2.9. Summary for bachelor thesis “Divergent synthesis of key building blocks of cyclic tetrapeptide histone deacetylase inhibitors”

Scheme 13 Elaborated divergent synthesis

In summary, divergent synthesis of Aoe diastereomers and Aoda was developed using 6 steps from the commercially available (S)-allyl glycine (IB) with respect to overall yields ((S,S)-T1:42%, (S,R)-T1:37%, T2:50%), see (Scheme 13). Initially, the cross metathesis coupled product was synthesized in a convergent way from the precursors IIB, IVA, after careful optimization of the reaction conditions. Subsequent reduction and deprotection protocols were applied to get the key cyclopropanol precursor (VII). The target molecules were achieved in the yields mentioned above. Compared to previously elaborated syntheses, this methodology exhibits high yields, high diastereoselectivities, lower number of steps and operational simplicity.