April 2023

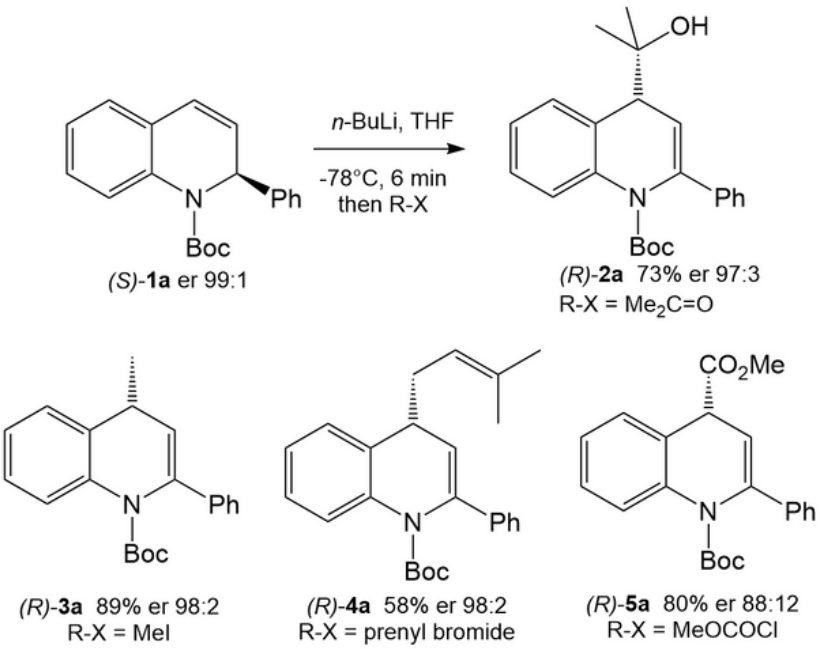
LCC'S MOLECULES OF THE MONTH

Kinetic Resolution of 2-Aryldihydroquinolines using Lithiation – Synthesis of Chiral 1,2-and 1,4-Dihydroquinolines

Highly enantiomerically enriched dihydrohydroquinolines were prepared in two steps from quinoline. Addition of aryllithiums to quinoline with tert-butoxycarbonyl (Boc) protection gave N-Boc-2-aryl-1,2-dihydroquinolines. These were treated with n-butyllithium and electrophilic trapping occurred exclusively at C-4 of the dihydroquinoline, a result supported by DFT studies.

This review paper written in **collaboration between LCC and University of Sheffield** also reports on conversions of these 1,2-dihydroquinolines to 1,4-dihydroquinolines with **retention of stereochemistry,** and further functionalisation to tri-substituted products.

Developing methods for the synthesis of enantiomerically pure compounds is imperative to LCC's work in supporting the drug discovery community.



Scheme 4. Lithiation–trapping of dihydroquinoline (S)-1a.

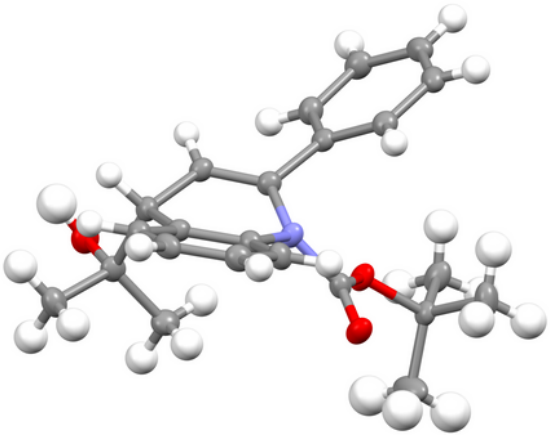


Figure 4. Single crystal X-ray analysis of (R)-2a

To find out more about this collaborative work between LCC and University of Sheffield, please get in touch and one of our team will be happy to discuss further!

S.-H. Yeo, A. Choi, S. Greaves, A. Meijer, I. Proietti Silvestri and I. Coldham, Chemistry – A European Journal, 2023.

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