# ABSTRACT (ENGLISH)

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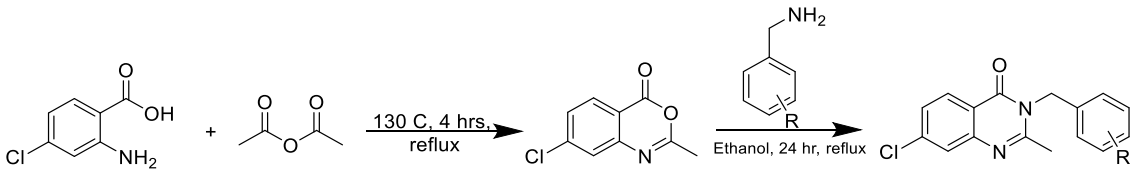
*Staphylococcus aureus (SA)* is a prevalent bacterium that can cause both mild and life-threatening infections. Developing new agents with a unique mode of action against drug-sensitive and drug-resistant strains is a necessity for managing the spread of *SA* infections. Quinazolinone moiety functions as a fundamental building block for numerous biologically active substances. In the literature, structure-activity relationships have been established for antistaphylococcal quinazolones (AQs). AQs target several molecular targets, including lactate dehydrogenase, DNA topoisomerase, and penicillin binding protein (PBP). We combined our broad understanding of antibacterial agents with published literature using *in silico* docking to generate new, potentially effective AQs that specifically target PBP 2a. As a result, we reacted the lactone intermediate, 7-chlorobenzoxazinone, with various benzyl amines to produce 10 final compounds, ranging in lipophilicity parameter logP from 3.11 to 4.5. None of the final compounds exhibited significant antistaphylococcal activity, despite their initial design as antistaphylococcal active agents. Final compounds were evaluated against a panel of pathogens, which included some gram-positive and gram-negative bacteria, mycobacteria, and fungi, as supplemental testing. GDM22 (R =4-F) was among the most active compounds against *M. kansasii* (MIC = 3.91 µg/mL), with extended spectrum of activity, including *Mtb* H37Rv (MIC =25 µg/mL), making it the most promising compound. According to our results, rather than *SA*, mycobacteria should be the primary target of these suggested molecules. Future studies will explore whether the active compound's target is the mycobacteria penicillin binding protein 2a.




R= 2-Me; 3-Cl; 4-OH; 2,4-diMeO; 3-MeO; 4-MeO; 2-F; 4-F; 3,4-diCl; 4-$CF_3$; etc.

Figure 1. Synthetic scheme of title compounds.