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Synthesis of benzyl indole derivatives as new antiplatelet agents

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Background and Aims: It has been proved that compounds with similar structure to purine base are competitive ADP receptor antagonists. Indole is a nonpolar purine analog and in the present study, 10 different N-(substituted benzyl) indole compounds with an aryl imine structure in the third region of indole ring were synthesized and tested for their antiplatelet function.
Methods: Anillin was reacted with isatin to form imine structure. Then the so formed compound was activated by NaH and reacted with different subtituted benzyl halides. The structure of compounds were confirmed ussing IR, LC-Mass, NMR and their antiplatelet effects were determined with platelet aggregometry method using ADP & Arachidonic acid as platelet aggregation inducers.
Results: All of 1- (substituted benzyl)- 3-(phenylimino) indolin-2-one compounds inhibited platelet aggregation induced by AA (11% to 100% inhibition) better than ADP (26% to 47% inhibition). So that some of the compounds showed inhibitory effects comparable to Indomethasin.
Conclusions: The results suggest that the most active compounds were among the most lipophilic structures.The presence of substitution on para position of benzyl ring decreased the antiplatelet activity. This may be due to hinderence caused by para substituent in ligand-receptor interaction.

Keywords: Benzyl indole; Platelet aggregation; Anti platelet