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ARTICLE TYPE

# Ruthenium-Catalyzed Direct C3 Alkylation of Indoles with α,β-Unsaturated Ketones

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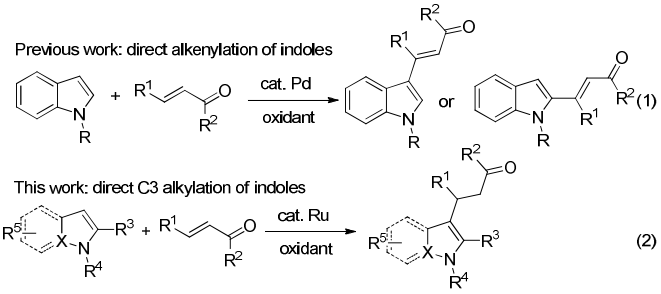
**In this paper, a simple and highly efficient ruthenium-catalyzed direct C3 alkylation of indoles with various α,β-unsaturated ketones without the chelation assistance has been developed. This novel C-H activation methodology exhibits a broad substrate scope such as different substituted indoles, pyrroles, and other azoles. Further synthetic applications of the alkylation products can lead to more attractive 3,4-fused tricyclic indoles.**

The indole unit is widely utilized in the synthesis of a large number of pharmaceuticals and biologically active compounds.[1] Therefore, functionalization of the indole structure has received a great deal of attention over the past several decades.[2–10] For example, a variety of alkylation of indoles with electron deficient olefins has been reported since 1955.[9] Many groups made significant contributions on C–H arylation reactions.[3] Very recently, Pd-catalyzed direct C2 or C3 alkenylations of indoles were developed (Scheme 1, approach 1).[4-6] Despite these great advances, however, the development of new approaches via transition-metal-catalyzed C–H activation to afford the indole derivatives is still highly desirable.

More recently, the less-expensive ruthenium catalyst has been exploited in the chelation-assisted oxidative coupling reactions.[11] In particular, in 1993 Murai published a pioneering work on the Ru-catalyzed *ortho*-C–H bond alkylation of aromatic ketones with olefins via a chelation assisted strategy.[12] Very recently, Chatani reported Ru-catalyzed *ortho*-C–H bond alkylation of aromatic amides with α,β-unsaturated ketones but need bidentate-chelation assistance.[13] However, to the best of our knowledge, Ru-catalyzed C-H activation with α,β-unsaturated acceptors especially without directing groups still remains huge challenges.[14] Herein, we report an efficient Ru-catalyzed direct C3 alkylation of indoles with α,β-unsaturated ketones via C-H activation without the chelation assistance, which can also tolerate air (Scheme 1, approach 2).




**Scheme 1** Transition-metal-catalyzed C-H activation of indoles with α,β-unsaturated ketones

## Results and Discussion

Firstly, we investigated the potential reaction of *N*-methyl indole **1a** with chalcone **2a** to form C3 alkylation of indole product **3aa** (Table 1). $[Cp^*RhCl_2]_2$ and $[Ir(cod)Cl_2]_2$ were previously studied as catalysts, however, only a trace amount of product **3aa** or a complex mixture of unidentified products could be observed (entries 1 and 2). Fortunately, $RuCOCl(PPh_3)_2$ can catalyze the alkylation reaction and gave **3aa** in 59% yield (entry 3). Surprisingly, $AgSbF_6$ alone as catalyst also worked well even at room temperature (entries 4-7). To our delight, the yield increased significantly when $RuCl_2(PPh_3)_3$ was applied as catalyst, and the yield was still excellent even after reducing the catalyst loading (entries 8 and 9). Among the test of the solvents, DCM produced the best satisfactory result and the desired product **3aa** could be obtained in 96% yield (entries 10-14). The same yield could be afforded when the loading of $RuCl_2(PPh_3)_3$ was decreased to 3 mol % (entry 15), while the reactivity was worse under only 1 mol % loading (entry 16). However, the reaction yields were significantly diminished when less $AgSbF_6$ was used (entries 17 and 18).

Under the optimized conditions, the scope and limitation of α,β-unsaturated ketones were explored (Table 2). Gratifying, a variety of α,β-unsaturated ketones reacted smoothly under this catalytic system. Initially, a series of substituted chalcones were employed to react with indole **1a**. The results showed that

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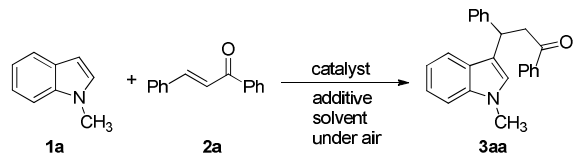
**Table 1** Optimization of the reaction conditions [a]



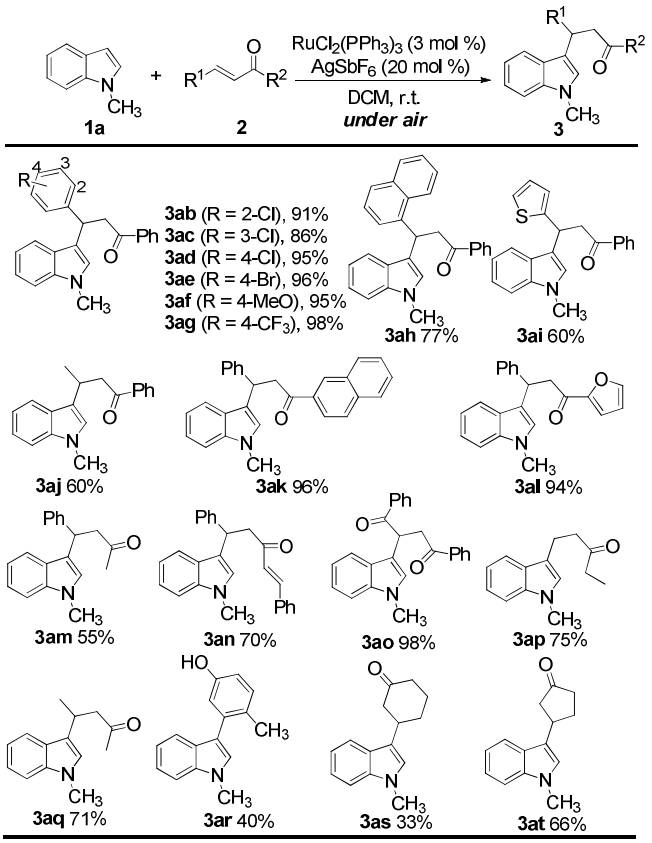

| Entry | Catalyst /[equiv] | Additive /[equiv] | Solvent | T [h] | Yield [%][b] |
|---|---|---|---|---|---|
| 1[c] | $[Cp^*RhCl_2]_2$/0.05 | $Cu(OAc)_2$/1, $AgSbF_6$/0.4 | DCE | 9 | Trace |
| 2[c] | $[Ir(cod)Cl_2]_2$/0.05 | $Cu(OAc)_2$/1, $AgSbF_6$/0.4 | DCE | 9 | Mess |
| 3[c] | $RuCOCl(PPh_3)_2$/0.1 | CuCl/1.9, $AgSbF_6$/0.4 | DCE | 6 | 59 |
| 4 | $AgSbF_6$/0.4 | - | DCE | 4 | 70 |
| 5 | $AgSbF_6$/0.09 | - | DCE | 18 | Trace |
| 6 | $AgSbF_6$/0.09 | - | DCM | 18 | 45 |
| 7 | $AgSbF_6$/0.06 | - | DCM | 18 | 22 |
| 8 | $RuCl_2(PPh_3)_3$/0.1 | $AgSbF_6$/0.4 | DCE | 4 | 96 |
| 9 | $RuCl_2(PPh_3)_3$/0.05 | $AgSbF_6$/0.2 | DCE | 4 | 96 |
| 10 | $RuCl_2(PPh_3)_3$/0.05 | $AgSbF_6$/0.2 | DMF | 24 | 50 |
| 11 | $RuCl_2(PPh_3)_3$/0.05 | $AgSbF_6$/0.2 | THF | 5 | 90 |
| 12 | $RuCl_2(PPh_3)_3$/0.05 | $AgSbF_6$/0.2 | toluene | 7 | 91 |
| 13 | $RuCl_2(PPh_3)_3$/0.05 | $AgSbF_6$/0.2 | $CH_3CN$ | 8 | 74 |
| 14 | $RuCl_2(PPh_3)_3$/0.05 | $AgSbF_6$/0.2 | DCM | 4 | 96 |
| 15 | **$RuCl_2(PPh_3)_3$/0.03** | **$AgSbF_6$/0.2** | **DCM** | **4** | **96** |
| 16 | $RuCl_2(PPh_3)_3$/0.01 | $AgSbF_6$/0.2 | DCM | 4 | 72 |
| 17 | $RuCl_2(PPh_3)_3$/0.03 | $AgSbF_6$/0.09 | DCM | 15 | 88 |
| 18 | $RuCl_2(PPh_3)_3$/0.03 | $AgSbF_6$/0.06 | DCM | 18 | 50 |

[a] Reaction conditions unless otherwise specified: 0.05 mmol of **1a**, 0.058 mmol of **2a**, 1 mL of solvent, 25 °C, under air. [b] Isolated yield. [c] Ar atmosphere, 110 °C.

benzene ring bearing either electron-donating or -withdrawing groups all proceeded well to give the corresponding products **3ab**-**3ag** with good to excellent yields. To push the limitation of this approach, phenyl was replaced by other functional groups, which did proceed but led to lower reactivity (products **3ah**-**3aj**). In addition, wherein $R^2$ was naphthalene or furan, products **3ak** and **3al** were obtained in excellent yields. However, the methyl group just provided **3am** in 55% yield. Similarly, (1E,4E)-1,5-diphenylpenta-1,4-dien-3-one **2n** and (E)-1,4-diary-2-buten-1,4-diones **2o** were also tolerated in the reaction, the desired products could be prepared in 70% and 98% yield, respectively. To our delight, terminal olefin pent-1-en-3-one **2p** and dialkyl substituted chalcone (E)-pent-3-en-2-one **2q** also performed well with indole **1a**, giving the corresponding alkylated products **3ap** and **3aq** in 75% and 71% yield, respectively. It is worth mentioned that cyclic *α,β*-unsaturated ketones were also efficient to this method. Under the standard reaction conditions, 4-methoxy-4-methylcyclohexa-2,5-dienone **2r** underwent the reaction with indole **1a** to give 3-arylated product **3ar**. Notable is that the efficiency of cyclohexenone is lower than cyclopentenone (**3as**, **3at**), likely owing to geometrical constraints or strain.[9d]

As shown in Table 3, the scope of indoles in the C-3 alkylation reactions was further studied. Various substituents on indole ring exhibited good reactivity (**3ba**-**3ga**), even for the bromine substituents **1b** and **1e**. Moreover, *N*-phenyl substituted indoles could achieve this alkylation reaction and gave the corresponding

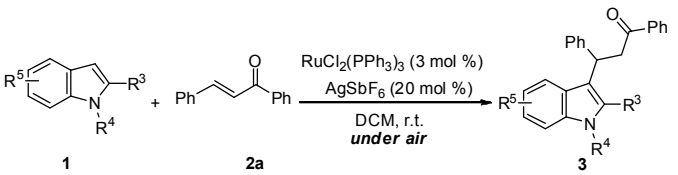
**Table 2** The scope of *α,β*-unsaturated ketones [a]

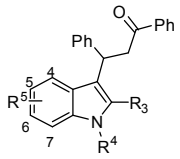



[a] Reaction conditions unless otherwise specified: 0.120 mmol of **1a**, 0.132 mmol of **2**, 3 mol % of $RuCl_2(PPh_3)_3$, 20 mol % $AgSbF_6$, 2 mL of DCM, 25 °C, under air, isolated yield.

product **3ha** in 70% yield. Notably, this new protocol is also appropriate for sterically hindered 2-phenly indole **1i**, which provided **3ia** in 73% yield.

**Table 3** The scope of indoles [a]

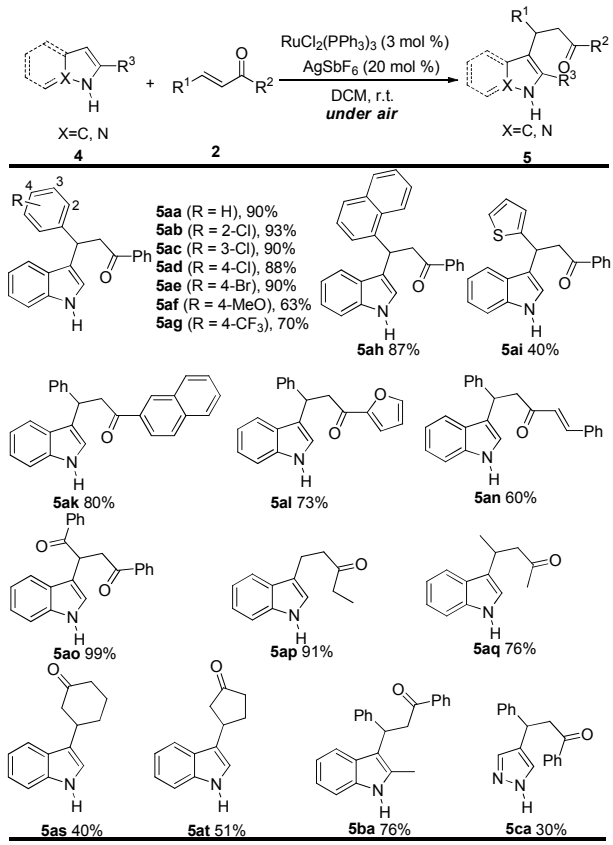


**3ba** ($R^3$ = H, $R^4$ = $CH_3$, $R^5$ = 4-Br), 70%
**3ca** ($R^3$ = H, $R^4$ = $CH_3$, $R^5$ = 4-Me), 79%
**3da** ($R^3$ = H, $R^4$ = $CH_3$, $R^5$ = 5-MeO), 96%
**3ea** ($R^3$ = H, $R^4$ =$CH_3$, $R^5$ = 5-Br), 80%
**3fa** ($R^3$ = H, $R^4$ = $CH_3$, $R^5$ = 6-MeO), 86%
**3ga** ($R^3$ = H, $R^4$ = $CH_3$, $R^5$ = 7-MeO), 91%
**3ha** ($R^3$ = H, $R^4$ = Ph, $R^5$ = H), 70%
**3ia** ($R^3$ = Ph, $R^4$ = $CH_3$, $R^5$ = H), 73%

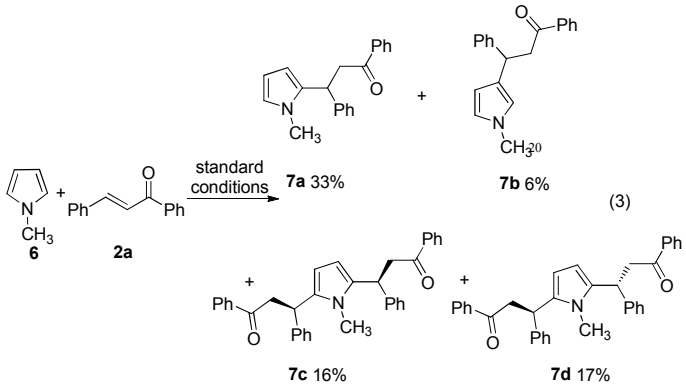
[a] Reaction conditions unless otherwise specified: 0.120 mmol of **1**, 0.132 mmol of **2a**, 3 mol % of $RuCl_2(PPh_3)_3$, 20 mol % $AgSbF_6$, 2 mL of DCM, 25 °C, under air, isolated yield.

**Table 4** Reaction of indole and *α,β*-unsaturated ketones [a]



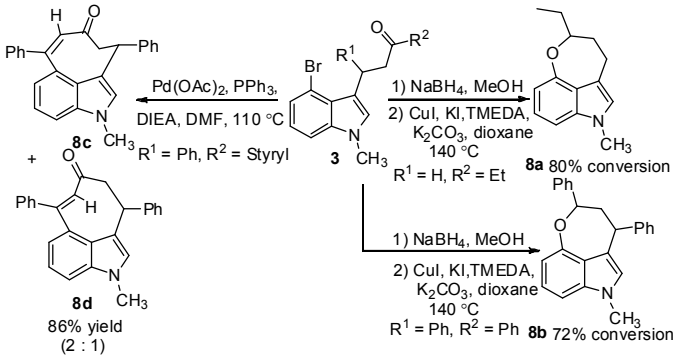

[a] Reaction conditions unless otherwise specified: 0.120 mmol of **4**, 0.132 mmol of **2**, 3 mol % of $RuCl_2(PPh_3)_3$, 20 mol % $AgSbF_6$, 2 mL of DCM, 25 °C, under air. Yields are reported for the isolated products.

Encouraged by these results, we decided to examine the applicability of the alkylation reaction of unprotected indoles (Table 4). Halide at the *ortho*-, *meta*-, or *para*-position were compatible in this alkylation reaction, producing **5ab**-**5ae** in satisfactory yields. In addition it seemed that there is no strong influence on the electronic characteristics at the *para* position of phenyl (**5af**, **5ag**). In contrast, *N*-H indoles also reacted smoothly with substituted chalcones but affording relatively lower reactivity than *N*-protected indoles (**5ah**, **5ai**, **5ak**, **5al** and **5an**). Notably, (*E*)-1,4-diary-2-buten-1,4-diones **2o** gave the best result.




**Scheme 2** Reaction of *N*-$CH_3$ pyrrole **6** with **2a**

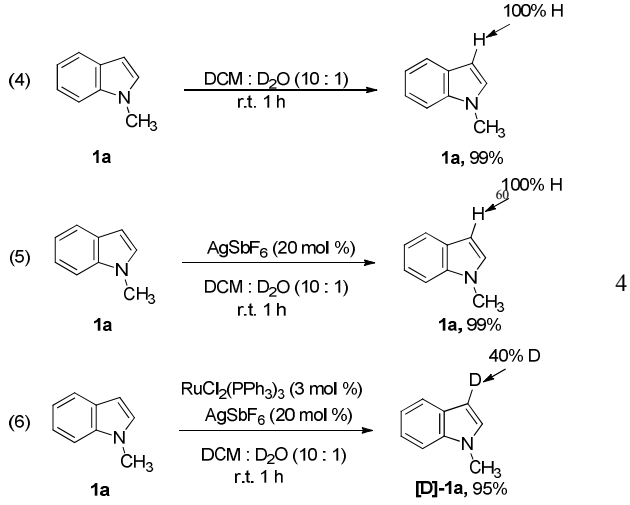
To our satisfactory, aliphatic enones were also tolerated, furnishing the corresponding products in good yields (**5ap**, **5aq**, **5as** and **5at**). Moreover, even the indole with 2-methyl group could be tolerated in the reaction and formed **5ba** in 76% yield. Surprisingly, azole **4c** was also compatible in this system.




**Scheme 3** Synthetic applications of **3**

To additionally explore the scope of our approach, *N*-methyl pyrrole **6** was applied (Scheme 2). Interestingly, both C2 and C3 alkylation products were obtained under standard conditions. In addition, monoalkylated and dialkylated products were also formed. The 2,5-dialkylated pyrrole was isolated in 33% yield as an essentially 1:1 mixture of diastereoisomers **7c,d**.

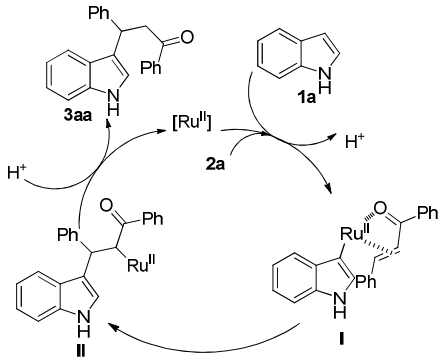
Further conversion of the alkylation product **3** into useful 3,4-fused tricyclic indoles was investigated, which are considered as attractive synthetic targets because of their biological activities and synthetic challenges (Scheme 3).[15] As our previous speculated, the alkylation products **3** were converted to the corresponding alcohol intermediates by reduction, which then underwent Cu-catalyzed Ullmann-type cyclization reactions to produce seven-membered O-arylated rings **8a** and **8b** in good conversion yields over two steps. Furthermore, an efficient method to obtain the tricyclic ketones **8c** and **8d** which are bridged with eight-membered ring was developed through intramolecular Heck reaction.




**Scheme 4** Deuterium-labeling experiments

Deuterium-labeling experiments were carried out to study the mechanism of this alkylation reaction (Scheme 4). When **1a** was conducted in $D_2O$ under the specified conditions, no deuterium was observed at C3 of indoles (eqs 4, 5). In contrast, when **1a** was stirred under $RuCl_2(PPh_3)_3$ in the absence of *α,β*-unsaturated ketones, the content of deuterium on C-3 was 40% (eq 6).[16] All of these data imply that the C-H activation was happened on the first step under the catalysis of $RuCl_2(PPh_3)_3$, and on the other hand, it is possible that $AgSbF_6$ might be acting as a Lewis acid to promote this reaction.

Depend on the evidence that we obtained and previous report, a plausible process is proposed (Scheme 5). The ruthenium catalyst first replaces the C(3)-H of indole to generate complex **I**, which presumably be stabilized by carbonyl and adjacent π system. Then intermediate **I** undergoes conjugate addition to form ruthenium species **II**. Finally, protonation forms the alkylation product **3aa**.




**Scheme 5** Proposed catalytic cycle

## Conclusion

In summary, we have developed a new approach for direct C3 alkylation of indoles with *α,β*-unsaturated ketones via ruthenium-catalyzed C-H activation without the chelation assistance. Our methodology exhibits a broad substrate scope. Further mechanistic investigations and application to more types of heteroaromatic compounds are being conducted in our laboratory.

## Acknowledgments

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## Experimental

### General remarks

NMR data were obtained for $^1H$ at 300 MHz or 400 MHz, and for $^{13}C$ at 75 MHz or 100 MHz. Chemical shifts were reported in ppm from tetramethylsilane with the solvent resonance as the internal standard in $CDCl_3$ or DMSO-$d_6$ solution. ESI HRMS was recorded on a Waters SYNAPT G2 and Water XEVO G2 Q-ToF. UV detection was monitored at 220 nm. TLC was performed on glass-backed silica plates. Column chromatography was performed on silica gel (200-300 mesh), eluting with ethyl acetate and petroleum ether. $CH_2Cl_2$, $CHCl_2CHCl_2$ were distilled over $CaH_2$.

### General Procedure for Synthesis of 3-alkyl indole derivatives:

*N*- methyl indole **1a** (6.6 mg, 0.05 mmol), chalcone **2a** (12.1 mg, 0.058 mmol), $RuCl_2(PPh_3)_3$ (1.4 mg, 3 mol %) and $AgSbF_6$ (3.44 mg, 20 mol %) were stirred in DCM (1.0 mL) at room temperature for 4 h. After completion, the reaction mixture was purified by flash chromatography eluting with ethyl acetate and petroleum ether (1:50) to give the product **3aa** as a white solid (16.3 mg, 96%).

**3-(1-methyl-1*H*-indol-3-yl)-1,3-diphenylpropan-1-one (3aa)**. 4h, 96% yield; $^1H$ NMR (400 MHz, $CDCl_3$): δ 7.96 (d, *J* = 7.6 Hz, 2H), 7.58-7.54 (m, 1H), 7.49-7.43 (m, 3H), 7.40-7.39 (m, 2H), 7.31-7.27 (m, 3H), 7.23-7.17 (m, 2H), 7.06-7.03 (m, 1H), 6.87 (s, 1H), 5.10 (t, *J* = 7.2 Hz, 1H), 3.81 (ddd, *J* = 6.4, 20.4, 24.4 Hz, 2H), 3.73 (s, 3H) ppm; $^{13}C$ NMR (100 MHz, $CDCl_3$): δ 198.4, 144.4, 137.3, 137.1, 132.9, 128.5, 128.4, 128.0, 127.8, 126.9, 126.2, 121.6, 119.5, 118.8, 117.7, 109.2, 45.3, 38.0, 32.6 ppm. ESI HRMS: calcd. for $C_{24}H_{21}NO$+Na 362.1521, found 362.1515.

**3-(2-Chloro-phenyl)-3-(1-methyl-1*H*-indol-3-yl)-1-phenyl-propan-1-one (3ab)**. 8 h, 91% yield; $^1H$ NMR (400 MHz, $CDCl_3$): δ 7.97 (d, *J* = 7.6 Hz, 2H), 7.55-7.52 (m, 1H), 7.44-7.41 (m, 3H), 7.39-7.37 (m, 1H), 7.25-7.23 (m, 2H), 7.18-7.15 (m, 1H), 7.11-7.09 (m, 2H), 7.02-6.98 (m, 1H), 6.85 (s, 1H), 5.53 (t, *J* = 7.2 Hz, 1H), 3.73 (ddd, *J* = 8.4, 20.8, 44.4 Hz, 2H), 3.70 (s, 3H) ppm; $^{13}C$ NMR (100 MHz, $CDCl_3$): δ 198.0, 141.7, 137.2, 136.8, 133.5, 133.0, 129.7, 128.9, 128.6, 128.1, 127.5, 127.0, 126.9, 126.6, 121.7, 119.5, 118.9, 116.1, 109.2, 44.3, 34.7, 32.7 ppm. ESI HRMS: calcd. for $C_{24}H_{20}ClNO$+Na 396.1131 found $C_{24}H_{20}{}^{35}ClNNaO$ 396.1133, $C_{24}H_{20}{}^{37}ClNNaO$ 398.1105.

**3-[1-(3-Chloro-phenyl)-3-phenyl-but-3-enyl]-1-methyl-1*H*-indole (3ac)**. 10 h, 80% yield; $^1H$ NMR (400 MHz, $CDCl_3$): δ 7.96 (d, *J* = 7.6 Hz, 2H), 7.58-7.54 (m, 1H), 7.47-7.44 (m, 3H), 7.36 (s, 1H), 7.29-7.25 (m, 2H), 7.24-7.14 (m, 3H), 7.07-7.04 (m, 1H), 6.87 (s, 1H), 5.07 (t, *J* = 7.2 Hz, 1H), 3.78 (ddd, *J* = 6.8 , 20, 33.6 Hz, 2H), 3.73 (s, 3H) ppm; $^{13}C$ NMR (100 MHz, $CDCl_3$): δ 197.9, 146.6, 137.3, 136.9, 134.1, 133.1, 129.6, 128.6, 128.0, 127.9, 126.7, 126.4, 126.1, 121.8, 119.3, 118.9, 117.0, 109.2, 44.9, 37.7, 32.7 ppm. ESI HRMS: calcd. for $C_{24}H_{20}ClNO$+Na 396.1131 found $C_{24}H_{20}{}^{35}ClNNaO$ 396.1142, $C_{24}H_{20}{}^{37}ClNNaO$ 398.1096.

**3-(4-Chloro-phenyl)-3-(1-methyl-1*H*-indol-3-yl)-1-phenyl-propan-1-one (3ad)**. 8 h, 95% yield; $^1H$ NMR (400 MHz, $CDCl_3$): δ 7.92 (d, *J* = 7.2 Hz, 2H), 7.56-7.52 (m, 1H), 7.45-7.39 (m, 3H), 7.29-7.24 (m, 3H), 7.21-7.17 (m, 3H), 7.04-7.00 (m, 1H), 6.82 (s, 1H), 5.03 (t, *J* = 7.2 Hz, 1H), 3.74 (ddd, *J* = 6.4, 20, 29.6 Hz, 2H), 3.73 (s, 3H) ppm; $^{13}C$ NMR (100 MHz, $CDCl_3$): δ 198.2, 142.9, 137.3, 136.9, 133.1, 131.8, 129.1, 128.6, 128.5, 128.0, 126.7, 126.1, 121.8, 119.1, 119.0, 117.3, 109.3, 45.0, 37.4, 32.7 ppm. ESI HRMS: calcd. for $C_{24}H_{20}ClNO$+Na 396.1131 found $C_{24}H_{20}{}^{35}ClNNaO$ 396.1140, $C_{24}H_{20}{}^{37}ClNNaO$ 398.1090.

**3-(4-Bromo-phenyl)-3-(1-methyl-1*H*-indol-3-yl)-1-phenyl-propan-1-one (3ae)**. 10 h, 96% yield; $^1H$ NMR (400 MHz, $CDCl_3$): δ 7.92 (d, *J* = 7.6 Hz, 2H), 7.55-7.51 (m, 1H), 7.44-7.39 (m, 3H), 7.36-7.34 (m, 2H), 7.26-7.16 (m, 4H), 7.03-7.00 (m, 1H), 6.81 (s, 1H), 5.01 (t, *J* = 7.2 Hz, 1H), 3.75 (ddd, *J* = 10.8, 22.2, 31.8 Hz, 2H), 3.70 (s, 3H) ppm; $^{13}C$ NMR (100 MHz, $CDCl_3$): δ 198.1, 143.4, 137.3, 136.9, 133.1, 131.4, 129.6, 128.6, 128.0, 126.7, 126.1, 121.8, 119.9, 119.4, 118.9, 117.2, 109.3, 44.9, 37.4,

32.7 ppm. ESI HRMS: calcd. for $C_{24}H_{20}BrNO$+Na 440.0626, found $C_{24}H_{20}{}^{79}BrNNaO$ 440.0615, $C_{24}H_{20}{}^{81}BrNNaO$ 442.0593.

**3-(4-Methoxy-phenyl)-3-(1-methyl-1*H*-indol-3-yl)-1-phenyl-propan-1-one (3af)**. 10 h, 95% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.92 (d, *J* = 7.6 Hz, 2H), 7.53-7.50 (m, 1H), 7.44-7.39 (m, 3H), 7.27-7.23 (m, 3H), 7.18-7.15 (m, 1H), 7.02-6.98 (m, 1H), 6.81-6.77 (m, 3H), 5.00 (t, *J* = 7.2 Hz, 1H), 3.75 (ddd, *J* = 6.8, 25.2, 33.2 Hz, 2H), 3.73 (s, 3H), 3.68 (s, 3H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 198.6, 157.8, 137.3, 137.0, 136.4, 132.9, 128.7, 128.5, 128.0, 126.9, 126.1, 121.6, 119.6, 118.7, 118.1, 113.7, 109.1, 55.1, 45.4, 37.3, 32.6 ppm. ESI HRMS: calcd. for $C_{25}H_{23}NO_2$+Na 392.1626, found 392.1627.

**3-(1-Methyl-1H-indol-3-yl)-1-phenyl-3-(4-trifluoromethyl-phenyl)-propan-1-one (3ag).** 12 h, 98% yield; $^1$H NMR (400 MHz, CDCl3): δ 7.93 (d, *J* = 7.6 Hz, 2H), 7.56-7.40 (m, 8H), 7.28-7.26 (m, 1H), 7.23-7.18 (m, 1H), 7.05-7.01 (m, 1H), 6.84 (s, 1H), 5.12 (t, *J* = 7.2 Hz, 1H), 3.79 (ddd, *J* = 6.4, 20.4, 26 Hz, 2H), 3.72 (s, 3H) ppm; 13C NMR (100 MHz, CDCl3): 198.0, 148.5, 137.3, 136.8, 133.2, 128.6, 128.1, 128.0, 126.7, 126.2, 125.4, 125.3, 125.3, 121.2, 119.3, 119.0, 116.9, 109.3, 44.8, 37.8, 32.7 ppm. ESI HRMS: calcd. for C25H20F3NO+Na 430.1395, found 430.1401.

**3-(1-Methyl-1*H*-indol-3-yl)-3-naphthalen-1-yl-1-phenyl-propan-1-one (3ah).** 10 h, 77% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 8.30 (d, *J* = 8.8 Hz, 1H), 7.94 (d, *J* = 7.6 Hz, 2H), 7.85-7.35 (m, 1H), 7.70 (d, *J* = 8.0 Hz, 1H), 7.54-7.38 (m, 8H), 7.35-7.31 (m, 1H), 7.24-7.21 (m, 1H), 7.19-7.15 (m, 1H), 6.99 (t, *J* = 7.2 Hz, 1H), 5.94 (t, *J* = 6.8 Hz, 1H), 3.88 (ddd, *J* = 7.6, 21.4, 61.8 Hz, 2H), 3.62 (s, 3H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 198.3, 140.0, 137.3, 137.0, 134.0, 133.0, 131.4, 128.8, 128.5, 128.0, 127.0, 126.9, 126.1, 125.4, 125.3, 124.2, 123.6, 121.6, 119.5, 118.8, 117.5, 109.2, 44.9, 33.0, 32.6 ppm. ESI HRMS: calcd. for $C_{28}H_{23}NO$+Na 412.1677, found 412.1675.

**3-(1-Methyl-1*H*-indol-3-yl)-1-phenyl-3-thiophen-2-yl-propan-1-one (3ai)**. 18 h, 60% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.96 (d, *J* = 7.6 Hz, 2H), 7.59-7.54 (m, 2H), 7.47-7.43 (m, 2H), 7.31-7.21 (m, 2H), 7.12-7.07 (m, 2H), 6.96-6.95 (m, 2H), 6.91-6.89 (m, 1H), 5.39 (t, *J* = 7.2 Hz, 1H), 3.86 (ddd, *J* = 7.6, 17.6, 21.2 Hz, 2H), 3.73 (s, 3H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 197.9, 148.9, 137.2, 136.9, 133.0, 128.5, 128.0, 126.5, 126.4, 126.3, 124.1, 123.3, 121.7, 119.5, 118.9, 117.4, 109.3, 46.2, 33.3, 32.7 ppm. ESI HRMS: calcd. For $C_{22}H_{19}NOS$+Na 368.1085, found 368.1093.

**3-(1-Methyl-1*H*-indol-3-yl)-1-phenyl-butan-1-one (3aj)**. 10 h, 60% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.95 (d, *J* = 7.2 Hz, 2H), 7.67-7.65 (m, 1H), 7.55-7.51 (m, 1H), 7.45-7.41 (m, 2H), 7.29-7.27 (m, 1H), 7.24-7.20 (m, 1H), 7.12-7.08 (m, 1H), 6.88 (s, 1H), 3.81 (m, 1H), 3.73 (s, 3H), 3.34 (ddd, *J* = 5.2, 18.8, 89.4 Hz, 2H), 1.43 (d, *J* = 6.8 Hz, 3H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 199.7, 137.3, 137.2, 132.9, 128.5, 128.1, 126.6, 125.0, 121.5, 120.0, 119.3, 118.6, 109.3, 46.6, 32.6, 29.7, 27.0, 21.1 ppm. ESI HRMS: calcd. for $C_{19}H_{19}NO$+Na 300.1364, found 300.1366.

**3-(1-Methyl-1*H*-indol-3-yl)-1-naphthalen-2-yl-3-phenyl-propan-1-one (3ak)**. 12 h, 96% yield; $^1$H NMR (400 MHz, DMSO): δ 8.79 (s, 1H), 8.13 (d, *J* = 7.6 Hz, 1H), 7.97-7.95 (m, 3H), 7.68-7.60 (m, 2H), 7.49-7.43 (m, 3H), 7.35-7.33 (m, 2H), 7.25-7.21 (m, 2H), 7.11-7.08 (m, 2H), 6.96-6.92 (m, 1H), 4.94 (t, *J* = 7.2 Hz, 1H), 4.01 (ddd, *J* = 7.2, 20.8, 32.8 Hz, 2H), 3.71 (s, 3H) ppm; $^{13}$C NMR (100 MHz, DMSO): δ 198.3, 145.4, 136.9, 135.2, 134.3, 132.4, 130.3, 129.8, 128.8, 128.4, 128.3, 128.0, 127.8, 127.1, 126.9, 126.5, 126.1, 123.8, 121.4, 119.2, 118.6, 117.7, 109.8, 44.5, 37.7, 32.5 ppm. ESI HRMS: calcd. for $C_{28}H_{23}NO$+Na 412.1677, found 412.1667.

**1-Furan-2-yl-3-(1-methyl-1*H*-indol-3-yl)-3-phenyl-propan-1-one (3al).** 10 h, 96% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.52 (s, 1H), 7.45-7.43 (m, 1H), 7.36-7.34 (m, 2H), 7.26-7.23 (m, 3H), 7.18-7.12 (m, 2H), 7.11-7.10 (m, 1H), 7.02-6.98 (m, 1H), 6.87 (s, 1H), 6.46-6.45 (m, 1H), 5.03 (t, *J* = 7.6 Hz, 1H), 3.70 (s, 3H), 3.63 (ddd, *J* = 7.6, 19.6, 28.4 Hz, 2H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 187.6, 152.9, 146.2, 144.1, 137.2, 128.3, 127.8, 126.9, 126.2, 121.6, 119.6, 118.8, 117.4, 117.0, 112.2, 109.1, 45.0, 38.1, 32.6 ppm. ESI HRMS: calcd. for $C_{22}H_{19}NO_2$+Na 352.1313, found 352.1307.

**4-(1-Methyl-1*H*-indol-3-yl)-4-phenyl-butan-2-one (3am)**. 8 h, 55% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.48-7.46 (m, 1H), 7.36-7.34 (m, 2H), 7.32-7.26 (m, 3H), 7.23-7.18 (m, 2H), 7.07-7.03 (m, 1H), 6.86 (s, 1H), 4.86 (t, *J* = 7.6 Hz, 1H), 3.74 (s, 3H), 3.23 (ddd, *J* = 7.2, 19.6, 32 Hz, 2H), 2.10 (s, 3H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 207.6, 144.1, 137.2, 128.4, 127.6, 126.8, 126.3, 126.1, 121.7, 119.4, 118.8, 117.2, 109.2, 50.4, 38.3, 32.6, 30.3 ppm. ESI HRMS: calcd. for $C_{19}H_{19}NO$+Na 300.1364, found 300.1360.

**5-(1-Methyl-1*H*-indol-3-yl)-1,5-diphenyl-pent-1-en-3-one (3an)**. 8 h, 70% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.56 (s, 1H), 7.52-7.48 (m, 3H), 7.42-7.40 (m, 5H), 7.33-7.28 (m, 3H), 726-7.19 (m, 2H), 7.09-7.05 (m, 1H), 6.91 (s, 1H), 6.76-6.72 (m, 1H), 5.02 (t, *J* = 7.2 Hz, 1H), 3.74 (s, 3H), 3.50 (ddd, *J* = 6.8, 19.2, 37.2 Hz, 2H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 198.6, 144.2, 142.6, 137.2, 134.4, 130.4, 128.8, 128.4, 128.2, 127.7, 126.9, 126.2, 126.1, 121.6, 119.6, 118.8, 117.5, 109.2, 47.5, 38.4, 32.6 ppm. ESI HRMS: calcd. for $C_{26}H_{23}NO$+Na 388.1677, found 388.1676.

**2-(1-Methyl-1*H*-indol-3-yl)-1,4-diphenyl-butane-1,4-dione (3ao)**. 6 h, 98% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 8.07-8.05 (m, 2H), 7.98-7.96 (m, 2H), 7.78-7.76 (m, 1H), 7.54-7.50 (m, 1H), 746-7.39 (m, 3H), 7.37-7.33 (m, 2H), 7.28-7.22 (m, 2H), 7.18-7.15 (m, 1H), 6.88 (s, 1H), 5.59 (dd, *J* = 3.6, 10.4 Hz, 1H), 4.24 (dd, *J* = 10.4, 18.4 Hz, 1H), 3.42 (dd, *J* = 3.2, 18 Hz, 1H), 3.66 (s, 3H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 199.1, 198.6, 137.1, 136.5, 136.4, 133.1, 132.6, 128.7, 128.5, 128.4, 128.1, 127.4, 126.4, 122.0, 119.5, 118.7, 111.4, 109.5, 43.1, 39.4, 32.7 ppm. ESI HRMS: calcd. for $C_{25}H_{21}NO_2$+Na 390.1470, found 390.1465.

**1-(1-Methyl-1*H*-indol-3-yl)-pentan-3-one (3ap)**. 8 h, 75% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.57 (d, *J* = 8.0 Hz, 1H), 7.28-7.19 (m, 2H), 7.12-7.08 (m, 1H), 6.82 (s, 1H), 3.70 (s, 3H), 3.03 (t, *J* = 7.6 Hz, 2H), 2.79 (t, *J* = 7.6 Hz, 2H), 2.39 (q, *J* = 7.2 Hz, 2H), 1.03 (t, *J* = 7.2 Hz, 3H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 211.3, 136.9, 127.5, 126.3, 121.5, 118.7, 118.6, 113.7, 109.1, 42.9, 35.9, 32.5, 19.2, 7.7 ppm. ESI HRMS: calcd. for $C_{14}H_{17}NO$+Na 238.1208, found 238.1210.

**4-(1-Methyl-1*H*-indol-3-yl)-pentan-2-one (3aq)**. 8 h, 71% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.64-7.62 (m, 1H), 7.29-7.27 (m, 1H), 7.24-7.20 (m, 1H), 7.12-7.09 (m, 1H), 6.82 (s, 1H), 3.72 (s, 3H), 3.65-3.60 (m, 1H), 2.81 (ddd, *J* = 6.0, 19, 86.6 Hz, 2H), 2.09 (s, 3H), 1.37 (d, *J* = 6.8 Hz, 3H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 208.6, 137.1, 126.5, 124.9, 121.5, 119.4, 119.1, 118.6,

109.3, 51.6, 32.5, 30.3, 26.9, 21.4 ppm. ESI HRMS: calcd. for $C_{14}H_{17}NO$+Na 238.1208, found 238.1205.

**4-Methyl-3-(1-methyl-1*H*-indol-3-yl)-phenol (3ar)**. 12 h, 42% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.69 (d, *J* = 8.0 Hz, 1H), 7.52-7.50 (m, 1H), 7.43-7.38 (m, 1H), 7.32-7.26 (m, 2H), 7.16 (s, 1H), 7.05-7.04 (m, 1H), 6.89-6.86 (m, 1H), 5.23 (s, 1H), 3.93 (s, 3H), 2.20 (s, 3H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 153.3, 136.6, 135.6, 131.3, 128.6, 127.6, 127.3, 121.7, 120.2, 119.4, 117.4, 115.6, 113.4, 109.3, 32.8, 19.8 ppm. ESI HRMS: calcd. for $C_{16}H_{15}NO$+Na 260.1051, found 260.1048.

**3-(1*H*-Indol-3-yl)-cyclohexanone (3as)**. 4 h, 33% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.63 (d, *J* = 7.6 Hz, 1H), 7.32-7.30 (m, 1H), 7.27-7.23 (m, 1H), 7.14-7.11 (m, 1H), 6.84 (s, 1H), 3.76 (s, 3H), 3.49-3.44 (m, 1H), 2.82-2.81 (m, 1H), 2.66-2.60 (m, 1H), 2.50-2.37 (m, 2H), 2.27-2.24 (m, 1H), 2.09-2.02 (m, 1H), 1.99-1.93 (m, 1H), 1.88-1.82 (m, 1H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 211.8, 170.6, 137.0, 126.4, 125.2, 121.7, 119.0, 118.8, 118.1, 109.3, 48.1, 41.5, 35.8, 32.6, 31.8, 24.8 ppm. ESI HRMS: calcd. for $C_{15}H_{17}NO$+Na 250.1208, found 250.1196.

**3-(1-methyl-1*H*-indol-3-yl)cyclopentanone (3at)**. 4 h, 66% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.57 (d, *J* = 8.0 Hz, 1H), 7.28-7.26 (m, 1H), 7.22-7.19 (m, 1H), 7.10-7.06 (m, 1H), 6.78 (s, 1H), 3.70 (s, 3H), 3.66-3.64 (m, 1H), 2.73-2.66 (m, 1H), 2.49-2.44 (m, 1H), 2.43-2.34 (m, 2H), 2.31-2.22 (m, 1H), 2.12-2.04 (m, 1H) ppm; $^{13}$C NMR (75 MHz, DMSO): δ 218.3, 136.9, 126.8, 125.6, 121.2, 118.9, 118.4, 116.5, 109.7, 44.9, 37.9, 33.1, 32.3, 29.7 ppm. ESI HRMS: calcd. For $C_{14}H_{15}NO$+Na 236.1051, found 236.1042.

**3-(4-Bromo-1-methyl-1*H*-indol-3-yl)-1,3-diphenyl-propan-1-one (3ba).** 10 h, 70% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.96 (d, *J* = 7.6 Hz, 2H), 7.56-7.53 (m, 1H), 7.46-7.42 (m, 2H), 7.39-7.37 (m, 2H), 7.32-7.25 (m, 3H), 7.22-7.20 (m, 2H), 7.05-7.00 (m, 1H), 6.74 (s, 1H), 5.82 (t, *J* = 7.2 Hz, 1H), 3.82 (ddd, *J* = 5.6, 20.4, 27.2 Hz, 2H), 3.67 (s, 3H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 197.9, 144.3, 138.5, 137.0, 132.9, 128.5, 128.3, 128.1, 128.0, 126.1, 124.8, 123.8, 122.4, 118.8, 114.3, 108.5, 46.7, 36.9, 32.9 ppm. ESI HRMS: calcd. for $C_{24}H_{20}BrNO$+Na 440.0626, found $C_{24}H_{20}{}^{79}BrNNaO$ 440.0620, $C_{24}H_{20}{}^{81}BrNNaO$ 442.0612.

**3-(1,4-Dimethyl-1*H*-indol-3-yl)-1,3-diphenyl-propan-1-one (3ca)**. 8 h, 79% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.97 (d, *J* = 8.0 Hz, 2H), 7.59-7.55 (m, 1H), 7.48-7.45 (m, 2H), 7.31-7.25 (m, 4H), 7.19-7.14 (m, 1H), 7.12-7.08 (m, 2H), 6.86 (s, 1H), 6.79 (d, *J* = 6.4 Hz, 1H), 5.45 (t, *J* = 7.2 Hz, 1H), 3.74 (ddd, *J* = 6.8, 24.6, 33 Hz, 2H), 3.72 (s, 3H), 2.57 (s, 3H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 198.2, 145.4, 137.6, 137.1, 133.0, 131.2, 128.6, 128.4, 128.0, 127.9, 126.5, 126.1, 125.8, 121.7, 120.8, 118.1, 107.0, 47.1, 38.6, 32.8, 20.7 ppm. ESI HRMS: calcd. for $C_{25}H_{23}NO$+Na 376.1677, found 376.1680.

**3-(5-Methoxy-1-methyl-1*H*-indol-3-yl)-1,3-diphenyl-propan-1-one (3da)**. 8 h, 96% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.97 (d, *J* = 7.6 Hz, 2H), 7.58-7.54 (m, 1H), 7.47-7.43 (m, 2H), 7.41-7.39 (m, 2H), 7.31-7.26 (m, 2H), 7.21-7.15 (m, 2H), 6.89-6.83 (m, 3H), 5.05 (t, *J* = 7.2 Hz, 1H), 3.81 (ddd, *J* = 6.8, 20.2, 29.2 Hz, 2H), 3.77 (s, 3H), 3.69 (s, 3H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 198.5, 153.5, 144.3, 137.0, 132.9, 132.6, 128.5, 128.4, 128.0, 127.7, 127.2, 126.7, 126.2, 117.2, 111.7, 109.9, 101.4, 55.8, 45.2, 37.9, 32.8 ppm. ESI HRMS: calcd. for $C_{25}H_{23}NO_2$+Na 392.1626, found 392.1633.

**3-(5-Bromo-1-methyl-1*H*-indol-3-yl)-1,3-diphenyl-propan-1-one (3ea)**. 8 h, 80% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.91 (d, *J* = 7.6 Hz, 2H), 7.54-7.50 (m, 2H), 7.43-7.39 (m, 2H), 7.33-7.31 (m, 2H), 7.27-7.22 (m, 2H), 7.20-7.16 (m, 2H), 7.08-7.05 (m, 1H), 6.83 (s, 1H), 4.98 (t, *J* = 7.6 Hz, 1H), 3.72 (ddd, *J* = 7.2, 20.4, 27.6 Hz, 2H), 3.63 (s, 3H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 198.1, 143.9, 136.9, 135.9, 133.0, 128.5, 128.4, 128.0, 127.6, 127.3, 126.4, 124.4, 121.9, 117.3, 112.2, 110.7, 45.2, 37.8, 32.8 ppm. ESI HRMS: calcd. for $C_{24}H_{20}BrNO$+Na 440.0626 found $C_{24}H_{20}{}^{79}BrNNaO$ 440.0626, $C_{24}H_{20}{}^{81}BrNNaO$ 442.0608.

**3-(6-Methoxy-1-methyl-1*H*-indol-3-yl)-1,3-diphenyl-propan-1-one (3fa)**. 8 h, 86% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.92 (d, *J* = 7.2 Hz, 2H), 7.53-7.49 (m, 1H), 7.42-7.38 (m, 2H), 7.35-7.33 (m, 2H), 7.28-7.22 (m, 3H), 7.16-7.12 (m, 1H), 6.71-6.65 (m, 3H), 5.00 (t, *J* = 7.2 Hz, 1H), 3.82 (s, 3H), 3.74 (ddd, *J* = 6.8, 20.2, 27.4 Hz, 2H), 3.62 (s, 3H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 198.5, 156.3, 144.4, 138.0, 137.0, 132.9, 128.5, 128.4, 128.0, 127.7, 126.2, 125.0, 121.4, 120.2, 117.7, 108.6, 92.73, 55.60, 45.3, 38.1, 32.6 ppm. ESI HRMS: calcd. for $C_{25}H_{23}NO_2$+Na 392.1626 found 392.1621.

**3-(7-Methoxy-1-methyl-1*H*-indol-3-yl)-1,3-diphenyl-propan-1-one (3ga)**. 8 h, 91% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.86 (d, *J* = 7.2 Hz, 2H), 7.49-7.45 (m, 2H), 7.37-7.34 (m, 4H), 7.24-7.19 (m, 3H), 7.14-7.07 (m, 2H), 7.00-6.96 (m, 1H), 5.12 (t, *J* = 7.2 Hz, 1H), 3.93 (ddd, *J* = 7.6, 17.2, 20 Hz, 2H), 3.58 (s, 3H), 2.41 (s, 3H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 199.0, 144.4, 137.1, 136.8, 133.6, 132.8, 128.4, 128.2, 128.0, 127.5, 126.4, 125.8, 120.2, 119.1, 118.7, 112.9, 108.7, 43.7, 37.0, 29.4, 10.5 ppm. ESI HRMS: calcd. for $C_{25}H_{23}NO_2$+Na 392.1626 found 392.1619.

**1,3-diphenyl-3-(1-phenyl-1*H*-indol-3-yl)propan-1-one (3ha)**. 20 h, 60% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.98 (d, *J* = 7.6 Hz, 2H), 7.58-7.54 (m, 3H), 7.53-7.44 (m, 8H), 7.37-7.30 (m, 3H), 7.26-7.20 (m, 3H), 7.13-7.09 (m, 1H), 5.18 (t, *J* = 7.2 Hz, 1H), 3.86 (ddd, *J* = 6.4, 20.4, 22.8 Hz, 2H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 198.3, 143.9, 139.7, 137.0, 136.3, 132.9, 129.5, 128.5, 128.4, 128.0, 127.8, 126.4, 126.2, 125.2, 124.1, 122.6, 120.3, 120.0, 119.8, 110.5, 45.1, 38.0 ppm. ESI HRMS: calcd. for $C_{29}H_{23}NO$+Na 424.1677, found 424.1674.

**3-(1-Methyl-2-phenyl-1*H*-indol-3-yl)-1,3-diphenyl-propan-1-one (3ia)**. 14 h, 73% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.86 (d, *J* = 7.6Hz, 2H), 7.72 (d, *J* = 7.6 Hz, 1H), 7.54-7.48 (m, 4H), 7.41-7.36 (m, 7H), 7.30-7.25 (m, 3H), 7.20-7.14 (m, 2H), 5.07 (t, *J* = 7.2 Hz, 1H), 3.92 (ddd, *J* = 7.2, 15.6, 20.4 Hz, 2H), 3.56 (s, 3H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 198.4, 144.6, 138.3, 137.3, 136.8, 132.7, 131.8, 130.8, 128.3, 128.2, 128.0, 127.5, 126.4, 125.7, 121.4, 120.1, 119.2, 114.6, 109.5, 44.2, 37.5, 30.6 ppm. ESI HRMS: calcd. for $C_{30}H_{25}NO$+Na 438.1834 found 438.1833.

**3-(1*H*-Indol-3-yl)-1,3-diphenyl-propan-1-one (5aa).** 12 h, 90% yield; $^1$H NMR (400 MHz, DMSO): δ 10.9 (s, 1H), 8.03 (d, *J* = 7.2 Hz, 2H), 7.63-7.61 (m, 1H), 7.54-7.50 (m, 2H), 7.46-7.42 (m, 3H), 7.38-7.33 (m, 2H), 7.26-7.22 (m, 2H), 7.13-7.12 (m, 1H), 7.06-7.03 (m, 1H), 6.93-6.90 (m, 1H), 4.90 (t, *J* = 6.4 Hz, 1H), 3.90 (ddd, *J* = 6.8, 20, 40.8 Hz, 2H) ppm; $^{13}$C NMR (100 MHz, DMSO): δ 214.7, 198.6, 145.5, 137.1, 136.6, 133.3, 128.9, 128.3, 128.0, 126.6, 126.0, 122.1, 121.2, 118.9, 118.5, 118.2, 111.6,

44.5, 37.9 ppm. ESI HRMS: calcd. for $C_{23}H_{19}NO$+Na 348.1364, found 348.1365.

**3-(2-Chloro-phenyl)-3-(1*H*-indol-3-yl)-1-phenyl-propan-1-one (5ab)**. 12 h, 93% yield; $^1$H NMR (400 MHz, DMSO): δ 10.93 (s, 1H), 8.03 (d, *J* = 7.2 Hz, 2H), 7.65-7.62 (m, 1H), 7.54-7.50 (m, 2H), 7.46-7.42 (m, 3H), 7.34-7.30 (m, 2H), 7.23-7.15 (m, 2H), 7.07-7.03 (m, 1H), 6.94-6.91 (m, 1H), 5.38 (t, *J* = 7.2 Hz, 1H), 4.02 (dd, *J* = 7.2, 16.8 Hz, 1H), 3.72 (dd, *J* = 6.4, 17.6 Hz, 1H) ppm; $^{13}$C NMR (100 MHz, DMSO): δ 203.1, 147.4, 141.8, 141.4, 138.3, 137.7, 134.5, 134.4, 133.8, 133.2, 132.7, 132.3, 131.5, 127.8, 127.7, 126.3, 123.6, 121.8, 116.6, 48.8, 39.9 ppm. ESI HRMS: calcd. for $C_{23}H_{18}ClNO$+Na 382.0975, found $C_{23}H_{18}{}^{35}ClNNaO$ 382.0960, $C_{23}H_{18}{}^{37}ClNNaO$ 384.0944.

**3-(3-Chloro-phenyl)-3-(1*H*-indol-3-yl)-1-phenyl-propan-1-one (5ac).** 12 h, 90% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 8.08 (s, 1H), 7.95 (d, *J* = 7.6 Hz, 2H), 7.58-7.55 (m, 1H), 7.47-7.43 (m, 3H), 7.35-7.30 (m, 2H), 7.28-7.26 (m, 1H), 7.21-7.14 (m, 3H), 7.08-7.04 (m, 1H), 6.95-6.94 (m, 1H), 5.07 (t, *J* = 7.2 Hz, 1H), 3.77 (ddd, *J* = 6.4, 20.4, 44.4 Hz, 2H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 198.2, 146.4, 136.8, 136.5, 134.1, 133.2, 129.6, 128.6, 128.0, 127.9, 126.5, 126.3, 126.1, 122.2, 121.4, 119.5, 119.2, 118.4, 111.2, 44.8, 37.8 ppm. ESI HRMS: calcd. for $C_{23}H_{18}ClNO$+Na 382.0975, found $C_{23}H_{18}{}^{35}ClNNaO$ 382.0967, $C_{23}H_{18}{}^{37}ClNNaO$ 384.0947.

**3-(4-chlorophenyl)-3-(1*H*-indol-3-yl)-1-phenylpropan-1-one (5ad)**. 12 h, 87% yield; $^1$H NMR (400 MHz, DMSO): δ 10.91 (s, 1H), 8.02 (d, *J* = 7.6 Hz, 2H), 7.63-7.60 (m, 1H), 7.52-7.48 (m, 2H), 7.44-7.42 (m, 3H), 7.38 (s, 1H), 7.33-7.31 (m, 1H), 7.28-7.26 (m, 2H), 7.04 (t, *J* = 7.2 Hz, 1H), 6.90 (t, *J* = 7.6 Hz, 1H), 4.89 (t, *J* = 7.2 Hz, 1H), 3.89 (ddd, *J* = 6.8, 20.8, 35.2 Hz, 2H) ppm; $^{13}$C NMR (100 MHz, DMSO): δ 198.4, 144.5, 137.0, 136.6, 133.4, 130.5, 129.9, 128.9, 128.3, 128.2, 126.4, 122.2, 121.3, 118.8, 118.6, 117.8, 111.6, 44.2, 37.2 ppm. ESI HRMS: calcd. for $C_{23}H_{18}ClNO$+Na 382.0975, found $C_{23}H_{18}{}^{35}ClNNaO$ 382.0967, $C_{23}H_{18}{}^{37}ClNNaO$ 384.0940.

**3-(4-Bromo-phenyl)-3-(1*H*-indol-3-yl)-1-phenyl-propan-1-one (5ae)**. 14 h, 90% yield; $^1$H NMR (400 MHz, DMSO): δ 10.91 (s, 1H), 8.02-8.00 (m, 2H), 7.63-7.60 (m, 1H), 7.52-7.48 (m, 2H), 7.43-7.31 (m, 7H), 7.03 (t, *J* = 7.2 Hz, 1H), 6.90 (t, *J* = 7.2Hz, 1H), 4.87 (t, *J* = 5.6 Hz, 1H), 3.88 (ddd, *J* = 6.4, 20.4, 33.6 Hz, 2H) ppm; $^{13}$C NMR (100 MHz, DMSO): δ 198.4, 145.0, 137.0, 136.6, 133.4, 131.1, 130.3, 128.9, 128.3, 126.4, 122.2, 121.3, 119.0, 118.8, 118.6, 117.8, 111.6, 44.2, 37.2 ppm. ESI HRMS: calcd. for $C_{23}H_{18}BrNO$+Na 426.0469, found $C_{23}H_{18}{}^{79}BrNNaO$ 426.0483, $C_{23}H_{18}{}^{81}BrNNaO$ 428.3361.

**3-(1*H*-indol-3-yl)-3-(4-methoxyphenyl)-1-phenylpropan-1-one (5af)**. 26 h, 63% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 8.00 (s, 1H), 7.91 (d, *J* = 7.6 Hz, 2H), 7.51-7.49 (m, 1H), 7.42-7.48 (m, 3H), 7.27-7.22 (m, 3H), 7.13-7.09 (m, 1H), 7.01-6.98 (m, 1H), 6.90 (s, 1H), 6.77 (d, *J* = 8.4 Hz, 2H), 5.00 (t, *J* = 7.2 Hz, 1H), 3.71 (ddd, *J* = 6.4, 20, 30 Hz, 2H), 3.70 (s, 3H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 198.8, 157.8, 137.0, 136.6, 136.3, 133.0, 128.7, 128.5, 128.0, 126.5, 122.0, 121.3, 119.5, 119.4, 119.2, 113.7, 111.1, 55.1, 45.3, 37.4 ppm. ESI HRMS: calcd. for $C_{24}H_{21}NO_2$+Na 378.1470, found 378.1466.

**3-(1H-indol-3-yl)-1-phenyl-3-(4-(trifluoromethyl)phenyl) propan-1-one (5ag)**. 26 h, 70% yield; $^1$H NMR (400 MHz, DMSO): δ 10.96 (s, 1H), 8.04 (d, *J* = 7.6 Hz, 2H), 7.67-7.65 (m, 3H), 7.62-7.58 (m, 2H), 7.54-7.50 (m, 2H), 7.48-7.43 (m, 2H), 7.34-7.32 (m, 1H), 7.06-7.03 (m, 1H), 6.94-6.90 (m, 1H), 4.99 (s, 1H), 3.97 (ddd, *J* = 6.8, 21.2, 24.4 Hz, 2H) ppm; $^{13}$C NMR (100 MHz, DMSO): δ 198.3, 150.4, 136.9, 136.5, 133.4, 128.9, 128.8, 128.3, 126.4, 125.2, 125.2, 122.4, 121.3, 118.7, 118.7, 117.4, 111.6, 44.0, 37.6 ppm. ESI HRMS: calcd. for $C_{24}H_{18}F_3NO$+Na 416.1238, found 416.1240.

**3-(1*H*-Indol-3-yl)-3-naphthalen-1-yl-1-phenyl-propan-1-one (5ah)**. 12 h, 87% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 8.35-8.33 (m, 1H), 7.97-7.95 (m, 2H), 7.90-7.87 (m, 2H), 7.75-7.73 (m, 1H), 7.58-7.54 (m, 1H), 7.50-7.44 (m, 5H), 7.42-7.33 (m, 2H), 7.29-7.26 (m, 1H), 7.17-7.14 (m, 1H), 7.04-7.00 (m, 1H), 6.77-6.76 (m, 1H), 5.97 (t, *J* = 6.8 Hz, 1H), 3.89 (ddd, *J* = 8.0, 21.2, 77.2 Hz, 2H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 198.5, 139.9, 137.0, 136.6 ,134.0, 133.1, 131.4, 128.8, 128.6, 128.0, 127.0, 126.6, 126.1, 125.5, 125.3, 124.3, 123.6, 122.2, 122.0, 119.4, 119.3, 118.9, 111.1, 44.6, 33.2 ppm. ESI HRMS: calcd. for $C_{27}H_{21}NO$+Na 398.1521, found 398.1530.

**3-(1*H*-indol-3-yl)-1-phenyl-3-(thiophen-2-yl)propan-1-one (5ai)**. 48 h, 40% yield; $^1$H NMR (400 MHz, DMSO): δ 10.92 (s, 1H), 8.02 (d, *J* = 7.2Hz, 2H), 7.64-7.60 (m, 1H), 7.51 (t, *J* = 7.2 Hz, 3H), 7.35-7.33 (m, 2H), 7.23-7.22 (m, 1H), 7.08-7.04 (m, 1H), 7.00-6.99 (m, 1H), 6.95 (t, *J* = 7.2 Hz, 1H), 6.88-6.86 (m, 1H), 5.20 (t, *J* = 7.2 Hz, 1H), 3.92 (d, *J* = 7.2 Hz, 2H) ppm; $^{13}$C NMR (100 MHz, DMSO): δ 198.2, 149.9, 136.9, 136.6, 133.4, 128.9, 128.3, 126.7, 126.3, 124.1, 123.8, 122.4, 121.3, 118.9, 118.7, 117.9, 111.7, 45.4, 32.9 ppm. ESI HRMS: calcd. for $C_{21}H_{17}NOS$+Na 354.0929, found 354.0930.

**3-(1*H*-Indol-3-yl)-1-naphthalen-2-yl-3-phenyl-propan-1-one (5ak)**. 11 h, 80% yield; $^1$H NMR (400 MHz, DMSO): δ 10.89 (s, 1H), 8.81 (s, 1H), 8.14 (d, *J* = 8.0 Hz, 1H), 7.98-7.07 (m, 3H), 7.68-7.60 (m, 2H), 7.47-7.45 (m, 3H), 7.39 (m, 1H), 7.34-7.32 (m, 1H), 7.24 (t, *J* = 7.2 Hz, 2H), 7.11 (t, *J* = 7.2Hz, 1H), 7.06-7.02 (m, 1H), 6.93-6.89 (m, 1H), 4.97 (t, *J* = 7.2Hz, 1H), 4.02 (ddd, *J* = 7.2, 20.8, 66.8 Hz, 2H) ppm; $^{13}$C NMR (100 MHz, DMSO): δ 198.5, 145.5, 136.6, 135.2, 134.4, 132.4, 130.3, 129.8, 128.8, 128.4, 128.3, 128.0, 127.8, 127.1, 126.6, 126.0, 123.8, 122.1, 121.2, 118.9, 118.5, 118.2, 111.6, 44.4, 38.0 ppm. ESI HRMS: calcd. for $C_{27}H_{21}NO$+Na 398.1521, found 398.1511.

**1-Furan-2-yl-3-(1*H*-indol-3-yl)-3-phenyl-propan-1-one (5al)**. 16 h, 73% yield; $^1$H NMR (400 MHz, DMSO): δ 10.88 (s, 1H), 7.95 (s, 1H), 7.60-7.59 (m, 1H), 7.43-7.38 (m, 3H), 7.33-7.30 (m, 2H), 7.24-7.20 (m, 2H), 7.12-7.08 (m, 1H), 7.04-7.00 (m, 1H), 6.91-6.88 (m, 1H), 6.69-6.68 (m, 1H), 4.85 (s, 1H), 3.64 (ddd, *J* = 6.4, 19.6, 60 Hz, 2H) ppm; $^{13}$C NMR (100 MHz, DMSO): δ 186.9, 152.4, 148.1, 148.0, 145.1, 136.5, 128.4, 127.9, 126.5, 126.1, 122.1, 122.1, 121.3, 119.3, 118.9, 118.6, 117.8, 112.7, 112.7, 111.6, 44.2, 38.0 ppm. ESI HRMS: calcd. for $C_{21}H_{17}NO_2$+Na 338.1157, found 338.1157.

**5-(1*H*-Indol-3-yl)-1,5-diphenyl-pent-1-en-3-one (5an)**. 12 h, 62% yield; $^1$H NMR (400 MHz, DMSO): δ 10.88 (s, 1H), 7.69-7.67 (m ,2H), 7.63 (s, 1H), 7.43-7.38 (m, 6H), 7.33-7.30 (m, 2H), 7.25-7.22 (m, 2H), 7.13-7.09 (m, 1H), 7.05-7.01 (m, 1H), 6.96 (s, 1H), 6.92-6.88 (m, 1H), 4.84 (t, *J* = 7.6 Hz, 1H), 3.52 (ddd, *J* = 7.6, 20, 66.4 Hz, 2H) ppm; $^{13}$C NMR (100 MHz, DMSO): δ 198.7, 145.4, 142.4, 136.6, 134.7, 130.6, 129.1, 128.6, 128.3, 127.9, 126.9, 126.6, 126.0, 122.1, 121.2, 118.9, 118.4, 118.0,

111.6, 46.5, 38.0 ppm. ESI HRMS: calcd. for $C_{25}H_{21}NO$+Na 374.1521, found 374.1514.

**2-(1*H*-Indol-3-yl)-1,4-diphenyl-butane-1,4-dione (5ao)**. 12 h, 99% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 8.27 (s, 1H), 8.04-8.02 (m, 2H), 7.95-7.93 (m, 2H), 7.77-7.75 (m, 1H), 7.53-7.49 (m, 1H), 7.43-7.37 (m, 3H), 7.32-7.27 (m, 3H), 7.22-7.14 (m, 2H), 6.92 (s, 1H), 5.58 (dd, *J* = 3.2, 10.4 Hz, 1H), 4.24 (dd, *J* = 10.4, 18.4 Hz, 1H) 3.40 (dd, *J* = 3.6, 18.4 Hz, 1H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 199.2, 198.8, 136.4, 136.4, 133.2, 132.7, 128.7, 128.5, 128.4, 128.1, 125.9, 122.8, 122.4, 119.9, 118.6, 112.9, 111.5, 42.8, 39.6 ppm. ESI HRMS: calcd. for $C_{24}H_{19}NO_2$+Na 376.1313, found 376.1311.

**1-(1*H*-Indol-3-yl)-pentan-3-one (5ap)**. 12 h, 91% yield; $^1$H NMR (400 MHz, DMSO): δ 10.77 (s, 1H), 7.53-7.51 (m, 1H), 7.35-7.33 (m, 1H), 7.09-7.05 (m, 2H), 6.99-6.96 (m, 1H), 2.90 (t, *J* = 7.2Hz, 2H), 2.80 (t, *J* = 7.2 Hz, 2H), 2.45 (q, *J* = 7.2 Hz, 2H), 0.93 (s, 3H) ppm; $^{13}$C NMR (100 MHz, DMSO): δ 210.9, 136.5, 127.2, 122.4, 121.2, 118.5, 118.4, 113.8, 111.5, 42.4, 35.2, 19.3, 7.8 ppm. ESI HRMS: calcd. for $C_{13}H_{15}NO$+Na 224.1051, found 224.1044.

**4-(1*H*-Indol-3-yl)-pentan-2-one (5aq)**. 12 h, 76% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 8.05 (s, 1H), 7.64 (d, *J* = 8.0 Hz, 1H), 7.33-7.32 (m, 1H), 7.20-7.16 (m, 1H), 7.13-7.09 (m, 1H), 6.93 (s, 1H), 3.66-3.60 (m, 1H), 2.81 (ddd, *J* = 6.0, 18.8, 86.4 Hz, 2H), 2.08 (s, 3H), 1.37 (d, *J* = 6.8 Hz, 3H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 208.8, 136.4, 126.2, 121.9, 120.8, 120.1, 119.1, 119.0, 111.3, 51.4, 30.4, 26.9, 21.2 ppm. ESI HRMS: calcd. for $C_{13}H_{15}NO$+Na 224.1051, found 224.1042.

**3-(1*H*-Indol-3-yl)-cyclohexanone (5as)**. 16 h, 41% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 8.18 (s, 1H), 7.66 (d, *J* = 8.0 Hz, 1H), 7.39 (d, *J* = 8.0 Hz, 1H), 7.27-7.22 (m, 1H), 7.18-7.14 (m, 1H), 6.98 (s, 1H), 3.51-3.44 (m, 1H), 2.86-2.81 (m, 1H), 2.69-2.63 (m, 1H), 2.53-2.39 (m, 2H), 2.31-2.27 (m, 1H), 2.11-1.95 (m, 2H), 1.91-1.81 (m, 1H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 212.0, 136.4, 126.0, 122.1, 120.3, 119.5, 119.3, 118.9, 111.3, 48.0, 41.5, 35.9, 31.6, 24.8 ppm. ESI HRMS: calcd. for $C_{14}H_{15}NO$+Na 236.1051, found 236.1043.

**3-(1*H*-Indol-3-yl)-cyclopentanone (5at)**. 16 h, 51% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 8.15 (s, 1H), 7.64-7.62 (m, 1H), 7.38-7.36 (m, 1H), 7.24-7.20 (m, 1H), 7.16-7.20 (m, 1H), 6.96-6.95 (m, 1H), 3.75-3.67 (m, 1H), 2.79-2.72 (m, 1H), 2.56-2.50 (m, 1H), 2.50-2.41 (m, 2H), 2.40-2.27 (m, 1H), 2.17-2.08 (m, 1H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 219.6, 136.6, 126.5, 122.2, 119.9, 119.4, 119.0, 118.4, 111.3, 45.2, 38.1, 33.6, 29.8 ppm. ESI HRMS: calcd. for $C_{13}H_{13}NO$+Na 222.0895, found 222.0892.

**3-(2-Methyl-1*H*-indol-3-yl)-1,3-diphenyl-propan-1-one (5ba)**. 16 h, 76% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.86 (d, *J* = 7.2 Hz, 2H), 7.75 (s, 1H), 7.50-7.46 (m, 2H), 7.38-7.34 (m, 4H), 7.25-7.18 (m, 3H), 7.15-7.11 (m, 1H), 7.06-7.03 (m, 1H), 7.00-6.96 (m, 1H), 5.08 (t, *J* = 6.8 Hz, 1H), 3.92 (ddd, *J* = 7.6, 24.8, 26 Hz, 2H), 2.36 (s, 3H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 199.1, 144.2, 137.1, 135.4, 132.9, 131.7, 128.4, 128.2, 128.0, 127.5, 127.4, 125.8, 120.6, 119.1, 119.1, 113.5, 110.4, 43.5, 36.7, 12.1 ppm. ESI HRMS: calcd. for $C_{24}H_{21}NO$+Na 362.1521, found 362.1508.

**1,3-Diphenyl-3-(1*H*-pyrazol-4-yl)-propan-1-one (5ca)**. 10 h, 30% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.97 (d, *J* = 7.6 Hz, 2H), 7.57-7.49 (m, 3H), 7.45-7.42 (m, 2H), 7.33-7.32 (m, 4H), 7.30-7.25 (m, 1H), 6.23 (s, 1H), 5.2 (dd, *J* = 5.2, 8.4 Hz, 1H), 4.49 (q, *J* = 8.8 Hz, 1H) 3.64 (dd, *J* = 4.8, 17.6 Hz, 1H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 196.6, 140.7, 139.2, 136.4, 133.3, 129.7, 128.8, 128.6, 128.2, 127.9, 126.6, 105.5, 60.7, 44.1 ppm. ESI HRMS: calcd. for $C_{18}H_{16}N_2O$+Na 299.1160, found 299.1154.

**3-(1-methyl-1H-pyrrol-2-yl)-1,3-diphenylpropan-1-one (7a)**. 8 h, 33% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.93 (d, *J* = 7.2 Hz, 2H), 7.57-7.55 (m, 1H), 7.46-7.42 (m, 2H), 7.28-7.17 (m, 5H), 6.54 (s, 1H), 6.08 (s, 2H), 4.81 (t, *J* = 7.2 Hz, 1H), 3.80 (dd, *J* = 7.2, 17.2 Hz, 1H), 3.50 (dd, *J* = 7.2, 17.2 Hz, 1H), 3.38 (s, 3H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 197.8, 143.2, 137.0, 134.3, 133.0, 128.6, 128.5, 128.0, 127.9, 126.4, 121.9, 106.3, 105.6, 45.5, 38.2, 33.9 ppm. ESI HRMS: calcd. for $C_{20}H_{19}NO$+Na 312.1364, found 312.1365.

**3-(1-methyl-1H-pyrrol-3-yl)-1,3-diphenylpropan-1-one (7b)**. 8 h, 6% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.93 (d, *J* = 7.6 Hz, 2H), 7.55-7.51 (m, 1H), 7.45-7.41 (m, 2H), 7.32-7.30 (m, 3H), 7.28-7.26 (m, 1H), 7.18-7.14 (m, 1H), 6.48 (s, 1H), 6.32 (s, 1H), 5.97 (s, 1H), 4.69 (t, *J* = 7.2 Hz, 1H), 3.62 (ddd, *J* = 7.2, 20.3, 34.4 Hz, 2H), 2.56 (s, 3H) ppm. ESI HRMS: calcd. for $C_{20}H_{19}NO$+Na 312.1364, found 312.1364.

**(3R,3'S)-3,3'-(1-methyl-1H-pyrrole-2,5-diyl)bis(1,3-diphenylpropan-1-one) (7c)**. 8 h, 16% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.90 (d, *J* = 7.2 Hz, 4H), 7.55-7.52 (m, 2H), 7.44-7.41 (m, 4H), 7.27-7.23 (m, 4H), 7.18-7.14 (m, 6H), 6.04 (s, 2H), 4.71 (t, *J* = 7.2 Hz, 2H), 3.61 (ddd, *J* = 6.4, 20.4, 91.6 Hz, 4H), 3.05 (s, 3H) ppm; $^{13}$C NMR (100 MHz, $CDCl_3$): δ 197.9, 143.3, 137.1, 134.4, 132.9, 128.5, 128.4, 127.9, 127.8, 126.4, 104.2, 45.4, 38.6, 30.6 ppm. ESI HRMS: calcd. for $C_{35}H_{31}NO_2$+Na 520.2252, found 520.2250.

**(3R,3'R)-3,3'-(1-methyl-1H-pyrrole-2,5-diyl)bis(1,3-diphenylpropan-1-one) (7d)**. 8 h, 17% yield; $^1$H NMR (400 MHz, $CDCl_3$): δ 7.92-7.90 (m, 4H), 7.55-7.52 (m, 2H), 7.44-7.41 (m, 4H), 7.26-7.15 (m, 4H), 7.11-7.09 (m, 6H), 6.00 (s, 2H), 4.75 (t, *J* = 7.2 Hz, 2H), 3.75 (dd, *J* = 7.2, 17.2 Hz, 2H), 3.43 (dd, *J* = 7.2, 17.2 Hz, 2H), 2.99 (s, 3H) ppm; $^{13}$C NMR (75 MHz, DMSO): δ 197.8, 143.7, 136.7, 134.2, 133.2, 128.7, 128.2, 128.0, 127.7, 126.1, 104.2, 44.6, 37.9, 30.2 ppm. ESI HRMS: calcd. for $C_{35}H_{31}NO_2$+Na 520.2252, found 520.2258.

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16 For more details, see the Supporting Information.