ABSTRACT

A series of pyrazolo[3,4-e][1,4]thiazepines 4a-m were synthesized through an L-proline catalyzed multi-component reaction which in turn subjected to in vitro cytotoxicity studies toward various cancer cell lines. The compounds 4a, 4d, 4f, 4h and 4k were found to possess prominent cytotoxic activity towards all the cell lines tested and in particular the compounds 4f and 4k were found to possess activity equal to the control.

Green synthesis of compounds leads to the development of simple protocol over the conventional procedures. We have synthesized a series of quinoline derivatives such as 3-acetyl-4-phenylquinolines and 7-chloro-9-aryl-1, 2, 3, 4-tetrahydroacridines under sonication. The developed protocol required only 35-45 minutes for the completion of the reaction at 50-60 °C.

(E)-1-(6-Chloro-2-methyl-4-phenylquinolin-3-yl)-3-arylpren-2_en-1-ones 5a-l and (E)-1-(6-chloro-4-(2-fluorophenyl)-2-methylquinolin-3-yl)-3-phenylprop-2-en-1-ones 6a-l were synthesized by ultra-sound promoted reaction at room temperature and characterized by NMR and mass spectral analysis. In addition the compound 5a was subjected to the single crystal X-ray diffraction studies. Density functional theory calculations were carried out for the chalcones 5a-l to investigate about their electronic structure, chemical reactivity, linear and non-linear optical properties. The chalcones 5a-l and 6a-l were subjected to in silio and in vitro anti-inflammatory activity screening and the compounds 5a-b, 5d-e, 5j-l and 6a-d, 6f-g, 6i-l were observed to exhibit more than 80 % of HRBC membrane stabilization and hence all the above mentioned compounds were identified as potent anti-inflammatory agents.

Further the newly synthesised chalcones were converted into their pyrazoline derivatives such as (7-chloro-3-(1, 5-diphenyl-4, 5-dihydro-1H-pyrazol-3-yl)-2-methyl-4-phenylquinoline 7a-l and 7-chloro-3-(1,5-diphenyl-4,5-dihydro-1H-pyrazol-3-yl)-4-(2-fluorophenyl)-2-methyl quinoline 8a-j by the conventional method. All the newly synthesised pyrazoline derivatives were characterised by NMR and mass spectral techniques. And they were screened for their in silico, in vitro anti-inflammatory activity by HRBC membrane stabilization. The compounds 7a-d, 7f-g, 8a, 8c-d, 8f and 8i-j were found to show potent anti-inflammatory activity by prominent stabilization of HRBC membrane lysis.