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SYNTHESIS OF 2-ACYLBENZONITRILES AND REACTIVITY IN ORGANOCATALYTIC TANDEM REACTION: EASY ACCESS TO HETEROCYCLES WITH TETRASUBSTITUTED CARBON

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The interest of the chemical community towards "one-pot" reactions increased rapidly over the past two decades. These processes, which also include tandem reactions, are characterized by a high level of atom and step economy. Tandem reactions are often used to prepare heterocycles of high biopharmacological value. To facilitate the reaction design, the utilization of bifunctional building blocks in tandem reactions has emerged as an important research area of organic chemistry. However, most of the bifunctional compounds are not easy to synthesize due to the difficulty of installing two or more reactive sites into one molecule. Many 2-substituted benzaldehydes belong to this class and have been widely used in tandem reactions for the synthesis of heterocyclic compounds. In this context, even though ketones are less electrophilic than aldehydes, the investigation of the reactivity of 2-acylbenzonitriles and related ketones appears to be of high interest because of the possibility to obtaining derivatives with tetrasubstituted stereocenters in a single pot process. Thus, in the present communication, the scope and the great potentialities of bifunctional aromatic ketones as electrophiles in asymmetric reactions will be discussed. In addition, a convenient synthesis of 2-acylbenzonitriles starting from readily available materials is proposed.

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