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Fatty acid conjugated pyridinium cationic amphiphiles as antibacterial agents and self-assembling nano carriers

Pavan Walvekar
University of KwaZulu-Natal, South Africa

Most of the bacteria are on the verge of becoming resistant to available potential antibiotics. Novel approaches to combat these drug resistant bacteria are becoming crucial. This study aimed to synthesize novel fatty acid based cationic amphiphiles (FCA) that would serve as nano-drug carrier having intrinsic antibacterial activity. Three fatty acids oleic, linoleic and linolenic acid based cationic amphiphiles were synthesized and evaluated for antibacterial activity. The application of vancomycin (VCM) delivery was demonstrated using oleic based cationic amphiphilic (OCA). OCA was self-assembled in aqueous media to prepare VCM loaded OCA vesicles. The particle size, polydispersity index, zeta potential and entrapment efficiency were found to be 132.9±2.5 nm, 0.167±0.02, 18.9±1.2 mV and 61.24±1.8% respectively. The microscopic images revealed that the vesicles were spherical and bilayered. The release of VCM from OCA vesicles was sustained throughout the studied period of 72h. From in vitro studies, a significant antibacterial activity was observed for all three FCAs, and it was found that, VCM loaded OCA vesicles displayed indifference and synergism against Gram positive methicillin susceptible and resistant staphylococcus aureus (MRSA) respectively. In contrast to antibacterial activity of VCM against Gram negative Escherichia coli and Pseudomonas aeruginosa, FCAs were more potent, further there was no synergism observed against either of the strains when VCM was encapsulated in OCA vesicles. The synergism against MRSA was further confirmed in in vivo studies using mouse infection model. These findings therefore suggest that, FCAs can make promising nano-carrier systems for the delivery of antibiotics to treat bacterial infections.

pavanwalvekar43@gmail.com

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