Can Ketones Be Productive Dienophiles For IEDDA Reactions?

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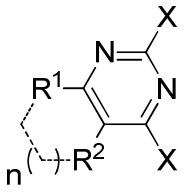
The development of the inverse electron demand Diels-Alder (IEDDA) reactions of 1,3,5-triazines has led to the total syntheses of a series of pyrimidine-containing natural products and the preparation of highly functionalized pyrimidine heterocycles.[1] The dienophiles of these IEDDA reactions have been limited to electron-rich alkenes and alkynes, such as enamines, ynamines and amidines. Recently, we have discovered that ketones could be employed directly as productive dienophiles in the 1,3,5-triazine IEDDA reactions under conditions, such as using catalytic amount of hydrazine and trifluoroacetic acid. For examples, pyrimidine fused heterocycle **1** and functionalized pyridine-4-amine **2** may be prepared in moderate to excellent yields by applications of the new methods. The details of these investigations will be discussed.



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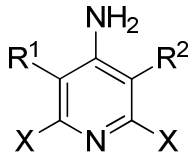

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(1) (a) Boger, D. L. *Chem. Rev.* **1986**, *86*, 781. (b) Foster, R. A. A.; Willis, M. C. *Chem. Soc. Rev.* **2013**, *42*, 63.