Desymmetrization of Cyclohexanes by Site- and Stereoselective C–H Functionalization


Cyclohexane Desymmetrization via Rhodium-Catalyzed C–H Activation

Significance: The authors report a site- and stereoselective desymmetrization of cyclohexanes via a rhodium-complex-catalyzed C–H functionalization.

Comment: The method does not require any directing group and can be applied to unactivated C–H bonds, which presents a limitation for similar methods.

\[ \text{R}_1 = \text{Ar, Het(El)} \]
\[ \text{R}_2 = \text{H, Alk} \]
\[ n = 0, 1, 2 \]

Selected examples:

Disubstituted cyclohexanes:

- 73% yield
- 97% ee
- \( \text{rr} = 9.9:1 \)
- \( \text{dr} = 7.7:1 \)

- 75% yield
- 92% ee
- \( \text{rr} = 9.9:1 \)
- \( \text{dr} = 9.8:1 \)

- 58% yield
- 96% ee
- \( \text{rr} > 50:1 \)
- \( \text{dr} = 7.7:1 \)

- 58% yield
- 98% ee
- \( \text{rr} > 50:1 \)
- \( \text{dr} = 25:1 \)

- 41% yield
- 83% ee
- \( \text{rr} > 50:1 \)
- \( \text{dr} = 2:1 \)

Disubstituted cyclohexanes:

- 72% yield
- 90% ee
- \( \text{rr} = 11:1 \)

- 70% yield
- 98% ee
- \( \text{rr} = 16:1 \)
- \( \text{dr} = 3.7:1 \)

- 70% yield
- 94% ee
- \( \text{rr} > 50:1 \)
- \( \text{dr} = 2:1 \)