X. JIANG, X. HE, J. WONG, S. SCHEEF, S. C.-K. HAU, T. H. WONG, Y. QIN, C. H. FAN, B. MA, N. L. CHUG, J. HUANG, J. ZHAO, Y. YAN, M. XIAO, X. SONG, T. K. C. HUI, Z. ZUO, W. K.-K. WU, H. KO, K. H.-M. CHOW, B. W.-L. NG* (THE CHINESE UNIVERSITY OF HONG KONG, P. R. OF CHINA)

Lactone-to-Lactam Editing Alters the Pharmacology of Bilobalide
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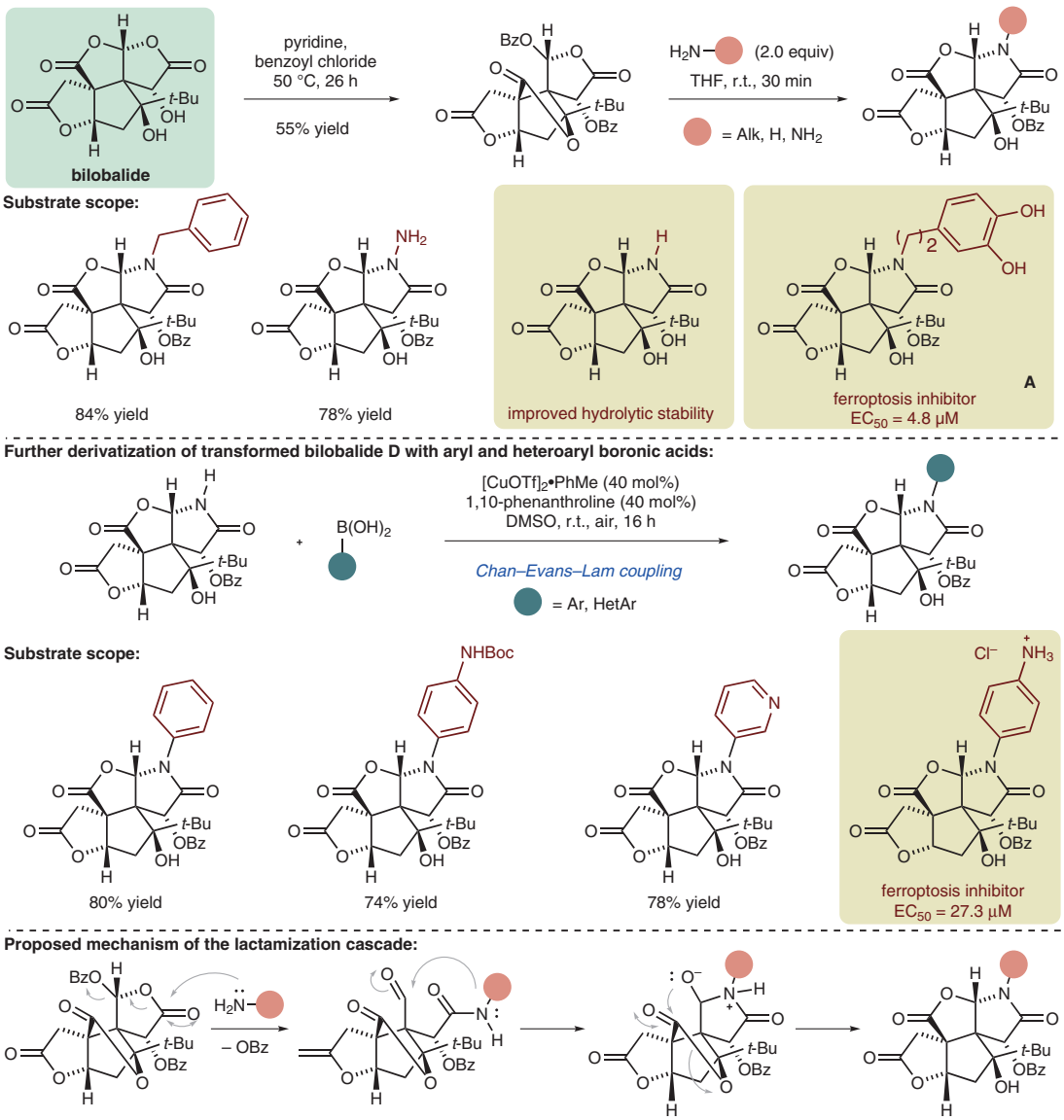
Late-Stage O-to-N Molecular Editing of Bilobalide Alters Pharmacology



Significance: Exploiting known skeletal rearrangements of GABA antagonist **bilobalide**, the authors developed an unprecedented N-to-O transformation to readily access lactam-type derivatives.

Comment: Bilobalide was reacted with amine nucleophiles to access lactam-type derivatives; these derivatives were modified further with copper-promoted coupling. Interestingly, the modified **A** demonstrated no GABA antagonism and atypically potent ferroptosis inhibition.

SYNFACTS Contributors: Dirk Trauner, Joseph A. Flores
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ferroptosis
GPX4

Synfact of the Month