Chiral Non-racemic Bicyclic Diketopiperazines:
A Common Precursor to Explore Diverse Asymmetric Reactions

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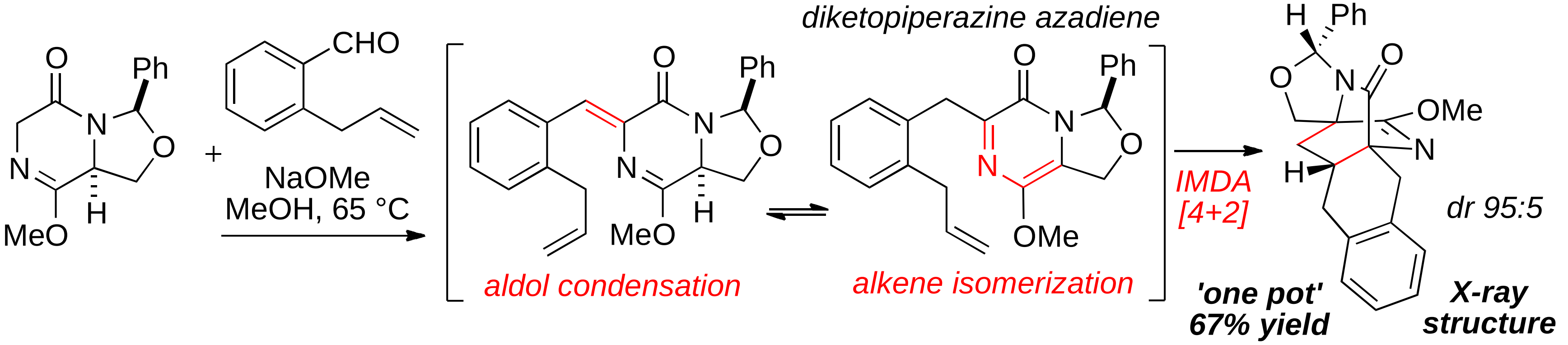
Bicyclic diketopiperazine (DKP) bearing a configurationally stable aminal stereogenic center is an effective platform from which to explore selective bond formation. The illustrated DKP can engage in a domino reaction sequence involving aldol condensation, alkene isomerization, and intramolecular hetero-Diels-Alder cycloaddition to prepare [2.2.2]-diazabicyclic structures. Excellent diastereofacial control during the cycloaddition is enforced with a removable chiral aminal substituent. The reaction sequence rapidly generates molecular complexity and is competent with both enolizable and non-enolizable aldehyde substrates.



Both enolizable and non-enolizable aldehyde substrates are viable (8 examples)

[2.2.2]-diazabicyclo structures