Iron-catalyzed direct α-arylation of α-amino carbonyl compounds with indoles

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Supporting Information

Table of Contents

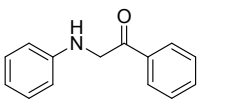
1. General Information

Commercially available materials were used as purchased. Proton nuclear magnetic resonance (^{1}H NMR) spectra were recorded on Bruker AV400 (400MHz) spectrometers. Chemical shifts were recorded in parts per million (ppm, δ) relative to tetramethylsilane (δ 0.00) or chloroform (δ = 7.26, singlet). ^{1}H NMR splitting patterns are designated as singlet (s), doublet (d), triplet (t), quartet (q), dd (doublet of doublets), m (multiplets), and etc. All first-order splitting patterns were assigned on the basis of the appearance of the multiplet. Splitting patterns that could not be easily interpreted are designated as multiplet (m) or broad (br). Carbon nuclear magnetic resonance (^{13}C NMR) spectra were recorded on a Bruker AV 400 (400MHz) spectrometers. Reactions were checked for completion by TLC (silica gel 60 F254).

2. General Procedure

2.1 Synthesis of substrates **2**

The substrates **2a**-**2k** were synthesized according to literature procedures[S1, S2, S3].



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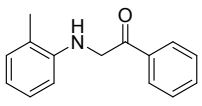

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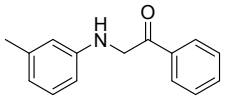

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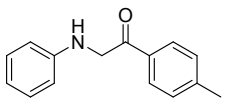

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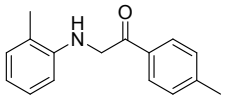

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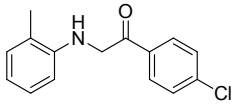

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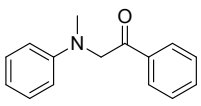

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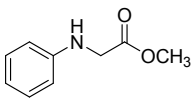

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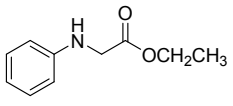

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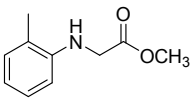

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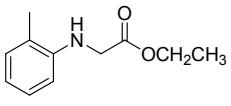


2k

Aniline (6.5 mL, 70 mmol) and bromoacetophenone (6.7 g, 35 mmol) were combined in MeCN (70 mL) and allowed to stand at r.t. for 24 h. Solid aniline-HBr was filtered off and the filtrate was concentrated under vacuum. The residue was dissolved in EtOAc (100 mL) and extracted sequentially with H_2O (50 mL), 5% citric acid (50 mL), and brine (25 mL). The organic layer was dried (Na_2SO_4), filtered through a pad of silica gel, and the solvent was evaporated to yield the product **2a**.

Substrates **2b**-**2k** were also synthesized according to the above method.

2.2 Optimization of the reaction condition

To a 10 mL vial equipped with a small magnetic stir bar was added 1*H*-indole (**1a**) (0.5 mmol), 1-phenyl-2-(phenylamino)ethanone (**2a**) (0.5 mmol), iron catalysis as indicated, solvent (3mL) and oxidant (1 equiv) successively. The mixture was stirred for 10h-12h at room temperature or 40 ^oC, 60^oC under air atmosphere. After the reaction was completed as indicated via TLC analysis, the reaction mixture was poured into water and extracted with EtOAc. The organic layer was separated and the solvent was evaporated under vacuum. The residue was purified via column chromatography over silica gel eluting with EtOAc/PE to give the desired coupling product **3a**.

2.3 α-Arylation of amino carbonyl compounds via $Fe(ClO_4)_3$ catalysis

To a 10 mL vial equipped with a small magnetic stir bar was added indole (**1**) (0.5 mmol), α-amino carbonyl compound (**2**) (0.5 mmol), $Fe(ClO_4)_3$ (10 mmol%), CH_3CN (3mL) and TBHP (1 equiv) successively. The mixture was stirred for 10h-12h at room temperature under air atmosphere. After the reaction was completed as indicated via TLC analysis, the reaction mixture was poured into water and extracted with EtOAc. The organic layer was separated and the solvent was evaporated under vacuum. The residue was purified via column chromatography over silica gel eluting with EtOAc/PE to give the desired coupling product **3**.

2.4 Mechanistic experiments

(1) Control experiment 1

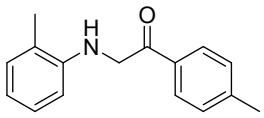
To a 10 mL vial equipped with a small magnetic stir bar was added 1*H*-indole (**1a**) (0.5 mmol), 1-phenyl-2-(phenylamino)ethanone (**2a**) (0.5 mmol), 2,2,6,6-tetramethylpiperidinooxy (TEMPO) (2 equiv), $Fe(ClO_4)_3$ (10 mmol%), CH_3CN (3mL) and TBHP (1 equiv) successively. The mixture was stirred for 10h at room temperature under air atmosphere. The reaction mixture was poured into water and extracted with EtOAc. The organic layer was separated and the solvent was evaporated under vacuum. The residue was purified via column chromatography over silica gel eluting with EtOAc/PE to give the desired coupling product **3a**.

(2) Control experiment 2

To a 10 mL vial equipped with a small magnetic stir bar was added 1*H*-indole (**1a**) (0.5 mmol), 2-(methyl(phenyl)amino)-1-phenylethanone (**2g**) (0.5 mmol), $Fe(ClO_4)_3$ (10 mmol%), CH_3CN (3mL) and TBHP (1 equiv) successively. The mixture was stirred for 10h at room temperature under air atmosphere. The reaction mixture was poured into water and extracted with EtOAc. The organic layer was separated and the solvent was evaporated under vacuum. The residue was purified via column chromatography over silica gel eluting with EtOAc/PE.

(3) Control experiment 3

To a 10 mL vial equipped with a small magnetic stir bar was added 1-(*p*-tolyl)-2-(*o*-tolylamino) ethanone (**2e**) (0.5 mmol), $Fe(ClO_4)_3$ (10 mmol%), CH_3CN (3mL) and TBHP (1 equiv) successively. The mixture was stirred at room temperature under air atmosphere. The in situ LC-MS analysis of the resulting mixture was conducted 6 hours later with gradient elution.



2e

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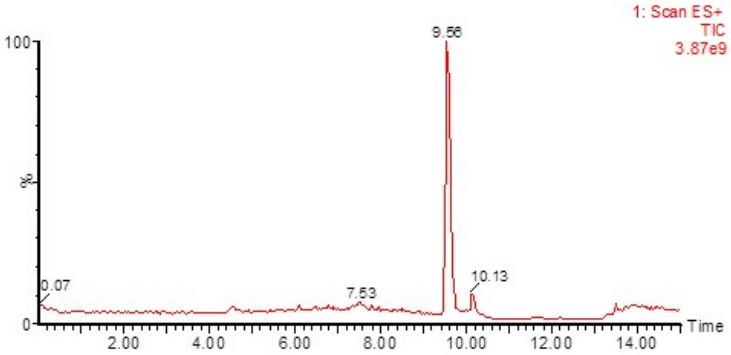
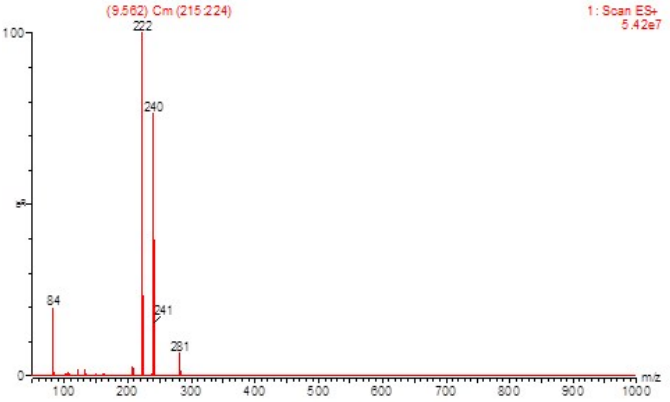
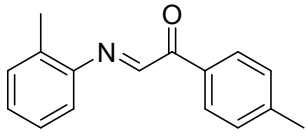




6 hours later



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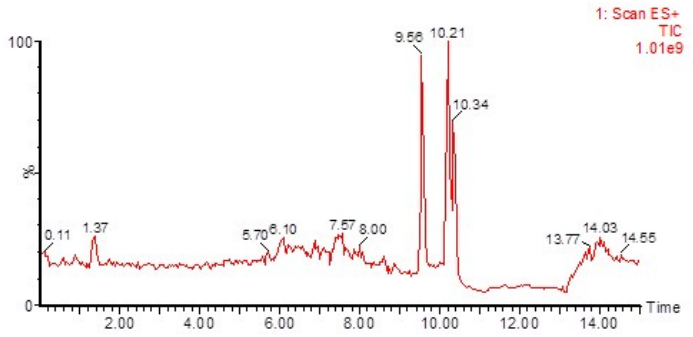
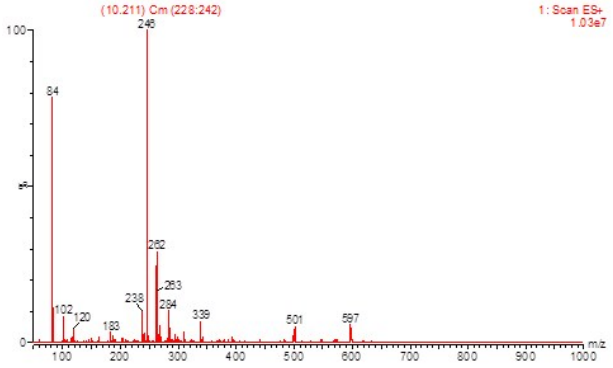


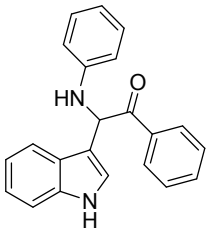


(4) Control experiment 4

1-(*p*-tolyl)-2-(*o*-tolylimino)ethanone (**4a**) was synthesized according to literature procedures [S4].

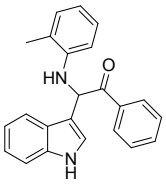
To a 10 mL vial equipped with a small magnetic stir bar was added 1*H*-indole (**1a**) (0.5 mmol), 1-(*p*-tolyl)-2-(*o*-tolylimino)ethanone (**4a**) (0.5 mmol), CH_3CN (3mL) successively. The mixture was stirred for 10h at room temperature under air atmosphere. The reaction mixture was poured into water and extracted with EtOAc. The organic layer was separated and the solvent was evaporated under vacuum. The residue was purified via column chromatography over silica gel eluting with EtOAc/PE to give the desired coupling product **3a**.

3. Characterizations of products



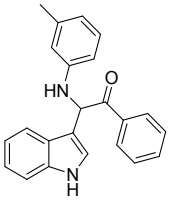
2-(1*H*-indol-3-yl)-1-phenyl-2-(phenylamino)ethanone [S5] **(3a)**

Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 2:1) and the title compound was obtained in 62% yield (101 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 10.94 (s, 1H, NH), 8.09 (d, 2H, *J* = 4Hz, ArH), 7.58-7.56 (m, 2H, ArH), 7.50-7.46 (m, 4H, ArH), 7.36-7.34 (m, 1H, ArH), 7.09-7.06 (m, 4H, ArH), 6.97-6.95 (m, 1H, ArH), 6.51-6.49 (m, 2H, ArH), 6.28 (s, 1H, CH), 5.04 (s, 1H, NH); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 199.0, 147.8, 137.2, 136.7, 133.3, 130.0, 129.1. 129.0, 126.9, 126.8, 124.4, 121.6, 119.2, 119.0, 114.5, 114.3, 113.2, 112.0, 49.2; ESI-HRMS: calcd. for $C_{22}H_{18}N_2O$+H 327.1419, found 327. 1420.



2-(1*H*-indol-3-yl)-1-phenyl-2-(*o*-tolylamino)ethanone [S5] **(3b)**

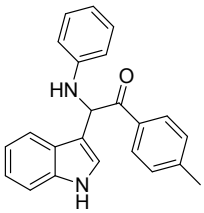
Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 2:1) and the title compound was obtained in 70% yield (119 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 10.94 (s, 1H, NH), 8.13-8.11 (m, 1H, ArH), 7.58-7.56 (m, 2H, ArH), 7.50-7.47 (m, 3H, ArH), 7.38-7.36 (m, 1H, ArH), 7.10-7.07 (m, 2H, ArH), 7.00-6.96 (m, 3H, ArH), 6.56-6.54 (m, 1H, ArH), 6.28 (s, 1H, CH), 4.75 (s, 1H, NH), 2.02 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 199.2, 145.7, 137.3, 136.7, 133.3, 131.0, 129.1, 129.0, 127.6, 127.0, 124.4, 121.6, 121.4, 119.2, 119.0, 114.6, 114.3, 112.0, 49.3, 18.1; ESI-HRMS: calcd. for $C_{23}H_{20}N_2O$+H 341.1576, found 341.1572.



2-(1*H*-indol-3-yl)-1-phenyl-2-(*m*-tolylamino)ethanone [S5] **(3c)**

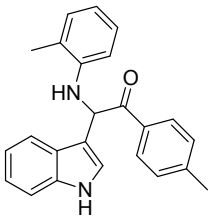
Following the general procedure for 11h. The product was purified on silica gel (PE/EA = 2:1)

and the title compound was obtained in 83% yield (141 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 10.99 (s, 1H, NH), 8.04-8.02 (m, 2H, ArH), 7.49-7.37 (m, 6H, ArH), 7.10-7.09 (m, 1H, ArH), 6.96-6.93 (m, 2H, ArH), 6.67-6.64 (m, 1H, ArH), 6.46-6.45 (m, 1H, ArH), 6.38-6.35 (m, 1H, ArH), 6.32 (s, 1H, CH), 6.30-6.27 (m, 2H, ArH), 4.88 (s, 1H, NH), 2.20 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 199.3, 147.6, 137.4, 137.0, 136.4, 133.2, 129.6, 129.2, 128.7, 127.1, 125.7, 125.3, 121.6, 119.3, 119.1, 116.6, 112.9, 112.0, 111.9, 47.0, 20.2; ESI-HRMS: calcd. for $C_{23}H_{20}N_2O$+H 341.1576, found 341.1570.



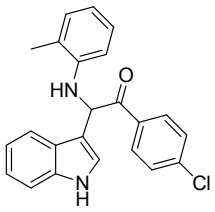
2-(1*H*-indol-3-yl)-2-(phenylamino)-1-(*p*-tolyl)ethanone [S5] **(3d)**

Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 2:1) and the title compound was obtained in 43% yield (73 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) (ppm) 10.91 (s, 1H, NH), 8.01-7.99 (m, 2H, ArH), 7.47-7.45 (m, 1H, ArH), 7.35-7.27 (m, 3H, ArH), 7.10-7.04 (m, 4H, ArH), 6.96-6.92 (m, 2H, ArH), 6.49-6.47 (m, 2H, ArH), 6.23 (s, 1H, CH), 4.95 (s, 1H, NH), 2.33 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) (ppm) 198.6, 147.7, 143.7, 136.7, 134.7, 130.0, 129.7, 129.1, 126.9, 124.4, 121.6, 119.2, 118.9, 114.6, 114.3, 111.9, 49.1, 21.5; ESI-HRMS: calcd. for $C_{23}H_{20}N_2O$+H 341.1576, found 341.1572.



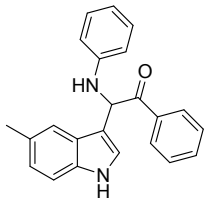
2-(1*H*-indol-3-yl)-1-(*p*-tolyl)-2-(*o*-tolylamino)ethanone (3e)

Following the general procedure for 12h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 41% yield (73 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 10.90 (s, 1H, NH), 8.01-7.99 (m, 2H, ArH), 7.47-7.27 (m, 5H, ArH), 7.06-6.94 (m, 5H, ArH), 6.53-6.51 (m, 1H, ArH), 6.22 (s, 1H, CH), 4.73 (s, 1H, NH), 2.34 (s, 3H, CH_3), 2.00 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 198.5, 145.7, 143.6, 136.7, 134.7, 130.9, 129.7, 129.1, 127.5, 127.0, 124.4, 121.4, 121.3, 119.2, 118.9, 114.7, 114.3, 111.9, 49.1, 18.0, 14.6; ESI-HRMS: calcd. for $C_{24}H_{22}N_2O$+H 355.1732, found 355.1735.



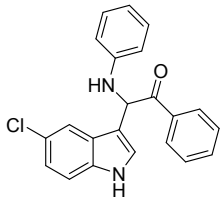
1-(4-chlorophenyl)-2-(1*H*-indol-3-yl)-2-(*o*-tolylamino)ethanone (3f)

Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 35% yield (65 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 10.93 (s, 1H, NH), 8.11-8.08 (m, 2H, ArH), 7.55-7.53 (m, 2H, ArH), 7.47-7.45 (m, 1H, ArH), 7.35-7.33 (m, 1H, ArH), 7.06-7.03 (m, 3H, ArH), 6.95-6.93 (m, 3H, ArH), 6.53-6.51 (m, 1H, ArH), 6.23 (s, 1H, CH), 4.79 (s, 1H, NH), 2.00 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 198.0, 145.7, 138.2, 136.7, 135.9, 132.2, 130.9, 130.0, 129.2, 127.5, 126.9, 124.5, 121.6, 121.5, 119.2, 119.0, 114.9, 114.4, 114.3, 112.0, 49.4, 18.0; ESI-HRMS: calcd. for $C_{23}H_{19}ClN_2O$+H 375.1186, found 375.1190.



2-(5-methyl-1*H*-indol-3-yl)-1-phenyl-2-(phenylamino)ethanone (3g)

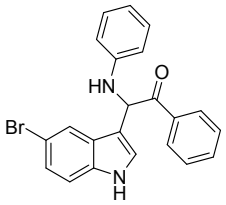
Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 32% yield (54 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 10.80 (s, 1H, NH), 8.09 (d, 2H, *J* = 8 Hz, ArH), 7.59-7.56 (m, 1H, ArH), 7.50-7.46 (m, 3H, ArH), 7.27-7.22 (m, 2H, ArH), 7.05-6.89 (m, 4H, ArH), 6.49 (d, 2H, *J* = 8 Hz, ArH), 6.23 (s, 1H, CH), 4.98 (s, 1H, NH), 2.34 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 199.0, 147.7, 137.2, 135.1, 133.3, 130.0, 129.1, 129.0, 127.4, 127.1, 126.9, 124.5, 123.2, 118.6, 114.3, 113.8, 111.7, 49.2, 29.5; ESI-HRMS: calcd. for $C_{23}H_{20}N_2O$+H 341.1576, found 341.1575.



2-(5-chloro-1*H*-indol-3-yl)-1-phenyl-2-(phenylamino)ethanone (3h)

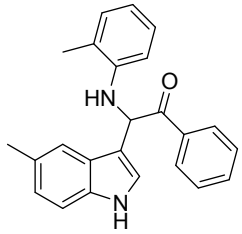
Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 48% yield (86 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 11.15 (s, 1H, NH), 8.12 (d, 2H, *J* = 8Hz, ArH), 7.59-7.47 (m, 5H, ArH), 7.38-7.36 (m, 1H, ArH), 7.19-7.18 (m, 1H, ArH), 7.08-7.04 (m, 3H, ArH), 6.49 (d, 2H, *J* = 8

Hz, ArH), 6.31 (s, 1H, CH), 5.02 (s, 1H, CH); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 198.9, 147.8, 137.0, 135.1, 133.4, 129.9, 129.1, 128.1, 126.6, 126.3, 123.7, 121.5, 118.5, 114.4, 114.3, 113.5, 48.9; ESI-HRMS: calcd. for $C_{22}H_{17}ClN_2O$+H 361.1029, found 361.1030.



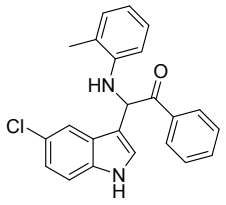
2-(5-bromo-1*H*-indol-3-yl)-1-phenyl-2-(phenylamino)ethanone (3i)

Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 2:1) and the title compound was obtained in 47% yield (95 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) (ppm) 11.7 (s, 1H, NH), 8.13-8.11 (m, 2H, ArH), 7.69-7.68 (m, 1H, ArH), 7.62-7.59 (m, 1H, ArH), 7.51-7.49 (m, 1H, ArH), 7.34-7.32 (m, 3H, ArH), 7.19-7.16 (m, 2H, ArH), 7.06-7.04 (m, 2H, ArH), 6.51-6.48 (m, 2H, ArH), 6.32 (s, 1H, CH), 4.99 (s, 1H, NH); ^{13}C NMR (100 MHz, $CDCl_3$) (ppm) 198.9, 147.9, 137.0, 135.4, 133.4, 130.0, 129.1, 128.8, 126.6, 126.1, 124.0, 121.6, 114.3, 114.2, 114.0, 111.7, 48.8; ESI-HRMS: calcd. for $C_{22}H_{17}BrN_2O$+H 405.0524, found 405.0528.



2-(5-methyl-1*H*-indol-3-yl)-1-phenyl-2-(*o*-tolylamino)ethanone (3j)

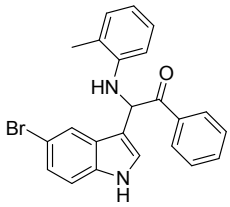
Following the general procedure for 12h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 41% yield (73 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 10.79 (s, 1H, NH), 8.10-8.07 (m, 2H, ArH), 7.58-7.46 (m, 4H, ArH), 7.26-7.22 (m, 2H, ArH), 6.97-6.91 (m, 4H, ArH), 6.54-6.52 (m, 1H, ArH), 6.21 (s, 1H, CH), 4.73 (s, 1H, NH), 2.34 (s, 3H, CH_3), 2.00 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 199.0, 145.7, 137.3, 135.1, 133.3, 130.9, 129.1, 129.0, 127.5, 127.3, 127.2, 127.1, 124.5, 123.2, 121.3, 118.6, 114.3, 113.9, 111.7, 49.2, 21.8, 18.0; ESI-HRMS: calcd. for $C_{24}H_{22}N_2O$+H 355.1732, found 355.1728.



2-(5-chloro-1*H*-indol-3-yl)-1-phenyl-2-(*o*-tolylamino)ethanone (3k)

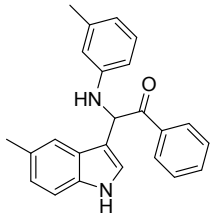
Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1)

and the title compound was obtained in 62% yield (116 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 11.15 (s, 1H, NH), 8.14-8.13 (m, 2H, ArH), 7.58-7.37 (m, 6H, ArH), 7.19-6.97 (m, 4H, ArH), 6.55-6.54 (m, 1H, ArH), 6.30 (s, 1H, CH), 4.76 (s, 1H, NH), 2.01 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 198.9, 145.8, 137.1, 135.2, 133.4, 130.8, 129.1, 128.1, 127.5, 126.9, 126.3, 123.6, 121.5, 118.5, 114.5, 114.4, 113.5, 48.9, 18.1; ESI-HRMS: calcd. for $C_{23}H_{19}ClN_2O$+H 375.1186, found 375.1185.



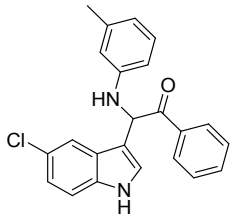
2-(5-bromo-1*H*-indol-3-yl)-1-phenyl-2-(*o*-tolylamino)ethanone (3l)

Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 64% yield (134 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 11.16 (s, 1H, NH), 8.14-8.13 (m, 2H, ArH), 7.70 (s, 1H, ArH), 7.58-7.47 (m, 5H, ArH), 7.35-7.33 (m, 2H, ArH), 7.20-7.17 (m, 2H, ArH), 6.56-6.54 (m, 1H, ArH), 6.31 (s, 1H, CH), 4.76 (s, 1H, NH), 2.01 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 198.9, 145.8, 137.1, 135.4, 133.4, 130.8, 129.1, 128.8, 127.5, 126.9, 126.2, 124.0, 121.6, 121.5, 114.4, 114.3, 114.0, 111.7, 48.9, 18.1; ESI-HRMS: calcd. for $C_{23}H_{10}BrN_2O$+H 419.0681, found 419.0680.



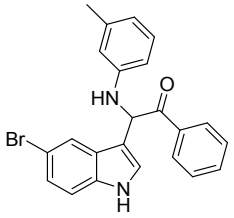
2-(5-methyl-1*H*-indol-3-yl)-1-phenyl-2-(*m*-tolylamino)ethanone (3m)

Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 61% yield (108 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 10.81(s, 1H, NH), 8.05-8.02 (m, 2H, ArH), 7.58-7.56 (m, 1H, ArH), 7.51-7.47 (m, 3H, ArH), 7.29-7.25 (m, 2H, ArH), 6.95-6.94 (m, 1H, ArH), 6.87-6.86 (m, 1H, ArH), 6.64-6.61 (m, 1H, ArH), 6.47-6.46 (m, 1H, ArH), 6.32 (s, 1H, CH), 6.30-6.28 (m, 1H, ArH), 2.36 (s, 3H, CH_3), 2.20 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 199.3, 147.6, 137.4, 136.3, 135.4, 133.2, 129.6, 129.2, 128.7, 127.5, 127.3, 125.8, 125.4, 123.3, 118.7, 116.5, 112.2, 111.8, 111.7, 47.0, 21.8, 20.2; ESI-HRMS: calcd. for $C_{24}H_{22}N_2O$+H 355.1732, found 355.1730.



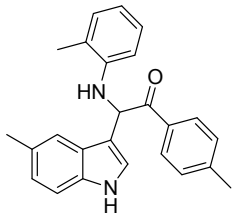
2-(5-chloro-1*H*-indol-3-yl)-1-phenyl-2-(*m*-tolylamino)ethanone (3n)

Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 56% yield (105 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 11.20 (s, 1H, NH), 8.06-8.04 (m, 2H, ArH), 7.57-7.50 (m, 1H, ArH), 7.48-7.46 (m, 4H, ArH), 7.42-7.40 (m, 1H, ArH), 7.11-7.10 (m, 1H, ArH), 7.02-7.01 (m, 1H, ArH), 6.62-6.60 (m, 1H, ArH), 6.48-6.47 (m, 1H, ArH), 6.36 (s, 1H, CH), 6.32-6.29 (m, 1H, ArH), 2.21 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 199.3, 147.6, 137.2, 136.5, 135.5, 133.3, 129.4, 129.2, 128.9, 128.3, 127.2, 125.5, 123.7, 121.5, 118.8, 116.7, 113.6, 112.8, 112.0, 47.0, 20.2; ESI-HRMS: calcd. for $C_{23}H_{19}ClN_2O$+H 375.1186, found 375.1182.



2-(5-bromo-1*H*-indol-3-yl)-1-phenyl-2-(*m*-tolylamino)ethanone (3o)

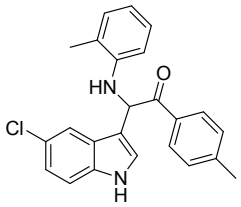
Following the general procedure for 12h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 57% yield (119 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 11.20 (s, 1H, NH), 8.04-8.03 (m, 2H, ArH), 7.63 (s, 1H, ArH), 7.57-7.55 (m ,4H, ArH), 7.49-7.46 (m, 1H, ArH), 7.36-7.34 (m, 1H, ArH), 6.97 (s, 1H, ArH), 6.59-6.57 (m, 1H, ArH), 6.45 (s, 1H, ArH), 6.34 (s, 1H, CH), 6.29-6.28 (m, 1H, ArH), 4.93 (s, 1H, NH), 2.19 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 199.3, 147.8, 137.2, 136.5, 133.3, 129.4, 129.2, 129.0, 128.9, 127.0, 125.4, 124.0, 121.8, 116.7, 114.1, 112.8, 111.9, 111.7, 47.0, 20.2; ESI-HRMS: calcd. for $C_{23}H_{19}BrN_2O$+H 419.0681, found 419.0680.



2-(5-methyl-1*H*-indol-3-yl)-1-(*p*-tolyl)-2-(*o*-tolylamino)ethanone (3p)

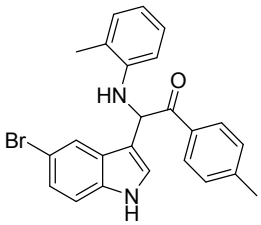
Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 46% yield (85 mg) as yellow oil. ^{1}H NMR (400 MHz,

$CDCl_3$) δ (ppm) 10.77 (s, 1H, NH), 8.00-7.98 (m, 2H, ArH), 7.29-7.22 (m, 5H, ArH), 6.96-6.90 (m, 4H, ArH), 6.53-6.51 (m, 1H, ArH), 6.17 (s, 1H, CH), 4.76 (s, 1H, NH), 2.33 (s, 6H, $2CH_3$), 2.00 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 198.5, 145.6, 143.6, 135.1, 134.7, 130.9, 130.0, 129.1, 127.5, 127.3, 127.2, 124.5, 123.2, 121.3, 118.6, 114.3, 114.0, 111.6, 49.1, 21.8, 21.5, 18.0; ESI-HRMS: calcd. for $C_{25}H_{24}N_2O$+H 369.1889, found 369.1886.



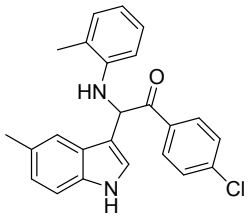
2-(5-chloro-1*H*-indol-3-yl)-1-(*p*-tolyl)-2-(*o*-tolylamino)ethanone (3q)

Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 82% yield (159 mg) as yellow oil. ^1H NMR (400 MHz, $CDCl_3$) δ (ppm) 11.14 (s, 1H, NH), 8.05-8.03 (m, 2H, ArH), 7.55-7.54 (m, 2H, ArH), 7.39-7.28 (m, 4H, ArH), 7.19-7.18 (m, 1H, ArH), 7.09-6.97 (m, 3H, ArH), 6.55-6.53 (m, 1H, ArH), 6.27 (s, 1H, CH), 4.79 (s, 1H, NH), 2.33 (s, 3H, CH_3), 2.01 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 198.4, 145.7, 143.8, 135.2, 134.5, 130.8, 129.7, 129.3, 128.2, 127.4, 127.1, 126.3, 123.6, 121.5, 118.5, 114.6, 114.4, 113.4, 48.8, 21.5, 18.1; ESI-HRMS: calcd. for $C_{24}H_{21}ClN_2O$+H 389.1342, found 389.1340.



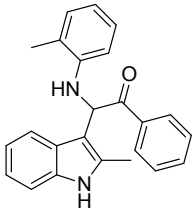
2-(5-bromo-1*H*-indol-3-yl)-1-(*p*-tolyl)-2-(*o*-tolylamino)ethanone (3r)

Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 69% yield (149 mg) as yellow oil. ^1H NMR (400 MHz, $CDCl_3$) δ (ppm) 11.15 (s, 1H, NH), 8.05-8.03 (m, 2H, ArH), 7.68 (s, 1H, ArH), 7.34-7.16 (m, 6H, ArH), 6.95-6.93 (m, 2H, ArH), 6.54-6.52 (m, 1H, ArH), 6.26 (s, 1H, CH), 4.81 (s, 1H, CH), 2.34 (s, 3H, CH_3), 2.00 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 198.4, 145.7, 143.8, 135.4, 134.5, 130.8, 129.7, 129.3, 128.9, 127.4, 127.0, 124.0, 121.6, 121.5, 114.5, 114.4, 114.0, 111.6, 48.7, 21.56, 18.1; ESI-HRMS: calcd. for $C_{24}H_{21}BrN_2O$+H 433.0837, found 433.0835.



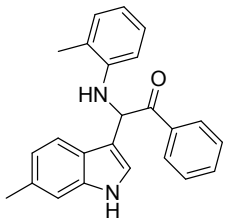
1-(4-chlorophenyl)-2-(5-methyl-1*H*-indol-3-yl)-2-(*o*-tolylamino)ethanone (3s)

Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 35% yield (68 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 10.80 (s, 1H, NH), 8.09-8.07 (m, 2H, ArH), 7.55-7.53 (m, 2H, ArH), 7.25-7.22 (m, 3H, ArH), 6.96-6.91 (m, 3H, ArH), 6.53-6.51 (m, 1H, ArH), 6.26 (s, 1H, CH), 4.95 (s, 1H, NH), 2.33 (s, 3H, CH_3), 2.00 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 198.0, 145.7, 138.2, 135.9, 135.2, 130.9, 129.2, 127.5, 127.4, 127.1, 126.9, 124.6, 123.3, 121.4, 118.6, 114.4, 113.6, 111.7, 49.4, 21.8, 18.0; ESI-HRMS: calcd. for $C_{24}H_{21}ClN_2O$+H 389.1342, found 389.1338.



2-(2-methyl-1*H*-indol-3-yl)-1-phenyl-2-(*o*-tolylamino)ethanone (3t)

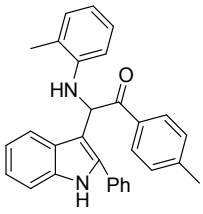
Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 58% yield (103 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) ;10.88 (s ,1H, NH), 7.96-7.94 (m, 2H, ArH), 7.50-7.36 (m, 5H, ArH), 7.20-7.18 (m, 1H, ArH), 6.94-6.80 (m, 4H, ArH), 6.49-6.47 (m, 1H, ArH), 6.14 (s, 1H, CH), 4.67 (s, 1H, NH), 2.29 (s, 3H, CH_3), 1.97 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 199.7, 145.3, 144.9, 137.7, 133.4, 131.2, 129.0, 128.6, 128.1, 127.7, 127.5, 121.0, 120.5, 119.0, 118.7, 117.2, 114.0, 112.9, 111.0, 110.6, 108.7, 49.6, 21.2, 18.1; ESI-HRMS: calcd. for $C_{24}H_{22}N_2O$+H 355.1732, found 355.1730.



2-(6-methyl-1*H*-indol-3-yl)-1-phenyl-2-(*o*-tolylamino)ethanone (3u)

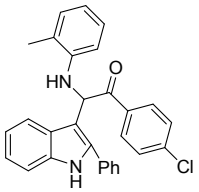
Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 36% yield (64 mg) as yellow oil. ^{1}H NMR (400 MHz,

$CDCl_3$) δ (ppm) 10.75 (s, 1H, NH), 8.08-8.07 (m, 2H, ArH), 7.57-7.55 (m, 1H, ArH), 7.49-7.45 (m, 3H, ArH), 7.35-7.33 (m, 1H, ArH), 7.12 (s, 1H, ArH), 6.94-6.93 (m, 3H, ArH), 6.79-6.77 (m, 1H, ArH), 6.52-6.50 (m, 1H, ArH), 6.20 (s, 1H, CH), 4.71 (s, 1H, NH), 2.35 (s, 3H, CH_3), 1.99 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 198.4, 137.2, 137.1, 133.2, 130.5, 129.1, 129.0, 125.0, 124.9, 124.2, 120.7, 119.2, 113.3, 111.7, 42.3, 21.8, 18.3; ESI-HRMS: calcd. for $C_{24}H_{22}N_2O$+H 355.1732, found 355.1730.



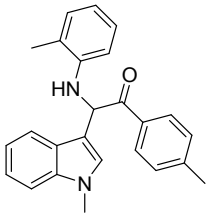
2-(2-phenyl-1*H*-indol-3-yl)-1-(*p*-tolyl)-2-(*o*-tolylamino)ethanone (3v)

Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 39% yield (84 mg) as yellow oil. ^1H NMR (400 MHz, $CDCl_3$) δ (ppm) 11.48 (s, 1H, NH), 7.57-7.43 (m, 7H, ArH), 7.36-7.28 (m, 3H, ArH), 7.05-7.03 (m, 3H, ArH), 6.93-6.74 (m, 3H, ArH), 6.55-6.53 (m, 1H, ArH), 6.06 (s, 1H, CH), 4.75 (s, 1H, NH), 2.22 (s, 3H, CH_3), 2.00 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 198.4, 145.5, 143.4, 136.7, 131.1, 129.4, 129.3, 128.7, 128.6, 128.5, 127.6, 121.8, 121.3, 120.7, 119.6, 114.3, 111.9, 109.2, 50.4, 21.4, 18.2; ESI-HRMS: calcd. for $C_{30}H_{26}N_2O$+H 431.2045, found 431.2050.



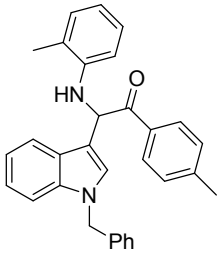
1-(4-chlorophenyl)-2-(2-phenyl-1*H*-indol-3-yl)-2-(*o*-tolylamino)ethanone (3w)

Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 56% yield (126 mg) as yellow oil. ^1H NMR (400 MHz, $CDCl_3$) δ (ppm) 11.50 (s, 1H, NH), 7.56-7.46 (m, 7H, ArH), 7.35-7.29 (m, 5H, ArH), 7.06-6.83 (m, 4H, ArH), 6.55-6.53 (m, 1H, ArH), 6.06 (s, 1H, CH), 2.00 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 197.8, 145.9, 138.4, 138.3, 135.7, 135.1, 131.0, 130.8, 129.2, 128.1, 127.4, 126.6, 126.3, 123.7, 121.5, 118.5, 114.4, 114.2, 113.5, 49.0, 18.0; ESI-HRMS: calcd. for $C_{29}H_{23}ClN_2O$+H 451.1499, found 451.1495.



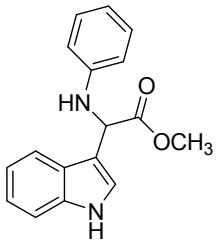
2-(1-methyl-1*H*-indol-3-yl)-1-(*p*-tolyl)-2-(*o*-tolylamino)ethanone (3x)

Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 34% yield (63 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 8.00-7.98 (m, 2H, ArH), 7.47-7.45 (m, 1H, ArH), 7.37-7.35 (m, 1H, ArH), 7.28-7.26 (m, 3H, ArH), 7.10-7.06 (m, 1H, ArH), 7.02 (s, 1H, ArH), 6.96-6.92 (m, 3H, ArH), 6.51-6.49 (m, 1H, ArH), 6.20 (s, 1H, CH), 4.71 (s, 1H, NH), 3.71 (s, 3H, CH_3), 2.33 (s, 3H, CH_3), 1.98 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 198.4, 145.7, 143.7, 137.1, 134.6, 130.9, 129.7, 129.2, 128.7, 127.5, 127.3, 121.7, 121.4, 119.4, 119.0, 114.4, 114.1, 110.1, 48.9, 32.8, 21.6, 18.1; ESI-HRMS: calcd. for $C_{25}H_{24}N_2O$+H 369.1889, found 369.1890.



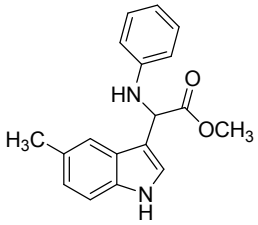
2-(1-benzyl-1*H*-indol-3-yl)-1-(*p*-tolyl)-2-(*o*-tolylamino)ethanone (3y)

Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 30% yield (67 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 8.02-8.00 (m, 2H, ArH), 7.50-7.48 (m, 1H, ArH), 7.38-7.36 (m, 2H, ArH), 7.32-7.22 (m, 4H, ArH), 7.11-7.05 (m, 4H, ArH), 6.97-6.95 (m, 3H, ArH), 6.53-6.51 (m, 1H, ArH), 6.25 (s, 1H, CH), 5.38 (s, 2H, CH_2), 4.75 (s, 1H, NH), 2.35 (s, 3H, CH_3), 1.99 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 198.5, 145.7, 144.1, 143.7, 124.1, 138.9, 136.5, 134.6, 130.9, 129.8, 129.7, 129.6, 129.2, 128.9, 128.3, 127.7, 127.5, 127.3, 126.9, 121.8, 121.4, 119.5, 119.2, 114.7, 114.3, 110.6, 49.4, 49.0, 21.6, 18.1; ESI-HRMS: calcd. for $C_{31}H_{28}N_2O$+H 445.2202, found 445.2206.



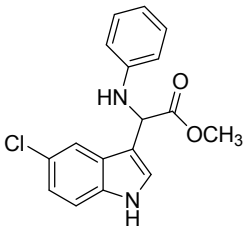
Methyl 2-(1H-indol-3-yl)-2-(phenylamino) acetate (3z)

Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 71% yield (99 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 10.98 (s, 1H, NH), 7.37-7.34 (m, 2H, ArH), 7.17-7.16 (m, 1H, ArH), 7.08-7.00 (m, 4H, ArH), 6.95-6.91 (m, 1H, ArH), 6.50-6.48 (m, 2H, ArH), 5.07 (s, 1H, CH), 5.00 (s, 1H, NH), 3.64 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 174.0, 148.1, 136.7, 129.6, 126.7, 126.4, 123.8, 121.6, 119.1, 119.0, 114.1, 113.5, 111.9, 52.2, 47.8; ESI-HRMS: calcd. for $C_{17}H_{16}N_2O_2$+H 281.1212, found 281.1213.



methyl 2-(5-methyl-1H-indol-3-yl)-2-(phenylamino)acetate (3aa)

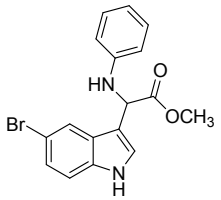
Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 67% yield (98 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 10.85 (s, 1H, NH), 7.24-7.22 (m, 1H, ArH), 7.18-7.10 (m, 2H, ArH), 7.02-7.00 (m, 3H, ArH), 6.91-6.88 (m, 1H, ArH), 6.50-6.48 (m, 2H, ArH), 5.02 (s, 1H, CH), 5.00 (s, 1H, NH), 3.63 (s, 3H, CH_3), 2.32 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 174.0, 148.0, 135.0, 129.2, 127.4, 127.0, 126.4, 123.9, 123.2, 118.5, 114.1, 112.9, 111.7, 52.2, 47.7, 21.8; ESI-HRMS: calcd. for $C_{18}H_{18}N_2O_2$+H 295.1368, found 295.1365



methyl 2-(5-chloro-1H-indol-3-yl)-2-(phenylamino)acetate (3bb)

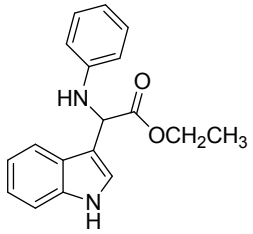
Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 63% yield (99 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 11.21 (s, 1H, NH), 7.43-7.29 (m, 4H, ArH), 7.08-7.00 (m, 3H, ArH), 6.51-

6.49 (m, 2H, ArH), 5.10 (s, 1H, CH), 5.02 (s, 1H, NH), 3.65 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 173.8, 148.1, 135.2, 129.2, 127.8, 126.2, 125.8, 123.6, 121.6, 118.5, 114.2, 113.5, 113.4, 52.3, 47.4; ESI-HRMS: calcd. for $C_{17}H_{15}ClN_2O_2$+H 315.0822, found 315.0823.



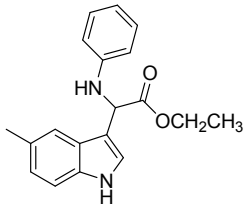
methyl 2-(5-bromo-1H-indol-3-yl)-2-(phenylamino)acetate (3cc)

Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 59% yield (106 mg) as yellow oil. ^1H NMR (400 MHz, $CDCl_3$) δ (ppm) 11.22 (s, 1H, NH), 7.53-7.52 (m, 1H, ArH), 7.35-7.16 (m, 4H, ArH), 7.02-7.00 (m, 2H, ArH), 6.51-6.49 (m, 2H, ArH), 5.10 (s, 1H, CH), 5.02 (s, 1H, NH), 3.65 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 173.8, 148.1, 135.4, 129.2, 128.5, 126.1, 125.6, 124.1, 121.2, 114.2, 114.0, 113.3, 111.7, 52.3, 47.4; ESI-HRMS: calcd. for $C_{17}H_{15}BrN_2O_2$+H 359.0317, found 359.0320.



ethyl 2-(1H-indol-3-yl)-2-(phenylamino)acetate (3dd)

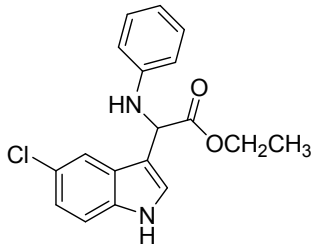
Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 68% yield (100 mg) as yellow oil. ^1H NMR (400 MHz, $CDCl_3$) δ (ppm) 11.01(s, 1H, NH), 7.43-7.28 (m, 2H, ArH), 7.20-7.19 (m, 1H, ArH), 7.10-6.96 (m, 5H, ArH), 6.54-6.52 (m, 2H, ArH), 5.07 (s, 1H, CH), 5.04 (s, 1H, NH), 4.19-4.11(m, 2H, CH_2), 1.23-1.20 (m, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 173.4, 148.0, 136.7, 129.2, 126.8, 126.5, 123.7, 121.6, 119.1, 119.0, 114.1, 113.6, 111.9, 60.7, 47.9, 14.6; ESI-HRMS: calcd. for $C_{18}H_{18}N_2O_2$+H 295.1368, found 295.1365.



ethyl 2-(5-methyl-1H-indol-3-yl)-2-(phenylamino)acetate (3ee)

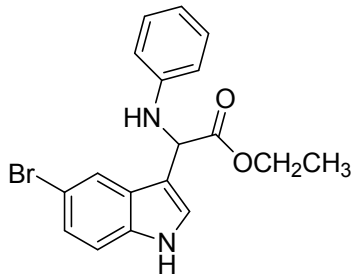
Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 75% yield (116 mg) as yellow oil. ^1H NMR (400

MHz, $CDCl_3$) δ (ppm) 10.84 (s, 1H, NH), 7.25-7.22 (m, 1H, ArH), 7.10-7.09 (m, 1H, ArH), 7.03-7.01 (m, 1H, ArH), 6.99-6.83 (m, 4H, ArH), 6.50-6.48 (m, 2H, ArH), 5.02 (s, 1H, CH), 4.99 (s, 1H, NH), 4.12-4.10 (m, 2H, CH_2), 2.32 (s, 3H, CH_3), 1.19-1.16 (m, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 173.5, 148.0, 135.0, 129.2, 127.3, 127.0, 126.5, 123.8, 123.2, 118.6, 114.1, 113.0, 112.4, 111.7, 60.7, 47.9, 21.8, 14.6; ESI-HRMS: calcd. for $C_{19}H_{20}N_2O_2$+H 309.1525, found 309.1528.



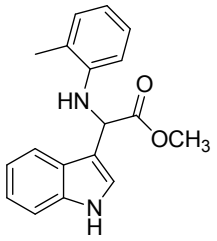
ethyl 2-(5-chloro-1H-indol-3-yl)-2-(phenylamino)acetate (3ff)

Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 70% yield (115 mg) as yellow oil. ^1H NMR (400 MHz, $CDCl_3$) δ (ppm) 11.2 (s, 1H, NH), 7.39-7.36 (m, 3H, ArH), 7.29-7.28 (m, 1H, ArH), 7.08-7.01 (m, 3H, ArH), 6.51-6.49 (m, 2H, ArH), 5.06 (s, 1H, CH), 5.02 (s, 1H, NH), 4.14-4.09 (m, 2H, CH_2), 1.19-1.16 (m, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 173.3, 148.1, 135.2, 129.2, 127.8, 126.2, 125.7, 123.6, 121.5, 118.5, 114.2, 113.5, 113.4, 60.8, 47.6, 14.5; ESI-HRMS: calcd. for $C_{18}H_{17}ClN_2O_2$+H 329.0979, found 329.0980.



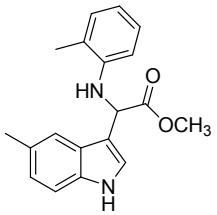
ethyl 2-(5-bromo-1H-indol-3-yl)-2-(phenylamino)acetate (3gg)

Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 65% yield (121 mg) as yellow oil. ^1H NMR (400 MHz, $CDCl_3$) δ (ppm) 11.20 (s, 1H, NH), 7.55 (s, 1H, ArH), 7.36-7.33 (m, 3H, ArH), 7.28-7.27 (m, 1H, ArH), 7.03-7.01 (m, 2H, ArH), 6.52-6.50 (m, 2H, ArH), 5.07 (s, 1H, CH), 5.02 (s, 1H, NH), 4.16-4.05 (m, 2H, CH_2), 1.20-1.16 (m, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 173.3, 148.1, 135.4, 129.2, 128.6, 126.2, 125.5, 124.1, 121.6, 114.2, 114.0, 113.4, 111.6, 60.8, 47.6, 14.5; ESI-HRMS: calcd. for $C_{18}H_{17}BrN_2O_2$+H 373.0473, found 373.0475.



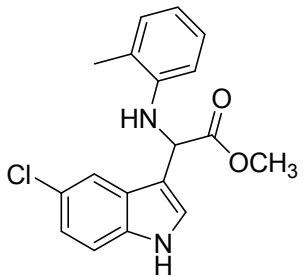
methyl 2-(1H-indol-3-yl)-2-(o-tolylamino)acetate (3hh)

Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 58% yield (85 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 10.98 (s, 1H, NH), 7.37-7.34 (m, 2H, ArH), 7.18-7.17 (m, 1H, ArH), 7.08-7.04 (m, 1H, ArH), 6.95-6.90 (m, 4H, ArH), 6.54-6.52 (m, 1H, ArH), 5.05 (s, 1H, CH), 4.77 (s, 1H, NH), 3.64 (s, 3H, CH_3), 2.01 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 174.0, 146.0, 136.7, 130.3, 126.8, 123.8, 121.6, 121.3, 119.0, 118.9, 114.2, 113.5, 111.9, 52.2, 47.8, 18.0; ESI-HRMS: calcd. for $C_{18}H_{18}N_2O_2$+H 295.1368, found 295.1365.



methyl 2-(5-methyl-1H-indol-3-yl)-2-(o-tolylamino)acetate (3ii)

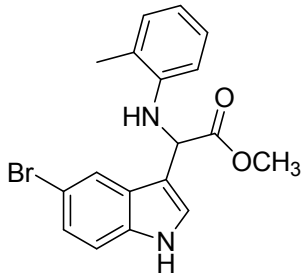
Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 49% yield (75 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 10.84 (s, 1H, NH), 7.28-7.22 (m, 2H, ArH), 7.14-7.10 (m, 2H, ArH), 6.92-6.88 (m, 3H, ArH), 6.53-6.51 (m, 1H, ArH), 5.00 (s, 1H, CH), 4.77 (s, 1H, NH), 3.63 (s, 3H, CH_3), 2.32 (s, 3H, CH_3), 2.00 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 174.0, 146.0, 135.0, 130.2, 127.3, 127.0, 126.8, 123.9, 121.3, 114.1, 112.9, 111.7, 52.2, 47.7, 21.8, 18.0; ESI-HRMS: calcd. for $C_{19}H_{20}N_2O_2$+H 309.1525, found 309.1530.



methyl 2-(5-chloro-1H-indol-3-yl)-2-(o-tolylamino)acetate (3jj)

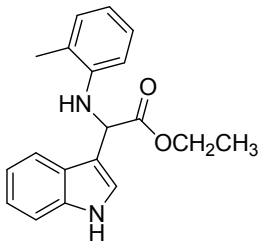
Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 61% yield (100 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 11.20 (s, 1H, NH), 7.38-7.36 (m, 3H, ArH), 7.29 (s, 1H, ArH), 7.07-

7.04 (m, 1H, ArH), 6.91-6.79 (m, 2H, ArH), 6.54-6.52 (s, 1H, ArH), 5.07 (s, 1H, CH), 4.79 (s, 1H, NH), 3.64 (s, 3H, CH_3), 2.00 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 173.8, 146.1, 135.1, 130.2, 127.9, 126.7, 126.5, 125.7, 123.6, 121.6, 121.3, 118.4, 114.2, 113.6, 113.4, 52.3, 47.4, 18.0; ESI-HRMS: calcd. for $C_{18}H_{17}ClN_2O_2$+H 329.0979, found 329.0980.



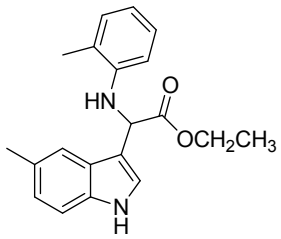
methyl 2-(5-bromo-1H-indol-3-yl)-2-(o-tolylamino)acetate (3kk)

Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 60% yield (111 mg) as yellow oil. ^1H NMR (400 MHz, $CDCl_3$) δ (ppm) 11.23 (s, 1H, NH), 7.54-7.53 (m, 1H, ArH), 7.35-7.17 (m, 4H, ArH), 6.93-6.90 (m, 2H, ArH), 6.56-6.54 (m, 1H, ArH), 5.09 (s, 1H, CH), 4.81 (s, 1H, NH), 3.64 (s, 3H, CH_3), 2.02 (s, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 173.8, 146.1, 135.4, 130.2, 128.6, 126.7, 126.5, 125.6, 124.1, 121.4, 114.2, 114.0, 113.3, 111.6, 52.3, 47.4, 18.0; ESI-HRMS: calcd. for $C_{18}H_{17}BrN_2O_2$+H 373.0473, found 373.0480.



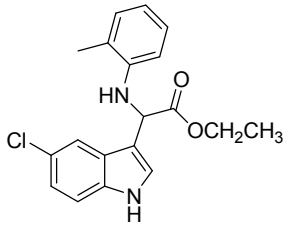
ethyl 2-(1H-indol-3-yl)-2-(o-tolylamino)acetate (3ll)

Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 61% yield (94 mg) as yellow oil. ^1H NMR (400 MHz, $CDCl_3$) δ (ppm) 11.0 (s, 1H, NH), 7.39-7.34 (m, 2H, ArH), 7.17-7.16 (m, 1H, ArH), 7.08-7.04 (m, 1H, ArH), 6.95-6.92 (m, 4H, ArH), 6.54-6.52 (m, 1H, ArH), 5.01 (s, 1H, CH), 4.77 (s, 1H, NH), 4.14-4.01 (m, 2H, CH_2), 2.01 (s, 3H, CH_3), 1.20-1.16 (m, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 173.5, 146.0, 136.7, 130.3, 127.9, 126.8, 126.5, 123.7, 121.6, 121.3, 119.1, 119.0, 114.2, 113.7, 112.0, 60.7, 48.0, 18.0, 14.6; ESI-HRMS: calcd. for $C_{19}H_{20}N_2O_2$+H 309.1525, found 309.1528.



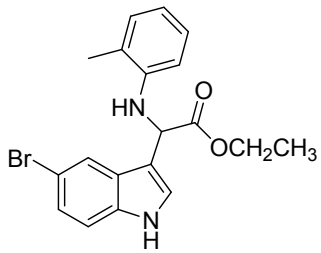
ethyl 2-(5-methyl-1H-indol-3-yl)-2-(o-tolylamino)acetate (3mm)

Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 68% yield (109 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 10.83 (s, 1H, NH), 7.25-7.10 (m, 3H, ArH), 6.93-6.78 (m, 4H, ArH), 6.54-6.51 (m, 1H, ArH), 4.97 (s, 1H, CH), 4.77 (s, 1H, NH), 4.14-4.05 (m, 2H, CH_2), 2.32 (s, 3H, CH_3), 2.01 (s, 3H, CH_3), 1.20-1.16 (m, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 173.5, 145.9, 135.0, 130.2, 127.3, 127.0, 123.8, 123.2, 121.2, 118.5, 114.2, 113.8, 113.0, 112.3, 111.7, 110.4, 109.4, 60.7, 47.9, 21.8, 18.1, 14.6; ESI-HRMS: calcd. for $C_{20}H_{22}N_2O_2$+H 323.1681, found 323.1678.



ethyl 2-(5-chloro-1H-indol-3-yl)-2-(o-tolylamino)acetate (3nn)

Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 71% yield (121 mg) as yellow oil. ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 11.20 (s, 1H, NH), 7.43-7.28 (m, 4H, ArH), 7.07-6.89(m, 3H, ArH), 6.54-6.52 (m, 1H, ArH), 5.03 (s, 1H, CH), 4.79 (s, 1H, NH), 4.16-4.07 (m, 2H, CH_2), 2.10 (s, 3H, CH_3), 1.24-1.16 (m, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 173.3, 146.0, 135.2, 130.2, 127.9, 126.7, 126.5, 125.7, 123.6, 121.5, 121.3, 118.5, 114.2, 113.5, 60.8, 47.6, 18.0, 14.6; ESI-HRMS: calcd. for $C_{19}H_{19}ClN_2O_2$+H 343.1135, found 343.1140.

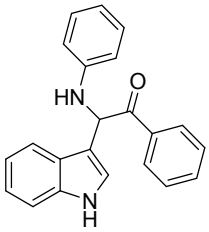


ethyl 2-(5-bromo-1H-indol-3-yl)-2-(o-tolylamino)acetate (3oo)

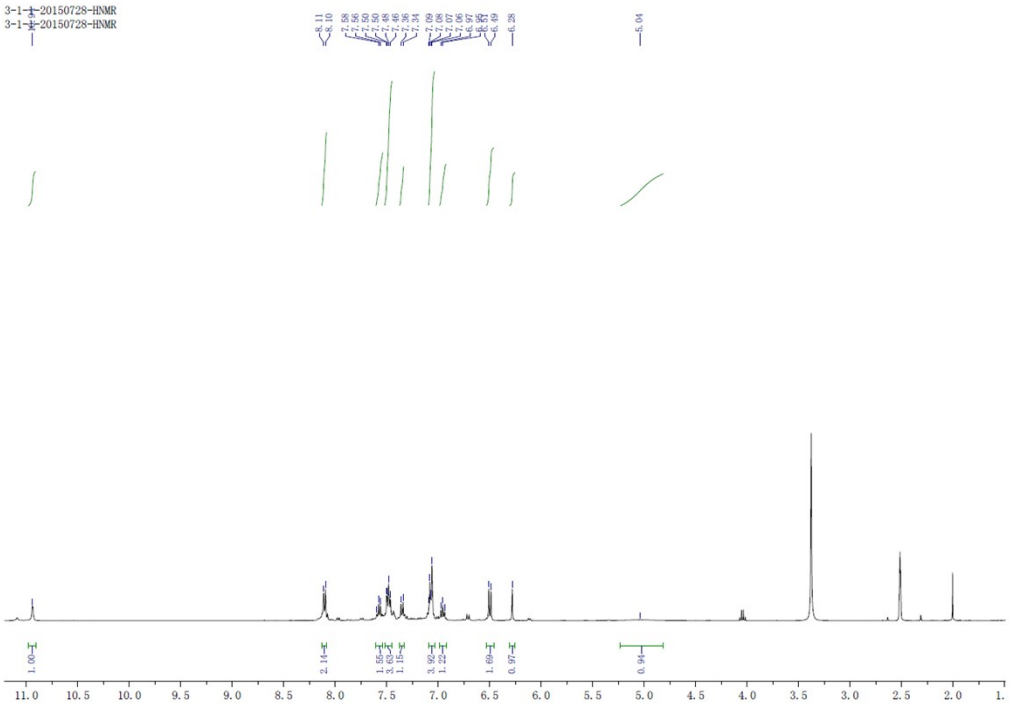
Following the general procedure for 10h. The product was purified on silica gel (PE/EA = 5:1) and the title compound was obtained in 69% yield (133 mg) as yellow oil. ^{1}H NMR (400

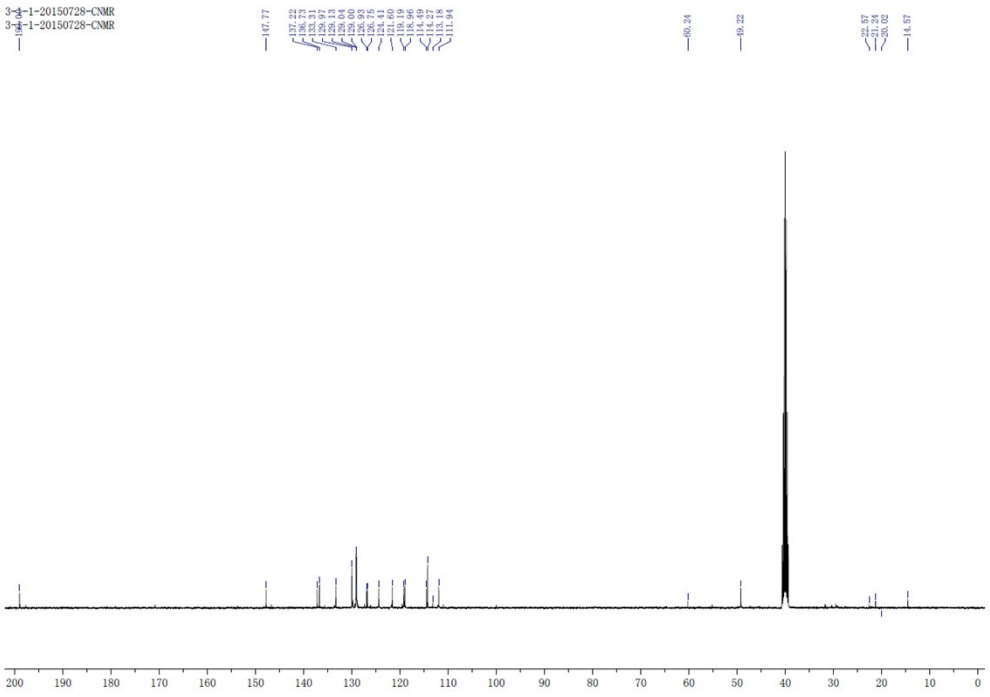
MHz, $CDCl_3$) δ (ppm) 11.2 (s, 1H, NH), 7.55-7.54 (m, 1H, ArH), 7.36-7.16 (m, 4H, ArH), 6.92-6.89 (m, 2H, ArH), 6.55-6.53 (m, 1H, ArH), 5.04 (s, 1H, CH), 4.79 (s, 1H, NH), 4.17-4.06 (m, 2H, CH_2), 2.01 (s, 3H, CH_3), 1.19-1.16 (m, 3H, CH_3); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 173.3, 146.0, 135.5, 135.4, 130.2, 126.7, 125.5, 124.1, 121.5, 121.3, 114.2, 114.1, 114.0, 113.4, 112.3, 111.6, 60.8, 47.6, 18.0, 14.6; ESI-HRMS: calcd. for $C_{19}H_{19}BrN_2O_2$+H 387.0630, found 387.0632.

4. ^1H and ^{13}C NMR spectra of products



(3a)

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3-1-1-20150728-CNMR
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136.73
133.91
133.37
129.13
128.04
127.60
126.93
126.75
124.41
121.60
119.19
116.46
114.59
114.27
113.18
111.04
60.24
49.22
22.57
21.24
20.02
14.57
200
190
180
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110
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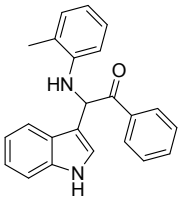
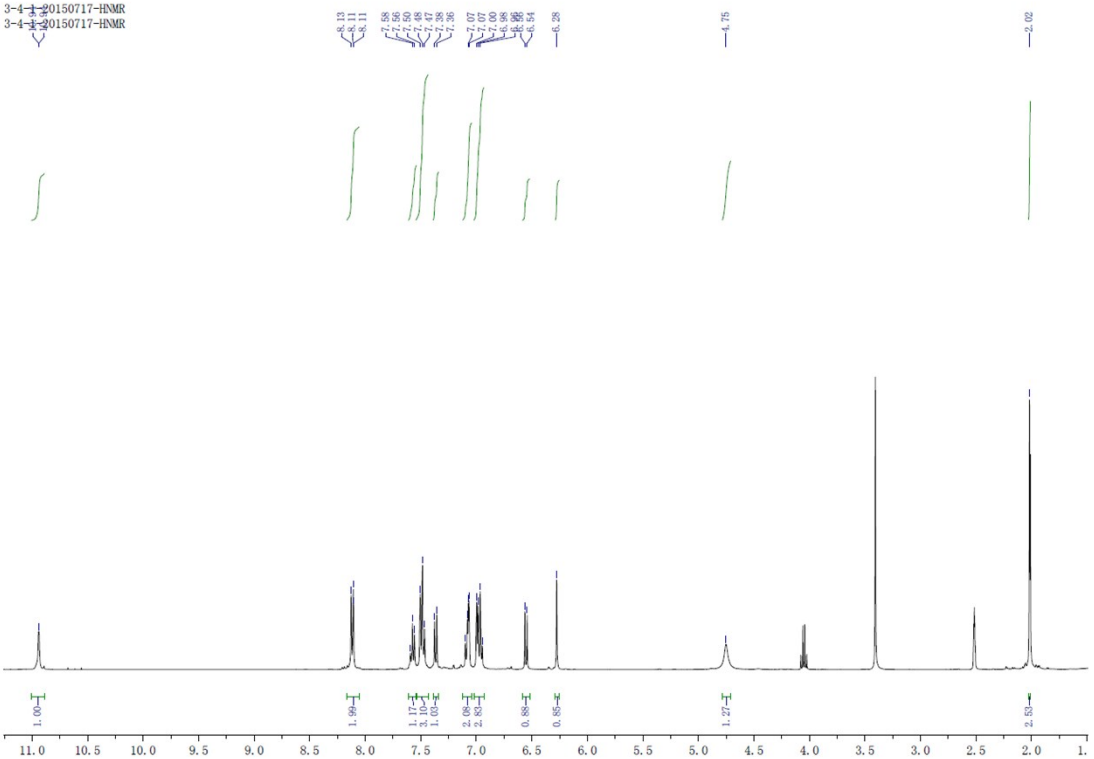
90
80
70
60
50
40
30
20
10
0


HN
O
N
H

(3b)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3-4-1-20150717-HNMR
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8.11
8.11
7.58
7.56
7.50
7.48
7.47
7.38
7.36
7.07
7.07
7.00
6.98
6.96
6.95
6.54
6.28
4.75
2.02
1.00
1.99
1.17
3.03
1.03
2.08
2.05
0.88
0.85
1.27
2.83
11.0
10.5
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
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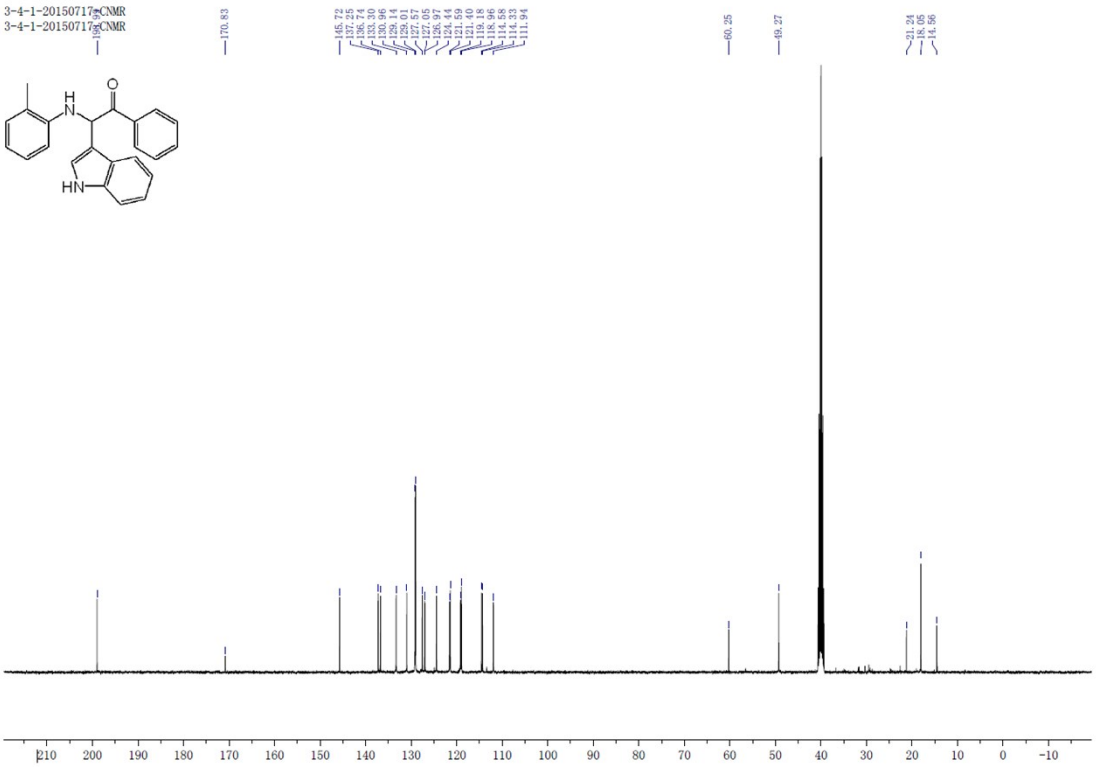
4.0
3.5
3.0
2.5
2.0
1.


3-4-1-20150717-CNMR
3-4-1-20150717-CNMR
170.83
145.72
137.25
136.74
133.30
130.96
129.14
129.01
127.57
127.05
126.27
124.44
121.59
121.40
119.48
118.96
114.58
114.33
111.94
60.25
49.27
21.24
18.05
14.56
H
N
O
HN
210
200
190
180
170
160
150
140
130
120
110
100
90
80
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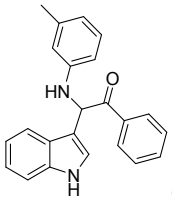
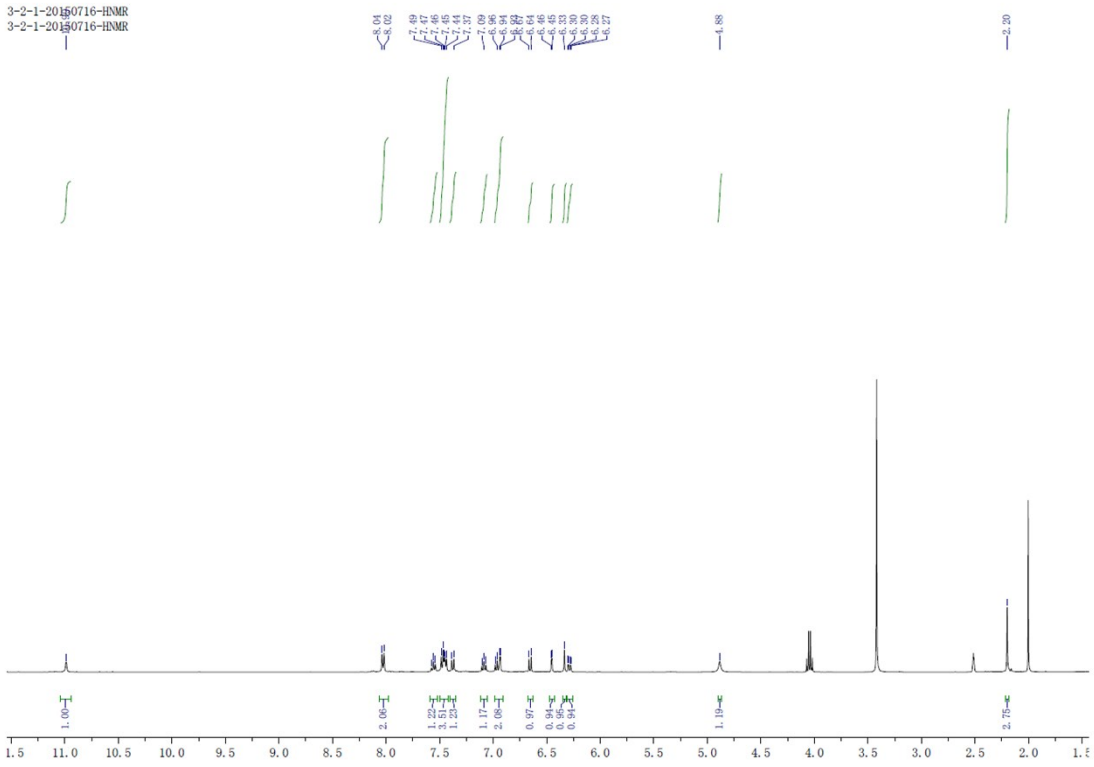
60
50
40
30
20
10
0
-10


HN
O
N
H

(3c)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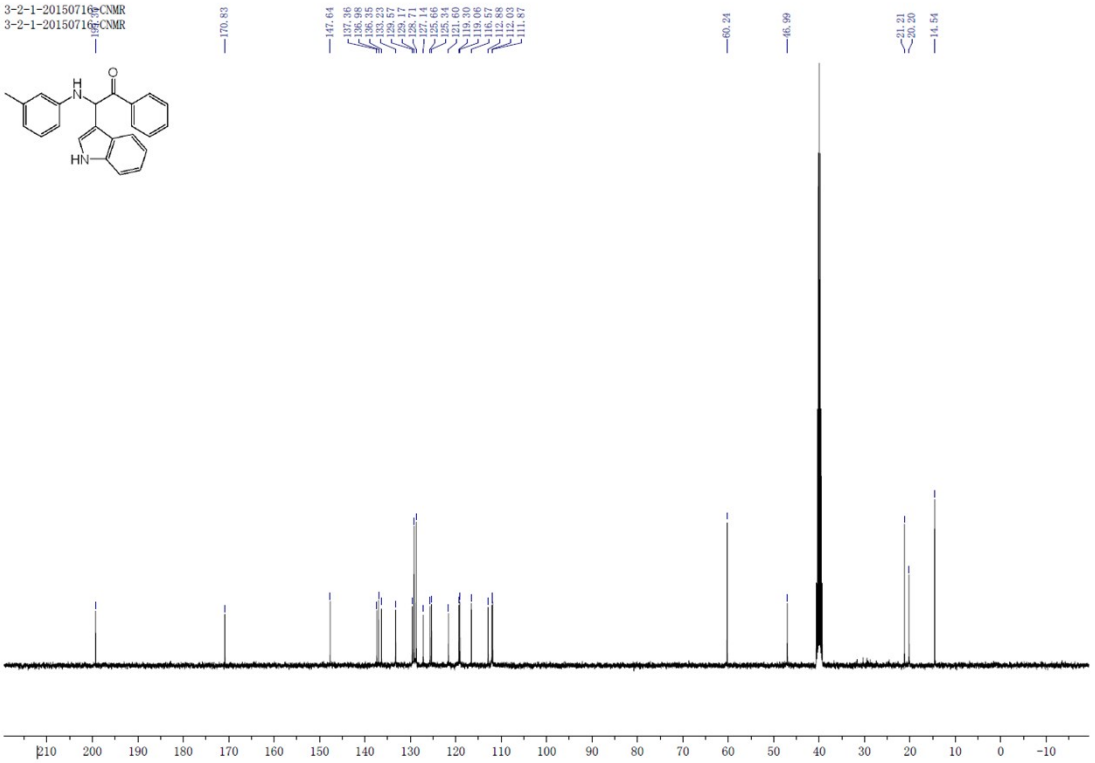
3-2-1-20150716-HNMR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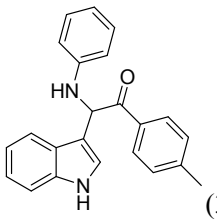
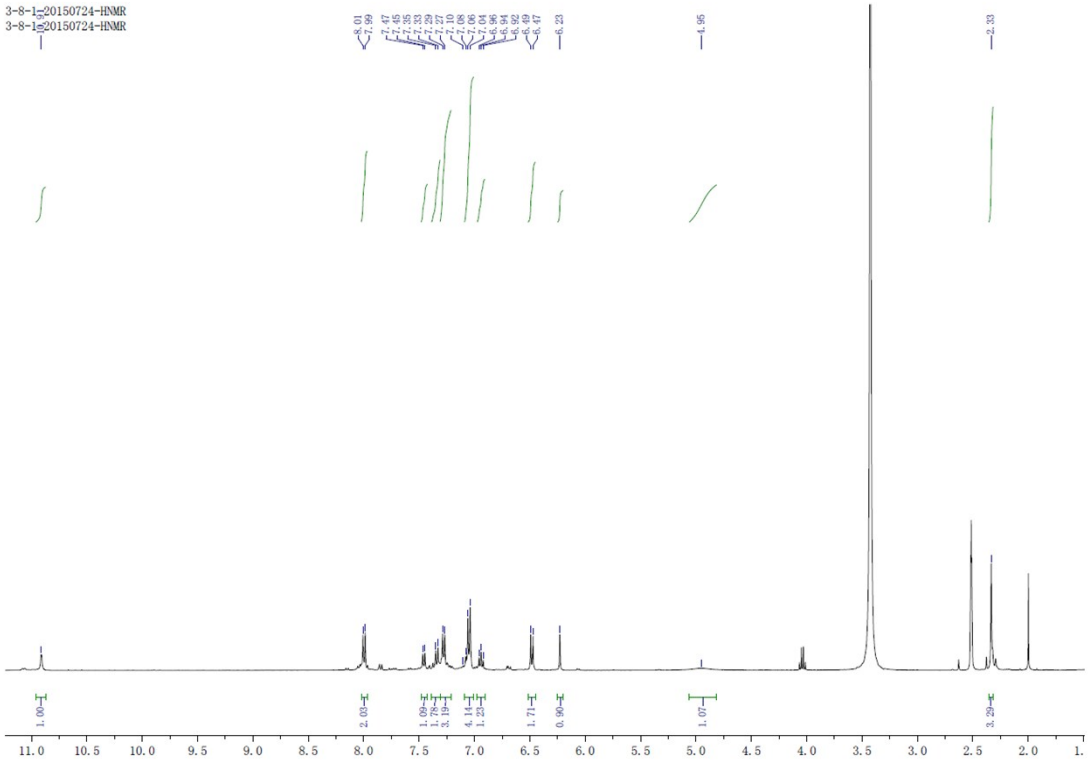

HN
O
N
H

(3d)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3-8-1-20150724-HNMR
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7.99
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7.45
7.35
7.33
7.29
7.27
7.10
7.08
7.06
7.04
6.96
6.94
6.92
6.49
6.47
6.23
4.95
2.33
1.00
2.03
1.69
1.78
3.19
4.14
1.23
1.71
0.90
1.07
3.29
11.0
10.5
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
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5.0
4.5
4.0
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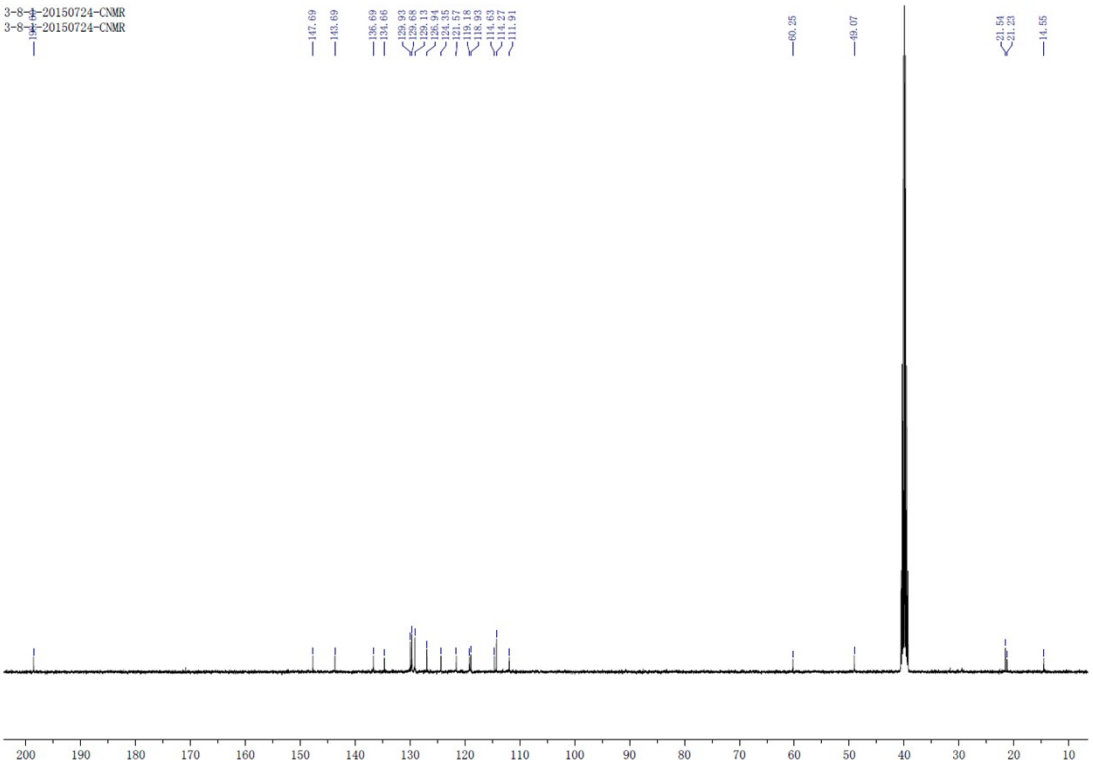
3.0
2.5
2.0


3-8-1-20150724-CNMR
3-8-1-20150724-CNMR
147.69
143.69
136.69
134.66
129.93
129.68
128.13
126.94
124.35
121.57
119.18
118.93
114.63
114.27
111.91
60.25
49.07
21.54
21.23
14.55
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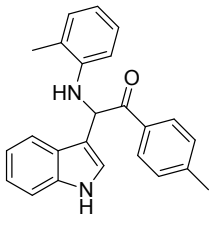
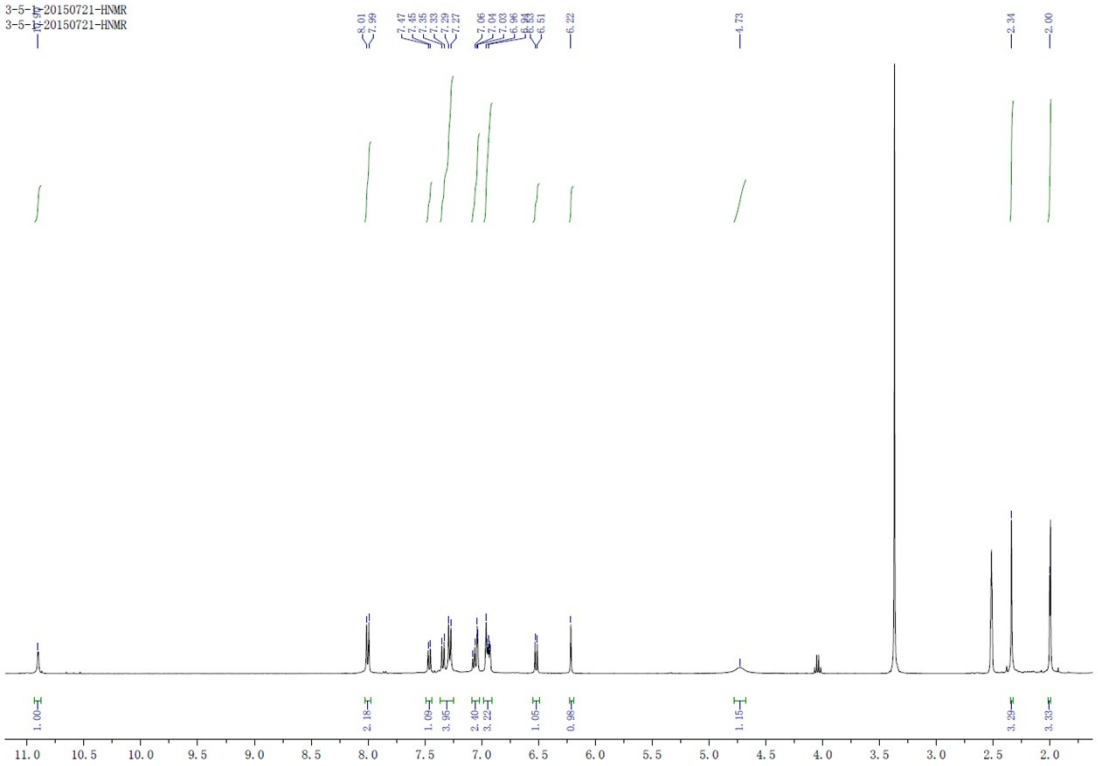
10


HN
O
N
H

(3e)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3-5-1-20150721-HNMR
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7.99
7.47
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7.33
7.29
7.27
7.06
7.04
7.03
6.96
6.53
6.51
6.22
4.73
2.34
2.00
1.00
2.18
1.09
3.95
2.40
3.22
1.05
0.88
1.15
3.29
3.33
11.0
10.5
10.0
9.5
9.0
8.5
8.0
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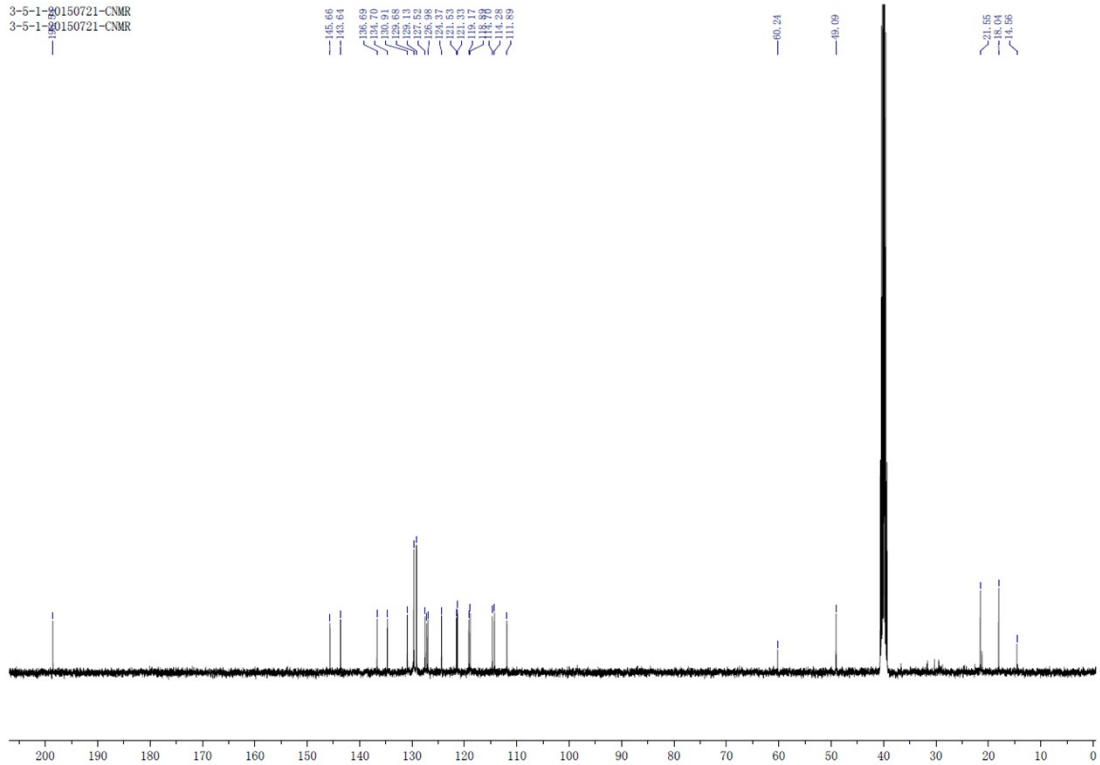
2.0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3-5-1-20150721-CNMR
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129.48
129.13
127.52
126.98
124.37
121.53
121.33
119.17
114.28
111.89
60.24
49.09
21.55
18.54
14.56
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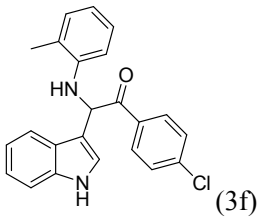
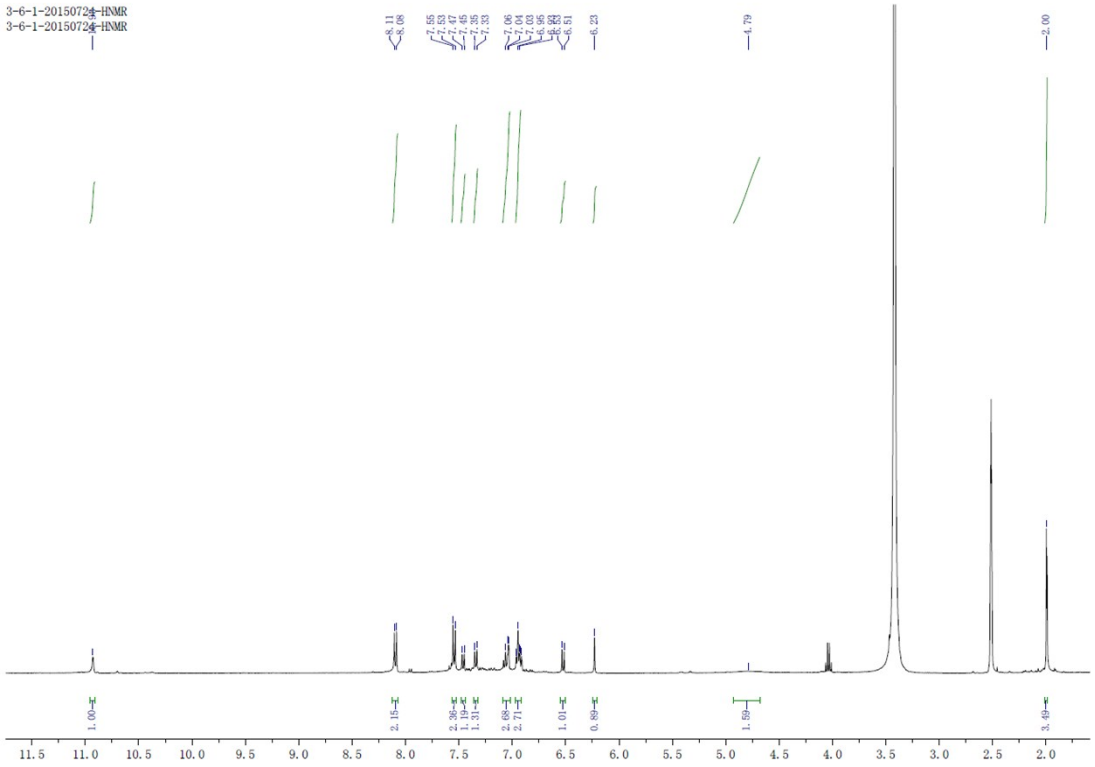
30
20
10
0


HN
O
N
H
Cl

(3f)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3-6-1-20150724-HNMR
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7.33
7.06
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6.53
6.51
6.23
4.79
2.00
1.00
2.15
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1.31
2.68
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1.01
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3.49
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10.0
9.5
9.0
8.5
8.0
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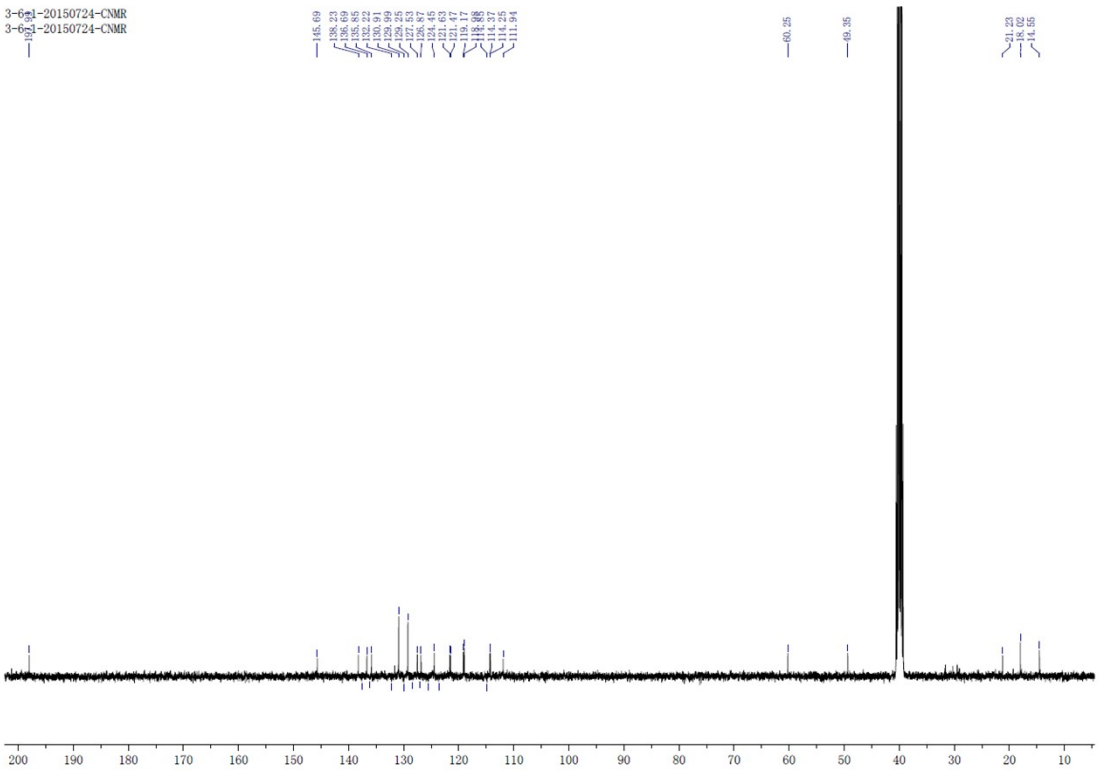
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3-6-1-20150724-CNMR
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129.99
129.25
127.53
126.87
124.45
121.63
121.47
119.17
114.37
114.25
111.94
60.25
49.35
21.23
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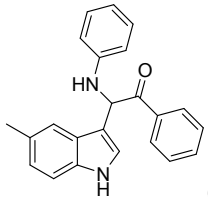
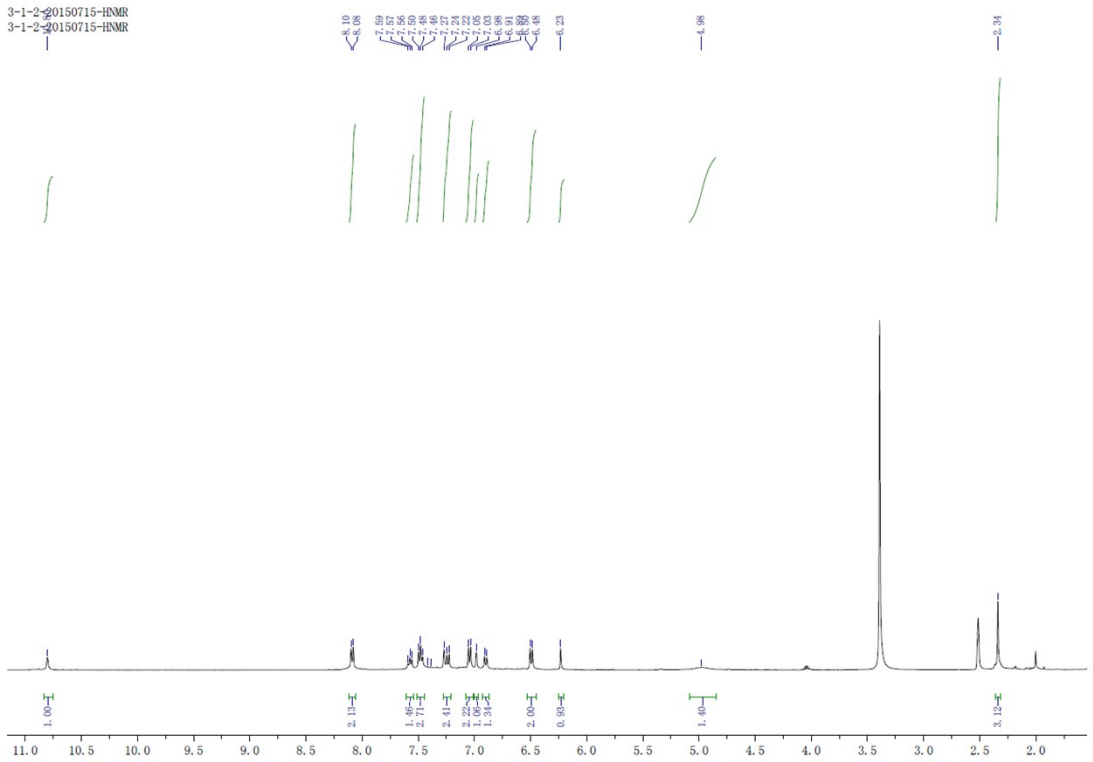

HN
O
N
H

(3g)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3-1-2-20150715-HNMR
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7.48
7.46
7.27
7.24
7.22
7.22
7.05
7.03
6.98
6.91
6.89
6.88
6.48
6.23
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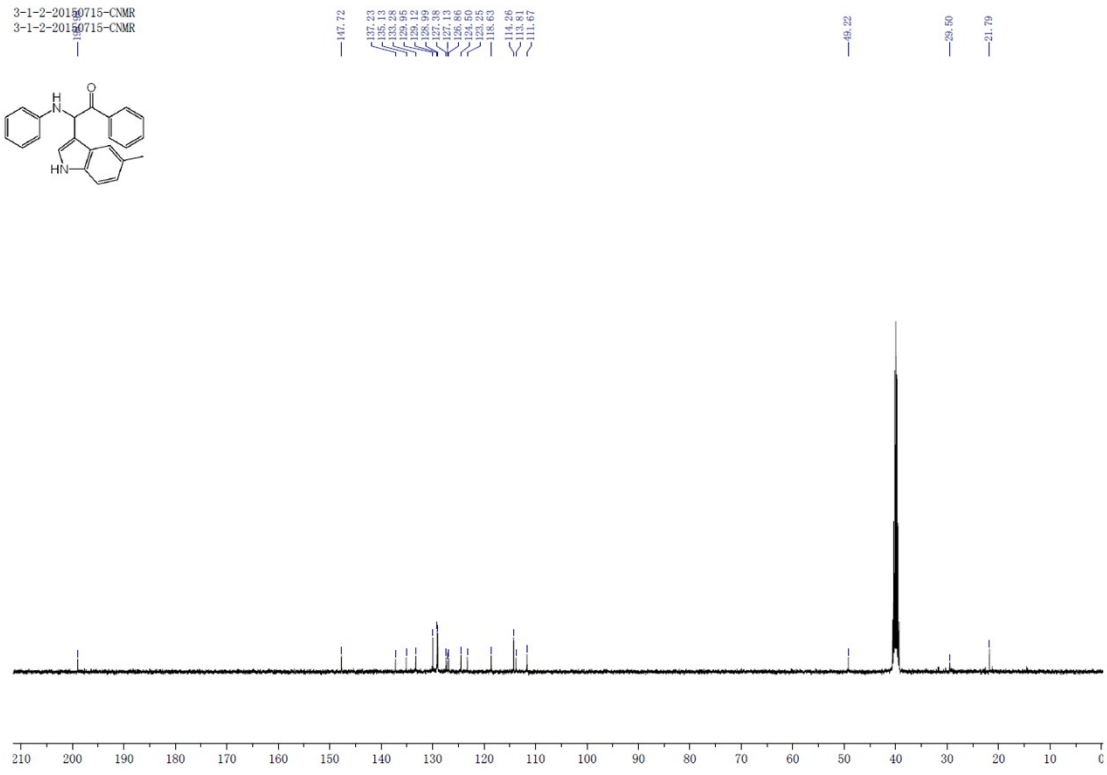
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3-1-2-20150715-CNMR
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135.13
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129.95
129.12
128.99
127.38
127.13
125.86
124.50
123.25
118.63
114.26
113.81
111.67
69.22
29.50
21.79
H
N
O
HN
210
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110
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70
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10
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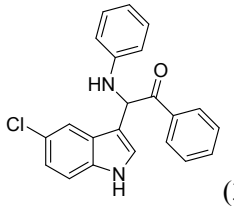
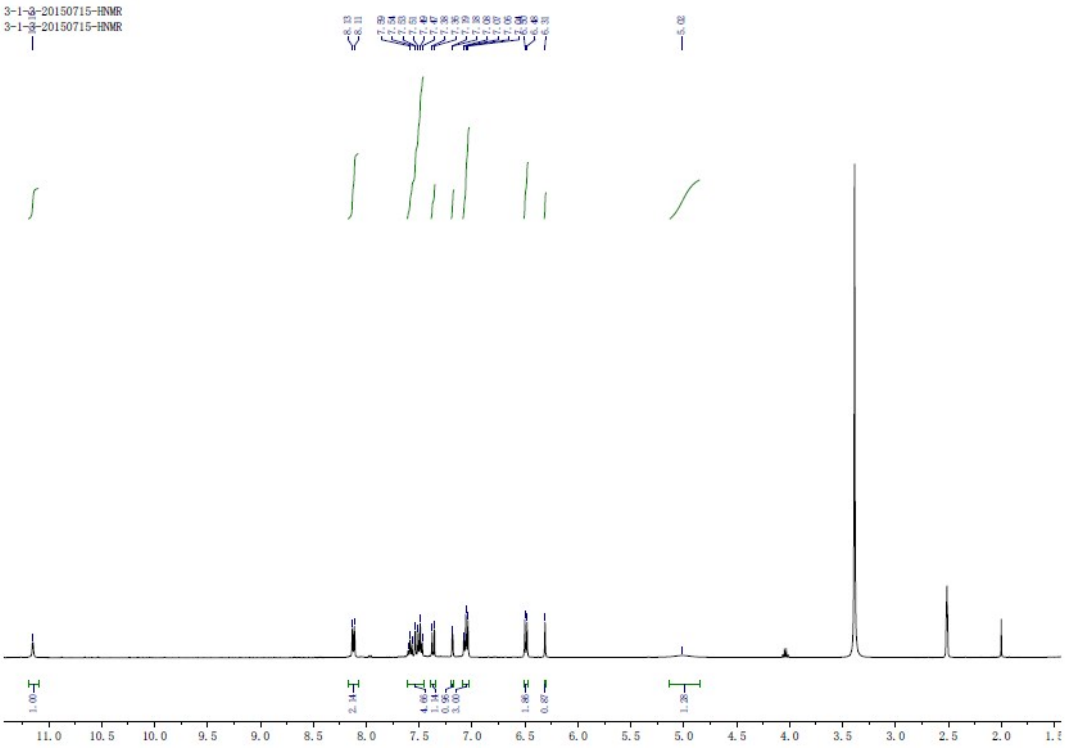

HN
O
Cl
N
H

(3h)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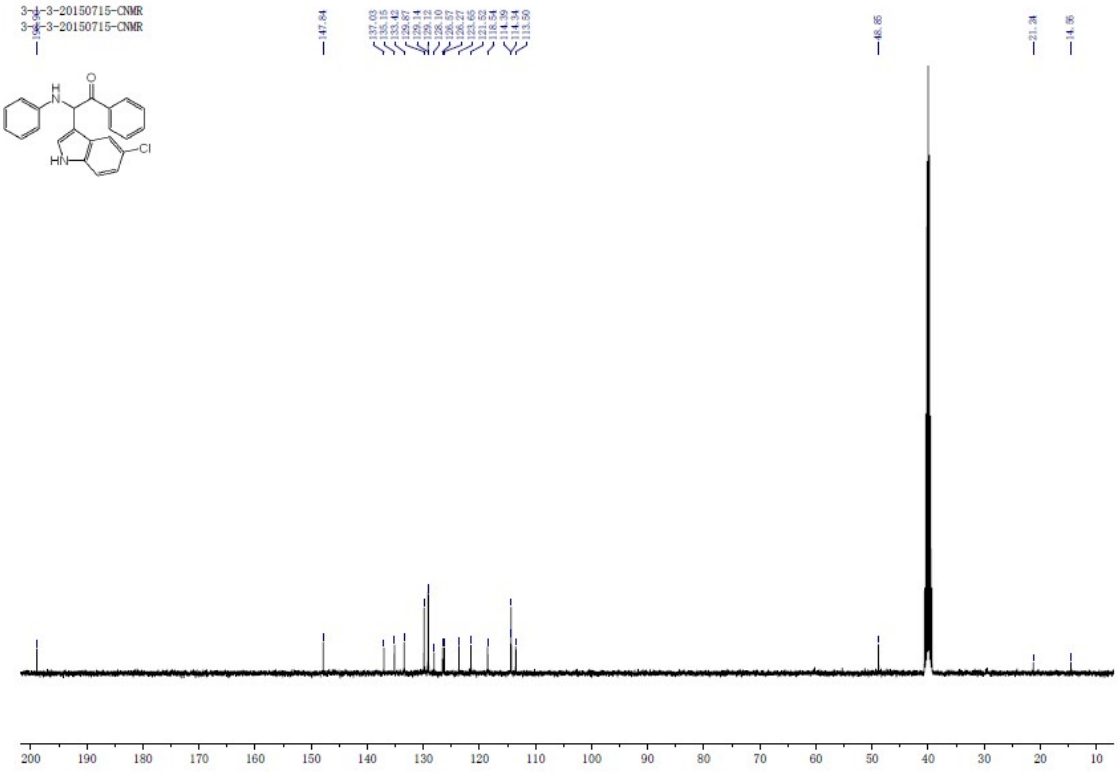
3-1-3-20150715-HNMR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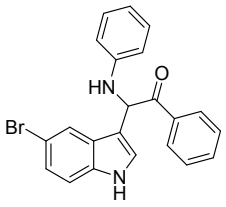
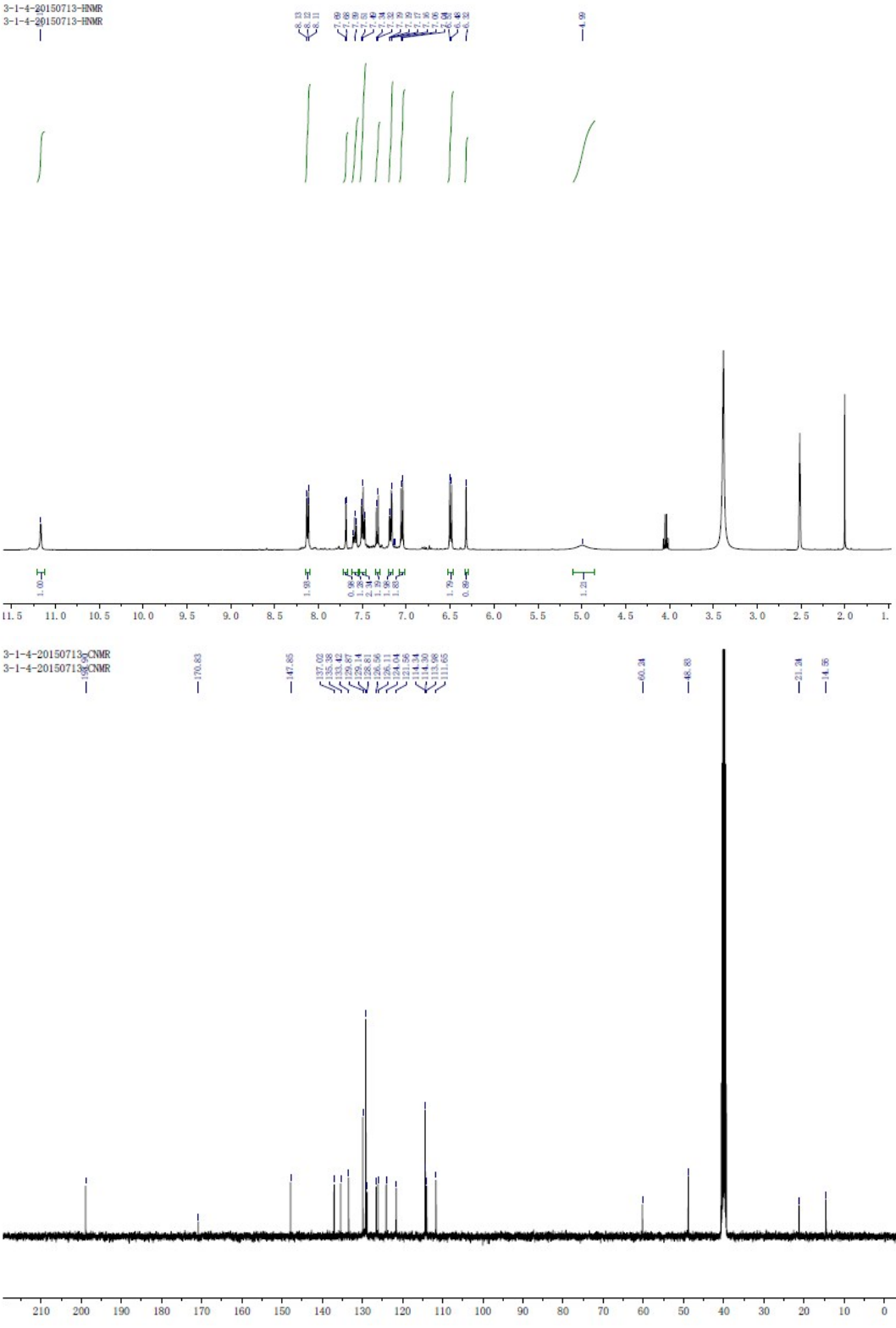
3-1-3-20150715-CNMR


HN
O
Br
N
H

(3i)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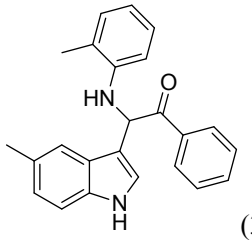
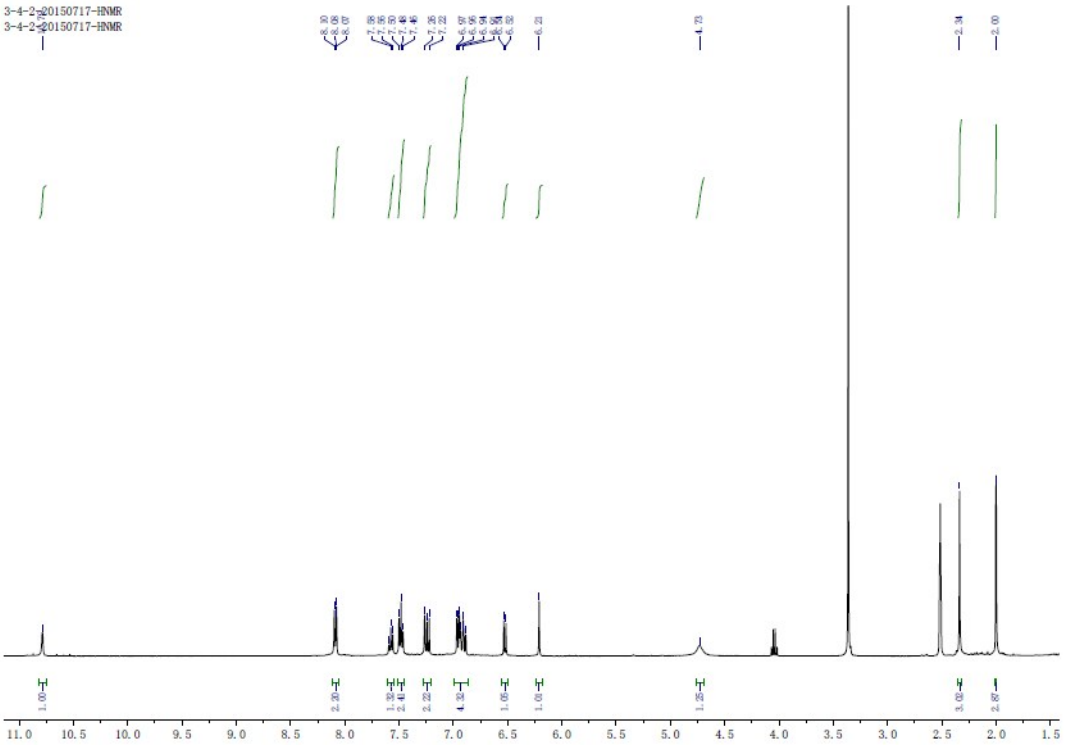
3-1-4-20150713-HNMR
3-1-4-20150713-CNMR
3-1-4-20150713-CNMR


HN
O
N
H

(3j)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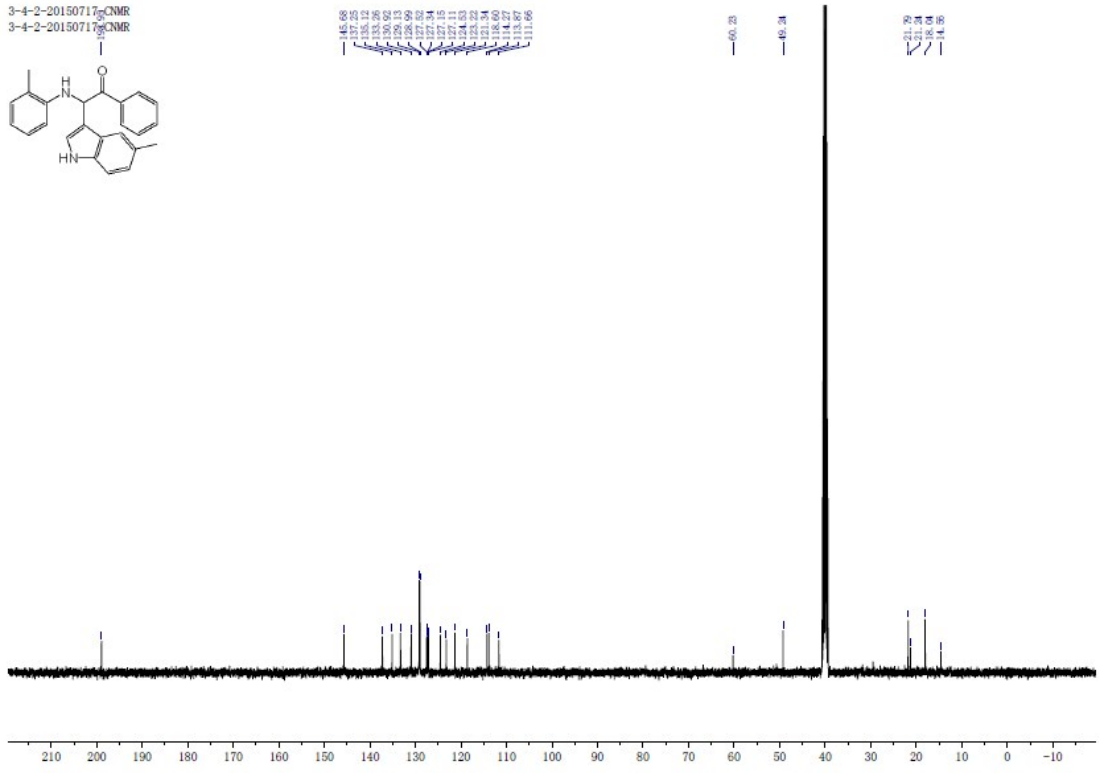
3-4-2-20150717-HNMR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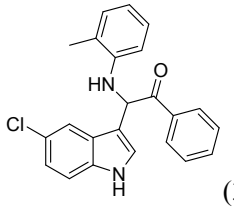
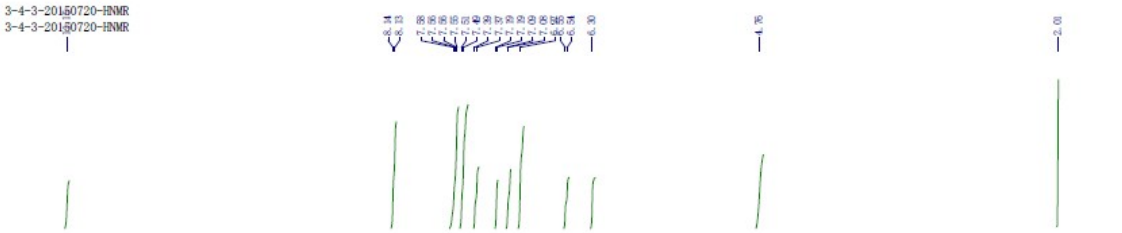
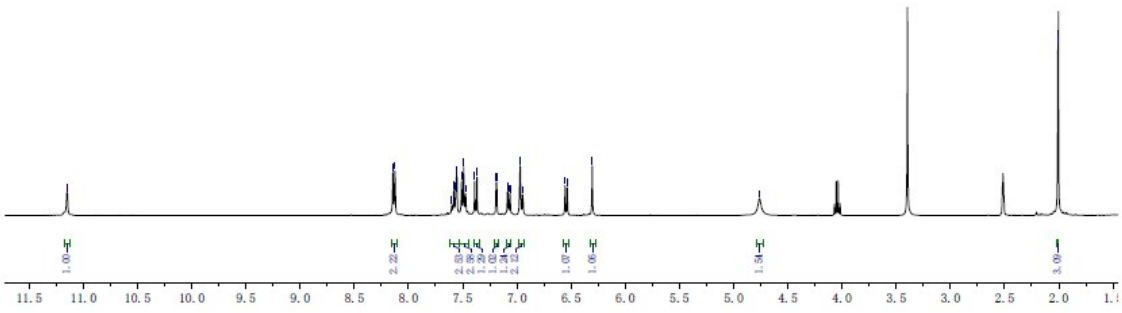
3-4-2-20150717-CNMR


HN
O
Cl
N
H

(3k)


3-4-3-20150720-HNMR
3-4-3-20150720-HNMR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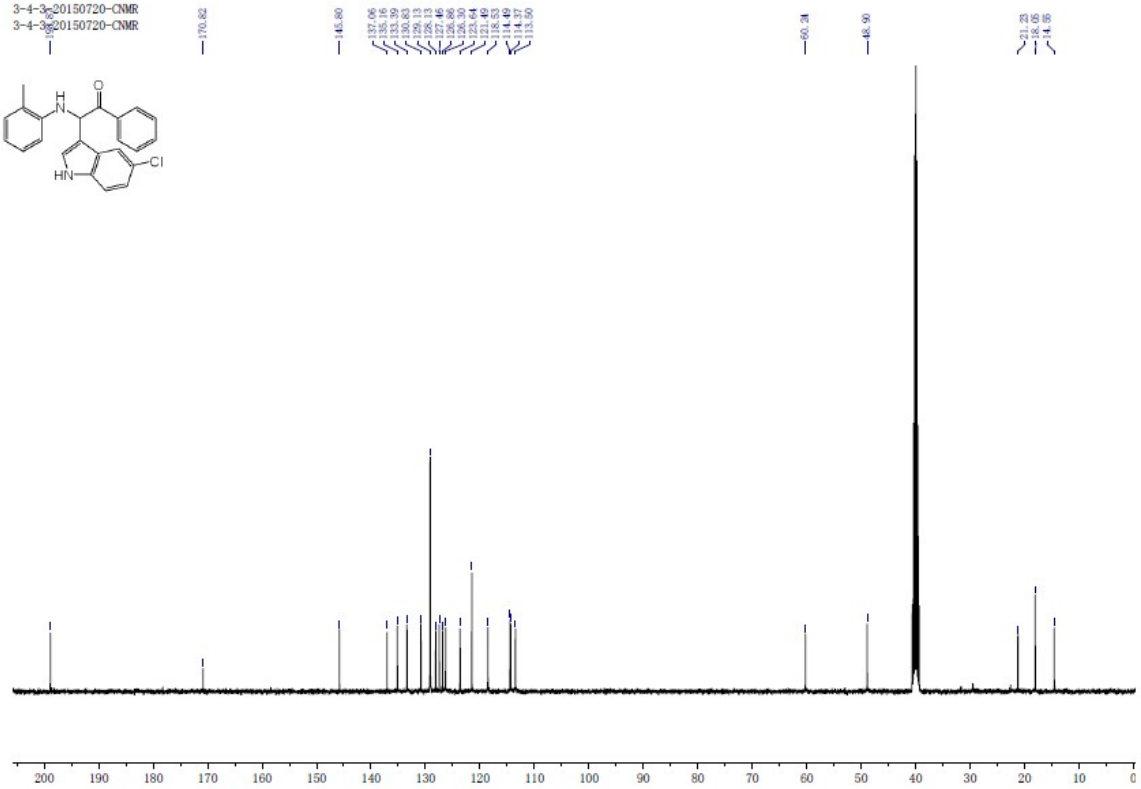
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HN
O
HN
Cl
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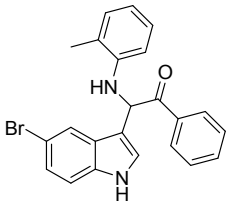
HN
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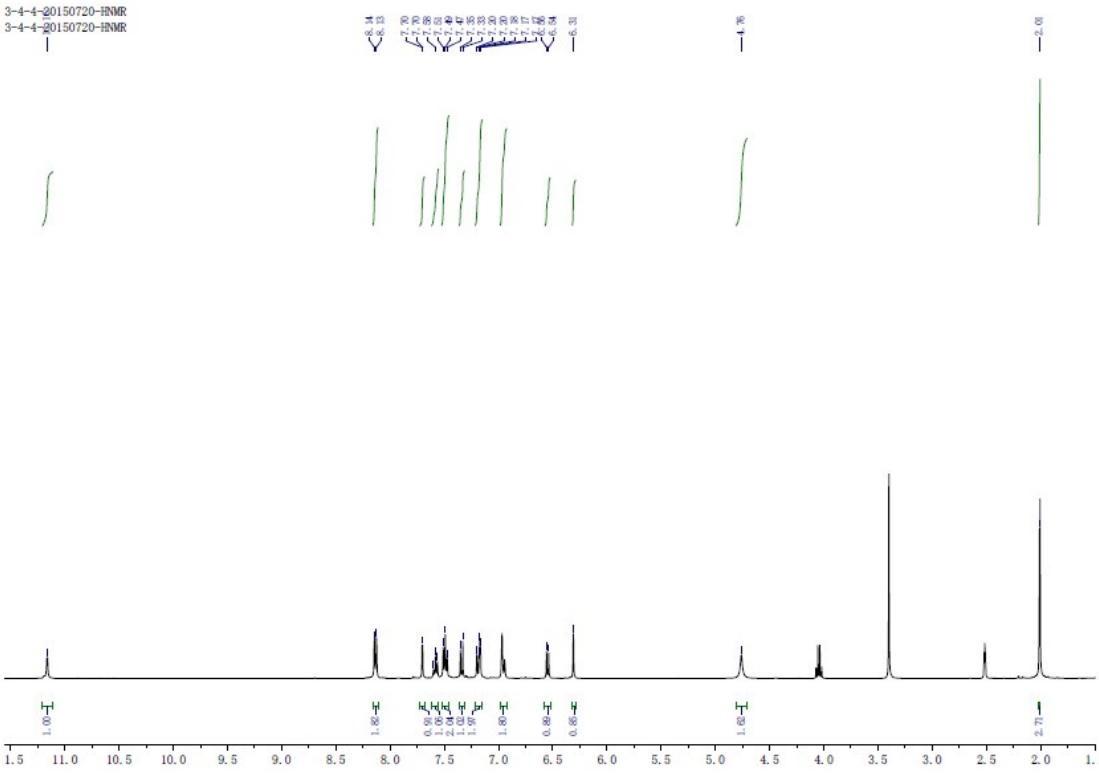
Br
N
H

(3l)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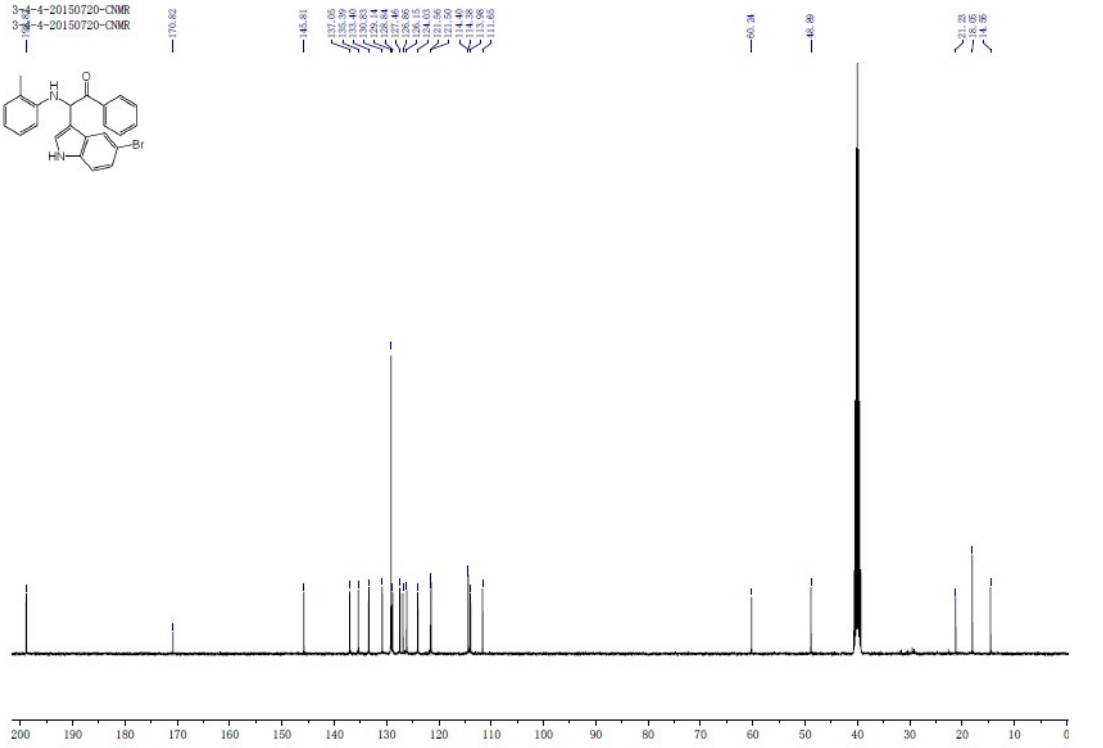
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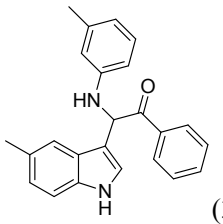
HN
Br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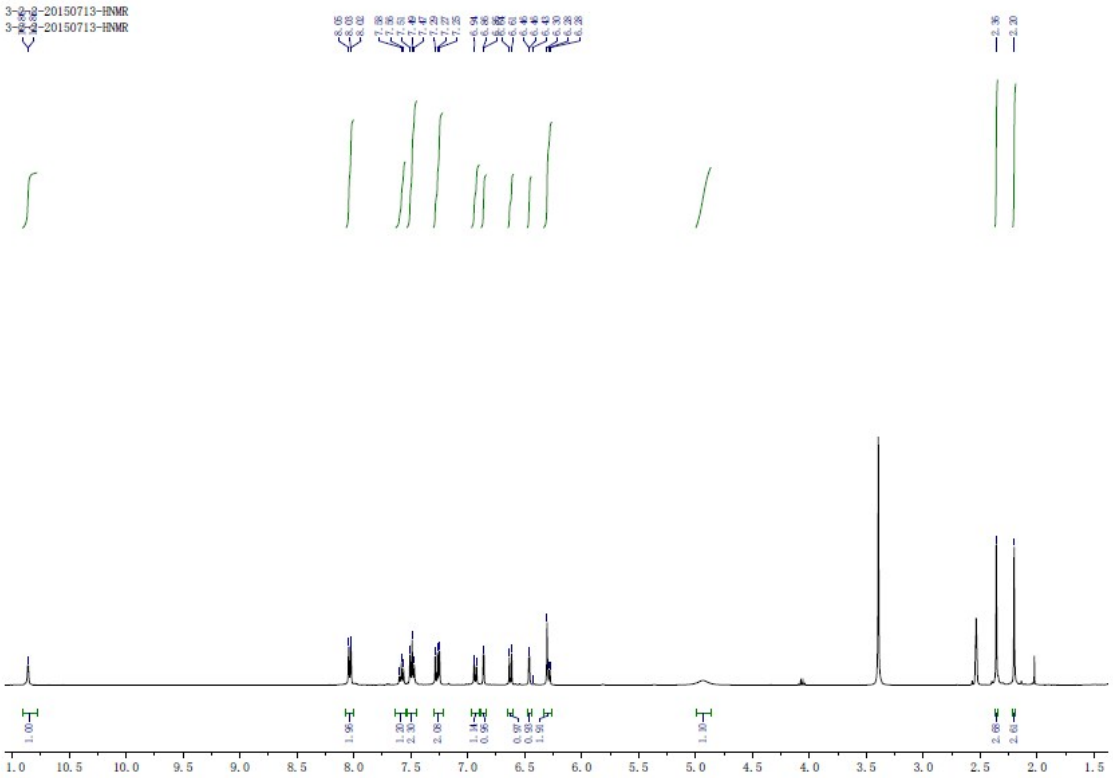
(3m)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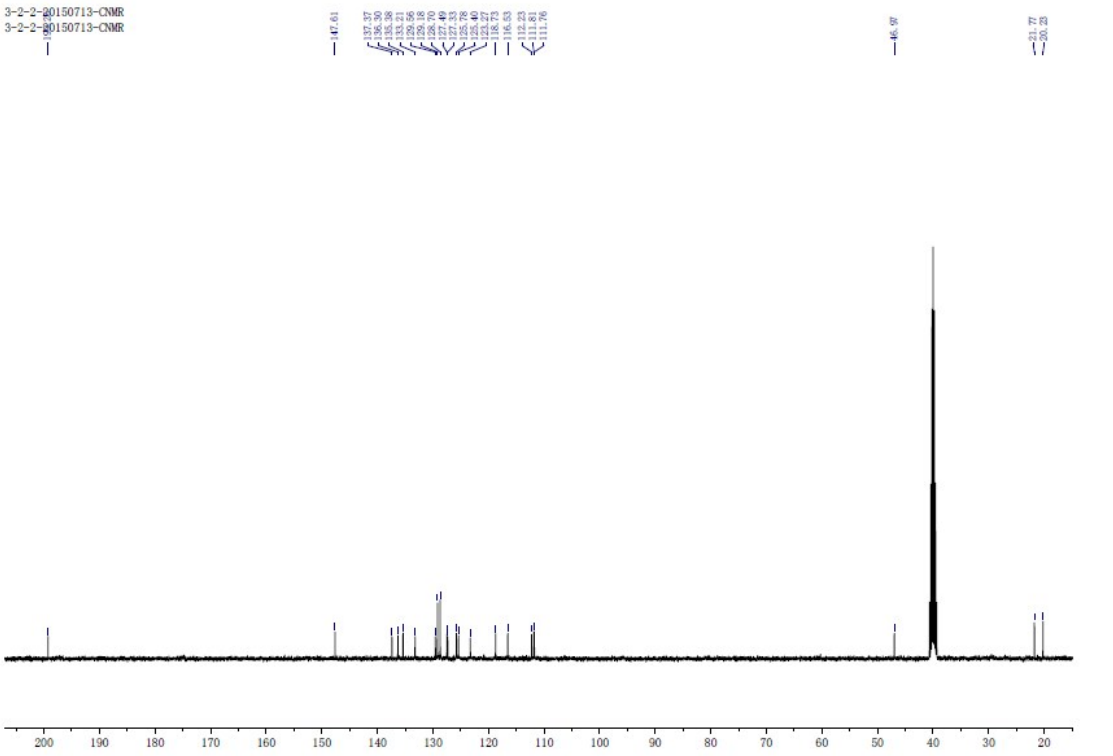
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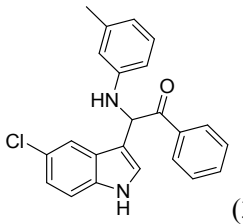
HN
O
Cl
N
H

(3n)


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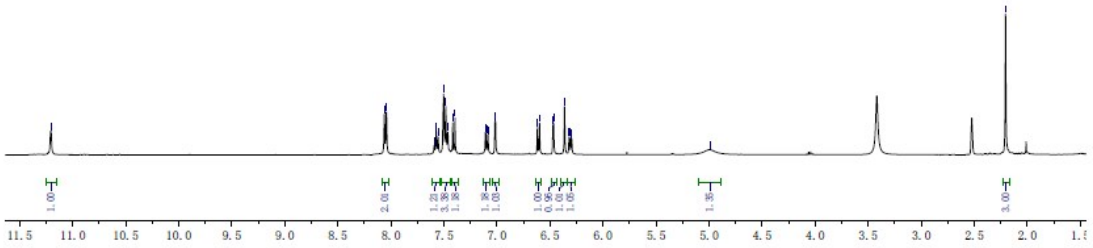


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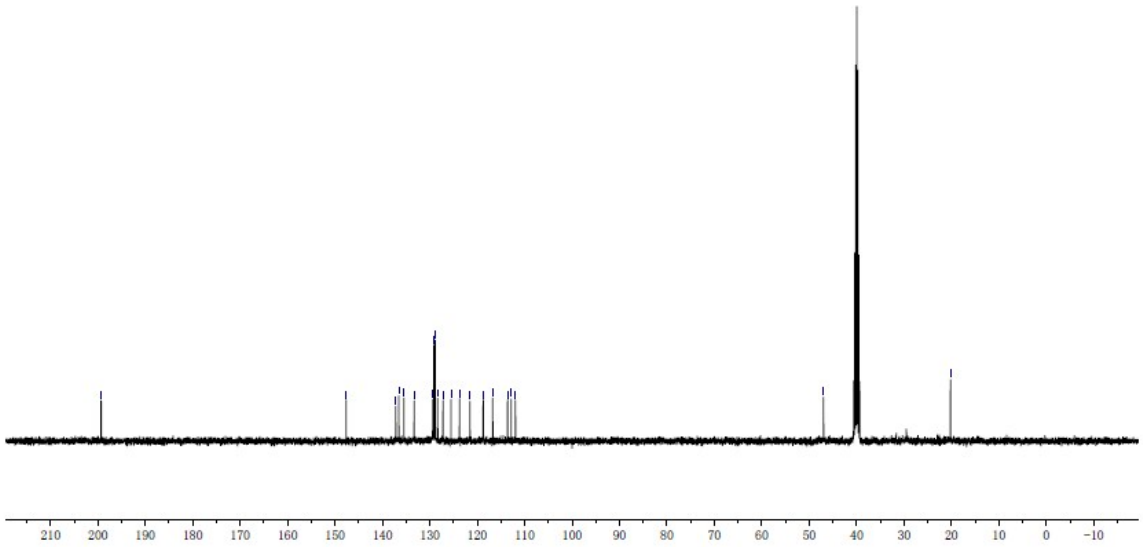

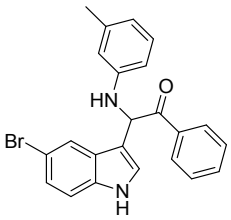
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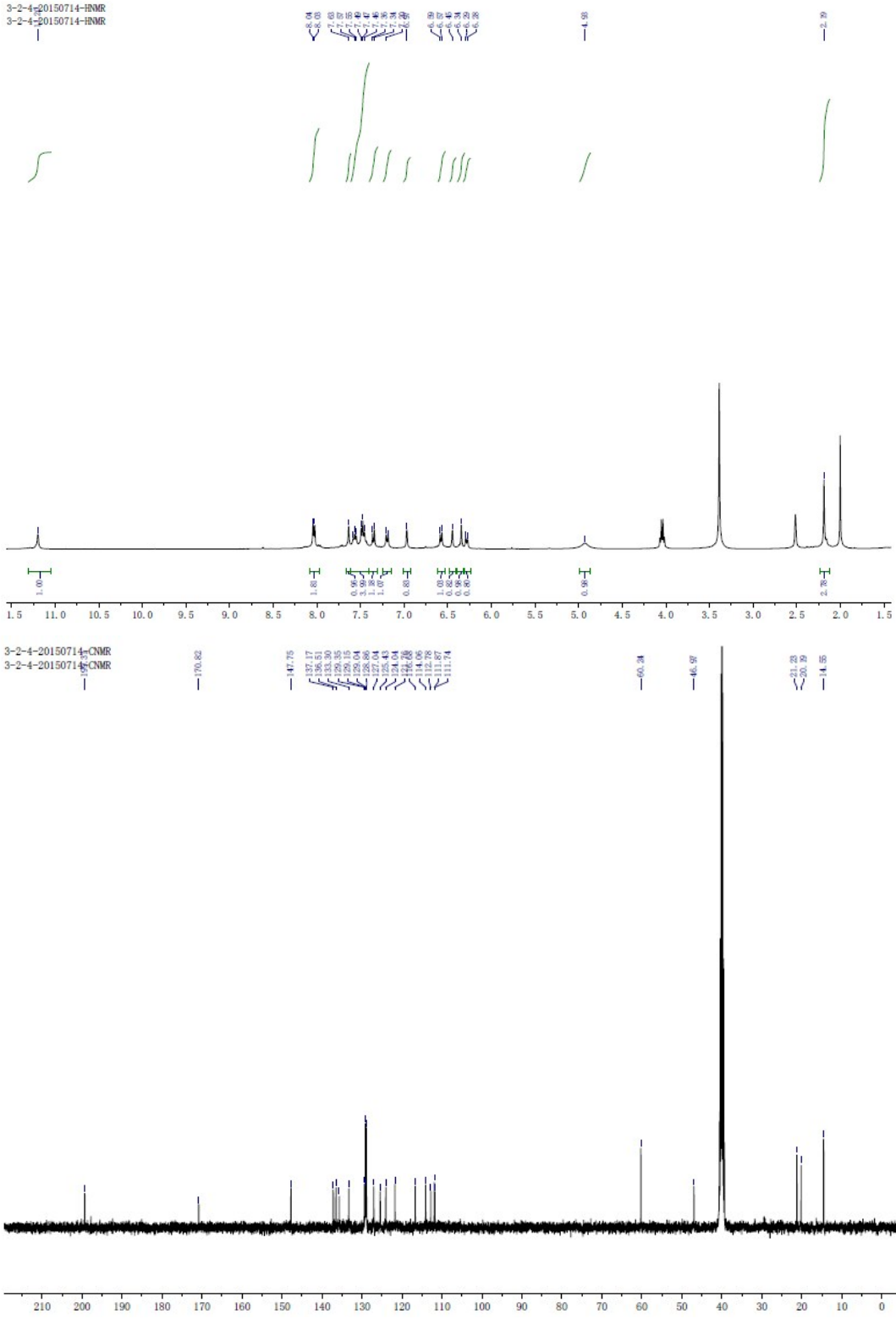
Br
N
H

(3o)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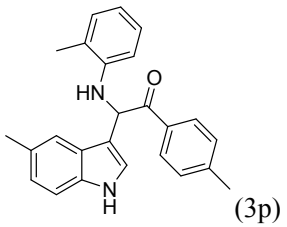
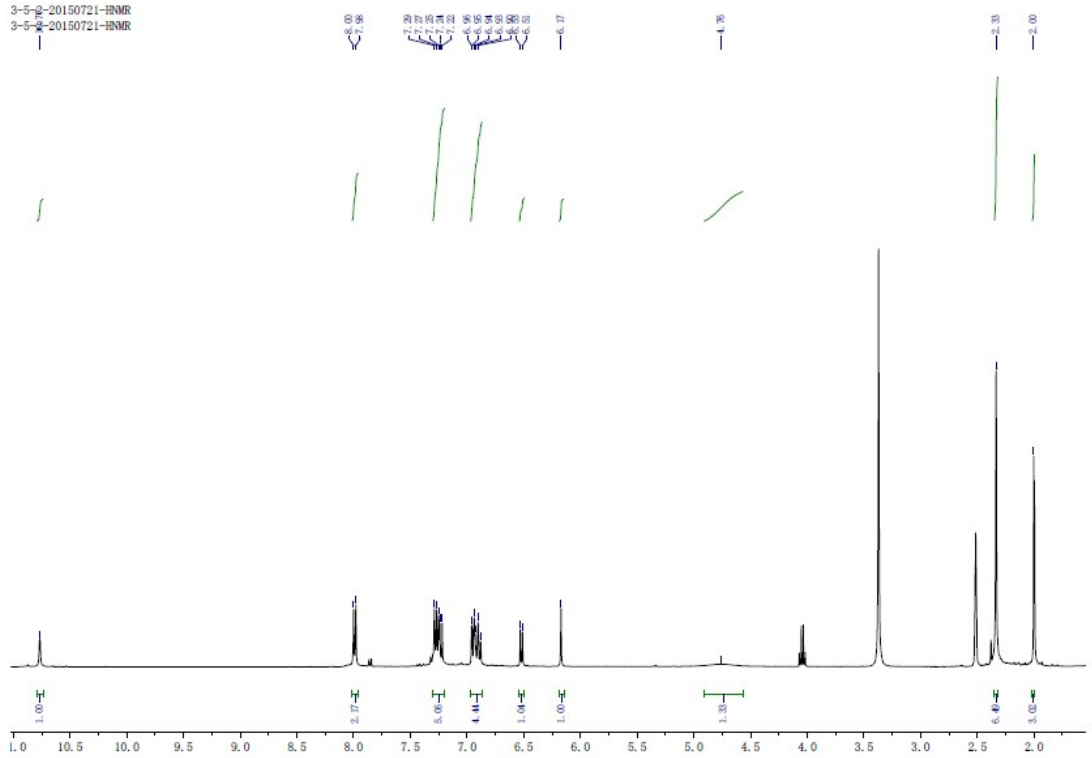
3-2-4-20150714-CNMR
3-2-4-20150714-CNMR


HN
O
N
H

(3p)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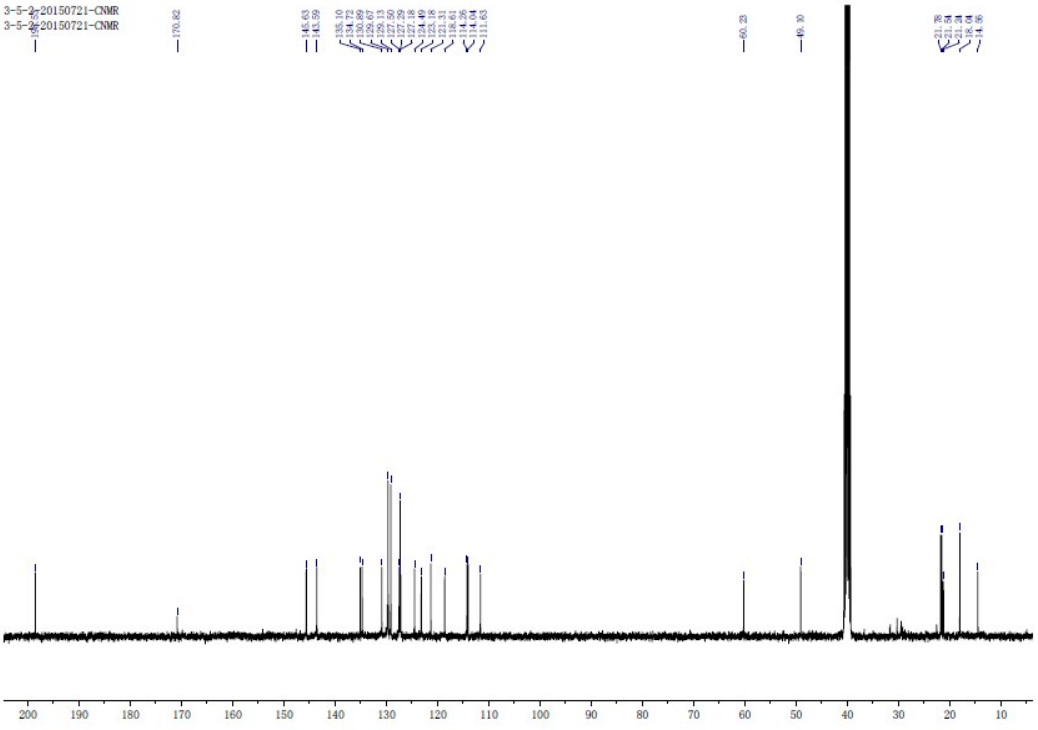
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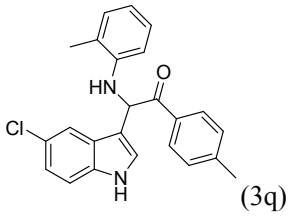
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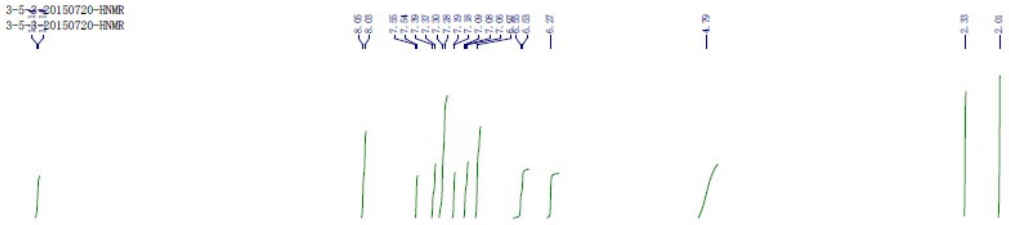
O
Cl
N
H

(3q)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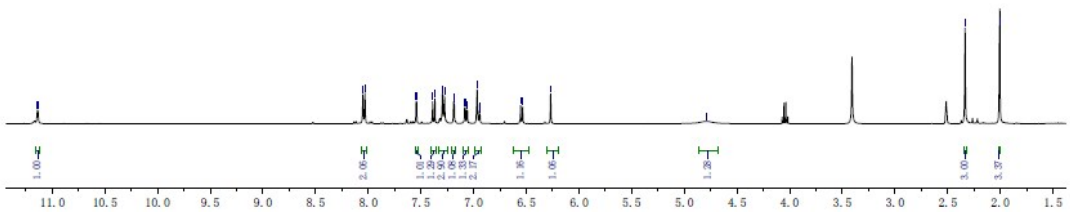
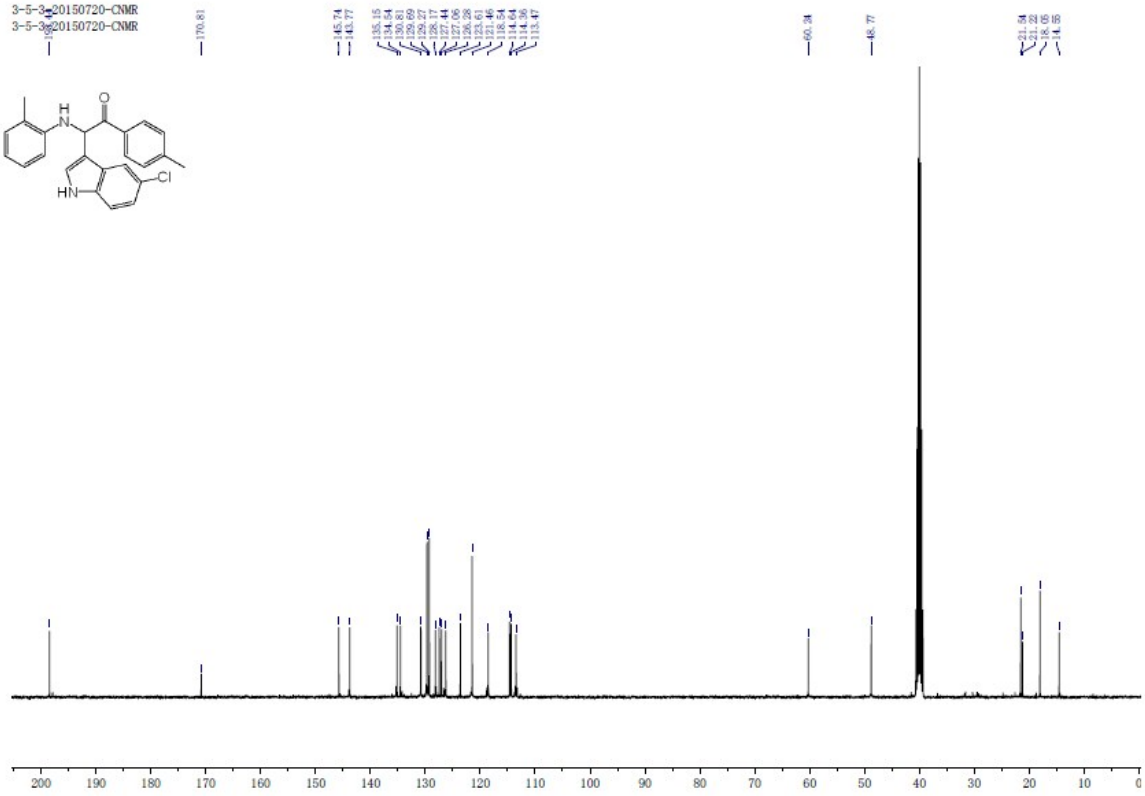
3-5-3-20150720-HNMR

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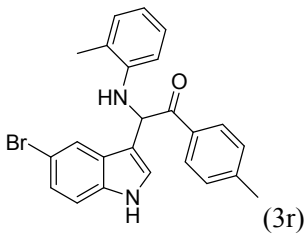
HN
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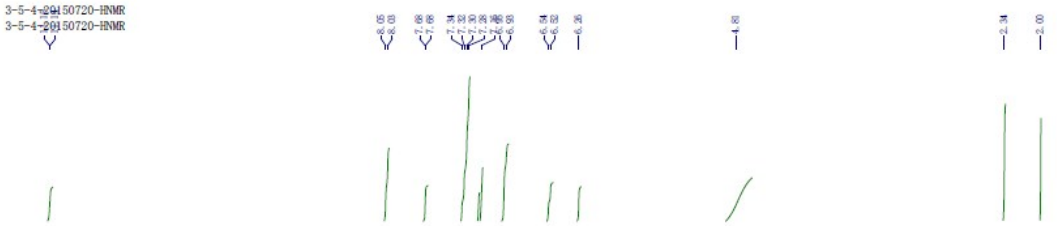
Br
N
H

(3r)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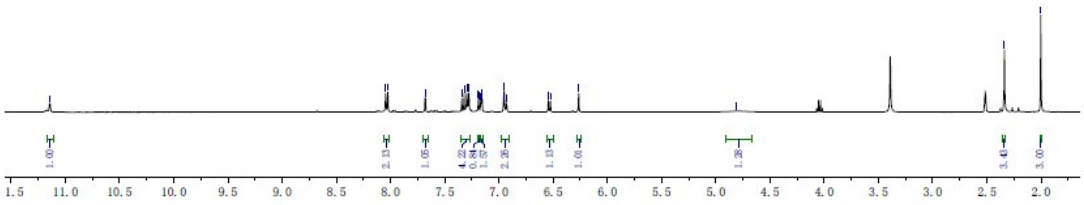
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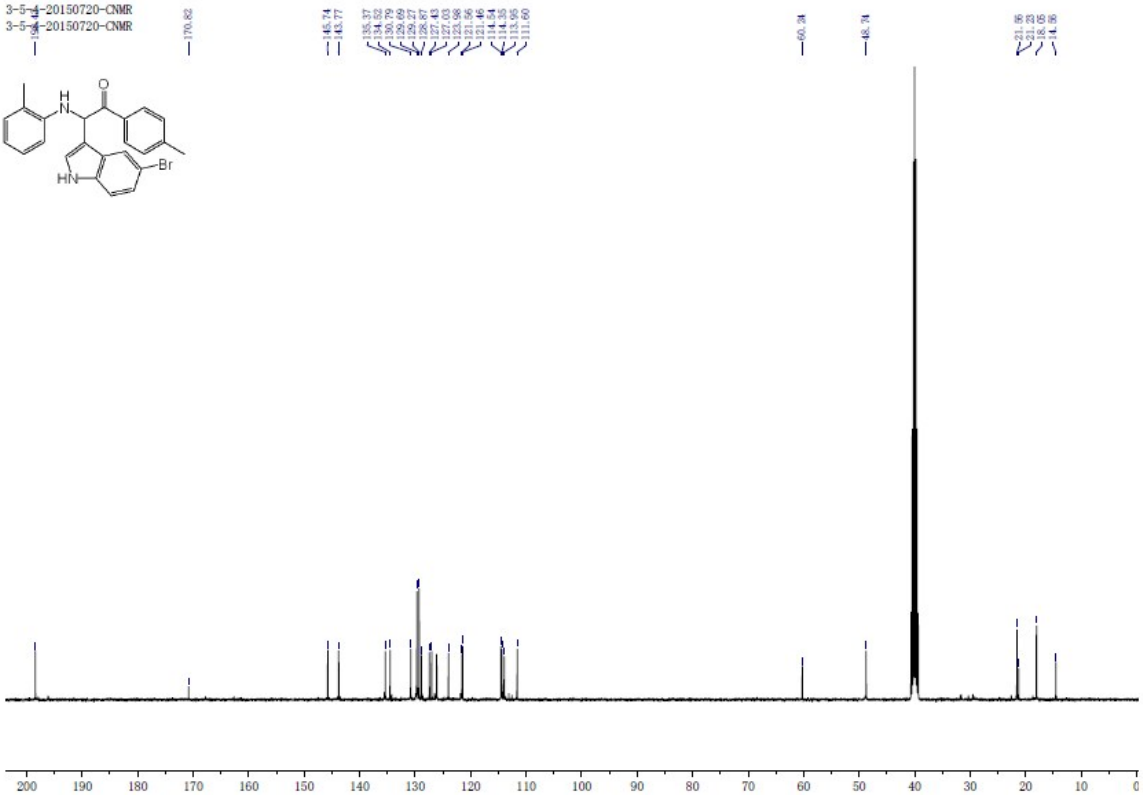
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3-5-4-20150720-CNMR
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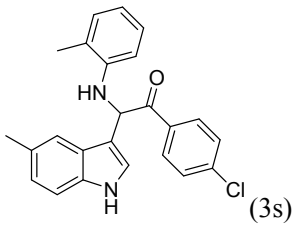
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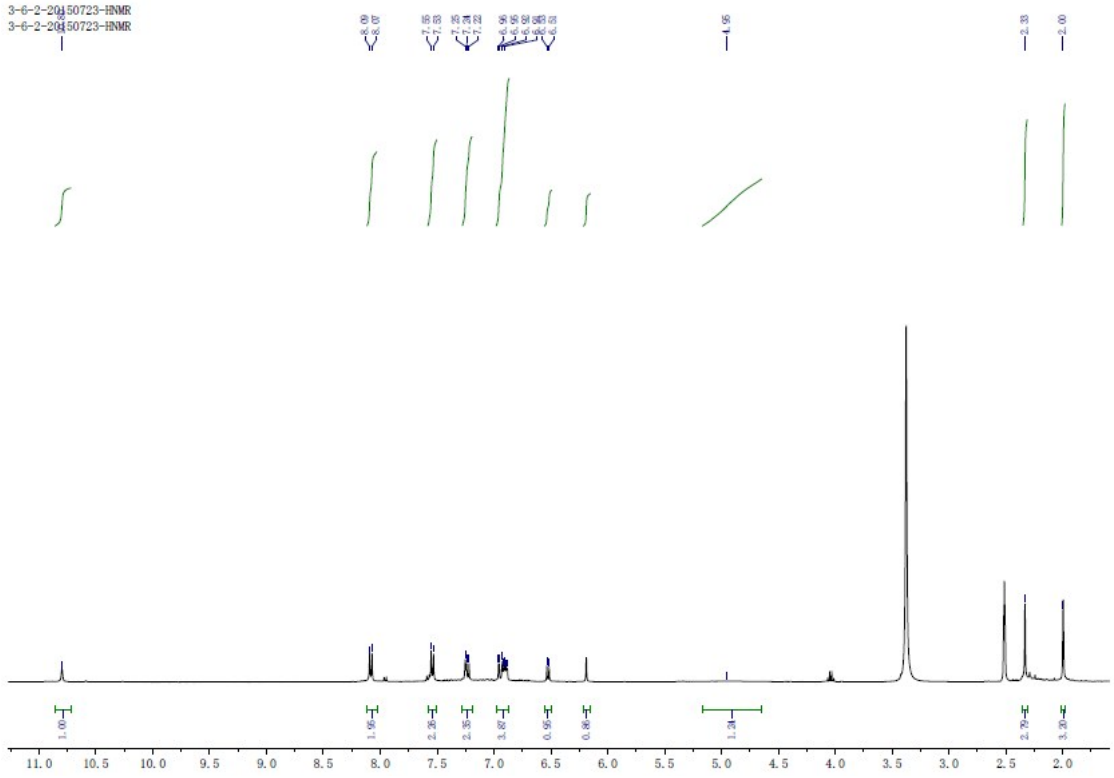
Cl

(3s)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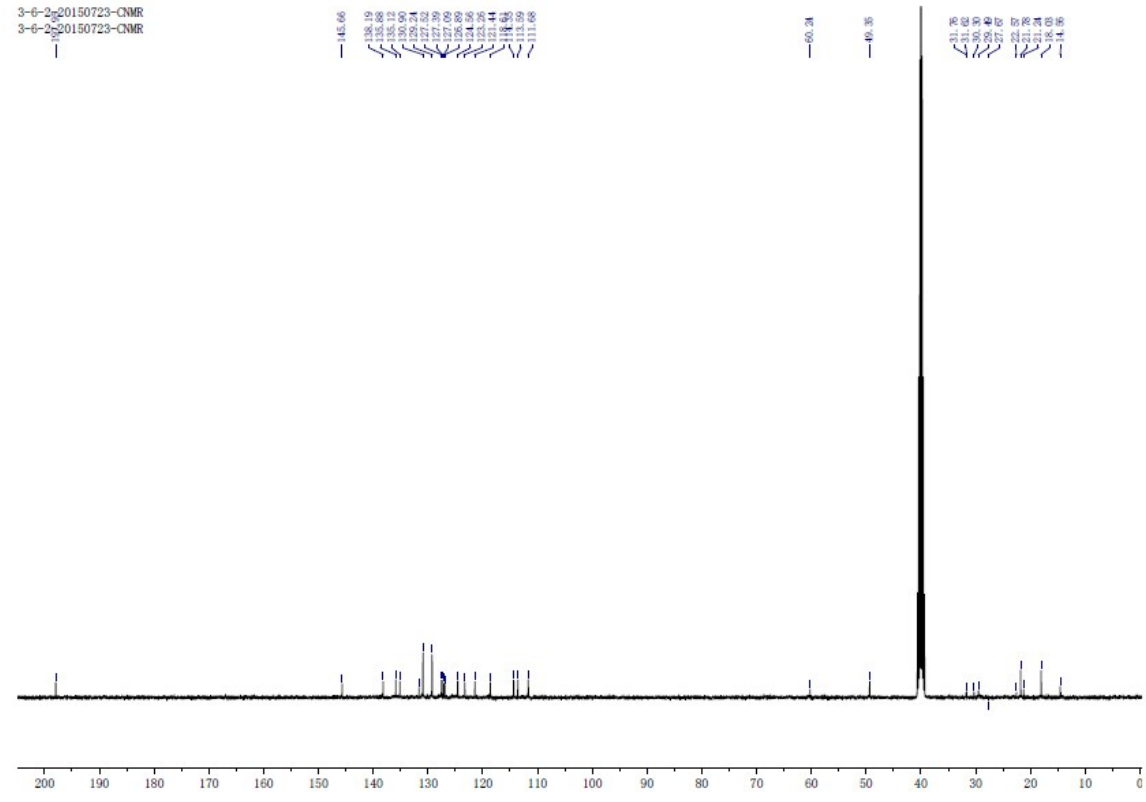
3-6-2-20150723-HNMR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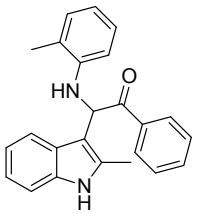
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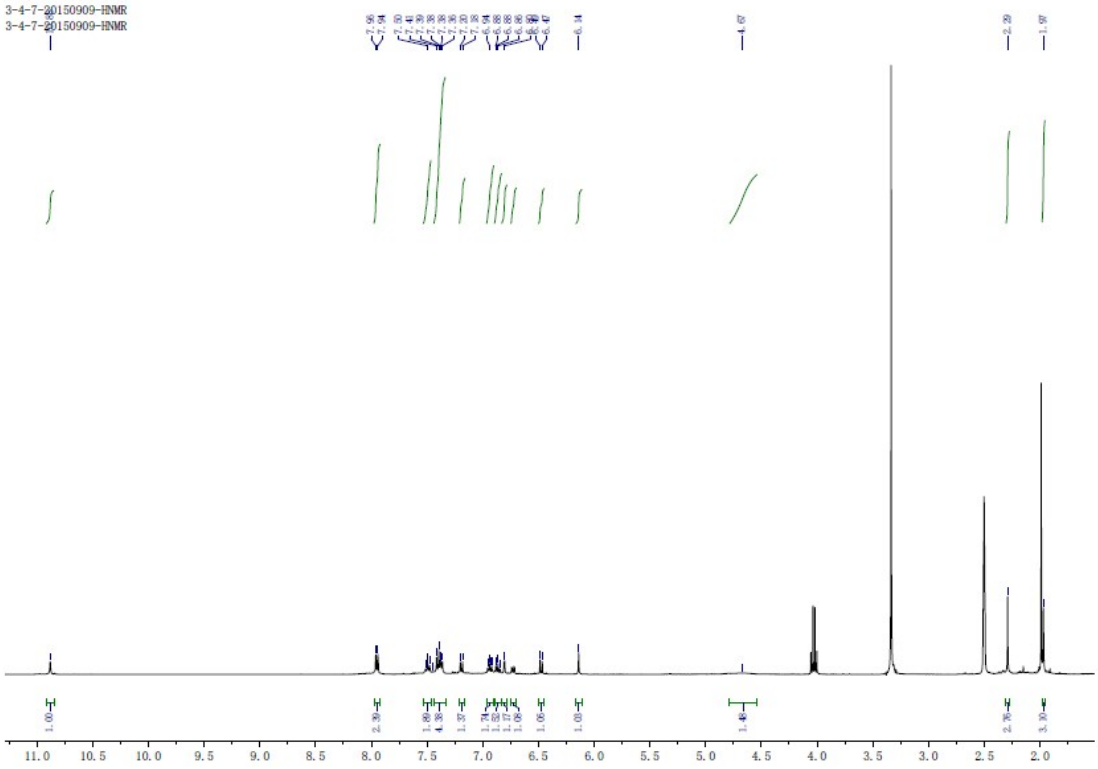
H

(3t)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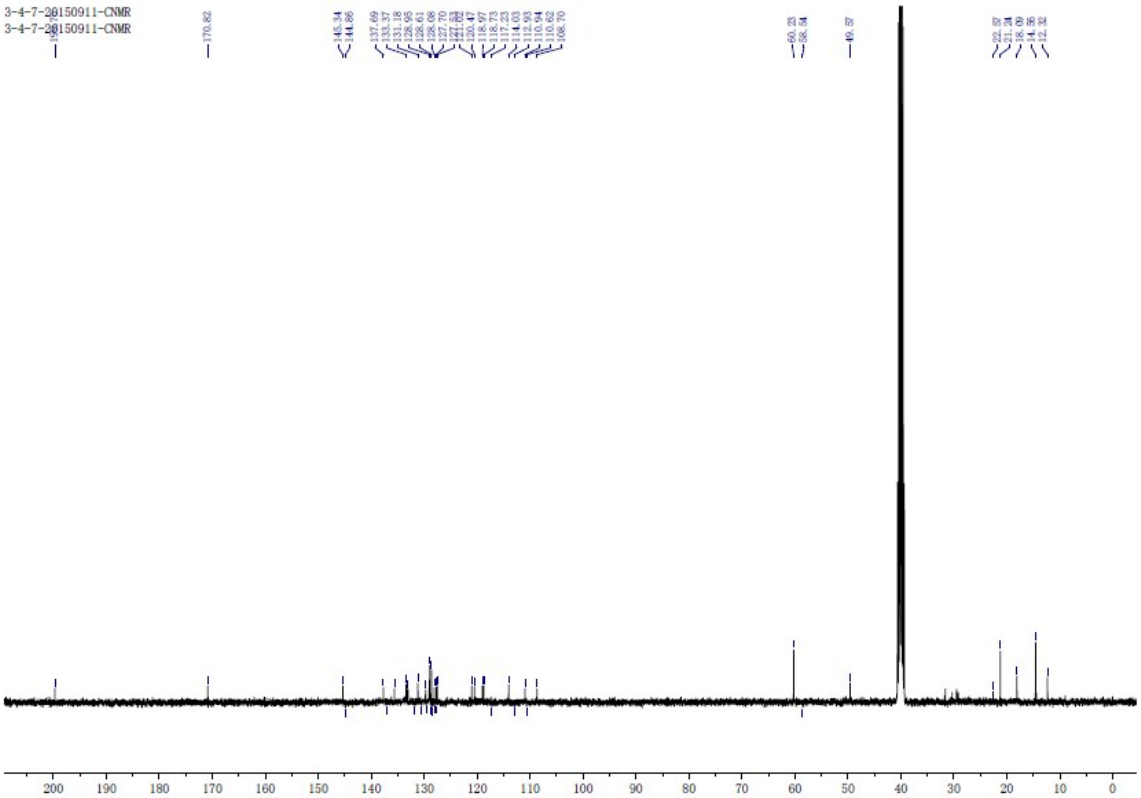
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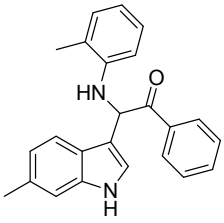
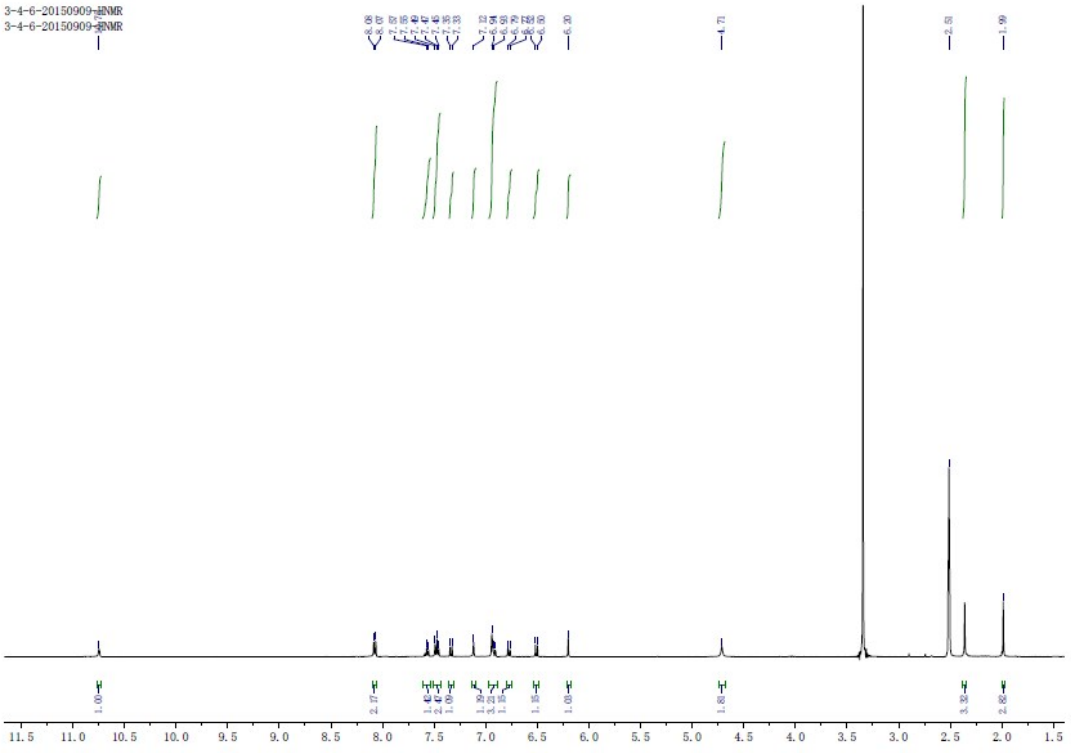

HN
O
N
H

(3u)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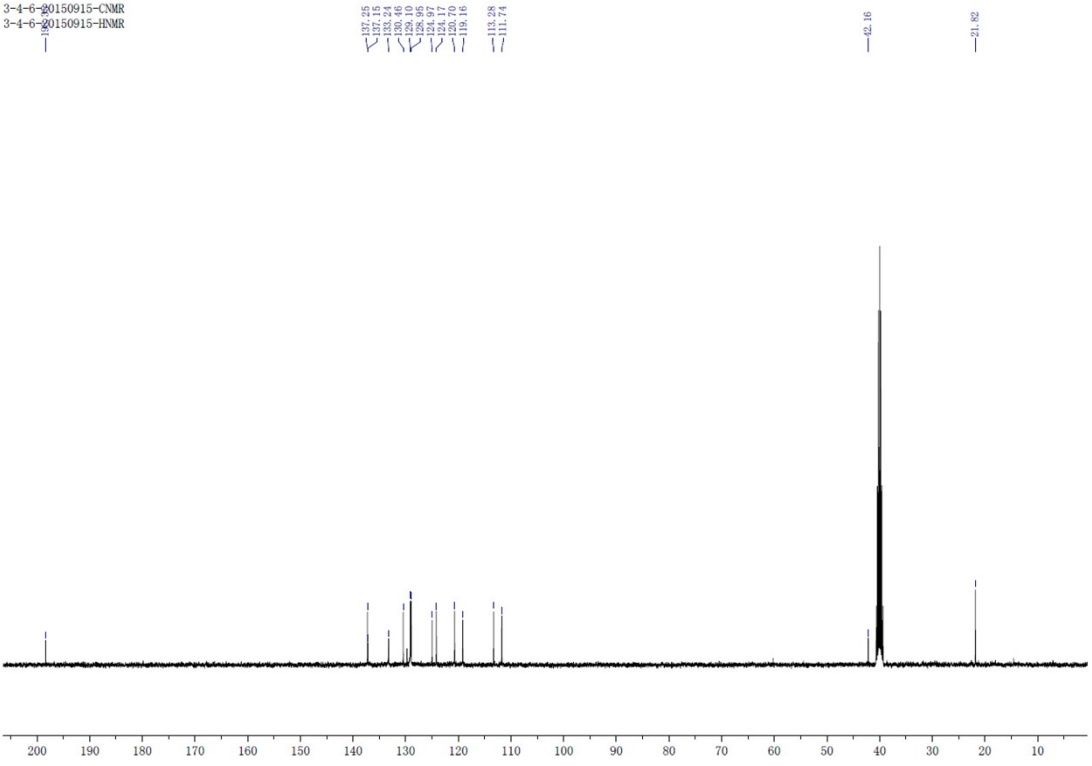
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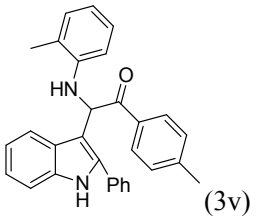
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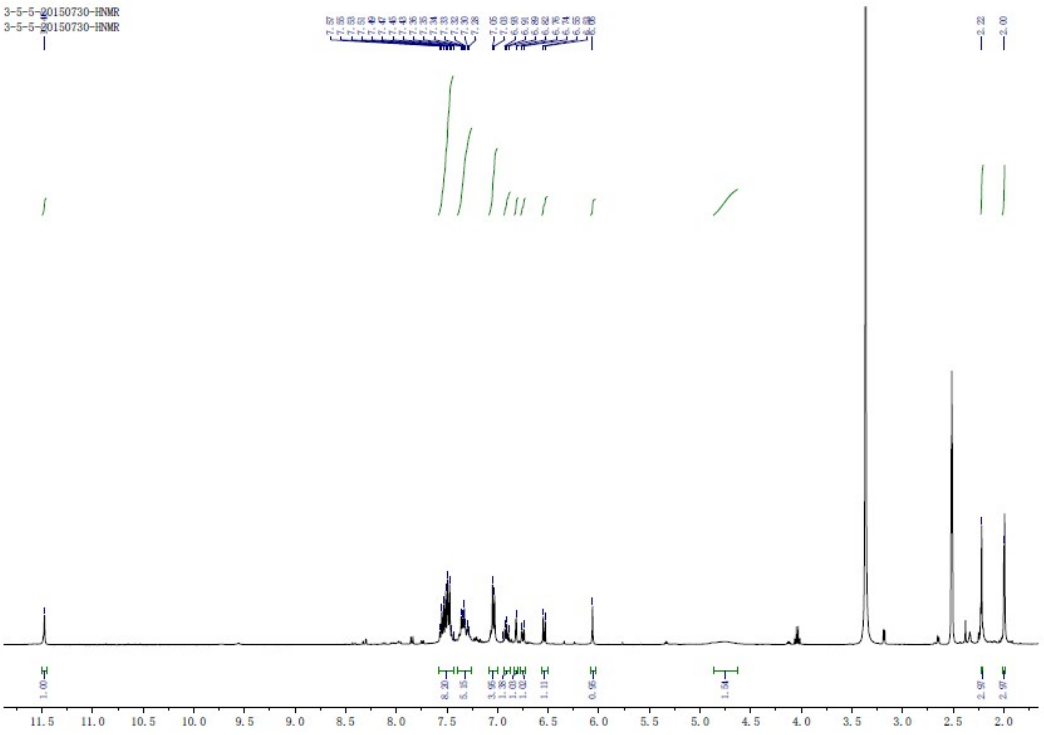
N
H
Ph

(3v)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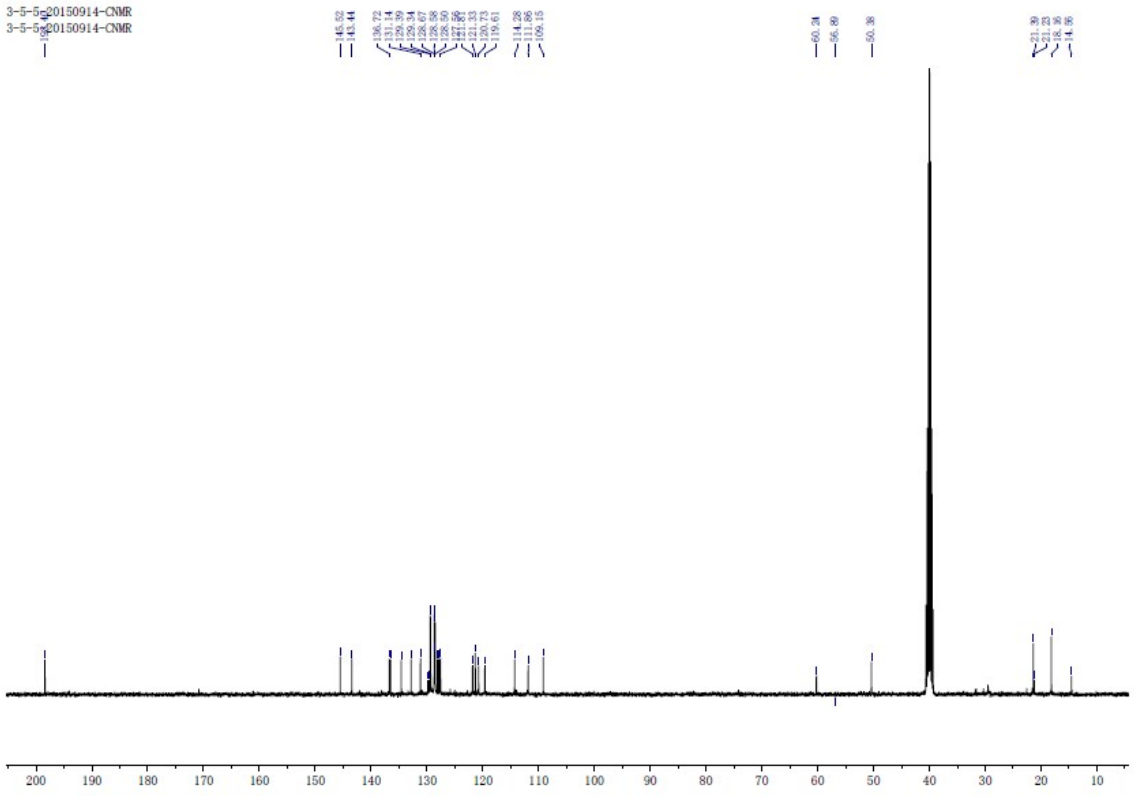
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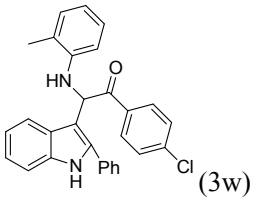
HN
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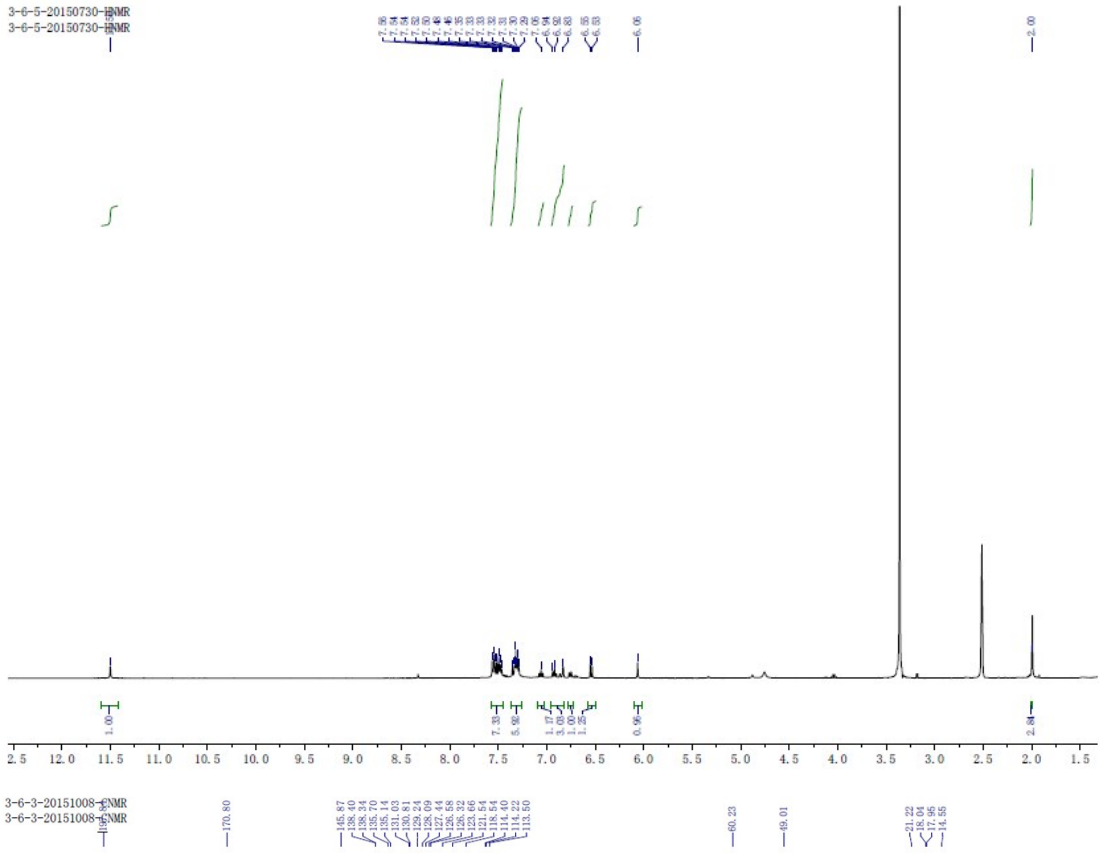
N
H
Ph
Cl

(3w)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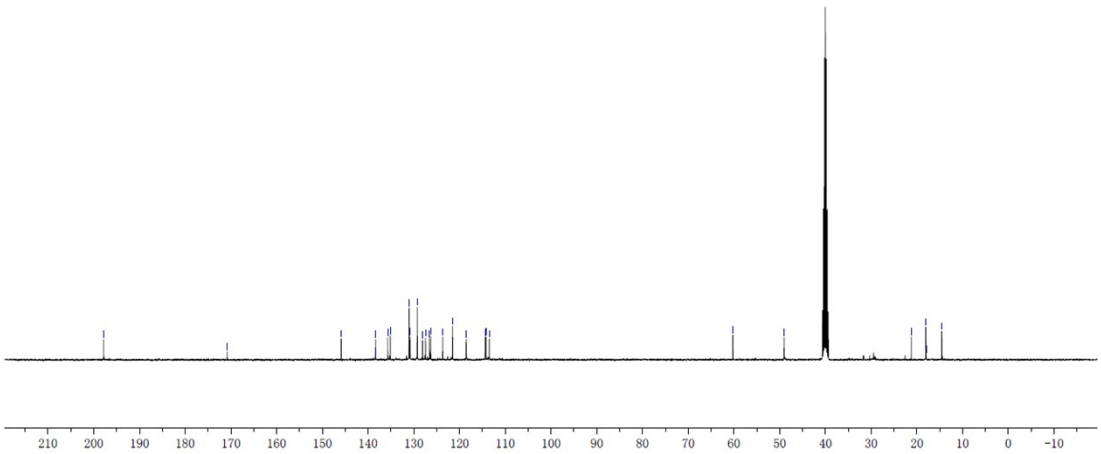
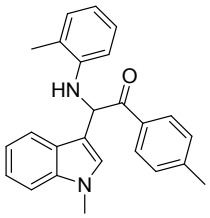
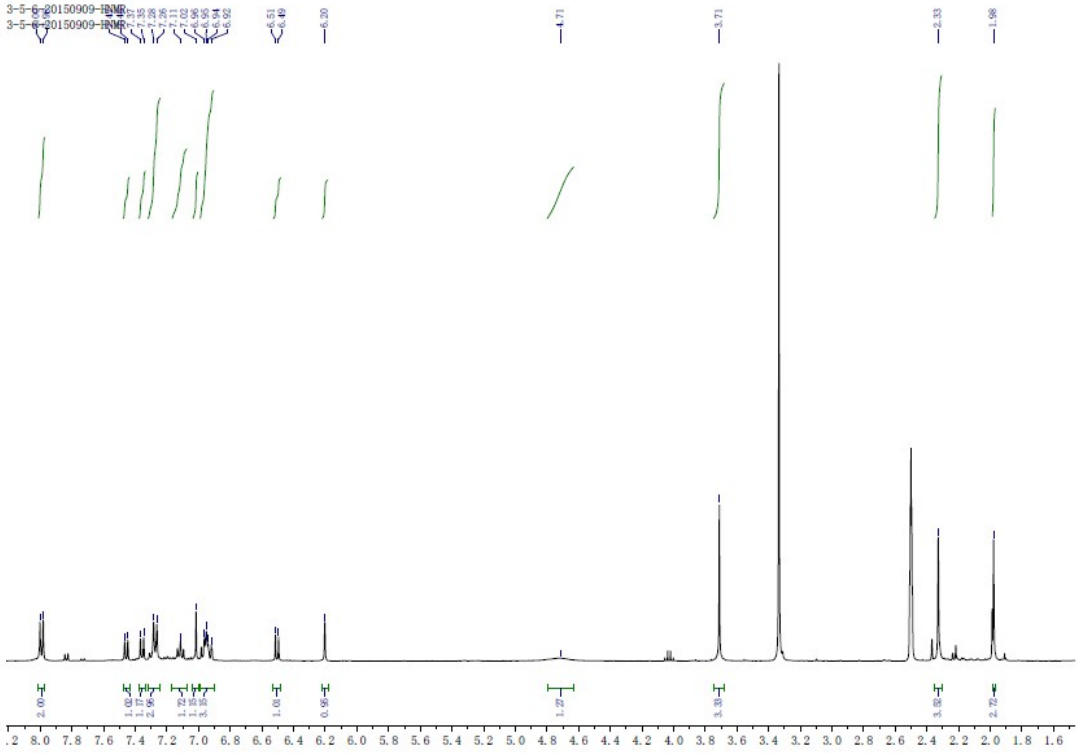



HN
O
N

(3x)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3-5-6-20150909-1HNMR
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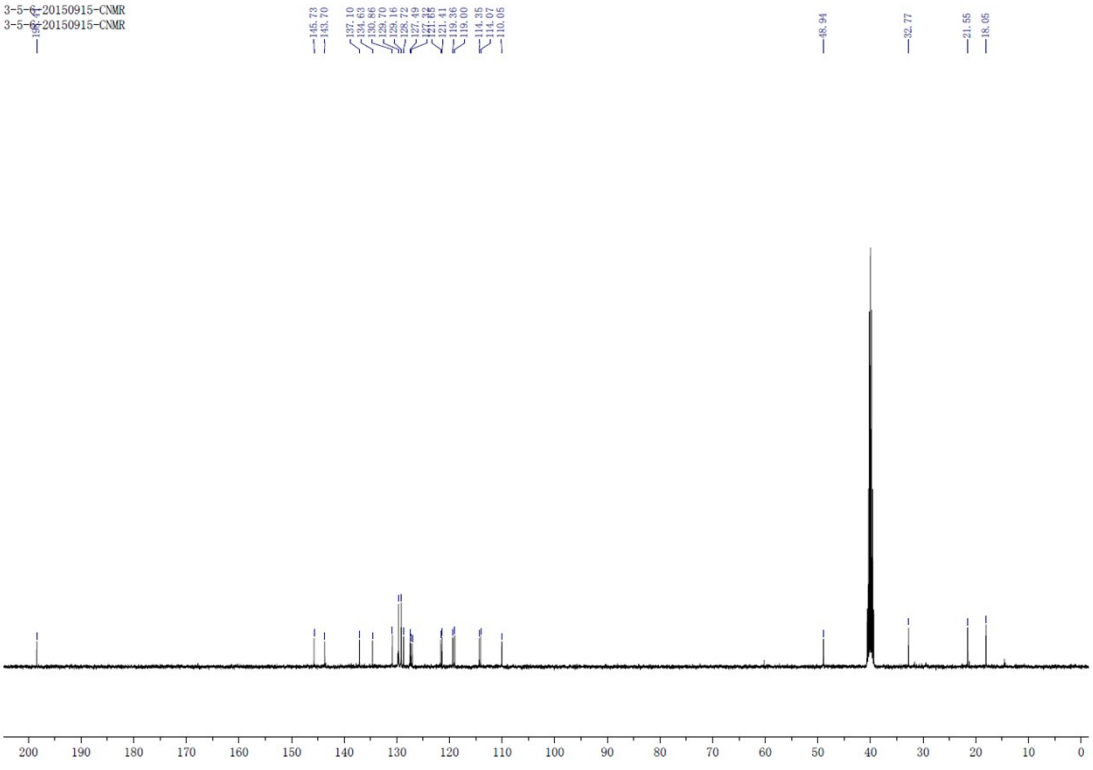
3.71
2.33
1.98
2.00
1.02
1.17
2.95
1.72
1.15
3.35
1.01
0.95
1.27
3.33
3.82
2.72
8.0 7.8 7.6 7.4 7.2 7.0 6.8 6.6 6.4 6.2 6.0 5.8 5.6 5.4 5.2 5.0 4.8 4.6 4.4 4.2 4.0 3.8 3.6 3.4 3.2 3.0 2.8 2.6 2.4 2.2 2.0 1.8 1.6


3-5-6-20150915-CNMR
3-5-6-20150915-CNMR
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129.16
128.72
127.49
121.41
119.36
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110.05
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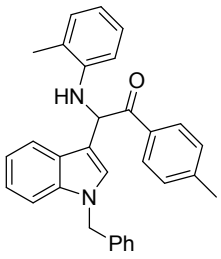

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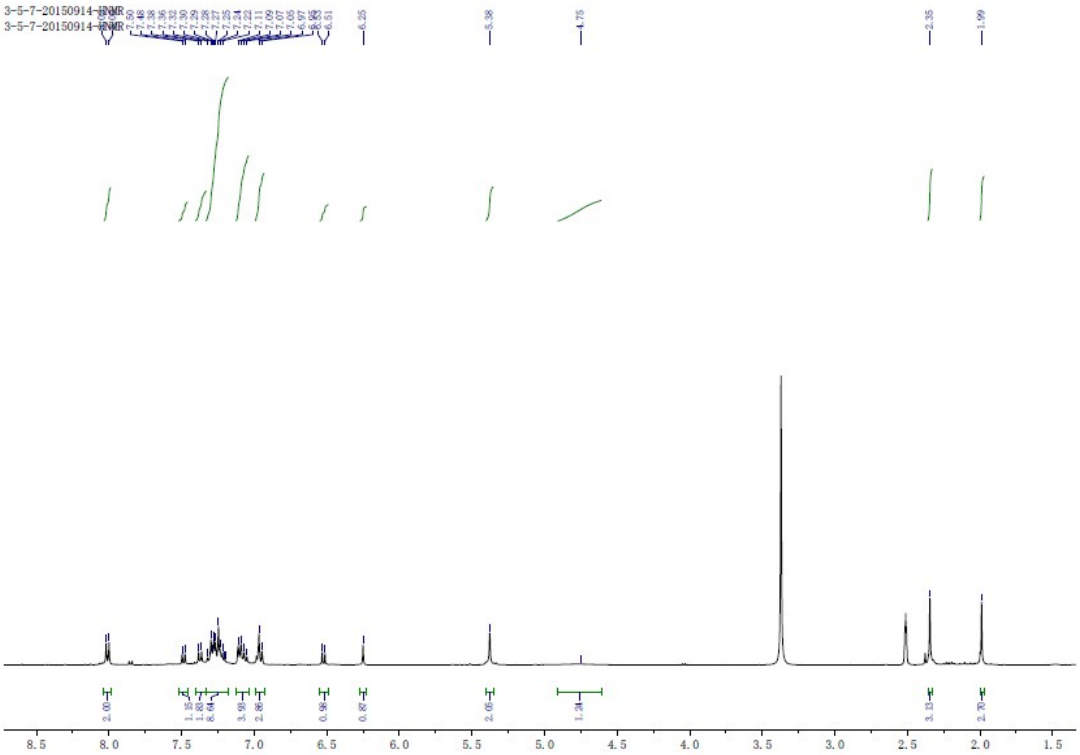

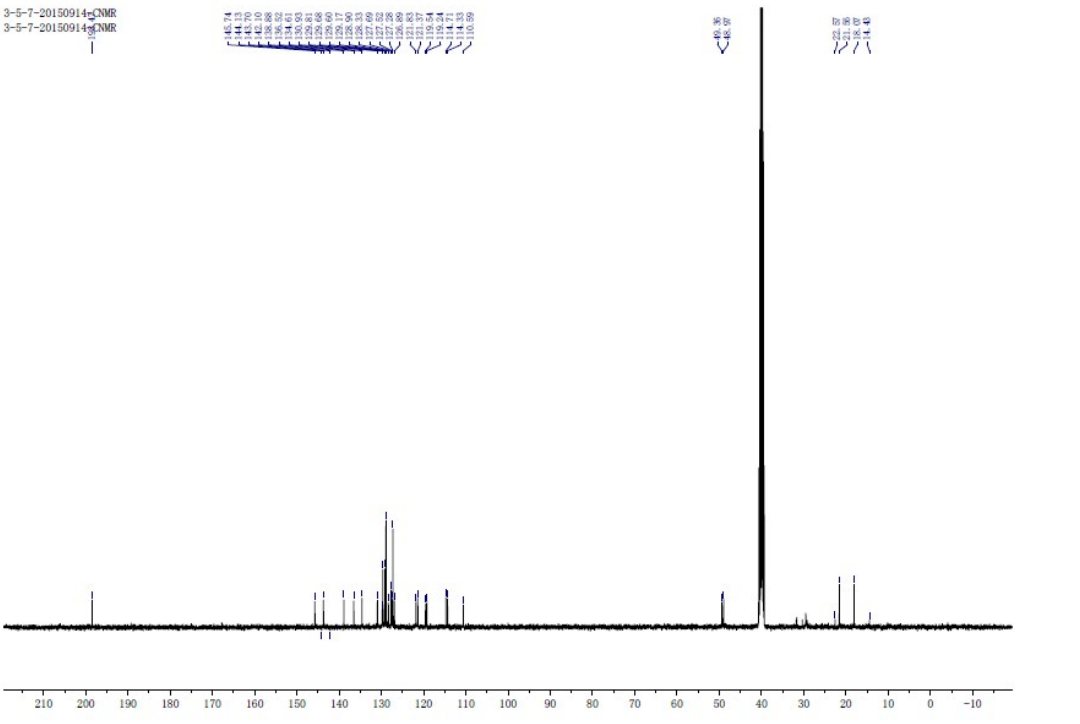

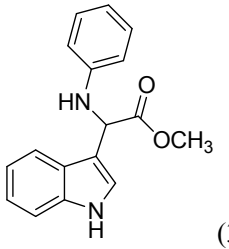

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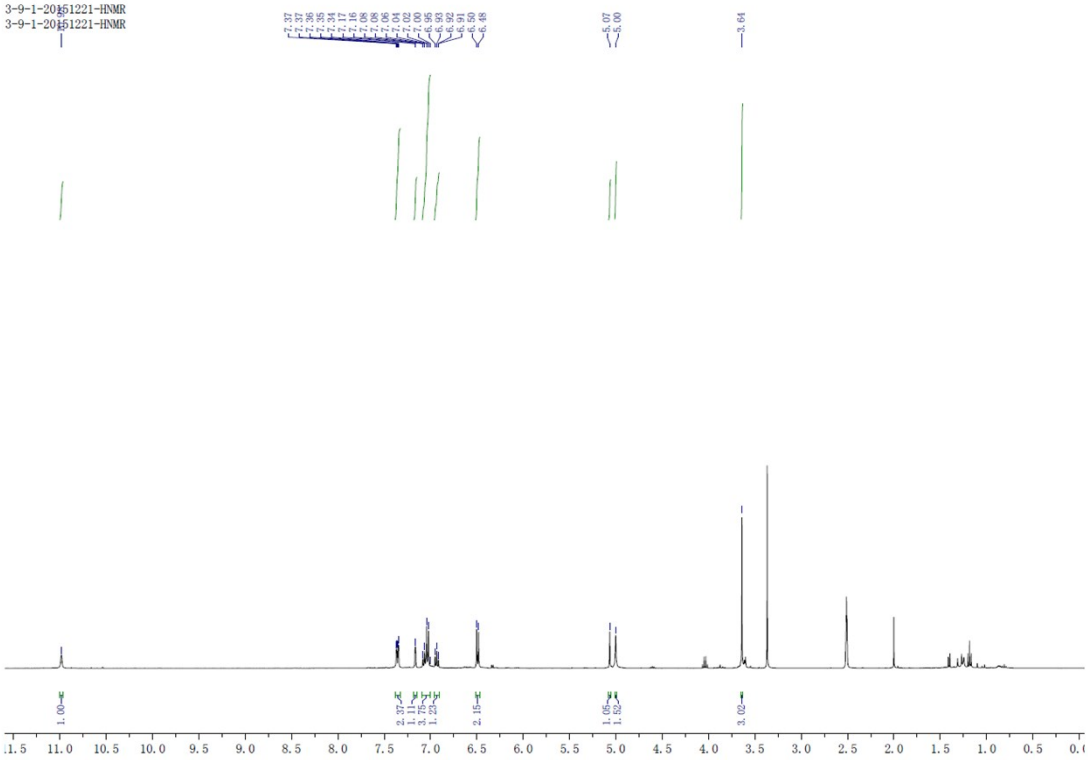

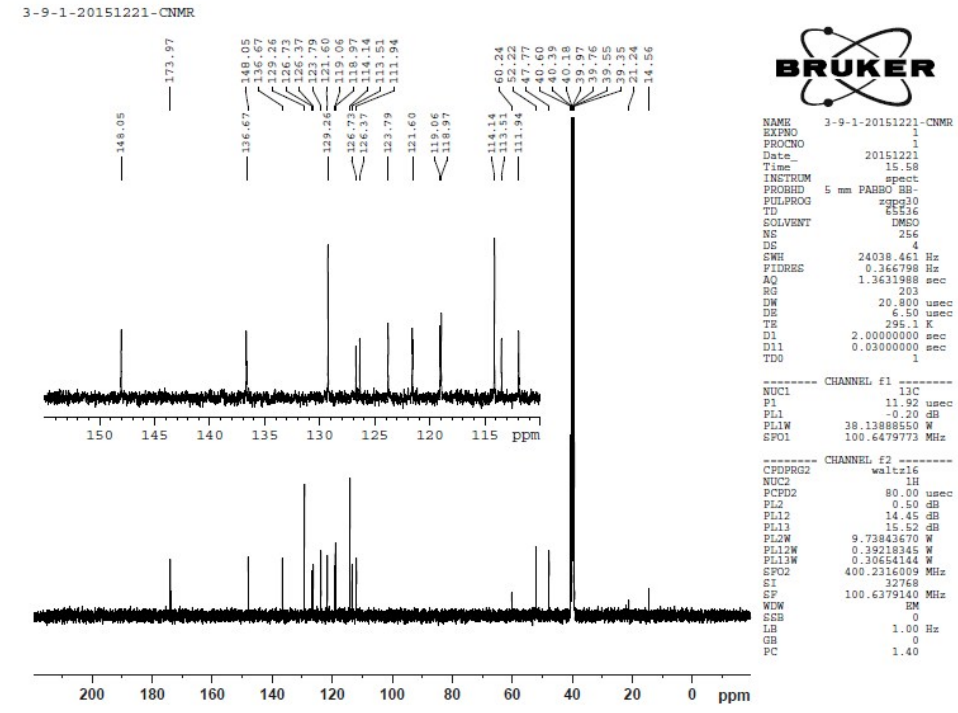

BRUKER

NAME	3-9-1-20151221-CNMR
EXPNO	1
PROCNO	1
Date_	20151221
Time	15.58
INSTRUM	spect
PROBHD	5 mm PABBO BB-
PULPROG	zgpg30
TD	65536
SOLVENT	DMSO
NS	256
DS	4
SWH	24038.461 Hz
FIDRES	0.366798 Hz
AQ	1.3631988 sec
RG	203
DW	20.800 usec
DE	6.50 usec
TE	295.1 K
D1	2.00000000 sec
D11	0.03000000 sec
TD0	1
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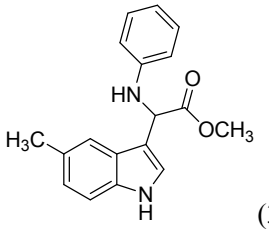
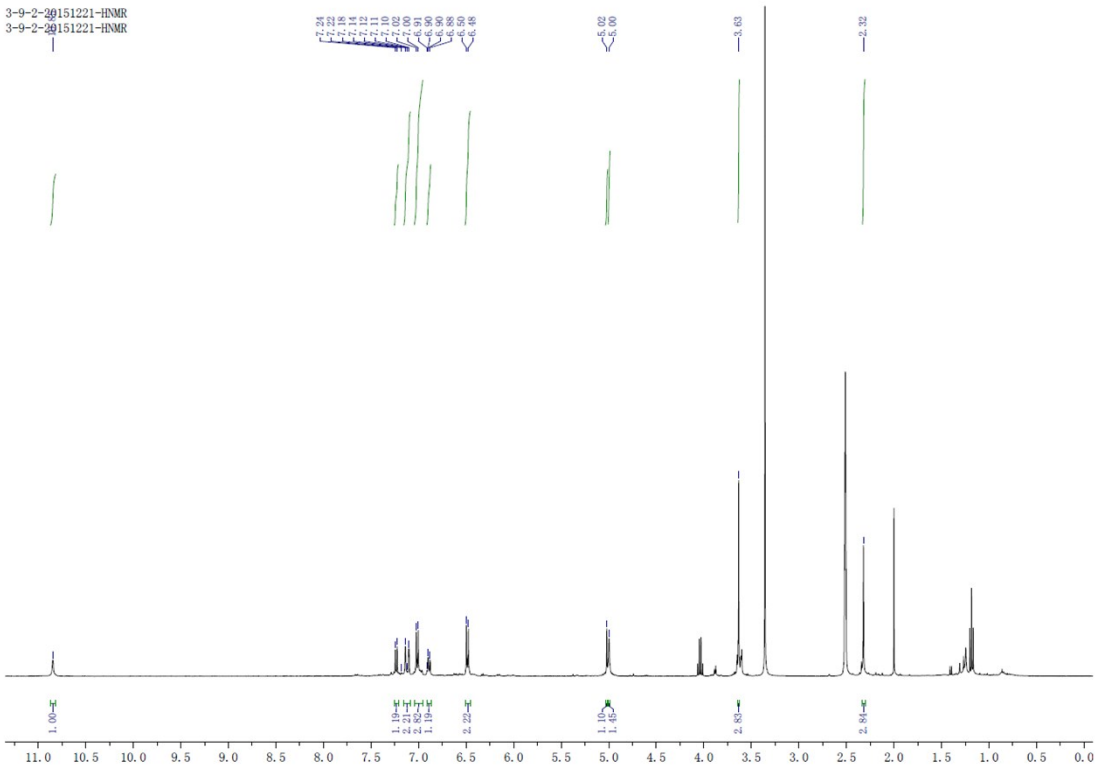
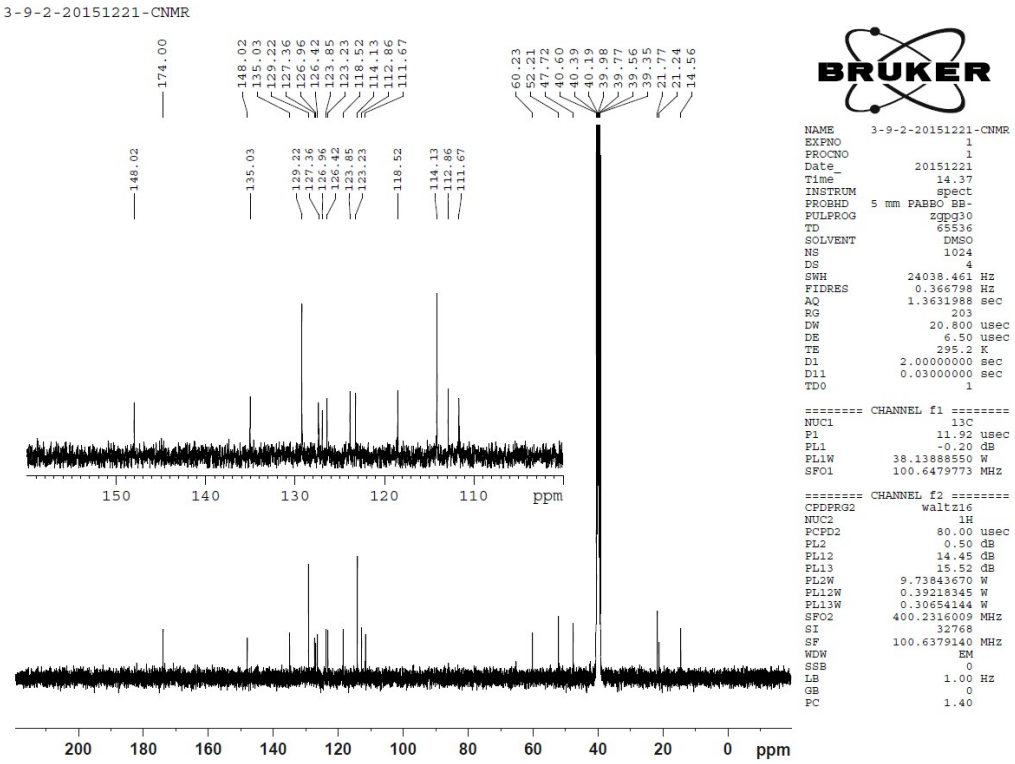
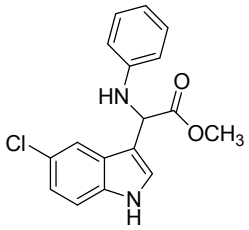
NUC1	13C
P1	11.92 usec
PL1	-0.20 dB
PL1W	38.13888550 W
SFO1	100.6479773 MHz
-------- CHANNEL f2 --------	
CPDPRG2	waltz16
NUC2	1H
PCPD2	80.00 usec
PL2	0.50 dB
PL12	14.45 dB
PL13	15.52 dB
PL2W	9.73843670 W
PL12W	0.39218345 W
PL13W	0.30654144 W
SFO2	400.2316009 MHz
SI	32768
SF	100.6379140 MHz
WDW	EM
SSB	0
LB	1.00 Hz
GB	0
PC	1.40


HN
O
OCH3
H3C
N
H

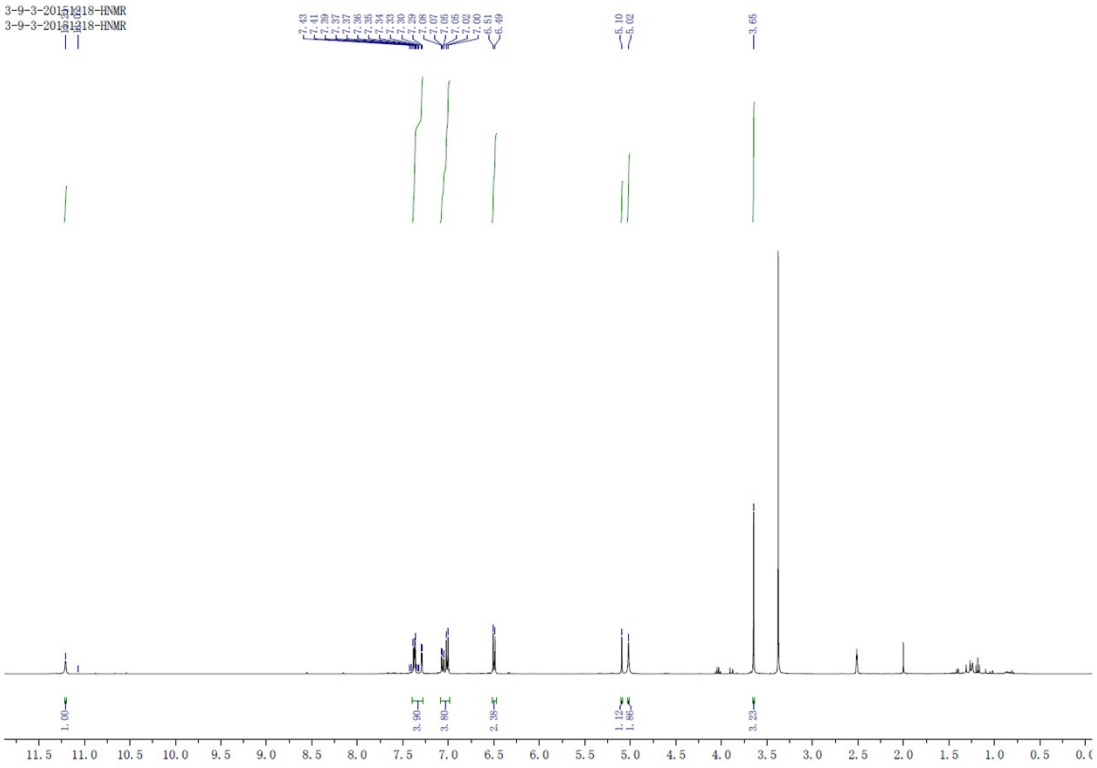
(3aa)


3-9-2-20151221-HNMR
3-9-2-20151221-HNMR


3-9-2-20151221-CNMR
BRUKER
NAME 3-9-2-20151221-CNMR
EXPNO 1
PROCNO 1
Date_ 20151221
Time 14.37
INSTRUM spect
PROBHD 5 mm PABBO BB-
PULPROG zgpg30
TD 65536
SOLVENT DMSO
NS 1024
DS 4
SWH 24038.461 Hz
FIDRES 0.366798 Hz
AQ 1.3631988 sec
RG 203
DW 20.800 usec
DE 6.50 usec
TE 295.2 K
D1 2.00000000 sec
D11 0.03000000 sec
TD0 1
======== CHANNEL f1 ========
NUC1 13C
P1 11.92 usec
PL1 -0.20 dB
PL1W 38.13888550 W
SFO1 100.6479773 MHz
======== CHANNEL f2 ========
CPDPRG2 waltz16
NUC2 1H
PCPD2 80.00 usec
PL2 0.50 dB
PL12 14.45 dB
PL13 15.52 dB
PL2W 9.73843670 W
PL12W 0.39218345 W
PL13W 0.30654144 W
SFO2 400.2316009 MHz
SI 32768
SF 100.6379140 MHz
WDW EM
SSB 0
LB 1.00 Hz
GB 0
PC 1.40


HN
O
OCH3
Cl
N
H

(3bb)


3-9-3-20151218-HNMR
3-9-3-20151218-HNMR
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7.41
7.39
7.37
7.36
7.35
7.34
7.33
7.30
7.29
7.08
7.07
7.05
7.02
7.00
6.51
6.49
5.10
5.02
3.65
1.00
3.90
3.80
2.38
1.12
1.02
3.23
11.5
11.0
10.5
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
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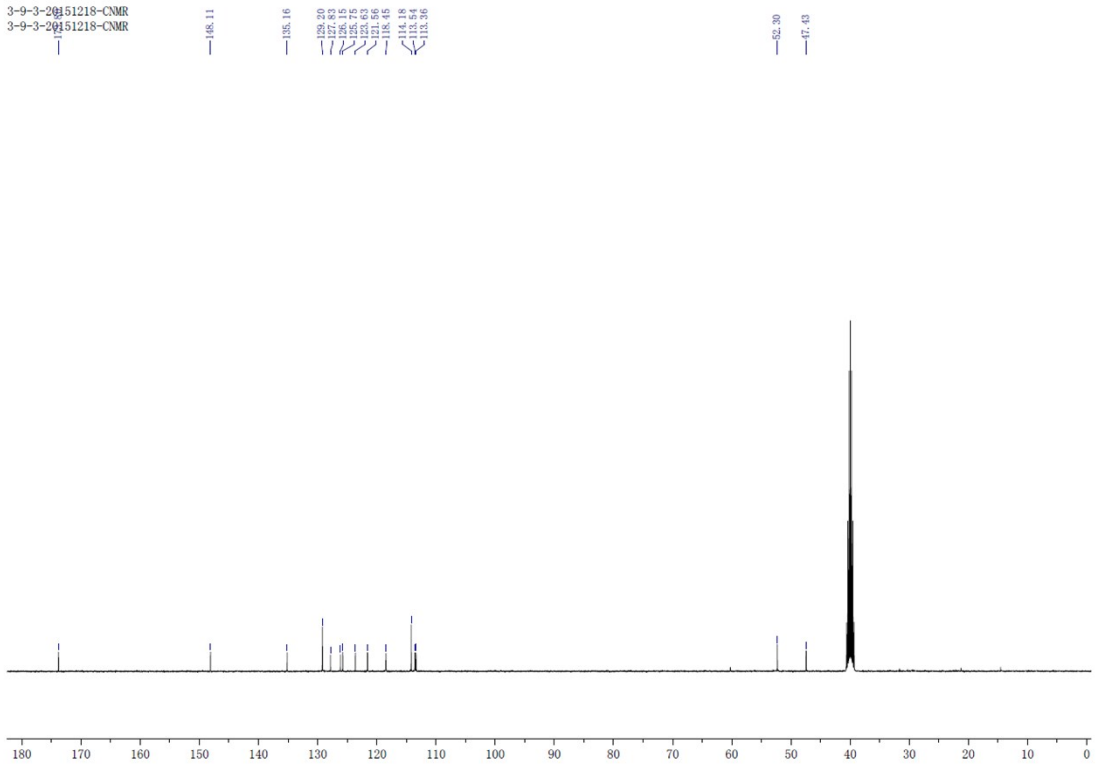
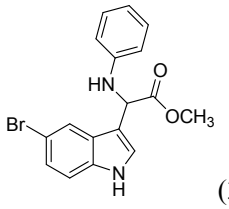
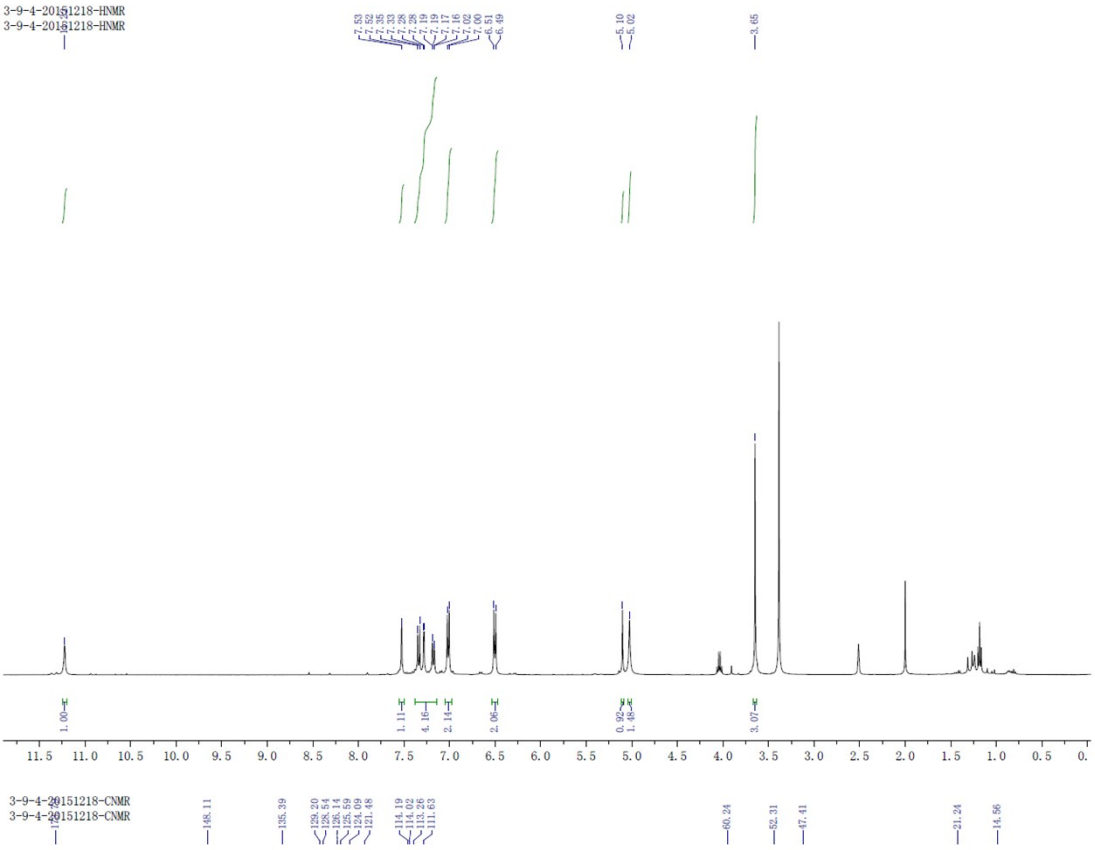
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5


3-9-3-20151218-CNMR
3-9-3-20151218-CNMR
148.11
135.16
129.20
127.83
126.15
125.75
123.63
121.56
118.45
114.18
113.54
113.36
52.30
47.43
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0


HN
O
OCH3
Br
N
H

(3cc)


3-9-4-20151218-HNMR
3-9-4-20151218-HNMR
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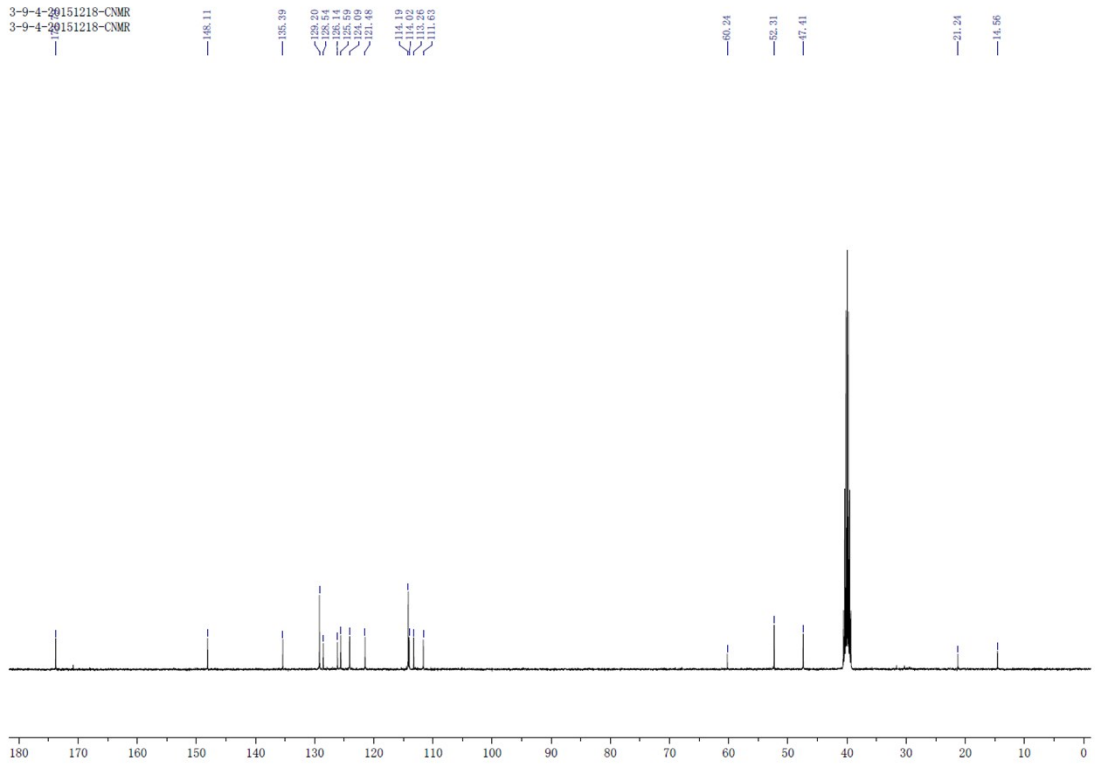
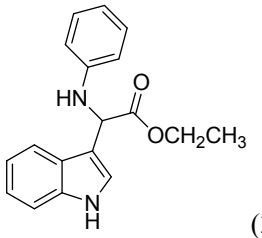
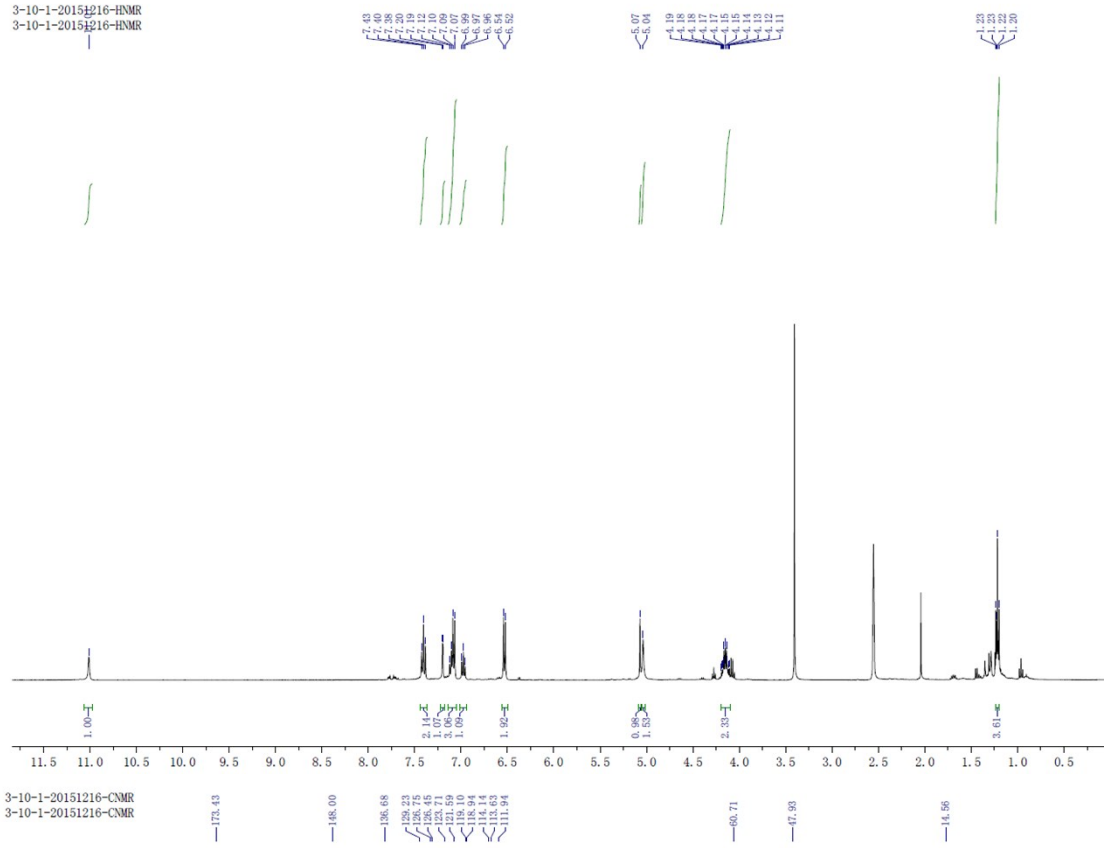
7.52
7.35
7.33
7.28
7.25
7.19
7.17
7.16
7.02
7.00
6.51
6.49
5.10
5.02
3.65
1.00
1.11
4.16
2.14
2.06
0.92
1.48
3.07
11.5 11.0 10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.


3-9-4-20151218-CNMR
3-9-4-20151218-CNMR
148.11
135.39
129.20
126.54
126.14
125.59
124.09
121.48
114.19
114.02
113.26
111.63
60.24
52.31
47.41
21.24
14.56
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0


HN
O
OCH2CH3
N
H

(3dd)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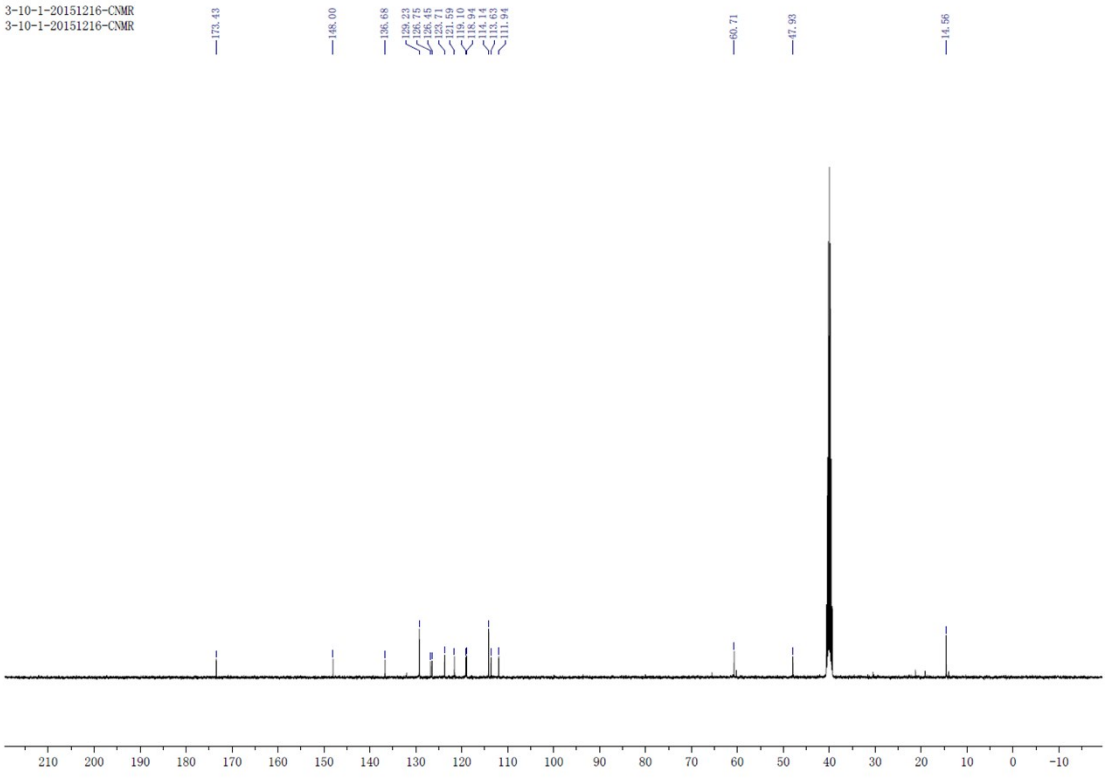
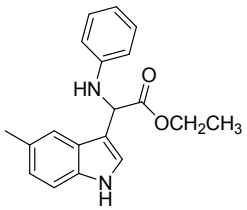
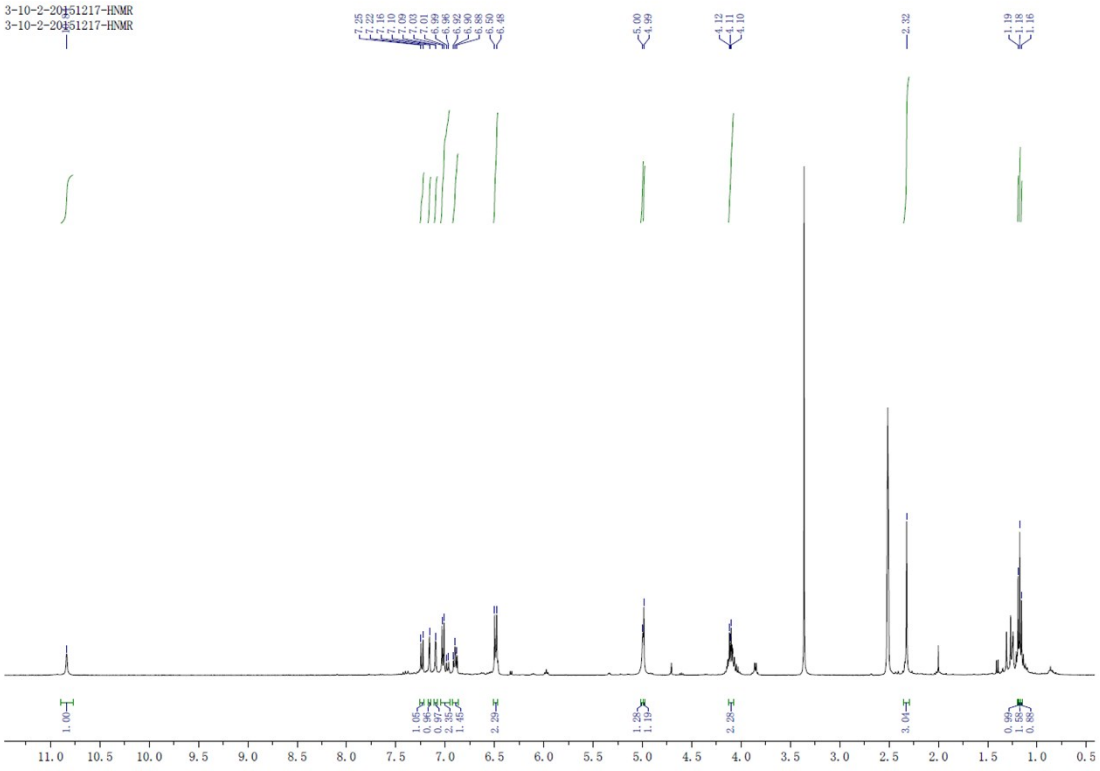
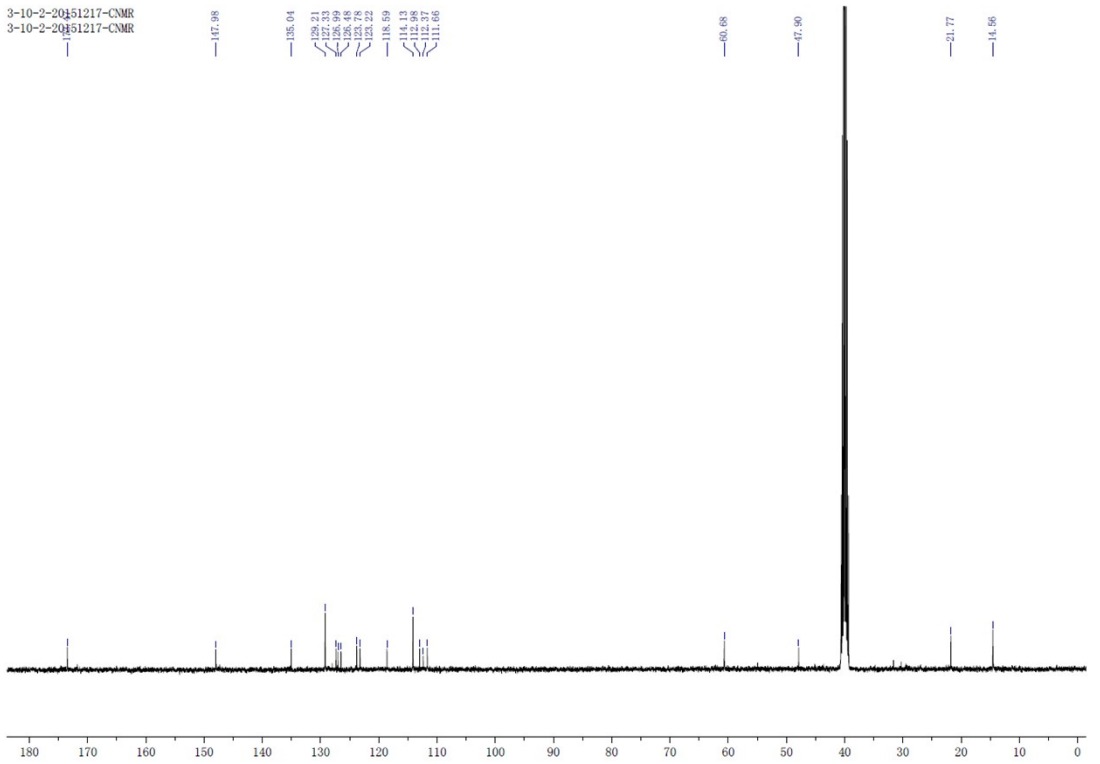
3-10-1-20151216-HNMR

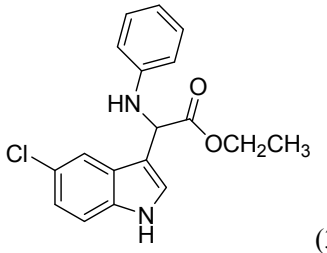

3-10-1-20151216-CNMR
3-10-1-20151216-CNMR


HN
O
OCH2CH3
N
H

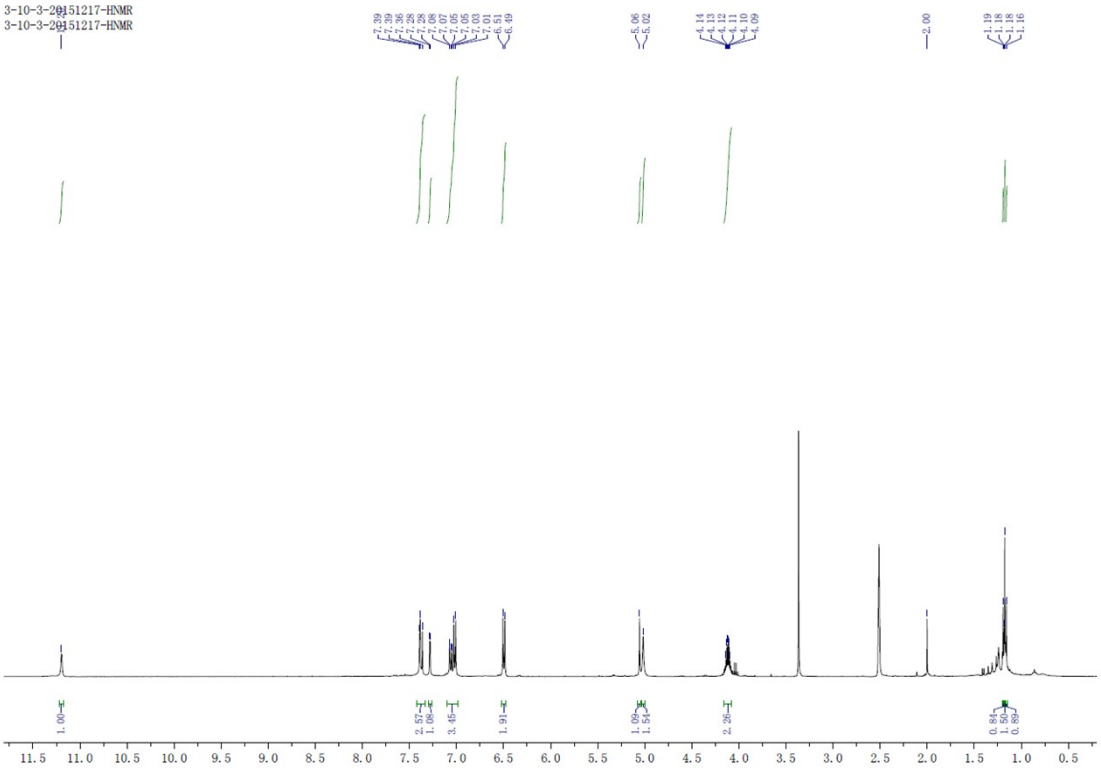
(3ee)

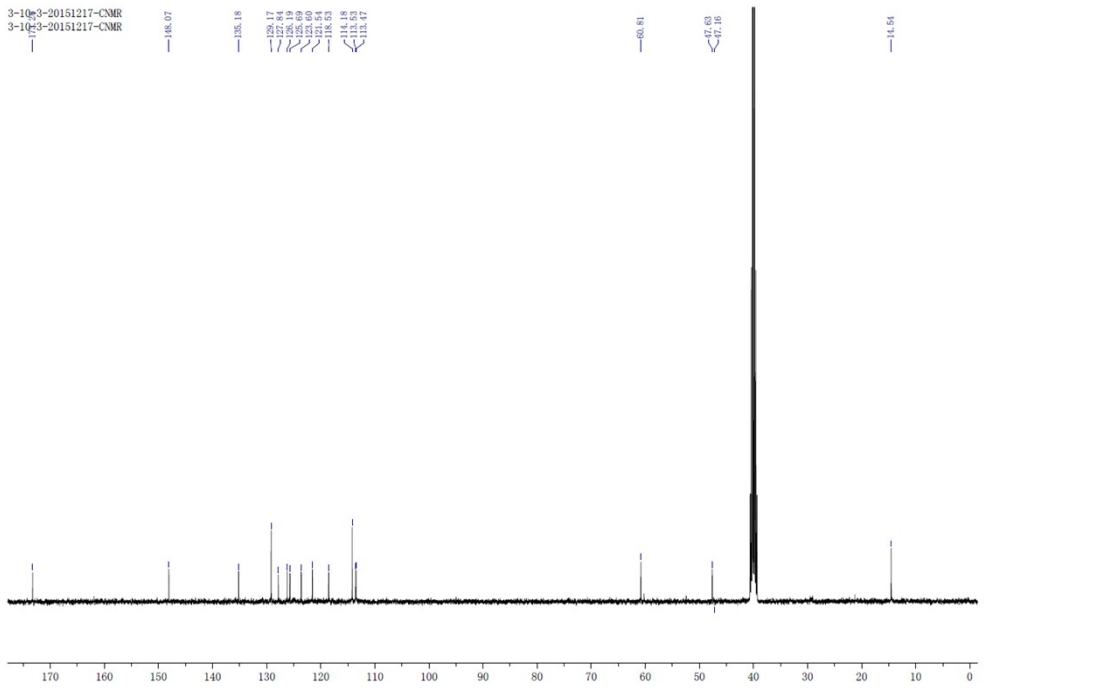

3-10-2-20151217-HNMR
3-10-2-20151217-HNMR

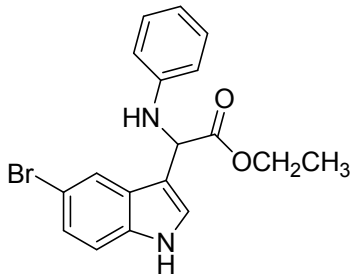

3-10-2-20151217-CNMR
3-10-2-20151217-CNMR


HN
O
OCH2CH3
Cl
N
H

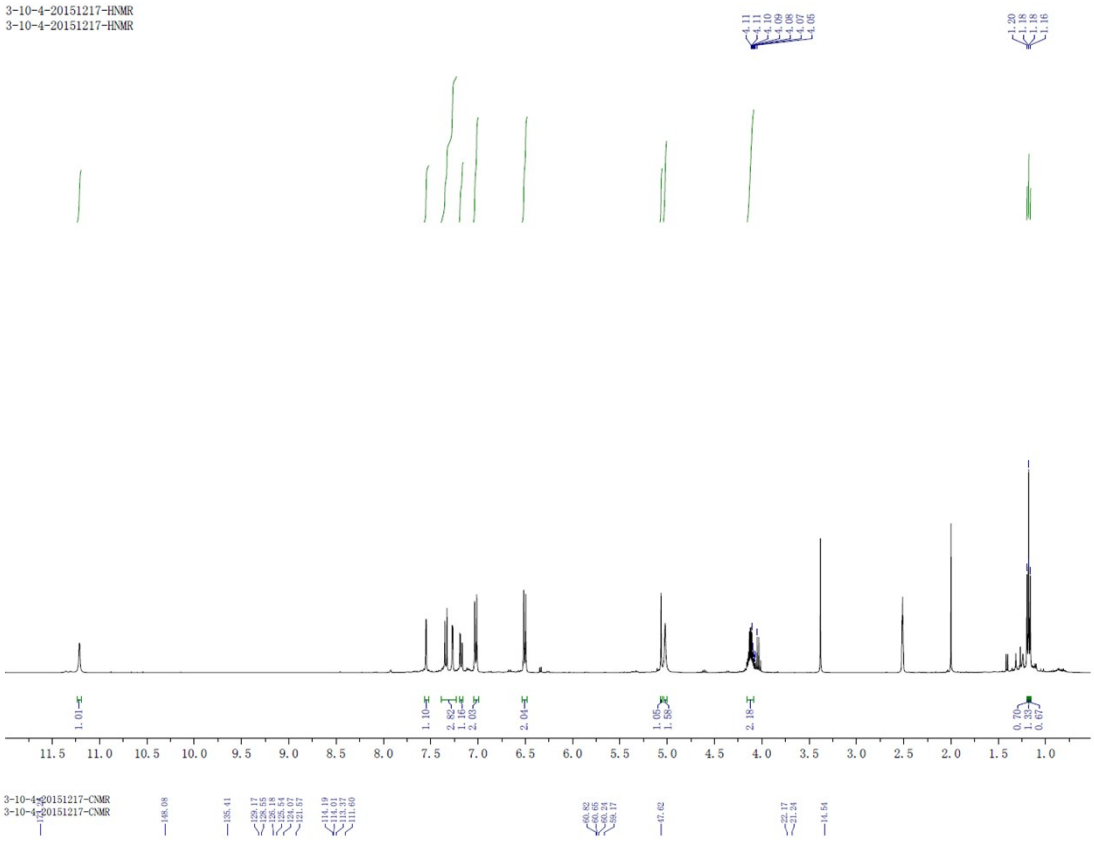
(3ff)

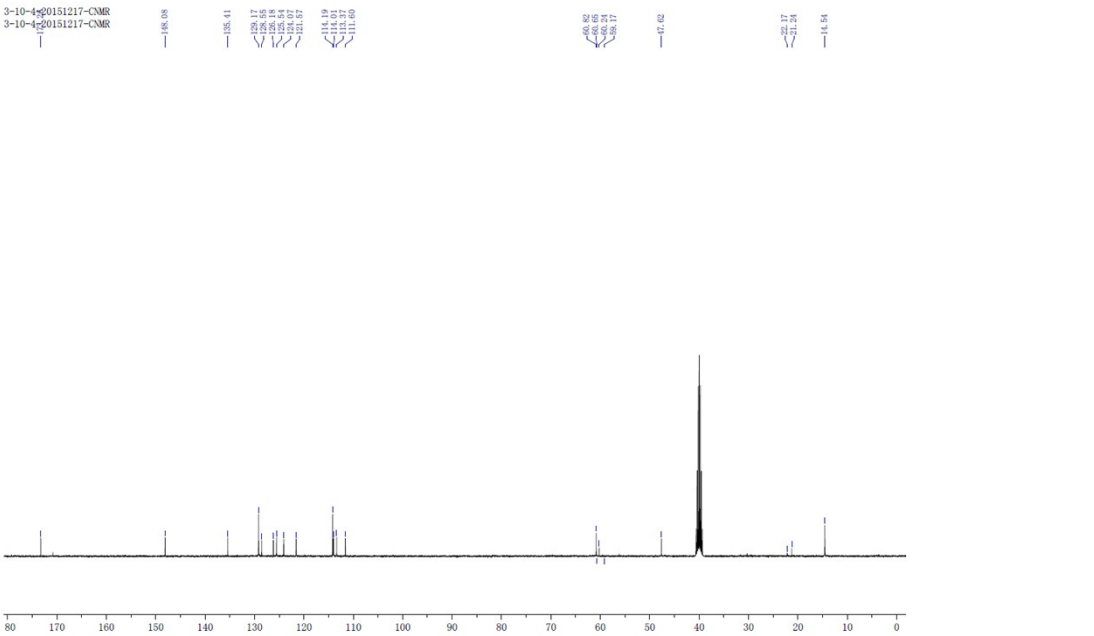

3-10-3-20151217-HNMR
3-10-3-20151217-HNMR

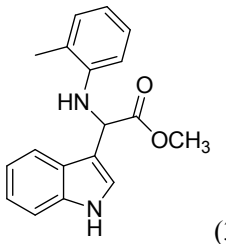

3-10-3-20151217-CNMR
3-10-3-20151217-CNMR


HN
O
OCH2CH3
Br
N
H

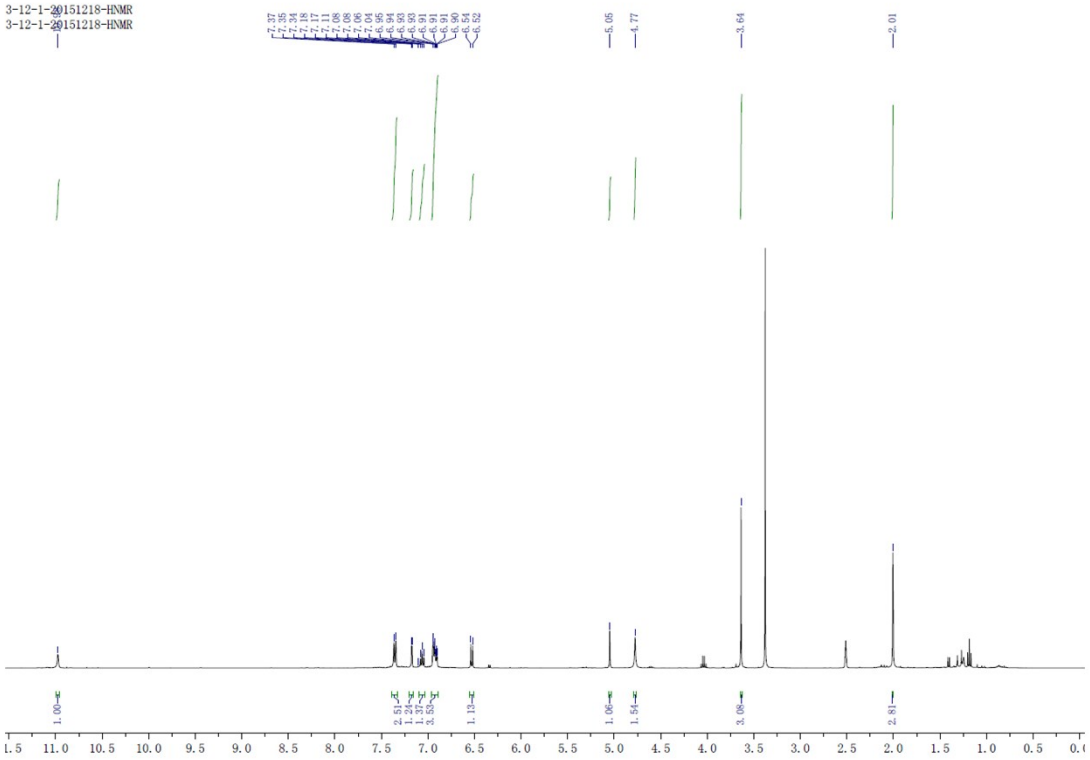
(3gg)

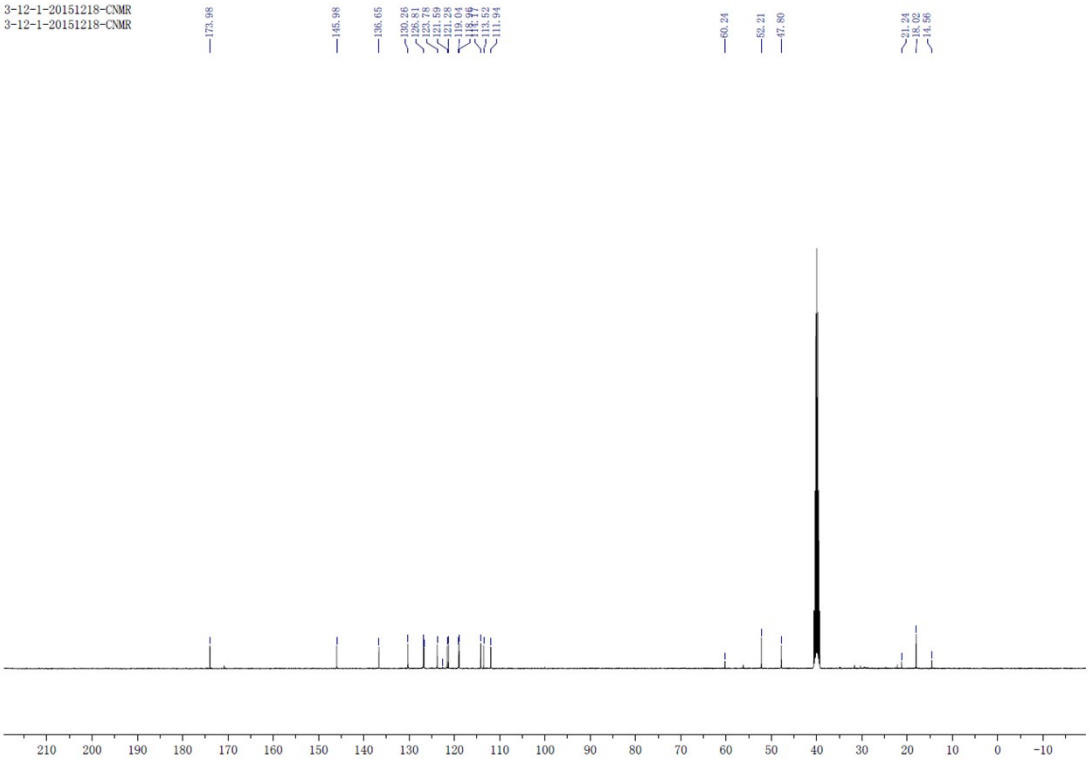

3-10-4-20151217-HNMR
3-10-4-20151217-HNMR

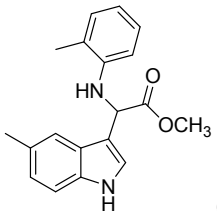

3-10-4-20151217-CNMR
3-10-4-20151217-CNMR


HN
O
OCH3
N
H

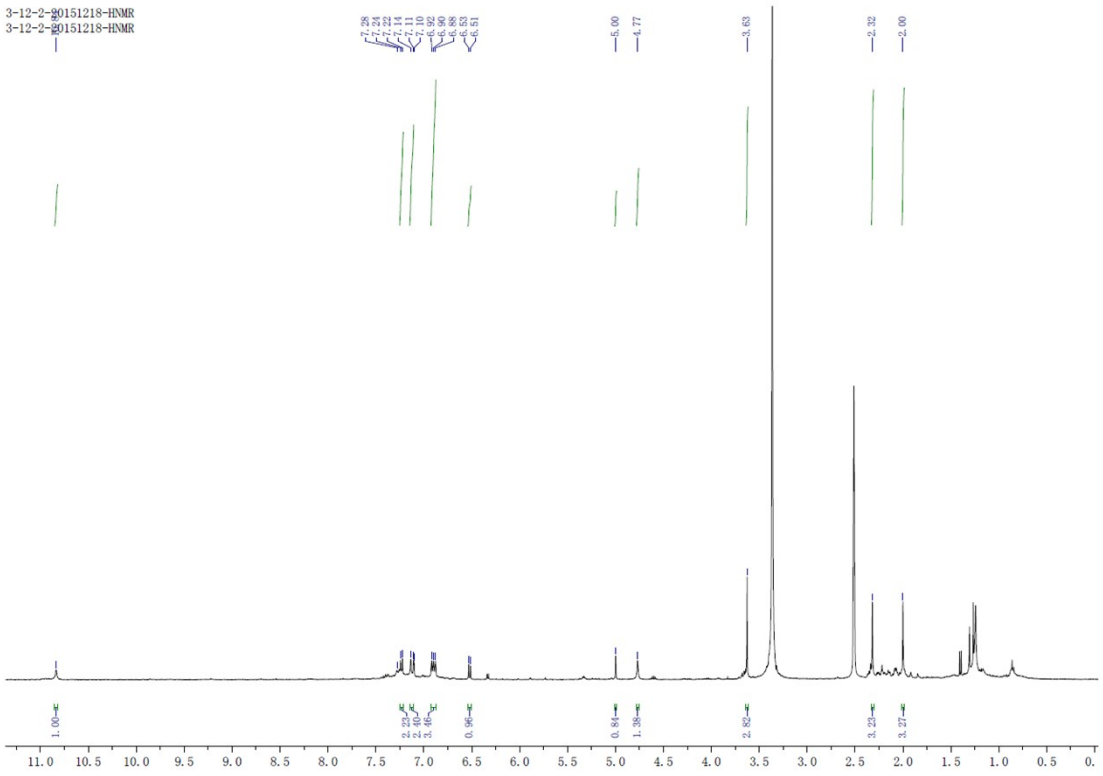
(3hh)

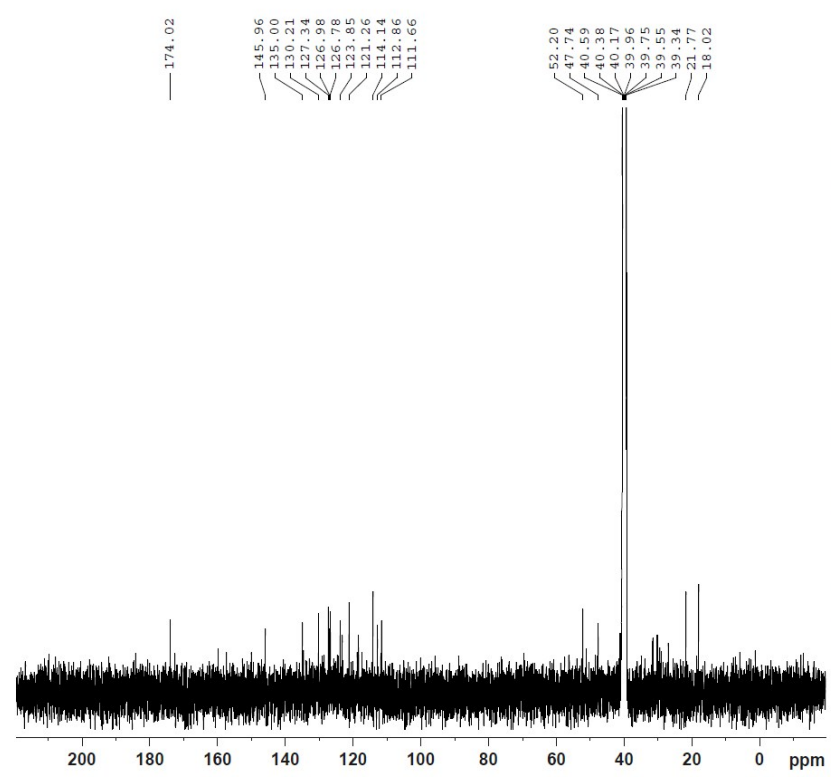

3-12-1-20151218-HNMR
3-12-1-20151218-HNMR
7.37
7.35
7.34
7.18
7.17
7.11
7.08
7.06
7.04
6.95
6.94
6.93
6.91
6.91
6.90
6.54
6.52
5.05
4.77
3.64
2.01
1.00
2.51
1.24
1.37
3.53
1.13
1.06
1.54
3.08
2.81
11.0
10.5
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5


3-12-1-20151218-CNMR
3-12-1-20151218-CNMR
173.98
145.98
136.65
130.26
126.81
123.78
121.59
121.28
119.04
113.52
111.94
60.24
52.21
47.80
21.24
18.02
14.98
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10



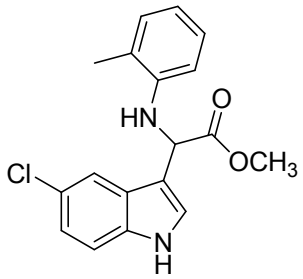
(3ii)



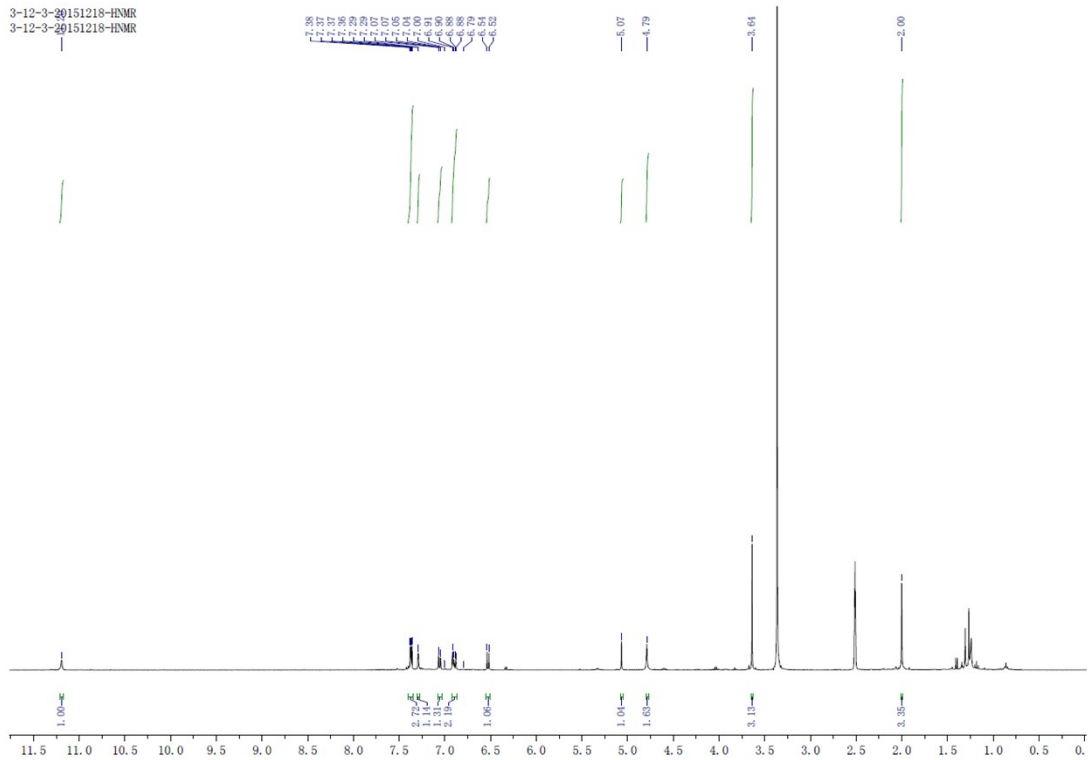


BRUKER

NAME	3-12-2-20151218-CNMR
EXPNO	1
PROCNO	1
Date_	20151219
Time	6.18
INSTRUM	spect
PROBHD	5 mm PABBO BB-
PULPROG	zgpg30
TD	65536
SOLVENT	DMSO
NS	4000
DS	4
SWH	24038.461 Hz
FIDRES	0.366798 Hz
AQ	1.3631988 sec
RG	203
DW	20.800 usec
DE	6.50 usec
TE	294.6 K
D1	2.00000000 sec
D11	0.03000000 sec
TD0	1
======== CHANNEL f1 ========	
NUC1	13C
P1	11.92 usec
PL1	-0.20 dB
PL1W	38.13888550 W
SFO1	100.6479773 MHz
======== CHANNEL f2 ========	
CPDPRG2	waltz16
NUC2	1H
PCPD2	80.00 usec
PL2	0.50 dB
PL12	14.45 dB
PL13	15.52 dB
PL2W	9.73843670 W
PL12W	0.39218345 W
PL13W	0.30654144 W
SFO2	400.2316009 MHz
SI	32768
SF	100.6379140 MHz
WDW	EM
SSB	0
LB	1.00 Hz
GB	0
PC	1.40


HN
O
OCH3
Cl
N
H

(3jj)


3-12-3-20151218-HNMR
3-12-3-20151218-HNMR
7.38
7.37
7.37
7.36
7.29
7.29
7.07
7.07
7.06
7.05
7.00
6.91
6.90
6.88
6.88
6.79
6.54
6.52
5.07
4.79
3.64
2.00
1.00
2.72
1.14
1.13
2.18
1.06
1.04
1.63
3.13
3.35
11.5
11.0
10.5
10.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
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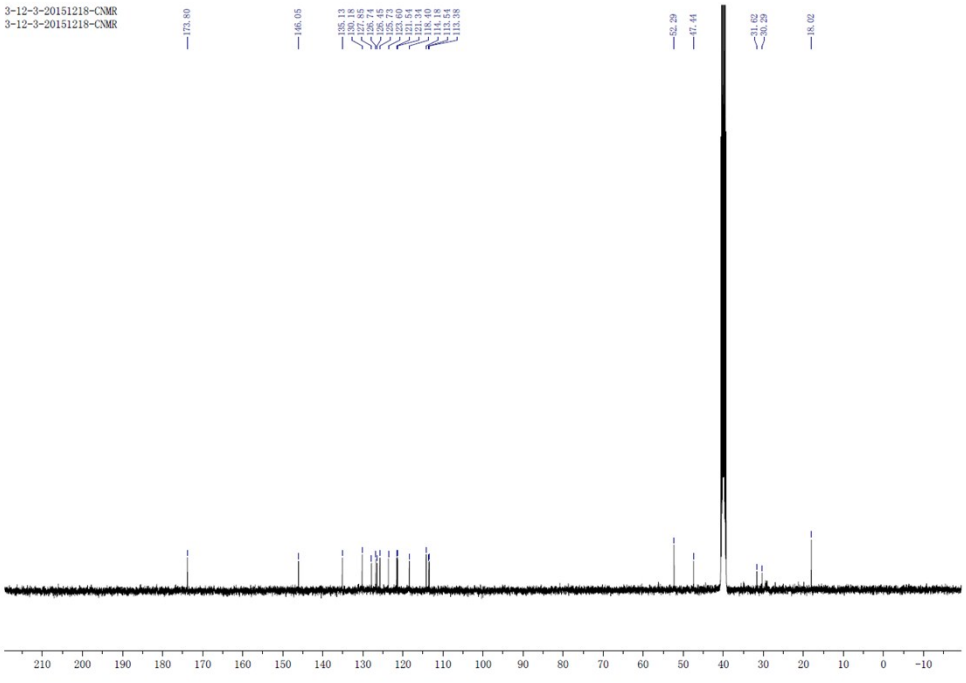
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.


3-12-3-20151218-CNMR
3-12-3-20151218-CNMR
173.80
146.05
135.13
131.36
127.85
126.74
126.45
125.73
123.60
121.54
121.34
118.40
118.18
113.54
113.38
52.29
47.44
31.62
30.29
18.02
210
200
190
180
170
160
150
140
130
120
110
100
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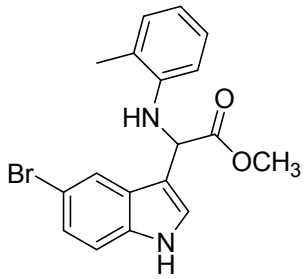
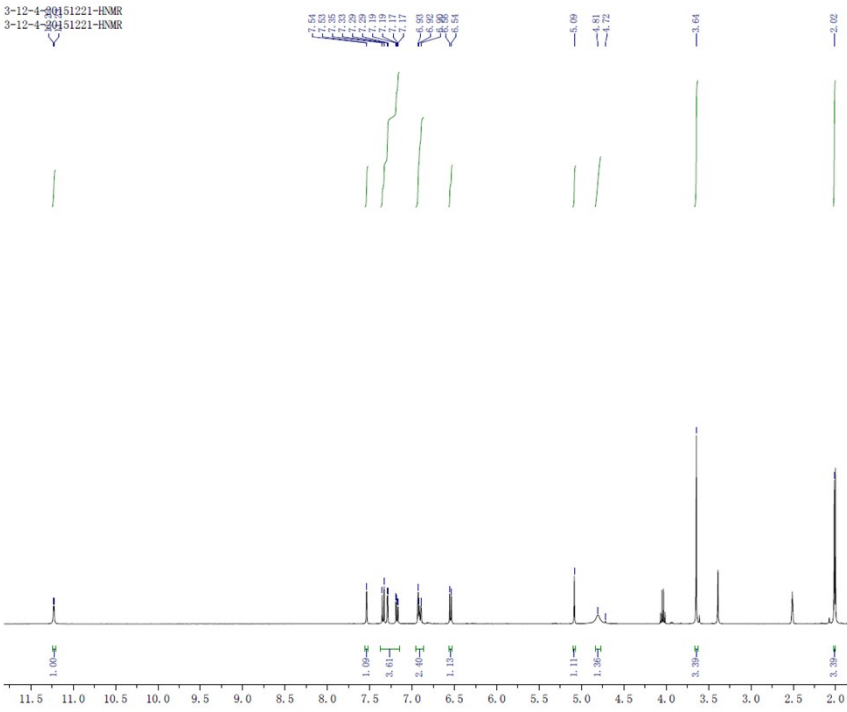
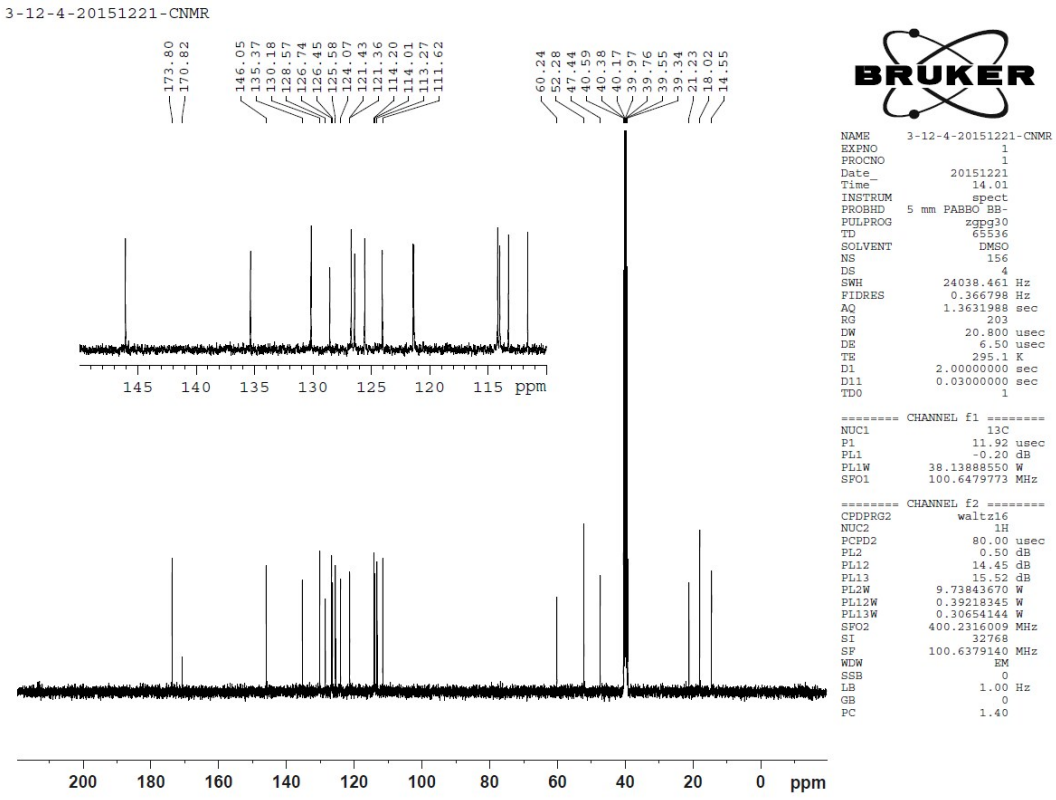
80
70
60
50
40
30
20
10
0
-10



(3kk)



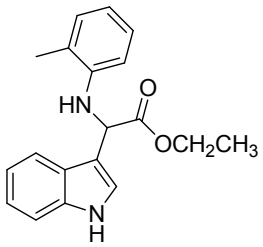


BRUKER

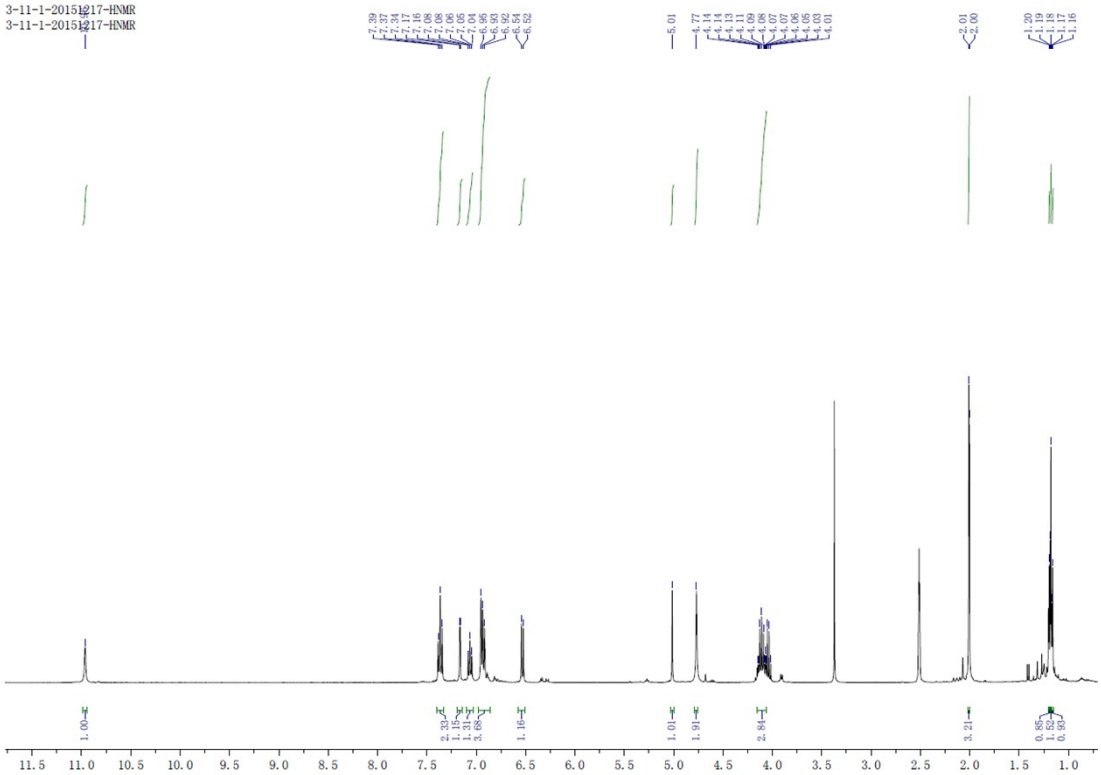
```
NAME          3-12-4-20151221-CNMR
EXPNO                            1
PROCNO                           1
Date_                     20151221
Time                         14.01
INSTRUM                      spect
PROBHD     5 mm PABBO BB-
PULPROG                   zgpg30
TD                            65536
SOLVENT                        DMSO
NS                              156
DS                                4
SWH                     24038.461 Hz
FIDRES                      0.366798 Hz
AQ                        1.3631988 sec
RG                              203
DW                           20.800 usec
DE                            6.50 usec
TE                           295.1 K
D1                      2.00000000 sec
D11                     0.03000000 sec
TD0                               1

======== CHANNEL f1 ========
NUC1                            13C
P1                           11.92 usec
PL1                           -0.20 dB
PL1W                 38.13888550 W
SFO1                100.6479773 MHz

======== CHANNEL f2 ========
CPDPRG2                    waltz16
NUC2                            1H
PCPD2                        80.00 usec
PL2                           0.50 dB
PL12                         14.45 dB
PL13                         15.52 dB
PL2W                  9.73843670 W
PL12W                 0.39218345 W
PL13W                 0.30654144 W
SFO2                400.2316009 MHz
SI                            32768
SF                  100.6379140 MHz
WDW                             EM
SSB                              0
LB                            1.00 Hz
GB                               0
PC                            1.40
```


HN
O
OCH2CH3
N
H

(3ll)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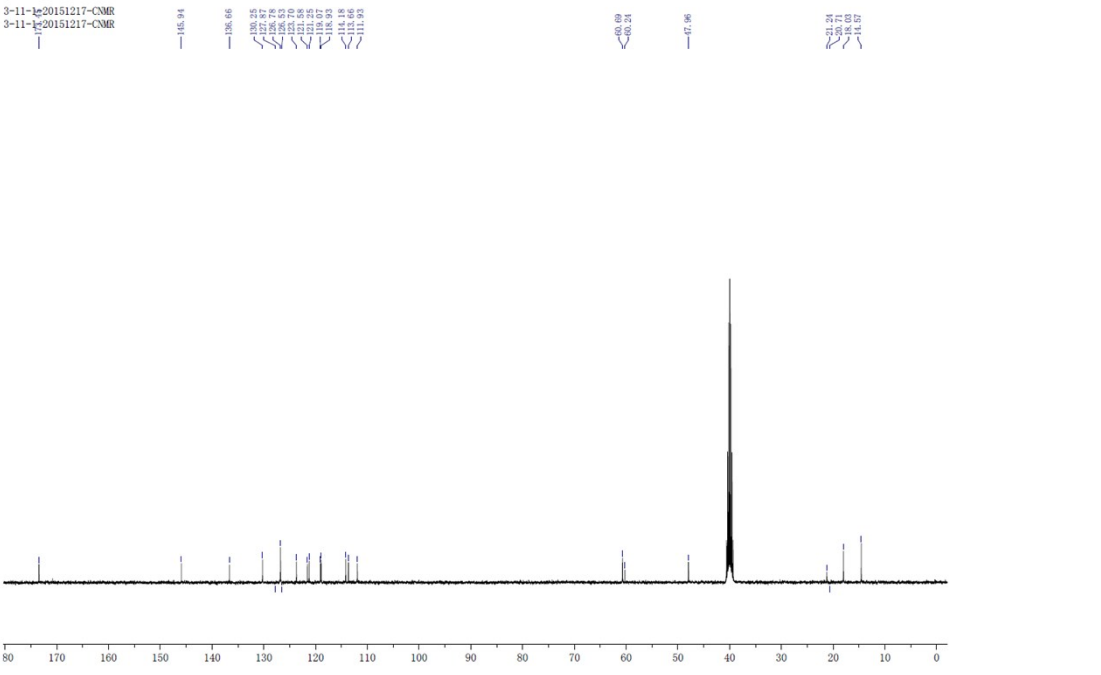
3-11-1-20151217-HNMR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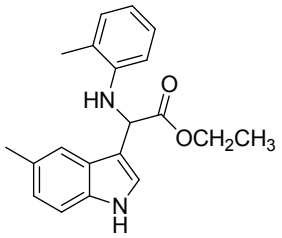
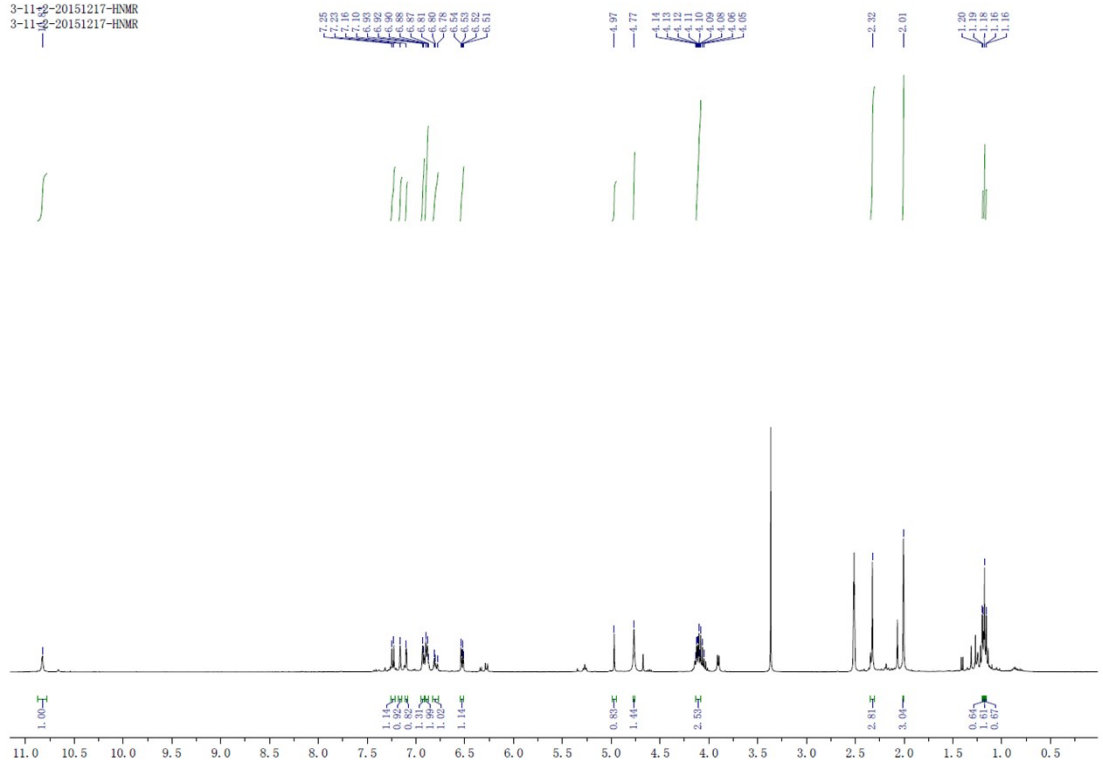

HN
O
OCH2CH3
N
H

(3mm)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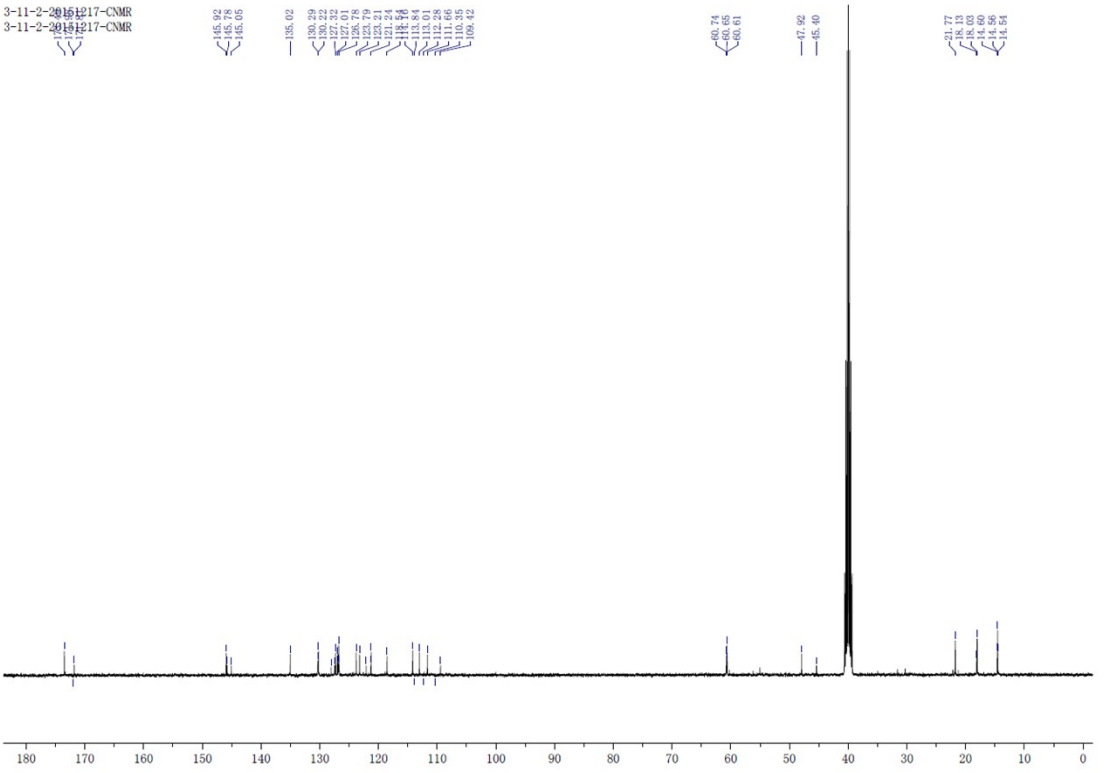
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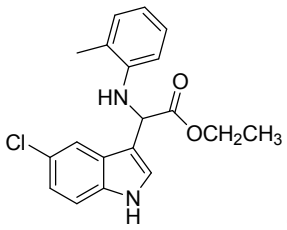
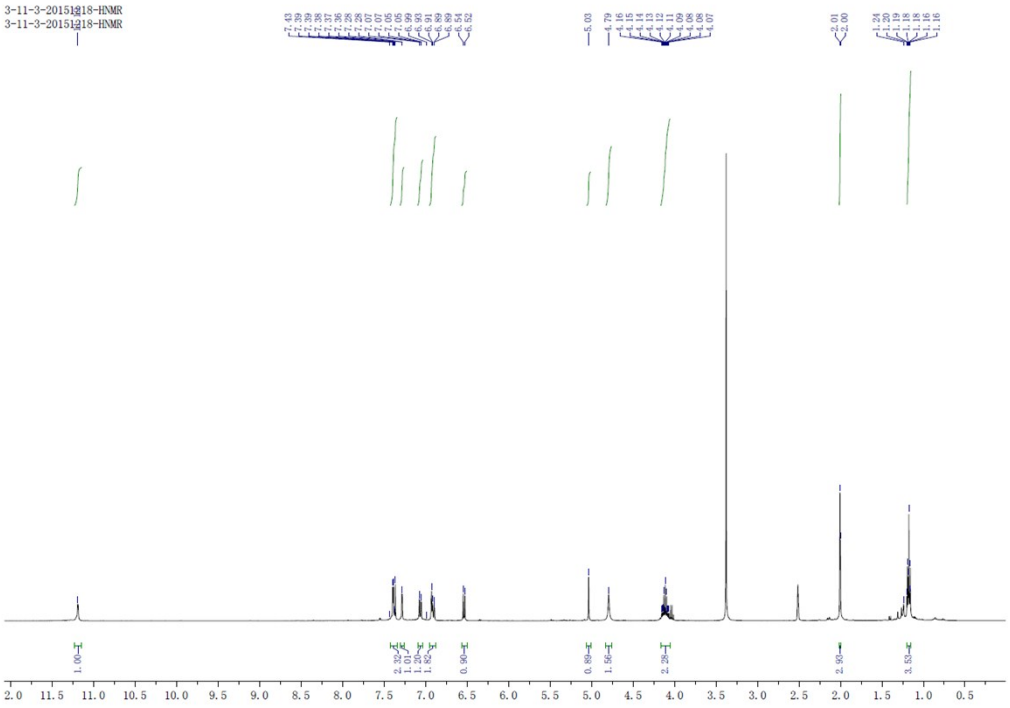

HN
O
OCH2CH3
Cl
N
H

(3nn)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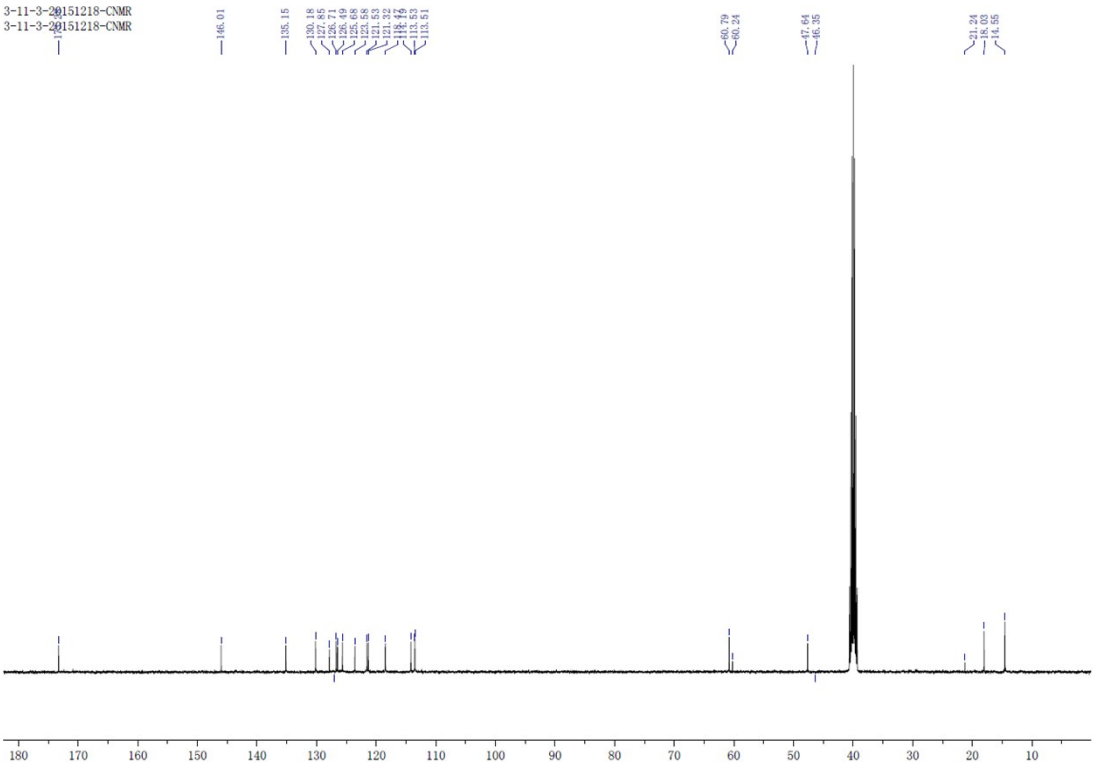
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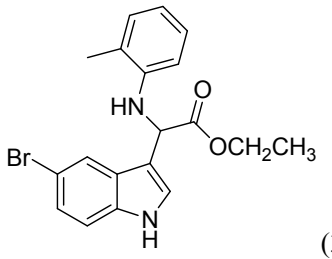
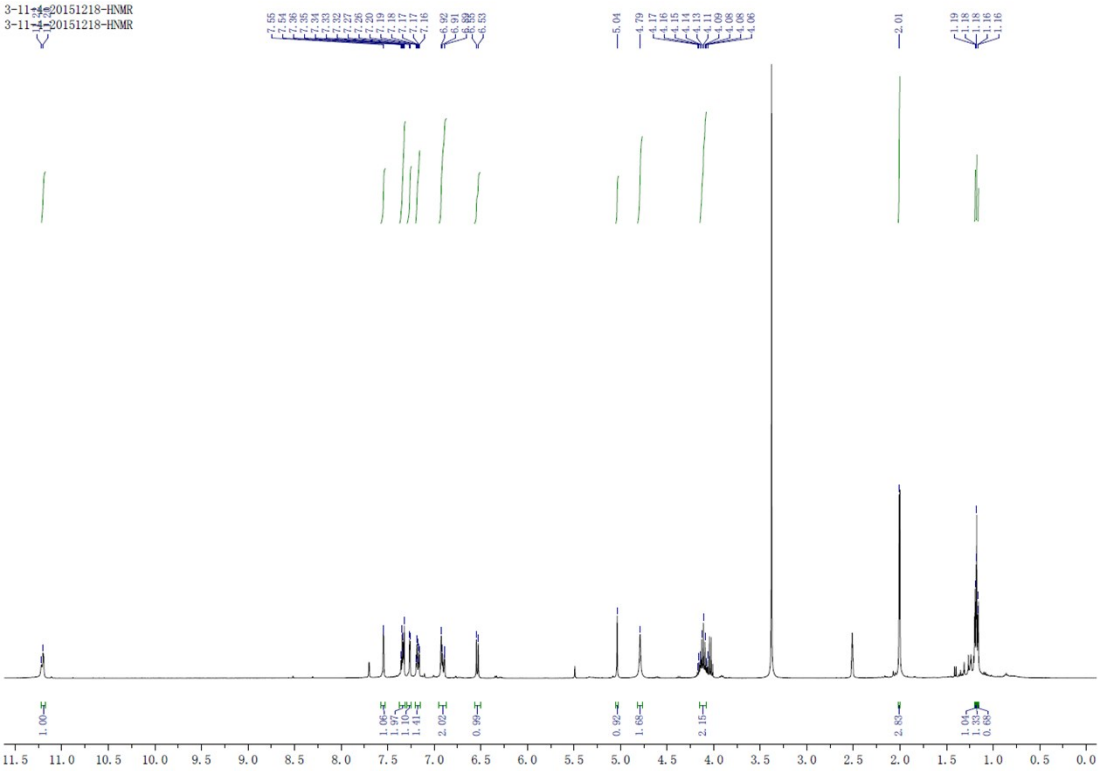

HN
O
OCH2CH3
Br
N
H

(3oo)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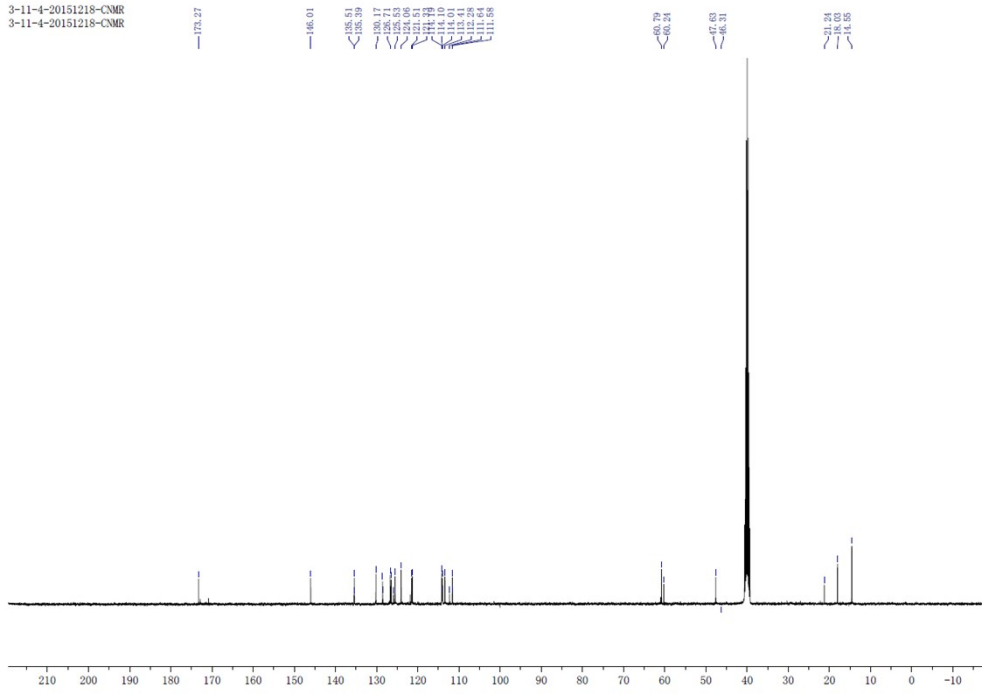
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