CHEMISTRY
A European Journal

A Journal of
ChemPubSoc Europe

Title: Synthesis of Terminal Vinylindoles via Rh(III)-Catalyzed Direct C-H Alkenylation with Potassium Vinyltrifluoroborate

Authors: Liang Wang, Chun Ni Zhou, Hao Hao Xie, Zi Ang Zheng, Yuan Chao Xiao, Gen Li, Yang Huan Shen, and Wang Ming Peng

To be cited as: *Chem. Eur. J.* 10.1002/chem.201706025

Link to VoR: http://dx.doi.org/10.1002/chem.201706025

Supported by
ACES

WILEY-VCH

Synthesis of Terminal Vinylindoles via Rh(III)-Catalyzed Direct C-H Alkenylation with Potassium Vinyltrifluoroborate

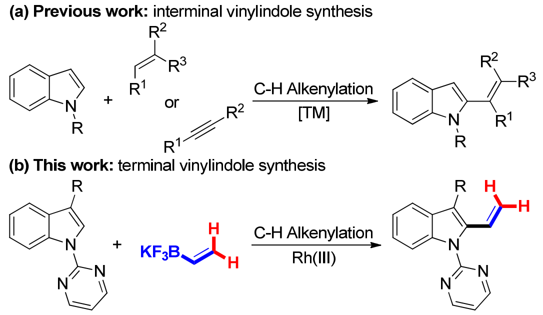
Chun-Ni Zhou, Hao-Hao Xie, Zi-Ang Zheng, Yuan-Chao Xiao, Gen Li, Yang-Huan Shen, Wang-Ming Peng and Liang Wang*

Dedication ((optional))

Abstract: An efficient Rh(III)-catalyzed direct C2-alkenylation of indoles using readily available potassium vinyltrifluoroborate under mild conditions has been developed. This protocol features wide substrate scope and excellent functional group compatibility, enabling a facile access to diverse terminal vinylindoles in moderate to good yields. Furthermore, the C2-alkenylated indole can be easily transformed into 9*H*-carbazole through a ring-closing metathesis /aromatization cascade.

Vinylindoles and their derivatives are valuable building blocks that are often utilized in the construction of a large number of biologically important compounds such as indole alkaloids, carbazoles, and carbolines.[1] In particular, terminal vinylindoles can be not only used in transformations such as [4+2] cycloadditions, olefin metathesis and Heck-type reactions, but also served as a handle to access various functional groups.[2] Consequently, the development of mild and efficient methods for the synthesis of functionalized vinylindole scaffolds has attracted considerable attention in modern organic synthesis.[3] In this context, transition metal-catalyzed direct C-H alkenylation of indoles with alkenes or alkynes has emerged as one of the most attractive protocols for preparation of alkenylated indole derivatives.[4] For examaple, direct C2-alkenylation of indoles and electron-deficient alkenes catalyzed by various metal catalysts had been well established by the research groups of Guant,[5] Ricci,[6] Muira,[7] Carretero,[8] and others.[9] Surprisingly, however, only one example of Rh-catalyzed oxidative-Heck coupling of *N*-acetylindole and styrene had been reported in 2010 by Glorius and co-workers.[10] Shortly after, Wang[11] and Song[12] independently disclosed the regioselective C2-alkenylation of indoles using styrene derivatives as alkenyl sources. In 2014, Xu and Li reported an elegant approach toward the synthesis of alkenyl substituted indoles via a Rh(I)-catalyzed decarbonylative direct C-H olefination of indoles with vinyl carboxylic acids.[13] Recently, selective fluoroalkenylation of *N*-pyrimidyl indoles with readily available *gem*-difluorostyrenes under mild conditions have been reported by Feng[14] and Li,[15] respectively. Xu and Zhang disclosed an efficient Rh(III)-catalyzed direct *ortho*-olefination of indoles with unactivated aliphatic olefins.[16] Apart from the C-H alkenylation with alkenes,[17] hydroarylation of alkynes via C-H bond cleavage have been demonstrated to be an alternative to install an alkenyl group into indole molecules.[18] In this regard, Schipper,[19] Yoshikai,[20] Zeng,[21] Nakao,[22] and others[23] successfully employed various internal or terminal alkynes as coupling partners in this transformation. Very recently, Ackermann report a highly efficient C2-alkenylation of indoles and allenes in the presence of Cobalt complexes.[24] Yoshino and Matsunaga documented a powerful methodology for the synthesis of tetrasubstituted alkenes containing indole moiety via a Co(III)-catalyzed C-H alkenylation/directing group migration sequence.[25] Although considerable advances had been made, these existing methods were only limited to constructing vinylindoles with substituents at the alkene terminus (Scheme 1a). Therefore, further development of new approaches for the assembly of terminal vinylindole derivatives is still highly desirable.

Potassium vinyltrifluoroborate, which was commercially available and air-stable, has been widely employed as a class of versatile vinylating agent in Suzuki-Miyaura cross-coupling reactions in the past decades, and proven to be powerful C2 building block toward a variety of desirable styrene derivatives.[26] However, to the best of our knowledge, there has been no previous report on the C-H alkenylation utilizing potassium vinyltrifluoroborate. Following our continuing interest in the indole chemistry,[27] we herein developed a Rh-catalyzed direct C-H alkenylation of indoles with potassium vinyltrifluoroborate for the synthesis of terminal vinylindoles (Scheme 1b).



Scheme 1. Transition metal-catalyzed direct C2-alkenylation of indoles.

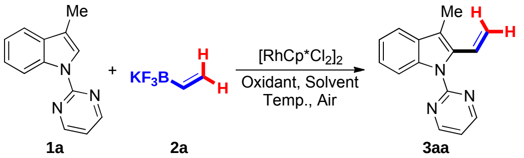
Reaction optimization was initiated with 3-methyl-*N*-pyrimidyl indole (**1a**) and potassium vinyltrifluoroborate (**2**) by employing the commonly used Rhodium catalysts at 1 mol % catalyst loading (Table 1). To our delight, the reaction did indeed occur with the use of AgOAc as oxidant in MeOH at 60 °C for 12 h under an air atmosphere affording the desired terminal

---

[a] Key Laboratory of Optoelectronic Chemical Materials and Devices, Ministry of Education, School of Chemical and Environmental Engineering, Jianghan University, 8 Sanjiaohu Road, Wuhan 430056, China
E-mail: wangliang@mail.jhun.edu.cn
[b] Title(s), Initial(s), Surname(s) of Author(s)
Department
Institution
Address 2

Supporting information for this article is given via a link at the end of the document.((Please delete this text if not appropriate)) vinylindole **3aa** with 65% yield (entry 1). Further screening of Ag(I) oxidants revealed that $AgCO_2CF_3$, Ag_2O and $AgBF_4$ were inferior to AgOAc (entries 2-4). Subsequently, a brief survey of the reaction media indicated that this catalytic system was sensitive to the solvents. In contrast to MeOH, EtOH gave a slightly lower yield (entry 5). Notably, changing ethanol to trifluoroethanol (TFE) could obviously accelerate this catalytic process, whereas only 33% of product was isolated (entry 6). Gratifyingly, when carried out in a 1:1 mixture of MeOH/TFE, the reaction was completed in 8 h and the yield was improved to 70% (entry 7). The effect of temperature was further investigated, which showed that lower reaction temperature could also enable this transformation. Actually, 40 °C proved to be the most suitable for the reaction, furnishing the vinylated product in 82% yield (entry 10). It should be noted that the substituent at the C3-position of indoles is very important for the success of the desired reaction, as the reaction with indole without substituent at the C-3 position resulted in a complicated mixture.

Table 1. Optimization of reaction conditions.[a]

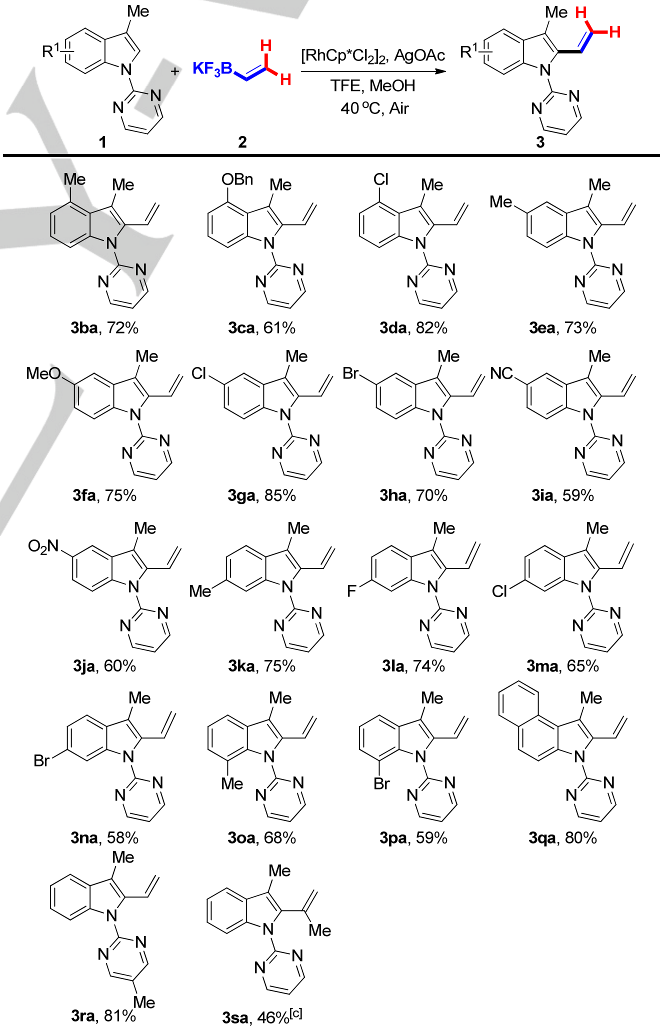


entry	oxidant	solvent	Temp [$^{\circ}$C]	t [h]	yield [%][b]
1	AgOAc	MeOH	60	12	65
2	AgTFA	MeOH	60	12	37
3	Ag_2O	MeOH	60	12	21
4	$AgBF_4$	MeOH	60	12	0
5	AgOAc	EtOH	60	12	63
6	AgOAc	TFE	60	4	33
7	AgOAc	MeOH/TFE = 1:1	60	8	70
8	AgOAc	MeOH/TFE = 1:1	60	12	67
9	AgOAc	MeOH/TFE = 1:1	60	6	39
10	AgOAc	MeOH/TFE = 1:1	40	8	82
11	AgOAc	MeOH/TFE = 1:1	25	24	50

[a] Reaction conditions: **1a** (0.2 mmol), **2** (0.3 mmol), $[RhCp^*Cl_2]_2$ (0.002 mmol), oxidant (0.3 mmol), solvent (1.0 mL), Air ballon (1 L). [b] Isolated yield.

With the optimal conditions in hand, we next turned our attention to study the scope of indoles and generality of this process. As shown in Table 2, a wide range of *N*-pyrimidyl indoles bearing substituents with different electronic effects were found to be suitable for the C-H alkenylation reaction. Substrates bearing various electron-donating groups (e.g. Me, OMe, and OBn) coupled smoothly with potassium vinyltrifluoroborate, affording the corresponding products in a range of 61-75% yields (**3ba**, **3ca**, **3ea**, **3fa**, **3ka**, and **3oa**). Moreover, the reaction showed good compatibility with strongly electron-withdrawing groups, such as cyano (**3ia**) and nitro (**3ja**), which are of great value for the synthesis of amines and acids. Good tolerance to the fluoro (**3la**), chloro (**3da**, **3ga**, and **3ma**), and bromo (**3ha**, **3na**, and **3pa**) is especially noteworthy since they are useful functional handle for further transformations through standard cross-coupling reactions. Importantly, polycyclic indole substrate participated in the direct C-H alkenylation successfully to furnish the expected product in 80% yield (**3qa**). The methyl group in pyrimidine ring also proved to be no effect in the current catalytic system and the expected product **3ra** was obtained with 81% yield. In addition, potassium isopropenyltrifluoroborate was also efficient coupling partners for this transformation to give the desired product **3sa** in 46% yield.

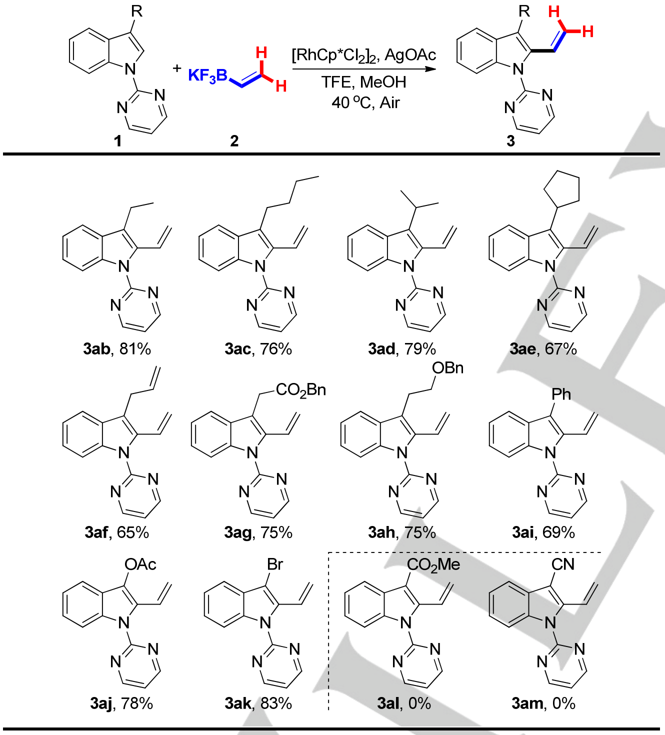
Table 2. Scope of C3-substituted indoles.[a, b]



[a] Reaction conditions: **1** (0.2 mmol), **2** (0.3 mmol), $[RhCp^*Cl_2]_2$ (0.002 mmol), AgOAc (0.3 mmol), MeOH (0.5 mL), and TFE (0.5 mL), Air balloon (1 L), 40 °C, 8-12 h. [b] Isolated yield. [c] Performed at 80 °C for 24 h.

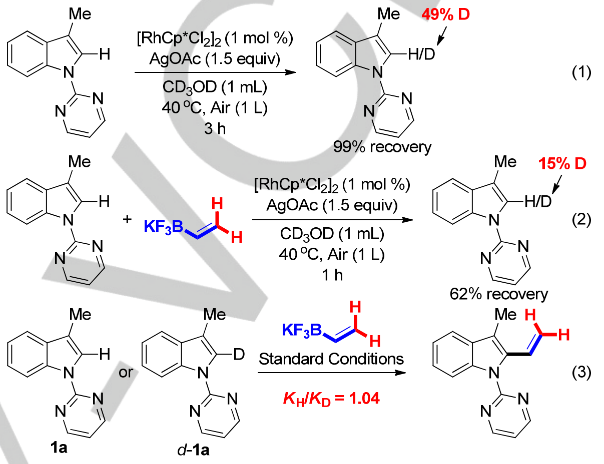
To further expand the substrate scope of this protocol, a broad range of C3-substituted indoles under the optimal reaction conditions were screened (Table 3). Indoles containing a variety of alkyl groups at C3-position, regardless of their electronic and steric properties, proceeded smoothly to deliver the terminal vinylindoles in moderate to good yields (**3ab**-**3ah**). It should be noted that allyl, ester and ether group on the alkyl chain of indoles were well tolerated under the standard conditions (**3af**-**3ah**). The direct C-H alkenylation was not restricted to the alkyl substituted substrate, but also tolerated a 3-phenyl group (**3ai**). We were pleased to find that both acetoxyl (**3aj**) and bromo (**3ak**) group remained intact during the course of the reaction, giving the corresponding products in 78% and 83% yields, respectively. Unfortunately, the reactions of substituted indoles **1la** and **1ma** with strongly electron-withdrawing groups, such as ester or cyano at the C3-position did not proceed under the standard conditions.

Table 3. Scope of C3-substituted indoles.[a, b]



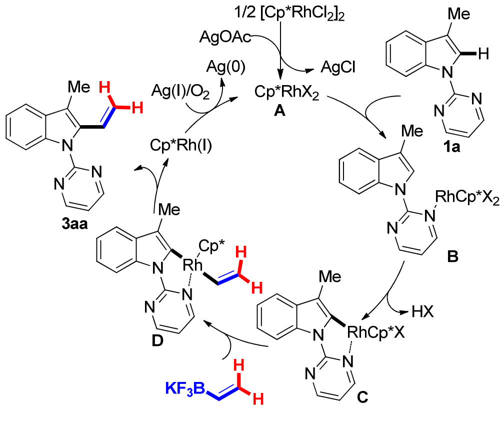
[a] Reaction conditions: **1** (0.2 mmol), **2** (0.3 mmol), [RhCp*Cl$_2$]$_2$ (0.002 mmol), AgOAc (0.3 mmol), MeOH (0.5 mL), and TFE (0.5 mL), Air balloon (1 L), 40 °C, 8-12 h. [b] Isolated yield.

In order to gain some insight into the mechanism, the H/D exchange experiment was conducted in CD$_3$OD for 3 h, and 49% deuterium incorporation at C2-position was observed (Scheme 2, eq 1). When the reaction was performed in the presence of **2a**, deuterium incorporation was also detected in the recovered **1a** (eq 2). These results suggest that a reversible C-H bond cleavage process should be involved in this process. Furthermore, the kinetic isotope effect was measured during parallel reactions of **1a** (or *d*-**1a)** with **2** under the standard conditions. A value of 1.04 was obtained from the ratio of desired product **3aa** (eq 3), which suggested that the C-H bond cleavage was not involved in the rate-determining step.



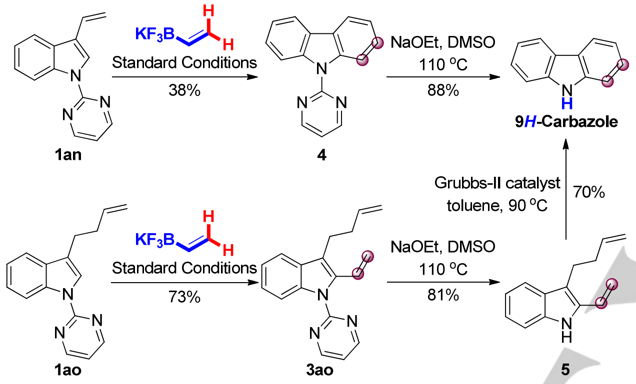
Scheme 2. Isotopic experiments

On the basis of these experimental results, a plausible mechanism is proposed as described in Scheme 3. This process is likely to be initiated by the coordination of the nitrogen atom of pyrimidyl group to cationic rhodium complex **A**, which was formed through the adsorption of chlorine by AgOAc. With the assistance of the pyrimidyl group, the direct cyclometalation via C−H bond cleavage affords a five-membered rhodacycle **C**. Then, the following transmetalation with potassium vinyltrifluoroborate generates a new Rh^{III} intermediate **D**, which undergoes a reductive elimination to produce the desired vinylindoles together with Rh^{I} species. Finally, the catalytically active species **A** was regenerated by oxidation of Rh^{I} species in the presence of AgOAc and oxygen.



Scheme 3. Proposed mechanism

As shown in Scheme 4, the synthesis of 9*H*-carbazole was conducted to demonstrate the utility of this synthetic methodology. When 3-vinylindole **1an** was subjected to the standard conditions, the unexpected *N*-pyrimidyl carbazole **4** was obtained with 38% yield, which might result from a sequential C-H alkenylation and 6π electrocyclization reaction.[28] Subsequent removal of the pyrimidyl group was readily achieved by treatment of **4** with NaOEt in DMSO at 110 °C, providing 9*H*-carbazole in 88% yield. Vinylated indole **3ao** was easily converted into free (NH)-vinylindole **5** through removal of the pyrimidyl group under basic conditions. Interestingly, ring-closing metathesis of **5** not only took place smoothly in the presence of Grubbs-II catalyst, but also could furnish the cascade cyclization/aromatization product 9*H*-carbazole in 70% yield.[29]



Scheme 4. Carbazole synthesis

In summary, we have developed an efficient method for synthesis of valuable terminal vinylindoles via Rh^{III}-caalyzed direct C-H alkenylation of indoles with potassium vinyltrifluoroborate under mild reaction conditions. This reaction shows broad substrate scope and high functional group tolerance, providing a straightforward strategy for the introduction of vinyl group into the indole skeleton. Further studies to expand the application of potassium vinyltrifluoroborate in the C-H functionalization are currently underway in our laboratory.

Acknowledgements

We are grateful to the National Natural Science Foundation of China (No. 21302064), Natural Science Foundation of Hubei Province (No. 2017CFB690) and National College Students' Innovation and Entrepreneurship Training Program (No. 201611072008).

Keywords: Terminal vinylindole •Rhodium • C-H Alkenylation • Potassium Vinyltrifluoroborate

[1] a) A. J. Kochanowska-Karamyan, M. T. Hamann, *Chem. Rev.* **2010**, *110*, 4489; b) B. Pettersson, V. Hasimbegovic, J. Bergman, *J. Org. Chem.* **2011**, *76*, 1554; c) Y.-J. Cao, H.-G. Cheng, L.-Q. Lu, J.-J. Zhang, Y. Cheng, J.-R. Chen, W.-J. Xiao, *Adv. Synth. Catal.* **2011**, *353*, 617; d) C.-B. Chen, X.-F. Wang, Y.-J. Cao, H.-G. Cheng, W.-J. Xiao, *J. Org. Chem.* **2009**, *74*, 3532.

[2] a) E. Rossi, G. Abbiati, V. Pirovano, *Eur. J. Org. Chem.* **2017**, 4512; b) F. Tan, C. Xiao, H.-G. Cheng, W. Wu, K.-R. Ding, W.-J. Xiao, *Chem. Asian J.* **2012**, *7*, 493; c) B. Tan, G. Hernández-Torres, C. F. Barbas, III, *J. Am. Chem. Soc.* **2011**, *133*, 12354; d) X.-F. Wang, Y.-J. Cao, H.-G. Cheng, J.-R. Chen, W.-J. Xiao, *Org. Lett.* **2010**, *12*, 1140; e) C. Gioia, A. Hauville, L. Bernardi, F. Fini, A. Ricci, *Angew. Chem., Int. Ed.* **2008**, *47*, 9236; *Angew. Chem.* **2008**, *120*, 9376.

[3] a) M. Platon, R. Amardeil, L. Djakovith, J. Hierso, *Chem. Soc. Rev.* **2012**, *41*, 3929; b) S. Sahu, A. Banerjee, M. S. Maji, *Org. Lett.* **2017**, *19*, 464; c) D. L. Obydennov, E. O. Pan'kina, V. Y. Sosnovskikh, *J. Org. Chem.* **2016**, *81*, 12532; d) Q. Yang, L. Wang, T. Guo, Z. Yu, *J. Org. Chem.* **2012**, *77*, 8355; e) S.-K. Xiang, B. Zhang, L.-H. Zhang, Y. Cui, N. Jiao, *Chem. Commun.* **2011**, *47*, 8097.

[4] For selected reviews on direct C-H alkenylation: a) T. Gensch, M. N. Hopkinson, F. Glorius, J. Wencel-Delord, *Chem. Soc. Rev.* **2016**, *45*, 2900; b) G. Song, X. Li, *Acc. Chem. Res.* **2015**, *48*, 1007; c) Z. Chen, B. Wang, J. Zhang, W. Yu, Z. Liu, Y. Zhang, *Org. Chem. Front.* **2015** *2*, 1107; d) C. Liu, J. Yuan, M. Gao, S. Tang, W. Li, R. Shi, A. Lei, *Chem. Rev.* **2015**, *115*, 12138; e) C. S. Yeung, V. M. Dong, *Chem. Rev.* **2011**, *111*, 1215; f) L. McMurray, F. O'Hara, M. J. Gaunt, *Chem. Soc. Rev.* **2011**, *40*, 1885; g) S. H. Cho, J. Y. Kim, J. Kwak, S. Chang, *Chem. Soc. Rev.* **2011**, *40*, 5068; h) C. G. Jia, T. Kitamura, Y. Fujiwara, *Acc. Chem. Res.* **2001**, *34*, 633.

[5] N. P. Grimster, C. Gauntlett, C. R. A. Godfrey, M. J. Gaunt, *Angew. Chem., Int. Ed.* **2005**, *44*, 3125; *Angew. Chem.* **2005**, *117*, 3158.

[6] Capito, E.; Browna, J. M.; Ricci, A. *Chem. Commun.* **2005**, 1854.

[7] a) T. Ueyama, S. Mochida, T. Fukutani, K. Hirano, T. Satoh, M. Miura, *Org. Lett.* **2011**, *13*, 706; b) A. Maehara, H. Tsurugi, T. Satoh, M. Miura, *Org. Lett.* **2008**, *10*, 1159.

[8] a) A. García-Rubia, B. Urones, R. G. Arrayás, J. C. Carretero, *Chem. – Eur. J.* **2010**, *16*, 9676; (b) A. García-Rubia, R. G. Arrayás, J. C. Carretero, *Angew. Chem., Int. Ed.* **2009**, *48*, 6511; *Angew. Chem.* **2009**, *121*, 6633.

[9] For selected examples, see: a) H. Chen, C. Lin, C. Xiong, Z. Liu, Y. Zhang, *Org. Chem. Front.* **2017**, *4*, 455; b) P. Lu, C. Feng, T.-P. Loh, *Org. Lett.* **2015**, *17*, 3210; c) S. Sharma, S. Han, M. Kim, N. K. Mishra, J. Park, Y. Shin, J. Ha, J. H. Kwak, Y. H. Jung, I. S. Kim, *Org. Biomol. Chem.* **2014**, *12*, 1703; d) L. Yang, G. Zhang, H. Huang, *Adv. Synth. Catal.* **2014**, *356*, 1509; e) B. Gong, J. Shi, X. Wang, Y. Yan, Q. Li, Y. Meng, H. E. Xu, W. Yi, *Adv. Synth. Catal.* **2014**, *356*, 137; f) C.-Y. Tang, Y. Tao, X.-Y. Wu, F. Sha, *Adv. Synth. Catal.* **2014**, *356*, 609; g) V. Lanke, K. R. Prabhu, *Org. Lett.* **2013**, *15*, 2818; h) W.-L. Chen, Y.-R. Gao, S. Mao, Y.-L. Zhang, Y.-F. Wang, Y.-Q. Wang, *Org. Lett.* **2012**, *14*, 5920; i) Y. Matsuura, M. Tamura, T. Kochi, M. Sato, N. Chatani, F. Kakiuchi, *J. Am. Chem. Soc.* **2007**, *129*, 9858.

[10] F. W. Patureau, F. Glorius, *J. Am. Chem. Soc.* **2010**, *132*, 9982.

[11] B. Li, J. Ma, W. Xie, H. Song, S. Xu, B. Wang, *Chem. – Eur. J.* **2013**, *19*, 11863.

[12] L.-Q. Zhang, S. Yang, X. Huang, J. You, F. Song, *Chem. Commun.* **2013**, *49*, 8830.

[13] L. Zhang, R. Qiu, X. Xue, Y. Pan, C. Xu, D. Wang, X. Wang, L. Xu, H. Li, *Chem. Commun.* **2014**, *50*, 12385.

[14] a) S.-H. Cai, L. Ye, D.-X. Wang, Y.-Q. Wang, L.-J. Lai, C. Zhu, C. Feng, T.-P. Loh, *Chem. Commun.* **2017**, *53*, 8731; b) P. Tian, C. Feng, T.-P. Loh, *Nat. Commun.* **2015**, *6*, 7472.

[15] L. Kong, X. Zhou, X. Li, *Org. Lett.* **2016**, *18*, 6320.

[16] X. Xue, J. Xu, L. Zhang, C. Xu, Y. Pan, L. Xu, H. Li, W. Zhang, *Adv. Synth. Catal.* **2016**, *358*, 573.

[17] Petrini, M. *Chem. – Eur. J.* **2017**, 23, 16115.

[18] V. P. Boyarskiy, D. S. Ryabukhin, N. A. Bokach, A. V. Vasilyev, *Chem. Rev.* **2016**, *116*, 5894.

[19] D. J. Schipper, M. Hutchinson, K. Fagnou, *J. Am. Chem. Soc.* **2010**, *132*, 6910.

[20] Z. Ding, N. Yoshikai, *Angew. Chem., Int. Ed.* **2012**, *51*, 4698; *Angew. Chem.* **2012**, *124*, 4776.

[21] a) W. Zhang, J. Wei, S. Fu, D. Lin, H. Jiang, W. Zeng, *Org. Lett.* **2015**, *17*, 1349; b) L. Liang, S. Fu, D. Lin, X.-Q. Zhang, Y. Deng, H. Jiang, W. Zeng, *J. Org. Chem.* **2014**, *79*, 9472.

[22] a) F. Inoue, T. Saito, K. Semba, Y. Nakao, *Chem. Commun.* **2017**, *53*, 4497; b) Y. Nakao, K. S. Kanyiva, S. Oda, T. Hiyama, *J. Am. Chem. Soc.* **2006**, *128*, 8146.

[23] a) S. Wang, J.-T. Hou, M.-L. Feng, X.-Z. Zhang, S.-Y. Chen, X.-Q. Yu, *Chem. Commun.* **2016**, *52*, 2709; (b) X. Zhou, Z. Fan, Z. Zhang, P. Lu, Y. Wang, *Org. Lett.* **2016**, *18*, 4706.

[24] S. Nakanowatari, R. Mei, M. Feldt, L. Ackermann, *ACS Catal*, **2017**, *7*, 2511.

[25] H. Ikemoto, R. Tanaka, K. Sakata, M. Kanai, T. Yoshino, S. Matsunaga, *Angew. Chem., Int. Ed.* **2017**, *56*, 7156; *Angew. Chem.* **2017**,*125,* 7727.

[26] a) S. Darses, J.-P. Genet, *Chem. Rev.* **2008**, *108*, 288; b) G. A. I. Molander, N. Ellis, *Acc. Chem. Res.* **2007**, *40*, 275; c) H.-J. Nie, J. Yao, Y.-W. Zhong, *J. Org. Chem.* **2011**, 76, 4771.

[27] a) L. Wang, X. Qu, L. Fang, Z. Li, S. Hu, F. Wang, *Eur. J. Org. Chem.* **2016**, 5494; b) L. Wang, Z. Li, X. Qu, W.-M. Peng, S.-Q. Hu, H.-B. Wang, *Tetrahedron Lett.* **2015**, *56*, 6214; c) L. Wang, X. Qu, Z. Li, W.-M. Peng, *Tetrahedron Lett.* **2015**, *56*, *754*; d) L. Wang, W. Guo, X.-X. Zhang, X.-D. Xia, W.-J. Xiao, *Org. Lett.* **2012**, *14*, 740.

[28] a) M. Bian, L. Li, H. Ding, *Synthesis* **2017**, *49*, 4383; b) J. K. Laha, N. Dayal, *Org. Lett.* **2015**, *17*, 4742; c) A. K. Verma, A. K. Danodia, R. K. Saunthwal, M. Patel, D. Choudhary, *Org. Lett.* **2015**, *17*, 3658; d) R. K. Saunthwal, M. Patel, S. Kumar, A. K. Danodia, A. K. Verma, *Chem. Eur. J.* **2015**, *21*, 18601; e) K. Ozaki, H. Zhang, H. Ito, A. Lei, K. Itami, *Chem. Sci.* **2013**, *4*, 3416.

[29] a) K. Yoshida, K. Hayashi, A. Yanagisawa, *Org. Lett.* **2011**, *13*, 4762; b) K. Yoshida, T. Imamoto, *J. Am. Chem. Soc.* **2005**, *127*, 10470.

10.1002/chem.201706025

Entry for the Table of Contents (Please choose one layout)

Layout 1:

COMMUNICATION

Text for Table of Contents

((Insert TOC Graphic here))

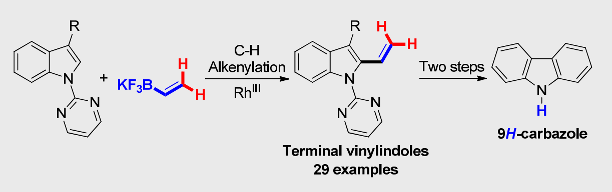
*Author(s), Corresponding Author(s)**

Page No. – Page No.

Title

Layout 2:

COMMUNICATION



An efficient Rh(III)-catalyzed direct C2-alkenylation of indoles using readily available potassium vinyltrifluoroborate under mild conditions has been developed. This protocol features wide substrate scope and excellent functional group compatibility, enabling a facile access to diverse terminal vinylindoles in moderate to good yields. Furthermore, the C2-alkenylated indole can be easily converted into 9*H*-carbazole through a ring-closing metathesis /aromatization cascade.

*Chun-Ni Zhou, Hao-Hao Xie, Zi-Ang Zheng, Yuan-Chao Xiao, Gen Li, Yang-Huan Shen, Wang-Ming Peng and Liang Wang**

Page No. – Page No.

Synthesis of Terminal Vinylindoles via Rh(III)-Catalyzed Direct C-H Alkenylation with Potassium Vinyltrifluoroborate