

Camphorsulfonic Acid-Mediated One-Pot Tandem Consecutive via the Ugi Four-Component Reaction for the Synthesis of Functionalized Indole and 2-Quinolone Derivatives by Switching Solvents

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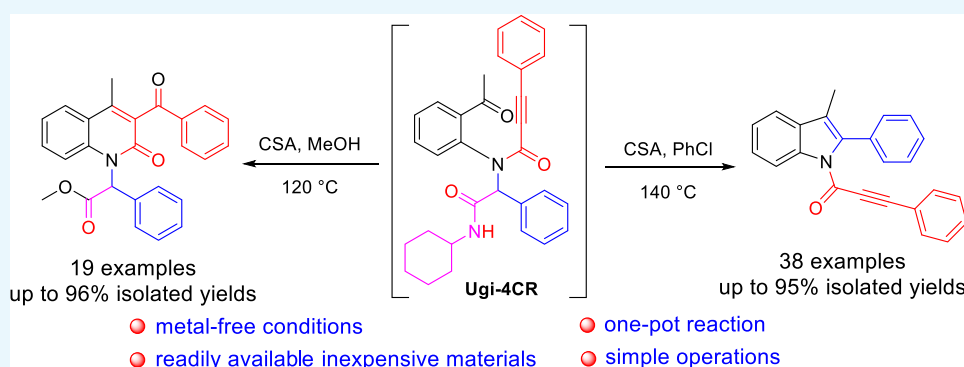
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ABSTRACT: A camphorsulfonic acid-mediated one-pot tandem consecutive approach was developed to synthesize functionalized indole and 2-quinolone derivatives from the Ugi four-component reaction by switching solvents. A reaction of the Ugi adduct in an aprotic solvent undergoes 5-*exo*-trig cyclization to form an indole ring. In a protic solvent, however, the Ugi adduct undergoes an alkyne-carbonyl metathesis reaction to form a 2-quinolone ring.

INTRODUCTION

Indoles and 2-quinolones are important classes of N-heterocyclic compounds present in several natural products¹ and biologically active compounds.² In particular, indoles are classified as “privileged structures” in drug discovery.³ Thus, the development of synthetic methods of indoles has attracted widespread interest from medicinal and organic chemists over the years. The classical methods for indoles are well-known named reactions,⁴ including Fischer,⁵ Bischler,⁶ Reissert,⁷ Madelung,⁸ Sundberg,⁹ Bartoli,¹⁰ and Fukuyama.¹¹ Recently, substituted indoles were prepared from the inter- or intramolecular cycloaddition reactions using diverse functionalized starting materials in the presence of transition-metal complexes¹² or under transition-metal-free conditions.¹³ The functionalized 2-quinolone core was also synthesized using classical procedures, including acid-catalyzed Knorr synthesis,¹⁴ base-catalyzed Friedlander synthesis,¹⁵ Ugi–Knoevenagel reaction,¹⁶ enzymatic synthesis,¹⁷ and transition-metal-catalyzed reactions.¹⁸

On the other hand, numerous synthetic procedures have been reported for indoles and 2-quinolone core compounds. Despite these established methodologies, the development of

cost-effective, short synthetic routes with readily available starting materials and metal-free, environmentally friendly approaches is desirable. In this manner, isocyanide-based multicomponent reactions (IMCRs) are a better prospect.¹⁹ Among IMCRs, Ugi four-component reactions (U-4CRs) are a highly efficient and versatile synthetic strategy for the preparation of functionalized nitrogen heterocyclic compounds.²⁰ The Ugi adduct contains different active sites, such as (i) a carbonyl group, (ii) an alkyne moiety for nucleophilic or electrophilic addition, and (iii) an acidic C(sp³)-H proton. Our previous work described the post-Ugi transformation for the synthesis of 2-quinolone^{20a} and 2,5-diketopiperazine.²¹ In continuation of the study of Ugi adduct, we have interestingly used the Bronsted acids, resulting in five- and six-membered heterocycles by switching aprotic to protic

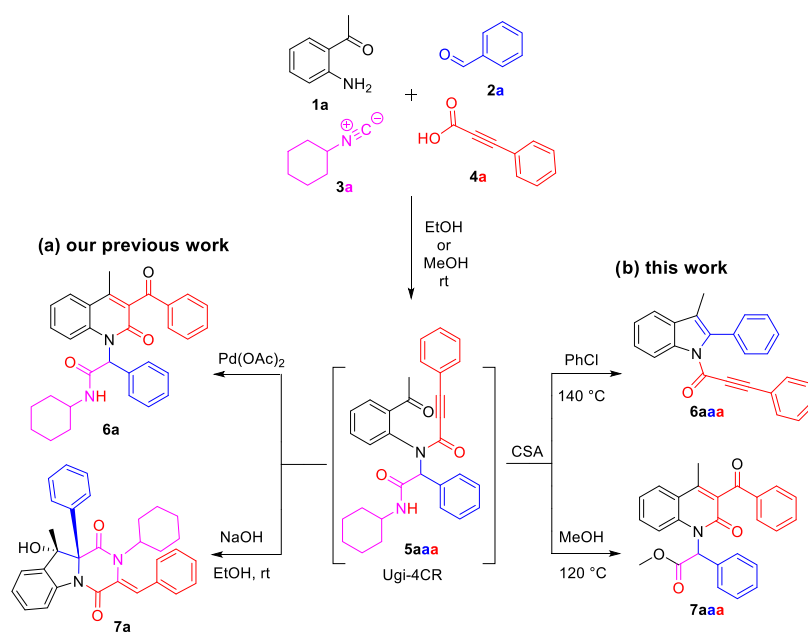
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Scheme 1. One-Pot Synthesis of Indole and 2-Quinolone Derivatives via Single Ugi Adduct by the Solvent Switch



solvents, respectively. These interesting results encouraged us to investigate further and report herein the synthesis of functionalized indole and 2-quinolone scaffolds using the Ugi-4CR adduct (Scheme 1).

RESULTS AND DISCUSSION

Our study begins with the preparation of Ugi adduct **5aaa** using the previously reported procedure.^{20a} Table 1 lists the corresponding results. We started our initial screening with various neat carboxylic acids, but the results were disappointing (entries 1–4). In formic acid, an unidentified polar byproduct formed, and in TFA, cleavage of the amide bond of Ugi adduct **5aaa** occurred.^{20a} On the other hand, using a combination of carboxylic acids with 1,2-dichloroethane (DCE) (entries 5–8), the best yield (57%) was obtained with formic acid (entry 8).

The next screening was with formic acid and different solvents (entries 9–14). Among them, MeOH gave 69% yield (entry 9). Better results were obtained using sulfonic acids rather than carboxylic acids, such as *p*-toluenesulfonic acid (*p*TSA) and CSA; among them, CSA in DCE at 120 °C gave 80% yield over 3 days (entries 15 and 16). Subsequently, PhCl was then used at 140 °C to improve the yield and reduce the reaction time, which provided excellent yield for both *p*TSA and CSA in 86 and 98% over 20 h, respectively (entries 17 and 18). CSA did not give an attractive yield in toluene and 1,4-dioxane compared to PhCl (entries 19 and 20).

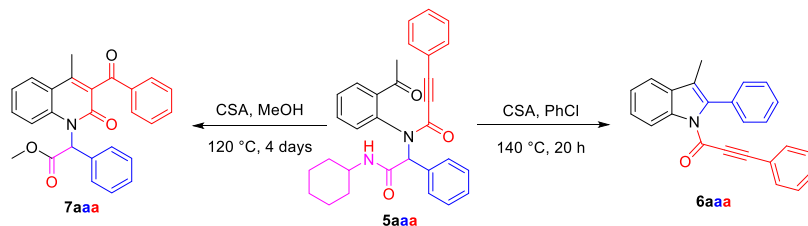
Surprisingly, using a protic solvent, such as MeOH, both *p*TSA and CSA gave the ACM product, 2-quinolone **7aaa**, instead of the indole derivatives (entries 21 and 22). In particular, CSA in MeOH gave a high yield over 4 days (entry 23). Other protic solvents, such as EtOH, propanol, and butanol (entries 25–27), gave the corresponding ester derivatives of 2-quinolone **7aaa** and a lower yield than MeOH. Eventually, H₂SO₄ (1.1 equiv) in MeOH gave the ACM product **7aaa** in 62% yield. The optimal conditions for indole and 2-quinolone were CSA in PhCl at 140 °C for 20 h (entry 18) and CSA in MeOH for 4 days (entry 23), respectively.

The optimized reaction conditions were then applied to the synthesis of indole and 2-quinolone derivatives in a one-pot approach via the Ugi adduct. The corresponding results are presented in Tables 2 and 3. Table 2 lists the substrate scope of the indole derivatives from commercially available aldehydes (**2a–y**) with 2'-aminoacetophenone (**1a**) or 2'-aminobenzophenone (**1b**), aromatic substituted or aliphatic propiolic acid derivatives (the aromatic substituted phenylpropionic acids (**4b**, **c–d**) were synthesized from commercially available terminal alkyne; see the Supporting Information), and cyclohexylisocyanide (**3a**). First, all four components were mixed in EtOH. After forming the Ugi adduct in 16 h, EtOH was concentrated and dried well. The reaction conditions in Table 1, entry 18, were then applied.

However, **6aaa** was obtained in low yield in the one-pot strategy using 1.1 equiv of CSA. The equiv of CSA was manipulated to obtain the best result (Supporting Information). The use of 2.0 equiv of CSA gave **6aaa** and **6baa** in 93 and 85% yields, respectively, in a one-pot approach. The molecular structures of **6aaa** and **6baa** were further confirmed by single-crystal X-ray diffraction analysis (Figure 1). Next, we studied the substituent effects at the *ortho*, *meta*, and *para* benzaldehydes (**2b–s**). The steric effect of the *ortho*-positioned electron-donating group (EDG) or electron-withdrawing group (EWG) benzaldehyde derivatives resulted in a lower yield than the corresponding *meta*- and *para*-positioned derivatives, excluding the *ortho*-F derivative **6aha**.

Unfortunately, the indole derivatives of *ortho*-CN **6aqa** in the substrate scope of 2'-aminoacetophenone (**1a**), and methyl **6bba**, and fluoro **6bha** in the substrate scope of 2'-aminobenzophenone (**1b**) could not be isolated. Multiple unidentified byproducts formed, and no intermediates, such as the Ugi adduct, were isolated. 2,5-Dimethyl **6ata** and 2,5-dimethoxy **6aua** benzaldehyde derivatives were obtained in 93 and 95% yields, respectively.

A strong EWG at the *para* position of the benzaldehyde derivatives resulted in low yields, such as *para*-CN **6asa** (56%) and *para*-CF₃ **6awa** (46%), **6bwa** (29%), respectively. The *tert*-butyl **6ava** (93%), biphenyl **6axa** (94%), and naphthaldehyde

Table 1. Optimization Conditions for Indole and 2-Quinolone Derivatives from the Ugi Adduct **5aaa**^a

entry	reagents/solvents	T (°C)	time (h)	yield (%) ^b	
				6aaa	7aaa
1	AcOH	120	72	28	
2	propanoic acid	120	72	24	
3	formic acid	120	72	trace	
4	TFA	100	5		
5	AcOH/DCE (1:1)	120	72	34	
6	AcOH/DCE (2:1)	120	72	42	
7	PrOH/DCE (2:1)	120	72	46	
8	HCOOH/DCE (2:1)	120	72	57	
9	HCOOH/MeOH (2:1)	120	24	69	
10	HCOOH/EtOH (2:1)	120	24	32	
11	HCOOH/CH ₃ CN (2:1)	120	24	19	
12	HCOOH/IPA (2:1)	120	24	47	
13	HCOOH/dioxane (2:1)	120	24	65	
14	HCOOH/DMF (2:1)	120	24	62	
15	<i>p</i> TSA (1.1 equiv)/DCE	120	96	74	
16	CSA (1.1 equiv)/DCE	120	72	80	
17	<i>p</i> TSA (1.1 equiv)/PhCl	140	20	86	
18	CSA (1.1 equiv)/PhCl	140	20	98	
19	CSA (1.1 equiv)/toluene	140	20	73	
20	CSA (1.1 equiv)/dioxane	120	72	53	
21	CSA (1.1 equiv)/MeOH	120	20		51
22	<i>p</i> TSA (1.1 equiv)/MeOH	120	20		43
23	CSA (1.1 equiv)/MeOH	120	96		87
24	<i>p</i> TSA (1.1 equiv)/MeOH	120	96		74
25	CSA (1.1 equiv)/EtOH	120	96		66
26	CSA (1.1 equiv)/propanol	120	96		52
27	CSA (1.1 equiv)/butanol	120	96		47
28	H ₂ SO ₄ (1.1 equiv)/MeOH	120	72		62

^aReaction conditions: reaction run at a concentration of 0.05 M. ^bIsolated yields.

6aya (89%) derivatives showed excellent yield. The *para*-positioned EDG and EWG at the phenylpropionic acid did not affect the formation of indoles, such as *tert*-butyl **6aab** (91%) and CF₃ **6aad** (94%), respectively.

However, the yield of the aliphatic propionic acid derivative **6aae** decreased to 75%. Other carboxylic acids were also investigated under the same optimized conditions. The reaction of benzoic acid and *ortho*-halogenated benzoic acids and acetic acid derivatives **6aaf**–**6aai** produced lower yields (24–43%) than the aliphatic or aromatic propionic acid.

2-Quinolone analogues were next examined, and the corresponding results are presented in Table 3. In the one-pot approach, 2.5 equiv of CSA in MeOH over 4 days was the best condition to synthesize the 2-quinolone derivative **7aaa** (75%) (Supporting Information). The structure of **7aaa** was further confirmed by single-crystal X-ray diffraction analysis (Table 3).

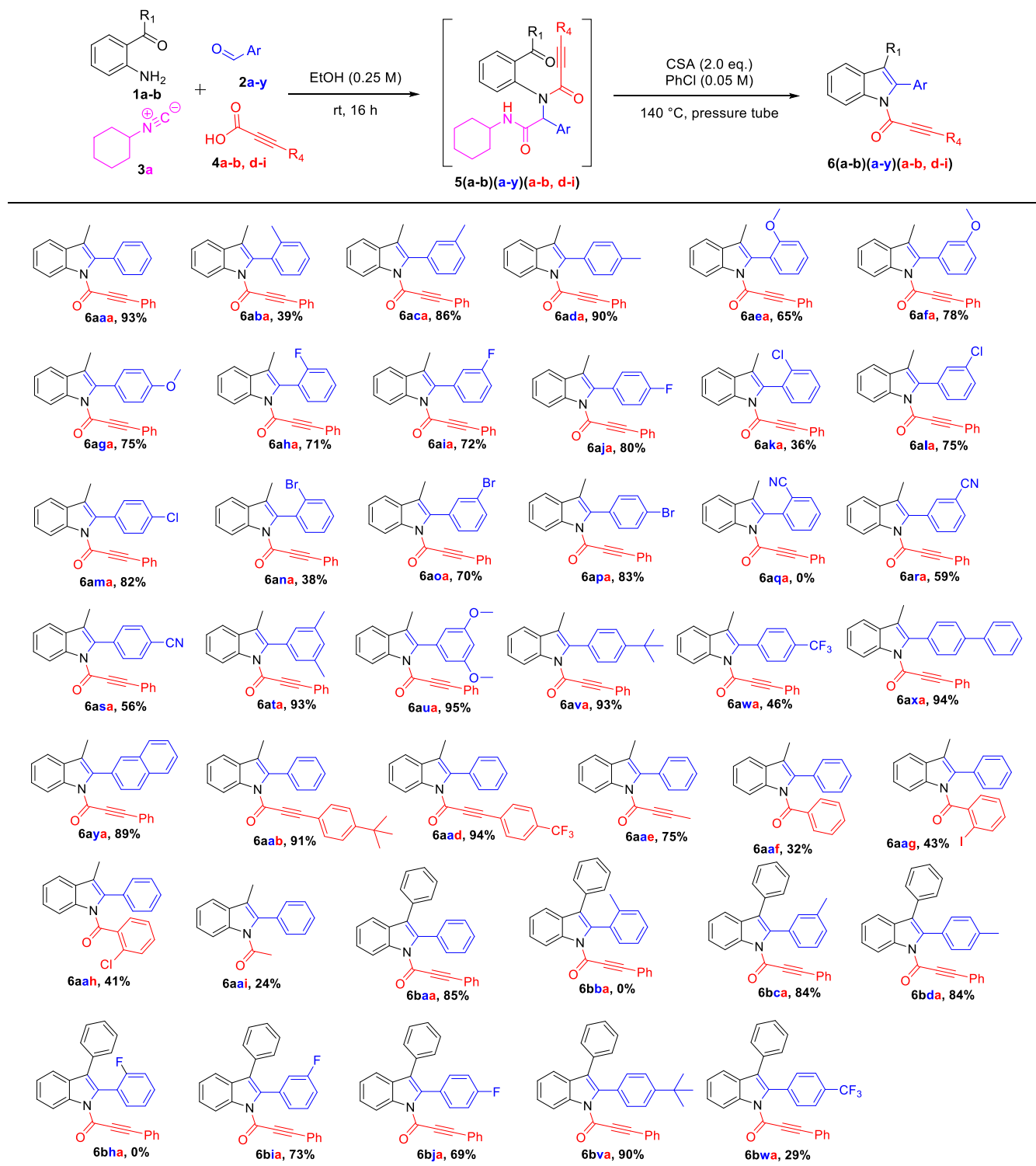
The steric hindrance of *ortho*-positioned EDG or EWG benzaldehydes plays an important role in the ACM reactions, which produced a higher yield than at the *meta* and *para* positions. The Thorpe-Ingold effects can explain these

experimental results (Supporting Information). In contrast, the *meta*-F benzaldehyde derivative **7aia** gave the highest yield, 96%, among the phenylpropionic acids, which Thorpe-Ingold effects could not explain. In a previous report, the *para*-positioned EDG at the phenylpropionic acid gave the best yield,^{20a} which reflects on **7aec** (94%) and **7ahc** (96%).

The *para*-positioned EWG at the phenylpropionic acid gave no ACM products, such as **7aed** and **7ahd**, even though a higher temperature and longer reaction time were used. The EWG suppressed the nucleophilicity of the alkyne toward the carbonyl group. In that case, the intermediate of the Ugi adduct (53–60%) was isolated, and the amide bond of the Ugi adduct was cleaved (2.0–3.5%) with the cyclohexyl amide group intact. These results showed that methanolysis of cyclohexyl amide occurs after the ACM reaction or simultaneously, and the methanolysis under these reaction conditions could not be controlled for all other substrates.

Scheme 2 presents a plausible mechanism for the indole and 2-quinolone from the Ugi adduct **5aaa** based on the experimental results. In general, the Bronsted acids dissociate into proton H⁺ and its conjugate base. However, in the

Table 2. One-Pot Synthesis of Indole Derivatives via Ugi-4CR

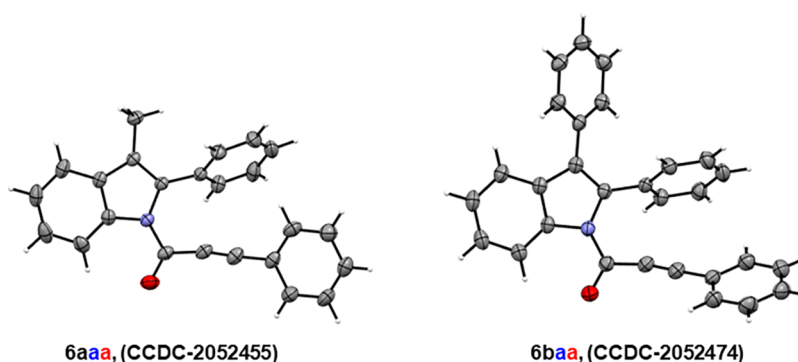
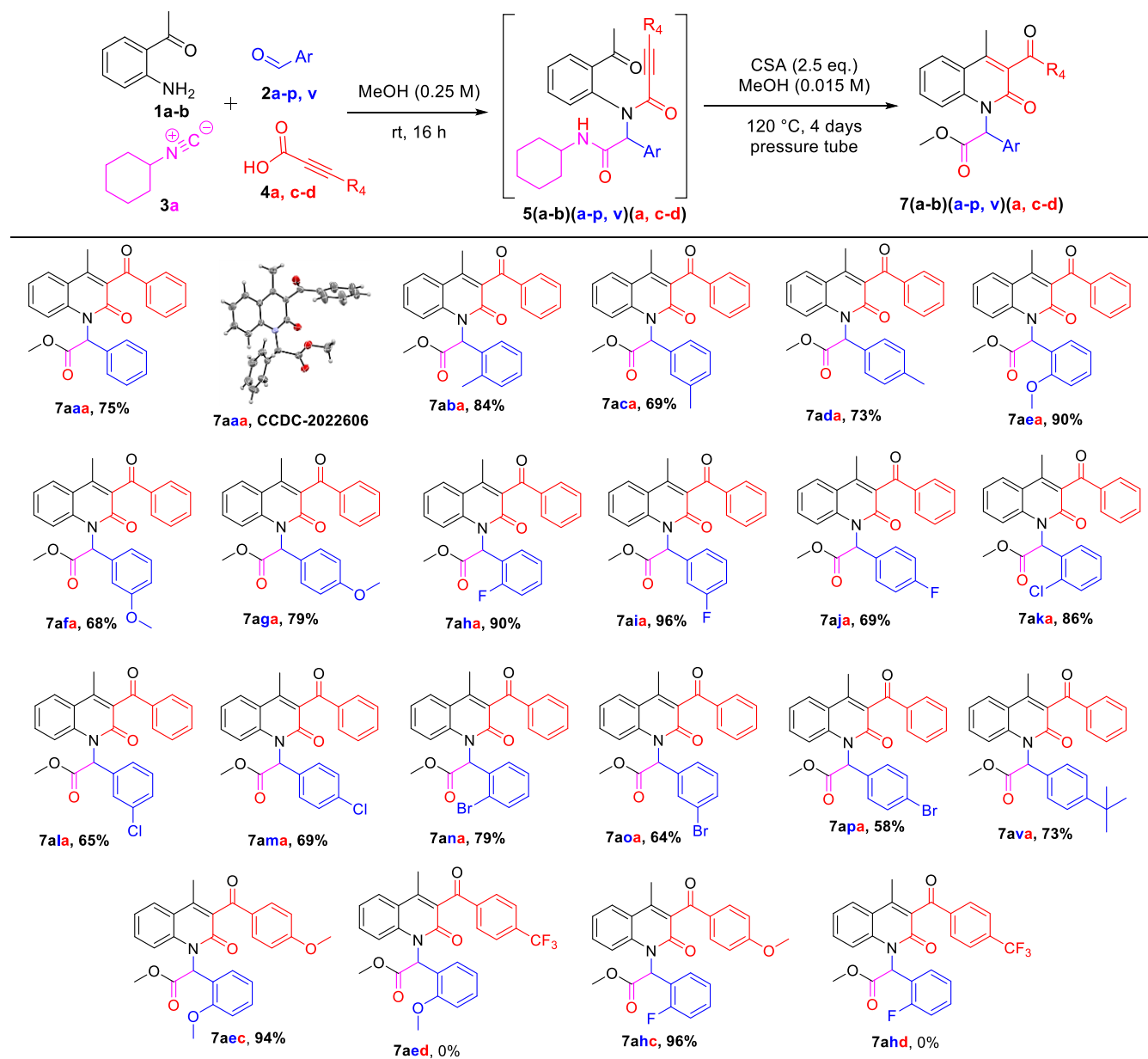


nonpolar aprotic solvent, the charge separation is not very effective. Therefore, the CSA approaches the Ugi adduct through H-bonding, resulting in the conjugate base of CSA formed simultaneously, abstracting the sp^3 acidic proton, stabilizing as enol **II**. Subsequent nucleophilic attack on the carbonyl carbon formed a five-membered indoline ring **III**.^{21,22} Finally, the desired product **6aaa** was produced by the elimination of water and cyclohexyl isocyanate through a six-membered transition state. The water molecule was eliminated

first when $R=CH_3$, resulting in the formation of an exocyclic double bond **6aga-int IV**, which was further confirmed by single-crystal X-ray diffraction analysis (Figure 2). Next, the indole derivative was formed through a six-membered transition state.

In the polar protic solvents, the charge separation of CSA is favored. The dissociated conjugate base of CSA was strongly solvated by methanol through hydrogen bonding, and the protons protonated the carbonyl oxygen **V**, which enhanced

Table 3. One-Pot Synthesis of 2-Quinolone Derivatives via Ugi-4CR

Figure 1. Crystal structure of **6aaa** (CCDC-2052455) and **6baa** (CCDC-2052474).

the electrophilicity of the carbonyl carbon. Subsequent intramolecular nucleophilic addition from the alkyne resulted in the formation of cation, which was neutralized with

methanol **VI**. The protonation of tertiary benzylic alcohol was eliminated as loss of water and the formation of oxonium ion **VII**. The eliminated water attacked the oxonium ion to

Scheme 2. Plausible Mechanism of the Formation of Indole and 2-Quinolone Derivatives

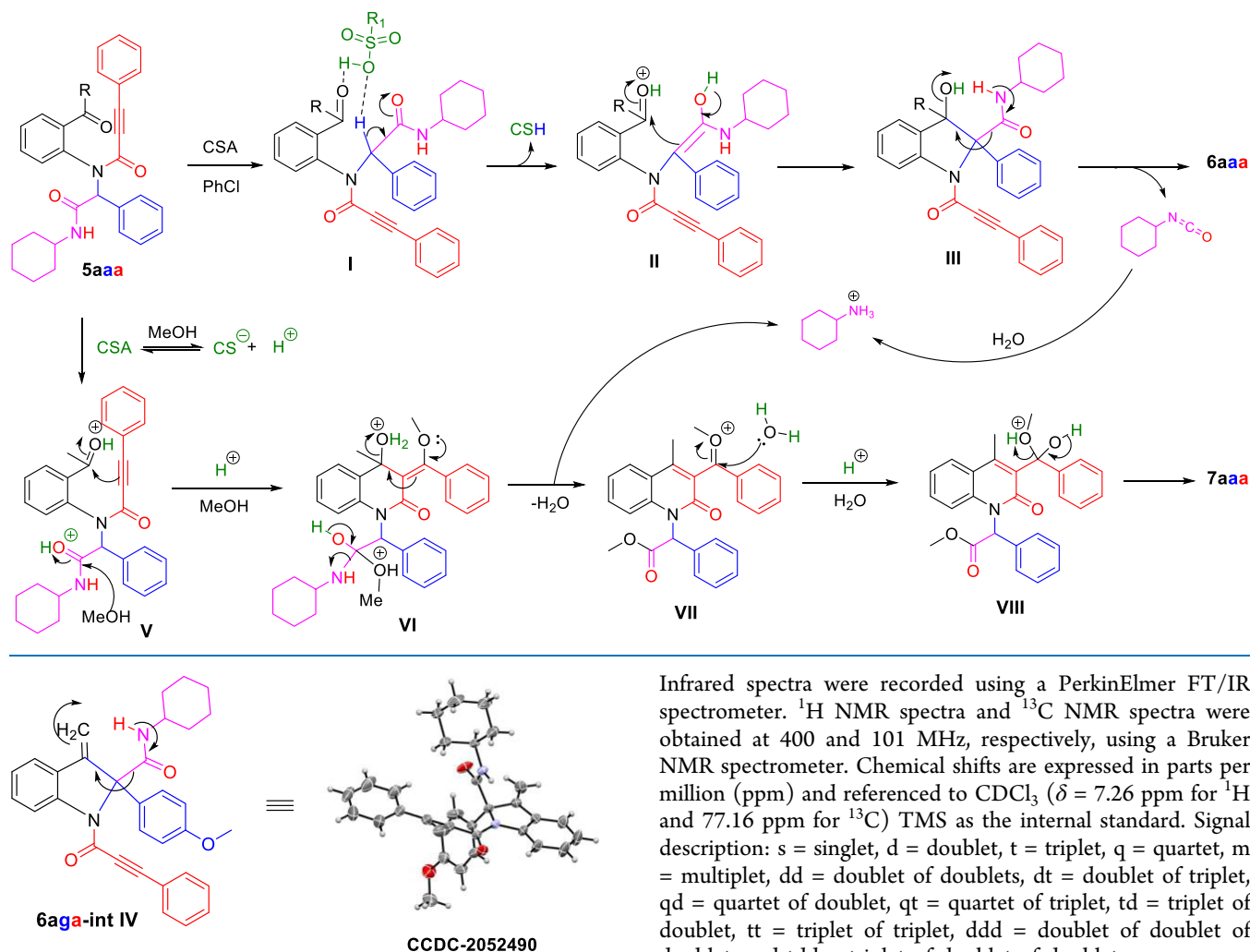


Figure 2. Exocyclic double-bond intermediate **6aaa-int IV** and crystal structure CCDC-2052490.

give the ACM product. Simultaneously, cyclohexyl amide was cleaved by methanolysis through the protonation of carbonyl oxygen, resulting in the formation of the desired product **7aaa**.²³

CONCLUSIONS

In conclusion, we have developed a method to synthesize five- and six-membered nitrogen-containing heterocycles, such as indole and 2-quinolone derivatives. The strategy involved a metal-free, one-pot reaction via an Ugi adduct with camphorsulfonic acid by switching the solvent from readily available starting materials. Moreover, easy workup and purification, air and moisture tolerance, and good to excellent yields were achieved.

EXPERIMENTAL SECTION

General Information. All reagents were commercial and used without further purification unless otherwise indicated. All reactions were monitored by TLC using precoated aluminum sheets of silica gel 60 F₂₅₄, which were analyzed using iodine, UV light, and alkaline KMnO₄. Melting points were recorded in open capillary tubes using a melting point apparatus MP-1D (Fargo Instruments) and are uncorrected.

Infrared spectra were recorded using a PerkinElmer FT/IR spectrometer. ¹H NMR spectra and ¹³C NMR spectra were obtained at 400 and 101 MHz, respectively, using a Bruker NMR spectrometer. Chemical shifts are expressed in parts per million (ppm) and referenced to CDCl₃ ($\delta = 7.26$ ppm for ¹H and 77.16 ppm for ¹³C) TMS as the internal standard. Signal description: s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, dd = doublet of doublets, dt = doublet of triplet, qd = quartet of doublet, qt = quartet of triplet, td = triplet of doublet, tt = triplet of triplet, ddd = doublet of doublet of doublet, and tdd = triplet of doublet of doublet.

Typical Procedure for the Synthesis of 1-(3-Methyl-2-phenyl-1H-indol-1-yl)-3-phenylprop-2-yn-1-one (6aaa). To a stirred solution of 2'-aminoacetophenone (100 mg, 0.740 mmol) and benzaldehyde (118 mg, 1.112 mmol) in EtOH (2.0 mL) was added 3-phenylpropionic acid (119 mg, 0.814 mmol) at room temperature. After 30 min stirring, cyclohexylisocyanide (0.101 mL, 0.814 mmol) was added, and the resulting reaction mixture was stirred for 16 h. TLC showed the formation of Ugi product. Then, the reaction mixture was concentrated and dried well. Then, PhCl (15.0 mL, 0.05 M) and CSA (343 mg, 1.480 mmol) were added and heated to 140 °C for 20 h. After completion of the reaction, the reaction mixture was concentrated and dried well. The crude was purified by silica gel (230–400 mesh) column chromatography (0–10% EtOAc in hexanes) to afford **6aaa**, 230 mg, 93%; yellow solid; mp 148–150 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.55 (dt, $J = 8.4, 0.9$ Hz, 1H), 7.58–7.54 (m, 1H), 7.53–7.49 (m, 2H), 7.49–7.32 (m, 6H), 7.28–7.22 (m, 2H), 7.11–7.05 (m, 2H), 2.19 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 151.9, 136.7, 135.1, 133.0, 132.6, 131.1, 130.9, 130.4, 128.5, 128.3, 128.2, 125.7, 124.4, 119.8, 119.1, 118.9, 116.7, 96.3, 83.7, 9.4; IR (KBr) 3063, 2978, 2923, 2892, 2224, 2202, 1647, 1615, 1594, 1490, 1454, 1444, 1356, 1328, 1190, 1180, 1155, 1070, 953, 921, 817, 758, 746, 702, 684 cm⁻¹; LRMS-ESI (m/z): 358.23 [M + Na]⁺; HRMS (TOF-ES) (m/z): 336.1388 [M + H]⁺ calcd for C₂₄H₁₈NO, found 336.1393.

1-(3-Methyl-2-(*o*-tolyl)-1H-indol-1-yl)-3-phenylprop-2-yn-1-one (**6aba**). Chromatography purification (0–10% EtOAc in hexanes) gave 101 mg, 39%; pale yellow solid; mp 105–107 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.58 (d, *J* = 7.8 Hz, 1H), 7.58–7.53 (m, 1H), 7.45–7.32 (m, 4H), 7.29–7.22 (m, 5H), 7.15–7.07 (m, 2H), 2.23 (s, 3H), 2.03 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 151.7, 139.2, 136.4, 134.5, 133.1, 132.1, 131.8, 131.0, 130.4, 130.1, 129.4, 128.2, 125.8, 125.5, 124.4, 119.9, 118.84, 118.75, 117.3, 95.4, 82.7, 20.3, 9.2; IR (KBr) 3064, 3035, 3019, 2973, 2917, 2860, 2202, 1652, 1490, 1456, 1392, 1359, 1325, 1190, 1069, 952, 819, 749, 688, 630 cm⁻¹; LRMS-ESI (*m/z*): 372.69 [M + Na]⁺; HRMS (TOF-ES) (*m/z*): 350.1545 [M + H]⁺ calcd for C₂₅H₂₀NO, found 350.1546.

1-(3-Methyl-2-(*m*-tolyl)-1H-indol-1-yl)-3-phenylprop-2-yn-1-one (**6aca**). Chromatography purification (0–10% EtOAc in hexanes) gave 223 mg, 86%; pale brown gum; ¹H NMR (400 MHz, CDCl₃) δ 8.57–8.49 (m, 1H), 7.62–7.51 (m, 1H), 7.43 (dd, *J* = 7.3, 1.5 Hz, 1H), 7.40 (dd, *J* = 3.5, 1.6 Hz, 1H), 7.38–7.35 (m, 1H), 7.35–7.30 (m, 3H), 7.29–7.22 (m, 2H), 7.17–7.12 (m, 1H), 7.11–7.07 (m, 2H), 2.37 (s, 3H), 2.19 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 152.0, 137.8, 136.8, 135.3, 132.9, 132.5, 131.7, 130.9, 130.4, 129.3, 128.3, 128.2, 128.1, 125.6, 124.4, 119.9, 118.9, 118.8, 116.7, 96.0, 83.7, 21.5, 9.4; IR (KBr) 3051, 2917, 2857, 2202, 1654, 1613, 1596, 1490, 1474, 1456, 1392, 1356, 1323, 1267, 1201, 1188, 1134, 1070, 954, 825, 792, 783, 752, 702, 752, 702, 688, 631, 543, 535 cm⁻¹; LRMS-ESI (*m/z*): 350.47 [M + H]⁺; HRMS (TOF-ES) (*m/z*): 350.1545 [M + H]⁺ calcd for C₂₅H₂₀NO, found 350.1542.

1-(3-Methyl-2-(*p*-tolyl)-1H-indol-1-yl)-3-phenylprop-2-yn-1-one (**6ada**). Chromatography purification (0–10% EtOAc in hexanes) gave 232 mg, 90%; pale yellow solid; mp 92–94 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.57–8.52 (m, 1H), 7.57–7.52 (m, 1H), 7.42 (dd, *J* = 7.3, 1.5 Hz, 1H), 7.40–7.36 (m, 3H), 7.36–7.32 (m, 1H), 7.28–7.21 (m, 4H), 7.10–7.05 (m, 2H), 2.32 (s, 3H), 2.17 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 151.9, 138.5, 136.7, 135.3, 132.8, 131.2, 131.0, 130.3, 129.6, 129.0, 128.2, 125.5, 124.4, 120.1, 118.8, 118.7, 116.9, 96.1, 83.6, 21.4, 9.4; IR (KBr) 3063, 3040, 2917, 2858, 2212, 2203, 1648, 1608, 1593, 1511, 1489, 1454, 1442, 1390, 1355, 1333, 1310, 1263, 1242, 1190, 1177, 1154, 1137, 1067, 1029, 1017, 950, 829, 760, 750, 691, 647, 618, 534, 506 cm⁻¹; LRMS-ESI (*m/z*): 372.29 [M + Na]⁺; HRMS (TOF-ES) (*m/z*): 350.1545 [M + H]⁺ calcd for C₂₅H₂₀NO, found 350.1547.

1-(2-(2-Methoxyphenyl)-3-methyl-1H-indol-1-yl)-3-phenylprop-2-yn-1-one (**6aea**). Chromatography purification (0–10% EtOAc in hexanes) gave 176 mg, 65%; yellow solid; mp 67–69 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.51 (d, *J* = 8.1 Hz, 1H), 7.57–7.50 (m, 1H), 7.44–7.37 (m, 2H), 7.37–7.30 (m, 3H), 7.24 (t, *J* = 7.4 Hz, 2H), 7.12–7.06 (m, 3H), 6.89 (d, *J* = 8.2 Hz, 1H), 3.77 (s, 3H), 2.15 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 158.6, 152.0, 136.5, 133.0, 132.3, 132.0, 131.0, 130.7, 130.3, 128.6, 128.1, 125.4, 124.0, 121.4, 120.6, 119.9, 118.8, 116.7, 110.7, 93.3, 83.4, 55.6, 9.6; IR (KBr) 3066, 3055, 3033, 2966, 2936, 2915, 2858, 2835, 2202, 1649, 1594, 1490, 1453, 1358, 1328, 1250, 1112, 1025, 952, 755, 749, 688 cm⁻¹; LRMS-ESI (*m/z*): 388.60 [M + Na]⁺; HRMS (TOF-ES) (*m/z*): 366.1494 [M + H]⁺ calcd for C₂₅H₂₀NO₂, found 366.1498.

1-(2-(3-Methoxyphenyl)-3-methyl-1H-indol-1-yl)-3-phenylprop-2-yn-1-one (**6afa**). Chromatography purification (0–10% EtOAc in hexanes) gave 211 mg, 78%; pale brown gum; ¹H NMR (400 MHz, CDCl₃) δ 8.52 (dt, *J* = 8.2, 0.8 Hz, 1H), 7.58–7.52 (m, 1H), 7.43 (dd, *J* = 7.3, 1.4 Hz, 1H), 7.39 (dd, *J*

= 4.0, 1.5 Hz, 1H), 7.38–7.31 (m, 2H), 7.29–7.23 (m, 2H), 7.16–7.11 (m, 2H), 7.08 (ddd, *J* = 7.5, 1.6, 1.0 Hz, 1H), 7.05 (dd, *J* = 2.6, 1.5 Hz, 1H), 6.86 (ddd, *J* = 8.4, 2.7, 1.0 Hz, 1H), 3.78 (s, 3H), 2.20 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 159.5, 151.9, 136.8, 135.0, 134.0, 132.9, 130.8, 130.4, 129.3, 128.2, 125.7, 124.4, 123.8, 120.0, 119.1, 118.9, 116.8, 116.7, 114.2, 95.8, 83.6, 55.4, 9.5; IR (KBr) 3065, 2937, 2834, 2202, 1719, 1654, 1610, 1597, 1575, 1490, 1457, 1429, 1392, 1355, 1325, 1286, 1256, 1217, 1133, 1069, 1049, 955, 821, 788, 753, 722, 698, 687, 635, 559, 535 cm⁻¹; LRMS-ESI (*m/z*): 366.28 [M + H]⁺; HRMS (TOF-ES) (*m/z*): 366.1494 [M + H]⁺ calcd for C₂₅H₂₀NO₂, found 366.1495.

1-(2-(4-Methoxyphenyl)-3-methyl-1H-indol-1-yl)-3-phenylprop-2-yn-1-one (**6aga**). Chromatography purification (0–10% EtOAc in hexanes) gave 203 mg, 75%; pale yellow solid; mp 122–124 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.58–8.50 (m, 1H), 7.58–7.49 (m, 1H), 7.44–7.32 (m, 5H), 7.29–7.22 (m, 2H), 7.15–7.09 (m, 2H), 6.98–6.91 (m, 2H), 3.74 (s, 3H), 2.16 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 159.9, 152.0, 136.6, 135.1, 132.9, 132.6, 130.9, 130.3, 128.2, 125.5, 124.7, 124.4, 120.2, 118.7, 118.6, 116.9, 113.9, 96.3, 83.6, 55.3, 9.4; IR (KBr) 2960, 2193, 1641, 1615, 1598, 1508, 1490, 1444, 1394, 1361, 1325, 1293, 1264, 1246, 1186, 1178, 1133, 1071, 1032, 1021, 951, 838, 788, 755, 719, 685, 626, 531 cm⁻¹; LRMS-ESI (*m/z*): 388.34 [M + Na]⁺; HRMS (TOF-ES) (*m/z*): 366.1494 [M + H]⁺ calcd for C₂₅H₂₀NO₂, found 366.1496.

1-(2-(2-Fluorophenyl)-3-methyl-1H-indol-1-yl)-3-phenylprop-2-yn-1-one (**6aha**). Chromatography purification (0–10% EtOAc in hexanes) gave 185 mg, 71%; pale yellow solid; mp 168–170 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.55 (d, *J* = 8.2 Hz, 1H), 7.59–7.54 (m, 1H), 7.50–7.40 (m, 2H), 7.40–7.30 (m, 3H), 7.29–7.22 (m, 3H), 7.18–7.08 (m, 3H), 2.15 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 161.0 (d, *J*_{C-F} = 248.1 Hz), 151.4, 136.8, 132.9, 132.8 (d, *J*_{C-F} = 2.7 Hz), 130.9 (d, *J*_{C-F} = 8.1 Hz), 130.7, 130.5, 128.9, 128.3, 125.9, 124.4, 124.1 (d, *J*_{C-F} = 3.7 Hz), 120.69 (d, *J*_{C-F} = 15.7 Hz), 120.65, 119.7, 119.0, 116.8, 115.8 (d, *J*_{C-F} = 21.6 Hz), 94.9, 83.1, 9.4; IR (KBr) 3082, 3063, 3036, 2923, 2854, 2203, 1647, 1490, 1453, 1393, 1356, 1325, 1219, 1102, 1070, 952, 801, 757, 751, 685, cm⁻¹; LRMS-ESI (*m/z*): 376.68 [M + Na]⁺; HRMS (TOF-ES) (*m/z*): 354.1294 [M + H]⁺ calcd for C₂₄H₁₇FNO, found 354.1292.

1-(2-(3-Fluorophenyl)-3-methyl-1H-indol-1-yl)-3-phenylprop-2-yn-1-one (**6aia**). Chromatography purification (0–10% EtOAc in hexanes) gave 189 mg, 72%; pale yellow solid; mp 80–82 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.55–8.50 (m, 1H), 7.56 (ddd, *J* = 7.5, 1.5, 0.7 Hz, 1H), 7.47–7.43 (m, 1H), 7.42–7.35 (m, 3H), 7.31–7.25 (m, 3H), 7.23 (ddd, *J* = 9.4, 2.6, 1.5 Hz, 1H), 7.18–7.12 (m, 2H), 7.01 (tdd, *J* = 8.5, 2.6, 1.1 Hz, 1H), 2.19 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 162.6 (d, *J*_{C-F} = 246.7 Hz), 151.6, 136.8, 134.8 (d, *J*_{C-F} = 8.4 Hz), 133.7 (d, *J*_{C-F} = 2.2 Hz), 132.7, 130.7, 130.6, 129.9, 129.8, 128.4, 127.0 (d, *J*_{C-F} = 3.0 Hz), 126.0, 124.6, 119.8, 119.7, 119.1, 117.9 (d, *J*_{C-F} = 21.8 Hz), 116.7, 115.5 (d, *J*_{C-F} = 20.9 Hz), 96.1, 83.6, 9.4; IR (KBr) 6065, 2204, 1655, 1615, 1596, 1584, 1570, 1490, 1456, 1442, 1389, 1352, 1323, 1262, 1254, 1199, 1186, 1150, 1130, 1076, 1066, 954, 914, 796, 754, 670, 687, 534, 470 cm⁻¹; LRMS-ESI (*m/z*): 354.20 [M + H]⁺; HRMS (TOF-ES) (*m/z*): 354.1294 [M + H]⁺ calcd for C₂₄H₁₇FNO, found 354.1296.

1-(2-(4-Fluorophenyl)-3-methyl-1H-indol-1-yl)-3-phenylprop-2-yn-1-one (**6aja**). Chromatography purification (0–10% EtOAc in hexanes) gave 210 mg, 80%; pale yellow solid;

mp 154–156 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.54 (dt, J = 8.2, 0.9 Hz, 1H), 7.58–7.53 (m, 1H), 7.50–7.44 (m, 2H), 7.43–7.34 (m, 3H), 7.32–7.26 (m, 2H), 7.16–7.09 (m, 4H), 2.16 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 162.9 (d, $J_{\text{C-F}}$ = 248.6 Hz), 151.7, 136.6, 134.0, 133.0 (d, $J_{\text{C-F}}$ = 8.2 Hz), 132.7, 130.7, 130.6, 128.6 (d, $J_{\text{C-F}}$ = 3.5 Hz), 128.4, 125.8, 124.5, 119.7, 119.4, 118.9, 116.8, 115.4 (d, $J_{\text{C-F}}$ = 21.7 Hz), 96.5, 83.5, 9.4; IR (KBr) 3063, 2214, 2202, 1647, 1560, 1588, 1508, 1489, 1453, 1350, 1326, 1219, 1188, 1156, 1135, 1066, 952, 841, 797, 757, 688, 647, 617, 534, 515 cm^{-1} ; LRMS-ESI (m/z): 376.10 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 354.1294 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{24}\text{H}_{17}\text{FNO}$, found 354.1298.

1-(2-(2-Chlorophenyl)-3-methyl-1H-indol-1-yl)-3-phenylprop-2-yn-1-one (6aka). Chromatography purification (0–10% EtOAc in hexanes) gave 98 mg, 36%; yellow solid; mp 149–151 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.62–8.57 (m, 1H), 7.63–7.57 (m, 1H), 7.52–7.43 (m, 3H), 7.43–7.34 (m, 3H), 7.32–7.26 (m, 3H), 7.24–7.17 (m, 2H), 2.11 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 151.3, 136.4, 136.1, 133.1, 132.8, 132.0, 131.9, 130.7, 130.44, 130.41, 129.7, 128.2, 126.7, 125.9, 124.4, 120.2, 119.8, 119.0, 117.0, 94.8, 83.0, 9.3; IR (KBr) 3062, 2957, 2923, 2854, 2200, 1656, 1490, 1452, 1392, 1357, 1325, 1194, 1140, 1075, 952, 816, 751, 688, 629 cm^{-1} ; LRMS-ESI (m/z): 392.61 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 370.0999 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{24}\text{H}_{17}\text{ClNO}$, found 370.1002.

1-(2-(3-Chlorophenyl)-3-methyl-1H-indol-1-yl)-3-phenylprop-2-yn-1-one (6ala). Chromatography purification (0–10% EtOAc in hexanes) gave 206 mg, 75%; yellow solid; mp 85–87 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.52 (d, J = 8.1 Hz, 1H), 7.59–7.54 (m, 1H), 7.52 (s, 1H), 7.47–7.41 (m, 1H), 7.41–7.33 (m, 4H), 7.32–7.23 (m, 3H), 7.19–7.11 (m, 2H), 2.19 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 151.6, 136.8, 134.6, 134.3, 133.5, 132.8, 130.8, 130.6, 129.6, 129.4, 128.6, 128.4, 126.1, 124.6, 119.9, 119.6, 119.1, 116.7, 96.3, 83.6, 9.5; IR (KBr) 3062, 3052, 3035, 2921, 2855, 2203, 1656, 1490, 1453, 1390, 1355, 1322, 1192, 1069, 953, 819, 752, 688 cm^{-1} ; LRMS-ESI (m/z): 392.53 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 370.0999 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{24}\text{H}_{17}\text{ClNO}$, found 370.0999.

1-(2-(4-Chlorophenyl)-3-methyl-1H-indol-1-yl)-3-phenylprop-2-yn-1-one (6ama). Chromatography purification (0–10% EtOAc in hexanes) gave 224 mg, 82%; pale yellow solid; mp 128–130 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.55 (dt, J = 8.4, 0.9 Hz, 1H), 7.59–7.51 (m, 1H), 7.48–7.35 (m, 7H), 7.33–7.28 (m, 2H), 7.14–7.08 (m, 2H), 2.17 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 151.6, 136.7, 134.8, 133.8, 132.7, 132.5, 131.1, 130.7, 130.6, 128.6, 128.5, 125.9, 124.6, 119.6, 119.6, 119.0, 116.9, 96.6, 83.6, 9.4; IR (KBr) 3068, 3051, 2920, 2204, 1649, 1590, 1574, 1489, 1451, 1442, 1387, 1352, 1325, 1305, 1262, 1243, 1189, 1154, 1154, 1138, 1090, 1066, 1028, 1009, 948, 839, 827, 753, 724, 716, 685, 534, 506 cm^{-1} ; LRMS-ESI (m/z): 392.23 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 370.0999 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{24}\text{H}_{17}\text{ClNO}$, found 370.1000.

1-(2-(2-Bromophenyl)-3-methyl-1H-indol-1-yl)-3-phenylprop-2-yn-1-one (6ana). Chromatography purification (0–10% EtOAc in hexanes) gave 117 mg, 38%; brown solid; mp 129–131 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.57 (d, J = 8.1 Hz, 1H), 7.62 (d, J = 8.1 Hz, 1H), 7.59–7.55 (m, 1H), 7.48–7.41 (m, 2H), 7.41–7.34 (m, 3H), 7.30–7.27 (m, 1H), 7.26–7.24 (m, 1H), 7.22–7.12 (m, 3H), 2.07 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 151.2, 136.3, 134.1, 133.6, 133.3, 132.83,

132.81, 130.7, 130.6, 130.4, 128.2, 127.3, 126.6, 125.9, 124.5, 120.0, 119.9, 119.1, 117.2, 94.9, 83.1, 9.3; IR (KBr) 3062, 3055, 3033, 3018, 2919, 2854, 2200, 1654, 1490, 1452, 1392, 1359, 1325, 1192, 1159, 1139, 1072, 1020, 952, 816, 750, 688, 628 cm^{-1} ; LRMS-ESI (m/z): 436.70 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 414.0494 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{24}\text{H}_{17}\text{BrNO}$, found 414.0497.

1-(2-(3-Bromophenyl)-3-methyl-1H-indol-1-yl)-3-phenylprop-2-yn-1-one (6aoa). Chromatography purification (0–10% EtOAc in hexanes) gave 214 mg, 70%; brown solid; mp 109–111 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.55–8.49 (m, 1H), 7.68 (t, J = 1.8 Hz, 1H), 7.56 (dd, J = 7.1, 1.5 Hz, 1H), 7.45–7.36 (m, 5H), 7.32–7.27 (m, 3H), 7.19–7.14 (m, 2H), 2.19 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 151.6, 136.8, 134.8, 133.6, 133.4, 132.8, 131.5, 130.64, 130.6, 129.84, 129.82, 128.4, 126.1, 124.6, 122.4, 120.0, 119.6, 119.1, 116.7, 96.4, 83.6, 9.5; IR (KBr) 3064, 3056, 3022, 2926, 2855, 2203, 1719, 1656, 1559, 1453, 1390, 1355, 1322, 1192, 1158, 1138, 1069, 953, 818, 754, 720, 688 cm^{-1} ; LRMS-ESI (m/z): 436.53 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 414.0494 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{24}\text{H}_{17}\text{BrNO}$, found 414.0493.

1-(2-(4-Bromophenyl)-3-methyl-1H-indol-1-yl)-3-phenylprop-2-yn-1-one (6apa). Chromatography purification (0–10% EtOAc in hexanes) gave 255 mg, 83%; yellow solid; mp 88–90 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.54 (dt, J = 8.2, 0.9 Hz, 1H), 7.61–7.53 (m, 3H), 7.46–7.35 (m, 5H), 7.34–7.28 (m, 2H), 7.13–7.07 (m, 2H), 2.16 (d, J = 0.8 Hz, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 151.6, 136.8, 133.8, 132.8, 131.6, 131.5, 130.7, 130.6, 128.5, 126.0, 124.6, 123.2, 119.6, 119.0, 117.0, 96.6, 83.6, 9.4; IR (KBr) 3079, 3057, 2203, 1656, 1603, 1489, 1453, 1442, 1389, 1367, 1359, 1334, 1325, 1190, 1152, 1136, 1070, 1007, 950, 832, 758, 749, 706, 687, 534, 505 cm^{-1} ; LRMS-ESI (m/z): 436.25 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 414.0494 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{24}\text{H}_{17}\text{BrNO}$, found 414.0496.

3-(3-Methyl-1-(3-phenylpropionyl)-1H-indol-2-yl)-benzonitrile (6ara). Chromatography purification (0–10% EtOAc in hexanes) gave 158 mg, 59%; yellow solid; mp 164–166 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.53 (d, J = 8.1 Hz, 1H), 7.81 (s, 1H), 7.71 (dt, J = 7.0, 1.8 Hz, 1H), 7.61–7.49 (m, 3H), 7.48–7.36 (m, 3H), 7.31 (t, J = 7.6 Hz, 2H), 7.15 (d, J = 7.6 Hz, 2H), 2.18 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 151.1, 136.8, 135.3, 134.4, 134.0, 132.53, 132.5, 131.8, 130.9, 130.5, 129.2, 128.6, 126.4, 124.7, 120.7, 119.35, 119.3, 118.4, 116.6, 112.7, 96.4, 83.8, 9.4; IR (KBr) 3066, 3037, 2920, 2860, 2230, 2203, 1657, 1490, 1455, 1392, 1356, 1326, 1201, 1133, 1070, 956, 824, 754, 689, 634 cm^{-1} ; LRMS-ESI (m/z): 361.59 $[\text{M} + \text{H}]^+$; HRMS (TOF-ES) (m/z): 361.1341 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{25}\text{H}_{17}\text{N}_2\text{O}$, found 361.1342.

4-(3-Methyl-1-(3-phenylpropionyl)-1H-indol-2-yl)-benzonitrile (6asa). Chromatography purification (0–10% EtOAc in hexanes) gave 149 mg, 56%; yellow solid; mp 158–160 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.52 (d, J = 7.9 Hz, 1H), 7.74–7.68 (m, 2H), 7.64–7.59 (m, 2H), 7.59–7.55 (m, 1H), 7.49–7.36 (m, 3H), 7.36–7.28 (m, 2H), 7.14–7.04 (m, 2H), 2.19 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 151.2, 137.7, 136.9, 133.0, 132.4, 131.9, 131.5, 131.1, 130.6, 128.6, 126.5, 124.7, 120.9, 119.3, 119.2, 118.5, 116.6, 111.9, 96.4, 83.8, 9.5; IR (KBr) 3052, 2969, 2922, 2854, 2225, 2203, 1719, 1654, 1606, 1489, 1453, 1352, 1324, 1191, 1136, 1068, 950, 838, 752, 686, 613 555 cm^{-1} ; LRMS-ESI (m/z): 361.57 $[\text{M} + \text{H}]^+$; HRMS (TOF-ES) (m/z): 361.1341 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{25}\text{H}_{17}\text{N}_2\text{O}$, found 361.1341.

1-(2-(3,5-Dimethylphenyl)-3-methyl-1H-indol-1-yl)-3-phenylprop-2-yn-1-one (**6ata**). Chromatography purification (0–10% EtOAc in hexanes) gave 249 mg, 93%; yellow solid; mp 89–91 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.51 (dt, *J* = 8.2, 0.8 Hz, 1H), 7.57–7.51 (m, 1H), 7.44–7.33 (m, 3H), 7.28–7.22 (m, 2H), 7.11–7.09 (m, 3H), 7.09–7.07 (m, 1H), 6.94–6.91 (m, 1H), 2.31 (s, 3H), 2.31 (s, 3H), 2.18 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 152.1, 137.7, 136.8, 135.6, 132.8, 132.4, 131.0, 130.3, 130.28, 128.9, 128.1, 125.5, 124.4, 120.1, 118.8, 118.7, 116.7, 95.8, 83.6, 21.4, 9.5; IR (KBr) 3043, 2914, 2206, 1646, 1611, 1595, 1491, 1455, 1394, 1362, 1350, 1335, 1328, 1216, 1191, 1153, 1138, 1079, 958, 914, 857, 772, 753, 743, 685, 651, 541, 532 cm⁻¹; LRMS-ESI (*m/z*): 386.30 [M + Na]⁺; HRMS (TOF-ES) (*m/z*): 364.1701 [M + H]⁺ calcd for C₂₆H₂₂NO, found 364.1703.

1-(2-(3,5-Dimethoxyphenyl)-3-methyl-1H-indol-1-yl)-3-phenylprop-2-yn-1-one (**6aua**). Chromatography purification (0–10% EtOAc in hexanes) gave 277 mg, 95%; yellow gum; ¹H NMR (400 MHz, CDCl₃) δ 8.50 (d, *J* = 8.2 Hz, 1H), 7.54 (dd, *J* = 7.0, 1.6 Hz, 1H), 7.41 (td, *J* = 8.1, 1.6 Hz, 1H), 7.36 (td, *J* = 7.2, 1.4 Hz, 2H), 7.30–7.23 (m, 2H), 7.22–7.15 (m, 2H), 6.63 (d, *J* = 2.3 Hz, 2H), 6.37 (t, *J* = 2.3 Hz, 1H), 3.75 (s, 6H), 2.20 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 160.6, 152.0, 136.7, 135.0, 134.5, 132.8, 130.8, 130.4, 128.2, 125.7, 124.5, 120.0, 119.0, 118.96, 116.7, 109.4, 100.8, 95.4, 83.5, 55.6, 9.5; IR (KBr) 3056, 3001, 2956, 2933, 2842, 2204, 1654, 1591, 1490, 1456, 1423, 1392, 1355, 1322, 1223, 1205, 1156, 1132, 1077, 1065, 958, 851, 755, 688, 535 cm⁻¹; LRMS-ESI (*m/z*): 418.48 [M + Na]⁺; HRMS (TOF-ES) (*m/z*): 396.1600 [M + H]⁺ calcd for C₂₆H₂₂NO₃, found 396.1601.

1-(2-(4-(tert-Butyl)phenyl)-3-methyl-1H-indol-1-yl)-3-phenylprop-2-yn-1-one (**6ava**). Chromatography purification (0–10% EtOAc in hexanes) gave 269 mg, 93%; white solid; mp 166–168 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.53 (ddd, *J* = 8.1, 1.4, 0.7 Hz, 1H), 7.55 (ddd, *J* = 7.2, 1.7, 0.7 Hz, 1H), 7.45–7.43 (m, 4H), 7.40 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.38 (dd, *J* = 7.3, 1.4 Hz, 1H), 7.36–7.30 (m, 1H), 7.24–7.19 (m, 2H), 7.09–7.05 (m, 2H), 2.19 (s, 3H), 1.24 (s, 9H); ¹³C NMR (101 MHz, CDCl₃) δ 152.1, 151.2, 136.8, 135.3, 132.8, 131.0, 130.8, 130.3, 129.5, 128.2, 125.5, 125.3, 124.4, 120.0, 118.9, 118.8, 116.7, 96.0, 83.6, 34.7, 31.3, 9.5; IR (KBr) 3063, 2962, 2864, 2204, 1653, 1622, 1600, 1490, 1474, 1454, 1393, 1359, 1334, 1323, 1266, 1246, 1186, 1158, 1136, 1069, 1029, 844, 831, 759, 723, 709, 722, 690, 648, 635, 614, 558, 537 cm⁻¹; LRMS-ESI (*m/z*): 414.33 [M + Na]⁺; HRMS (TOF-ES) (*m/z*): 392.2014 [M + H]⁺ calcd for C₂₈H₂₆NO, found 392.2017.

1-(3-Methyl-2-(4-(trifluoromethyl)phenyl)-1H-indol-1-yl)-3-phenylprop-2-yn-1-one (**6awa**). Chromatography purification (0–10% EtOAc in hexanes) gave 138 mg, 46%; white solid; mp 142–144 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.55 (dt, *J* = 8.3, 0.9 Hz, 1H), 7.70 (d, *J* = 8.1 Hz, 2H), 7.63 (d, *J* = 7.7 Hz, 2H), 7.57 (ddd, *J* = 7.5, 1.5, 0.7 Hz, 1H), 7.45 (ddd, *J* = 8.3, 7.3, 1.5 Hz, 1H), 7.42–7.34 (m, 2H), 7.29–7.21 (m, 2H), 7.05–6.95 (m, 2H), 2.18 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 151.4, 136.9, 136.6, 133.5, 132.6, 131.4, 130.8, 130.6, 130.3 (q, *J*_{C-F} = 32.4 Hz), 128.4, 126.3, 125.2 (q, *J*_{C-F} = 3.7 Hz), 124.7, 124.1 (q, *J*_{C-F} = 272.3 Hz), 120.4, 119.3, 119.2, 116.9, 96.6, 83.6, 9.5; IR (KBr) 2978, 2893, 2194, 1646, 1619, 1597, 1492, 1456, 1445, 1396, 1362, 1320, 1267, 1248, 1192, 1188, 1169, 1156, 1136, 1122, 1108, 1065, 1025, 1014, 952, 866, 846, 840, 751, 692, 687, 636, 535 cm⁻¹; LRMS-ESI (*m/z*): 426.26 [M + Na]⁺; HRMS (TOF-ES) (*m/z*): 404.1262 [M + H]⁺ calcd for C₂₃H₁₇F₃NO, found 404.1266.

1-(2-([1,1'-Biphenyl]-4-yl)-3-methyl-1H-indol-1-yl)-3-phenylprop-2-yn-1-one (**6axa**). Chromatography purification (0–10% EtOAc in hexanes) gave 285 mg, 94%; pale yellow solid; mp 141–143 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.60–8.53 (m, 1H), 7.69–7.63 (m, 2H), 7.60–7.55 (m, 3H), 7.55–7.50 (m, 2H), 7.49–7.43 (m, 3H), 7.42–7.36 (m, 2H), 7.30–7.23 (m, 1H), 7.15–7.08 (m, 2H), 7.06–7.00 (m, 2H), 2.23 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 151.9, 141.5, 140.6, 136.8, 134.8, 132.8, 131.7, 131.5, 130.9, 130.3, 128.9, 128.3, 127.7, 127.3, 127.0, 125.8, 124.5, 119.8, 119.3, 118.9, 117.0, 96.5, 83.7, 9.6; IR (KBr) 3061, 3028, 2915, 2196, 1644, 1594, 1580, 1490, 1482, 1454, 1430, 1393, 1360, 1325, 1187, 1178, 1132, 1070, 1008, 948, 922, 865, 839, 812, 752, 696, 689, 648, 632, 535 cm⁻¹; LRMS-ESI (*m/z*): 434.17 [M + Na]⁺; HRMS (TOF-ES) (*m/z*): 412.1701 [M + H]⁺ calcd for C₃₀H₂₂NO, found 412.1703.

1-(3-Methyl-2-(naphthalen-2-yl)-1H-indol-1-yl)-3-phenylprop-2-yn-1-one (**6aya**). Chromatography purification (0–10% EtOAc in hexanes) gave 255 mg, 89%; pale yellow solid; mp 129–131 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.59 (dt, *J* = 8.3, 0.8 Hz, 1H), 8.03–7.98 (m, 1H), 7.94–7.88 (m, 1H), 7.85 (d, *J* = 8.4 Hz, 1H), 7.84–7.79 (m, 1H), 7.61–7.55 (m, 2H), 7.55–7.50 (m, 2H), 7.47–7.42 (m, 1H), 7.40 (td, *J* = 7.4, 1.3 Hz, 1H), 7.19–7.12 (m, 1H), 6.96–6.87 (m, 2H), 6.53–6.44 (m, 2H), 2.23 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 151.9, 136.9, 135.1, 133.4, 133.2, 132.5, 131.0, 130.2, 130.11, 130.08, 128.9, 128.3, 128.0, 127.8, 127.7, 126.8, 126.6, 125.8, 124.5, 119.5, 119.4, 119.0, 117.0, 96.2, 83.6, 9.5; IR (KBr) 3053, 3034, 2201, 1653, 1594, 1489, 1473, 1453, 1444, 1382, 1356, 1344, 1321, 1307, 1268, 1228, 1195, 1190, 1069, 1018, 960, 944, 905, 826, 810, 792, 759, 750, 718, 685, 543, 539 cm⁻¹; LRMS-ESI (*m/z*): 408.16 [M + Na]⁺; HRMS (TOF-ES) (*m/z*): 386.1545 [M + H]⁺ calcd for C₂₈H₂₀NO, found 386.1544.

3-(4-(tert-Butyl)phenyl)-1-(3-methyl-2-phenyl-1H-indol-1-yl)prop-2-yn-1-one (**6aab**). Chromatography purification (0–10% EtOAc in hexanes) gave 263 mg, 91%; pale yellow solid; mp 55–57 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.57–8.51 (m, 1H), 7.57–7.53 (m, 1H), 7.53–7.45 (m, 4H), 7.44–7.34 (m, 3H), 7.30–7.24 (m, 2H), 7.05–6.98 (m, 2H), 2.19 (s, 3H), 1.29 (s, 9H); ¹³C NMR (101 MHz, CDCl₃) δ 154.2, 152.1, 136.8, 135.2, 133.1, 132.7, 131.1, 130.9, 128.5, 128.3, 125.6, 125.3, 124.3, 119.0, 118.9, 116.8, 116.7, 97.0, 83.5, 35.1, 31.2, 9.5; IR (KBr) 3438, 3053, 2963, 2866, 2199, 1654, 1602, 1506, 1455, 1392, 1355, 1325, 1268, 1187, 1157, 1138, 1106, 1069, 1016, 952, 837, 816, 748, 699, 564 cm⁻¹; LRMS-ESI (*m/z*): 414.30 [M + Na]⁺; HRMS (TOF-ES) (*m/z*): 392.2014 [M + H]⁺ calcd for C₂₈H₂₆NO, found 392.2018.

1-(3-Methyl-2-phenyl-1H-indol-1-yl)-3-(4-(trifluoromethyl)phenyl)prop-2-yn-1-one (**6aad**). Chromatography purification (0–10% EtOAc in hexanes) gave 280 mg, 94%; pale yellow solid; mp 131–133 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.55–8.50 (m, 1H), 7.59–7.53 (m, 1H), 7.53–7.48 (m, 4H), 7.48–7.31 (m, 5H), 7.16 (d, *J* = 8.1 Hz, 2H), 2.17 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 151.4, 136.7, 134.9, 133.1, 132.6, 131.9 (q, *J*_{C-F} = 32.9 Hz), 131.3, 130.9, 128.7, 128.4, 125.9, 125.1 (d, *J*_{C-F} = 3.8 Hz), 124.7, 123.7 (q, *J*_{C-F} = 272.5 Hz), 122.3, 119.6, 119.0, 116.9, 94.0, 84.9, 9.4; IR (KBr) 3055, 2974, 2944, 2920, 2223, 2204, 1655, 1616, 1596, 1476, 1455, 1443, 1395, 1363, 1336, 1319, 1268, 1246, 1186, 1169, 1159, 1121, 1105, 1064, 1015, 952, 925, 839, 823, 753, 739, 704, 653, 645, 596, 527 cm⁻¹; LRMS-ESI (*m/z*): 426.31 [M + Na]⁺; HRMS (TOF-ES) (*m/z*): 404.1262 [M + H]⁺ calcd for C₂₃H₁₇F₃NO, found 404.1261.

1-(3-Methyl-2-phenyl-1H-indol-1-yl)but-2-yn-1-one (6aae). Chromatography purification (0–10% EtOAc in hexanes) gave 152 mg, 75%; yellow gum; ^1H NMR (400 MHz, CDCl_3) δ 8.52–8.47 (m, 1H), 7.55–7.51 (m, 1H), 7.50–7.47 (m, 1H), 7.46–7.42 (m, 3H), 7.41–7.32 (m, 3H), 2.14 (s, 3H), 1.50 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 151.7, 136.6, 135.3, 133.0, 131.2, 130.7, 128.4, 128.0, 125.5, 124.3, 118.78, 118.75, 116.9, 95.4, 75.5, 9.3, 4.2; IR (KBr) 3053, 3032, 2917, 2860, 2228, 1656, 1617, 1597, 1495, 1474, 1455, 1393, 1355, 1323, 1254, 1216, 1205, 1176, 1156, 1073, 1030, 871, 852, 748, 727, 700, 538 cm^{-1} ; LRMS-ESI (m/z): 274.18 $[\text{M} + \text{H}]^+$; HRMS (TOF-ES) (m/z): 274.1232 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{19}\text{H}_{16}\text{NO}$, found 274.1233.

(3-Methyl-2-phenyl-1H-indol-1-yl)(phenyl)methanone (6aaf). Chromatography purification (0–10% EtOAc in hexanes) gave 74 mg, 32%; pale yellow solid; mp 114–116 $^\circ\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 7.70–7.66 (m, 1H), 7.63–7.60 (m, 1H), 7.59–7.55 (m, 2H), 7.40–7.34 (m, 1H), 7.31 (qd, $J = 7.2, 1.4$ Hz, 2H), 7.27–7.17 (m, 6H), 7.16–7.09 (m, 1H), 2.32 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 170.0, 137.3, 136.5, 135.8, 132.8, 132.4, 130.7, 130.1, 130.0, 128.2, 128.1, 127.4, 124.6, 123.0, 119.1, 116.8, 114.3, 9.6; IR (KBr) 3062, 3030, 2917, 2860, 1675, 1600, 1578, 1493, 1426, 1452, 1393, 1351, 1330, 1223, 1188, 1174, 1150, 1051, 1025, 883, 856, 843, 787, 762, 754, 747, 721, 696, 662, 527, 491 cm^{-1} ; LRMS-ESI (m/z): 312.33 $[\text{M} + \text{H}]^+$; HRMS (TOF-ES) (m/z): 312.1388 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{22}\text{H}_{18}\text{NO}$, found 312.1386.

(2-Iodophenyl)(3-methyl-2-phenyl-1H-indol-1-yl)methanone (6aag). Chromatography purification (0–10% EtOAc in hexanes) gave 140 mg, 43%; colorless gum; ^1H NMR (400 MHz, CDCl_3) δ 8.20–8.10 (m, 1H), 7.63–7.53 (m, 2H), 7.44–7.33 (m, 2H), 7.22–7.12 (m, 4H), 7.11–7.03 (m, 3H), 6.82 (ddd, $J = 8.0, 6.0, 3.2$ Hz, 1H), 2.17 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 169.5, 141.5, 139.6, 137.2, 135.5, 132.4, 131.3, 130.9, 130.5, 130.3, 127.9, 127.6, 127.5, 125.4, 123.9, 119.0, 118.8, 115.6, 94.5, 9.4; IR (KBr) 3054, 1682, 1494, 1454, 1427, 1354, 1321, 1221, 1183, 1060, 1032, 1016, 885, 749, 700, 673, 638, 530 cm^{-1} ; LRMS-ESI (m/z): 438.06 $[\text{M} + \text{H}]^+$; HRMS (TOF-ES) (m/z): 438.0355 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{22}\text{H}_{17}\text{INO}$, found 438.0358.

(2-Chlorophenyl)(3-methyl-2-phenyl-1H-indol-1-yl)methanone (6aah). Chromatography purification (0–10% EtOAc in hexanes) gave 105 mg, 41%; white solid; mp 90–92 $^\circ\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 8.23–8.17 (m, 1H), 7.62–7.55 (m, 1H), 7.43–7.34 (m, 2H), 7.19–7.11 (m, 5H), 7.11–7.04 (m, 3H), 7.01 (ddd, $J = 7.5, 6.7, 1.9$ Hz, 1H), 2.17 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 167.4, 136.9, 136.2, 135.6, 132.2, 132.1, 131.4, 131.0, 130.4, 130.2, 129.8, 127.8, 127.6, 126.4, 125.4, 123.9, 119.0, 118.5, 115.5, 9.4; IR (KBr) 3055, 3029, 2912, 2859, 1687, 1592, 1494, 1474, 1454, 1441, 1437, 1392, 1355, 1327, 1222, 1187, 1158, 1122, 1068, 1042, 1030, 887, 767, 762, 752, 739, 730, 700, 647, 490, 474 cm^{-1} ; LRMS-ESI (m/z): 346.12 $[\text{M} + \text{H}]^+$; HRMS (TOF-ES) (m/z): 346.0999 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{22}\text{H}_{17}\text{ClNO}$, found 346.1000.

1-(3-Methyl-2-phenyl-1H-indol-1-yl)ethan-1-one (6aai). Chromatography purification (0–10% EtOAc in hexanes) gave 44 mg, 24%; white solid; mp 80–82 $^\circ\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 8.45–8.40 (m, 1H), 7.53 (ddd, $J = 7.5, 1.5, 0.7$ Hz, 1H), 7.52–7.47 (m, 2H), 7.47–7.42 (m, 1H), 7.42–7.36 (m, 3H), 7.33 (td, $J = 7.4, 1.2$ Hz, 1H), 2.15 (s, 3H), 1.96 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 171.2, 136.9, 135.1, 133.8, 130.4, 128.9, 128.6, 125.5, 123.6, 118.7, 118.3, 116.5,

27.8, 9.4; IR (KBr) 3055, 3028, 2918, 2860, 1697, 1450, 1392, 1364, 1348, 1315, 1304, 1198, 1165, 1155, 1072, 1023, 936, 921, 799, 750, 705, 670, 655, 610, 570, 559 cm^{-1} ; LRMS-ESI (m/z): 250.09 $[\text{M} + \text{H}]^+$; HRMS (TOF-ES) (m/z): 250.1232 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{17}\text{H}_{16}\text{NO}$, found 250.1234.

1-(2,3-Diphenyl-1H-indol-1-yl)-3-phenylprop-2-yn-1-one (6baa). Chromatography purification (0–10% EtOAc in hexanes) gave 250 mg, 85%; yellow solid; mp 141–143 $^\circ\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 8.60 (dt, $J = 8.3, 1.0$ Hz, 1H), 7.59 (dt, $J = 7.8, 1.0$ Hz, 1H), 7.49–7.40 (m, 3H), 7.38–7.26 (m, 8H), 7.26–7.21 (m, 4H), 7.11–7.05 (m, 2H); ^{13}C NMR (101 MHz, CDCl_3) δ 152.3, 136.8, 135.3, 133.1, 132.9, 132.0, 131.7, 130.6, 130.2, 129.9, 128.7, 128.5, 128.4, 128.2, 127.2, 125.9, 124.7, 124.2, 119.9, 119.7, 116.7, 97.2, 83.6; IR (KBr) 3047, 2215, 1653, 1607, 1601, 1573, 1489, 1453, 1442, 1383, 1353, 1329, 1312, 1235, 1158, 1153, 1124, 1103, 1072, 1029, 983, 940, 936, 842, 824, 781, 757, 753, 737, 706, 698, 693, 689, 614, 591, 529 cm^{-1} ; LRMS-ESI (m/z): 420.15 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 398.1545 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{29}\text{H}_{20}\text{NO}$, found 398.1548.

3-Phenyl-1-(3-phenyl-2-(*m*-tolyl)-1H-indol-1-yl)prop-2-yn-1-one (6bca). Chromatography purification (0–10% EtOAc in hexanes) gave 257 mg, 84%; yellow solid; mp 49–51 $^\circ\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 8.60 (d, $J = 8.3$ Hz, 1H), 7.62–7.57 (m, 1H), 7.48–7.43 (m, 1H), 7.38–7.32 (m, 3H), 7.32–7.29 (m, 2H), 7.28–7.25 (m, 4H), 7.25–7.21 (m, 3H), 7.19 (d, $J = 7.5$ Hz, 1H), 7.12–7.04 (m, 3H), 2.26 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 152.3, 137.7, 136.8, 135.5, 133.0, 132.9, 132.3, 131.8, 130.5, 130.2, 130.1, 129.9, 129.5, 128.8, 128.4, 128.3, 128.19, 128.17, 128.1, 127.1, 126.8, 125.8, 124.7, 123.9, 119.8, 116.7, 96.9, 83.6, 21.4; IR (KBr) 3051, 3032, 2951, 2920, 2859, 2202, 1657, 1604, 1490, 1454, 1443, 1381, 1351, 1323, 1220, 1157, 1102, 1032, 1025, 940, 824, 777, 754, 743, 700, 689, 616, 535 cm^{-1} ; LRMS-ESI (m/z): 412.30 $[\text{M} + \text{H}]^+$; HRMS (TOF-ES) (m/z): 412.1701 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{30}\text{H}_{22}\text{NO}$, found 412.1706.

3-Phenyl-1-(3-phenyl-2-(*p*-tolyl)-1H-indol-1-yl)prop-2-yn-1-one (6bda). Chromatography purification (0–10% EtOAc in hexanes) gave 257 mg, 84%; yellow solid; mp 153–155 $^\circ\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 8.60 (dt, $J = 8.3, 0.9$ Hz, 1H), 7.58 (dt, $J = 7.7, 1.0$ Hz, 1H), 7.44 (ddd, $J = 8.4, 7.2, 1.4$ Hz, 1H), 7.38–7.21 (m, 11H), 7.12–7.03 (m, 4H), 2.24 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 152.3, 138.7, 136.8, 135.5, 133.1, 132.9, 131.7, 130.4, 130.2, 129.9, 129.0, 128.9, 128.4, 128.2, 127.1, 125.7, 124.7, 123.8, 120.0, 119.8, 116.9, 97.0, 83.5, 21.4; IR (KBr) 3050, 3031, 2922, 2211, 1654, 1622, 1608, 1490, 1452, 1444, 1384, 1354, 1323, 1217, 1158, 1099, 1024, 984, 839, 768, 759, 751, 743, 703, 690, 631, 613, 532 cm^{-1} ; LRMS-ESI (m/z): 412.36 $[\text{M} + \text{H}]^+$; HRMS (TOF-ES) (m/z): 412.1701 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{30}\text{H}_{22}\text{NO}$, found 412.1703.

1-(2-(3-Fluorophenyl)-3-phenyl-1H-indol-1-yl)-3-phenylprop-2-yn-1-one (6bia). Chromatography purification (0–10% EtOAc in hexanes) gave 225 mg, 73%; yellow solid; mp 131–133 $^\circ\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 8.58 (dt, $J = 8.3, 0.9$ Hz, 1H), 7.58 (dt, $J = 7.8, 1.0$ Hz, 1H), 7.47 (ddd, $J = 8.4, 7.2, 1.4$ Hz, 1H), 7.40–7.30 (m, 5H), 7.30–7.26 (m, 2H), 7.26–7.21 (m, 4H), 7.18–7.12 (m, 3H), 6.97–6.90 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 162.4 (d, $J_{\text{C-F}} = 246.7$ Hz), 151.9, 136.8, 134.3 (d, $J_{\text{C-F}} = 8.4$ Hz), 133.7 (d, $J_{\text{C-F}} = 2.3$ Hz), 132.8, 132.5, 130.7, 130.1, 129.8, 129.7, 128.5, 128.4, 127.6 (d, $J_{\text{C-F}} = 3.0$ Hz), 127.5, 126.2, 124.9, 124.8, 120.1,

119.6, 118.5 (d, $J_{C-F} = 22.2$ Hz), 116.7, 115.7 (d, $J_{C-F} = 21.1$ Hz), 96.9, 83.6; IR (KBr) 3047, 2212, 1655, 1588, 1575, 1490, 1485, 1381, 1351, 1328, 1196, 1160, 1149, 1113, 1102, 1072, 1031, 1024, 993, 940, 915, 880, 757, 745, 706, 703, 686, 616, 523 cm^{-1} ; LRMS-ESI (m/z): 416.36 $[\text{M} + \text{H}]^+$; HRMS (TOF-ES) (m/z): 416.1451 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{29}\text{H}_{19}\text{FNO}$, found 416.1452.

1-(2-(4-Fluorophenyl)-3-phenyl-1H-indol-1-yl)-3-phenylprop-2-yn-1-one (6bja). Chromatography purification (0–10% EtOAc in hexanes) gave 212 mg, 69%; yellow solid; mp 120–122 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.60 (dt, $J = 8.4$, 0.9 Hz, 1H), 7.58 (dt, $J = 7.8$, 1.0 Hz, 1H), 7.49–7.37 (m, 4H), 7.37–7.32 (m, 2H), 7.32–7.29 (m, 2H), 7.29–7.21 (m, 4H), 7.17–7.11 (m, 2H), 7.04–6.97 (m, 2H); ^{13}C NMR (101 MHz, CDCl_3) δ 163.0 (d, $J_{C-F} = 249.1$ Hz), 152.0, 136.7, 134.1, 133.6 (d, $J_{C-F} = 8.2$ Hz), 132.7, 132.69, 130.7, 130.1, 129.7, 128.5, 128.4, 128.1 (d, $J_{C-F} = 3.5$ Hz), 127.4, 126.0, 124.8, 124.5, 119.9, 119.6, 116.8, 115.4 (d, $J_{C-F} = 21.7$ Hz), 97.3, 83.5; IR (KBr) 3065, 2199, 1683, 1655, 1602, 1594, 1572, 1511, 1489, 1454, 1443, 1387, 1363, 1332, 1232, 1219, 1123, 1103, 1032, 1016, 988, 938, 923, 852, 800, 768, 763, 758, 745, 703, 694, 688, 615, 536 cm^{-1} ; LRMS-ESI (m/z): 416.17 $[\text{M} + \text{H}]^+$; HRMS (TOF-ES) (m/z): 416.1451 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{29}\text{H}_{19}\text{FNO}$, found 416.1449.

1-(2-(4-(tert-Butyl)phenyl)-3-phenyl-1H-indol-1-yl)-3-phenylprop-2-yn-1-one (6bva). Chromatography purification (0–10% EtOAc in hexanes) gave 302 mg, 90%; yellow solid; mp 160–162 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.58 (dt, $J = 8.2$, 0.9 Hz, 1H), 7.58 (ddd, $J = 7.8$, 1.3, 0.7 Hz, 1H), 7.44 (ddd, $J = 8.4$, 7.2, 1.3 Hz, 1H), 7.39–7.33 (m, 3H), 7.33–7.27 (m, 6H), 7.26–7.18 (m, 4H), 7.09–7.04 (m, 2H), 1.16 (s, 9H); ^{13}C NMR (101 MHz, CDCl_3) δ 152.4, 151.4, 136.8, 135.5, 133.1, 132.9, 131.3, 130.5, 130.2, 129.9, 128.9, 128.3, 128.2, 127.1, 125.7, 125.2, 124.7, 123.8, 119.9, 119.8, 116.7, 96.8, 83.6, 34.7, 31.2; IR (KBr) 3064, 2969, 2905, 2870, 2199, 1654, 1602, 1594, 1489, 1452, 1443, 1385, 1346, 1322, 1266, 1216, 1156, 1128, 1098, 1071, 1032, 1021, 985, 939, 925, 852, 844, 759, 749, 736, 702, 688, 628, 612, 538 cm^{-1} ; LRMS-ESI (m/z): 476.25 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 454.2171 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{33}\text{H}_{28}\text{NO}$, found 454.2172.

3-Phenyl-1-(3-phenyl-2-(4-(trifluoromethyl)phenyl)-1H-indol-1-yl)prop-2-yn-1-one (6bwa). Chromatography purification (0–10% EtOAc in hexanes) gave 98 mg, 29%; yellow solid; mp 133–135 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.61 (dd, $J = 8.3$, 0.9 Hz, 1H), 7.60–7.53 (m, 5H), 7.48 (ddd, $J = 8.5$, 7.2, 1.3 Hz, 1H), 7.40–7.29 (m, 5H), 7.26–7.18 (m, 4H), 7.06–6.97 (m, 2H); ^{13}C NMR (101 MHz, CDCl_3) δ 151.8, 137.0, 136.0, 133.5, 132.6, 132.3, 132.0, 130.9, 130.7 (q, $J_{C-F} = 32.7$ Hz), 130.1, 129.8, 128.7, 128.4, 127.6, 126.4, 125.5, 125.1 (q, $J_{C-F} = 3.7$ Hz), 125.0, 124.0 (q, $J_{C-F} = 272.4$ Hz), 120.2, 119.2, 116.8, 97.4, 83.6; IR (KBr) 3060, 2194, 1655, 1620, 1605, 1490, 1453, 1444, 1406, 1386, 1356, 1326, 1181, 1171, 1158, 1125, 1107, 1066, 1018, 984, 938, 855, 811, 778, 753, 731, 753, 699, 687, 617, 534 cm^{-1} ; LRMS-ESI (m/z): 466.29 $[\text{M} + \text{H}]^+$; HRMS (TOF-ES) (m/z): 466.1419 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{30}\text{H}_{19}\text{F}_3\text{NO}$, found 466.1422.

Typical Procedure for the Synthesis of Methyl 2-(3-benzoyl-4-methyl-2-oxoquinolin-1(2H)-yl)-2-phenylacetate (7aaa). To a stirred solution of 2'-aminoacetophenone (100 mg, 0.740 mmol) and benzaldehyde (118 mg, 1.112 mmol) in MeOH (2.0 mL) was added 3-phenylpropionic acid (119 mg, 0.814 mmol) at room temperature. After 30 min stirring, cyclohexylisocyanide (0.101 mL, 0.814 mmol) was added, and

the resulting reaction mixture was stirred for 16 h. TLC showed the formation of Ugi product. Then, the reaction mixture was diluted with MeOH (47.0 mL, 0.015 M) and CSA (429 mg, 1.850 mmol) was added and heated to 120 °C for 96 h. After completion of the reaction, the reaction mixture was concentrated and dried well. The crude was purified by silica gel (230–400 mesh) column chromatography (0–40% EtOAc in hexanes) to afford 7aaa, 228 mg, 75%; pale yellow solid; mp 208–210 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.96 (d, $J = 7.3$ Hz, 2H), 7.85 (d, $J = 8.2$ Hz, 1H), 7.59 (t, $J = 7.4$ Hz, 1H), 7.50–7.44 (m, 3H), 7.42 (d, $J = 7.4$ Hz, 2H), 7.36–7.27 (m, 4H), 7.23 (d, $J = 8.6$ Hz, 1H), 6.88 (s, 1H), 3.70 (s, 3H), 2.44 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 195.2, 169.0, 160.0, 145.4, 138.5, 136.8, 134.0, 133.6, 131.2, 130.7, 129.4, 128.9, 128.6, 128.3, 128.2, 126.2, 123.0, 121.5, 115.8, 58.9, 52.9, 16.3; IR (KBr) 3062, 3033, 3005, 2951, 2925, 2844, 1751, 1675, 1638, 1596, 1568, 1498, 1455, 1435, 1387, 1373, 1318, 1266, 1209, 1170, 1025, 1007, 890, 821, 752, 737, 697, 664 cm^{-1} ; LRMS-ESI (m/z): 434.43 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 412.1549 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{26}\text{H}_{22}\text{NO}_4$, found 412.1549.

Methyl 2-(3-benzoyl-4-methyl-2-oxoquinolin-1(2H)-yl)-2-(o-tolyl)acetate (7aba). Chromatography purification (0–40% EtOAc in hexanes) gave 264 mg, 84%; off-white solid; mp 221–223 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.96 (d, $J = 7.7$ Hz, 2H), 7.85 (d, $J = 7.4$ Hz, 1H), 7.59 (t, $J = 7.4$ Hz, 1H), 7.51–7.43 (m, 3H), 7.30 (t, $J = 7.6$ Hz, 1H), 7.24–7.19 (m, 2H), 7.16–7.06 (m, 3H), 6.56 (s, 1H), 3.68 (s, 3H), 2.44 (s, 3H), 2.38 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 195.2, 168.3, 160.1, 145.3, 139.0, 136.82, 136.81, 133.9, 131.9, 131.3, 130.9, 130.87, 129.5, 128.9, 128.5, 127.2, 126.5, 126.1, 123.1, 121.6, 115.4, 58.9, 52.9, 19.6, 16.3; IR (KBr) 3080, 3060, 3028, 2997, 2951, 2926, 1751, 1675, 1638, 1597, 1568, 1498, 1456, 1450, 1387, 1318, 1266, 1205, 1169, 1002, 896, 822, 747, 740, 689, 664 cm^{-1} ; LRMS-ESI (m/z): 448.47 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 426.1705 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{27}\text{H}_{24}\text{NO}_4$, found 426.1707.

Methyl 2-(3-benzoyl-4-methyl-2-oxoquinolin-1(2H)-yl)-2-(m-tolyl)acetate (7aca). Chromatography purification (0–40% EtOAc in hexanes) gave 216 mg, 69%; white solid; mp 240–242 °C; ^1H NMR (400 MHz, CDCl_3) δ 8.00–7.92 (m, 2H), 7.84 (dd, $J = 8.1$, 1.6 Hz, 1H), 7.64–7.55 (m, 1H), 7.53–7.42 (m, 3H), 7.33–7.26 (m, 2H), 7.25–7.18 (m, 3H), 7.15–7.07 (m, 1H), 6.78 (s, 1H), 3.69 (s, 3H), 2.44 (s, 3H), 2.31 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 195.3, 169.0, 160.0, 145.4, 138.6, 138.4, 136.8, 133.9, 133.7, 131.2, 130.7, 129.4, 129.1, 128.9, 128.86, 128.5, 126.1, 125.3, 123.0, 121.5, 115.8, 59.1, 52.9, 21.7, 16.3; IR (KBr) 3084, 3060, 3031, 3003, 2951, 2923, 1751, 1674, 1635, 1596, 1569, 1498, 1456, 1449, 1387, 1372, 1318, 1266, 1204, 1171, 1026, 822, 780, 757, 698, 664 cm^{-1} ; LRMS-ESI (m/z): 448.28 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 426.1705 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{27}\text{H}_{24}\text{NO}_4$, found 426.1708.

Methyl 2-(3-benzoyl-4-methyl-2-oxoquinolin-1(2H)-yl)-2-(p-tolyl)acetate (7ada). Chromatography purification (0–40% EtOAc in hexanes) gave 231 mg, 73%; white solid; mp 195–197 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.99–7.91 (m, 2H), 7.84 (dd, $J = 8.1$, 1.6 Hz, 1H), 7.63–7.55 (m, 1H), 7.52–7.44 (m, 3H), 7.31 (d, $J = 7.6$ Hz, 2H), 7.29–7.22 (m, 2H), 7.13 (d, $J = 7.9$ Hz, 2H), 6.80 (s, 1H), 3.69 (s, 3H), 2.43 (s, 3H), 2.31 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 195.3, 169.2, 160.0, 145.3, 138.6, 138.1, 136.8, 134.0, 131.2, 130.7, 130.69, 129.5, 129.4, 128.9, 128.2, 126.1, 123.0, 121.5, 115.8, 58.9, 52.9, 21.2, 16.3; IR (KBr) 3082, 3059, 3029, 3005, 2951,

2922, 1752, 1676, 1673, 1638, 1596, 1568, 1516, 1499, 1456, 1449, 1435, 1387, 1318, 1266, 1207, 1170, 1113, 1024, 1003, 894, 822, 782, 760, 738, 688, 664 cm^{-1} ; LRMS-ESI (m/z): 448.42 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 426.1705 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{27}\text{H}_{24}\text{NO}_4$, found 426.1707.

Methyl 2-(3-benzoyl-4-methyl-2-oxoquinolin-1(2H)-yl)-2-(2-methoxyphenyl)acetate (7aea). Chromatography purification (0–40% EtOAc in hexanes) gave 294 mg, 90%; white solid; mp 231–233 $^{\circ}\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 7.96 (d, $J = 7.7$ Hz, 2H), 7.83 (d, $J = 8.0$ Hz, 1H), 7.59 (t, $J = 7.4$ Hz, 1H), 7.53 (t, $J = 7.9$ Hz, 1H), 7.47 (t, $J = 7.6$ Hz, 2H), 7.37 (d, $J = 8.6$ Hz, 1H), 7.34–7.27 (m, 3H), 6.95 (d, $J = 8.2$ Hz, 1H), 6.87 (t, $J = 7.6$ Hz, 1H), 6.67 (s, 1H), 3.95 (s, 3H), 3.64 (s, 3H), 2.42 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 195.3, 168.3, 160.2, 157.1, 145.2, 139.2, 136.8, 133.9, 131.5, 131.1, 129.9, 129.5, 129.1, 128.8, 126.0, 122.9, 122.7, 121.5, 121.2, 115.1, 110.6, 55.9, 55.4, 52.7, 16.2; IR (KBr) 3081, 3057, 3000, 2950, 2840, 1752, 1676, 1638, 1597, 1568, 1492, 1457, 1449, 1388, 1319, 1250, 1207, 1170, 1104, 1026, 1003, 959, 896, 822, 781, 754, 713, 689, 664 cm^{-1} ; LRMS-ESI (m/z): 464.49 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 442.1654 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{27}\text{H}_{24}\text{NO}_5$, found 442.1655.

Methyl 2-(3-benzoyl-4-methyl-2-oxoquinolin-1(2H)-yl)-2-(3-methoxyphenyl)acetate (7afa). Chromatography purification (0–40% EtOAc in hexanes) gave 223 mg, 68%; pale yellow solid; mp 200–202 $^{\circ}\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 7.95 (d, $J = 7.7$ Hz, 2H), 7.84 (d, $J = 8.0$ Hz, 1H), 7.59 (t, $J = 7.4$ Hz, 1H), 7.52–7.42 (m, 3H), 7.34–7.19 (m, 3H), 7.03 (s, 1H), 6.99 (d, $J = 7.8$ Hz, 1H), 6.87–6.79 (m, 2H), 3.75 (s, 3H), 3.70 (s, 3H), 2.43 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 195.2, 168.9, 160.0, 159.8, 145.5, 138.6, 136.8, 135.3, 134.0, 131.2, 130.7, 129.7, 129.5, 128.9, 126.2, 123.0, 121.5, 120.6, 115.9, 114.3, 113.6, 58.9, 55.4, 53.0, 16.3; IR (KBr) 3082, 3060, 2999, 2951, 2835, 1750, 1674, 1637, 1596, 1568, 1493, 1456, 1450, 1435, 1387, 1372, 1317, 1266, 1206, 1171, 1045, 1009, 959, 891, 822, 780, 758, 696, 664 cm^{-1} ; LRMS-ESI (m/z): 464.49 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 442.1654 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{27}\text{H}_{24}\text{NO}_5$, found 442.1659.

Methyl 2-(3-benzoyl-4-methyl-2-oxoquinolin-1(2H)-yl)-2-(4-methoxyphenyl)acetate (7aga). Chromatography purification (0–40% EtOAc in hexanes) gave 259 mg, 79%; off-white solid; mp 172–174 $^{\circ}\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 7.95 (d, $J = 7.5$ Hz, 2H), 7.84 (d, $J = 8.0$ Hz, 1H), 7.59 (t, $J = 7.4$ Hz, 1H), 7.51–7.44 (m, 3H), 7.37 (d, $J = 8.5$ Hz, 2H), 7.32–7.26 (m, 2H), 6.85 (d, $J = 8.8$ Hz, 2H), 6.76 (s, 1H), 3.77 (s, 3H), 3.69 (s, 3H), 2.42 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 195.3, 169.2, 160.0, 159.4, 145.3, 138.6, 136.8, 134.0, 131.2, 130.7, 129.7, 129.5, 128.9, 126.2, 125.8, 123.0, 121.5, 115.7, 114.1, 58.7, 55.4, 52.9, 16.3; IR (KBr) 3081, 3059, 3002, 2952, 2932, 2838, 1751, 1674, 1637, 1614, 1569, 1515, 1500, 1456, 1450, 1387, 1318, 1254, 1211, 1180, 1113, 1030, 1003, 894, 822, 782, 754, 738, 688, 664 cm^{-1} ; LRMS-ESI (m/z): 464.52 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 442.1654 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{27}\text{H}_{24}\text{NO}_5$, found 442.1656.

Methyl 2-(3-benzoyl-4-methyl-2-oxoquinolin-1(2H)-yl)-2-(2-fluorophenyl)acetate (7aha). Chromatography purification (0–40% EtOAc in hexanes) gave 285 mg, 90%; white solid; mp 199–201 $^{\circ}\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 7.95 (d, $J = 7.7$ Hz, 2H), 7.86 (d, $J = 8.0$ Hz, 1H), 7.64–7.54 (m, 2H), 7.50–7.44 (m, 2H), 7.44–7.39 (m, 1H), 7.39–7.28 (m, 3H), 7.17–7.09 (m, 1H), 7.06 (t, $J = 7.6$ Hz, 1H), 6.60 (s, 1H), 3.67 (s, 3H), 2.43 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 195.1, 167.6, 160.9 (d, $J_{\text{C-F}} = 246.5$ Hz) 160.2, 145.6, 138.8, 136.7,

134.0, 131.7, 131.0, 130.5 (d, $J_{\text{C-F}} = 8.5$ Hz), 130.0 (d, $J_{\text{C-F}} = 2.7$ Hz), 129.5, 128.9, 126.3, 124.8 (d, $J_{\text{C-F}} = 3.4$ Hz), 123.3, 121.7, 121.6, 115.4 (d, $J_{\text{C-F}} = 21.8$ Hz), 114.6 (d, $J_{\text{C-F}} = 2.3$ Hz), 54.7 (d, $J_{\text{C-F}} = 3.5$ Hz), 53.1, 16.3; IR (KBr) 3085, 3059, 3003, 2952, 2922, 2845, 1755, 1675, 1640, 1597, 1569, 1490, 1457, 1451, 1387, 1318, 1266, 1229, 1211, 1171, 1095, 1003, 897, 823, 754, 688, 664 cm^{-1} ; LRMS-ESI (m/z): 452.40 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 430.1455 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{26}\text{H}_{21}\text{FNO}_4$, found 430.1454.

Methyl 2-(3-benzoyl-4-methyl-2-oxoquinolin-1(2H)-yl)-2-(3-fluorophenyl)acetate (7aia). Chromatography purification (0–40% EtOAc in hexanes) gave 306 mg, 96%; off-white solid; mp 213–215 $^{\circ}\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 7.95 (d, $J = 7.1$ Hz, 2H), 7.86 (d, $J = 7.6$ Hz, 1H), 7.60 (t, $J = 7.4$ Hz, 1H), 7.53–7.43 (m, 3H), 7.35–7.27 (m, 2H), 7.22–7.14 (m, 3H), 7.04–6.96 (m, 1H), 6.84 (s, 1H), 3.70 (s, 3H), 2.44 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 195.1, 168.6, 162.9 (d, $J_{\text{C-F}} = 246.2$ Hz), 160.0, 145.7, 138.4, 136.8, 136.1 (d, $J_{\text{C-F}} = 7.5$ Hz), 134.0, 131.4, 130.6, 130.2 (d, $J_{\text{C-F}} = 8.2$ Hz), 129.4, 128.9, 126.4, 123.9 (d, $J_{\text{C-F}} = 2.9$ Hz), 123.2, 121.6, 115.6 (d, $J_{\text{C-F}} = 23.1$ Hz), 115.4 (d, $J_{\text{C-F}} = 33.6$ Hz), 58.3, 53.1, 16.4; IR (KBr) 3083, 3064, 3030, 3000, 2952, 2923, 2846, 1752, 1674, 1638, 1595, 1569, 1490, 1456, 1450, 1387, 1318, 1268, 1247, 1207, 1172, 1025, 936, 891, 822, 781, 760, 695, 664 cm^{-1} ; LRMS-ESI (m/z): 452.43 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 430.1455 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{26}\text{H}_{21}\text{FNO}_4$, found 430.1454.

Methyl 2-(3-benzoyl-4-methyl-2-oxoquinolin-1(2H)-yl)-2-(4-fluorophenyl)acetate (7aja). Chromatography purification (0–40% EtOAc in hexanes) gave 220 mg, 69%; off-white solid; mp 170–172 $^{\circ}\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 7.94 (dd, $J = 7.2, 1.9$ Hz, 2H), 7.86 (dd, $J = 8.0, 1.9$ Hz, 1H), 7.64–7.56 (m, 1H), 7.54–7.37 (m, 5H), 7.32 (t, $J = 7.7$ Hz, 1H), 7.21 (d, $J = 8.6$ Hz, 1H), 7.01 (td, $J = 8.6, 1.9$ Hz, 2H), 6.74 (s, 1H), 3.68 (s, 3H), 2.44 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 195.1, 168.9, 162.5 (d, $J_{\text{C-F}} = 247.4$ Hz), 159.9, 145.5, 138.5, 136.7, 134.0, 131.4, 130.7, 130.3 (d, $J_{\text{C-F}} = 8.3$ Hz), 129.5, 129.49, 128.9, 126.3, 123.2, 121.6, 115.6 (d, $J_{\text{C-F}} = 21.6$ Hz), 115.4, 58.5, 53.0, 16.3; IR (KBr) 3082, 3063, 3002, 2952, 2925, 2851, 1752, 1673, 1637, 1596, 1569, 1511, 1456, 1450, 1388, 1318, 1266, 1212, 1162, 1004, 894, 822, 754, 688, 664 cm^{-1} ; LRMS-ESI (m/z): 452.56 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 430.1455 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{26}\text{H}_{21}\text{FNO}_4$, found 430.1456.

Methyl 2-(3-benzoyl-4-methyl-2-oxoquinolin-1(2H)-yl)-2-(2-chlorophenyl)acetate (7aka). Chromatography purification (0–40% EtOAc in hexanes) gave 284 mg, 86%; off-white solid; mp 190–192 $^{\circ}\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 7.97 (d, $J = 7.5$ Hz, 2H), 7.86 (d, $J = 8.0$ Hz, 1H), 7.64–7.53 (m, 2H), 7.51–7.43 (m, 3H), 7.38–7.31 (m, 2H), 7.30–7.27 (m, 1H), 7.23–7.14 (m, 2H), 6.49 (s, 1H), 3.65 (s, 3H), 2.45 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 195.1, 167.1, 160.2, 145.7, 139.0, 136.7, 134.1, 134.0, 131.9, 131.8, 131.1, 130.0, 129.6, 129.56, 129.3, 128.9, 127.7, 126.3, 123.4, 121.6, 114.6, 59.2, 53.0, 16.3; IR (KBr) 3062, 3029, 2999, 2951, 2841, 1754, 1675, 1639, 1597, 1569, 1499, 1473, 1456, 1448, 1387, 1374, 1318, 1266, 1209, 1170, 1042, 1002, 897, 821, 780, 751, 708, 687, 663 cm^{-1} ; LRMS-ESI (m/z): 468.64 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 446.1159 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{26}\text{H}_{21}\text{ClNO}_4$, found 446.1159.

Methyl 2-(3-benzoyl-4-methyl-2-oxoquinolin-1(2H)-yl)-2-(3-chlorophenyl)acetate (7ala). Chromatography purification (0–40% EtOAc in hexanes) gave 215 mg, 65%; off-white solid; mp 211–213 $^{\circ}\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 7.98–7.91 (m, 2H), 7.87 (dd, $J = 8.1, 1.5$ Hz, 1H), 7.64–7.57 (m, 1H),

7.55–7.43 (m, 5H), 7.36–7.29 (m, 2H), 7.17 (d, $J = 8.6$ Hz, 1H), 7.00 (s, 1H), 6.76 (s, 1H), 3.69 (s, 3H), 2.45 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 195.1, 168.5, 160.0, 145.7, 138.4, 136.8, 135.7, 134.7, 134.0, 131.5, 130.7, 130.0, 129.5, 129.0, 128.6, 128.5, 126.5, 126.4, 123.2, 121.6, 115.5, 58.5, 53.1, 16.4; IR (KBr) 3063, 3028, 2999, 2951, 2927, 2892, 1750, 1674, 1637, 1596, 1569, 1499, 1456, 1450, 1434, 1387, 1372, 1318, 1268, 1211, 1171, 1025, 1008, 822, 756, 694, 664 cm^{-1} ; LRMS-ESI (m/z): 468.69 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 446.1159 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{26}\text{H}_{21}\text{ClNO}_4$, found 446.1159.

Methyl 2-(3-benzoyl-4-methyl-2-oxoquinolin-1(2H)-yl)-2-(4-chlorophenyl)acetate (7ama). Chromatography purification (0–40% EtOAc in hexanes) gave 227 mg, 69%; off-white solid; mp 203–205 $^{\circ}\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 7.97–7.92 (m, 2H), 7.86 (dd, $J = 8.1, 1.5$ Hz, 1H), 7.64–7.56 (m, 1H), 7.53–7.44 (m, 3H), 7.40–7.35 (m, 2H), 7.35–7.28 (m, 3H), 7.17 (d, $J = 8.5$ Hz, 1H), 6.77 (s, 1H), 3.69 (s, 3H), 2.44 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 195.1, 168.7, 159.9, 145.6, 138.4, 136.7, 134.3, 134.1, 132.2, 131.4, 130.7, 129.8, 129.5, 128.9, 128.86, 126.4, 123.2, 121.6, 115.4, 58.4, 53.1, 16.3; IR (KBr) 3086, 3058, 3000, 2951, 2927, 1751, 1674, 1637, 1596, 1569, 1494, 1457, 1449, 1387, 1373, 1318, 1267, 1212, 1171, 1092, 1016, 1005, 895, 848, 764, 754, 685, 664 cm^{-1} ; LRMS-ESI (m/z): 468.56 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 446.1159 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{26}\text{H}_{21}\text{ClNO}_4$, found 446.1158.

Methyl 2-(3-benzoyl-4-methyl-2-oxoquinolin-1(2H)-yl)-2-(2-bromophenyl)acetate (7ana). Chromatography purification (0–40% EtOAc in hexanes) gave 285 mg, 79%; white solid; mp 192–194 $^{\circ}\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 7.97 (dd, $J = 7.2, 1.7$ Hz, 2H), 7.86 (dd, $J = 8.1, 1.5$ Hz, 1H), 7.65 (dd, $J = 7.3, 1.9$ Hz, 1H), 7.62–7.54 (m, 2H), 7.51–7.43 (m, 2H), 7.37–7.29 (m, 2H), 7.25–7.15 (m, 3H), 6.41 (s, 1H), 3.65 (s, 3H), 2.45 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 195.0, 167.0, 160.2, 145.7, 139.0, 136.7, 134.0, 133.4, 132.9, 131.9, 131.1, 130.2, 129.5, 129.4, 128.8, 128.3, 126.3, 124.6, 123.4, 121.6, 114.7, 61.9, 53.0, 16.3; IR (KBr) 3063, 3031, 2996, 2951, 2928, 2849, 1754, 1675, 1640, 1597, 1569, 1499, 1470, 1456, 1449, 1387, 1373, 1318, 1266, 1208, 1170, 1030, 1002, 897, 821, 780, 750, 707, 689, 663 cm^{-1} ; LRMS-ESI (m/z): 512.69 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 490.0654 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{26}\text{H}_{21}\text{BrNO}_4$, found 490.0656.

Methyl 2-(3-benzoyl-4-methyl-2-oxoquinolin-1(2H)-yl)-2-(3-bromophenyl)acetate (7aob). Chromatography purification (0–40% EtOAc in hexanes) gave 231 mg, 64%; pale yellow solid; mp 208–210 $^{\circ}\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 7.95 (d, $J = 8.1$ Hz, 2H), 7.87 (d, $J = 8.1$ Hz, 1H), 7.63–7.56 (m, 2H), 7.54–7.41 (m, 4H), 7.37–7.29 (m, 2H), 7.23–7.14 (m, 2H), 6.74 (s, 1H), 3.69 (s, 3H), 2.45 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 195.1, 168.4, 159.9, 145.8, 138.3, 136.7, 135.9, 134.0, 131.5, 131.49, 131.3, 130.6, 130.2, 129.4, 128.9, 127.0, 126.4, 123.3, 122.7, 121.5, 115.3, 58.4, 53.1, 16.4; IR (KBr) 3085, 3064, 3000, 2951, 2839, 1750, 1673, 1637, 1596, 1568, 1499, 1456, 1449, 1387, 1318, 1267, 1210, 1170, 1007, 897, 821, 757, 697, 687, 664 cm^{-1} ; LRMS-ESI (m/z): 490.26 $[\text{M} + \text{H}]^+$; HRMS (TOF-ES) (m/z): 490.0654 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{26}\text{H}_{21}\text{BrNO}_4$, found 490.0659.

Methyl 2-(3-benzoyl-4-methyl-2-oxoquinolin-1(2H)-yl)-2-(4-bromophenyl)acetate (7apa). Chromatography purification (0–40% EtOAc in hexanes) gave 212 mg, 58%; pale yellow solid; mp 206–208 $^{\circ}\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 7.97–7.91 (m, 2H), 7.86 (dd, $J = 8.1, 1.5$ Hz, 1H), 7.64–7.56

(m, 1H), 7.54–7.41 (m, 5H), 7.36–7.28 (m, 3H), 7.16 (d, $J = 8.6$ Hz, 1H), 6.75 (s, 1H), 3.68 (s, 3H), 2.44 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 195.1, 168.6, 159.9, 145.6, 138.4, 136.7, 134.1, 132.7, 131.8, 131.4, 130.7, 130.1, 129.5, 128.9, 126.4, 123.2, 122.5, 121.6, 115.4, 58.5, 53.1, 16.4; IR (KBr) 3084, 3061, 3031, 2998, 2950, 1751, 1674, 1636, 1596, 1569, 1498, 1489, 1456, 1449, 1387, 1372, 1318, 1266, 1211, 1171, 1074, 1006, 895, 847, 820, 754, 689, 663 cm^{-1} ; LRMS-ESI (m/z): 512.60 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 490.0654 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{26}\text{H}_{21}\text{BrNO}_4$, found 490.0654.

Methyl 2-(3-benzoyl-4-methyl-2-oxoquinolin-1(2H)-yl)-2-(4-(tert-butyl)phenyl)acetate (7ava). Chromatography purification (0–40% EtOAc in hexanes) gave 253 mg, 73%; yellow solid; mp 233–235 $^{\circ}\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 7.95 (d, $J = 7.4$ Hz, 2H), 7.84 (d, $J = 7.6$ Hz, 1H), 7.59 (t, $J = 7.4$ Hz, 1H), 7.52–7.43 (m, 3H), 7.39–7.27 (m, 6H), 6.86 (s, 1H), 3.69 (s, 3H), 2.43 (s, 3H), 1.28 (s, 9H); ^{13}C NMR (101 MHz, CDCl_3) δ 195.3, 169.3, 160.0, 151.1, 145.3, 138.7, 136.9, 133.9, 131.2, 130.8, 130.5, 129.5, 128.9, 128.0, 126.1, 125.6, 122.9, 121.5, 116.0, 58.6, 52.9, 34.6, 31.4, 16.3; IR (KBr) 3059, 3033, 2962, 2931, 2905, 2867, 1751, 1675, 1639, 1596, 1568, 1514, 1500, 1456, 1449, 1387, 1318, 1267, 1214, 1170, 1112, 1024, 1002, 959, 894, 820, 754, 687, 664 cm^{-1} ; LRMS-ESI (m/z): 490.84 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 468.2175 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{30}\text{H}_{30}\text{NO}_4$, found 468.2176.

Methyl 2-(3-(4-methoxybenzoyl)-4-methyl-2-oxoquinolin-1(2H)-yl)-2-(2-methoxyphenyl)acetate (7aec). Chromatography purification (0–70% EtOAc in hexanes) gave 328 mg, 94%; white solid; mp 111–113 $^{\circ}\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 7.96–7.89 (m, 2H), 7.81 (dd, $J = 8.1, 1.5$ Hz, 1H), 7.51 (ddd, $J = 8.6, 7.1, 1.5$ Hz, 1H), 7.36 (d, $J = 8.6$ Hz, 1H), 7.34–7.26 (m, 3H), 6.98–6.91 (m, 3H), 6.87 (td, $J = 7.6, 1.1$ Hz, 1H), 6.67 (s, 1H), 3.95 (s, 3H), 3.87 (s, 3H), 3.66 (s, 3H), 2.41 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 193.7, 168.4, 164.3, 160.2, 157.1, 144.8, 139.1, 132.0, 131.4, 131.35, 131.25, 130.0, 129.8, 129.2, 126.0, 122.9, 122.8, 122.76, 121.6, 121.2, 115.1, 114.1, 113.9, 110.6, 55.9, 55.7, 55.5, 52.7, 16.3; IR (KBr) 3074, 3003, 2950, 2840, 1753, 1665, 1639, 1597, 1569, 1493, 1456, 1387, 1318, 1258, 1208, 1162, 1105, 1027, 845, 753, 663, 548 cm^{-1} ; LRMS-ESI (m/z): 494.32 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 472.1760 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{28}\text{H}_{26}\text{NO}_6$, found 472.1759.

***N*-(2-Acetylphenyl)-*N*-(2-(cyclohexylamino)-1-(2-methoxyphenyl)-2-oxoethyl)-3-(4-(trifluoromethyl)phenyl)propionamide (7ead—Ugi Intermediate).** The recovery of Ugi intermediate purification was difficult because of contamination by unknown and inseparable impurities. Chromatography purification (0–40% EtOAc in hexanes) gave 255 mg, 60%; white solid; mp 167–169 $^{\circ}\text{C}$; ^1H NMR (400 MHz, CDCl_3) δ 8.08 (d, $J = 7.9$ Hz, 1H), 7.58 (t, $J = 7.2$ Hz, 1H), 7.55–7.49 (m, 1H), 7.45 (d, $J = 7.7$ Hz, 2H), 7.38–7.34 (m, 1H), 7.23–7.17 (m, 1H), 7.13 (d, $J = 7.9$ Hz, 2H), 6.88–6.83 (m, 1H), 6.73 (d, $J = 8.3$ Hz, 1H), 6.64 (t, $J = 7.5$ Hz, 1H), 6.50 (s, 1H), 5.47 (d, $J = 8.2$ Hz, 1H), 3.86–3.78 (m, 1H), 3.70 (s, 3H), 2.24 (s, 3H), 2.00 (d, $J = 12.4$ Hz, 1H), 1.79 (d, $J = 11.8$ Hz, 1H), 1.73–1.64 (m, 2H), 1.42–1.27 (m, 3H), 1.21–1.06 (m, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 198.4, 168.4, 167.2, 157.5, 157.4, 155.1, 139.2, 137.4, 134.1, 132.7, 132.4, 132.2, 131.9, 131.7, 130.7, 130.5, 130.1, 129.0, 128.9, 128.8, 128.6, 125.5, 125.43, 125.39, 125.36, 125.3, 125.28, 124.3, 120.8, 120.7, 120.4, 110.7, 110.6, 89.5, 84.8, 60.3, 57.7, 55.6, 55.1, 49.0, 48.6, 33.0, 32.9, 29.6, 29.5, 25.6, 25.0, 24.9; IR (KBr) 3309, 3072, 2932, 2855, 2223, 1685, 1642, 1596, 1495,

1404, 1356, 1323, 1250, 1169, 1129, 1107, 1067, 1017, 844, 755, 658, 598 cm^{-1} ; LRMS-ESI (m/z): 599.42 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 577.2314 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{33}\text{H}_{32}\text{F}_3\text{N}_2\text{O}_4$, found 577.2316.

2-((2-Acetylphenyl)amino)-N-cyclohexyl-2-(2-methoxyphenyl)acetamide (7aed—Amide Cleaved By-product). Chromatography purification (0–25% EtOAc in hexanes) gave 5.6 mg, 2.0%; pale yellow solid; mp 125–127 °C; ^1H NMR (400 MHz, CDCl_3) δ 9.74 (d, $J = 4.6$ Hz, 1H), 7.77 (d, $J = 8.0$ Hz, 1H), 7.35 (d, $J = 7.6$ Hz, 1H), 7.31–7.27 (m, 1H), 7.24 (s, 1H), 7.00–6.88 (m, 2H), 6.63 (t, $J = 7.7$ Hz, 1H), 6.55–6.43 (m, 2H), 5.32 (d, $J = 5.7$ Hz, 1H), 3.95 (s, 3H), 3.83–3.70 (m, 1H), 2.60 (s, 3H), 1.92 (d, $J = 12.4$ Hz, 1H), 1.78–1.61 (m, 2H), 1.54–1.48 (m, 1H), 1.44–1.23 (m, 3H), 1.21–1.09 (m, 2H), 1.06–0.90 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 200.8, 169.6, 156.6, 149.3, 135.0, 132.7, 129.3, 128.2, 127.0, 121.7, 118.9, 115.3, 112.9, 111.0, 56.6, 55.7, 48.1, 33.1, 32.8, 28.1, 25.6, 24.7, 24.6; IR (KBr) 3386, 3299, 3075, 2931, 2854, 1684, 1643, 1606, 1564, 1511, 1488, 1458, 1419, 1361, 1324, 1236, 1164, 1096, 1023, 953, 794, 752, 612, 519 cm^{-1} ; LRMS-ESI (m/z): 403.37 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 381.2181 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{23}\text{H}_{29}\text{N}_2\text{O}_3$, found 381.2181.

Methyl 2-(2-fluorophenyl)-2-(3-(4-methoxybenzoyl)-4-methyl-2-oxoquinolin-1(2H)-yl)acetate (7ahc). Chromatography purification (0–20% EtOAc in hexanes) gave 326 mg, 96%; white solid; mp 160–162 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.92 (d, $J = 8.3$ Hz, 2H), 7.84 (d, $J = 8.0$ Hz, 1H), 7.56 (t, $J = 7.9$ Hz, 1H), 7.42 (t, $J = 7.5$ Hz, 1H), 7.38–7.28 (m, 3H), 7.17–7.03 (m, 2H), 6.94 (d, $J = 8.3$ Hz, 2H), 6.61 (s, 1H), 3.87 (s, 3H), 3.69 (s, 3H), 2.42 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 193.5, 167.6, 164.3, 160.9 (d, $J_{\text{C-F}} = 246.6$ Hz), 160.1, 145.2, 138.7, 132.0, 131.5, 131.2, 130.5 (d, $J_{\text{C-F}} = 8.5$ Hz), 130.0, 129.9, 126.2, 124.7 (d, $J_{\text{C-F}} = 3.4$ Hz), 123.2, 121.7 (d, $J_{\text{C-F}} = 12.5$ Hz), 121.6, 115.3 (d, $J_{\text{C-F}} = 21.9$ Hz), 114.6, 114.1, 55.7, 54.7, 53.0, 16.3; IR (KBr) 3071, 3052, 3006, 2953, 2904, 2842, 1754, 1666, 1640, 1598, 1571, 1510, 1490, 1457, 1387, 1317, 1260, 1231, 1213, 1179, 1163, 1028, 1009, 898, 846, 821, 754, 737, 663 cm^{-1} ; LRMS-ESI (m/z): 482.28 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 460.1560 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{27}\text{H}_{23}\text{FNO}_5$, found 460.1564.

N-(2-Acetylphenyl)-N-(2-(cyclohexylamino)-1-(2-fluorophenyl)-2-oxoethyl)-3-(4-(trifluoromethyl)phenyl)propiolamide (7ahd—Ugi Intermediate). The recovery of Ugi intermediate purification was difficult because of contamination by unknown and inseparable impurities. Chromatography purification (0–40% EtOAc in hexanes) gave 193 mg, 46%; off-white solid; mp 98–100 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.89 (d, $J = 7.8$ Hz, 1H), 7.63–7.57 (m, 1H), 7.56–7.52 (m, 2H), 7.48–7.45 (m, 2H), 7.25–7.18 (m, 1H), 7.14–7.10 (m, 3H), 6.97 (d, $J = 9.2$ Hz, 1H), 6.88 (t, $J = 7.7$ Hz, 1H), 6.24 (s, 1H), 6.01 (d, $J = 8.1$ Hz, 1H), 3.88–3.74 (m, 1H), 2.24 (s, 3H), 2.04 (d, $J = 12.2$ Hz, 1H), 1.97–1.77 (m, 2H), 1.75–1.61 (m, 3H), 1.42–1.27 (m, 2H), 1.16–1.03 (m, 2H); ^{13}C NMR (101 MHz, CDCl_3) δ 198.1, 167.4, 166.1, 162.2, 159.7, 154.8, 137.9, 137.4, 133.7, 132.7, 132.6, 132.2, 131.0, 131.95, 129.4, 129.3, 129.2, 128.9, 125.5, 125.47, 125.4, 125.38, 124.1, 122.3, 115.7, 115.5, 89.4, 84.5, 57.3, 49.2, 33.0, 32.8, 29.0, 25.6, 25.0, 24.9; IR (KBr) 3311, 3070, 2932, 2856, 2221, 1689, 1644, 1595, 1491, 1449, 1356, 1323, 1249, 1231, 1170, 1129, 1107, 1067, 1017, 844, 757, 598 cm^{-1} ; LRMS-ESI (m/z): 587.43 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 565.2114 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{32}\text{H}_{29}\text{F}_4\text{N}_2\text{O}_3$, found 565.2116.

2-((2-Acetylphenyl)amino)-N-cyclohexyl-2-(2-fluorophenyl)acetamide (7ahd—Amide Cleaved Byproduct). Chromatography purification (0–25% EtOAc in hexanes) gave 9.5 mg, 3.5%; pale yellow solid; mp 182–184 °C; ^1H NMR (400 MHz, CDCl_3) δ 9.54 (d, $J = 5.2$ Hz, 1H), 7.80 (d, $J = 8.0$ Hz, 1H), 7.41 (t, $J = 7.5$ Hz, 1H), 7.35 (t, $J = 7.9$ Hz, 1H), 7.31–7.27 (m, 1H), 7.16–7.06 (m, 2H), 6.72 (t, $J = 7.6$ Hz, 1H), 6.60 (d, $J = 8.5$ Hz, 1H), 6.37 (d, $J = 7.9$ Hz, 1H), 5.21 (d, $J = 5.1$ Hz, 1H), 3.88–3.71 (m, 1H), 2.60 (s, 3H), 1.92 (d, $J = 10.9$ Hz, 1H), 1.81–1.60 (m, 4H), 1.38–1.24 (m, 2H), 1.22–0.96 (m, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 201.4, 168.9, 160.8 (d, $J_{\text{C-F}} = 246.0$ Hz), 149.2, 135.3, 132.8, 130.2 (d, $J_{\text{C-F}} = 8.4$ Hz), 128.7 (d, $J_{\text{C-F}} = 3.6$ Hz), 125.7 (d, $J_{\text{C-F}} = 14.1$ Hz), 125.1 (d, $J_{\text{C-F}} = 3.5$ Hz), 119.1, 116.3, 116.0 (d, $J_{\text{C-F}} = 21.9$ Hz), 112.8, 56.6 (d, $J_{\text{C-F}} = 2.3$ Hz), 48.5, 33.1, 32.9, 28.2, 25.6, 24.8, 24.8; IR (KBr) 3297, 3077, 2931, 2854, 1642, 1607, 1566, 1511, 1456, 1420, 1361, 1324, 1239, 1165, 1090, 1035, 954, 754, 628, 613 cm^{-1} ; LRMS-ESI (m/z): 391.34 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 369.1978 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{22}\text{H}_{26}\text{FN}_2\text{O}_2$, found 369.1982.

Ethyl 2-(3-benzoyl-4-methyl-2-oxoquinolin-1(2H)-yl)-2-phenylacetate (7aaa—Ethyl Ester). Chromatography purification (0–20% EtOAc in hexanes) gave 208 mg, 66%; off-white solid; mp 206–208 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.95 (d, $J = 7.4$ Hz, 2H), 7.84 (d, $J = 7.5$ Hz, 1H), 7.59 (t, $J = 7.3$ Hz, 1H), 7.51–7.44 (m, 3H), 7.44–7.40 (m, 2H), 7.36–7.26 (m, 4H), 7.23 (d, $J = 8.6$ Hz, 1H), 6.93 (s, 1H), 4.19 (q, $J = 7.1$ Hz, 2H), 2.43 (s, 3H), 1.13 (t, $J = 7.1$ Hz, 3H); ^{13}C NMR (101 MHz, CDCl_3) 195.3, 168.6, 160.0, 145.3, 138.6, 136.8, 134.0, 133.7, 131.1, 130.6, 129.5, 129.3, 128.9, 128.6, 128.2, 128.1, 127.2, 126.1, 123.0, 121.5, 116.1, 62.1, 58.8, 16.3, 14.1; IR (KBr) 3299, 2930, 1746, 1675, 1639, 1597, 1568, 1499, 1455, 1387, 1368, 1318, 1266, 1206, 1170, 1030, 752, 697, 664 cm^{-1} ; LRMS-ESI (m/z): 448.30 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 426.1705 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{27}\text{H}_{24}\text{NO}_4$, found 426.1705.

Propyl 2-(3-benzoyl-4-methyl-2-oxoquinolin-1(2H)-yl)-2-phenylacetate (7aaa—Propyl Ester). Chromatography purification (0–20% EtOAc in hexanes) gave 170 mg, 52%; off-white solid; mp 205–207 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.95 (d, $J = 7.6$ Hz, 2H), 7.84 (dd, $J = 8.0, 1.3$ Hz, 1H), 7.59 (t, $J = 7.4$ Hz, 1H), 7.47 (d, $J = 7.6$ Hz, 2H), 7.45–7.40 (m, 3H), 7.32 (t, $J = 7.1$ Hz, 2H), 7.30–7.25 (m, 2H), 7.21 (d, $J = 8.6$ Hz, 1H), 7.07 (s, 1H), 4.08 (t, $J = 6.6$ Hz, 2H), 2.43 (s, 3H), 1.58–1.45 (m, 2H), 0.72 (t, $J = 7.4$ Hz, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 195.3, 168.7, 160.0, 145.3, 138.5, 136.8, 134.0, 133.7, 131.1, 130.6, 129.4, 128.9, 128.6, 128.2, 128.1, 126.1, 122.9, 121.4, 116.3, 67.6, 58.4, 21.8, 16.3, 10.3; IR (KBr) 2967, 1744, 1676, 1638, 1596, 1568, 1498, 1456, 1389, 1316, 1266, 1205, 1170, 837, 821, 752, 696, 664 cm^{-1} ; LRMS-ESI (m/z): 462.30 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 440.1862 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{28}\text{H}_{26}\text{NO}_4$, found 440.1862.

Butyl 2-(3-benzoyl-4-methyl-2-oxoquinolin-1(2H)-yl)-2-phenylacetate (7aaa—Butyl Ester). Chromatography purification (0–20% EtOAc in hexanes) gave 158 mg, 47%; off-white solid; mp 119–121 °C; ^1H NMR (400 MHz, CDCl_3) δ 7.98–7.90 (m, 2H), 7.84 (dd, $J = 8.1, 1.5$ Hz, 1H), 7.63–7.56 (m, 1H), 7.50–7.45 (m, 2H), 7.45–7.40 (m, 3H), 7.36–7.30 (m, 2H), 7.30–7.26 (m, 2H), 7.20 (d, $J = 8.6$ Hz, 1H), 7.08 (s, 1H), 4.16–4.09 (m, 2H), 2.43 (s, 3H), 1.51–1.41 (m, 2H), 1.18–1.07 (m, 2H), 0.77 (t, $J = 7.4$ Hz, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 195.2, 168.8, 160.0, 145.2, 138.6, 136.9, 133.9, 133.7, 131.0, 130.7, 129.4, 128.9, 128.6, 128.2, 128.1, 126.1,

122.9, 121.5, 116.4, 65.9, 58.4, 30.5, 19.0, 16.3, 13.7; IR (KBr) 2959, 2929, 2871, 2854, 1743, 1676, 1639, 1597, 1569, 1498, 1455, 1387, 1317, 1266, 1204, 1170, 1066, 752, 696, 664 cm^{-1} LRMS-ESI (m/z): 476.39 $[\text{M} + \text{Na}]^+$; HRMS (TOF-ES) (m/z): 454.2018 $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{29}\text{H}_{28}\text{NO}_4$, found 454.2022.

ASSOCIATED CONTENT

Supporting Information

The Supporting Information is available free of charge at <https://pubs.acs.org/doi/10.1021/acsomega.1c05460>.

CCDC 2022606-7aaa (CIF)

CCDC 2052455-6aaa (CIF)

CCDC 2052474-6baa (CIF)

CCDC 2052490-6aga-int IV (CIF)

Experimental procedure; optimization conditions for indole derivatives; characterization data for mechanistic studies for indole derivatives; Thorpe-Ingold effects; X-ray crystal structure of indole derivative 6aaa (CCDC 2052455); X-ray crystal structure of indole derivative 6baa (CCDC 2052474); X-ray crystal structure of 2-quinolone derivative 7aaa (CCDC 2022606); X-ray crystal structure of indole intermediate 6aga-int IV (CCDC 2052490); ^1H and ^{13}C NMR spectra of starting material phenylpropionic acids; ^1H and ^{13}C NMR spectra for indole derivatives; ^1H and ^{13}C NMR spectra for mechanistic studies; ^1H and ^{13}C NMR spectra for 2-quinolone derivatives; HRMS data for characterized indole derivatives; HRMS data for mechanistic studies; and HRMS data for characterized 2-quinolone derivatives (PDF)

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