DR-3. AN EFFICIENT SYNTHESIS OF OXAZOLIDINES BY TANDEM RING-OPENING/CLOSING REACTION OF TS-AZIRIDINE USING FORMIC ACID

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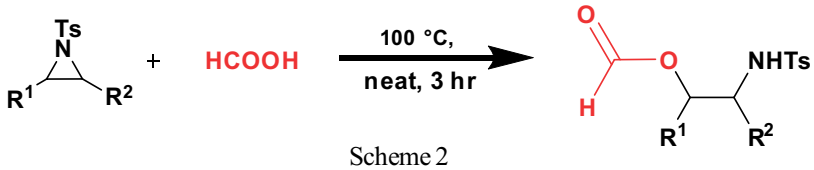
Oxazolidine and its derivatives are very important compounds due to their various pharmacological activities such as antitumor, cytotoxic, anti-inflammatory, analgesic properties and they appear in many natural products like quinocarcin and tetrazomine [1–4]. Synthesis of oxazolidines has been reported from aziridines by the reaction with formic acid and formaldehyde in neat conditions [5]. We have also observed a regio-selective nucleophilic ring opening of aziridines by HCOOH under same conditions in absence of formaldehyde where the $HCOO^-$ ion acts as a nucleophile. In this present method formic acid is not acting as reducing agent as classical organic reactions. We have developed a direct and one-pot synthesis of oxazolidines by addition of formaldehyde in a mixture of aziridines and formic acid in 1 : 1 : 1 ratio at 100 °C temperature without using any catalyst and solvent (Scheme 1).

Scheme 1

In absence of formaldehyde the formic acid simply acts on aziridine, which initially activates by the proton and under similar reaction conditions the formate ion on benzyl attack into the activated aziridine gives the ring opening product (Scheme 2).



Scheme 2

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