Palladium-Catalyzed Markovnikov
Hydroaminocarbonylation of 1,1-Disubstituted and
1,1,2-Trisubstituted Alkenes for Formation of Amides with Quaternary Carbon

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## CONTENTS

1. General information ..... S2
2. Preparation of di-, trisubstituted alkenes ..... -S3
3. Typical procedure for the Palladium-catalyzed Markovnikov Hydroaminocarbonylation ..... S4
4. Synthetic applications of the reaction- ..... S5
5. Mechanistic studies- ..... -S7
6. Characterization data of products ..... S15
7. X-Ray structure of 3qa ..... S38
8. Copies of ${ }^{1} \mathrm{H},{ }^{13} \mathrm{C}$, and ${ }^{19} \mathrm{~F}$ NMR spectra ..... S39

## 1. General information.

## Chemicals

Chemicals were commercially purchased from Adamas-beta, Energy Chemical, Aladdin, etc, and directly used without further purification unless otherwise stated. $\mathrm{PdCl}_{2}, \mathrm{PdBr}_{2}, \mathrm{PdI}_{2}, \mathrm{Pd}\left(\mathrm{PPh}_{3}\right)_{4}$ and $\mathrm{Pd}\left(\mathrm{PPh}_{3}\right)_{2} \mathrm{Cl}_{2}$ were purchased from Adamas-beta. THF used in the reaction was purchased from Shanghai Titan Scientific Co., Ltd. $\left(\mathrm{H}_{2} \mathrm{O} \leqslant 0.1 \%\right)$ and without further purification. Anhydrous THF used in mechanistic studies was distilled from sodium/benzophenone until the indicator had turned a persistent blue color. There is still contained 43 ppm of water in anhydrous THF according to J. Org. Chem. 2010, 75, 8351-8354.

## Chromatography

Analytical thin-layer chromatography (TLC) was carried out with silica gel pre-coated glass plates (TLC-Silica gel GF254, coating thickness: $0.20-0.25 \mathrm{~mm}$, particle size: 10-40 $\mu \mathrm{m}$ ) purchased from Xinnuo Chemical (Yantai, China). The TLC was visualized with a UV lamp ( 254 or 365 nm ). Flash Column chromatography was carried out on silica gel ( $60 \AA, 200-300$ mesh) purchased from Xinnuo Chemicals (Yantai, China) with technical grade solvents as the eluent. All the yields referred to spectroscopically and chromatographically pure compounds.

## Nuclear Magnetic Resonance (NMR) Spectroscopy

${ }^{1} \mathrm{H}$ NMR spectra were recorded on Bruker AVANCE III-400 instrument (400 MHz spectrometer). The analytical sample was dissolved in an appropriate deuterated solvent. The employed deuterated solvent and the measuring frequency are indicated in each ${ }^{1} \mathrm{H}$ NMR data. Chemical shifts are reported in parts per million (ppm) with the solvent resonance as the internal reference $\left(\mathrm{CDCl}_{3} \delta 7.26, d^{6}\right.$-DMSO $\left.\delta 2.50\right)$. The following abbreviations (or combinations thereof) were used to explain multiplicities: $\mathrm{s}=$ singlet, $\mathrm{d}=$ doublet, $\mathrm{t}=$ triplet, $\mathrm{q}=$ quartet, $\mathrm{m}=$ multiplet, $\mathrm{b}=$ broad. Coupling constants, J were reported in Hertz unit (Hz).
${ }^{13}$ C NMR spectra were recorded on Bruker AVANCE III - 400 instrument (101 MHz spectrometer). The employed deuterated solvent and the measuring frequency are both indicated in each ${ }^{13} \mathrm{C}$ NMR data. Chemical shifts are reported in ppm with the solvent resonance as the internal reference $\left(\mathrm{CDCl}_{3} \delta 77.16\right) .{ }^{19} \mathrm{~F}$ NMR data were recorded on Bruker AVANCE III - 400 instrument ( 376 MHz spectrometer).

## High Resolution Mass Spectrometry (HRMS)

HRMS were recorded on a liquid chromatography/quadrupole time-of-flight mass spectrometer (MicroTof-Q II mass spectrometer, Bruker Daltonics) using electrospray ionization-time of flight (ESI-TOF) at Instrumental Analysis Center of Northwest University. The calculated values are based on the most abundant isotope.

## X-ray crystallography

X-ray crystallography was performed on a BRUKERSMA RTAPEXIICCD diffractometer at Instrumental Analysis Center of Northwest University.

## 2. Preparation of 1,1-disubstituted alkenes, and 1,1,2-trisubstituted alkenes



To a 25 ml round bottomed flask were added methyl triphenylphosphonium bromide ( $7.5 \mathrm{mmol}, 1.5$ equiv) and ${ }^{t} \mathrm{BuOK}$ ( $7.5 \mathrm{mmol}, 1.5$ equiv). Adding 10 mL of dry THF under argon, the mixture was stirred at room temperature for 1 hour. After that diluted ketone ( $5 \mathrm{mmol}, 1.0$ equiv) in dry THF ( 3 mL ) was added, then the reaction was stirred at room temperature for overnight. The mixture was diluted with $\mathrm{CH}_{2} \mathrm{Cl}_{2}(25 \mathrm{~mL})$, washed with brine $(3 \times 15 \mathrm{~mL})$, dried with $\mathrm{Na}_{2} \mathrm{SO}_{4}$, filtered and concentrated in vacuum. The crude material was purified by column chromatography (hexanes as the eluent) to afford the 1,1-disubstituted alkenes.


To a 25 ml round bottomed flask were added ethyl triphenylphosphonium bromide ( $7.5 \mathrm{mmol}, 1.5$ equiv) and ${ }^{t} \mathrm{BuOK}(7.5 \mathrm{mmol}, 1.5$ equiv). Adding 10 mL of dry THF under argon, the mixture was stirred at room temperature for 1 hour. After that diluted ketone ( $5 \mathrm{mmol}, 1.0$ equiv) in dry THF ( 3 mL ) was added, then the reaction was stirred at room temperature for overnight. The mixture was diluted with $\mathrm{CH}_{2} \mathrm{Cl}_{2}(25 \mathrm{~mL})$, washed with brine ( $3 \times 15 \mathrm{~mL}$ ), dried with $\mathrm{Na}_{2} \mathrm{SO}_{4}$, filtered and concentrated in vacuum. The crude material was purified by column chromatography (hexanes as the eluent) to afford the 1,1,2-trisubstituted alkenes.

## 3. Typical procedure for the palladium-catalyzed Markovnikov hydroaminocarbonylation



For Table 2: A mixture of 1,1-disubstituted alkenes $\mathbf{1}$ ( $0.24 \mathrm{mmol}, 1.2$ equiv), aniline hydrochloride salts 2 ( $0.2 \mathrm{mmol}, 1.0$ equiv), $\mathrm{Pd}\left(\mathrm{PPh}_{3}\right)_{2} \mathrm{Cl}_{2}(0.006 \mathrm{mmol}, 3$ $\mathrm{mol} \%)$, and THF ( 1.2 mL ) were added into a glass tube which was placed in an autoclave. The autoclave was evacuated and backfilled with CO for three times in a well-ventilated fume hood, and then pressurized to 45 atm of CO. The reaction mixture in autoclave was stirred at $110^{\circ} \mathrm{C}$ for 24 hours. After that, the autoclave was removed from the oil bath and cooled to room temperature prior to the release of excess carbon monoxide. The regioselectivities were determined by GC-MS analysis of the crude products. Then the corresponding reaction mixture was purified by flash column chromatography on a silica gel column (petroleum ether/ethyl acetate $=10 / 1$ ) to give the product 3 and 4.


For Table 3: A mixture of 1,1,2-trisubstituted alkenes 1 ( $0.24 \mathrm{mmol}, 1.2$ equiv), aniline hydrochloride salt 2a ( $0.2 \mathrm{mmol}, 1.0$ equiv), $\mathrm{Pd}\left(\mathrm{PPh}_{3}\right)_{2} \mathrm{Cl}_{2}(0.006 \mathrm{mmol}, 3$ $\mathrm{mol} \%)$, and THF ( 0.3 mL ) were added into a glass tube which was placed in an autoclave. The autoclave was evacuated and backfilled with CO for three times in a well-ventilated fume hood, and then pressurized to 65 atm of CO . The reaction mixture in autoclave was reacted at $120^{\circ} \mathrm{C}$ for $96-144$ hours without stirring. After that, the autoclave was removed from the oil bath and cooled to room temperature prior to the release of excess carbon monoxide. The regioselectivities were determined by GC-MS analysis of the crude products. Then the corresponding reaction mixture was purified by flash column chromatography on a silica gel column (petroleum ether/ethyl acetate $=10 / 1$ ) to give the products 5 .

Notably, we found that the stirring played an important role in the reaction. Only trace of product was observed when the reaction was stirring at 500 rpm (lots of palladium black formed, as following Figure, right). However, the reaction goes well when it was performed without stirring (as following Figure, left). The detailed mechanism remains unclear.


For left reaction, without stirring; for right reaction, stirring at 500 rpm

## 4. Synthetic applications of the reaction



A mixture of 1-methylenecyclohexane $(0.24 \mathrm{mmol}, 1.2$ equiv), 2,3-dichloro-4-aminophenol hydrochloride ( $0.2 \mathrm{mmol}, 1.0$ equiv), $\mathrm{Pd}\left(\mathrm{PPh}_{3}\right)_{2} \mathrm{Cl}_{2}$ ( $0.006 \mathrm{mmol}, 3 \mathrm{~mol} \%$ ), and THF ( 1.2 mL ) were added into a glass tube which was placed in an autoclave. The autoclave was evacuated and backfilled with CO for three times in a well-ventilated fume hood, and then pressurized to 45 atm of CO. The reaction mixture in autoclave was stirred at $110^{\circ} \mathrm{C}$ for 24 hours. After that, the autoclave was removed from the oil bath and cooled to room temperature prior to the release of excess carbon monoxide. The regioselectivity ( $\mathrm{b} / \mathrm{l}=95: 5$ ) were determined by GC-MS analysis of the crude products. Then the corresponding reaction mixture was purified by flash column chromatography on a silica gel column (petroleum ether/ethyl acetate $=6 / 1$ ) to give the fenhexamid $\mathbf{6 a}$ in $62 \%$ yield.


A mixture of $\mathbf{1 x}$ ( $0.24 \mathrm{mmol}, 1.2$ equiv), $\mathbf{2 j}$ ( $0.2 \mathrm{mmol}, 1.0$ equiv), $\operatorname{Pd}\left(\mathrm{P}(2-\mathrm{MeOPh})_{3}\right)_{2} \mathrm{Cl}_{2}(0.006 \mathrm{mmol}, 3 \mathrm{~mol} \%)$, and THF $(1.2 \mathrm{~mL})$ were added into a glass tube which was placed in an autoclave. The autoclave was evacuated and backfilled with CO for three times in a well-ventilated fume hood, and then pressurized to 45 atm of CO. The reaction mixture in autoclave was stirred at $110^{\circ} \mathrm{C}$ for 24 hours. After that, the autoclave was removed from the oil bath and cooled to room temperature prior to the release of excess carbon monoxide. The regioselectivity (b:l=95:5) were determined by GC-MS analysis of the crude products. Then the corresponding reaction mixture was purified by flash column chromatography on a silica gel column (petroleum ether/ethyl acetate $=10 / 1$ ) to give the $\mathbf{6 b}$ in $71 \%$ yield.


A mixture of $\mathbf{1 j}$ ( $0.24 \mathrm{mmol}, 1.2$ equiv), $\mathbf{2 0}$ ( $0.2 \mathrm{mmol}, 1.0$ equiv), $\mathrm{Pd}\left(\mathrm{PPh}_{3}\right)_{2} \mathrm{Cl}_{2}$ ( $0.006 \mathrm{mmol}, 3 \mathrm{~mol} \%$ ), and THF ( 1.2 mL ) were added into a glass tube which was placed in an autoclave. The autoclave was evacuated and backfilled with CO for three times in a well-ventilated fume hood, and then pressurized to 45 atm of CO. The reaction mixture in autoclave was stirred at $110{ }^{\circ} \mathrm{C}$ for 24 hours. After that, the autoclave was removed from the oil bath and cooled to room temperature prior to the release of excess carbon monoxide. The regioselectivity ( $\mathrm{b} / \mathrm{l}=97: 3$ ) were determined by GC-MS analysis of the crude products. Then the corresponding reaction mixture was purified by flash column chromatography on a silica gel column (petroleum ether/ethyl acetate $=10 / 1$ ) to give the $\mathbf{6 c}$ in $62 \%$ yield.


A mixture of 2-(prop-1-en-2-yl)aniline hydrochloride ( $0.20 \mathrm{mmol}, 1.0$ equiv), $\operatorname{Pd}\left(\mathrm{PPh}_{3}\right)_{2} \mathrm{Cl}_{2}(0.006 \mathrm{mmol}, 3 \mathrm{~mol} \%)$, and THF ( 1.2 mL ) were added into a glass tube which was placed in an autoclave. The autoclave was evacuated and backfilled with CO for three times in a well-ventilated fume hood, and then pressurized to 45 atm of CO. The reaction mixture in autoclave was stirred at $110^{\circ} \mathrm{C}$ for 24 hours. After that, the autoclave was removed from the oil bath and cooled to room temperature prior to the release of excess carbon monoxide. The regioselectivity (b:l>99:1) were determined by GC-MS analysis of the crude products. Then the corresponding reaction mixture was purified by flash column chromatography on a silica gel column (petroleum ether/ethyl acetate $=10 / 1$ ) to give the $\mathbf{6 d}$ in $95 \%$ yield .

## 5. Mechanistic studies

### 5.1 Control experiments (Scheme 3 in maintext)



A mixture of (2-chloropropan-2-yl)benzene $7(0.24 \mathrm{mmol}, 1.2$ equiv), aniline ( $0.2 \mathrm{mmol}, 1.0$ equiv), $\mathrm{Pd}\left(\mathrm{PPh}_{3}\right)_{4}(0.01 \mathrm{mmol}, 5 \mathrm{~mol} \%)$, and THF $(1.2 \mathrm{~mL})$ were added into a glass tube which was placed in an autoclave. The autoclave was evacuated and backfilled with CO for three times in a well-ventilated fume hood, and then pressurized to 45 atm of CO. The reaction mixture in autoclave was stirred at 110 ${ }^{\circ} \mathrm{C}$ for 24 hours. After that, the autoclave was removed from the oil bath and cooled to room temperature prior to the release of excess carbon monoxide. No desired 3aa was detected by GC-MS.


A mixture of $\alpha$-methyl styrene 1a ( 0.24 mmol, 1.2 equiv), aniline hydrochloride salt $\mathbf{2 a}(0.2 \mathrm{mmol}, 1.0$ equiv), THF ( 1.2 mL ) were added into a glass tube which was placed in an autoclave. The autoclave was evacuated and backfilled with CO for three times in a well-ventilated fume hood, and then pressurized to 45 atm of CO. The reaction mixture in autoclave was stirred at $110{ }^{\circ} \mathrm{C}$ for 24 hours. After that, the autoclave was removed from the oil bath and cooled to room temperature prior to the release of excess carbon monoxide. No desired 3aa was detected by GC-MS.


A mixture of $\alpha$-methyl styrene 1a ( $0.24 \mathrm{mmol}, 1.2$ equiv), phenylcarbamic chloride 8 ( $0.2 \mathrm{mmol}, 1.0$ equiv), $\mathrm{Pd}\left(\mathrm{PPh}_{3}\right)_{4}(0.01 \mathrm{mmol}, 5 \mathrm{~mol} \%)$, and THF ( 1.2 mL ) were added into a glass tube which was placed in an autoclave. The autoclave was evacuated and backfilled with CO for three times in a well-ventilated fume hood, and then pressurized to 45 atm of CO. The reaction mixture in autoclave was stirred at 110 ${ }^{\circ} \mathrm{C}$ for 24 hours. After that, the autoclave was removed from the oil bath and cooled to room temperature prior to the release of excess carbon monoxide. No desired 3aa was detected by GC-MS.

### 5.2 Anhydrous studies

Anhydrious THF was distilled from sodium/benzophenone once the indicator had turned a persistent blue color. There is still contained 43 ppm of water in anhydrous THF according to J. Org. Chem. 2010, 75, 8351-8354. Before they were used in the reaction, anilines hydrochloride, palladium catalyst, glass tube and autoclave were dried in a drying box at $120^{\circ} \mathrm{C}$ for 3 h .


A mixture of 1a ( $0.24 \mathrm{mmol}, 1.2$ equiv), 2a ( $0.2 \mathrm{mmol}, 1.0$ equiv), $\mathrm{Pd}\left(\mathrm{PPh}_{3}\right)_{2} \mathrm{Cl}_{2}$ ( $0.006 \mathrm{mmol}, 3 \mathrm{~mol} \%$ ), and anhydrious THF ( 1.2 mL ) were added into a glass tube which was placed in an autoclave. The autoclave was evacuated and backfilled with CO for three times in a well-ventilated fume hood, and then pressurized to 45 atm of CO. The reaction mixture in autoclave was stirred at $110^{\circ} \mathrm{C}$ for 24 hours. After that, the autoclave was removed from the oil bath and cooled to room temperature prior to the release of excess carbon monoxide. Then the corresponding reaction mixture was purified by flash column chromatography on a silica gel column (petroleum ether/ethyl acetate $=10 / 1$ ) to give the product 3aa.

Above anhydrous reaction was run 3 times, $40-45 \%$ yield of $\mathbf{3 a a}$ was obtained. There is still 0.003 mmol water in 1.2 mL anhydrous THF ( 43 ppm ), which is 0.5 equiv for $\mathrm{Pd}\left(\mathrm{PPh}_{3}\right)_{2} \mathrm{Cl}_{2}$ catalyst ( $3 \mathrm{~mol} \%$ ). Further control experiment in the presence of $1 \mathrm{~mol} \%$ of $\mathrm{Pd}\left(\mathrm{PPh}_{3}\right)_{2} \mathrm{Cl}_{2}$ catalyst in 1.2 mL commercially purchased THF resulted in $86 \%$ yield of 3aa. These experiments suggested water played an important role in the reaction.


### 5.3 Deuterium-Labeling studies

### 5.3.1 Preparation of $1 q-D_{5}$



A mixture of 2-isopropenylnaphthalene $\mathbf{1 q}\left(0.2 \mathrm{mmol}\right.$, 1.0 equiv), $\mathrm{DCl}^{-} \mathrm{D}_{2} \mathrm{O}(93.6$ $\mathrm{mg}, 20.0$ equiv), $\mathrm{Pd}\left(\mathrm{PPh}_{3}\right)_{4}(0.006 \mathrm{mmol}, 3 \mathrm{~mol} \%)$, and anhydrous THF ( 1.2 mL ) were added into a round bottomed flask which was reflux under argon in an oil bath
for 48 hours. After completion, the reaction mixture was purified by flash column chromatography on a silica gel column (petroleum ether/ethyl acetate $=100 / 1$ ) to give the $\mathbf{1 q}-\mathbf{D}_{\mathbf{5}}$ in $71 \%$ yield with $89 \%$ D.


1q-D $\mathbf{5}:{ }^{1}{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, d^{6}$-DMSO) $\delta 7.96-7.86(\mathrm{~m}, 4 \mathrm{H}), 7.75(\mathrm{dd}, J=8.8,1.4 \mathrm{~Hz}$, $1 \mathrm{H}), 7.52-7.47$ (m, 2H), $5.61(\mathrm{~d}, J=6.0 \mathrm{~Hz}, 0.12 \mathrm{H}), 5.21(\mathrm{~d}, J=5.2 \mathrm{~Hz}, 0.11 \mathrm{H})$, 2.19 (s, 0.33 H$)$.
${ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, d^{6}$-DMSO) of compound $\mathbf{1 q}-\mathbf{D}_{5}$


### 5.3.2 Deuterium-labeling studies with $1 q-D_{5}$ and $2 a$



A mixture of $\mathbf{1 q -} \mathbf{D}_{5}(0.2 \mathrm{mmol}, 1.0$ equiv, $89 \% \mathrm{D}$ ), $\mathbf{2 a}$ ( $0.2 \mathrm{mmol}, 1.0$ equiv), $\operatorname{Pd}\left(\mathrm{PPh}_{3}\right)_{2} \mathrm{Cl}_{2}(0.006 \mathrm{mmol}, 3 \mathrm{~mol} \%)$, and anhydrous THF ( 1.2 mL ) were added into a glass tube which was placed in an autoclave. The autoclave was evacuated and backfilled with CO for three times in a well-ventilated fume hood, and then pressurized to 45 atm of CO . The reaction mixture in autoclave was stirred at $110{ }^{\circ} \mathrm{C}$ for 6 hours. After that, the autoclave was removed from the oil bath and cooled to room temperature prior to the release of excess carbon monoxide. Then the corresponding reaction mixture was purified by flash column chromatography on a silica gel column (petroleum ether/ethyl acetate $=10 / 1$ ) to give the product $\mathbf{3 q a}-\mathbf{D}_{6}$ in $28 \%$ yield ( 68 atom $\%$ D in $\mathbf{3 q a}-\mathbf{D}_{6}$ was determined by ${ }^{1} \mathrm{H}$ NMR), and the $\mathbf{1 q}^{\mathbf{q}} \mathbf{D}_{\mathbf{5}}$ was recovered in $62 \%$ yield ( 61 atom $\% \mathrm{D}$ in $\mathbf{1 q}-\mathbf{D}_{5}$ was determined by ${ }^{1} \mathrm{H}$ NMR).
${ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, d^{6}$-DMSO) of $\mathbf{1 q}-\mathbf{D}_{5}$

${ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, d^{6}$-DMSO) of compound 3qa-D $\mathbf{D}_{6}$


$\mathrm{D} \%=1-\frac{\frac{1.92}{6}}{\frac{1.01+4.19+49+2.00+0.95}{1+4+5+2+1}}=1-\frac{0.32}{0.995}=68 \%$


### 5.4 Control experiments



The 2-methyl-2-phenylpropanoyl chloride 9 ( $0.2 \mathrm{mmol}, 1.0$ equiv), $\mathrm{Pd}\left(\mathrm{PPh}_{3}\right)_{2} \mathrm{Cl}_{2}$ ( $0.006 \mathrm{mmol}, 3 \mathrm{~mol} \%$ ), and anhydrous THF ( 1.2 mL ) were added into a glass tube which was placed in an autoclave. The autoclave was evacuated and backfilled with Ar for three times in a well-ventilated fume hood, and then pressurized to 10 atm of Ar. The reaction mixture in autoclave was stirred at $110^{\circ} \mathrm{C}$ for 6 hours under Ar. After completion, the autoclave was removed from the oil bath and cooled to room temperature prior to the release of Ar. The alkene 1a was not observed.

When the autoclave was evacuated and backfilled with CO for three times in a well-ventilated fume hood, and then pressurized to 45 atm of CO. The alkene $\mathbf{1 a}$ was obtained in 86\% yield.

When $\mathrm{Pd}\left(\mathrm{PPh}_{3}\right)_{4}$ was used as catalyst, the autoclave was evacuated and backfilled with Ar for three times, and then pressurized to 10 atm of Ar. After reaction, the 1a was obtained in $90 \%$ yield.


A mixture of 2-methyl-2-phenylpropanoyl chloride 9 ( $0.20 \mathrm{mmol}, 1.0$ equiv), aniline hydrochloride salt 2a ( $0.24 \mathrm{mmol}, 1.2$ equiv) and anhydrous THF ( 1.2 mL ) were added to a 10 ml round bottomed flask under argon, the mixture was stirred at $110^{\circ} \mathrm{C}$ for 12 hours. Then the corresponding reaction mixture was purified by flash column chromatography on a silica gel column (petroleum ether/ethyl acetate $=10 / 1$ ) to give the 3aa in 95\% yield.

### 5.5 Hammett plot analysis



A mixture of $\alpha$-methyl styrene 1a ( 0.2 mmol, 1.0 equiv), $\mathrm{ArNH}_{2} \cdot \mathrm{HCl} \mathbf{2 x}(0.2$ mmol, 1.0 equiv), $\mathrm{PhNH}_{2} . \mathrm{HCl} 2 \mathrm{a}$ ( $0.2 \mathrm{mmol}, 1.0$ equiv), $\mathrm{PdCl}_{2}\left(\mathrm{PPh}_{3}\right)_{2}(0.006 \mathrm{mmol}, 3$ $\mathrm{mol} \%$ ), and THF ( 1.2 mL ) were added into a glass tube which was placed in an autoclave. The autoclave was evacuated and backfilled with CO for three times in a well-ventilated fume hood, and then pressurized to 45 atm of CO. The reaction mixture in autoclave was stirred at $110^{\circ} \mathrm{C}$ for 24 hours. After that, the autoclave was removed from the oil bath and cooled to room temperature prior to the release of excess carbon monoxide. Then the corresponding reaction mixture was purified by flash column chromatography on a silica gel column (petroleum ether/ethyl acetate $=$ $10 / 1$ ) to give the mixture products. The ratio of different products was determined by the ${ }^{1} \mathrm{H}$ NMR analysis. See following table.

| ArNH ${ }_{2} \cdot \mathrm{HCl}$ | $\sigma$ | Conv. of 1a | Ratio of $\mathrm{Y}_{3-\mathrm{x}-\mathrm{a}}: \mathrm{Y}_{\text {3aa }}$ | $\mathrm{k}_{\mathrm{x}} / \mathrm{k}_{\mathrm{H}}$ | $\log \left(\mathrm{k}_{\mathrm{x}} / \mathrm{k}_{\mathrm{H}}\right)$ |
| :---: | :---: | :---: | :---: | :---: | :---: |
| $p-\mathrm{OMe}$ | -0.268 | $86 \%$ | $25 \%: 61 \%$ | 0.41 | -0.387 |
| $p-\mathrm{Me}$ | -0.170 | $82 \%$ | $28 \%: 54 \%$ | 0.51 | -0.292 |
| $p-\mathrm{Cl}$ | 0.227 | $77 \%$ | $47 \%: 30 \%$ | 1.57 | 0.196 |
| $p-\mathrm{Br}$ | 0.232 | $83 \%$ | $51 \%: 32 \%$ | 1.59 | 0.201 |

Figure S1 Hammett plot. The conversion of 1a was calculated based on mixture products, the ratio of $\mathrm{Y}_{3-\mathrm{x}-\mathrm{a}} / \mathrm{Y}_{3 a \mathrm{a}}$ was determined by ${ }^{1} \mathrm{H}$ NMR.


Figure S2. Hammett plot for the reaction using para-substituted anilines hydrochloride. Logarithm of the ratio of rate constant $\left(\log \left(\mathrm{k}_{\mathrm{X}} / \mathrm{k}_{\mathrm{H}}\right)\right.$ versus $\sigma \mathrm{p}$ for the hydroaminocarbonylation reaction of $p$-substituted anilines hydrochloride with $\alpha$-methyl styrene.

## 6. Characterization data of products



2-methyl-N,2-diphenylpropanamide (3aa)
Yield $=96 \%, \mathrm{~b} / \mathrm{l}>99: 1,{ }^{1} \mathrm{H}$ NMR $\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 7.45-7.37(\mathrm{~m}, 4 \mathrm{H})$, 7.36-7.29 (m, 3H), 7.26-7.22 (m, 2H), 7.06-7.02 (m 1H), 6.81 (s, 1H), 1.66 (s, 6H); ${ }^{13}{ }^{1}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 175.6,144.7,138.1,128.9,129.0,127.5,126.6,124.2$, 119.8, 48.1, 27.1. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{16} \mathrm{H}_{17} \mathrm{NNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 262.1202$, found: 262.1202 .


2-methyl-2-phenyl- N -( $\boldsymbol{p}$-tolyl)propanamide (3ab)
Yield $=85 \%, \mathrm{~b} / \mathrm{l}=98: 2 ;{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 7.45-7.37(\mathrm{~m}, 4 \mathrm{H}), 7.30$ (t, $J=7.0 \mathrm{~Hz}, 1 \mathrm{H}), 7.23(\mathrm{~d}, J=8.4 \mathrm{~Hz}, 2 \mathrm{H}), 7.05(\mathrm{~d}, J=8.4 \mathrm{~Hz}, 2 \mathrm{H}), 6.77(\mathrm{~s}, 1 \mathrm{H})$, $2.26(\mathrm{~s}, 3 \mathrm{H}), 1.65(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 175.5,144.8,135.5,133.8$, 129.4, 129.0, 127.4, 126.5, 119.8, 48.0, 27.1, 20.9. HRMS calcd (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{17} \mathrm{H}_{19} \mathrm{NNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 273.1358$, found: 276.1359 .


2-methyl-2-phenyl- $N$-( $m$-tolyl)propanamide (3ac)
Yield $=92 \%, \mathrm{~b} / \mathrm{l}=98: 2 ;{ }^{1} \mathrm{H} \operatorname{NMR}\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 7.45-7.37(\mathrm{~m}, 4 \mathrm{H})$, 7.33-7.28 (m, 1H), 7.23 (d, $J=1.6 \mathrm{~Hz}, 1 \mathrm{H}), 7.13-7.11(\mathrm{~m}, 2 \mathrm{H}), 6.87-6.85(\mathrm{~m}, 1 \mathrm{H})$, $6.78(\mathrm{~s}, 1 \mathrm{H}), 2.28(\mathrm{~s}, 3 \mathrm{H}), 1.65(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta$ 175.6, 144.7, 138.9, 138.0, 129.1, 128.8, 127.4, 126.5, 125.0, 120.4, 116.8, 48.1, 27.1, 21.5. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{17} \mathrm{H}_{19} \mathrm{NNaO}[\mathrm{M}+\mathrm{Na}]^{+}$: 273.1358, found: 273.1358 .

2-methyl-2-phenyl- $\boldsymbol{N}$-(o-tolyl)propanamide (3ad)
Yield $=76 \%, \mathrm{~b} / \mathrm{l}=97: 3,{ }^{1} \mathrm{H}$ NMR $\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 7.87(\mathrm{~d}, J=8.0 \mathrm{~Hz}, 1 \mathrm{H})$, 7.51-7.48 (m, 2H), 7.44-7.40 (m, 2H), 7.34-7.31 (m, 1H), 7.17 (t, J = 7.6 Hz, 1H), $7.05(\mathrm{~d}, J=7.2 \mathrm{~Hz}, 1 \mathrm{H}), 6.99(\mathrm{t}, J=7.6 \mathrm{~Hz}, 1 \mathrm{H}), 6.69(\mathrm{~s}, 1 \mathrm{H}), 1.78(\mathrm{~s}, 3 \mathrm{H}), 1.70(\mathrm{~s}$, 6 H ) ${ }^{13}{ }^{1} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 175.7,144.8,136.0,130.3,129.1,128.0,127.6$, $126.9,126.8,124.7,121.9,48.2,27.0,17.1$. HRMS calcd (ESI) m/z for $\mathrm{C}_{17} \mathrm{H}_{19} \mathrm{NO}$ : $[\mathrm{M}+\mathrm{Na}]^{+} 273.1358$, found: 276.1353 .

$N$-([1,1'-biphenyl]-2-yl)-2-methyl-2-phenylpropanamide (3ae)
Yield $=91 \%, \mathrm{~b} / \mathrm{l}>99: 1,{ }^{1} \mathrm{H}$ NMR $\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 8.40(\mathrm{~d}, J=8.4 \mathrm{~Hz}, 1 \mathrm{H})$, 7.36-7.26 (m, 2H), 7.25-7.17 (m, 7H), 7.13-7.07 (m, 2H),7.01 (s, 1H), 6.97-6.94(m, 2H) 1.51 ( $\mathrm{s}, 6 \mathrm{H}$ ); ${ }^{13} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 175.4,144.0,137.7,135.3,131.9$, 130.0 129.0, 128.9, 128.8, 128.5, 127.6, 127.2, 126.2, 123.8, 120.3, 48.1, 26.8. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{22} \mathrm{H}_{21} \mathrm{NNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 338.1515$, found: 338.1515 .


N -(4-methoxyphenyl)-2-methyl-2-phenylpropanamide (3af)
Yield $=67 \%, \mathrm{~b} / \mathrm{l}>99: 1 ;{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 7.50-7.37(\mathrm{~m}, 4 \mathrm{H})$, 7.33-7.29 (m, 1H), 7.28-7.14 (m, 2H), 6.83-6.76 (m, 2H), 6.71 (s, 1H), 3.76 (s, 3H), 1.66 ( $\mathrm{s}, 6 \mathrm{H}$ ); ${ }^{13} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta$ 175.6, 156.4, 144.9, 131.2, 129.1, 127.5, 126.6, 121.7, 114.1, 55.6, 48.0, 27.2. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{17} \mathrm{H}_{19} \mathrm{NNaO}_{2}$ $[\mathrm{M}+\mathrm{Na}]^{+}: 292.1308$, found: 292.1311.

$N$-(3-methoxyphenyl)-2-methyl-2-phenylpropanamide (3ag)
Yield $=83 \%, \mathrm{~b} / \mathrm{l}=96: 4,{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 7.45-7.37(\mathrm{~m}, 4 \mathrm{H}), 7.31$ $(\mathrm{t}, J=7.0 \mathrm{~Hz}, 1 \mathrm{H}), 7.24(\mathrm{t}, J=2.2 \mathrm{~Hz}, 1 \mathrm{H}), 7.11(\mathrm{t}, J=8.2 \mathrm{~Hz}, 1 \mathrm{H}), 6.83(\mathrm{~s}, 1 \mathrm{H})$, 6.74-6.71 (m, 1H), 6.62-6.59 (m, 1H), $3.76(\mathrm{~s}, 3 \mathrm{H}), 1.66(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR (101 MHz, $\left.\mathrm{CDCl}_{3}\right) \delta 175.7,160.2,144.6,139.3,129.5,129.1,127.5,126.5,111.7,110.3,105.2$, 55.3, 48.2, 27.1. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{17} \mathrm{H}_{19} \mathrm{NNaO}_{2}[\mathrm{M}+\mathrm{Na}]^{+}: 292.1308$, found: 292.1312 .

$\boldsymbol{N}$-(2-fluorophenyl)-2-methyl-2-phenylpropanamide (3ah)
Yield $=90 \%, \mathrm{~b} / \mathrm{l}=90: 10,{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 8.30-8.25(\mathrm{~m}, 1 \mathrm{H})$, 7.47-7.44 (m, 2H), 7.42-7.38 (m, 2H), 7.32-7.29 (m, 1H), 7.13-7.06 (m, 2H), 6.99-6.93 (m, 2H), $1.68(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta$ 175.8, 153.7, 151.3, 144.2, 129.1, 127.6, 126.5, 124.6 (d, $J=3.3 \mathrm{~Hz}$ ), 124.2 ( $\mathrm{d}, ~ J=7.6 \mathrm{~Hz}$ ), 121.6, 114.7 (d, $J=19.1 \mathrm{~Hz}$ ), 48.3, 27.0; ${ }^{19} \mathrm{~F}$ NMR ( $376 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta$-114.9. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{16} \mathrm{H}_{16} \mathrm{FNNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 280.1108$, found: 280.1119.

$\boldsymbol{N}$-(3,5-difluorophenyl)-2-methyl-2-phenylpropanamide (3ai)
Yield $=88 \%, \mathrm{~b} / \mathrm{l}=95: 5,{ }^{1} \mathrm{H} \operatorname{NMR}\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 7.41-7.29(\mathrm{~m}, 5 \mathrm{H})$, 7.00-6.95 (m, 3H), 6.49-6.44 (m, 1H), $1.64(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta$ $175.9,163.1(\mathrm{dd}, J=244.7,14.6 \mathrm{~Hz}), 144.0,140.2(\mathrm{t}, J=13.3 \mathrm{~Hz}), 129.2,127.7$, 126.4, 102.7 (dd, $J=20.6,8.4 \mathrm{~Hz}$ ), 99.3 (t, $J=25.4 \mathrm{~Hz}$ ), 48.3, 26.9; ${ }^{19}$ F NMR ( 376 $\left.\mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta$-109.0. HRMS calcd (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{16} \mathrm{H}_{15} \mathrm{~F}_{2} \mathrm{NNaO}[\mathrm{M}+\mathrm{Na}]^{+}$: 298.1013, found: 298.0998 .

$N$-(4-chlorophenyl)-2-methyl-2-phenylpropanamide (3aj)
Yield $=94 \%, \mathrm{~b} / \mathrm{l}>99: 1 ;{ }^{1} \mathrm{H} \operatorname{NMR}\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 7.44-7.38(\mathrm{~m}, 4 \mathrm{H})$, 7.34-7.27 (m, 3H), 7.21-7.17 (m, 2H), $6.83(\mathrm{~s}, 1 \mathrm{H}), 1.65(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR (101 MHz, $\left.\mathrm{CDCl}_{3}\right) \delta 175.0,143.3,137.9,133.4,129.2,129.0,128.0,124.4,119.9,47.8,27.1$. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{16} \mathrm{H}_{16} \mathrm{ClNNaO}[\mathrm{M}+\mathrm{Na}]^{+}$: 296.0812, found: 296.0798 .

$N$-(3-chlorophenyl)-2-methyl-2-phenylpropanamide (3ak)
Yield $=93 \%, \mathrm{~b} / \mathrm{l}=98: 2 ;{ }^{1} \mathrm{H}$ NMR $\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 7.49(\mathrm{~d}, J=2.0 \mathrm{~Hz}, 1 \mathrm{H})$, 7.43-7.38 (m, 4H), 7.36-7.29 (m, 1H), 7.18-7.11 (m, 2H), 7.02-6.99 (m, 1H), 6.87 (s, $1 \mathrm{H}), 1.65(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C} \operatorname{NMR}\left(101 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 175.8,144.3,139.2,134.6,129.9$, $129.2,127.6,126.5,124.2,119.9,117.7,48.2,27.0$. HRMS calcd. (ESI) m/z for $\mathrm{C}_{16} \mathrm{H}_{16} \mathrm{ClNNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 296.0812$, found: 296.0810 .

$N$-(2-chlorophenyl)-2-methyl-2-phenylpropanamide (3al)
Yield $=95 \%, \mathrm{~b} / \mathrm{l}=96: 4 ;{ }^{1} \mathrm{H}$ NMR $\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 8.35(\mathrm{dd}, J=9.6,1.4 \mathrm{~Hz}$, $1 \mathrm{H}), 7.49-7.47(\mathrm{~m}, 3 \mathrm{H}), 7.43-7.39(\mathrm{~m}, 2 \mathrm{H}), 7.36-7.29(\mathrm{~m}, 1 \mathrm{H}), 7.24-7.20(\mathrm{~m}, 2 \mathrm{H})$, 6.97-6.93 (m, 1H), $1.70(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR (101 MHz, $\left.\mathrm{CDCl}_{3}\right) \delta 175.8,144.1,134.9$, 129.1, 128.9, 127.7, 127.6, 126.6, 124.4, 122.9, 121.2, 48.4, 26.9. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{16} \mathrm{H}_{16} \mathrm{ClNNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 296.0812$, found: 296.0822 .

$N$-(4-chlorophenyl)-2-methyl-2-phenylpropanamide (3am)
Yield $=93 \%, \mathrm{~b} / \mathrm{l}>99: 1,{ }^{1} \mathrm{H} \operatorname{NMR}\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 7.44-7.38(\mathrm{~m}, 4 \mathrm{H})$, 7.36-7.29 (m, 3H), 7.26-7.22(m, 2H), $6.82(\mathrm{~s}, 1 \mathrm{H}), 1.65(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR (101 MHz, $\left.\mathrm{CDCl}_{3}\right) \delta 175.7,144.4,137.1,131.9,129.2,127.6,126.5,121.4,116.8,48.2,27.1$. HRMS calcd. (ESI) m/z for $\mathrm{C}_{16} \mathrm{H}_{16} \mathrm{BrNNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 340.0307$, found: 340.0307.


## $N$-(2-bromophenyl)-2-methyl-2-phenylpropanamide (3an)

Yield $=64 \%, \mathrm{~b} / \mathrm{l}>99: 1,{ }^{1} \mathrm{H} \operatorname{NMR}\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 8.34(\mathrm{dd}, J=8.4,1.6 \mathrm{~Hz}$, $1 \mathrm{H}), 7.50-7.47(\mathrm{~m}, 3 \mathrm{H}), 7.44-7.39(\mathrm{~m}, 3 \mathrm{H}), 7.34-7.25(\mathrm{~m}, 2 \mathrm{H}), 6.92-6.88(\mathrm{~m}, 1 \mathrm{H})$, $1.71(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR (101 MHz, $\left.\mathrm{CDCl}_{3}\right) \delta 175.9,144.1,136.0,132.2,129.2,128.3$, 127.6, 126.7, 124.9, 121.4, 113.4, 48.4, 26.9. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{16} \mathrm{H}_{16} \mathrm{BrNNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 340.0307$, found: 340.0298.

$N$-(2-bromo-4-chlorophenyl)-2-methyl-2-phenylpropanamide (3ao)
Yield $=72 \%, \mathrm{~b} / \mathrm{l}>99: 1,{ }^{1} \mathrm{H} \operatorname{NMR}\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 8.47(\mathrm{~d}, J=2.4 \mathrm{~Hz}, 1 \mathrm{H})$, 7.49-7.40(m, 5H), 7.35-7.30(m, 2H), $6.88(\mathrm{dd}, J=8.8,2.4 \mathrm{~Hz}, 1 \mathrm{H}), 1.70(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR (101 MHz, $\mathrm{CDCl}_{3}$ ) $\delta 176.0,143.7,136.8,134.3,132.7,129.3,127.8,126.7$, 124.8, 121.1, 110.8, 48.5, 26.8. HRMS calcd (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{16} \mathrm{H}_{15} \mathrm{BrClNNaO}$ $[\mathrm{M}+\mathrm{Na}]^{+}: 373.9917$, found: 373.9916.


## methyl 4-(2-methyl-2-phenylpropanamido)benzoate (3ap)

Yield $=84 \%, \mathrm{~b} / 1>99: 1,{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 7.90(\mathrm{~d}, J=8.8 \mathrm{~Hz}, 2 \mathrm{H})$, 7.46-7.36 (m, 6H), 7.32-7.27 (m, 1H), $7.18(\mathrm{~s}, 1 \mathrm{H}), 3.82(\mathrm{~s}, 3 \mathrm{H}), 1.66(\mathrm{~s}, 6 \mathrm{H}){ }^{13} \mathrm{C}$ NMR (101 MHz, $\mathrm{CDCl}_{3}$ ) $\delta 175.9,166.6,144.2,142.3,130.7,129.1,127.5,126.4$, 125.3, 118.8, 51.9, 48.2, 26.9. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{18} \mathrm{H}_{19} \mathrm{NNaO}_{3}[\mathrm{M}+\mathrm{Na}]^{+}$: 320.1257, found: 320.1266.

$N$-(2-acetylphenyl)-2-methyl-2-phenylpropanamide (3aq)
Yield $=91 \%, \mathrm{~b} / \mathrm{l}=93: 7,{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 11.56(\mathrm{~s}, 1 \mathrm{H}), 8.79(\mathrm{dd}, \mathrm{J}$ $=8.4,0.8 \mathrm{~Hz}, 1 \mathrm{H}), 7.81(\mathrm{dd}, J=8.0,1.2 \mathrm{~Hz}, 1 \mathrm{H}), 7.54-7.46(\mathrm{~m}, 3 \mathrm{H}), 7.39-7.35(\mathrm{~m}$, $2 \mathrm{H}), 7.29-7.25(\mathrm{~m}, 1 \mathrm{H}), 7.07-7.03(\mathrm{~m}, 1 \mathrm{H}), 2.54(\mathrm{~s}, 3 \mathrm{H}), 1.70(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR (101 $\left.\mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 202.4,176.8,144.7,141.3,135.0,131.6,128.7,127.0,126.2,122.1$, 121.8, 120.5, 48.4, 28.5, 26.8. HRMS calcd (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{18} \mathrm{H}_{19} \mathrm{NNaO}_{2}[\mathrm{M}+\mathrm{Na}]^{+}$: 304.1308, found: 304.1318.


## 2-methyl-2-phenyl- $N$-(4-(trifluoromethyl)phenyl)propanamide (3ar)

Yield $=84 \%, \mathrm{~b} / \mathrm{l}>99: 1,{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta$ 7.50-7.39 (m, 8H) 7.35-7.30 (m, 1H), $7.02(\mathrm{~s}, 1 \mathrm{H}), 1.66(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 176.0$, $144.2,141.1,129.2,127.7,126.5,126.2(\mathrm{q}, J=7.5 \mathrm{~Hz}, 3.7 \mathrm{~Hz}), 125.6(\mathrm{~d}, J=25.7 \mathrm{~Hz})$, 122.8, 119.3, 48.3, 27.0. ${ }^{19} \mathrm{~F}$ NMR ( $376 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta-32.6$. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{17} \mathrm{H}_{16} \mathrm{~F}_{3} \mathrm{NNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 330.1076$, found: 330.1080.

$N$-(4-cyanophenyl)-2-methyl-2-phenylpropanamide (3as)
Yield $=58 \%, \mathrm{~b} / \mathrm{l}=97: 3,{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 7.54-7.48(\mathrm{~m}, 4 \mathrm{H}), 7.42$ $(\mathrm{d}, J=4.4 \mathrm{~Hz}, 4 \mathrm{H}), 7.37-7.31(\mathrm{~m}, 1 \mathrm{H}), 7.05(\mathrm{~s}, 1 \mathrm{H}), 1.67(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR (101 $\mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 176.1,143.9,142.1,133.1,129.2,127.7,126.4,119.5,118.9,106.8$, 48.3, 26.9. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{17} \mathrm{H}_{16} \mathrm{~N}_{2} \mathrm{NaO}[\mathrm{M}+\mathrm{Na}]^{+}: 287.1154$, found: 287.1165.


2-methyl- N -(naphthalen-2-yl)-2-phenylpropanamide (3at)
Yield $=78 \%, \mathrm{~b} / \mathrm{l}=90: 10,{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 8.10(\mathrm{~s}, 1 \mathrm{H}), 7.73-7.67$ $(\mathrm{m}, 3 \mathrm{H}), 7.46(\mathrm{~d}, J=7.6 \mathrm{~Hz}, 2 \mathrm{H}), 7.40-7.30(\mathrm{~m}, 5 \mathrm{H}), 7.21(\mathrm{dd}, J=8.8,2.0 \mathrm{~Hz}, 1 \mathrm{H})$, $6.99(\mathrm{~s}, 1 \mathrm{H}), 1.69(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta$ 175.9, 144.6, 135.5, 133.9, 130.6, 129.1, 128.6, 127.7, 127.6, 127.5, 126.6, 126.5, 125.0, 119.9, 116.4, 48.2, 27.2. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{20} \mathrm{H}_{19} \mathrm{NNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 312.1358$, found: 312.1359.

$N, 2$-dimethyl-2-phenyl- $N$-(p-tolyl)propenamide (3au)
Yield $=70 \%, \mathrm{~b} / \mathrm{l}=93: 7,{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 7.18-6.46(\mathrm{~m}, 9 \mathrm{H}), 3.10$ $(\mathrm{m}, 3 \mathrm{H}), 2.26(\mathrm{~s}, 3 \mathrm{H}), 1.45(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta$ 176.4, 146.4, 141.3, 136.8, 129.2, 128.4, 126.2, 125.4, 47.6, 40.8, 28.7, 21.1. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{18} \mathrm{H}_{21} \mathrm{NNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 390.1515$, found: 290.1515 .

$N$-(4-chlorophenyl)- $N$,2-dimethyl-2-phenylpropanamide (3av)
Yield $=71 \%, \mathrm{~b} / \mathrm{l}=90: 10,{ }^{1} \mathrm{H}$ NMR $\left(600 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 7.22-7.04(\mathrm{~m}, 7 \mathrm{H}), 6.57$ (m, 2H), $3.06(\mathrm{~s}, 3 \mathrm{H}), 1.47(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $151 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 176.3,146.0142 .5$, 132.7, 129.8, 128.8, 128.6, 126.5, 125.4, 47.7, 40.6, 29.8, 28.6. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{17} \mathrm{H}_{18} \mathrm{ClNNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 310.0969$, found: 310.0969.

$\boldsymbol{N}$-(4-bromophenyl)-N,2-dimethyl-2-phenylpropanamide (3aw)
Yield $=75 \%, \mathrm{~b} / \mathrm{l}=88: 12,{ }^{1} \mathrm{H}$ NMR ( $600 \mathrm{MHz}, d^{6}$-DMSO) $\delta 7.34-7.22(\mathrm{~m}, 5 \mathrm{H})$, $7.09(\mathrm{~s}, 2 \mathrm{H}), 6.80(\mathrm{~s}, 2 \mathrm{H}), 2.90(\mathrm{~s}, 3 \mathrm{H}), 1.39(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $151 \mathrm{MHz}, d^{6}$-DMSO) $\delta 174.9,145.8,143.5,131.5,130.1,128.6,126.4,125.0,119.5,47.0,28.4$. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{17} \mathrm{H}_{18} \mathrm{BrNNaO}[\mathrm{M}+\mathrm{Na}]^{+}$: 354.0463, found: 354.0461.

$N$-benzyl-2-methyl- $N$,2-diphenylpropanamide (3ax)
Yield $=61 \%, \mathrm{~b} / \mathrm{l}=86: 14,{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 7.34-6.89(\mathrm{~m}, 14 \mathrm{H})$, $6.29(\mathrm{~s}, 2 \mathrm{H}), 4.79(\mathrm{~s}, 2 \mathrm{H}), 1.45(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta$ 176.1, 146.2, $141.8,137.9,130.2,129.0,128.3,128.3,128.1,127.3,127.1,126.2,125.6,56.1,47.9$, 29.0. HRMS calcd. (ESI) m/z for $\mathrm{C}_{23} \mathrm{H}_{23} \mathrm{NNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 352.1671$, found: 352.1666.


## 1-(indolin-1-yl)-2-methyl-2-phenylpropan-1-one (3ay)

Yield $=52 \%, \mathrm{~b} / \mathrm{l}=83: 17,{ }^{1} \mathrm{H} \operatorname{NMR}\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 8.33(\mathrm{~d}, J=8.0 \mathrm{~Hz}, 1 \mathrm{H})$, 7.35-7.29 (m, 4H), 7.26-7.20 (m, 3H), $7.11(\mathrm{~d}, J=6.8 \mathrm{~Hz}, 1 \mathrm{H}), 7.00(\mathrm{t}, J=7.6 \mathrm{~Hz}$, $1 \mathrm{H}), 3.37(\mathrm{t}, J=8.0 \mathrm{~Hz}, 2 \mathrm{H}), 2.78(\mathrm{t}, J=8.0 \mathrm{~Hz}, 2 \mathrm{H}), 1.65(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR (101 $\left.\mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 175.0,145.6,144.5,131.2,129.1,127.5,126.7,125.5,124.4,123.9$, 118.4, 49.0, 48.7, 28.9, 27.8. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{18} \mathrm{H}_{19} \mathrm{NNaO}[\mathrm{M}+\mathrm{Na}]^{+}$: 288.1358 found: 288.1356.


## 2-methyl- $N$-phenyl-2-(p-tolyl)propanamide (3ba)

Yield $=89 \% \mathrm{~b} / \mathrm{l}=98: 2,{ }^{1} \mathrm{H} \operatorname{NMR}\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 7.35-7.30(\mathrm{~m}, 4 \mathrm{H})$, 7.23-7.17 (m, 4H), $7.00(\mathrm{t}, J=7.6 \mathrm{~Hz}, 1 \mathrm{H}), 6.89(\mathrm{~s}, 1 \mathrm{H}), 2.34(\mathrm{~s}, 3 \mathrm{H}), 1.62(\mathrm{~s}, 6 \mathrm{H}) ;$ ${ }^{13} \mathrm{C}$ NMR (101 MHz, $\mathrm{CDCl}_{3}$ ) $\delta 175.8,144.6,138.7,138.1,129.0,128.2,127.3,124.2$, 123.6, 119.7, 48.0, 27.1, 21.7. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{16} \mathrm{H}_{17} \mathrm{NO}[\mathrm{M}+\mathrm{Na}]^{+}$: 262.1202, found: 262.1211 .


## 2-methyl- $N$-phenyl-2-(m-tolyl)propanamide (3ca)

Yield $=78 \%, \mathrm{~b} / \mathrm{l}>99: 1,{ }^{1} \mathrm{H} \operatorname{NMR}\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) 7.35(\mathrm{~d}, J=7.6 \mathrm{~Hz}, 2 \mathrm{H})$, $7.30-7.21(\mathrm{~m}, 5 \mathrm{H}), 7.12(\mathrm{~d}, J=7.2 \mathrm{~Hz}, 1 \mathrm{H}), 7.06-7.02(\mathrm{~m}, 1 \mathrm{H}), 6.83(\mathrm{~s}, 1 \mathrm{H}), 2.37(\mathrm{~s}$, $3 \mathrm{H}), 1.65(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C} \mathrm{NMR}\left(101 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 175.8,144.6,138.7,138.1,128.9$, $128.2,127.3,124.2,123.6,119.7,48.0,27.1,21.7$. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{17} \mathrm{H}_{19} \mathrm{NNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 276.1358$, found: 276.1370 .


## 2-([1,1'-biphenyl]-4-yl)-2-methyl- $N$-phenylpropanamide (3da)

Yield $=95 \%, \mathrm{~b} / \mathrm{l}>99: 1,{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 7.64-7.60(\mathrm{~m}, 4 \mathrm{H})$, 7.53-7.50 (m, 2H), 7.47-7.43 (m, 2H), 7.39-7.33 (m, 3H), 7.28-7.24 (m, 2H), 7.07-7.03 (m, 1H), $6.88(\mathrm{~s}, 1 \mathrm{H}), 1.70(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 175.6$, 143.7, 140.4, 140.3, 138.1, 129.0, 129.0, 127.7, 127.6, 127.1, 127.1, 124.3, 119.8, 48.0, 27.2. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{22} \mathrm{H}_{21} \mathrm{NNaO}[\mathrm{M}+\mathrm{Na}]^{+}$: 338.1515, found: 338.1515


## 2-(4-methoxyphenyl)-2-methyl- N -phenylpropanamide (3ea)

Yield $=84 \%, \mathrm{~b} / \mathrm{l}>99: 1,{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 7.36-7.34(\mathrm{~m}, 4 \mathrm{H}), 7.24$ (t, $J=7.2 \mathrm{~Hz}, 2 \mathrm{H}), 7.06-7.02(\mathrm{~m}, 1 \mathrm{H}), 6.94-6.89(\mathrm{~m}, 3 \mathrm{H}), 3.81(\mathrm{~s}, 3 \mathrm{H}), 1.63(\mathrm{~s}, 6 \mathrm{H}) ;$ ${ }^{13} \mathrm{C}^{\text {NMR }}\left(101 \mathrm{MHz}, \mathrm{CDCl}_{3}\right.$ ) $\delta 176.0,158.8,138.1,136.5,128.9,127.7,124.1,119.7$, 114.3, 55.3, 47.4, 27.2. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{17} \mathrm{H}_{19} \mathrm{NNaO}_{2}[\mathrm{M}+\mathrm{Na}]^{+}$: 292.1308, found: 292.1308


## 2-(3-methoxyphenyl)-2-methyl- N -phenylpropanamide (3fa)

Yield $=91 \%, \mathrm{~b} / \mathrm{l}>99: 1,{ }^{1} \mathrm{H} \operatorname{NMR}\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 7.38-7.35(\mathrm{~m}, 4 \mathrm{H})$, 7.27-7.23 (m, 2H), $7.04(\mathrm{t}, \mathrm{J}=2.8 \mathrm{~Hz}, 1 \mathrm{H}), 6.95-6.91(\mathrm{~m}, 2 \mathrm{H}), 6.85(\mathrm{~s}, 1 \mathrm{H}), 3.82(\mathrm{~s}$, 3 H ), $1.64(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 175.5,160.1,146.3,138.1,130.1$, 128.9, 124.2, 119.8, 119.0, 113.0, 112.2, 55.4, 48.1, 27.1. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{17} \mathrm{H}_{19} \mathrm{NNaO}_{2}[\mathrm{M}+\mathrm{Na}]^{+}: 292.1308$, found: 292.1306 .


2-(3,4-dimethoxyphenyl)-2-methyl- $N$-phenylpropanamide (3ga)
Yield $=95 \%, \mathrm{~b} / \mathrm{l}>99: 1,{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 7.36(\mathrm{~d}, J=8.0 \mathrm{~Hz}, 2 \mathrm{H})$, 7.27-7.23 (m, 2H), 7.06-6.99 (m, 2H), $6.90(\mathrm{~d}, J=2.4 \mathrm{~Hz}, 2 \mathrm{H}), 6.88(\mathrm{~s}, 1 \mathrm{H}), 3.89(\mathrm{~s}$, $3 \mathrm{H}), 3.86(\mathrm{~s}, 3 \mathrm{H}), 1.65(\mathrm{~s}, 6 \mathrm{H}),{ }^{13} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 175.9,149.3,148.4$, 138.0, 137.1, 128.9, 124.2, 119.7, 118.5, 111.3, 110.1, 56.0, 55.9, 47.7, 27.2. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{18} \mathrm{H}_{21} \mathrm{NNaO}_{3}[\mathrm{M}+\mathrm{Na}]^{+}: 322.1412$, found: 322.1412.


## 2-(benzo[d][1,3]dioxol-5-yl)-2-methyl- $N$-phenylpropanamide (3ha)

Yield $=83 \%, \mathrm{~b} / \mathrm{l}>99: 1 ;{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 7.38(\mathrm{~d}, J=8.0 \mathrm{~Hz}, 2 \mathrm{H})$, $7.26(\mathrm{t}, J=7.6 \mathrm{~Hz}, 2 \mathrm{H}), 7.05(\mathrm{t}, J=7.4 \mathrm{~Hz}, 1 \mathrm{H}), 6.91-6.89(\mathrm{~m}, 3 \mathrm{H}), 6.83-6.81(\mathrm{~m}$, $1 \mathrm{H}), 5.97(\mathrm{~s}, 2 \mathrm{H}), 1.62(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta$ 175.6, 148.3, 146.9, 138.6, 138.1, 129.0, 124.2, 119.7, 119.6, 108.5, 107.4, 101.4, 47.9, 27.3. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{17} \mathrm{H}_{17} \mathrm{NNaO}_{3}[\mathrm{M}+\mathrm{Na}]^{+}: 306.1100$, found: 306.1114.


## 2-(4-fluorophenyl)-2-methyl- N -phenylpropanamide (3ia)

Yield $=70 \%, \mathrm{~b} / \mathrm{l}=94: 6,{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 7.42-7.34(\mathrm{~m}, 4 \mathrm{H})$, 7.27-7.23 (m, 2H), 7.09-7.03 (m, 3H), $6.87(\mathrm{~s}, 1 \mathrm{H}), 1.63(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( 101 MHz , $\left.\mathrm{CDCl}_{3}\right) \delta 175.3,161.9(\mathrm{~d}, J=245.2 \mathrm{~Hz}), 140.5,137.9,129.0,128.2(\mathrm{~d}, J=7.8 \mathrm{~Hz})$, 124.3, 119.8, $115.8(\mathrm{~d}, J=21.1 \mathrm{~Hz}), 47.6,27.2 ;{ }^{19} \mathrm{~F}$ NMR ( $376 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta-131.8$. HRMS calcd. (ESI) m/z for $\mathrm{C}_{16} \mathrm{H}_{16} \mathrm{FNNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 280.1108$, found: 280.1109.


2-(4-chlorophenyl)-2-methyl- N -phenylpropanamide (3ja)
Yield $=73 \%, \mathrm{~b} / \mathrm{l}>99: 1,{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 7.37-7.35(\mathrm{~m}, 6 \mathrm{H})$, 7.28-7.24 (m, 2H), $7.07(\mathrm{t}, J=7.2 \mathrm{~Hz}, 1 \mathrm{H}), 6.81(\mathrm{~s}, 1 \mathrm{H}), 1.64(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR (101 $\mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 175.0,143.3,137.9,133.4,129.2,129.0,128.0,124.4,119.9,47.8$, 27.1. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{16} \mathrm{H}_{16} \mathrm{ClNNaO}[\mathrm{M}+\mathrm{Na}]^{+}$: 296.0812, found: 296.0812.


2-(3-chlorophenyl)-2-methyl- N -phenylpropanamide (3ka)
Yield $=86 \%, \mathrm{~b} / \mathrm{l}>99: 1,{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 7.43(\mathrm{~s}, 1 \mathrm{H}), 7.37(\mathrm{~d}, J=$ $8.0 \mathrm{~Hz}, 2 \mathrm{H}), 7.32-7.24(\mathrm{~m}, 5 \mathrm{H}), 7.06(\mathrm{t}, J=7.2 \mathrm{~Hz}, 1 \mathrm{H}), 6.84(\mathrm{~s}, 1 \mathrm{H}), 1.64(\mathrm{~s}, 6 \mathrm{H}) ;$ ${ }^{13} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 174.0,146.2,137.9,135.0,130.3,129.0,127.7,126.6$, 124.9, 124.5, 119.9, 48.1, 27.0. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{16} \mathrm{H}_{16} \mathrm{ClNNaO}[\mathrm{M}+\mathrm{Na}]^{+}$: 296.0812, found: 296.0824


2-(4-bromophenyl)-2-methyl- $N$-phenylpropanamide (3la)
Yield $=92 \%, \mathrm{~b} / \mathrm{l}>99: 1,{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 7.58(\mathrm{t}, J=1.6 \mathrm{~Hz} 1 \mathrm{H})$, $7.44(\mathrm{~d}, J=8.0 \mathrm{~Hz}, 1 \mathrm{H}), 7.37(\mathrm{t}, J=8.0 \mathrm{~Hz}, 3 \mathrm{H}), 7.29-7.24(\mathrm{~m}, 3 \mathrm{H}), 7.07(\mathrm{t}, J=7.2$ $\mathrm{Hz}, 1 \mathrm{H}), 6.82(\mathrm{~s}, 1 \mathrm{H}), 1.64(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta$ 174.7, 147.1, 137.8, 130.6, 129.4, 129.0, 125.4, 124.4, 123.2, 119.9, 48.0, 27.0. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{16} \mathrm{H}_{16} \mathrm{BrNNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 340.0307$, found: 340.0317 .


## 2-(3-bromophenyl)-2-methyl- N -phenylpropanamide (3ma)

Yield $=72 \%, \mathrm{~b} / 1>99: 1,{ }^{1} \mathrm{H} \operatorname{NMR}\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) 7.51-7.48(\mathrm{~m}, 2 \mathrm{H})$, 7.37-7.34 (m, 2H), 7.30-7.03 (m, 4H), 7.08-7.03 (m, 1H), 6.87 (s, 1H), $1.61(\mathrm{~s}, 6 \mathrm{H})$; ${ }^{13} \mathrm{C}^{\mathrm{NMR}}\left(101 \mathrm{MHz}, \mathrm{CDCl}_{3}\right.$ ) $\delta 174.9,143.8,137.9,132.2,129.0,128.3,124.4,121.5$, 119.9, 47.9, 27.1. HRMS calcd. (ESI) m/z for $\mathrm{C}_{16} \mathrm{H}_{16} \mathrm{BrNNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 340.0307$, found: 340.0319 .


## 2-methyl- N -phenyl-2-(4-(trifluoromethyl)phenyl)propanamide (3na)

Yield $=73 \%, \mathrm{~b} / \mathrm{l}=97: 3,{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 7.64(\mathrm{~d}, J=8.0 \mathrm{~Hz}, 2 \mathrm{H})$, $7.54(\mathrm{~d}, J=8.4 \mathrm{~Hz}, 2 \mathrm{H}), 7.38-7.36(\mathrm{~m}, 2 \mathrm{H}), 7.28-7.24(\mathrm{~m}, 2 \mathrm{H}), 7.10-7.054(\mathrm{~m}, 1 \mathrm{H})$, $6.86(\mathrm{~s}, 1 \mathrm{H}), 1.67(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 174.5,149.0,137.8,130.2$, $129.6(\mathrm{~d}, J=32.4 \mathrm{~Hz}), 129.0,126.9,126.0(\mathrm{~d}, J=32.4 \mathrm{~Hz}), 125.5,124.4(\mathrm{~d}, J=170.3$ Hz ), 120.0, 48.2, 27.0; ${ }^{19} \mathrm{~F}$ NMR ( $376 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta$-62.42. HRMS calcd. (ESI) m/z for $\mathrm{C}_{17} \mathrm{H}_{16} \mathrm{~F}_{3} \mathrm{NNaO}[\mathrm{M}+\mathrm{Na}]+: 330.1076$, found: 330.1085.


## 2-(4-cyanophenyl)-2-methyl- N -phenylpropanamide (3oa)

Yield $=94 \%, \mathrm{~b} / \mathrm{l}>99: 1,{ }^{1} \mathrm{H}$ NMR $\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 7.66(\mathrm{~d}, J=8.4 \mathrm{~Hz}, 2 \mathrm{H})$, $7.55(\mathrm{~d}, J=8.4 \mathrm{~Hz}, 2 \mathrm{H}), 7.39(\mathrm{~d}, J=8.0 \mathrm{~Hz}, 2 \mathrm{H}), 7.30-7.26(\mathrm{~m}, 2 \mathrm{H}), 7.09(\mathrm{t}, J=7.4$ $\mathrm{Hz}, 1 \mathrm{H}), 6.86(\mathrm{~s}, 1 \mathrm{H}), 1.67(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta$ 173.9, 150.3, 137.7, 132.8, 129.1, 127.3, 124.7, 120.0, 118.6, 111.3, 48.4, 26.9. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{17} \mathrm{H}_{16} \mathrm{~N}_{2} \mathrm{NaO}[\mathrm{M}+\mathrm{Na}]^{+}: 287.1154$, found: 287.1157.


2-methyl-2-(4-(methylsulfonyl)phenyl)- $N$-phenylpropanamide (3pa)
Yield $=93 \%, \mathrm{~b} / \mathrm{l}>99: 1 ;{ }^{1} \mathrm{H}$ NMR (400 MHz, $\left.d^{6}-\mathrm{DMSO}\right) \delta 9.24(\mathrm{~s}, 1 \mathrm{H}), 7.93(\mathrm{~d}$, $J=8.4 \mathrm{~Hz}, 2 \mathrm{H}), 7.65-7.60(\mathrm{~m}, 4 \mathrm{H}), 7.28(\mathrm{t}, J=7.8 \mathrm{~Hz}, 2 \mathrm{H}), 7.04(\mathrm{t}, J=7.4 \mathrm{~Hz}, 1 \mathrm{H})$, $3.21(\mathrm{~s}, 3 \mathrm{H}), 1.61(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR (101 MHz, $d^{6}$-DMSO) $\delta 173.9,151.7,139.1$, $138.9,128.5,127.1,127.0,123.5,120.4,47.8,43.6,26.6$. HRMS calcd. (ESI) m/z for $\mathrm{C}_{17} \mathrm{H}_{19} \mathrm{~N}_{3} \mathrm{NaOS}[\mathrm{M}+\mathrm{Na}]^{+}: 340.0977$, found: 340.0977.


2-methyl-2-(naphthalen-2-yl)- $N$-phenylpropanamide (3qa)
Yield $=95 \%, \mathrm{~b} / \mathrm{l}>99: 1 ;{ }^{1} \mathrm{H} \operatorname{NMR}\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 7.69-7.82(\mathrm{~m}, 4 \mathrm{H})$, $7.52-7.45(\mathrm{~m}, 3 \mathrm{H}), 7.32(\mathrm{~d}, J=8.0 \mathrm{~Hz}, 2 \mathrm{H}) 7.20(\mathrm{t}, J=7.2 \mathrm{~Hz}, 2 \mathrm{H}), 7.01(\mathrm{t}, J=7.2 \mathrm{~Hz}$, $2 \mathrm{H}), 6.86(\mathrm{~s}, 1 \mathrm{H}), 1.74(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C} \operatorname{NMR}\left(101 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 175.5,142.1,138.0$, $133.4,132.8,128.9,128.2,127.7,126.7,126.4,125.4,124.6,124.2,119.8,48.4,27.1$. HRMS calcd. (ESI) m/z for $\mathrm{C}_{20} \mathrm{H}_{19} \mathrm{NNaO}[\mathrm{M}+\mathrm{Na}]^{+}$: 312.1358, found: 312.1351.


## 2-methyl- $N$-phenyl-2-(thiophen-2-yl)propanamide (3ra)

Yield $=48 \%, \mathrm{~b} / \mathrm{l}>99: 1 ;{ }^{1} \mathrm{H} \operatorname{NMR}\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 7.36(\mathrm{~d}, J=8.0 \mathrm{~Hz}, 2 \mathrm{H})$, $7.33(\mathrm{~d}, J=4.8 \mathrm{~Hz}, 1 \mathrm{H}), 7.28-7.25(\mathrm{~m}, 2 \mathrm{H}), 7.18(\mathrm{~s}, 1 \mathrm{H}), 7.10(\mathrm{~d}, J=2.8 \mathrm{~Hz}, 1 \mathrm{H})$, 7.08-7.05 (m, 2H), $1.75(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR (101 MHz, $\left.\mathrm{CDCl}_{3}\right) \delta 174.2,149.6,137.9$, 129.0, 127.5, 125.5, 125.1, 124.4, 119.8, 46.4, 28.3. HRMS calcd. (ESI) m/z for $\mathrm{C}_{14} \mathrm{H}_{15} \mathrm{NNaOS}[\mathrm{M}+\mathrm{Na}]^{+}: 268.0766$, found: 268.0766 .

$N, 1$-dimethyl- $N$-phenyl-2,3-dihydro-1H-indene-1-carboxamide (3sa)
Yield $=75 \%, \mathrm{~b} / \mathrm{l}>99: 1,{ }^{1} \mathrm{H}$ NMR $\left(600 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 7.36-7.31(\mathrm{~m}, 6 \mathrm{H})$, 7.26-7.24 (m, 2H), 7.06 (t, $J=6.0 \mathrm{~Hz}, 2 \mathrm{H}), 3.03-2.96(\mathrm{~m}, 2 \mathrm{H}), 2.73-2.70(\mathrm{~m}, 1 \mathrm{H})$, 2.14-2.09 (m, 1H), $1.65(\mathrm{~s}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $151 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 174.9,145.7,144.9$, 137.8, 129.0, 128.4, 127.5, 125.7, 124.3, 123.7, 119.7, 56.7, 40.2, 30.6, 24.5. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{17} \mathrm{H}_{17} \mathrm{NNaO}[\mathrm{M}+\mathrm{Na}]^{+}$: 288.1358, found: 288.1358 .


2-methyl-N,2-diphenylpentanamide (3ta)
Yield $=51 \%, \mathrm{~b} / \mathrm{l}=88: 12,{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta$ 7.41-7.34 ( $\mathrm{m}, 5 \mathrm{H}$ ), 7.32-7.29 (m, 1H), 7.28-7.24 (m, 3H), 7.07-7.03 (m, 1H), 6.79 (s, 1H), 2.11-1.98 (m, $2 \mathrm{H}), 1.62(\mathrm{~s}, 3 \mathrm{H}), 1.32-1.26(\mathrm{~m}, 1 \mathrm{H}), 1.20-1.12(\mathrm{~m}, 1 \mathrm{H}), 0.92(\mathrm{t}, J=6.8 \mathrm{~Hz}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR (101 MHz, $\mathrm{CDCl}_{3}$ ) $\delta$ 175.4, 143.9, 138.1, 129.1, 129.0, 127.4, 127.1, 124.2, 119.8, 51.8, 41.3, 24.1, 17.9, 14.8. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{17} \mathrm{H}_{17} \mathrm{NNaO}[\mathrm{M}+\mathrm{Na}]^{+}$: 290.1515 , found: 290.1515 .

methyl 2,2-dimethyl-3-oxo-3-(phenylamino)propanoate (3ua)
Yield $=86 \%, \mathrm{~b} / \mathrm{l}>99: 1 ;{ }^{1} \mathrm{H}$ NMR $\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 8.55(\mathrm{~s}, 1 \mathrm{H}), 7.53(\mathrm{~d}, J=$ $7.6 \mathrm{~Hz}, 2 \mathrm{H}), 7.32(\mathrm{t}, J=7.6 \mathrm{~Hz}, 2 \mathrm{H}), 7.11(\mathrm{t}, J=7.2 \mathrm{~Hz}, 1 \mathrm{H}), 3.79(\mathrm{~s}, 3 \mathrm{H}), 1.56(\mathrm{~s}$, 6 H ); ${ }^{13} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 176.1,169.7,137.9,129.1,124.5,120.1,53.1$, 50.6, 24.0. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{12} \mathrm{H}_{15} \mathrm{NNaO}_{3}[\mathrm{M}+\mathrm{Na}]^{+}: 244.0944$, found: 244.0954.

ethyl 2,2-dimethyl-3-oxo-3-(phenylamino)propanoate (3va)
Yield $=85 \%, \mathrm{~b} / \mathrm{l}>99: 1,{ }^{1} \mathrm{H} \operatorname{NMR}\left(600 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 8.63(\mathrm{~s}, 1 \mathrm{H}), 7.53(\mathrm{~d}$, $4.0 \mathrm{~Hz}, 2 \mathrm{H}), 7.32(\mathrm{t}, J=8.1 \mathrm{~Hz}, 2 \mathrm{H}), 7.10(\mathrm{t}, J=10.5 \mathrm{~Hz}, 1 \mathrm{H}), 4.24(\mathrm{q}, J=6.6 \mathrm{~Hz}$, $2 \mathrm{H}), 1.55(\mathrm{~s}, 6 \mathrm{H}), 1.30(\mathrm{t}, J=6.9 \mathrm{~Hz}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C} \mathrm{NMR}\left(151 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 175.6$, $169.2,137.9,129.0,124.4,120.0,62.1,50.5,24.0,14.1$. HRMS calcd. (ESI) m/z for $\mathrm{C}_{13} \mathrm{H}_{17} \mathrm{NNaO}_{3}[\mathrm{M}+\mathrm{Na}]+: 258.1100$, found:258.1101.

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## methyl-N,4-diphenylcyclohexane-1-carboxamide (3wa)

Yield $=52 \%, \mathrm{~b} / \mathrm{l}=95: 5,{ }^{1} \mathrm{H} \operatorname{NMR}\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 7.55(\mathrm{~d}, J=8.0 \mathrm{~Hz}, 2 \mathrm{H})$, $7.39(\mathrm{~s}, 1 \mathrm{H}), 7.34-7.30(\mathrm{~m}, 4 \mathrm{H}), 7.25-7.16(\mathrm{~m}, 3 \mathrm{H}), 7.10(\mathrm{t}, J=7.6 \mathrm{~Hz}, 1 \mathrm{H}), 2.59-2.48$ $(\mathrm{m}, 1 \mathrm{H}), 1.95-1.86(\mathrm{~m}, 5 \mathrm{H}), 1.78-1.67(\mathrm{~m}, 3 \mathrm{H}), 1.41(\mathrm{~s}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( 101 MHz , $\left.\mathrm{CDCl}_{3}\right) \delta 177.1,146.7,138.2,129.1,128.5,126.9,126.3,124.4,120.2,43.8,42.2$, 34.5, 29.3, 20.8. HRMS calcd. (ESI) m/z for $\mathrm{C}_{20} \mathrm{H}_{23} \mathrm{NNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 316.1671$, found: 316.1677 .


## 2,2-dimethyl- $N$-phenylpentanamide (3xa)

Yield $=60 \%, \mathrm{~b} / \mathrm{l}=94: 6 ;{ }^{1} \mathrm{H}$ NMR $\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 7.52(\mathrm{~d}, J=8.0 \mathrm{~Hz}, 2 \mathrm{H})$, $7.38(\mathrm{~s}, 1 \mathrm{H}), 7.29(\mathrm{t}, J=7.8 \mathrm{~Hz}, 2 \mathrm{H}), 7.08(\mathrm{t}, J=7.2 \mathrm{~Hz}, 1 \mathrm{H}), 1.60-1.55(\mathrm{~m}, 2 \mathrm{H})$, 1.37-1.29 (m, 2H), $1.27(\mathrm{~s}, 6 \mathrm{H}), 0.91(\mathrm{t}, J=7.2 \mathrm{~Hz}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 176.2,138.1,129.1,124.3,120.1,44.0,43.2,25.7,18.3,14.7$. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{13} \mathrm{H}_{19} \mathrm{NNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 228.1358$, found: 228.1358.

4a
(3S,8S,9S,10R,13R,14S,17R)-17-((2R,5S)-5-ethyl-6-methylheptan-2-yl)-10,13-dim ethyl-2,3,4,7,8,9,10,11,12,13,14,15,16,17-tetradecahydro-1H-cyclopenta[a]phenan thren-3-yl 2,2-dimethyl-3-oxo-3-(phenylamino)propanoate (4a)

Yield $=65 \%, \mathrm{~b} / \mathrm{l}>20: 1$ (based on ${ }^{1} \mathrm{H}$ NMR $),{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 8.65$ $(\mathrm{s}, 1 \mathrm{H}), 7.54-7.51(\mathrm{~m}, 2 \mathrm{H}), 7.34-7.29(\mathrm{~m}, 2 \mathrm{H}), 7.12-7.08(\mathrm{~m}, 1 \mathrm{H}), 5.39(\mathrm{~d}, J=4.4 \mathrm{~Hz}$, $1 \mathrm{H}), 4.74-4.66(\mathrm{~m}, 1 \mathrm{H}), 2.35(\mathrm{~d}, J=7.2 \mathrm{~Hz}, 2 \mathrm{H}), 2.03-1.95(\mathrm{~m}, 2 \mathrm{H}), 1.90-1.82(\mathrm{~m}$, $3 \mathrm{H}), 1.69-1.62(\mathrm{~m}, 2 \mathrm{H}), 1.59-1.57(\mathrm{~m}, 1 \mathrm{H}), 1.54(\mathrm{~s}, 6 \mathrm{H}), 1.51-1.43(\mathrm{~m}, 4 \mathrm{H}), 1.37-1.30$ $(\mathrm{m}, 2 \mathrm{H}), 1.28-1.19(\mathrm{~m}, 3 \mathrm{H}), 1.20-1.10(\mathrm{~m}, 6 \mathrm{H}), 1.02(\mathrm{~s}, 3 \mathrm{H}), 0.96(\mathrm{~d}, J=6.0 \mathrm{~Hz}, 1 \mathrm{H})$, $0.92(\mathrm{~d}, J=6.4 \mathrm{~Hz}, 3 \mathrm{H}), 0.86-0.81(\mathrm{~m}, 10 \mathrm{H}), 0.68(\mathrm{~s}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( 101 MHz , $\mathrm{CDCl}_{3}$ ) $\delta 175.0,170.0,139.3,138.0,129.1,124.4,123.2,120.0,75.8,56.8,56.2,50.6$, $50.1,45.9,42.4,39.8,37.9,37.0,36.7,36.3,34.0,32.0,31.9,29.3,28.4,27.7,26.2$, 24.4, 24.1, 24.0, 23.2, 21.2, 20.0, 19.4, 19.2, 18.9, 12.1, 12.0. $\mathrm{C}_{39} \mathrm{H}_{59} \mathrm{NNaO}_{3}[\mathrm{M}+\mathrm{Na}]^{+}:$ 626.4543, found: 626.4513.

( $R$ )-2,5,7,8-tetramethyl-2-((4R,8R)-4,8,12-trimethyltridecyl)chroman-6-yl 2,2-dimethyl-3-oxo-3-(phenylamino)propanoate (4b)

Yield $=89 \%, \mathrm{~b} / \mathrm{l}>20: 1$ (based on ${ }^{1} \mathrm{H}$ NMR $),{ }^{1} \mathrm{H}$ NMR $\left(600 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 8.80$ (s, 1H), $7.54(\mathrm{~d}, J=8.4 \mathrm{~Hz}, 2 \mathrm{H}), 7.32(\mathrm{t}, J=7.5 \mathrm{~Hz}, 2 \mathrm{H}), 7.11(\mathrm{t}, J=7.2 \mathrm{~Hz}, 1 \mathrm{H})$, $2.59(\mathrm{t}, J=6.6 \mathrm{~Hz}, 2 \mathrm{H}), 2.09(\mathrm{~s}, 3 \mathrm{H}), 2.00(\mathrm{~s}, 3 \mathrm{H}), 1.95(\mathrm{~s}, 3 \mathrm{H}), 1.78(\mathrm{~s}, 6 \mathrm{H})$, 1.58-1.50 (m, 3H), 1.37 ( $\mathrm{s}, 3 \mathrm{H}$ ), 1.26-1.22 (m, 11H), 1.14-1.06 (m, 7H), 0.87-0.84 (m, 14H); ${ }^{13} \mathrm{C}$ NMR ( $151 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 174.8,169.4,149.8$ 140.2, 137.8, 129.1, 126.5, $124.8,124.6,123.5,120.1,117.7,75.3,50.7,40.6,39.5,37.5,37.4,32.9,32.9,32.8$, $31.3,31.0,28.0,24.9,24.6,24.4,23.7,22.9,22.8,21.1,20.7,19.9,19.8,13.0,12.1$, 12.0. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{40} \mathrm{H}_{61} \mathrm{NNaO}_{4}[\mathrm{M}+\mathrm{Na}]^{+}: 642.4492$, found: 642.4463.

(3S,8R,9S,10R,13S,14S)-10,13-dimethyl-17-oxo-2,3,4,7,8,9,10,11,12,13,14,15,16,17 -tetradecahydro-1H-cyclopenta[a]phenanthren-3-yl
2,2-dimethyl-3-oxo-3-(phenylamino)propanoate (4c)
Yield $=86 \%, \mathrm{~b} / \mathrm{l}>20: 1\left(\right.$ based on $\left.{ }^{1} \mathrm{H} \operatorname{NMR}\right),{ }^{1} \mathrm{H} \operatorname{NMR}\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 8.60$ $(\mathrm{s}, 1 \mathrm{H}), 7.53(\mathrm{~d}, J=8.0 \mathrm{~Hz}, 2 \mathrm{H}), 7.32(\mathrm{t}, J=7.6 \mathrm{~Hz}, 2 \mathrm{H}), 7.11(\mathrm{t}, J=7.6 \mathrm{~Hz}, 1 \mathrm{H})$, $5.43(\mathrm{~d}, J=4.4 \mathrm{~Hz}, 1 \mathrm{H}), 4.71-7.66(\mathrm{~m}, 1 \mathrm{H}), 2.46(\mathrm{dd}, J=19.2,8.8 \mathrm{~Hz}, 1 \mathrm{H}), 2.37(\mathrm{~d}, J$ $=7.6 \mathrm{~Hz}, 2 \mathrm{H}), 2.14-2.05(\mathrm{~m}, 2 \mathrm{H}), 1.99-1.84(\mathrm{~m}, 4 \mathrm{H}), 1.69-1.65(\mathrm{~m}, 4 \mathrm{H}), 1.55(\mathrm{~s}, 4 \mathrm{H})$, 1.33-1.26(m, 4H), 1.20-1.14 (m, 2H), $1.06(\mathrm{~s}, 3 \mathrm{H}), 0.89(\mathrm{~s}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR (101 MHz, $\left.\mathrm{CDCl}_{3}\right) \delta 175.0,169.9,139.6,137.9,129.1,124.4,122.4,120.0,75.5,51.8,50.6,50.2$, $47.6,37.9,36.9,36.8,35.9,31.5,31.5,30.9,29.8,27.6,24.0,24.0,22.0,20.4,19.5$, 13.6. $\mathrm{C}_{29} \mathrm{H}_{37} \mathrm{NNaO}_{4}[\mathrm{M}+\mathrm{Na}]^{+}: 500.2771$, found: 500.2762 .

(8R,9S,13S,14S)-13-methyl-17-oxo-7,8,9,11,12,13,14,15,16,17-decahydro-6H-cyclo penta[a]phenanthren-3-yl 2,2-dimethyl-3-oxo-3-(phenylamino)propanoate (4d)

Yield $=61 \%, \mathrm{~b} / \mathrm{l}>20: 1\left(\right.$ based on $\left.{ }^{1} \mathrm{H} \operatorname{NMR}\right),{ }^{1} \mathrm{H} \operatorname{NMR}\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 8.52$ $(\mathrm{s}, 1 \mathrm{H}), 7.54(\mathrm{~d}, J=8.0 \mathrm{~Hz}, 2 \mathrm{H}), 7.35-7.30(\mathrm{~m}, 3 \mathrm{H}), 7.12(\mathrm{t}, J=7.2 \mathrm{~Hz} 1 \mathrm{H}), 6.86(\mathrm{~d}, J$ $=8.4 \mathrm{~Hz}, 1 \mathrm{H}), 6.82(\mathrm{~s}, 1 \mathrm{H}), 3.48(\mathrm{~d}, J=0.4 \mathrm{~Hz}, 1 \mathrm{H}) 2.92-2.90(\mathrm{~m}, 2 \mathrm{H}), 2.51(\mathrm{dd}, J=$ $18.8,8.8 \mathrm{~Hz}, 1 \mathrm{H}), 2.42-2.38(\mathrm{~m}, 1 \mathrm{H}), 2.30-2.26(\mathrm{~m}, 1 \mathrm{H}), 2.19-2.12(\mathrm{~m}, 1 \mathrm{H}), 2.10-1.95$ $(\mathrm{m}, 3 \mathrm{H}), 1.71(\mathrm{~s}, 6 \mathrm{H}), 1.66-1.60(\mathrm{~m}, 2 \mathrm{H}), 1.57-1.42(\mathrm{~m}, 4 \mathrm{H}), 0.91(\mathrm{~s}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR $\left(151 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 221.0,174.7,169.4,148.4,138.4,138.1,137.8,129.1,126.7$, $124.6,121.3,120.1,118.4,50.8,50.4,48.0,44.2,38.0,35.9,31.6,29.5,26.4,25.8$, 24.0, 21.7, 13.9. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{29} \mathrm{H}_{33} \mathrm{NNaO}_{4}[\mathrm{M}+\mathrm{Na}]^{+}: 482.2301$, found: 482.2295.

2-methyl-N,2-diphenylbutanamide (5a)
Yield $=56 \%, \mathrm{~b} / \mathrm{l}=98: 2,{ }^{1} \mathrm{H}$ NMR ( $600 \mathrm{MHz}, d^{6}-\mathrm{DMSO}$ ) $\delta 9.06(\mathrm{~s}, 1 \mathrm{H}), 7.58(\mathrm{~d}$, $J=8.4 \mathrm{~Hz}, 2 \mathrm{H}), 7.34-7.33(\mathrm{~m}, 4 \mathrm{H}), 7.27-7.24(\mathrm{~m}, 3 \mathrm{H}), 7.01(\mathrm{t}, J=6.6 \mathrm{~Hz}, 1 \mathrm{H})$, 2.17-2.14 (m, 1H), 1.94-1.90 (m, 1H), $1.50(\mathrm{~s}, 3 \mathrm{H}), 0.78(\mathrm{t}, J=7.2 \mathrm{~Hz}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 175.3,143.5,138.1,129.0,129.0,127.4,127.1,124.2$, 119.8, 52.0, 31.6, 23.4, 9.0. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{17} \mathrm{H}_{19} \mathrm{NNaO}[\mathrm{M}+\mathrm{H}]^{+}$: 254.1539 , found: 254.1539


## 2-methyl- $N$-phenyl-2-( $p$-tolyl)butanamide (5b)

Yield $=66 \%, \mathrm{~b} / \mathrm{l}=85: 15,{ }^{1} \mathrm{H}$ NMR ( $600 \mathrm{MHz}, d^{6}-\mathrm{DMSO}$ ) $\delta 8.99(\mathrm{~s}, 1 \mathrm{H}), 7.57(\mathrm{~d}$, $J=7.8 \mathrm{~Hz}, 2 \mathrm{H}), 7.26-7.23(\mathrm{~m}, 2 \mathrm{H}), 7.20(\mathrm{~d}, J=8.4 \mathrm{~Hz}, 2 \mathrm{H}), 7.14(\mathrm{~d}, J=7.8 \mathrm{~Hz}, 2 \mathrm{H})$, $7.01(\mathrm{t}, J=7.2 \mathrm{~Hz}, 1 \mathrm{H}), 2.27(\mathrm{~s}, 3 \mathrm{H}), 2.15-2.10(\mathrm{~m}, 1 \mathrm{H}), 1.96-1.87(\mathrm{~m}, 1 \mathrm{H}), 1.48(\mathrm{~s}$, $3 \mathrm{H}), 0.77(\mathrm{t}, J=7.2 \mathrm{~Hz}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $151 \mathrm{MHz}, d^{6}$-DMSO) $\delta 174.3,142.1,139.3$, 135.4, 128.9, 128.4, 126.1, 123.2, 120.3, 50.7, 31.01, 22.7, 20.6 9.1. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{18} \mathrm{H}_{21} \mathrm{NNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 290.1515$, found: 250.1513.


## 2-([1,1'-biphenyl]-4-yl)-2-methyl- $N$-phenylbutanamide (5c)

Yield $=60 \%, \mathrm{~b} / \mathrm{l}>99: 1,{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 7.63-7.60(\mathrm{~m}, 4 \mathrm{H})$, 7.48-7.43 (m, 4H), 7.40-7.34 (m, 3H), 7.28-7.24 (m, 2H), 7.05 (t, J = 7.6 Hz, 1H), $6.89(\mathrm{~s}, 1 \mathrm{H}), 2.24-2.09(\mathrm{~m}, 2 \mathrm{H}), 1.64(\mathrm{~s}, 3 \mathrm{H}), 0.88(\mathrm{t}, J=7.2 \mathrm{~Hz}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR (101 $\mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 175.2,142.6,140.4,140.1,138.0,129.0,129.0,127.6,127.6,127.1$, 124.3, 119.8, 51.8, 31.7, 23.5, 8.9. HRMS calcd. (ESI) m/z for $\mathrm{C}_{23} \mathrm{H}_{23} \mathrm{NNaO}[\mathrm{M}+\mathrm{Na}]^{+}$: 352.1671, found: 352.1659.


2-(4-methoxyphenyl)-2-methyl- N -phenylbutanamide (5d)
Yield $=74 \%, \mathrm{~b} / \mathrm{l}=87: 13,{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 7.37-7.35(\mathrm{~m}, 2 \mathrm{H})$, 7.33-7.30 (m, 2H), 7.27-7.23 (m, 2H), 7.06-7.02 (m, 1H), 6.94-6.91 (m, 2H), $6.86(\mathrm{~s}$, $1 \mathrm{H}), 3.278(\mathrm{~s}, 3 \mathrm{H}), 1.92-1.86(\mathrm{~m}, 1 \mathrm{H}), 2.18-2.04(\mathrm{~m}, 2 \mathrm{H}), 1.58(\mathrm{~s}, 3 \mathrm{H}), 0.83(\mathrm{t}, J=7.2$ $\mathrm{Hz}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 175.7,158.7,138.1,135.3,128.9,128.3$, 124.1, 119.7, 114.3, 55.4, 51.3, 31.6, 23.5, 8.9. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{18} \mathrm{H}_{21} \mathrm{NNaO}_{2}[\mathrm{M}+\mathrm{Na}]^{+}: 306.1464$, found: 306.1464.


## 2-(3,4-dimethoxyphenyl)-2-methyl- $N$-phenylbutanamide (5e)

Yield $=76 \%, \mathrm{~b} / \mathrm{l}=88: 12,{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 7.36(\mathrm{~d}, J=7.6 \mathrm{~Hz}, 2 \mathrm{H})$, $7.25(\mathrm{t}, J=7.2 \mathrm{~Hz}, 2 \mathrm{H}), 7.04(\mathrm{t}, J=7.2 \mathrm{~Hz}, 1 \mathrm{H}), 6.97(\mathrm{dd}, J=7.4,2.0 \mathrm{~Hz}, 1 \mathrm{H}), 6.92$ $(\mathrm{s}, 1 \mathrm{H}), 6.89-6.86(\mathrm{~m}, 2 \mathrm{H}), 3.90(\mathrm{~s}, 3 \mathrm{H}), 3.85(\mathrm{~s}, 3 \mathrm{H}), 2.20-2.04(\mathrm{~m}, 2 \mathrm{H}), 1.59(\mathrm{~s}, 3 \mathrm{H})$, $0.83(\mathrm{t}, J=7.6 \mathrm{~Hz}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 175.6,149.2,148.2,138.0$, $135.8,128.9,124.1,119.6,119.0,111.0,110.3,56.0,55.9,51.5,31.5,23.3,8.9$. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{19} \mathrm{H}_{23} \mathrm{NNaO}_{3}[\mathrm{M}+\mathrm{Na}]^{+}: 336.1570$, found: 336.1570 .


## 2-(4-bromophenyl)-2-methyl- $N$-phenylbutanamide (5f)

Yield $=51 \%, \mathrm{~b} / \mathrm{l}=96: 4,{ }^{1} \mathrm{H}$ NMR $\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 7.51(\mathrm{~d}, J=8.8 \mathrm{~Hz}, 2 \mathrm{H})$, $7.37(\mathrm{~d}, J=8.0 \mathrm{~Hz}, 2 \mathrm{H}), 7.29-7.25(\mathrm{~m}, 4 \mathrm{H}), 7.07(\mathrm{t}, J=7.2 \mathrm{~Hz}, 1 \mathrm{H}), 6.78(\mathrm{~s}, 1 \mathrm{H})$, 2.17-2.00 (m, 2H), $1.58(\mathrm{~s}, 3 \mathrm{H}), 0.84(\mathrm{t}, J=7.6 \mathrm{~Hz}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 174.5,142.8,137.9,132.1,129.1,128.9,124.4,121.4,119.9,51.7,31.7,23.3,8.9$. HRMS calcd. (ESI) m/z for $\mathrm{C}_{17} \mathrm{H}_{18} \mathrm{BrNNaO} 3[\mathrm{M}+\mathrm{Na}]^{+}: 354.0463$, found: 354.0462 .


2-methyl-2-(naphthalen-2-yl)- N -phenylbutanamide ( $\mathbf{5 g}$ )
Yield $=57 \%, \mathrm{~b} / \mathrm{l}>99: 1,{ }^{1} \mathrm{H}$ NMR $\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 7.88-7.83(\mathrm{~m}, 4 \mathrm{H})$, 7.54-7.44 (m, 3H), 7.34-7.32 (m, 2H), 7.24-7.20 (m, 2H), 7.05-7.01 (m, 1H), $6.83(\mathrm{~s}$, $1 \mathrm{H}), 2.30-2.20(\mathrm{~m}, 2 \mathrm{H}), 1.70(\mathrm{~s}, 3 \mathrm{H}), 0.85(\mathrm{t}, J=7.6 \mathrm{~Hz}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( 101 MHz , $\left.\mathrm{CDCl}_{3}\right) \delta 175.2,141.0,138.0,133.4,132.5,129.0,128.9,128.2,127.7,126.6,126.4$, 125.7, 125.5, 124.2, 119.8, 52.1, 31.4, 23.3, 8.9. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{21} \mathrm{H}_{21} \mathrm{NNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 326.1515$, found: 326.1515 .


1-ethyl- $N$-phenyl-2,3-dihydro-1H-indene-1-carboxamide (5h)
Yield $=61 \%, \mathrm{~b} / \mathrm{l}=87: 13,{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 7.39-7.36(\mathrm{~m}, 2 \mathrm{H})$, 7.31-7.30 (m, 3H), 7.29-7.24 (m, 3H), 7.19 (s, 1H), 7.06 (t, J=7.2 Hz, 1H), 3.04-2.91 $(\mathrm{m}, 2 \mathrm{H}), 2.65-2.58(\mathrm{~m}, 1 \mathrm{H}), 2.24-2.17(\mathrm{~m}, 1 \mathrm{H}), 2.12-2.06(\mathrm{~m}, 2 \mathrm{H}), 0.91(\mathrm{t}, J=7.6 \mathrm{~Hz}$, 3 H ) ${ }^{13}{ }^{1} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 174.3,145.6,144.1,139.0,129.0,128.3,127.2$, 125.7, 124.4, 124.3, 119.8, 61.4, 36.7, 30.5, 30.0, 9.6. HRMS calcd. (ESI) m/z for $\mathrm{C}_{18} \mathrm{H}_{19} \mathrm{NNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 288.1358$, found: 288.1358 .

methyl 2-methyl-2-(phenylcarbamoyl)butanoate (5i)
Yield $=63 \%, \mathrm{~b} / \mathrm{l}=97: 3,{ }^{\mathrm{l}} \mathrm{H}$ NMR $\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 9.18(\mathrm{~s}, 1 \mathrm{H}), 7.57-7.55$ $(\mathrm{m}, 2 \mathrm{H}), 7.33(\mathrm{t}, J=7.6 \mathrm{~Hz}, 2 \mathrm{H}), 7.11(\mathrm{t} J=7.6 \mathrm{~Hz}, 1 \mathrm{H}), 3.80(\mathrm{~s}, 3 \mathrm{H}), 2.15-2.60(\mathrm{~m}$, $1 \mathrm{H}), 1.98-1.89(\mathrm{~m}, 1 \mathrm{H}), 1.52(\mathrm{~s}, 3 \mathrm{H}), 0.91(\mathrm{t}, J=7.2 \mathrm{~Hz}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( 101 MHz , $\left.\mathrm{CDCl}_{3}\right) \delta 176.4,169.3,137.9,129.1,124.4,120.1,54.9,53.0,32.2,20.6,9.7$. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{13} \mathrm{H}_{17} \mathrm{NNaO}_{3}[\mathrm{M}+\mathrm{Na}]^{+}: 258.1100$, found:258.1100.
methyl 1-(phenylcarbamoyl)cyclopentane-1-carboxylate (5j)
Yield $=65 \%, \mathrm{~b} / \mathrm{l}=86: 14,{ }^{1} \mathrm{H}$ NMR $\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 8.23(\mathrm{~s}, 1 \mathrm{H}), 7.53-7.51$ $(\mathrm{m}, 2 \mathrm{H}), 7.32(\mathrm{t}, J=7.6 \mathrm{~Hz}, 2 \mathrm{H}), 7.10(\mathrm{t}, J=7.2 \mathrm{~Hz}, 1 \mathrm{H}), 3.78(\mathrm{~s}, 3 \mathrm{H}), 2.33-2.27(\mathrm{~m}$, 4 H ), 1.81-1.67 (m, 4H); ${ }^{13} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 175.7,169.0,138.0,129.1$, 124.4, 119.9, 61.8, 53.2, 35.1, 25.5, 19.3. HRMS calcd. (ESI) m/z for $\mathrm{C}_{14} \mathrm{H}_{17} \mathrm{NNaO}_{3}$ $[\mathrm{M}+\mathrm{Na}]^{+}: 270.1100$, found: 270.1100 .


## methyl 1-(phenylcarbamoyl)cyclohexane-1-carboxylate (5k)

Yield $=61 \%, \mathrm{~b} / \mathrm{l}=89: 11,{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 7.79(\mathrm{~s}, 1 \mathrm{H}), 7.52-7.49$ $(\mathrm{m}, 2 \mathrm{H}), 7.31(\mathrm{t}, J=7.6 \mathrm{~Hz}, 2 \mathrm{H}), 7.10(\mathrm{t}, J=7.2 \mathrm{~Hz}, 1 \mathrm{H}), 3.79(\mathrm{~s}, 3 \mathrm{H}), 2.28-2.24(\mathrm{~m}$, 2H) 1.96-1.89 (m, 2H), 1.76-1.60 (m, 2H), 1.54-1.45 (m, 2H), 1.38-1.32 (m, 2H); ${ }^{13} \mathrm{C}$ NMR (101 MHz, $\mathrm{CDCl}_{3}$ ) $\delta 174.4,168.6,137.8,129.1,124.6,119.9,56.2,53.0,32.1$, 25.3, 23.4. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{15} \mathrm{H}_{19} \mathrm{NNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 284.1257$, found: 284.1257.


## 1-methyl- N -phenylcyclohexane-1-carboxamide (51)

Yield $=55 \%, \mathrm{~b} / \mathrm{l}=80: 20,{ }^{1} \mathrm{H}$ NMR ( $600 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 7.54(\mathrm{~d}, J=7.2 \mathrm{~Hz}, 2 \mathrm{H})$, $7.37(\mathrm{~s}, 1 \mathrm{H}), 7.33-7.30(\mathrm{~m}, 2 \mathrm{H}), 7.10(\mathrm{t}, J=7.2 \mathrm{~Hz}, 1 \mathrm{H}), 2.03-2.01(\mathrm{~m}, 2 \mathrm{H}), 1.62-1.58$ $(\mathrm{m}, 2 \mathrm{H}), 1.54-1.47(\mathrm{~m}, 3 \mathrm{H}), 1.45-1.41(\mathrm{~m}, 2 \mathrm{H}), 1.39-1.36(\mathrm{~m}, 1 \mathrm{H}), 1.26(\mathrm{~s}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR (101 MHz, $\mathrm{CDCl}_{3}$ ) $\delta$ 174.0, 138.2, 129.1, 124.2, 120.1, 43.7, 35.8, 26.6, 25.9, 23.0. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{14} \mathrm{H}_{19} \mathrm{NNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 240.1358$, found: 240.1357 .

$\boldsymbol{N}$-(2,3-dichloro-4-hydroxyphenyl)-1-methylcyclohexane-1-carboxamide (6a)
Yield $=62 \%, \mathrm{~b} / \mathrm{l}=95: 5,{ }^{1} \mathrm{H}$ NMR $\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 8.05(\mathrm{~d}, J=9.2 \mathrm{~Hz}, 1 \mathrm{H})$, $7.75(\mathrm{~s}, 1 \mathrm{H}), 6.94(\mathrm{~d}, J=9.2 \mathrm{~Hz}, 1 \mathrm{H}), 5.93(\mathrm{~s}, 1 \mathrm{H}), 2.06-2.02(\mathrm{~m}, 2 \mathrm{H}), 1.65-1.60(\mathrm{~m}$, $2 \mathrm{H}), 1.57-1.52(\mathrm{~m}, 4 \mathrm{H}), 1.46-1.37(\mathrm{~m}, 2 \mathrm{H}), 1.29(\mathrm{~s}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $151 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 176.5,149.4,128.9,123.3,122.1,119.1,114.8,44.2,35.8,26.7,25.8,23.0$. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{14} \mathrm{H}_{17} \mathrm{Cl}_{2} \mathrm{NNaO}_{2}[\mathrm{M}+\mathrm{Na}]^{+}: 324.0528$, found: 324.0529.


## $N$-(4-chlorophenyl)-2,2-dimethylpentanamide (6b)

Yield $=71 \%, \mathrm{~b} / \mathrm{l}=95: 5,{ }^{1} \mathrm{H} \operatorname{NMR}\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 7.47-7.43(\mathrm{~m}, 3 \mathrm{H})$, 7.27-7.23 (m, 2H), 1.58-1.54 (m, 2H), 1.35-1.26 (m, 8H), $0.90(\mathrm{t}, J=7.2 \mathrm{~Hz}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR (101 MHz, $\left.\mathrm{CDCl}_{3}\right) \delta$ 176.3, 136.7, 129.2, 128.9, 121.6, 43.9, 43.2, 25.5, 18.2, 14.7. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{13} \mathrm{H}_{18} \mathrm{ClNNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 262.0969$, found: 262.0969 .

$N$-(2-bromo-5-chlorophenyl)-2-(4-chlorophenyl)-2-methylpropanamide (6c)
Yield $=62 \%, \mathrm{~b} / \mathrm{l}=97: 3,{ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 8.43(\mathrm{~d}, J=2.4 \mathrm{~Hz}, 1 \mathrm{H})$, 7.47 (s, 1H), 7.42-7.37 (m, 4H), $7.33(\mathrm{~d}, J=8.4 \mathrm{~Hz}, 1 \mathrm{H}), 6.90(\mathrm{dd}, J=8.6,2.4 \mathrm{~Hz}$, $1 \mathrm{H}), 1.68(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) $\delta 175.2,142.4,136.5,134.3,133.7$, 132.7, 129.3, 128.1, 125.0, 121.2, 111.0, 48.2, 26.8. HRMS calcd. (ESI) m/z for $\mathrm{C}_{16} \mathrm{H}_{15} \mathrm{BrClNNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 409.9504$, found: 409.9514.


## 3,3-dimethylindolin-2-one (6d)

Yield $=95 \%, \mathrm{~b} / \mathrm{l}>99: 1 ;{ }^{1} \mathrm{H}$ NMR $\left(400 \mathrm{MHz}, \mathrm{CDCl}_{3}\right) \delta 8.81(\mathrm{~s}, 1 \mathrm{H}), 7.22-7.21$ $(\mathrm{m}, 2 \mathrm{H}), 7.06-7.02(\mathrm{~m}, 1 \mathrm{H}), 6.96-6.93(\mathrm{~m}, 1 \mathrm{H}), 1.41(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR (101 MHz, $\left.\mathrm{CDCl}_{3}\right) \delta 184.3,140.0,136.1,127.8,122.7,122.6,110.0,44.8,24.5$. HRMS calcd. (ESI) $\mathrm{m} / \mathrm{z}$ for $\mathrm{C}_{10} \mathrm{H}_{11} \mathrm{NNaO}[\mathrm{M}+\mathrm{Na}]^{+}: 184.0732$, found: 184.0728.

## 7. X-Ray Structure of 3qa.



| Bond precision | $\mathrm{C}-\mathrm{C}=0.0021 \mathrm{~A}$ |  |
| :---: | :---: | :---: |
|  | Wavelength $=1.34139$ |  |
| Cell | $\mathrm{a}=9.145$ (3) | $\alpha=90$ |
|  | $\mathrm{b}=18.331$ (6) | $\beta=110.206$ (12) |
|  | $\mathrm{c}=10.162$ (3) | $\gamma=90$ |
| Temperature | 150 K |  |
| Volume | 1598.7 (9) |  |
| Space group | P 21/c |  |
| Sum formula | C20 H19 N O |  |
| Mr | 289.36 |  |
| Dx, $\mathrm{g} \mathrm{cm}^{-3}$ | 1.202 |  |
| Z | 4 |  |
| Mu (mm-1) | 0.364 |  |
| F000 | 616.0 |  |
| h,k,lmax | 11,22,12 |  |
| Nref | 3032 |  |
| Tmin,Tmax | 0.950,0.957 |  |
| Correction method= \# Reported T Limits | Not given |  |
| AbsCorr = MULTT-SCAN |  |  |
| Data completeness | 0.985 |  |
| Theta(max) | 54.802 |  |
| R (reflections) | 0.0539 (2495) |  |
| wR2(reflections) | 0.1982 (2988) |  |
| S | 0.864 |  |
| Npar | 201 |  |

## 8. Copies of ${ }^{1} \mathrm{H},{ }^{13} \mathrm{C}$, and ${ }^{19} \mathrm{~F}$ NMR Spectra

${ }^{1}$ H NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3aa




${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3aa

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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | 90 | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | -10 |

${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ab


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${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ab





${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ac



${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3a


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${ }^{1}$ H NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ad

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${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ad

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${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ae


${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ae


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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | $\begin{aligned} & 90 \\ & \mathrm{f} 1(\mathrm{ppm}) \end{aligned}$ | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | -10 |

${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3af

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${ }^{13}$ C NMR Spectra（ $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ）of compound 3af

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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | $\begin{gathered} 90 \\ \mathrm{f} 1(\mathrm{ppm}) \end{gathered}$ | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | －10 |

${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ag



${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ag


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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | 90 | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 |
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${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ah


${ }^{13} \mathrm{C}$ NMR Spectra（ $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ）of compound 3ah

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${ }^{19}$ F NMR Spectra ( $375 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ah

${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ah



${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ai


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${ }^{19}$ F NMR Spectra ( $375 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ai

${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3aj




${ }^{13}$ C NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3aj


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${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ak



${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ak



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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | 90 | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | -10 |

${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3al




${ }^{13}$ C NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3al


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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | $\stackrel{90}{\mathrm{f} 1(\mathrm{ppm})}$ | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | -10 |

${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3am蒋寺


${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3am





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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | 90 | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | -10 |

${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3an


${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3an


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${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ao

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${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ao






${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ap


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${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ap




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${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3aq
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${ }^{13}$ C NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3aq




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| 210 | 200 | 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | 90 | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | -10 |

${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ar
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${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ar


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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | 90 | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | -10 |

${ }^{19}$ F NMR Spectra ( $375 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ar

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${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3as


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${ }^{13} \mathrm{C}$ NMR Spectra（ $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ）of compound 3as


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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | $\begin{gathered} 90 \\ \mathrm{f} 1(\mathrm{ppm}) \end{gathered}$ | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | －10 |

${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3at



${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3at


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${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3au

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${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3au


${ }^{1} \mathrm{H}$ NMR Spectra ( $600 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3av

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${ }^{13} \mathrm{C}$ NMR Spectra ( $151 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3av

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${ }^{1} \mathrm{H}$ NMR Spectra ( $600 \mathrm{MHz}, d^{6}$-DMSO) of compound 3aw

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${ }^{13} \mathrm{C}$ NMR Spectra ( $151 \mathrm{MHz}, d^{6}$-DMSO) of compound 3aw


${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ax
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${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ax


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${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ay


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${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ay







| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 |  | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | -10 |
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|  |  |  |  |  |  |  |  |  |  | 1 (ppm) |  |  |  |  |  |  |  |  |  |  |

${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ba

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${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ba


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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | $\stackrel{90}{\mathrm{f} 1(\mathrm{ppm})}$ | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | -10 |

${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ca



${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ca





${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{3 c a}$

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${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3da





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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | 90 | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | -10 |

${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ea


${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ea


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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | 90 | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | -10 |

${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3fa



${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{3 f a}$



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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | 90 | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | -10 |

${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ga


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${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ga



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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | 90 | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | -10 |

${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ha



${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ha
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${ }^{1}$ H NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ia




${ }^{13}$ C NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{3 i a}$


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${ }^{19}$ F NMR Spectra ( $375 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ia

${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{3 j a}$

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${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{3} \mathbf{j} \mathbf{a}$


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${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ka
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${ }^{13} \mathrm{C}$ NMR Spectra（ $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ）of compound 3ka

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${ }^{1} \mathrm{H}$ NMR Spectra（ $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ）of compound 31a

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${ }^{13}$ C NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3la






${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ma

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${ }^{13} \mathrm{C}$ NMR Spectra（ $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ）of compound 3ma

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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | 90 | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | －10 |

${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3na

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${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3na

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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | 90 | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | -10 |

${ }^{19}$ F NMR Spectra ( $375 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3na

${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3oa

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${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3oa




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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | $\stackrel{90}{\mathrm{f} 1(\mathrm{ppm})}$ | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | -10 |

${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, d^{6}$-DMSO) of compound 3pa
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${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{C} d^{6}$-DMSO) of compound 3pa

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${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3qa



${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3qa


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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | 90 | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | -10 |

${ }^{1}$ H NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ra

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${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ra



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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | 90 | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | -10 |

${ }^{1}$ H NMR Spectra ( $600 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3sa


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${ }^{13} \mathrm{C}$ NMR Spectra ( $151 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3sa

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${ }^{1}$ H NMR Spectra（ $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ）of compound 3ta
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${ }^{13}$ C NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ta



${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ua

${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ua



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${ }^{1} \mathrm{H}$ NMR Spectra ( $600 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3ve


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${ }^{13} \mathrm{C}$ NMR Spectra ( $151 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3va


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${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3wa



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## 3wa


${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3wa


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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | 90 | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | -10 |

${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3xa



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${ }^{13}$ C NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 3xa



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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | 90 | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | -10 |

${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{4 a}$



${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{4 a}$


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${ }^{1}{ }^{1} \mathrm{H}$ NIMR Snestra (KOח M/H7 CDC1~) of romnound 4h


${ }^{13} \mathrm{C}$ NMR Spectra ( $151 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 4b


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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | 90 | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | -10 |

${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{4 c}$
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${ }^{13} \mathrm{C}$ NMR Spectra（ $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ）of compound $\mathbf{4 c}$

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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | $\begin{gathered} 90 \\ \mathrm{f} 1(\mathrm{ppm}) \end{gathered}$ | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | －10 |

${ }^{1}$ H NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{4 d}$




${ }^{13} \mathrm{C}$ NMR Spectra ( $151 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{4 d}$

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${ }^{1}$ H NMR Spectra ( $600 \mathrm{MHz}, d^{6}$-DMSO) of compound 5a
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${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound 5a

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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | 90 | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | -10 |

${ }^{1} \mathrm{H}$ NMR Spectra ( 600 MHz , DMSO- $d^{6}$ ) of compound $\mathbf{5 b}$

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${ }^{13}$ C NMR Spectra ( 151 MHz , DMSO- $d^{6}$ ) of compound $\mathbf{5 b}$








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${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{5 c}$


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${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{5 d}$
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${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{5 d}$
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${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{5 e}$

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${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{5 e}$
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${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{5 f}$



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${ }^{13} \mathrm{C}$ NMR Spectra（ $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ）of compound $\mathbf{5 f}$

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${ }^{1}$ H NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{5 g}$



${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{5 g}$


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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | 90 | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | -10 |
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${ }^{1}$ H NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{5 h}$

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${ }^{13}$ C NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{5 h}$

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${ }^{1} \mathrm{H}$ NMR Spectra ( $600 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{5 i}$

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${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{5 i}$

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${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{5 j}$


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${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{5 j}$


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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 |  | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 |  |
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|  | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | f1 (ppm) |  |  | 60 | 50 | 40 | 30 | 20 | 10 | 0 | -10 |

${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{5 k}$



5k

${ }^{13} \mathrm{C}$ NMR Spectra（ $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ）of compound $\mathbf{5 k}$


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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | 90 | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | -10 |

${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $5 \mathbf{5}$



${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $5 \mathbf{5 l}$


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| O | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 |  | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | -10 |
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|  |  |  |  |  |  |  |  |  |  | f1 (ppm) |  |  |  |  |  |  |  | 10 | 0 | -10 |

${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{6 a}$
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${ }^{13} \mathrm{C}$ NMR Spectra（ $151 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ）of compound $\mathbf{6 a}$

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${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{6 b}$



${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{6 b}$








${ }^{1} \mathrm{H}$ NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{6 c}$
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${ }^{13} \mathrm{C}$ NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{6 c}$

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|  | 1 | 1 | 1 | 1 | 1 | 1 | 1 | 1 | 1 | 1 | 1 | 1 | 1 | 1 | 1 | 1 | 1 | 1 | 1 |  |
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| 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | $\stackrel{90}{\mathrm{f} 1(\mathrm{ppm})}$ | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 | 0 | -10 |

${ }^{1}$ H NMR Spectra ( $400 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{6 d}$



${ }^{13}$ C NMR Spectra ( $101 \mathrm{MHz}, \mathrm{CDCl}_{3}$ ) of compound $\mathbf{6 d}$

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