medical sciences forum

MDPI

Abstract

Evaluation of Cytotoxic Activity of Small Aminated Quinolinequinones In Vitro as Anti-Cancer Molecules †

Ayse Tarbin Jannuzzi [1,*], Ayse Mine Yilmaz Goler [2] and Amac Fatih Tuyun [3]

[1] Department of Pharmaceutical Toxicology, Faculty of Pharmacy, Istanbul University, 34126 Istanbul, Turkey
[2] Department of Biochemistry, School of Medicine/Genetic and Metabolic Diseases Research and Investigation Center, Marmara University, 34854 Istanbul, Turkey
[3] Department of Chemistry, Faculty of Science, Istanbul University, Fatih, 34126 Istanbul, Turkey
* Correspondence: tarbin.cevik@istanbul.edu.tr
† Presented at the 8th International Electronic Conference on Medicinal Chemistry, 1–30 November 2022; Available online: https://ecmc2022.sciforum.net/.

Abstract: Quinolinequinones, which are bicyclic heterocycles and quinone derivatives due to their broad range of biological activities, which include strong antifungal, antibacterial, antimalarial, and anticancer, have long been the subject of numerous investigations. After successful synthesis and characterization of aminated quinolinequinones (AQQ) and antimicrobial evaluation by our group, compounds were subjected to the NCI-60 Human Tumor Cell Lines Screen to determine anticancer activity. According to the NCI report, we further investigated the cytotoxic effects of selected compounds, AQQ6 and AQQ9, on the growth of DU-145 prostate cancer, MDA-MB-231 breast cancer, and HCT-116 colon cancer lines after 24 h treatment by MTT assay at 1–100 μM concentrations. HUVEC human umbilical vein endothelial cells were used as a non-cancerous cell line to determine the cancer selectivity of the compounds. Doxorubicin was used as a positive control drug. AQQ6 was more cytotoxic than AQQ9 and showed good cytotoxicity against DU-145 prostate cancer cells. Then, molecular pathways related to the cytotoxic effects of AQQ6 were investigated with analysis of cell cycle distribution, measurements of cellular ROS levels, and apoptosis/necrosis rate with flow cytometry at 1, 2.5, and 5 μM AQQ6 concentrations. According to our findings, AQQ6 induces G0/G1 cell cycle arrest dose-dependently. Additionally, apoptotic and necrotic cell populations significantly increased with 2.5 and 5 μM AQQ6 concentrations. AQQ6 did not affect cellular ROS levels. In conclusion, AQQ6 shows antiproliferative effects against DU-145 prostate cancer cells, which are mediated through cell cycle arrest and apoptotic and necrotic cell death. Potentially, AQQ6 can be a promising drug candidate for further anticancer research.

Keywords: cytotoxicity; quinolinequinones; anti-cancer activity; prostate cancer

Citation: Jannuzzi, A.T.; Yilmaz Goler, A.M.; Tuyun, A.F. Evaluation of Cytotoxic Activity of Small Aminated Quinolinequinones In Vitro as Anti-Cancer Molecules. *Med. Sci. Forum* **2022**, *14*, 38. https://doi.org/10.3390/ECMC2022-13206

Academic Editor: Alfredo Berzal-Herranz

Published: 1 November 2022

Supplementary Materials: The presentation materials can be downloaded at: https://www.mdpi.com/article/10.3390/ECMC2022-13206/s1.

Author Contributions: Conceptualization, A.T.J., A.M.Y.G. and A.F.T.; methodology, A.T.J. and A.M.Y.G.; data curation, A.T.J. and A.M.Y.G.; writing—original draft preparation, A.T.J.; writing—review and editing, A.T.J., A.M.Y.G. and A.F.T. All authors have read and agreed to the published version of the manuscript.

Funding: This study was funded in part by the Scientific Research Projects Coordination Unit of Istanbul University. Project number: TAB-2021-37247.

Institutional Review Board Statement: Not applicable.

Informed Consent Statement: Not applicable.

Data Availability Statement: The data presented in this study are available on request from the corresponding author.

Conflicts of Interest: The authors declare no conflict of interest.