NUCLEOPHILE-DIRECTED SELECTIVE TRANSFORMATION OF CIS-1-TOSYL-2-TOSYLOXYMETHYL-3-(TRIFLUOROMETHYL)AZIRIDINE INTO AZIRIDINES, AZETIDINES, AND BENZO-FUSED DITHIANES, OXATHIANES, DIOXANES, AND (THIO)MORPHOLINES

Sara Kenis,[a] Matthias Dhooghe,*[a] Guido Verniest,[a, d] Maaike Reybroeck,[a] Tuyet Anh Dang Thi,[b] Chinh Pham The,[b] Tham Thi Pham,[b] Karl W. Tçrnroos,[c] Nguyen Van Tuyen,*[b] and Norbert De Kimpe*[a]

TÓM TẮT:

A five-step procedure for thesynthesis of cis-1-tosyl-2-tosyloxymethyl-3-(trifluoromethyl)aziridine was developed,starting from 1-ethoxy-2,2,2-trifluoroethanol, involving imination,aziridination, ester reduction, hydrogenation,and N-,O-ditosylation steps.Further synthetic elaborations revealed a remarkable difference in the reactivityof cis-1-tosyl-2-tosyloxymethyl-3-(trifluoromethyl)aziridine with respect toaromatic sulfur and oxygen nucleophiles

thus enabling the selective deploymentof this versatile substrate as abuilding block for the synthesis of functionalizedaziridines, azetidines, andbenzo-fused dithianes, oxathianes, dioxanes,and (thio) morpholines.