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# Palladium-Catalyzed Decarboxylative ortho-Aroylation of N-Acetyl-1, 2, 3, 4-Tetrahydroquinolines with $\alpha$ -Oxoarylacetic Acids

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## Abstract

A mild, practical and efficient palladium-catalyzed decarboxylative ortho-aroylation of N-acetyl-1, 2, 3, 4-tetrahydroquinolines with  $\alpha$ -oxoarylacetic acids via C-H bond activation is described. This protocol provides efficient access to a series of C8- aryl tetrahydroquinolines.

## Introduction

Aryl ketones are important structural motifs in the synthesis of dyes, pesticides, medicine and other chemicals.<sup>1</sup> The conventional route to synthesize aryl ketones is Friedel-Crafts acylation of aromatic compounds.<sup>2</sup> The Friedel-Crafts acylation is limited to the electronic effect of aromatic substrates and requires harsh reaction conditions, even produces harmful substances. Some methods for synthesis of aryl ketones have been developed and made great progress.

In recent years, transition-metal-catalyzed decarboxylative cross-coupling reactions become an effective method to synthesize aryl ketones by C-C bond formations since these reactions need not use expensive organometallic reagents and do not generate toxic metal salt wastes.<sup>3</sup> Moreover, the carboxylic acids as the raw materials are readily available and inexpensive. In these reactions, the carboxylic acids are catalyzed and cause decarboxylative

cross-coupling reactions which have high selectivities and tolerance of functional groups. Since Myers et al. and Goossen et al.<sup>4</sup> reported Pd-catalyzed decarboxylative couplings, a number of extensive studies have been carried out in this area. Recently, the novel decarboxylative acylations of aromatic C-H bonds with  $\alpha$ -oxocarboxylic acids as acyl reagents are reported. For instance, Goossen et al. first reported the Pd/Cu-catalyzed decarboxylative acylation reaction of aryl bromides with  $\alpha$ -keto carboxylate salts to afford diaryl ketones.<sup>5</sup> Shortly thereafter, Ge and coworkers<sup>6</sup> demonstrated the palladium-catalyzed decarboxylative ortho-acylation of acetanilides and phenylpyridines with  $\alpha$ -oxocarboxylic acids. Wang and coworkers<sup>7</sup> reported the palladium-catalyzed decarboxylative acylation of enamides with  $\alpha$ -oxocarboxylic acids. Subsequently, Kim and coworkers<sup>8</sup> reported the Pd-catalyzed decarboxylative acylation of o-methyl ketoximes, phenylacetamides and o-phenyl carbamates. Tan,<sup>9</sup> Wang,<sup>10</sup> Zhang<sup>11</sup> and Lang<sup>12</sup> respectively described the decarboxylative acylation of oximes, azoxybenzenes, 2-aryloxy pyridines and benzofurans/benzothiophenes in the presence of palladium catalysis. At present, there were some studies on the acylation of indole as important bioactive natural products. These acylation of indole at C-2 position,<sup>13</sup> C-3 position<sup>14</sup> and C-7 position<sup>15</sup> were reported. All these methods provided new ideas to synthesize bioactive aryl ketones.

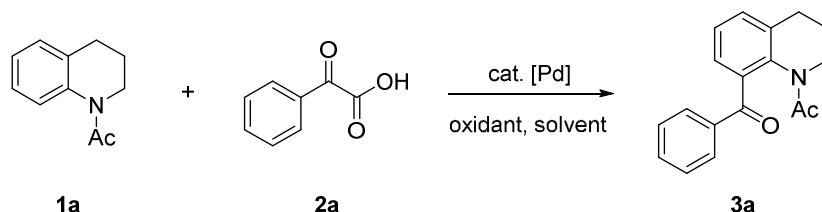
1, 2, 3, 4-tetrahydroquinolines are fundamental building blocks for natural products, medicinally-relevant molecules and new organic functional materials.<sup>16</sup> The compounds containing tetrahydroquinoline structural units display a variety of bioactivities, such as antiarrhythmia, antitumor, immune protection and antiparasite. Therefore, we choose N-acetyl-1, 2, 3, 4-tetrahydroquinolines as model substrates for optimizing the

decarboxylative ortho-arylation with  $\alpha$ -oxoarylacetic acids. We hope that the protocol has a broad substrate scope, simple reaction conditions and good yield.

### Result and discussion

The decarboxylative coupling reaction of N-acetyl-1, 2, 3, 4-tetrahydroquinoline (**1a**) and  $\alpha$ -oxophenylacetic acid (**2a**) was investigated in the presence of 10 mol % Pd(TFA)<sub>2</sub> as catalyst, (NH<sub>4</sub>)<sub>2</sub>S<sub>2</sub>O<sub>8</sub> (3 equiv.) as the oxidant in diglyme at room temperature for 10 hours. To our delight, the desired product (**3a**) could be obtained in 60% yield. Encouraged by the initial result, we began to optimize the reaction conditions with respect to different palladium catalysts, oxidants, solvents and reaction temperature. The selected results were summarized in Table 1.

**Table 1** Optimization of reaction condition <sup>a</sup>

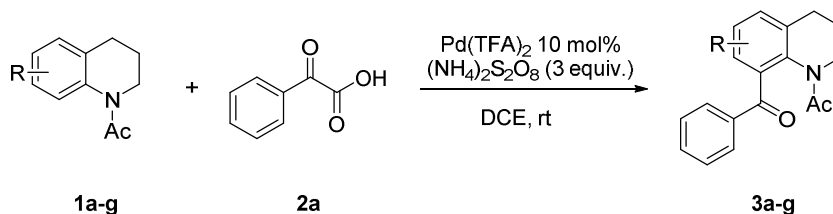


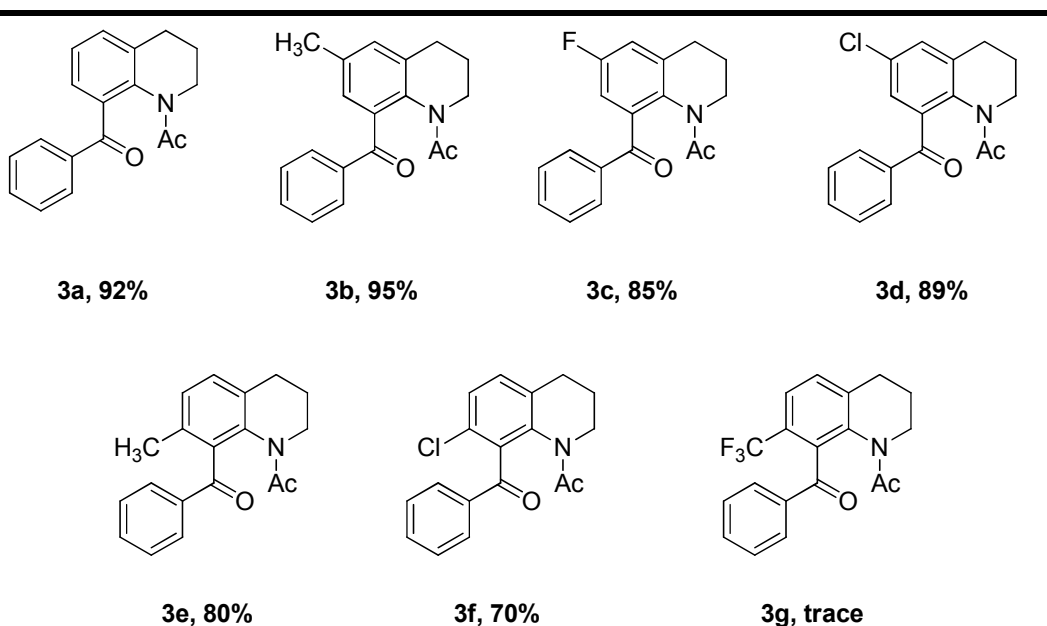
Entry	Catalyst	Oxidant (equiv.)	Solvents	Temperature(°C)	Yield <sup>b</sup> (%)
1	Pd(TFA) <sub>2</sub>	(NH <sub>4</sub> ) <sub>2</sub> S <sub>2</sub> O <sub>8</sub> (3)	Diglyme	rt	60
2	Pd(TFA) <sub>2</sub>	(NH <sub>4</sub> ) <sub>2</sub> S <sub>2</sub> O <sub>8</sub> (3)	DCE	rt	92
3	Pd(TFA) <sub>2</sub>	(NH <sub>4</sub> ) <sub>2</sub> S <sub>2</sub> O <sub>8</sub> (3)	1,4-dioxane	rt	0
4	Pd(TFA) <sub>2</sub>	(NH <sub>4</sub> ) <sub>2</sub> S <sub>2</sub> O <sub>8</sub> (3)	DCM	rt	30
5	Pd(OAc) <sub>2</sub>	(NH <sub>4</sub> ) <sub>2</sub> S <sub>2</sub> O <sub>8</sub> (3)	DCE	rt	50
6	PdCl <sub>2</sub>	(NH <sub>4</sub> ) <sub>2</sub> S <sub>2</sub> O <sub>8</sub> (3)	DCE	rt	0
7	Pd(TFA) <sub>2</sub>	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub> (3)	DCE	rt	15
8	Pd(TFA) <sub>2</sub>	Ag <sub>2</sub> CO <sub>3</sub> (3)	DCE	rt	trace
9	Pd(TFA) <sub>2</sub>	Ag <sub>2</sub> O (3)	DCE	rt	0
10	Pd(TFA) <sub>2</sub>	Cu(OAc) <sub>2</sub> (3)	DCE	rt	10
11	Pd(TFA) <sub>2</sub>	(NH <sub>4</sub> ) <sub>2</sub> S <sub>2</sub> O <sub>8</sub> (4)	DCE	rt	85
12	Pd(TFA) <sub>2</sub>	(NH <sub>4</sub> ) <sub>2</sub> S <sub>2</sub> O <sub>8</sub> (2)	DCE	rt	40
13	Pd(TFA) <sub>2</sub>	(NH <sub>4</sub> ) <sub>2</sub> S <sub>2</sub> O <sub>8</sub> (3)	DCE	0	0
14	Pd(TFA) <sub>2</sub>	(NH <sub>4</sub> ) <sub>2</sub> S <sub>2</sub> O <sub>8</sub> (3)	DCE	50	60
15 <sup>c</sup>	Pd(TFA) <sub>2</sub>	(NH <sub>4</sub> ) <sub>2</sub> S <sub>2</sub> O <sub>8</sub> (3)	DCE	rt	48
16 <sup>d</sup>	Pd(TFA) <sub>2</sub>	(NH <sub>4</sub> ) <sub>2</sub> S <sub>2</sub> O <sub>8</sub> (3)	DCE	rt	90

<sup>a</sup> Conditions: **1a** (0.2 mmol), **2a** (0.4 mmol), Pd catalyst(10 mol %), oxidant, 2 mL of solvent for 10 h. <sup>b</sup> Isolated yield by flash column chromatography. <sup>c</sup> Pd(TFA)<sub>2</sub> (5 mol %). <sup>d</sup> Pd(TFA)<sub>2</sub> (20 mol %).

After screening of solvents under same conditions, DCE was found to be the most effective solvent in this coupling reaction, and the desired product (**3a**) could be obtained in 92% yield (entries 1-4). Further studies showed that Pd(OAc)<sub>2</sub> and PdCl<sub>2</sub> did not display higher catalytic activity than Pd(TFA)<sub>2</sub> (entry 2 and entries 5-6). Other oxidants such as K<sub>2</sub>S<sub>2</sub>O<sub>8</sub>, Ag<sub>2</sub>CO<sub>3</sub>, Ag<sub>2</sub>O and Cu(OAc)<sub>2</sub> were less effective in comparison with (NH<sub>4</sub>)<sub>2</sub>S<sub>2</sub>O<sub>8</sub> in the coupling reaction (entry 2 and entries 7-10). When the amount of oxidant was increased or decreased, the yield of product decreased slightly (entries 11-12). No desired product was obtained when the temperature was 0°C (entry 13). The higher temperature only afforded our desired product in 60% (entry 14). We found that the 5 mol % Pd catalyst could not promote the reaction more efficiently (entry 15). The yield of product was not increased when the amount of Pd(TFA)<sub>2</sub> was 20 mol % (entry 16). Based on the above experimental results, an optimized synthesis process for the decarboxylative coupling reaction of N-acetyl-1, 2, 3, 4-tetrahydroquinoline (**1a**) and α-oxophenylacetic acid (**2a**) is obtained. The optimal reaction conditions are N-acetyl-1, 2, 3, 4-tetrahydroquinolines as the substrates, α-oxoarylacetic acids as acylation reagents, 3 equiv. of (NH<sub>4</sub>)<sub>2</sub>S<sub>2</sub>O<sub>8</sub> as oxidant, 10 mol % Pd(TFA)<sub>2</sub> as catalyst in DCE at room temperature.

**Table 2** Scope of N-acetyl-1, 2, 3, 4-tetrahydroquinolines <sup>a,b</sup>

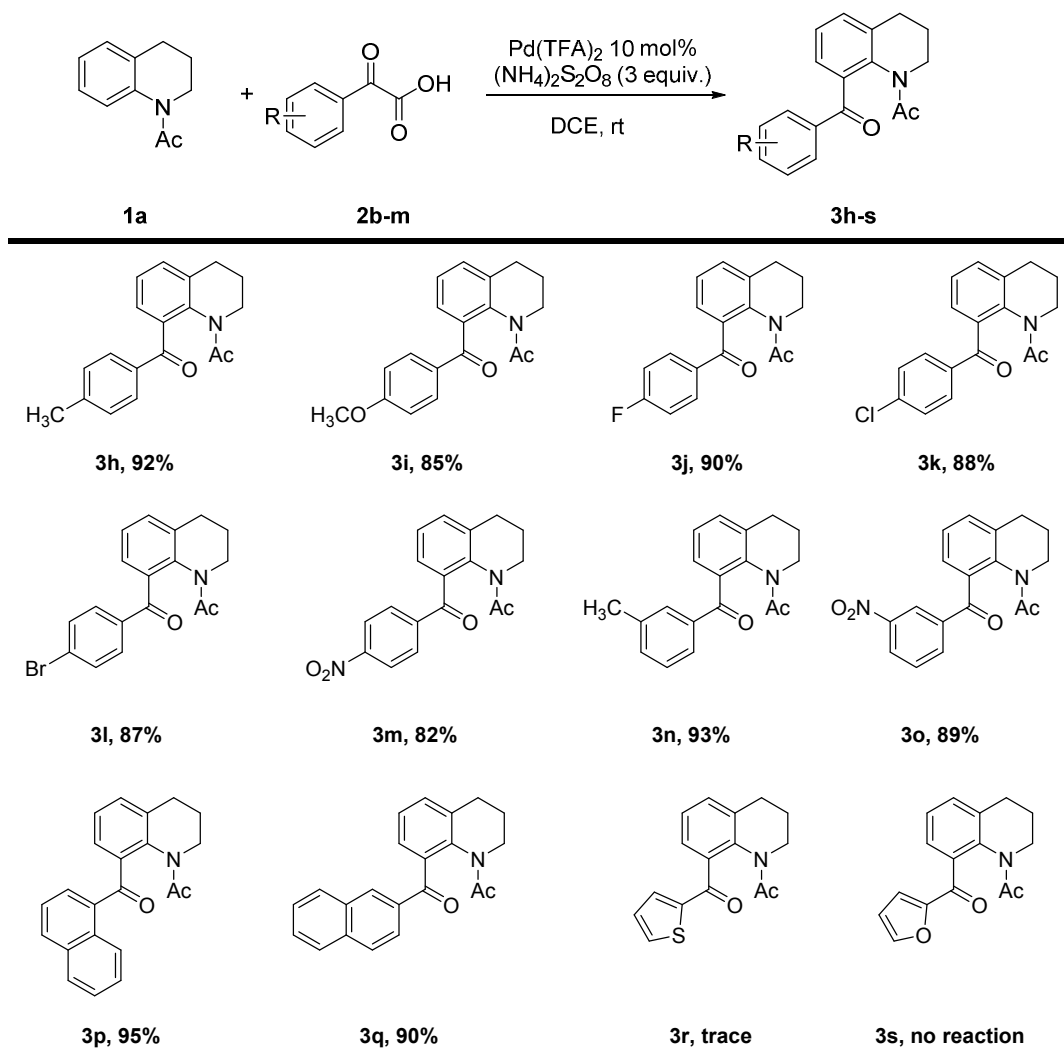




<sup>a</sup>Reaction conditions: **1a-g** (0.2 mmol), **2a** (0.4 mmol), Pd(TFA)<sub>2</sub> (10 mol %), (NH<sub>4</sub>)<sub>2</sub>S<sub>2</sub>O<sub>8</sub> (0.6 mmol), 2 mL of DCE, room temperature, 10 h. <sup>b</sup>Isolated yield by flash column chromatography.

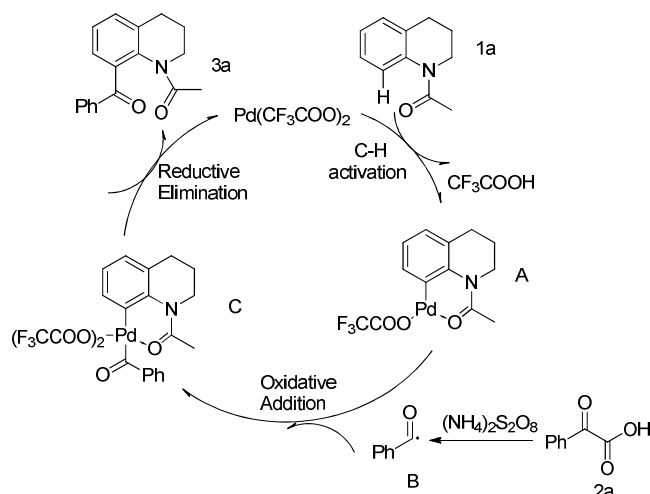
To explore the substrate scope of this protocol, the optimized reaction conditions were applied to a series of N-acetyl-1, 2, 3, 4-tetrahydroquinolines. As shown in Table 2, N-acetyl-1, 2, 3, 4-tetrahydroquinolines **1b-1d** with substituents (-CH<sub>3</sub>, -F, -Cl) at the 6-position of aromatic ring were found to be favored in the decarboxylative acylation reaction to afford the desired products **3b-3d** in high yields. About N-acetyl-1, 2, 3, 4-tetrahydroquinolines **1e-1g** with substituents (-CH<sub>3</sub>, -Cl, -CF<sub>3</sub>) at the 7-position of aromatic ring, the corresponding product (**3e**) could be obtained in 80% yield, but 30 hours was needed to get product **3f** in 70%, a trace amount of the desired product **3g** was obtained. Therefore, the electron-donating groups are beneficial to the reaction compared with electron-withdrawing. Because of the steric hindrance, the effect of the substituents at the 7-position of aromatic ring was greater than the substituents at the 6-position of aromatic ring.

**Table 3** Scope of  $\alpha$ -oxoarylacetic acids <sup>a,b</sup>



<sup>a</sup>Reaction conditions: **1a** (0.2 mmol), **2b-m** (0.4 mmol), Pd(TFA)<sub>2</sub> (10 mol %), (NH<sub>4</sub>)<sub>2</sub>S<sub>2</sub>O<sub>8</sub> (0.6 mmol), 2 mL of DCE, room temperature, 10 h. <sup>b</sup>Isolated yield by flash column chromatography.

To further explore the substrate scope and limitations of this process, different  $\alpha$ -oxoarylacetic acids were used as acylation reagents, as shown in Table 3. The  $\alpha$ -oxoarylacetic acids with either electron-donating or electron-withdrawing group at the para- or meta-position were well tolerated under the optimal reaction conditions (**3h-3o**). Meanwhile,  $\alpha$ -oxoarylacetic acids with a naphthyl moiety also participated in the acylation process to provide the products in good yields (**3p-3q**). Notably, 2-(thiophen-2-yl)- $\alpha$ -oxoacetic acid and the 2-(furan-2-yl)- $\alpha$ -oxoacetic acid as the decarboxylative acylation reagents gave products in low yield (**3r**) or no product (**3s**).



**Scheme 1** Plausible reaction mechanism

The reaction mechanism was discussed and hypothesized. When one equivalent of 2, 2, 6, 6-tetramethylpiperidine-1-oxyl (TEMPO; a radical trapping reagent) was added to the reaction, the desired product **3a** was not detected. The reaction rate was accelerated under a fluorescent bulb (18 W). So the reaction should have free radicals to participate. On the basis of previous reports<sup>17</sup>, a plausible reaction mechanism is outlined in Scheme 1. First, a coordination of **1a** to the Pd(II) catalyst and the subsequent cyclopalladation at the C-8 position provides the palladacycle **A** via C-H activation. On the other hand, the acid **2a** generates a radical intermediate **B** by  $(\text{NH}_4)_2\text{S}_2\text{O}_8$ . Subsequently, the palladacycle **A** reacts with **B** to afford the intermediate **C** which forms acyl-Pd intermediate **C** by oxidative addition. Finally, 8-acylated N-acetyl-1, 2, 3, 4-tetrahydroquinoline **3a** is formed by reductive elimination, and meanwhile the Pd(II) species is regenerated to complete the catalytic cycle.

### Conclusion

In conclusion, we have developed an efficient palladium-catalyzed decarboxylative ortho-acylation of N-acetyl-1, 2, 3, 4-tetrahydroquinolines with  $\alpha$ -oxoarylacetic acids. The reaction tolerates various functional groups with good to excellent yields. This novel method



provides an approach to access important aryl ketones derivatives.

## Experimental

### General information

Unless otherwise stated, all commercial reagents and solvents were used without additional purification. Analytical thin layer chromatography (TLC) was performed on Haiyang pre-coated silica gel GF<sub>254</sub> plates. Visualization on TLC was achieved by the use of UV light (254 nm). Column chromatography was undertaken on silica gel (230-400 mesh) using a proper eluent system. <sup>1</sup>H NMR was recorded on Bruker Avance 400 Spectrometer (400 MHz). <sup>13</sup>C NMR was recorded on Bruker Avance 400 Spectrometer (100 MHz). All <sup>1</sup>H NMR and <sup>13</sup>C NMR chemical shifts are referenced to the residual <sup>1</sup>H and <sup>13</sup>C solvent (relative to TMS) and are reported in units of ppm. Melting points were measured with a Shenguang Melting Point apparatus. N-acetyl-1, 2, 3, 4-tetrahydroquinolines<sup>18</sup> and the  $\alpha$ -oxoarylacetic acids<sup>19</sup> were prepared according to the relevant literature procedures. The other chemicals or reagents were obtained from commercial sources and used directly.

### General procedure for the preparation of 3a-s

A 10 mL vial was charged with N-acetyl-1, 2, 3, 4-tetrahydroquinolines (**1a**, 0.2 mmol),  $\alpha$ -oxocarboxylic acids (**2a**, 0.4 mmol), Pd(TFA)<sub>2</sub> (0.01 mmol), (NH<sub>4</sub>)<sub>2</sub>S<sub>2</sub>O<sub>8</sub> (0.6 mmol) and DCE (2 mL). The reaction vial was then capped and stirred at room temperature for 10 h (monitored by TLC). The reaction mixture was washed by sodium carbonate solution (5%, 20 mL) and the aqueous layer was extracted with ethyl acetate (3  $\times$  20 mL). Then the combined organic phase was dried over Na<sub>2</sub>SO<sub>4</sub>. Removal of the solvent under reduced pressure gave a crude product which was purified on silica gel (petroleum ether/ethyl acetate) to afford the

products.

**1-(8-benzoyl-3,4-dihydroquinolin-1(2H)-yl)ethan-1-one (3a).** Yellow liquid. Yield: 92%.  $^1\text{H}$  NMR (400 MHz, DMSO- $d_6$ )  $\delta$  7.62-7.56 (m, 3H), 7.47 (dd,  $J$  = 8.8, 6.8 Hz, 3H), 7.43-7.37 (m, 1H), 7.22 (d,  $J$  = 1.4 Hz, 1H), 3.54 (t,  $J$  = 6.4 Hz, 2H), 2.78 (t,  $J$  = 6.6 Hz, 2H), 1.96 (t,  $J$  = 6.5 Hz, 2H), 1.69 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz, Chloroform- $d$ )  $\delta$  194.8, 170.0, 137.5, 136.0, 134.6, 133.4, 132.3, 131.0, 130.2, 128.9, 128.6, 128.0, 127.4, 46.1, 27.0, 24.5, 22.4. HRMS (EI) calcd for  $\text{C}_{18}\text{H}_{17}\text{NO}_2$   $[\text{M}]^+$ : 280.1338. Found: 280.1342. Anal. Calcd. for  $\text{C}_{18}\text{H}_{17}\text{NO}_2$ : Elemental Analysis: C, 77.40; H, 6.13; N, 5.01. Found: C, 77.42, H, 6.15; N, 4.97.

**1-(8-benzoyl-6-methyl-3,4-dihydroquinolin-1(2H)-yl)ethan-1-one (3b).** A yellow solid. Yield: 95%. m.p. 106-108°C.  $^1\text{H}$  NMR (400 MHz, Chloroform- $d$ )  $\delta$  7.83 (t,  $J$  = 7.2 Hz, 2H), 7.59 (dd,  $J$  = 8.0, 3.0 Hz, 2H), 7.52 (d,  $J$  = 10.3, 6.9 Hz, 2H), 7.04 (d,  $J$  = 7.8 Hz, 1H), 3.66 (t,  $J$  = 7.0 Hz, 2H), 2.75 (t,  $J$  = 6.9 Hz, 2H), 2.30 (s, 3H), 2.08 (t, 2H), 1.94 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz, Chloroform- $d$ )  $\delta$  195.0, 169.9, 139.6, 137.8, 133.2, 132.1, 130.1, 128.9, 128.5, 128.0, 126.9, 126.1, 45.7, 29.8, 27.0, 24.2, 22.4. FT-IR (KBr disc): 1674, 1390  $\text{cm}^{-1}$ . UV-vis spectra absorption peak: 206, 241 nm. HRMS (EI) calcd for  $\text{C}_{19}\text{H}_{19}\text{NO}_2$   $[\text{M}]^+$ : 294.1495. Found: 294.1489. Anal. Calcd. for  $\text{C}_{19}\text{H}_{19}\text{NO}_2$ : Elemental Analysis: C, 77.79; H, 6.53; N, 4.77. Found: C, 77.75, H, 6.58; N, 4.76.

**1-(8-benzoyl-6-fluoro-3,4-dihydroquinolin-1(2H)-yl)ethan-1-one (3c).** A light yellow solid. Yield: 85%. m.p. 103-105°C.  $^1\text{H}$  NMR (400 MHz, Chloroform- $d$ )  $\delta$  7.82 (d,  $J$  = 7.6 Hz, 2H), 7.56 (d,  $J$  = 19.7, 7.4 Hz, 3H), 7.00 (d,  $J$  = 8.4 Hz, 2H), 3.67 (t,  $J$  = 6.4 Hz, 2H), 2.83 (t,  $J$  = 6.9 Hz, 2H), 2.09-2.04 (t, 2H), 1.94 (s, 3H).  $^{13}\text{C}$  NMR (101 MHz, Chloroform- $d$ )  $\delta$  193.3, 169.9, 136.8, 132.6, 130.1, 128.9, 128.7, 128.1, 117.3, 117.0, 114.4, 114.2, 45.9, 27.1, 24.3,

22.2. FT-IR (KBr disc): 1671, 1393  $\text{cm}^{-1}$ . UV-vis spectra absorption peak: 207, 244 nm.

HRMS (EI) calcd for  $\text{C}_{18}\text{H}_{16}\text{FNO}_2$   $[\text{M}]^+$ : 298.1244. Found: 298.1250. Anal. Calcd. for  $\text{C}_{18}\text{H}_{16}\text{FNO}_2$ : Elemental Analysis: C, 72.71; H, 5.42; F, 6.39; N, 4.71. Found: C, 72.69, H, 5.45; F 6.36, N, 4.73.

**1-(8-benzoyl-6-chloro-3,4-dihydroquinolin-1(2H)-yl)ethan-1-one (3d)**. A pale yellow solid.

Yield: 89%. m.p. 118-120°C.  $^1\text{H}$  NMR (400 MHz, Chloroform-*d*)  $\delta$  7.85-7.80 (m, 2H), 7.62-7.49 (m, 3H), 7.45 (t,  $J = 7.6$  Hz, 2H), 3.68 (t,  $J = 6.4$  Hz, 2H), 2.81 (t,  $J = 6.8$  Hz, 2H), 2.06 (t,  $J = 6.5$  Hz, 2H), 1.95 (s, 3H). FT-IR (KBr disc): 1670, 1323  $\text{cm}^{-1}$ . UV-vis spectra absorption peak: 206, 241 nm. HRMS (EI) calcd for  $\text{C}_{18}\text{H}_{16}\text{ClNO}_2$   $[\text{M}]^+$ : 313.0949. Found: 313.0951. Anal. Calcd. for  $\text{C}_{18}\text{H}_{16}\text{ClNO}_2$ : Elemental Analysis: C, 68.90; H, 5.14; Cl, 11.30; N, 4.46. Found: C, 68.92, H, 5.16; Cl 11.20, N, 4.70.

**1-(8-benzoyl-7-methyl-3,4-dihydroquinolin-1(2H)-yl)ethan-1-one (3e)**. A yellow solid.

Yield: 80%. m.p. 101-103°C.  $^1\text{H}$  NMR (400 MHz, Chloroform-*d*)  $\delta$  7.81 (d,  $J = 7.7$  Hz, 2H), 7.59 (d,  $J = 7.6$  Hz, 1H), 7.52 (d,  $J = 8.4$  Hz, 2H), 7.09 (d, 2H), 3.63 (t,  $J = 6.6$  Hz, 2H), 2.78 (t,  $J = 6.9$  Hz, 2H), 2.30 (s, 3H), 2.03 (t,  $J = 6.5$  Hz, 2H), 1.90 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz, Chloroform-*d*)  $\delta$  194.9, 170.0, 137.6, 134.3, 133.3, 133.2, 132.2, 131.5, 130.0, 128.9, 128.6, 128.5, 46.0, 26.8, 24.5, 22.2, 20.9. FT-IR (KBr disc): 1657, 1313  $\text{cm}^{-1}$ . UV-vis spectra absorption peak: 206, 243 nm. HRMS (EI) calcd for  $\text{C}_{19}\text{H}_{19}\text{NO}_2$   $[\text{M}]^+$ : 294.1495. Found: 294.1487. Anal. Calcd. for  $\text{C}_{19}\text{H}_{19}\text{NO}_2$ : Elemental Analysis: C, 77.79; H, 6.53; N, 4.77. Found: C, 77.82, H, 6.47; N, 4.80.

**1-(8-benzoyl-7-chloro-3,4-dihydroquinolin-1(2H)-yl)ethan-1-one (3f)**. A white solid. Yield:

70%. m.p. 127-129°C.  $^1\text{H}$  NMR (400 MHz, Chloroform-*d*)  $\delta$  7.96 (d,  $J = 8.4$  Hz, 1H),

7.14-7.06 (m, 4H), 5.95 (dd,  $J = 8.3, 6.6$  Hz, 2H), 4.21 (t,  $J = 6.3$  Hz, 2H), 2.79 (dd,  $J = 6.7, 5.8$  Hz, 2H), 2.58 (t,  $J = 4.5$  Hz, 2H), 2.27 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz, Chloroform-*d*)  $\delta$  193.3, 170.0, 136.8, 136.1, 135.3, 132.7, 130.5, 130.2, 129.0, 128.7, 128.2, 127.2, 46.0, 27.0, 24.3, 22.4. FT-IR (KBr disc): 1659, 1396  $\text{cm}^{-1}$ . UV-vis spectra absorption peak: 204, 243 nm. HRMS (EI) calcd for  $\text{C}_{18}\text{H}_{16}\text{ClNO}_2$   $[\text{M}]^+$ : 313.0949. Found: 313.0954. Anal. Calcd. for  $\text{C}_{18}\text{H}_{16}\text{ClNO}_2$ : Elemental Analysis: C, 68.90; H, 5.14; Cl, 11.30; N, 4.46. Found: C, 68.88, H, 5.13; Cl 11.27, N, 4.52.

**1-(8-(4-methylbenzoyl)-3,4-dihydroquinolin-1(2H)-yl)ethan-1-one (3h)**. A yellow solid. Yield: 92%. m.p. 118-120°C.  $^1\text{H}$  NMR (400 MHz, Chloroform-*d*)  $\delta$  7.76 (d,  $J = 8.0$  Hz, 2H), 7.50 (d,  $J = 8.2$  Hz, 2H), 7.23 (d,  $J = 9.4$  Hz, 2H), 7.14 (d,  $J = 7.6$  Hz, 1H), 3.71 (t,  $J = 6.4$  Hz, 2H), 2.83 (t,  $J = 5.5$  Hz, 2H), 2.40 (s, 3H), 2.07 (t,  $J = 6.5$  Hz, 2H), 1.98 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz, Chloroform-*d*)  $\delta$  194.5, 169.9, 144.3, 143.0, 134.2, 130.7, 130.5, 130.4, 128.8, 127.2, 126.4, 124.5, 46.1, 27.0, 24.6, 22.4, 21.8. FT-IR (KBr disc): 1665, 1328  $\text{cm}^{-1}$ . UV-vis spectra absorption peak: 204, 240 nm. HRMS (EI) calcd for  $\text{C}_{19}\text{H}_{19}\text{NO}_2$   $[\text{M}]^+$ : 294.1495. Found: 294.1488. Anal. Calcd. for  $\text{C}_{19}\text{H}_{19}\text{NO}_2$ : Elemental Analysis: C, 77.79; H, 6.53; N, 4.77. Found: C, 77.83, H, 6.55; N, 4.71.

**1-(8-(4-methoxybenzoyl)-3,4-dihydroquinolin-1(2H)-yl)ethan-1-one (3i)**. A light solid. Yield: 85%. m.p. 122-124°C.  $^1\text{H}$  NMR (400 MHz, Chloroform-*d*)  $\delta$  7.90-7.83 (m, 2H), 7.64-7.57 (m, 1H), 7.35 (d,  $J = 14.9, 7.3$  Hz, 1H), 7.25-7.21 (m, 1H), 7.13 (t,  $J = 7.5$  Hz, 1H), 3.86 (s, 3H), 3.73 (t,  $J = 6.4$  Hz, 2H), 2.83 (t,  $J = 6.8$  Hz, 2H), 2.07 (t,  $J = 6.6$  Hz, 2H), 2.02 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz, Chloroform-*d*)  $\delta$  193.7, 169.8, 138.7, 135.2, 132.5, 131.5, 130.6, 128.2, 127.2, 126.4, 124.4, 113.4, 55.5, 46.1, 29.8, 26.9, 22.3. FT-IR (KBr disc): 1663, 1394

cm<sup>-1</sup>. UV-vis spectra absorption peak: 204, 230 nm. HRMS (EI) calcd for C<sub>19</sub>H<sub>19</sub>NO<sub>3</sub> [M]<sup>+</sup>: 310.1444. Found: 310.1450. Anal. Calcd. for C<sub>19</sub>H<sub>19</sub>NO<sub>3</sub>: Elemental Analysis: C, 73.77; H, 6.19; N, 4.53. Found: C, 73.75, H, 6.16; N, 4.58.

**1-(8-(4-fluorobenzoyl)-3,4-dihydroquinolin-1(2H)-yl)ethan-1-one (3j)**. A white solid. Yield: 90%. m.p. 129-131°C. <sup>1</sup>H NMR (400 MHz, Chloroform-*d*) δ 7.93-7.87 (m, 2H), 7.20 (dd, *J* = 7.6, 1.8 Hz, 1H), 7.15 (d, *J* = 7.4 Hz, 1H), 7.13-7.07 (m, 3H), 3.73 (t, *J* = 6.4 Hz, 2H), 2.85 (t, *J* = 6.7 Hz, 2H), 2.10 (m, 2H), 2.03 (s, 3H). <sup>13</sup>C NMR (100 MHz, Chloroform-*d*) δ 193.2, 169.9, 135.9, 134.5, 133.4, 131.6, 131.5, 131.0, 130.9, 128.3, 127.0, 126.5, 46.1, 27.0, 24.5, 22.5. FT-IR (KBr disc): 1668, 1328 cm<sup>-1</sup>. UV-vis spectra absorption peak: 206, 241 nm. HRMS (EI) calcd for C<sub>18</sub>H<sub>16</sub>FNO<sub>2</sub> [M]<sup>+</sup>: 298.1244. Found: 298.1253. Anal. Calcd. for C<sub>18</sub>H<sub>16</sub>FNO<sub>2</sub>: Elemental Analysis: C, 72.71; H, 5.42; F, 6.39; N, 4.71. Found: C, 72.75, H, 5.45; F 6.42, N, 4.61.

**1-(8-(4-chlorobenzoyl)-3,4-dihydroquinolin-1(2H)-yl)ethan-1-one (3k)**. A yellow solid. Yield: 88%. m.p. 152-154°C. <sup>1</sup>H NMR (400 MHz, Chloroform-*d*) δ 7.81 (d, *J* = 8.1 Hz, 2H), 7.41 (d, *J* = 7.8 Hz, 3H), 7.17 (dd, *J* = 16.7, 7.3 Hz, 2H), 3.73 (t, *J* = 6.4 Hz, 2H), 2.85 (t, *J* = 6.8 Hz, 2H), 2.08 (t, *J* = 6.5 Hz, 2H), 2.02 (s, 3H). <sup>13</sup>C NMR (100 MHz, Chloroform-*d*) δ 193.4, 170.0, 138.7, 135.3, 134.3, 133.4, 131.7, 131.1, 129.0, 128.4, 126.9, 124.5, 46.2, 27.0, 24.4, 22.5. FT-IR (KBr disc): 1673, 1394 cm<sup>-1</sup>. UV-vis spectra absorption peak: 206, 240 nm. HRMS (EI) calcd for C<sub>18</sub>H<sub>16</sub>ClNO<sub>2</sub> [M]<sup>+</sup>: 313.0949. Found: 313.0952. Anal. Calcd. for C<sub>18</sub>H<sub>16</sub>ClNO<sub>2</sub>: Elemental Analysis: C, 68.90; H, 5.14; Cl, 11.30; N, 4.46. Found: C, 68.89, H, 5.15; Cl 11.32, N, 4.44.

**1-(8-(4-bromobenzoyl)-3,4-dihydroquinolin-1(2H)-yl)ethan-1-one (3l)**. A yellow solid.

Yield: 87%. m.p. 144-146°C.  $^1\text{H}$  NMR (400 MHz, Chloroform-*d*)  $\delta$  7.74 (d,  $J = 8.3$  Hz, 2H), 7.57 (d,  $J = 8.3$  Hz, 2H), 7.22-7.08 (m, 3H), 3.72 (t,  $J = 6.4$  Hz, 2H), 2.84 (t,  $J = 6.8$  Hz, 2H), 2.07 (t,  $J = 6.5$  Hz, 2H), 2.01 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz, Chloroform-*d*)  $\delta$  193.6, 169.9, 136.1, 135.7, 134.2, 133.4, 131.8, 131.3, 131.2, 130.3, 126.9, 124.5, 46.2, 27.0, 24.4, 22.5. FT-IR (KBr disc): 1673, 1327  $\text{cm}^{-1}$ . UV-vis spectra absorption peak: 209, 245 nm. HRMS (EI) calcd for  $\text{C}_{18}\text{H}_{16}\text{BrNO}_2$   $[\text{M}]^+$ : 358.0443. Found: 358.0450. Anal. Calcd. for  $\text{C}_{18}\text{H}_{16}\text{BrNO}_2$ : Elemental Analysis: C, 60.35; H, 4.50; Br, 22.30; N, 3.90. Found: C, 60.39; H, 4.47; Br, 22.28; N, 3.91.

**1-(8-(4-nitrobenzoyl)-3,4-dihydroquinolin-1(2H)-yl)ethan-1-one (3m)**. A yellow solid. Yield: 82%. m.p. 172-174°C.  $^1\text{H}$  NMR (400 MHz, Chloroform-*d*)  $\delta$  8.28 (d,  $J = 8.2$  Hz, 2H), 8.04 (d,  $J = 8.4$  Hz, 2H), 7.32 (d,  $J = 6.8$  Hz, 1H), 7.16 (d,  $J = 7.1$  Hz, 2H), 3.75 (t,  $J = 6.3$  Hz, 2H), 2.88 (t,  $J = 6.7$  Hz, 2H), 2.12-2.08 (t, 2H), 2.04 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz, Chloroform-*d*)  $\delta$  192.5, 170.1, 149.9, 142.6, 135.5, 133.66, 133.3, 131.7, 131.2, 126.5, 124.6, 123.3, 46.3, 27.0, 24.3, 22.6. FT-IR (KBr disc): 1681, 1395  $\text{cm}^{-1}$ . UV-vis spectra absorption peak: 206, 262 nm. HRMS (EI) calcd for  $\text{C}_{18}\text{H}_{16}\text{N}_2\text{O}_4$   $[\text{M}]^+$ : 325.1189. Found: 325.1193. Anal. Calcd. for  $\text{C}_{18}\text{H}_{16}\text{N}_2\text{O}_4$ : Elemental Analysis: C, 66.66; H, 4.97; N, 8.64. Found: C, 66.70, H, 4.95; N, 8.62.

**1-(8-(3-methylbenzoyl)-3,4-dihydroquinolin-1(2H)-yl)ethan-1-one (3n)**. A light yellow solid. Yield: 93%. m.p. 91-93°C.  $^1\text{H}$  NMR (400 MHz, Chloroform-*d*)  $\delta$  7.62 (d,  $J = 7.0$  Hz, 1H), 7.41 (d,  $J = 11.9$  Hz, 1H), 7.34 (q,  $J = 7.4$  Hz, 4H), 7.15 (d,  $J = 7.6$  Hz, 1H), 3.69 (t,  $J = 6.4$  Hz, 2H), 2.83 (t,  $J = 6.7$  Hz, 2H), 2.39 (s, 3H), 2.07 (t,  $J = 6.6$  Hz, 2H), 1.97 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz, Chloroform-*d*)  $\delta$  195.0, 170.0, 137.7, 137.4, 134.8, 134.3, 133.4, 133.2,

130.9, 130.6, 127.9, 127.5, 127.4, 124.5, 46.1, 27.0, 24.5, 22.4, 21.5. FT-IR (KBr disc): 1666, 1392  $\text{cm}^{-1}$ . UV-vis spectra absorption peak: 206, 241 nm. HRMS (EI) calcd for  $\text{C}_{19}\text{H}_{19}\text{NO}_2$   $[\text{M}]^+$ : 294.1495. Found: 294.1498. Anal. Calcd. for  $\text{C}_{19}\text{H}_{19}\text{NO}_2$ : Elemental Analysis: C, 77.79; H, 6.53; N, 4.77. Found: C, 77.75; H, 6.54; N, 4.80.

**1-(8-(3-nitrobenzoyl)-3,4-dihydroquinolin-1(2H)-yl)ethan-1-one (3o)**. A yellow solid. Yield: 89%. m.p. 148-150°C.  $^1\text{H}$  NMR (400 MHz, Chloroform-*d*)  $\delta$  8.67 (t,  $J = 1.9$  Hz, 1H), 8.41-8.37 (m, 1H), 8.27 (dt,  $J = 7.7, 1.4$  Hz, 1H), 7.65 (t,  $J = 7.9$  Hz, 1H), 7.35 – 7.32 (m, 1H), 7.20-7.16 (m, 2H), 3.76 (t,  $J = 6.3$  Hz, 2H), 2.89 (t,  $J = 6.7$  Hz, 2H), 2.13-2.08 (m, 2H), 2.02 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz, Chloroform-*d*)  $\delta$  191.8, 170.0, 148.1, 139.0, 136.0, 135.6, 133.4, 133.3, 131.7, 129.3, 126.7, 126.6, 125.1, 124.7, 46.3, 27.0, 24.3, 22.6. FT-IR (KBr disc): 1670, 1345  $\text{cm}^{-1}$ . UV-vis spectra absorption peak: 206, 241 nm. HRMS (EI) calcd for  $\text{C}_{18}\text{H}_{16}\text{N}_2\text{O}_4$   $[\text{M}]^+$ : 325.1189. Found: 325.1195. Anal. Calcd. for  $\text{C}_{18}\text{H}_{16}\text{N}_2\text{O}_4$ : Elemental Analysis: C, 66.66; H, 4.97; N, 8.64. Found: C, 66.63; H, 4.98; N, 8.66.

**1-(8-(1-naphthoyl)-3,4-dihydroquinolin-1(2H)-yl)ethan-1-one (3p)**. A pink solid. Yield: 95%. m.p. 133-135°C.  $^1\text{H}$  NMR (400 MHz, DMSO-*d*<sub>6</sub>)  $\delta$  8.33-8.28 (m, 1H), 8.09 (d,  $J = 8.1$  Hz, 1H), 8.02-7.98 (m, 1H), 7.58- 7.48 (m, 3H), 7.44 (dd,  $J = 7.6, 3.2$  Hz, 3H), 7.29 (t,  $J = 7.6$  Hz, 1H), 3.15 (t,  $J = 6.5$  Hz, 2H), 2.70 (t,  $J = 6.6$  Hz, 2H), 1.87-1.82 (m, 2H), 1.29 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz, DMSO-*d*<sub>6</sub>)  $\delta$  194.7, 169.9, 136.4, 135.5, 135.3, 134.5, 133.3, 131.8, 131.41, 130.1, 128.5, 128.2, 127.1, 126.8, 126.2, 126.0, 124.9, 124.3, 44.7, 26.1, 24.0, 21.5. FT-IR (KBr disc): 1666, 1329  $\text{cm}^{-1}$ . UV-vis spectra absorption peak: 217, 240 nm. HRMS (EI) calcd for  $\text{C}_{22}\text{H}_{19}\text{NO}_2$   $[\text{M}]^+$ : 330.1495. Found: 330.1498. Anal. Calcd. for  $\text{C}_{22}\text{H}_{19}\text{NO}_2$ : Elemental Analysis: C, 80.22; H, 5.81; N, 4.25. Found: C, 80.27; H, 5.79; N, 4.22.

**1-(8-(2-naphthoyl)-3,4-dihydroquinolin-1(2H)-yl)ethan-1-one (3q).** A white solid. Yield: 90%. m.p. 152-154°C.  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO-}d_6$ )  $\delta$  8.18 (s, 1H), 8.10 (d,  $J = 8.0$  Hz, 1H), 8.03-7.99 (m, 2H), 7.76 (dd,  $J = 8.5, 1.7$  Hz, 1H), 7.62 (dt,  $J = 26.4, 7.2$  Hz, 3H), 7.45 (d,  $J = 7.3$  Hz, 1H), 7.36-7.25 (m, 2H), 3.55 (t,  $J = 6.4$  Hz, 2H), 2.82 (t,  $J = 6.7$  Hz, 2H), 2.00 (t,  $J = 6.5$  Hz, 2H), 1.62 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{DMSO-}d_6$ )  $\delta$  193.2, 169.4, 135.9, 134.5, 134.4, 133.7, 133.7, 131.8, 131.1, 130.4, 129.2, 128.1, 127.6, 127.4, 126.7, 126.6, 125.3, 124.5, 45.2, 26.3, 23.9, 21.9. FT-IR (KBr disc): 1657, 1398  $\text{cm}^{-1}$ . UV-vis spectra absorption peak: 216, 241 nm. HRMS (EI) calcd for  $\text{C}_{22}\text{H}_{19}\text{NO}_2$   $[\text{M}]^+$ : 330.1495. Found: 330.1489. Anal. Calcd. for  $\text{C}_{22}\text{H}_{19}\text{NO}_2$ : Elemental Analysis: C, 80.22; H, 5.81; N, 4.25. Found: C, 80.19, H, 5.82; N, 4.27.

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## Palladium-Catalyzed Decarboxylative ortho-Aroylation of N-Acetyl-1, 2, 3, 4-Tetrahydroquinolines with $\alpha$ -Oxoarylacetic Acids

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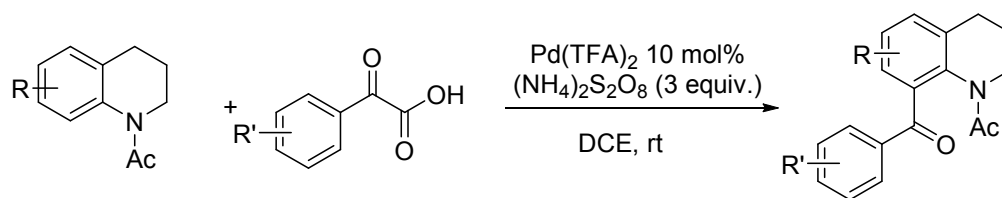
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A mild, practical and efficient palladium-catalyzed decarboxylative ortho-aroylation of N-acetyl-1, 2, 3, 4-tetrahydroquinolines with  $\alpha$ -oxoarylacetic acids via C-H bond activation is described. This protocol provides efficient access to a series of C8- aroyl tetrahydroquinolines.