Generation of a Heteropolycyclic and Sp3-Rich Scaffold for Library Synthesis from a Highly Diastereoselective Petasis/DielsAlder and ROM-RCM Reaction Sequence

Efficient access to diverse screening compounds with desirable, lead-like properties can be a bottleneck in early drug discovery and chemical biology. Here we present an efficient, rapid route to three structurally distinct classes of compounds (A–C) from a single precursor, which in turn is available through a one-pot Petasis 3-component-reaction–Diels-Alder cascade reaction. We demonstrate the versatility of the approach through the synthesis of 35 exemplary compounds from the three classes, as well as by the production of 2188 final compounds, which have been included in the Joint European Compound Library of the European Lead Factory.

General information
Publication status: Published
Organisations: Department of Chemistry, EDELRIS, Nanyang Technological University
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Number of pages: 16
Pages: 1061-1076
Publication date: 2019
Peer-reviewed: Yes

Publication information
Volume: 2019
Issue number: 5
ISSN (Print): 1434-193X
Ratings:
BFI (2019): BFI-level 1
Web of Science (2019): Indexed yes
Original language: English
Keywords: Drug discovery, Heterocycles, Cycloaddition
DOIs:
10.1002/ejoc.201801551
Research output: Contribution to journal › Journal article – Annual report year: 2019 › Research › peer-review