

Synthesis and cytotoxic activity of acridine derivatives substituted with benzimidazole, benzoxazole and benzothiazole

Manal Kandeel ,Sameeha M. Ali , Mohamed A. Abdelgawad, Mohamed Sadek

Professor of Pharamceutical Organic Chemistry, Vice dean of Post Graduate and Research Affairs

Abstract

Two novel series of 2-(Benzo[d]imidazole/oxazole/thiazole-2-yl)acridine-9(10H)-one IVa-c and 10-(2-((4-(Benzo[d]imidazole/oxazole/thiazole-2-yl)phenyl)amino)-2-oxoethyl)-9-oxo-9,10-dihydroacridine-4-carboxylic acid VIIa-c were synthesized. The antitumor activity of the prepared compounds was evaluated against human breast cancer (MCF-7), hepatocellular carcinoma (HepG-2) and colon cancer (HCT-116) cell lines using Sulphorhodamine-B (SRB) assay method. Doxorubicin was used as a reference standard. Most of the tested compounds showed potent antitumor activity against HCT-116 cell line with IC₅₀ range equal 4-31 μM/ml and the compound VIIc was the best active one (IC₅₀ = 4.75 μM/ml). VIIa showed the same activity compared to the effect of the reference drug doxorubicin on Hep-2 cell line (IC₅₀ = 3.75 μM/ml). All of the tested compounds showed weak activity against MCF-7 cell line (IC₅₀ = 5.01 μM/ml).

Der Pharma Chemica, 2016, 8(1):117-123 - 2016, January