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

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

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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