Abstract

γ-Hydroxy-amine moieties are quite important since they exist in a lot of natural products and pharmaceutical molecules as shown in figure 1. The most effective way to build this moiety would be the direct acetoxylation at the γ position of the amine compound. It was found that alkoxyl quinolines are promising external ligands for the direct acetoxylation of triflyl protected amine compounds. This has been achieved by a process called ligand-enabled γ-C(sp³)-H acetoxylation on a triflyl-protected β-hydroxy-amine compound as seen in scheme 1. This report gives a quick review on the basis of palladium catalysis and C-H activation in general. Besides the evaluation on ligand effects on this type of catalysis it also entails the 3-step synthesis of the alkoxyl quinolines and the 2-step synthesis of the substrate.

Figure 1: (l): An anti-glaucoma drug (r): A pesticide

Scheme 1: Pd-catalyzed acetoxylation of an amine compound