Peptide Synthesis by Asymmetric Transamination of α-Keto Amides

**Significance:** Peptide synthesis is important in drug discovery and medicinal chemistry. The authors have developed an asymmetric transamination method for synthesizing peptides from α-keto amides.

**Comment:** By using an N-quaternized axially chiral pyridoxamine as a catalyst, a wide range of dipeptides can be synthesized from α-keto amides in good to excellent yields. This transamination method can also be applied in peptide elongation to synthesize peptide chains containing unnatural amino acids.

**Peptide elongation:**

1. **cat. (5 mol%)**
   - A (1.1 equiv)
   - AcOH (4.0 equiv)
   - KOAc (2.0 equiv)
   - MeOH–THF–H2O = 4:1:1
   - r.t., 72 h

2. **cat. (10 mol%)**
   - A (1.1 equiv)
   - AcOH (4.0 equiv)
   - KOAc (2.0 equiv)
   - MeOH–THF–H2O = 4:1:1
   - 25 °C, 72 h, 50%, dr = 95:5

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**Category**

- **Peptide Chemistry**

**Key words**

- transamination
- organocatalysis
- asymmetric catalysis
- keto amides
- peptides

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