Asymmetric Lithiation Trapping of N-Boc Heterocycles

Significance: The asymmetric lithiation trapping of various N-Boc heterocycles is disclosed, using s-BuLi and chiral diamines such as (−)-sparteine and (+)-sparteine surrogate at temperatures above −78 °C. The corresponding chiral heterocycles are obtained in high yields and with good enantiomeric ratios.

Comment: The experiments can be conveniently performed, since asymmetric lithiation trappings of, for example, N-Boc pyrrolidine may be conducted at −30 °C, still furnishing the chiral heterocycles with a high enantiomeric ratio of about 9:1.