Zinc-Mediated Highly α-Regioselective 1,4-Addition of Chalcones with Prenyl Bromide in THF


Highly α-Regioselective 1,4-Addition of Chalcones with Prenyl Bromide

\[
\begin{align*}
\text{O} & \rightarrow \text{R}^1
\end{align*}
\]

(1 equiv)

\[
\begin{align*}
\text{R}^1, \text{R}^2 = \text{Ar} & \rightarrow \text{O} \rightarrow \text{R}^2
\end{align*}
\]

(2 equiv)

\[
\begin{align*}
\text{SnCl}_4 \text{ (1 equiv)} & \rightarrow \text{Zn} \text{ (2.5 equiv)} \rightarrow \text{THF, reflux, 16 h} & \rightarrow \text{O} \rightarrow \text{R}^1
\end{align*}
\]

Up to 86% yield α/γ up to 100:0

Selected examples:

- 66% yield α/γ > 99:1
- 69% yield α/γ = 98:2
- 52% yield α/γ > 99:1
- 63% yield α/γ = 100:0
- 51% yield α/γ = 93:7
- 82% yield α/γ = 100:0
- 87% yield α/γ = 100:0
- 40% yield α/γ > 99:1

Significance: An efficient method for the introduction of a prenyl group onto the β-position of chalcones by zinc-mediated conjugate addition in the presence of tin(IV) chloride (SnCl₄) is reported. The corresponding products are obtained in high yields and excellent α/γ-selectivities.

Comment: The reaction has proven to be highly α-regioselective in a 1,4-manner. Moreover, the α-regioselectivity of these additions is higher than that of the corresponding addition of allylic barium, lithium, and copper reagents.