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SYNTHESIS AND ANTIBACTERIAL ACTIVITY OF ACETOXYBENZOYL THIOUREAS WITH ARYL AND AMINO ACID SIDE CHAINS

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GRAPHICAL ABSTRACT



Abstract A series of acetoxybenzoylthioureas derivatives with aryl and amino acid ester side chains were prepared by reaction of acetoxybenzoyl isothiocyanate, an acyloxy benzyl esterbased derivative of aspirin, with aryl amines or amino-functionalized amino acids with overall yields of 46–73%. The products that display a thiourea segment as a linker showed improved antibacterial properties in comparison with aspirin. The structures of the synthesized compounds were characterized by infra red spectroscopy, ¹³C nuclear magnetic resonance (NMR), and ¹H NMR spectroscopy. The compounds were screened for their antibacterial activity by using gram-negative bacteria (E. coli ATCC 8739). [2-(phenylcarbamothioylcarbamoyl)phenyl] acetate showed the highest antibacterial activity against E. coli compared with other synthesized compounds.

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Keywords Thiourea; aspirin; amino acid; antibacterial activity

INTRODUCTION

Aspirin has been widely used as an analgesic and anti-inflammatory drug. It also plays an important role in the prevention of cardiovascular diseases and cancer. Modification

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