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Synthesis and biological study of some 3-substituted-2, 4(1h,3h)-quinazolinedione derivatives

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In this study, twenty six novel derivatives of 3-{2-[4-(substituted)piperazin-1-yl]-2-oxoethyl}quinazoline-2,4(1H,3H)-dione (compound 7-34) have been synthesized to screen their biological activities. Target compounds were synthesized according to the reactions shown in reaction scheme. Structures of compounds were clarified with IR, ¹H-NMR, ¹³C-NMR, mass spectroscopy and elemental analyses. *In vitro* cytotoxic activities were screened in comparison with camptothecin (positive control) and 5-fluorouracil (reference) by sulphorhodamine B assay against breast cancer (MCF-7), hepatocellular carcinoma (HUH-7) and colorectal carcinoma (HCT-116) cell lines. Synthesized compounds generally showed moderate cytotoxic activity. 3-{2-[4-(4-Chlorobenzyl)piperazin-1-yl]-2-oxoethyl}quinazoline-2,4(1H,3H)-dione presented the highest activity against hepatoma (HUH-7), breast cancer (MCF-7) and colorectal cancer cell line (HCT-116) with the IC₅₀ values of 2.5, 6.8 and 4.9 μM, respectively. 6,7-Dimethoxy derivatives seems to be selectively effected on HCT-116 cell lines whereas the compounds having R₃ as diphenylmethyl structure showed selectivity on MFC-7 cell lines.

Biography

Hulya Akgun has studied on anticancer drugs as well as other several new and active compounds. She has served on numerous reviews for the National Science Foundation in Turkey and also has authored several peer-reviewed reports. She is on the editorial boards of *Anti-Inflammatory Anti-Allergy Agents in Medicinal Chemistry*

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