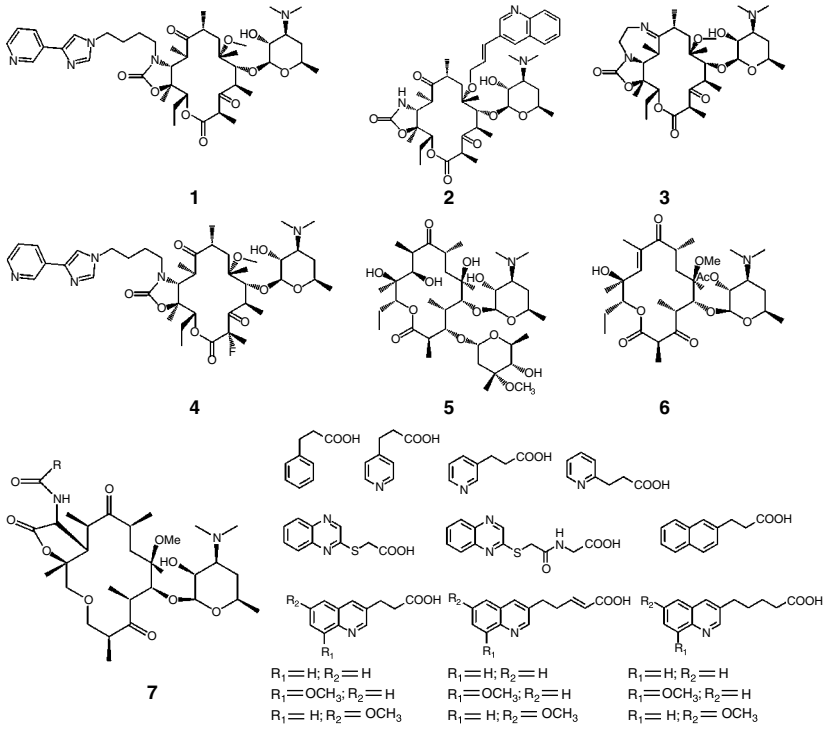

Scheme 16.1 Chemical structures of (**1**)–(**7**).

treatment of Gram-positive bacterial infections in the clinic. But with the emerging of macrolide-resistant bacteria, these initial macrolides are no longer able to meet the requirements of clinical therapy. Thus, as shown in Scheme 16.1, Structures (**1**)–(**4**), a series of ketolides belonging to the macrolide group, were successively synthesized since 1995, including telithromycin, cethromycin, and so on (Jiang et al. 2013).

Inspired by the outstanding previous works, Pavlovic's group has investigated the modification method of macrolide antibiotics for several years (Pavlovic et al. 2010; Pavlovic and Mutak 2011). In 2014, they first used the classic erythromycin as the raw material and constructed the compounds (**5**) and (**6**) according to the published reports (Baker et al. 1988). After oriented C-12 modification, they prepared a set of new ketolides (Structure **7**) (Pavlovic et al. 2014). By treating with different drug-resistant pathogens, all the obtained compounds exhibited great effectiveness against the selected Gram-positive bacteria, including the inducibly resistant *Staphylococcus aureus* and constitutively resistant and efflux-resistant *Staphylococcus pneumoniae* and *Staphylococcus pyogenes*.