STRAIGHTFORWARD SYNTHESIS OF α-AMINO NITRILES THROUGH Mo(CO)₆ -CATALYZED REDUCTIVE FUNCTIONALIZATION OF CARBOXAMIDES

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 α -Amino nitriles are highly useful synthetic intermediates and valuable building blocks in the preparation of pharmacologically important compounds that display anticancer, antibacterial, antifungal, antibiotics and antiviral biological activities. Furthermore, α amino nitriles have been used an essential backbone in peptides and proteins, and their synthetic utility have been applied in the formation of α -amino acids, 1,2-diamines, nitrogen containing heterocycles and several biologically active compounds. With the synthetic usefulness in mind, the development of a simple, efficient and cost effective method for the preparation of α -amino nitriles has become increasingly crucial.¹

During last years, our research group has developed efficient protocols for the chemoselective reduction of amides to amines, aldehydes and enamines, respectively, catalyzed by Mo(CO)6.² Being aware of the importance of the development of environmental friendly routes with perfect atom economy, we have disclosed a catalyst method with $Mo(CO)_6$ for straightforward cyanation of amides in the presence of a silane as reducing agent, with high level of selectivity, good functional group tolerance and obtaining high yields. Moreover, our green methodology allows to scale up the reaction and derivatization of the target compounds into synthetically interesting products. Furthermore, the selective cyanation is successfully applied in late stage functionalization of amide containing drugs and prolinol derivatives (Figure 1).³



✓ User friendly
 ✓ Chemoselective protocol
 ✓ Functionalised a-Amino nitriles
 ✓ Mild reaction conditions
 ✓ Late stage functionalization drugs
 ✓ High yields/preparative scale
 Figure 1

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