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Concise Synthesis of Polysubstituted Carbohelicenes by a C–H Activation/Radical Approach/C–H Activation Sequence

Jiangliang Yin, and Jingsong You*

Abstract: Disclosed herein is the merging of C–H activation and radical chemistry to enable rapid access to a structurally diverse family of fused carbohelicenes through the fusion of α -acetylnaphthalenes with alkynes under oxidation conditions. This cascade process exhibits exquisite chemoselectivity and regioselectivity. By intermediate separations, control experiments, radical trapping, EPR, MAIDL-TOF-MS, and ESI-HRMS experiments, the reaction pathway, involving a C2–H activation/radical approach/C8–H activation relay, has been illustrated.

Different from the planar polycyclic aromatic hydrocarbons (PAHs), carbohelicenes feature helically shaped π -skeletons with ortho-fused aromatic rings, which have been extensively applied to diverse scientific fields due to their distorted π -surface, unique dynamic behavior, inherent chirality, characteristic reactivity, solubility.^[1,2] redox activity and good For many years, photochemical synthesis, Scholl reaction, **Diels-Alder** cycloaddition, benzylic-type coupling, carbonyl or pinacol coupling and Friedel-Crafts-type reaction remain the main methods to access carbohelicenes.^[1,2] However, these procedures generally suffer from problems of chemoselectivity, synthetic efficiency and product diversity. With the development of transition metalcatalyzed cross-coupling reactions and cycloadditions, the synthesis of carbohelicenes through catalytic strategy has made great progress, which may be roughly summarized in the following pathways:^[1-3] (1) intermolecular cross-couplings between bismetallic (metal = Sn or B) binaphthyls and double halogenated aromatics (Scheme 1a);^[1] (2) palladium-catalyzed intramolecular C-H/C-X (X = CI, Br or I) couplings (Scheme 1b);^[3b] (3) metal-catalyzed intramolecular [2+2+2] cycloadditions of trivnes (Scheme 1c)^[3a,3d] and cyclizations of arylated alkynes (ring closure);^[3e,3f] and (4) Ru-catalyzed intramolecular olefin metathesis (Scheme 1d).^[3c] Despite significant progress, these existing bottom-up approaches typically require non-easily accessible synthetic precursors and multi-step operation, leading to limited types of products. Doubtlessly, the development of straightforward accesses to the carbohelicenes is an appealing, yet challenging puzzle in organic synthesis.

The past decades have witnessed the galloping development of transition metal-catalyzed C–H activation for the discovery of novel functional skeletons.^[4] In particular, C–H activation/annulation of arenes with alkynes has emerged as a versatile strategy for the construction of polycyclic aromatic compounds.^[5,6] With few exceptions, these reactions are normally classified as ionic reactions involving the charged species. Recently, another promising reaction type proceeding by means

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 Supporting information for this article is given via a link at the end of the document. of radical intermediates has also made significant progress in the construction of complex molecules.^[7,8] It is foreseeable that the merging of ionic-type and radical-type reactions would raise golden opportunities for discovering new chemical transformations. However, few literatures have been focused on this strategy, rendering it more challenging. Arylketones are particularly appealing vet challenging research objects in the C-H activation field due to their weak coordinating ability and ketoenol tautomerism,^[9,6c-f] and have also attracted extensive attention in the radical chemistry due to the ability of forming acarbonylmethyl radical species by oxidization.^[10] Herein we describe a selective C2-H activation/annulation, radical approach and C8–H activation/annulation relay of α -acetylnaphthalenes with alkynes to assemble fused carbohelicenes (Scheme 1e).



Scheme 1. Transition metal-catalyzed synthesis of carbohelicenes.



Scheme 2. Discovery of the reaction (a) and proposed reaction pathway (b).

Inspired by our previous work of phenalenyl-fused pyrylium cation synthesis, we tried to synthesize the C4-substituted α -acetylnaphthalene derivatives by using nucleophiles such as phenols, amines, and indoles instead of water for attacking the C4 position of α -acetylnaphthalene (Scheme 2a).^[6g] Unexpectedly, the fused [5]carbohelicene **4aa** was obtained in 5% yield by using α -acetylnaphthalene and diphenylacetylene as the substrates, [Cp*RhCl₂]₂/AgSbF₆ as the catalyst, and Ag₂O as the oxidant in the presence of 2-naphthol and NaSbF₆ at 120 °C (Table S1,

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entry 1). Control experiments indicated that 2-naphthol was necessary for this reaction and NaSbF₆ was dispensable (Table S1, entries 4 and 7). The yield of 4aa could be slightly improved to 16% when [RuCl₂(p-cymene)]₂/AgOTf, Ag₂O, 2-naphthol and 1,2-dichloroethane (DCE) were used (Tables S2-S4). It is known that 2-naphthol may form the radical species by the oxidation. $^{[\!\![a,ac,11]\!]}$ The rhodium-catalyzed C2–H annulation of alkyl phenyl ketones with alkynes has also been reported to produce fulvene derivatives. [6c,6d] Thus, we speculated that the resulting radical species enabled to abstract the methyl hydrogen of α acetylnaphthalene to yield the methyl radical, trapped by the terminal alkene (detected by high resolution electrospray ionization mass spectrometry, ESI-HRMS) generated by C2-H annulation of α -acetylnaphthalene with alkyne (Scheme 2b). To verify this hypothesis, various radical initiators such as copper salts, iron salts and potassium persulfate were screened instead of 2-naphthol (Table 1). As expected, 4aa was obtained in 22% yield when CuBr₂ was used (Table 1, entry 8). The [Cp*RhCl2]2/AgOTf catalytic system further improved the yield of 4aa to 34% yield (Table 1, entry 9). The yield of 4aa could reach 55% by increasing the amount of α -acetylnaphthalene to 5.0 equiv, which is probably attributed to the enhanced concentration of the yielding α -carbonylmethyl radical, and meanwhile 63% of α acetylnaphthalene could be recovered (Table 1, entry 10). Dropping the catalyst loading from 10 to 5 mol % could deliver 4aa in 59% yield (Table 1, entry 11).

Table 1: Optimization of radical initiator.[a]

Ia	+ Ph Ph Ph 2a	ex, AgOTf, Ag ₂ O iator, N ₂ , DCE	Ph
Entry	Metal complex	Radical initiator (mmol)	Yield (%) ^[b]
1	[RuCl ₂ (p-cymene)] ₂ /AgOTf	2-naphthol (0.2)	16
2	[RuCl ₂ (<i>p</i> -cymene)] ₂ /AgOTf	FeCl ₃ (0.2)	n.d.
3	[RuCl ₂ (p-cymene)] ₂ /AgOTf	CuCl (0.2)	15
4	[RuCl ₂ (p-cymene)] ₂ /AgOTf	CuBr (0.2)	18
5	[RuCl ₂ (p-cymene)] ₂ /AgOTf	Cul (0.2)	n.d.
7	[RuCl ₂ (p-cymene)] ₂ /AgOTf	K ₂ S ₂ O ₈ (0.2)	n.d.
8	[RuCl ₂ (p-cymene)] ₂ /AgOTf	CuBr ₂ (0.2)	22
9[c]	[Cp*RhCl ₂] ₂ /AgOTf	CuBr ₂ (0.2)	34
10 ^{[c],[d]}	[Cp*RhCl ₂] ₂ /AgOTf	CuBr ₂ (0.2)	55
11 ^{[c],[d],[}	[Cp*RhCl ₂] ₂ /AgOTf	CuBr ₂ (0.2)	59

[a] Reaction conditions: **1a** (0.4 mmol), **2a** (0.2 mmol), metal complex (0.01 mmol), AgOTf (0.04 mmol), Ag₂O (0.3 mmol), radical initiator and DCE (1.0 mL) at 120 °C under N₂ for 12 h. [b] Isolated yield. [c] The reaction was carried out in 2.0 mL of DCE at 150 °C. [d] 1.0 mmol of **1a** was used. [e] 0.005 mmol of [Cp*RhCl₂]₂ and 0.32 mmol of Ag₂O were used. (*p*-cymene = *p*-isopropyltoluene; OTf = trifluormethanesulfonate). Cp* = 1,2,3,4,5-pentamethylcyclopentadiene. n.d. = not detected.

To further understand this cascade process, a series of control experiments were conducted (Scheme 3 and SI, Part VII). Firstly, besides the carbohelicene 4fa, the reaction of 1f with 2a gave the fulvene derivative 5fa in 7% yield (Scheme 3a). When 2I was used instead of 2a, the fulvene derivative 5al was obtained in 40%

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yield and the carbohelicene product could not be detected, probably due to the larger steric hindrance (Scheme 3b). These results indicated that the fulvene derivative could be one of the reaction intermediates and the C-H activation of α acetylnaphthalene could initially occur at the C2 position rather than the C8 position. Secondly, in the absence of alkyne, the furan-fused product 6aa was isolated in 8% yield from the reaction of 1a with 5aa (Scheme 3c). This transformation also took place without the catalyst [Cp*RhCl2]2. The above results suggested that this process probably underwent an electrophilic addition of the methyl radical, generated by the oxidation of α acetyInaphthalene, to the terminal alkene. In addition, 5aa could also react with 1a and 2a to afford 4aa in 50% yield with a complete consumption of 5aa, and 6aa was not detected (Scheme 3d). Thirdly, except forming 6aa in 9% yield, the reaction of 1a, 5aa and 2a did not afford 4aa without [Cp RhCl2]2 (Scheme 3e), demonstrating that the last annulation with alkyne might be a C-H activation process rather than a radical approach.



Scheme 3. Control experiments.

Based on the above experiment results, the outline of the mechanism could be divided into three sections including C2-H activation/annulation, radical approach and C8–H activation/annulation. To gain more insights into the transformation relative to the radical, radical trapping and electron paramagnetic resonance (EPR) experiments were performed. Radical scavengers, such as 2,2,6,6-tetramethylpiperidine (TEMPO) and 2,6-di-tert-butyl-4-methylphenol (BHT), significantly inhibited the reaction, suggesting the possible radical pathway (Table S6). In addition, we detected an adduct of α acetylnaphthalene with TEMPO by ESI-HRMS, indicating the presence of the reactive α -acetylnaphthalene radical (Scheme 4a and Figure S1), but the fulvene and its radical adduct were not observed, which is probably because that the radical scavengers could inhibit the C2-H activation/annulation of acetylnaphthalene with alkyne to form fulvene intermediate. Subsequently, an EPR

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analysis indicated that the reaction of **1a** and **5aa** was EPR active, giving the *g* value of 2.0029 (Scheme 4c), close to the typical *g* factor of 2.0023 for organic radicals, while no obvious EPR signal was observed in the absence of both **1a** and **5aa** (Figure S3). The furan-fused product **6aa** was also EPR negative under standard reaction conditions (Figure S4). These results demonstrated that the radical processes could be involved in this cascade reaction.

aromatic ring and sequential oxidation form I, followed by deprotonation and rhodium-catalyzed C8–H activation to yield cyclometalated intermediate J. The carbohelicene is formed by sequential alkyne insertion, electrophilic cyclization and dehydration along with the release of the active Rh(III) species. In addition, although path 1 is more reasonable, the intramolecular electrophilic addition of **F** to the aromatic ring to form radical **M** (path 2) could not be excluded in the current stage.



Scheme 4. Radical detection experiments.



Scheme 5. Proposed mechanism. MALDI-TOF-MS: matrix-assisted laser desorption ionization time-of-flight mass spectroscopy.

Based on the experimental results and the reported literatures, a pathway is proposed in Scheme 5.^[7b,6c-f,10] Firstly, the highly electrophilic [Rh^{III}Cp*] **A** is formed by the reaction of [Cp*RhCl₂]₂ with AgOTf, followed by carbonyl-assisted C2–H activation of *α*-acetylnaphthalene to form **B**. Next, **B** undergoes alkyne insertion, electrophilic cyclization and dehydration to produce the fulvene derivative **E**. The terminal alkene of **E** is attacked by the methyl radical generated by the oxidation of *α*-acetylnaphthalene to yield **F**.^[10] The intramolecular electrophilic addition of **F** to the C=O double bond forms radical **G**, followed by C–C bond cleavage and rearrangement to radical **H**.^[12] In the absence of alkyne, the furan product **6aa** is formed by the oxidation and deprotonation of **H**. In the presence of alkyne, intramolecular radical addition to the



Scheme 6. Substrate scope. Standard conditions: **1** (1.0 mmol), **2** (0.2 mmol), $[Cp^*RhCl_2]_2$ (0.005 mmol), AgOTf (0.04 mmol), Ag₂O (0.32 mmol), CuBr₂ (0.2 mmol) and DCE (2.0 mL) at 150 °C under N₂ for 12 h. [a] 120 °C. [b] [RuCl₂(*p*-cymene)]₂ was used as the catalyst. [c] 24 h. [d] The ratio of **Ik** with **2a** was 2:1.

Next, investigation of substrate scope was conducted.^[13] As shown in Scheme 6, the cascade reaction of α -acetylnaphthalene allows a variety of alkynes, affording a family of aryl-substituted [5]carbohelicenes. The symmetrical diaryl alkynes with either an electron-donating or electron-withdrawing group could deliver the desired products (4ab-4aj). It is noteworthy that unsymmetrical alkyl aryl alkyne gave a good regioselectivity (4ak). To obtain diversely substituted and larger π -conjugated carbohelicenes, a variety of α -acetylnaphthalene derivatives were screened. First, the α -acetylnaphthalenes with a 4-substituent group (e.g., chloro, phenyl, 2-thiophenyl, 2-naphthyl, and triphenylamine) could produce the carbohelicenes (4ea-4ia). Although the aacetyInaphthalenes substituted by 4-Me, 4-OMe and 4-Br did not give the desired products under the standard conditions, the reaction could proceed smoothly by using [RuCl₂(p-cymene)]₂ instead of [Cp*RhCl₂]₂ (4ba-4da). In other cases, the ruthenium catalysis could deliver the products but with lower yields. The 7phenyl substituted acetylnaphthalene afforded the carbohelicene with atropisomer and the two isomers (4ia-1 and 4ia-2) could be separated via column chromatography in 25% and 17% yields, respectively. Notably, 9-acetylphenanthrene could afford the [6]carbohelicene (4ka). 1-Acetylpyrene underwent the cascade reaction to provide the larger π -conjugated carbohelicene **4Ia**.

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Considering the chiroptical properties of carbohelicenes, chiral separation was conducted. The two enantiomers of 4ac were separated by a chiral high performance liquid chromatography (HPLC) and their circular dichroism (CD) spectra were recorded in toluene (Figure 1a-b). The first portion eluted by chiral HPLC exhibited a positive Cotton effect at 357 nm in CD spectrum. The second portion had an opposite sign as the mirror image. On the basis of the comparison of CD spectra with those of known [5]helicenes, $^{[14]}$ the absolute configuration of **4ac** is determined as (P)-(+)-4ac and (M)-(-)-4ac. The two isomers exhibited almost the same absorption and fluorescence spectra in CH₂Cl₂ (Figure 1c). The cyclic voltammograms vs. ferrocene/ferrocenium of the two isomers showed two reversible oxidation waves around 0.59 V and 1.07 V for (P)-4ac and 0.61 V and 1.11 V for (M)-4ac (Figure 1d), indicating that the radicals could be probably formed upon oxidation.



Figure 1. (a) Chiral HPLC analysis of **4ac**, eluted by hexane/dichloromethane = 3:1 using CHIRALPAK IF. (b) Circular dichroism spectra in dry toluene. (c) Absorption and fluorescence spectra in CH₂Cl₂. (d) Cyclic voltammogram spectra in CH₂Cl₂ under N₂ at room temperature.

In conclusion, we have described the merging of C–H activation and radical chemistry to pave an unparalleled road to poly-substituted [5]-, and [6]carbohelicenes by using easily available α -acetylnaphthalenes and alkynes as starting materials. The rapid route to carbohelicenes developed herein has exemplified the high compatibility of ionic-type and radical-type transformations.

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Keywords: carbohelicene • C–H activation • radical approach • rhodium-catalysis • annulation

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An unparalleled ease of access to the carbohelicenes through a C–H activation/radical approach/C–H activation relay of α -acetylnaphthalenes with alkynes has been achieved. The rapid route to carbohelicenes developed herein has exemplified the high compatibility of ionic-type and radical-type transformations.

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