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SYNTHESIS OF 2-ETHYNYL GLYCINOLS BY LEWIS ACIDS CATALYZED CYCLIZATION OF BISTRICHLOROACETIMIDATES

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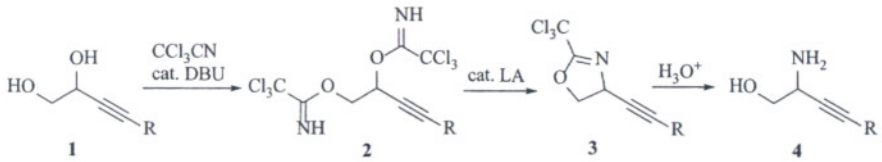
2-Ethynyl glycinol derivatives belong to the class of β-aminoalcohols which are important building blocks for the synthesis of natural products and pharmaceuticals.



R = Me, inversion of configuration
R = Ph, racemization

Herein we report novel method for the synthesis of ethynyl glycinols **4** from butyne-1,2-diols **1**. The synthetic route involves 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 major product. In the case R = Me cyclization of **2** proceeds with complete inversion of configuration at the chiral center 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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