Antibiotic resistance by bacteria is a growing global concern within the healthcare field, and it has provided an impetus for continued antimicrobial development. Pyrinezolid (PZ), a novel oxazolidinone compound, can effectively inhibit most gram-positive bacteria, including methicillin-resistant Staphylococcus aureus (MRSA) and vancomycin-resistant Enterococci (VRE). Though PZ is a promising antimicrobial candidate, the druggability of PZ is limited by its poor water solubility. Therefore, the amphipathic mPEG-PLLA copolymer was used to prepare the pyrinezolid micelles (PZ-M). Herein, we described the preparation, pharmacokinetic properties, tissue distribution, efficacy and toxicity of PZ-M. In vivo studies show that PZ-M possess prolonged blood circulation time and increased oral bioavailability compared with free PZ. Meanwhile, PZ-M increase lung PZ exposure and reduce liver and kidney exposure, which indicates that PZ-M may enhance the efficacy in vivo in MRSA-related pneumonia patients and decrease potential renal and hepatic toxicities [1)].

1)

Long H, Li X, Sang Z, Mei L, Yang T, Li Z, Zhou L, Zheng Y, He G, Guo G, Wang Z, Deng Y, Luo Y. Improving the pharmacokinetics and tissue distribution of pyrinezolid by self-assembled polymeric micelles. Colloids Surf B Biointerfaces. 2017 May 8;156:149-156. doi: 10.1016/j.colsurfb.2017.05.014. [Epub ahead of print] PubMed PMID: 28527358.