Dynamic Kinetic Resolution Approach: Synthesis of Axially Chiral Biaryls

**Significance:** Shi and co-workers report a protocol to access axially chiral biaryl systems by dynamic kinetic resolution. The approach relies on using tert-leucine as an inexpensive chiral auxiliary to allow selective C–H insertion into the favored atropisomer. Rotation is locked by a terminating Heck reaction.

**Comment:** The products are delivered in excellent yields and enantioselectivity. The reaction displays great scalability and is performed on up to 5 mmol. Additionally, both enantiomers can be accessed by simply using the amino acid of opposite chirality. The authors found that if the substrates are substituted at both the 6- and 2’-positions, the reaction does not exhibit dynamic reversibility and hence a maximum of 50% yield can be achieved in such cases.

**Mechanism:**

The mechanism involves a directed C–H insertion followed by a Heck reaction to form the product.

**Selected examples:**

- **90% yield**
  - 99% ee
- **83% yield**
  - 97% ee
- **42% yield**
  - 99% ee

* Substrates substituted at both the 6- and 2’-positions have restricted rotation; thus, products are formed by kinetic resolution.