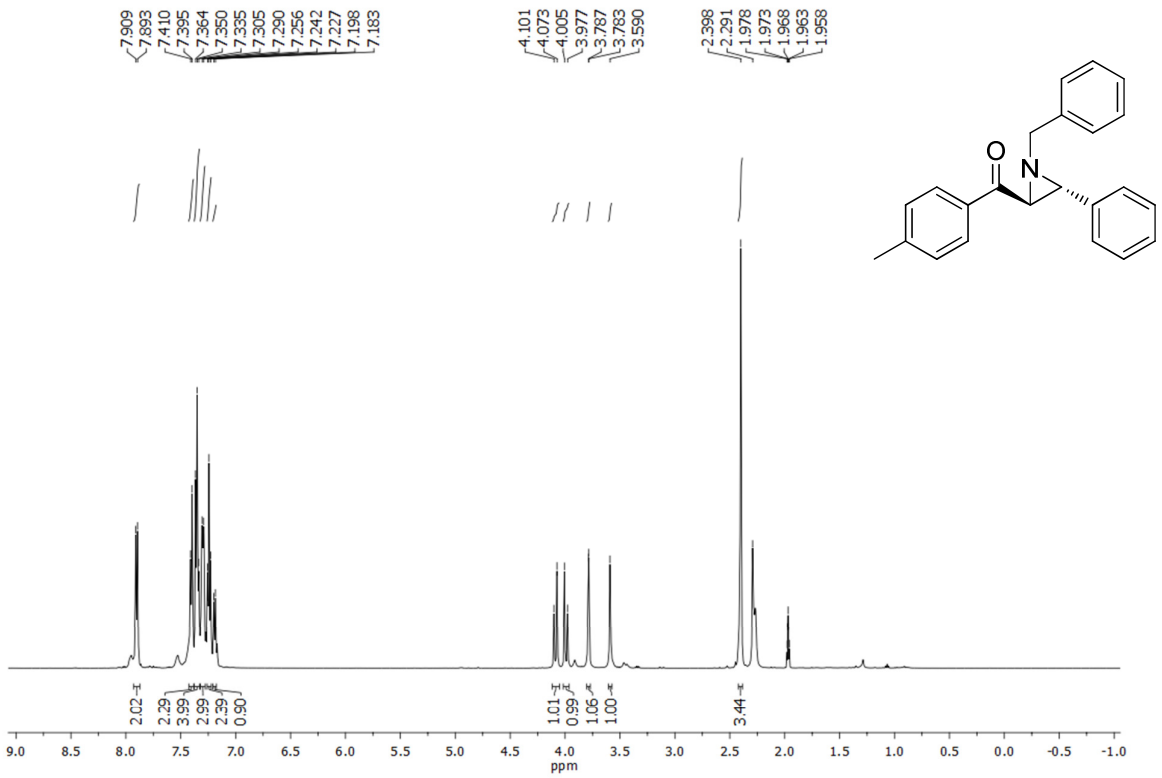


Fig S87. ^{1}H NMR of 7e (trans isomer)

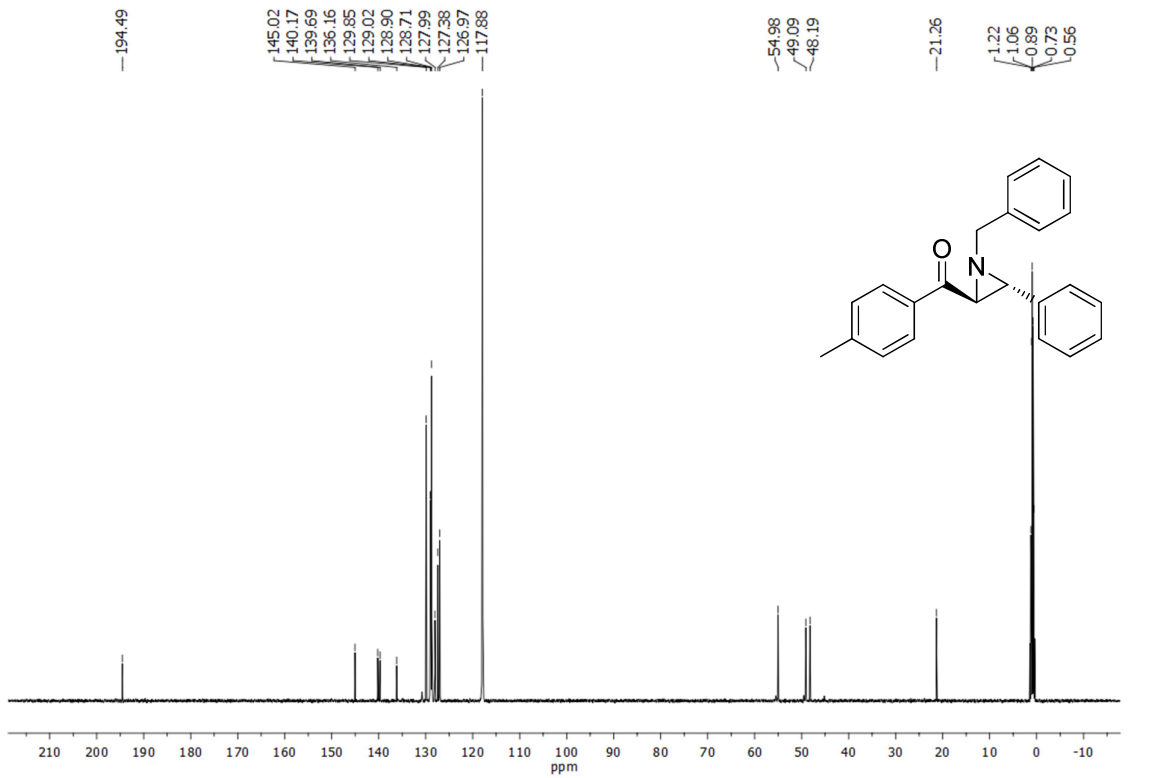


Fig S88. ^{13}C NMR of 7e (trans isomer)

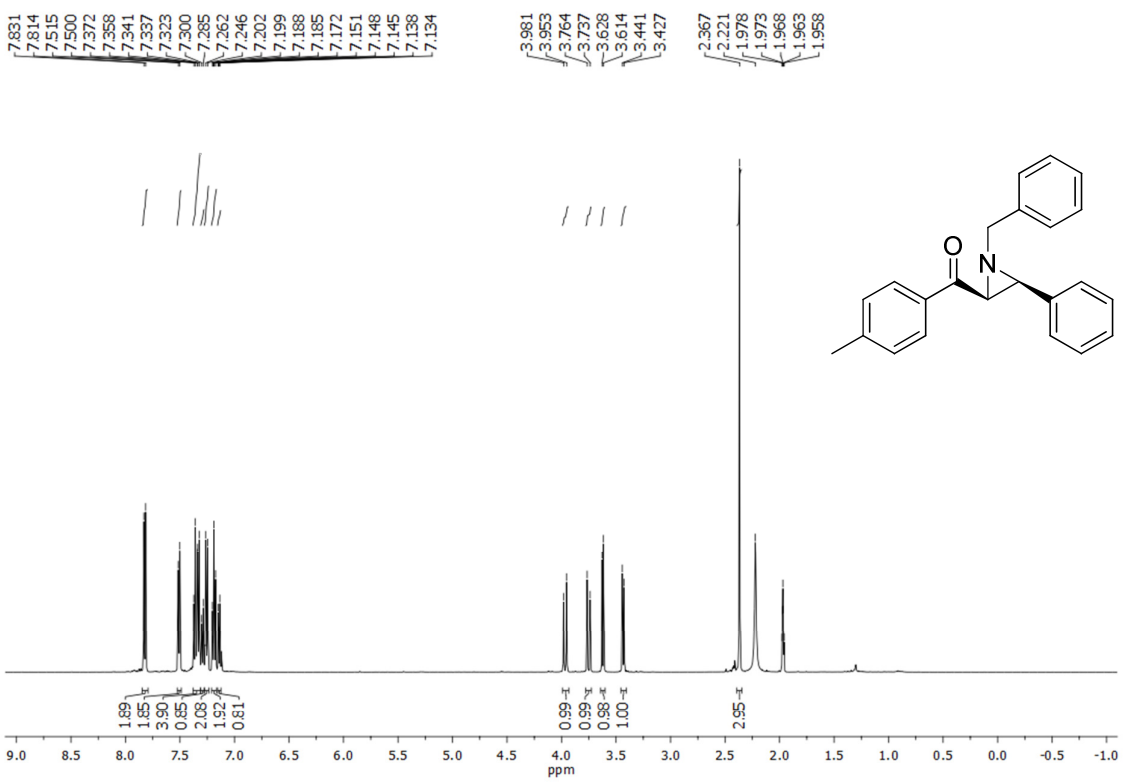


Fig S89. ^{1}H NMR of 7e (cis isomer)

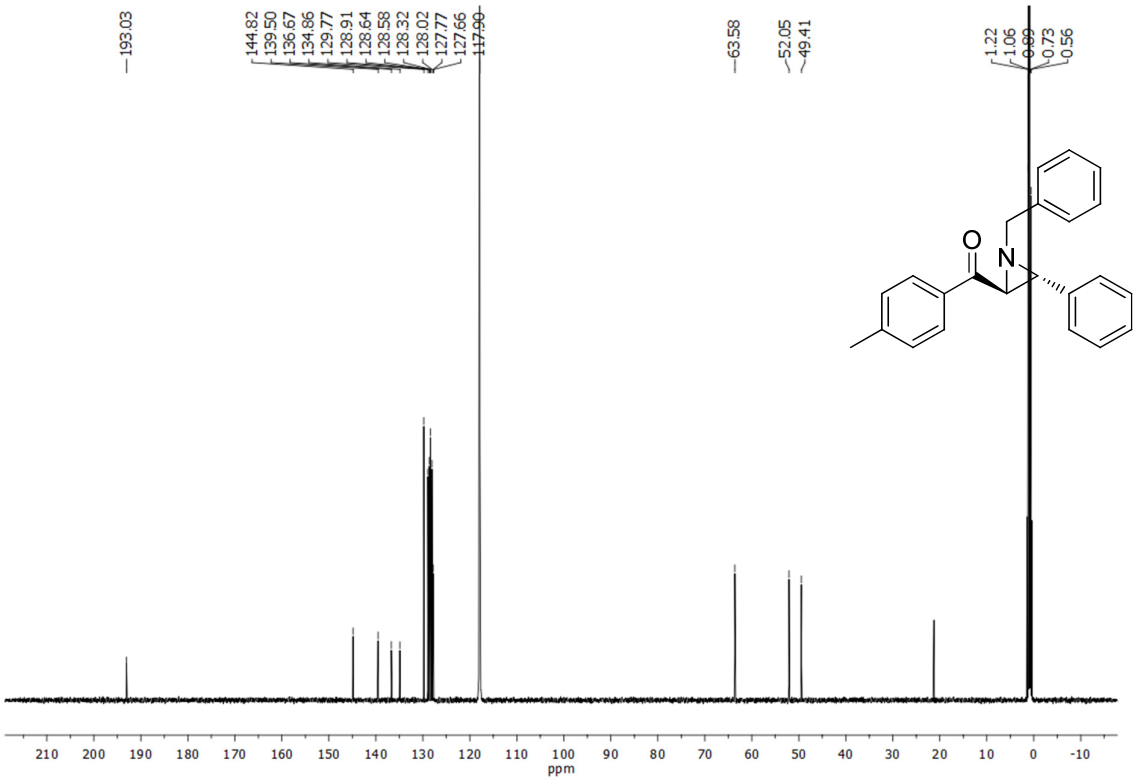


Fig S90. ^{13}C NMR of 7e (cis isomer)

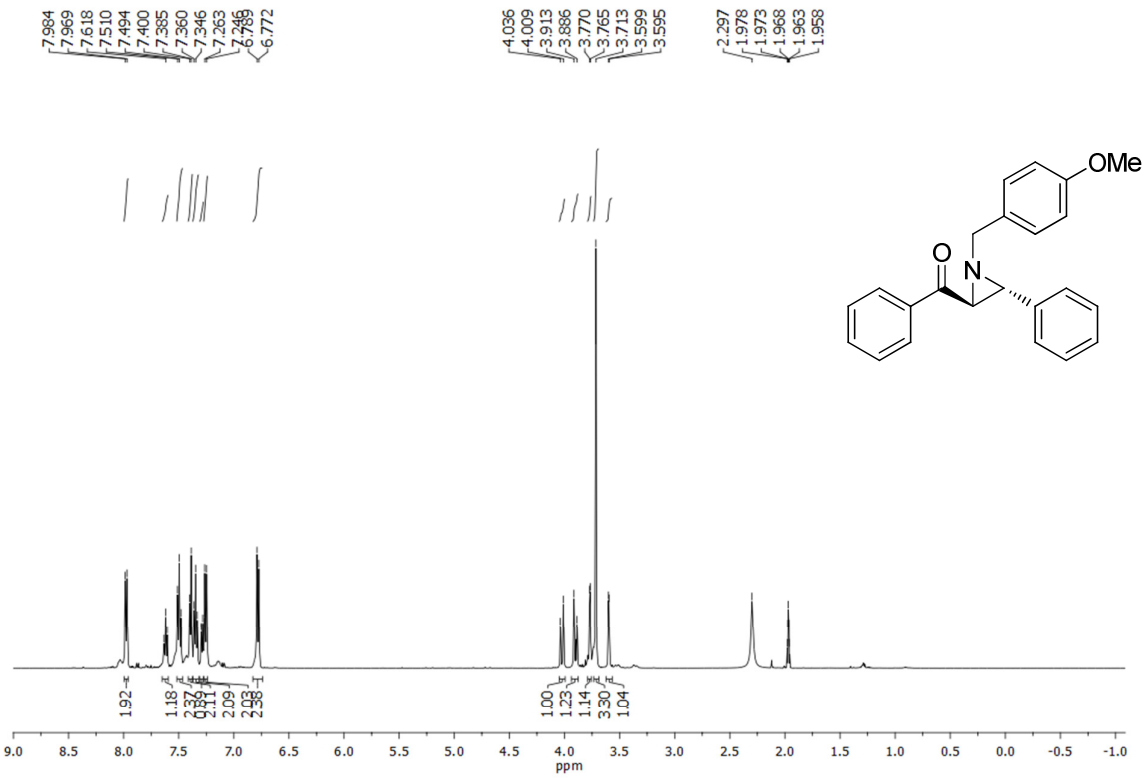


Fig S91. ^{1}H NMR of 7f (trans isomer)

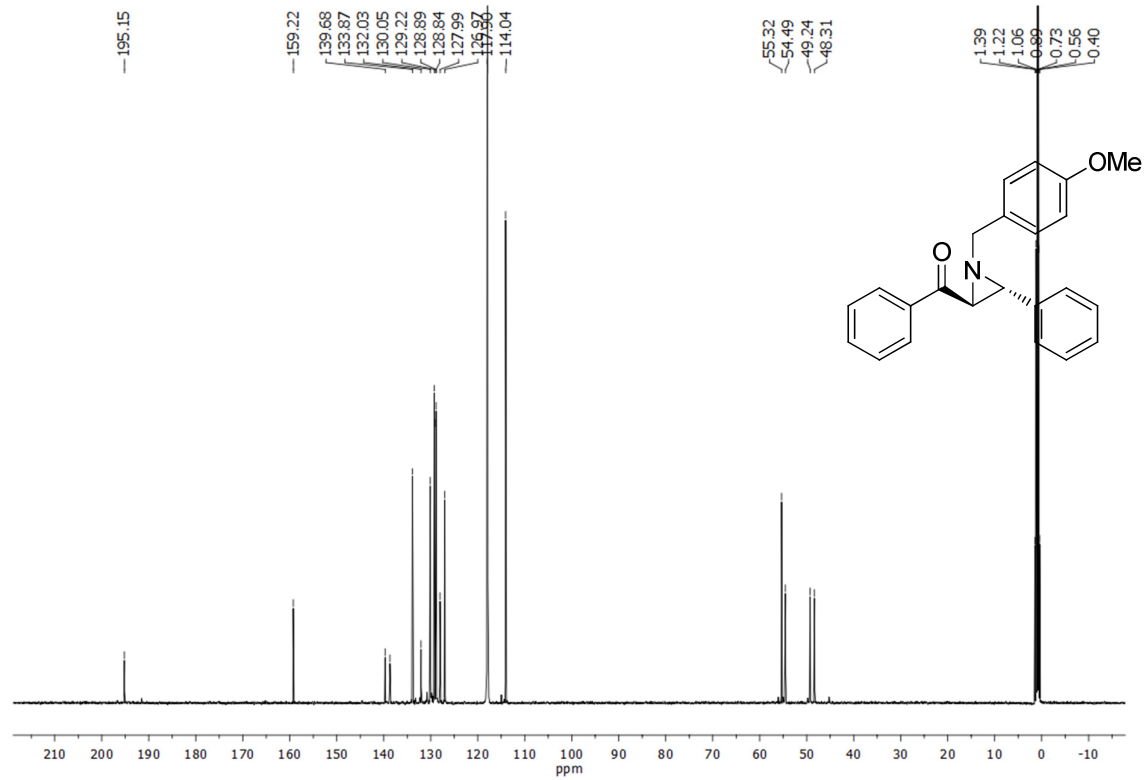


Fig S92. ^{13}C NMR of 7f (trans isomer)

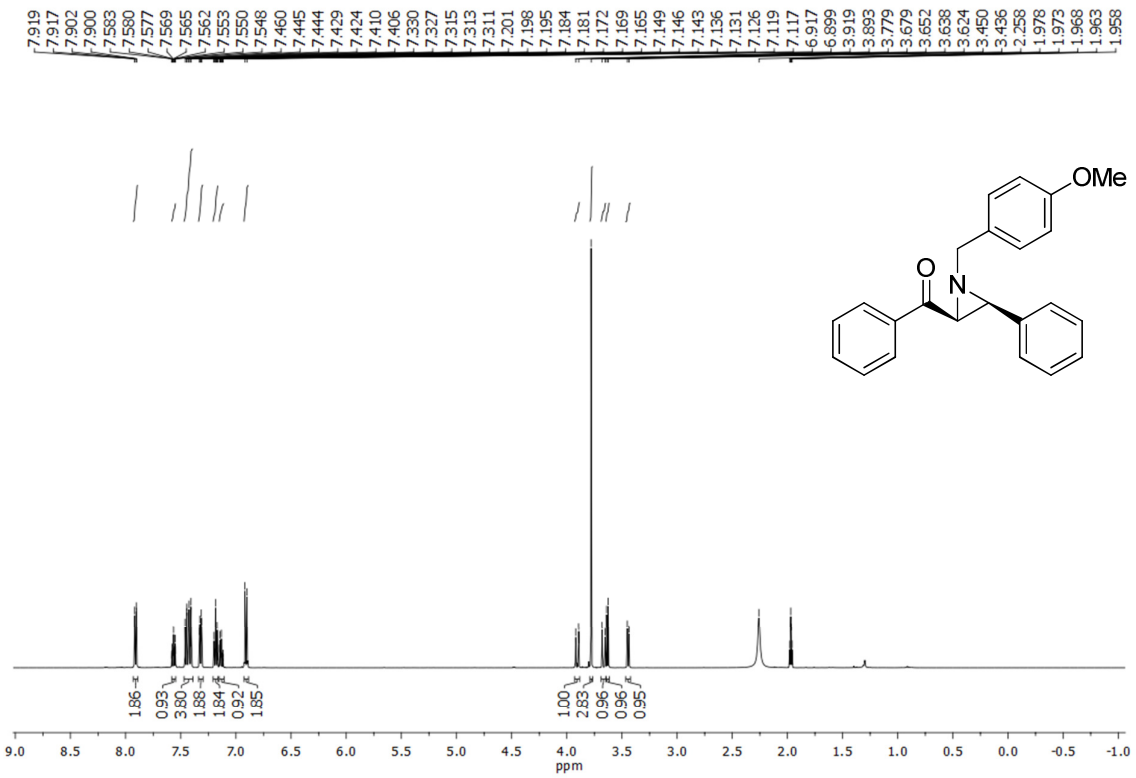


Fig S93. ^{1}H NMR of 7f (cis isomer)

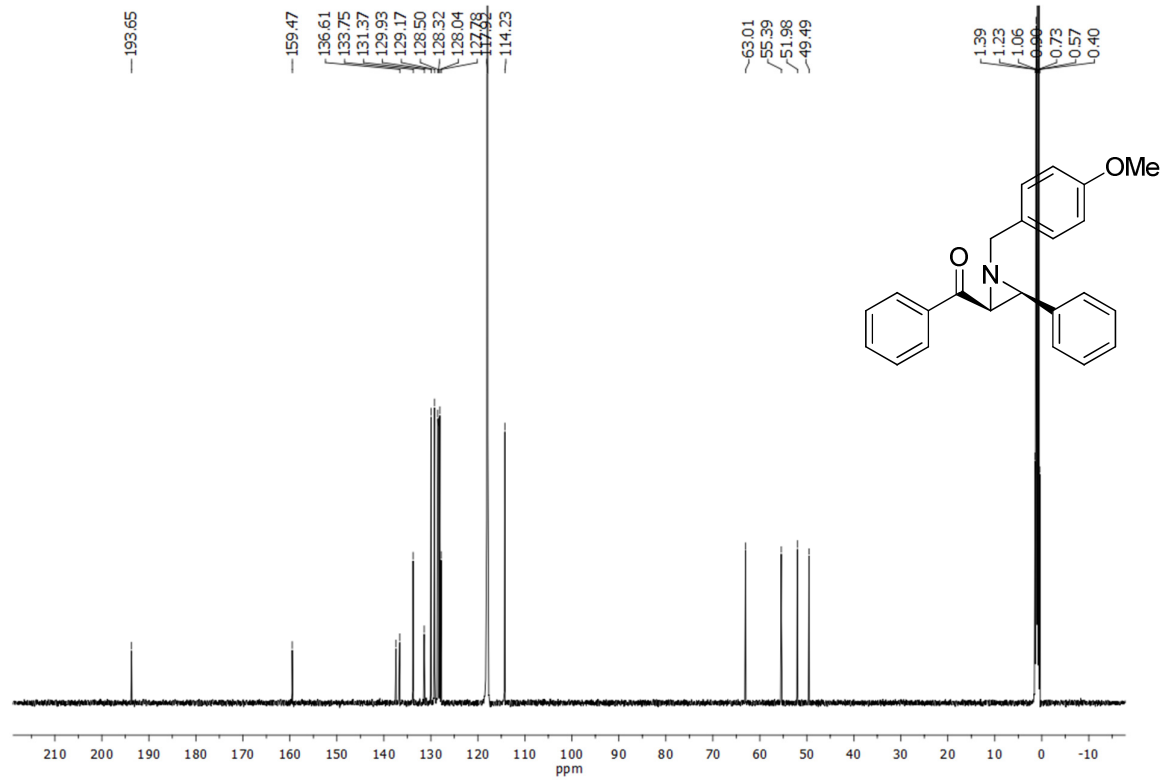


Fig S94. ^{13}C NMR of 7f (cis isomer)

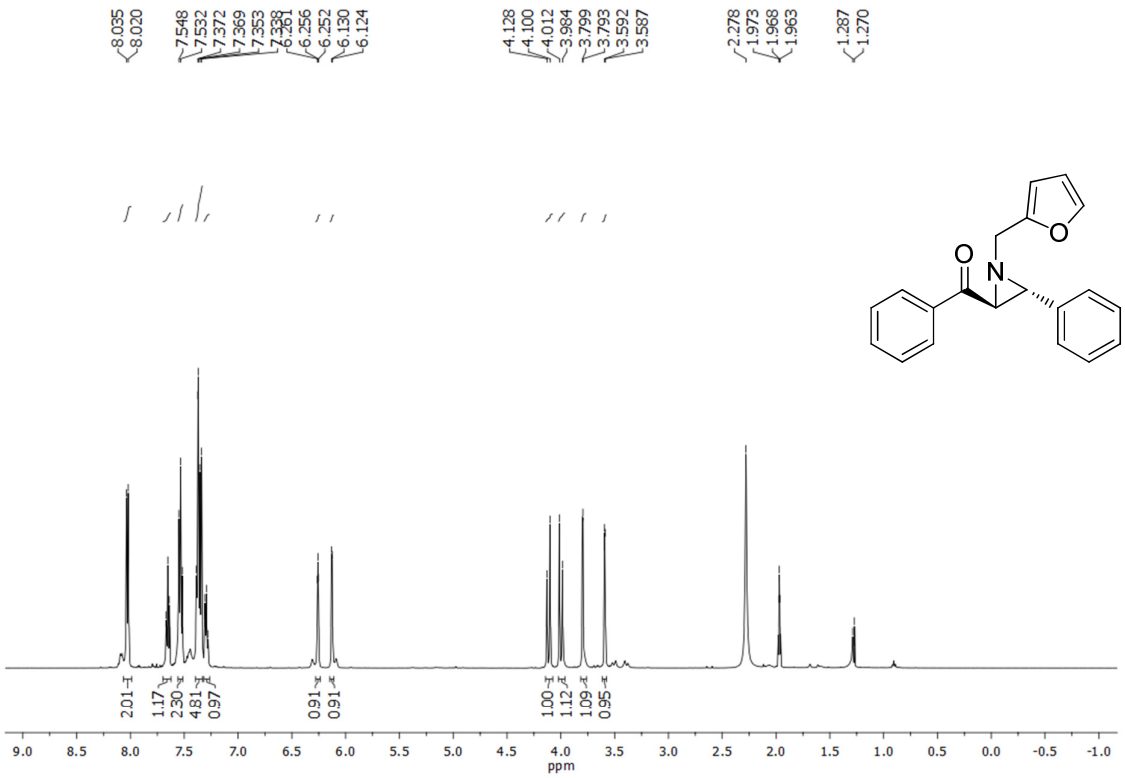


Fig S95. ^{1}H NMR of 7f (trans isomer)

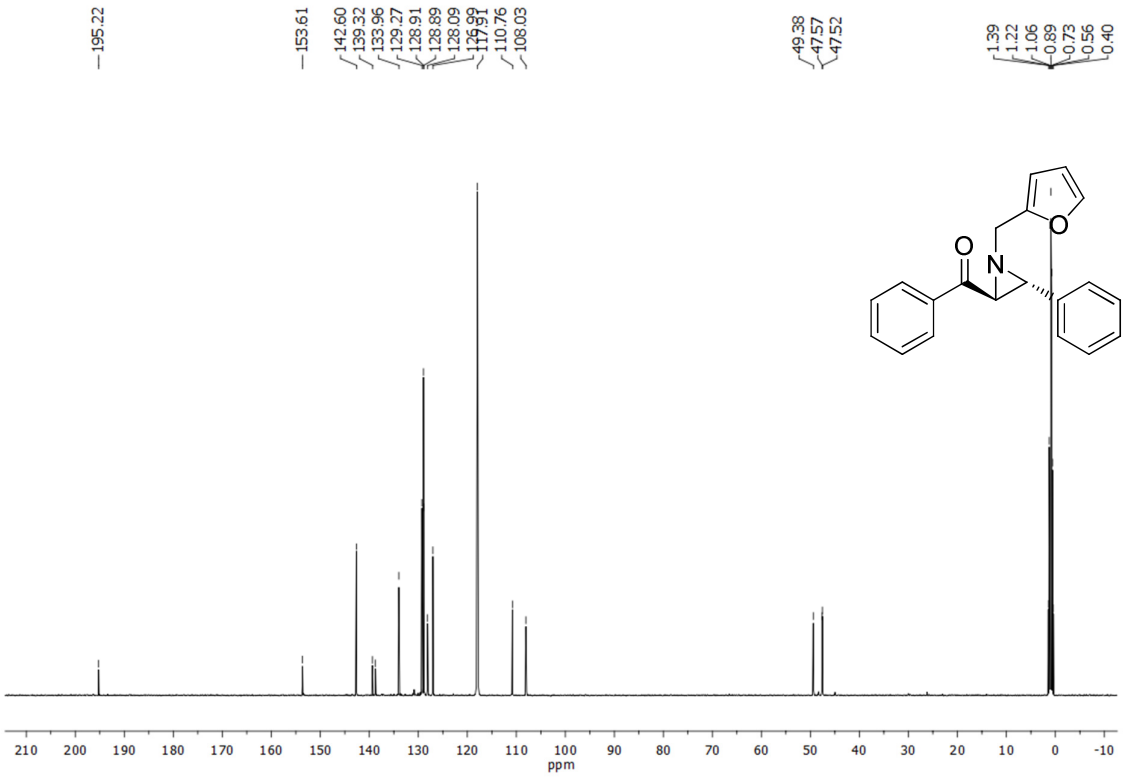


Fig S96. ^{13}C NMR of 7g (trans isomer)

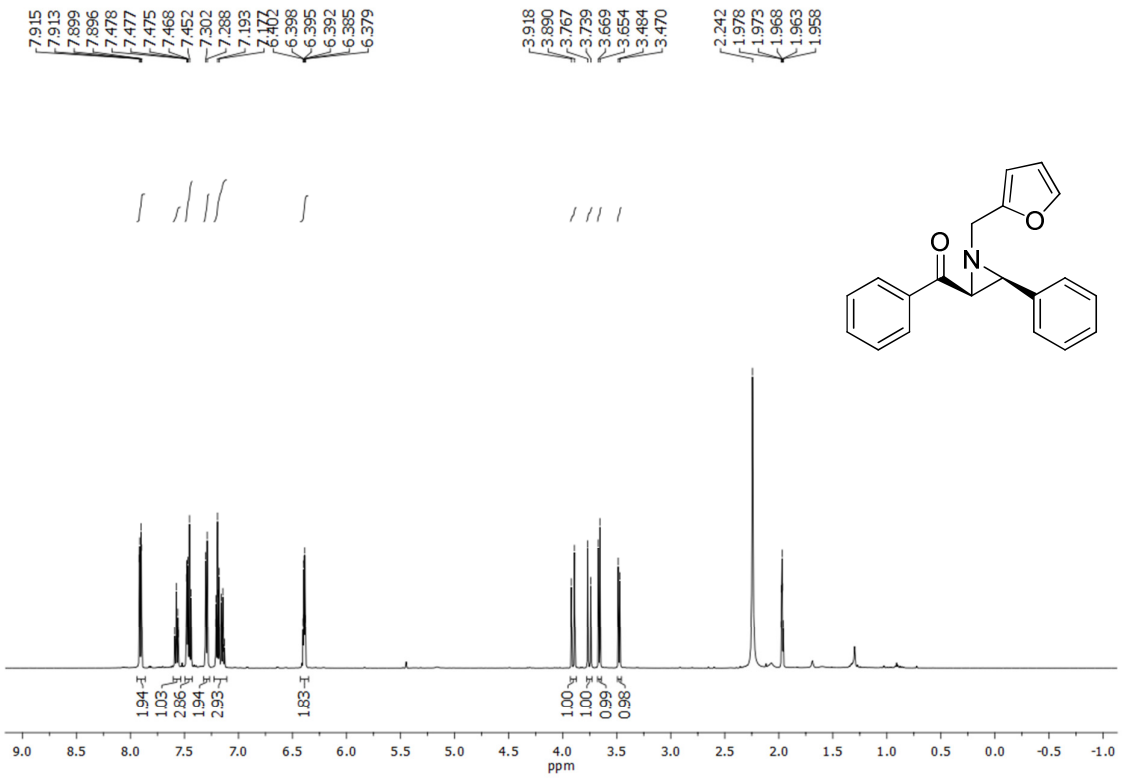


Fig S97. ^{1}H NMR of 7g (cis isomer)

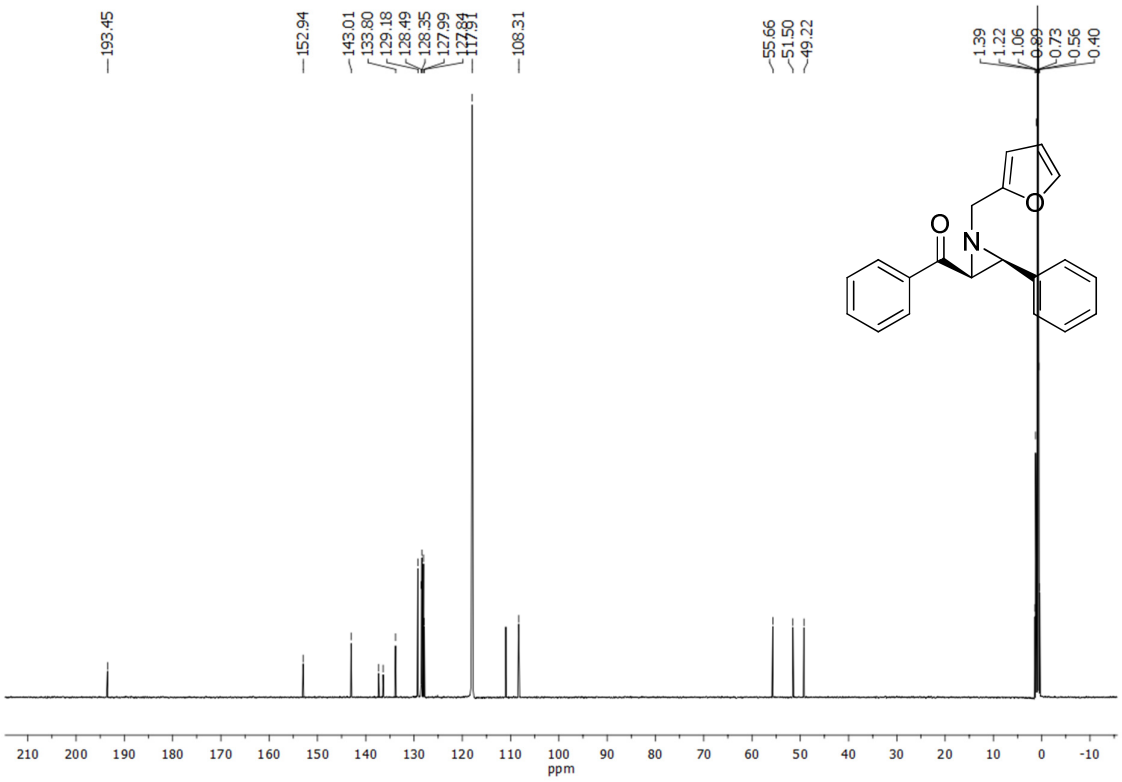


Fig S98. ^{13}C NMR of 7g (cis isomer)

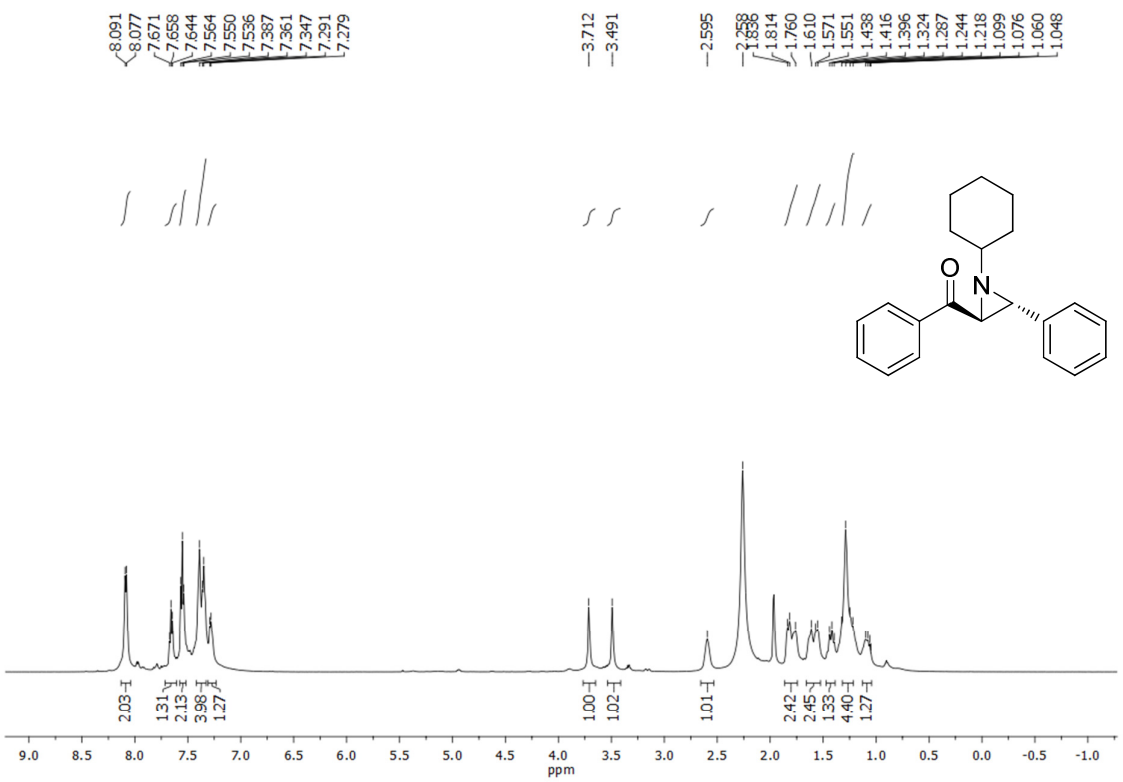


Fig S99. ^{1}H NMR of 7h (trans isomer)

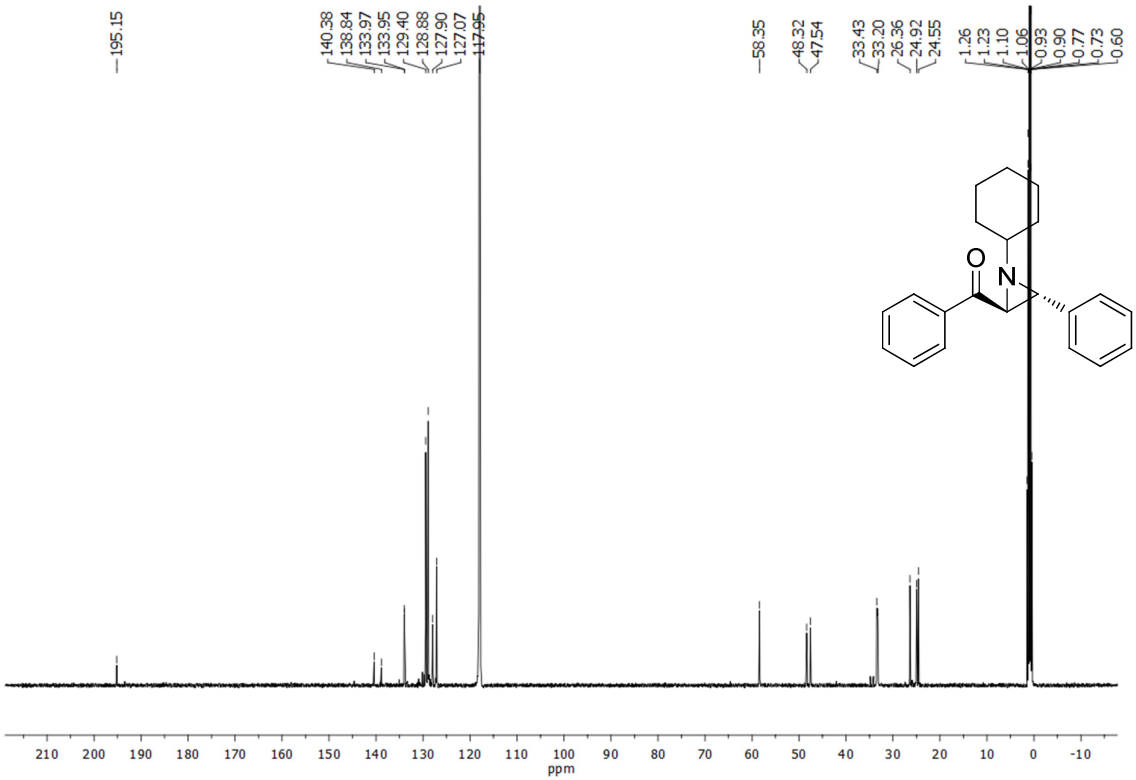


Fig S100. ^{13}C NMR of 7h (trans isomer)

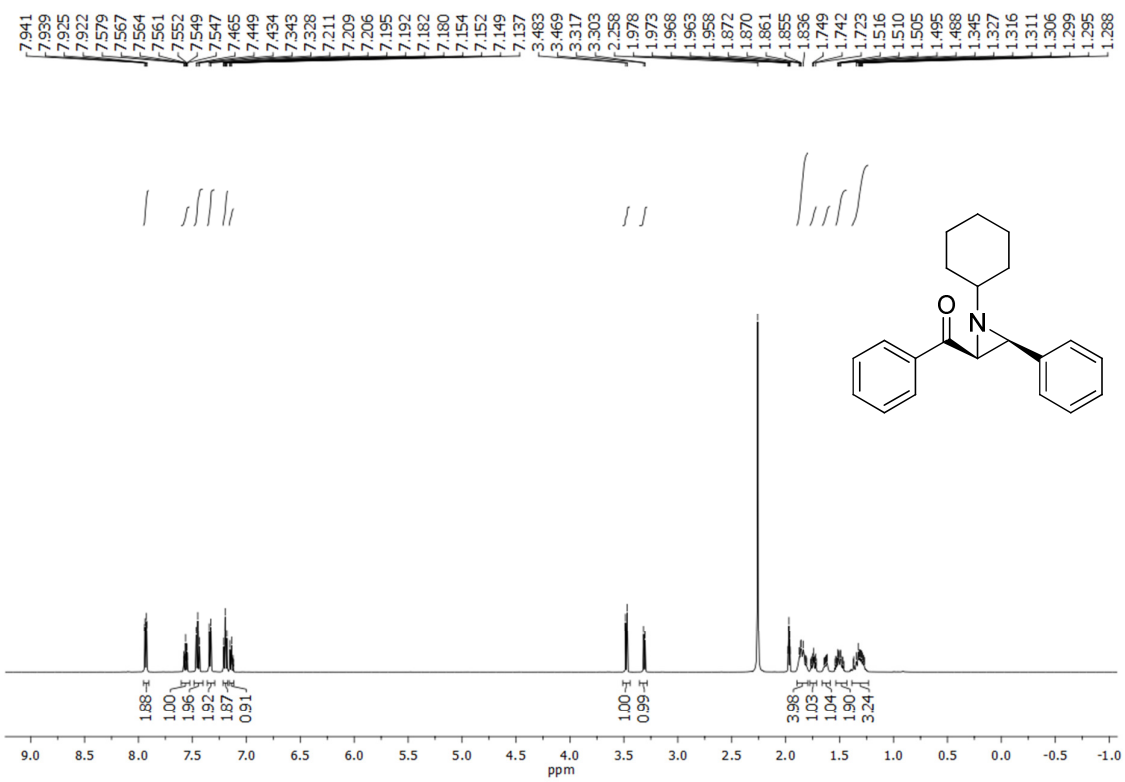


Fig S101. ^{1}H NMR of 7h (cis isomer)

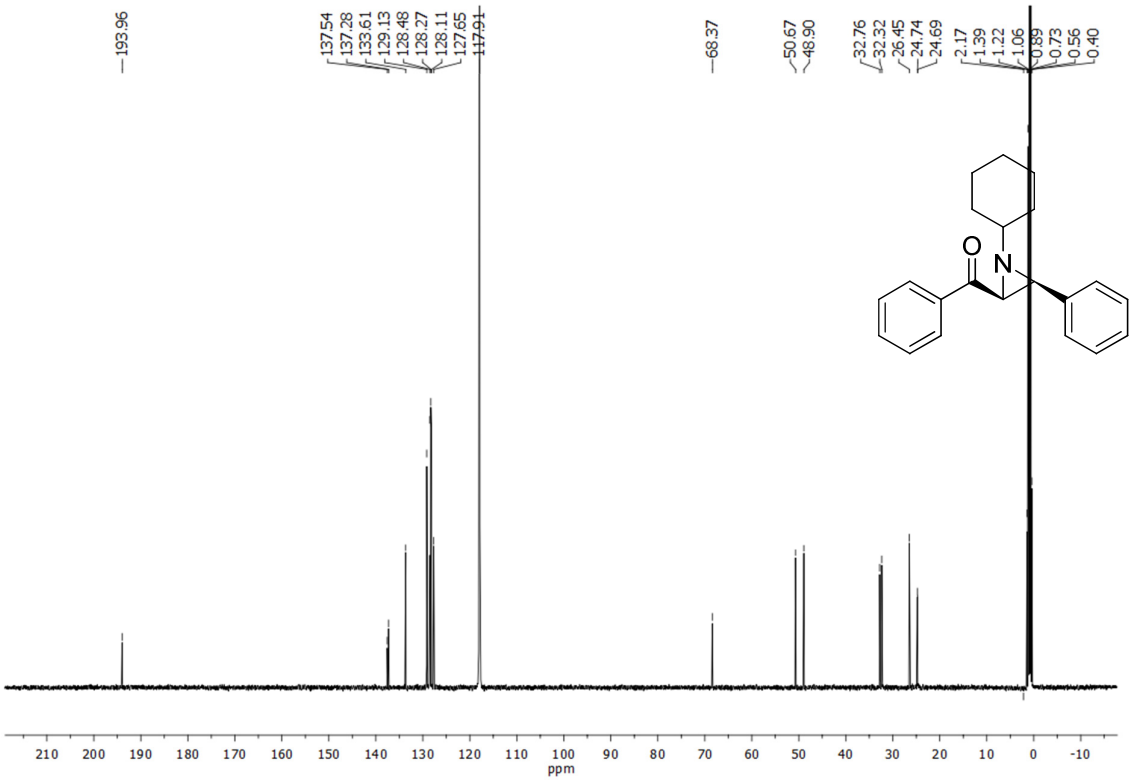


Fig S102. ^{13}C NMR of 7h (cis isomer)

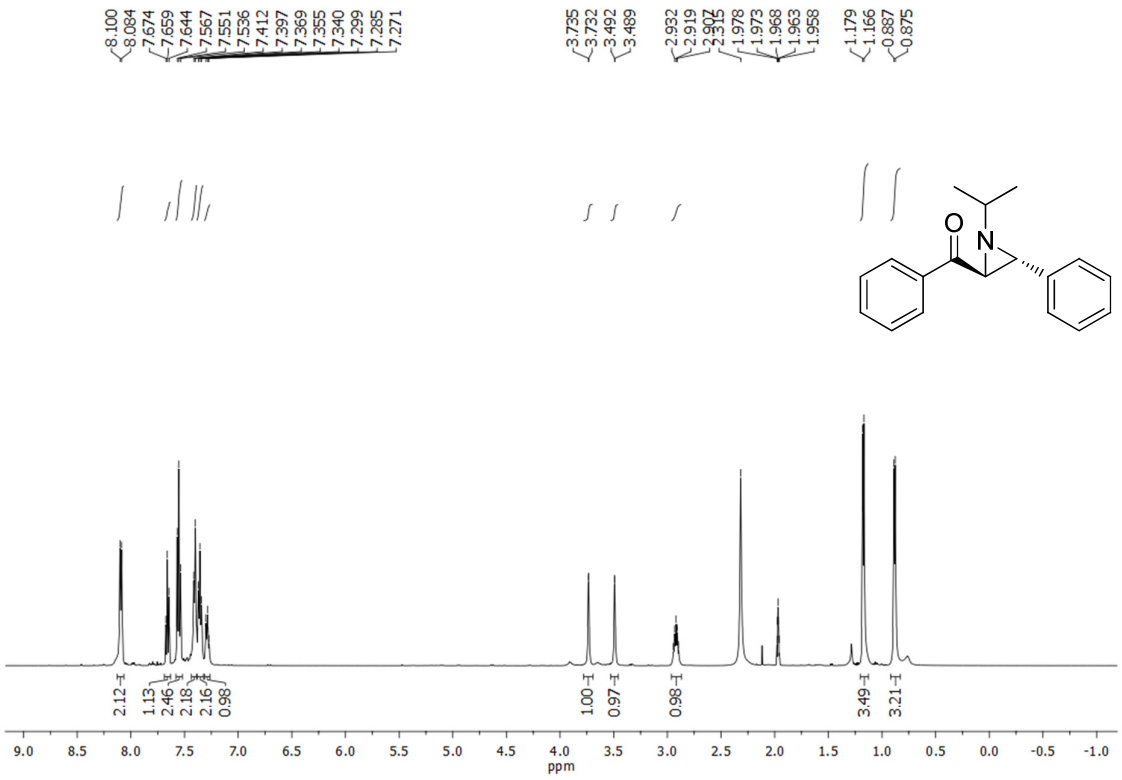


Fig S103. ^{1}H NMR of 7i (trans isomer)

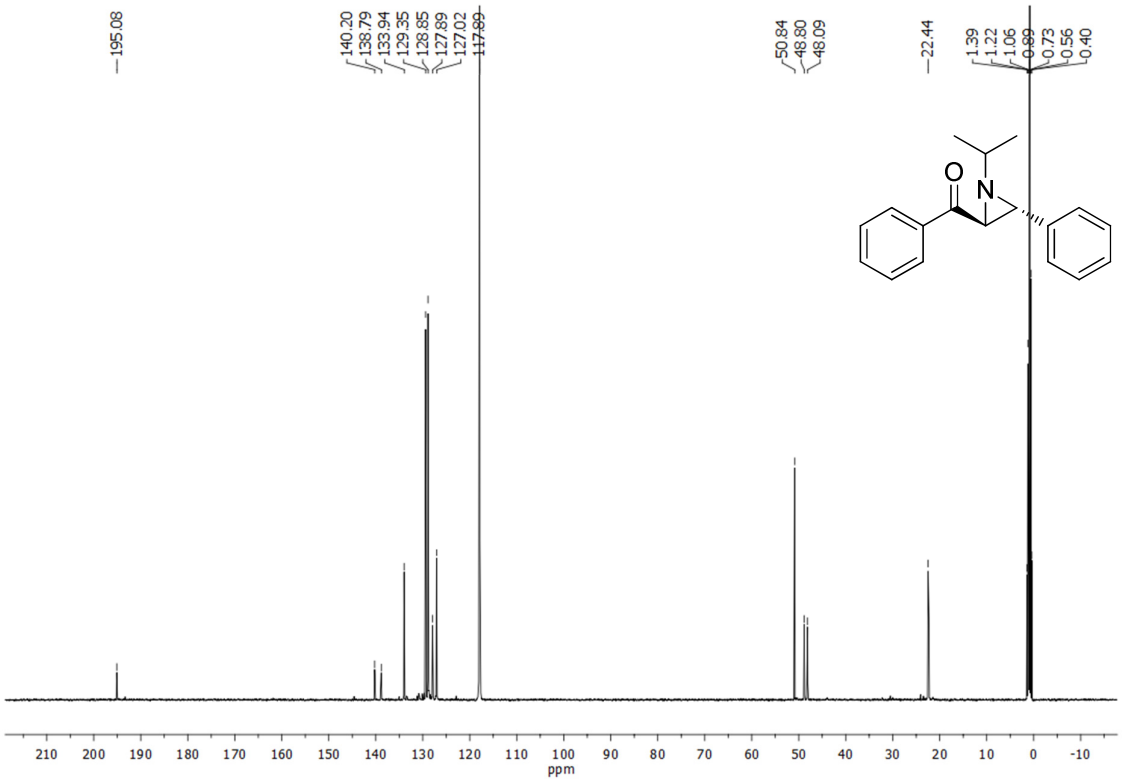


Fig S104. ^{13}C NMR of 7i (trans isomer)

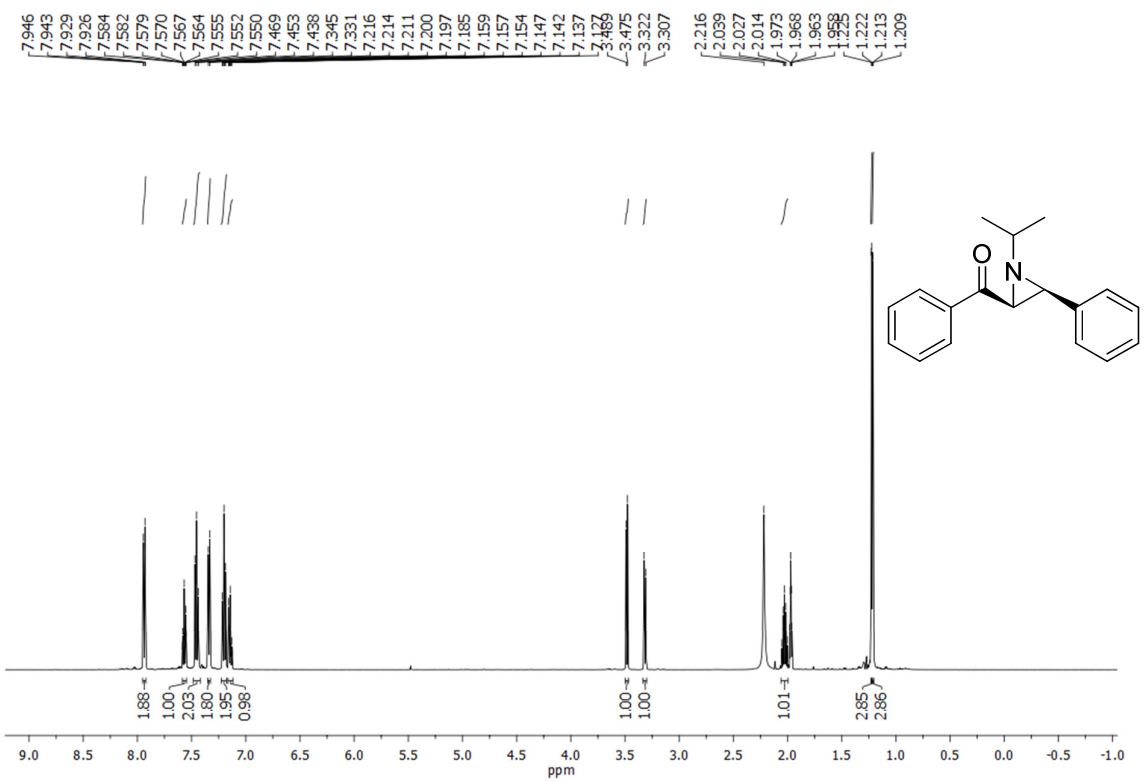


Fig S105. ^{1}H NMR of 7i (cis isomer)

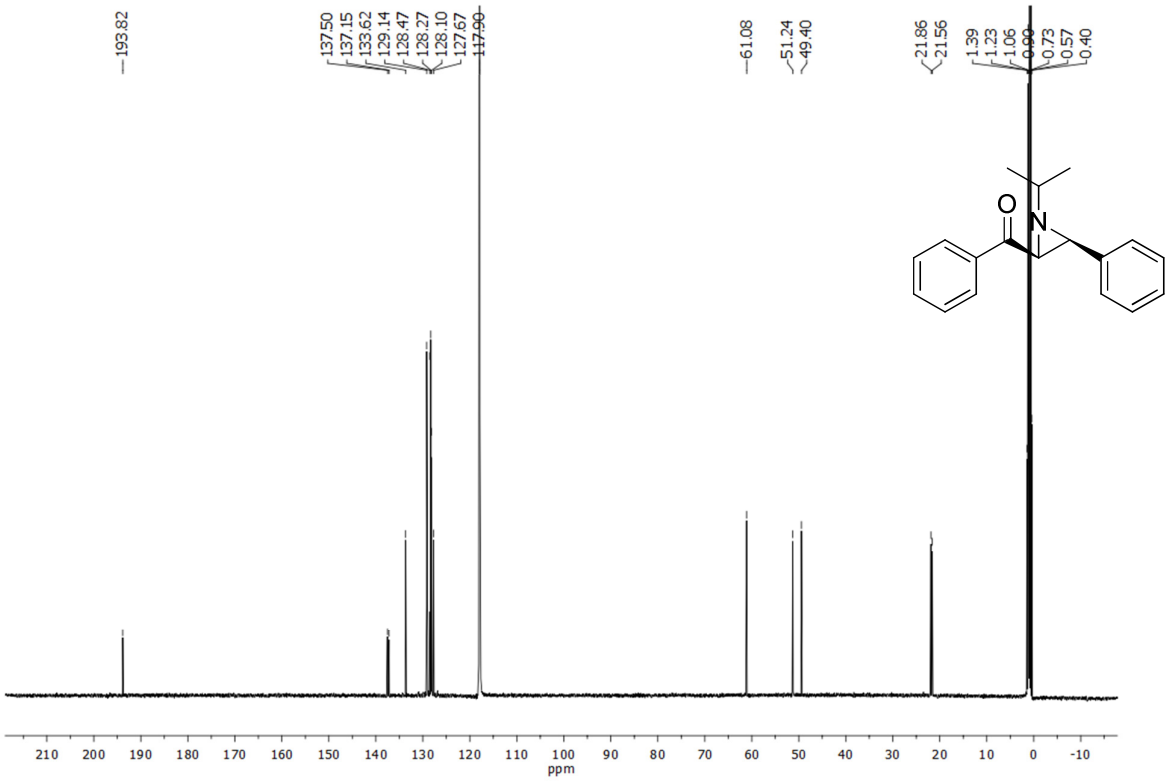


Fig S106. ^{13}C NMR of 7i (cis isomer)

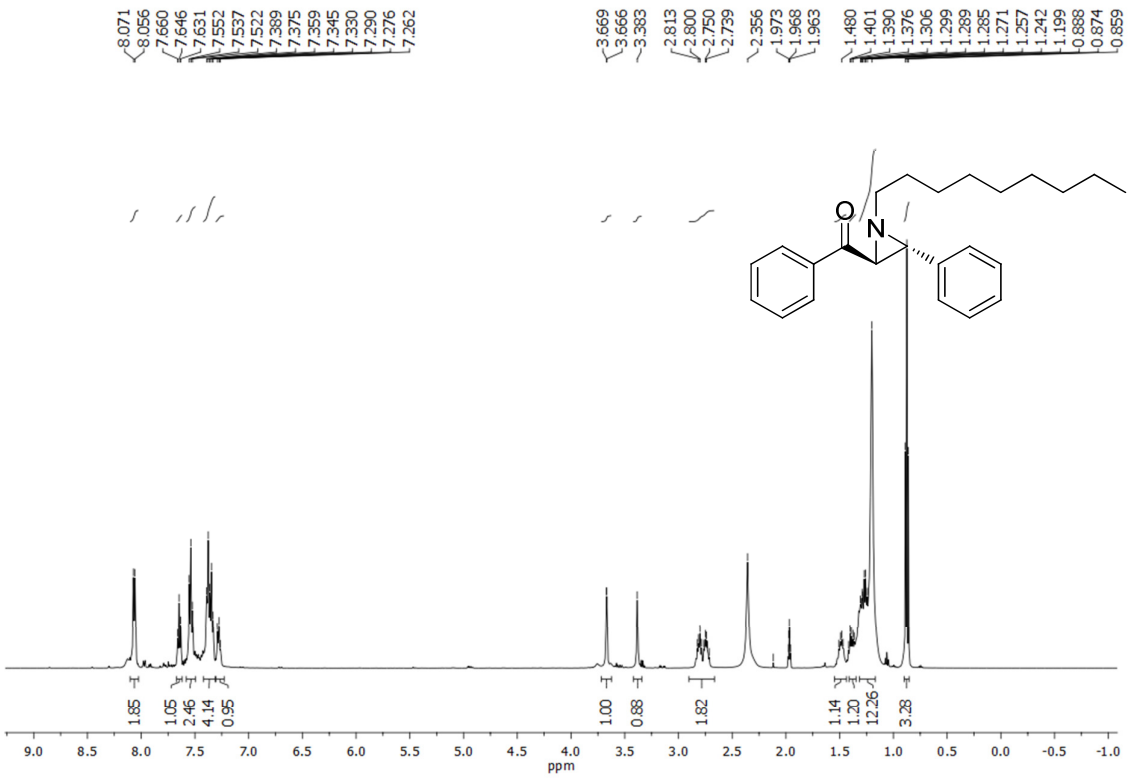


Fig S107. ^{1}H NMR of 7j (trans isomer)

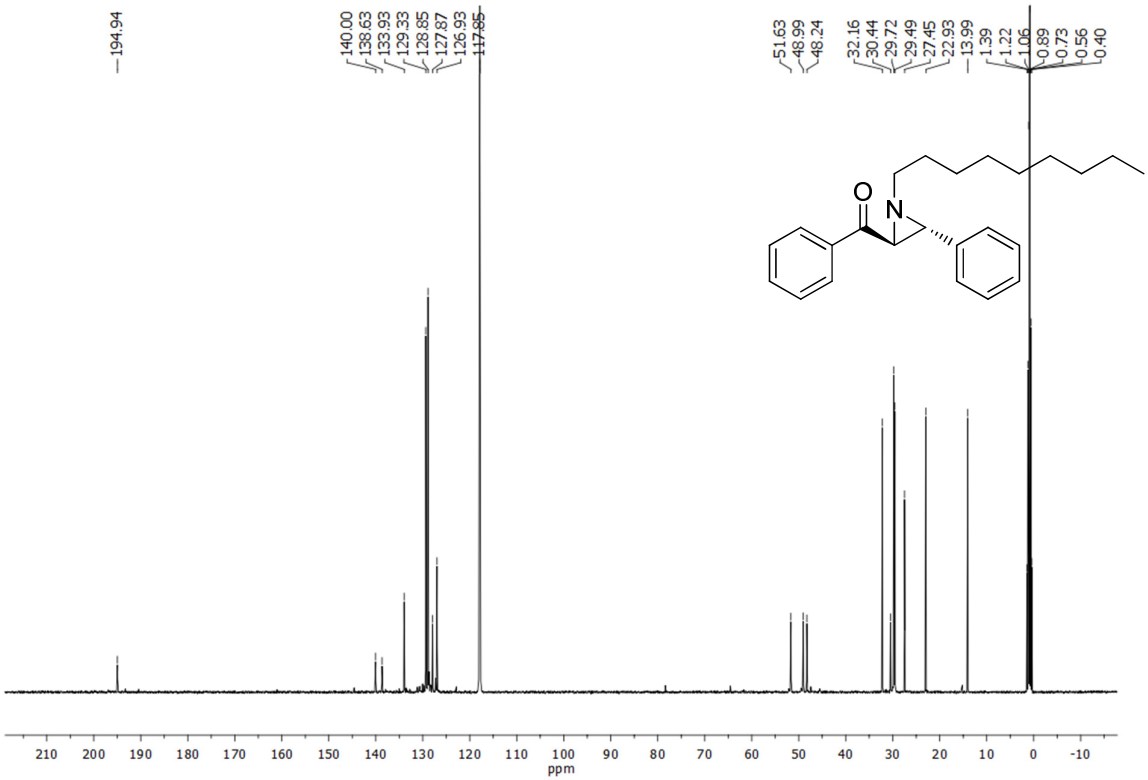


Fig S108. ^{13}C NMR of 7j (trans isomer)

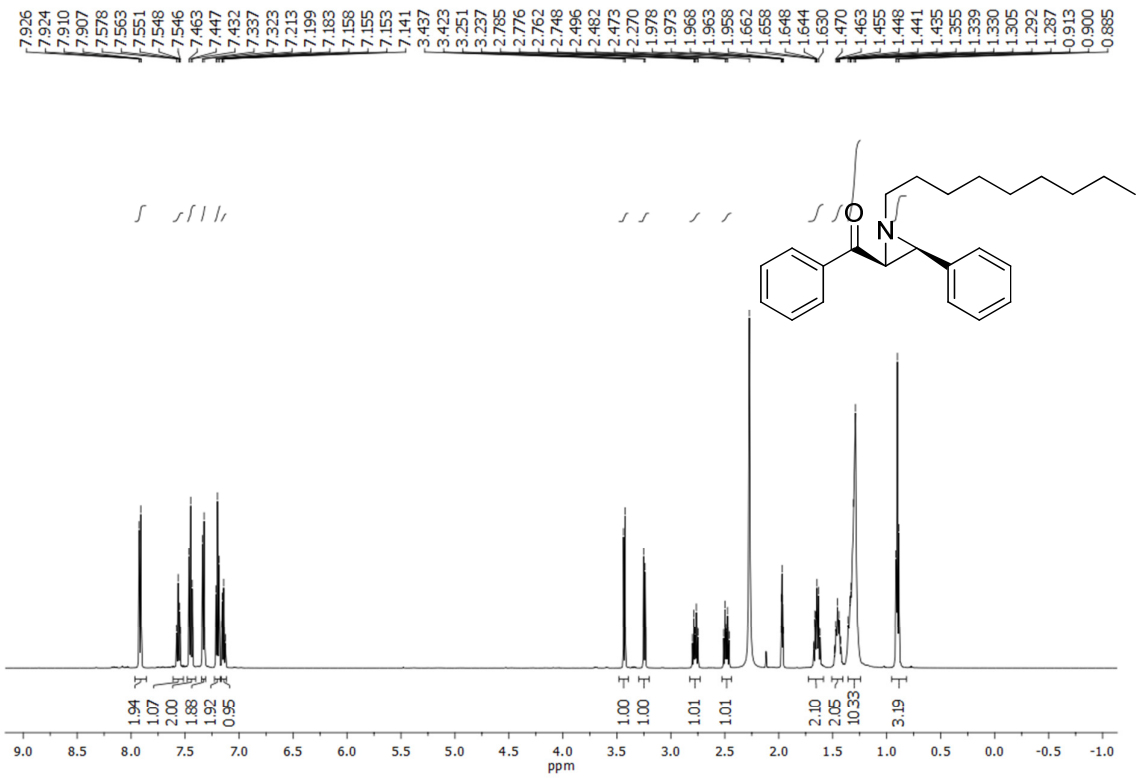


Fig S109. ^{1}H NMR of 7j (cis isomer)

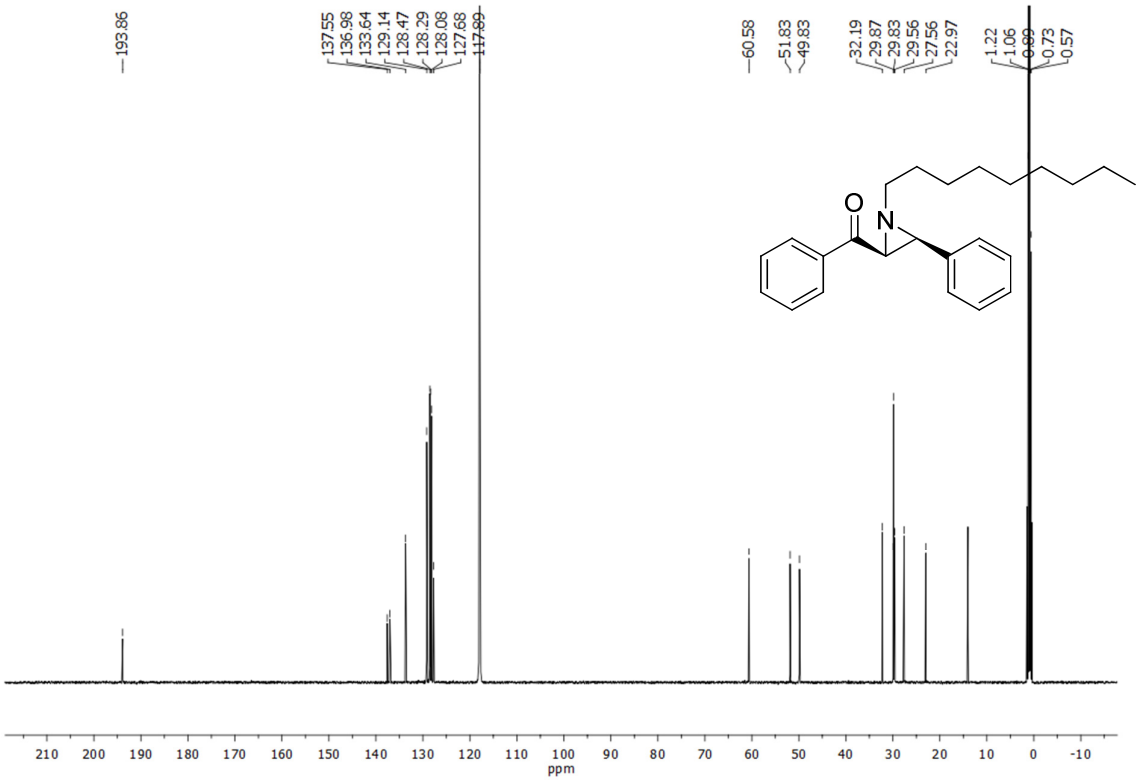


Fig S110. ^{13}C NMR of 7j (cis isomer)

^{19}F NMR

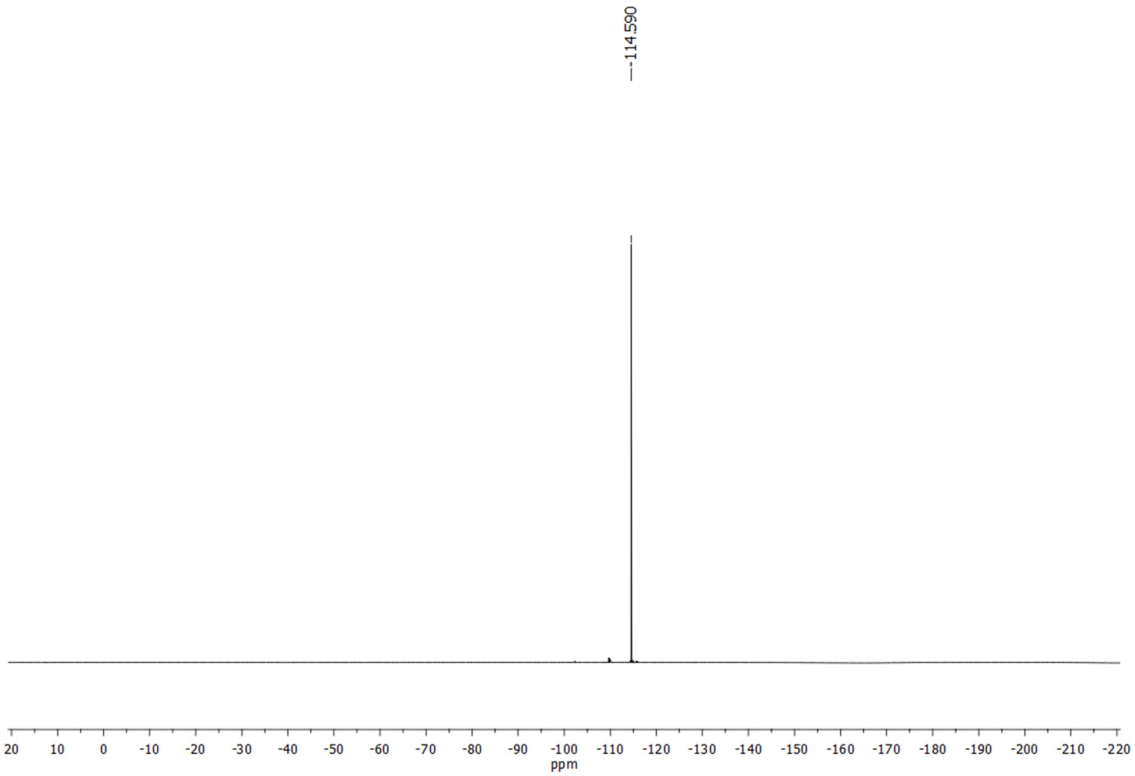


Fig. S111 ^{19}F NMR of 3d

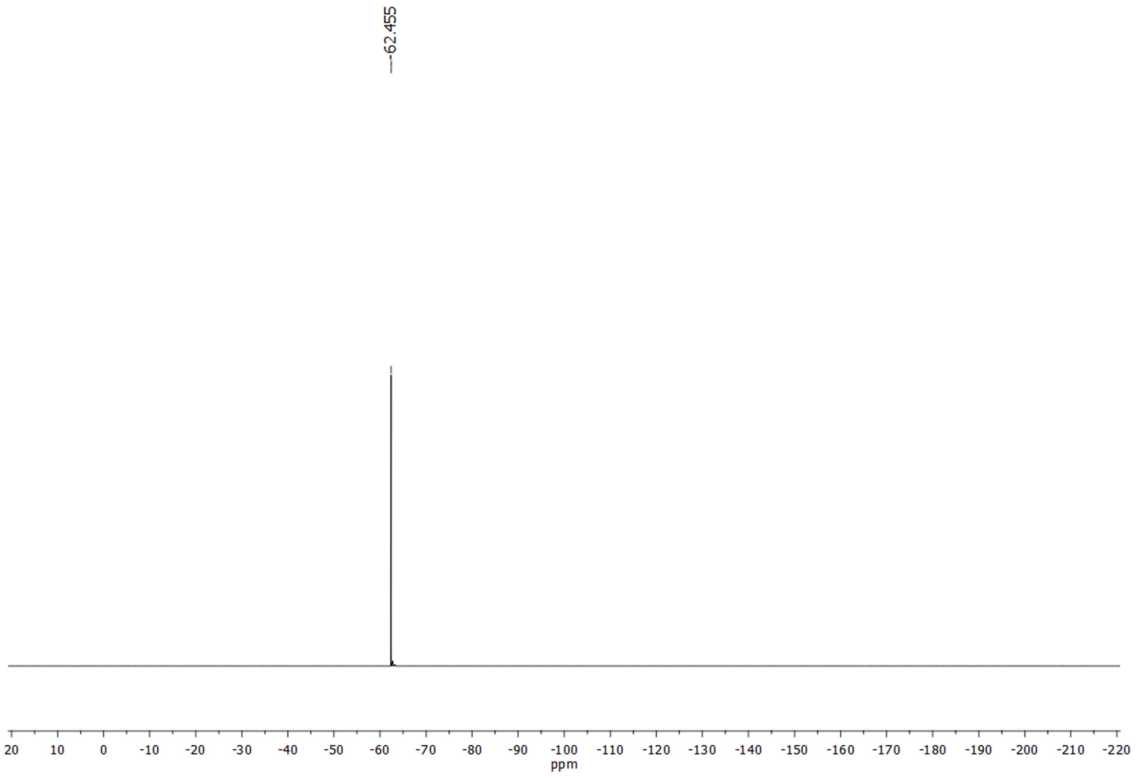


Fig. S112^{19}F NMR of 3i

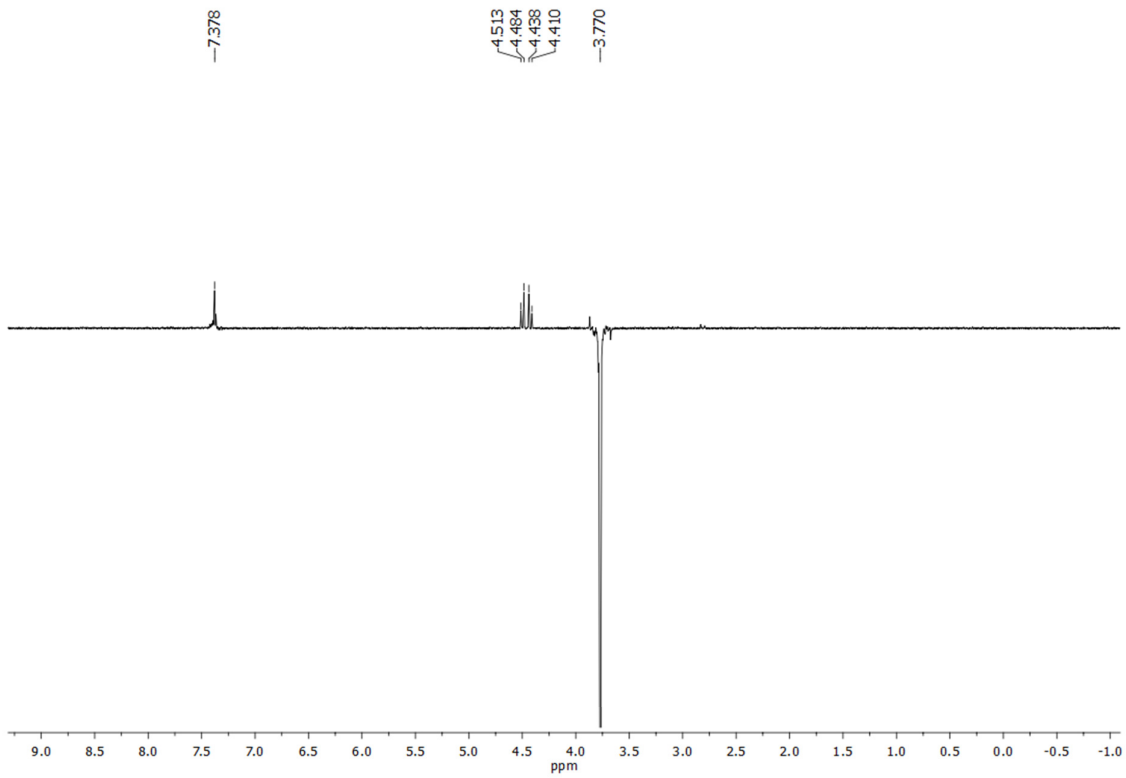


Fig S113. NOE difference spectrum of 3a (obtained from (*Z*)-arylidinone)

The *trans* configuration of the product **3a** was confirmed by NOE difference. When the peak at 3.76 ppm, corresponding to aziridine ring proton, was irradiated an enhancement of the peaks (**δ** 4.40 and 4.42) corresponding to the benzylic protons of benzylamine part was observed. And no enhancement of the peaks corresponding to benzylic protons of the indanone was noticed. This indicates that the benzylic protons of indanone ring and the proton of the aziridine ring are in the opposite phase. Hence keto group and the phenyl ring of the aziridine are in the opposite phase. Thus the molecule has a *trans* configuration.

ORTEP Drawing

CCDC 1949823

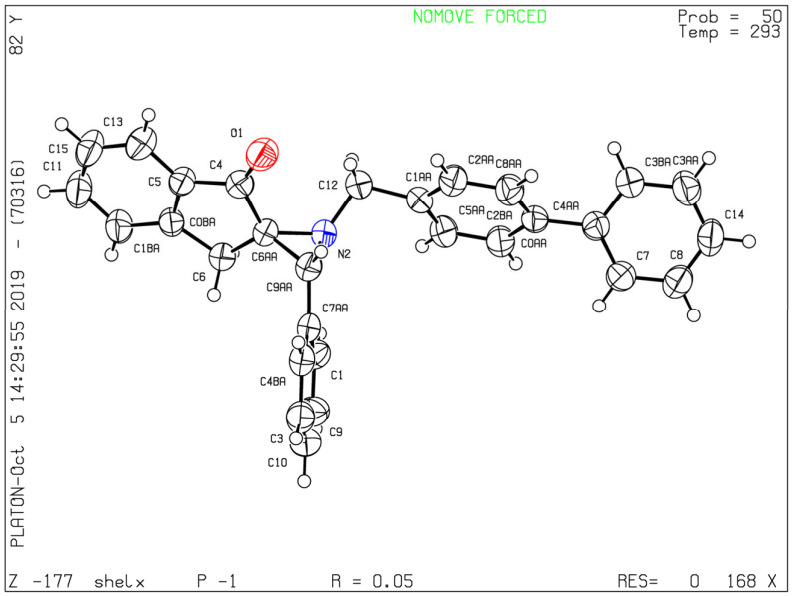


Fig S114. ORTEP drawing of compound 3r

CCDC 1949821

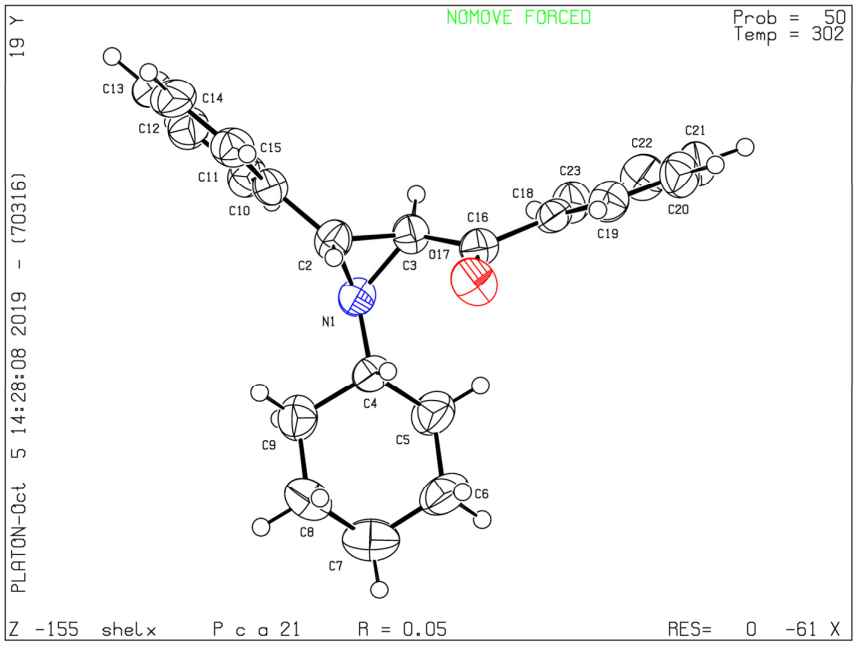


Fig S115. ORTEP drawing of compound 7h