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Efficient Amination of Activated and Non-Activated C(sp^3)–H Bonds with a Simple Iron-Phenanthroline Catalyst
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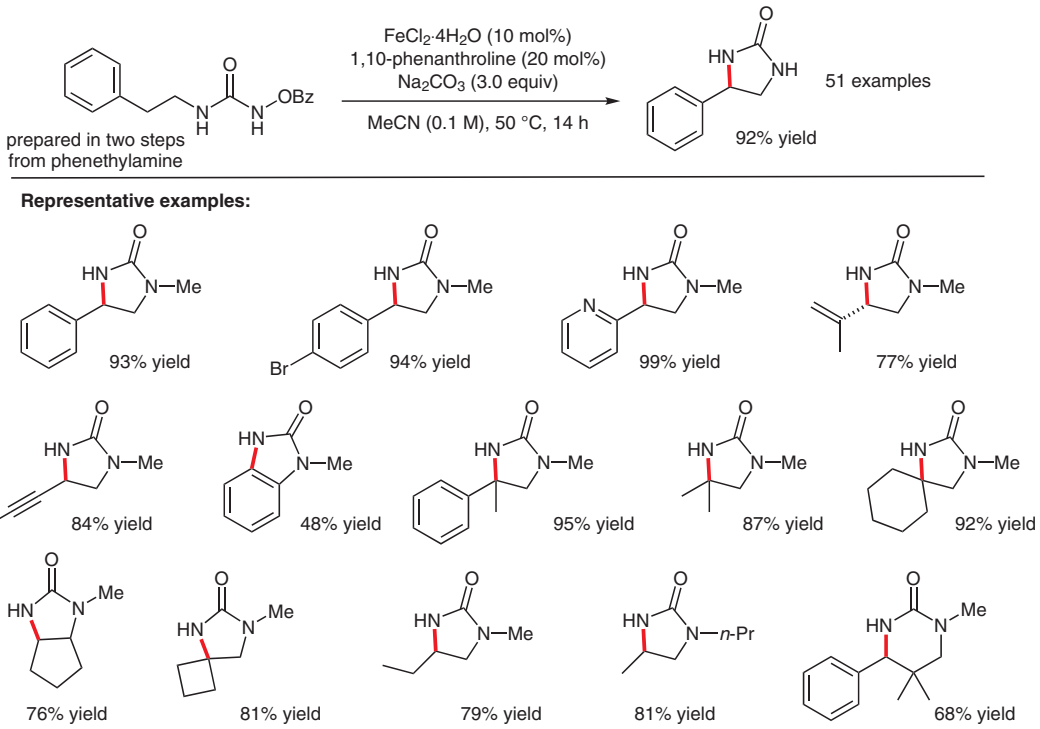
Preparation of Imidazolidine-2-ones from *N*-Benzoyloxyureas by C–H Amination



Significance: An iron-catalyzed method for the intramolecular amination of C–H bonds to form imidazolidine-2-ones from *N*-benzoyloxyureas is described. This report is the first example of an iron-catalyzed C–H amination employing *N*-benzoyloxyureas, which are prepared in two steps from the corresponding amines. The reaction is postulated to proceed through an iron nitrenoid intermediate that undergoes a 1,5-hydrogen atom transfer followed by a radical rebound to form the new C–N bond. Related iron-catalyzed C–H amination reactions are known but often require the use of organic azides, elaborate ligands, and/or hypervalent iodide reagents. The present method is very practical; the iron source and ligand are both inexpensive and readily available, and the reaction is insensitive to air or moisture and is scalable to 1 mmol.

Comment: Imidazolidin-2-ones are structural features of many pharmaceuticals, and new efficient methods for their construction are of interest. This method exhibits a broad scope in terms of the types of C–H bonds that will engage in the C–H amination process, providing access to a wide variety of imidazolidine-2-one products. For example, in addition to C(sp^3)–H bonds activated by a π-system (secondary and tertiary benzylic, allylic, or propargylic), non-activated secondary and tertiary C(sp^3)–H bonds as well as a C(sp^2)–H bond also performed well in this reaction. Additionally, the mild reaction conditions are tolerant of a variety of functional groups, including esters, an unprotected N–H, aryl halides, and a pyridine.

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Synthesis of Heterocycles

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benzoyloxyureas