# Document details

Back to results | 1 of 1



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## Synthesis and evaluation of anticancer , antiphospholipases , antiproteases , and antimetabolic syndrome activities of some 3H-quinazolin-4-one derivatives (Article) (Open Access)

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## Abstract

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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 IC $_{50}$ 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 $_{50}$ 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-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.

## SciVal Topic Prominence

Topic: Quinazolinones | Quinazolines | quinazolinone derivatives

Prominence percentile: 97.622

## Reaxys Database Information

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## 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

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|---|---|---|
| Deanship of Scientific Research, King Saud University | | |

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