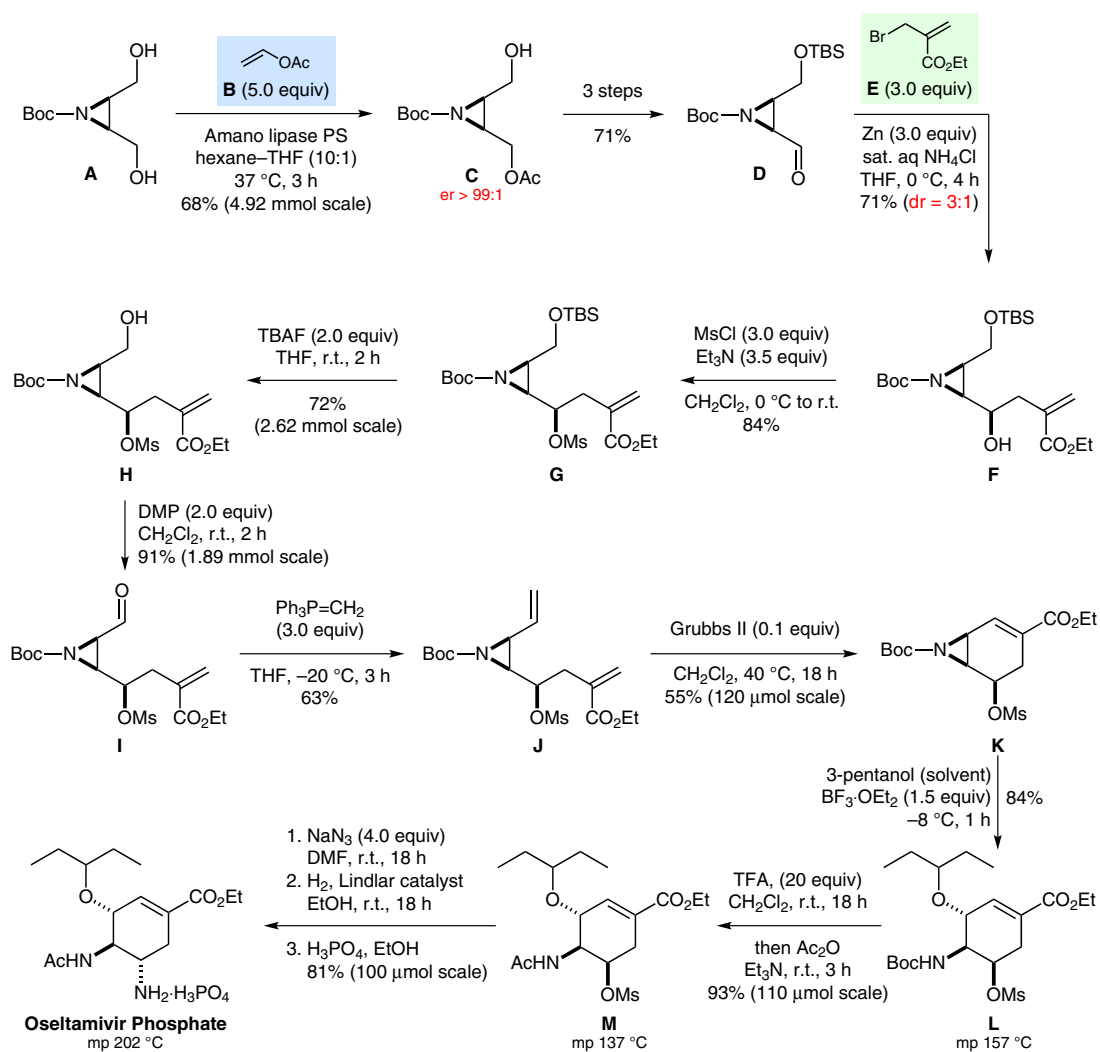


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Synthesis of (–)-Oseltamivir Phosphate (Tamiflu) Starting from *cis*-2,3-Bis(hydroxymethyl)aziridine  
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## Synthesis of (–)-Oseltamivir Phosphate



**Significance:** Oseltamivir phosphate (Tamiflu<sup>®</sup>) is a neuraminidase inhibitor that is widely prescribed for the treatment of various influenzas. The key step in this small-scale, 21-step synthesis is the enzymatic desymmetrization of the *meso*-diol **A** using Amano lipase PS. The diol **A** was prepared in six steps starting from *cis*-2-butene-1,4-diol.

**Comment:** For the enzymatic desymmetrization of closely related substrates, see: K. Fuji et al. *Tetrahedron Lett.* **1990**, *31*, 6663. For a closely related strategy based on epoxide opening and ring-closing metathesis, see: V. Rawat, S. Dey, A. Sudalai, *Org. Biomol. Chem.* **2012**, *10*, 3988.