Chemistry in life sciences

Synthesis and screening of antibacterial activity of 2,4,5tri(hetero)arylimidazoles based on thieno[3,2-*b*]thiophene

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After the discovery of antibiotics, efforts were made to develop new drugs in order to treat infections caused by a wide range of bacterial strains. With the use of antibiotics for decades, bacteria have adapted, so that resistant strains have emerged. Moreover, the uncontrolled and abusive use of antibiotics has increased, which aggravates the emergence of new resistant strains. The emergence of multidrug resistant (MDR) bacteria has quickly become a worldwide health problem, so new strategies must be developed in order to control MDR bacteria, namely the rational development of new drugs. Imidazole derivatives have several biological activities, including antibacterial activity. In this sense, efforts have been made to develop imidazole-based compounds, because they present higher curative effect than other antibiotics used in clinical practice, lower toxicity and less side effects.¹

With this in mind, we report the synthesis of two 2,4,5-tri(hetero)arylimidazoles **3a-b** based on thieno[3,2-*b*]thiophene heterocyclic spacer (**Figure 1**), through the Radziszewski reaction² and their characterization by ¹H and ¹³C NMR, UV-Vis absorption and fluorescence spectroscopies. In addition, a screening for antibacterial activity with the synthetized imidazole derivatives against *Bacillus subtilis* was carried out, using the agar diffusion technique. The results showed the inhibition of *Bacillus subtilis* proliferation, suggesting antibacterial activity. Therefore, these new imidazole derivatives have the potential for the development of new antibacterial drugs.

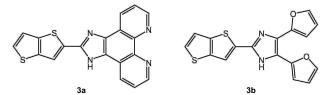


Figure 1: Structures of imidazole derivatives **3a-b** based on thieno[3,2-b]thiophene.

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