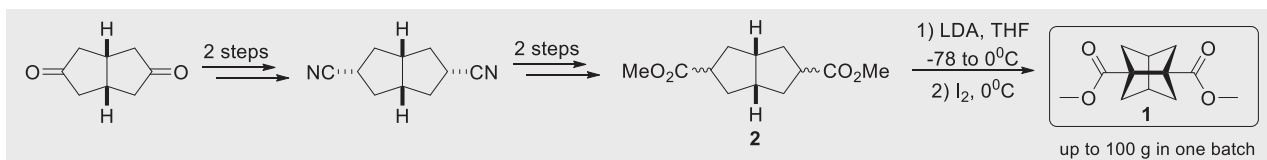


# Synthesis of versatile stellane derivatives in multigram scale

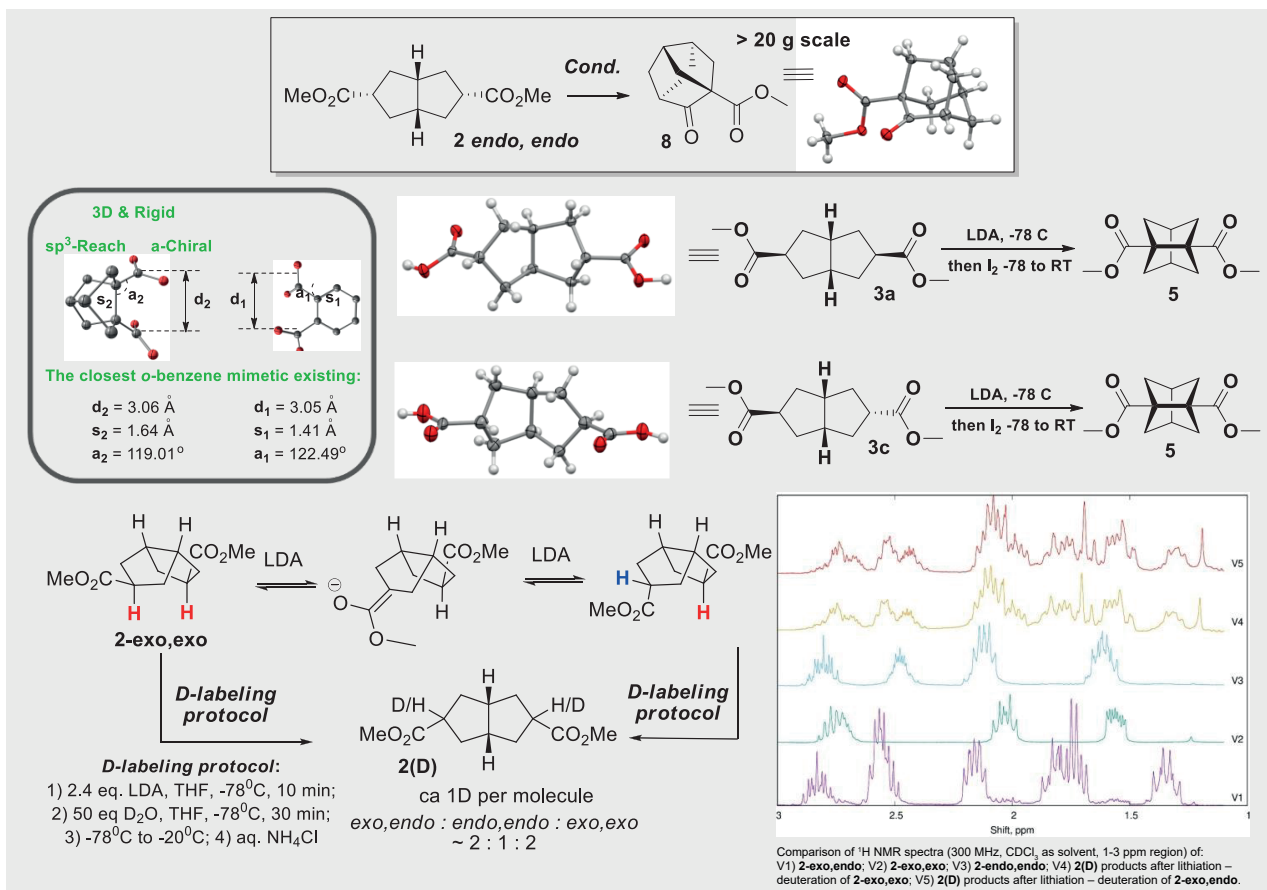
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## Upscaling of the key intermediate synthesis

- More than 500 FDA approved drugs contain benzene ring.
- Rigid saturated benzene bioisosters are required for drug discovery.
- Synthesis of key intermediate **1** was reported<sup>1</sup> in 240 g scale. We managed to get up to 100 g in a single run.

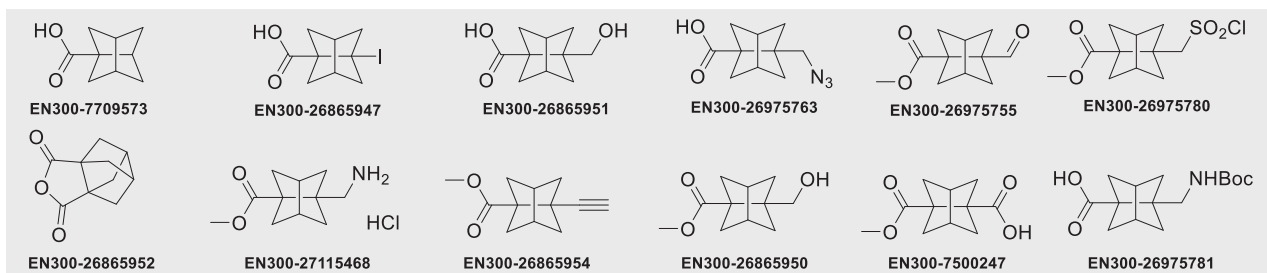


- Structure of the product depends both on the reaction conditions (first of all temperature) and on the geometry of starting diester.
- Geometry of the compounds was proved by X-ray diffraction, deuteration experiments and NMR studies.



## Representative examples

- More than 30 stellane-based building blocks were obtained in 10-50 g scales by optimized standard procedures of functional groups transformation.



## Contact

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## References

(1) Camps, P.; Iglesias, C.; Lozano, R.; Miranda, M. A.; Rodríguez, M. J., *Tet. Lett.* **1987**, 28, 1831.