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SOLVENT-FREE SYNTHESIS OF 1-AZABICYCLO[3.1.0]HEXANE-3-ENES

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Abstract: This work described a simple and efficient method for the synthesis of 1-azabicyclo[3.1.0]hexane-3-ene derivatives in solvent-free and microwave irradiation conditions. Arylidene malononitriles and Hydroxylamine hydrochloride in the presence of NaOH, under microwave irradiation and solvent free conditions produced the titled compounds in good to excellent yields.

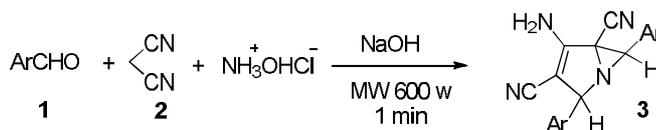
Keywords: Solvent-Free, Microwave, Azabicyclo[3.1.0]hexane, Arylidene malononitrile.

1. INTRODUCTION

Multicomponent reaction (MCR) is a commonly known and widely used reaction in the synthesis of many heterocyclic compounds such as pharmaceuticals [1], fungicides [2], herbicides [3] and pesticides. In the past decade the MCRs strategy has gained growing attention because of its capacity to produce medicinal and other bioactive compounds. The combination of MCR and green chemistry conditions represents a very efficient method from environmental, economic and synthetic points of view. The high reaction yields, facile execution, short reaction time, atomic economy and generally economic cost are the main advantages of these reactions [4,5].

Bicyclic nitrogen containing heterocyclic compounds are of both biological and chemical interest. They are part of a large number of highly significant biomolecules such as the effective pathogen killer [6,7], antitussive, anti-inflammatory effects with analgesic activities⁶. Some of them are very common in drug molecules, which possess various biological activities, such as inhibitors for the microsomal prostaglandin E2, anti-malarial, anti-depressant, anti-hypertensive and anti-hypoglycemic. The fused-ring and bridge-ring moieties, such as 3-aza-bicyclo[3.1.0]hexane-2-carboxylic acid derivatives have been found to serve as an effective plant male gametocide, hepatitis C protease inhibitors [8-10].

As part of our current studies on the development of efficient and straightforward methods to prepare organic compounds from readily available building blocks [11-15], herein we report a simple and efficient strategy for the synthesis of 1-azabicyclo[0,1,3] hexenes via a simple reaction between arylaldehydes, malononitrile and, Hydroxylamine hydrochloride in the presence of NaOH, under microwave irradiation and solvent free conditions to afford the titled compound **3a-e** in good to excellent yields (Scheme 1, Table 1).



Scheme 1. Microwave assisted synthesis of 1-azabicyclo[0,1,3] hexenes **3**.