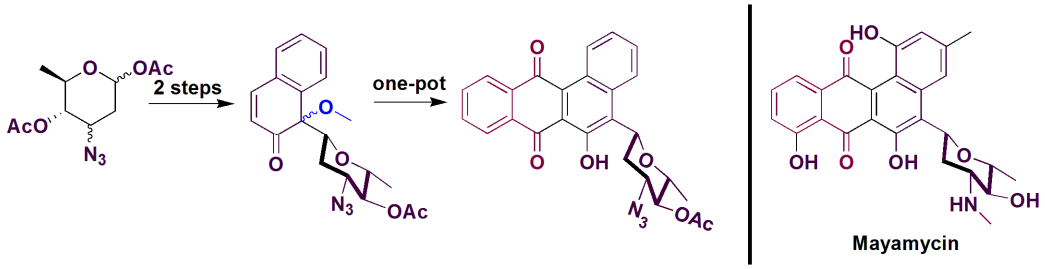
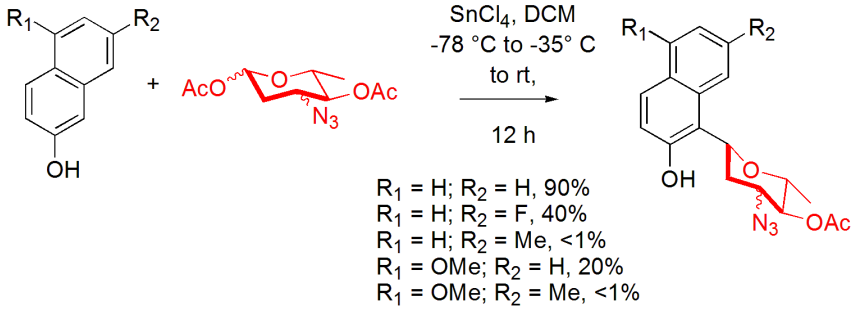
Abstract

Key words: *C*-glycosylation, Hauser annulation, olefination, hydroxystilbenoids.

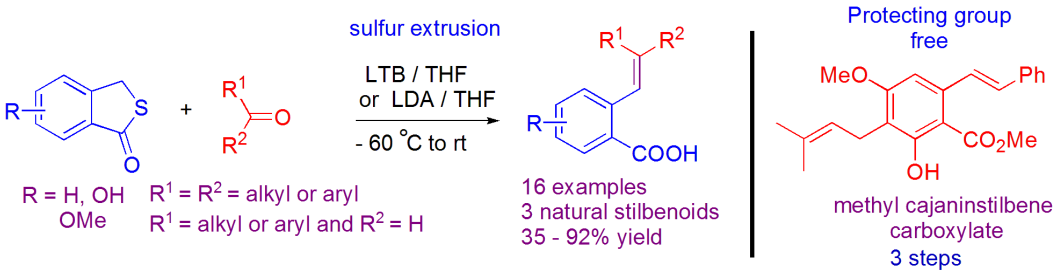
1) A general and convergent route has been developed for the regio- and stereoselective construction of the C-5 glycosyl angucycline framework of mayamycin. The *C*-glycosylation of 2-naphthol, dearomatization and Hauser annulation has been the key steps.



The total synthesis of the natural product by applying this methodology was restricted by the steric perturbation of the methyl substituent in the *C*-glycosylation step. The peri effects in the *C*-glycosylation of the substituted 2-naphthols were identified. The synthetic analogues show cytotoxicity against different human cancer cell lines at low micromolar concentration.



2) A high *E*-stereoselective olefination of carbonyls with thiophthalides under basic conditions have been utilized for the synthesis of stilbenoids and styryl carboxylic acids. The olefination is highly atom-efficient and proceeds via the formation of episulfide



intermediate followed by extrusion of elemental sulfur. This olefination, in conjunction with retro Kolbe-Schmitt reaction, allows facile synthesis of natural hydroxystilbenoids with minimal protection of phenolic-OH.