**Abstract**

A series of 4-quinolone-3-carboxylic acid-containing spirooxindole-pyrrolidine derivatives was synthesized via multicomponent 1,3-dipolar cycloaddition reactions of azomethine ylides with new (E)-4-oxo-6-(3-phenyl-acryloyl)-1,4-dihydroquinoline-3-carboxylic acids in good yields with high regioselectivity. The cycloadducts were characterized by analytical and spectral data including 1H, 13C, 2D NMR and mass spectroscopy. The structure of one of the compounds (8a) was investigated theoretically by computational techniques. DFT studies support the proposed mechanism for this cyclo addition reaction. Furthermore, antibacterial activities of the new compounds were evaluated against Gram-positive and Gram-negative bacterial strains. Compounds 8f, 8m and 8p showed potent inhibition activities against selected bacteria. The in vitro cytotoxicity of spirooxindole derivatives (8a–r) was evaluated against MCF-7 breast cancer cell line. Among the various compounds tested, compound 8f (IC50=18.35 μM) showed significant cytotoxic activity compared to the standard drug doxorubicin (IC50=15.00 μM).