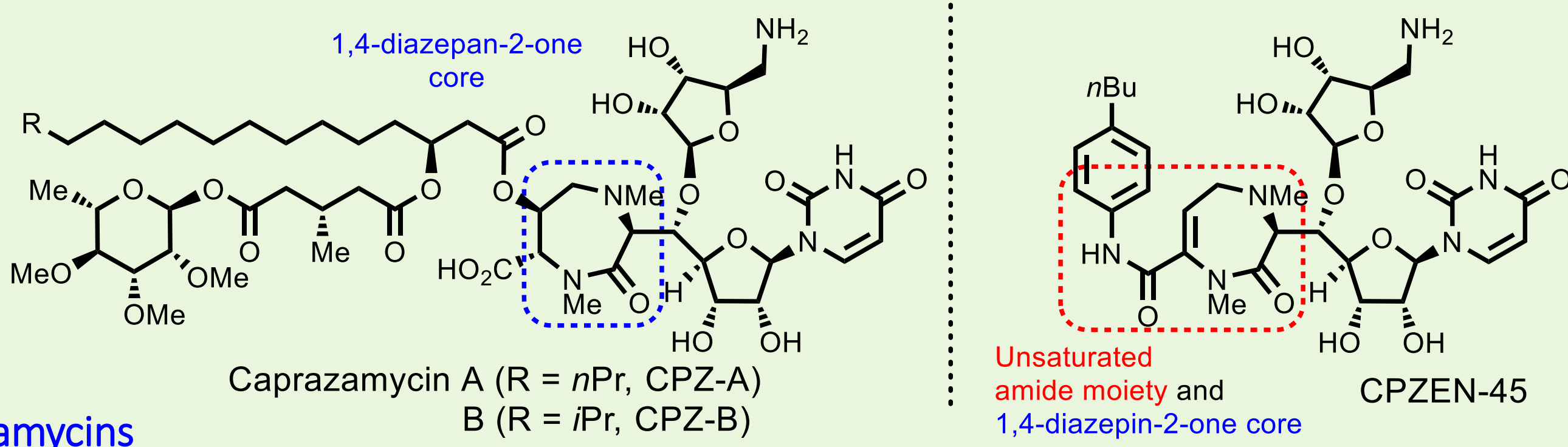




1. Liponucleoside Antibiotics



Caprazamycins

- ✓ Isolated from *Streptomyces* sp. MK730-62F2 by Igarashi *et al.*^a
- ✓ Potent anti-bacterial activity against *Mycobacterium tuberculosis* (MIC = 3.13 µg/mL)

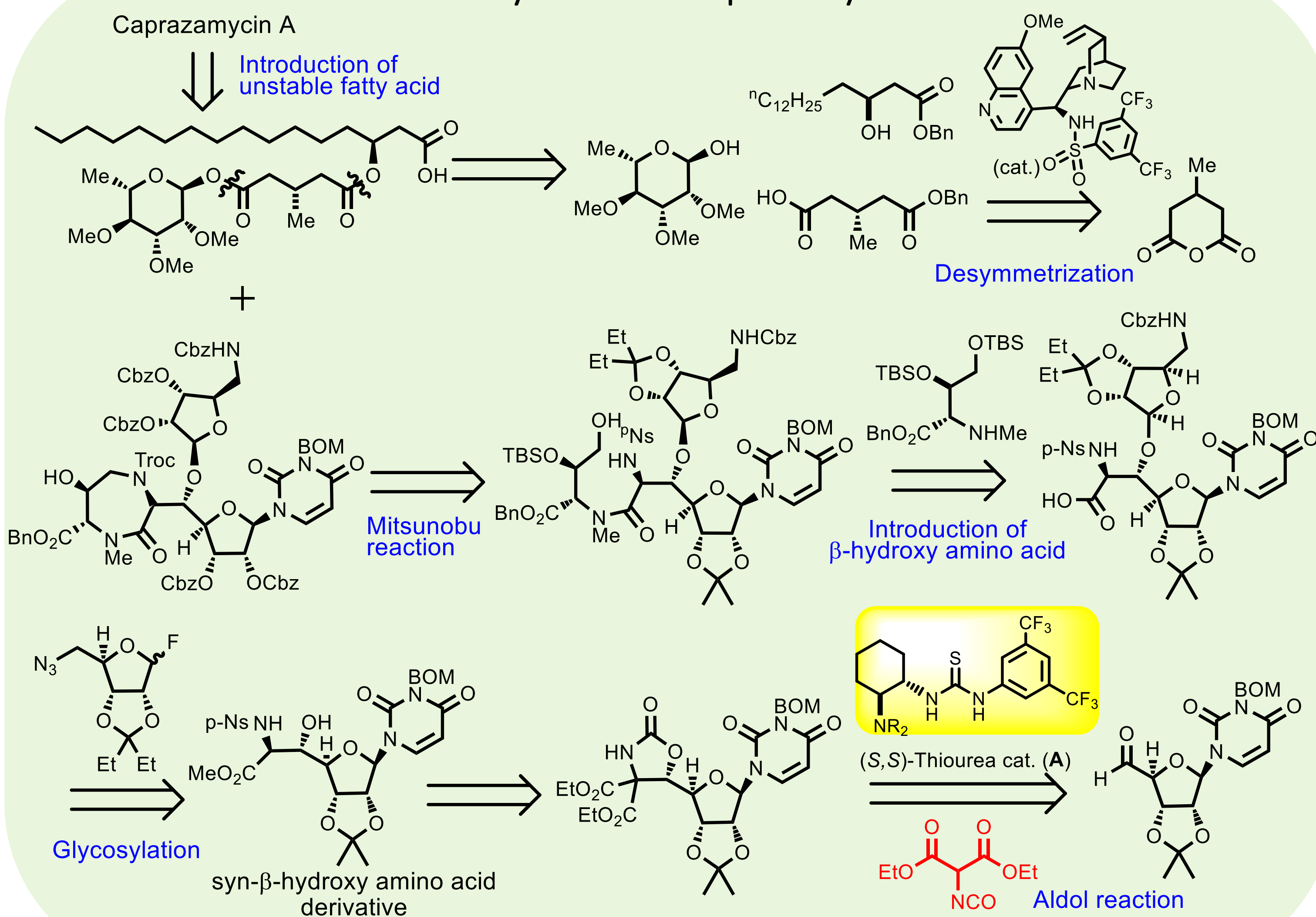
CPZEN-45

- ✓ Developed from the caprazamycins by Takahashi *et al.*^b
- ✓ Inhibition of WecA (one of a mycolyl arabinogalactan biosynthetic enzyme)
- ✓ Better antimicrobial activity, water solubility, stability than those of CPZ-B.

We achieved the first total synthesis of CPZ-A and CPZEN-45. (total synthesis of CPZ-B^c)

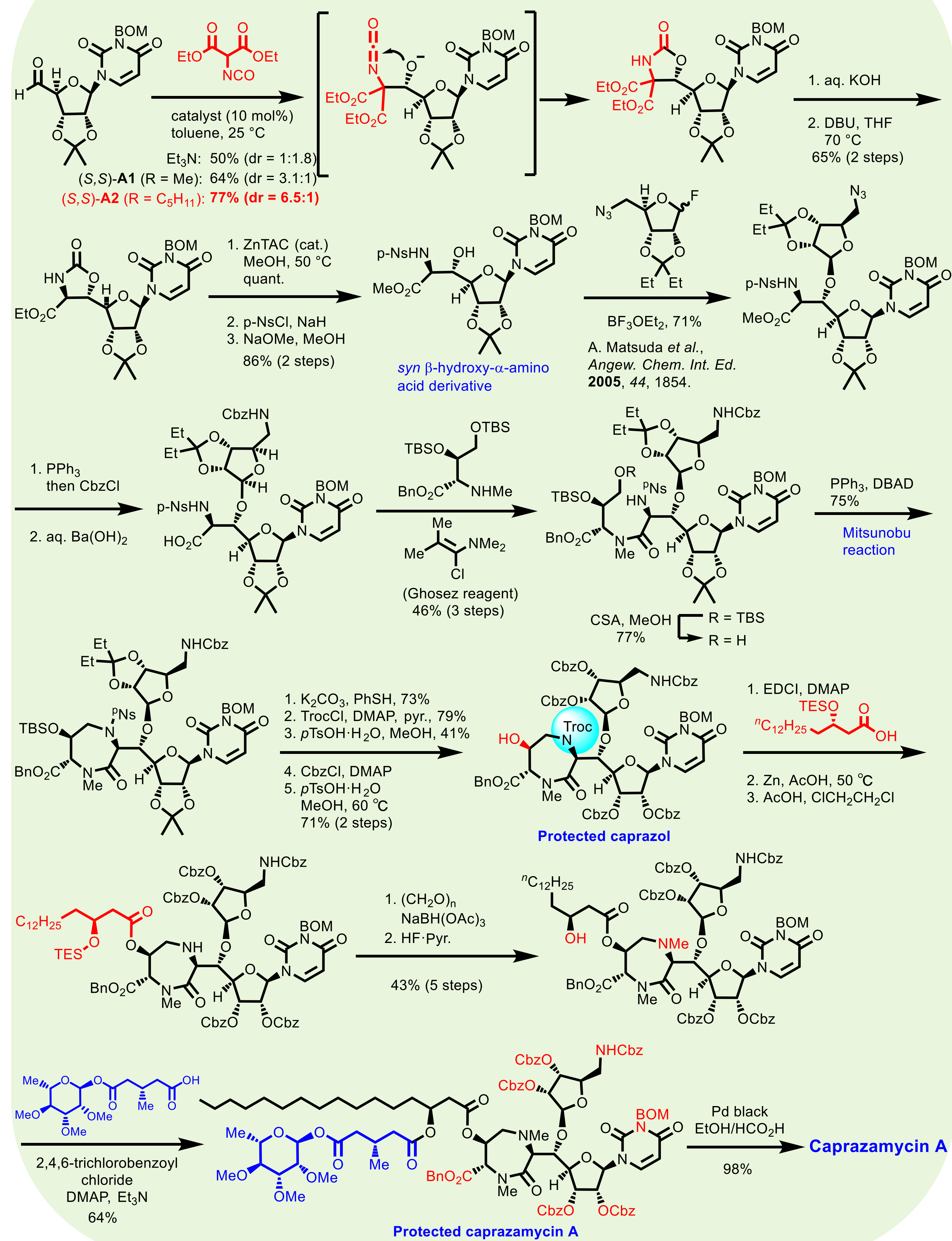
- Igarashi, M.; Nakagawa, N.; Doi, N.; Hattori, S.; Naganawa, H.; Hamada, M. *J. Antibiotics* **2003**, *56*, 580.
- Takahashi, Y.; Igarashi, M.; Miyake, T.; Soutome, H.; Ishikawa, K.; Komatsuki, Y.; Koyama, Y.; Nakagawa, N.; Hattori, S.; Inoue, K.; Doi, N.; Akamatsu, Y. *J. Antibiotics* **2013**, *66*, 171.
- Abe, H.; Gopinath, P.; Ravi, G.; Wang, L.; Watanabe, T.; Shibasaki, M. *Tetrahedron Lett.* **2015**, *56*, 3782.

2. Retrosynthesis of Caprazamycin A



* cf. Sakamoto, S.; Kazumi, N.; Kobayashi, Y.; Tsukano, C.; Takemoto, Y. *Org. Lett.* **2014**, *16*, 4758.

3. Total Synthesis of Caprazamycin A



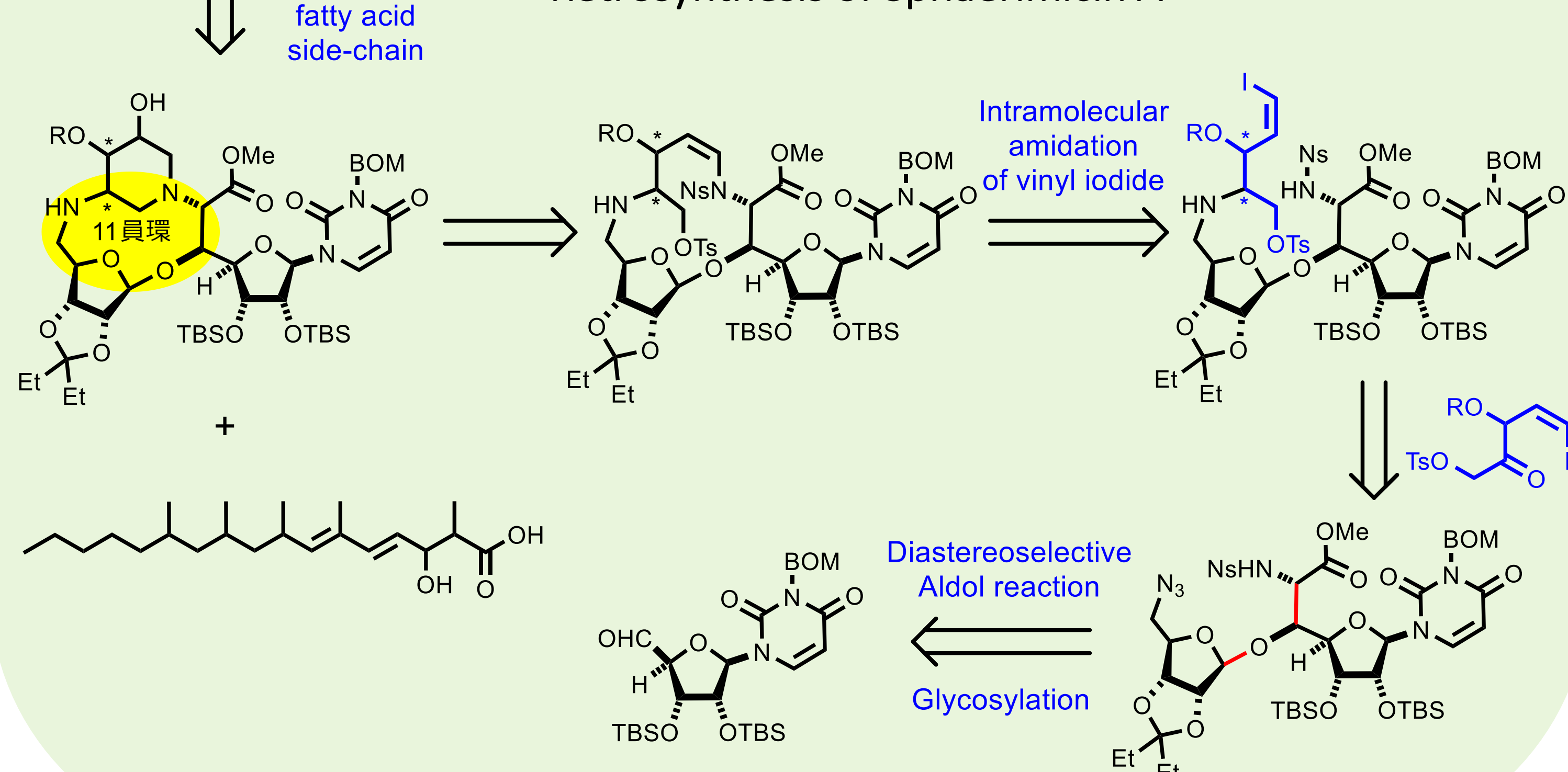
4. Sphaerimicins, Bacterial Translocase I Inhibitor

Sphaerimicin A

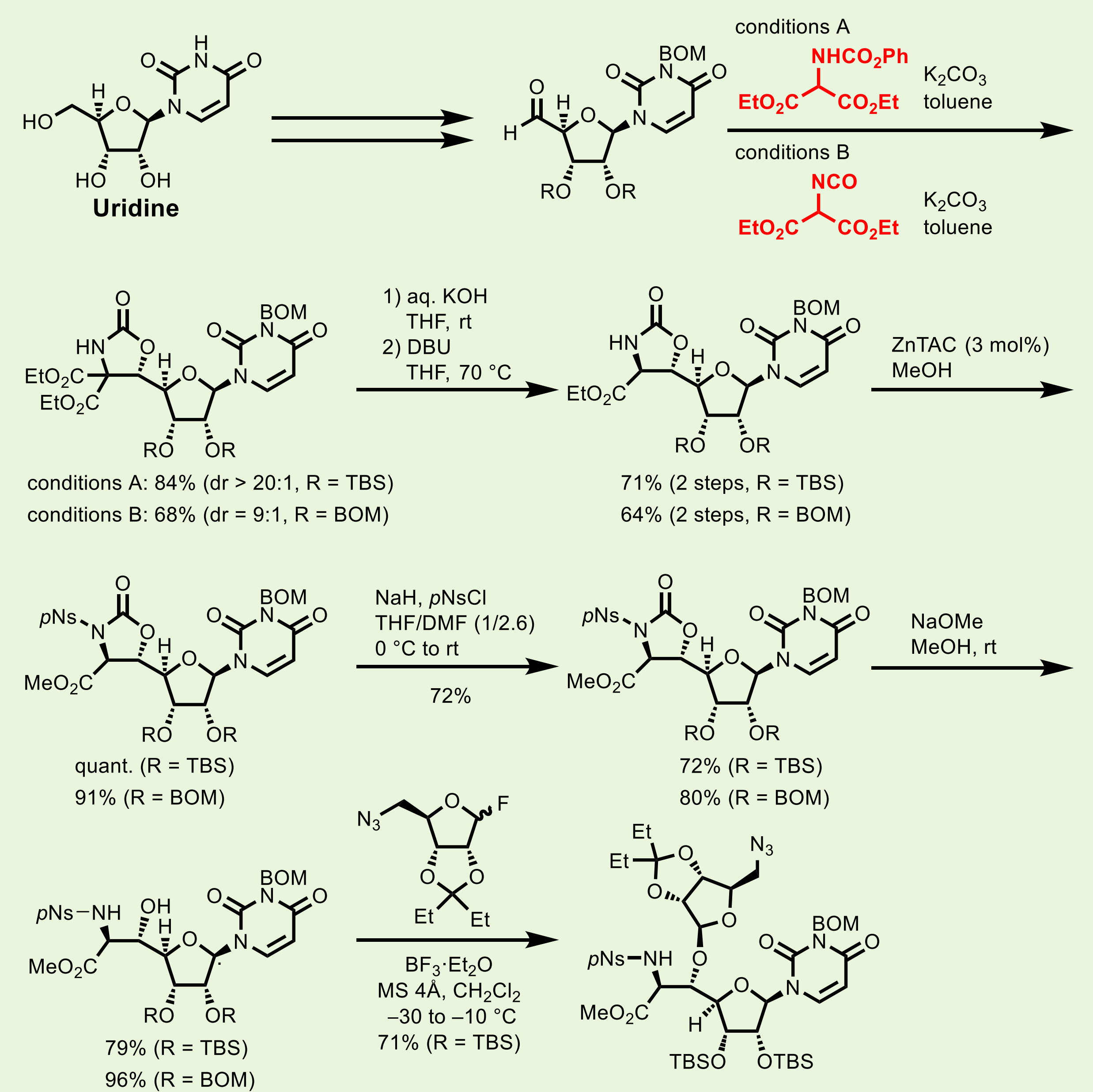
- ✓ Isolated from acetone extracts of cultures grown on solid media (YMA) *
- ✓ Potent inhibitor of recombinant bacterial translocase *in vitro* (A: IC₅₀ 13.5 ± 0.5 ng/mL)
- ✓ The structure was determined by extensive NMR analysis including 2D NMR and HRMS.

* Funabashi, M.; Baba, S.; Takasu, T.; Kizuka, M.; Ohata, Y.; Tanaka, M.; Nonaka, K.; Spork, A. P.; Ducho, C.; Chen, W.-C. L. Van Lanen, S. G. *Angew. Chem. Int. Ed.* **2013**, *52*, 11607.

Retrosynthesis of Sphaerimicin A



5. Synthetic studies of Sphaerimicins



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