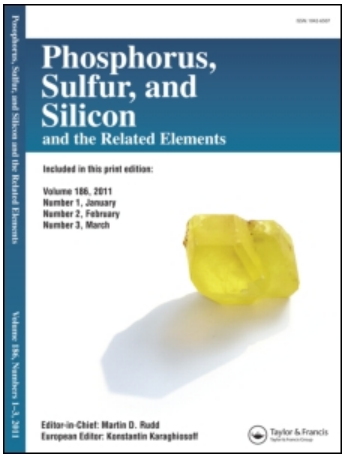

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Diastereotopic Differentiation to P -Chiral Phosphonamides and Phosphonates

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DIASTEREOTOPIC DIFFERENTIATION TO *P*-CHIRAL PHOSPHONAMIDES AND PHOSPHONATES

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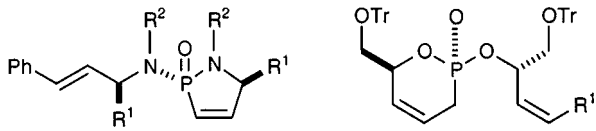
As part of our program aimed at developing transition metal-catalyzed approaches to diverse phosphorus-containing compounds, we herein report examples of utilizing the ring-closing metathesis reaction (RCM) in the diastereotopic differentiation of pseudo-C_2-symmetric phosphonamide and phosphonate templates generating *P*-heterocycles **1** and **2**. The RCM reaction continues to emerge as a powerful approach for the construction of complex organic molecules. Recently we have shown that the RCM reaction catalyzed by the Grubbs ruthenium catalyst is an effective method for the construction of phosphonate and phosphonamide *P*-heterocycles.[1–3]



1, *Selectivities* **12-15:1** **2**, *Selectivity* **3.5:1**

SCHEME 1

In addition, a strategy employing intramolecular cyclopropanation catalyzed by $Rh_2(OAc)_4$ to desymmetrize α-diazophosphonates[4] en route to the four diastereomeric, bicyclic phosphonates **3** also has been developed. A number of auxiliaries were investigated, with (*R*)-pantolactone giving optimal selectivity due to carbonyl-carbene coordination prior to the cyclopropanation event.[5]

Both approaches give moderate to excellent levels of selectivity and are being developed as effective methods of obtaining *P*-chiral

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SCHEME 2

phosphonamides and *P*-chiral phosphonates as potential chemical and biological agents.

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