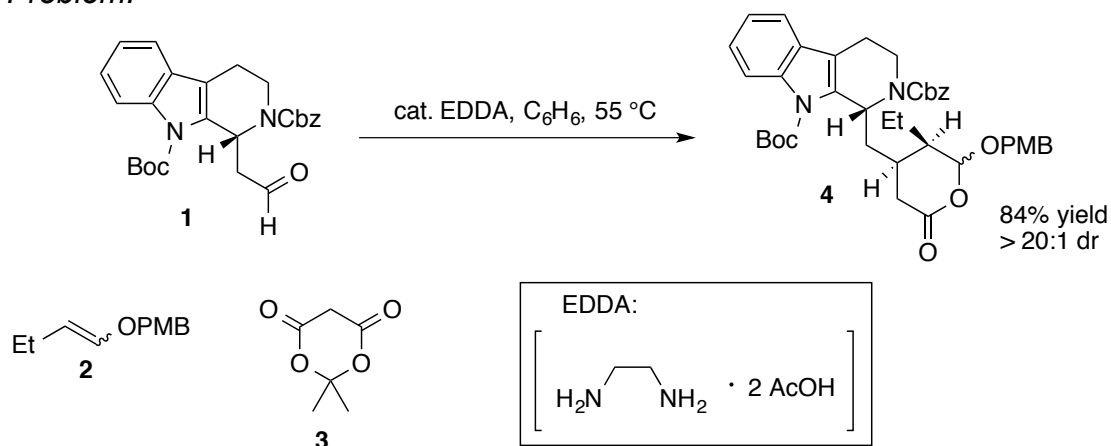
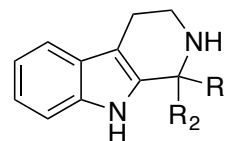


Total Synthesis of Hirsutine and Related Compounds by Domino Reactions

Problem:

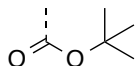


- 1) (for Master and starting PhD) Name and draw all the protecting groups! How do you introduce resp. deprotect them synthetically? (reagents??)
- 2) The cascade consists of two name reactions. What are the names of these transformations and give the mechanism of the cascade?
- 3) With what type of reaction could you generate such type of tricyclic indoles (name reaction)? And what is their biosynthetic precursor?

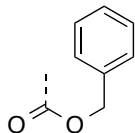


Solution:**1) Protecting Groups**

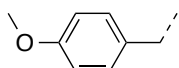
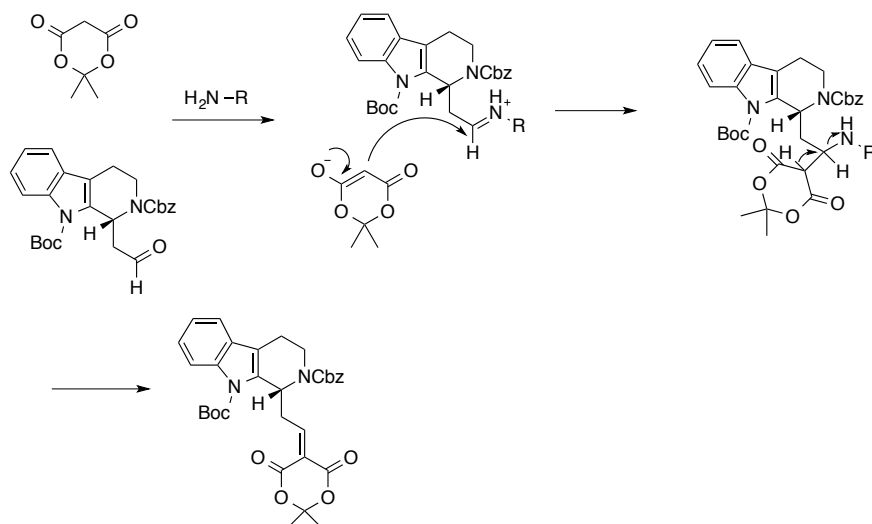
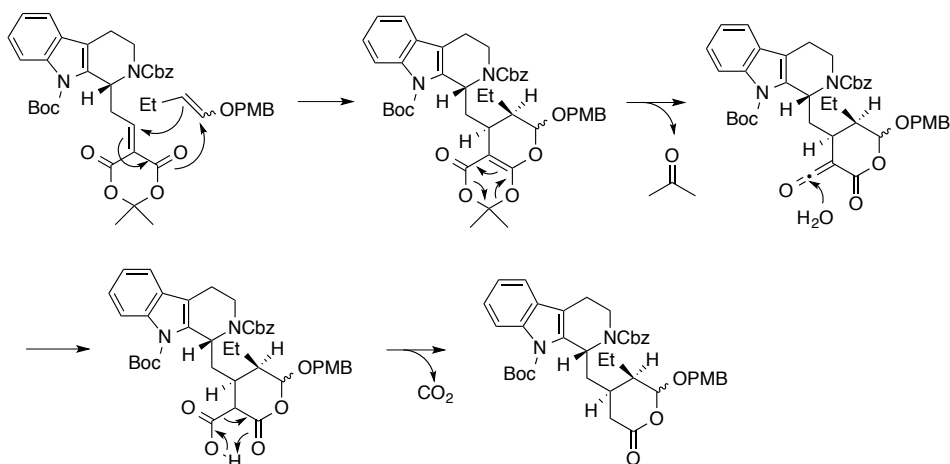
Boc: *t*-Butoxycarbonyl, Boc_2O , acidic conditions (HCl, TFA, ...etc.)



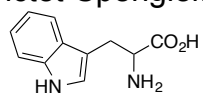
Cbz: benzyloxycarbonyl, CbzCl, hydrogenolysis (Pd/C, H_2)



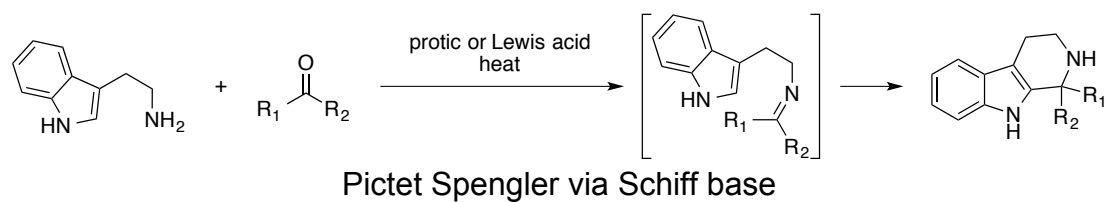
PMB: *p*-methoxybenzyl, PMBOC(=NH) CCl_3 and Triflic acid, removed by acid, hydrogenolysis or oxidation

**2) Cascade Reaction****a. Knoevenagel condensation of aldehyde and Meldrum's acid****b. Hetero-Diels-Alder/Fragmentation of Meldrum's acid**

3) Pictet-Spengler/Tryptophan



Biosynthetic Precursor, Tryptophan, amino acid

*References:**Angew. Chem Int Ed.* **1999**, *38*, 2045-2047.*Keywords:*

Knoevenagel condensation, hetero-Diels-Alder-reaction, Meldrum's acid, Pictet Spengler