Organocatalytic C(sp³)–H Amination through Nitrenoid Transfer

Significance: The Hilinski group reports a C(sp³)–H amination through a nitrenoid transfer catalyzed by iminium salt A. The reaction proceeds in moderate to high yields, and the method is applicable to several natural products having other functional groups.

Comment: In contrast to reported nitrenoid-transfer reactions catalyzed by transition metals, the authors developed an organocatalytic variant of the transformation. They proposed the diaziridinium salt as critical intermediate, which is supported by ESI-MS analysis, but not yet fully characterized. A kinetic isotopic effect study suggested C–H cleavage as the rate-determining step.

Selected examples:

- **64% yield**
- **37% yield**
- **69% yield**
- **56% yield**
- **71% yield**
- **55% yield**
- **44% yield**
- **47% yield (dr = 1.5:1)**
- **36% yield (dr = 1:1)**