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Design and synthesis of Indole-Pyrazole derivative with potential anticancer and antidiabetic activity

Abstract:

The bioactivity of indole and pyrazole scaffolds has enticed researchers to further expand the pyrazole library through investigating of new synthetic routes to produce novel indole-pyrazole derivatives. In this study, a multicomponent approach via a 3+2 annulation reaction was used to design and synthesize a novel fused indole-pyrazole derivative, as potential anti-cancer and antidiabetic agents. The synthesized compound was characterized using Fourier Transform Infrared (FTIR), The Nuclear Magnetic Resonance (NMR) and Time-of-Flight Mass Spectrometer (TOF-MS). Cytotoxicity was examined by performing mutagenicity properties against the *S. typhimurium* TA 98 and TA 100 strains. The compound did not show any mutagenic properties against the *S. typhimurium* TA 98 and TA 100 strains and when compared to the control (NaN₃) it did not increase the number of revertant colonies. The MTT assay for in vitro cytotoxicity against two human cancer cell lines, lung adenocarcinoma (A549) and hepatocellular carcinoma (Hep-G2), showed the most promising anticancer properties as it had high potency against the cancer cell lines, compared to the positive control drug doxorubicin. The in vitro inhibition of α -amylase and α -glucosidase results, showed excellent inhibition against α -amylase at concentration below 26.6 $\mu\text{g/mL}$, whereas acarbose had an IC₅₀ value of 208.5 $\mu\text{g/mL}$. For the inhibitory activity against α -glucosidase the compound, showed no significant α -glucosidase inhibitory activity with IC₅₀ values of 57 $\mu\text{g/mL}$ compared to standard acarbose (55.40 $\mu\text{g/mL}$), it exhibited strong inhibitory activity against α -amylase. Overall, the compound has potential as an anti-cancer or anti diabetic agent.

Biography

Senzekile Majolaa is a PhD student and part-time lecturer in the Chemistry Department at Durban University of Technology, South Africa. My research interests include organic synthesis, protein-drug interactions and electroanalytical chemistry. My PhD research focuses primarily on the design and synthesis of indole-pyrazole fused derivatives and the development of electrochemical immunosensors to support the treatment of diabetes and cancer. I am the founder of a community engagement called Thokazi Helps, which helps grade 12 students who lack access or are unsure where to start when applying for universities and funding. I am also involved in a community engagement program at Durban University of Technology Chemistry Department, where we help grade 12 students bridge the knowledge gap that exists between high school and the start of higher education. The result is to cover physical CAPS practicals in rural areas throughout KwaZulu-Natal.