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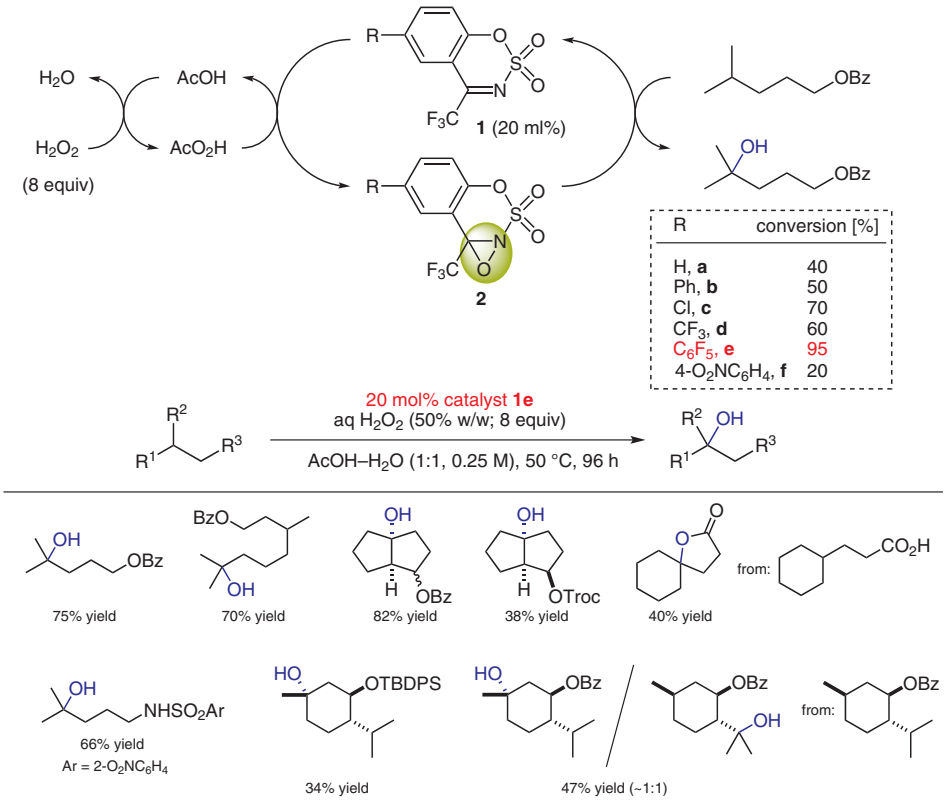
C–H Hydroxylation Using a Heterocyclic Catalyst and Aqueous H_2O_2
Angew. Chem. Int. Ed. **2009**, *48*, 4513-4516.

Organocatalytic C–H Hydroxylation



Significance: Based on the authors' previous work in this field (*J. Am. Chem. Soc.* **2005**, *127*, 15391) a second-generation oxaziridine **2**-mediated catalytic process for C–H hydroxylation is reported. The revised reaction protocol features the use of aqueous H_2O_2 in AcOH–H_2O (1:1), conditions which efficiently generate the reactive oxaziridine intermediate **2** in situ from the benzoxathiazine catalyst **1**. Among all catalysts **1** tested, catalyst **1e** (R = C_6F_5) turned out to be the most effective suggesting hydrophobic catalyst–substrate aggregation as proposed by the authors. Mechanistically, oxaziridine-mediated electrophilic O-atom insertion into C–H bonds likely proceeds in a concerted, asynchronous fashion.

Comment: Most catalytic C–H bond hydroxylation processes rely on transition metal complexes to support reactive metal-oxo or metal-peroxo species (for an example, see: M. S. Chen, M. C. White *Science* **2007**, *318*, 783). Curci and coworkers have used dioxiranes – strained, electrophilic heterocycles – for the oxygenation of saturated hydrocarbons (*Acc. Chem. Res.* **2006**, *39*, 1). In contrast the Du Bois group employs in situ generated oxaziridines **2** for the same purpose. Compared to their first-generation process the advanced method not only simplifies the experimental protocol by avoiding Ar_2Se_2 as co-catalyst but also has a significantly broader substrate scope.

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SYNFACT of the month

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