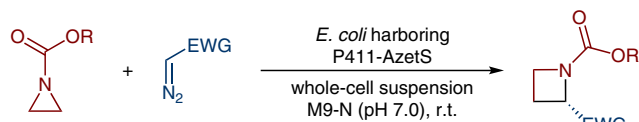
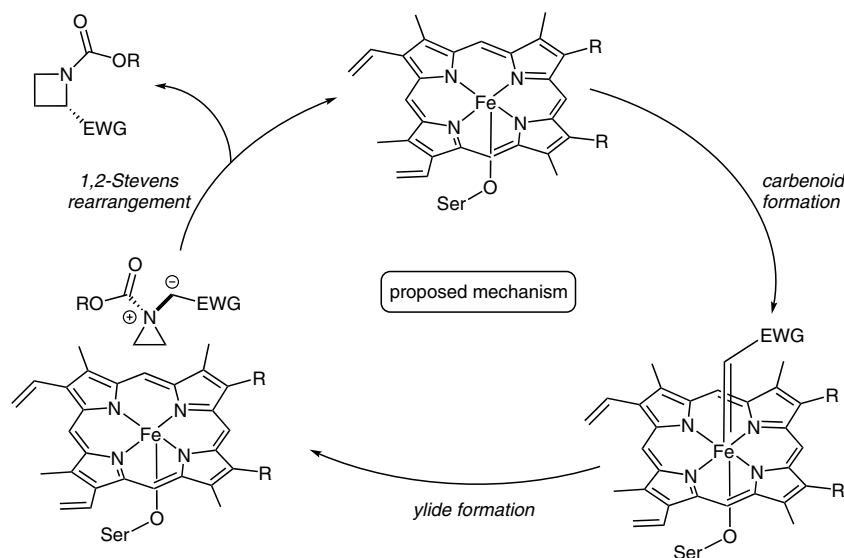
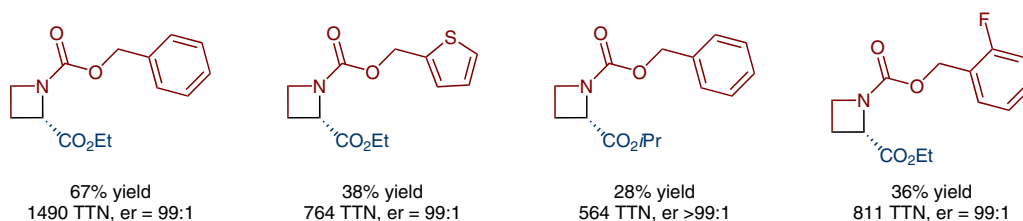
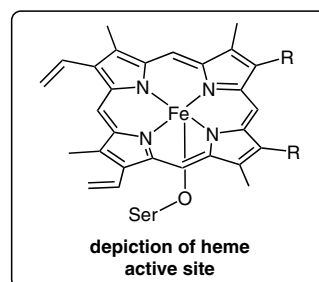


D. C. MILLER, R. G. LAL, L. A. MARCHETTI, F. H. ARNOLD* (CALIFORNIA INSTITUTE OF TECHNOLOGY, PASADENA, USA)
Biocatalytic One-Carbon Ring Expansion of Aziridines to Azetidines via a Highly Enantioselective [1,2]-Stevens Rearrangement
J. Am. Chem. Soc. **2022**, *144*, 4739–4745, DOI: 10.1021/jacs.2c00251.

Biocatalytic Enantioselective 1-Carbon Ring Expansion via 1,2-Stevens Rearrangement



- 8 examples
- up to 67% yield
- up to >99% ee
- up to 10 mmol scale
- up to 1490 TTN



Significance: The Arnold group reports an enantioselective biocatalytic one-carbon ring expansion of acylated aziridines to azetidines via a 1,2-Stevens rearrangement. The reaction was developed starting from hemoproteins, which have previously been demonstrated to enable the transfer of carbenoids in related reactions.

Comment: Directed evolution using iterative site-saturation mutagenesis (SSM) identified the azetidine synthase, P411-AzetS, as the optimal enzyme. The authors indicate that the solvent-caging effects of the active site influence enantioinduction and reactivity in this process, as free hemin is unsuccessful in catalyzing this reaction.

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