

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

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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 M/ml and the compound VIIc was the best active one (IC₅₀ = 4.75 M/ml). VIIa showed the same activity compared to the effect of the reference drug doxorubicin on Hep-2 cell line (IC₅₀ = 3.75 M/ml). All of the tested compounds showed weak activity against MCF-7 cell line (IC₅₀ = 5.01 M/ml).

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