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Article

Rh(III)-catalyzed synthesis of (dihydro)quinolines via the annulation of *N*-sulfonyl 2-aminobenzaldehydes with olefins

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ABSTRACT

We developed a new synthetic method for the production of 1,2-dihydroquinolines and quinolines via the Rh(III)-catalyzed annulation of *N*-sulfonyl 2-aminobenzaldehydes with olefins. Various functionalities were found to be compatible under the operationally simple conditions. This study provides the first example of a Rh(III)-catalyzed synthesis of 1,2-dihydroquinolines.

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1. Introduction

Quinolines are an important structural motif for a wide range of biologically active natural products and they have important physiological activity [1,2]. The most popular methods of quinoline synthesis include the Skraup quinoline synthesis, the Fridländer quinoline synthesis, the Camps quinoline synthesis, the Combes quinoline synthesis, and the Doebner quinoline synthesis, among others [3]. These synthetic procedures usually require harsh conditions and they generate a large amount of byproducts. Other novel and effective strategies have recently been developed for the synthesis of these compounds [4–57]. In particular, transition metals such as In [26,27], Ga [27], Pt [27–28], Pd [30–37], Rh [36–44], Ru [45–53], Fe [54], Ni [55], Cu [56] and Au [57] have been used as active catalysts to effect the formation of quinolines. Rh cata-

lysts have become an increasing important tool for the construction of complex organic molecules [58,59]. New strategies have been developed for synthesis of dihydroquinolines such as the use of 1-tosyl-1,2-dihydroquinoline-3-carboxylate [18,31,56]. However the preparation of the starting materials is often tedious and a long reaction time is frequently required (Scheme 1). It is thus desirable to develop more efficient methods for the formation of dihydroquinoline and quinolines.

We have previously demonstrated that *N*-sulfonyl 2-amino benzaldehydes coupled with various activated and unactivated olefins under redox-neutral conditions give hydroacylation product via a C-H activation pathway [60]. We then focused on the identification of a suitable catalyst and reaction conditions for the selective cyclization of activated olefins with *N*-sulfonyl-2-aminobenzaldehydes. To our delight, we discovered a very efficient method to synthesize 1-tosyl-1,2-dihy-

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Scheme 1. Quinoline derivative synthesis by the annulation of olefins.

droquinoline-3-carboxylate (**3a**). Herein, we report the synthesis of such (dihydro)quinoline derivatives via the efficient coupling of activated alkenes with *N*-sulfonyl-2-aminobenzaldehydes (Scheme 1(4)).

2. Experimental

2.1. General remarks

All the olefins were obtained from commercial sources and were used as received unless otherwise noted. The symmetrical *N*-sulfonyl 2-aminobenzaldehydes were synthesized according to reported procedures [30,61]. All reactions were carried out using Schlenk techniques or in an Ar-filled glove-box. Column chromatography was performed on silica gel (300–400 mesh) using ethyl acetate (EA)/petroleum ether (PE) or dichloromethane (DCM).

NMR Spectra were recorded on a Bruker 400 MHz or 500 MHz NMR spectrometer in the NMR solvent indicated. The chemical shift is given in dimensionless δ values and is frequency referenced to TMS for ^1H and ^{13}C NMR spectroscopy. HRMS data were obtained on a Thermo Scientific LTQ Orbitrap Discovery (Bremen, Germany).

2.2. General procedure for the annulative coupling between *N*-sulfonyl 2-aminobenzaldehydes and acrylates.

N-sulfonyl 2-aminobenzaldehyde (0.2 mmol), acrylate ester (0.8 mmol), $[\text{Cp}^*\text{RhCl}_2]_2$ (2.5 mol%), Ag_2CO_3 (0.4 mmol), and K_2CO_3 (0.6 mmol) were charged into a pressure tube, and CH_3CN (2 mL) was added under Ar. The reaction mixture was stirred at 110 °C for 18 h. After cooling to room temperature, the solvent was removed under reduced pressure and the residue was purified by silica gel chromatography using EA/PE to afford the cyclization product.

3. Results and discussion

We initially used *N*-sulfonyl-2-aminobenzaldehyde (**1a**) and ethyl acrylate (**2a**) as coupling partners, $[\text{RhCp}^*\text{Cl}_2]_2$ as the

catalyst in the presence of Ag_2CO_3 (2 equiv) in MeCN. However, no desired product was observed (Table 1, entry 1). To our delight, the desired ethyl 1-tosyl-1,2-dihydroquinoline-3-carboxylate (**3a**) was obtained in 87% yield when K_2CO_3 was introduced (entry 2). Omission of Rh^{III} completely shut down the reaction demonstrating its important role (entry 5). Two other catalysts, $[\text{RhCp}^*(\text{MeCN})_3](\text{SbF}_6)_2$ and $[\text{Ru}(p\text{-cymene})\text{Cl}_2]_2$, were also then examined but they gave essentially no activity (entries 3,4). When the reaction was conducted in the absence of Ag_2CO_3 , a lower yield of the desired products was isolated (entry 6). The product yield reduced to 77% when one equiv of Ag_2CO_3 was used, and this showed that this base played a vital role in the reaction. Screening with other bases indicated that Ag_2CO_3 was the best base (entries 2,8,9). The effects of the solvent were also examined and CH_3CN was confirmed to be the best solvent for the reaction (entries 10–12). An investigation into the effect of the reaction temperature revealed that when the reaction temperature was lowered from 110 °C to 100 °C, the total yield decreased slightly (entries 2,13), and 110 °C is thus suggested.

To determine the scope of this coupling system, the optimized reaction conditions were used for reactions between different *N*-sulfonyl-2-aminobenzaldehydes and olefins (Table 2). The results reveal that the optimized procedure tolerates a range of different 2-aminobenzaldehydes. With the introduction of electron-donating or -withdrawing groups, for example methyl (**1b**), fluoro (**1c**), chloro (**1d**), bromo (**1f**), and nitro (**1j**) at the *meta* and *para* positions of the phenyl ring of the 2-aminobenzaldehydes, the reactions proceeded smoothly, and the resulting coupling products were isolated in moderate to good yields. Notably, the tolerance of the halides (**3f**, **3g**, and **3h**) may offer further opportunity for subsequent derivatization. While *N*-(2-formyl-5-nitrophenyl)-4-methylbenzenesulfonamide (**1g**) also participated in this reaction, a quinoline

Table 1
Optimization of the reaction conditions for coupling between *N*-sulfonyl-2-aminobenzaldehyde and ethyl acrylate.

Entry	Catalyst ^b (mol%)	Base (equiv)	Additive (equiv)	Solvent	Yield ^c (%)
1	A (2.5)	Ag_2CO_3 (2)	—	CH_3CN	nd
2	A (2.5)	Ag_2CO_3 (2)	K_2CO_3 (3)	CH_3CN	87
3	B (5)	Ag_2CO_3 (2)	K_2CO_3 (3)	CH_3CN	nd
4	C (5)	Ag_2CO_3 (2)	K_2CO_3 (3)	CH_3CN	nd
5	—	Ag_2CO_3 (2)	K_2CO_3 (3)	CH_3CN	nd
6	A (2.5)	—	K_2CO_3 (3)	CH_3CN	69
7	A (2.5)	Ag_2CO_3 (1)	K_2CO_3 (3)	CH_3CN	77
8	A (2.5)	CsOPiv (2)	K_2CO_3 (3)	CH_3CN	86
9	A (2.5)	CsOAc (2)	K_2CO_3 (3)	CH_3CN	83
10	A (2.5)	Ag_2CO_3 (2)	K_2CO_3 (3)	DCE	nd
11	A (2.5)	Ag_2CO_3 (2)	K_2CO_3 (3)	DCM	nd
12	A (2.5)	Ag_2CO_3 (2)	K_2CO_3 (3)	dioxane	trace
13 ^d	A (2.5)	Ag_2CO_3 (2)	K_2CO_3 (3)	CH_3CN	85

^a Reaction conditions: *N*-Ts 2-aminobenzaldehyde (0.2 mmol), ethyl acrylate (0.8 mmol), CH_3CN (2 mL), 110 °C, 18 h. ^b Catalyst **A** = $[\text{RhCp}^*\text{Cl}_2]_2$; **B** = $[\text{RhCp}^*(\text{MeCN})_3](\text{SbF}_6)_2$; **C** = $[\text{Ru}(p\text{-cymene})\text{Cl}_2]_2$.

^c Isolated yield. ^d 100 °C. nd: not detectable.

Table 2Annulation between *N*-sulfonyl 2-aminobenzaldehydes and olefins^a.

		$\xrightarrow[\text{K}_2\text{CO}_3, \text{MeCN}, 110^\circ\text{C}, 18\text{ h}]{[\text{RhCp}^*\text{Cl}_2]_2, \text{Ag}_2\text{CO}_3}$		
Entry	1	R ²	product	Yield ^b (%)
1		COOEt 2a		87
2		COOMe 2b		91
3		COO ⁿ Bu 2c		82
4		COOBn 2d		88
5		COOEt 2a		77
6		COOEt 2a		83
7		COOEt 2a		81
8		COOEt 2a		82
9		COOBn 2d		85
10		COOEt 2a		58
11 ^c		CN 2e		52
12 ^c		SO ₂ Ph 2f		56

^a Reaction conditions: 1 (0.2 mmol), 2 (0.8 mmol), [RhCp*Cl₂]₂ (2.5 mol%), Ag₂CO₃ (0.4 mmol), K₂CO₃ (0.6 mmol), MeCN (2 mL), 110 °C, 18 h, Ar. ^b Isolated yield. ^c CsOPiv (0.4 mmol).

product was isolated (**3j**), which is believed to be a result of the *in situ* elimination of a Ts-H molecule from the dihydroquino-

line intermediate. The substrate scope was further extended to a wide variety of olefins, and electron-deficient olefins such as methyl acrylate (**2b**), butyl acrylate (**2c**), benzyl acrylate (**2d**), acrylonitrile (**2e**) and phenyl vinyl sulfone (**2f**) were suitable for this transformation. Surprisingly, we also obtained the corresponding quinoline products in moderate yields for acrylonitrile and phenyl vinyl sulfone (**3k** and **3l**). These electron-withdrawing groups facilitate the 1,2-elimination of Ts-H. Given the prevalence of quinolines in natural products and in pharmaceuticals, this simple and convenient method for the synthesis of 1-tosyl-1,2-dihydroquinolines represents an attractive strategy.

4. Proposed mechanism

Although no solid evidence was obtained for the current coupling system, a tentative mechanism is proposed to explain the observed transformation (Scheme 2). In the presence of a base, deprotonation and coordination of the sulfonamide (**1**) generate a Rh^{III} amidate intermediate (**II**). The subsequent coordination of the activated olefin and insertion of the Rh-N bond into the olefin (Michael-like addition) are followed by intramolecular Aldol condensation, which gives the dihydroquinoline product (**IV**). The stability of the dihydroquinoline (**IV**) is influenced by the effects of the base and the electron-withdrawing nature of the substituent. For example, acrylonitrile (3-position in **IV**), phenyl vinyl sulfone (3-position in **IV**), and nitro groups (7-position in **IV**) tend to favor *in situ* 1,2-elimination to give a quinoline.

5. Experimental data for the products

Ethyl 1-tosyl-1,2-dihydroquinoline-3-carboxylate (**3a**). 87% yield. ¹H NMR (400 MHz, CDCl₃): δ = 7.76 (d, *J* = 8.1 Hz, 1H), 7.41 (t, *J* = 7.2 Hz, 1H), 7.27–7.24 (m, 3H), 7.12 (d, *J* = 6.9 Hz, 1H), 7.05 (d, *J* = 8.1 Hz, 2H), 6.96 (s, 1H), 4.67 (s, 2H), 4.22 (q, *J* = 7.1 Hz, 2H), 2.33 (s, 3H), 1.32 (t, *J* = 7.1 Hz, 3H). ¹³C NMR (101 MHz, CDCl₃): δ = 164.4, 144.0, 136.3, 136.0, 133.5, 130.6, 129.3, 128.7, 128.2, 127.4, 127.1, 127.0, 125.5, 61.0, 44.5, 21.7, 14.5. The NMR data agree with those in a literature report [56]. HRMS: [M + NH₄]⁺ calculated for C₁₉H₂₃N₂O₄S: 375.13730, found 375.13840.

Methyl 1-tosyl-1,2-dihydroquinoline-3-carboxylate (**3b**). 91% yield. ¹H NMR (500 MHz, CDCl₃): δ = 7.76 (d, *J* = 8.1 Hz, 1H), 7.41 (td, *J* = 7.8, 1.6 Hz, 1H), 7.27–7.24 (m, 3H), 7.11 (dd, *J* = 7.6, 1.5 Hz, 1H), 7.05 (d, *J* = 8.0 Hz, 2H), 6.97 (s, 1H), 4.68 (d, *J* = 1.3 Hz, 2H), 3.77 (s, 3H), 2.33 (s, 3H). ¹³C NMR (126 MHz, CDCl₃): δ = 164.9, 144.0, 136.4, 136.0, 133.8, 130.7, 129.3, 128.7, 128.1, 127.3, 127.2, 127.1, 125.2, 52.1, 44.5, 21.7. HRMS: [M + H]⁺ calculated for C₁₈H₁₈NO₄S: 344.09511, found 344.09515.

Butyl 1-tosyl-1,2-dihydroquinoline-3-carboxylate (**3c**). 82% yield. ¹H NMR (400 MHz, CDCl₃): δ = 7.76 (d, *J* = 8.0 Hz, 1H), 7.42 (t, *J* = 7.8 Hz, 1H), 7.25 (d, *J* = 9.4 Hz, 3H), 7.12 (d, *J* = 7.6 Hz, 1H), 7.04 (d, *J* = 8.1 Hz, 2H), 6.94 (s, 1H), 4.68 (s, 2H), 4.16 (t, *J* = 6.6 Hz, 2H), 2.33 (s, 3H), 1.71–1.63 (m, 2H), 1.47–1.38 (m, 2H), 0.99 (t, *J* = 7.4 Hz, 3H). ¹³C NMR (126 MHz, CDCl₃): δ = 164.5,

Scheme 2. Proposed mechanism for the formation of quinoline derivatives.

143.9, 136.4, 136.1, 133.5, 130.6, 129.3, 128.7, 128.3, 127.4, 127.2, 127.1, 125.6, 64.9, 44.5, 30.9, 21.6, 19.4, 13.9. HRMS: $[\text{M} + \text{H}]^+$ calculated for $\text{C}_{21}\text{H}_{24}\text{NO}_4\text{S}$: 386.14206, found 386.14194.

Benzyl 1-tosyl-1,2-dihydroquinoline-3-carboxylate (**3d**). 88% yield. ^1H NMR (500 MHz, CDCl_3): δ = 7.75 (d, J = 8.1 Hz, 1H), 7.44–7.37 (m, 6H), 7.25–7.22 (m, 1H), 7.19–7.16 (m, 2H), 7.10 (dd, J = 7.6, 1.5 Hz, 1H), 6.96 (s, 1H), 6.86 (d, J = 7.9 Hz, 2H), 5.20 (s, 2H), 4.69 (d, J = 1.2 Hz, 2H), 2.24 (s, 3H). ^{13}C NMR (126 MHz, CDCl_3): δ = 164.2, 143.9, 136.4, 136.0, 135.9, 133.9, 130.7, 129.2, 128.8, 128.7, 128.6, 128.2, 127.5, 127.1, 127.1, 125.2, 66.7, 44.5, 21.6. HRMS: $[\text{M} + \text{H}]^+$ calculated for $\text{C}_{24}\text{H}_{22}\text{NO}_4\text{S}$: 420.12641, found 420.12616.

Ethyl 6-methyl-1-tosyl-1,2-dihydroquinoline-3-carboxylate (**3e**). 77% yield. ^1H NMR (500 MHz, CDCl_3): δ = 7.63 (d, J = 8.2 Hz, 1H), 7.27–7.25 (m, 2H), 7.21 (dd, J = 8.2, 1.5 Hz, 1H), 7.04 (d, J = 8.0 Hz, 2H), 6.91 (d, J = 6.8 Hz, 2H), 4.64 (d, J = 0.9 Hz, 2H), 4.21 (q, J = 7.1 Hz, 2H), 2.35 (s, 3H), 2.33 (s, 3H), 1.31 (t, J = 7.1 Hz, 3H). ^{13}C NMR (126 MHz, CDCl_3): δ = 164.5, 143.8, 137.0, 136.1, 133.9, 133.7, 131.4, 129.2, 129.0, 128.0, 128.0, 127.2, 125.4, 60.9, 44.6, 21.6, 21.0, 14.5. HRMS: $[\text{M} + \text{H}]^+$ calculated for $\text{C}_{20}\text{H}_{22}\text{NO}_4\text{S}$: 372.12641, found 372.12631.

Ethyl 6-fluoro-1-tosyl-1,2-dihydroquinoline-3-carboxylate (**3f**). 83% yield. ^1H NMR (400 MHz, CDCl_3): δ = 7.73 (dd, J = 8.9, 5.1 Hz, 1H), 7.27–7.24 (m, 2H), 7.09 (ddd, J = 14.7, 10.2, 5.5 Hz, 3H), 6.86 (s, 1H), 6.82 (dd, J = 8.2, 2.9 Hz, 1H), 4.66 (s, 2H), 4.23 (q, J = 7.1 Hz, 2H), 2.34 (s, 3H), 1.32 (t, J = 7.1 Hz, 3H). ^{13}C NMR (101 MHz, CDCl_3): δ = 164.1, 161.1 (d, J = 245.9 Hz), 144.1, 135.7, 132.4 (d, J = 2.2 Hz), 132.2 (d, J = 3.0 Hz), 129.8 (d, J = 8.4 Hz), 129.4 (d, J = 8.4 Hz), 129.4, 127.2, 127.0, 117.3 (d, J = 22.9 Hz), 114.7 (d, J = 23.4 Hz), 61.0, 44.37, 21.5, 14.2. HRMS: $[\text{M} + \text{NH}_4]^+$ calculated for $\text{C}_{19}\text{H}_{22}\text{FN}_2\text{O}_4\text{S}$: 393.12788, found 393.12784.

Ethyl 6-chloro-1-tosyl-1,2-dihydroquinoline-3-carboxylate (**3g**). 81% yield. ^1H NMR (500 MHz, CDCl_3): δ = 7.70 (d, J = 8.6 Hz, 1H), 7.36 (dd, J = 8.6, 2.4 Hz, 1H), 7.27 (d, J = 8.4 Hz, 2H), 7.10 (d, J = 2.4 Hz, 1H), 7.08 (d, J = 8.1 Hz, 2H), 6.87 (s, 1H), 4.66 (d, J = 1.0 Hz, 2H), 4.23 (q, J = 7.1 Hz, 2H), 2.35 (s, 3H), 1.32 (t, J = 7.1 Hz, 3H). ^{13}C NMR (126 MHz, CDCl_3): δ = 164.0, 144.2,

135.8, 134.8, 132.6, 132.2, 130.3, 129.5, 129.5, 128.7, 128.1, 127.1, 126.9, 61.2, 44.5, 21.7, 14.4. HRMS: $[\text{M} + \text{H}]^+$ calculated for $\text{C}_{19}\text{H}_{19}\text{ClNO}_4\text{S}$: 392.07178, found 392.07126.

Ethyl 6-bromo-1-tosyl-1,2-dihydroquinoline-3-carboxylate (**3h**). 82% yield. ^1H NMR (500 MHz, CDCl_3): δ = 7.63 (d, J = 8.6 Hz, 1H), 7.51 (dd, J = 8.6, 2.3 Hz, 1H), 7.27 (dd, J = 10.5, 5.3 Hz, 3H), 7.08 (d, J = 8.1 Hz, 2H), 6.87 (s, 1H), 4.66 (d, J = 1.1 Hz, 2H), 4.22 (q, J = 7.1 Hz, 2H), 2.35 (s, 3H), 1.32 (t, J = 7.1 Hz, 3H). ^{13}C NMR (126 MHz, CDCl_3): δ = 164.0, 144.2, 135.8, 135.3, 133.3, 132.1, 131.1, 129.9, 129.5, 128.9, 127.1, 126.9, 120.4, 61.2, 44.4, 21.7, 14.4. HRMS: $[\text{M} + \text{H}]^+$ calculated for $\text{C}_{19}\text{H}_{19}\text{BrNO}_4\text{S}$: 436.02127, found 436.02060.

Benzyl 6-methyl-1-tosyl-1,2-dihydroquinoline-3-carboxylate (**3i**). 85% yield. ^1H NMR (500 MHz, CDCl_3): δ = 7.63 (d, J = 8.2 Hz, 1H), 7.44–7.38 (m, 5H), 7.21 (dd, J = 8.2, 1.5 Hz, 1H), 7.17 (d, J = 8.3 Hz, 2H), 6.92–6.89 (m, 2H), 6.85 (d, J = 8.1 Hz, 2H), 5.19 (s, 2H), 4.66 (s, 2H), 2.34 (s, 3H), 2.24 (s, 3H). ^{13}C NMR (126 MHz, CDCl_3): δ = 164.2, 137.0, 136.0, 135.9, 134.1, 133.9, 131.5, 129.2, 129.1, 128.8, 128.7, 128.6, 128.0, 127.3, 127.1, 125.0, 66.6, 44.6, 21.6, 21.0. HRMS: $[\text{M} + \text{H}]^+$ calculated for $\text{C}_{25}\text{H}_{24}\text{NO}_4\text{S}$: 434.14206, found 434.14191.

Ethyl 7-nitroquinoline-3-carboxylate (**3j**). 58% yield. By following the mentioned conditions, cyclization occurred but with in situ elimination of TsH and a quinoline product was isolated. ^1H NMR (500 MHz, CDCl_3): δ = 9.60 (d, J = 2.1 Hz, 1H), 9.06 (d, J = 2.2 Hz, 1H), 8.95–8.94 (m, 1H), 8.41 (dd, J = 8.9, 2.3 Hz, 1H), 8.13 (d, J = 8.9 Hz, 1H), 4.53 (q, J = 7.1 Hz, 2H), 1.49 (t, J = 7.1 Hz, 3H). ^{13}C NMR (101 MHz, CDCl_3): δ = 165.6, 150.2, 145.0, 138.8, 131.9, 129.7, 129.2, 127.6, 127.0, 123.5, 61.7, 14.5. HRMS: $[\text{M} + \text{H}]^+$ calculated for $\text{C}_{12}\text{H}_{11}\text{N}_2\text{O}_4$: 247.07133, found 247.07147.

Quinoline-3-carbonitrile (**3k**). 52% yield. By following the optimized conditions, cyclization occurred but with in situ elimination of TsH and a quinoline product was isolated. ^1H NMR (400 MHz, CDCl_3): δ = 9.04 (d, J = 1.6 Hz, 1H), 8.54 (s, 1H), 8.18 (d, J = 8.8 Hz, 1H), 7.95–7.85 (m, 2H), 7.70 (t, J = 7.9 Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3): δ = 149.88, 148.98, 141.55, 132.87, 130.03, 128.62, 128.37, 126.36, 117.20, 106.77. HRMS:

$[M + H]^+$ calculated for $C_{10}H_7N_2$: 155.0609, found 155.0608.

3-(phenylsulfonyl)quinoline (**3I**). 56% yield. By following the mentioned conditions, cyclization occurred but with in situ elimination of TsH and a quinoline product was isolated. 1H NMR (400 MHz, $CDCl_3$): δ = 9.28 (d, J = 1.8 Hz, 1H), 8.82 (s, 1H), 8.16 (d, J = 8.4 Hz, 1H), 8.04 (d, J = 7.5 Hz, 2H), 7.96 (d, J = 8.1 Hz, 1H), 7.87 (t, J = 7.8 Hz, 1H), 7.67 (t, J = 7.6 Hz, 1H), 7.56 (m, 3H). ^{13}C NMR (101 MHz, $CDCl_3$): δ = 149.52, 147.23, 141.20, 136.99, 134.90, 133.83, 132.85, 129.77, 129.70, 129.29, 128.47, 127.93, 126.50. HRMS: $[M + H]^+$ calculated for $C_{15}H_{12}NO_2S$: 270.0589, found 270.0582.

6. Conclusions

We developed a Rh(III)-catalyzed annulation of *N*-(2-formylphenyl)-4-methylbenzenesulfonamide derivatives and used activated olefins for the synthesis of 1,2-dihydroquinoline and other quinolines. Various functional groups are compatible under these conditions, and two categories of heterocycles were isolated as a result of substrate control. The reaction were conducted under simple conditions with a low catalyst loading. Studies to further understand the catalytic pathway and for the application of this methodology to synthesis of complex natural products are currently underway in our laboratory.

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Graphical Abstract

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Rh(III)-catalyzed synthesis of (dihydro)quinolines via the annulation of *N*-sulfonyl 2-aminobenzaldehydes with olefins

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Rh(III)-catalyzed annulation of *N*-sulfonyl 2-aminobenzaldehydes with olefins was accomplished and used for the synthesis of substituted (dihydro)quinolines.

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铈(III)催化*N*-磺酰基2-甲酰苯胺与烯烃环化制备(2*H*)喹啉

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摘要: 开发了一种用铈(III)催化的*N*-磺酰基2-氨基苯甲醛与烯烃环化生成喹啉衍生物的方法, 得到较高产率的喹啉衍生物, 并且在反应条件下, 反应具有较好的官能团兼容性. 这是首次运用铈(III)作为催化剂合成烷基1-磺酰基-1,2-二氢喹啉-3-羧酸酯. 该催化体系表现较好的催化性且反应操作较为简单.

关键词: 三价铈; 氮-磺酰基2-氨基苯甲醛; 烯烃; 喹啉衍生物

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