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In vitro and in vivo activities of LCB01-0648 and LCB01-0699 against Staphylococci

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LCB01-0648 is a new oxazolidinone, which is under preclinical development. In this study, we tested *in vitro* and *in vivo* activity of LCB01-0648 against *staphylococci*. *In vitro* activity of LCB01-0648 against MSSA, MRSA and LRSA, was evaluated by the agar dilution method as described by the CLSI, and compared with those of linezolid, oxacillin, erythromycin, ciprofloxacin, sparfloxacin, moxifloxacin, gemifloxacin and vancomycin. The MIC₉₀ of LCB01-0648, at which 90% of bacterial strains are inhibited, was 0.5µg/ml against MSSA, MRSA and was below 4µg/ml against LRSA. *In vitro* activity of CB01-0648 was 4-fold more active than linezolid against MSSA and MRSA. Especially, the antibacterial activity of LCB01-0648 had good antibacterial activities against linezolid-resistant or intermediate *S. aureus*. LCB01-0648, at the concentration of 8X MIC, showed a bactericidal activity against MSSA and MRSA. *In vivo* activity of LCB01-0699 which is the active prodrug of LCB01-0648 was also compared with that of linezolid against systemic infections caused by MSSA, MRSA and LRSA in mice. LCB01-0699 was more effective than linezolid against systemic infections. In conclusion, LCB01-0648 had potent *in vitro* and *in vivo* activity against drug resistant Gram-positive pathogen, including MRSA and LRSA. These results indicate that LCB01-0648 could be a good candidate for further pre-clinical studies.

Biography

Jin-Hwan Kwak has his expertise in evaluation of new antibiotics. He was in charge of developing of new antibiotics including Factive® and Zabifloxacin. He has established various infection model in mice. He is also interested in mode of action of new antibiotics and resistance mechanism in bacteria.

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