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Exploiting the Thiobarbituric Acid Scaffold for Antibacterial Activity

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Abstract:

Thiobarbituric acid (TBA) has been considered a privileged structure for developing antimicrobial agents. Diversity was obtained at positions N and at C5 through acylation, Schiff base formation, Knoevenagel condensation, and thioamide and enamine formation. The present work describes the synthesis of small libraries based on the TBA moiety and above-mentioned reactions. Preliminary antimicrobial activity screening of the prepared compounds against selected bacteria (both Gram-positive and -negative) showed the best results for the Boc-Phe-TBA derivative. These results could be useful for designing and building libraries based on other amino acids with distinct protecting groups.

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