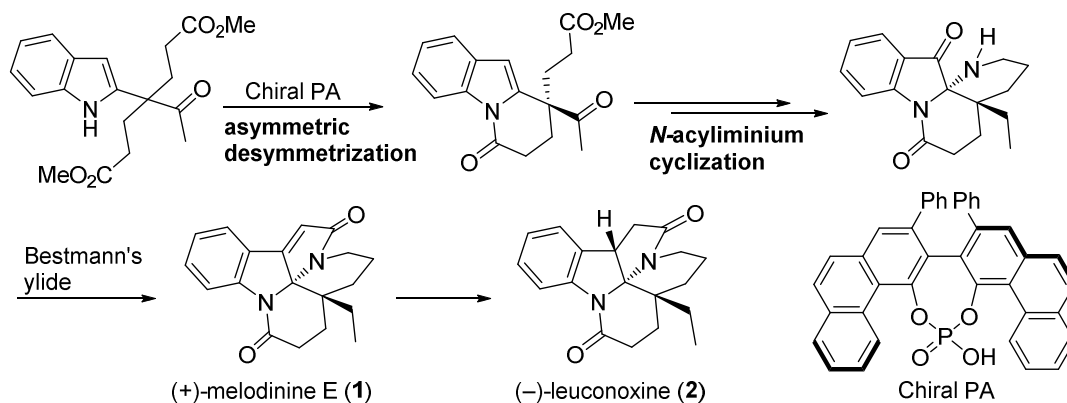


## Total Synthesis of (–)-Leuconoxine Featuring Mannich-type Intramolecular Cyclization and Chiral Phosphoric Acid-Catalyzed Desymmetrization

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We have accomplished the asymmetric total synthesis of (–)-leuconoxine (2). In the presence of a chiral phosphoric acid catalyst (VAPOL-PA), the desymmetrization of prochiral diester produced highly-enantioenriched lactam (75%ee) in excellent yield. Ring construction steps featuring the *N*-acyliminium mediated intramolecular piperidine cyclization with Tf<sub>2</sub>O and subsequent the one-step pyrrolidone formation using Bestmann's ylide were achieved successfully.