Design, synthesis and QSAR study of arylidene indoles as anti-platelet aggregation inhibitors

Mirfazli SS, Khoshneviszadeh M, Jeiroudi M, Foroumadi A, Kobarfard F, Shafiee A,


Abstract

© 2015 Springer Science+Business Media New York. A series of novel substituted indole carbohydrazide was synthesized and evaluated for anti-platelet aggregation activity. The structures of the synthesized compounds were confirmed by spectral data and elemental analysis and were evaluated for their ability to inhibit platelet aggregation induced by adenosine diphosphate, arachidonic acid (AA) and collagen. Compounds 3e and 3b exhibited the highest activities against the platelet aggregation induced by collagen with IC50 values of 12.7 and 13.3 μM, respectively, and 2h with IC50 value of 51.88 μM and 2i with IC50 of 44.38 μM efficiently inhibited platelet aggregation induced by AA. The QSAR investigation indicated the importance of the topological, constitutional and geometrical parameters (PW3, PW4, LP1 and GATS6v) in describing the anti-platelet aggregation activity of the synthesized hydrazides. Evaluation of cytotoxic activity of the compounds against L929 cell line and three cancer cell lines revealed that none of the compounds have significant cytotoxicity. Graphical Abstract: [Figure not available; see fulltext.]