Abstract

Introduction

Cancer is one of the important factors of death in world that results from abnormal cell division and growth, there is no exact reason for its occurrence, but genetic, environmental and internal factors are main reasons for increase the prevalence of this disease. There are many treatments such as chemotherapy, radiation therapy, surgery, etc. to treat cancer but these methods have many limitations and problems. Therefore, researchers and scientists are always looking for more effective compounds with more potoncy and selectivity against this disease. And one of the challenges for pharmacists and pharmaceutical researchers is research in this area.

Material and methods

In this project a number of 1,2,3,4-tetrahydropyrimidines derivatives were synthesized using the Biginelli reaction. After purification, structural identification was performed by H^1-NMR, FT-IR and MS spectra methods. Then, cytotoxicity of compounds was evaluated against AGS, Hep-G2 and MCF-7 human cancer cell lines by MTT assay.

Results

According to the cellular results, the compound methyl 6-methyl 4-(3-hydroxyphenyl)-2-thioxo 1,2,3,4-tetrahydropyrimidine-5-carboxylate (8) containing the hydroxyl group at the *meta* position of the phenyl ring in C4, thioxo group in C2 and methyl carboxylate group in C5 was the most potent compound among compounds against three cell lines (MCF-7 cell line with IC_{50} = 125.24 μM and AGS with IC_{50} = 136.84 μM and also Hep-G2 cell line with IC_{50} = 176.77 μM).

Discussion and conclusion

The investigation of structure activity relationship (SAR) shows that the presence of hydroxyl substitution in the *meta* position of the phenyl ring bonding at C4 position probably due the electron donating properties and the formation of hydrogen increased the activity and the presence of thioxo group in the C2 position probably increases the lipophilicity and had a better effect on compounds with this group.

Keywords: cancer, 1,2,3,4-tetrahydropyrimidine, cytotoxity