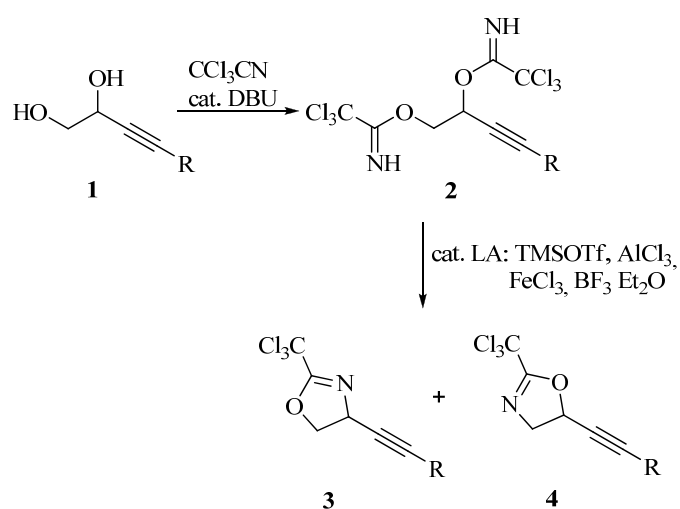


Synthesis of 2-Ethynyl Glycinols by Lewis Acid Catalyzed Cyclization of Bistrichloroacetimidates

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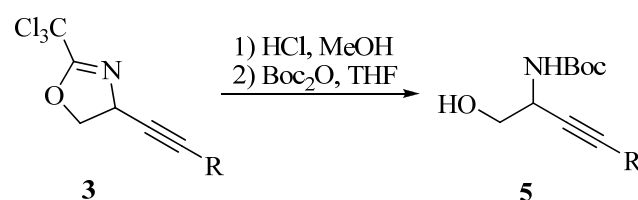
2-Ethynyl glycinol derivatives containing β -aminoalcohols motif are important building blocks for the synthesis of natural products and pharmaceuticals.



Scheme 1. Transformation of diol **1** to oxazolines **3**

Herein we report a novel method for the synthesis of ethynyl glycinols **4** from butyne-1,2-diols **1**. The synthetic route involves the transformation of diol **1** to bis-trichloroacetimidate **2**, which undergoes cyclization in the presence of Lewis acids to give oxazolines **3** as precursors of

ethynyl glycinols **4**. Cyclization of bistrichloroacetimidates **2** is regioselective leading to **3** as a major product. In the case of trimethylsilyl substituent, the formation of both oxazolines **3** and **4** is observed in favour of desired product **3** (Scheme 1).



Scheme 2. Transformation of oxazolines **3** to ethynyl glycinol **4** derivative

Oxazolines **3** can be easily transformed to ethynyl glycinol derivatives in two steps: hydrolyzation of oxazolines **3**, and protection of amino group with Boc₂O (Scheme 2).

In the case of R = Me, cyclization of **2** proceeds with complete inversion of configuration at the chiral centre suggesting S_N2 mechanism of the reaction. In the case of R = Ph, cyclization of **2** proceeds with racemization indicating S_N1 mechanism in the case of carbenium ion stabilizing substituent.

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