## Supporting information for the article entitled

# Direct Arylation of Cyclic Enamides via Pd(II)Catalyzed C-H Activation 

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## General information

Experiments involving moisture and/or air sensitive components were performed under argon in oven-dried glassware. Commercial solvents and reagents were used without further purification with the following exceptions: solvents for reaction purpose were dried over molecular sieve 4A. Palladium acetate, potassium carbonate, copper triflate and all the bronic acids were purchased from Acros, Sigma-Aldrich and Alfa-Aesar and used without further purification. The cyclic ketones, that used to make cyclic enamides, were purchased form Acros, Sigma-Aldrich, TIC, and Alfa-Aesar
Analytical thin layer chromatography (TLC) was performed using Merck 60 F254 precoated silica gel plate ( 0.2 mm thickness). Subsequent to elution, plates were visualized using UV radiation (254 nm) on Spectroline Model ENF-24061/F 254 nm . Further visualization was possible by staining with basic solution of potassium permanganate or acidic solution of ceric molybdate, followed by heating on a hot plate.
Flash chromatography was performed using Merck silica gel 60 with distilled solvents. Columns were typically packed as slurry and equilibrated with hexane prior to use.
Infrared spectra were recorded on a Shimadzu IR Prestige-21 FT-IR Spectrometer. Liquid samples were examined as film between KBr salt plates. Solid samples were examined as a disc, grinded together with KBr salt.
Proton nuclear magnetic resonance ( ${ }^{1} \mathrm{H}$ NMR) and carbon nuclear magnetic resonance $\left({ }^{13} \mathrm{C}\right.$ NMR) spectroscopy were performed on a Bruker Advance 300, 400 and 500 NMR spectrometers (DMSO as solvents). Chemical shifts ${ }^{1} \mathrm{H}$ NMR spectra are reported as $\delta$ in units of parts per million (ppm) downfield from $\mathrm{SiMe}_{4}(\delta 0.0)$ and relative to the signal of DMSO- $d(\delta=2.50$, singlet). Multiplicities were given as: s (singlet); d (doublet); t (triplet); q (quartet); dd (doublet of doublets); ddd (doublet of doublets of doublets); dddd (doublet of doublets of doublets of doublets); dt (doublet of triplets); $m$ (multiplets) and etc. The number of protons ( $n$ ) for a given resonance is indicated by nH . Coupling constants are reported as a $J$ value in Hz . Carbon nuclear magnetic resonance spectra ( ${ }^{13} \mathrm{C}$ NMR) are reported as $\delta$ in units of parts per million (ppm) downfield from $\mathrm{SiMe}_{4}(\delta 0.0)$ and relative to the signal of DMSO- $d(\delta=39.50$, heptlet).
Low resolution mass spectrum analysis was performed on Finnigan polaris Q, GCMS XP mass spectrometer (Thermo Electron Corporation). High resolution mass spectral analysis (HRMS) was performed on Finnigan MAT 95 XP mass spectrometer (Thermo Electron Corporation).

## Experimental section

Preparation of substrates ${ }^{1-4}$ :


1a


1b


1c


1d


1 e


1f


1g


1h


1i


1j

General reaction scheme for preparation of cyclic enamides:

( $\mathrm{X}=\mathrm{CH}_{2}, \mathrm{CHCH}_{3}, \mathrm{O}$ )
General procedure for the preparation of oxime. A mixture of cyclic ketone (41 mmol ), $\mathrm{NaOAc}(4.04 \mathrm{~g}, 49.2 \mathrm{mmol}, 1.2$ equiv) and hydroxylamine hydrochloride ( 3.42 g , $49.2 \mathrm{mmol}, 1.2$ equiv) in methanol ( 20 ml ) was stirred for 2 h at $60^{\circ} \mathrm{C}$. The reaction mixture was allowed to be cooled to r.t., before diluting with EA ( 75 ml ). $2 \mathrm{~N} \mathrm{NaOH}(20$ ml ) was added prior to removal of solvents in vacuo. The residue was redissolved in water ( 60 ml ) and EA ( 120 ml ). The aqueous layer was extracted with additional EA (70 $\mathrm{ml})$. The combined organic layer was washed with brine ( 70 ml ), dried over anhydrous $\mathrm{Na}_{2} \mathrm{SO}_{4}$, filtered and concentrated to afford the ketoxime for next step directly.

General procedure for preparation of acetamide. To a solution of oxime and acetic anhydride ( $12 \mathrm{ml}, 12.6 \mathrm{~g}, 123 \mathrm{mmol}, 3$ equiv) in DMF ( 60 ml ) was added iron powder
( 6.9 g , $123 \mathrm{mmol}, 3$ equiv), the reaction was initiated by adding 2 drops of chlorotrimethylsilane under nitrogen. The reaction mixture was stirred at $70^{\circ} \mathrm{C}$ overnight. TLC showed that the reaction was complete. After being cooled to r.t., the reaction mixture was diluted with water and extracted with EA, washed with water, brine and dried over $\mathrm{Mg}_{2} \mathrm{SO}_{4}$. Final product was obtained after purified by column chromatography eluting with 1:1 EA:Hex solvent system.

## General reaction scheme for cross-coupling of cyclic enamides with arylboronic acids:



## General Procedure :

Cyclic enamide $1(0.27 \mathrm{mmol}), \mathrm{Pd}(\mathrm{OAc})_{2}(6.7 \mathrm{mg}, 0.03 \mathrm{mmol}, 10 \mathrm{~mol} \%), \mathrm{Cu}(\mathrm{OTf})_{2}(0.2 \mathrm{~g}$, 0.54 mmol , 2 equiv), $\mathrm{K}_{2} \mathrm{CO}_{3}$ ( $75 \mathrm{mg}, 0.54 \mathrm{mmol}$, 2 equiv), arylboronic acid $2(0.54 \mathrm{mmol}$, 2 equiv) and anhydrous 1,4 -dioxane ( 4 ml ) were added to a 8 ml vial. The vial was then capped tightly and stirred for 10 minutes at room temperature, and then heated for 16 h at $80^{\circ} \mathrm{C}$ in an oil bath and the progress of the reaction monitored by thin-layer chromatography. After removal of the solvent, the residue was purified by flash chromatography to give pure products ( $3+4$ ).

Table 2, entry 1 :


3a

$(3 a: 4 a)=(92: 8)$
$4 a$

3a and 4a were obtained as a mixture, which is inseparable by flash chromatography (57 $\mathrm{mg}, 80 \%$ ). $N$-(2-phenyl-3, 4-dihydronaphthalen-1-yl)acetamide (3a): The title compounds were obtained as an off-white solid. ${ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{DMSO}$ ) $\delta 9.04(\mathrm{~s}, 1 \mathrm{H}), 7.48-$ $7.11(\mathrm{~m}, 9 \mathrm{H}), 2.86(\mathrm{t}, J=7.9 \mathrm{~Hz}, 2 \mathrm{H}), 2.67(\mathrm{t}, J=7.9 \mathrm{~Hz}, 2 \mathrm{H}), 1.88(\mathrm{~s}, 3 \mathrm{H}) . ;{ }^{13} \mathrm{C}$ NMR ( 75 MHz , DMSO) $\delta 169.21,140.67$, 135.28, 134.35, 133.36, 128.58, 127.96, 127.08, 126.93, 126.21, 122.88, 29.17, 27.24, 22.40; IR (neat, $\mathrm{cm}^{-1}$ ) 3277.06, 1651.07, 1622.13, 1523.76, 767.67, 702.09; HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{18} \mathrm{H}_{18} \mathrm{NO}[\mathrm{M}+\mathrm{H}]^{+}: 264.1388$, found 264.1379 .
N -(2-phenylnaphthalen-1-yl)acetamide (4a)
HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{18} \mathrm{H}_{16} \mathrm{NO}[\mathrm{M}+\mathrm{H}]^{+}: 262.1232$, found 262.1219.
Table 2, entry 2 :

$\mathbf{3 b}$ and $\mathbf{4 b}$ were obtained as a mixture, which is inseparable by flash chromatography ( 51 $\mathrm{mg}, 60 \%$. $N$-(3, 4-dihydro-2,2'-binaphthyl-1-yl)acetamide (3b): ${ }^{1} \mathrm{H}$ NMR ( 500 MHz , DMSO) $\delta 9.13(\mathrm{~s}, 1 \mathrm{H}), 8.01-7.10(\mathrm{~m}, 11 \mathrm{H}), 2.92(\mathrm{t}, J=7.8 \mathrm{~Hz}, 2 \mathrm{H}), 2.81(\mathrm{t}, J=7.8 \mathrm{~Hz}$, $2 \mathrm{H}), 1.89(\mathrm{~s}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $75 \mathrm{MHz}, \mathrm{DMSO}$ ) $\delta 169.19,138.30,136.48,135.36,133.99$, 133.31, 132.88, 132.00, 129.09, 127.82, 127.38, 127.15, 127.09, 126.25, 126.13, 125.91, 125.78, 125.60, 122.94, 29.21, 27.30, 22.44; IR (neat, $\mathrm{cm}^{-1}$ ) 3234.62, 1653.00, 1629.85, 1529.55, 721.38; HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{22} \mathrm{H}_{20} \mathrm{NO}[\mathrm{M}+\mathrm{H}]^{+}: 314.1545$, found 314.1543.

N -(2,2'-binaphthyl-1-yl)acetamide (4b)
HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{22} \mathrm{H}_{18} \mathrm{NO}[\mathrm{M}+\mathrm{H}]^{+}: 312.1388$, found 312.1391 .
Table 2, entry 3:


3c and $4 \mathbf{c}$ were obtained as a mixture, which is inseparable by flash chromatography ( 56 $\mathrm{mg}, 75 \%$ ). $N$-(2-o-tolyl-3, 4-dihydronaphthalen-1-yl)acetamide (3c): ${ }^{1} \mathrm{H}$ NMR ( 400 MHz , DMSO) $\delta 8.77(\mathrm{~s}, 1 \mathrm{H}), 7.27-7.01(\mathrm{~m}, 8 \mathrm{H}), 3.00-2.75(\mathrm{~m}, 2 \mathrm{H}), 2.52-2.42(\mathrm{~m}, 2 \mathrm{H}), 2.25(\mathrm{~s}$, $3 \mathrm{H}), 1.75(\mathrm{~s}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( 100 MHz, DMSO) $\delta 168.53$, 140.51, 135.73, 135.35, $134.75,133.15,129.84,129.03,127.53,127.15,126.95,126.86,126.18,125.25,122.88$, 29.08, 27.41, 22.35, 19.61; IR (neat, $\mathrm{cm}^{-1}$ ) 3203.76 (weak), 1660.71, 721.38; HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{19} \mathrm{H}_{20} \mathrm{NO}[\mathrm{M}+\mathrm{H}]^{+}: 278.1545$, found 278.1538.
N -(2-o-tolylnaphthalen-1-yl)acetamide (4c)
HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{19} \mathrm{H}_{18} \mathrm{NO}[\mathrm{M}+\mathrm{H}]^{+}: 276.1388$, found 276.1380.
Table 2, entry 4:


3d

$(\mathbf{3 d}: 4 \mathrm{~d})=(80: 20)$

4d

3d and 4d were obtained as a mixture, which is inseparable by flash chromatography ( 50 $\mathrm{mg}, 67 \%$ ). $N$-(2-p-tolyl-3, 4-dihydronaphthalen-1-yl)acetamide (3d): ${ }^{1} \mathrm{H}$ NMR ( 400 MHz , DMSO) $\delta 9.00(\mathrm{~s}, 1 \mathrm{H}), 7.27-7.10(\mathrm{~m}, 8 \mathrm{H}), 2.85(\mathrm{t}, J=7.7 \mathrm{~Hz}, 2 \mathrm{H}), 2.65(\mathrm{t}, J=7.7 \mathrm{~Hz}$, 2H), $2.31(\mathrm{~s}, 3 \mathrm{H}), 1.89(\mathrm{~s}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $\left.100 \mathrm{MHz}, \mathrm{DMSO}\right) \delta 169.21,137.66,136.15$, $135.20,134.25,133.46,128.76,128.53,128.23,127.02,126.91,126.19,122.81,29.16$, 27.25, 22.43, 20.77; IR (neat, $\mathrm{cm}^{-1}$ ) 3250.05, 1654.92, 1625.99, 1521.84, 721.38; HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{19} \mathrm{H}_{20} \mathrm{NO}[\mathrm{M}+\mathrm{H}]^{+}: 278.1545$, found 278.1548 .
N -(2-o-tolylnaphthalen-1-yl)acetamide (4d)
HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{19} \mathrm{H}_{18} \mathrm{NO}[\mathrm{M}+\mathrm{H}]^{+}: 276.1388$, found 276.1391 .
Table 2, entry 5 :


3 e

$4 e$
$(3 e: 4 e)=(80: 20)$

3e and $4 \mathbf{e}$ were obtained as a mixture, which is inseparable by flash chromatography ( 53 $\mathrm{mg}, 70 \%$ ). N -(2-m-tolyl-3, 4-dihydronaphthalen-1-yl)acetamide (3e): ${ }^{1} \mathrm{H}$ NMR ( 400 MHz , DMSO) $\delta 9.02(\mathrm{~s}, 1 \mathrm{H}), 7.27-7.01(\mathrm{~m}, 8 \mathrm{H}), 2.85(\mathrm{t}, J=7.9 \mathrm{~Hz}, 2 \mathrm{H}), 2.66(\mathrm{t}, J=7.9 \mathrm{~Hz}$, 2H), $2.31(\mathrm{~s}, 3 \mathrm{H}), 1.88(\mathrm{~s}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( 100 MHz , DMSO) $\delta 169.25,140.60,136.95$, 135.26, 134.37, 133.42, 128.53, 127.85, 127.71, 127.59, 127.08, 127.00, 126.22, 124.23, 122.90, 29.17, 27.27, 22.38, 21.09; IR (neat, $\mathrm{cm}^{-1}$ ) 3221.12, 1654.92, 1624.06, 1602.85, 1523.76, 721.38. HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{19} \mathrm{H}_{20} \mathrm{NO}[\mathrm{M}+\mathrm{H}]^{+}: 278.1545$, found 278.1539.

N -(2-o-tolylnaphthalen-1-yl)acetamide (4e)
HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{19} \mathrm{H}_{18} \mathrm{NO}[\mathrm{M}+\mathrm{H}]^{+}: 276.1388$, found 276.1389.
Table 2, entry 6 :


3f

$(3 f: 4 f)=(86: 14)$
$4 f$
3f and $\mathbf{4 f}$ were obtained as a mixture, which is inseparable by flash chromatography ( 52 $\mathrm{mg}, 57 \%$ ). $N$-(2-(biphenyl-4-yl)-3, 4-dihydronaphthalen-1-yl)acetamide (3f): ${ }^{1} \mathrm{H}$ NMR (400 MHz, DMSO) $\delta 9.12(\mathrm{~s}, 1 \mathrm{H}), 7.80-7.65(\mathrm{~m}, 4 \mathrm{H}), 7.60-7.54(\mathrm{~m}, 1 \mathrm{H}), 7.52-7.43(\mathrm{~m}$, $4 \mathrm{H}), 7.40-7.32(\mathrm{~m}, 1 \mathrm{H}), 7.23-7.12(\mathrm{~m}, 3 \mathrm{H}), 2.88(\mathrm{t}, J=7.8 \mathrm{~Hz}, 2 \mathrm{H}), 2.71(\mathrm{t}, J=7.8 \mathrm{~Hz}$, $2 \mathrm{H}), 1.92(\mathrm{~s}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( 100 MHz, DMSO) $\delta 169.29,139.76,139.72,138.59$, 135.32, 133.68, 133.31, 128.97, 128.93, 128.81, 127.70, 127.40, 127.10, 126.48, 126.22, $126.19,122.93,29.01,27.23,22.46$; IR (neat, $\mathrm{cm}^{-1}$ ) 3269.34, 1660.71, 1622.13, 1514.12, 721.38; HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{24} \mathrm{H}_{22} \mathrm{NO}[\mathrm{M}+\mathrm{H}]^{+}: 340.1701$, found 340.1698 .
$N$-(2-(biphenyl-4-yl)- naphthalen-1-yl)acetamide (4f)
HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{24} \mathrm{H}_{20} \mathrm{NO}[\mathrm{M}+\mathrm{H}]^{+}: 338.1545$, found 338.1535 .
Table 2, entry 7:

$\mathbf{3 g}$ and $\mathbf{4 g}$ were obtained as a mixture, which is inseparable by flash chromatography ( 54 $\mathrm{mg}, 67 \%$ ). $N$-(2-(4-chlorophenyl)-3, 4-dihydronaphthalen-1-yl)acetamide (3g): The title compounds were obtained as an off-white solid ( $54 \mathrm{mg}, 67 \%$ ). ${ }^{1} \mathrm{H}$ NMR ( 300 MHz , DMSO) $\delta 9.07(\mathrm{~s}, 1 \mathrm{H}), 7.65-7.30(\mathrm{~m}, 4 \mathrm{H}), 7.30-7.00(\mathrm{~m}, 4 \mathrm{H}), 2.86(\mathrm{t}, J=7.7 \mathrm{~Hz}, 2 \mathrm{H})$, $2.65(\mathrm{t}, J=7.7 \mathrm{~Hz}, 2 \mathrm{H}), 1.89(\mathrm{~s}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( 75 MHz , DMSO) $\delta 169.15,139.54$, 135.33, 133.05, 131.32, 129.04, 128.91, 128.16, 127.97, 127.26, 127.13, 126.24, 122.94, 28.84, 27.11, 22.41; IR (neat, $\mathrm{cm}^{-1}$ ) 3282.84, 1656.85, 1622.13, 1517.98, 769.60, 721.38; HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{18} \mathrm{H}_{17} \mathrm{NOCl}[\mathrm{M}+\mathrm{H}]^{+}: 298.0999$, found 298.0986.
N -(2-(4-chlorophenyl)naphthalen-1-yl)acetamide (4g)
HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{18} \mathrm{H}_{15} \mathrm{NOCl}[\mathrm{M}+\mathrm{H}]^{+}: 296.0842$, found 296.0846.
Table 2, entry 8 :


3h

$(\mathbf{3 h}: \mathbf{4 h})=(95: 5)$

3h and 4h were obtained as a mixture, which is inseparable by flash chromatography ( 53 $\mathrm{mg}, 64 \%$ ). $N$-(2-(3-nitrophenyl)-3, 4-dihydronaphthalen-1-yl)acetamide (3h): ${ }^{1} \mathrm{H}$ NMR ( 300 MHz, DMSO) $\delta 9.21(\mathrm{~s}, 1 \mathrm{H}), 8.20(\mathrm{~s}, 1 \mathrm{H}), 8.13(\mathrm{~d}, J=8.1 \mathrm{~Hz}, 1 \mathrm{H}), 7.80(\mathrm{~d}, J=$ $8.1 \mathrm{~Hz}, 1 \mathrm{H}), 7.67(\mathrm{t}, J=8.0 \mathrm{~Hz}, 1 \mathrm{H}), 7.26-7.17(\mathrm{~m}, 4 \mathrm{H}), 2.90(\mathrm{t}, J=7.8 \mathrm{~Hz}, 2 \mathrm{H}), 2.74(\mathrm{t}, J$ $=7.7 \mathrm{~Hz}, 2 \mathrm{H}), 1.88(\mathrm{~s}, 3 \mathrm{H}){ }^{13} \mathrm{C}$ NMR ( $75 \mathrm{MHz}, \mathrm{DMSO}$ ) $\delta 169.18,147.58,142.44$, $135.56,133.69,132.70,131.93,130.14,129.64,127.71,127.25,126.34,123.16,121.77$, 121.62, 28.53, 27.05, 22.39; IR (neat, $\mathrm{cm}^{-1}$ ) 3248.13 (weak), 1654.92, 1635.64, 1523.76, 1344.38, 723.31; HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{18} \mathrm{H}_{17} \mathrm{~N}_{2} \mathrm{O}_{3}[\mathrm{M}+\mathrm{H}]^{+}: 309.1239$, found 309.1241 .

N -(2-(3-nitrophenyl)naphthalen-1-yl)acetamide (4h)
HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{18} \mathrm{H}_{15} \mathrm{~N}_{2} \mathrm{O}_{3}[\mathrm{M}+\mathrm{H}]^{+}: 307.1083$, found 307.1087.
Table 2, entry 9:

$3 \mathbf{i}$ and $4 \mathbf{i}$ were obtained as a mixture, which is inseparable by flash chromatography (54 $\mathrm{mg}, 68 \%)$. $N$-(2-(3-methoxyphenyl)-3, 4-dihydronaphthalen-1-yl)acetamide (3i): ${ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{DMSO}$ ) $\delta 9.04(\mathrm{~s}, 1 \mathrm{H}), 7.30-6.81(\mathrm{~m}, 8 \mathrm{H}), 3.74(\mathrm{~s}, 3 \mathrm{H}), 2.85(\mathrm{t}, J=7.9$ $\mathrm{Hz}, 2 \mathrm{H}), 2.66(\mathrm{t}, J=7.9 \mathrm{~Hz}, 2 \mathrm{H}), 1.89(\mathrm{~s}, 3 \mathrm{H}){ }^{13} \mathrm{C}$ NMR ( $\left.100 \mathrm{MHz}, \mathrm{DMSO}\right) \delta 169.31$, 158.92, 142.04, 135.32, 134.34, 133.36, 129.04, 128.70, 127.88, 127.12, 126.26, 122.95, $119.49,112.78,112.58,54.99,29.17,27.26,22.46$; IR (neat, $\mathrm{cm}^{-1}$ ) 3232.70, 1660.71, 1624.06, 1593.20, 1583.56, 1521.84, 1220.94, 1174.65, 1035.77, 721.38; HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{19} \mathrm{H}_{20} \mathrm{NO}_{2}[\mathrm{M}+\mathrm{H}]^{+}: 294.1494$, found 294.1489.
$N$-(2-(3-methoxyphenyl)naphthalen-1-yl)acetamide (4i)
HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{19} \mathrm{H}_{18} \mathrm{NO}_{2}[\mathrm{M}+\mathrm{H}]^{+}: 292.1338$, found 292.1338 .
Table 2, entry 10 :


3j

$(3 \mathbf{j}: 4 \mathbf{j})=(71: 29)$

4j
$\mathbf{3 j}$ and $\mathbf{4} \mathbf{j}$ were obtained as a mixture, which is inseparable by flash chromatography ( 54 $\mathrm{mg}, 68 \%$ ). N -(2-(4-methoxyphenyl)-3, 4-dihydronaphthalen-1-yl)acetamide ( $\mathbf{3 j}^{1}$ ): ${ }^{1} \mathrm{H}$ NMR ( $300 \mathrm{MHz}, \mathrm{DMSO}$ ) $\delta 9.00(\mathrm{~s}, 1 \mathrm{H}), 7.30-6.70(\mathrm{~m}, 8 \mathrm{H}), 3.77(\mathrm{~s}, 3 \mathrm{H}), 2.85(\mathrm{t}, J=7.7$ $\mathrm{Hz}, 2 \mathrm{H}), 2.64(\mathrm{t}, J=7.7 \mathrm{~Hz}, 2 \mathrm{H}), 1.90(\mathrm{~s}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( 75 MHz, DMSO) $\delta 169.22$, $158.22,135.12,134.00,133.58,132.74,130.00,128.41,127.80,127.02,126.77,122.72$, 113.39, 55.06, 29.21, 27.28, 22.45; IR (neat, $\mathrm{cm}^{-1}$ ) 3275.13, 1656.85, 1606.70, 1512.19, $1246.02,1178.51,1033.85,721.38$; HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{19} \mathrm{H}_{20} \mathrm{NO}_{2}[\mathrm{M}+\mathrm{H}]^{+}$: 294.1494, found 294.1482.

N -(2-(4-methoxyphenyl)naphthalen-1-yl)acetamide (4j)
HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{19} \mathrm{H}_{18} \mathrm{NO}_{2}[\mathrm{M}+\mathrm{H}]^{+}: 292.1338$, found 292.1333.
Table 3, entry 1 :


3k

$(3 k: 4 k)=(86: 14)$

4k
$\mathbf{3 k}$ and $\mathbf{4 k}$ were obtained as a mixture, which is inseparable by flash chromatography ( 65 $\mathrm{mg}, 82 \%$ ). $N$-(6-methoxy-2-phenyl-3, 4-dihydronaphthalen-1-yl)acetamide (3k): ${ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{DMSO}) \delta 9.00(\mathrm{~s}, 1 \mathrm{H}), 7.45-7.20(\mathrm{~m}, 5 \mathrm{H}), 7.05(\mathrm{~d}, J=8.5 \mathrm{~Hz}, 1 \mathrm{H})$, $6.80(\mathrm{~s}, 1 \mathrm{H}), 6.74(\mathrm{dd}, J=8.5,2.3 \mathrm{~Hz}, 1 \mathrm{H}), 3.76(\mathrm{~s}, 2 \mathrm{H}), 2.84(\mathrm{t}, J=7.9 \mathrm{~Hz}, 2 \mathrm{H}), 2.65(\mathrm{t}$, $J=7.9 \mathrm{~Hz}, 2 \mathrm{H}), 1.87(\mathrm{~s}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $\left.100 \mathrm{MHz}, \mathrm{DMSO}\right) \delta 169.16,158.46,140.86$, 137.14, 131.42, 128.40, 127.92, 127.09, 126.65, 126.28, 124.38, 112.92, 111.25, 55.08, 29.10, 27.67, 22.43; IR (neat, $\mathrm{cm}^{-1}$ ) 3192.19, 1649.14, 1597.06, 1523.76, 1240.23, 1143.79, 1033.85;

HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{19} \mathrm{H}_{20} \mathrm{NO}_{2}[\mathrm{M}+\mathrm{H}]^{+}: 294.1494$, found 294.1491.
$N$-(6-methoxy-2-phenylnaphthalen-1-yl)acetamide (4k)
HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{19} \mathrm{H}_{18} \mathrm{NO}_{2}[\mathrm{M}+\mathrm{H}]^{+}: 292.1338$, found 292.1335.
Table 3, entry 2 :


31


41

31 and 41 were obtained as a mixture, which is inseparable by flash chromatography ( 55 $\mathrm{mg}, 63 \%$ ). $N$-(6,7-dimethoxy-2-phenyl-3, 4-dihydronaphthalen-1-yl)acetamide (31): ${ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{DMSO}) \delta 8.99(\mathrm{~s}, 1 \mathrm{H}), 7.40-7.32(\mathrm{~m}, 5 \mathrm{H}), 6.86(\mathrm{~s}, 1 \mathrm{H}), 6.70(\mathrm{~s}, 1 \mathrm{H})$, 3.78 (s, 3H), $3.69(\mathrm{~s}, 3 \mathrm{H}), 2.79(\mathrm{t}, J=7.9 \mathrm{~Hz}, 2 \mathrm{H}), 2.63(\mathrm{t}, J=7.9 \mathrm{~Hz}, 2 \mathrm{H}), 1.88(\mathrm{~s}, 3 \mathrm{H})$; ${ }^{13}$ C NMR ( 100 MHz, DMSO) $\delta 169.69,148.56,147.38,141.42,132.17,128.87,128.81$, $128.42,127.55,127.14,126.64,112.02,108.49,56.28,55.80,29.93,27.39,22.91$; IR (neat, $\mathrm{cm}^{-1}$ ) 3238.48 (weak), $1649.14,1604.77,1508.33,1288.45,1259.52,1149.57$, 1047.35, 721.38; HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{20} \mathrm{H}_{22} \mathrm{NO}_{3}[\mathrm{M}+\mathrm{H}]^{+}: 324.1600$, found 324.1594.

N -(6,7-dimethoxy-2-phenylnaphthalen-1-yl)acetamide (4I)
HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{20} \mathrm{H}_{20} \mathrm{NO}_{3}[\mathrm{M}+\mathrm{H}]^{+}: 322.1443$, found 322.1443 .
Table 3, entry 3:


3m

$(3 m: 4 m)=(86: 14)$

4m
$\mathbf{3 m}$ and $\mathbf{4 m}$ were obtained as a mixture, which is inseparable by flash chromatography ( $51 \mathrm{mg}, 65 \%$ ). $N$-(5,7-dimethyl-2-phenyl-3, 4-dihydronaphthalen-1-yl)acetamide (3m): ${ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{DMSO}$ ) $\delta 8.99$ (s, 1H), 7.49-7.22 (m, 5H), 6.88 (s, 1H), 6.82 (s, 1H), $2.75(\mathrm{t}, J=7.8 \mathrm{~Hz}, 2 \mathrm{H}), 2.64(\mathrm{t}, J=7.8 \mathrm{~Hz}, 2 \mathrm{H}), 2.23(\mathrm{~s}, 3 \mathrm{H}), 2.22(\mathrm{~s}, 3 \mathrm{H}), 1.87(\mathrm{~s}, 3 \mathrm{H}) ;$
${ }^{13} \mathrm{C}$ NMR ( $100 \mathrm{MHz}, \mathrm{DMSO}$ ) $\delta 169.16,140.89,134.10,134.01,133.66,133.27,130.62$,
129.78, 128.76, 127.94, 127.07, 126.84, 121.49, 28.95, 23.00, 22.46, 20.92, 19.00; IR (neat, $\mathrm{cm}^{-1}$ ) 3234.62, 1647.21, 1600.92, 1537.27, 763.81, 723.31; HRMS (ESI) m/z calculated for $\mathrm{C}_{20} \mathrm{H}_{22} \mathrm{NO}[\mathrm{M}+\mathrm{H}]^{+}: 292.1701$, found 292.1697.
$N$-(5,7-dimethyl-2-phenylnaphthalen-1-yl)acetamide (4m)
HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{20} \mathrm{H}_{20} \mathrm{NO}[\mathrm{M}+\mathrm{H}]^{+}: 290.1545$, found 290.1549.
Table 3, entry 4 :

$\mathbf{3 m}$ and $\mathbf{4 m}$ were obtained as a mixture, which is inseparable by flash chromatography ( $52 \mathrm{mg}, 69 \%$ ). $N$-(4-methyl-2-phenyl-3, 4-dihydronaphthalen-1-yl)acetamide ( $3 \mathbf{n}$ ): ${ }^{1} \mathrm{H}$ NMR ( 400 MHz, DMSO) $\delta 9.05$ (s, 1H), 7.49-7.14 (m, 9H), 3.15-2.95 (m, 1H), 2.92-2.79 $(\mathrm{m}, 1 \mathrm{H}), 2.50-2.36(\mathrm{~m}, 1 \mathrm{H}), 1.87(\mathrm{~s}, 3 \mathrm{H}), 1.26(\mathrm{~d}, J=6.9 \mathrm{~Hz}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( 100 MHz , DMSO) $\delta 169.27,140.92,140.29,132.66,128.01,127.38,127.11,126.93,126.12$, $125.75,123.06,36.86,31.45,22.44,19.62$; IR (neat, $\mathrm{cm}^{-1}$ ) $3234.62,1664.57,1600.92$, 1537.27, 723.31; HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{19} \mathrm{H}_{20} \mathrm{NO}[\mathrm{M}+\mathrm{H}]^{+}: 278.1545$, found 278.1546.

N -(4-methyl-2-phenyl-3, 4-dihydronaphthalen-1-yl)acetamide (4n)
HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{19} \mathrm{H}_{18} \mathrm{NO}[\mathrm{M}+\mathrm{H}]^{+}: 276.1388$, found 276.1393.
Table 3, entry 5 :


30
N -(3-phenyl-2H-chromen-4-yl)acetamide (30): The title compound was obtained by flash chromatography ( $53 \mathrm{mg}, 74 \%$ ). ${ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{DMSO}$ ) $\delta 9.21$ (s, 1H), 7.49-7.29 (m, $5 \mathrm{H}), 7.18(\mathrm{t}, J=7.6 \mathrm{~Hz}, 1 \mathrm{H}), 7.11(\mathrm{~d}, J=7.6 \mathrm{~Hz}, 1 \mathrm{H}), 6.94(\mathrm{t}, J=7.6 \mathrm{~Hz}, 1 \mathrm{H}), 6.87(\mathrm{~d}, J$ $=7.6 \mathrm{~Hz}, 1 \mathrm{H}), 5.07(\mathrm{~s}, 2 \mathrm{H}), 1.92(\mathrm{~s}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $\left.100 \mathrm{MHz}, \mathrm{DMSO}\right) \delta 169.46,153.81$, 135.96, 129.25, 128.34, 127.82, 127.76, 127.17, 126.21, 123.78, 122.31, 121.30, 115.46, 68.55, 22.45; IR (neat, $\mathrm{cm}^{-1}$ ) 3234.62, 1664.57, 1600.92, 1537.27, 1209.37, 1037.70, 1004.91, 723.31; HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{17} \mathrm{H}_{16} \mathrm{NO}_{2}[\mathrm{M}+\mathrm{H}]^{+}: 266.1181$, found 266.1179.

Table 3, entry 6 :


3p
N -(6-chloro-3-phenyl-2H-chromen-4-yl)acetamide (3p): The title compound was obtained by flash chromatography ( $58 \mathrm{mg}, 71 \%$ ). ${ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{DMSO}$ ) $\delta 9.26$ (s, $1 \mathrm{H}), 7.43-7.34(\mathrm{~m}, 5 \mathrm{H}), 7.22(\mathrm{~d}, J=7.7 \mathrm{~Hz}, 1 \mathrm{H}), 7.05(\mathrm{~s}, 1 \mathrm{H}), 6.90(\mathrm{~d}, J=7.7 \mathrm{~Hz}, 1 \mathrm{H})$, $5.11(\mathrm{~s}, 2 \mathrm{H}), 1.93(\mathrm{~s}, 3 \mathrm{H}),{ }^{13} \mathrm{C}$ NMR ( 100 MHz , DMSO) $\delta$ 169.61, 152.57, 135.50, $129.22,128.73,128.40,128.13,127.17,125.17,124.11,123.07,117.34,68.74,22.46$; IR (neat, $\mathrm{cm}^{-1}$ ) $3234.62,1664.57,1600.92,1537.27,1209.37,1089.78,1004.91,763.81$, 723.31, 702.09; HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{17} \mathrm{H}_{15} \mathrm{NO}_{2} \mathrm{Cl}[\mathrm{M}+\mathrm{H}]^{+}: 300.0791$, found 300.0788 .

Table 3, entry 7:


N -(6-chloro-3-phenyl-2H-chromen-4-yl)acetamide (3q): The title compound was obtained by flash chromatography ( $53 \mathrm{mg}, 70 \%$ ). ${ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{DMSO}$ ) $\delta 9.17$ (s, $1 \mathrm{H}), 7.41-7.28(\mathrm{~m}, 5 \mathrm{H}), 6.98(\mathrm{~d}, J=8.1 \mathrm{~Hz}, 1 \mathrm{H}), 6.91(\mathrm{~s}, 1 \mathrm{H}), 6.76(\mathrm{~d}, J=8.1 \mathrm{~Hz}, 1 \mathrm{H})$, $5.02(\mathrm{~s}, 2 \mathrm{H}), 2.23(\mathrm{~s}, 3 \mathrm{H}), 1.92(\mathrm{~s}, 3 \mathrm{H}){ }^{13}{ }^{13} \mathrm{C}$ NMR ( $\left.100 \mathrm{MHz}, \mathrm{DMSO}\right) \delta 169.39,151.68$, 136.10, 129.91, 129.61, 128.29, 127.79, 127.73, 127.12, 126.28, 123.93, 122.14, 115.24, 68.53, 22.47, 20.43; IR (neat, $\mathrm{cm}^{-1}$ ) 3248.13, 1654.92, 1631.78, 1523.76, 1209.37, 1012.63, 721.38; HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{18} \mathrm{H}_{18} \mathrm{NO}_{2}[\mathrm{M}+\mathrm{H}]^{+}: 280.1338$, found 280.1337.

Table 3, entry 8 :


N -(6-fluoro-3-phenyl-2H-chromen-4-yl)acetamide (3r): The title compound was obtained by flash chromatography ( $44 \mathrm{mg}, 57 \%$ ). ${ }^{1} \mathrm{H}$ NMR ( $400 \mathrm{MHz}, \mathrm{DMSO}$ ) $\delta 9.26(\mathrm{~s}, 1 \mathrm{H})$, 7.43-7.31 (m, 5H), 7.04-6.97 (m, 1H), 6.92-6.84 (m, 2H), 5.07 (s, 2H), $1.93(\mathrm{~s}, 3 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR (100 MHz, DMSO) $\delta 169.60,156.98(\mathrm{~d}, J=234.8 \mathrm{~Hz}), 149.88,135.16,129.18$,
128.39, 128.06, 127.17, $125.61(\mathrm{~d}, J=2.0 \mathrm{~Hz}), 123.94(\mathrm{~d}, J=8.0 \mathrm{~Hz}), 116.73(\mathrm{~d}, J=8.2$ $\mathrm{Hz}), 115.30(\mathrm{~d}, J=23.2 \mathrm{~Hz}), 110.08(\mathrm{~d}, J=24.9 \mathrm{~Hz}), 68.73,22.45$; IR (neat, $\mathrm{cm}^{-1}$ ) 3153.61, 1635.64, 1622.13, 1531.48, 1517.98, 1280.73, 1249.87, 1172.72, 1014.56, 721.38; HRMS (ESI) $m / z$ calculated for $\mathrm{C}_{17} \mathrm{H}_{15} \mathrm{NO}_{2} \mathrm{~F}[\mathrm{M}+\mathrm{H}]^{+}: 284.1087$, found 284.1090.

Table 3, entry 9:


3s
N -(6, 7-dimethoxy-2, 2-dimethyl-3-phenyl-2H-chromen-4-yl)acetamide (3s): The title compound was obtained by flash chromatography ( $86 \mathrm{mg}, 90 \%$ ). ${ }^{1} \mathrm{H}$ NMR ( 400 MHz , DMSO) $\delta 8.78(\mathrm{~s}, 1 \mathrm{H}), 7.40-7.25(\mathrm{~m}, 3 \mathrm{H}), 7.17(\mathrm{~d}, J=7.2 \mathrm{~Hz}, 2 \mathrm{H}), 6.58(\mathrm{~d}, J=11.6 \mathrm{~Hz}$, $2 \mathrm{H}), 3.75(\mathrm{~s}, 3 \mathrm{H}), 3.67(\mathrm{~s}, 3 \mathrm{H}), 1.72(\mathrm{~s}, 3 \mathrm{H}), 1.34(\mathrm{~s}, 6 \mathrm{H}) ;{ }^{13} \mathrm{C}$ NMR ( $\left.100 \mathrm{MHz}, \mathrm{DMSO}\right) \delta$ 168.75, 150.12, 146.95, 142.87, 136.47, 133.82, 128.59, 127.91, 127.20, 126.24, 113.22, 108.06, 101.25, 78.66, 56.37, 55.70, 26.52, 22.28; IR (neat, $\mathrm{cm}^{-1}$ ) 3259.70, 1651.31, $1643.35,1616.35,1504.48,1361.74,1292.31,1261.45,1203.58,1161.15,1138.00$, 1080.14, 999.13, 860.25, 752.24, 725.23, 698.23, 590.22; HRMS (ESI) $\mathrm{m} / \mathrm{z}$ calculated for $\mathrm{C}_{21} \mathrm{H}_{24} \mathrm{NO}_{4}[\mathrm{M}+\mathrm{H}]^{+}: 354.1705$, found 354.1696 .

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2H-3-35 400 HNMR







zh-3-47a, 300 MHz ,





iNiNiNiNiNiNiNiNj


2h-3-45a C400M DMSO




3d

$4 d$

zh-3-53c C400M DMSO


$\begin{array}{llllllllllllllllllllllllll}220 & 210 & 200 & 190 & 180 & 170 & 160 & 150 & 140 & 130 & 120 & 110 & 100 & 90 & 80 & 70 & 60 & 50 & 40 & 30 & 20 & 10 & p p m\end{array}$



$(3 \mathrm{e}: 4 \mathrm{e})=(80: 20)$

2h-3-53b 400 M DMSO






zh-3-45b C400M DMSO




zh-3-47b, 300 MHz ,

| ! |  |
| :---: | :---: |
| 9 |  |



${ }^{3} 9$


49

zh-3-47b, 300 MHz ,

${ }^{39}$


4 g



$2 \mathrm{~h}-3-48 \mathrm{a}, 300 \mathrm{MHz}$,






zh-3-53a C400M DMSO




| 9.5 | 9.0 | 8.5 | 8.0 | 7.5 | 7.0 | 6.5 | 6.0 | 5.5 | 5.0 | 4.5 | 4.0 | 3.5 | 3.0 | 2.5 | 2.0 | 1.5 | 1.0 | 0.5 | ppm |
| :---: | :---: | :---: | :---: | :---: | :---: | :---: | :---: | :---: | :---: | :---: | :---: | :---: | :---: | :---: | :---: | :---: | :---: | :---: | :---: |
| $\mid$ | $\left\|\begin{array}{c} \underset{\infty}{0} \\ \hline \end{array}\right\|$ |  | $\|\underset{\sim}{\underset{\sim}{2}}\|$ | $\mid \stackrel{\sim}{\sim}$ | $\|\stackrel{e}{\mathbf{m}}\|$ |  |  |  |  |  |  |  |  |  |  |  |  |  |  |



zh-3-48b, 300 MHz ,

zh-3-57 H400M DMSO




zh-3-57 C400M DMSO


zh-3-61 C400M DMSO






zh-3-60 C400M DMSO








2h-3-58 C400M DMSO



| 220 | 210 | 200 | 190 | 180 | 170 | 160 | 150 | 140 | 130 | 120 | 110 | 100 | 90 | 80 | 70 | 60 | 50 | 40 | 30 | 20 | 10 |
| :--- | :--- | :--- | :--- | :--- | :--- | :--- | :--- | :--- | :--- | :--- | :--- | :--- | :--- | :--- | :--- | :--- | :--- | :--- | :--- | :--- | :--- |



2h-3-52a C400M DMSO

$\qquad$

zh-3-55 C400M DMSO






zh-3-56 C400M DMSO




zh-3-122a 400 NMR, C NMR



