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Syntheses and evaluation of chalcone derivatives as urease inhibitors against *Helicobacter pylori* and their antioxidant behavior

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Urease is a nickel containing enzyme that catalyzes the hydrolysis of urea into ammonia and carbon dioxide, thus providing nitrogen in the form of ammonia for the growth of plants. Enzyme urease is found in bacteria, fungi, and some plants, whereas it is absent in humans. This urease activity can result in an abnormal release of ammonia causing various diseases in humans. Thus, the release of ammonia by the bacterium *Helicobacter pylori* is responsible for causing peptic ulcers and gastric cancers in humans. This had led to the discovery of urease inhibitors as a remedy for peptic ulcers. Despite the discovery of many urease inhibitor molecules, only a few have reached clinical testing stage. This research was focused on the syntheses and evaluation of urease inhibitory activity of chalcone derivatives against *Helicobacter pylori* as well as their potential as antioxidants. Chalcone is an aromatic ketone that forms the core in many compounds with a wide range of therapeutic activities. Due to its open-chain model, it can readily undergo modifications in its skeletal structure. In this study, chalcone derivatives were synthesized using the Claisen-Schmidt condensation method and the structures were confirmed using their melting point ranges and FT-IR spectra. Synthesized compounds were tested for urease inhibitory activity using the indophenol method, and their antioxidant properties were studied using DPPH radical scavenging assay. Among the five synthesized compounds, compound [(E)-1-(4-methoxyphenyl)-3-(2-methoxyphenyl)]nitrophenyl)-prop-2-en-1-one] showed a significant anti-urease activity (IC₂₀ 0.678 \pm 0.125 mg/mL, IC_{20} – concentration of sample needed to show a 20% inhibition), but it was exceptionally low compared to that of the standard inhibitor, thiourea (IC₂₀ 0.034 ± 0.002 mg/mL). A significant antioxidant activity was observed for the compound [(E)-3-(1H-indol-3-yl)-1-(4-imethoxyphenyl)-prop-2-en-1-one] (IC₂₀ 11.01 \pm 1.109 mg/mL) even though it was lower than that of standard ascorbic acid.

Keywords: Urease enzyme, Chalcone, Urease inhibition, Antioxidant activity