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Iron (III) tosylate catalyzed synthesis of 3,4-dihydropyrimidin-2(1H)-ones/thiones via the Biginelli reaction

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Abstract

The synthesis of dihydropyrimidinones and dihydropyrimidine thiones has attracted interest due to their biological activities. A common method for their synthesis is the Biginelli reaction, which is a one-pot condensation of an aryl aldehyde, urea (or thiourea), and ethyl acetoacetate. The Biginelli reaction is typically catalyzed by a Brønsted or Lewis acid. However, many of these catalysts such as $BF_3 \cdot Et_2O$ and $AlCl_3$ are corrosive and/or toxic. Our continued interest in environmentally friendly organic synthesis prompted us to investigate the utility of iron (III) tosylate as a catalyst for the Biginelli reaction. The use of acetals in the Biginelli reaction is also reported. Iron (III) tosylate is an attractive catalyst for the Biginelli reaction because of its low cost, low toxicity, and ease of handling.

Keywords:
Acetals, Aldehydes, Biginelli reaction, 3,4-Dihydropyrimidin-2(1H)-ones/thiones, Green chemistry, Iron(III) tosylate, Multi-component reactions