

Rhodium(III)-Catalyzed Oxidative Cyclization of Oxazolines with Cyclopropanols: Synthesis of Isoindolinones

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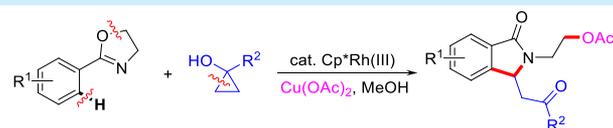


Article Recommendations



Supporting Information

ABSTRACT: The synthesis of C3-substituted isoindolin-1-ones from oxazolines and cyclopropanols has been achieved with oxazoline as a bifunctional nucleophilic directing group. The reaction proceeds by the cleavage of three chemical bonds and allows the formation of three new chemical bonds, a C–N bond, a C–C bond, and a C–O bond, in a single step.

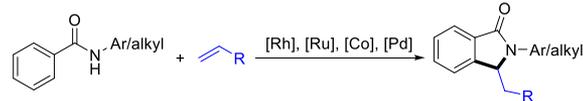


- Easily available substrate
- High atom-economy
- Biologically relevant scaffold
- Broad substrate scope
- Simple operation
- Suitable for late-stage modification

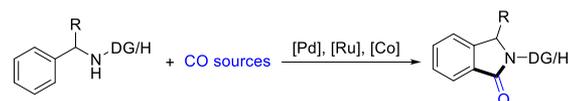
Isoindolin-1-ones are important N-heterocycles found in many natural products, pharmaceuticals, and bioactive molecules, exhibiting a broad spectrum of biological activities and therapeutic potential, such as anesthetic, antipsychotic, antiulcer, antihypertensive, and anxiolytic properties.¹ In particular, the C3-substituted isoindolin-1-ones are present as core structural subunits in a number of alkaloids and designed pharmaceutical molecules.² Considering the enormous potential of C3-substituted isoindolin-1-ones, a variety of synthetic methods for the assembly of these useful scaffolds have been developed over the past few decades. Prominent approaches to this class of heterocycle include the addition reaction of organometallic reagents with isoindoline-1,3-diones,³ lithiation of isoindolinones at the C3 position using organo-lithium reagents followed by treatment with an electrophile,⁴ and cyclization of *ortho*-substituted aryllithium species with different imines.⁵ Alternatively, the C3-substituted isoindolin-1-ones can also be constructed by palladium-catalyzed coupling of *o*-halobenzylamine derivatives with carbon monoxide (CO)⁶ or cascade carbonylation/annulation of aryl halides with amines and carbon monoxide.⁷ However, most of these reported methods still have some limitations, such as the harsh reaction conditions and/or tedious multiple reaction steps, and the requirement of preactivated raw materials. Thus, the development of more straightforward synthetic processes to access diversely functionalized isoindolin-1-ones should be appealing. Recently, direct C–H bond functionalization under transition-metal catalysis has emerged as a powerful strategy for the preparation of C3-substituted isoindolin-1-ones in a highly atom-economical and environmentally friendly manner. For instance, transition-metal-catalyzed oxidative couplings between benzamides and numerous terminal olefins followed by aza-Michael cyclization constituted a straightforward route to isoindolinone derivatives (Scheme 1a).⁸ Moreover, the C3-substituted isoindolin-1-ones can be obtained via direct C–H carbonylation of protected or free benzylamines with various carbonyl sources by using Pd(II), Ru(II), or Co(II) catalysis

Scheme 1. Construction of C3-Substituted Isoindolin-1-ones via C–H Activation/Annulation

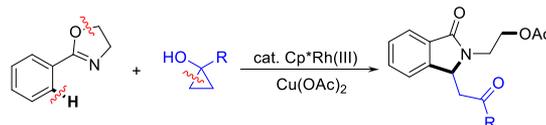
(a) C–H olefination



(b) C–H carbonylation



(c) This work



(Scheme 1b).⁹ Despite considerable progress in this area, further exploration of new general strategies to afford structurally diverse C3-substituted isoindolin-1-one skeletons from readily available starting materials is still needed.

Recently, the combination of C–H bond activation and C–C bond cleavage has been realized as one of the most efficient methods for the generation of molecular complexity.¹⁰ Among the developed methodologies, the use of strained rings as coupling partners has attracted considerable attention owing to the high activity of the coupling associated with their

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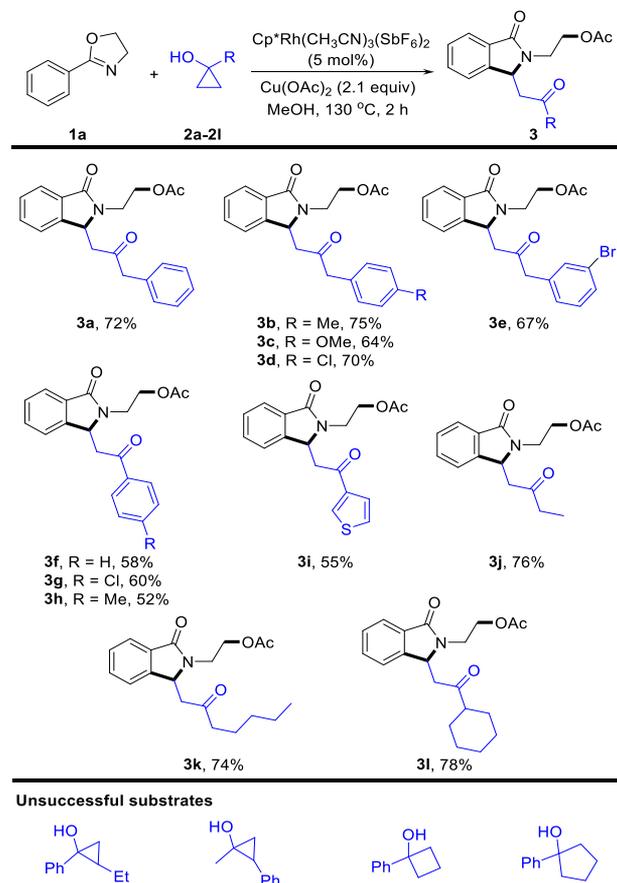
inherently strained nature.¹¹ In particular, cyclopropanols and their derivatives have been widely employed as readily available starting materials for various transformations due to their relatively easy preparation as well as rich reactivity.¹² In 2012, Orellana and co-workers developed a new strategy for constructing 1-indanones via palladium-catalyzed tandem silyloxycyclopropane rearrangement with intramolecular C–H arylation.¹³ Very recently, the Li group reported the synthesis of various β -functionalized ketones or quinolines via Rh(III)-catalyzed oxidative coupling of arenes with cyclopropanols.¹⁴ Inspired by these achievements and as a continuation of our interest in cyclopropanols and C–H activation,¹⁵ herein we disclose a Rh(III)-catalyzed oxazolonyl-assisted oxidative cyclization of oxazolines with cyclopropanols (Scheme 1c). Notably, the ring opening of oxazolines via nucleophilic attack of acetate provided facile access to C3-substituted isoindolin-1-ones.

Our initial investigations showed that the coupling of 2-phenyloxazoline (1a) with readily available 1-benzylcyclopropanol (2a) in methanol at 130 °C for 2 h afforded the desired C3-substituted isoindolin-1-one 3a in 61% isolated yield using $[\text{Cp}^*\text{RhCl}_2]_2$ as a catalyst and $\text{Cu}(\text{OAc})_2$ as an oxidant (Table S1, entry 1). The yield of 3a was further increased to 72% when the reaction was carried out with the cationic rhodium catalyst $[\text{Cp}^*\text{Rh}(\text{CH}_3\text{CN})_3](\text{SbF}_6)_2$ (Table S1, entry 3). Other common oxidants, including $\text{Cu}(\text{OAc})_2 \cdot \text{H}_2\text{O}$, $\text{Mn}(\text{OAc})_3 \cdot 2\text{H}_2\text{O}$, $\text{PhI}(\text{OAc})_2$, and AgOAc , were less effective than $\text{Cu}(\text{OAc})_2$ (Table S1, entries 7–10, respectively). Further screening of solvents revealed that *t*-AmOH, dioxane, CH_3CN , toluene, and DCE were inferior to MeOH (Table S1, entries 11–15, respectively). An attempt to decrease or increase the reaction temperature resulted in only inferior results (Table S1, entries 16 and 17). Finally, the control experiment also confirmed that the Rh(III) catalyst was necessary for the transformation (Table S1, entry 18).

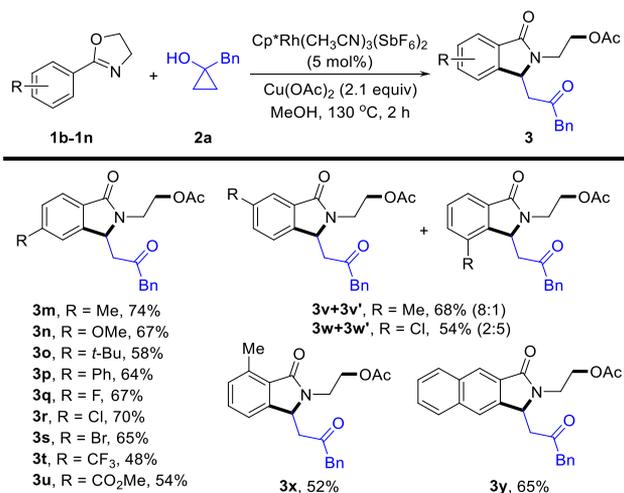
With the standard conditions identified (Table S1, entry 3), we then tested the generality and scope of this tandem annulation protocol with respect to cyclopropanols and oxazolines. First, a variety of cyclopropanols 2a–2l that can be readily prepared from the precursor esters in one step were investigated (Scheme 2). 2-Phenyloxazoline 1a reacted well with 1-benzylcyclopropanols 2b–2e bearing different substituents, such as Me, MeO, Cl, or Br, at the *para* or *meta* position of the benzene ring to afford the C3-substituted isoindolin-1-ones 3b–3e in 64–75% yields. Moreover, various arylcyclopropanols participated well in this coupling, providing products 3f–3h in 58%, 60%, and 52% yields, respectively. Thiophene-containing cyclopropanol was also converted to the expected product 3i in 55% yield. In addition, the alkyl-substituted cyclopropanols gave the corresponding products 3j–3l in 74–78% yields. Unfortunately, the relatively bulky 1,2-disubstituted cyclopropanols as well as the cyclobutanol and cyclopentanol with a less strained ring were unreactive under the reaction conditions.

We next examined the scope of the oxazoline substrate (Scheme 3). It was found that aryloxazolines with various substituents at the *para* positions of the phenyl ring, such as Me, MeO, *t*-Bu, and Ph, reacted well with 2a to generate products 3m–3p, respectively, in 58–74% yields. Different electron-withdrawing groups, such as F, Cl, Br, CF_3 , and CO_2Me , all coupled smoothly to afford the target isoindolin-1-ones 3q–3u, respectively, in 48–70% yields. The halogen (F, Cl, and Br) groups in isoindolin-1-ones 3q–3s remained

Scheme 2. Substrate Scope with Cyclopropanols



Scheme 3. Substrate Scope with Oxazolines



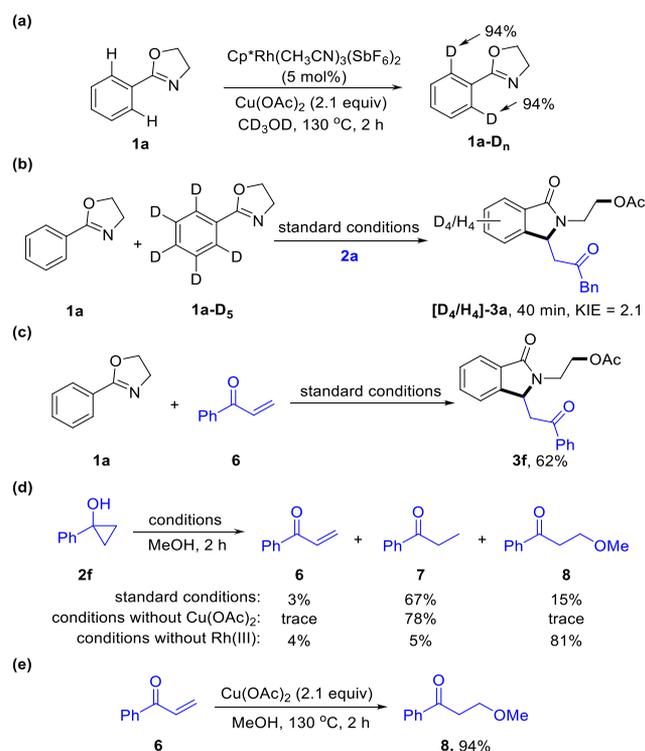
intact, providing the possibility for further chemical transformations. The reaction of *m*-methyl- or chloro-substituted aryloxazolines with 2a afforded a mixture of regioisomeric products. The *m*-methyl aryloxazoline was found to react preferentially at the less hindered position while the regioselectivity for *m*-chloro aryloxazoline was contrary, probably due to the coordination between the chloro group and the rhodium(III) catalyst.¹⁶ Moreover, the *ortho*-substituted aryloxazoline can be well tolerated to give isoindolin-1-one 3x in 52% isolated yield. Finally, 2-

naphthylloxazoline was also a suitable substrate for producing the corresponding product **3y** as a single regioisomer.

To further prove the synthetic utility of this methodology, a scale-up reaction of 2-phenylloxazoline **1a** and 1-benzylcyclopropanol **2a** was performed to afford **3a** in 65% yield (see the [Supporting Information](#)). Furthermore, the chemical transformations of isoindolin-1-one **3a** were also conducted. Deacetylation of **3a** with K_2CO_3 in methanol provided alcohol **4** in 90% yield, which can be further transformed into the corresponding azide **5** (see the [Supporting Information](#)).

To understand the mechanistic pathway of this oxidative annulation, a series of experiments were performed ([Scheme 4](#)). First, when the substrate phenylloxazoline **1a** was subjected

Scheme 4. Mechanistic Studies

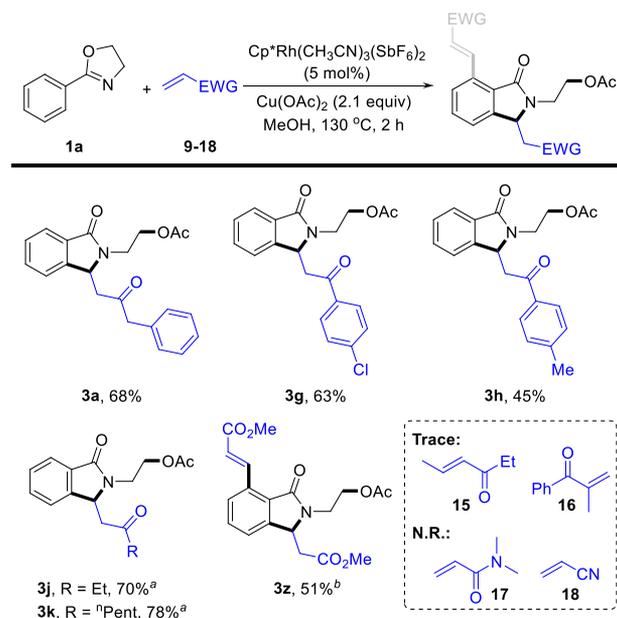


to the reaction in CD_3OD without cyclopropanol, a significant H/D exchange was detected at both *ortho* positions of the phenyl ring in phenylloxazoline, confirming the C–H bond cleavage of **1a** occurs in the presence of the Rh(III) catalyst ([Scheme 4a](#)). A moderate kinetic isotope effect ($k_H/k_D = 2.1$) was also obtained from an intermolecular competition experiment, suggesting the *ortho* C–H bond cleavage of **1a** is likely involved in the turnover-determining step ([Scheme 4b](#)). A small or trace amount of enone byproducts was observed in some reactions, indicating that this oxidative coupling may take place via olefin species. Therefore, a set of control experiments were conducted to further explore the reaction mechanism. When enone **6** was applied in the reaction system instead of cyclopropanol **2f**, the corresponding isoindolin-1-one **3f** was afforded in 62% yield ([Scheme 4c](#)). To probe the role of the cyclopropanol substrate in this transformation, phenylcyclopropanol **2f** was run under the standard conditions without 2-phenylloxazoline **1a**, and ethyl phenyl ketone **7** could be obtained in 67% yield, together with methoxy ketone **8** (15%) and enone **6** (3%). Then, two

additional controlled experiments were carried out to clarify the role of the Rh(III) catalyst and $Cu(OAc)_2$. When phenylcyclopropanol **2f** was treated with the $[Cp^*Rh-(CH_3CN)_3](SbF_6)_2$ catalyst without $Cu(OAc)_2$, ethyl phenyl ketone **7** was produced in a high isolated yield while only a trace amount of enone **6** and methoxy ketone **8** were obtained.¹⁷ Additionally, when phenylcyclopropanol **2f** was treated with $Cu(OAc)_2$ without a catalyst, methoxy ketone **8** was isolated in 81% yield along with ethyl phenyl ketone **7** (5%) and enone **6** (4%). This result indicates that $Cu(OAc)_2$ alone is effective enough to facilitate the formation of enone intermediate **6** via direct β -H elimination of the in situ-generated Cu(II) ketone homoenolate.¹⁸ The newly formed enone **6** can be easily converted into methoxy ketone **8** via Cu(II)-promoted Michael addition in methanol.^{18a} Finally, methoxy ketone **8** was afforded in 94% yield when enone **6** was heated in methanol with $Cu(OAc)_2$, which further confirmed that enone **6** was involved as an intermediate in this oxidative coupling ([Scheme 4e](#)).

We continued to explore the substrate scope of activated alkenes under the Rh(III)-catalyzed oxidative cyclization conditions presented here ([Scheme 5](#)). Initially, various

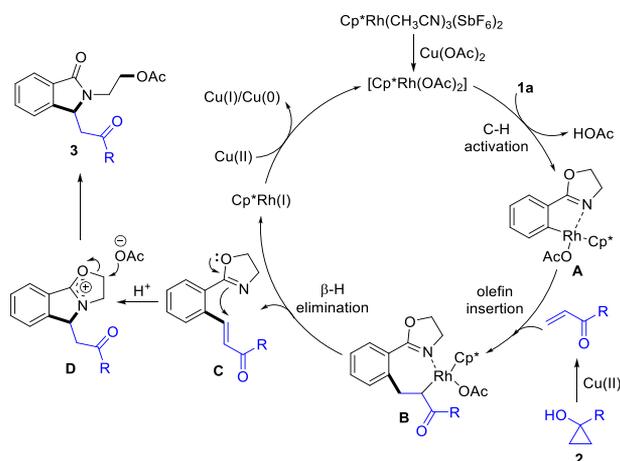
Scheme 5. Substrate Scope with Alkenes



substituted enones were examined. To our delight, benzyl vinyl ketone **9**, aryl vinyl ketones (**10** and **11**), and alkyl vinyl ketones (**12** and **13**) all coupled smoothly with 2-phenylloxazoline **1a** to furnish the C3-substituted isoindolin-1-ones in 45–78% yields. Moreover, treatment of **1a** with 2 equiv of methyl acrylate **14** under otherwise identical conditions afforded alkenylated isoindolinone **3z** in 51% yield. Unfortunately, when β -substituted or α -substituted enone was used, only a trace of the desired product was observed, which is likely affected by steric hindrance. Other activated olefins such as *N,N*-dimethyl acrylamide and acrylonitrile were also not suitable for this oxidative coupling.

A plausible catalytic cycle for this oxidative coupling was proposed on the basis of the mechanistic investigations mentioned above and previous DFT studies ([Scheme 6](#)).¹⁹ Initially, a highly reactive $Cp^*Rh(OAc)_2$ species was generated

Scheme 6. Proposed Mechanism



via ligand exchange. Then, an oxazoliny-assisted *ortho* C–H bond activation of phenyloxazoline (**1a**) with the active Rh(III) catalyst afforded a five-membered rhodacycle **A**. Next, the enone that derived from ring opening/ β -H elimination of cyclopropanol **2** promoted by Cu(OAc)₂ inserted into the C–Rh bond of intermediate **A** to afford a rhodacycle species **B**. The subsequent β -H elimination of rhodacycle **B** delivered *ortho*-alkenylated phenyloxazoline **C** and the resulting Cp*Rh(I) species. The intramolecular aza-Michael addition of intermediate **C** provided oxazolium salt **D**, which was attacked by acetate to generate isindolin-1-one **3** via ring opening of oxazoline. Finally, the Rh(III) active catalyst would be regenerated under the oxidation of Cu(OAc)₂.

In conclusion, we have realized an oxazoliny-assisted rhodium(III)-catalyzed C–H oxidative cyclization of oxazolines with cyclopropanols. The reaction proceeds by the cleavage of three chemical bonds and allows the formation of three new chemical bonds, a C–N bond, a C–C bond, and a C–O bond, in a single step. A number of functional group-bearing oxazolines and cyclopropanols react smoothly to give structurally diverse isindolinones in a highly atom-economical way. Because of the ready availability of raw materials, the simplicity of the reaction conditions, and the wide use of isindolin-1-ones, this protocol may find significant applications in synthetic and medicinal chemistry.

■ ASSOCIATED CONTENT

Supporting Information

The Supporting Information is available free of charge at <https://pubs.acs.org/doi/10.1021/acs.orglett.1c02031>.

Experimental details, characterization data, and copies of NMR spectra (PDF)

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Notes

The authors declare no competing financial interest.

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