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 the use of Pd(OAc)$_2$ (10 mol%) and benzoquinone (0.1 equiv) as catalysts. The reaction is carried out in HFIP–AcOH (4:1) at 60 °C for 48 h with O$_2$. The reaction proceeds with up to 98% yield and 99% ee.

**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.