The title

Novel quercetin diacylglycosides as potent anti-MRSA and anti-VRE agents

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Each year in the United States, at least 2 million people become infected with bacteria that are resistant to antibiotics and at least 23,000 people die each year as a direct result of these infections (Threat report 2013). Vancomycin is an FDA approved antibiotic and is growing importance in the treatment of hospital infections, with particular emphasis on its value to fight against methicillin-resistant Staphylococcus aureus (MRSA). The increasing use of vancomycin to treat infections caused by the Gram-positive MRSA in the 1970s selected for drug-resistant enterococci, less potent than staphylococci but opportunistic in the space vacated by other bacteria and in patients with compromised immune systems. Over the past few years, we developed novel quercetin diacylglycoside analogues as potent antibacterial agents. The significant enzymatic inhibition of both Escherichia coli DNA gyrase and Staphylococcus aureus topolV suggest that these compounds are dual inhibitors. Most of the investigated compounds exhibited pronounced inhibition with MIC values ranging from 0.13 to 128 µg/mL toward the growth of multidrug-resistant Gram-positive methicillin-resistant S. aureus, methicillin sensitive S. aureus, vancomycin-resistant enterococci (VRE), vancomycin intermediate S. aureus, and Streptococcus pneumoniae bacterial strains. The synthesis and properties of these compounds will be described.

