World Academy of Science, Engineering and Technology
International Journal of Pharmacological and Pharmaceutical Sciences
Vol:9, No:03, 2015

Investigation of Linezolid, 127I-Linezolid and 131I-Linezolid Effects on Slime Layer of Staphylococcus with Nuclear Methods

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Abstract : Implanted devices are progressively practiced in innovative medicine to relieve pain or improve a compromised function. Implant-associated infections represent an emerging complication, caused by organisms which adhere to the implant surface and grow embedded in a protective extracellular polymeric matrix, known as a biofilm. In addition, the microorganisms within biofilms enter a stationary growth phase and become phenotypically resistant to most antimicrobials, frequently causing treatment failure. In such cases, surgical removal of the implant is often required, causing high morbidity and substantial healthcare costs. Staphylococcus aureus is the most common pathogen causing implant-associated infections. Successful treatment of these infections includes early surgical intervention and antimicrobial treatment with bactericidal drugs that also act on the surface-adhering microorganisms. Linezolid is a promising anti-microbial with ant-staphylococcal activity, used for the treatment of MRSA infections. Linezolid is a synthetic antimicrobial and member of oxazolidinoni group, with a bacteriostatic or bactericidal dose-dependent antimicrobial mechanism against gram-positive bacteria. Intensive use of antibiotics, have emerged multi-resistant organisms over the years and major problems have begun to be experienced in the treatment of infections occurred with them. While new drugs have been developed worldwide, on the other hand infections formed with microorganisms which gained resistance against these drugs were reported and the scale of the problem increases gradually. Scientific studies about the production of bacterial biofilm increased in recent years. For this purpose, we investigated the activity of Lin, Lin radiolabeled with 131I (131I-Lin) and cold iodinated Lin (127I-Lin) against clinical strains of Staphylococcus aureus DSM 4910 in biofilm. In the first stage, radio and cold labeling studies were performed. Quality-control studies of Lin and iodo (radio and cold) Lin derivatives were carried out by using TLC (Thin Layer Radiochromatography) and HPLC (High Pressure Liquid Chromatography). In this context, it was found that the binding yield was obtained to be about 86±2 % for 131I-Lin. The minimal inhibitory concentration (MIC) of Lin, 127I-Lin and 131I-Lin for Staphylococcus aureus DSM 4910 strain were found to be 1µg/mL. In time-kill studies of Lin, 127I-Lin and 131I-Lin were producing ≥ 3 log10 decreases in viable counts (cfu/ml) within 6 h at 2 and 4 fold of MIC respectively. No viable bacteria were observed within the 24 h of the experiments. Biofilm eradication of S. aureus started with 64 µg/mL of Lin, 127I-Lin and 131I-Lin, and OD630 was 0.507±0.0.092, 0.589±0.058 and 0.266±0.047, respectively. The media control of biofilm producing Staphylococcus was 1.675±0,01 (OD630). 131I and 127I did not have any effects on biofilms. Lin and 127I-Lin were found less effectively than 131I-Lin at killing cells in biofilm and biofilm eradication. Our results demonstrate that the 131I-Lin have potent anti-biofilm activity against S. aureus compare to Lin, 127I-Lin and media control. This is suggested that, 131I may have harmful effect on biofilm structure.

Keywords : iodine-131, linezolid, radiolabeling, slime layer, Staphylococcus
Conference Title : ICRR 2015 : International Conference on Radiopharmacy and Radiopharmaceuticals
Conference Location : Madrid, Spain
Conference Dates : March 26-27, 2015