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Benzofurans or Isochromenes via the Ring-Opening Cyclization of Cyclopropene Derivatives with Organolithiums
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Category

Metal-Mediated Synthesis

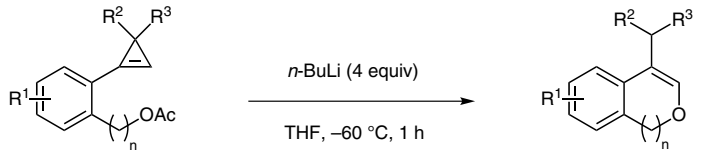
Key words

lithium

ring-opening cyclization

cyclopropenes

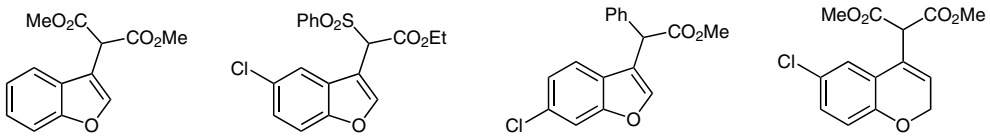
n-BuLi-Initiated Ring-Opening Cyclization of Cyclopropene Derivatives



up to 72% yield

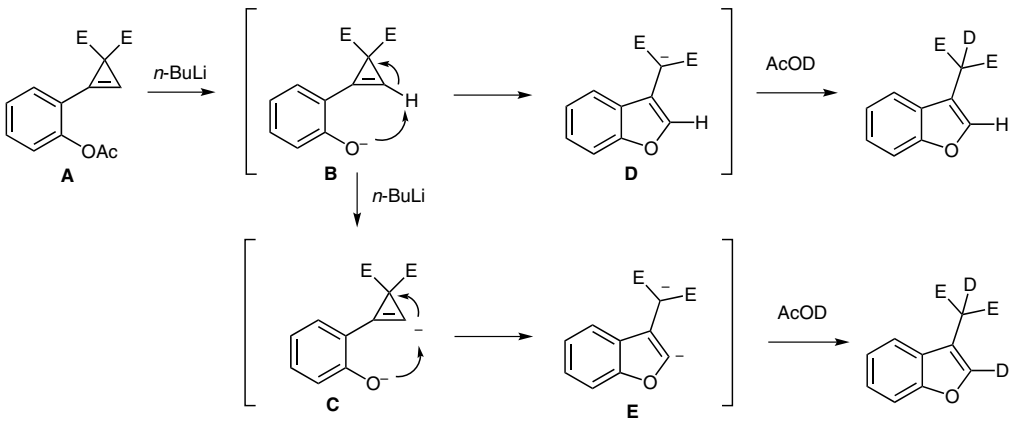
R^1 = H, Me, Cl, F
R^2 = Ph, CO_2Me, SO_2Ph
R^3 = CO_2Me, CO_2Et
n = 0, 1

Selected examples:



72% yield | 59% yield | 64% yield | 54% yield

Proposed mechanism:



Significance: The authors report a new access to benzocycles from cyclopropene derivatives. Treatment of 2-acetyl or 2-acetoxymethyl cyclopropenes with *n*-BuLi leads to deprotection and subsequent ring-opening cyclization to yield benzofurans and isochromenes in a one-pot procedure.

Comment: Based on deuterium experiments a plausible mechanism is proposed: The reaction of **A** with *n*-BuLi forms **B** and the oxygen anion in **B** attacks the cyclopropene moiety to give **D**. Alternatively, an excess of *n*-BuLi may further deprotonate the olefinic proton to generate dianion **C**, which may also undergo ring-opening cyclization to give **E**.

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