

Pinene-derived iminodiacetic acid (PIDA): A Powerful Ligand for Stereoselective Synthesis and Iterative Cross-Coupling of C(sp³) boronate Building Blocks

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Efficient access to chiral C(sp³) boronates in stereochemically pure form is critical for expanding the utility of these boronates in complex molecule synthesis. We herein report that a pinene-derived iminodiacetic acid (PIDA) ligand enables the highly diastereoselective synthesis of a wide range of oxiranyl Csp³ boronates from the corresponding olefins. These oxiranyl PIDA boronates, in turn, can be readily transformed into stable α -boryl aldehydes via a novel 1,2-migration of the boronate group that proceeds with complete maintenance of stereochemical purity. Dual C(sp³)-hybridized B-protected haloboronic acids are readily accessible from the α -boryl aldehyde, enabling the synthesis of a pharmaceutical target via stereocontrolled iterative C(sp³)-C(sp²) cross-coupling.

