

Leinamycin

Isolation and Biological Activity

- Potent antitumor activities
- Isolated from a culture broth of *Streptomyces* sp. (1989)

Structure Features

- 1-oxo 1,2-dithiolane-3-one (fused in a spiro fashion)
- 18-membered macrolactam
- extensively conjugated thiazole ring

Total Synthesis: one report (Fukuyama group, 1993)

Total synthesis of leinamycin is one of the best three works from my laboratory

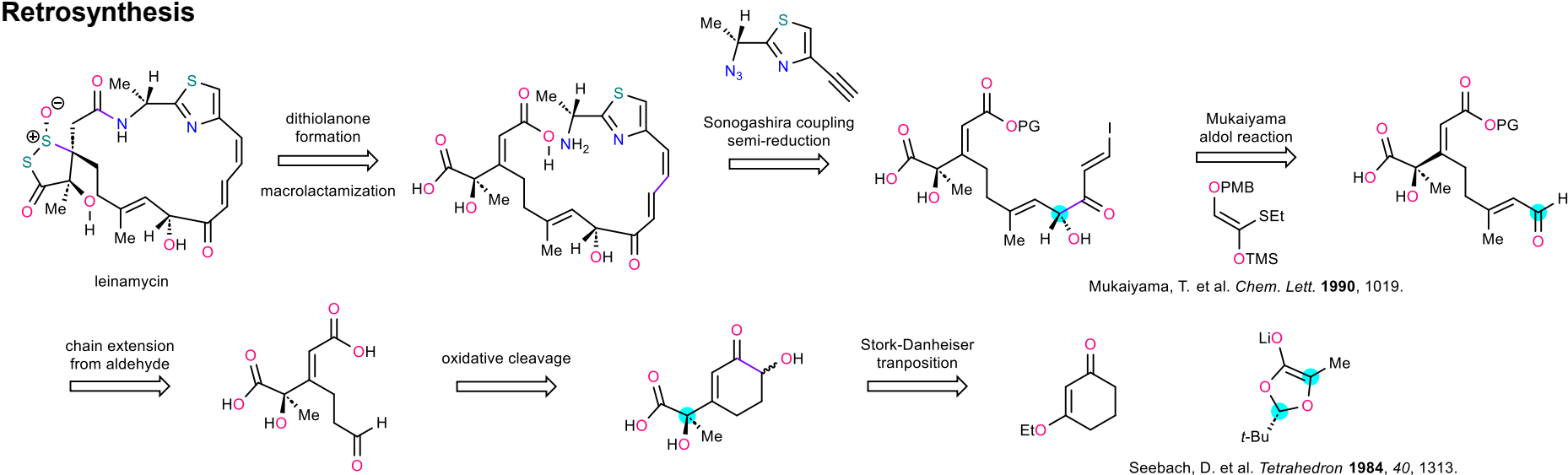


Prof. Tohru Fukuyama

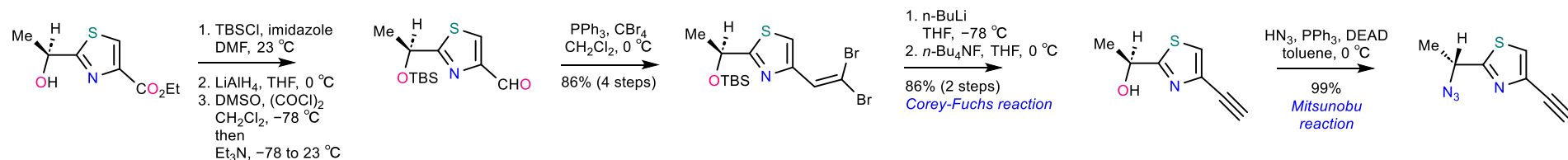
Kanda, Y.; Fukuyama, T. *J. Am. Chem. Soc.* **1993**, *115*, 8451. <https://pubs.acs.org/doi/10.1021/ja00071a066>

For a review, see: Fukuyama, T.; Kanda, Y. *J. Synth. Org. Chem., Jpn.* **1994**, *52*, 888. <https://doi.org/10.5059/yukigoseikyokaiishi.52.888>

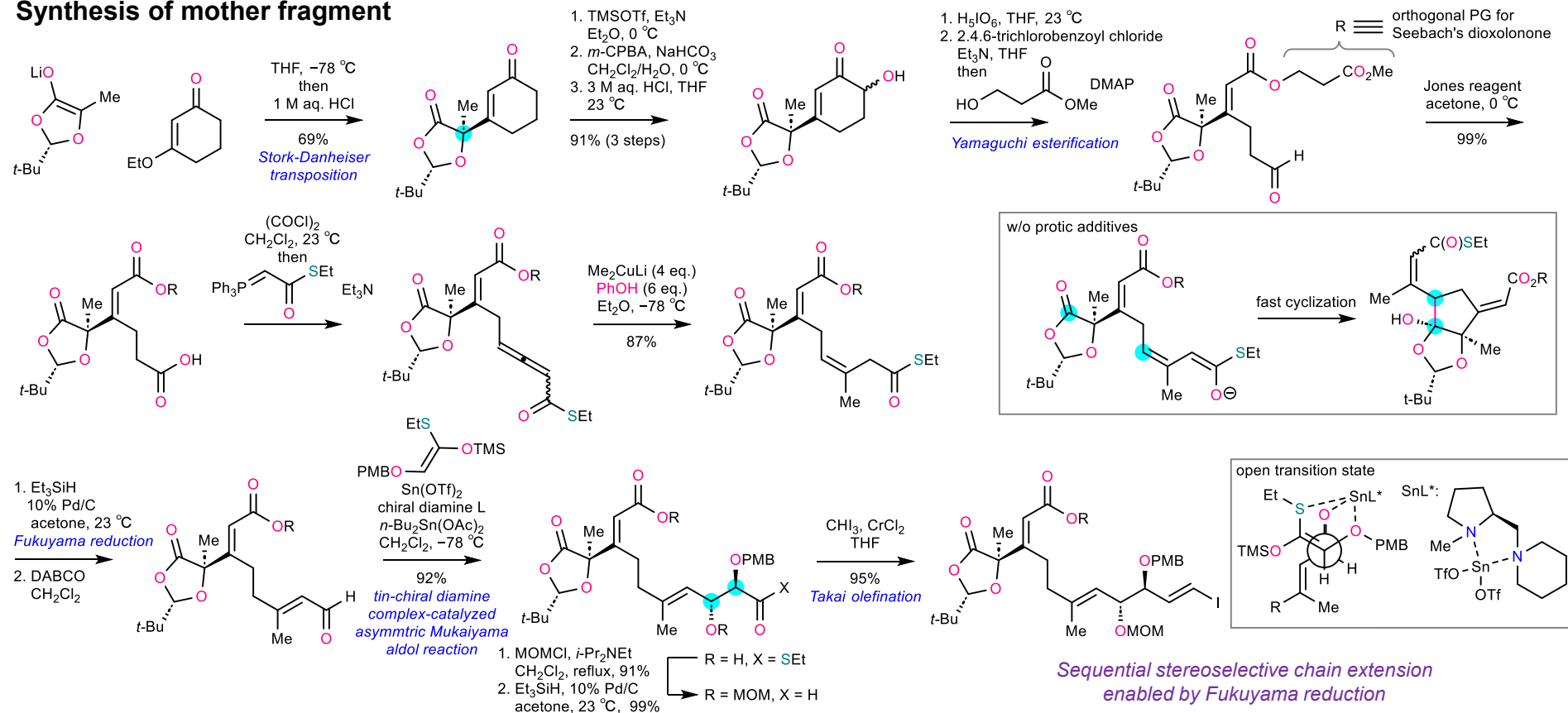
Retrosynthesis



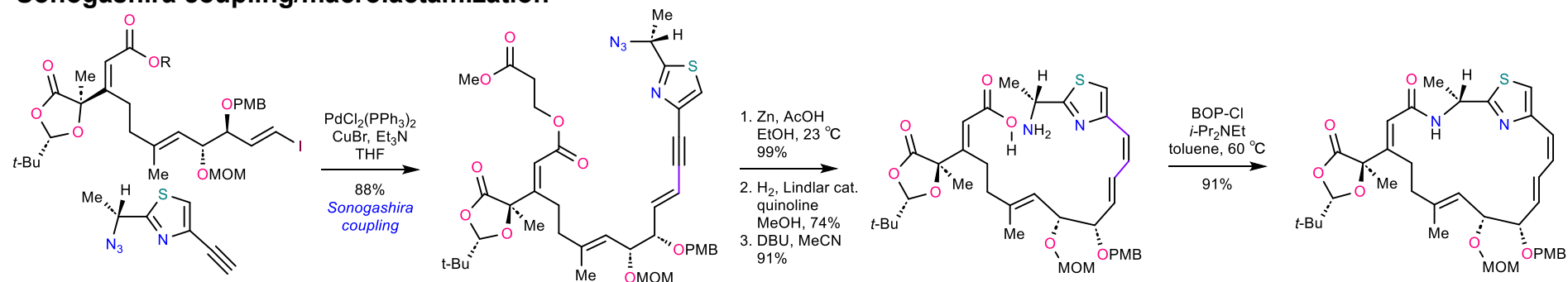
Synthesis of thiazole fragment



Synthesis of mother fragment



Sonogashira coupling/macrolactamization



Total synthesis of leinamycin -stereoselective construction of dithiolanone motif-

