ONE-POT EASY CONVERSION OF BAYLIS-HILLMAN ADDUCTS INTO 1,5-DIARYLPYRAZOLES UNDER ULTRASOUND CONDITIONS

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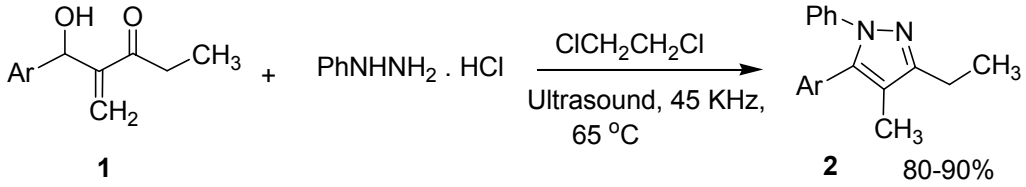
Pyrazoles are key substructures in a large variety of compounds of important biological activities. 1,5-Diarylpyrazole derivatives are important in medicinal and pesticidal chemistry. Recently it was known that some 1,5-diarylpyrazole derivatives showed nonnucleoside HIV-1 reverse transcriptase inhibitory activities. Therefore extensive studies have been devoted to the synthesis of these compounds.[1] Usually they can be prepared from the reaction of hydrazines and 1,3-dicarbonyls, heteroaryl halides, palladium catalyzed arylation of hydrazones and solid-phase combinatorial approaches. However these synthesis must suffer from multi-step reactions and low yields of products.[1]

In continuation of our recent interests in the synthesis and chemistry of heterocyclic compounds.[2] We exploited a simple and efficient one-pot conversion of readily available Baylis-Hillman adducts into 1,5-diarylpyrazoles under ultrasound conditions (45 KHz, 65 ^{o}C). This novel protocol furnished the desired pyrazole derivatives in reasonable reaction times (1-3 h) and excellent yields (80-90%) (Scheme 1).



1 **2** 80-90%

Ar = 2-$NO_2C_6H_4$, 3-$NO_2C_6H_4$, 4-$NO_2C_6H_4$, 3-ClC_6H_4, 2,4-$Cl_2C_6H_4$, 3-BrC_6H_4, etc.

Scheme 1

The structures of all products were established by spectroscopic analyses (IR, ^{1}H NMR and ^{13}C NMR). In this presentation various aspects of this reaction will be discussed.

Haddad, N.; Salvagno, A.; Busacca, C. *Tetrahedron Lett*. **2004**, *45*, 5935.

(a) K. Tabatabaeian, M. Mamaghani, N. Mahmoodi and A. Khorshidi, *J. Mol. Catal. A*, 2007, *270*, 112; [b] K. Tabatabaeian, M. Mamaghani, N. Mahmoodi and A. Khorshidi, *Canadian. J. Chem.*, 2006, *84 (11)*, 1541.