Organic & Biomolecular Chemistry

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Journal Name

COMMUNICATION

Synthesis of 1*H*-indole-3-sulfonates via Palladium-catalyzed Tandem Reactions of 2-Alkynyl Arylazides with Sulfonic Acids

Received 00th January 20xx,
Accepted 00th January 20xx

DOI: 10.1039/x0xx00000x

www.rsc.org/

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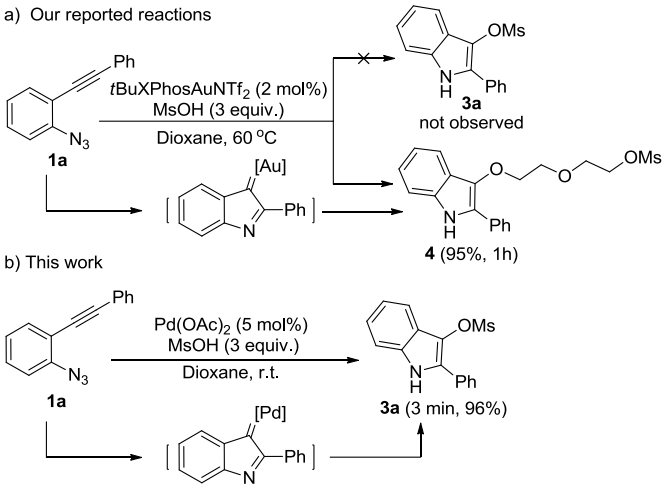
An efficient method for the synthesis of 1*H*-indole-3-sulfonates via palladium-catalyzed tandem reactions of 2-alkynyl arylazides with sulfonic acids has been developed. The desired products were obtained in good to excellent yields under mild reaction conditions. The reactions were shown to proceed very fast, in most cases, within 10 min.

Aryl sulfonates are one of the most important cross-coupling reagents in organic chemistry due to its relative stability and low cost,[1] which also can act as bridge structures or ligands and be found in many bioactive compounds.[2] Therefore, many methods for the synthesis of aryl sulfonates have been established. Traditionally, the aryl sulfonates were prepared through the tosylation of phenols in the presence of $TsCl/NEt_3$ or Py.[3] Other methods mainly include the utilization of organic salts prepared from sulfonyl chlorides and DMAP[4] or DBN[5] and organometallic reagents such as organoindium[6] and organozinc[7]. In 2015, Yuan's group reported the synthesis of sulfonate esters via iodine-mediate radical reactions of sodium sulfinates with phenols.[8] Recently, a copper-catalyzed C-H sulfonyloxylation of electron-rich arenes with *p*-toluenesulfonic acid[9] has been developed by Xiang's group. However, most of these reported methods have some drawbacks, such as the generation of hazard acids, harsh reaction conditions or limited substrates scope. To our surprise, the synthesis of 1*H*-indole-3-sulfonates **3** as an important group of aryl sulfonates has not been well studied. Only two examples were reported. In 1991, Piroelle's group[10a] reported the synthesis of 1*H*-indole-3-sulfonates through the direct tosylation of 3-hydroxy indoles with TsCl, which provided the desired products in 54-59% yields. Later, Somei's group[10b] reported an example for the preparation of 3-tosyloxyindole via a novel 3,3-sigmatropic rearrangement of 1-tosyloxyindole. However, the desired 3-tosyloxyindole product was obtained in 10% yield. Hence, mild and efficient method for the preparation of 1*H*-indole-3-sulfonates is desired.

In recent years, gold catalysis have become an efficient method for various novel organic transformation.[11,12] In 2016, we reported that α -imino gold carbene[13] generated in situ from 1-azido-2-(phenylethynyl)benzene **1a** was attacked by 1,4-dioxane, which gave the unexpected ring-opening indole derivative **4**. (Scheme 1, a) Later, we repeated this reaction at room temperature by using $Pd(OAc)_2$ as catalyst and found that 2-phenyl-1*H*-indol-3-yl methanesulfonate **3a** was obtained in 96% yield in 3 min (Scheme 1, b). The reason for the competing addition of MsOH and 1,4-dioxane to metal carbenes could be due to the concentration of nucleophiles and reactivity of α -imino metal carbenes. Herein, we disclose a mild and efficient method for the synthesis of 1*H*-indole-3-sulfonates **3** via palladium-catalyzed tandem reactions of 2-alkynyl arylazides **1** with sulfonic acids **2**. The desired product 1*H*-indole-3-sulfonates were obtained in moderate to excellent yields and, in most cases, within 10 min.

Scheme 1 Palladium-catalyzed synthesis of 1*H*-indole-3-sulfonates **3**.



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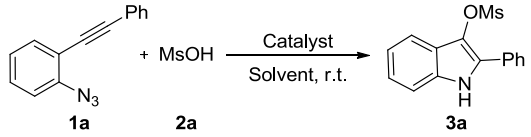
Electronic Supplementary Information (ESI) available: [details of any supplementary information available should be included here]. See DOI: 10.1039/x0xx00000x

At the beginning, we chose to focus our attention on the reaction of 1-azido-2-(phenylethynyl)benzene **1a** and methanesulfonic acid **2a** as the probe substrates to establish the reaction conditions. This revealed treating a solution of **1a** (1 equiv) in 1,4-dioxane with 10 mol% of $Pd(OAc)_2$ at room temperature followed by 3 equiv of MsOH for 3 min gave the best result (Scheme 1, entry 1). Under these conditions, 2-phenyl-1*H*-indol-3-yl methanesulfonate **3a** was provided in 96% yield. As shown in entry 2, a comparable product yield was afforded on decreasing the catalyst loading from 10 to 5 mol % (Scheme 1, entry 2). However, lower product yields were found when the solvent system was changed from 1,4-dioxane to $(CH_2Cl)_2$, PhCl, MeCN or $MeNO_2$ (Scheme 1, entries 3-6). when water was employed as the solvent, there was no reaction after prolonging the reaction time to 24 h (Scheme 1, entry 7). Other palladium catalysts were also examined. when $PdCl_2$ was used as catalyst, the reaction was found to proceed slowly and provide the desired product in 50% yield (Scheme 1, entry 8). Slightly lower yields of 80-88% were also obtained on repeating the reaction with other palladium catalysts such as Pd $(PPh_3)_4$, $PdCl_2(PPh_3)_2$, and $Pd(NO_3)_2{\cdot}2H_2O$ in place of $Pd(OAc)_2$ (Scheme 1, entries 9-11). The reaction was also tested without catalyst, and was found to give no reaction (Scheme 1, entry 12). On the basis of these results, reaction of **1a** in the presence of 5 mol % of $Pd(OAc)_2$ at room temperature followed by 3 equiv of MsOH for 3 min was considered to give the optimal conditions.

Scheme 1 Optimization of the reaction conditions.[a]



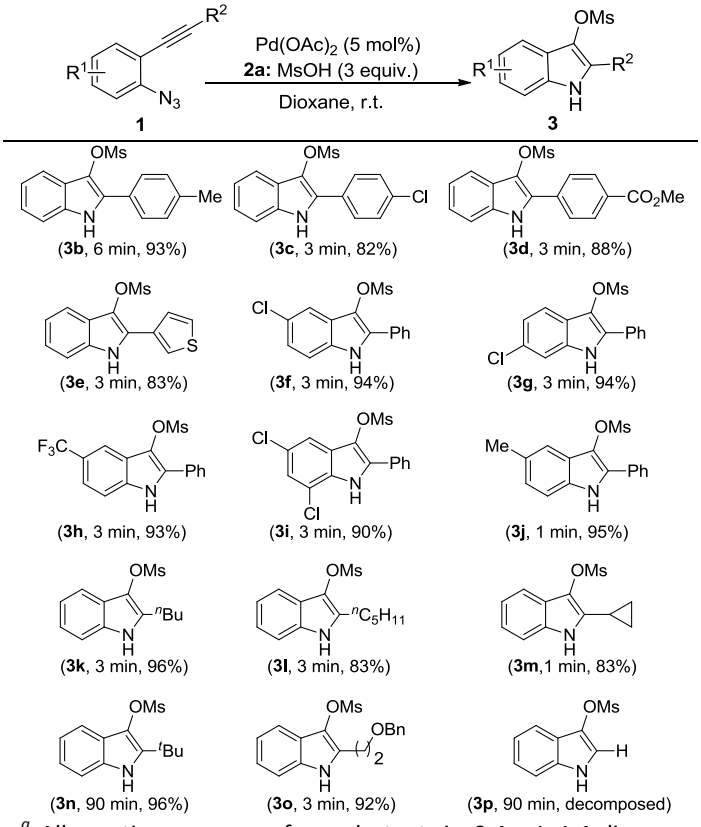
1a **2a** **3a**

Entry	Catalyst	Solvent	Time	Yield/%[b]
1	$Pd(OAc)_2$	1,4-dioxane	3 min	96%
2	$Pd(OAc)_2$	1,4-dioxane	3min	96%[c]
3	$Pd(OAc)_2$	$(CH_2Cl)_2$	1 min	70%
4	$Pd(OAc)_2$	PhCl	3 min	66%
5	$Pd(OAc)_2$	MeCN	0.5 h	58%
6	$Pd(OAc)_2$	$MeNO_2$	1 min	79%
7	$Pd(OAc)_2$	H_2O	24 h	n.r.
8	$PdCl_2$	1,4-dioxane	24 h	50%
9	Pd $(PPh_3)_4$	1,4-dioxane	18 h	88%
10	$PdCl_2(PPh_3)_2$	1,4-dioxane	8 h	87%
11	$Pd(NO_3)_2{\cdot}2H_2O$	1,4-dioxane	8 h	80%
12	-	1,4-dioxane	24 h	n.r.[d]

[a] Unless stated otherwise, all reactions were performed at room temperature in 0.4 mL 1,4-dioxane with catalyst: **1a** : **2a** = 0.10: 1 : 2. [b]Isolated yield. [c] 5mol% of catalyst used. [d] Without catalyst. n.r. = no reaction was used.

With the optimal conditions in hand, we started to examine the generality of the present procedure (Scheme 2). Reactions of 2-alkynyl arylazides with aryl group containing a pendant electron-donating or electron-withdrawing substitutes or hetero aryl group on the alkyne carbon with MsOH gave the desired 2-phenyl-1*H*-indol-3-yl methanesulfonates in 82-93% yields (Scheme 2, **3b**-**3e**). Similarly, the present method was shown to work very well for 2-alkynyl arylazides with different substituted groups on the aromatic ring (R^1 = halide, CF_3 or Me). In these reactions, the corresponding products **3f**-**3j** were obtained in 90-95% yield. On the other hand, the analogous reactions involving 2-alkynyl arylazides bearing the aliphatic substituted groups on the alkyne carbon were also examined and found to afford the desired products in comparable yields of 83-96% (Scheme 2, **3k**-**3o**). However, we found reaction of 2-alkynyl arylazides containing a terminal alkyne group to be less effective, affording a mixture of decomposition products that could not be identified by TLC and ^{1}H NMR analysis of the crude mixture.

Scheme 2 Substrate scope to 2-phenyl-1*H*-indol-3-yl methanesulfonates **3**.[a,b]

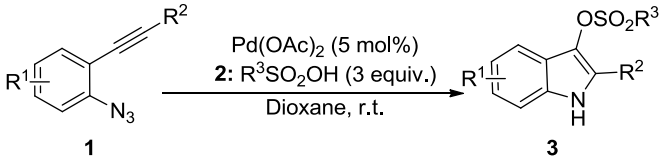


[a] All reactions were performed at r.t. in 0.4 mL 1,4-dioxane with $Pd(OAc)_2$: **1a** : MsOH = 0.05: 1 : 3. [b]Isolated yield.

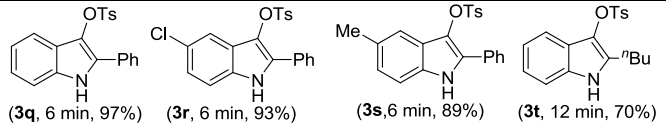
Aliphatic sulfonic acid MsOH **2a** was shown to be efficient sulfonylating reagent. Later, aromatic sulfonic acids were also examined under the optimal reaction conditions. The reactions of **1** with 4-methylbenzenesulfonic acid **2b** were tested and found to give the corresponding products **3** in 70-97% yields (Scheme 3, **3q**-**3t**). Other aromatic sulfonic acids such as naphthalene-1-sulfonic acid **2c**, 4-chlorobenzenesulfonic acid **2d** and benzenesulfonic acid **2e** were also performed at 60 ℃,

which provided the desired 1*H*-indole-3-sulfonates in 87-95 yields (Scheme 3, **3u**-**3w**).

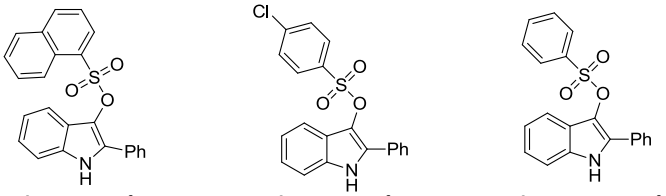
Scheme 3 Substrates scope to 2-phenyl-1*H*-indol-3-yl aryl sulfonates **3**.[a,b]



1 3



(**3q**, 6 min, 97%) (**3r**, 6 min, 93%) (**3s**,6 min, 89%) (**3t**, 12 min, 70%)

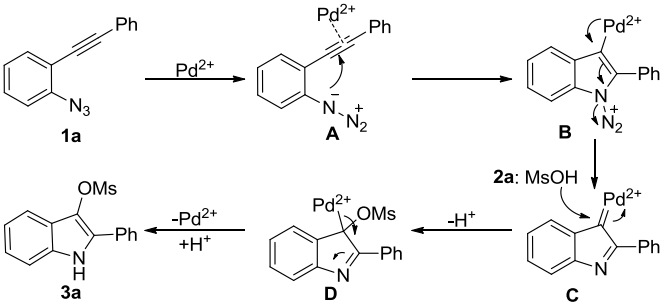


(**3u**, 2h, 87%)[c] (**3v**, 2 h, 89%)[c] (**3w**,40 min, 95%)[c]

[a] All reactions were performed at r.t. in 0.4 mL 1,4-dioxane with $Pd(OAc)_2$: **1a** : TsOH = 0.05: 1 : 3. [b]Isolated yield. [c]Reaction was conducted at 60 ℃.

Based on the above results, we tentatively propose the $Pd(OAc)_2$-catalyzed 2-phenyl-1*H*-indol-3-yl methanesulfonate **3a** forming reaction to proceed by the mechanism outlined in Scheme 4, although it is highly speculative. This could involve the activation of the 1-azido-2-(phenylethynyl)benzene **1a** via coordination of Pd catalyst to triple bond and deliver the complex **A**. Intramolecular amination of azide group with activated alkyne to give complex **B**. After releasing the N_2, α-imino palladium carbene species **C**[14] was afforded, which was then trapped by MsOH to deliver the complex **D**. Subsequent protondemetalation step led to the formation of desired product **3a**.

Scheme 4 Proposed Mechanism.



In summary, we have described an efficient synthetic method to 1*H*-indole-3-sulfonates via $Pd(OAc)_2$-catalyzed tandem reactions of 2-alkynyl arylazides with sulfonic acids. The reaction was shown to be applicable to various substrates bearing electronic and sterically demanding substituted groups. results show the reaction tolerates a structurally diverse set of 2-alkynyl arylazides. The efficiency of current mild and simple methodology was demonstrated by the good to excellent yields and short reaction time, in most cases, within 10 min. Efforts are currently underway to apply the method for the transition metal-catalyzed cross-coupling reactions.

Acknowledgements

This project was supported by the National Natural Science Foundation of China Grant (21302096, 21502093), Natural Science Foundation of Jiangsu Province Grant (BK20130962, BK20150871) and the Project Fund from the Priority Academic Program Development of Jiangsu Higher Education Institutions (PAPD).

Notes and references

1 (a) P. Y. Yeung, C. M. So, C. P. Lau and F. Y. Kwong, *Angew. Chem.*, 2010, **122**, 9102; (b) H. N. Nguyen, X. Huang and S. L. Buchwald, *J. Am. Chem. Soc.*, 2003, **125**, 11818; (c) Z. Tang and Q. Hu, *J. Am. Chem. Soc.*, 2004, **126**, 3058; (d) L. J. Gooßen, N. Rodríguez, P. P. Lange and C. Linder, *Angew. Chem., Int. Ed.*, 2010, **49**, 1111; (e) C. Cai, N. R. Rivera, J. Balsells, R. R. Sidler, J. C. McWilliams, C. S. Shultz and Y. Sun, *Org. Lett.*, 2006, **8**, 5161; (f) C. H. Cho, H. S. Yun and K. Park, *J. Org. Chem.*, 2003, **68**, 3017; (g) S. C. Miller, *J. Org. Chem.*, 2010, **75**, 4632.

2 (a) P. J. Stang, *Acc. Chem. Res.*, 1991, **24**, 304; (b) D. P. Elder, E. Delaney, A. Teasdale, S. Eyley, V. D. Reif, K. Jacq, K. L. Facchine, R. S. Oestrich, P. Sandra and F. David, *J. Pharm. Sci.*, 2010, **99**, 2948. (c) L. Pisani, M. Bareletta, R. Soto-Otero, O. Nicolotti, E. Mendez-Alvarez, M. Catto, A. Introcaso, A. Stefanachi, S. Cellamare, C. Altomare and A. Carotti, *J. Med. Chem.*, 2013, **56**, 2651; (d) L. Yan and C. E. Müller, *J. Med. Chem.*, 2004, **47**, 1031; (e) P. Wang, J. Min, J. C. Nwachukwu, V. Cavett, K. E. Carlson, P. Guo, M. Zhu, Y. Zheng, C. Dong, J. A. Katzenellenbogen, K. W. Nettles and H. Zhou, *J. Med. Chem.*, 2012, **55**, 2324; (f) H. Zhou, J. S. Comninos, F. Stossi, B. S. Katzenellenbogen and J. A. Katzenellenbogen, *J. Med. Chem.*, 2005, **48**, 7261. (g) P. J. Stang and W. L. Treptow, *J. Med. Chem.*, 1981, **24**, 468.

3 K. K. Andersen, *In Comprehensive Organic Chemistry*; D. N. Jones, Ed.; Pergamon Press: Oxford, 1979; Vol. **3**.

4 C. Dhonthulachitty, S. R. Kothakapu and C. K. Neella, *Tetrahedron Lett*. 2016, **57**, 4620.

5 C. S. Jones, S. D. Bull and J. M. J. Williams, *Org. Biomol. Chem.*, 2016, **14**, 8452.

6 J.-G. Kim and D. O. Jang, *Synlett*, 2007, **16**, 2501.

7 Y. Fu, W. Zhu, X. Zhao, H. Hugel, Z. Wu, Y. Su, Z. Du, D. Huang, and Y. Hu, *Org. Biomol. Chem.*, 2014, **12** 4295.

8 J. Gao, X. Pan, J. Liu, J. Lai, L. Chang and G. Yuan, *RSC Adv.*,2015, **5**, 27439.

9 H. Huang, Y. Wu, W. Zhang, C. Feng, B.-Q. Wang, W.-F. Cai, P. Hu, K.-Q. Zhao and S.-K. Xiang, *J. Org. Chem.* 2017, **82**, 3094.

10 (a) J. Y. Merour and S. Piroelle, *J. Heterocyclic Chem.,* 1991, **28**, 1869; (b) T. Kawasaki, M. Tabata, K. Nakagawa, K. Kobayashi, A. Kodama, T. Kobayashi, M. Hasegawa, K. Tanii and M. Somei, *Heterocycles*, 2015, **90**, 1038.

11 For recent selected reviews on gold-catalyzed reactions, see: (a) R. J. Harris and R. A. Widenhoefer, *Chem. Soc. Rev.*, 2016, **45**, 4533; (b) A. M. Asiri and A. S. K. Hashmi, *Chem. Soc. Rev.*, 2016, **45**, 4471; (c) Z. Zheng, Z. Wang, Y. Wang and L. Zhang, *Chem. Soc. Rev.*, 2016, **45**, 4448; (d) W. Ziand F. D. Toste, *Chem. Soc. Rev.*, 2016, **45**, 4567; (e) D. B. Huple, S. Ghorpade and R.-S. Liu, *Adv. Synth. Catal.*, 2016, **358**, 1348; (f) R. Dorel and A. M. Echavarren, *Chem. Rev.*, 2015, **115**, 9028; (g) M. Jia and M. Bandini, *ACS Catal.*, 2015, **5**,1638; (h) Y. Wang, M. E. Muratore and A. M. Echavarren, *Chem. Eur. J.*, 2015, **21**, 7332; (i) L. Zhang, *Acc. Chem. Res.*, 2014, **47**, 877; (j) H.-S. Yeom and S. Shin, *Acc. Chem. Res.*, 2014, **47**, 966; (k) L. Fensterbank and M. Malacria, *Acc. Chem. Res.*, 2014, **47**, 953. (l) D. Benitez, N. D. Shapiro, E. Tkatchouk, Y. Wang, W. A. Goddard III and F. D. Toste, *Nat. Chem.*, 2009, **1**, 482; (m) A. S. K. Hashmi, *Chem. Rev.*, 2007, **107**, 3180;

12 For our recent studies on gold-catalyzed tandem reactions, see: (a) C. Chen, Y. Zou, X. Chen, X. Zhang, W. Rao and P. W. H. Chan, *Org. Lett.*, 2016, **18**, 4730; (b) X. Zhang, X. Sun, H. Fan, C. Lyu, P. Li, H. Zhang and W. Rao, *RSC Adv.,* 2016, **6**, 56319; (c) X. Zhang, X. Sun, H. Fan, P. Li, C. Lyu and W. Rao, *Eur. J. Org. Chem.,* 2016, **25**, 4265.

13 For recent selected a review on the generation of α-imino gold carbenes, see: P. W. Davies and M. Garzûn, *Asian J. Org. Chem.*, 2015, **4**, 694; for recent selected examples, see: (a) G. H. Lonca, C. Tejo, H. L. Chan, S. Chiba and F. Gagosz, *Chem. Commun.*, 2017, **53**, 736; (b) Y. Pan, G.-W. Chen, C.-H. Shen, W. He and L.-W. Ye, *Org. Chem. Front.*, 2016, **3**, 391; (a) N. Li, X.-L. Lian,Y.-H. Li, T.-Y. Wang, Z.-Y. Han, L. Zhang and L.-Z. Gong, *Org. Lett.*, 2016, **18**, 4178; (b) H. Jin, L. Huang, J. Xie, M. Rudolph, F. Rominger and A. S. K. Hashmi, *Angew. Chem., Int. Ed.*, 2016, **55**, 794; (c) A.-H. Zhou, Q. He, C. Shu, Y.-F. Yu, S. Liu, T. Zhao, W. Zhang, X. Lu and L.-W. Ye, *Chem Sci.*, 2015, **6**,1265; (d) N. Li, T.-Y. Wang, L.-Z. Gong and L. Zhang, *Chem.-Eur. J.*, 2015, **21**, 3585; (e) C. Shu, Y.-H. Wang, B. Zhou, X.-L. Li, Y.-F. Ping, X. Lu and L.-W. Ye, *J. Am. Chem. Soc.*, 2015, **137**, 9567; (f) L. Zhu, Y. Yu, Z. Mao and X. Huang, *Org. Lett.* 2015, **17**, 30; (g) Y. Wu, L. Zhu, Y. Yu, X. Luo, and X. Huang, *J. Org. Chem.*, 2015, **80** ,11407; (h) S. K. Pawar, R. L. Sahani and R.-S. Liu, *Chem.-Eur. J.*, 2015, **21**, 10843; (i) A. Prechter, G. Henrion, P. F. dit Bel and F. Gagosz, *Angew. Chem., Int. Ed.*, 2014, **5**3, 4959; (j) M. Garzón and P. W. Davies, *Org. Lett.*, 2014, **16**, 4850; (k) Y. Tokimizu, S. Oishi, N. Fujii and H. Ohno, *Org. Lett.*, 2014, **16**, 3138; (l) E. Chatzopoulou and P. W. Davies, *Chem. Commun.*, 2013, **49**, 8617; (m) Z.-Y. Yan, Y. Xiao and L. Zhang, *Angew. Chem., Int. Ed.*, 2012, **51**, 8624; (n) Y. Xiao and L. Zhang, *Org. Lett.*, 2012, **14**, 4662; (o) B. Lu, Y. Luo, L. Liu, L. Ye, Y. Wang and L. Zhang, *Angew. Chem., Int. Ed.*, 2011, **50**, 8358; (p) A. Wetzel and F. Gagosz, *Angew. Chem., Int. Ed.*, 2011, **50**, 7354; (q) C. Li and L. Zhang, *Org. Lett.,* 2011, **13**, 1738; (r) P. W. Davies, A. Cremones and L. Dumitrescu, *Angew. Chem., Int. Ed.*, 2011, **50**, 8931; (s) D. J. Gorin, N. R. Davis and F. D. Toste, *J. Am. Chem. Soc.*, 2005, **127**,11260.

14 Formation of α-imino palladium carbenes, see: (a) Q. Zhou, Z. Zhang, Y. Zhou, S. Li, Y. Zhang and J. Wang, *J. Org. Chem.*, 2017, **82**, 48; for selected papers on palladium carbenes, see: (b) Y. Zhang and J. Wang, *Eur. J. Org. Chem.,* 2011, **2011**, 1015;. (c) J. Barluenga and C. Valdes, *Angew. Chem., Int. Ed.,* 2011, **50**, 7486; (d) Z. Shao and H. Zhang, *Chem. Soc. Rev.,* 2012, **41**, 560; (e) Q. Xiao, Y. Zhang and J. Wang, *Acc. Chem. Res.*, 2013, **46,** 236; (f) Z. Liu and J. Wang, *J. Org. Chem.,* 2013, **78**, 10024; (g) Y. Xia, Y. Zhang and J. Wang, *ACS Catal.,* 2013, **3**, 2586; (h) F. Hu, Y. Xia, C. Ma and Y. Zhang and J. Wang, *Chem. Commun.*, 2015, **51**, 7986; (i) W.-W. Chan, S.-F. Lo, Z. Zhou and W.-Y. Yu, *J. Am. Chem. Soc.,* 2012, **134**, 13565; (j) T. K. Hyster, K. E. Ruhl and T. Rovis, *J. Am. Chem. Soc.,* 2013, **135**, 5364; (k) Z. Shi, D. C. Koester, M. Boultadakis-Arapinis and F. Glorius, *J. Am. Chem. Soc.*, 2013, **135**, 12204; (l) M. Hu, Z. He, B. Gao, L. Li, C. Ni and J. Hu, *J. Am. Chem. Soc.,* 2013, **135**, 17302; (m) F. Hu, Y. Xia, F. Ye, Z. Liu, C. Ma, Y. Zhang and J. Wang, *Angew. Chem., Int. Ed.,* 2014, **53**, 1364; (n) S. Xu, G. Wu, F. Ye, X. Wang, H. Li, X. Zhao, Y. Zhang and J. Wang, *Angew. Chem., Int. Ed*., 2015, **54**, 4669; (o) Y. Xia, S. Feng, Z. Liu, Y. Zhang and J. Wang, *Angew. Chem., Int. Ed.* 2015, **54**, 7891; (p) H. Luo, G. Wu, Y. Zhang and J. Wang, *Angew. Chem., Int. Ed.*, 2015, **54**, 14503; (q) Z. Liu, H. Tan, T. Fu, Y. Xia, D. Qiu, Y. Zhang, J. and Wang, *J. Am. Chem. Soc.,* 2015, **137**, 12800; (r) Y. Xia, S. Qu, Q. Xiao, Z.-X. Wang, P. Qu, L. Chen, Z. Liu, L. Tian, Z. Huang, Y. Zhang and J. Wang, *J. Am. Chem. Soc.*, 2013, **135**, 13502; (s) Y. Xia, Y. Xia, R. Ge, Z. Liu, Q. Xiao, Y. Zhang and J. Wang, *Angew. Chem., Int. Ed.* 2014, **53**, 3917; (t) Y. Xia, Z. Liu, R. Ge, Q. Xiao, Y. Zhang and J. Wang, *Chem. Commun.,* 2015, **51**, 11233; For generating palladium carbene from alkynes, see: (u) I. Nakamura, G. B. Bajracharya, Y. Mizushima and Y. Yamamoto, *Angew. Chem., Int. Ed.,* 2002, **41**, 4328; (v) N. Monteiro, J. Gore and G. Balme, *Tetrahedron*, 1992, **48**,10103; (w) N. Monteiro and G. Balme, *J. Org. Chem.,* 2000, *65*, 3223.

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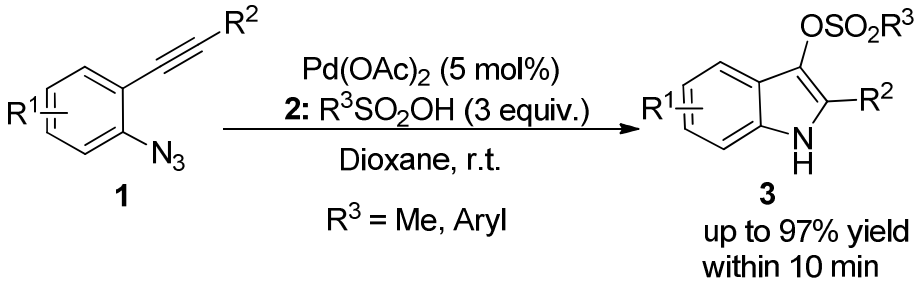

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