

One-step syntheses of 2-pyrrolidinones and 3-pyrrolidinones from α,β -unsaturated diazoketones and amines

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Pyrrolidine ring is an important type of nitrogen heterocycle due to its large occurrence in natural products, its significant biological activities and its application as intermediates in the synthesis of more complex molecules. Many methodologies have been described for these N-heterocycles to date. However, the use of common intermediates to obtain a large number of pyrrolidine analogs, in a direct fashion, is limited. In this context, α,β -unsaturated diazoketone (UDK) are versatile and multifunctional building blocks in synthesis and allow the rapid construction of different N-heterocycles and analogs from the same intermediate. Herein we wish to describe how we prepared several 2- and 3-pyrrolidinones from UDK in a single reaction vessel by a sequence of two transformations as described below. 1) For 2-pyrrolidinones: Aza-Michael addition followed by exposure to light (photochemical Wolff rearrangement). 2) For 3-pyrrolidinones: Aza-Michael addition, followed by addition of Cu or Rh salts (intramolecular N-H insertion reaction). All the pyrrolidinones could be prepared in good yields from the UDK. Finally, this one-step method was also applied in the synthesis of Barmumycin, a pyrrolidine alkaloid with antitumor activity.

