

# SYNTHESIS AND ANTIMICROBIAL ACTIVITY OF 3-(2-METHYL-4-OXO-1,4-DIHYDROQUINOLIN-3-YL)PROPANOIC ACID AND THEIR DERIVATIVES

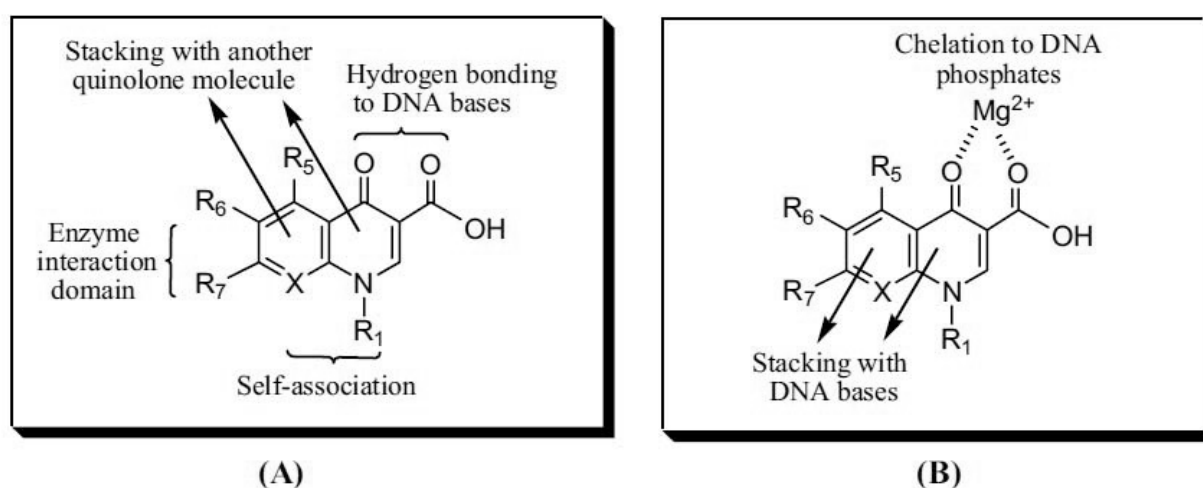
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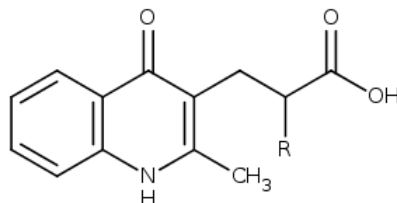
Antimicrobial resistance is widely considered to pose one of the greatest risks to modern medicine faced by this generation. The World Health Organization (WHO) defines antimicrobial resistance (AMR) as resistance of a microorganism to an antimicrobial medicine to which it was originally sensitive. For now the leading scientists with great concern warn the public and governments around the world about the problems that may face humanity in the near future due to increasing resistance of microorganisms. Professor Dame Sally Davies described this problem as a “ticking time bomb” and the antibiotics resistance has been characterized by him vividly and capaciously “as a big risk as terrorism”.

Fluoroquinolones are successful broad-spectrum antibacterial agents, which mechanism of action is based upon of inhibition bacterial growth by blocking the enzymatic action of type II topoisomerases such as DNA gyrase and topoisomerase IV according structure activity relationship (SAR)(Fig. 1).



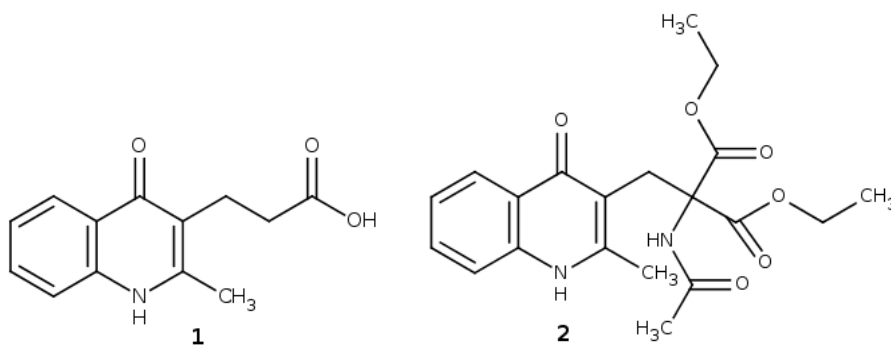
**Figure 1.** Structure activity relationship (SAR) of fluoroquinolone antibiotics.

In order to search new original antibacterial agents, the series of 12 compounds which are derivatives of 3-(2-methyl-4-oxo-1,4-dihydroquinolin-3-yl)propanoic acid with general formula (Fig.2), has been synthesized :



**Figure 2.** General formula of 3-(2-methyl-4-oxo-1,4-dihydroquinolin-3-yl)propanoic acid derivatives.

The study of the antimicrobial properties of these compounds has showed that some members of this class have been exhibited a wide spectrum action. It was found, that the most active substances are 3-(2-methyl-4-oxo-1,4-dihydroquinolin-3-yl)propanoic acid (**1**) and 1,3-diethyl 2-acetamido-2-[(2-methyl-4-oxo-1,4-dihydroquinolin-3-yl)methyl]propanedioate (**2**):



Thus, carried study has shown the prospectivity and reasonability of development of this direction of throughput search of novel effective antimicrobial medicines.