New synthesised aminoanthraquinone derivatives and its antimicrobial and anticancer activities (Route II)

ABSTRACT

A series of aminoanthraquinone derivatives were synthesized via two reaction steps. The starting material of 1,4-dihydroxyanthraquinone (1) was subjected toamination and the major product obtained was then further reacted under reduction, methylation and acylation separately to produce 2-(butylamino)anthracene-1,4-dione (2), 2-(butylamino)-1-hydroxy-4-methoxyanthracene-9,10-dione (9), 2-(butylamino)-1,4-dimethoxyanthracene-9,10-dione (10), 3-(butylamino)-4-hydroxy-9,10-dioxo-9,10-dihydroanthracene-1-yl-acetate (11), 2-(butylamino)-4-hydroxy-9,10-dioxo-9,10-dihydroanthracene-1-yl-acetate (12) and 2-(butylamino)-9,10-dioxo-9,10-dihydroanthracene-1-yl-acetate (13). Aminoanthraquinone13 exhibitedstrong antimicrobial activities toward Methicillin-Resistant Staphylococcus aureus (MRSA), Pseudomonas aeruginosa, Candida albicans and Escherichia coli with MIC values of 0.1, 0.1, 0.1 and 0.5 mg/mL respectively. Aminoanthraquinones 9, 10 and 13 showed strong cytotoxicity against both MCF-7 (IC50 2.0-11.0μg/mL)and Hep-G2 (IC50 1.1-14.0μg/mL) cell lines.

Keyword: 1,4-Dihyroxyanthraquinone; Amination; Aminoanthraquinone; Substitution; antimicrobial; Cyctotoxic; MCF-7; Hep-G2