Cyclobutanes are becoming increasingly prevalent in the medicinal chemistry industry for several reasons: (i) restricted conformation, (ii) 3-dimensional exit vectors, (iii) metabolic stability and (iv) improved physicochemical properties compared with aromatic systems.[1,2] However, access to this type of scaffold is often limited by the lack of synthetic methods that permit its construction.

With respect to this, we have successfully manipulated highly strained bicyclo[1.1.0]butyl boronate complexes into engaging several electrophiles through a stereoselective 1,2-metallate rearrangement.[3] This methodology has allowed us to construct a wide-range of a diastereomerically pure borylated cyclobutanes.