R. GUO, Y.-C. CHANG, L. HERTER, C. SALOME, S. E. BRALEY, T. C. FESSARD, M. K. BROWN* (INDIANA UNIVERSITY, BLOOMINGTON, USA) Strain-Release $[2\pi + 2\sigma]$ Cycloadditions for the Synthesis of Bicyclo[2.1.1]hexanes Initiated by Energy Transfer

Strain-Release $[2\pi + 2\sigma]$ Cycloadditions for the Synthesis of Bicyclo[2.1.1]hexanes lnitiated by Energy Transfer J. Am. Chem. Soc. **2022**, 144, 7988–7994-, DOI: 10.1021/jacs.2c02976.

Energy-Transfer-Induced Strain-Release $[2\pi+2\sigma]$ Cycloadditions



Significance: Brown and co-workers present a new strategy for the synthesis of bicyclo[2.2.1]hexanes by strain-release $[2\pi+2\sigma]$ 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

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ring opening

strain release

bicyclohexanes

of the Month