Cyclic Peptides Synthesis with the Assistance of a Removable Activating Group

**Significance:** Biaryl-bridged cyclic peptides are becoming more and more important due to their biological activity. The authors have developed an efficient strategy to synthesize these peptides by introducing a removable activating group to increase reactivity in the oxidative cross-coupling step that forms the biaryl unit.

**Comment:** Although the authors have prepared some natural and nonnatural biaryl-bridged cyclic peptides in moderate total yields, this approach with a remarkable activating group (t-Bu) might have more synthetic uses.

**Other examples:**

1. Pd/C (10 mol%)  
   H₂ (1 atm)  
   EtOH, r.t., 2 h

2. PyBOP (2.0 equiv)  
   DMAP (3.0 equiv)  
   MeCN, r.t., 16 h

3. Fe[TPPCl (1 mol%)  
   m-CPBA (2.0 equiv)  
   CH₂Cl₂, r.t.

(a) oxidative cross-coupling

(b) macrolactamization

(c) activating group removal

Other examples:

1. 56% yield (3 steps)

2. 46% yield (3 steps)