Abstract

Some new 3H-quinazolin-4-one derivatives were synthesised and screened for anticancer, antiphospholipases, antiproteases, and antimitabolic syndrome activities of some 3H-quinazolin-4-one derivatives. Compound 15d was more potent in reducing the cell viabilities of HT-29 and SW620 cell lines to 38%, 36.7%, compared to 5-FU which demonstrated cell viabilities of 65.9 and 42.7% respectively. The IC<sub>50</sub> values of 15d were ∼20 µg/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 IC<sub>50</sub> values than oleanolic acid against proinflammatory isoforms of hGV, hG-X, NmPLA<sub>2</sub> and AmPLA<sub>2</sub>. In addition, 8d, 8h, 8j, 15a, 15b, 15e, and 15f showed better anti-α-amylase than quercetin, whereas 8g, 8h, and 8i showed higher anti-α-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. © 2019, © 2019 The Author(s). Published by Informa UK Limited, trading as Taylor & Francis Group.

Author keywords

3H-quinazolin-4-one, colorectal cancer, metabolic syndrome, phospholipases, proteases

Indexed keywords
EMTREE drug terms:

2 (4 chloro benzylsulfanyl) 6 methyl 3 phenyl 3h quinazolin 4 one
2 methyl 3 (3,4,5 trimethoxy phenyl) 3h quinazolin 4 one
2 methyl 3 ([4 pyridin 2 yl benzylidene) amino] 3h quinazolin 4 one
2 methyl 3 ([naphthalen 2 ylmethylene) amino] 3h quinazolin 4 one
3 ([3 methoxy 2 nitro benzylidene) amino] 2 methyl 3h quinazolin 4 one
3 ([biphenyl 4 ylmethylene) amino] 6 bromo 2 methyl 3h quinazolin 4 one
3h quinazolin 4 one derivative
4 ([6 bromo 2 methyl 4 oxo 4h quinazolin 3 ylimino)methyl] benzonitrile
6 bromo 2 methyl 3 ([4 pyridin 2 yl benzylidene) amino] 3h quinazolin 4 one
6 bromo 2 methyl 3 ([naphthalen 2 ylmethylene) amino] 3h quinazolin 4 one
6 bromo 3 ([3 methoxy 2 nitro benzylidene) amino] 2 methyl 3h quinazolin 4 one
6 chloro 2 methyl 3 (3,4,5 trimethoxy phenyl) 3h quinazolin 4 one
6 fluoro 2 methyl 3 ([3,4,5 trimethoxy phenyl] 3h quinazolin 4 one allopurinol
alpha glucosidase inhibitor amylase amylase inhibitor antidiabetic agent
antineoplastic agent fluorouracil
n (2,3 dimethyl phenyl) 2 (6 methyl 4 oxo 3 phenyl 3,4 dihydroquinazolin 2 ylsulfanyl) acetamide
n (2,6 dimethyl phenyl) 2 (4 oxo 3 phenyl 3,4 dihydroquinazolin 2 ylsulfanyl) acetamide
n (2,6 dimethyl phenyl) 2 (6 methyl 4 oxo 3 phenyl 3,4 dihydroquinazolin 2 ylsulfanyl) acetamide
oleanolic acid phospholipase inhibitor protein bcl 2 protein bcl xl proteinase inhibitor
quercetin unclassified drug xanthine oxidase antineoplastic agent enzyme inhibitor
peptide hydrolase phospholipase quinazolinone derivative

EMTREE medical terms:

antineoplastic activity Article carbon nuclear magnetic resonance cell viability
colorectal carcinoma controlled study down regulation drug synthesis
enzyme inhibition HT-29 cell line human human cell IC50 metabolic syndrome X
priority journal protein expression proton nuclear magnetic resonance SW620 cell line
antagonists and inhibitors cell proliferation cell survival chemical structure chemistry
dose response drug effect drug screening metabolic syndrome X metabolism
structure activity relation synthesis tumor cell culture

MeSH:

Antineoplastic Agents Cell Proliferation Cell Survival Dose-Response Relationship, Drug
Drug Screening Assays, Antitumor Enzyme Inhibitors HT29 Cells Humans
Metabolic Syndrome Molecular Structure Peptide Hydrolases Phospholipases
Quinazolinones Structure- Activity Relationship Tumor Cells, Cultured

Chemicals and CAS Registry Numbers:
allopurinol, 315-30-0; amylase, 9000-90-2, 9000-92-4, 9001-19-8; fluorouracil, 51-21-8; oleanolic acid, 508-02-1; protein bcl 2, 219306-68-0; protein bcl xl, 151033-38-4; proteinase inhibitor, 37205-61-1; quercetin, 117-39-5; xanthine oxidase, 9002-17-9; peptide hydrolase; phospholipase, 9013-93-8;

Antineoplastic Agents; Enzyme Inhibitors; Peptide Hydrolases; Phospholipases; Quinazolinones

Funding details

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Funding text

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References (48)


