## ChemComm

## COMMUNICATION

## **RSC**Publishing

View Article Online View Journal | View Issue

Cite this: Chem. Commun., 2013, 49, 1660

Received 27th October 2012, Accepted 7th January 2013

DOI: 10.1039/c3cc37800d

www.rsc.org/chemcomm

A mild method for generation of *o*-quinone methides under basic conditions. The facile synthesis of *trans*-2,3-dihydrobenzofurans<sup>†</sup>

Mu-Wang Chen,<sup>a</sup> Liang-Liang Cao,<sup>ab</sup> Zhi-Shi Ye,<sup>a</sup> Guo-Fang Jiang<sup>b</sup> and Yong-Gui Zhou<sup>\*a</sup>

A novel and efficient method for the generation of *o*-quinone methide intermediates was developed from the readily available 2-tosylalkylphenols under the mild basic conditions, and their reactions with sulfur ylides were investigated for the stereo-selective synthesis of *trans*-2,3-dihydrobenzofurans.

o-Quinone methides (o-QMs) are powerful intermediates in organic synthesis, drug chemistry and material chemistry.<sup>1</sup> Moreover, they have been implicated as the ultimate cytotoxins responsible for the functions of such agents as antitumor drugs, antibiotics, and DNA alkylators in biological chemistry.<sup>2</sup> As a consequence, some strategies have been successfully developed for generating o-QMs.3 In the past decade, the most popular methods were photochemical initiation<sup>4a-g</sup> of o-( $\alpha$ -phenvl) substituted phenols or thermal<sup>4h-j</sup> initiation of various substituents on the benzene ring of o-methyl eneacetoxy-phenols. Lewis acid,<sup>5a-c</sup> base<sup>5d-g</sup> and chemical oxidants<sup>5h</sup> were also used to generate o-QMs. In 2003, Ohwada's group reported the retro-Diels-Alder reaction of 4H-1,2-benzoxazines to generate o-QMs.<sup>6</sup> Subsequently, the fluoride induced desilylation of silvl derivatives of o-hydroxybenzyl bromine (or iodide) and o-hydroxybenzyl nitrate was also described.<sup>7</sup> Very recently, Bharate and co-workers developed Knoevenagel-type condensation to furnish o-QMs.<sup>8a</sup> In addition, some efficient methods for generating o-QMs<sup>8b,c</sup> involving the use of transition-metal complexes were also successfully documented. Considering the importance of o-QMs as active intermediates and the instability of o-QMs due to dimerization as well as short lifetime,9 developing a mild and efficient method for o-QMs generation from the commercially available starting materials would be very desirable in organic synthesis and drug research.

On the other hand, 2,3-dihydrobenzofuran derivatives are unique structural skeletons in many biologically active molecules and natural products.<sup>10</sup> They are present in molecules acting as potent inducers of the anticarcinogenic marker enzyme, quinone reductase,<sup>10c</sup> anti-multi drug resistant agents,<sup>10e</sup> insecticidal, antifungal, and anti-trypanosomal agents.<sup>10f</sup> Although some approaches for the synthesis of the 2,3-dihydrobenzofuran ring system have been described,<sup>11</sup> most of these methods have the drawbacks of poor chemoand/or stereoselectivities, impeding their wider application. Thus, the development of an efficient, mild and convenient method for the preparation of these derivatives has important significance and still remains a great challenge.

Recently, as a good leaving group under basic conditions or with acidic reagents (Lewis type), an arylsulfonyl group has been used in organic synthesis.<sup>12</sup> We envisioned that the sulfonyl moiety at the benzylic position of 2-substituted phenol would also serve as a good leaving group, and the *o*-QM intermediates can be generated *in situ* under mild basic conditions. Ylides<sup>13</sup> act as a nucleophile under basic conditions, meanwhile, ylides could react with *o*-QMs to give the intermediate, followed by intramolecular nucleophilic attack of the oxygen anion on the carbon atom of ylides to furnish 2,3-dihydrobenzofuran derivatives (Scheme 1). In this process, a base has dual functions, generating both *o*-QM intermediates and ylides. Herein, we report an efficient approach for generation of *o*-QM intermediates under mild basic conditions which further underwent the reaction with sulfur ylides to synthesize *trans*-2,3-dihydrobenzofurans.



**Scheme 1** General strategy for the mild generation of *o*-quinone methides and synthesis of *trans*-2,3-dihydrobenzofurans.

<sup>&</sup>lt;sup>a</sup> State Key Laboratory of Catalysis, Dalian Institute of Chemical Physics, Chinese Academy of Sciences, 457 Zhongshan Road, Dalian 116023, China.

E-mail: ygzhou@dicp.ac.cn; Web: http://www.lac.dicp.ac.cn/

<sup>&</sup>lt;sup>b</sup> College of Chemistry and Chemical Engineering, Hunan University, Changsha 410082, China

<sup>&</sup>lt;sup>+</sup> Electronic supplementary information (ESI) available: CCDC 876688. For ESI and crystallographic data in CIF or other electronic format see DOI: 10.1039/ c3cc37800d

2-(1-Tosylalkyl)phenols can be conveniently synthesized from the commercially available 2-hydroxybenzaldehydes, Grignard reagents and the *p*-toluenesulfinic acid sodium salt (eqn (1)). Reactions of 2-hydroxybenzaldehydes with Grignard reagents gave the 2-hydroxyalkylphenols, followed by the acid-mediated substitution with the *p*-toluenesulfinic acid sodium salt to afford the desired 2-(1-tosyl-methyl)phenols in moderate to excellent yields (35–86%).

Communication

$$R^{2} \xrightarrow{\text{CHO}}_{\text{OH}} \underbrace{1. R^{1}\text{MgBr, THF}}_{2. p-\text{TSA, DCM, NaSO_2Tol}} \xrightarrow{R^{2}}_{\text{OH}} \underbrace{1}_{\text{Yield: 35-86\%}}^{\text{R}^{1}}$$
(1)

In our initial research, we performed the reaction between 2-(phenyl(tosyl)methyl)phenol 1a and sulfonium salt 2a (1.5 equiv.) at room temperature, using  $K_2CO_3$  (4 equiv.) as the base and CH<sub>2</sub>Cl<sub>2</sub> as the solvent, respectively. To our delight, 2,3-dihydrobenzofuran 3a was isolated in 93% yield and excellent diastereoselectivity with the ratio of more than 20 : 1 (trans/cis) (entry 1, Table 1). The anionic base played a vital role in this reaction, that it not only assists elimination of arenesulfinic acid to form the o-QM intermediate, but also promote sulfur ylides to participate in the nucleophilic reaction subsequently (entries 2-6). KOH and KO<sup>t</sup>Bu were not effective (entries 3 and 6), while K<sub>3</sub>PO<sub>4</sub>, NaOH and K<sub>2</sub>CO<sub>3</sub> gave moderate to good yields (entries 1, 2 and 4), and  $Cs_2CO_3$  gave the excellent yield and diastereoselectivity (entry 5). Then several common solvents such as CH<sub>3</sub>CN, THF and toluene all led to the formation of the product 3a in good yields and excellent diastereoselectivities except DMSO (entries 6-10), and CH<sub>2</sub>Cl<sub>2</sub> gave the best result in terms of yield and trans/cis selectivity (98% yield and >20: 1 dr) (entry 5). While decreasing the amounts of base to 2.5 equiv. and sulfonium salt to 1.1 equiv. did not affect the yield and the diastereoselectivity. Finally, we established the optimal reaction conditions for this reaction: using Cs<sub>2</sub>CO<sub>3</sub> as the base and CH<sub>2</sub>Cl<sub>2</sub> as the solvent to perform the reaction at room temperature. The structure and stereochemistry of 3 were

 Table 1
 Optimizing the conditions for the reaction of 2-(phenyl(tosyl)-methyl)-phenol
 1a with sulfonium salt
 2a<sup>a</sup>

	Ph Ts + L OH 1a	Br <sup>-</sup> Bas CO <sub>2</sub> Et Solvent, <b>2a</b>	se 12 h, rt 3a	D <sub>2</sub> Et
Entry	Solvent	Base	Yield <sup><math>b</math></sup> (%)	dr <sup>c</sup>
1	$CH_2Cl_2$	K <sub>2</sub> CO <sub>3</sub>	93	>20:1
2	$CH_2Cl_2$	NaOH	81	>20:1
3	$CH_2Cl_2$	KOH	<5	n.d.
4	$CH_2Cl_2$	$K_3PO_4$	33	>20:1
5	$CH_2Cl_2$	$Cs_2CO_3$	98	>20:1
6	$CH_2Cl_2$	KOtBu	< 5	n.d.
7	CH3CN	$Cs_2CO_3$	85	>20:1
8	THF	$Cs_2CO_3$	94	>20:1
9	Toluene	$Cs_2CO_3$	94	>20:1
10	DMSO	$Cs_2CO_3$	10	12:1
$11^d$	$CH_2Cl_2$	$Cs_2CO_3$	99	>20:1

<sup>*a*</sup> **1a** (0.2 mmol), **2a** (0.3 mmol), base (4.0 equiv.), solvents (3 mL), room temperature, 12 h. <sup>*b*</sup> Isolated yields. <sup>*c*</sup> Determined by <sup>1</sup>H NMR. <sup>*d*</sup> **2a** (0.24 mmol), base (2.5 equiv.).

Table 2 Substrate scope for the reaction of 2-(1-tosylalkyl)phenols 1 with sulfonium salt  $\mathbf{2}^a$ 

	$R^2$ $OH$ + $OH$	$B_{\rm F}^{-} \frac{\rm Cs_2CO_3}{\rm CH_2Cl_2, 12}$	$\frac{5 \text{ equiv}}{2 \text{ h, rt}} \mathbb{R}^2 \frac{1}{2}$ $3: \text{ dr} > 2$	R <sup>1</sup> R 20:1
Entry	$R^1$	$R^2$	R	Yield <sup>b</sup> (%)
1	Ph	Н	CO <sub>2</sub> Et	99 ( <b>3a</b> )
2	$4-MeC_6H_4$	Н	$CO_2Et$	98 ( <b>3b</b> )
3	$3-MeC_6H_4$	Н	$CO_2Et$	91 ( <b>3c</b> )
4	$2 - MeC_6H_4$	Н	$CO_2Et$	98 ( <b>3d</b> )
5	$4 - MeOC_6H_4$	Н	CO <sub>2</sub> Et	98 ( <b>3e</b> )
6	$4-CF_3C_6H_4$	Н	$CO_2Et$	91 ( <b>3f</b> )
7	$4 - FC_6H_4$	Н	$CO_2Et$	93 ( <b>3g</b> )
8	Ph	5-Br	$CO_2Et$	99 ( <b>3h</b> )
9	Ph	5-OMe	$\overline{CO_2Et}$	90 ( <b>3i</b> )
10	$CH_3$	Н	$\overline{CO_2Et}$	80 ( <b>3j</b> )
11	Et	Н	$CO_2Et$	77 ( <b>3k</b> )
12	Bu	Н	$CO_2Et$	82 ( <b>31</b> )
13	Ph	Н	$CO_2Me$	94 ( <b>3m</b> )
14	Ph	Н	CO <sub>2</sub> Bn	67 ( <b>3n</b> )
15	Ph	Н	COPh	95 ( <b>30</b> )
16	Ph	Н	$CONEt_2$	90 ( <b>3p</b> )
17 <sup>c</sup>	Ph	Н	Н	95 ( <b>3q</b> )

 $^{a}$  1 (0.2 mmol), 2 (0.24 mmol), Cs<sub>2</sub>CO<sub>3</sub> (2.5 equiv.), CH<sub>2</sub>Cl<sub>2</sub> (3 mL), room temperature, 12 h.  $^{b}$  Isolated yields and all of the dr >20 : 1.  $^{c}$  Trimethylsulfoxonium iodide was used instead of sulfonium salts.

well characterized by the combination of NMR, HRMS spectroscopy, and single-crystal X-ray analysis (see ESI<sup>†</sup>).

Under the optimized reaction conditions, a variety of 2-(1-tosylalkyl)phenols and sulfonium salts were explored to examine the generality of the reaction (Table 2). Various 2-(1-tosylalkyl)phenols underwent the reaction smoothly and gave the corresponding products with good to excellent yields and diastereoselectivities (>20 : 1). As to the substituents  $R^1$ , the aryl substituents showed better reactivity than alkyl substituents (entries 1-12). Although the steric and electronic nature of the aryl substituents had a little influence on the outcome of the reaction, generally high yields and diastereoselectivities were obtained (entries 1-7). The effect of substituents of the phenol did not inhibit the reaction (entries 8-9). Thereafter, various kinds of sulfur ylides were also tested; good yields and excellent diastereoselectivities were obtained (entries 13-16). Furthermore, the unstable ylide trimethylsulfoxonium iodide reacted well with 1a to afford 3-phenyl-2,3-dihydrobenzofuran (3q) in 95% yield (entry 17). Subsequently, the synthesis of enantiomerically pure 2,3-dihydrobenzofuran was also tried. Using the known camphor derived chiral sulfonium salts developed by Dai and Tang<sup>14,15</sup> instead of sulfonium salt 2a, only moderate enantioselectivity (37% ee) and 99% yield were obtained.

Based on the above experimental results and stereochemistry of the products, a plausible mechanism was proposed as illustrated in Scheme 2. Firstly, the reaction was initiated by the formation of the *o*-QM intermediate **A** in the presence of a base, then intermediate **A** reacts with sulfur ylides **B** to give the intermediate **C**, followed by *trans*-elimination–cyclization to afford the product *trans*-dihydrobenzofurans **3**.

In summary, we have successfully developed a novel and efficient method for generation of *o*-QM intermediates from the



readily available 2-tosylalkylphenol under mild basic conditions, and their reactions with sulfur ylides for the stereoselective synthesis of 2,3-dihydrobenzofuran derivatives were investigated.<sup>16</sup> This methodology features cheap starting materials, mild reaction conditions, high yields and high stereoselectivity. Further studies on the application of *o*-QM intermediates in organic synthesis and the asymmetric version of the reactions are in progress.

This work was financially supported by National Natural Science Foundation of China (21032003 and 21125208).

## Notes and references

- For review, see: (a) H. Amouri and J. Le Bras, Acc. Chem. Res., 2002, 35, 501; (b) S. B. Ferreira, F. d. C. da Silva, A. C. Pinto, D. T. G. Gonzaga and V. F. Ferreira, J. Heterocycl. Chem., 2009, 46, 1080.
- See examples: (a) H. Wang and S. E. Rokita, Angew. Chem., Int. Ed., 2010, 49, 5957; (b) M. Di Antonio, F. Doria, S. N. Richter, C. Bertipaglia, M. Mella, C. Sissi, M. Palumbo and M. Freccero, J. Am. Chem. Soc., 2009, 131, 13132; (c) F. Doria, S. N. Richter, M. Nadai, S. Colloredo-Mels, M. Mella, M. Palumbo and M. Freccero, J. Med. Chem., 2007, 50, 6570.
- 3 (a) R. W. Van De Water and T. R. R. Pettus, *Tetrahedron*, 2002, 58, 5367; (b) N. J. Willis and C. D. Bray, *Chem.-Eur. J.*, 2012, 18, 9160.
- 4 (a) E. A. Leo, J. Delgado, L. R. Domingo, A. Espinos, M. A. Miranda and R. Tormos, J. Org. Chem., 2003, 68, 9643; (b) Y. Chiang and A. J. Kresge, Org. Biomol. Chem., 2004, 2, 1090; (c) K. Wojciechowski and K. Dolatowska, Tetrahedron, 2005, 61, 8419; (d) J. Matsumoto, M. Ishizu, R.-i. Kawano, D. Hesaka, T. Shiragami, Y. Hayashi, T. Yamashita and M. Yasuda, Tetrahedron, 2005, 61, 5735; (e) S. Arumugam and V. V. Popik, J. Am. Chem. Soc., 2009, 131, 11892; (f) N. Basarić, I. Zabcić, K. Mlinarić-Majerski and P. Wan, J. Org. Chem., 2010, 75, 102; (g) C. Percivalle, A. La Rosa, D. Verga, F. Doria, M. Mella, M. Palumbo, M. Di Antonio and M. Freccero, J. Org. Chem., 2011, 76, 3096; (h) R. Rodriguez, R. M. Adlington, J. E. Moses, A. Cowley and J. E. Baldwin, Org. Lett., 2004, 6, 3617; (i) J. E. Ezcurra, K. Karabelas and H. W. Moore, Tetrahedron, 2005, 61, 275; (j) R. Rodriguez, J. E. Moses, R. M. Adlington and J. E. Baldwin, Org. Biomol. Chem., 2005, 3, 3488.
- 5 (a) S. J. Gharpure, A. M. Sathiyanarayanan and P. Jonnalagadda, Tetrahedron Lett., 2008, 49, 2974; (b) T. P. Pathak and M. S. Sigman, J. Org. Chem., 2011, 76, 9210; (c) S. Radomkit, P. Sarnpitak, J. Tummatorn, P. Batsomboon, S. Ruchirawat and P. Ploypradith, Tetrahedron, 2011, 67, 3904; (d) C. Selenski and T. R. R. Pettus, J. Org. Chem., 2004, 69, 9196; (e) M. Di Antonio, F. Doria, M. Mella, D. Merli, A. Profumo and M. Freccero, J. Org. Chem., 2007, 72, 8354; (f) C. D. Bray, Org. Biomol. Chem., 2008, 6, 2815; (g) J. C. Green, S. Jimenez-Alonso, E. R. Brown and T. R. R. Pettus, Org. Lett., 2011, 13, 5500; (h) J. Liu, H. Liu, R. B. van Breemen, G. R. J. Thatcher and J. L. Bolton, Chem. Res. Toxicol., 2005, 18, 174.
- 6 (a) S. Nakamura, M. Uchiyama and T. Ohwada, J. Am. Chem. Soc., 2003, 125, 5282; (b) H. Sugimoto, S. Nakamura and T. Ohwada, J. Org. Chem., 2007, 72, 10088.
- 7 (*a*) A. F. Barrero, J. F. Quílez del Moral, M. Mar Herrador, P. M. Cortés, J. Benites and A. Rosellón, *Tetrahedron*, 2006,

**62**, 6012; (*b*) A. E. Mattson and K. A. Scheidt, *J. Am. Chem. Soc.*, 2007, **129**, 4508; (*c*) A. K. Shaikh, A. J. A. Cobb and G. Varvounis, *Org. Lett.*, 2012, **14**, 584.

- 8 (a) S. B. Bharate, R. Mudududdla, J. B. Bharate, N. Battini, S. Battula, R. R. Yadav, B. Singh and R. A. Vishwakarma, Org. Biomol. Chem., 2012, 10, 5143; (b) H. Amouri, J. Vaissermann, M. N. Rager and D. B. Grotjahn, Organometallics, 2000, 19, 1740; (c) R. Jana, T. P. Pathak, K. H. Jensen and M. S. Sigman, Org. Lett., 2012, 14, 4074.
- 9 (a) T. Rosenau, A. Potthast, T. Elder and P. Kosma, Org. Lett., 2002, 4, 4285; (b) R. Rodriguez, R. M. Adlington, J. E. Moses, A. Cowley and J. E. Baldwin, Org. Lett., 2004, 6, 3617; (c) E. Alden-Danforth, M. T. Scerba and T. Lectka, Org. Lett., 2008, 10, 4951.
- 10 (a) A. C. Ayers and J. K. Loike, Lignans: Chemical, Biological and Clinical Properties, Cambridge, 1990; (b) B. M. Trost, O. R. Thiel and H.-C. Tsui, J. Am. Chem. Soc., 2003, 125, 13155; (c) F. Bertolini and M. Pineschi, Org. Prep. Proced. Int., 2009, 41, 385; (d) E. D. Coy, L. Jovanovic and M. Sefkow, Org. Lett., 2010, 12, 1976; (e) F. Baragona, T. Lomberget, C. Duchamp, N. Henriques, E. L. Piccolo, P. Diana, A. Montalbano and R. Barret, Tetrahedron, 2011, 67, 8731; (f) S. Malik, U. K. Nadir and P. S. Pandey, Tetrahedron, 2009, 65, 3918; (g) B. Vinosha, S. Perumal, S. Renuga and A. I. Almansour, Tetrahedron Lett., 2012, 53, 962.
- 11 For some representative examples of the synthesis of the 2,3dihydrobenzofurans, see: (a) T. A. Engler, G. A. Gfesser and B. W. Draney, J. Org. Chem., 1995, 60, 3700; (b) J. T. Kuethe, A. Wong, M. Journet and I. W. Davies, J. Org. Chem., 2005, 70, 3727; (c) F. Bertolini, P. Crotti, V. D. Bussolo, F. Macchia and M. Pineschi, J. Org. Chem., 2007, 72, 7761; (d) Q.-B. Li, F.-T. Zhou, Z.-G. Liu, X.-F. Li, W.-D. Zhu and J.-W. Xie, J. Org. Chem., 2011, 76, 7222; (e) P. Xie, L. Wang, L. Yang, E. Li, J. Ma, Y. Huang and R. Chen, J. Org. Chem., 2011, 76, 7699; (f) H. Saito, H. Oishi, S. Kitagaki, S. Nakamura, M. Anada and S. Hashimoto, Org. Lett., 2002, 4, 3887; (g) W.-H. Cheung, S.-L. Zheng, W.-Y. Yu, G.-C. Zhou and C.-M. Che, Org. Lett., 2003, 5, 2535; (h) Y. Natori, H. Tsutsui, N. Sato, S. Nakamura, H. Nambu, M. Shiro and S. Hashimoto, J. Org. Chem., 2009, 74, 4418; (i) H.-G. Lehmann, Tetrahedron Lett., 1968, 5, 607; (j) L. Cadona and P. Dalla Croce, Synthesis, 1976.800.
- 12 For the selected examples, see: (a) C. Najera and M. Yus, *Tetrahedron*, 1999, 55, 10547; (b) M. Petrini, *Chem. Rev.*, 2005, 105, 3949; (c) F. Martinelli, A. Palmieri and M. Petrini, *Chem.-Eur. J.*, 2011, 17, 7183; (d) L. Jing, J. Wei, L. Zhou, Z. Huang, Z. Li, D. Wu, H. Xiang and X. Zhou, *Chem.-Eur. J.*, 2010, 16, 10955; (e) Y. Li, F.-Q. Shi, Q.-L. He and S.-L. You, *Org. Lett.*, 2009, 11, 3182; (f) L.-L. Cao, Z.-S. Ye, G.-F. Jiang and Y.-G. Zhou, *Adv. Synth. Catal.*, 2011, 353, 3352; (g) J. S. Johnson, *Angew. Chem., Int. Ed.*, 2004, 43, 1326.
- 13 For the selected reviews on ylide chemistry, see: (a) B. M. Trost and L. S. Melvin, Sulfur Ylides, Academic Press, New York, 1976;
  (b) A.-H. Li and L.-X. Dai, Chem. Rev., 1997, 97, 2341;
  (c) E. M. McGarrigle, E. L. Myers, O. Illa, M. A. Shaw, S. L. Riches and V. K. Aggarwal, Chem. Rev., 2007, 107, 5841; (d) X.-L. Sun and Y. Tong, Acc. Chem. Res., 2008, 41, 937.
- 14 For selected camphor derived chiral sulfonium salts examples, see: (a) A.-H. Li, Y.-G. Zhou, L.-X. Dai, X.-L. Hou, L.-J. Xia and L. Lin, Angew. Chem., Int. Ed. Engl., 1997, 36, 1317; (b) L.-X. Dai, X.-L. Hou and Y.-G. Zhou, Pure Appl. Chem., 1999, 71, 369; (c) V. K. Aggarwal, E. Alonso, G. Hynd, K. M. Lydon, M. J. Palmer, M. Porcelloni and J. R. Studley, Angew. Chem., Int. Ed., 2001, 40, 1430; (d) X.-M. Deng, P. Cai, S. Ye, X.-L. Sun, W.-W. Liao, K. Li, Y. Tang, Y.-D. Wu and L.-X. Dai, J. Am. Chem. Soc., 2006, 128, 9730; (e) C. Zhong, L. N. S. Gautam, J. L. Petersen, N. G. Akhmedov and X. Shi, Chem.-Eur. J., 2010, 16, 8605.
- 15 For selected other chiral sulfonium salts examples, see: (a) O. Illa, M. Arshad, A. Ros, E. M. McGarrigle and V. K. Aggarwal, J. Am. Chem. Soc., 2010, 132, 1828; (b) S. L. Riches, C. Saha, N. F. Filgueira, E. Grange, E. M. McGarrigle and V. K. Aggarwal, J. Am. Chem. Soc., 2010, 132, 7626; (c) L.-Q. Lu, J.-R. Chen and W.-J. Xiao, Acc. Chem. Res., 2012, 45, 1287.
- 16 In the course of preparation of this manuscript, a paper on the generation of aza-o-quinodimethine intermediates from the *N*-(*ortho*-chloromethyl)aryl amides under mild basic conditions and their reactions with sulfur ylides for the synthesis of indoles was published, see: Q.-Q. Yang, C. Xiao, L.-Q. Lu, J. An, F. Tan, B.-J. Li and W.-J. Xiao, *Angew. Chem., Int. Ed.*, 2012, **51**, 9137.