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2,3-Diaroyl benzofurans from arynes: sequential synthesis of 2-aroyl benzofurans followed by benzoylation†

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A cascade synthetic strategy for the direct synthesis of 2-aroyl benzofurans from aryne precursors has been developed. This reaction proceeds *via* C–O and C–C bond cleavage as well as C–O and C–C bond formation in a single reaction vessel. The methodology provides good yields of 2-aroyl benzofurans and tolerates a variety of functional groups. The synthesized 2-aroyl benzofurans were further benzoylated at 3-positions and one of the synthesized 2,3-diaroyl benzofuran structures was confirmed unambiguously by X-ray crystallography.

Introduction

The benzofuran heterocyclic core is a ubiquitous structural motif found in many natural products and pharmaceuticals.[1] In natural products, it is the "parent" of many related compounds with more complex structures.[2] Psoralen is one of such derivatives which occurs in several plants. A number of benzofuran derivatives exhibit a wide range of activities including antitumour,[3a] antiviral,[3b] antifungal,[3c] anti-inflammatory,[3d,e] antineoplastic,[3f] and antioxidative[3g] properties. They are also used as modulators of androgen biosynthesis (furano steroids),[4a] as antagonists of angiotensin II receptors,[4b] as blood coagulation factor Xa inhibitors,[4c] and as ligands for the adenosine A_1 receptor.[4d] As a consequence, several synthetic methods have been developed and recently there has been growing interest for the synthesis of benzofuran derivatives.[5] Major synthetic strategies include the cyclization of α-(phenoxy)alkyl ketones, cyclofragmentation of oxiranes, acidic dehydration of *o*-hydroxybenzyl ketones, and base-mediated decarboxylation of *o*-acylphenoxyacetic acids and esters.[6] To improve these traditional multistep reactions, Pd- and Cu-catalyzed cascade processes have been developed.[7] Although these transition metal catalyzed transformations are efficient and general, harsh reaction conditions, expensive catalyst systems and functional group tolerance are the unavoidable issues associated with them. Therefore, the development of transition-metal-free cascade type synthetic strategies for the synthesis of benzofuran derivatives is important and desirable.[8]

On the other hand, arynes have been continuously used for the development of several useful synthetic methodologies[9] and demonstrated to be versatile intermediates for the synthesis of important compounds and natural products.[10] Although there are several methods for the generation of arynes,[11] *o*-silyl aryl triflates have been extensively used as they are readily available and can be easily converted to the desired arynes. Regarding the synthesis of benzofuran derivatives *via* arynes, Caubere and co-workers have reported a synthetic route to this structural unit by the reactions of dihalogenobenzenes with cyclic ketones, but the use of strong bases ($NaNH_2$ combined with *t*-BuONa) together with low yields of the product demerits this method.[12] Li and co-workers have also reported a general synthesis of benzofurans by the cycloaddition of arynes with iodonium ylides.[13] The requisite iodonium ylides are unstable and other expensive hypervalent iodine reagents are required for their synthesis. Few years back, Miyabe and co-workers developed few methods for the synthesis of benzofurans using aryne intermediates.[14] In one of their methods, dihydrobenzofurans were synthesized *via* the insertion of arynes into formamide followed by trapping with the zinc enolates of α-chlorinated methines. Finally, benzofurans were synthesized from dihydrobenzofurans *via* the addition of an ethyl anion. In this synthetic protocol, diethylzinc is predominantly used for the synthesis of zinc enolates of α-chlorinated methines as well as an ethyl anion, which is expensive and moisture sensitive. Recently, Chandrasekhar

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†Electronic supplementary information (ESI) available: Copies of the ^1H, ^{13}C NMR and HRMS spectra of all products. CCDC 1823989. For ESI and crystallographic data in CIF or other electronic format see DOI: 10.1039/c8ob00631h

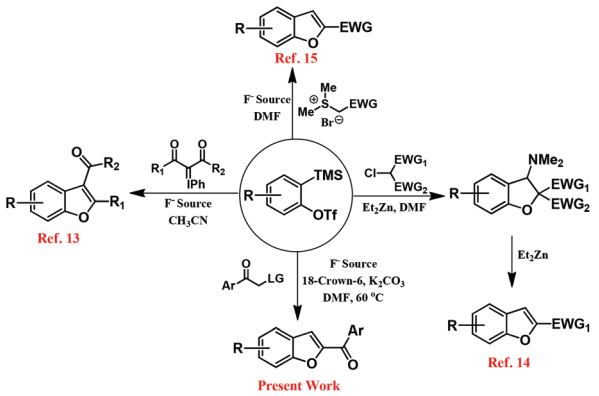
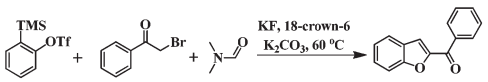


Fig. 1 Previous and present approaches.

and his co-workers have published the synthesis of 2-aroyl benzofurans *via* the cascade [2 + 2] followed by a [4 + 1] annulation on arynes, where sulfur ylides were used as reactants (Fig. 1).[15]

From our group, arynes have been used for the one-pot synthesis of coumestans and 3-substituted isocoumarins from 4-hydroxycoumarins, respectively.[16] Recently, we have also used this aryne precursor for the direct synthesis of 2-formylarylsulphonate, which proceeds *via* the formation of *ortho*-quinone methide from benzyne and formamide followed by the trapping of arylsulfonyl chloride.[17] This result prompted us to design some cascade-type synthetic strategies to construct benzofuran skeletons using *o*-silyl aryl triflates under mild conditions. To execute this, readily available *o*-silyl aryl triflate **1a**, 2-bromoacetophenone **2a** and DMF were chosen as model substrates in the presence of a fluoride source with 18-crown-6 and a base to implement the cascade sequence (Scheme 1).

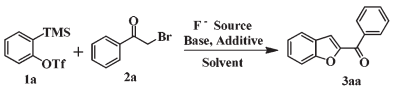


Scheme 1 Synthesis of benzofuran from *o*-silyl aryl triflate and 2-bromoacetophenone.

Our synthetic strategy starts with our earlier reported reaction conditions by using 2-(trimethylsilyl)phenyl trifluoromethanesulfonate **1a** (1 mmol), 2-bromoacetophenone **2a** (1.5 mmol), KF (4 mmol), and K_2CO_3 (3 eq.) in DMF at 60 °C. Under these reaction conditions,[17] the desired product **3aa** was produced in 71% yield (Table 1, entry 1). Several deviations were made from our known optimized conditions by changing the fluoride source, base and solvent system (Table 1, entries 2–7). However, no significant enhancement in the yield was observed. When the reaction was carried out at room temperature, it took a longer time and the corresponding product **3aa** was produced in 70% yield (Table 1, entry 8). Slight modification has been made to our standard conditions by the addition of 18-crown-6 (1 mmol) as an additive with KF. Under these conditions, the desired product **3aa** was isolated in 79% yield (Table 1, entry 9). The amounts of the fluoride source and base were also optimized and 4 equivalents of KF and 3 equivalents of base were found to be sufficient for our reaction (Table 1, entries 10–11). As a control experiment, when the reaction was performed in the absence of a fluoride source, additive and base, the product **3aa** was not observed (Table 1, entry 12). Other few optimization experiments were performed in the absence of a base as well as KF, however no encouraging results were obtained (Table 1, entries 13 and 14).

With our optimized reaction conditions, the scope of this transformation was investigated and the results are presented in Table 2. As shown in Table 2, *o*-silyl aryl triflate **1a** was treated with a variety of 2-bromoacetophenones bearing

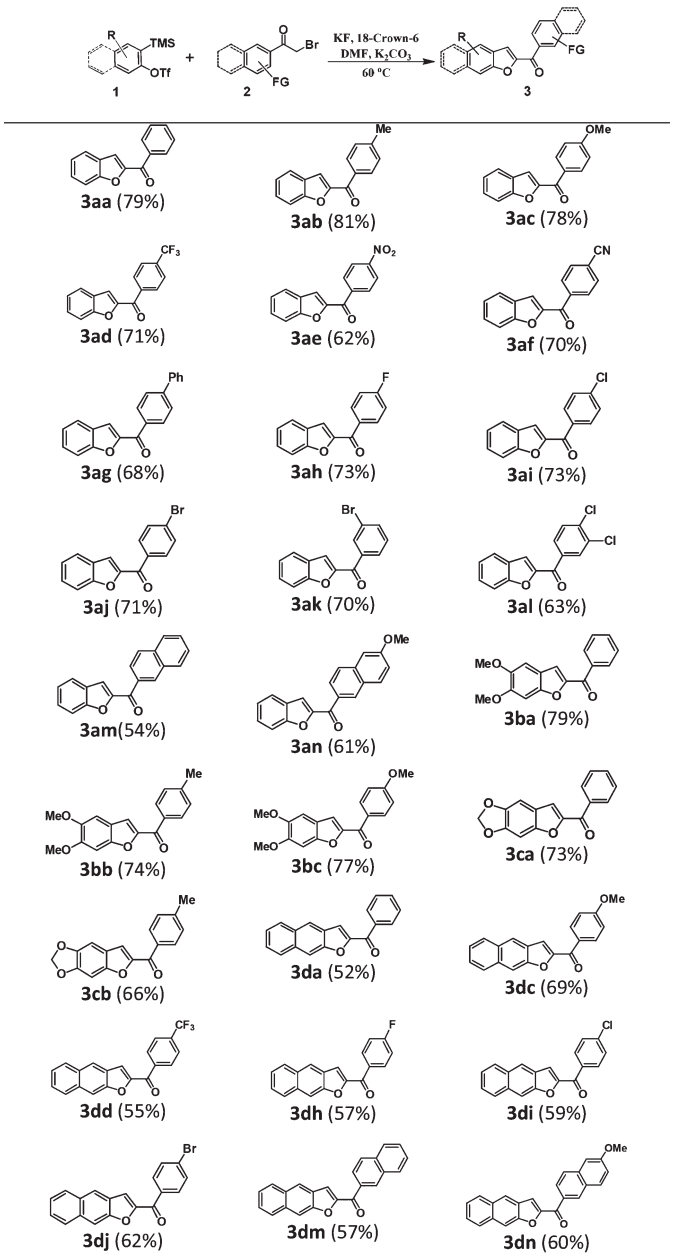
Table 1 Optimization studies[a]



Entry	F^- source (equiv)	Additive (1 equiv.)	Base (3 equiv.)	Solvent	Temp (°C)	Yield[b] (%)
1	KF (4.0)	—	K_2CO_3	DMF	60	71
2	CsF (4.0)	—	K_2CO_3	DMF	60	73
3	TBAF (4.0)	—	K_2CO_3	DMF	60	Trace
4	KF (4.0)	—	Cs_2CO_3	DMF	60	70
5	KF (4.0)	—	Na_2CO_3	DMF	60	49
6	KF (4.0)	—	K_2CO_3	DMF/CH_3CN (1 : 1)	60	55
7	KF (4.0)	—	K_2CO_3	DMF/THF (1 : 1)	60	69
8	KF (4.0)	—	K_2CO_3	DMF	rt	70[c]
9	KF (4.0)	18-Crown-6	K_2CO_3	DMF	60	79
10	KF (3.0)	18-Crown-6	K_2CO_3	DMF	60	60
11	KF (5.0)	18-Crown-6	K_2CO_3	DMF	60	76
12	—	—	—	DMF	60	ND
13	—	—	K_2CO_3	DMF	60	ND
14	KF (4.0)	—	—	DMF	60	Trace

[a] Reaction conditions: *o*-Silyl aryl triflate **1a** (1 mmol), 2-bromoacetophenone **2a** (1.5 mmol), fluoride source (3 to 4 mmol), additive (1 mmol), base (3 mmol), and DMF (4 mL) stirred from rt to 60 °C. [b] Isolated yield. ND: not detected. [c] 24 h.

Table 2 One-pot synthesis of 2-aroyl benzofurans[a]



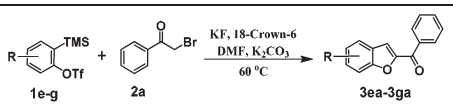
[a] Reaction conditions: *o*-Silyl aryl triflate **1** (1 mmol), 2-bromoacetophenone **2** (1.5 mmol), 18-crown-6 (1 mmol), fluoride source (4 mmol), K_2CO_3 (3 mmol), and DMF (4 mL) stirred at 60 °C.

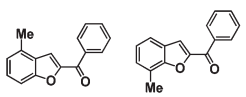
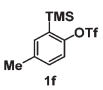
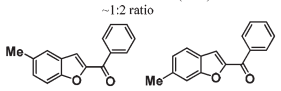
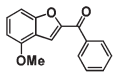
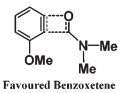
methyl, methoxy, trifluoromethyl, nitro, nitrile, fluoro, chloro, bromo, aryl substituents at the phenyl ring which effectively participated in this reaction, resulting in moderate to good yields of the desired 2-aroyl benzofurans (Table 2, **3aa–3an**). The results showed that the electronic effect of substituents at the phenyl ring of 2-bromoacetophenone did not play a significant role in this reaction and they participated smoothly in our cascade process with benzyne precursors. Interestingly, halo, nitro and nitrile-substituents were readily accommodated under the reaction conditions and resulted in good yields of 2-aroyl benzofurans, which offer possibilities for further functionalization as well as modification of functional groups (**3ae–3al**). Moreover, 2-bromo-2′-acetonaphthones also proceeded smoothly to afford the corresponding products **3am** and **3an**, which were isolated in 54% and 61% yields, respectively. Other symmetrical silyl triflates such as 4,5-dimethoxy-2-(trimethylsilyl)phenyl trifluoromethanesulfonate **1b**, 5-(trimethylsilyl)benzo[*d*][1,3]-dioxol-6-yl trifluoromethanesulfonate **1c** and 3-(trimethylsilyl)-2-naphthyl trifluoromethanesulfonate **1d** were also examined as benzyne precursors for our cascade reaction strategy and a series of 2-aroyl benzofurans were synthesized in 52–79% yields. To further investigate the substrate scope of our synthetic strategy, 2-chloroacetophenone was used instead of 2-bromoacetophenone under the optimized reaction conditions; however this resulted in a low yield of product **3aa** (61%) and required a longer reaction time (10 h) in comparison with using 2-bromoacetophenone.

Additionally, unsymmetrical benzyne precursors *i.e.* 2-methyl-6-(trimethylsilyl)phenyl trifluoromethanesulfonate **1e** and 4-methyl-2-(trimethylsilyl)phenyl trifluoromethanesulfonate **1f** were also treated with 2-bromoacetophenone **2a** under the optimized reaction conditions (Table 3). Benzyne precursors **1e** and **1f** afforded 2-benzoylbenzofurans **3ea**/**3e′a** and **3fa**/**3f′a** as mixtures of regioisomers with ~1 : 2 ratios. The regioisomers were not separated into individual isomers and their ratios were calculated by ^{1}H NMR.

However, when the unsymmetrical benzyne precursor 3-methoxy-2-(trimethylsilyl)phenyl trifluoromethanesulfonate **1g** was utilized, single regioisomer **3ga** was formed in 67% yield, which could be rationalized by the electronic effect of the –OMe group, which favors the sterically hindered benzoxe-

Table 3 Synthesis of 2-benzoylbenzofurans from unsymmetrical benzyne precursors[a]

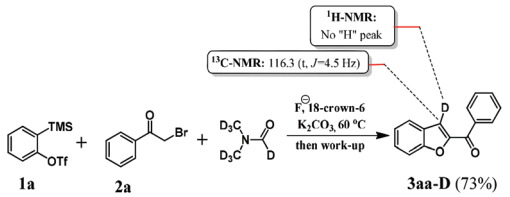


Entry	Benzyne precursor (**1e–g**)	2-Benzoylbenzofuran (**3ea–3ga**)
1		 Mixture of **3ea** and **3e′a** (71%) ~1:2 ratio
2		 Mixture of **3fa** and **3f′a** (74%) ~1:2 ratio
3		 **3ga** (67%)  Favoured Benzoxetene (C=O insertion to benzyne)

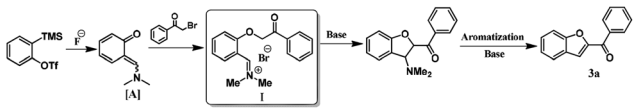
[a] Reactions conditions: *o*-Silyl aryl triflate **1** (1 mmol), 2-bromoacetophenone **2a** (1.5 mmol), 18-crown-6 (1 mmol), fluoride source (4 mmol), K_2CO_3 (3 mmol), and DMF (4 mL) stirred at 60 °C.

tene intermediate *via* the insertion of an aryne into the C═O bond of DMF.

To gain insight into the mechanism of our cascade process, the reaction between **1a** and **2a** was performed in deuterated DMF-d_7 (Scheme 2). Under the optimized reaction conditions, the deuterated 2-aryl benzofuran **3aa-D** was isolated in 73% yield with exclusive deuterium incorporation at the 3 position of benzofuran. This result clearly indicates that our cascade process proceeded *via* the [2 + 2] cycloaddition of an aryne with the DMF solvent.

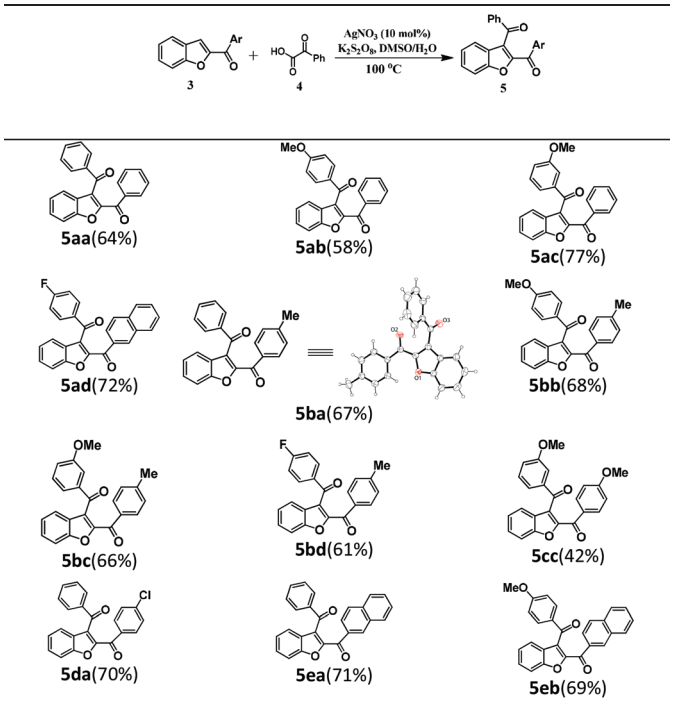


Scheme 2 Isotopic tracer experiment.



Scheme 3 Plausible reaction mechanism for the synthesis of 2-aroyl benzofuran.

Table 4 Synthesis of 2,3-diaroyl benzofurans[a]



[a] Reactions conditions: 2-Aroyl benzofuran **3** (1 mmol), phenylglyoxylic acid **4** (1.5 mmol), $AgNO_3$ (10 mol%), $K_2S_2O_8$ (3 mmol), and DMSO/H_2O (1 : 1), stirred at 100 °C.

Based on the previous report,[14,17,18] a plausible reaction mechanism for the one-pot synthesis of 2-aroyl benzofuran is proposed in Scheme 3. As shown in Scheme 3, the *in situ* generated benzyne undergoes insertion into the C═O π-bond of formamide to form *ortho*-quinone methide **A**, which reacts with 2-bromoacetophenone to afford intermediate **I**. The reactive intermediate **I** undergoes cyclization followed by aromatization leading to 2-aroyl benzofuran **3a** under basic conditions.

The synthetic utility of our synthesized 2-aroyl benzofuran products was then explored through functionalization with another aroyl functionality at the 3-position (Table 4). Initially, this functionalization was attempted with metal-free *tert*-butyl hydroperoxide mediated oxidative benzoylation, where an aryl aldehyde was used as an aroyl source.[19] However, this resulted in low yields of diaroylated products. This functionalization was finally accomplished by silver-catalyzed decarboxylative aroylation using phenylglyoxylic acid to produce 2,3-diaroyl benzofurans **5** in good yields.[20] The reaction was compatible with a variety of functional groups on the aryl ring such as methyl, methoxy, fluoro, chloro and aroyl groups. One of the synthesized 2,3-diaroyl benzofuran structures was confirmed unambiguously by X-ray crystallography.[21] The requisite phenylglyoxylic acids were efficiently synthesized from their corresponding acetophenones.[22]

Conclusions

In summary, we have developed a cascade strategy for the direct synthesis of 2-aroyl benzofurans *via* arynes. A series of 2-aroyl benzofurans have been synthesized using this one-pot synthetic strategy in good yields with excellent functional group tolerance. Importantly, this synthetic strategy has been accomplished through C–O and C–C bond cleavage as well C–O and C–C bond formation in a single reaction vessel. Furthermore, the synthesized 2-aroyl benzofurans could be benzoylated at 3-positions. This efficient and convenient strategy opens a new avenue for the rapid synthesis of 2,3-diaroyl benzofurans from readily available materials.

Experimental section

General

All reactions involving oxygen or moisture-sensitive compounds were carried out under an argon atmosphere using oven-dried or flame-dried glassware. All other solvents and reagents were purified according to standard procedures or were used as received from TCI, Aldrich, Merck and Spectrochem. Reactions were monitored by thin-layer chromatography (TLC) using aluminium-backed silica gel plates (0.2 mm thickness); the chromatograms were visualized with ultraviolet light (254 nm). Flash column chromatography was performed with silica gel 60 (100–200 mesh). HRMS data were recorded by electrospray ionization with a Q-TOF mass analyzer.

General procedure for the synthesis of 2-aroyl benzofurans (3)

An oven-dried round bottom flask (50 mL capacity) equipped with a magnetic stir bar was evacuated and purged with argon. Aryne precursor (1 mmol, 1 equiv.), 2-bromoacetophenone (1.5 mmol, 1.5 equiv.), KF (4 mmol, 4 equiv.), 18-crown-6 (1 mmol, 1 equiv.), K_2CO_3 (3 mmol, 3 equiv.) and DMF (4 mL) were added successively at room temperature. The reaction mixture was stirred at 60 °C for 6 h and then allowed to cool to room temperature. Water (10 mL) was added to the reaction mixture and the organic layer was extracted with EtOAc (2 × 20 mL). The combined organic phases were washed with brine and dried over sodium sulfate. The solvent was removed and the residue was purified by column chromatography on silica gel using hexane/ethyl acetate as an eluent.

Benzofuran-2-yl(phenyl)methanone (3aa).[15] On applying the general experimental procedure using 2-(trimethylsilyl)phenyl trifluoromethanesulphonate (0.25 mL; 1 mmol, 1 equiv.), 2-bromoacetophenone (0.298 g; 1.5 mmol, 1.5 equiv.), KF (0.232 g; 4 mmol, 4 equiv.), 18-crown-6 (0.264 g; 1 mmol, 1 equiv.), and K_2CO_3 (0.415 g; 3 mmol, 3 equiv.) in DMF (4 mL), 2-aroyl benzofuran **3aa** was obtained as a colourless solid (0.175 g, 79% yield) after purification by flash chromatography using hexane/EtOAc (97 : 3) as the eluent; m.p. 79–83 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.03 (d, J = 7.2 Hz, 2H), 7.72 (d, J = 7.9 Hz, 1H), 7.62 (t, J = 7.8 Hz, 2H), 7.44–7.58 (m, 4H), 7.32 (t, J = 7.5 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 184.4, 155.9, 152.0, 137.1, 132.8, 129.3, 128.5, 128.3, 126.9, 123.9, 123.3, 116.6, 112.5; IR ($CHCl_3$): 3062, 2926, 2854, 1649, 1546, 1445, 1329, 1186, 972, 899 cm^{-1}; HRMS (+ESI) calcd for $C_{15}H_{11}O_2$ $[M + H]^+$: 223.0759; found: 223.0758.

Benzofuran-2-yl(*p*-tolyl)methanone (3ab).[15] On applying the general experimental procedure using 2-(trimethylsilyl)-phenyl-trifluoromethanesulphonate (0.24 mL; 1 mmol, 1 equiv.), 4′-methylphenacylbromide (0.320 g; 1.5 mmol, 1.5 equiv.), KF (0.232 g; 4 mmol, 4 equiv.), 18-crown-6 (0.264 g; 1 mmol, 1 equiv.), and K_2CO_3 (0.415 g; 3 mmol, 3 equiv.) in DMF (4 mL), 2-aroyl benzofuran **3ab** was obtained as a pale yellow solid (0.191 g, 81% yield) after purification by flash chromatography using hexane/EtOAc (97 : 3) as the eluent; m.p. 87–92 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.97 (d, J = 8.1 Hz, 2H), 7.72 (d, J = 7.9 Hz, 1H), 7.64 (d, J = 8.4 Hz, 1H), 7.52 (s, 1H), 7.49 (t, J = 7.8 Hz, 1H), 7.30–7.37 (m, 3H), 2.46 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 184.1, 155.9, 152.4, 143.8, 134.5, 129.6, 129.2, 128.2, 127.0, 123.9, 123.2, 116.1, 112.5, 21.7; IR ($CHCl_3$): 3126, 2923, 1635, 1608, 1446, 1329, 1175, 1147, 971, 743 cm^{-1}; HRMS (+ESI) calcd for $C_{16}H_{13}O_2$ $[M + H]^+$: 237.0916; found: 237.0915.

Benzofuran-2-yl(4-methoxyphenyl)methanone (3ac).[15] On applying the general experimental procedure using 2-(trimethylsilyl)-phenyl trifluoromethanesulphonate (0.24 mL; 1 mmol, 1 equiv.), 4′-methoxyphenacylbromide (0.344 g; 1.5 mmol, 1.5 equiv.), KF (0.232 g; 4 mmol, 4 equiv.), 18-crown-6 (0.264 g; 1 mmol, 1 equiv.), and K_2CO_3 (0.415 g; 3 mmol, 3 equiv.) in DMF (4 mL), 2-aroyl benzofuran **3ac** was obtained as a colourless solid (0.197 g, 78% yield) after purification by flash chromatography using hexane/EtOAc (94 : 8) as the eluent; m.p. 91–94 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.11 (d, J = 8.8 Hz, 2H), 7.72 (d, J = 7.9 Hz, 1H), 7.64 (d, J = 8.4 Hz, 1H), 7.52 (d, J = 0.7 Hz, 1H), 7.46–7.51 (m, 1H), 7.33 (t, J = 7.5 Hz, 1H), 7.03 (d, J = 8.8 Hz, 2H), 3.91 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 182.9, 163.6, 155.8, 152.6, 131.9, 129.8, 128.0, 127.0, 123.8, 123.1, 115.5, 113.8, 112.5, 55.5; IR ($CHCl_3$): 2934, 2840, 1644, 1603, 1548, 1509, 1350, 1259, 1170, 1029, 972, 845, 752 cm^{-1}; HRMS (+ESI) calcd for $C_{16}H_{13}O_3$ $[M + H]^+$: 253.0865; found: 253.0866.

Benzofuran-2-yl(4-(trifluoromethyl)phenyl)methanone (3ad).[23] On applying the general experimental procedure using 2-(trimethylsilyl)phenyl trifluoromethanesulphonate (0.13 mL; 0.5 mmol, 1 equiv.), 2-bromo-4′-(trifluoromethyl)acetophenone (0.20 g; 0.75 mmol, 1.5 equiv.), KF (0.116 g; 2 mmol, 4 equiv.), 18-crown-6 (0.132 g; 0.5 mmol, 1 equiv.), and K_2CO_3 (0.207 g; 1.5 mmol, 3 equiv.) in DMF (2 mL), 2-aroyl benzofuran **3ad** was obtained as a yellow solid (0.103 g, 71% yield) after purification by flash chromatography using hexane/EtOAc (95 : 5) as the eluent; m.p. 154–160 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.16 (d, J = 8.5 Hz, 2H), 7.82 (d, J = 8.5 Hz, 2H), 7.76 (d, J = 7.9 Hz, 1H), 7.66 (d, J = 8.5 Hz, 1H), 7.58 (s, 1H), 7.54 (t, J = 7.8 Hz, 1H), 7.32–7.40 (m, 1H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 183.1, 156.1, 151.8, 140.0, 134.2 (q, J = 32.7 Hz), 129.7, 128.9, 126.8, 125.5 (q, J = 3.8 Hz), 124.2, 123.6 (q, J = 272.8 Hz), 123.5, 117.1, 112.6; IR ($CHCl_3$): 2925, 1650, 1507, 1446, 1408, 1333, 1261, 1217, 1166, 1110, 1068, 854, 773 cm^{-1}; HRMS (+ESI) calcd for $C_{16}H_{10}O_2F_3$ $[M + H]^+$: 291.0633; found: 291.0635.

Benzofuran-2-yl(4-nitrophenyl)methanone (3ae).[15] On applying the general experimental procedure using 2-(trimethylsilyl) phenyl trifluoromethanesulphonate (0.24 mL; 1 mmol, 1 equiv.), 2-bromo-4′-nitroacetophenone (0.366 g; 1.5 mmol, 1.5 equiv.), KF (0.232 g; 4 mmol, 4 equiv.), 18-crown-6 (0.264 g; 1 mmol, 1 equiv.), and K_2CO_3 (0.414 g; 3 mmol, 3 equiv.) in DMF (4 mL), 2-aroyl benzofuran **3ae** was obtained as a yellow solid (0.166 g, 62% yield) after purification by flash chromatography using hexane/EtOAc (85 : 15) as the eluent; m.p. 186–191 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.40 (d, J = 8.8 Hz, 2H), 8.22 (d, J = 8.8 Hz, 2H), 7.76 (d, J = 7.9 Hz, 1H), 7.65 (d, J = 8.5 Hz, 1H), 7.62 (s, 1H), 7.53–7.58 (m, 1H), 7.37 (t, J = 7.3 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 182.3, 156.2, 151.6, 150.1, 142.0, 130.4, 129.2, 126.7, 124.4, 123.7, 123.6, 117.4, 112.6; IR ($CHCl_3$): 2921, 1644, 1603, 1524, 1320, 1305, 1151, 867, 760, 721, 666 cm^{-1}; HRMS (+ESI) calcd for $C_{15}H_{10}NO_4$ $[M + H]^+$: 268.0610; found: 268.0917.

4-(Benzofuran-2-carbonyl)benzonitrile (3af).[23] On applying the general experimental procedure using 2-(trimethylsilyl) phenyl trifluoromethanesulphonate (0.12 mL; 0.5 mmol, 1 equiv.), 4-cyanophenacylbromide (0.168 g; 0.75 mmol, 1.5 equiv.), KF (0.116 g; 2 mmol, 4 equiv.), 18-crown-6 (0.132 g; 0.5 mmol, 1 equiv.), and K_2CO_3 (0.207 g; 1.5 mmol, 3 equiv.) in DMF (2 mL), 2-aroyl benzofuran **3af** was obtained as a pale yellow solid (0.086 g, 70% yield) after purification by flash chromatography using hexane/EtOAc (85 : 15) as the eluent; m.p. 191–195 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.15 (d, J = 7.2 Hz, 2H), 7.84 (d, J = 7.2 Hz, 2H), 7.75 (d, J = 7.9 Hz, 1H),

7.64 (d, J = 8.4 Hz, 1H), 7.59 (s, 1H), 7.51–7.57 (m, 1H), 7.36 (t, J = 7.5 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 182.6, 156.1, 151.6, 140.4, 132.3, 129.8, 129.1, 126.7, 124.3, 123.5, 117.9, 117.3, 116.1, 112.6; IR ($CHCl_3$): 2923, 1650, 1607, 1289, 1214, 1188, 976, 755 cm^{-1}; HRMS (+ESI) calcd for $C_{16}H_{10}NO_2$ $[M + H]^+$: 248.0712; found: 248.0711.

[1,1′-Biphenyl]-4-yl(benzofuran-2-yl)methanone (3ag).[15] On applying the general experimental procedure using 2-(trimethylsilyl)phenyl trifluoromethanesulphonate (0.12 mL; 0.5 mmol, 1 equiv.), 2-bromo-4′-phenylacetophenone (0.206 g; 0.75 mmol, 1.5 equiv.), KF (0.116 g; 2 mmol, 4 equiv.), 18-crown-6 (0.132 g; 0.5 mmol, 1 equiv.), and K_2CO_3 (0.207 g; 1.5 mmol, 3 equiv.) in DMF (2 mL), 2-aroyl benzofuran **3ag** was obtained as a colourless solid (0.101 g, 68% yield) after purification by flash chromatography using hexane/EtOAc (97 : 3) as the eluent; m.p. 148–148 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.15 (d, J = 8.2 Hz, 2H), 7.73–7.80 (m, 3H), 7.64–7.70 (m, 3H), 7.59 (s, 1H), 7.47–7.54 (m, 3H), 7.43 (t, J = 7.4 Hz, 1H), 7.35 (t, J = 7.5 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 183.9, 155.9, 152.3, 145.7, 139.8, 135.8, 130.1, 128.9, 128.4, 128.3, 127.3, 127.2, 126.9, 123.9, 123.3, 116.4, 112.6; IR ($CHCl_3$): 2922, 1635, 1402, 1331, 1301, 1131, 976, 739 cm^{-1}; HRMS (+ESI) calcd for $C_{21}H_{15}O_2$ $[M + H]^+$: 299.1072; found: 299.1073.

Benzofuran-2-yl(4-fluorophenyl)methanone (3ah).[15] On applying the general experimental procedure using 2-(trimethylsilyl) phenyl trifluoromethanesulphonate (0.12 mL; 0.5 mmol, 1 equiv.), 2-bromo-4′-fluoroacetophenone (0.163 g; 0.75 mmol, 1.5 equiv.), KF (0.116 g; 2 mmol, 4 equiv.), 18-crown-6 (0.132 g; 0.5 mmol, 1 equiv.), and K_2CO_3 (0.207 g; 1.5 mmol, 3 equiv.) in DMF (2 mL), 2-aroyl benzofuran **3ah** was obtained as a yellow solid (0.088 g, 73% yield) after purification by flash chromatography using hexane/EtOAc (93 : 7) as the eluent; m.p. 118–124 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.08–8.17 (m, 2H), 7.73 (d, J = 7.8 Hz, 1H), 7.64 (d, J = 8.4 Hz, 1H), 7.55 (s, 1H), 7.47–7.54 (m, 1H), 7.34 (t, J = 7.5 Hz, 1H), 7.22 (t, J = 8.6 Hz, 2H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 182.7, 165.7 (d, J = 254.9 Hz), 155.9, 152.1, 133.3 (d, J = 2.9 Hz), 132.2 (d, J = 9.2 Hz), 128.4, 126.9, 124.1, 123.3, 116.3, 115.7 (d, J = 21.9 Hz), 112.5; IR ($CHCl_3$): 2923, 1682, 1602, 1354, 1183, 1093 cm^{-1}; HRMS (+ESI) calcd for $C_{15}H_{10}O_2F$ $[M + H]^+$: 241.0665; found: 241.0667.

Benzofuran-2-yl(4-chlorophenyl)methanone (3ai).[15] On applying the general experimental procedure using 2-(trimethylsilyl)phenyl trifluoromethanesulphonate (0.12 mL; 0.5 mmol, 1 equiv.), 2-bromo-4′-chloroacetophenone (0.175 g; 0.75 mmol, 1.5 equiv.), KF (0.116 g; 2 mmol, 4 equiv.), 18-crown-6 (0.132 g; 0.5 mmol, 1 equiv.), and K_2CO_3 (0.207 g; 1.5 mmol, 3 equiv.) in DMF (2 mL), 2-aroyl benzofuran **3ai** was obtained as a colourless solid (0.093 g, 73% yield) after purification by flash chromatography using hexane/EtOAc (95 : 5) as the eluent; m.p. 134–137 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.04 (d, J = 8.4 Hz, 2H), 7.75 (d, J = 7.9 Hz, 1H), 7.65 (d, J = 8.4 Hz, 1H), 7.48–7.60 (m, 4H), 7.35 (t, J = 7.5 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 182.9, 155.9, 152.0, 139.4, 135.3, 130.9, 128.9, 128.5, 126.9, 124.1, 123.3, 116.5, 112.5; IR ($CHCl_3$): 1645, 1591, 1446, 1351, 13 514, 1283, 1129, 969, 844, 747, 666 cm^{-1}; HRMS (+ESI) calcd for $C_{15}H_{10}O_2Cl$ $[M + H]^+$: 257.0369; found: 257.0365.

Benzofuran-2-yl(4-bromophenyl)methanone (3aj).[15] On applying the general experimental procedure using 2-(trimethylsilyl)phenyl trifluoromethanesulphonate (0.24 mL; 1 mmol, 1 equiv.), 4-bromophenacylbromide (0.417 g; 1.5 mmol, 1.5 equiv.), KF (0.232 g; 4 mmol, 4 equiv.), 18-crown-6 (0.264 g; 1 mmol, 1 equiv.), and K_2CO_3 (0.414 g; 3 mmol, 3 equiv.) in DMF (4 mL), 2-aroyl benzofuran **3aj** was obtained as a yellow solid (0.212 g, 71% yield) after purification by flash chromatography using hexane/EtOAc (95 : 5) as the eluent; m.p. 141–145 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.95 (d, J = 8.6 Hz, 2H), 7.74 (d, J = 7.8 Hz, 1H), 7.69 (d, J = 8.6 Hz, 2H), 7.65 (dd, J_1 = 0.6 Hz, J_2 = 8.4 Hz, 1H), 7.55 (d, J = 0.8 Hz, 1H), 7.50–7.54 (m, 1H), 7.30–7.39 (m, 1H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 183.2, 156.0, 151.9, 135.8, 131.9, 131.0, 128.6, 128.1, 126.9, 124.1, 123.4, 116.6, 112.6; IR ($CHCl_3$): 2921, 1646, 1583, 1445, 1395, 1125, 974, 843, 746 cm^{-1}; HRMS (+ESI) calcd for $C_{15}H_{10}BrO_2$ $[M + H]^+$: 300.9864; found: 301.0193.

Benzofuran-2-yl(3-bromophenyl)methanone (3ak).[15] On applying the general experimental procedure using 2-(trimethylsilyl)phenyl trifluoromethanesulphonate (0.12 mL; 0.5 mmol, 1 equiv.), 3-bromophenacylbromide (0.208 g; 0.75 mmol, 1.5 equiv.), KF (0.116 g; 2 mmol, 4 equiv.), 18-crown-6 (0.132 g; 1 mmol, 1 equiv.), and K_2CO_3 (0.207 g; 1.5 mmol, 3 equiv.) in DMF (3 mL), 2-aroyl benzofuran **3ak** was obtained as a pale yellow solid (0.105 g, 70% yield) after purification by flash chromatography using hexane/EtOAc (95 : 5) as the eluent; m.p. 112–115 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.17 (t, J = 1.7 Hz, 1H), 7.94–8.02 (m, 1H), 7.70–7.80 (m, 2H), 7.65 (dd, J_1 = 0.7 Hz, J_2 = 8.5 Hz, 1H), 7.55 (d, J = 0.9 Hz, 1H), 7.50–7.54 (m, 1H), 7.42 (t, J = 7.9 Hz, 1H), 7.30–7.38 (m, 1H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 182.7, 156.1, 151.7, 138.9, 135.8, 132.3, 130.1, 128.7, 127.9, 126.8, 124.1, 123.4, 122.7, 116.9, 112.6; IR ($CHCl_3$): 2923, 1646, 1547, 1416, 1331, 1299, 1129, 1071, 984, 746 cm^{-1}; HRMS (+ESI) calcd for $C_{15}H_{10}BrO_2$ $[M + H]^+$: 300.9864; found: 301.0201.

Benzofuran-2-yl(3,4-dichlorophenyl)methanone (3al).[24] On applying the general experimental procedure using 2-(trimethylsilyl)phenyl trifluoromethanesulphonate (0.12 mL; 0.5 mmol, 1 equiv.), 3,4-dichlorophenacyl bromide (0.201 g; 0.75 mmol, 1.5 equiv.), KF (0.116 g; 2 mmol, 4 equiv.), 18-crown-6 (0.132 g; 1 mmol, 1 equiv.), and K_2CO_3 (0.207 g; 1.5 mmol, 3 equiv.) in DMF (3 mL), 2-aroyl benzofuran **3al** was obtained as a colourless solid (0.091 g, 63% yield) after purification by flash chromatography using hexane/EtOAc (95 : 5) as the eluent; m.p. 139–141 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.18 (d, J = 1.8 Hz, 1H), 7.94 (dd, J_1 = 1.9 Hz, J_2 = 8.3 Hz, 1H), 7.76 (d, J = 7.8 Hz, 1H), 7.64 (t, J = 8.5 Hz, 2H), 7.59 (s, 1H), 7.54 (t, J = 7.8 Hz, 1H), 7.36 (t, J = 7.5 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 181.7, 156.1, 151.7, 137.6, 136.5, 133.2, 131.4, 130.7, 128.8, 128.6, 126.8, 124.3, 123.5, 116.9, 112.6; IR ($CHCl_3$): 2914, 1639, 1584, 1445, 1384, 1152, 1028, 991, 745 cm^{-1}; HRMS (+ESI) calcd for $C_{15}H_9O_2Cl_2$ $[M + H]^+$: 290.9980; found: 290.9982.

Benzofuran-2-yl(naphthalen-2-yl)methanone (3am).[15] On applying the general experimental procedure using 2-(tri-

methylsilyl)phenyl-trifluoromethanesulphonate (0.24 mL; 1 mmol, 1 equiv.), 2-bromoacetylnapthalene (0.374 g; 1.5 mmol, 1.5 equiv.), KF (0.232 g; 4 mmol, 4 equiv.), 18-crown-6 (0.264 g; 1 mmol, 1 equiv.), and K_2CO_3 (0.415 g; 3 mmol, 3 equiv.) in DMF (4 mL), 2-aroyl benzofuran **3am** was obtained as a pale yellow solid (0.147 g, 54% yield) after purification by flash chromatography using hexane/EtOAc (97 : 3) as the eluent; m.p. 95–97 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.61 (s, 1H), 8.08 (dd, J_1 = 1.7 Hz, J_2 = 8.5 Hz, 1H), 8.01 (d, J = 8.2 Hz, 1H), 7.98 (d, J = 8.6 Hz, 1H), 7.93 (d, J = 8.1 Hz, 1H), 7.75 (d, J = 7.9 Hz, 1H), 7.68 (d, J = 8.4 Hz, 1H), 7.56–7.66 (m, 3H), 7.49–7.55 (m, 1H), 7.35 (t, J = 7.5 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 184.3, 155.9, 152.3, 135.4, 134.4, 132.3, 131.1, 129.5, 128.5, 128.4, 128.3, 127.8, 127.0, 126.9, 125.1, 123.9, 123.3, 116.5, 112.5; IR ($CHCl_3$): 3086, 1646, 1547, 1475, 1299, 1174, 1131, 981, 751 cm^{-1}; HRMS (+ESI) calcd for $C_{19}H_{13}O_2$ $[M + H]^+$: 273.0916; found: 273.0914.

Benzofuran-2-yl(6-methoxynaphthalen-2-yl)methanone (3an). On applying the general experimental procedure using 2-(trimethylsilyl)phenyl trifluoromethanesulphonate (0.12 mL; 0.5 mmol, 1 equiv.), 2-bromoacetyl-6-methoxynapthalene (0.209 g; 0.75 mmol, 1.5 equiv.), KF (0.116 g; 2 mmol, 4 equiv.), 18-crown-6 (0.132 g; 0.5 mmol, 1 equiv.), and K_2CO_3 (0.207 g; 1.5 mmol, 3 equiv.) in DMF (3 mL), 2-aroyl benzofuran **3an** was obtained as a light brown solid (0.092 g, 61% yield) after purification by flash chromatography using hexane/EtOAc (94 : 8) as the eluent; m.p. 112–116 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.56 (s, 1H), 8.08 (d, J = 8.6 Hz, 1H), 7.89 (d, J = 8.9 Hz, 1H), 7.85 (d, J = 8.6 Hz, 1H), 7.75 (d, J = 7.9 Hz, 1H), 7.67 (d, J = 8.4 Hz, 1H), 7.59 (s, 1H), 7.51 (t, J = 7.6 Hz, 1H), 7.35 (t, J = 7.5 Hz, 1H), 7.21–7.25 (m, 1H), 7.20 (s, 1H), 3.97 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 184.1, 159.8, 155.9, 152.5, 137.2, 132.3, 131.2, 131.1, 129.6, 128.2, 127.7, 127.2, 125.9, 123.9, 123.2, 119.9, 116.2, 112.5, 105.7, 55.4; IR ($CHCl_3$): 2927, 1644, 1622, 1548, 1481, 1393, 1266, 1204, 1174, 1029, 747 cm^{-1}; HRMS (+ESI) calcd for $C_{20}H_{15}O_3$ $[M + H]^+$: 303.1021; found: 303.1022.

(5,6-Dimethoxybenzofuran-2-yl)(phenyl)methanone (3ba).[15] On applying the general experimental procedure using 4,5-dimethoxy-2-(trimethylsilyl)phenyltrifluoromethanesulphonate (0.21 mL; 0.7 mmol, 1 equiv.), 2-bromoacetophenone (0.209 g; 1.05 mmol, 1.5 equiv.), KF (0.162 g; 2.8 mmol, 4 equiv.), 18-crown-6 (0.185 g; 0.7 mmol, 1 equiv.), and K_2CO_3 (0.290 g; 2.1 mmol, 1 equiv.) in DMF (3 mL), 2-aroyl benzofuran **3ba** was obtained as a brown solid (0.156 g, 79% yield) after purification by flash chromatography using hexane/EtOAc (85 : 15) as the eluent; m.p. 101–106 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.99 (d, J = 7.1 Hz, 2H), 7.61 (t, J = 7.4 Hz, 1H), 7.48–7.54 (m, 2H), 7.45 (s, 1H), 7.11 (s, 1H), 7.05 (s, 1H), 3.97 (s, 3H), 3.93 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 183.6, 151.9, 151.8, 151.7, 147.7, 137.5, 132.5, 129.3, 128.4, 119.1, 117.5, 102.6, 95.1, 56.3, 56.2; IR ($CHCl_3$): 2927, 1638, 1540, 1491, 1295, 1215, 1121, 967, 722 cm^{-1}; HRMS (+ESI) calcd for $C_{17}H_{15}O_4$ $[M + H]^+$: 283.0970; found: 283.0971.

(5,6-Dimethoxybenzofuran-2-yl)(*p*-tolyl)methanone (3bb). On applying the general experimental procedure using 4,5-dimethoxy-2-(trimethylsilyl)phenyl trifluoromethanesulphonate (0.29 mL; 1 mmol, 1 equiv.), 4′-methylphenacylbromide (0.320 g; 1.5 mmol, 1.5 equiv.), KF (0.232 g; 4 mmol, 4 equiv.), 18-crown-6 (0.264 g; 1 mmol, 1 equiv.), and K_2CO_3 (0.415 g; 3 mmol, 3 equiv.) in DMF (4 mL), benzofuran **3bb** was obtained as a yellow solid (0.219 g, 74% yield) after purification by flash chromatography using hexane/EtOAc (85 : 15) as the eluent; m.p. 119–126 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.93 (d, J = 7.9 Hz, 2H), 7.44 (s, 1H), 7.32 (d, J = 7.7 Hz, 2H), 7.11 (s, 1H), 7.05 (s, 1H), 3.96 (s, 3H), 3.93 (s, 3H), 2.45 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 183.3, 152.0, 151.7, 151.6, 147.7, 143.4, 134.8, 129.5, 129.1, 119.1, 117.0, 102.6, 95.1, 56.3, 21.7; IR ($CHCl_3$): 2925, 1639, 1607, 1540, 1490, 1296, 1214, 1181, 1144, 911, 753 cm^{-1}; HRMS (+ESI) calcd for $C_{18}H_{17}O_4$[M + H]$^+$: 297.1127; found: 297.1126.

(5,6-Dimethoxybenzofuran-2-yl)(4-methoxyphenyl)methanone (3bc).[25] On applying the general experimental procedure using 4,5-dimethoxy-2-(trimethylsilyl)phenyl trifluoromethanesulphonate (0.29 mL; 1 mmol, 1 equiv.), 2-bromo-4′-methoxyacetophenone (0.344 g; 1.5 mmol, 1.5 equiv.), KF (0.232 g; 4 mmol, 4 equiv.), 18-crown-6 (0.264 g; 1 mmol, 1 equiv.), and K_2CO_3 (0.415 g; 3 mmol, 3 equiv.) in DMF (4 mL), 2-aroyl benzofuran **3bc** was obtained as a brown solid (0.240 g, 77% yield) after purification by flash chromatography using hexane/EtOAc (80 : 20) as the eluent; m.p. 95–99 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.87 (d, J = 8.9 Hz, 2H), 7.45 (d, J = 0.8 Hz, 1H), 7.11 (s, 1H), 7.06 (s, 1H), 7.00 (d, J = 8.9 Hz, 2H), 3.96 (s, 3H), 3.93 (s, 3H), 3.89 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 182.1, 163.3, 152.2, 151.6, 151.4, 147.6, 131.7, 130.1, 119.1, 116.4, 113.7, 102.6, 95.1, 56.3, 55.5; IR ($CHCl_3$): 2927, 1601, 1541, 1509, 1490, 1296, 1255, 1174, 1029, 968, 763 cm^{-1}; HRMS (+ESI) calcd for $C_{18}H_{17}O_5$ $[M + H]^+$: 313.1076; found: 313.1074.

[1,3]Dioxolo[4,5-*f*]benzofuran-6-yl(phenyl)methanone (3ca).[15] On applying the general experimental procedure using 5-(trimethylsilyl)benzo[*d*][1,3]dioxol-6-yltrifluoromethanesulphonate (0.21 mL; 1 mmol, 1 equiv.), 2-bromoacetophenone (0.298 g; 1.5 mmol, 1.5 equiv.), KF (0.232 g; 4 mmol, 4 equiv.), 18-crown-6 (0.264 g; 1 mmol, 1 equiv.), and K_2CO_3 (0.415 g; 3 mmol, 3 equiv.), in DMF (4 mL), 2-aroyl benzofuran **3ca** was obtained as a yellow solid (0.194 g, 73% yield) after purification by flash chromatography using hexane/EtOAc (90 : 10) as the eluent; m.p. 146–150 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.97 (d, J = 7.0 Hz, 2H), 7.61 (m, 1H), 7.52 (t, J = 7.6 Hz, 2H), 7.41 (d, J = 0.8 Hz, 1H), 7.07 (s, 1H), 6.99 (s, 1H), 6.06 (s, 2H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 183.5, 152.5, 152.2, 149.9, 145.9, 137.4, 132.6, 129.2, 128.5, 120.6, 117.7, 101.9, 100.2, 93.8 cm^{-1}; IR ($CHCl_3$): 2916, 1638, 1541, 1483, 1237, 1158, 1036, 970 cm^{-1}; HRMS (+ESI) calcd for $C_{16}H_{11}O_4$ $[M + H]^+$: 267.0657; found: 267.0659.

[1,3]Dioxolo[4,5-*f*]benzofuran-6-yl(*p*-tolyl)methanone (3cb). On applying the general experimental procedure using 5-(trimethylsilyl)benzo[*d*][1,3]dioxol-6-yltrifluoromethanesulphonate (0.21 mL; 1 mmol, 1 equiv.), 4′-methylphenacylbromide (0.298 g; 1.5 mmol, 1.5 equiv.), KF (0.232 g; 4 mmol, 4 equiv.), 18-crown-6 (0.264 g; 1 mmol, 1 equiv.), and K_2CO_3 (0.415 g; 3 mmol, 3 equiv.) in DMF (4 mL), 2-aroyl benzofuran **3cb** was

obtained as a yellow solid (0.184 g, 66% yield) after purification by flash chromatography using hexane/EtOAc (90 : 10) as the eluent; m.p. 158–163 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.89 (d, J = 8.1 Hz, 2H), 7.39 (s, 1H), 7.30 (d, J = 7.9 Hz, 2H), 7.05 (s, 1H), 6.98 (s, 1H), 6.03 (s, 2H), 2.44 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 183.2, 152.3, 152.2, 149.7, 145.7, 143.4, 134.7, 129.4, 129.1, 120.5, 117.2, 101.9, 100.1, 93.8, 21.6; IR ($CHCl_3$): 2917, 1616, 1604, 1539, 1484, 1299, 1236, 1038, 949 cm^{-1}; HRMS (+ESI) calcd for $C_{17}H_{13}O_4$ $[M + H]^+$: 281.0814; found: 281.0813.

Naphtho[2,3-*b*]furan-2-yl(phenyl)methanone (3da).[15] On applying the general experimental procedure using 3-(trimethylsilyl)-2-napthyl-trifluoromethanesulphonate (0.28 mL; 1 mmol, 1 equiv.), 2-bromoacetophenone (0.298 g; 1.5 mmol, 1.5 equiv.), KF (0.232 g; 4 mmol, 4 equiv.), 18-crown-6 (0.264 g; 1 mmol, 1 equiv.), and K_2CO_3 (0.415 g; 3 mmol, 3 equiv.) in DMF (4 mL), 2-aroyl benzofuran **3da** was obtained as a yellow solid (0.141 g, 52% yield) after purification by flash chromatography using hexane/EtOAc (97 : 3) as the eluent; m.p. 122–127 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.25 (s, 1H), 8.09 (d, J = 7.3 Hz, 2H), 8.05 (s, 1H), 7.97 (dd, J_1 = 2.8 Hz, J_2 = 8.2 Hz, 2H), 7.67 (m, 1H), 7.64 (s, 1H), 7.57 (t, J = 7.7 Hz, 2H), 7.45–7.55 (m, 2H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 184.7, 154.0, 153.8, 137.1, 133.6, 133.1, 130.8, 129.5, 128.6, 128.5, 128.0, 127.6, 126.3, 124.6, 122.3, 116.3, 108.0; IR ($CHCl_3$): 1638, 1548, 1447, 1331, 1272, 1122, 971, 875 cm^{-1}; HRMS (+ESI) calcd for $C_{19}H_{13}O_2$ $[M + H]^+$: 273.0916; found: 273.0917.

(4-Methoxyphenyl)(naphtho[2,3-*b*]furan-2-yl)methanone (3dc). On applying the general experimental procedure using 3-(trimethylsilyl)-2-napthyl-trifluoromethanesulphonate (0.14 mL; 0.5 mmol, 1 equiv.), 2-bromo-4′-methoxyacetophenone (0.172 g; 0.75 mmol, 1.5 equiv.), KF (0.116 g; 2 mmol, 4 equiv.), 18-crown-6 (0.132 g; 0.5 mmol, 1 equiv.), and K_2CO_3 (0.207 g; 1.5 mmol, 3 equiv.) in DMF (2 mL), 2-aroyl benzofuran **3dc** was obtained as a brown solid (0.104 g, 69% yield) after purification by flash chromatography using hexane/EtOAc (92 : 8) as the eluent; m.p. 158–161 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.24 (s, 1H), 8.17 (d, J = 8.3 Hz, 2H), 8.04 (s, 1H), 7.97 (dd, J_1 = 5.4 Hz, J_2 = 7.7 Hz, 2H), 7.63 (s, 1H), 7.43–7.53 (m, 2H), 7.05 (d, J = 8.4 Hz, 2H), 3.92 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 183.1, 163.7, 154.3, 153.9, 133.4, 132.1, 130.7, 129.7, 128.4, 128.0, 127.7, 126.1, 124.6, 122.0, 115.2, 113.9, 107.9, 55.6; IR ($CHCl_3$): 2923, 1637, 1603, 1507, 1253, 1145, 1030, 972, 876, 845 cm^{-1}; HRMS (+ESI) calcd for $C_{20}H_{15}O_3$ $[M + H]^+$: 303.1021; found: 303.1022.

Naphtho[2,3-*b*]furan-2-yl(4-(trifluoromethyl)phenyl)-methanone (3dd). On applying the general experimental procedure using 3-(trimethylsilyl)-2-napthyl-trifluoromethanesulphonate (0.14 mL; 0.5 mmol, 1 equiv.), 2-bromo-4′-(trifluoromethyl) acetophenone (0.200 g; 0.75 mmol, 1.5 equiv.), KF (0.116 g; 2 mmol, 4 equiv.), 18-crown-6 (0.132 g; 0.5 mmol, 1 equiv.), and K_2CO_3 (0.207 g; 1.5 mmol, 3 equiv.) in DMF (3 mL), 2-aroyl benzofuran **3dd** was obtained as a yellow solid (0.094 g, 55% yield) after purification by flash chromatography using hexane/EtOAc (95 : 5) as the eluent; m.p. 190–196 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.27 (s, 1H), 8.20 (d, J = 8.4 Hz, 2H), 8.05 (s, 1H), 7.98 (dd, J_1 = 6.4 Hz, J_2 = 7.3 Hz, 2H), 7.84 (d, J = 8.3 Hz, 2H), 7.69 (s, 1H), 7.43–7.58 (m, 2H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 183.4, 154.1, 153.4, 139.9, 134.3 (q, J = 32.5 Hz), 133.8, 130.9, 129.8, 128.6, 128.0, 127.3, 126.6, 125.6 (q, J = 3.8 Hz), 124.8, 122.6, 116.8, 108.1, 123.0 (q, J = 272.7 Hz); IR ($CHCl_3$): 2921, 1647, 1556, 1407, 1336, 1168, 1117, 1069, 856, 770, 666 cm^{-1}; HRMS (+ESI) calcd for $C_{20}H_{12}O_2F_3$ $[M + H]^+$: 341.0789; found: 341.0787.

(4-Fluorophenyl)(naphtho[2,3-*b*]furan-2-yl)methanone (3dh). On applying the general experimental procedure using 3-(trimethylsilyl)-2-napthyl-trifluoromethanesulphonate (0.14 mL; 0.5 mmol, 1 equiv.), 2-bromo-4′-fluoroacetophenone (0.163 g; 0.75 mmol, 1.5 equiv.), KF (0.116 g; 2 mmol, 4 equiv.), 18-crown-6 (0.132 g; 0.5 mmol, 1 equiv.), and K_2CO_3 (0.207 g; 1.5 mmol, 3 equiv.) in DMF (3 mL), 2-aroyl benzofuran **3dh** was obtained as a yellow solid (0.083 g, 57% yield) after purification by flash chromatography using hexane/EtOAc (95 : 5) as the eluent; m.p. 173–177 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.24 (s, 1H), 8.17 (dd, J_1 = 5.4 Hz, J_2 = 8.8 Hz, 2H), 8.03 (s, 1H), 7.97 (t, J = 6.9 Hz, 2H), 7.65 (s, 1H), 7.41–7.55 (m, 2H), 7.24 (t, J = 8.5 Hz, 2H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 182.9, 165.7 (d, J = 255 Hz), 153.9, 153.7, 133.6, 133.2 (d, J = 2.8 Hz), 132.3 (d, J = 9.2 Hz), 130.8, 128.5, 127.9, 127.4, 126.3, 124.7, 122.3, 115.9, 115.8 (d, J = 21.9 Hz), 108.0; IR ($CHCl_3$): 2925, 1645, 1599, 1504, 1230, 1145, 877, 747 cm^{-1}; HRMS (+ESI) calcd for $C_{19}H_{12}O_2F$ $[M + H]^+$: 291.0821; found: 291.0823.

(4-Chlorophenyl)(naphtho[2,3-*b*]furan-2-yl)methanone (3di). On applying the general experimental procedure using 3-(trimethylsilyl)-2-napthyl-trifluoromethanesulphonate (0.14 mL; 0.5 mmol, 1 equiv.), 2-bromo-4′-chloroacetophenone (0.175; 0.75 mmol, 1.5 equiv.), KF (0.116 g; 2 mmol, 4 equiv.), 18-crown-6 (0.132 g; 0.5 mmol, 1 equiv.), and K_2CO_3 (0.207 g; 1.5 mmol, 3 equiv.) in DMF (3 mL), 2-aroyl benzofuran **3di** was obtained as a yellow solid (0.09 g, 59% yield) after purification by flash chromatography using hexane/EtOAc (95 : 5) as the eluent; m.p. 195–198 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.26 (s, 1H), 8.08 (d, J = 8.4 Hz, 2H), 8.04 (s, 1H), 7.98 (t, J = 6.9 Hz, 2H), 7.67 (s, 1H), 7.55 (d, J = 8.4 Hz, 2H), 7.44–7.53 (m, 2H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 183.2, 154.0, 153.7, 139.6, 135.3, 133.7, 131.0, 130.8, 128.9, 128.5, 128.0, 127.4, 126.4, 124.7, 122.4, 116.2, 108.1; IR ($CHCl_3$): 2922, 1645, 1457, 1260, 1145, 1090, 973, 876, 846, 666 cm^{-1}; HRMS (+ESI) calcd for $C_{19}H_{12}O_2Cl$ $[M + H]^+$: 307.0526; found: 307.0525.

(4-Bromophenyl)(naphtho[2,3-*b*]furan-2-yl)methanone (3dj). On applying the general experimental procedure using 3-(trimethylsilyl)-2-napthyl-trifluoromethanesulphonate (0.14 mL; 0.5 mmol, 1 equiv.), 4-bromophenacylbromide (0.209; 0.75 mmol, 1.5 equiv.), KF (0.116 g; 2 mmol, 4 equiv.), 18-crown-6 (0.132 g; 0.5 mmol, 1 equiv.), and K_2CO_3 (0.207 g; 1.5 mmol, 3 equiv.) in DMF (3 mL), 2-aroyl benzofuran **3dj** was obtained as a yellow solid (0.108 g, 62% yield) after purification by flash chromatography using hexane/EtOAc (95 : 5) as the eluent; m.p. 202–205 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.26 (s, 1H), 8.04 (s, 1H), 7.95–8.01 (m, 4H), 7.71 (d, J = 2.1 Hz, 2H), 7.66 (s, 1H), 7.44–7.55 (m, 2H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 183.3, 153.9, 153.5, 135.6, 133.6, 131.8, 131.0, 130.7, 128.4,

128.2, 127.9, 127.3, 126.3, 124.7, 122.3, 116.2, 107.9; IR ($CHCl_3$): 2923, 1638, 1585, 1395, 1585, 1260, 1143, 1020, 973, 876, 798 cm^{-1}; HRMS (+ESI) calcd for $C_{19}H_{12}BrO_2$ $[M + H]^+$: 351.0021; found: 350.9870.

Naphthalen-2-yl(naphtho[2,3-*b*]furan-2-yl)methanone (3dm). On applying the general experimental procedure using 3-(trimethylsilyl)-2-napthyl-trifluoromethanesulphonate (0.14 mL; 0.5 mmol, 1 equiv.), 2-bromoacetylnapthalene (0.187 g; 0.75 mmol, 1.5 equiv.), KF (0.116 g; 2 mmol, 4 equiv.), 18-crown-6 (0.132 g; 0.5 mmol, 1 equiv.), and K_2CO_3 (0.207 g; 1.5 mmol, 3 equiv.) in DMF (3 mL), 2-aroyl benzofuran **3dm** was obtained as a yellow solid (0.092 g, 57% yield) after purification by flash chromatography using hexane/EtOAc (97 : 3) as the eluent; m.p. 192–198 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.67 (s, 1H), 8.27 (s, 1H), 8.13 (dd, J_1 = 1.7 Hz, J_2 = 8.5 Hz, 1H), 8.08 (s, 1H), 7.93–8.05 (m, 5H), 7.71 (d, J = 0.8 Hz, 1H), 7.44–7.68 (m, 4H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 184.5, 154.1, 154.0, 135.5, 134.4, 133.5, 132.3, 131.3, 130.8, 129.6, 128.6, 128.5, 128.4, 128.0, 127.9, 127.6, 126.9, 126.3, 125.1, 124.6, 122.3, 116.2, 108.1; IR ($CHCl_3$): 2924, 2853, 1646, 1622, 1465, 1322, 1270, 951, 872, 745 cm^{-1}; HRMS (+ESI) calcd for $C_{23}H_{15}O_2$ $[M + H]^+$: 323.1072; found: 323.1074.

(6-Methoxynaphthalen-2-yl)(naphtho[2,3-*b*]furan-2-yl) methanone (3dn). On applying the general experimental procedure using 3-(trimethylsilyl)-2-napthyl-trifluoromethanesulphonate (0.29 mL; 1 mmol, 1 equiv.), 2-bromoacetyl-6-methoxynapthalene (0.356; 1.5 mmol, 1.5 equiv.), KF (0.232 g; 4 mmol, 4 equiv.), K_2CO_3 (0.425 g; 3 mmol, 3 equiv.), and 18-crown-6 (0.264 g; 1 mmol, 1 equiv.) in DMF (4 mL), 2-aroyl benzofuran **3dn** was obtained as a brown solid (0.211 g, 60% yield) after purification by flash chromatography using hexane/EtOAc (90 : 10) as the eluent; m.p. 196–202 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.63 (s, 1H), 8.27 (s, 1H), 8.12 (dd, J_1 = 1.7 Hz, J_2 = 8.6 Hz, 1H), 8.08 (s, 1H), 7.99 (d, J = 8.1 Hz, 2H), 7.92 (d, J = 8.9 Hz, 1H), 7.88 (d, J = 8.6 Hz, 1H), 7.70 (s, 1H), 7.43–7.56 (m, 2H), 7.21–7.27 (m, 2H), 3.98 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 184.2, 159.9, 154.3, 154.1, 137.4, 133.5, 132.3, 131.4, 131.3, 130.8, 128.5, 128.0, 127.8, 127.7, 127.3, 126.2, 125.9, 124.6, 122.2, 119.9, 115.7, 108.0, 105.8, 55.5; IR ($CHCl_3$): 2924, 1644, 1457, 1375, 1261, 1029, 861, 802, 666 cm^{-1}; HRMS (+ESI) calcd for $C_{24}H_{17}O_3$ $[M + H]^+$: 353.1178; found: 353.1177.

(4-Methylbenzofuran-2-yl)(phenyl)methanone; 3ea and (7-methylbenzofuran-2-yl)(phenyl)methanone (3e′a).[26] On applying the general experimental procedure using 6-methyl-2-(trimethylsilyl)phenyl trifluoromethanesulphonate (0.26 mL; 1 mmol, 1 equiv.), 2-bromoacetophenone (0.299 g; 1.5 mmol, 1.5 equiv.), KF (0.234 g; 4 mmol, 4 equiv.), 18-crown-6 (0.264 g; 1 mmol, 1 equiv.), and K_2CO_3 (0.415 g; 3 mmol, 3 equiv.) in DMF (4 mL), 2-aroyl benzofurans **3ea** and **3e′a** were obtained as yellow sticky solids (0.168 g, 71% yield) after purification by flash chromatography using hexane/EtOAc(95 : 5) as the eluent; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.99–8.10 (m), 7.60–7.69 (m), 7.51–7.58 (m), 7.46 (d, J = 8.4 Hz), 7.36–7.43 (m), 7.30 (d, J = 7.2 Hz), 7.23 (t, J = 7.5 Hz), 7.19 (d, J = 7.2 Hz), 2.62 (s), 2.57 (s); ^{13}C NMR (126 MHz, $CDCl_3$): δ 184.4, 155.9, 155.2, 151.9, 151.7, 137.3, 137.2, 133.6, 132.8, 132.7, 129.4, 129.3, 129.0, 128.5, 128.4, 128.3, 127.1, 126.5, 124.1, 124.0, 122.8, 120.6, 117.0, 115.5, 109.9, 18.6, 15.2; IR ($CHCl_3$): 2925, 1727, 1652, 1599, 1549, 1488, 1445, 1328, 1281, 1194, 974, 897, 711 cm^{-1}; HRMS (+ESI) calcd for $C_{16}H_{13}O_2$ $[M + H]^+$: 237.0916; found: 237.0919.

(5-Methylbenzofuran-2-yl)(phenyl)methanone; 3fa[26] **and (6-methylbenzofuran-2-yl)(phenyl)methanone (3f′a).** On applying the general experimental procedure using 4-methyl-2-(trimethylsilyl)phenyl trifluoromethanesulphonate (0.26 mL; 1 mmol, 1 equiv.), 2-bromoacetophenone (0.298 g; 1.5 mmol, 1.5 equiv.), KF (0.234 g; 4 mmol, 4 equiv.), 18-crown-6 (0.264 g; 1 mmol, 1 equiv.), and K_2CO_3 (0.415 g; 3 mmol, 3 equiv.) in DMF (4 mL), 2-aroyl benzofurans **3fa** and **3f′a** were obtained as yellow sticky solids (0.175 g, 74% yield) after purification by flash chromatography using hexane/EtOAc (90 : 10) as the eluent; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.96–8.08 (m), 7.40–7.68 (m), 7.32 (dd, J_1 = 1.6 Hz, J_2 = 8.6 Hz), 7.16 (dd, J_1 = 0.4 Hz, J_2 = 8.1 Hz), 2.52 (s), 2.47 (s); ^{13}C NMR (126 MHz, $CDCl_3$): δ 184.4, 184.3, 156.5, 154.5, 152.2, 151.7, 139.4, 137.3, 137.2, 133.6, 132.8, 132.7, 130.0, 129.4, 129.3, 128.4, 128.3, 127.0, 125.7, 124.5, 122.7, 116.9, 116.5, 112.4, 112.0, 22.1, 21.3; IR ($CHCl_3$): 2923, 1726, 1646, 1621, 1547, 1447, 1329, 1282, 1202, 974, 899, 803, 724, 678 cm^{-1}; HRMS (+ESI) calcd for $C_{16}H_{13}O_2$ $[M + H]^+$: 237.0916; found: 237.0918.

(7-Methoxybenzofuran-2-yl)(phenyl)methanone (3ga).[15] On applying the general experimental procedure using 3-methoxy-2-(trimethylsilyl)phenyl trifluoromethanesulphonate (0.27 mL; 1 mmol, 1 equiv.), 2-bromoacetophenone (0.298 g; 1.5 mmol, 1.5 equiv.), KF (0.234 g; 4 mmol, 4 equiv.), 18-crown-6 (0.264 g; 1 mmol, 1 equiv.), and K_2CO_3 (0.415 g; 3 mmol, 3 equiv.) in DMF (4 mL), 2-aroyl benzofuran **3ga** was obtained as a brown solid (0.169 g, 67% yield) after purification by flash chromatography using hexane/EtOAc (94 : 8) as the eluent; m.p. 86–90 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.01 (dd, J_1 = 1.2 Hz, J_2 = 8.2 Hz, 2H), 7.56–7.65 (m, 2H), 7.52 (t, J = 7.7 Hz, 2H), 7.41 (t, J = 8.2 Hz, 1H), 7.23 (d, J = 8.4 Hz, 1H), 6.69 (d, J = 8.0 Hz, 1H), 3.95 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 184.1, 157.1, 155.1, 150.9, 137.3, 132.7, 129.5, 129.3, 128.5, 118.0, 114.7, 105.2, 103.5, 55.6; IR ($CHCl_3$): 2927, 2842, 1651, 1611, 1514, 1498, 1447, 1426, 1365, 1338, 1266, 1195, 1164, 1133, 1088, 976, 898, 775, 731, 666 cm^{-1}; HRMS (+ESI) calcd for $C_{16}H_{13}O_3$ $[M + H]^+$: 253.0865; found: 253.0867.

Benzofuran-2-yl(phenyl)methanone (deuterated at the C-3 position) (3aa-D).[15] On applying the general experimental procedure using 2-(trimethylsilyl)phenyl trifluoromethanesulphonate (0.06 mL; 0.25 mmol, 1 equiv.), 2-bromoacetophenone (0.075 g; 0.38 mmol, 1.5 equiv.), KF (0.058 g; 1 mmol, 4 equiv.), 18-crown-6 (0.07 g; 0.25 mmol, 1 equiv.), and K_2CO_3 (0.104 g; 0.75 mmol, 3 equiv.) in DMF-d_7 (0.75 mL), 2-aroyl benzofuran **3aa-D** was obtained as a colourless solid (0.041 g, 73% yield) after purification by flash chromatography using hexane/EtOAc (97 : 3) as the eluent; m.p. 79–82 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.05 (d, J = 7.7 H_Z, 2H), 7.73 (dd, J_1 = 0.6 Hz, J_2 = 7.9 Hz, 1H), 7.60–7.68 (m, 2H), 7.46–7.57 (m, 3H), 7.33 (t, J = 7.5 Hz, 1H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 184.4, 156.0, 152.1, 137.2, 132.9, 129.4, 128.5, 128.4, 126.9, 123.9, 123.3, 116.3 (t, J = 4.5 Hz), 112.5; IR ($CHCl_3$): 2926, 1651, 1599, 1531,

1474, 1446, 1348, 1319, 1275, 1170, 1011, 987, 891, 751, 696, 660 cm^{-1}; HRMS (+ESI) calcd for $C_{15}H_{10}DO_2$ $[M + H]^+$: 224.0822; found: 224.0830.

General procedure for the synthesis of 2,3-diaroyl benzofurans

An oven-dried Schlenk-tube (10 mL capacity) was charged with $AgNO_3$ (0.045 mmol, 10 mol%), 2-benzoylbenzofuran (0.45 mmol, 1.0 equiv.), and $K_2S_2O_8$ (1.35 mmol, 3.0 equiv.). The tube was evacuated and backfilled with argon (three times). Phenylglyoxylic acid (0.9 mmol, 2.0 equiv.) in DMSO/H_2O (4 mL; 1 : 1) was added to the reaction mixture using a syringe. The tube was then sealed and the mixture was stirred for 12 h at 100 °C. Upon completion of the reaction, the mixture was diluted with EtOAc, filtered through a pad of Celite, and the filtrate was then removed under vacuum. The residue was purified with chromatography column on silica gel to give the corresponding products.

Benzofuran-2,3-diylbis(phenylmethanone) (5aa). On applying the general experimental procedure using 2-aroyl benzofuran, **3aa** (0.100 g; 0.45 mmol, 1 equiv.), phenylglyoxylic acid (0.135 g; 0.9 mmol, 2 equiv.), $K_2S_2O_8$ (0.364 g, 1.35 mmol, 3 equiv.), and $AgNO_3$ (0.008 g, 0.045 mmol, 0.1 equiv.) in DMSO/H_2O (4 mL; 1 : 1), 2,3-diaroyl benzofuran **5aa** was obtained as a pale yellow sticky solid (0.094 g, 64% yield) after purification by flash chromatography using hexane/EtOAc (95 : 5) as the eluent; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.93 (dd, J_1 = 1.2 Hz, J_2 = 8.3 Hz, 2H), 7.77 (dd, J_1 = 1.2 Hz, J_2 = 8.3 Hz, 2H), 7.69 (t, J = 8.5 Hz, 2H), 7.49–7.59 (m, 3H), 7.33–7.43 (m, 5H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 190.9, 184.1, 154.7, 150.8, 137.7, 136.6, 133.5, 133.4, 129.7, 129.1, 128.6, 128.5, 128.4, 126.5, 126.4, 124.8, 122.5, 112.4; IR ($CHCl_3$): 2923, 2853, 1744, 1652, 1597, 1558, 1448, 1359, 1290, 1265, 1239, 1172, 1010, 873, 750, 710 cm^{-1}; HRMS (+ESI) calcd for $C_{22}H_{15}O_3$ $[M + H]^+$: 327.1021; found: 327.1022.

(2-Benzoylbenzofuran-3-yl)(4-methoxyphenyl)methanone (5ab). On applying the general experimental procedure using 2-aroyl benzofuran, **3aa** (0.100 g, 0.45 mmol, 1 equiv.), 4-methoxyphenylglyoxylic acid (0.162 g; 0.9 mmol, 2 equiv.), $K_2S_2O_8$ (0.364 g, 1.35 mmol, 3 equiv.), and $AgNO_3$ (0.008 g, 0.045 mmol, 0.1 equiv.) in DMSO/H_2O (4 mL; 1 : 1), 2,3-diaroyl benzofuran **5ab** was obtained as a light brown sticky solid (0.093 g, 58% yield) after purification by flash chromatography using hexane/EtOAc (90 : 10) as the eluent; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.96 (d, J = 8.3 Hz, 2H), 7.77 (d, J = 8.6 Hz, 2H), 7.64–7.71 (m, 2H), 7.52–7.59 (m, 2H), 7.42 (t, J = 7.5 Hz, 2H), 7.37 (t, J = 7.6 Hz, 1H), 6.84 (d, J = 8.6 Hz, 2H), 3.84 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 189.4, 184.1, 164.0, 154.7, 150.2, 136.6, 133.4, 131.6, 130.7, 129.7, 128.6, 128.4, 126.9, 126.7, 124.7, 122.5, 113.9, 112.4, 55.5; IR ($CHCl_3$): 2927, 1651, 1598, 1574, 1556, 1509, 1447, 1420, 1360, 1291, 1248, 1167, 1117, 1097, 1028, 1010, 874, 844, 751, 724, 694, 666 cm^{-1}; HRMS (+ESI) calcd for $C_{23}H_{17}O_4$ $[M + H]^+$: 357.1127; found: 357.1134.

(2-Benzoylbenzofuran-3-yl)(3-methoxyphenyl)methanone (5ac). On applying the general experimental procedure using 2-aroyl benzofuran, **3aa** (0.100 g, 0.45 mmol, 1 equiv.), 3-methoxy (phenyl)glyoxylic acid (0.162 g; 0.9 mmol, 2 equiv.), $K_2S_2O_8$ (0.364 g, 1.35 mmol, 3 equiv.), and $AgNO_3$ (0.008 g, 0.045 mmol, 0.1 equiv.) in DMSO/H_2O (4 mL; 1 : 1), 2,3-diaroyl benzofuran **5ac** was obtained as a light brown solid (0.124 g, 77% yield) after purification by flash chromatography using hexane/EtOAc (90 : 10) as the eluent; m.p. 89–92 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.92 (dd, J_1 = 1.3 Hz, J_2 = 8.2 Hz, 2H), 7.72 (d, J_1 = 7.9 Hz, 1H), 7.68 (dd, J_1 = 0.7 Hz, J_2 = 8.5 Hz, 1H), 7.52–7.59 (m, 2H), 7.35–7.45 (m, 3H), 7.32 (s, 1H), 7.26–7.29 (m, 1H), 7.22 (t, J = 7.9 Hz, 1H), 7.00–7.10 (m, 1H), 3.78 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 190.7, 184.2, 159.7, 154.7, 150.9, 139.2, 136.6, 133.4, 129.7, 129.5, 128.6, 128.5, 126.5, 126.4, 124.8, 122.5, 122.3, 120.5, 112.5, 112.4, 55.4; IR ($CHCl_3$): 2926, 1653, 1597, 1581, 1558, 1485, 1448, 1360, 1289, 1261, 1225, 1180, 1116, 1041, 1011, 877, 752, 724 cm^{-1}; HRMS (+ESI) calcd for $C_{23}H_{17}O_4$ $[M + H]^+$: 357.1127; found: 357.1125.

(2-Benzoylbenzofuran-3-yl)(4-fluorophenyl)methanone (5ad). On applying the general experimental procedure using benzofuran-2-yl(phenyl)methanone, **3aa** (0.100 g, 0.45 mmol, 1 equiv.), 4-fluorophenylglyoxylic acid (0.151 g; 0.9 mmol, 2 equiv.), $K_2S_2O_8$ (0.364 g, 1.35 mmol, 3 equiv.), and $AgNO_3$ (0.008 g, 0.045 mmol, 0.1 equiv.) in DMSO/H_2O (4 mL; 1 : 1), 2,3-diaroyl benzofuran **5ad** was isolated as a light brown sticky solid (0.111 g, 72% yield) after purification by flash chromatography using hexane/EtOAc (95 : 5) as the eluent; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.97 (dd, J_1 = 1.2 Hz, J_2 = 8.4 Hz, 2H), 7.82 (dd, J_1 = 5.4 Hz, J_2 = 8.9 Hz, 2H), 7.66–7.71 (m, 2H), 7.55–7.60 (m, 2H), 7.36–7.47 (m, 3H), 7.04 (t, J = 8.6 Hz, 2H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 189.4, 183.9, 165.9 (d, J = 256.1 Hz), 154.7, 150.7, 136.4, 134.1 (d, J = 2.7 Hz), 133.6, 131.8 (d, J = 9.6 Hz), 129.8, 128.8, 128.5, 126.4, 126.3, 124.8, 122.4, 115.8 (d, J = 22.2 Hz), 112.5; IR ($CHCl_3$): 2925, 1730, 1656, 1598, 1561, 1506, 1447, 1411, 1361, 1267, 1239, 1174, 1155, 1010, 892, 875, 851, 751, 725, 692 cm^{-1}; HRMS (+ESI) calcd for $C_{22}H_{14}FO_3$ $[M + H]^+$: 345.0927; found: 345.0928.

(3-Benzoylbenzofuran-2-yl)(*p*-tolyl)methanone (5ba). On applying the general experimental procedure using benzofuran-2-yl(*p*-tolyl)methanone, **3ab** (0.100 g, 0.42 mmol, 1 equiv.), phenylglyoxylic acid (0.127 g; 0.85 mmol, 2 equiv.), $K_2S_2O_8$ (0.340 g, 1.26 mmol, 3 equiv.), and $AgNO_3$ (0.008 g, 0.042 mmol, 0.1 equiv.) in DMSO/H_2O (4 mL; 1 : 1), 2,3-diaroyl benzofuran **5ba** was obtained as a light brown solid (0.096 g, 67% yield) after purification by flash chromatography using hexane/EtOAc (95 : 5) as the eluent; m.p. 110–113 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.86 (d, J = 7.9 Hz, 2H), 7.78 (d, J = 8.3 Hz, 2H), 7.69 (m, 2H), 7.49–7.58 (m, 2H), 7.32–7.40 (m, 3H), 7.21 (d, J = 8.1 Hz, 2H), 2.41 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 191.1, 183.6, 154.6, 151.2, 144.5, 137.7, 133.9, 133.5, 129.9, 129.2, 129.1, 128.5, 128.4, 126.5, 126.1, 124.7, 122.4, 112.4, 21.7; IR ($CHCl_3$): 2922, 1656, 1606, 1561, 1478, 1449, 1409, 1358, 1314, 1292, 1267, 1239, 1010, 876, 747, 666 cm^{-1}; HRMS (+ESI) calcd for $C_{23}H_{17}O_3$ $[M + H]^+$: 341.1178; found: 341.1182.

[3-(4-Methoxybenzoyl)benzofuran-2-yl](*p*-tolyl)methanone (5bb). On applying the general experimental procedure using benzofuran-2-yl(*p*-tolyl)methanone, **3ab** (0.100 g, 0.42 mmol, 1 equiv.), 4-methoxyphenylglyoxylic acid (0.151 g; 0.85 mmol, 2 equiv.), $K_2S_2O_8$ (0.340 g, 1.26 mmol, 3 equiv.), and $AgNO_3$

(0.008 g, 0.042 mmol, 0.1 equiv.) in DMSO/H_2O (4 mL; 1 : 1), 2,3-diaroyl benzofuran **5bb** was obtained as a light brown solid (0.106 g, 68% yield) after purification by flash chromatography using hexane/EtOAc (90 : 10) as the eluent; m.p. 124–129 °C; **^{1}H** NMR (500 MHz, $CDCl_3$): δ 7.90 (d, J = 8.2 Hz, 2H), 7.79 (d, J = 8.8 Hz, 2H), 7.61–7.69 (m, 2H), 7.48–7.58 (m, 1H), 7.35 (t, J = 7.6 Hz, 1H), 7.22 (d, J = 8.2 Hz, 2H), 6.84 (d, J = 8.8 Hz, 2H), 3.83 (s, 3H), 2.41 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 189.4, 183.6, 163.9, 154.6, 150.5, 144.4, 133.9, 131.6, 130.6, 129.9, 129.2, 128.4, 126.7, 126.6, 124.6, 122.4, 113.8, 112.4, 55.5, 21.7; IR ($CHCl_3$): 1650, 1601, 1562, 1556, 1509, 1446, 1422, 1360, 1292, 1263, 1248, 1168, 1117, 1010, 877, 845, 750 cm^{-1}; HRMS (+ESI) calcd for $C_{24}H_{19}O_4$ $[M + H]^+$: 371.1283; found: 371.1299.

[3-(3-Methoxybenzoyl)benzofuran-2-yl](*p*-tolyl)methanone (5bc). On applying the general experimental procedure using benzofuran-2-yl(*p*-tolyl)methanone, **3ab** (0.100 g, 0.42 mmol, 1 equiv.), 3-methoxyphenylglyoxylic acid (0.151 g; 0.85 mmol, 2 equiv.), $K_2S_2O_8$ (0.340 g, 1.26 mmol, 3 equiv.), and $AgNO_3$ (0.008 g, 0.042 mmol, 0.1 equiv.) in DMSO/H_2O (4 mL; 1 : 1), 2,3-diaroyl benzofuran **5bc** was isolated as a pale yellow solid (0.103 g, 66% yield) after purification by flash chromatography using hexane/EtOAc (90 : 10) as the eluent; m.p. 97–99 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.76 (d, J = 8.2 Hz, 2H), 7.65 (d, J = 7.9 Hz, 1H), 7.60 (d, J = 8.5 Hz, 1H), 7.48 (m, 1H), 7.31 (t, J = 7.6 Hz, 1H), 7.25 (m, 1H), 7.12–7.22 (m, 4H), 6.98 (ddd, J_1 = 0.9 Hz, J_2 = 2.6, J_3 = 8.1 Hz, 1H), 3.71 (s, 3H), 2.34 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 190.9, 183.8, 159.7, 154.6, 151.3, 144.5, 139.2, 134.0, 129.9, 129.5, 129.2, 128.4, 126.5, 126.0, 124.7, 122.4, 122.3, 120.5, 112.4, 112.3, 55.3, 21.7; IR ($CHCl_3$): 2925, 1656, 1606, 1562, 1485, 1448, 1430, 1358, 1315, 1290, 1261, 1212, 1184, 1169, 1116, 1041, 994, 879, 833, 807, 748, 681, 666 cm^{-1}; HRMS (+ESI) calcd for $C_{24}H_{19}O_4$ $[M + H]^+$: 371.1283; found: 371.1299.

[3-(4-Fluorobenzoyl)benzofuran-2-yl](*p*-tolyl)methanone (5bd). On applying the general experimental procedure using benzofuran-2-yl(*p*-tolyl)methanone, **3ab** (0.100 g, 0.42 mmol, 1 equiv.), 4-fluorophenylglyoxylic acid (0.143 g; 0.85 mmol, 2 equiv.), $K_2S_2O_8$ (0.340 g, 1.26 mmol, 3 equiv.), and $AgNO_3$ (0.008 g, 0.042 mmol, 0.1 equiv.) in DMSO/H_2O (4 mL; 1 : 1), 2,3-diaroyl benzofuran **5bd** was obtained as a pale yellow solid (0.092 g, 61% yield) after purification by flash chromatography using hexane/EtOAc (95 : 5) as the eluent; m.p. 122–126 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.89 (d, J = 8.1 Hz, 2H), 7.82 (dd, J_1 = 5.4 Hz, J_2 = 8.5 Hz, 2H), 7.68 (d, J = 8.5 Hz, 2H), 7.56 (t, J = 8.1 Hz, 1H), 7.38 (t, J = 7.6 Hz, 1H), 7.24 (d, J = 8.1 Hz, 2H), 7.04 (t, J = 8.6 Hz, 2H), 2.41 (s, 3H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 189.5, 183.5, 165.9 (d, J = 256 Hz), 154.6, 151.0, 144.7, 134.1, 134.0, 133.7, 131.7 (d, J = 9.4 Hz), 129.9, 129.3, 128.6, 126.2 (d, J = 57.1 Hz), 124.8, 122.3, 115.7 (d, J = 22.1 Hz), 112.5, 21.7; IR ($CHCl_3$): 2924, 1656, 1599, 1559, 1506, 1478, 1446, 1411, 1359, 1268, 1239, 1185, 1154, 1119, 1011, 877, 851, 748, 691 cm^{-1}; HRMS (+ESI) calcd for $C_{23}H_{16}FO_3$ $[M + H]^+$: 359.1083; found: 359.1075.

[3-(3-Methoxybenzoyl)benzofuran-2-yl](4-methoxyphenyl) methanone (5cc). On applying the general experimental procedure using 2-aroyl benzofuran, **3ac** (0.100 g, 0.47 mmol, 1 equiv.), 3-methoxyphenylglyoxylic acid (0.169 g; 0.94 mmol, 2 equiv.), $K_2S_2O_8$ (0.381 g, 1.41 mmol, 3 equiv.), and $AgNO_3$ (0.008 g, 0.047 mmol, 0.1 equiv.) in DMSO/H_2O (4 mL; 1 : 1), 2,3-diaroyl benzofuran **5cc** was obtained as a yellow solid (0.076 g, 42% yield) after purification by flash chromatography using hexane/EtOAc (80 : 20) as the eluent; m.p. 82–85 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.95 (d, J = 8.9 Hz, 2H), 7.72 (d, J = 7.9 Hz, 1H), 7.68 (d, J = 8.4 Hz, 1H), 7.54 (m, 1H), 7.38 (t, J = 7.6 Hz, 1H), 7.34 (dd, J_1 = 1.6 Hz, J_2 = 2.4 Hz, 1H), 7.27 (m, 1H), 7.22 (t, J = 7.9 Hz, 1H), 7.05 (m, 1H), 6.89 (d, J = 8.9 Hz, 2H), 3.87 (s, 3H), 3.78 (s, 3H);^{13}C NMR (126 MHz, $CDCl_3$): δ 190.9, 182.5, 163.9, 159.7, 154.6, 151.6, 139.2, 132.3, 129.5, 128.3, 126.5, 125.8, 124.7, 122.4, 122.2, 120.6, 113.8, 112.4, 112.3, 55.5, 55.3; IR ($CHCl_3$): 2924, 2853, 1764, 1712, 1652, 1598, 1558, 1509, 1486, 1464, 1428, 1361, 1259, 1166, 1115, 1030, 1013, 993, 880, 844, 808, 750 cm^{-1}; HRMS (+ESI) calcd for $C_{24}H_{19}O_5$ $[M + H]^+$: 387.1232; found: 387.1254.

(3-Benzoylbenzofuran-2-yl)(4-chlorophenyl)methanone (5da). On applying the general experimental procedure using benzofuran-2-yl(4-chlorophenyl)methanone, **3ai** (0.100 g, 0.39 mmol, 1 equiv.), phenylglyoxylic acid (0.117 g; 0.78 mmol, 2 equiv.), $K_2S_2O_8$ (0.317 g, 1.17 mmol, 3 equiv.), and $AgNO_3$ (0.007 g, 0.039 mmol, 0.1 equiv.) in DMSO/H_2O (4 mL; 1 : 1), 2,3-diaroyl benzofuran **5da** was obtained as a yellow solid (0.098 g, 70% yield) after purification by flash chromatography using hexane/EtOAc (95 : 5) as the eluent; m.p. 122–125 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.92 (d, J = 8.5 Hz, 2H), 7.79 (dd, J_1 = 1.2 Hz, J_2 = 8.3 Hz, 2H), 7.68 (d, J = 8.4 Hz, 2H), 7.50–7.61 (m, 2H), 7.34–7.44 (m, 5H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 190.9, 182.6, 154.7, 150.3, 140.0, 137.5, 134.7, 133.7, 131.2, 129.1, 128.9, 128.8, 128.6, 126.9, 126.5, 124.9, 122.5, 112.5; IR ($CHCl_3$): 2925, 2854, 1656, 1589, 1557, 1478, 1449, 1402, 1359, 1293, 1266, 1239, 1174, 1092, 1010, 876, 844, 748, 697 cm^{-1}; HRMS (+ESI) calcd for $C_{22}H_{14}ClO_3$ $[M + H]^+$: 361.0631; found: 361.0645.

(2-(2-Naphthoyl)benzofuran-3-yl)(phenyl)methanone (5ea). On applying the general experimental procedure using benzofuran-2-yl(naphthalen-2-yl)methanone, **3am** (0.150 g, 0.55 mmol, 1 equiv.), phenylglyoxylic acid (0.166 g; 1.1 mmol, 2 equiv.), $K_2S_2O_8$ (0.446 g, 1.65 mmol, 3 equiv.), and $AgNO_3$ (0.010 g, 0.042 mmol, 0.1 equiv.) in DMSO/H_2O (4 mL; 1 : 1), 2,3-diaroyl benzofuran **5ea** was isolated as a pale yellow solid (0.147 g, 71% yield) after purification by flash chromatography using hexane/EtOAc (95 : 5) as the eluent; m.p. 126–128 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.51 (s, 1H), 7.86–7.91 (m, 2H), 7.85 (d, J = 8.2 Hz, 1H), 7.80 (d, J = 8.6 Hz, 1H), 7.73 (t, J = 7.5 Hz, 2H), 7.69 (dd, J_1 = 1.1 Hz, J_2 = 8.4 Hz, 2H), 7.53–7.63 (m, 3H), 7.46 (t, J = 7.4 Hz, 1H), 7.41 (t, J = 7.6 Hz, 1H),7.28 (t, J = 7.7 Hz, 2H); ^{13}C NMR (126 MHz, $CDCl_3$): δ 190.9, 184.0, 154.8, 151.1, 137.8, 135.6, 134.0, 133.5, 132.2, 129.7, 128.9, 128.8, 128.6, 128.5, 128.4, 127.7, 126.9, 126.6, 126.5, 124.8, 124.7, 122.5, 112.5; IR ($CHCl_3$): 2924, 1730, 1652, 1626, 1597, 1558, 1449, 1363, 1296, 1273, 1239, 1197, 1125, 1023, 892, 751 cm^{-1}; HRMS (+ESI) calcd for $C_{26}H_{17}O_3$ $[M + H]^+$: 377.1178; found: 377.1180.

[2-(2-Naphthoyl)benzofuran-3-yl](4-methoxyphenyl)methanone (5eb). On applying the general experimental procedure using benzofuran-2-yl(naphthalen-2-yl)methanone, **3am** (0.100 g,

0.37 mmol, 1 equiv.), 4-methoxyphenylglyoxylic acid (0.133 g; 0.74 mmol, 2 equiv.), and $K_2S_2O_8$ (0.300 g, 1.26 mmol, 3 equiv.), $AgNO_3$ (0.006 g, 0.037 mmol, 0.1 equiv.) in DMSO/H_2O (4 mL; 1 : 1), 2,3-diaroyl benzofuran **5ed** was obtained as a light brown solid (0.104 g, 69% yield) after purification by flash chromatography using hexane/EtOAc (90 : 10) as the eluent; m.p. 119–124 °C; ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.53 (s, 1H), 7.92 (dd, J_1 = 1.7 Hz, J_2 = 8.6 Hz, 1H), 7.89 (d, J = 8.2 Hz, 1H), 7.85 (d, J = 8.1 Hz, 1H), 7.82 (d, J = 8.6 Hz, 1H), 7.67–7.73 (m, 4H), 7.51–7.62 (m, 3H), 7.38 (t, J = 7.6 Hz, 1H), 6.76 (d, J = 8.9 Hz, 2H), 3.79 (s, 3H);^{13}C NMR (126 MHz, $CDCl_3$): δ 189.4, 184.1, 163.9, 154.7, 150.5, 135.6, 134.0, 132.2, 132.1, 135.5, 130.8, 129.7, 128.8, 128.6, 128.4, 127.7, 126.9, 126.8, 126.7, 124.8, 124.7, 122.5, 113.8, 112.5, 55.5; IR ($CHCl_3$): 2924, 2853, 1650, 1598, 1561, 1556, 1509, 1466, 1363, 1295, 1248, 1195, 1167, 1124, 1024, 893, 841, 750 cm^{-1}; HRMS (+ESI) calcd for $C_{27}H_{19}O_4$ $[M + H]^+$: 407.1283; found: 407.1286.

Conflicts of interest

There are no conflicts to declare.

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