

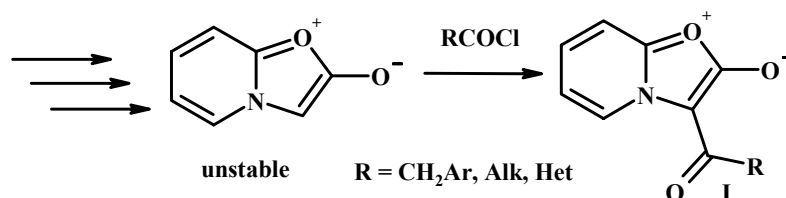
Novel Route to 2-CH₂R-Substituted Oxazolo[3,2-a]pyridinium Salts and Imidazo[1,2-a]pyridines

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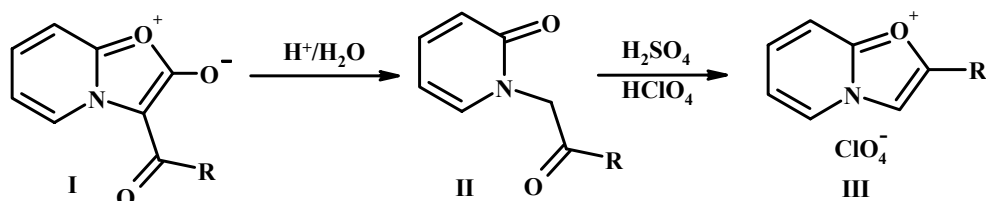
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We have developed a novel strategy to obtain 2-substituted imidazo[1,2-a]pyridines **IV** via corresponding oxazolo[3,2-a]pyridinium salts **III**. This stepwise sequence involves:

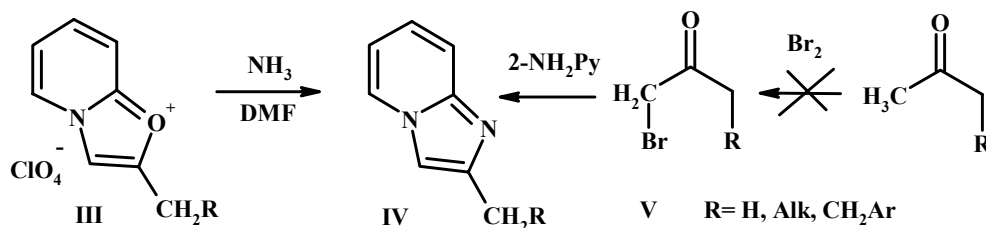
(1) Acylation of unstable bicyclic munchnone leading to the series of stable and previously unknown mesoionic oxazolo[3,2-a]pyridinium-2-olates **I**:



(2) Hydrolysis of acylated munchones **I** to N-substituted pyridones **II**, which in turn could be converted (stepwise or one-pot) to bicyclic cations **III** by cyclodehydration:



(3) Recyclization of bicyclic oxazolium salts **III** to covalent fused imidazoles **IV**:



Key advantage of the discovered strategy is the possibility to avoid the use of α-bromoketones (standard reagents to prepare bicyclic structures **III** and **IV** and known as strong lacrimators). The usefulness of the approach was proved by successful preparation of 2-CH₂R substituted heterocycles **IV** (R = Alk, Ar) poorly available by common Chichibabin reaction. (The required for this cyclization bromomethylketones **V** could not be obtained pure by selective bromination of Me-CO-CH₂R ketones.)