

Binary Systems of Clarithromycin: An Alternative to Enhancement of its Solubility and Antimicrobial Activity †

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Abstract: Clarithromycin (CLM) is a semi-synthetic macrolide with very poor solubility but high permeability (class II of the Biopharmaceutical Classification System). This work was aimed to improve their drug solubility and antimicrobial activity through the formation and evaluation of binary systems. CLM solubility assays were carried out through phase solubility analysis, using different amino acids (Arg, Gly, Cys, Val, Pro) and or ascorbic acid (AA) as the second component (SC). The broth dilution method determined the minimum inhibitory concentration (MIC) of CLM and the SC that increased the solubility. Finally, to evaluate the combination of ATM with SC against methicillin-resistant *Staphylococcus aureus* (MRSA), the checkerboard method was used. Cys and AA were the SC that produced the greatest increase in the solubility of CLM of 1.4 at 5.8 and 84.8 mM, respectively. Although these compounds alone did not show an inhibitory effect against MRSA combined with CLM, a decrease in the MIC of ATM CLM was observed from 0.25 to 0.06 µg/ml. Given that these combinations showed greater solubility and increased antimicrobial activity, it can be concluded that it could be potentially useful for application in a future pharmaceutical formulation.

Keywords: clarithromycin; binary systems; solubility; antimicrobial activity.

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Conflicts of Interest

The authors declare no conflict of interest.