Synthesis and in vitro bioactivity evaluation of new galactose and fructose ester derivatives of 5-aminosalicylic acid

ABSTRACT

Inflammatory bowel disease (IBD) is the main risk factor for developing colorectal cancer which is common in patients of all ages. 5-Aminosalicylic acid (5-ASA), structurally relat ed to the salicylates, is highly active in the treatment of IBD with minor side effects. In this study, the synthesis of galactose and fructose esters of 5-ASA was planned to evaluate the role of glycoconjugation on the bioactivity of the parent drug. The antibacterial activity of the new compounds were evaluated against two Gram-negative and two Gram-positive species of bacteria, with a notable effect observed against Staphylococcus aureus and Escherichia coli in comparisons with the 5-ASA. Cytotoxicity testing over HT-29 and 3T3 cell lines indicated that the toxicity of the new products against normal cells was significantly reduced compared with the original drug, whereas their activity against cancerous cells was slightly decreased. The anti-inflammatory activity test in RAW264.7 macrophage cells indicated that the inhibition of nitric oxide by both of the monosaccharide conjugated derivatives was slight ly improved in comparison with the non-conjugated drug.

Keyword: 5-ASA; Galactose; Fructose; Biological evaluation