Environmentally Benign Syntheses and Characterization of 4-Aryldihydropyrimidin-2(1H)-ones

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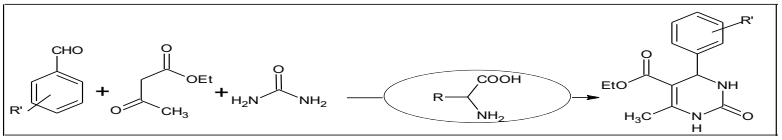
Abstract. A general, simple, efficient, cost-effective and environmental benign procedure for the syntheses of 4-aryl-3,4-dihydropyrimidin-2(1H)-ones (DHPMs) has been developed. The reaction is efficiently catalysed by using various amino acids viz., glycine, L-proline, L-cysteine, leucine and tryptophan. Reactions give excellent yields under reflux conditions. The chemical structures of these compounds were identified by Fourier Transform-Infra Red Spectroscopy (FT-IR), Gas Chromatography-Mass Spectroscopy (GC-MS) and Nuclear Magnetic Resonance Spectroscopy (^{1}H NMR). Physical parameters such as m.p., mixed m.p. as well as TLC also helped to characterize the expected products. The progress of the reaction time and purity was checked by TLC and the products were purified by recrystallization using ethyl acetate as a solvent. The synthesized molecule has numerous biological applications as it is anti-microbial, anti-inflammatory and anti-hypertensive in character.

Key Words: Green Approach, Amino Acids, 4-Aryldihydropyrimidinone.



1 Introduction

The synthesis of dihydropyrimidinones (DHPMs) has gained importance in recent years due to structural similarities between dihydropyrimidinones and pyrimidine [1]. Pyrimidines are one of biologically important families. Pyrimidine bases such as cytosine (C), thymine (T), are basic constituents in nucleic acids [2]. This class of heterocyclic compounds has also very important pharmaceutical activities such as antimicrobial [3], anti-inflammatory [4], antitumor [3]antihypertensive agents [5], chemical modulators, calcium channel modulators as well as melanin concentrating hormone receptor, antagonists[6], antifungal[7], as inhibitors to replicate hepatitis B, and inhibitors of specific organic acid transporters [8], [9].

In1893, Pietro Biginelli reported synthesis of 4-dihydropyrimidin-2(1H)-one by cyclocondensation of ethyl acetoacetate, benzaldehyde, and urea by simply heating a mixture of these three components in the presence of acid as a catalysts under reflux conditions [10].