Research in Pharmaceutical Sciences, 2012;7(5)
School of Pharmacy and Pharmaceutical Sciences
Isfahan University of Medical Sciences

Synthesis of thioether derivatives and evaluation of their anti-platelet-aggregation activity

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Background and Aims: In the present study a few novel 2-(substituted thio)-3-phenylquinazolin- 4(3H)-one derivatives have been synthesized and their antiplatelet activities were assessed against ADP and arachidonic acid-induced platelet aggregation in human plasma.
Methods: Anthranilic acid was allowed to react with phenylisothiocyanates to produce 2,3-dihydro-3-phenyl-2-thioxoquinazolin-4(1H)-one, which was then reacted with different aryl methyl halides under basic condition to give the desired thioether derivatives.
Results: The derivatives were prepared in good yields and their structures were confirmed by spectroscopic methods such as IR, ESI-MS and 1HNMR. All compounds were screened for their effects on human platelet aggregation induced by ADP and arachidonic acid using light transmission aggregometry. Our results indicate that among the tested compounds, 2-(benzylthio)-3-phenylquinazolin-4(3H) one was the most potent compound with IC50 value of 9.5 µM against aggregation induced by ADP. QSAR study of the compounds showed interesting correlation between the activity of compounds with surface area (grid) of the molecules.
Conclusions: These findings confirm that 2-(substituted thio)-3-phenylquinazolin-4(3H)-ones are introduced as new anti platelet agents with satisfactory IC50 for inhibition of platelet aggregation induced by ADP.

Keywords: Thioether; 2-thio-3-phenylquinazolinone; Anti-platelet-aggregation