# Lithium *tert*-Butoxide Mediated α-Alkylation of Ketones with Primary Alcohols under Transition-metal-free Conditions

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Entry	LiOtBu sources	GC yield (%)
1	Alfa Aesar	92
2	J&K	90
3	Aladdin	93
4	Adamas	92
5	Alfa Aesar (purified by sublimation)	92

<b>Table S1:</b> Reactions with different LIOIBU sour
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<sup>*a*</sup> Reaction conditions: acetophenone **1a** (0.5 mmol), phenylmethanol **2a** (0.75 mmol), LiO*t*Bu (1 mmol), toluene (2 mL), 110 °C, for 12 h, under argon.

#### ICP Analysis of LiOtBu

LiO*t*Bu purified by sublimation: ICP-AES (Inductively Coupled Plasma-Atomic Emission Spectrometry) of LiO*t*Bu: A sample was prepared by dissolving LiO*t*Bu (0.5 g) with nitric acid (0.5 mL) in pure water (total amount = 10 mL). Analysis was conducted on Optima 7300 DV (Perkin Elmer Corporation). The contents of K, Na, Al, Ca, S, and Mg are shown in Table S2. The contents of other elements (Ru, Ir, Pd, Ni, Ti, Ag, Rh, Cu and Fe) were found to be less than detection limit (0.1 ppm).

Table S2: ICP-AES analysis on the contents (ppm) of elements in LiOtBu

K	Na	Al	Ca	S	Mg
0.77	1.23	0.35	0.46	0.75	0.6

LiO*t*Bu purified by sublimation: ICP-MS (Inductively Coupled Plasma-Mass Spectrometry) of LiO*t*Bu: A sample was prepared by diluting LiO*t*Bu (sample of ICP-AES) in 500-fold. Analysis was conducted on X Series 2 (Thermo Fisher Scientific). The contents of the elements that was not observed in ICP-AES analysis above are shown in Table S3.

Table S3: ICP-MS analysis on the contents (ppm) of elements in LiOtBu

Ru	Ir	Pd	Ni	Ti	Ag	Rh	Cu	Fe
< 0.01	< 0.01	< 0.01	< 0.01	< 0.01	< 0.01	< 0.01	< 0.01	0.05

The reaction using LiO*t*Bu purified by sublimation by us gave coupling product **3aa** in 92% yield. The contents of transition metals in the sublimed LiO*t*Bu all were <0.1 ppm (ICP-AES and ICP-MS analysis). On the other hand, different sources of LiO*t*Bu from Alfa Aesar, J&K, Aladdin, and Adamas were used with new glassware, almost the same results were obtained. These results amply indicate that the coupling is promoted by LiO*t*Bu itself rather than catalyzed by contaminated transition metals.

	o 1a +	2а	cat. 10 mol% Base 2 equiv Solvent 2 mL T, 12 h, Ar	O J J J J J J J J J J J J J J J J J J J	
Entry	Cat.	Solvent	Base	T [°C]	Yield [%] <sup>b</sup>
1	Cu(OAc) <sub>2</sub>	dioxane	КОН	100	33
2	$CuSO_4$	dioxane	КОН	100	31
3	Cu(NO <sub>3</sub> ) <sub>2</sub>	dioxane	КОН	100	31
4	Cu(acac) <sub>2</sub>	dioxane	КОН	100	30
5	Cu(OTf) <sub>2</sub>	dioxane	КОН	100	15
6	$CuCl_2$	dioxane	КОН	100	37
7	CuBr <sub>2</sub>	dioxane	КОН	100	42
8	CuI	dioxane	КОН	100	31
9	CuBr	dioxane	КОН	100	28
10	CuCl	dioxane	КОН	100	25
11	Cu <sub>2</sub> O	dioxane	КОН	100	25
$12^c$	$Cu(OAc)_2$	dioxane	КОН	100	37
$13^d$	$Cu(OAc)_2$	dioxane	КОН	100	44
$14^e$	$Cu(OAc)_2$	dioxane	КОН	100	41
15	FeCl <sub>3</sub>	dioxane	КОН	100	25
16	FeCl <sub>2</sub>	dioxane	КОН	100	31
17 <sup>f</sup>	Pd(OAc) <sub>2</sub>	dioxane	КОН	100	18
$18^{f}$	PdCl <sub>2</sub>	dioxane	КОН	100	27
19	$Cu(OAc)_2$	toluene	КОН	110	39
20	$Cu(OAc)_2$	DMSO	КОН	110	trace
21	$Cu(OAc)_2$	DMF	КОН	110	trace
22	$Cu(OAc)_2$	NMP	КОН	110	trace
23	Cu(acac) <sub>2</sub>	toluene	CsOH	110	26
24	$CuSO_4$	toluene	NaH	110	30
25	CuI	toluene	Et <sub>3</sub> N	110	trace
26	Cu(OAc) <sub>2</sub>	toluene	LiOtBu	110	86
27	CuCl <sub>2</sub>	toluene	LiOtBu	110	87
28	—	toluene	LiOtBu	110	92

Table S4: The reactions of 1a and 2a catalyzed by different catalysts.<sup>a</sup>

<sup>*a*</sup> Reaction conditions: acetophenone **1a** (0.5 mmol), phenylmethanol **2a** (0.75 mmol), catalyst (0.05 mmol), base (1.0 mmol), solvent (2 mL), for 12 h, under argon. <sup>*b*</sup> The yields were determined by GC (average of two GC runs). <sup>*c*</sup> 0.1 mmol 1,10-phenanthroline was added. <sup>*d*</sup> 0.1 mmol 2-acetylcyclohexanone was added. <sup>*f*</sup> 0.02 mmol catalyst was added. DMSO = dimethylsulfoxide, DMF = N,N-dimethylformamide, NMP = 1-methylpyrrolidin-2-one.

		OH LiOtBu 2 equiv		
Entry	solvent	T, 12 n, Ar	Jaa Yield [%] <sup>c</sup>	
1	toluene	110	92	
2	dioxane	101	49	
3	DMSO	110	trace	
4	DMF	110	trace	
5	NMP	110	trace	
6	benzene	80	38	
7	o-xylene	110	63	
8	<i>m</i> -xylene	110	81	
9	<i>p</i> -xylene	110	58	
10	xylene	110	85	
11	THF	65	37	
12	hexane	69	33	
13	DCE	83	26	
14	MeNO <sub>2</sub>	100	trace	
15	CH <sub>3</sub> CN	81	29	
16	DMAc	110	3	
17	pyridine	110	20	
18	toluene	80	83	
19	toluene	60	36	
20	toluene	r.t.	6	

Table S5: The reactions of 1a and 2a in different solvents.<sup>a</sup>

<sup>*a*</sup> Reaction conditions: acetophenone **1a** (0.5 mmol), phenylmethanol **2a** (0.75 mmol), LiO*t*Bu (1.0 mmol), solvent (2 mL), for 12 h, under argon. <sup>*b*</sup> Oil temperature. <sup>*c*</sup> The yields were determined by GC (average of two GC runs). DMSO = dimethylsulfoxide, DMF = N,N-dimethylformamide, NMP = 1-methylpyrrolidin-2-one, THF = tetrahydrofuran, DCE = 1,2-dichloroethane, DMAc = N,N-dimethylacetamide.

	+ OH Base 2 equiv toluene 2 mL 2a 110 °C, 12 h, Ar	3aa
Entry	Base	Yield [%] <sup>b</sup>
1	LiOtBu	92
2	NaOtBu	37
3	KOtBu	7
4	$K_2CO_3$	trace
5	$K_3PO_4$	trace
6	$Cs_2CO_3$	trace
7	NaH	30
8	Et <sub>3</sub> N	trace
9	CsOH	31
10	КОН	29
11	NaOH	25
12	LiOH	trace
13	LiOH • H <sub>2</sub> O	trace
14	$Ba(OH)_2 \cdot H_2O$	trace
15	Li <sub>2</sub> CO <sub>3</sub>	trace
16	LiOAc	trace
17	LiBr	trace
18	LiOMe	41
19	LiOEt	53
20		trace

Table S6: The reactions of 1a and 2a with different bases.<sup>a</sup>

<sup>*a*</sup> Reaction conditions: acetophenone **1a** (0.5 mmol), phenylmethanol **2a** (0.75 mmol), base (1.0 mmol), toluene (2 mL), 110 °C, for 12 h, under argon. <sup>*b*</sup> The yields were determined by GC (average of two GC runs).

#### **Mechanistic Studies:**



Typical Procedure: After standard cycles of evacuation and back-filling with dry and pure argon, an oven-dried Schlenk tube equipped with a magnetic stirring bar was charged with LiO*t*Bu (1 mmol, 80 mg). The tube was evacuated and backfilled with argon (this procedure was repeated three times). Under a counter flow of argon, the acetophenone **1a** (0.5 mmol, 60 mg), phenylmethanol **2a** (0.75 mmol, 81 mg), 1,4-cyclohexadiene (1 mmol, 80 mg) or 2,2,6,6-tetramethyl-1-piperidinyloxy (1 mmol, 157 mg) or 1, 1-dibenzenethene (1 mmol, 180 mg) and toluene (2.0 mL) were added by syringe. The tube was sealed and the mixture was allowed to stir at 80-110°C for 12 h. The reaction mixture was then allowed to cool to ambient temperature, and quenched by the addition of a saturated NH<sub>4</sub>Cl solution (5 mL) and extracted with diethyl ether (3×10 ml). Organic layers were gathered, dried over Na<sub>2</sub>SO<sub>4</sub>, filtered and concentrated in vacuum. The obtained crude product was purified by column chromatography on silica gel using mixtures of petroleum ether/e thyl acetate (30/1) and the product was dried under high vacuum for 0.5 h.

We added the radical inhibitor (i.e. 1,4-cyclohexadiene, TEMPO, and 1,1diphenylethylene) to the reactions. It is found that such radical inhibitors only slowed down the reaction slightly but did not stop it (eq 1). It suggested that this reaction may not proceed through a radical pathway.

## Intermolecular Kinetic Isotopic Effect



We conducted kinetic isotope experiments. According to two separated reactions with **1a** and **2a**, **1a** and **2a-D** under the standard conditions, the intermolecular KIE (kinetic isotope effect) value of 2.4 was obtained (eq 2). This result indicated that the cleavage of C-H bond in alcohols should be involved in the rate-limiting step.

#### **Lithium Trapping Experiments**



Typical Procedure: After standard cycles of evacuation and back-filling with dry and pure argon, an oven-dried Schlenk tube equipped with a magnetic stirring bar was charged with LiO*t*Bu (1 mmol, 80 mg). The tube was evacuated and backfilled with argon (this procedure was repeated three times). Under a counter flow of argon, the acetophenone **1a** (0.5 mmol, 60 mg), phenylmethanol **2a** (0.75 mmol, 81mg), 12-crown-4 (2 mmol, 352 mg) and toluene (2.0 mL) were added by syringe. The tube was sealed and the mixture was allowed to stir at room temperature for 1 h, and then at 110°C for 12 h. The reaction mixture was then allowed to cool to ambient temperature, and quenched by the addition of a saturated NH<sub>4</sub>Cl solution (5 mL) and extracted with diethyl ether (3×10 ml). The yield was 19% determined by GC with biphenyl as internal standard.

Since remarkably distinct results were obtained among KOtBu, NaOtBu, and LiOtBu, which the major difference is the countercation, we were attracted to investigating the role of the alkali metal ion (eq 3). Two equivalent (based on LiOtBu) amount of 12-crown-4, a known lithium chelator, was added to trap the Li<sup>+</sup> cation during the course of the transformation, and a significantly low yield (19%) was observed. This result suggested that the Li<sup>+</sup> cation possibly involved in this reaction.

## Labeling Experiments



The D was determined by <sup>1</sup>H NMR. The reaction of  $\alpha, \alpha, \alpha$ -trideuterioacetophenone with **2a** 50% deuterium was found at the  $\alpha$ -position, while the reaction using deuterated benzyl alcohol with **1a** afforded the product **3aa** with 23% deuterium at the  $\alpha$ -position, no deuterium incorporation was decteted at the  $\beta$ -position (eq 4). It should be noted that the  $\alpha$ -hydrogen of ketones and the hydroxyl hydrogen are very active to occur D-H exchange under base conditions, and even during the work-up.



The reaction using  $\alpha,\alpha$ -dideuterobenzyl alcohol as the labeled reagent, gave the product **3aa** with full incorporation at the  $\beta$ -position, and no deuterium was found at the  $\alpha$ -position. Moreover, when a equimolecular amount of  $\alpha,\alpha$ -dideuterobenzyl alcohol and 4-methoxybenzyl alcohol were reacted with **2a**, deuterated products **3aa** was isolated in 41% yield with 60% deuterium at the  $\beta$ -position and 52% yield of **3ab** was formed with 25% deuterium incorporation, as determined by <sup>1</sup>H NMR and HRMS method (eq 5).

#### **Preparation of deuterated reagents**

I. Preparation of benzyl alcohol-OD (PhCH<sub>2</sub>OD)<sup>1</sup>

Put phenylmethanol **2a** (10 mmol, 1080mg) solved in 10ml D<sub>2</sub>O. The mixture was stirred for 48 hours under room temperature. And then, concentrating in vacuum the residue was purified by flash chromatography on a short silica gel (eluent: petroleum ether/ethyl acetate = 5:1) to afford 1020 mg (94%, D% = 87%) of PhCH<sub>2</sub>OD.

#### II. Preparation of $\alpha, \alpha, \alpha$ -trideuterioacetophenone (PhCOCD<sub>3</sub>)<sup>2</sup>

Put acetophenone **1a** (10 mmol, 1200mg) solved in 10ml D<sub>2</sub>O. And then, 2 mL NaOD/D<sub>2</sub>O solution (10% in D<sub>2</sub>O) was added into the reaction system. The mixture was stirred for 48 hours under room temperature. And then, concentrating in vacuum the residue was purified by flash chromatography on a short silica gel (eluent: petroleum ether/ethyl acetate = 10:1) to afford 1180 mg (96%, D% = 91%) of PhCOCD<sub>3</sub>.

### III. Preparation of $\alpha$ , $\alpha$ -dideuteriobenzyl alcohol (PhCD<sub>2</sub>OH)<sup>3</sup>

Put LiAlD<sub>4</sub> (0.30 g, 7.2 mmol) solved in THF (20 mL) at 0°C. And then, a solution of methyl benzoate (10 mmol, 1362mg) in THF (20 mL) was added into the reaction system. The mixture was stirred for 2 hours at 0 °C. The resulting solution was quenched with HCl 1N and was extracted with ether (3×20 mL). And then, concentrating in vacuum the residue was purified by flash chromatography on a short silica gel (eluent: petroleum ether/ethyl acetate = 5:1) to afford 1080 mg (98%, D% > 99%) of PhCD<sub>2</sub>OH.

#### **General Remarks**

All reactions were carried out in an oven-dried flask under a pure and dry argon atmosphere. LiOtBu was purchased from Alfa Aesar, J&K, Aladdin, Adamas, and used in text was from Alfa Aesar. Generally, ketones and alcohols were purchased from commercial sources (Aldrich, Acros, Alfa Aesar, Aladdin, Adamas) and distilled when necessary. All solvents were dried and distilled by standard methods. These solvents were transferred by syringe to the reaction flask. Analytical thin layer chromatography (TLC) was performed using Merck silica gel GF254 plates. Flash column chromatography was performed with silica gel (200-300 mesh). NMR spectra were recorded using a Bruker Avance 300 or 400 instruments. Gas chromatographic (GC) analysis was performed on a Shimadzu GC-2014 Series GC System. GC-MS analysis was performed on Finnigan LCQ advantage Max Series MS System.

#### **General Procedure and Analytical data**

After standard cycles of evacuation and back-filling with dry and pure argon, an oven-dried Schlenk tube equipped with a magnetic stirring bar was charged with LiO*t*Bu (1 mmol, 80 mg), the ketones if a solid (0.5 mmol, 1 equiv), alcohol if a solid (0.75 mmol, 1.5 equiv). The tube was evacuated and backfilled with argon (this procedure was repeated three times). Under a counter flow of argon, the ketones if a liquid (0.5 mmol, 1 equiv), alcohol if a liquid (0.75 mmol, 1.5 equiv) and toluene (2.0 mL) were added by syringe. The tube was sealed and the mixture was allowed to stir at 80-110°C for 12-36 h. The reaction mixture was then allowed to cool to ambient temperature, and quenched by the addition of a saturated NH<sub>4</sub>Cl solution (5 mL) and extracted with diethyl ether (10 ml ×3). Organic layers were gathered, dried over Na<sub>2</sub>SO<sub>4</sub>, filtered and concentrated in vacuum. The obtained crude product was purified by column chromatography on silica gel using suitable mixtures of petroleum ether/ethyl acetate and the product was dried under vacuum for at least 0.5 h.



**1,3-diphenylpropan-1-one.**<sup>5</sup> The reaction of acetophenone **1a** (60 mg, 0.5 mmol), phenylmethanol **2a** (81 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 94 mg (90%) of **3aa**. **3aa**: white solid; m. p. 73-74 °C; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  7.95 (d, *J* = 6.9 Hz, 2H), 7.55 (t, *J* = 7.2 Hz, 1H), 7.44 (t, *J* = 7.8 Hz, 2H), 7.32-7.17 (m, 5H), 3.30 (t, *J* = 6.9 Hz, 2H), 3.07 (t, *J* = 8.1 Hz, 2H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  199.1, 141.2, 136.8, 132.9, 128.5, 128.4, 128.3, 127.9, 126.0, 40.3, 30.1ppm; HRMS *m/z* (ESI) calcd. for C<sub>15</sub>H<sub>15</sub>O (M + H)<sup>+</sup> 211.1117, found 211.1118.



**3-(4-methoxyphenyl)-1-phenylpropan-1-one.**<sup>1</sup> The reaction of acetophenone **1a** (60 mg, 0.5 mmol), (4-methoxyphenyl)methanol **2b** (103.5 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 109 mg (91%) of **3ab**. **3ab**: white solid; m. p. 66-67 °C; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  7.96 (d, *J* = 7.2 Hz, 2H), 7.55 (t, *J* = 7.5 Hz, 1H), 7.45 (t, *J* = 7.8 Hz, 2H), 7.18 (d, *J* = 8.7 Hz, 2H), 6.85 (d, *J* = 8.4 Hz, 2H), 3.79 (s, 3H), 3.27 (t, *J* = 6.9 Hz, 2H), 3.02 (t, *J* = 7.8 Hz, 2H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  199.2, 157.9, 136.8, 133.2, 132.9, 129.2, 128.5, 127.9, 113.8, 55.1, 40.6, 29.2 ppm; HRMS *m*/*z* (ESI) calcd. for C<sub>16</sub>H<sub>17</sub>O<sub>2</sub> (M + H)<sup>+</sup> 241.1223, found 241.1224.



3):

**1-phenyl-3-p-tolylpropan-1-one.**<sup>4m</sup> The reaction of acetophenone **1a** (60 mg, 0.5 mmol), *p*-tolylmethanol **2c** (91.5 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 103 mg (92%) of **3ac**. **3ac**: white solid; m. p. 47-48 °C;<sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  7.97 (d, *J* = 6.9 Hz, 2H), 7.56 (t, *J* = 7.5 Hz, 1H), 7.46 (t, *J* = 7.8 Hz, 2H), 7.26-7.11 (m, 4H), 3.30 (t, *J* = 6.9 Hz, 2H), 3.05 (t, *J* = 7.8 Hz, 2H), 2.34 (s, 3H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  198.2, 137.1, 135.8, 134.5, 131.9, 128.1, 127.5, 127.2, 126.9, 39.5, 28.6, 19.9 ppm; HRMS *m/z* (ESI) calcd. for C<sub>16</sub>H<sub>17</sub>O (M + H)<sup>+</sup> 225.1274, found 225.1276.



**3-(4-chlorophenyl)-1-phenylpropan-1-one.**<sup>1</sup> The reaction of acetophenone **1a** (60 mg, 0.5 mmol), (4-chlorophenyl)methanol **2e** (106.5 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 102 mg (84%) of **3ae**. **3ae**: white solid; m. p. 58-59 °C; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  7.85 (d, *J* = 7.2 Hz, 2H), 7.46 (t, *J* = 7.5 Hz, 1H), 7.35 (t, *J* = 7.8 Hz, 2H), 7.15 (d, *J* = 8.4 Hz, 2H), 7.08 (d, *J* = 8.4 Hz, 2H), 3.18 (t, *J* = 7.2 Hz, 2H), 2.94 (t, *J* = 7.8 Hz, 2H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$ 

198.7, 139.6, 136.7, 133.0, 131.8, 129.7, 128.6, 128.5, 127.9, 40.0, 29.3 ppm; HRMS m/z (ESI) calcd. for C<sub>15</sub>H<sub>14</sub>ClO (M + H)<sup>+</sup> 245.0728, found 245.0727.



**3-(3-bromophenyl)-1-phenylpropan-1-one.** The reaction of acetophenone **1a** (60 mg, 0.5 mmol), (3-bromophenyl)methanol **2f** (139.5 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 125 mg (87%) of **3af**. **3af**: white solid; m. p. 80-81 °C;<sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  7.88 (d, *J* = 7.2 Hz, 2H), 7.51-7.07 (m, 7H), 3.21 (t, *J* = 7.2 Hz, 2H), 2.96 (t, *J* = 7.8 Hz, 2H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  197.5, 142.6, 135.7, 132.1, 130.4, 129.0, 128.2, 127.6, 126.9, 126.1, 121.5, 38.9, 28.6 ppm; HRMS *m*/*z* (ESI) calcd. for C<sub>15</sub>H<sub>14</sub>BrO (M + H)<sup>+</sup> 289.0223, found 289.0225.



**3-(2-chlorophenyl)-1-phenylpropan-1-one.**<sup>6</sup> The reaction of acetophenone **1a** (60 mg, 0.5 mmol), (2-chlorophenyl)methanol **2g** (106.5 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 99 mg (81%) of **3ag**. **3ag**: colorless oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  7.97 (d, *J* = 6.9 Hz, 2H), 7.56 (t, *J* = 7.5 Hz, 1H), 7.45 (t, *J* = 7.8 Hz, 2H), 7.38-7.30 (m, 2H), 7.22-7.13 (m, 2H), 3.32 (t, *J* = 6.6 Hz, 2H), 3.19 (t, *J* = 6.9 Hz, 2H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  198.8, 138.8, 136.7, 133.9, 133.0, 130.7, 129.5, 128.5, 128.0, 127.6, 126.9, 38.3, 28.2 ppm; HRMS *m/z* (ESI) calcd. for C<sub>15</sub>H<sub>14</sub>ClO (M + H)<sup>+</sup> 245.0728, found 245.0731.



**3-phenyl-1-***p***-tolylpropan-1-one.**<sup>5</sup> The reaction of 1-*p*-tolylethanone **1b** (67 mg, 0.5 mmol), phenylmethanol **2a** (81 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 105 mg (94%) of **3ba**. **3ba**: white solid; m. p. 67-68 °C; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  7.77 (d, *J* = 8.1 Hz, 2H), 7.24-7.11 (m, 7H), 3.19 (t, *J* = 6.9 Hz, 2H), 2.98 (t, *J* = 7.8 Hz, 2H), 2.32 (s, 3H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  198.7, 143.7, 141.3, 134.3, 129.2, 128.4, 128.3, 128.1, 126.0, 40.2, 30.1, 21.5 ppm; HRMS *m*/*z* (ESI) calcd. for C<sub>16</sub>H<sub>17</sub>O (M + H)<sup>+</sup> 225.1274, found 225.1274.



**1-(4-methoxyphenyl)-3-phenylpropan-1-one.**<sup>5</sup> The reaction of 1-(4-methoxyphenyl) ethanone **1c** (75 mg, 0.5 mmol), phenylmethanol **2a** (81 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 110 mg (92%) of **3ca. 3ca**: white solid; m. p. 96-97 °C; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): δ 7.93 (d, J = 9.0 Hz, 2H), 7.31-7.19 (m, 5H), 6.91 (d, J = 8.7 Hz, 2H), 3.85 (s, 3H), 3.24 (t, J = 7.5 Hz, 2H), 3.05 (t, J = 8.1 Hz, 2H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>): δ 197.7, 163.4, 141.4, 130.2, 129.9, 128.4, 128.3, 126.0, 113.6, 55.3, 40.0, 30.2 ppm; HRMS *m/z* (ESI) calcd. for C<sub>16</sub>H<sub>17</sub>O<sub>2</sub> (M + H)<sup>+</sup> 241.1223, found 241.1220.



**3-phenyl-1-(4-(trifluoromethyl)phenyl)propan-1-one.**<sup>7</sup> The reaction of 1-(4-(trifluoromethyl)phenyl)ethanone **1d** (94 mg, 0.5 mmol), phenylmethanol **2a** (81 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 136 mg (98%) of **3da**. **3da**: white solid; m. p. 50-51 °C; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$ 7.95 (d, *J* = 8.4 Hz, 2H), 7.62 (d, *J* = 8.4 Hz, 2H), 7.24-7.12 (m, 5H), 3.23 (t, *J* = 7.8 Hz, 2H), 2.99 (t, *J* = 7.8 Hz, 2H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  198.1, 140.8, 139.4, 134.5, 134.1, 128.5, 128.4, 128.3, 128.2, 125.7-125.5 (q, *J* = 3.75 Hz), 40.6, 29.9 ppm; HRMS *m*/*z* (ESI) calcd. for C<sub>16</sub>H<sub>14</sub>F<sub>3</sub>O<sub>2</sub> (M + H)<sup>+</sup> 279.0991, found 279.0992.



**1-(4-fluorophenyl)-3-phenylpropan-1-one.**<sup>8</sup> The reaction of 1-(4-fluorophenyl)ethanone **1f** (69 mg, 0.5 mmol), phenylmethanol **2a** (81 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 111 mg (97%) of **3fa**. **3fa**: colorless oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): δ 7.98 (d, J = 5.4 Hz, 2H), 7.29-7.19 (m, 5H), 7.10 (t, J = 8.4 Hz, 2H), 3.26 (t, J = 7.5 Hz, 2H), 3.05 (t, J = 7.2 Hz, 2H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>): δ 197.4, 167.3, 163.9, 141.0, 133.3, 130.0, 128.5, 126.1, 115.7, 40.2, 30.0 ppm; HRMS m/z (ESI) calcd. for C<sub>15</sub>H<sub>14</sub>FO (M + H)<sup>+</sup> 229.1023, found 229.1022.



**1-(4-chlorophenyl)-3-phenylpropan-1-one.**<sup>9</sup> The reaction of 1-(4-chlorophenyl)ethanone **1g** (77 mg, 0.5 mmol), phenylmethanol **2a** (81 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 116 mg (95%) of **3ga**. **3ga**: white solid; m. p. 75-76 °C; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): δ 7.79 (d, J = 8.7 Hz, 2H), 7.32 (d, J = 8.7 Hz, 2H), 7.24-7.12 (m, 5H), 3.18 (t, J = 7.2 Hz, 2H), 2.97 (t, J = 7.8Hz, 2H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>): δ 197.9, 141.0, 139.4, 135.1, 129.4, 128.8, 128.5, 128.3, 126.1, 40.3, 30.0 ppm; HRMS *m*/*z* (ESI) calcd. for C<sub>15</sub>H<sub>14</sub>ClO (M + H)<sup>+</sup> 245.0728, found 245.0730.



**1-(4-bromophenyl)-3-phenylpropan-1-one.**<sup>10</sup> The reaction of 1-(4-bromophenyl)ethanone **1h** (99 mg, 0.5 mmol), phenylmethanol **2a** (81 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 137 mg (95%) of **3ha. 3ha**: white solid; m. p. 96-99 °C; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): δ 7.73 (d, J = 8.7 Hz, 2H), 7.51 (d, J = 8.4 Hz, 2H), 7.24-7.10 (m, 5H), 3.18 (t, J = 7.2 Hz, 2H), 2.98 (t, J = 7.8Hz, 2H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>): δ 198.0, 141.0, 135.5, 131.8, 129.5, 128.5, 128.3, 128.1, 126.2, 40.3, 30.0 ppm; HRMS *m*/*z* (ESI) calcd. for C<sub>15</sub>H<sub>14</sub>BrO (M + H)<sup>+</sup> 289.0223, found 289.0225.



**1-(4-iodophenyl)-3-phenylpropan-1-one.** The reaction of 1-(4-iodophenyl)ethanone **1i** (123 mg, 0.5 mmol), phenylmethanol **2a** (81 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 161 mg (96%) of **3ia**. **3ia**: white solid; m. p. 118-119 °C; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): δ 7.23 (d, J = 8.4 Hz, 2H), 7.57 (d, J = 8.7 Hz, 2H), 7.24-7.12 (m, 5H), 3.17 (t, J = 6.9 Hz, 2H), 2.97 (t, J = 7.8 Hz, 2H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>): δ 198.4, 141.0, 137.9, 136.1, 129.4, 128.5, 128.3, 126.2, 100.9, 40.3, 30.0 ppm; HRMS *m*/*z* (ESI) calcd. for C<sub>15</sub>H<sub>14</sub>IO (M + H)<sup>+</sup> 337.0084, found 337.0084.



**3-phenyl-1-***o***-tolylpropan-1-one.**<sup>5</sup> The reaction of 1-*o*-tolylethanone **1j** (67 mg, 0.5 mmol), phenylmethanol **2a** (81 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene

(2.0 mL), at 110 °C, under Ar, for 12 h, afforded 89 mg (79%) of **3ja**. **3ja**: colorless oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  7.48 (d, *J* = 7.5 Hz, 1H), 7.24-7.09 (m, 8H), 3.12 (t, *J* = 6.9 Hz, 2H), 2.94 (t, *J* = 7.8 Hz, 2H), 2.36 (s, 3H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  203.2, 141.1, 138.0, 137.8, 131.8, 131.1, 128.4, 128.3, 128.2, 126.0, 125.5, 43.1, 30.2, 21.1 ppm; HRMS *m*/*z* (ESI) calcd. for C<sub>16</sub>H<sub>17</sub>O (M + H)<sup>+</sup> 225.1274, found 225.1273.



**1-(2-hydroxyphenyl)-3-phenylpropan-1-one.** The reaction of 1-(2-hydroxyphenyl)ethanone **1k** (68 mg, 0.5 mmol), phenylmethanol **2a** (81 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 95 mg (84%) of **3ka**. **3ka**: pale yellow oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  12.2 (s, 1H), 7.64 (d, *J* = 8.1 Hz, 1H), 7.36 (t, *J* = 6.9 Hz, 1H), 7.39-7.12 (m, 5H), 6.89 (d, *J* = 8.4 Hz, 1H), 6.78 (t, *J* = 7.2 Hz, 1H), 3.24 (t, *J* = 6.9 Hz, 2H), 2.98 (t, *J* = 8.1 Hz, 2H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  205.3, 162.4, 140.6, 136.2, 129.7, 128.5, 128.3, 126.2, 119.2, 118.8, 118.5, 39.9, 30.0 ppm; HRMS *m/z* (ESI) calcd. for C<sub>15</sub>H<sub>15</sub>O<sub>2</sub> (M + H)<sup>+</sup> 227.1067, found 227.1066.



**3-phenyl-1-***m***-tolylpropan-1-one.**<sup>5</sup> The reaction of 1-*m*-tolylethanone **11** (67 mg, 0.5 mmol), phenylmethanol **2a** (81 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 101 mg (90%) of **3la**. **3la**: colorless oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  7.65 (d, *J* = 8.1 Hz, 2H), 7.28-7.11 (m, 7H), 3.19 (t, *J* = 6.9 Hz, 2H), 2.97 (t, *J* = 8.1 Hz, 2H), 2.30 (s, 3H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  199.2, 141.3, 138.2, 136.8, 133.7, 128.5, 128.4, 128.39, 128.36, 126.0, 125.1, 40.4, 30.1, 21.2 ppm; HRMS *m*/*z* (ESI) calcd. for C<sub>16</sub>H<sub>17</sub>O (M + H)<sup>+</sup> 225.1274, found 225.1274.



**1-(naphthalen-1-yl)-3-phenylpropan-1-one.**<sup>5</sup> The reaction of 1-(naphthalen-1-yl)ethanone **1m** (85 mg, 0.5 mmol), phenylmethanol **2a** (81 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 118 mg (91%) of **3ma. 3ma**: white solid; m. p. 50-51 °C; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): δ 8.45 (d, J = 8.4 Hz, 1H), 7.83 (d, J = 8.1 Hz, 1H), 7.74-7.66 (m, 2H), 7.45-7.29 (m, 3H), 7.23-7.08 (m, 5H), 3.25 (t, J = 7.2 Hz, 2H), 3.02 (t, J = 7.8 Hz, 2H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>): δ 203.4, 145.7, 141.0, 136.0, 132.5, 129.3, 128.5, 128.4, 128.3, 127.8, 127.3, 126.4, 126.1, 125.7, 124.3, 43.7, 30.5 ppm; HRMS m/z (ESI) calcd. for C<sub>19</sub>H<sub>17</sub>O (M + H)<sup>+</sup> 261.1274, found 261.1275.



**1-(naphthalen-2-yl)-3-phenylpropan-1-one.**<sup>5</sup> The reaction of 1-(naphthalen-2-yl)ethanone **1n** (85 mg, 0.5 mmol), phenylmethanol **2a** (81 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 118 mg (91%) of **3na. 3na**: white solid; m. p. 92-93 °C; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): δ 8.35 (s, 1H), 7.94 (d, J = 8.7 Hz, 1H), 7.84-7.75 (m, 3H), 7.51-7.41 (m, 2H), 7.25-7.18 (m, 4H), 7.15-7.10 (m, 1H), 3.33 (t, J = 7.2 Hz, 2H), 3.03 (t, J = 8.1 Hz, 2H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>): δ 197.9, 140.3, 134.5, 133.1, 131.4, 128.5, 128.4, 127.9, 127.5, 127.4, 127.3, 126.6, 125.6, 125.1, 122.7, 39.4, 29.2 ppm; HRMS m/z (ESI) calcd. for C<sub>19</sub>H<sub>17</sub>O (M + H)<sup>+</sup> 261.1274, found 261.1274.



**2-benzyl-3,4-dihydronaphthalen-1**(*2H*)**-one.**<sup>5</sup> The reaction of 3,4-dihydronaphthalen-1(2*H*)-one **1o** (73 mg, 0.5 mmol), phenylmethanol **2a** (81 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 109 mg (92%) of **3oa**. **3oa**: pale yellow oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  7.98 (d, *J* = 7.8 Hz, 1H), 7.36 (t, *J* = 8.4 Hz, 1H), 7.23-7.18 (m, 3H), 7.14-7.10 (m, 4H), 3.43-3.37 (m, 1H), 2.89-2.80 (m, 2H), 2.70-2.51 (m, 2H), 2.05-1.97 (m, 1H), 1.75-1.59 (m, 1H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  198.2, 142.9, 138.9, 132.1, 131.4, 128.2, 127.6, 127.3, 126.4, 125.5, 125.0, 48.3, 34.6, 27.5, 26.6 ppm; HRMS *m/z* (ESI) calcd. for C<sub>17</sub>H<sub>17</sub>O (M + H)<sup>+</sup> 237.1274, found 237.1273.



**2-benzyl-2,3-dihydro-1***H***-inden-1-one.<sup>5</sup>** The reaction of 2,3-dihydro-1*H*-inden-1-one **1p** (66 mg, 0.5 mmol), phenylmethanol **2a** (81 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 80 mg (72%) of **3pa**. **3pa**: yellowish-tan oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  7.70 (d, *J* = 7.5 Hz, 1H), 7.48 (t, *J* = 7.8 Hz, 1H), 7.32-7.24 (m, 2H), 7.24-7.13 (m, 5H), 3.35-3.29 (m, 1H), 3.13-3.04 (m, 1H), 2.96-2.87 (m, 1H), 2.81-2.74 (m, 1H), 2.63-2.55 (m, 1H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  207.7, 153.5, 139.6, 136.5, 134.7, 128.8, 128.4, 127.3, 126.5, 126.3, 123.9, 48.9, 36.9, 32.1 ppm; HRMS *m/z* (ESI) calcd. for C<sub>16</sub>H<sub>15</sub>O (M + H)<sup>+</sup> 223.1117, found 223.1114.



21):

**3-phenyl-1-(thiophen-2-yl)propan-1-one.**<sup>11</sup> The reaction of 1-(thiophen-2-yl)ethanone **1q** (63 mg, 0.5 mmol), phenylmethanol **2a** (81 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 100 mg (93%) of **3qa. 3qa**: yellow oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  7.60 (d, *J* = 3.9 Hz, 1H), 7.52 (d, *J* = 4.8 Hz, 1H), 7.24-7.09 (m, 5H), 7.02 (t, *J* = 4.5 Hz, 1H), 3.14 (t, *J* = 7.8 Hz, 2H), 2.98 (t, *J* = 8.1 Hz, 2H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  192.0, 144.0, 140.8, 133.4, 131.7, 128.4, 128.3, 127.9, 126.1, 41.0, 30.2 ppm; HRMS *m*/*z* (ESI) calcd. for C<sub>13</sub>H<sub>13</sub>SO (M + H)<sup>+</sup> 217.0682, found 217.0680.



**1-(furan-2-yl)-3-phenylpropan-1-one.** The reaction of 1-(furan-2-yl)ethanone **1r** (55 mg, 0.5 mmol), phenylmethanol **2a** (81 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 41 mg (41%) of **3ra**. **3ra**: yellow oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): δ 7.47 (d, J = 1.2 Hz, 1H), 7.22-7.10 (m, 5H), 7.07 (d, J = 4.2 Hz, 1H), 6.43-9.41 (m, 1H), 3.06 (t, J = 8.7 Hz, 2H), 2.95 (t, J = 8.1 Hz, 2H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>): δ 188.3, 152.6, 146.2, 140.9, 128.4, 128.3, 126.1, 116.9, 112.1, 40.0, 29.8 ppm; HRMS *m*/*z* (ESI) calcd. for C<sub>13</sub>H<sub>13</sub>O<sub>2</sub> (M + H)<sup>+</sup> 201.0910, found 201.0908.



**3-phenyl-1-(pyridin-2-yl)propan-1-ol.**<sup>12</sup> The reaction of 1-(pyridin-2-yl)ethanone **1s** (60.5 mg, 0.5 mmol), phenylmethanol **2a** (81 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 47 mg (44%) of **4sa. 4sa**: yellow oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  8.45 (d, *J* = 1.5 Hz, 1H), 7.57 (t, *J* = 7.5 Hz, 1H), 7.17-7.08 (m, 7H), 4.68 (d, *J* = 3.9 Hz, 1H), 4.19 (s, 1H), 2.69 (t, *J* = 6.9 Hz, 2H), 2.07-1.84 (m, 2H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  161.9, 148.1, 141.9, 136.6, 128.4, 128.2, 125.7, 122.2, 120.2, 72.0, 40.2, 31.5 ppm; HRMS *m/z* (ESI) calcd. for C<sub>14</sub>H<sub>16</sub>NO (M + H)<sup>+</sup> 214.1226, found 214.1225.



**1-phenylhexan-1-one.**<sup>13</sup> The reaction of acetophenone **1a** (60 mg, 0.5 mmol), butan-1-ol **2h** (55.5 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 57 mg (65%) of **3ah**. **3ah**: colorless oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  7.96 (d, *J* = 6.9 Hz, 2H), 7.54 (t, *J* = 7.2 Hz, 1H), 7.45 (t, *J* = 7.5 Hz, 2H), 2.96 (t, *J* = 7.2 Hz, 2H), 1.80-1.70 (m, 2H), 1.40-1.34 (m, 4H), 0.91 (t, *J* = 7.2 Hz, 3H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  200.5, 137.1, 132.7, 128.5, 128.0, 38.5, 31.5, 24.0, 22.4, 13.9 ppm; HRMS *m/z* (ESI) calcd. for C<sub>12</sub>H<sub>17</sub>O (M + H)<sup>+</sup> 177.1274, found 177.1274.



**4-methyl-1-phenylpentan-1-one.**<sup>13</sup> The reaction of acetophenone **1a** (60 mg, 0.5 mmol), 2-methylpropan-1-ol **2i** (55.5 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 55 mg (63%) of **3ai**. **3ai**: colorless oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): δ 7.96 (d, J = 7.2 Hz, 2H), 7.55 (t, J = 7.5 Hz, 1H), 7.45 (t, J = 7.5 Hz, 2H), 2.96 (t, J = 7.2 Hz, 2H), 1.66-1.61 (m, 3H), 0.95 (d, J = 6.3 Hz, 6H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>): δ 199.7, 136.1, 131.8, 127.5, 127.0, 35.6, 32.2, 26.8, 21.4 ppm; HRMS *m*/*z* (ESI) calcd. for C<sub>12</sub>H<sub>17</sub>O (M + H)<sup>+</sup> 177.1274, found 177.1275.



**1-phenyloctan-1-one.**<sup>13</sup> The reaction of acetophenone **1a** (60 mg, 0.5 mmol), hexan-1-ol **2j** (76.5 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 72 mg (71%) of **3aj**. **3aj**: colorless oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  7.96 (d, *J* = 6.9 Hz, 2H), 7.54 (t, *J* = 7.2 Hz, 1H), 7.45 (t, *J* = 7.5 Hz, 2H), 2.96 (t, *J* =

7.2 Hz, 2H), 1.79-1.69 (m, 2H), 1.40-1.29 (m, 8H), 0.88 (t, J = 6.6 Hz, 3H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  200.5, 137.1, 132.7, 128.5, 128.0, 38.6, 31.6, 29.3, 29.1, 24.3, 22.5, 14.0 ppm; HRMS *m*/*z* (ESI) calcd. for C<sub>14</sub>H<sub>21</sub>O (M + H)<sup>+</sup> 205.1587, found 205.1588.



**1-phenyldecan-1-one.**<sup>41</sup> The reaction of acetophenone **1a** (60 mg, 0.5 mmol), octan-1-ol **2k** (97.5 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 84 mg (72%) of **3ak**. **3ak**: colorless oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  7.94 (d, *J* = 6.9 Hz, 2H), 7.54 (t, *J* = 7.2 Hz, 1H), 7.45 (t, *J* = 7.5 Hz, 2H), 2.95 (t, *J* = 7.5 Hz, 2H), 1.79-1.69 (m, 2H), 1.34-1.09 (m, 12H), 0.88 (t, *J* = 6.3 Hz, 3H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  200.4, 137.1, 132.7, 128.4, 128.0, 38.5, 31.8, 29.5, 29.4, 29.3, 29.2, 24.3, 22.6, 14.0 ppm; HRMS *m*/*z* (ESI) calcd. for C<sub>16</sub>H<sub>25</sub>O (M + H)<sup>+</sup> 233.1900, found 233.1900.



(*E*)-1,5-diphenylpent-4-en-1-one.<sup>4p</sup> The reaction of acetophenone 1a (60 mg, 0.5 mmol), (*E*)-3-phenylprop-2-en-1-ol 2l (100.5 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 73 mg (62%) of 3al. 3al: colorless oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  7.99 (d, *J* = 7.2 Hz, 2H), 7.57 (t, *J* = 6.9 Hz, 1H), 7.48 (t, *J* = 7.5 Hz, 2H), 7.36-7.26 (m, 3H), 7.22 (d, *J* = 6.9 Hz, 2H), 6.48 (d, *J* = 15.9 Hz, 1H), 6.35-6.26 (m, 1H), 3.17 (t, *J* = 7.2 Hz, 2H), 2.71-2.64 (m, 2H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  198.2, 136.4, 135.9, 132.0, 129.8, 128.1, 127.5, 127.4, 127.0, 126.0, 125.0, 37.2, 26.5 ppm; HRMS *m*/*z* (ESI) calcd. for C<sub>17</sub>H<sub>17</sub>O (M + H)<sup>+</sup> 237.1274, found 237.1272.



**2-phenylquinoline.**<sup>14</sup> The reaction of (2-aminophenyl)methanol **2n** (61.5 mg, 0.5 mmol), acetophenone **1a** (120 mg, 1 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 96 mg (94%) of **5an**. **5an**: white solid; m. p. 82-83 °C; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  8.23-8.17 (m, 4H), 7.89-7.81 (m, 2H), 7.73 (t, *J* = 7.2 Hz, 1H), 7.56-7.47 (m, 4H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  156.3, 147.2, 138.6, 135.7, 128.7, 128.6, 128.3, 127.8, 126.5, 126.4, 126.1, 125.2, 117.9 ppm; HRMS *m/z* (ESI) calcd. for C<sub>15</sub>H<sub>12</sub>N (M + H)<sup>+</sup> 206.0964, found 206.0966.



**2-(4-methoxyphenyl)quinoline.**<sup>14</sup> The reaction of (2-aminophenyl)methanol **2n** (61.5 mg, 0.5 mmol), 1-(4-methoxyphenyl)ethanone **1b** (150 mg, 1 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 102 mg (87%) of **5bn**. **5bn**: white solid; m. p. 122-123 °C; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  8.18-8.13 (m, 4H), 7.81 (t, *J* = 8.7 Hz, 2H), 7.71 (t, *J* = 7.2 Hz, 1H), 7.49 (t, *J* = 7.2 Hz, 1H), 7.05 (d, *J* = 8.7 Hz, 2H), 3.88 (s, 3H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  160.8, 156.8, 148.2, 136.6, 132.2, 129.6, 129.5, 128.9, 127.4, 126.9, 125.9, 118.5, 114.2, 55.3 ppm; HRMS *m/z* (ESI) calcd. for C<sub>16</sub>H<sub>14</sub>NO (M + H)<sup>+</sup> 236.1070, found 236.1070.



**2-o-tolylquinoline.**<sup>14</sup> The reaction of (2-aminophenyl)methanol **2n** (61.5 mg, 0.5 mmol), 1-*o*-tolylethanone **1j** (134 mg, 1 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 78 mg (71%) of **5jn**. **5jn**: white solid; m. p. 73-74 °C;

<sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): δ 8.09 (t, J = 8.1 Hz, 2H), 7.76 (t, J = 8.1 Hz, 1H), 7.64 (t, J = 8.4 Hz, 1H), 7.48-7.39 (m, 3H), 7.28-7.19 (m, 3H), 2.32 (s, 3H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>): δ 160.2, 147.8, 140.6, 136.1, 136.0, 130.8, 129.7, 129.6, 129.5, 128.5, 127.5, 126.7, 126.4, 126.0, 122.3, 20.3 ppm; HRMS m/z (ESI) calcd. for C<sub>16</sub>H<sub>14</sub>N (M + H)<sup>+</sup> 220.1121, found 220.1120.



**2-***m***-tolylquinoline.**<sup>14</sup> The reaction of (2-aminophenyl)methanol **2n** (61.5 mg, 0.5 mmol), 1-*m*-tolylethanone **1l** (134 mg, 1 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 99 mg (90%) of **5ln**. **5ln**: pale yellow oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  8.11 (d, J = 8.4 Hz, 2H), 7.92 (s, 1H), 7.83 (t, J = 7.5 Hz, 1H), 7.77 (d, J = 8.4 Hz, 1H), 7.72 (d, J = 8.1 Hz, 1H), 7.63 (t, J = 8.4 Hz, 1H), 7.42 (t, J = 6.9 Hz, 1H), 7.32 (t, J = 7.5 Hz, 1H), 7.19 (d, J = 7.5 Hz, 1H), 2.39 (s, 3H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  156.5, 147.2, 138.5, 137.4, 135.6, 129.0, 128.6, 128.5, 127.6, 127.2, 126.4, 126.1, 125.1, 123.6, 118.1, 20.5 ppm; HRMS *m/z* (ESI) calcd. for C<sub>16</sub>H<sub>14</sub>N (M + H)<sup>+</sup> 220.1121, found 220.1119.



**2-(naphthalen-2-yl)quinoline.**<sup>14</sup> The reaction of (2-aminophenyl)methanol **2n** (61.5 mg, 0.5 mmol), 1-(naphthalen-2-yl)ethanone **1n** (170 mg, 1 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 119 mg (93%) of **5nn. 5nn**: white solid; m. p. 163-164 °C; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  8.63 (s, 1H), 8.39 (d, *J* = 8.7 Hz, 1H), 8.25 (d, *J* = 8.4 Hz, 2H), 8.02 (t, *J* = 8.4 Hz, 3H), 7.92-7.89 (m, 1H), 7.85 (d, *J* = 8.1 Hz, 1H), 7.76 (d, *J* = 8.1 Hz, 1H), 7.57-7.51 (m, 3H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  156.1, 147.2, 135.8, 132.8, 132.4, 128.7, 128.6, 127.8, 127.5, 126.6, 126.4, 126.2, 126.1,

125.7, 125.4, 125.3, 124.0, 118.1 ppm; HRMS m/z (ESI) calcd. for C<sub>19</sub>H<sub>14</sub>N (M + H)<sup>+</sup> 256.1121, found 256.1122.



**5,6-dihydrobenzo**[*c*]acridine.<sup>14</sup> The reaction of (2-aminophenyl)methanol **2n** (61.5 mg, 0.5 mmol), 3,4-dihydronaphthalen-1(2*H*)-one **1o** (146 mg, 1 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 109 mg (94%) of **5on**. **5on**: white solid; m. p. 64-65 °C; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  8.63 (d, *J* = 7.5 Hz, 1H), 8.18 (d, *J* = 8.4 Hz, 1H), 7.94 (s, 1H), 7.77 (d, *J* = 8.1 Hz, 1H), 7.68 (t, *J* = 8.4 Hz, 1H), 7.52-7.37 (m, 3H), 7.30 (d, *J* = 8.4 Hz, 1H), 3.15 (t, *J* = 6.3 Hz, 2H), 3.03 (t, *J* = 8.4 Hz, 2H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  152.3, 146.5, 138.3, 133.6, 132.6, 129.5, 128.6, 128.3, 127.5, 126.9, 126.8, 126.2, 125.8, 125.1, 125.0, 27.7. 27.3 ppm; HRMS *m*/*z* (ESI) calcd. for C<sub>17</sub>H<sub>14</sub>N (M + H)<sup>+</sup> 232.1121, found 232.1120.



2-(thiophen-2-yl)quinoline.<sup>14</sup> The reaction of (2-aminophenyl)methanol **2n** (61.5 mg, 0.5 mmol), 1-(thiophen-2-yl)ethanone **1q** (126 mg, 1 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 100 mg (95%) of **5qn. 5qn**: white solid; m. p. 130-131 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>):  $\delta$  8.14-8.09 (m, 2H), 7.80-7.74 (m, 3H), 7.69 (t, *J* = 8.0 Hz, 1H), 7.50-7.46 (m, 2H), 7.17-7.15 (m, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>):  $\delta$  151.2, 147.0, 144.3, 135.5, 128.7, 128.1, 127.5, 127.0, 126.4, 126.1, 125.0, 124.8, 116.5 ppm; HRMS *m*/*z* (ESI) calcd. for C<sub>13</sub>H<sub>10</sub>NS (M + H)<sup>+</sup> 212.0528, found 212.0534.



**2-(furan-2-yl)quinoline.**<sup>14</sup> The reaction of (2-aminophenyl)methanol **2n** (61.5 mg, 0.5 mmol), 1-(furan-2-yl)ethanone **1r** (110 mg, 1 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 55 mg (56%) of **5rn**. **5rn**: pale yellow solid; m. p. 93-94 °C; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  8.15 (d, *J* = 8.7 Hz, 2H), 7.83-7.68 (m, 3H), 7.64 (d, *J* = 0.9 Hz, 1H), 7.50 (t, *J* = 7.2 Hz, 1H), 7.24 (d, *J* = 3.6 Hz, 1H), 6.60-6.59 (m, 1H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  153.6, 148.9, 148.0, 144.1, 136.6, 129.8, 129.3, 127.5, 127.1, 126.1, 117.4, 112.2, 110.1 ppm; HRMS *m/z* (ESI) calcd. for C<sub>13</sub>H<sub>10</sub>NO (M + H)<sup>+</sup> 196.0757, found 196.0756.



**2-(pyridin-2-yl)quinoline.**<sup>14</sup> The reaction of (2-aminophenyl)methanol **2n** (61.5 mg, 0.5 mmol), 1-(pyridin-2-yl)ethanone **1s** (121 mg, 1 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 92 mg (89%) of **5sn**. **5sn**: white solid; m. p. 98-99 °C; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  8.74 (d, *J* = 4.8 Hz, 1H), 8.05 (d, *J* = 7.8 Hz, 1H), 8.56 (d, *J* = 8.7 Hz, 1H), 8.27 (d, *J* = 8.7 Hz, 1H), 8.18 (d, *J* = 8.4 Hz, 1H), 7.89-7.83 (m, 2H), 7.73 (t, *J* = 7.2 Hz, 1H) , 7.54 (t, *J* = 7.5 Hz, 1H) , 7.34 (t, *J* = 6.6 Hz, 1H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  156.3, 156.1, 149.1, 147.9, 136.9, 136.7, 129.8, 129.5, 128.2, 127.6, 126.7, 124.0, 121.8, 118.9 ppm; HRMS *m*/*z* (ESI) calcd. for C<sub>14</sub>H<sub>14</sub>N<sub>2</sub> (M + H)<sup>+</sup> 207.0917, found 207.0925.



**3-methyl-2-phenylquinoline.**<sup>14</sup> The reaction of (2-aminophenyl)methanol **2n** (61.5 mg,

0.5 mmol), propiophenone **1t** (134 mg, 1 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 24 h, afforded 72 mg (66%) of **5tn**. **5tn**: colorless oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): δ 8.05 (d, J = 8.4 Hz, 1H), 7.91 (s, 1H), 7.67 (d, J = 7.8 Hz, 1H), 7.56 (t, J = 7.2 Hz, 1H), 7.50 (d, J = 6.9 Hz, 2H), 7.43-7.33 (m, 4H), 2.36 (s, 3H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>): δ 160.5, 146.6, 140.8, 136.7, 129.3, 129.2, 128.8, 128.7, 128.3, 128.2, 127.6, 126.7, 126.4, 20.6 ppm; HRMS *m*/*z* (ESI) calcd. for C<sub>16</sub>H<sub>14</sub>N (M + H)<sup>+</sup> 220.1121, found 220.1121.



2-phenyl-3-propylquinoline.<sup>15</sup> The reaction of (2-aminophenyl)methanol **2n** (61.5 mg, 0.5 mmol), 1-phenylpentan-1-one **1u** (162 mg, 1 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 24 h, afforded 58 mg (47%) of **5un**. **5un**: colorless oil; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>):  $\delta$  8.05 (d, *J* = 8.4 Hz, 1H), 7.94 (s, 1H), 7.71 (d, *J* = 7.2 Hz, 1H), 7.57 (t, *J* = 8.4 Hz, 1H), 7.46-7.34 (m, 6H), 2.66 (t, *J* = 7.6 Hz, 2H), 1.53-1.47 (m, 2H), 0.77 (t, *J* = 7.2 Hz, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>):  $\delta$  159.7, 145.3, 139.9, 134.7, 132.8, 128.2, 127.8, 127.7, 127.2, 127.0, 126.6, 125.8, 125.3, 33.8, 22.6, 12.8 ppm; HRMS *m*/*z* (ESI) calcd. for C<sub>18</sub>H<sub>18</sub>N (M + H)<sup>+</sup> 248.1434, found 248.1427.



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**2-methylquinoline.**<sup>14</sup> The reaction of (2-aminophenyl)methanol **2n** (61.5 mg, 0.5 mmol), acetone **1v** (116 mg, 2 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 80 °C, under Ar, for 36 h, afforded 33 mg (46%) of **5vn**. **5vn**: colorless oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  8.02 (d, *J* = 8.4 Hz, 2H), 7.75 (d, *J* = 8.1 Hz, 1H), 7.67 (t, *J* = 7.8 Hz, 1H), 7.46 (t, *J* = 7.5 Hz, 1H), 7.26 (d, *J* = 8.1 Hz, 1H), 2.74 (s, 3H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  158.7, 147.7, 135.9, 129.2, 128.4, 127.3, 126.3, 125.4, 121.7, 25.2 ppm; HRMS *m/z* (ESI) calcd. for C<sub>10</sub>H<sub>10</sub>N (M + H)<sup>+</sup> 144.0808, found 144.0810.



**2-pentylquinoline.**<sup>14</sup> The reaction of (2-aminophenyl)methanol **2n** (61.5 mg, 0.5 mmol), heptan-2-one **1w** (114 mg, 1 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 24 h, afforded 60 mg (60%) of **5wn**. **5wn**: colorless oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  7.97 (d, J = 8.4 Hz, 2H), 7.68 (d, J = 8.1 Hz, 1H), 7.58 (t, J = 8.4 Hz, 1H), 7.38 (t, J = 8.4 Hz, 1H), 7.20 (d, J = 8.4 Hz, 1H), 2.88 (t, J = 8.1 Hz, 2H), 1.78-1.68 (m, 2H), 1.36-1.25 (m, 4H), 0.82 (t, J = 6.9 Hz, 3H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  163.1, 147.8, 136.2, 129.3, 128.7, 127.4, 126.7, 125.6, 121.3, 39.2, 31.7, 29.7, 22.5, 14.0 ppm; HRMS *m*/*z* (ESI) calcd. for C<sub>14</sub>H<sub>18</sub>N (M + H)<sup>+</sup> 200.1434, found 200.1434.



**2-isobutylquinoline.**<sup>16</sup> The reaction of (2-aminophenyl)methanol **2n** (61.5 mg, 0.5 mmol), 4-methylpentan-2-one **1x** (100 mg, 1 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 24 h, afforded 47 mg (51%) of **5xn**. **5xn**: colorless oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  7.97 (t, *J* = 6.3 Hz, 2H), 7.68 (d, *J* = 8.1 Hz, 1H), 7.59 (t, *J* = 7.5 Hz, 1H), 7.38 (t, *J* = 7.5 Hz, 1H), 7.17 (d, *J* = 8.4 Hz, 1H), 2.77 (d, *J* = 7.5 Hz, 2H), 2.20-2.06 (m, 1H), 0.89 (d, *J* = 6.6 Hz, 6H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  162.2, 147.9, 135.9, 129.2, 128.8, 127.4, 126.7, 125.6, 122.0, 48.3, 29.4, 22.5 ppm; HRMS *m/z* (ESI) calcd. for C<sub>13</sub>H<sub>16</sub>N (M + H)<sup>+</sup> 186.1277, found 186.1279.



**2-cyclopropylquinoline.**<sup>17</sup> The reaction of (2-aminophenyl)methanol **2n** (61.5 mg, 0.5 mmol), 1-cyclopropylethanone **1y** (84 mg, 1 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene

(2.0 mL), at 110 °C, under Ar, for 24 h, afforded 75 mg (89%) of **5yn**. **5yn**: colorless oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  8.02-7.98 (m, 2H), 7.75 (d, *J* = 8.1 Hz, 1H), 7.66 (t, *J* = 8.4 Hz, 1H), 7.44 (t, *J* = 8.1 Hz, 1H), 7.18 (d, *J* = 8.4 Hz, 1H), 2.32-2.23 (m, 1H), 1.21-1.16 (m, 2H), 1.14-1.10 (m, 2H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  162.3, 146.9, 134.7, 128.2, 127.6, 126.4, 125.7, 124.1, 118.3, 17.0, 9.2 ppm; HRMS *m*/*z* (ESI) calcd. for C<sub>12</sub>H<sub>12</sub>N (M + H)<sup>+</sup> 170.0964, found 170.0964.



**2-isopropylquinoline.**<sup>14</sup> The reaction of (2-aminophenyl)methanol **2n** (61.5 mg, 0.5 mmol), 3-methylbutan-2-one **1z** (86 mg, 1 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 80 °C, under Ar, for 36 h, afforded 54 mg (63%) of **5zn**. **5zn**: colorless oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  8.09 (t, J = 8.4 Hz, 2H), 7.79 (d, J = 8.1 Hz, 1H), 7.70 (t, J = 6.9 Hz, 1H), 7.49 (t, J = 7.2 Hz, 1H), 7.36 (d, J = 8.4 Hz, 1H), 3.36-3.23 (m, 1H), 1.42 (d, J = 6.9 Hz, 6H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  166.6, 146.6, 135.4, 128.2, 127.9, 126.4, 125.9, 124.6, 118.1, 36.2, 21.5 ppm; HRMS m/z (ESI) calcd. for C<sub>12</sub>H<sub>14</sub>N (M + H)<sup>+</sup> 172.1121, found 172.1123.



**2-***tert*-**butylquinoline.**<sup>18</sup> The reaction of (2-aminophenyl)methanol **2n** (61.5 mg, 0.5 mmol), 3,3-dimethylbutan-2-one **1aa** (100 mg, 1 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 24 h, afforded 80 mg (87%) of **5aan**. **5aan**: colorless oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  8.00-7.96 (m, 2H), 7.67 (d, *J* = 8.1 Hz, 1H), 7.57 (t, *J* = 7.2 Hz, 1H), 7.44-7.35 (m, 2H), 1.39 (s, 9H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  168.2, 146.3, 134.8, 128.3, 127.9, 126.1, 125.4, 124.5, 117.1, 37.0, 29.1 ppm; HRMS *m/z* (ESI) calcd. for C<sub>13</sub>H<sub>16</sub>N (M + H)<sup>+</sup> 186.1277, found 186.1276.



46):

**2-ethyl-3-methylquinoline.**<sup>16</sup> The reaction of (2-aminophenyl)methanol **2n** (61.5 mg, 0.5 mmol), pentan-3-one **1bb** (86 mg, 1 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 24 h, afforded 50 mg (59%) of **5bbn**. **5bbn**: colorless oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  7.94 (d, *J* = 8.4 Hz, 1H), 7.73 (s, 1H), 7.60 (d, *J* = 7.8 Hz, 1H), 7.52 (t, *J* = 5.7 Hz, 1H), 7.34 (t, *J* = 8.4 Hz, 1H), 2.94-2.87 (m, 2H), 2.39 (s, 3H), 1.29 (t, *J* = 7.5 Hz, 3H),; <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  162.2, 145.6, 134.7, 128.3, 127.4, 127.2, 126.3, 125.6, 124.5, 28.4, 18.0, 11.7 ppm; HRMS *m/z* (ESI) calcd. for C<sub>12</sub>H<sub>14</sub>N (M + H)<sup>+</sup> 172.1121, found 172.1120.



47):

**1,2,3,4-tetrahydroacridine.**<sup>14</sup> The reaction of (2-aminophenyl)methanol **2n** (61.5 mg, 0.5 mmol), cyclohexanone **1cc** (98 mg, 1 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 24 h, afforded 70 mg (76%) of **5ccn**. **5ccn**: colorless oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  7.98 (d, *J* = 8.4 Hz, 1H), 7.76 (s, 1H), 7.67 (d, *J* = 8.4 Hz, 1H), 7.59 (t, *J* = 8.4 Hz, 1H), 7.41 (t, *J* = 7.8 Hz, 1H), 3.12 (t, *J* = 6.6 Hz, 2H), 2.94 (t, *J* = 6.6 Hz, 2H), 2.02-1.94 (m, 2H), 1.91-1.83 (m, 2H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  159.2, 146.6, 134.9, 130.9, 128.4, 128.2, 127.2, 126.8, 125.4, 33.5, 29.2, 23.2, 22.8 ppm; HRMS *m*/*z* (ESI) calcd. for C<sub>13</sub>H<sub>14</sub>N (M + H)<sup>+</sup> 184.1121, found 184.1122.



48):

**2-(2-methylprop-1-enyl)quinoline.**<sup>19</sup> The reaction of (2-aminophenyl)methanol **2n** (61.5 mg, 0.5 mmol), 4-methylpent-3-en-2-one **1dd** (98 mg, 1 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 24 h, afforded 55 mg (60%) of **5ddn**. **5ddn**: pale yellow oil; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  8.00 (d, *J* = 6.6 Hz, 2H), 7.69-7.58 (m,

2H), 7.40 (s, 1H), 7.25 (t, J = 6.6 Hz, 1H), 6.47 (s, 1H), 2.10 (s, 3H), 1.94 (s, 3H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  157.4, 147.6, 142.8, 135.8, 129.4, 128.9, 127.3, 126.2, 125.8, 125.1, 122.3, 27.4, 20.0 ppm; HRMS *m*/*z* (ESI) calcd. for C<sub>13</sub>H<sub>14</sub>N (M + H)<sup>+</sup> 184.1121, found 184.1123.



**1,3-diphenylpropan-1-one** (*α*-*d*-**50%**). The reaction of α, α, α-trideuterioacetophenone **PhCOCD**<sub>3</sub> (61.5 mg, 0.5 mmol), phenylmethanol **2a** (81 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 102 mg (95%) of 1,3-diphenylpropan-1-one (*α*-*d*-50%). 1,3-diphenylpropan-1-one (*α*-*d*-50%): white solid; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): δ 7.87 (d, J = 7.2 Hz, 2H), 7.47 (t, J = 7.5 Hz, 1H), 7.36 (t, J = 7.5 Hz, 2H), 7.24-7.12 (m, 5H), 3.22 (t, J = 7.2 Hz, 1H), 2.99 (t, J = 6.0 Hz, 2H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>): δ 198.1, 140.2, 135.8, 132.0, 127.6, 127.5, 127.3, 127.0, 125.1, 39.4, 29.1ppm; HRMS *m*/*z* (ESI) calcd. for C<sub>15</sub>H<sub>15</sub>O (M + H)<sup>+</sup> 211.1117, found 211.1117; calcd. for C<sub>15</sub>H<sub>14</sub>DO (M + H)<sup>+</sup> 212.1180, found 212.1175; calcd. for C<sub>15</sub>H<sub>13</sub>D<sub>2</sub>O (M + H)<sup>+</sup> 213.1243, found 213.1230.



**1,3-diphenylpropan-1-one** (*a-d-23%*). The reaction of acetophenone **1a** (60 mg, 0.5 mmol), benzyl alcohol-OD **PhCH<sub>2</sub>OD** (82 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 101 mg (95%) of 1,3-diphenylpropan-1-one (*a-d-23%*). 1,3-diphenylpropan-1-one (*a-d-23%*): white solid; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  7.87 (d, *J* = 7.5 Hz, 2H), 7.46 (t, *J* = 7.2 Hz, 1H), 7.36 (t, *J* = 7.8 Hz, 2H), 7.24-7.11 (m, 5H), 3.22 (t, *J* = 7.2 Hz, 1.77H), 2.98 (t, *J* = 8.1 Hz, 2H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  199.1, 141.2, 136.8, 133.0, 128.6, 128.5, 128.4, 128.0, 126.1, 40.4, 30.1ppm; HRMS *m/z* (ESI) calcd. for C<sub>15</sub>H<sub>15</sub>O (M + H)<sup>+</sup> 211.1117, found

211.1117; calcd. for  $C_{15}H_{14}DO(M + H)^+$  212.1180, found 212.1178.



**1,3-diphenylpropan-1-one (β-***d***-100%).** The reaction of acetophenone **1a** (60 mg, 0.5 mmol), α,α-dideuteriobenzyl alcohol **PhCD<sub>2</sub>OH** (82 mg, 0.75 mmol), LiO*t*Bu (80 mg, 1 mmol), in toluene (2.0 mL), at 110 °C, under Ar, for 12 h, afforded 102 mg (95%) of 1,3-diphenylpropan-1-one (β-*d*-100%). 1,3-diphenylpropan-1-one (β-*d*-100%): white solid; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): δ 7.85 (d, J = 7.2 Hz, 2H), 7.45 (t, J = 7.2 Hz, 1H), 7.35 (t, J = 7.8 Hz, 2H), 7.26-7.10 (m, 5H), 3.19 (s, 2H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>): δ 198.1, 140.1, 135.8, 132.0, 127.5, 127.4, 127.3, 127.0, 125.1, 39.2, 28.4 ppm; HRMS *m/z* (ESI) calcd. for C<sub>15</sub>H<sub>13</sub>D<sub>2</sub>O (M + H)<sup>+</sup> 213.1243, found 213.1241.



**1,3-diphenylpropan-1-one (β-d-60%).** The reaction of acetophenone **1a** (120 mg, 1 mmol).  $\alpha, \alpha$ -dideuteriobenzyl PhCD<sub>2</sub>OH (82)0.75 alcohol mg, mmol). (4-methoxyphenyl)methanol (104 mg, 0.75 mmol), LiOtBu (160 mg, 2 mmol), in toluene (4.0 mL), at 110 °C, under Ar, for 12 h, afforded 87 mg (41%) of 1,3-diphenylpropan-1-one ( $\beta$ -d-60%) and 125 mg (52%) of 3-(4-methoxyphenyl)-1-phenylpropan-1-one  $(\beta-d-25\%)$ . 1,3-diphenylpropan-1-one  $(\beta-d-60\%)$ : white solid; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>):  $\delta$  7.88 (d, J = 7.5 Hz, 2H), 7.47 (t, J = 7.2 Hz, 1H), 7.36 (t, J = 7.5 Hz, 2H), 7.24-7.10 (m, 5H), 3.23 (t, J = 6.0 Hz, 2H), 3.02-2.95 (m, 0.8H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>):  $\delta$  198.1, 140.2, 135.8, 132.0, 127.6, 127.5, 127.3, 127.0, 125.1, 39.3, 28.8 ppm; HRMS m/z (EI) calcd. for C<sub>15</sub>H<sub>13</sub>DO (M<sup>+</sup>) 211.1107, found 211.1112; calcd. for C<sub>15</sub>H<sub>12</sub>D<sub>2</sub>O (M<sup>+</sup>) 212.1170, found 212.1174.



**3**-(**4**-methoxyphenyl)-1-phenylpropan-1-one (β-*d*-25%). The reaction of acetophenone **1a** (120 mg, 1 mmol),  $\alpha,\alpha$ -dideuteriobenzyl alcohol **PhCD<sub>2</sub>OH** (82 mg, 0.75 mmol), (4-methoxyphenyl)methanol (104 mg, 0.75 mmol), LiO*t*Bu (160 mg, 2 mmol), in toluene (4.0 mL), at 110 °C, under Ar, for 12 h, afforded 87 mg (41%) of 1,3-diphenylpropan-1-one (β-*d*-60%) and 125 mg (52%) of 3-(4-methoxyphenyl)-1-phenylpropan-1-one (β-*d*-25%). 3-(4-methoxyphenyl)-1-phenylpropan-1-one (β-*d*-25%). 3-(4-methoxyphenyl)-1-phenylpropan-1-one (β-*d*-25%): white solid; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>): δ 7.95 (d, *J* = 7.2 Hz, 2H), 7.54 (t, *J* = 7.5 Hz, 1H), 7.44 (t, *J* = 7.8 Hz, 2H), 7.16 (d, *J* = 8.7 Hz, 2H), 6.83 (d, *J* = 8.4 Hz, 2H), 3.78 (s, 3H) , 3.26 (t, *J* = 6.9 Hz, 2H), 3.01 (t, *J* = 7.5 Hz, 1.5H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub>): δ 199.3, 158.0, 136.9, 133.3, 133.0, 129.3, 128.5, 128.0, 113.9, 55.2, 40.7, 29.3 ppm; HRMS *m/z* (EI) calcd. for C<sub>16</sub>H<sub>15</sub>DO<sub>2</sub> (M<sup>+</sup>) 241.1213, found 241.1216; calcd. for C<sub>16</sub>H<sub>14</sub>D<sub>2</sub>O<sub>2</sub> (M<sup>+</sup>) 242.1276, found 242.1273.

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## <sup>1</sup>H NMR and <sup>13</sup>C NMR Spectra of Those Compounds

## 1): **3aa: 1,3-diphenylpropan-1-one.**









3): 3ac: 1-phenyl-3-p-tolylpropan-1-one.



## 4): **3ae: 3-(4-chlorophenyl)-1-phenylpropan-1-one.**







6): **3ag: 3-(2-chlorophenyl)-1-phenylpropan-1-one.** 



7): **3ba: 3-phenyl-1**-*p*-tolylpropan-1-one.







9): 3da: 3-phenyl-1-(4-(trifluoromethyl)phenyl)propan-1-one.



10): 3fa: 1-(4-fluorophenyl)-3-phenylpropan-1-one.



11): 3ga: 1-(4-chlorophenyl)-3-phenylpropan-1-one.







13): 3ia: 1-(4-iodophenyl)-3-phenylpropan-1-one.



14): 3ja: 3-phenyl-1-o-tolylpropan-1-one.







16): 3la: 3-phenyl-1-*m*-tolylpropan-1-one.



17): 3ma: 1-(naphthalen-1-yl)-3-phenylpropan-1-one.















21): 3qa: 3-phenyl-1-(thiophen-2-yl)propan-1-one.







23): 4sa: 3-phenyl-1-(pyridin-2-yl)propan-1-ol

24): 3ah: 1-phenylhexan-1-one.





25): 3ai: 4-methyl-1-phenylpentan-1-one.

26): 3aj: 1-phenyloctan-1-one.



27): 3ak: 1-phenyldecan-1-one.





28): **3al:** (*E*)-1,5-diphenylpent-4-en-1-one.

29): 5am: 2-phenylquinoline.





30): **5bm: 2-(4-methoxyphenyl)quinoline.** 

31): 5jm: 2-o-tolylquinoline.



32): 5lm: 2-*m*-tolylquinoline.





33): 5nm: 2-(naphthalen-2-yl)quinoline.



34): **5om: 5,6-dihydrobenzo**[*c*]acridine.



35): 5qm: 2-(thiophen-2-yl)quinoline


36): 5rm: 2-(furan-2-yl)quinoline.



37): 5sm: 2-(pyridin-2-yl)quinoline.



38): **5tm: 3-methyl-2-phenylquinoline.** 



39): **5um: 2-phenyl-3-propylquinoline** 

40): **5vm: 2-methylquinoline** 



41): **5wm: 2-pentylquinoline.** 



42): 5xm: 2-isobutylquinoline.





43): 5ym: 2-cyclopropylquinoline.

44): 5zm: 2-isopropylquinoline.



45): 5aam: 2-tert-butylquinoline.





46): **5bbm: 2-ethyl-3-methylquinoline.** 



47): 5ccm: 1,2,3,4-tetrahydroacridine.



48): 5ddm: 2-(2-methylprop-1-enyl)quinoline.







50): **1,3-diphenylpropan-1-one** (α-*d*-**23%).** 



51): **1,3-diphenylpropan-1-one** (β-*d*-100%).



## 52): **1,3-diphenylpropan-1-one** (β-*d*-60%).



53): **3-(4-methoxyphenyl)-1-phenylpropan-1-one (β-***d***-25%).** 



54): **1,3-diphenylpropan-1-one** (β-*d*-50%).