Synthesis and evaluation of anticancer, antiphospholipases, antiproteases, and antimetabolic syndrome activities of some 3H-quinazolin-4-one derivatives

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Abstract

Some new 3H-quinazolin-4-one derivatives were synthesised and screened for anticancer, antiphospholipases, antiproteases, and antimetabolic syndrome activities. Compound 15d was more potent in reducing the cell viabilities of HT-29 and SW620 cells lines to 38%, 36.7%, compared to 5-FU which demonstrated cell viabilities of 65.9 and 42.7% respectively. The IC50 values of 15d were similar to 20 mu g/ml. Assessment of apoptotic activity revealed that 15d decreased the cell viability by down regulating Bcl2 and BclxL. Moreover, compounds, 8j, 8d/15a/15e, 5b, and 8f displayed lowered IC50 values than oleanolic acid against proinflammatory isoforms of hGV, hG-X, NmPLA(2,) and AmPLA(2). In addition, 8d, 8h, 8j, 15a, 15b, 15e, and 15f showed better anti-alpha-amylase than quercetin, whereas 8g, 8h, and 8i showed higher anti-alpha-glucosidase activity than allopurinol. Thus, these compounds can be considered as potential antidiabetic agents. Finally, none of the compounds showed higher antiproteases or xanthine oxidase activities than the used reference drugs.

Keywords

Author Keywords: 3H-quinazolin-4-one; colorectal cancer; phospholipases; proteases; metabolic syndrome
KeyWords Plus: COLORIMETRIC ASSAY; ALPHA-GLUCOSIDASE; METHAQUALONE; INHIBITION; GROWTH

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