Enantioselective Allene Addition to Aryl and Alkyl Imines

\[
\begin{align*}
\text{NBoc} & \quad \text{pinB} \\
R & \quad \text{t-BuONa (5.0 mol\%)} \\
(2.0 \text{ equiv}) & \quad \text{i-PrOH (2.3 equiv), PhMe, 22 °C, 8–14 h} \\
1 & \quad \text{NHBOc} \\
\end{align*}
\]

R = (Het)Ar, Alk

74–91% yield
er up to >99:1

Selected examples:

- 86% yield
  er = 98:2

- 74% yield
  er = 97:3

- 88% yield
  er = 97:3

- 89% yield
  er = 95:5

Significance: Hoveyda and co-workers report a highly efficient method for the enantioselective preparation of aryl-, heteroaryl-, and alkyl-substituted homoallenylamides. The addition of an allenyl unit to various Boc-protected imines proceeds with high yield and very good enantioselectivity.

Comment: The application of this new protocol shows its relevance in the total syntheses of the natural products anisomycin and epi-cytoxazone. Furthermore, it is shown that the allenyl addition performed on gram scale proceeds with high efficiency and selectivity, providing the corresponding product in excellent yield.