

Synthesis of 4-Alkynyl 2-Oxazolines via the Ritter reaction

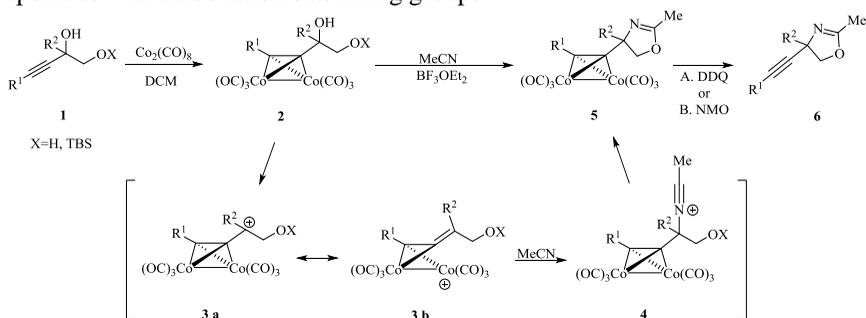
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The oxazoline ring is important constituent of bioactive natural products, chiral ligands and pharmaceuticals. In addition, oxazolines can be readily transformed to amino alcohols and amino acids.

The Ritter reaction has been used to prepare oxazolines from diols and epoxides with carbocation stabilizing groups.^{[1],[2],[3]}



In our poster, we present a new method for the synthesis of alkynyl oxazolines **6** from $\text{Co}_2(\text{CO})_8$ complexed alkynyl diols **2** and acetonitrile *via* the Ritter reaction and subsequent cleavage of Co complex **5** with DDQ (Figure 1). Importantly, the formation of Co-alkyne complex is crucial for the successful reaction. Presumably, it is enabled by the stabilization of carbocation at the α -position of the alkyne **3a** via delocalization of the positive charge into $\text{Co}_2(\text{CO})_6$ (mesomeric structure **3b**). It was observed that the cyclization reaction also proceeds with TBS protected alcohols **2** (X=TBS). A novel method for the cleavage of Co complex **5** with DDQ was developed. This, in most cases, gave better results in comparison to the commonly used reagents such as $\text{Ce}(\text{NH}_4)_2(\text{NO}_3)_6$, EDA, NMO, TMAO. In turn, NMO was the reagent of choice for the de-complexation of Co complexes **5** derived from triols **2** ($\text{R}^2=\text{CH}_2\text{OH}$, X=TBS).

References:

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- [2] Davues, I. W.; Senanayake, C. H. *Tetrahedron Lett.* **1996**, 37, 813.
- [3] M. Vangala, G. P. Shinde, *Beilstein J. Org. Chem.* **2015**, 11, 2289–2296.