Synthesis of the Novel Diazepine and Pyrimidine Derivatives Based on Heterocyclic Ketene Aminals

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Heterocyclic ketene aminals (HKAs), by high caliber of distinctive electronic feature, have been significantly used as flexible synthons for the construction of a variety of fused-ring polycyclic heterocycles and therefore highly noteworthy in contemporary organic synthesis [1]. These fused heterocyclic structures are frequently found in pharmacophores and play important roles in drug discovery and are also used as herbicides, pesticides [2] antianxiety agents [3].

N,N’-Bis(arylmethylidene)arylmethane serve as a good precursor for the synthesis of numerous organic compounds, especially aza-cyclic compounds [4].

As part of our continuing effort on the design of new routes for the preparation of biologically active compounds [5] herein, we describe a simple, one-pot, three-component synthesis of pyrimido[1,6-a]pyrimidines and pyrimido[1,6-a][1,3]diazepines from heterocyclic ketene aminals. The reaction of N,N’-bis(arylmethylidene)arylmethanediimine 1 and different diamines in the presence of 1,1-bis(methylthio)-2-nitroethylene 2 in anhydrous ethanol at reflux condition produces title compound 3-5 in 72–88% yields.

In conclusion, an efficient, clean and simple method for the synthesis of pyrimido[1,6-a]pyrimidines and pyrimido[1,6-a][1,3]diazepines using readily available starting materials is reported. These types of heterocycles contain a number of functional groups with possible biological activities. Prominent among the advantages of this new method are novelty, operational simplicity, and high product yields.

![Chemical structures](image)

REFERENCES