

Enantioselective Total Synthesis of (-)-Hosieine A

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Angew. Chem. Int. Ed. **2015**, 54, 10940-10943

CEM 852 Presentation

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27.01.2018

BIOLOGICAL IMPORTANCE

- (-)-Hosieine A is a class of lupine alkaloids
- Generally derived from L-lysine from the plant kingdom.
- Known for their cytotoxic, oxytocic, antipyretic, antibacterial, antiviral, and hypoglycemic activity.

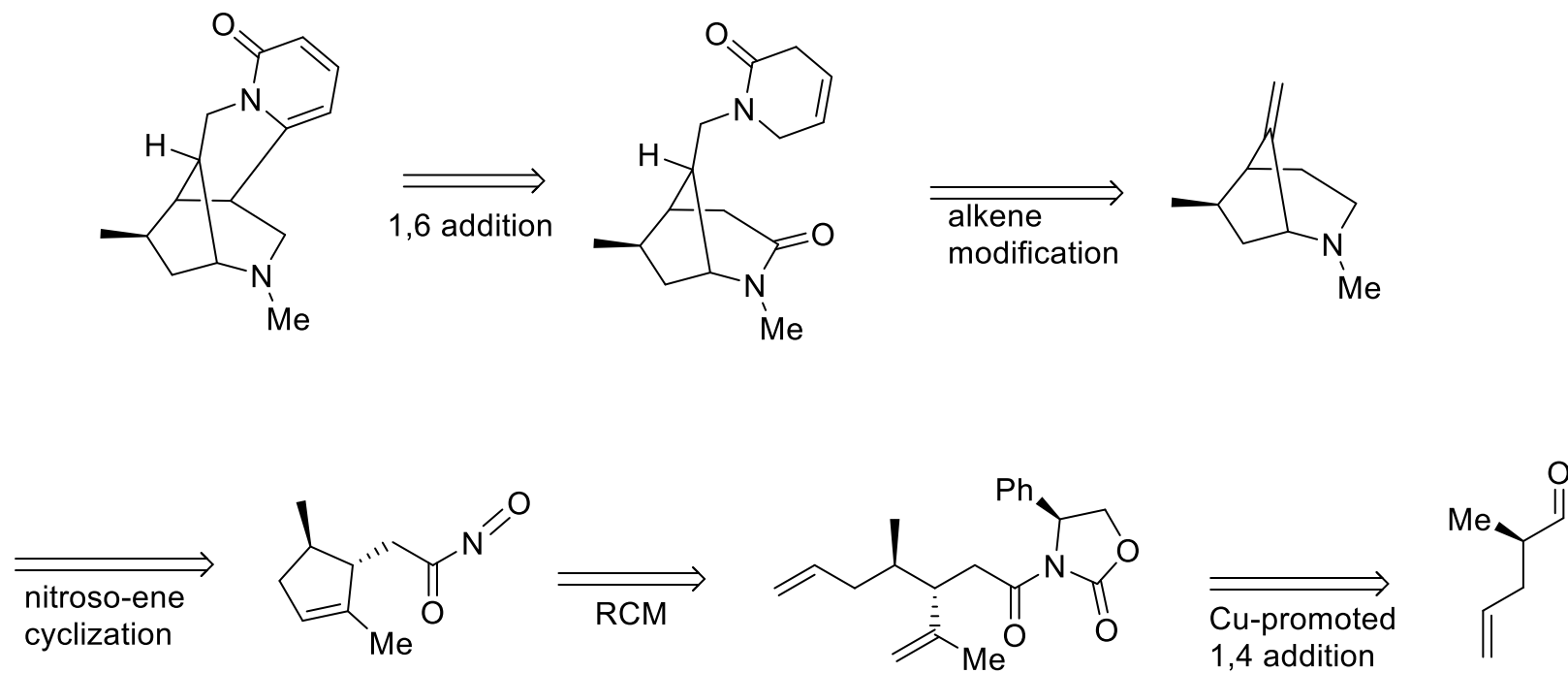
Isolation and some features

- ❑ *Massiot et al.* isolated these cytisine like alkaloids from the roots and stems of *Ormosia hosier*, utilised in Chinese herb medicine.
- ❑ $\alpha 4\beta 2$ subclass of nicotinic acetylcholine receptors; approved for smoking cessation aids.
- ❑ (-)-Hosieine A shows highest affinity towards $\alpha 4\beta 2$ receptors with nanomolar potency.

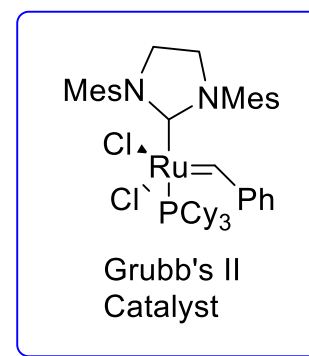
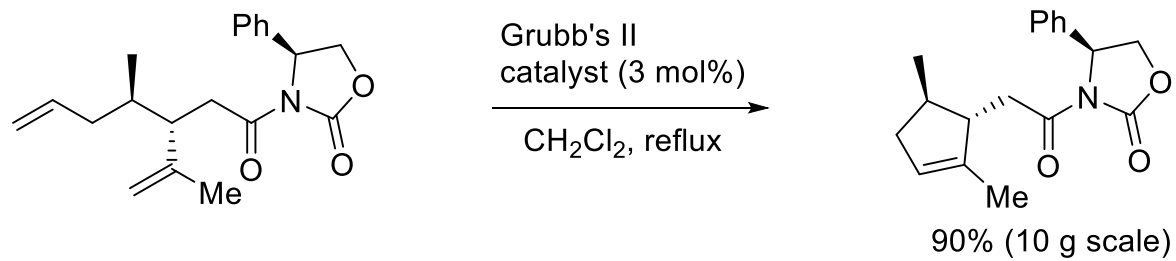
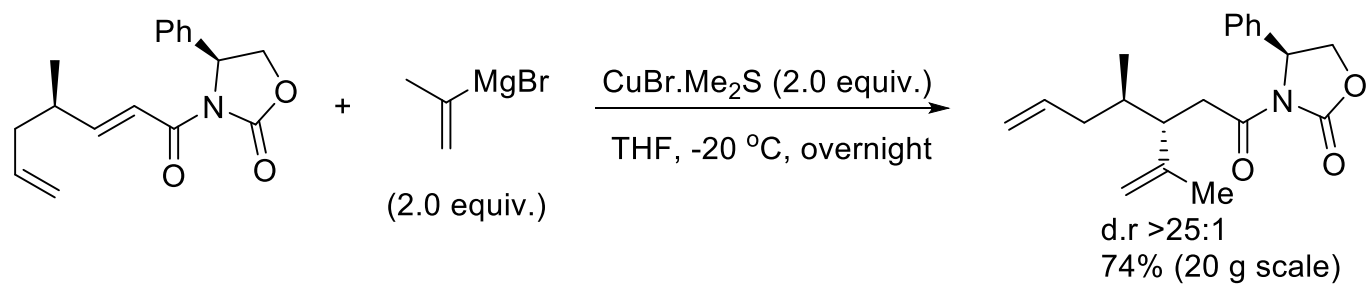
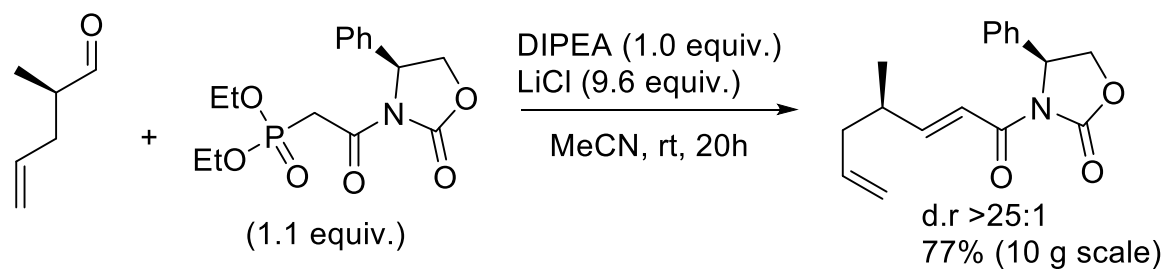
MAJOR HIGHLIGHTS...

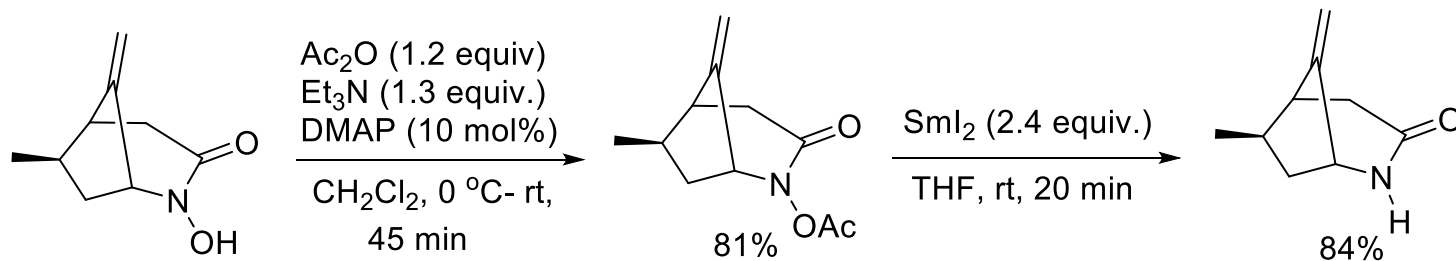
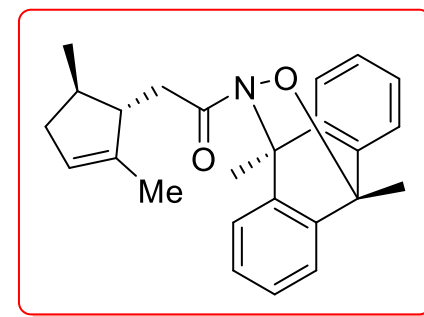
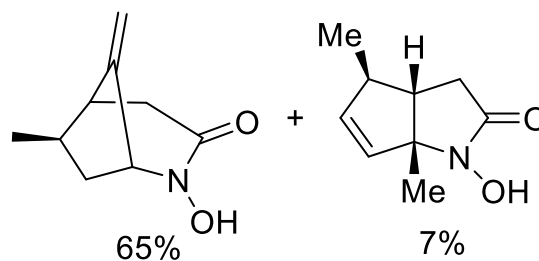
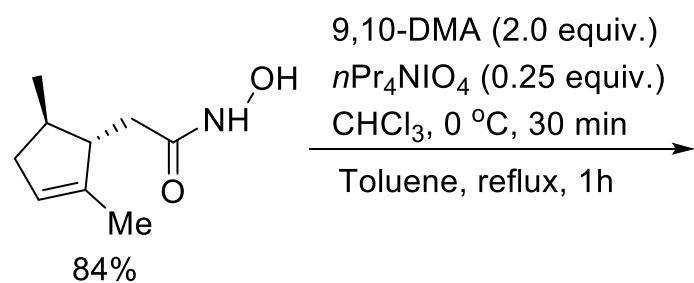
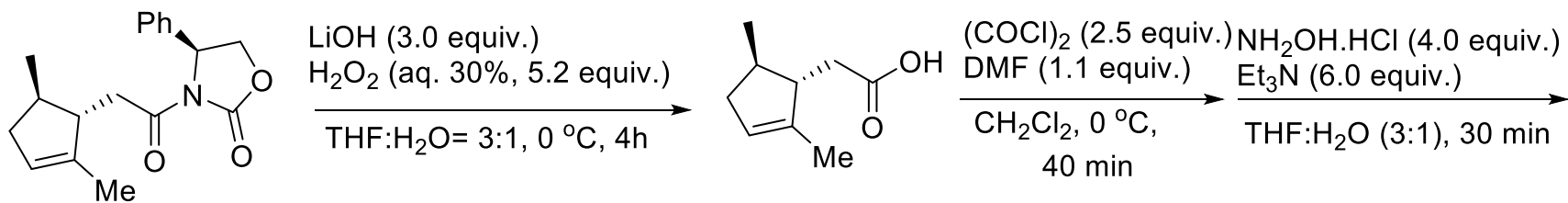
- Nitroso-ene cyclisation to construct the 2-azabicyclo[3.2.1]octane ring.
- Phosphine enabled stereoselective bromohydration.
- Retention of stereochemistry during facile radical debromination.

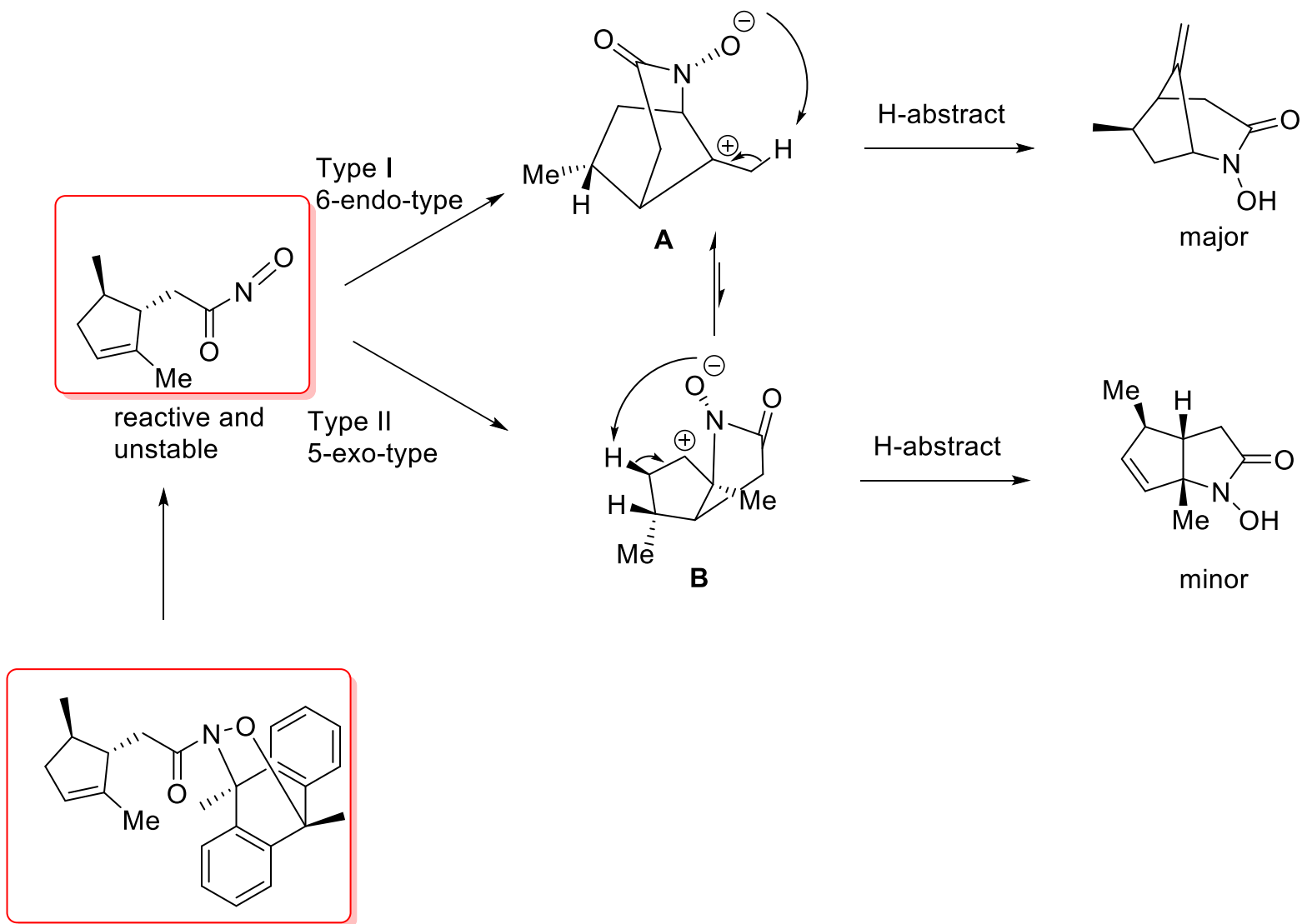
RETRO-SYNTHETIC ANALYSIS

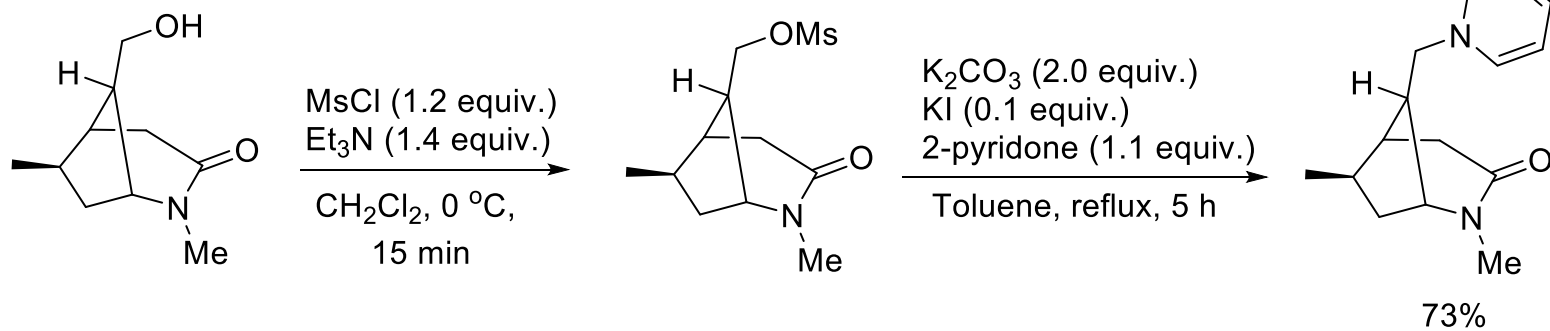
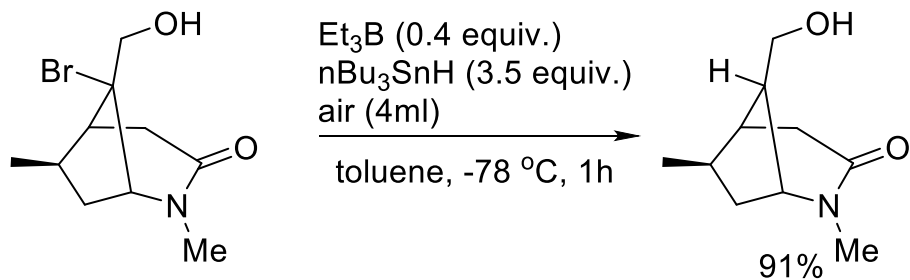
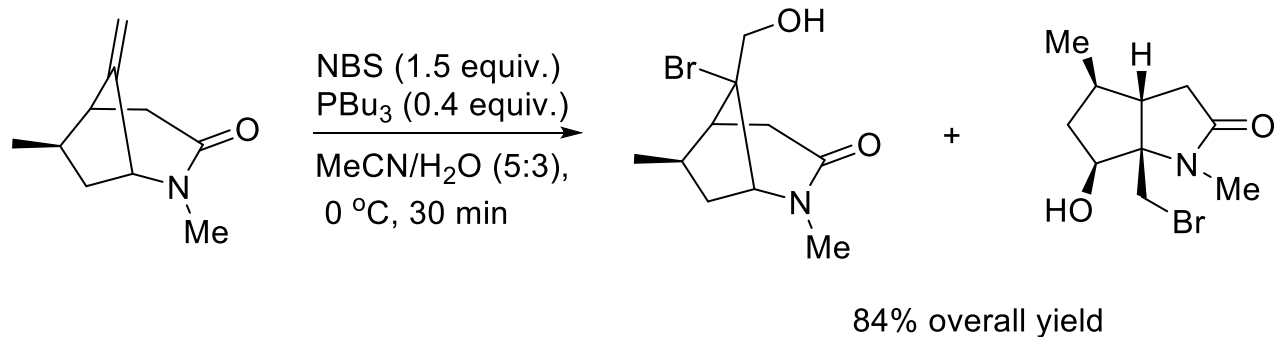
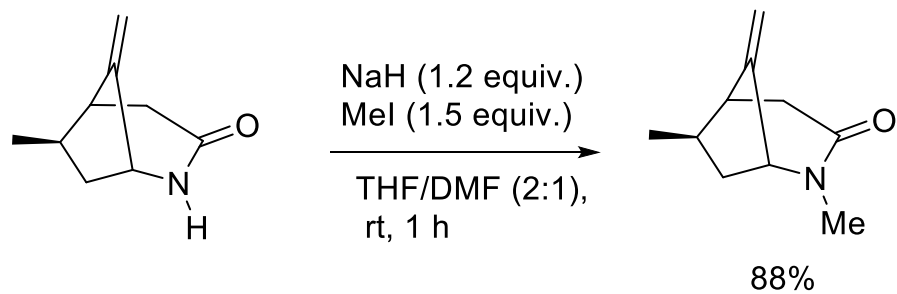


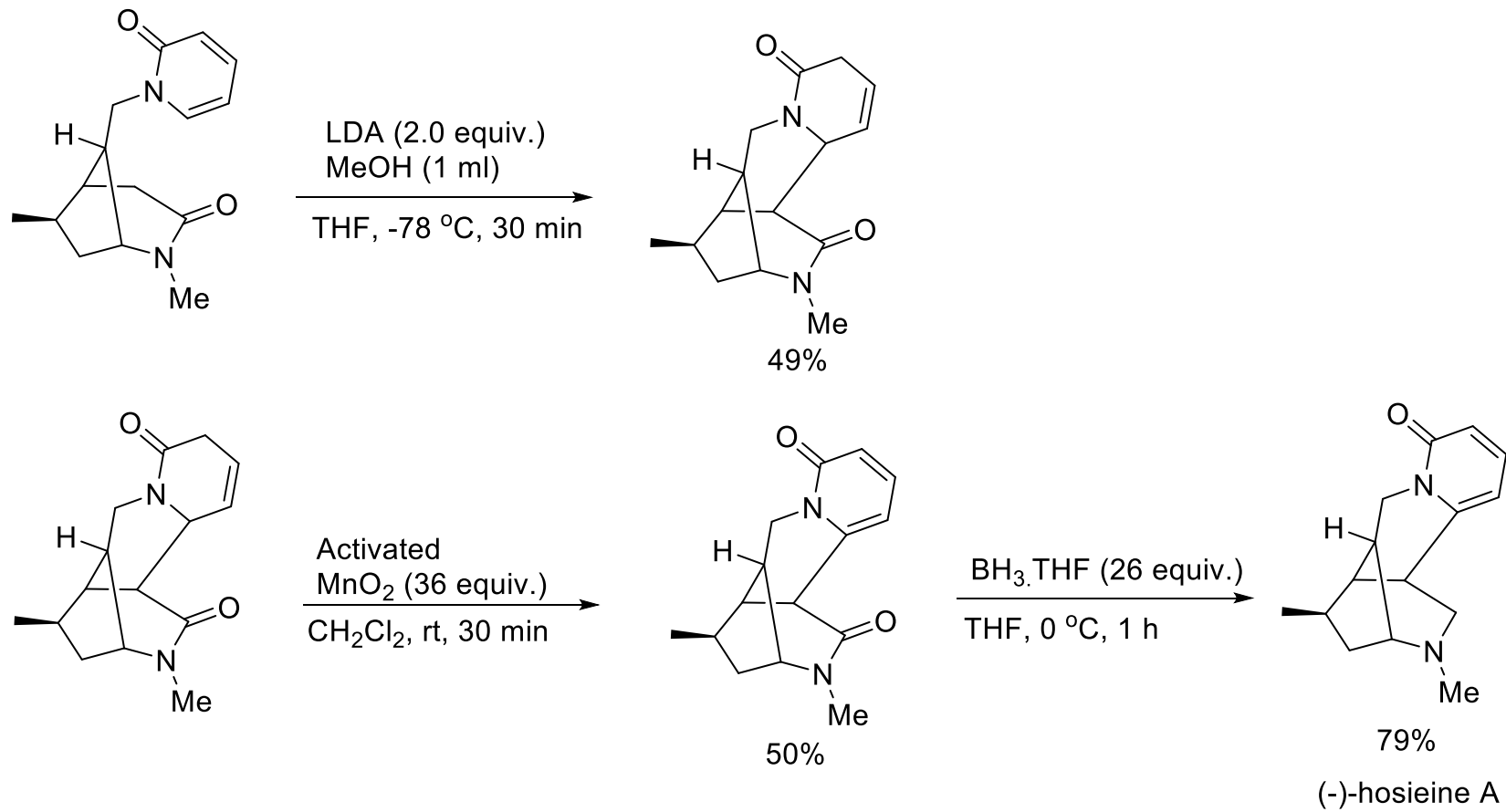
TOWARDS (-)-HOSIEINE A...











THANK-YOU