R. GUO, Y.-C. CHANG, L. HERTER, C. SALOME, S. E. BRALEY, T. C. FESSARD, M. K. BROWN*
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Strain-Release [2π + 2σ] Cycloadditions for the Synthesis of Bicyclo[2.1.1]hexanes Initiated by Energy Transfer
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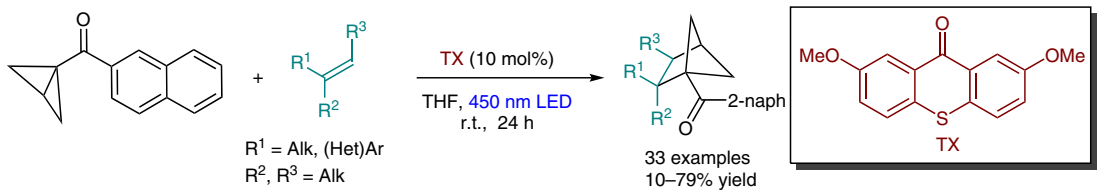
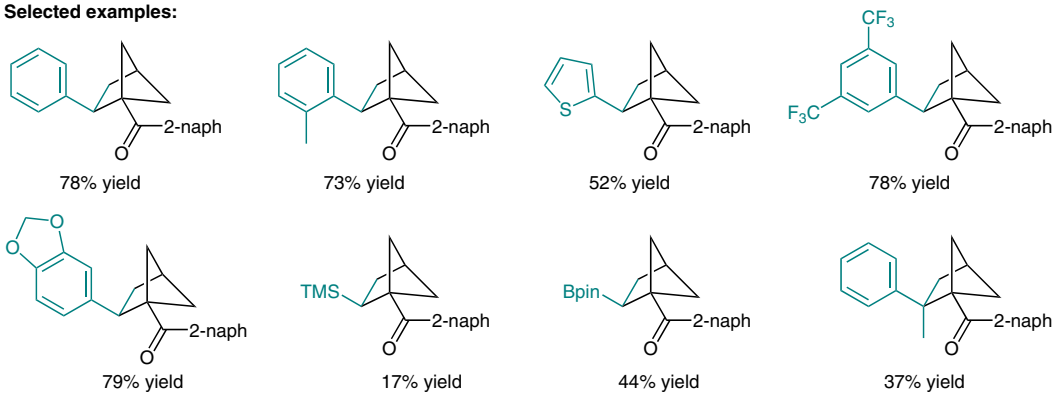
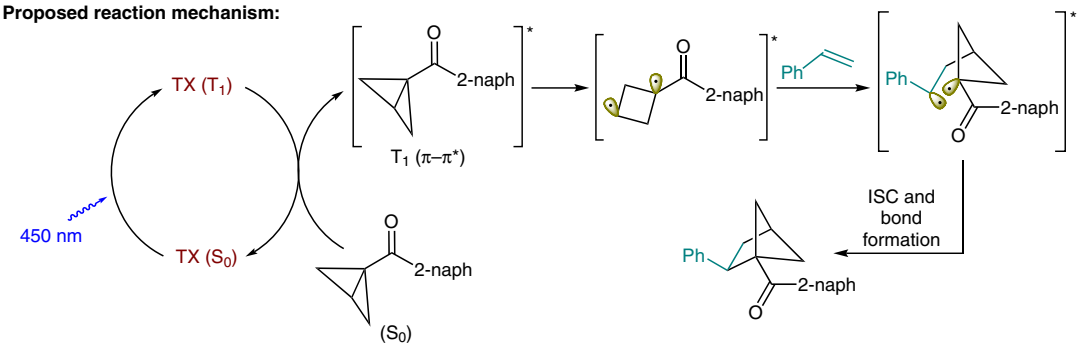
Energy-Transfer-Induced Strain-Release [2π+2σ] Cycloadditions



Selected examples:



Proposed reaction mechanism:



Significance: Brown and co-workers present a new strategy for the synthesis of bicyclo[2.2.1]hexanes by strain-release [2π+2σ] cycloadditions. A diradical cyclobutene, formed in situ, reacts with electronically diverse substituted olefins to give the corresponding products in poor to good yields.

Comment: Due to their high rigidity, bicyclic[2.2.1] compounds often show higher activity, solubility and metabolic stability than substituted benzenes, making them important building blocks in drug development. However, currently available methods for the synthesis of bicyclo[2.2.1]hexanes are limited to intramolecular reactions and typically feature a narrow scope. In the highlighted approach, the authors expand the method to intermolecular reactions with a broad scope.

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Category
Organo- and Biocatalysis

Key words
energy transfer
[2π+2σ] cycloaddition
ring opening
strain release
bicyclohexanes

Synfact of the Month