

Antibacterial, antifungal, and cytotoxic evaluation of some quinazolinone derivatives

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Background and Aims: In this study, the minimum inhibitory concentration (MIC) of synthesized quinazolinone derivatives were determined by micro dilution Alamar Blue® Assay against six strains of bacteria and three strains of fungi. Cytotoxic effects of the compounds were measured using the MTT [3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide] colorimetric assay on HeLa cell line.

Methods:Antimicrobial: Compounds were dissolved in DMSO as stock solutions. The serial dilution method was used to obtain 2560 to 320 µg/ml concentrations. Mueller-Hinton broth was used as medium for bacterial growth and RPMI 1640 (Roswell Park Memorial Institute) medium was used for fungi. The final concentrations of inocula were 1.5×10^4 for bacteria and 1.5×10^5 for fungi. The MIC was defined as the lowest concentration, which prevented a color change from blue to pink. Ciprofloxacin was used as standard antibacterial drug. Ketoconazole was used as standard antifungal agent.

Cytotoxicity: 180 µL of the cell suspensions (5×10^4 cells/ml of media) were seeded in micro plates and incubated for 24 h. 20 µL of different concentrations of the samples were then added and the micro-plates were incubated for 48 h. To evaluate the cell survival, each well was then treated with 20 µL of MTT solution and incubated for 3h. Afterwards, the media in each well was replaced with 200 µL DMSO. The absorbance of each well was measured at 540 nm using an ELISA plate reader.

Results: Results showed that compounds had better bacteriostatic activity against Gram-negative bacteria (32 and 64µg/ml concentrations). Nearly all screened compounds showed good activity against *C. albicans* and *A. niger*. Almost all of the compounds had significant differences in viability in comparison with negative control at concentrations 10, 100 µM.

Conclusions:SAR (structure activity relationship) indicated that the existence of the chlorine atom on the side chain of the compounds enhanced cytotoxicity.

Keywords: Antibacterial; Cytotoxicity; Quinazolinones