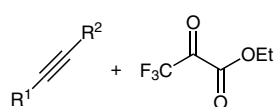


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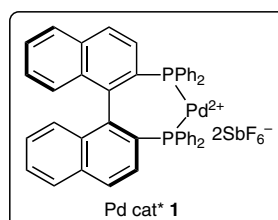
Catalytic Asymmetric Synthesis of Stable Oxetenes via Lewis Acid Promoted [2+2] Cycloaddition

J. Am. Chem. Soc. **2011**, *133*, 20092–20095.

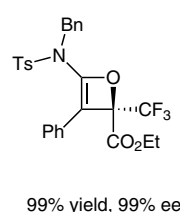
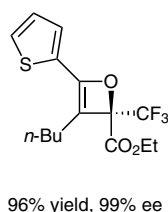
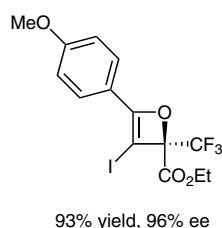
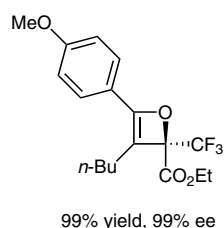
Asymmetric Synthesis of Stable Oxetenes via [2+2] Cycloaddition



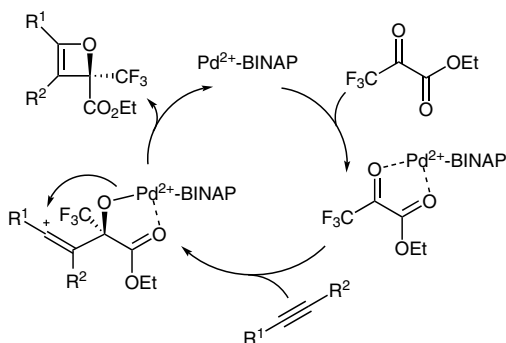
up to 99% yield, 99% ee
stable oxetenes
as a novel chiral CF₃ building block



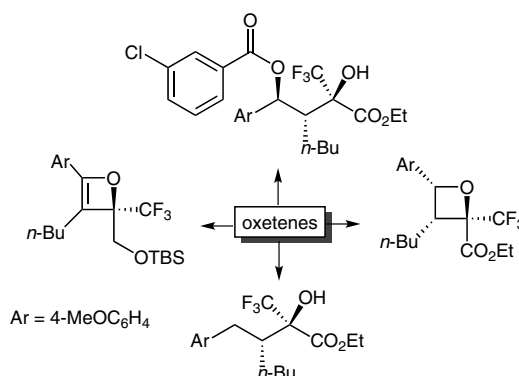
Selected examples:



Proposed reaction mechanism:



Transformation of oxetenes:



Significance: The synthesis of stable oxetenes remains a challenging task due to the increased ring strain imposed by a double bond in the ring. Herein, the authors present the first highly enantioselective synthesis of stable oxetene derivatives via an atom-economical [2+2] cycloaddition of various alkynes with trifluoropyruvate using the chiral dicationic palladium complex **1** as an efficient Lewis acid catalyst.

Comment: This method efficiently constructs stable oxetene derivatives bearing a CF₃ group. The reactions proceed in excellent enantioselectivity and yields at up to 0.5 mmol scales with very low catalyst loading (0.1 mol%). The products can serve as novel chiral CF₃ building blocks for pharmaceuticals and agrochemicals, and can be further converted into a variety of chiral CF₃-containing compounds with excellent stereoselectivity.

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Category

Metal-Catalyzed
Asymmetric
Synthesis and
Stereoselective
Reactions

Key words

oxetenes
[2+2] cycloaddition
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of the month