

Synthesis and biological activity studies of a novel highly functionalized 2-methylbenzoxazole derivative

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Derivatives of benzoxazole are an important class of heterocyclic compounds with many potential biological activities. In this work, a benzoxazole enol-ester, (Z)-2-(benzo[d]oxazol-2-yl)-1-(4-methoxyphenyl) vinyl-4-methoxybenzoate, was synthesized by reacting 2-methylbenzoxazole (1 equiv.) with 4-methoxybenzoyl chloride (2.1 equiv.) in the presence of triethylamine (3 equiv.) in diglyme and heating in a water bath for 3 h. The product was isolated as a pale yellow solid (m.p. 155-158 °C) in 2.3% yield. The ¹H and ¹³C NMR spectra clearly indicate the presence of two methoxy groups (¹H: δ 3.87 and 3.97 ppm; ¹³C: 55.46 and 55.59 ppm), and the vinyl proton (δ 6.93 ppm) and the vinyl carbon (δ 101.3 ppm) of the product. The α-amylase enzyme inhibitory assay conducted at 0.25, 0.50, 1.0 and 2.0 mg/mL exhibited 8%, 51%, 59% and 69% inhibitions, respectively. Antioxidant activity, determined using the DPPH radical scavenging assay at 2.0 mg/mL, showed only a 10% radical scavenging activity. The product did not show significant antibacterial activity against *Escherichia coli* and *Bacillus subtilis* at 1.0 mg/mL in the disk diffusion assay. In conclusion, the synthesized highly functionalized benzoxazole derivative shows significant α-amylase enzyme inhibitory activity.

Keywords: 2-methylbenzoxazole, 4-methoxybenzoyl chloride, enol-ester, α-amylase enzyme inhibitory activity

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