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One-pot synthesis of 2,4,5-trisubstituted 1,2,3-triazoles through the cascade reactions of acid chlorides, terminal acetylenes, sodium azide and aryl halides†

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An efficient one-pot reaction of acid chlorides, terminal acetylenes, sodium azide and aryl halides is developed for the regioselective synthesis of 2,4,5-trisubstituted 1,2,3-triazoles. The method is general, convenient, eco-friendly, atom-economical, and could provide excellent yields and regioselectivities.

Introduction

Designing one-pot reactions is a major challenge for modern organic chemistry. These reactions combine the two or more step reactions of three or more components in one pot. Such processes can easily produce a large library of related heterocyclic compounds and complicated structures from simple raw materials.[1] What is more, they are quite close to an ''ideal synthesis'',[2] and can minimize the time-consuming, complex equipment, costly protection/deprotection steps and purification processes, and can even provide excellent yields and selectivities, they are also considered to be inherently environmentally benign and atom economical.[3] Therefore, developing novel and highly selective one-pot reactions from easily available materials is highly desirable in organic synthetic chemistry.

1,2,3-triazoles have received significant attention as one of the most important heterocycles displaying interesting biological activities, such as anti-HIV[4] and GSK-3 inhibiting activity,[5] and have been widely applied in many research fields.[6] The existing synthetic methodologies have mostly focused on the N-1 substituted 1,2,3-triazoles.[7] Only several methods have been developed for N-2 substituted 1,2,3-triazoles until now, which is a challenging task for organic synthesis chemists.[8] Herein, we have developed a novel and operationally simple way of regioselectively synthesizing 2,4,5-trisubstituted 1,2,3-triazoles *via* Sonogashira coupling/1,3-dipolar cycloaddition/C–N coupling of acid chlorides, terminal acetylenes, sodium azide and aryl halides in one pot.

Results and discussion

Encouraged by the successful synthesis of 4,5-disubstitued 1,2,3-triazoles through the Sonogashira coupling/1,3-dipolar cycloaddition of acid chlorides, terminal acetylenes and sodium azide,[9] we applied Sonogashira coupling to the one-pot sequential reaction of acid chlorides, terminal acetylenes, sodium azide and aryl halides to regioselectively construct 2,4,5-trisubstituted 1,2,3-triazoles. In our starting experiments, we firstly performed the Sonogashira coupling of phenyl acetylene and benzoyl chloride under $PdCl_2(PPh_3)_2$/CuI-catalyzed and ultrasonic promoted (32 kHz, 160 W, rt) conditions for 1 h, then 2,5-difluoronitrobenzene **1a**, NaN_3 and DMF were added to the mixture and the reaction continued at 100 °C. Fortunately, the expected 2,4,5-trisubstituted 1,2,3-triazole product **1aa** was obtained in 70% yield (Table 1, entry 1), and it was found that there was still some 4,5-disubstituted 1,2,3-triazole generated *in situ* after 6 h. A longer reaction time (12 h) also achieved a similar result (Table 1, entry 1). It appears reasonable that the acidic product NEt_3HCl is generated from the Sonogashira coupling reaction of phenyl acetylene and benzoyl chloride. In order to improve the results, different bases were added as shown in Table 1. When the reaction was carried out with 1.0 eq. or 0.5 eq. K_2CO_3 as base, the reactions proceeded smoothly and the *in situ* generated 4,5-disubstituted 1,2,3-triazole almost completely converted into the corresponding 2,4,5-trisubstituted 1,2,3-triazoles, in 80% and 82% yield respectively (Table 1, entries 2 and 3). Other bases, including Cs_2CO_3, $(CH_3)_3COK$, NaOH, K_3PO_4, CH_3COONa, were employed, however, the reactions did not provide higher yields (Table 1, entries 10–14). Using 0.5 eq. K_2CO_3 as the base, various solvents were screened to optimize the reaction.

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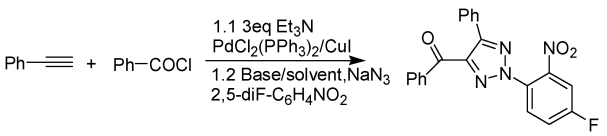
Table 1 Effect of bases and solvents on the reaction[a]



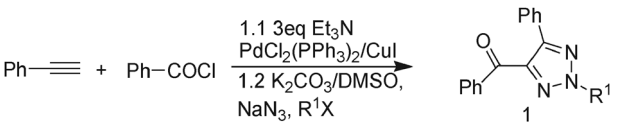
Entry	Base	Solvent	t (h)	Yield[b] (%)
1	None	DMF	6(12)	68(70)
2	K_2CO_3 (1 eq.)	DMF	6	80
3	K_2CO_3(0.5 eq.)	DMF	6	82
4	K_2CO_3 (0.5 eq.)	DMSO	6	87
5	K_2CO_3 (0.5 eq.)	Dioxane	6	Trace
6	K_2CO_3 (0.5 eq.)	THF	6	Trace
7	K_2CO_3 (0.5 eq.)	Ethanol	6	Trace
8	K_2CO_3 (0.5 eq.)	Acetone	6	15
9	K_2CO_3 (0.5 eq.)	Toluene	6	8
10	Cs_2CO_3 (0.5 eq.)	DMSO	6	85
11	$(CH_3)_3COK$ (0.5 eq.)	DMF	6	40
12	NaOH (0.5 eq.)	DMF	6	60
13	K_3PO_4(0.5 eq.)	DMSO	6	75
14	CH_3COONa(0.5 eq.)	DMF	17	55

[a] Firstly, the reaction was carried out with phenyl acetylene (0.25 mmol), benzoyl chloride (0.25 mmol) and Et_3N (0.75 mmol) in the presence of $PdCl_2(PPh_3)_2$/CuI promoted by ultrasonic (32 kHz, 160 W) at room temperature for 1 h under nitrogen, then NaN_3 (0.25 mmol), base, 2,5-diF-$C_6H_4NO_2$ (0.375 mmol) and 3 mL solvent was added to the mixture and the reaction continued at 100 °C. [b] Isolated yield after column chromatography.

Switching the solvent from DMF to DMSO enhanced the yield of the 2,4,5-trisubstituted 1,2,3-triazole from 82% to 87% (Table 1, entry 4). Other solvents, such as dioxane, THF, ethanol, acetone and toluene, provided unfavourable results (Table 1, entries 5–9). Thus, 0.5 eq. K_2CO_3/DMSO is the optimal system for this reaction.

Under the optimized conditions, the substrate scope of aryl halides was examined. As illustrated in Table 2, when the strongly electron-deficient aryl halides **1b–1f** were used, the reactions progressed sluggishly and regioselectively produced the corresponding 2,4,5-trisubstituted 1,2,3-triazoles in good yields (Table 2, entries 1–5). In contrast, the use of 3-NO_2-C_6H_4Cl **1g** and another electron-poor aryl chloride **1h** only provided trace amounts of the products (Table 2, entries 6 and 7). In addition, phenyl chloride **1i** and the electron-rich aryl chloride **1j** did not yield any desired product. As well as the strongly electron-deficient aryl halides, the heterocyclic compound 2-chloro-3-nitro-pyridine **1k** also exhibited high reactivity, forming the 2,4,5-trisubstituted 1,2,3-triazole **1ha** in 80% yield (Table 2, entry 10). The aryl chlorides **1l** and **1m**, bearing two strongly electron-withdrawing groups, accelerated the reaction and gave excellent yields (Table 2, entries 11 and 12). The reaction of **1m** was carried out at room temperature, while the aryl chloride **1n**, bearing NO_2 and CH_3, only yielded a trace amount of product (Table 2, entry 13). Consistent with 2,5-diF-$C_6H_4NO_2$, the reactions of 3,4-diF-$C_6H_3NO_2$ **1o** and 2,5-diBr-$C_6H_3NO_2$ **1p** also selectively took place at the 4- and 2-position of **1o** and **1p** respectively and afforded the corresponding 2,4,5-trisubstituted 1,2,3-triazoles **1la** and **1ma** in

Table 2 Regioselective synthesis of 2,4,5-trisubstituted 1,2,3-triazoles through the reactions of phenyl acetylene and benzoyl chloride, sodium azide, and aryl halides[a]



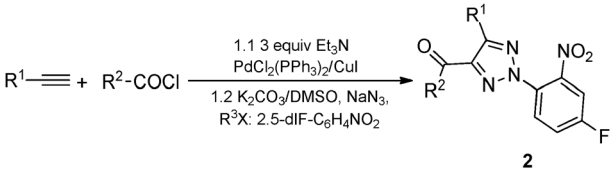
Entry	R^1X	t (h)	T (°C)	Yield[b] (%)
1	4-NO_2-C_6H_4Cl	48	120	63
	1b			**1ba**
2	2-NO_2-C_6H_4F	3	120	88
	1c			**1ca**
3	4-NO_2-C_6H_4I	36	120	75
	1d			**1ba**
4	2-NO_2-C_6H_4I	36	120	80
	1e			**1ca**
5	2-NO_2-C_6H_4Cl	36	120	74
	1f			**1ca**
6	3-NO_2-C_6H_4Cl	36	120	Trace
	1g			**1da**
7	2-CN-C_6H_4Cl	48	120	Trace
	1h			**1ea**
8	C_6H_5Cl	48	120	0
	1i			**1fa**
9	2-CH_3-C_6H_4Cl	48	120	0
	1j			**1ga**
10	2-Cl-3-NO_2-Pyridine	12	120	80
	1k			**1ha**
11	2-CN-4-NO_2-C_6H_3Cl	6	100	90
	1l			**1ia**
12	2,4-diNO_2-C_6H_3Cl	3	rt	95
	1m			**1ja**
13	4-CH_3-2-NO_2-C_6H_3Cl	36	120	Trace
	1n			**1ka**
14	3,4-diF-$C_6H_3NO_2$	3	100	87
	1o			**1la**
15	2,5-diBr-$C_6H_3NO_2$	3	100	55
	1p			**1ma**

[a] The reaction was carried out with phenyl acetylene (0.25 mmol), benzoyl chloride (0.25 mmol) and Et_3N (0.75 mmol) in the presence of $PdCl_2(PPh_3)_2$/CuI promoted by ultrasonic (32 kHz, 160 W) at room temperature for 1 h under nitrogen, then NaN_3 (0.25 mmol), K_2CO_3 (0.125 mmol), R^1X (0.375 mmol) and 3 mL solvent were added to the mixture and the reaction continued. [b] Isolated yield after column chromatography.

good yields. The structure of **1la** was confirmed by X-ray crystallography. The details of this structure have previously been reported by our group.[10] It is evident that the electronic properties of the aryl halides have a significant impact on the reaction and the strongly electron-withdrawing group NO_2 is crucial for the reaction.

The scope of the protocol for other terminal acetylenes and acid chlorides was also investigated. As shown in Table 3, various terminal acetylenes and acid chlorides were well-suited for the one-pot two-step reaction system. For example, electron-rich aryl acid chlorides including 4-Cl-C_6H_4COCl, 3-Cl-C_6H_4COCl, 2-Cl-C_6H_4COCl and 4-NO_2-C_6H_4COCl could easily convert into the corresponding 2,4,5-trisubstituted 1,2,3-triazoles in good yields (Table 3, entries 1–3 and 8). The electron-poor aryl acid chlorides 3-CH_3-C_6H_4COCl, 3,5-diCH_3-C_6H_3COCl and 4-CH_3O-C_6H_4COCl

Table 3 Regioselective synthesis of 2,4,5-trisubstituted 1,2,3-triazoles through the reactions of terminal acetylenes, acid chlorides, sodium azide and aryl halide[a]

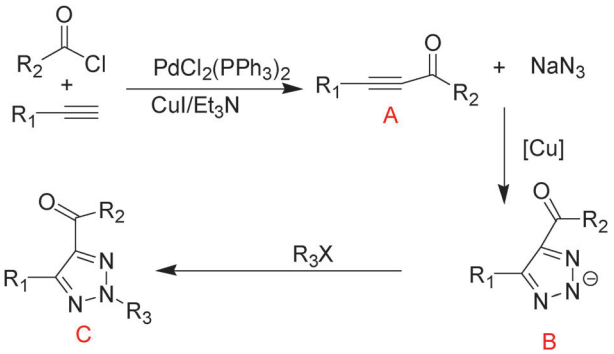


2

Entry	R^1	R^2	t (h)	Yield[b] (%)
1	C_6H_5	4-Cl-C_6H_4	3	89 **2aa**
2	C_6H_5	3-Cl-C_6H_4	3	87 **2ba**
3[c]	C_6H_5	2-Cl-C_6H_4	36	82 **2ca**
4[d]	C_6H_5	3-CH_3-C_6H_4	36	82 **2da**
5	C_6H_5	3,5-diCH_3-C_6H_3	3	89 **2ea**
6	C_6H_5	4-CH_3O-C_6H_4	3	88 **2fa**
7[c]	C_6H_5	2-C_2H_5O-C_6H_4	3	92 **2ga**
8[e]	C_6H_5	4-NO_2-C_6H_4	3	50 **2ha**
9	C_6H_5	Furan-2-yl	3	88 **2ia**
10	3-CH_3-C_6H_4	C_6H_5	3	88 **2ja**
11	4-F-C_6H_4	C_6H_5	3	87 **2ka**
12	*n*-C_4H_9	C_6H_5	2	70 **2la**
13	*tert*-C_4H_9	C_6H_5	2	72 **2ma**
14	*n*-C_8H_{17}	C_6H_5	2	68 **2na**
15	Fc	C_6H_5	2	88 **2oa**
16	Thiophen-3-yl	C_6H_5	3	86 **2pa**

[a] The reaction was carried out with terminal acetylene (0.25 mmol), acid chloride (0.25 mmol) and Et_3N (0.75 mmol) in the presence of $PdCl_2(PPh_3)_2$/CuI promoted by ultrasonic (32 kHz, 160 W) at room temperature for 1 h under nitrogen, then NaN_3 (0.25 mmol), K_2CO_3 (0.125 mmol), 2,5-diF-$C_6H_4NO_2$ (0.375 mmol) and 3 mL solvent were added to the mixture and the reaction continued at 100 °C. [b] Isolated yields after column chromatography. [c] R^3X: 2-NO_2-C_6H_4F, reaction temperature: 120 °C. [d] R^3X: 4-NO_2-C_6H_4Cl, reaction temperature: 120 °C. [e] The temperature of Sonogashira coupling is increased to 45 °C.

also reacted moderately and afforded the desired products in excellent yields (Table 3, entries 4–6). Moreover, the sterically hindered benzoyl chloride 2-C_2H_5O-C_6H_4COCl and the heterocyclic furan-2-carbonyl chloride were suitable for the reaction without decreasing the yields and regioselectivities (Table 3, entries 7 and 9). Similarly, both electron-rich and electron-poor aryl acetylenes produced good yields (Table 3, entries 10 and 11). As the terminal acetylenes were extended to aliphatic terminal acetylenes, the reaction proceeded smoothly and gave good yields and excellent selectivities (Table 3, entries 12–14). Interestingly, when heterocyclic 3-ethynylthiophene and ethynyl ferrocene (Fc) were employed, they were also completely



Scheme 1 A plausible reaction mechanism.

transformed into the desired 2,4,5-trisubstituted 1,2,3-triazoles in high yields (Table 3, entries 15 and 16).

The mechanism of the reaction is shown in Scheme 1. Firstly, ynone **A** is produced *via* the palladium and copper co-catalyzed Sonogashira coupling of a terminal alkyne and an acyl chloride; then sequential 1,3-dipolar cycloaddition/nucleophilic arylation of **A** with sodium azide and aryl halide provides the target product (**C**).

Conclusions

In summary, we have successfully combined a Sonogashira coupling, 1,3-dipolar cycloaddition and N-arylation of acid chlorides, terminal acetylenes, sodium azide and aryl halides to regioselectively construct 2,4,5-trisubstituted 1,2,3-triazoles in one pot. This methodology will easily provide an access to a variety of N-2 substituted triazoles in terms of atom- and step-economy, operational simplicity, and environmental friendliness. Further applications of the Sonogashira coupling in one-pot reactions are currently under investigation in our research group.

Experimental section

The general procedure of the reaction between acid chlorides, terminal acetylenes, sodium azide and alkyl halides: regioselective synthesis of [2-(4-fluoro-2-nitrophenyl)-5-phenyl-2*H*-1,2,3-triazol-4-yl](phenyl)methanone **1aa**:

All reactions are performed on a 0.25 mmol scale relative to the acid chlorides. A round-bottom side-arm flask (10 mL) containing $PdCl_2(PPh_3)_2$ (0.0025 mmol), CuI (0.005 mmol) was subjected to the Schlenk-line procedures of evacuation and purging of N_2 for three cycles. Phenyl acetylene (0.25 mmol), benzoyl chloride (0.25 mmol) and 3 eq. Et_3N (0.75 mmol) were successively added, and the flask was put into an ultrasonicator (32 KHz, 160 W) to react at room temperature for 1 h, the flask was taken out, then NaN_3 (0.25 mmol), K_2CO_3 (0.125 mmol), 2,5-diF-$C_6H_3NO_2$ (0.375 mmol) and 3 mL DMSO were added to the mixture and the reaction was allowed to continue at 100 °C for 3 h. Following this, water (2 mL) and 20% HCl solution (1 mL) were added to the reaction mixture. The mixture was then extracted with

ether (3 × 10 mL). The combined organic phases are washed with brine (2 × 5 mL), dried over anhydrous $MgSO_4$ and concentrated *in vacuo.* The residue was subjected to flash column chromatography with hexane/EtOAc (15/1) as eluent to obtain the desired product (**1aa**) (84 mg, 87% yield). mp: 128–130 °C. IR (cm^{-1}): 3071, 1656, 1549. ^1H NMR (400 MHz, $CDCl_3$): δ 8.14–8.27 (m, 5H), 7.87–7.89 (m, 2H), 7.64 (t, J = 7.4 Hz, 1H), 7.51 (t, J = 7.6 Hz, 2H), 7.43–7.45 (m, 3H). ^{13}CNMR (100 MHz, $CDCl_3$): δ 187.4, 162.8, 160.3, 151.3, 144.2, 136.7, 133.8, 130.4, 129.7, 128.8, 128.6, 128.5, 128.4, 127.8, 127.7, 120.4, 120.1, 113.1, 112.8. ESI HRMS: calcd. for $C_{21}H_{14}FN_4O_3$ $[M + H]^+$: 389.1044, found: 389.1050.[10]

Acknowledgements

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Notes and references

1 (*a*) H. Lebel, C. Ladjel and L. Bréthous, *J. Am. Chem. Soc.*, 2007, **129**, 13321–13326; (*b*) Q.-F. Wang, X.-K. Song, J. Chen and C.-G. Yan, *J. Comb. Chem.*, 2009, **11**, 1007–1010; (*c*) G. B. Giovenzana, G. C. Tron, S. D. Paola, I. G. Menegotto and T. Pirali, *Angew. Chem., Int. Ed.*, 2006, **45**, 1099–1102; (*d*) I. Ugi, A. Dömling and B. Ebert, in *Combinatorial Chemistry*, ed. G. Jung, Wiley-VCH, Weinheim, 1999, pp. 125–165; (*e*) R. V. A. Qrru and M. D. Greef, *Synthesis*, 2003, 1471–1499; (*f*) L. A. Wessjohann, D. G. Rivera and O. E. Vercillo, *Chem. Rev.*, 2009, **109**, 796–814; (*g*) S. A. Yermolayev, N. Y. Gorobets and S. M. Desenko, *J. Comb. Chem.*, 2009, **11**, 44–46.

2 A. Domling and I. Ugi, *Angew. Chem., Int. Ed.*, 2000, **39**, 3168–3210.

3 (*a*) B. M. Trost, *Angew. Chem., Int. Ed. Engl.*, 1995, **34**, 259–281; (*b*) P. A. Wender, S. T. Handy and D. L. Wright, *Chem. Ind.*, 1997, 765–769; (*c*) B. B. Toure and D. G. Hall, *Chem. Rev.*, 2009, **109**, 4439–4486; (*d*) H. Liu, G. Dagousset, G. Masson, P. Retailleau and J. Zhu, *J. Am. Chem. Soc.*, 2009, **131**, 4598–4599; (*e*) W. Hu, X. Xu, J. Zhou, W. Liu, H. Huang, J. Hu, L. Yang and L. Gong, *J. Am. Chem. Soc.*, 2008, **130**, 7782–7783; (*f*) A. Shaabani, A. Maleki and H. Mofakham, *J. Comb. Chem.*, 2008, **10**, 595–598.

4 R. Alvarez, S. Velazquez, A. S. Felix, S. Aquaro, E. D. Clercq, C. Perno, A. Karlsson, J. Balzarini and M. J. Camarasa, *J. Med. Chem.*, 1994, **37**, 4185–4194.

5 P. H. Olesen, A. R. Sørensen, B. Ursø, P. Kurtzhals, A. N. Bowler, U. Ehrbar and B. F. Hansen, *J. Med. Chem.*, 2003, **46**, 3333–3341.

6 (*a*) N. J. Agard, J. A. Prescher and C. R. Bertozzi, *J. Am. Chem. Soc.*, 2004, **126**, 15046–15047; (*b*) M. S. Costa, N. Boechat, E. A. Rangel, F. D. D. Silva, A. M. T. de Souza, C. R. Rodrigues, H. C. Castro, I. N. Junior, C. S. M. Lourenco, S. Wardell and V. F. Ferreira, *Bioorg. Med. Chem.*, 2006, **14**, 8644–8653; (*c*) A. Maliakal, G. Lem, N. J. Turro, R. Ravichandran, J. C. Suhadolnik, A. D. DeBellis, M. G. Wood and J. Lau, *J. Phys. Chem. A*, 2002, **106**, 7680–7689; (*d*) P. Wu, A. K. Feldman, A. K. Nugent, C. J. Hawker, A. Scheel, B. Voit, J. Pyun, J. M. J. Fréchet, K. B. Sharpless and V. V. Fokin, *Angew. Chem., Int. Ed.*, 2004, **43**, 3928–3932; (*e*) H. C. Kolb and K. B. Sharpless, *Drug Discovery Today*, 2003, **8**, 1128–1136; (*f*) S. Wacharasindhu, S. Bardhan, Z.-K. Wan, K. Tabei and T. S. Mansour, *J. Am. Chem. Soc.*, 2009, **131**, 4174–4175.

7 Selective methods of synthesizing N-1 substitued 1,2,3 triazoles: (*a*) C. W. Tornøe, C. Christensen and M. Meldal, *J. Org. Chem.*, 2002, **67**, 3057–3064; (*b*) V. V. Rostovtsev, L. G. Green, V. V. Fokin and K. B. Sharpless, *Angew. Chem., Int. Ed.*, 2002, **41**, 2596–2599; (*c*) D. Yang, N. Fu, Z. Liu, Y. Li and B. Chen, *Synlett*, 2007, 278–282; (*d*) M. M. Majireck and S. Weinreb, *J. Org. Chem.*, 2006, **71**, 8680–8683; (*e*) C. Spiteri and J. E. Moses, *Angew. Chem., Int. Ed.*, 2010, **49**, 31–33; (*f*) F. Shi, J. P. Waldo, Y. Chen and R. C. Larock, *Org. Lett.*, 2008, **10**, 2409–2412; (*g*) V. Aucagne and D. A. Leigh, *Org. Lett.*, 2006, **8**, 4505–4507; (*h*) B. Sreedhar, P. S. Reddy and V. R. Krishna, *Tetrahedron Lett.*, 2007, **48**, 5831–5834.

8 Methods of regioselectively synthesizing N-2 substitued 1,2,3 triazoles: (*a*) S. Kamijo, T. Jin, Z. Huo and Y. Yamamoto, *J. Am. Chem. Soc.*, 2003, **125**, 7786–7787; (*b*) Y. Chen, Y. Liu, J. L. Petersena and X. Shi, *Chem. Commun.*, 2008, 3254–3256; (*c*) J. Kalisiak, K. B. Sharpless and V. V. Fokin, *Org. Lett.*, 2008, **10**, 3171–3174; (*d*) Y. Liu, W. Yan, Y. Chen, J. L. Petersen and X. Shi, *Org. Lett.*, 2008, **10**, 5389–5392; (*e*) X. Wang, L. Zhang, H. Lee, N. Haddad, D. Krishnamurthy and C. H. Senanayake, *Org. Lett.*, 2009, **11**, 5026–5028; (*f*) X. J. Wang, L. Zhang, D. Krishnamurthy, C. H. Senanayake and P. Wipf, *Org. Lett.*, 2010, **12**, 4632–4635; (*g*) L. Zhang, Z. B. Li, X. J. Wang, N. Yee and C. H. Senanayske, *Synlett*, 2012, **23**, 1052–1056; (*h*) G. Murali Mohan and P. Tharmalingam, *J. Org. Chem.*, 2012, **77**, 5063–5073; (*i*) K. S. Mariola, M. P. Ewa and R. Tomasz, *Tetrahedron*, 2012, **68**, 214–225; (*j*) W. M. Yan, T. Liao, O. Tuguldur, C. Zhong, J. L. Petersen and X. D. Shi, *Chem.–Asian J.*, 2011, **6**, 2720–2724.

9 J. Li, D. Wang, Y. Zhang, J. Li and B. Chen, *Org. Lett.*, 2009, **11**, 3024–3027.

10 J. Li, Y. Zhang, D. Wang, W. Wang, T. Gao, L. Wang, J. Li, G. Huang and B. Chen, *Synlett*, 2010, 1617–1622.

