Abstract in English

Organophosphorus compounds, especially those with stereogenic carbon atom in the proximity of the phosphorus atom, have found a wide range of applications that emerged from pharmaceutically active compounds in drug discovery to enantioselective catalysis due to their unique physical and chemical properties. However, achieving the synthesis of these chiral molecules, particularly with precise control over the stereochemistry at the chiral carbon atom, remains an exceedingly challenging task. Herein, I developed highly diastereoselective methodologies that employed the use of TADDOL-derived H-phosphonate, which serves as a chiral auxiliary in the asymmetric synthesis of substituted phosphonates and phosphonic acids through the nucleophilic addition to the carbon-heteroatom bond of α -amido sulphones, imines, aldehydes, ketones, and hydrazones. Good to excellent yields and high diastereoselectivities were obtained. Remarkably, the choice between the enantiomeric forms of the chiral H-phosphonate (R, R or S, S) significantly influenced the stereochemistry of the newly generated α -carbon. The subsequent removal of the chiral auxiliary resulted in the formation of enantiomerically pure α -substituted phosphonic acids, maintaining the configuration at the chiral α -carbon center.