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Friedel–Crafts Arylation of α-Hydroxy Ketones: Synthesis of 1,2,2,2-Tetraarylethanones

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Friedel–Crafts arylation of α-hydroxy ketones such as 2-hydroxy-1,2,2-triarylethanones has been achieved with a variety of arenes and heteroarenes in the presence of Lewis or Brønsted acids. Both sterically hindered and unhindered 1,2,2,2-tetrarylethanones are formed in good to excellent yields by using a stoichiometric amount of triflic acid. The intermediacy of an α-keto carbenium ion has been proposed.

Introduction

α-Aryl carbonyl compounds are one of the most important synthetic targets for the production of pharmaceuticals.[1] A number of nonsteroidal anti-inflammatory drugs such as (*S*)-(+)-ibuprofen and dichlofenac contain α-aryl carbonyl moieties.[2] The α,α-diarylethanone moiety has been uncovered during the synthesis of skeletal analogues of Tamoxifen, a drug used for the treatment of estrogen receptor-positive breast cancer,[3] and the α,α,α-triaryl carbonyl compound triphenylmethylamide has been shown to exhibit anticancer activity against metastatic melanoma.[4] Considering the importance of α-arylated carbonyl compounds, arylation at the α-position to the carbonyl group is clearly important. Various methods are in use for the α-arylation of carbonyl compounds.[5] The synthetic procedures of α-aryl and α,α-diaryl carbonyl compounds are well documented and include sp^3C–H monoarylation and diarylation.[6] In this case, the reaction of the enolate of the ketone with aryl halide is carried out in the presence of palladium catalyst to give the α-arylated product. The aryl halide acts as electrophile and the enolate behaves as a nucleophile. However, given that this strategy involves the combination of costly palladium as catalyst and a variety of ligands,[6] the economic viability of the method is debatable.[7] Moreover, a strong base is required to generate the ketone enolate and the coupling partner has to be an aryl halide.

Friedel–Crafts reaction of alcohols is another method that can be used to introduce an aryl group.[8] In this case, the role of the reactant is the reverse of that described above. Substrates behave as electrophile and the arylating reagent acts as nucleophile. This method is in line with green chemistry principles because water is the only side product. The principal intermediate in such a reaction is a carbocation, therefore, electron-releasing groups can enhance the rate of reaction by stabilising the carbocation intermediate.[9] This method is thus generally applicable to electron-rich alcohols only. Recently, we have developed a strategy for the synthesis of α-functionalised ketones such as α-fluoro ketones[10] and α-azido ketones[11] from tertiary α-hydroxy ketones. It has been shown in these conversions that alcohols are activated toward nucleophilic substitution by the interaction of Lewis acid or Brønsted acid. Treatment of 2-hydroxy-1,2,2-triarylethanones with a selected acid can cleave the hydroxyl group to form an α-keto carbenium ion. Such intermediates have been trapped by nucleophiles such as fluoride and azide ions. From these results, it has been conclusively shown that electron-releasing groups at the cationic centre are not essential for the reaction. In this context, we felt that it was worth exploring the Friedel–Crafts arylation of 2-hydroxy-1,2,2-triarylethanones to obtain 1,2,2,2-tetraarylethanones.

1,2,2,2-Tetraarylethanones belong to the category of α-triaryl-substituted ketones/α-quaternary ketones. The method used to synthesise α-quaternary centres mainly involves acid catalysed nucleophilic substitution of tertiary alcohols through Friedel–Crafts reactions.[12] However, reported methods for the synthesis of 1,2,2,2-tetraarylethanones are scant.[13] Indeed, to our knowledge, there is only a single method available in literature; Zhou et al. has

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reported a contemporaneous work to synthesise α-quaternary ketones by Friedel–Crafts reaction of tertiary α-hydroxy ketones.[14] In this case also, the strong stabilising effect of the electron-releasing group was crucial for the success of the reaction. Therefore, the substrate scope of the reaction was limited to α-hydroxy ketones having a *p*-methoxyphenyl ring at the carbon bearing the hydroxyl group. To circumvent this limitation, we herein report an efficient method for the Friedel–Crafts reaction of tertiary α-hydroxy ketones without any restriction on the aryl substitution, to furnish a broader class of α-triaryl-substituted ketones.

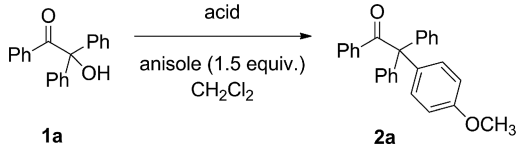
Results and Discussion

Brønsted or Lewis acids can cleave the C–OH bond in 2-hydroxy-1,2,2-triarylethanone to form the 1,2,2-triaryl-α-keto carbenium ion. The carbenium ion behaves as an electrophile, reacting with the arene nucleophile to produce 1,2,2,2-tetraarylethanones. A variety of Brønsted acids such as trifluoromethanesulfonic acid (triflic acid or TfOH), *p*-toluenesulfonic acid (PTSA), sulfuric acid (H_2SO_4), trifluoroacetic acid (TFA), phosphoric acid (H_3PO_4), and Lewis acids such as titanium tetrachloride ($TiCl_4$), boron trifluoride etherate ($BF_3{\cdot}OEt_2$), trimethylsilyl trifluoromethanesulfonate (TMSOTf) and zinc chloride ($ZnCl_2$) were selected for the above reaction. In a preliminary experiment, a solution of 2-hydroxy-1,2,2-triphenylethanone (**1a**) in anhydrous CH_2Cl_2 containing anisole was used in the presence of trifluoromethanesulfonic acid (10 mol-%) (Table 1, entry 1). The reaction mixture became red immediately. After stirring at room temperature for 72 h, the reaction was quenched with water, and standard workup and purification by flash chromatography gave the product (68% yield). Based on a range of spectroscopic data, the product was characterised as 2-(4-methoxyphenyl)-1,2,2-triphenylethanone (**2a**).

To study the effect of temperature on arylation, the reaction was carried out at 40 °C by using 10 mol-% TfOH (Table 1, entry 2). The reaction mixture was heated to reflux for 5 h, whereupon TLC analyses of the contents showed the complete disappearance of **1a**. The product **2a** was isolated in 92% yield after standard workup and purification. In another experiment, when the reaction was carried out with one equivalent of TfOH at room temperature, it was complete in five minutes (entry 3). Therefore an equimolar stoichiometric ratio of the substrate to acid was selected for all other acids (entries 4–11). The reaction showed efficient conversion of the substrate into the product. Other acids such as $TiCl_4$, $BF_3{\cdot}OEt_2$, TMSOTf and H_2SO_4 were equally effective, albeit after a slightly increased reaction time. In the case of PTSA, $ZnCl_2$ and H_3PO_4, the reaction did not occur and the starting material was recovered unchanged. It seems that these acids are not efficient for generating the α-keto carbenium ion. These results, summarised in Table 1, show that use of one equivalent of TfOH at room temperature is optimal (entry 3); these conditions are also appropriate in the context of green chemistry.

After optimising the reaction conditions, a variety of arene nucleophiles including phenol, toluene, azulene, *o*-xylene, 1,4-dimethoxybenzene, triptycene, and heteroarene nucleophiles such as furan and indole were used. Treatment of 2-hydroxy-1,2,2-triphenylethanone (**1a**) with these nucleophiles using one equivalent of triflic acid at room tem-

Table 1. Optimisation of reaction conditions for the arylation of 2-hydroxy-1,2,2-triphenylethanone with anisole.[a]

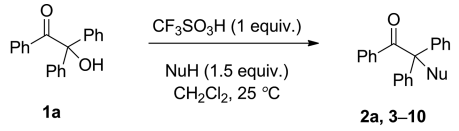


1a **2a**

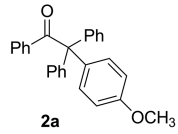
Entry	Acid (quantity)	Time	Temp. [°C]	Yield [%][b]
1	TfOH (10 mol-%)	72 h	25	68
2	TfOH (10 mol-%)	5 h	40	92
3	**TfOH (1 equiv.)**	**5 min**	**25**	**94**
4	TMSOTf (1 equiv.)	15 min	25	89
5	$TiCl_4$ (1 equiv.)	15 min	25	88
6	BF_3OEt_2 (1 equiv.)	10 min	25	91
7	H_2SO_4 (1 equiv.)	20 min	25	85
8	TFA (1 equiv.)	12 h	25	24
9	PTSA (1 equiv.)	12 h	25	0
10	$ZnCl_2$ (1 equiv.)	12 h	25	0
11	H_3PO_4 (1 equiv.)	12 h	25	0

[a] Reactions were performed on 0.35 mmol scale of 2-hydroxy-1,2,2-triphenylethanone in CH_2Cl_2 (5 mL) and anisole (0.52 mmol). [b] Isolated yield after flash chromatography.

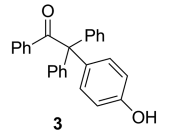
Table 2. Reaction of 2-hydroxy-1,2,2-triphenylethaone (**1a**) with different nucleophiles.[a]



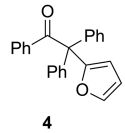
1a **2a, 3–10**



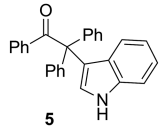
2a
5 min, yield 94%



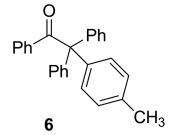
3
30 min, yield 56%



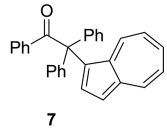
4
10 min, yield 90%



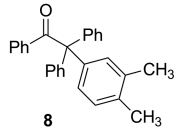
5
40 min, yield 45%



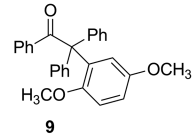
6
30 min, yield 48%



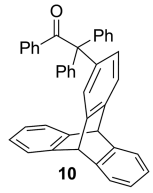
7
10 min, yield 83%



8
15 min, yield 54%



9
5 min, yield 92%



10
30 min, yield 60%

[a] Reactions were performed on 0.35 mmol scale of 2-hydroxy-1,2,2-triphenylethanone in CH_2Cl_2 (5 mL) and anisole (0.52 mmol). Isolated yields after flash chromatography.

perature gave products **3**–**10** (Table 2). All arenes, including heteroarenes, were well tolerated, and could be used to convert **1a** into a variety of arylated products in moderate to good yields. Lower yields of **3**, **6** and **8** were recorded, which may be because, compared with anisole, phenol, toluene and *o*-xylene are weak nucleophiles. The lower yield of **5** may be due to the interaction of acid with the heteroarene indole. All new compounds were characterised based on their spectroscopic data.

Ketones **3**, **7** and **10**, with α-substituents such as 4-hydroxyphenyl, azulenyl and triptycenyl, are high-melting solids because of the presence of strong intermolecular hydrogen bonds, π interactions and symmetric structure in their packing, respectively (vide infra). The carbonyl stretching frequencies in all ketones are characteristic of conjugated aryl ketones in the range of 1672–1693 cm^{-1}. The very low carbonyl frequency at 1662 cm^{-1} observed for **3** is unusual. The higher value for $\nu_{C=O}$ in **4** (1689 cm^{-1}) and **9** (1693 cm^{-1}) clearly shows that the α-substituents lead to a significantly reduced conjugation with the phenyl group and/or an electronic effect of the added substituent. The ^1H NMR spectra of **3**–**10** displayed signals in the range of δ = 7.4–7.9 ppm for aromatic protons and peaks for other substituents at expected chemical shifts. The ^{13}C NMR spectra of these ketones showed carbonyl carbon signals in the range δ = 197 and 200.4 ppm. Interestingly, compound **9** displayed higher δ values (200.4 ppm) for ^{13}C NMR chemical shifts (C=O) and also showed higher IR $\nu_{C=O}$ frequency. This suggests that the substituent 2,5-dimethoxyphenyl in **9** has a significant and similar effect in IR and ^{13}C NMR spectroscopy.

Electrospray ionisation (ESI) mass spectra of **2a** and **3**–**10** were also recorded (Figure 1). The spectra of all the ketones showed either no [M + 1] ion peak or a very weak signal; except for **7**, which has a stable azulenyl substituent. The MS of the latter compound showed a very strong [M + 1] ion (49%) at *m*/*z* 399, probably due to its high energy of decomposition. Ketones, except **2a**, showed a base peak for the triaryl keto carbenium ion (**A**) formed by the neutral loss of the nucleophile (Figure 1). Ketone **2a** showed a base peak at *m*/*z* 243, suggesting a facile loss of the *p*-anisyl ring followed by CO to give stable triphenylmethyl carbocation **B** (Figure 1).

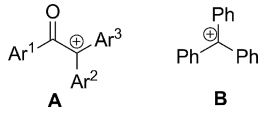


Figure 1. Mass spectral fragments of 1,2,2,2-tetraarylethanones.

To unambiguously characterise the products **7** and **10**, good-quality crystals were obtained, and single-crystal X-ray structure analyses were performed. Both compounds **7** and **10** crystallised as triclinic crystal systems (space group $P\bar{1}$) with two molecules in the unit cell. Their ORTEP diagrams with atom numbering scheme employed are shown in Figures 2 and 3 respectively.

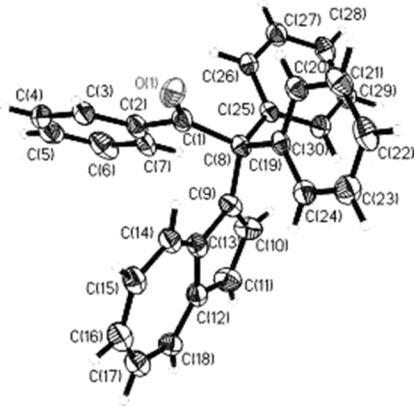


Figure 2. ORTEP of 2-(2-azulenyl)-1,2,2-triphenylethanone (**7**).

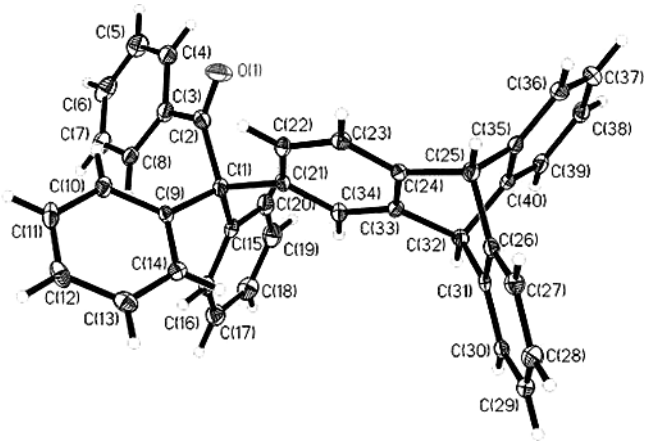


Figure 3. ORTEP of 2-(2-triptycenyl)-1,2,2-triphenylethanone (**10**).

In the case of **10**, molecules of ethyl acetate and water as solvent of crystallisation were included in the crystal lattice. It is interesting to note that the phenyl group attached to the carbonyl moiety is nearly in plane with the carbonyl group, which allows maximum resonance stabilisation energy to be derived, as evidenced from the torsion angle of –12.73° (C3–C2–C1-O1) in **7** and 18.15° (C4–C3–C2-O1) in **10** (see Figures 2 and 3). The deviation from the ideal angle of zero degrees is due to the packing constrains of the respective lattices.

Although there is a strong hydrogen-bond acceptor moiety (>C=O) present in **7**, the lack of any strong hydrogen-bond donor groups (such as $–NH_2$, –OH etc.) allows only interactions of the type C–H···O and C–H···π to operate and stabilise the lattice. Indeed, two molecules of **7**, related by inversion symmetry, form a centrosymmetric dimer with C–H···O interactions [H(26A)···O1 = 2.667 Å, C26–H(26A)···O1 = 124.3°] as shown in Figure 4. In addition to this weak C–H···O hydrogen bond, a C–H···π interaction is also observed between the phenyl ring (C19–C24) and H(28A) [H(28A)···centroid of C19–24 ring = 3.070 Å and C28–H(28A)···centroid = 154.4°].

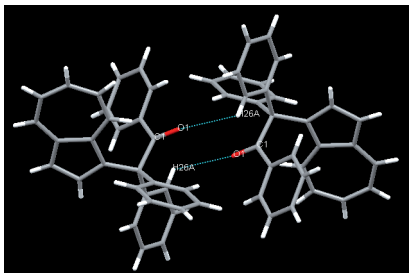


Figure 4. Centrosymmetric dimer formation in **7** through C–H···O interaction.

In the case of **10**, the carbonyl moiety is engaged in O–H···O hydrogen-bonding with the occluded water molecule (O1···O4 distance 2.911 Å). Because of the tripodal fan-like disposition of the three benzene rings in **10**, channels running parallel to the *bc* plane are formed during its crystallisation, which are occupied by ethyl acetate and water molecules as shown in Figure 5. As the molecule is endowed with many aromatic rings, multiple lattice-stabilising C–H···π interactions are observed. H(25A) makes a contact of 2.939 Å with the centroid of the C19–C24 aromatic ring (respective C–H···π angle 171.3°). The centroid of aromatic ring C21–C34 has a contact of 2.939 Å with H(5A) [C5–H(5A)···centroid angle 153.8°]. The third C–H···π interaction is between the centroid of the C9–C14 ring and H(30A) with a distance of 2.526 Å and an angle of 137.8°. No π···π interactions were observed in these molecules.

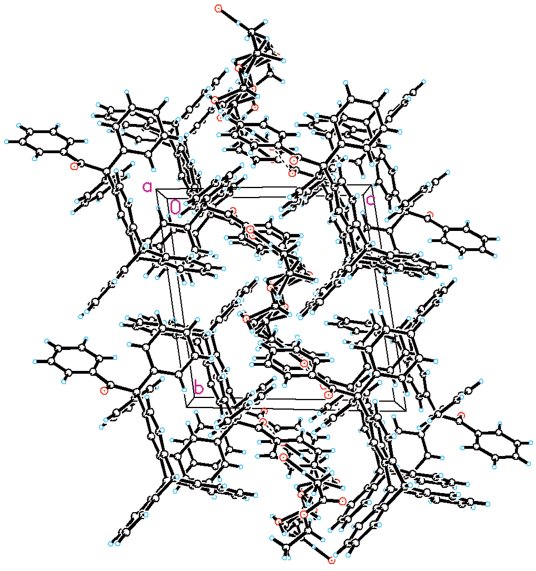


Figure 5. Packing of molecules of **10** down the *a* axis. Note the channel in the middle of the diagram, which is occupied by disordered ethyl acetate and water.

With a view to study the substrate scope of this reaction, a range of 2-hydroxy-1,2,2-triarylethanones **1b–j** were synthesised from symmetrical and unsymmetrical benzils. The reaction of α-hydroxy ketones **1b–j** with arene or heteroarene expands the scope of the Friedel–Crafts arylation reaction to give tetraarylethanones. Various α-hydroxy ketones were treated with anisole (1.5 equiv.) in the presence of triflic acid (1 equiv.) to give 1,2,2,2-tetraarylethanones **2b–j** (Table 3).

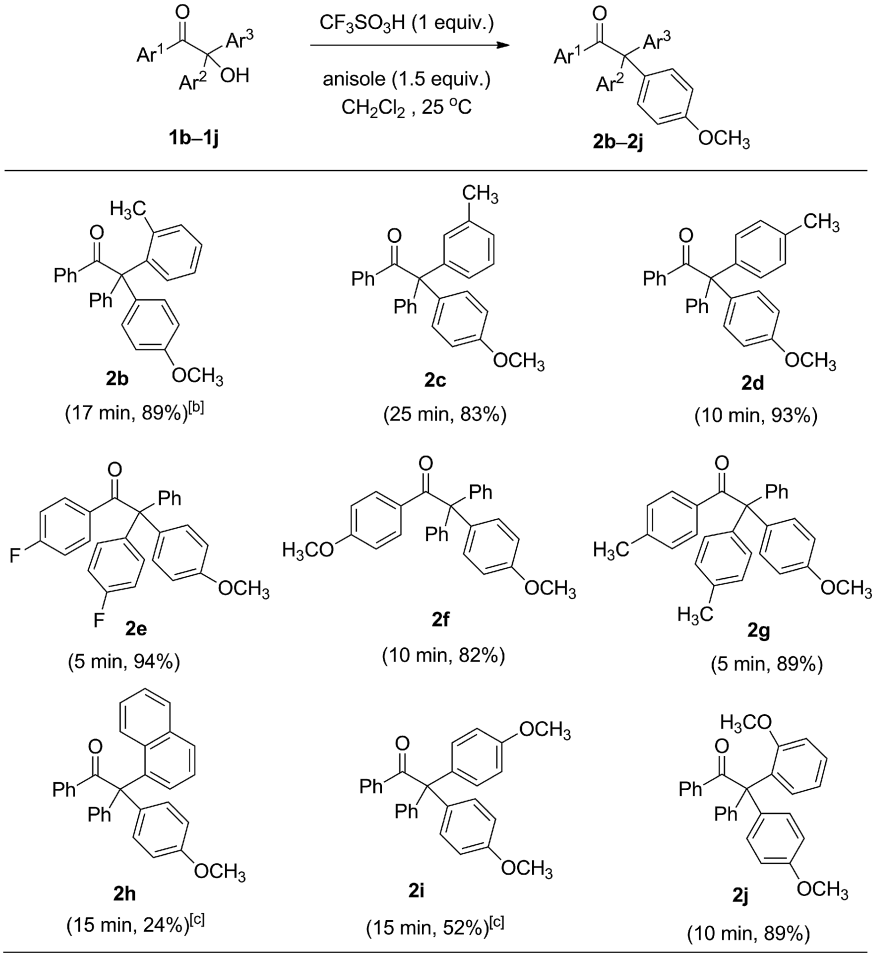
α-Hydroxy ketones except **1h** and **1i** gave tetraarylethanones in very good yield. In the case of **1h** and **1i**, formation of the corresponding benzofuran derivatives **11** (63%) and **12** (46%) was observed (Scheme 1). The naphthyl ring with extended conjugation and anisyl ring (electron rich) are present at the carbon bearing the hydroxy group in **1h** and **1i**, respectively. These two rings stabilise the α-keto carbenium ion effectively. Therefore such α-keto carbenium ions underwent intramolecular rearrangement (4π cyclisation) to give benzofuran derivatives as shown in Scheme 2. This conclusion finds support from the fact that formation of the benzofuran derivative did not occur in **1f**, having an anisyl ring on the carbonyl carbon. In the case of **1j**, the conformation of the carbenium may be such that the intramolecular arylation (4π cyclisation) to give the corresponding benzofuran is not feasible.

The high yield of benzofuran derivatives prompted us to study the reactivity of α-hydroxy ketone **1i** with nucleophiles other than anisole. Thus, instead of anisole, furan was selected as the nucleophile for the dehydrative nucleophilic substitution of **1i**. Interestingly, the reaction of **1i** with furan yielded only furyl-substituted ethanone **13**, and formation of the corresponding benzofuran **12** was not observed (Scheme 3). From this experiment, it was inferred that the reactivity of **1i** can be tuned by appropriate choice of the nucleophile.

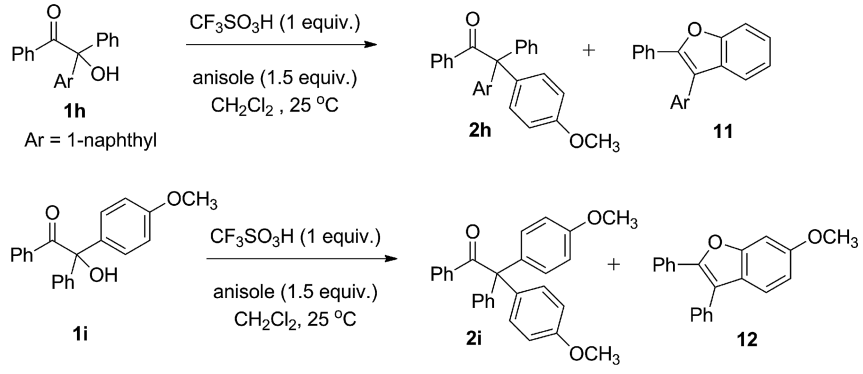
Tetraarylethanones **2b–j** and benzofuran derivatives **11** and **12** were characterised based on their physical and spectroscopic data (see Exp. Section). The benzofuran derivatives **11** and **12** have melting points that are consistent with the reported values. Ketones **2b–j** melt in the range of 53–80 °C, whereas compound **2h** has a melting point of 148–149 °C. The higher melting point of the latter may be due to π stacking in tight molecular packing of the naphthyl ring in **2h**. The $\nu_{C=O}$ absorptions in all ketones are in the range 1671–1691 cm^{-1}. Although aryl ketones have absorptions in the IR spectrum at 1680 cm^{-1}, the lowest frequency for the carbonyl group at 1671 cm^{-1} for **2f** suggests a prominent resonance effect of the *p*-OMe group, bringing considerable single bond character to the C=O group. The ^1H NMR spectra of all ketones displayed signals in the range of δ = 7.5–7.7 ppm for aromatic protons and peaks for other substituents at expected δ values. Similarly, the ^{13}C NMR spectra showed expected signals in the range of δ = 197–201 ppm for carbonyl carbon atoms. In the ESI mass spectra of **2b–j**, the [M + 1] ion was absent in all ketones except for ketones **2f** and **2g**, for which it was 4.5 and 3.0%, respectively. Interestingly, all ketones except **2h** showed their base peak by the loss of anisole ring to form triaryl-α-keto carbenium ion **A** (Figure 1). In the case of **2h**, the base peak is formed by the loss of the larger naphthyl ring.

Although the stoichiometric amount of water produced in the reaction can deactivate the catalyst and retard the rate of reaction, the time taken to complete the reaction was much less (maximum 40 min). From a standpoint of applicability, it is important to note that the method allows

Table 3. Friedel–Crafts arylation of 2-hydroxy-1,2,2-triarylethanones with anisole.[a]

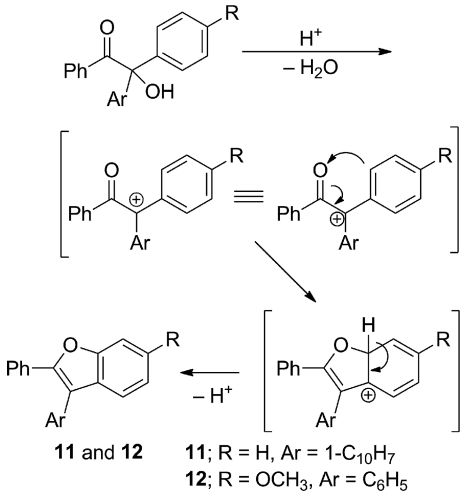


[a] Reactions were performed on 100 mg scale of 2-hydroxy-1,2,2-triarylethanones in CH_2Cl_2 (5 mL) and anisole (1.5 equiv.). [b] Isolated yield after flash chromatography. [c] The corresponding rearranged benzofuran derivatives **11** (63%) and **12** (46%) are also formed (see Scheme 2).

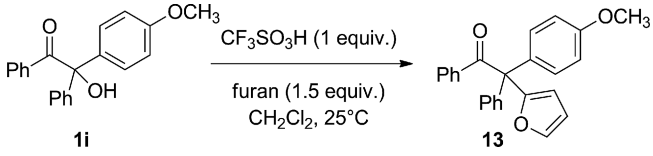


Scheme 1. Reaction of **1h** and **1i** with anisole using CF_3SO_3H.

the use of an electron-withdrawing carbonyl group bonded to the carbon bearing a hydroxyl group. There is no need to overcome, neutralise or compensate this effect by placing an electron-releasing group at the cationic centre. Thus, it is significant that 2-hydroxy-1,2,2-triarylethanones can be arylated at the α-position, despite the decreased stability of the α-keto carbenium ion.[15] The intermediacy of the α-keto carbenium ion was first reported by Karavan and Temnikova during the solvolysis of 2-bromo-1,2,2-triphenylethanone in methanol to give 2-methoxy-1,2,2-triphenylethanone.[16] Its isolation as a carbonyl-substituted carbenium ion salt was reported by Takeuchi and co-workers.[17] The formation of a α-keto carbenium ion may occur catalytically by the interaction of acid (CF_3SO_3H) with α-hy-

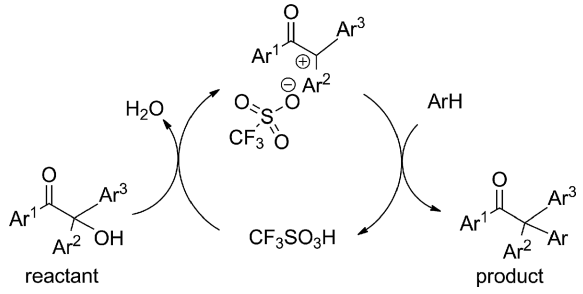


Scheme 2. Formation of benzofuran derivative from α-keto carbenium ion.



Scheme 3. Dehydrative nucleophilic substitution of **1i** with furan as nucleophile.

droxy ketones, which would cleave the hydroxyl group as water. Subsequent reaction with nucleophile (ArH) would give the product, α-substituted ketones and release the molecule of CF_3SO_3H. This stepwise mechanism is shown in Scheme 4.[18] It is noteworthy that such an α-keto carbenium ion has been observed by reacting 2-hydroxy-1,2,2-triphenylethanone with chlorosulfonic acid at –60 °C in $CDCl_3$ or CD_2Cl_2.[19]



Scheme 4. Proposed mechanism for the arylaion of α-hydroxy ketones.

Conclusions

We have described an efficient protocol for the replacement of the hydroxyl group in 2-hydroxy-1,2,2-triarylethanones with a variety of nucleophiles by using the Friedel–Crafts reaction. The approach provides a simple route through which to access a broader class of α,α,α-triaryl-substituted ketones in good to excellent yields. Both substrate and nucleophiles work well without the presence of electron-releasing groups. This method is practical and convenient because it requires no additional catalyst (ligand) and works in the presence of atmospheric oxygen. The conditions are mild (room temperature) and the reactions reach completion in short reaction times. Thus, an efficient strategy for the synthesis of an important class of α-triarylcarbonyl compounds has been put forward.

Experimental Section

General: Melting points were recorded in open capillaries and are uncorrected. IR spectra were recorded with a Perkin–Elmer IR 1800 spectrophotometer. ^1H and ^{13}C NMR spectra were recorded in $CDCl_3$ solutions with a Bruker Avance 400 spectrometer operating at 400 and 100.52 MHz, respectively. Chemical shifts (δ) are reported in parts per million downfield from internal tetramethylsilane. Coupling constants (J) are in Hertz (Hz). Mass spectra were obtained with a Waters Q-ToF Micro mass spectrometer running under Mass Lynx version 4.0 software and equipped with an ESI source. CHN analyses were estimated with a Flash 2000 series CHNS-O Analyser from Thermo Scientific. X-ray crystallography was performed with an Oxford Xcalibur (Mova) diffractometer equipped with an Eos CCD detector using Mo-K_α radiation of wavelength 0.71073 Å. TLC analyses were carried out by using aluminium-backed plates pre-coated with silica gel purchased from Merck and examined under UV florescence. All the compounds were purified by preparative flash chromatography using hexane and ethyl acetate as eluent. Flash chromatography was performed by using 40–63 μm silica gel (230–400 mesh) and applying nitrogen pressure from the top of the column.[20]

General Procedure for the Optimisation of Lewis/Brønsted Acid; Initial Reaction Conditions for the Reaction of α-Hydroxy Ketones (1a) with Anisole: A solution of 2-hydroxy-1,2,2-triphenylethanone (**1a**; 100 mg, 0.35 mmol) in anhydrous CH_2Cl_2 (5 mL) and anisole (56 mg, 0.52 mmol) were placed in a 25 mL round-bottomed flask fitted with guard tube. An appropriate amount of Lewis acid or Brønsted acid (as detailed in Table 1) was added. The contents were stirred at room temperature and the progress of reaction was monitored by TLC analyses. After stirring the contents for the appropriate time period, the reaction was quenched with water (1 mL). The product was extracted with CH_2Cl_2 (3 × 15 mL), washed with 10% Na_2CO_3 (20 mL), water (20 mL) and dried with anhydrous Na_2SO_4. The solvent was removed under reduced pressure to give a brownish oil. Isolation by flash chromatography afforded 2-(4-methoxyphenyl)-1,2,2-triphenylethanone (**2a**; 24–94% yield) as a white solid (mp. 134–135 °C). The identity of the product was confirmed based on its spectroscopic data.

Substitution of 1a with Arenes and Heteroarenes. General Procedure: A solution of 2-hydroxy-1,2,2-triphenylethanone (**1a**; 100 mg, 0.35 mmol) in anhydrous CH_2Cl_2 (5 mL) and nucleophile (1.5 equiv.) were placed in a 25 mL round-bottomed flask fitted with a guard tube. Trifluoromethanesulfonic acid (52.5 mg, 0.35 mmol, 1 equiv.) was added and the contents were stirred at room temperature. The progress of the reaction was monitored by TLC analysis. After stirring the contents for the appropriate time period, the reaction was quenched with water (1 mL). The product was extracted with CH_2Cl_2 (3 × 15 mL), washed with 10% Na_2CO_3 (20 mL), water (20 mL) and dried with anhydrous Na_2SO_4. Solvent was removed under reduced pressure to give a brownish oil. Purification and isolation by flash chromatography afforded the products **2a** and **3**–**10** in 45–94% yield.

2-(4-Methoxyphenyl)-1,2,2-triphenylethanone (2a): Yield 125 mg (94%); white solid; m.p. 134–135 °C (ref.[21] m.p. 135.0–135.5 °C); R_f = 0.43 (hexane/ethyl acetate, 90:10). FTIR (KBr): $\tilde{\nu}$ = 3057, 3029, 2932, 2838, 1675 (CO), 1603, 1578, 1509, 1444, 1296, 1182, 1032 cm^{-1}. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 3.8 (s, 3 H, OCH_3), 6.83 (d, J = 8.9 Hz, 2 H, ArH), 7.15–7.35 (m, 15 H, ArH), 7.71 (d, J = 7.4 Hz, 2 H, ArH) ppm. ^{13}C NMR (100.53 MHz, $CDCl_3$): δ = 55.2, 70.4, 113.2, 126.6, 127.6, 127.7, 130.8, 131.0, 131.6, 131.9, 135.1, 137.4, 143.5, 158.0, 199.0 ppm. ESI-MS: m/z (%) = 401 (3.1) [M + Na], 379 (>1) [M + 1], 272 (17.3), 271 (90.1), 244 (17.9), 243 (100), 228 (3.4), 165 (17). $C_{27}H_{22}O_2$: C, 85.69; H, 5.86; found C, 85.71; H, 5.84.

2-(4-Hydroxyphenyl)-1,2,2-triphenyl Ethanone (3): Yield 70 mg (56%); white solid; m.p. 171–172 °C; R_f = 0.24 (hexane/ethyl acetate, 20%). FTIR (KBr): $\tilde{\nu}$ = 3542, 3053, 3029, 1662 (CO), 1595, 1578, 1511, 1493, 1444, 1270, 1177, 1013 cm^{-1}. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 4.94 (br. s, 1 H, OH), 6.62 (d, J = 8.8 Hz, 2 H, ArH), 6.99 (d, J = 8.8 Hz, 2 H, ArH), 7.06–7.19 (m, 12 H, ArH), 7.22 (t, J = 7.4 Hz, 1 H, ArH), 7.59 (d, J = 7.3 Hz, 2 H, ArH) ppm. ^{13}C NMR (100.53 MHz, $CDCl_3$): δ = 70.4, 114.7, 126.6, 127.6, 127.8, 130.8, 131.1, 131.7, 132.1, 135.2, 137.4, 143.4, 154.2, 199.3 ppm. ESI-MS: m/z (%) = 387 (32.3) [M + Na], 365(3.4) [M + 1], 272 (22), 271 (100), 244 (12.1), 243 (72.4), 165 (8.2). $C_{26}H_{20}O_2$: C, 85.69; H, 5.53; found C, 85.74; H, 5.54.

2-(2-Furyl)-1,2,2-triphenyl Ethanone (4): Yield 105 mg (90%); white solid; m.p. 114–115 °C; R_f = 0.51 (hexane/ethyl acetate, 10%). FTIR (KBr): $\tilde{\nu}$ = 3061, 3034, 1689 (CO), 1592, 1577, 1493, 1445, 1222, 1209, 1019 cm^{-1}. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 6.24 (d, J = 3.3 Hz, 2 H, ArH), 6.38 (dd, J = 3.3, 0.8 Hz, 2 H, ArH), 7.18–7.21 (m, 4 H, ArH), 7.23–7.28 (m, 2 H, ArH), 7.30–7.36 (m, 6 H, ArH), 7.39–7.43 (m, 2 H, ArH), 7.73 (d, J = 7.3 Hz, 2 H, ArH) ppm. ^{13}C NMR (100.53 MHz, $CDCl_3$): δ = 67.0, 110.4, 111.0, 127.2, 127.8, 128.0, 129.8, 130.4, 132.1, 137.5, 142.1, 142.6, 154.9, 197.3 ppm. ESI-MS: m/z (%) = 361(7.2) [M + Na], 339 (>1) [M + 1], 272 (20.2), 271 (100), 244 (13.4), 243 (83.1), 165 (7.9), 105 (4.8). $C_{24}H_{18}O_2$: C, 85.18; H, 5.36; found C, 85.20; H, 5.37.

2-(1*H*-Indol-3-yl)-1,2,2-triphenyl Ethanone (5): Yield 60 mg (45%); white solid; m.p. 118–119 °C; R_f = 0.35 (20%, hexane/ethyl acetate). FTIR (KBr): $\tilde{\nu}$ = 3399, 3055, 1672 (CO), 1595, 1491, 1445, 1243, 1216, 1180, 1035 cm^{-1}. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 6.77 (t, J = 7.4 Hz, 1 H, ArH), 6.76–6.81 (m, 2 H, ArH), 6.96 (t, J = 7.4 Hz, 1 H, ArH), 7.02 (t, J = 7.7 Hz, 2 H, ArH), 7.06–7.20 (m, 12 H, ArH), 7.64 (d, J = 7.3 Hz, 2 H, ArH), 8.04 (br. s, 1 H, NH) ppm. ^{13}C NMR (100.53 MHz, $CDCl_3$): δ = 66.2, 111.2, 118.4, 119.6, 122.1, 122.2, 125.0, 126.7, 127.3, 127.6, 127.8, 130.4, 131.0, 131.8, 136.4, 137.7, 142.9, 199.1 ppm. ESI-MS: m/z (%) = 410 (3.0) [M + Na], 388 (2.0) [M + 1] 272 (18.7), 271 (100), 244 (11.8), 243 (72), 165 (8.5). $C_{28}H_{21}NO$: C, 86.79; H, 5.46; N, 3.61; found C, 86.78; H, 5.48; N, 3.60.

2-(4-Methylphenyl)-1,2,2-triphenylethanone (6): Yield 120 mg (48%); white solid; m.p. 107–108 °C; R_f = 0.56 (hexane/ethyl acetate, 10%). FTIR (KBr): $\tilde{\nu}$ = 3055, 3023, 2921, 2866, 1675 (CO), 1594, 1578, 1491, 1443, 1214, 1183, 1034 cm^{-1}. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 2.22 (s, 3 H, CH_3), 6.97–7.02 (m, 3 H, ArH), 7.04–7.09 (m, 3 H, ArH), 7.10–7.18 (m, 10 H, ArH), 7.19–7.23 (m, 1 H, ArH), 7.60 (d, J = 8.0 Hz, 2 H, ArH) ppm. ^{13}C NMR (100.53 MHz, $CDCl_3$): δ = 21.0, 70.8, 126.6, 127.6, 127.8, 128.6, 136.3, 137.4, 140.1, 143.4, 198.9 ppm. ESI-MS: m/z (%) = 385 (3.4) [M + Na], 363(6.0) [M + 1], 285 (14.9), 272 (20.8), 271 (100), 244 (6.8), 243 (42), 165 (4.7). $C_{27}H_{22}O$: C, 89.47; H, 6.12; found C, 89.50; H, 6.11.

2-(2-Azulenyl)-1,2,2-triphenylethanone (7): Yield 115 mg (83%); bluish solid; m.p. 174–175 °C; R_f = 0.39 (hexane/ethyl acetate, 10%). FTIR (KBr): $\tilde{\nu}$ = 3054, 3030, 2929, 1677 (CO), 1592, 1568, 1491, 1400, 1213, 1199, 1179 cm^{-1}. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 6.81 (t, J = 9.9 Hz, 1 H, ArH), 6.94 (t, J = 7.8 Hz, 2 H, ArH), 7.02 (t, J = 9.6 Hz, 1 H, ArH), 7.07–7.20 (m, 11 H, ArH), 7.26 (d, J = 4.0 Hz, 1 H, ArH), 7.38 (t, J = 9.8 Hz, 1 H, ArH), 7.55 (d, J = 7.4 Hz, 2 H, ArH), 7.75 (d, J = 9.9 Hz, 1 H, ArH), 7.91 (d, J = 3.9 Hz, 1 H, ArH), 8.18 (d, J = 9.5 Hz, 1 H, ArH) ppm. ^{13}C NMR (100.53 MHz, $CDCl_3$): δ = 68.1, 116.9, 122.9, 123.7, 126.3, 127.3, 127.6, 128.9, 130.6, 130.7, 131.4, 136.5, 137.2, 137.4, 137.6, 137.9, 139.7, 142.4, 144.4, 198.4 ppm. ESI-MS: m/z (%) = 421 (5.9) [M + Na], 399 (48.7) [M + 1], 272 (18.2), 271 (100), 257 (5), 244 (8.9), 243 (50.3), 165 (6). $C_{30}H_{22}O$: C, 90.42; H, 5.56; found C, 90.52; H, 5.55.

2-(3,4-Dimethylphenyl)-1,2,2-triphenylethanone (8): Yield 70 mg (54%); white solid; m.p. 98–99 °C; R_f = 0.53 (hexane/ethyl acetate, 10%). FTIR (KBr): $\tilde{\nu}$ = 3057, 3022, 2955, 2923, 2870, 1682 (CO), 1596, 1579, 1494, 1445, 1217, 1181, 1018 cm^{-1}. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 2.03 (s, 3 H, CH_3), 2.10 (s, 3 H, CH_3), 6.86–7.93 (m, 3 H, ArH), 7.01–7.05 (m, 2 H, ArH), 7.06–7.10 (m, 2 H, ArH), 7.11–7.18 (m, 9 H, ArH), 7.59 (d, J = 7.4 Hz, 2 H, ArH) ppm. ^{13}C NMR (100.53 MHz, $CDCl_3$): δ = 19.4, 20.1, 70.8, 126.6, 127.6, 127.7, 128.3, 129.1, 130.9, 131.1, 131.6, 132.2, 135.1, 135.9, 137.6, 140.3, 143.5, 199.1 ppm. ESI-MS: m/z (%) = 399 (41.8) [M + Na], 377(6.0) [M + 1], 299 (6.2), 272 (21.9), 271 (100), 244 (4.6), 243 (33.8), 165 (2). $C_{28}H_{24}O$: C, 89.33; H, 6.43; found C, 89.35; H, 6.45.

2-(2,5-Dimethoxyphenyl)-1,2,2-triphenylethanone (9): Yield 130 mg (92%); white solid; m.p. 135–136 °C; R_f = 0.29 (hexane/ethyl acetate, 10%). FTIR (KBr): $\tilde{\nu}$ = 3058, 3032, 2957, 2935, 2835, 1693 (CO), 1592, 1493, 1442, 1226, 1183, 1043 cm^{-1}. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 3.1 (s, 3 H, OCH_3), 3.6 (s, 3 H, OCH_3), 6.51 (d, J = 8.8 Hz, 1 H, ArH), 6.69 (dd, J = 8.8, 3.0 Hz, 1 H, ArH), 6.81 (d, J = 3.0 Hz, 1 H, ArH), 7.03–7.07 (m, 6 H, ArH), 7.11–7.17 (m, 6 H, ArH), 7.20 (t, J = 7.3 Hz, 1 H, ArH), 7.47 (d, J = 7.4 Hz, 2 H, ArH) ppm. ^{13}C NMR (100.53 MHz, $CDCl_3$): δ = 55.0, 55.5, 67.8, 111.9, 112.0, 117.2, 126.5, 127.1, 127.2, 129.5, 130.9, 131.3, 133.5, 138.9, 143.6, 151.5, 153.6, 200.4 ppm. ESI-MS: m/z (%) = 431 (4.2) [M + Na], 409 (2.0) [M + 1], 272 (24), 271 (100), 244 (9.6), 243 (58.3), 165 (6.4). $C_{28}H_{24}O_3$: C, 82.33; H, 5.92; found C, 82.37; H, 5.91.

2-(2-Triptycenyl)-1,2,2-triphenylethanone (10): Yield 110 mg (60%); white solid; m.p. 219–220 °C; R_f = 0.31 (hexane/ethyl acetate, 10%). FTIR (KBr): $\tilde{\nu}$ = 3062, 3022, 2962, 2924, 1685 (CO), 1595, 1491, 1468, 1457, 1444, 1211, 1181 cm^{-1}. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 5.17 (s, 1 H, -CH), 5.29 (s, 1 H, -CH), 6.72 (dd, J = 7.8, 1.8 Hz, 1 H, ArH), 6.86–6.92 (m, 4 H, ArH), 6.97 (t, J = 7.8 Hz, 2 H, ArH), 7.06–7.17 (m, 13 H, ArH), 7.20–7.23 (m, 2 H, ArH), 7.24–7.27 (m, 2 H, ArH), 7.47 (d, J = 7.4 Hz, 2 H, ArH) ppm. ^{13}C NMR (100.53 MHz, $CDCl_3$): δ = 53.6, 54.0, 71.0, 122.8, 123.6, 123.7, 125.0, 125.1, 126.0, 126.6, 127.5, 127.7, 128.1, 130.9, 131.0, 131.5, 137.6, 140.3, 143.1, 143.5, 144.6, 145.3, 145.4, 199.3 ppm. ESI-MS: m/z (%) = 547 (31.1) [M + Na], 525 (6.4) [M + 1], 272 (19.4), 271 (100), 244 (9.6), 243 (50.5), 165 (3.7). $C_{40}H_{28}O$: C, 91.57; H, 5.38; found C, 91.58; H, 5.37.

Arylation of α-Hydroxy Ketones Using Anisole (Scope of α-Hydroxy Ketones). General Procedure: A solution of 2-hydroxy-1,2,2-triarylethanone **1b–j** (100 mg, 0.29–0.33 mmol) in anhydrous CH_2Cl_2 (5 mL) and nucleophile (1.5 equiv.) were placed in a 25 mL round-bottomed flask fitted with a guard tube. Trifluoromethanesulfonic acid (1 equiv) was added. The contents were stirred at room tem-

perature and the progress of reaction was monitored by TLC analyses. After stirring the contents for the appropriate time, the reaction was quenched with water (1 mL). The product was extracted with CH_2Cl_2 (3× 15 mL), washed with 10% Na_2CO_3 (20 mL), water (20 mL) and dried with anhydrous Na_2SO_4. The solvent was removed under reduced pressure to give brownish oil. Purification by flash chromatography afforded the products **2b**–**j** and **11**–**13** in 24–94% yield.

2-(4-Methoxyphenyl)-2-(2-methylphenyl)-1,2-diphenylethanone (2b): Yield 115 mg (89%); white solid; m.p. 68–69 °C; R_f = 0.57 (hexane/ethyl acetate, 10%). FTIR (KBr): $\tilde{\nu}$ = 3056, 3017, 2929, 2835, 1680 (CO), 1598, 1508, 1443, 1296, 1252, 1181, 1033 cm^{-1}. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 1.70 (s, 3 H, CH_3), 3.68 (s, 3 H, OCH_3), 6.69 (d, J = 9 Hz, 2 H, ArH), 6.94–6.96 (m, 1 H, ArH), 6.99–7.04 (m, 2 H, ArH), 7.05–7.14 (m, 9 H, ArH), 7.18 (t, J = 7.4 Hz, 1 H, ArH), 7.24–7.26 (m, 1 H, ArH), 7.51 (d, J = 8.2 Hz, 2 H, ArH) ppm. ^{13}C NMR (100.53 MHz, $CDCl_3$): δ = 22.4, 55.2, 70.1, 112.9, 125.7, 126.5, 127.4, 127.5, 128.5, 129.9, 130.7, 131.3, 131.5, 132.3, 132.4, 135.0, 138.7, 138.9, 141.8, 143.3, 157.9, 200.5 ppm. ESI-MS: m/z (%) = 301 (6.4), 286 (24.3), 285 (100), 258 (9.4), 257 (55.4), 195 (3.2), 179 (4.8). $C_{28}H_{24}O_2$: C, 85.68; H, 6.16; found C, 85.70; H, 6.18.

2-(4-Methoxyphenyl)-2-(3-methylphenyl)-1,2-diphenylethanone (2c): Yield 108 mg (83%); white solid; m.p. 59–60 °C; R_f = 0.51 (hexane/ethyl acetate, 10%). FTIR (KBr): $\tilde{\nu}$ = 3055, 3032, 2951, 2929, 2835, 1680 (CO), 1605, 1578, 1508, 1489, 1444, 1252, 1213, 1181, 1033 cm^{-1}. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 2.28 (s, 3 H, CH_3), 3.80 (s, 3 H, OCH_3), 6.83 (d, J = 8.8 Hz, 2 H, ArH), 7.05–7.08 (m, 3 H, ArH), 7.17–7.21 (m, 4 H, ArH), 7.23–7.28 (m, 6 H, ArH), 7.30–7.35 (m, 1 H, ArH), 7.72 (d, J = 7.4 Hz, 2 H, ArH) ppm. ^{13}C NMR (100.53 MHz, $CDCl_3$): δ = 21.7, 55.2, 70.4, 113.1, 126.5, 127.4, 127.5, 127.6, 127.7, 127.9, 130.8, 131.0, 131.5, 131.6, 132.0, 135.3, 137.3, 137.6, 143.2, 143.7, 158.0, 199.2 ppm. ESI-MS: m/z (%) = 415 (8.9) [M + Na], 286 (23.7), 285 (100), 258 (7.4), 257 (45.6), 179 (3.5). $C_{28}H_{24}O_2$: C, 85.68; H, 6.16; found C, 85.73; H, 6.15.

2-(4-Methoxyphenyl)-2-(4-methylphenyl)-1,2-diphenylethanone (2d): Yield 120 mg (93%); white solid; m.p. 79–80 °C; R_f = 0.45 (hexane/ethyl acetate, 10%). FTIR (KBr): $\tilde{\nu}$ = 3055, 3022, 2951, 2928, 2834, 1677 (CO), 1606, 1596, 1508, 1461, 1444, 1252, 1215, 1182, 1033 cm^{-1}. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 2.29 (s, 3 H, CH_3), 3.75 (s, 3 H, OCH_3), 6.77 (d, J = 8.8 Hz, 2 H, ArH), 7.04–7.28 (m, 14 H, ArH), 7.67 (d, J = 7.4 Hz, 2 H, ArH) ppm. ^{13}C NMR (100.53 MHz, $CDCl_3$): δ = 21.0, 55.2, 70.1, 113.1, 126.5, 127.6, 127.7, 128.5, 130.6, 130.7, 131.1, 131.6, 131.9, 135.3, 136.2, 137.5, 140.4, 143.7, 158.0, 199.2 ppm. ESI-MS: m/z (%) = 415 (3.6) [M + Na], 286 (23.6), 285 (100), 258 (8.8), 257 (52.7), 179 (3.8). $C_{28}H_{24}O_2$: C, 85.68; H, 6.16; found C, 85.70; H, 6.11.

1,2-Bis(4-fluorophenyl)-2-(4-methoxyphenyl)-2-phenylethanone (2e): Yield 120 mg (94%); white solid; m.p. 71–72 °C; R_f = 0.45 (hexane/ethyl acetate, 10%). FTIR (KBr): $\tilde{\nu}$ = 3059, 3034, 2955, 2836, 1681 (CO), 1595, 1505, 1462, 1443, 1253, 1230, 1184, 1156, 1033 cm^{-1}. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 3.69 (s, 3 H, OCH_3), 6.71–6.78 (m, 4 H, ArH), 6.82–6.88 (m, 2 H, ArH), 7.02–7.05 (m, 4 H, ArH), 7.10–7.21 (m, 5 H, ArH), 7.59–7.64 (m, 2 H, ArH) ppm. ^{13}C NMR (100.53 MHz, $CDCl_3$): δ = 55.2, 69.7, 113.4, 114.4 (d, J = 21 Hz), 114.8 (d, J = 22 Hz), 126.9, 128.0, 130.5, 131.7, 132.3 (d, J = 8 Hz), 133.4 (d, J = 3 Hz), 133.7 (d, J = 8 Hz), 134.4, 139.7 (d, J = 3 Hz), 142.9, 158.2, 161.3 (d, J = 246 Hz), 164.5 (d, J = 254 Hz), 197.2 ppm. ESI-MS: m/z (%) = 437 (5.2) [M + Na], 308 (18), 307 (100), 280 (8.4), 279 (45.7). $C_{27}H_{20}F_2O_2$: C, 78.25; H, 4.86; found C, 78.30; H, 4.89.

1,2-Bis(4-methoxyphenyl)-2,2-diphenylethanone (2f): Yield 105 mg (82%); white solid; m.p. 68–69 °C; R_f = 0.32 (hexane/ethyl acetate, 10%). FTIR (KBr): $\tilde{\nu}$ = 3058, 3032, 2957, 2935, 2835, 1671 (CO), 1592, 1493, 1442, 1226, 1183, 1043 cm^{-1}. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 3.65 (s, 3 H, OCH_3), 3.68 (s, 3 H, OCH_3), 6.56 (d, J = 9.0 Hz, 2 H, ArH), 6.70 (d, J = 8.8 Hz, 2 H, ArH), 7.03 (d, J = 8.8 Hz, 2 H, ArH), 7.10–7.17 (m, 10 H, ArH), 7.60 (d, J = 9.0 Hz, 2 H, ArH) ppm. ^{13}C NMR (100.53 MHz, $CDCl_3$): δ = 55.1, 55.2, 70.2, 112.8, 113.1, 126.5, 127.7, 130.0, 130.8, 131.9, 133.5, 135.3, 143.7, 157.9, 162.1, 197.3 ppm. ESI-MS: m/z (%) = 431(4) [M + Na], 409(4.5) [M + 1], 302 (21.4), 301 (100), 274 (13.6), 273 (70.4), 195 (3.0). $C_{28}H_{24}O_3$: C, 82.33; H, 5.92; found C, 82.37; H, 5.92.

2-(4-Methoxyphenyl)-1,2-bis(4-methylphenyl)-2-phenylethanone (2g): Yield 120 mg (89%); white solid; m.p. 53–54 °C; R_f = 0.33 (hexane/ethyl acetate, 10%). FTIR (KBr): $\tilde{\nu}$ = 3055, 3025, 2921, 2855, 2835, 1677 (CO), 1604, 1509, 1443, 1252, 1223, 1181, 1035 cm^{-1}. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 2.14 (s, 3 H, CH_3), 2.18 (s, 3 H, CH_3), 3.63 (s, 3 H, OCH_3), 6.77 (d, J = 8.6 Hz, 2 H, ArH), 6.84 (d, J = 8.0 Hz, 2 H, ArH), 6.95–7.04 (m, 6 H, ArH), 7.11 (s, 5 H, ArH), 7.51 (d, J = 8.0 Hz, 2 H, ArH) ppm. ^{13}C NMR (100.53 MHz, $CDCl_3$): δ = 21.0, 21.5, 55.1, 70.0, 113.1, 126.5, 127.7, 128.4, 128.5, 130.7, 130.8, 131.3, 131.9, 134.8, 135.5, 136.1, 140.6, 142.3, 143.9, 158.0, 198.5 ppm. ESI-MS: m/z (%) = 429 (40) [M + Na], 407 (3) [M + 1], 300 (22), 299 (100), 272 (8), 271 (40.5). $C_{29}H_{26}O_2$: C, 85.68; H, 6.45; found C, 85.70; H, 6.49.

2-(4-Methoxyphenyl)-2-(1-naphthyl)-1,2-diphenylethanone (2h): Yield 30 mg (24%); white solid; m.p. 148–149 °C; R_f = 0.40 (hexane/ethyl acetate, 10%). FTIR (KBr): $\tilde{\nu}$ = 3054, 2925, 2852, 1682 (CO), 1596, 1508, 1461, 1444, 1251, 1182, 1032 cm^{-1}. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 3.68 (s, 3 H, OCH_3), 6.68 (d, J = 9 Hz, 2 H, ArH), 6.90 (t, J = 7.8 Hz, 1 H, ArH), 7.01–7.08 (m, 4 H, ArH), 7.13–7.21 (m, 6 H, ArH), 7.32 (t, J = 7.8 Hz, 1 H), 7.43–7.46 (m, 3 H, ArH), 7.54 (d, J = 7.4 Hz, 1 H, ArH), 7.63 (d, J = 8 Hz, 1 H, ArH), 7.67 (d, J = 8.2 Hz, 2 H, ArH) ppm. ^{13}C NMR (100.53 MHz, $CDCl_3$): δ = 55.2, 70.1, 112.9, 124.8, 125.1, 125.2, 126.5, 127.1, 127.5, 127.7, 128.7, 128.8, 129.6, 130.2, 131.2, 131.4, 132.6, 132.7, 134.3, 135.6, 138.9, 139.2, 143.8, 157.9, 201.7 ppm. ESI-MS: m/z (%) = 322 (16.3), 321 (77), 302 (19.3), 301 (100), 293 (12.2), 273 (42.8), 195 (12.4), 167 (7.1), 149 (8). $C_{31}H_{24}O_2$: C, 86.89; H, 5.65; found C, 86.95; H, 5.68.

3-(1-Naphthyl)-2-phenylbenzofuran (11): Yield 60 mg (63%); white solid: m.p. 92–93 °C (ref.[10] m.p. 94–95 °C); R_f = 0.57 (hexane/ethyl acetate, 10%). ^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.14–7.21 (m, 4 H, ArH), 7.28–7.32 (m, 1 H, ArH), 7.44–7.48 (m, 8 H, ArH), 7.63–7.68 (m, 2 H, ArH), 7.83 (d, J = 8.1 Hz, 1 H, ArH) ppm. ^{13}C NMR (100.53 MHz, $CDCl_3$): δ = 112.2, 119.5, 123.1, 123.6, 124.2, 126.0, 126.2, 127.8, 128.2, 128.3, 128.4, 128.9, 129.4, 130.6, 130.9, 131.0, 134.7, 150.1, 151.4 ppm.

2,2-Bis(4-methoxyphenyl)-1,2-diphenylethanone (2i): Yield 65 mg (52%); white solid; m.p. 119–120 °C; R_f = 0.43 (hexane/ethyl acetate, 10%). FTIR (KBr): $\tilde{\nu}$ = 3058, 3032, 2934, 2836, 1679 (CO), 1605, 1582, 1508, 1460, 1447, 1297, 1252, 1181, 1115, 1037 cm^{-1}. ^{1}H NMR (400 MHz, $CDCl_3$): δ = 3.68 (s, 6 H, OCH_3), 6.68–6.72 (m, 4 H, ArH), 7.02–7.05 (m, 4 H, ArH), 7.07–7.23 (m, 8 H, ArH), 7.59 (d, J = 7.4 Hz, 2 H, ArH) ppm. ^{13}C NMR (100.53 MHz, $CDCl_3$): δ = 55.2, 69.8, 113.1, 126.5, 127.6, 127.7, 130.7, 131.1, 131.6, 131.8, 135.4, 137.5, 143.8, 158.0, 199.3 ppm. ESI-MS: m/z (%) = 302 (20.9), 301 (100), 274 (13.8), 273 (67.8), 195 (12.7), 167 (13.8), 165 (3). $C_{28}H_{24}O_3$: C, 82.33; H, 5.92; found C, 82.34; H, 5.91.

6-Methoxy-2,3-diphenylbenzofuran (12): Yield 43 mg (46%); white solid; m.p. 119–120 °C (ref.[22] m.p. 120–121 °C); R_f = 0.48 (hexane/

ethyl acetate, 10%). FTIR (KBr): $\tilde{\nu}$ = 3055, 2956, 2834, 1610, 1591, 1508, 1486, 1439, 1296, 1271, 1192, 1151, 1060, 1023 cm^{-1}. ^1H NMR (400 MHz, $CDCl_3$): δ = 3.79 (s, 3 H, OCH_3), 6.79 (dd, J = 8.5, 2.2 Hz, 1 H, ArH), 7.01 (d, J = 2.1 Hz, 1 H, ArH), 7.15–7.23 (m, 3 H, ArH), 7.26–7.34 (m, 2 H, ArH), 7.36–7.42 (m, 4 H, ArH), 7.52–7.54 (m, 2 H, ArH) ppm. ^{13}C NMR (100.53 MHz, $CDCl_3$): δ = 55.8, 95.7, 111.9, 117.4, 120.2, 123.7, 126.6, 127.6, 127.9, 128.4, 128.9, 129.7, 130.9, 132.9, 149.6, 155.0, 158.4 ppm.

2-(2-Methoxyphenyl)-2-(4-methoxyphenyl)-1,2-diphenylethanone (2j): Yield 114 mg (89%); white solid; m.p. 121–122 °C; R_f = 0.30 (hexane/ethyl acetate, 10%). FTIR (KBr): $\tilde{\nu}$ = 3056, 3000, 2930, 2835, 1691 (CO), 1596, 1486, 1461, 1289, 1112, 1029 cm^{-1}. ^1H NMR (400 MHz, $CDCl_3$): δ = 3.18 (s, 3 H, OCH_3), 3.69 (s, 3 H, OCH_3), 6.58 (d, J = 7.4 Hz, 1 H, ArH), 6.68 (d, J = 8.9 Hz, 2 H, ArH), 6.86 (dt, J = 7.5, 1 Hz, 1 H, ArH), 6.98 (d, J = 89 Hz, 2 H, ArH), 7.01–7.07 (m, 4 H, ArH), 7.11–7.21 (m, 6 H, ArH), 7.44 (d, J = 8.2 Hz, 2 H, ArH) ppm. ^{13}C NMR (100.53 MHz, $CDCl_3$): δ = 54.6, 55.1, 67.2, 111.3, 112.5, 120.8, 126.3, 127.0, 127.1, 128.9, 129.5, 129.7, 130.8, 131.2, 132.3, 132.6, 135.7, 138.9, 144.0, 157.2, 157.9, 200.9 ppm. ESI-MS: m/z (%) = 431(100) [M + Na], 302 (20.9), 301 (44.6), 274 (13.6), 273 (29.8), 195 (5.5), 167 (9.5). $C_{28}H_{24}O_3$: C, 82.33; H, 5.92; found C, 82.34; H, 5.90.

2-(2-Furyl)-2-(4-methoxyphenyl)-1,2-diphenylethanone (13): Yield 105 mg (92%); white solid; m.p. 29–30 °C; R_f = 0.40 (hexane/ethyl acetate, 10%). FTIR (KBr): $\tilde{\nu}$ = 3060, 2940, 2839, 1685 (CO), 1602, 1503, 1452, 1445, 1295, 1251, 1181, 1029 cm^{-1}. ^1H NMR (400 MHz, $CDCl_3$): δ = 3.62 (s, 3 H, OCH_3), 6.05 (d, J = 3.3 Hz, 1 H, ArH), 6.19 (dd, J = 3.3, 0.8 Hz, 2 H, ArH), 6.70 (d, J = 8.8 Hz, 2 H, ArH), 6.97 (d, J = 8.8 Hz, 2 H, ArH), 7.03–7.09 (m, 4 H, ArH), 7.11–7.17 (m, 3 H, ArH), 7.20–7.24 (m, 2 H, ArH), 7.57 (d, J = 8.2 Hz, 2 H, ArH) ppm. ^{13}C NMR (100.53 MHz, $CDCl_3$): δ = 55.2, 66.5, 110.4, 110.9, 113.4, 127.1, 127.8, 128.0, 129.8, 130.4, 130.9, 132.1, 134.1, 137.6, 142.4, 142.5, 155.2, 155.5, 197.5 ppm. ESI-MS: m/z (%) = 391(39.9) [M + Na], 301 (17.8), 273 (15.9), 261 (91.6), 233 (100), 215 (6.6), 205 (4.2). $C_{25}H_{20}O_3$: C, 81.50; H, 5.47; found C, 81.52; H, 5.46.

CCDC-1029526 (for **7**) and -1029481 (for **10**) contain the supplementary crystallographic data for this paper. These data can be obtained free of charge from The Cambridge Crystallographic Data Centre via www.ccdc.cam.ac.uk/data_request/cif.

Supporting Information (see footnote on the first page of this article): X-ray diffraction data, and ^1H and ^{13}C NMR spectra for all new compounds.

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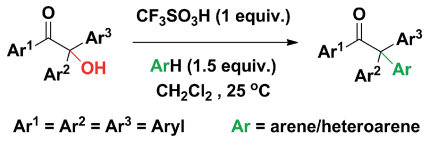
Friedel–Crafts Arylation

An efficient Friedel–Crafts arylation of α-hydroxy ketones has been developed that allows access to a broader class of α-triaryl-substituted ketones. 2-Hydroxy-1,2,2-triarylethanones have been converted into 1,2,2,2-tetraarylethanones by using trifluoromethanesulfonic acid as Brønsted acid and arenes/heteroarenes as nucleophiles.



Keywords: Synthetic methods / Arylation / Ketones / Carbocations

