

Synthesis of Various Heterocycles using RCM of Ene-ynamide

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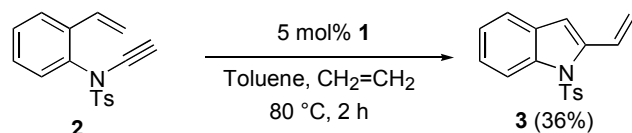
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Recently, we have reported RCM of ene-ynamide catalyzed by ruthenium carbene complex **1**. In this reaction, pyrrolidine and piperidine derivatives were obtained in high yield, whose heterocycles could be converted into bicyclic heterocycles by Diels-Alder reaction.¹

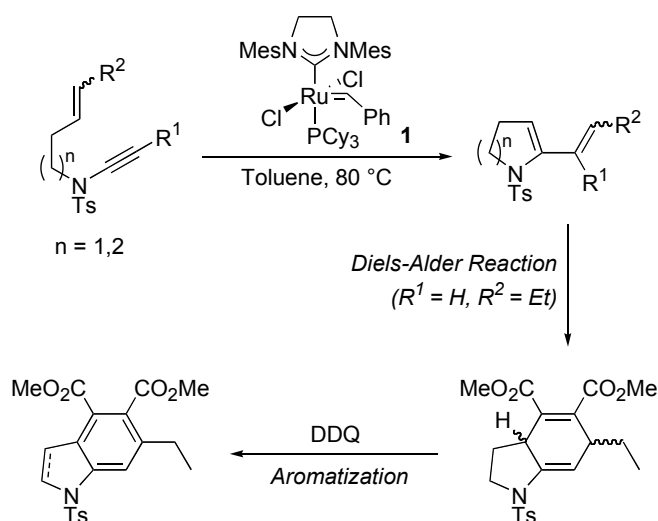
Herein, we wish to present an application to synthesis of various heterocyclic compounds using RCM of ene-ynamide.

At first, when the reaction of **2** was carried out at 80 °C for 2 h in the presence of 5 mol% second-generation Grubbs' catalyst **1** in toluene under ethylene atmosphere,

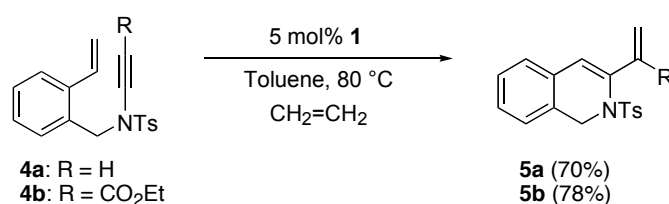


Scheme 2. Synthesis of Indole Derivative.

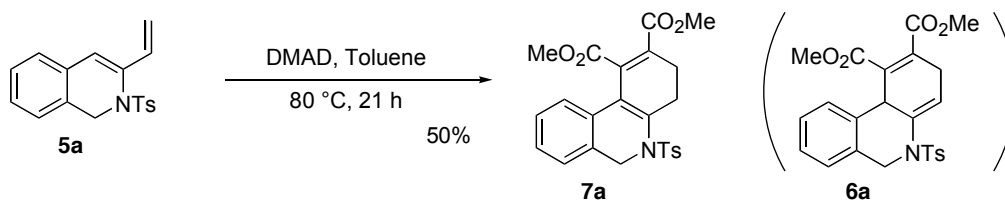
indole derivative **3** was obtained in 36% yield. (Scheme 2) RCM of eneynamide **4a** proceeded smoothly to provide isoquinoline derivative **5a** in 70% yield (Scheme 3), which could be converted into phenanthridine derivative **7a**. (Scheme 4) When **4b** having ester group on the ynamide was exposed to 5 mol% **1** in toluene at 80 °C under ethylene atmosphere for 1 h, RCM proceeded to afford **5b** in 78% yield.



Scheme 1. RCM of Ene-ynamide.



Scheme 3. Synthesis of Isoquinoline Derivative.



Scheme 4. Synthesis of Phenanthridine Derivative.

References: (1) (a) Mori, M.; Wakamatsu, H.; Saito, N.; Sato, Y.; Narita, R.; Sato, Y.; Fujita, R. *Tetrahedron* **2006**, 62, 3872-3881. (b) Saito, N.; Sato, Y.; Mori, M. *Org. Lett.* **2002**, 4, 803.

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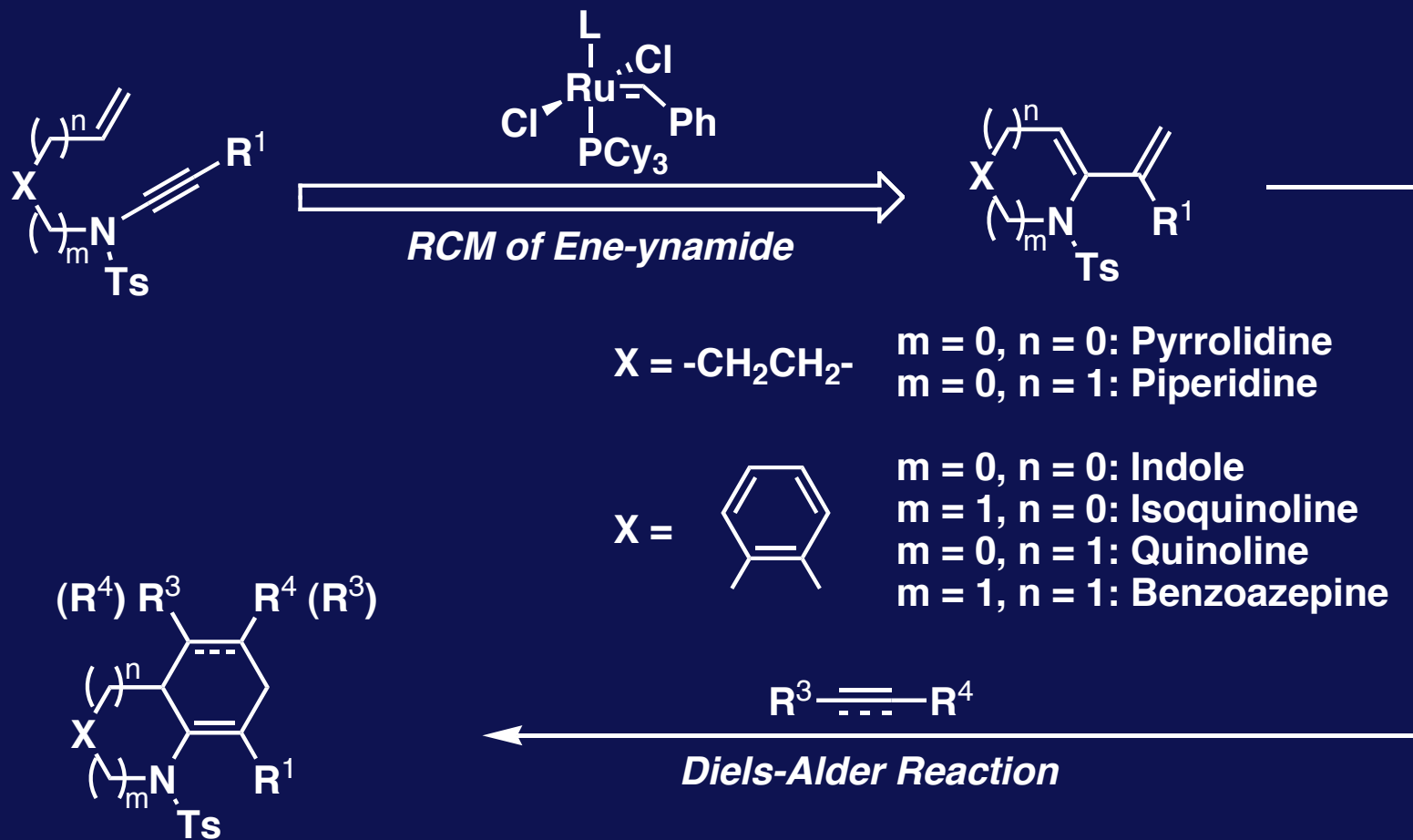
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Summary



Indole, Quinoline,
Phenanthridine, Acridine,
Dibenzoazepine