Advanced Synthesis & Catalysis

DOI: 10.1002/adsc.201600048

Synthesis of Benzofurans from Ketones and 1,4-Benzoquinones

Fengtian Wu,[a] Rongxian Bai,[a,*] and Yanlong Gu[a,b,*]

[a] Key Laboratory of Material Chemistry for Energy Conversion and Storage, Ministry of Education, School of Chemistry and Chemical Engineering, Huazhong University of Science and Technology, Wuhan 430074, People's Republic of China
E-mail: bairx@126.com or klgyl@hust.edu.cn

[b] State Key Laboratory for Oxo Synthesis and Selective Oxidation, Lanzhou Institute of Chemical Physics, Lanzhou 730000, People's Republic of China

Received: January 13, 2016; Revised: April 15, 2016; Published online: ■■ ■■, 0000

Supporting information for this article is available on the WWW under http://dx.doi.org/10.1002/adsc.201600048.

Abstract: Benzofuran derivatives can be synthesized through the sequential Michael addition and cyclization of 1,3-dicarbonyl compounds with 1,4-benzoquinones. However, ketones are rarely used in this reaction because of their low nucleophilicities. In this study, this problem was solved by utilizing triethyl orthoformate, which enabled the formation of a vinyl ethyl ether as an additive. As a result, the nucleophilicity of ketones increased. Many important 5-hydroxybenzofuran derivatives, which were not readily available by synthesis in the past, were also prepared *via* these newly established reactions.

Keywords: benzofuran; benzoqunione; ketone; Michael addition; triethyl orthoformate

Introduction

3-Unsubstituted 2-arylbenzofurans represent an important class of privileged structures prevalent in natural products[1] and active pharmaceutical ingredients.[2] Compounds featuring this scaffold exhibit various interesting biological properties, including anticancer, antiviral, antimicrobial, anti-inflammatory, and antioxidant activities.[3] For instance, salvianolic acid C with an IC_{50} value of 22.6 μM[4] induces an antiproliferative activity against HepG2 cells through apoptosis. 3-(γ,γ-Dimethylpropenyl)moracin M also shows a moderate cyclooxygenase inhibitory activity.[5] Ailanthoidol effectively inhibits inflammatory reactions in macrophages and protects mice against endotoxin shocks.[6] Non-natural 3-unsubstituted 2-arylbenzofurans have also been considered as promising pharmaceutical ingredients (see supporting information, Figure S1).[7,8]

Although benzofurans are potential synthetic targets in the development of efficient synthetic methods,[9] most of the reported synthetic methods are unsuitable for the preparation of 3-unsubstituted 2-arylbenzofurans. 3-Unsubstituted 2-arylbenzofurans are obtained using several methods categorized as follows. (i) In annulations with salicylaldehyde-based reagents, salicylaldehyde can be indirectly converted into 2-arylbenzofurans in cooperation with many counter-reagents, such as aromatic aldehydes,[10] protected thiazolium carbinols,[11] Wittig reagents,[12] and alkynes.[13] (ii) In C–C cross-coupling method based on either Sonogashira or Suzuki reactions,[14,15] enolate arylation with *o*-bromophenol is catalysed by palladium,[16] and 2-(2-hydroxyphenyl)acetonitrile with potassium aryltrifluoroborates is subjected to one-step sequential addition/intramolecular annulation.[17] (iii) A C–H activation method based on a noble metal-catalyzed heterocoupling of benzofuran and benzene or arylhalide can also give 3-unsubstituted 2-arylbenzofurans.[18] (iv) The decarboxylation of 3-carboxylic acid-functionalized benzofuran derivatives also yields 3-unsubstituted 2-arylbenzofurans.[19] Other methods have also been described.[20] However, most of these methods often involve the use of expensive reagents or catalysts, exhibit a certain degree of complexity, and produce unsatisfactory yields and selectivities. Considering the increasing importance of 3-unsubstituted 2-arylbenzofurans, the development of simple and convenient approaches is desirable.

The 1,4-conjugate addition–cyclization of 1,4-benzoquinones with 1,3-dicarbonyl compounds can be performed to synthesize benzofuran effectively (Figure 1).[21,22] However, ketones, such as aryl methyl ketones, are rarely used in this reaction and thus present a formidable challenge. Balamurugan and coworkers[23] proposed an efficient method to activate aryl methyl ketones by using trimethyl orthoformate as an additive. With this additive, a methyl vinyl ether

 © 2016 Wiley-VCH Verlag GmbH & Co. KGaA, Weinheim

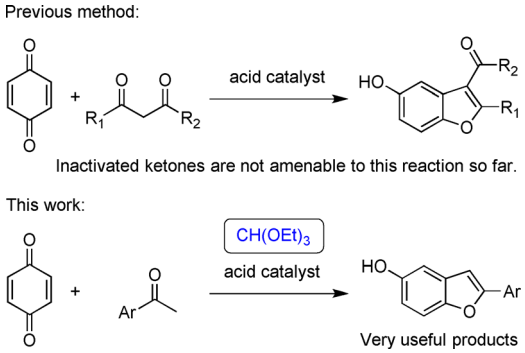


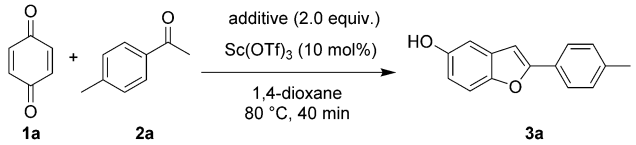
Figure 1. Schematic of the synthesis of 3-unsubstituted 2-arylbenzofurans.

can be formed; as a result, the reactivity of aryl methyl ketone is increased. In our study, sequential 1,4-addition and cyclization reactions of aryl methyl ketones and 1,4-benzoquinones were developed to synthesize 3-unsubstituted 2-arylbenzofurans by using triethyl orthoformate as an additive and $Sc(OTf)_3$ as a catalyst.

Results and Discussion

Our initial studies started with the reaction of 1,4-benzoquinone (**1a**) with 4-methylacetophenone (**2a**). This reaction proceeded very well when $Sc(OTf)_3$ was used as a catalyst in the presence of triethyl orthoformate, and the desired product **3a** could be obtained with an 88% yield (Table 1, entry 1 and Table S1 in the Supporting Information). No product was formed in the absence of triethyl orthoformate; this result indicated the critical role of orthoformate in promoting

Table 1. Condensation reaction of **1a** and **2a**.[a]

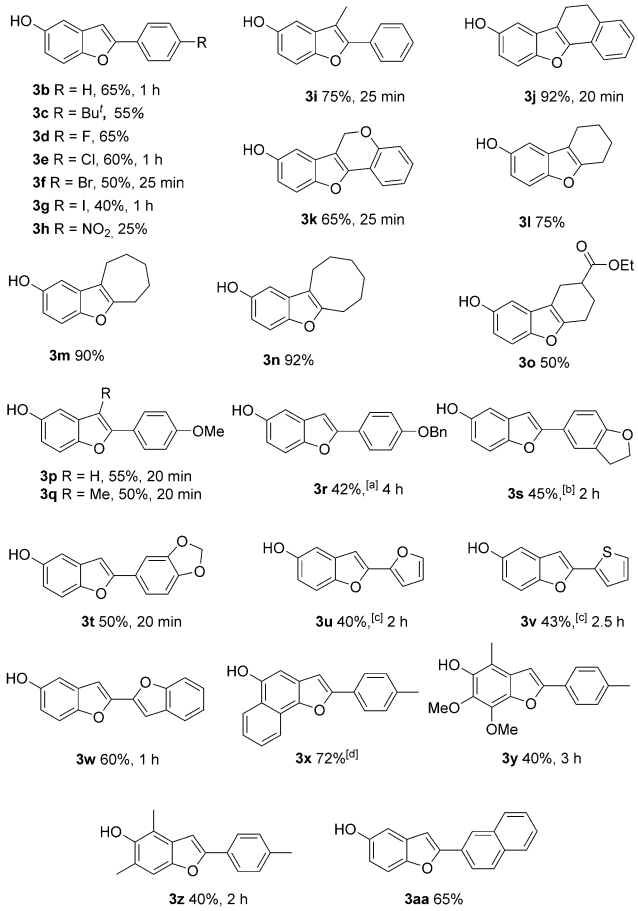


Entry	Additive	Yield (%)
1	$CH(OEt)_3$	88 (86[b])
2	–	0
3	$CH(OMe)_3$	78
4	$CH(OPr^n)_3$	70
5	$CH(OBu^n)_3$	65
6	$CH(OPr^i)_3$	65
7	$MeC(OEt)_3$	35
8[c]	$CH(OEt)_3$	60

[a] **1a**, 0.30 mmol; **2a**, 0.45 mmol; additive, 0.60 mmol; $Sc(OTf)_3$, 0.03 mmol; 80 °C; 40 min.
[b] The reaction was performed in 10 mmol of scale.
[c] Triethyl orthoformate/**1a** = 1.0:1.0.

the model reaction (entry 2). Different orthoformates were then applied as additives. The yield was slightly decreased when trimethyl orthoformate was used instead of triethyl orthoformate (entry 3). Other orthoformate congeners with *n*-propyl, *n*-butyl, or 2-propyl also produced low yields (entries 4 to 6). Triethyl orthoacetate was also ineffective in this reaction (entry 7). Therefore, triethyl orthoformate was selected as an additive in the subsequent experiments. The outcome of the reaction was also affected by the amount of triethyl orthoformate, and the optimal ratio of triethyl orthoformate to **1a** was 1.0:1.0 (entry 8). The reaction could also be effectively scaled up with a similar efficiency. For example, the reaction of **1a** (10.0 mmol) with **2a** (15.0 mmol) produced **3a** with an 86% yield (1.93 g, entry 1).

Under the optimized conditions, the scope of the reaction was probed in terms of ketone and benzoquinone components. In Figure 2, acetophenones with different substituents smoothly reacted with **1a** and



Reaction conditions: quinone, 0.30 mmol; ketone, 0.45 mmol; triethyl orthoformate, 0.60 mmol; $Sc(OTf)_3$, 0.03 mmol; 1,4-dioxane, 1.0 mL; 80 °C.
[a] 100 °C.
[b] quinone/ketone = 1:2, 100 °C.
[c] 60 °C.
[d] trimethyl orthoformate (2.0 equiv.)/$Sc(OTf)_3$ (20 mol%), 20 min.

Figure 2. Substrate scope of the model reaction.

© 2016 Wiley-VCH Verlag GmbH & Co. KGaA, Weinheim

These are not the final page numbers!

produced 5-hydroxy-2-arylbenzofurans with favorable yields. The result obtained with the electron-withdrawing group-substituted acetophenone (**3d**, **3e**, **3f**, **3g**, and **3h**) was not as competent as that obtained with the electron-donating group-substituted acetophenone. However, acetophenone with sterically demanding substituents did not generate satisfactory yields. For example, the reaction of 4-bromoacetophenone proceeded well (**3f**), but the reaction with *o*-bromoacetophenone failed to yield the desired product. The presence of a substituent in the α-position of the ketocarbonyl did not elicite a significant detrimental effect on the reaction yield because 1-phenylpropanone reacted readily with **1a** to form the corresponding product **3i** with a 75% yield. 1-Tetralone and 4-chromanone also readily participated in this reaction as well, and the generated tetracyclic compounds were pharmaceutically active (**3j** and **3k**).[24] On the basis of these results, we examined cyclic ketones, such as cyclohexanone, cycloheptanone, and cyclooctanone, and we obtained remarkable results. One of the reaction products, **3l**, is often involved in the preparation of medicinal compounds.[25] Previously described methods to synthesize **3l** also started with cyclohexanone, but cyclohexanone should be initially derivatized to the silyl enol ether or enamine.[26] Starting materials were recovered when cyclopentanone was used to react with **1a**. The tautomerization of cyclopentanone to its enol form decreased the length of the carbon-carbon bond, strengthening thus the ring strain. Therefore, the failure to use cyclopentanone is reasonable because this compound cannot be easily converted to enol form. Some acid-labile groups, such as ester, ether, and benzyloxy are all tolerant in this system (**3o** to **3r**). 5-Acetyl-2,3-dihydrobenzo[*b*]furan, which is susceptible to both acids and oxidants, can also be used (**3s**). Some heterocyclic ketones, such as 2-acetylfuran, 2-acetylthiophene, and 2-acetylbenzofuran, can also be applied as substrates (**3u** to **3w**). By contrast, 4-dimethylaminoacetophenone and 4-acetylpyridine were unsuitable for the system. These sufficient reactions could not be attributed to the poisoning of the acid catalyst in the presence of basic species. Other quinones, such as 1,4-naphthoquinone, 2,3-dimethoxy-5-methyl-*p*-benzoquinone, and 2,5-dimethyl-*p*-benzoquinone, were also applicable to this reaction (**3x** to **3z**). The poor yields of **3y** and **3z** could be ascribed to the weak eletrophilicities of the electron-donating group-substituted 1,4-benzoquinones.

Figure 3 shows the proposed mechanism of the model reaction. First, **2a** is activated *via* the formation of a vinyl ethyl ether **(I)** by using $Sc(OTf)_3$ as a catalyst.[27] Secondly, **(I)** is added to **1a** to form an intermediate **(II)**.[28] Thirdly, the intramolecular cyclization of **(II)** produces **(III)**, which can be further converted to **3a**, and ethanol is eliminated as a by-product. We

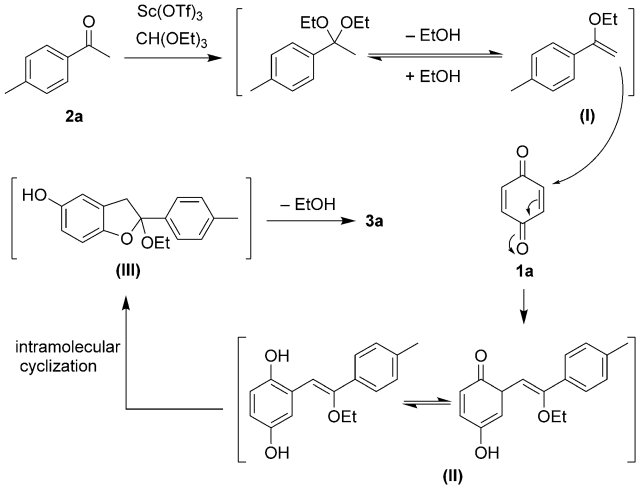
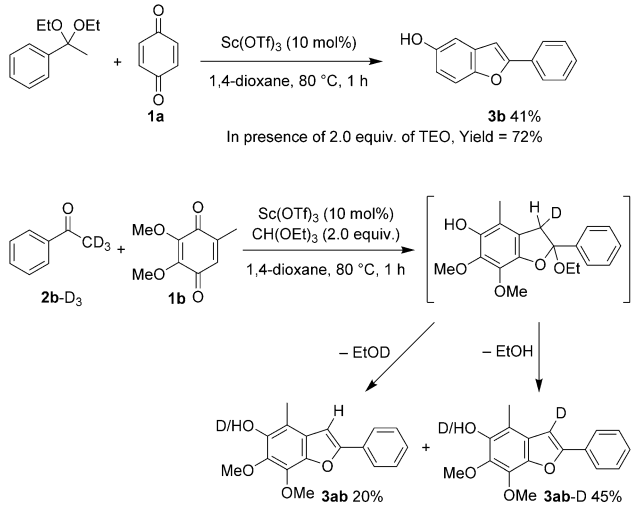


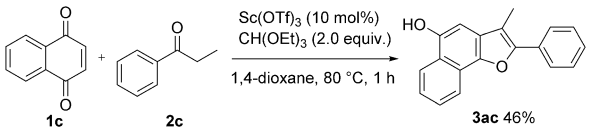
Figure 3. Proposed mechanism.

performed some experiments to verify this mechanism. First, (1,1-dimethoxyethyl)benzene was used instead of acetophenone to synthesize **3b**. Under the standard conditions, **3b** was formed with a 41% yield in the absence of triethyl orthoformate. The yield of 3b increased to 65% in the presence of two equivalents of triethyl orthoformate. These results indicated the important of ketal in the formation of **3b**. Afterward, aceto-d_3-phenone **2b**-D_3 was used to react with 2,3-dimethoxy-6-methyl-*p*-benzoquinone **1b** (Scheme 1) to verify the existence of intermediate **(III)**.[29a] Considering that the control experiments with 1,4-dioxane-d_8 failed to show the scrambling of deuterium into the benzofuran products was not observed (Supporting Information, Scheme S2), we concluded that the generation of **3ab**-D can be attributed to the formation a **(III)**-type intermediate. The yield of the deuterated product **3ab**-D was much higher

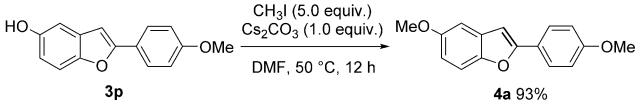


Scheme 1. Two experiments to support the mechanism.

 © 2016 Wiley-VCH Verlag GmbH & Co. KGaA, Weinheim



Scheme 2. Synthesis of **3ac**.



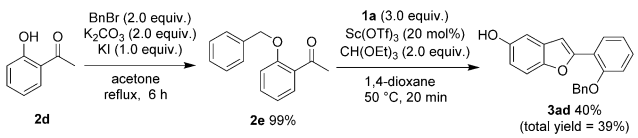
Scheme 4. Synthesis of **4a**.

than **3ab**. This finding can be ascribed to the difference of the leaving ability of H from that of D (Supporting Information, Figure S2).[29b]

We then applied this methodology in organic synthesis. Compound **3ac** is an intermediate of a tanshinone IIA analog that possesses potent inhibitory activity against protein phosphatases Cdc25A.[30] Using the triethyl orthoformate/$Sc(OTf)_3$ system, we synthesized **3ac** starting from 1,4-naphthylquinone **1c** and 1-phenylpropanone **2c**. Although the maximum yield only was 46% (Scheme 2), the proposed method is comparable with other method because the previous route to produce **3ac** involves a three-step synthesis starting from the same quinone (Supporting Information, Scheme S3).

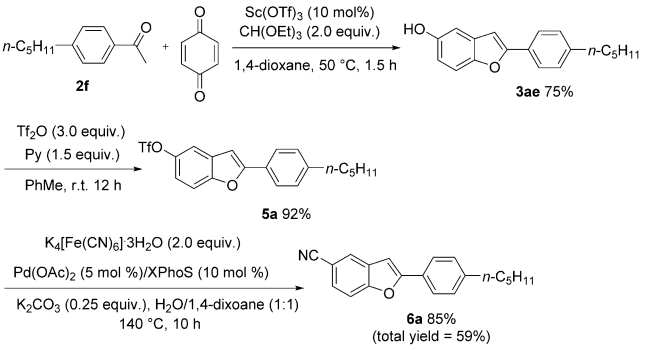
The usefulness of this methodology can also be demonstrated by the synthesis of **3ad**, which is an intermediate of a novel anticancer compound that can effectively inhibit the mTOR (mammalian target of rapamycin) enzyme ($IC_{50}=0.38$ μM, in SQ20B cancer cells after 72 h of treatment).[8] This compound was synthesized with a 23.7% yield starting from 2,5-dihydroxybenzaldehyde through a five-step synthesis, in which an expensive reagent, 2-(benzyloxy)benzoyl chloride, was also used (Supporting Information, Scheme S4).[31] Utilizing the triethyl orthoformate/$Sc(OTf)_3$ system, we developed a two-step route to **3ad**, which involves (i) *o*-benzylation of 2′-hydroxyacetophenone **2d**[32] and (ii) sequential Michael addition and cyclization of the generated product **2e** with **1a**. Applying this method, we obtained **3ad** at a 39% yield (Scheme 3). The steric hindrance of benzyloxy is probably responsible for the low yield in the second step.

A reaction product **3p** can easily be converted to **4a**, which has been used as a precursor for the synthesis of a natural product, corsifuran A (Scheme 4).[33] Previously described methods employed to prepare **4a** are less efficient than the proposed method, because the two-step synthesis involves either a low-temperature operation (−50 °C) or the use of expensive catalysts, such as palladium complex/phosphine ligand (Supporting Information, Scheme S5).



Scheme 3. Synthesis of **3ad**.

5-Cyano-2-arylbenzofuran **6a** can be a potential component of liquid crystals. Previously reported method to access this compound is not efficient, because it involves five steps, in which the last step has only 14% yield (Supporting Information, Scheme S6).[34] With the aid of triethyl orthoformate/$Sc(OTf)_3$, a three-step method was developed to synthesize **6a**. First, 2-phenylbenzofuran derivative **3ae** was synthesized from an easily available ketone, **2f**. Second, **3ae** was converted to its triflate **5a** to activate the hydroxyl group. Third, **6a** was synthesized through a C–C coupling reaction of **5a** with $K_4[Fe(CN)_6]\cdot 3H_2O$ in the presence of a catalytic amount of $Pd(OAc)_2$.[35] With this route, **6a** could be obtained with a 59% yield (Scheme 5).

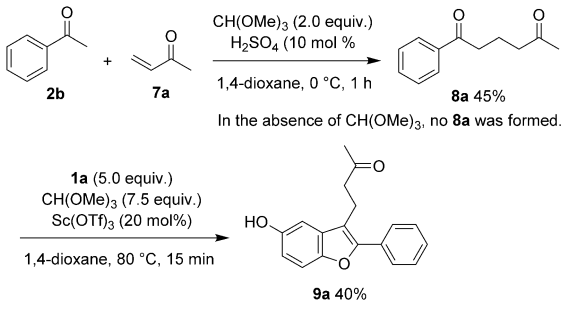


Scheme 5. Synthesis of **6a**.

A remarkable activation effect of orthoformate was also observed in the reaction of acetophenone **2b** with methyl vinyl ketone **7a**, another Michael acceptor. The desired product, **8a**, could be obtained with a 45% yield when concentrated H_2SO_4 was used as a catalyst. However, the synthesis is unsuccessful without adding trimethyl orthoformate (Scheme 6). The previous route to access **8a** also started from **2b**, but **2b** must be derivatized to a silyl enol ether.[36] Considering that two carbonyl groups were involved in the skeleton of **8a**, we investigated the application of this compound in the condensation with **1a**. Interestingly, we obtained only one product, namely, 2-phenylbenzofuran derivative **9a**. This finding indicated that the α-position of the benzoyl group is much more reactive than the other sites under the optimized reaction conditions.

© 2016 Wiley-VCH Verlag GmbH & Co. KGaA, Weinheim

These are not the final page numbers!



Scheme 6. Synthesis of **8a** and **9a**.

Conclusions

We successfully completed the sequential Michael addition and intramolecular cyclization reaction of ketones and 1,4-benzoquinones by using triethyl orthoformate as an additive. In the presence of $Sc(OTf)_3$ as catalyst, triethyl orthoformate may be utilized to convert enolizable ketone into ethyl vinyl ether; as a result, nuclophilicity increases. This reaction is a simple way to obtain 5-hydroxybenzofurans. The proposed methodology can also be applied to synthesize some important 2-phenylbenzofuran derivatives. Furthermore, the hydroxyl group in the generated products can be used as a reactive site to introduce other functional groups.

Experimental Section

General procedure for the reaction of 1,4-benzoquinones and ketones

In a typical reaction, 1,4-benzoquinone 1a (0.3 mmol), ketone (0.45 mmol), $CH(OEt)_3$ (0.6 mmol), $Sc(OTf)_3$ (0.03 mmol) were mixed in 1,4-dioxane (1.0 mL). The mixture was then stirred at 80 °C for 40 min. After completion, the reaction mixture was cooled to room temperature. Then, the mixture was washed with water (5 mL) and extracted with ethyl acetate (2×10 mL). The combined organic phase was further washed with brine, dried with anhydrous Na_2SO_4 and concentrated in vacuo to give the crude product. The crude product was purified by silica column chromatography to afford **3a** (eluent: petroleum ether/ethyl acetate = 10:1 (v/v)). Tests for scope were all performed with an analogous procedure (Figure 2). Controlled experiments in Scheme 1 and Scheme S1 (Supporting Information) were performed with an analogous procedure. Large scale synthesis of **3a** was performed under identical conditions; the crude product was purified by silica column chromatography to afford **3a** (eluent: petroleum ether/ethyl acetate = 10:1 (v/v)).

Procedure for synthesis of 2e

To a suspension of 2′-hydroxyacetophenone 2d (1.0 mmol), anhydrous K_2CO_3 (2.0 mmmol) and KI (1.0 mmol) in acetone (4.0 mL) was added benzyl bromide (2.0 mmol). Then the mixture was refluxed for 6 h. After cooling the reaction mixture to room temperature, the mixture was filtered; the filtrate was diluted with ethyl acetate(2×10 mL) and washed with water (10 mL). The acquired organic phase was then dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. The residue was purified by flash chromatography to afford **2e** (eluent: petroleum ether/ethyl acetate = 100:1 (v/v)).

Procedure for synthesis of 4a

3p (1.0 mmol), CH_3I (5.0 mmol) and potassium carbonate (1.0 mmol) were mixed in DMF (3.0 mL). The mixture was then stirred at 50 °C under N_2 for 12 h. The solution was filtered and the filtrate was diluted with ethyl acetate (2× 10 mL) and washed with water (10 mL). The acquired organic phase was then dried over anhydrous Na_2SO_4. After removing the volatile solvent, the residue was purified by flash chromatography to afford **4a** (eluent: petroleum ether/ethyl acetate = 50:1 (v/v)).

Procedure for synthesis of 5a

In a 10 mL of V-type two neck flask, **3ae** (1.0 mmol), toluene (1.0 mL) and distilled pyridine (1.5 mmol) were added. The mixture was cooled to 0 °C. Then, trifluoromethane sulfonate anhydride (3.0 mmol) was injected. The reaction was then allowed to stir for 12 h at room temperature. After the reaction was complete, the solution was filtered; the filtrate was diluted with ethyl acetate (2×10 mL) and washed with water (10 mL). The acquired organic phase was then dried over anhydrous Na_2SO_4. After removing the volatile solvent, the resulting material was purified with flash chromatography to afford **5a** (eluent: petroleum ether/ethyl acetate = 100:1 (v/v)).

Procedure for synthesis of 6a

Pd(OAc) (0.05 mmol), XPhoS (0.1 mmol), $K_4[Fe(CN)_6]\cdot 3H_2O$ (2.0 mmol), K_2CO_3 (0.25 mmol) and **5a** (1.0 mmol) were mixed in a 10 mL of U-type pressure-resistant glass tube. The tube was evacuated and backfilled with N_2 three times. Then, a mixture of 1,4-dioxane/water (v/v = 1:1, 1.0 mL) was added via syringe. The reaction tube was then sealed and the mixture was stirred for 10 h at 140 °C. After the reaction was complete, the mixture was cooled to room temperature. Then, the mixture was washed with water (5 mL) and extracted with ethyl acetate (2×10 mL). The combined organic phase was further washed with brine, dried with anhydrous Na_2SO_4 and concentrated in vacuo, to give the crude product. The crude product was purified by silica column chromatography to afford **6a** (eluent: petroleum ether/ethyl acetate = 20:1 (v/v)).

Procedure for synthesis of 8a

Acetophenone **2b** (1.0 mmol), methyl vinyl ketone **7a** (3.0 mmol), $CH(OEt)_3$ (2.0 mmol), concentrated H_2SO_4 (0.1 mmol) were mixed in 1,4-dioxane (3.0 mL). The mixture was then stirred at 0 °C for 1 hour. After the reaction was complete, water (5.0 mL) and ethyl acetate (5 mL) were added, and the aqueous phase was neutralized by an aque-

 © 2016 Wiley-VCH Verlag GmbH & Co. KGaA, Weinheim

ous solution of NaOH (1.0 M). Then, the organic phase was separated. The aqueous phase was extracted by ethyl acetate (3×5.0 mL). The combined organic phase was dried over anhydrous Na_2SO_4. Then, the volatile solvent was removed under reduced pressure. The desired product **8a** was obtained by silica column chromatography (eluent: petroleum ether/ethyl acetate = 5:1 (v/v)).

Procedure for synthesis of 9a

8a (0.3 mmol), **1a** (1.5 mmol), trimethyl orthoformate (2.25 mmol) and $Sc(OTf)_3$ (0.06 mmol) were mixed with 1,4-dioxane (1.0 mL). The mixture was then stirred for 15 min at 80 °C. After cooling the reaction to room temperature, the mixture was washed with water (5 mL) and extracted with ethyl acetate (2×10 mL). The combined organic phase was further washed with brine, dried with anhydrous Na_2SO_4 and concentrated in vacuo to give the crude product. Purification by silica column chromatography afforded **9a** (eluent: petroleum ether/ethyl acetate = 5:1 (v/v)).

Spectroscopic data of the obtained products

2-(*p*-Tolyl)benzofuran-5-ol (3a):[37] Grey solid, mp: 161–162 °C; ^{1}H NMR (400 MHz, DMSO-d_6, 25 °C): δ = 2.34 (s, 3H), 6.73 (dd, J = 2.4, 8.8 Hz, 1H), 6.92 (d, J = 2.4 Hz, 1H), 7.20 (s, 1H), 7.29 (d, J = 8.0 Hz, 2H), 7.38 (d, J = 8.8 Hz, 1H), 7.75 (d, J = 8.0 Hz, 2H), 9.20 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO-d_6, 25 °C): δ = 20.9, 101.2, 105.3, 111.2, 113.0, 124.5, 127.3, 129.6, 129.7, 138.3, 148.3, 153.5, 155.8 ppm.

2-Phenylbenzofuran-5-ol (3b):[37] Brown solid, mp: 185–186 °C; ^{1}H NMR (400 Hz, DMSO-d_6, 25 °C): δ = 6.74–6.77 (m, 1H), 6.95 (d, J = 2.4 Hz, 1H), 7.28 (s, 1H), 7.36–7.42 (m, 2H), 7.48 (t, J = 7.6 Hz, 2H), 7.86 (d, J = 7.2 Hz, 2H), 9.24 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO-d_6, 25 °C): δ = 102.0, 105.4, 111.3, 113.4, 124.5, 128.7, 129.0, 129.6, 130.0, 148.5, 153.6, 155.6 ppm.

2-(4-(*tert*-Butyl)phenyl)benzofuran-5-ol (3c): Light grey solid, mp: 159–161 °C; ^{1}H NMR (400 Hz, DMSO-d_6, 25 °C): δ = 1.30 (s, 9H), 6.74 (dd, J = 2.4, 8.8 Hz, 1H), 6.93 (d, J = 2.4 Hz, 1H), 7.20 (s, 1H), 7.39 (d, J = 0.8 Hz, 1H), 7.49 (d, J = 8.4 Hz, 2H), 7.78 (d, J = 8.4 Hz, 2H), 9.22 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO-d_6, 25 °C): δ = 31.0, 34.5, 101.3, 105.3, 111.2, 113.1, 124,3, 125.8, 127.3, 129.7, 148.4, 151.3, 153,5, 155.8 ppm; IR: ν = 3370, 2957, 2903, 2867, 1915, 1834, 1707, 1655, 1600, 1456, 1409, 1367, 1270, 1198, 1113, 1038, 949, 917, 835, 794, 735, 552, 446 cm^{-1}; HRMS (EI): *m/z*: calcd for $C_{18}H_{18}NaO_2$: 289.1204 $[M + Na]^+$; found: 289.1198.

2-(4-Fluorophenyl)benzofuran-5-ol (3d):[37] Grey solid, mp: 158–159 °C; ^{1}H NMR (400 Hz, DMSO-d_6, 25 °C): δ = 6.76 (dd, J = 2.4, 8.8 Hz, 1H), 6.85 (d, J = 2.4 Hz, 1H), 7.25 (s, 1H), 7.30- 7.34 (m, 2H), 7.40 (d, J = 8.8 Hz, 1H), 7.89-7.92 (m, 2H), 9.26 (s, 1H) ppm; ^{13}C (100 Hz, DMSO-d_6, 25 °C): δ = 101.9, 105.4, 111.3, 113.4, 116.1 (d, J = 22.0 Hz), 126.7 (d, J = 8.0 Hz), 129.6, 148.5, 153.6, 154.7, 161.0, 163.4; ^{19}F (377 Hz, DMSO, 25 °C): δ = −112.5 (heptet, J = 3.8 Hz, 1F) ppm.

2-(4-Chlorophenyl)benzofuran-5-ol (3e):[8] Light yellow solid, mp: 138–139 °C; ^{1}H NMR (400 Hz, DMSO-d_6, 25 °C): δ = 6.77 (dd, J = 2.4, 8.8 Hz, 1H), 6.95 (d, J = 2.4 Hz, 1H), 7.33 (s, 1H), 7.40 (d, J = 8.8 Hz, 1H), 7.54 (d, J = 8.4 Hz, 2H), 7.87 (d, J = 8.4 Hz, 2H), 9.31 ppm (s, 1H); 13C NMR (100 Hz, DMSO-d_6, 25 °C): δ = 102.7, 105.5, 111.4, 113.7, 126.2, 128.9, 129.1, 129.5, 133.1, 148.6, 153.6, 154.4 ppm.

2-(4-Bromophenyl)benzofuran-5-ol (3f): Light grey solid, mp: 151–153 °C; ^{1}H NMR (400 Hz, DMSO, 25 °C): δ = 6.78 (dd, J = 2.4, 8.8 Hz, 1H), 6.96 (d, J = 2.0 Hz, 1H), 7.33 (s, 1H), 7.40 (d, J = 8.8 Hz, 1H), 7.66 (d, J = 8.8 Hz, 2H), 7.79 (d, J = 8.8 Hz, 2H), 9.28 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO, 25 °C): δ = 102.8, 105.5, 111.4, 113.8, 121.7, 126.4, 129.2, 129.5, 132.0, 148.6, 153.7, 154.4 ppm; IR: ν = 3254, 2921, 2854, 1701, 1677, 1654, 1599, 1558, 1508, 1456, 1399, 1272, 1202, 1137, 1102, 1072, 1033, 1007, 950, 915, 827, 799, 772, 739, 710, 497 cm^{-1}; HRMS (EI): *m/z*: calcd for $C_{14}H_9BrNaO_2$: 310.9684 $[M + Na]^+$; found: 310.9677.

2-(4-Iodophenyl)benzofuran-5-ol (3g): Light grey solid, mp: 181–183 °C; ^{1}H NMR (400 Hz, DMSO, 25 °C): δ = 6.77 (dd, J = 2.4, 8.8 Hz, 1H), 6.94 (d, J = 2.4 Hz, 1H), 7.33 (s, 1H), 7.40 (d, J = 8.8 Hz, 1H), 7.65 (d, J = 8.4 Hz, 2H), 7.84 (d, J = 8.4 Hz, 2H), 9.27 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO, 25 °C): δ = 94.8, 102.8, 105.5, 111.4, 113.7, 126.4, 129.5, 137.8, 148.5,153.6, 154.6 ppm; IR: ν = 3424, 2920, 2851, 1713, 1651, 1600, 1545, 1512, 1461, 1394, 1310, 1269, 1205, 1144, 1109, 1060, 1031, 1003, 950, 915, 853, 824, 799, 770, 739, 696, 660, 621, 591, 556, 495, 454 cm^{-1}; HRMS (EI): *m/z*: calcd for $C_{16}H_{12}NaO_2$: 259.0735 $[M+Na]^+$; found: 259.0733. HRMS (EI): *m/z*: calcd for $C_{14}H_9NaO_2$: 358.9545 $[M + Na]^+$; found: 358.9540.

2-(4-Nitrophenyl)benzofuran-5-ol (3h): Light purple solid, mp: 192–194 °C; ^{1}H NMR (400 Hz, DMSO, 25 °C): δ = 6.85 (dd, J = 2.4, 8.8 Hz, 1H), 7.01 (d, J = 2.4 Hz, 1H), 7.47 (d, J = 8.8 Hz, 1H), 7.62(s, 1H), 8.11 (d, J = 8.8 Hz, 2H), 8.33 (d, J = 8.8 Hz, 2H), 9.40 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO, 25 °C): δ = 105.6, 106.1, 111.8, 115.1, 124.5, 125.3, 129.2, 135.9, 146.7, 149.2, 153.2, 153.9 ppm; IR: ν = 3498, 3107, 2921, 1720, 1597, 1570, 1506, 1463, 1340, 1280, 1196, 1179, 1107, 1038, 916, 850,796, 751, 690, 537, 483 cm^{-1}; HRMS (EI): *m/z*: calcd for $C_{14}H_9NNaO_4$: 278.0429 $[M + Na]^+$; found: 278.0420.

3-Methyl-2-phenylbenzofuran-5-ol (3i):[8] Light grey solid, mp: 154–155 °C; ^{1}H NMR (400 Hz, DMSO, 25 °C): δ = 2.38 (s, 3H), 6.78 (dd, J = 2.4, 8.4 Hz, 1H), 6.93 (d, J = 2.4 Hz, 1H), 7.35–7.41 (m, 2H), 7.51 (t, J = 7.6 Hz, 2H), 7.77 (d, J = 7.2 Hz, 2H), 9.23 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO, 25 °C): δ = 9.2, 104.0, 111.1, 111.2, 1113.5, 126.2, 128.0, 128.9, 130.8, 131.4, 147.3, 150.4, 153.4 ppm.

5,6-Dihydronaphtho[1,2-*b*]benzofuran-8-ol (3j): Light brown solid, mp: 129–130 °C; ^{1}H NMR (400 Hz, DMSO, 25 °C): δ = 2.84 (t, J = 8.0 Hz, 2H), 3.02 (t, J = 8.0 Hz, 2H), 6.76 (dd, J = 2.4, 8.8 Hz, 1H), 6.90 (d, J = 2.4 Hz, 1H), 7.19–7.23 (m, 1H), 7.26–7.29 (m, 2H), 7.39 (d, J = 8.8 Hz, 1H), 7.51–7.53 (m, 1H), 9.27 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO, 25 °C): δ = 18.6, 27.9, 104.0, 111.6, 113.1, 114.1, 119.9, 126.9, 127.2, 127.8, 128.2, 128.5, 135.8, 148.8, 151.5, 153.6 ppm; IR: ν = 3304, 3193, 3054, 3018, 2939, 2907, 2850, 1941, 1906, 1848, 1801, 1733, 1691, 1615, 1594, 1488, 1463, 1442, 1409, 1380, 1305, 1280, 1219, 1190, 1138, 1079, 1040, 955, 934, 876, 846, 804, 755, 729, 710, 686, 655, 611, 550, 507, 459, 438 cm^{-1}; HRMS (EI): *m/z*: calcd for $C_{16}H_{12}NaO_2$: 259.0735 $[M + Na]^+$; found: 259.0730.

6*H*-Benzofuro[3,2-*c*]chromen-8-ol (3k): Dark solid, mp: 138–139 °C; ^{1}H NMR (400 Hz, DMSO, 25 °C): δ = 5.58 (s, 2H), 6.78 (dd, J = 2.4, 8.8 Hz, 1H), 6.86 (d, J = 2.0 Hz, 1H), 6.93 (d, J = 8.4 Hz, 1H), 7.00 (t, J = 7.6 Hz, 1H), 7.20–7.24

© 2016 Wiley-VCH Verlag GmbH & Co. KGaA, Weinheim

These are not the final page numbers!

(m, 1H), 7.43–7.46 (m, 2H), 9.36 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO, 25 °C): δ = 64.7, 104.2, 108.7, 111.7, 113.4, 115.9, 116.2, 120.2, 121.7, 125.9, 129.9, 147.2, 149.0, 153.6, 153.9 ppm; IR: ν = 3173, 2918, 2878, 2659, 1925, 1889, 1847, 1775, 1684, 1644, 1616, 1598, 1498, 1465, 1443, 1383, 1302, 1231, 1186, 1138, 1088, 1033, 985, 957, 925, 799, 745, 610, 452 cm^{-1}; HRMS (EI): *m/z*: calcd for $C_{15}H_{10}NaO_3$: 261.0528 $[M + Na]^+$; found: 261.0522.

6,7,8,9-Tetrahydrodibenzo[*b,d*]furan-2-ol (3l):[26a] Dark grey solid, mp: 102–103 °C; ^{1}H NMR (400 Hz, DMSO, 25 °C): δ = 1.71–1.79 (m, 2H), 1.82–1.88 (m, 2H), 2.49–2.52 (m, 2H), 2.64–2.67 (m, 2H), 6.65 (dd, J = 2.4, 8.8 Hz, 1H), 6.76 (d, J = 2.4 Hz, 1H), 7.24 (d, J = 8.8 Hz, 1H), 9.08 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO, 25 °C): δ = 19.9, 22.2, 22.5, 23.0, 103.4, 110.7, 111.3, 112.3, 129.1, 147.7, 153.0, 154.2 ppm.

7,8,9,10-Tetrahydro-6*H*-cyclohepta[*b*]benzofuran-2-ol (3m): Dark grey solid, mp: 98–99 °C; ^{1}H NMR (400 HZ, DMSO, 25 °C): δ = 1.68–1.77 (m, 6H), 2.54–2.56 (m, 2H), 2.79–2.82 (m, 2H), 6.63 (dd, J = 2.4, 8.8 Hz, 1H), 6.76 (d, J = 2.0 Hz, 1H), 7.18 (d, J = 8.8 Hz, 1H), 9.04 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO, 25 °C): δ = 22.6, 25.9, 27.9, 28.6, 29.9, 103.2, 110.5, 111.4, 115.4, 130.8, 146.7, 153.0, 156.5 ppm; IR: ν = 3240, 2919, 2848, 2682, 1829, 1711, 1614, 1593, 1459, 1408, 1364, 1297, 1248, 1194, 1148, 1088, 1040, 956, 858, 822, 800, 773, 696, 512, 433 cm^{-1}; HRMS (EI): *m/z*: calcd for $C_{13}H_{14}NaO_2$: 225.0891 $[M + Na]^+$; found: 225.0896.

6,7,8,9,10,11-Hexahydrocycloocta[*b*]benzofuran-2-ol (3n): Light grey solid, mp: 119–121 °C; ^{1}H NMR (400 Hz, DMSO, 25 °C): δ = 1.41–1.43 (m, 4H), 1.64–1.76 (m, 4H), 2.65–2.68 (m, 2H), 2.80–2.84 (m, 2H), 6.63 (dd, J = 2.4, 8.4 Hz, 1H), 6.76 (d, J = 2.4 H z, 1H), 7.20 (d, J = 8.8 Hz, 1H), 9.03 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO, 25 °C): δ = 20.8, 25.1, 25.5, 25.7, 26.9, 27.7, 103.1, 110.6, 111.4, 113.2, 130.2, 147.2, 152.9, 155.0 ppm; IR: ν = 3284, 2926, 2851, 2686, 1834, 1711, 1594, 1459, 1401, 1352, 1301, 1193, 1124, 940, 856, 800, 743, 697, 432 cm^{-1}; HRMS (EI): *m/z*: calcd for $C_{14}H_{16}NaO_2$: 239.1048 $[M + Na]^+$; found: 239.1041.

Ethyl 8-hydroxy-1,2,3,4-tetrahydrodibenzo[*b,d*]furan-2-carboxylate (3o): Grey solid, mp: 102–103 °C; ^{1}H NMR (400 Hz, DMSO, 25 °C): δ = 1.19–1.23 (m, 3H), 1.88–1.99 (m, 1H), 2.18–2.20 (m, 1H), 2.64–2.85 (m, 5H), 4.08–4.16 (m, 2H), 6.64 (dd, J = 2.4, 8.8 Hz, 1H), 6.77 (d, J = 2.4 Hz, 1H), 7.23 (d, J = 8.4 Hz, 1H), 9.09 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO, 25 °C): δ = 14.1, 21.9, 22.6, 25.1, 28.1, 38.6, 103.5, 110.9, 111.0, 111.6, 128.7, 148.0, 153.1, 153.4, 174.2 ppm; IR: ν = 3379, 2983, 2907, 2849, 1848, 1698, 1612, 1574, 1466, 1411, 1378, 1326, 1293, 1223, 1187, 1123, 1026, 854, 812, 723, 631, 479 cm^{-1}; HRMS (EI): *m/z*: calcd for $C_{15}H_{16}NaO_4$: 283.0946 $[M + Na]^+$; found: 283.0941.

2-(4-Methoxyphenyl)benzofuran-5-ol (3p):[37] Light yellow solid, mp: 190–191 °C; ^{1}H NMR (400 Hz, DMSO, 25 °C): δ = 3.80 (s, 3H), 6.71 (dd, J = 2.4, 8.4 Hz, 1H), 6.91(d, J = 2.4 Hz, 1H), 7.04 (d, J = 8.8 Hz, 2H), 7.11 (s, 1H), 7.36 (d, J = 8.8 Hz, 1H), 7.80 (d, J = 8.4 Hz, 2H), 9.20 ppm (s, 1H); ^{13}C (100 Hz, DMSO, 25 °C): δ = 55.3, 100.2, 105.2, 111.1, 112.6, 114.5, 122.7, 126.1, 129.9, 148.3, 153.5, 155.8, 159.7 ppm.

2-(4-Methoxyphenyl)-3-methylbenzofuran-5-ol (3q): Light grey solid, mp: 140–142 °C; ^{1}H NMR (400 Hz, DMSO, 25 °C): δ = 2.33 (s, 3H), 3.81 (s, 3H), 6.74 (dd, J = 2.4, 8.8 Hz, 1H), 6.89 (d, J = 2.4 Hz, 1H), 7.07 (d, J = 8.8 Hz, 2H), 7.33 (d, J = 8.4 Hz, 1H), 7.69 (d, J = 8.8 Hz, 2H), 9.20 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO, 25 °C): δ = 28.8, 71.4, 99.7, 105.1, 109.4, 111.0, 112.4, 121.6, 122.7, 124.9, 128.4, 130.0, 148.2, 153.5, 156.2, 160.3 ppm; IR: ν = 3293, 3003, 2962, 2921, 2842, 1605, 1510, 1466, 1415, 1302, 1244, 1193, 1090, 1034, 933, 837, 801, 771, 670, 564, 521, 438 cm^{-1}; HRMS (EI): *m/z*: calcd for $C_{16}H_{14}NaO_2$: 277.0841 $[M + Na]^+$; found: 277.0846.

2-(4-(Benzyloxy)phenyl)benzofuran-5-ol (3r): Dark gery solid, mp: 152–154 °C; ^{1}H NMR (400 MHz, DMSO, 25 °C): δ = 5.16 (s, 2H), 6.71 (dd, J = 2.4, 8.4 Hz, 1H), 6.91 (d, J = 2.4 Hz, 1H), 7.11–7.14 (m, 3H), 7.34–7.42 (m, 4H), 7.46-7.48 (m, 2H), 7.80 (d, J = 8.8 Hz, 2H), 9.17 ppm (s, 1H); ^{13}C NMR (100 MHz, DMSO, 25 °C): δ = 69.4, 100.3, 105.2, 111.0, 112.7, 115.3, 122.9, 126.1, 127.8, 127.9, 128.5, 129.9, 136.8, 148.3, 153.5, 155.7, 158.7 ppm; IR: ν = 3443, 3224, 2922, 2854, 1725, 1607, 1567, 1505, 1462, 1379, 1301, 1248, 1206, 1175, 1141, 1112, 1005, 917, 834, 796, 746, 698, 664, 616, 522, 449 cm^{-1}; HRMS (EI): *m/z*: calcd for $C_{21}H_{16}NaO_3$: 339.0997 $[M + Na]^+$; found: 339.0992.

2′,3′-Dihydro-[2,5′-bibenzofuran]-5-ol (3s): Light grey solid, mp: 165–167 °C; ^{1}H NMR (400 Hz, DMSO, 25 °C): δ = 3.24 (t, J = 8.8 Hz, 2H), 4.58 (t, J = 8.8 Hz, 2H), 6.69 (dd, J = 2.4, 8.8 Hz, 1H), 6.86 (d, J = 8.4 Hz, 1H), 6.89 (d, J = 2.4 Hz, 1H), 7.05 (s, 1H), 7.34 (d, J = 8.8 Hz, 1H), 7.61 (dd, J = 1.6, 8.4 Hz, 1H), 7.73 (s, 1H), 9.16 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO, 25 °C): δ = 28.8, 71.4, 99.7, 105.1, 109.4, 111.0, 112.4, 121.6, 122.7, 124.9, 128.4, 130.0, 148.2, 153.5, 156.2, 160.3 ppm; IR: ν = 3421, 3109, 2962, 2893, 2854, 1612, 1597, 1473, 1421, 1372, 1336, 1300, 1279, 1241, 1199, 1151, 1125, 1107, 1033, 980, 942, 891, 854, 820, 793, 737,557, 438 cm^{-1}; HRMS (EI): *m/z*: calcd for $C_{16}H_{12}NaO_3$: 275.0648 $[M + Na]^+$; found: 275.0640.

2-(Benzo[*d*][1,3]dioxol-5-yl)benzofuran-5-ol (3t): Dark grey solid, mp: 175–176 °C; ^{1}H NMR (400 Hz, DMSO, 25 °C): δ = 6.08 (s, 2H), 6.72 (dd, J = 2.4, 8.8 Hz, 1H), 6.90 (d, J = 2.4 Hz, 1H), 7.02 (d, J = 8.0 Hz, 1H), 7.14 (s,1H), 7.35–7.42 (m, 3H), 9.20 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO, 25 °C): δ = 100.8, 101.4, 104.9, 105.2, 108.8, 111.1, 112.9, 118.7, 124.2, 129.8, 147.8, 148.0, 148.2, 153.5, 155.5 ppm; IR: ν = 3421, 3257, 3111, 2898, 1600, 1542, 1501, 1474, 1363, 1256, 1203, 1151, 1102, 1040, 936, 862, 816, 797, 739, 675, 585, 445 cm^{-1}; HRMS (EI): *m/z*: calcd for $C_{15}H_{10}NaO_4$: 277.0477 $[M + Na]^+$; found: 277.0471.

2-(Furan-2-yl)benzofuran-5-ol (3u):[8] Dark grey solid, mp: 149–150 °C; ^{1}H NMR (400 Hz, DMSO, 25 °C): δ = 6.66–6.67 (m, 1H), 6.75 (dd, J = 2.4, 8.8 Hz, 1H), 6.91 (d, J = 3.6 Hz, 1H), 6.94 (d, J = 2.4 Hz, 1H), 6.99 (s, 1H), 7.38 (d, J = 8.8 Hz, 1H), 7.84 (d, J = 1.2 Hz, 1H), 9.27 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO, 25 °C): δ = 101.3, 105.5, 108.0, 111.3, 112.1, 113.4, 129.1, 144.0, 145.3, 147.8, 148.1, 153.7 ppm.

2-(Thiophen-2-yl)benzofuran-5-ol (3v):[8] Dark grey solid, mp: 135–136 °C; ^{1}H NMR (400 Hz, DMSO, 25 °C): δ = 6.74 (dd, J = 2.8, 8.8 Hz, 1H), 6.92 (d, J = 2.4 Hz, 1H), 7.08 (s, 1H), 7.17–7.19 (m, 1H), 7.38 (d, J = 8.8 Hz, 1H), 7.57–7.58(m, 1H), 7.65–7.66 (m, 1H), 9.29 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO, 25 °C): δ = 101.4, 105.3, 111.2, 113.3, 125.0, 126.9, 128.4, 129.5, 132.4, 148.1, 151.1, 153.7 ppm.

[2,2′-Bibenzofuran]-5-ol (3w): Light grey solid, mp: 133–135 °C; ^{1}H NMR (400 Hz, DMSO, 25 °C): δ = 6.85 (dd, J = 2.4, 8.8 Hz, 1H), 7.04 (d, J = 2.4 Hz, 1H), 7.27–7.31 (m, 2H), 7.34–7.38 (m, 2H), 7.46 (d, J = 8.8 Hz, 1H), 7.67 (dd, J = 8.4,

 © 2016 Wiley-VCH Verlag GmbH & Co. KGaA, Weinheim

These are not the final page numbers! ↗↗

12 Hz, 2H), 9.40 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO, 25 °C): δ = 103.7, 104.2, 105.8, 111.2, 111.5, 114.5, 121.6, 123.7, 125.4, 128.1, 128.9, 147.0, 147.2, 148.8, 154.0, 154.4 ppm; IR: ν = 3618, 3449, 3218, 2922, 2853, 1706, 1656, 1622, 1599, 1515, 1467, 1440, 1378, 1348, 1253, 1198, 1164, 1049, 978, 852, 800, 741, 609, 438 cm^{-1}; HRMS (EI): *m/z*: calcd for $C_{16}H_{10}NaO_3$: 273.0528 $[M + Na]^+$; found: 273.0524.

2-(*p*-Tolyl)naphtho[1,2-b]furan-5-ol (3x): Brown solid, mp: 164–165 °C; ^{1}H NMR (400 Hz, DMSO, 25 °C): δ = 2.34 (s, 3H), 7.05 (s, 1H), 7.31 (d, J = 8.0 Hz, 2H), 7.35 (s, 1H), 7.47–7.51 (m, 1H), 7.62–7.66 (m, 1H), 7.85 (d, J = 8.0 Hz, 2H), 8.22–8.26 (m, 2H), 10.04 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO, 25 °C): δ = 20.9, 100.0, 102.4, 119.3, 121.0, 123.1, 123.4, 124.1, 124.2, 124.8, 127.0, 127.6, 129.6, 137.9, 143.5, 149.6, 154.8 ppm; IR: ν = 3483, 3215, 3073, 2914, 2855, 2722, 2583, 1895, 1641, 1594, 1499, 1444, 1419, 1377, 1338, 1274, 1212, 1156, 1111, 1068, 1039, 918, 821, 798, 756, 693, 613, 494, 422 cm^{-1}; HRMS (EI): *m/z*: calcd for $C_{19}H_{14}NaO_2$: 297.0891 $[M + Na]^+$; found: 297.0885.

6,7-Dimethoxy-4-methyl-2-(*p*-tolyl)benzofuran-5-ol (3y): Brown oil; ^{1}H NMR (400 Hz, DMSO, 25 °C): δ = 2.26 (s, 3H), 2.34 (s, 3H), 3.77 (s, 3H), 4.11 (s, 3H), 7.27–7.31 (m, 3H), 7.76 (d, J = 8.0 Hz, 2H), 8.44 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO, 25 °C): δ = 12.1, 20.9, 60.4, 61.1, 100.4, 108.6, 124.2, 125.7, 127.3, 129.5, 135.6, 137.6, 137.9, 139.1, 144.5, 154.8 ppm; IR: ν = 3514, 2931, 2859, 2731, 1905, 1727, 1678, 1608, 1517, 1497, 1454, 1407, 1356, 1268, 1220, 1187, 1127, 1066, 1042, 914, 819, 796, 741, 502 cm^{-1}; HRMS (EI): *m/z*: calcd for $C_{18}H_{18}NaO_4$: 321.1103 $[M + Na]^+$; found: 321.1097.

4,6-Dimethyl-2-(*p*-tolyl)benzofuran-5-ol (3z): Light purple solid, mp: 153–155 °C; ^{1}H NMR (100 Hz, DMSO, 25 °C): δ = 2.27 (s, 3H), 2.33 (s, 3H), 2.41 (s, 3H), 6.63 (s, 1H), 7.26–7.27 (m, 3H), 7.77 (d, J = 8.0 Hz, 2H), 8.88 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO, 25 °C): δ = 12.1, 14.6, 20.9, 100.6, 111.6, 113.8, 117.5, 124.3, 127.7, 129.4, 129.5, 137.9, 147.0, 150.5, 154.9 ppm; IR: ν = 3240, 3031, 2919, 2857, 1676, 1604, 1533, 1498, 1420, 1384, 1314, 1195, 1087, 1036, 981, 914, 877, 820, 796, 743, 578, 495 cm^{-1}; HRMS (EI): *m/z*: calcd for $C_{17}H_{16}NaO_2$: 275.1048 $[M + Na]^+$; found: 275.1043.

2-(Naphthalen-2-yl)benzofuran-5-ol (3aa):[8] Yellow solid, mp: 157–158 °C; ^{1}H NMR (400 Hz, DMSO, 25 °C): δ = 6.80 (dd, J = 2.4, 5.2 Hz, 1H), 7.00 (d, J = 2.4 Hz, 1H), 7.42 (s, 1H), 7.45 (d, J = 8.8 Hz, 1H), 7.52–7.58 (m, 2H), 7.92–7.94 (m, 1H), 8.00 (s, 2H), 8.02–8.04 (m, 1H), 8.41 (s, 1H), 9.30 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO, 25 °C): δ = 102.8, 105.5, 111.4, 113.6, 122.7, 123.0, 126.7, 126.9, 127.4, 127.7, 128.3, 128.6, 129.7, 132.8, 133.0, 148.7, 153.6, 155.6 ppm.

3-Methyl-2-phenylnaphtho[1,2-*b*]furan-5-ol (3ac):[30] Brown solid, mp: 134–135 °C; ^{1}H NMR (400 Hz, DMSO, 25 °C): δ = 2.47 (s, 3H), 7.00 (s, 1H), 7.38–7.42 (m, 1H), 7.47–7.57 (m, 3H), 7.62–7.66 (m, 1H), 7.88 (d, J = 7.2 Hz, 2H), 8.23 (d, J = 8.8 Hz, 2H), 10.05 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO, 25 °C): δ = 9.5, 98.4, 112.4, 119.5, 120.9, 123.4, 124.2, 125.9, 126.1, 126.9, 127.7, 128.9, 131.0, 142.5, 149.4, 149.5 ppm.

2-(2-(Benzyloxy)phenyl)benzofuran-5-ol (3ad):[8] Light yellow solid, mp: 145–146 °C; ^{1}H NMR (400 MHz, DMSO, 25 °C): δ = 5.34 (s, 2H), 6.75 (dd, J = 2.4, 8.8 Hz, 1H), 6.89 (d, J = 2.4 Hz, 1H), 7.06–7.10 (m, 1H), 7.22–7.25 (m, 2H), 7.32–7.45 (m, 5H), 7.54 (d, J = 7.2 Hz, 2H), 7.93 (dd, J = 1.6, 8.0 Hz, 1H), 9.19 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO, 25 °C): δ = 69.7, 105.4, 106.2, 111.0, 113.1, 113.3, 118.8, 120.9, 126.4, 127.7, 128.0, 128.6, 129.6, 129.8, 136.8, 147,4, 152.0, 153.4, 155.0 ppm.

5-Methoxy-2-(4-methoxyphenyl)benzofuran (4a):[33] White solid, mp: 163–164 °C; ^{1}H NMR (400 Hz, $CDCl_3$, 25 °C): δ = 3.84 (s, 3H), 3.85 (s, 3H), 6.81 (s, 1H), 6.85 (dd, J = 2.8, 8.8 Hz, 1H), 6.96 (d, J = 8.8 Hz, 2H), 7.01 (d, J = 2.8 Hz, 1H), 7.38 (d, J = 8.8 Hz, 1H), 7.76 ppm (d, J = 8.8 Hz, 2H); ^{13}C NMR (100 Hz, $CDCl_3$, 25 °C): δ = 55.5, 56.0, 100.0, 103.3, 111.5, 112.4, 114.4, 123.6, 126.5, 130.2, 149.9, 156.2, 157.0, 160.1 ppm.

2-(4-*n*-Pentylphenyl)benzofuran-5-ol (3ae): Dark grey solid, mp: 135–136 °C; ^{1}H NMR (400 Hz, DMSO, 25 °C): δ = 0.82–0.86 (m, 3H), 1.25–1.28 (m, 4H), 1.52–1.60 (m, 2H), 2.56 (t, J = 7.6 Hz, 2H), 6.74 (dd, J = 2.4, 8.8 Hz, 1H), 6.94 (d, J = 2.4 Hz, 1H), 7.18 (s, 1H), 7.27 (d, J = 8.4 Hz, 2H), 7.38 (d, J = 8.8 Hz, 1H), 7.75 (d, J = 8.0 Hz, 2H), 9.24 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO, 25 °C): δ = 14.0, 22.0, 30.5, 30.9, 34.9, 101.2, 105.3, 111.2, 113.1, 124.5, 127.6, 128.9, 129.7, 143.1, 148,4, 153.5, 155.8 ppm; IR: ν = 3267, 2952, 2924, 2854, 1665, 1602, 1456, 1415, 1379, 1277, 1204, 1118, 1036, 966, 916, 846, 796, 736, 616, 534, 436 cm^{-1}; HRMS (EI): *m/z*: calcd for $C_{19}H_{20}NaO_2$: 303.1361 $[M + Na]^+$; found: 303.1356.

2-(4-*n*-Pentylphenyl)benzofuran-5-yl trifluoromethanesulfonate (5a): White solid, mp: 73–74 °C; ^{1}H NMR (400 Hz, DMSO, 25 °C): δ = 0.85 (t, J = 6.8 Hz, 3H); 1.24–1.33 (m, 4H), 1.54–1.62 (m, 2H), 2.61 (t, J = 7.6 Hz, 2H), 7.33 (d, J = 8.4 Hz, 2H), 7.38 (dd, J = 2.4, 8.8 Hz, 1H), 7.44 (s, 1H), 7.76–7.81 (m, 2H), 7.84 ppm (d, J = 8.4 Hz, 2H); ^{13}C NMR (100 Hz, DMSO, 25 °C): δ = 13.9, 21.9, 30.4, 30.9, 34.9, 101.5, 112.6, 113.8, 117.3, 125.0, 126.5, 129.0, 130.3, 144.2, 145.2, 152.9, 158.2 ppm; ^{19}F (377 Hz, DMSO, 25 °C): δ = - 72.7 (s, 3F) ppm; IR: ν = 2974, 1923, 1653, 1453, 1423, 1381, 1330, 1274, 1215, 1139, 1089, 1048, 947, 880, 795, 658, 437 cm^{-1}; HRMS (EI): *m/z*: calcd for $C_{20}H_{19}F_3NaO_4S$: 435.0854 $[M + Na]^+$; found: 435.0848.

2-(4-*n*-Pentylphenyl)benzofuran-5-carbonitrile (6a):[34] Yellow solid, mp: 101–102 °C; ^{1}H NMR (400 Hz, $CDCl_3$, 25 °C, TMS): δ = 0.89–0.92 (m, 3H), 1.33–1.36 (m, 4H), 1.62–1.69 (m, 2H), 2.64–2.68 (m, 2H), 6.99 (d, J = 0.4 Hz, 1H), 7.29 (d, J = 8.8 Hz, 2H), 7.52–7.59 (m, 2H), 7.77 (d, J = 8.0 Hz, 2H), 7.88–7.89 ppm (m, 1H); ^{13}C NMR (100 Hz, $CDCl_3$, 25 °C): δ = 14.2, 22.7, 31.1, 31.6, 36.0, 100.1, 106.9, 112.3, 119.7, 125.4, 125.7, 126.9, 127.8, 129.2, 130.2, 145.0, 156.5, 158.8 ppm.

1-Phenylhexane-1,5-dione (8a):[36] Light yellow solid, mp: 64–65 °C; ^{1}H NMR (400 Hz, $CDCl_3$, 25 °C, TMS): δ = 1.98–2.05 (m, 2H), 2.15 (s, 3H), 2.55–2.59 (m, 2H), 3.00–3.33 (m, 2H), 7.45 (t, J = 7.8 Hz, 2H), 7.54–7.57 (m, 1H), 7.94–7.96 ppm (m, 2H); ^{13}C NMR (100 Hz, $CDCl_3$, 25 °C): δ = 18.3, 30.1, 37.5, 42.7, 128.2, 128.7, 133.2, 136.9, 199.9, 208.7 ppm.

4-(5-Hydroxy-2-phenylbenzofuran-3-yl)butan-2-one (9a): Light yellow solid, mp: 66–68 °C; ^{1}H NMR (400 Hz, DMSO, 25 °C): δ = 2.32 (s, 3H), 2.88 (t, J = 7.2 Hz, 2H), 3.31 (t, J = 7.2 Hz, 2H), 6.62 (dd, J = 2.4, 8.8 Hz, 1H), 6.85 (d, J = 2.4 Hz, 1H), 7.19 (d, J = 8.8 Hz, 1H), 7.48–7.53 (m, 2H), 7.60–7.64 (m, 1H), 7.95 (d, J = 7.2 Hz, 2H), 9.04 ppm (s, 1H); ^{13}C NMR (100 Hz, DMSO, 25 °C): δ = 11.9, 17.8, 37.7, 103.8, 110.6, 111.5, 113.1, 127.9, 128.8, 129.8, 133.2, 136.6,

© 2016 Wiley-VCH Verlag GmbH & Co. KGaA, Weinheim

These are not the final page numbers!

147.4, 151.5, 152.9, 199.4 ppm; IR: ν = 3390, 2926, 2854, 1680, 1618, 1597, 1511, 1492, 1462, 1204, 1126, 1100, 1035, 945, 910, 805, 760, 576, 419 cm^{-1}; HRMS (EI): *m/z*: calcd for $C_{18}H_{16}NaO_3$: 303.0997 $[M + Na]^+$; found: 303.0992.

Acknowledgements

The authors thank the National Natural Science Foundation of China for the financial support (21373093) and the Fundamental Research Funds for the Central Universities of China (2016YXZD033). The Cooperative Innovation Center of Hubei Province is also acknowledged.

References

[1] a) D. M. X. Donelly, M. J. Meegan, in: *Comprehensive Heterocyclic Chemistry, Vol. 9* (Ed.: A. R. Katritzky), Pergamon, **1984**, pp 657–712; b) J. V. Ruppel, N. L. Snyder, A. D. Thompson, T. W. Farnswort, in: *Heterocyclic Chemistry in Drug Discovery*, (Ed.: J. J. Li), Wiley, **2013**, pp 119–196.

[2] a) X.-L. Hou, Z. Yang, H. N. C. Wong, in: *Progress in Heterocyclic Chemistry, Vol. 14* (Eds.: G. W. Gribble, T. L. Gilchrist), Elsevier, **2002**, pp 139–179; b) K. M. Zareba, *Drugs Today* **2006**, *42*, 75–86; c) R. Naik, D. S. Harmalkar, X. Xu, K. Jang, K. Lee, *Eur. J. Med. Chem.* **2015**, *90*, 379–393; d) A. Radadiya, A. Shah, *Eur. J. Med. Chem.* **2015**, *97*, 356–376.

[3] a) N. T. Dat, X. Jin, K. Lee, Y.-S. Hong, Y. H. Kim, J. J. Lee, *J. Nat. Prod.* **2009**, *72*, 39–43; b) G. Ni, Q. J. Zhang, Z. F. Zheng, R. Y. Chen, D. Q. Yu, *J. Nat. Prod.* **2009**, *72*, 966–968.

[4] H. Yang, J. J. Cheng, G. Liang, *Chin. Pharm. Bull.* **2010**, *26*, 1208–1212.

[5] B. N. Su, M. Cuendet, M. E. Hawthorne, L. B. S. Kardono, S. Riswan, H. H. S. Fong, R. G. Mehta, J. M. Pezzuto, A. D. Kinghorn, *J. Nat. Prod.* **2002**, *65*, 163–169.

[6] J. K. Kim, J. G. Jun, *J. Cell. Biochem.* **2011**, *112*, 3816–3823.

[7] A. K. Saha, X. Yu, J. Lin, M. Lobera, A. Sharadendu, S. Chereku, N. Schutz, D. Segal, Y. Marantz, D. McCauley, S. Middleton, J. Siu, R. W. Burli, J. Buys, M. Horner, K. Salyers, M. Schrag, H. M. Vargas, Y. Xu, M. McElvain, H. Xu, *ACS Med. Chem. Lett.* **2011**, *2*, 97–101.

[8] C. Salome, N. Ribeiro, T. Chavagnan, F. Thuaud, M. Serova, A. de Gramont, S. Faivre, E. Raymond, L. Desaubry, *Eur. J. Med. Chem.* **2014**, *81*, 181–191.

[9] a) T. J. Donohoe, C. R. Jones, C. Winter, in: *Comprehensive Organic Synthesis, 2nd ed., Vol. 8* (Eds.: P. Knochel, G. A. Molander), Elsevier, **2014**, pp 794–837; b) A. A. Abu-Hashem, H. A. R. Hussein, A. S. Aly, M. A. Gouda, *Synth. Commun.* **2014**, *44*, 2285–2312; c) K. S. Yeung, X. S. Peng, J. Wu, R. Fan, X. L. Hou, *Prog. Heterocycl. Chem.* **2013**, *25*, 183–215; d) R. K. Bowman, K. M. Bullock, R. C. B. Copley, N. M. Deschamps, M. S. McClure, J. D. Powers, A. M. Wolters, L. Wu, S. Xie, *J. Org. Chem.* **2015**, *80*, 9610–9619; e) L. Xia, Y. R. Lee, *RSC Adv.* **2014**, *4*, 36905–36916; f) V. P. Rybalkin, N. I. Makarova, S. Y. Pluzhnikova, L. L. Popova, A. V. Metelitsa, V. A. Bren, V. I. Minkina, *Russ. Chem. Bull.* **2014**, *63*, 1780–1784; g) S. Kumar, W. Namkung, A. S. Verkman, P. K. Sharma, *Bioorg. Med. Chem.* **2012**, *20*, 4237–4244; h) F. Struebe, R. Siewertsen, F. D. Soennichsen, F. Renth, F. Temps, J. Mattay, *Eur. J. Org. Chem.* **2011**, *10*, 1947–1955; i) F. Struebe, S. Rath, J. Mattay, *Eur. J. Org. Chem.* **2011**, *24*, 4645–4653; j) Y. Chen, S. Chen, X. Lu, H. Cheng, Y. Ou, H. Cheng, G.-C. Zhou, *Bioorg. Med. Chem. Lett.* **2009**, *19*, 1851–1854; k) M. A. Al-Shiekh, A. M. S. El-Din, E. A. Hafez, M. H. Elnagdi, *J. Chem. Res.* **2004**, 174–179.

[10] a) X. F. Duan, J. Zeng, Z. B. Zhang, G. F. Zi, *J. Org. Chem.* **2007**, *72*, 10283–10286; b) X. F. Duan, J. X. Feng, Z. B. Zhang, *Synthesis* **2010**, 515–519.

[11] A. E. Mattson, K. A. Scheidt, *J. Am. Chem. Soc.* **2007**, *129*, 4508–4509.

[12] a) L. Y. Liao, G. Shen, X. Zhang, X. F. Duan, *Green Chem.* **2012**, *14*, 695–701; b) L. De Luca, G. Giacomelli, G. Nieddu, *J. Comb. Chem.* **2008**, *10*, 517–520.

[13] B. Gabriele, R. Mancuso, G. Salerno, M. Costa, *J. Org. Chem.* **2007**, *72*, 9278–9282.

[14] a) R. Zhou, W. Wang, Z. J. Jiang, K. Wang, X. L. Zheng, H. Y. Fu, H. Chen, R. X. Li, *Chem. Commun.* **2014**, *50*, 6023–6026; b) R. P. Wang, S. Mo, Y. Z. Lu, Z. M. Shen, *Adv. Synth. Catal.* **2011**, *353*, 713–718; c) A. Zanardi, J. A. Mata, E. Peris, *Organometallics* **2009**, *28*, 4335–4339; d) C. G. Bates, P. Saejueng, J. M. Murphy, D. Venkataraman, *Org. Lett.* **2002**, *4*, 4727–4729; e) M. Yamaguchi, H. Katsumata, K. Manabe, *J. Org. Chem.* **2013**, *78*, 9270–9281; f) S. Protti, M. Fagnoni, A. Albini, *J. Org. Chem.* **2012**, *77*, 6473–6479; g) J. R. Wang, K. Manabe, *J. Org. Chem.* **2010**, *75*, 5340–5342; h) D. Zhao, C. Gao, X. Su, Y. He, J. You, Y. Xue, *Chem. Commun.* **2010**, *46*, 9049–9051; i) Y. Wang, C. Zhou, R. Wang, *Green Chem.* **2015**, *17*, 3910–3915; j) C. Liu, L. Zhou, W. Huang, M. Wang, Y. Gu, *Adv. Synth. Catal.* **2016**, *358*, 900–918.

[15] a) N. Hoshiya, S. Shuto, M. Arisawa, *Adv. Synth. Catal.* **2011**, *353*, 743–748; b) E. Speckmeier, C. Padié, K. Zeitler, *Org. Lett.* **2015**, *17*, 4818–4821.

[16] a) C. Eidamshaus, J. D. Burch, *Org. Lett.* **2008**, *10*, 4211–4214; b) S. M. Raders, J. M. Jones, J. G. Semmes, S. P. Kelley, R. D. Rogers, K. H. Shaughnessy, *Eur. J. Org. Chem.* **2014**, *33*, 7395–7404.

[17] a) X. Wang, M. Liu, L. Xu, Q. Wang, J. Chen, J. Ding, H. Wu, *J. Org. Chem.* **2013**, *78*, 5273–5281; b) J. Chen, J. Li, W. Su, *Org. Biomol. Chem.* **2014**, *12*, 4078–4083; c) X. Wang, X. Wang, M. Liu, J. Ding, J. Chen, H. Wu, *Synthesis* **2013**, 2241–2244.

[18] a) T. A. Dwight, N. R. Rue, D. Charyk, R. Josselyn, B. DeBoef, *Org. Lett.* **2007**, *9*, 3137–3139; b) S. Wang, P. Li, L. Yu, L. Wang, *Org. Lett.* **2011**, *13*, 5968–5971; c) S. C. Yin, Q. Zhou, X. Y. Zhao, L. X. Shao, *J. Org. Chem.* **2015**, *80*, 8916–8921.

[19] a) Y. Zou, Q. Huang, T. K. Huang, Q. C. Ni, E. S. Zhang, T. L. Xu, M. Yuan, J. Li, *Org. Biomol. Chem.* **2013**, *11*, 6967–6974; b) T. Xu, E. Zhang, D. Wang, Y. Wang, Y. Zou, *J. Org. Chem.* **2015**, *80*, 4313–4324.

[20] a) O. Miyata, N. Takeda, T. Naito, *Org. Lett.* **2004**, *6*, 1761–1763; b) C. Liu, L. Zhou, D. Jiang, Y. Gu, *Asian J. Org. Chem.* **2016**, *5*, 367–372.

 © 2016 Wiley-VCH Verlag GmbH & Co. KGaA, Weinheim

[21] a) S. R. Mothe, D. Susanti, P. W. H. Chan, *Tetrahedron Lett.* **2010**, *51*, 2136–2140; b) N. V. S. Mudiganti, S. Claessens, N. De Kimpe, *Tetrahedron* **2009**, *65*, 1716–1723.
[22] a) M. Buccini, M. J. Piggott, *Org. Lett.* **2014**, *16*, 2490–2493; b) K. Kadac, M. J. Bosiak, J. Nowaczyk, *Synth. Met.* **2012**, *162*, 1981–1986; c) P. Yadav, P. Singh, A. K. Tewari, *Bioorg. Med. Chem. Lett.* **2014**, *24*, 2251–2255; d) S. Kumar, W. Namkung, A. S. Verkman, P. K. Sharma, *Bioorg. Med. Chem.* **2012**, *20*, 4237–4244.
[23] a) S. R. Koppolu, N. Naveen, R. Balamurugan, *J. Org. Chem.* **2014**, *79*, 6069–6078; b) N. Naveen, S. R. Koppolu, R. Balamurugan, *Adv. Synth. Catal.* **2015**, *357*, 1463–1473; c) S. Manojveer, R. Balamurugan, *Org. Lett.* **2015**, *17*, 3600–3603.
[24] a) M. P. Dwyer, K. M. Keertikar, C. A. Coburn, H. Wu, B. Hu, B. Zhong, C. Zhang, Z. Dan, *PCT Int. Appl.* WO 2012040924 A1 20120405, **2012**; b) C. P. Miller, M. D. Collini, R. L. Morris, R. R. Singhaus, *U. S. Pat. Appl. Publ.* US 20060004087 A1 20060105, **2006**.
[25] Y. Wang, L. Zhao, S. Bi, G. Gao, D. Wang, H. Chen, Y. Liu, C. Zhang, W. Zhang, X. Guo, Y. Nan, PCT Int. Appl., WO 2014194826 A1 20141211, **2014**.
[26] a) V. G. Saraswathy, S. Sankararaman, *J. Org. Chem.* **1995**, *60*, 5024–5028; b) S. Prado, Y. L. Janin, B. Saint-Joanis, P. Brodin, S. Michel, M. Koch, S. T. Cole, F. Tillequin, P. E. Bost, *Bioorg. Med. Chem.* **2007**, *15*, 2177–2186.
[27] a) S. Manojveer, R. Balamurugan, *Org. Lett.* **2014**, *16*, 1712–1715; b) A. Taheri, B. Lai, C. Cheng, Y. Gu, *Green Chem.* **2015**, *17*, 812–816; c) L. Min, B. Pan, Y. Gu, *Org. Lett.* **2016**, *18*, 364–367.
[28] a) T. A. Engler, K. D. Combrink, M. A. Letavic, K. O. Lynch Jr, J. E. Ray, *J. Org. Chem.* **1994**, *59*, 6567–6587; b) J. S. Yadav, B. V. S. Reddy, G. Kondaji, *Synthesis* **2003**,1100–1104; for detailed studies of 1,4-addition or using enamine as the analog of (I), please see Supporting Information.
[29] The hydroxyl group (OH) and its deuterated congener (OD) both exist in the final product. Because the control experiment demonstrated that hydrogen–deuterium exchange between phenol and aceto-d_3-phenone **2a**-D_3 was not observed (Supporting Information, Scheme S1), the structural discrepancy in the hydroxyl part can be omitted. By using [D_6]DMSO containing a small amount of D_2O as solvent for implementing ^{1}H NMR analysis, all the OH can be converted to OD, thus facilitating the product analysis; P. J. Barrett, *Hydrogen Isotope Effect Studies on the Elimination Reaction of 2-Arylethylanilinium Salts*, *Masters Thesis*, McMaster University (Canada), **1970**.
[30] W. G. Huang, J. Y. Li, Y. Luo, J. Li, W. Lu, *Chin. Chem. Lett.* **2009**, *20*, 1461–1464.
[31] E. Raymond, S. Faivre, L. Desaubry, N. Ribeiro, C. Salome, PCT Int. Appl. WO 2013114040, **2013**.
[32] W. H. Cheung, S. L. Zheng, W. Y. Yu, G. C. Zhou, C. M. Che, *Org. Lett.* **2003**, *5*, 2535–2538.
[33] N. Ortega, B. Beiring, S. Urban, F. Glorius, *Tetrahedron* **2012**, *68*, 5185–5192.
[34] M. R. Friedman, K. J. Toyne, J. W. Goodby, M. Hird, *J. Mater. Chem.* **2001**, *11*, 2759–2772.
[35] J. Zhang, X. Chen, T. Hu, Y. Zhang, K. Xu, Y. Yu, J. Huang, *Catal. Lett.* **2010**, *139*, 56–60.
[36] S. Deuri, P. Phukan, *J. Phys. Org. Chem.* **2012**, *25*, 1228–1235.
[37] I. Kim, K. Kim, J. Choi, *J. Org. Chem.* **2009**, *74*, 8492–8495.

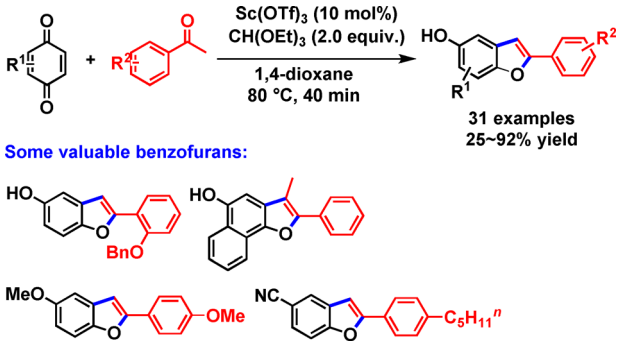
 © 2016 Wiley-VCH Verlag GmbH & Co. KGaA, Weinheim

These are not the final page numbers!

Synthesis of Benzofurans from Ketones and 1,4-Benzoquinones

Adv. Synth. Catal. **2016**, *358*, 1 – 11

Fengtian Wu, Rongxian Bai,* Yanlong Gu*



 © 2016 Wiley-VCH Verlag GmbH & Co. KGaA, Weinheim