CHAPTER IV

CONCLUSIONS

The main purpose of this research was to synthesize 3,4-dihydropyrimidine-2(1*H*)-ones using CsF-Celite as catalyst under solvent-free condition. In this work, we would like to disclose our the results employing CsF-Celite as Lewis base-catalyst for the synthesis of DHPMs by Biginelli reaction. To establish the optimal conditions, we carried out a set of experiments varying the reaction time, amounts of the catalysts and the quantities of urea. Under conventional method, the best condition to prepare the dihydropyrimidinone were achieved when mixing 10 mol% of CsF-Celite, equimolar of benzaldehyde and ethyl acetoacetate and 1.3 equivalent of urea or thiourea.

After optimizing the reaction condition we have demonstrated the generality of the procedure using different kind of substituents on the aromatic aldehyde. All the substrates were smoothly converted in their corresponding 3,4-dihydropyrimidine-2(1*H*)-ones in high yields and high purity. The aromatic aldehyde with either containing electron-donating or electron-withdrawing groups afforded high yields of the products ranging from 90-98%. Thiourea has been used with similar success to provide corresponding, 3,4-dihydropyrimidine-2(1*H*)-thiones in high yields, which are also of much interest with respect to their biological activities.We also demonstrated that CsF-Celite catalyst can be reused to give similar results.

The use of microwave to increases the reaction rate an efficiency of this new procedure was also demonstrated. Under microwave heating, benzaldehyde and its

derivatives reacted with an equimolar of banzaldehyde and ethyl acetoacetate using 10 mol% of CsF-Celite to give the 3,4-dihydropyrimidine-2(1*H*)-ones in high yield (>90%). It can be seen that most of the reactions were completed in 2.30-3 min. The reaction time was shorter than the conventional heating method.

In summary, this method not only preserved the simplicity of Biginelli's one-pot condensation but also remarkably improved the yields (>90%) of dihydropyrimidinones (thiones) in shorter reaction times. The procedure gives the products in excellent yields and high purity as well as avoiding problems associated with solvent use. The method offers several advantages including high yield of products, recyclable of the catalyst and easy experimental work-up procedure, which makes it a useful process for the synthesis of 3,4-dihydropyrimidin-2(1*H*)-ones. The