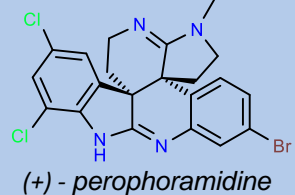


Isolation and Biological Activity:



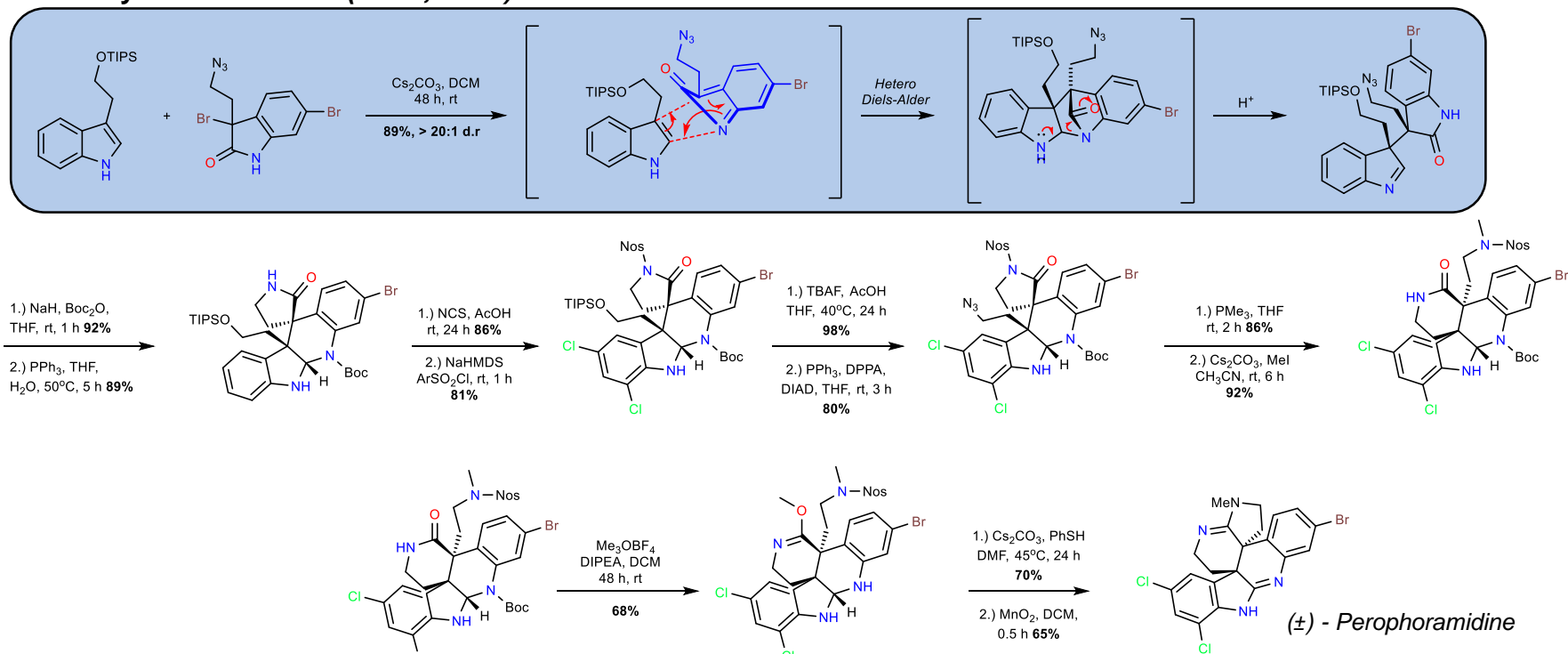
- First isolated in **2002** by Ireland and coworkers from the sea squirt *Perophora namei*.
- Demonstrated anti-cancer activity ($IC_{50}=60\mu M$) to HMT 116 colon carcinoma cells via cleavage of poly(adenosine-5'-diphosphate-ribose)polymerase, leading to apoptosis.
- First total synthesis of (+/-) *Perophoramidine* occurred in **2004** by Funk and Fuch but was not enantiomerically pure.
- Some notable features of this molecule include the vicinal trans quaternary centers and cyclic bisamidine functionality.



Perophora namei

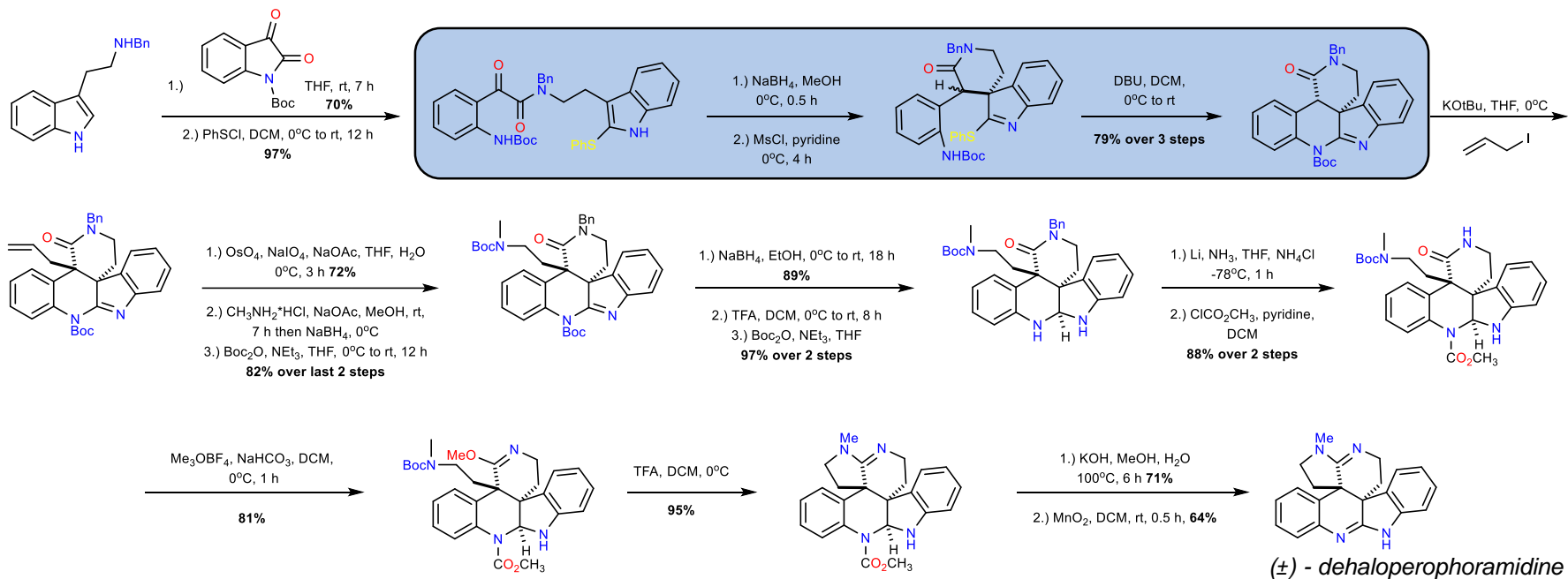
Ireland, C. J. *Org. Chem.* **2002**, 67, 20, 7124–7126 <https://doi.org/10.1021/jo026012f>

Previous Synthetic Efforts: (Funk, 2004)



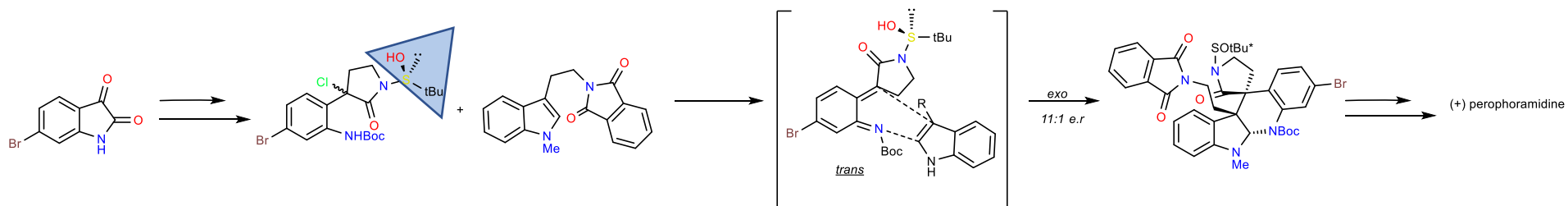
Funk, R. J. *Am. Chem. Soc.* **2004**, 126, 16, 5068–5069 <https://doi.org/10.1021/ja049569g>

Previous Synthetic Efforts: (Rainier, 2006)



Rainier, J. D. *Angew. Chem., Int. Ed.* **2006**, *45*, 4317 <https://doi.org/10.1002/anie.200601278>

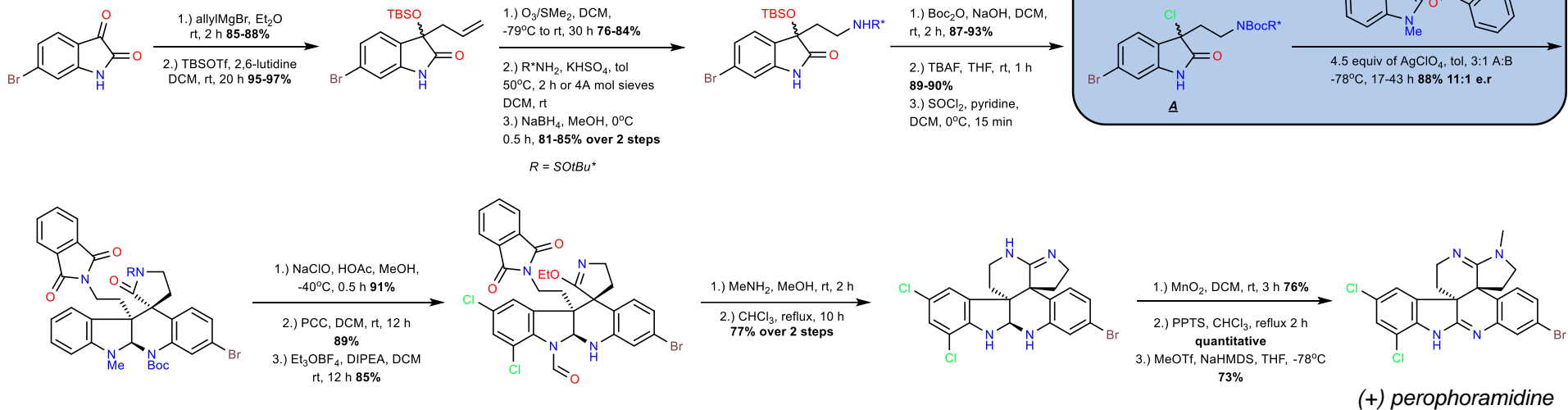
This Work:



Inspired by the Funk biomimetic Hetero Diels-Alder, and some previous work of their own on the total synthesis of (+/-) Communesin F, the Qin group decided make their key step an asymmetric hetero Diels Alder through the use of a chiral auxiliary on the diene using known existing ligands.

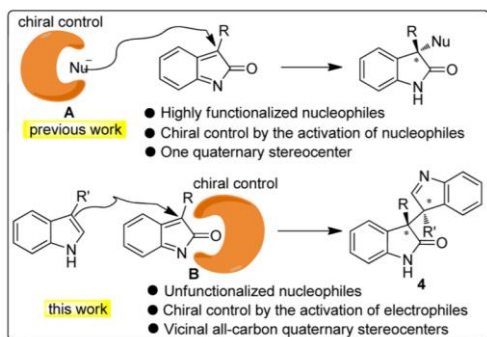
Qin, Y. J. *Am. Chem. Soc.* **2010**, *132*, 40, 14052 <https://doi.org/10.1021/ja1070043>

The Forward Synthesis:



Qin, Y. *J. Am. Chem. Soc.* **2010**, 132, 40, 14052 <https://doi.org/10.1021/ja1070043>

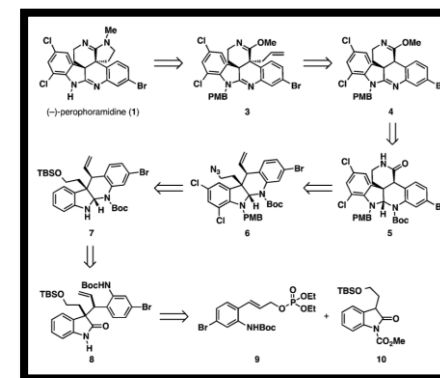
Since This Work:



(Wang, 2013)

Enantioselective synthesis of (+) Perophoramidine using a modified method to generate the vicinal quaternary stereocenters simultaneously.

Wang, R. *J. Am. Chem. Soc.* **2013**, 135, 38, 14098-14101 <https://doi.org/10.1021/ja408336v>



(Trost, 2015)

Enantioselective synthesis of (+) Perophoramidine using molybdenum-catalyzed asymmetric allylic alkylation.

Trost, B. *Chem. Sci.*, **2015**, 6, 349-353 <https://doi.org/10.1039/C4SC01826E>