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Investigations on structure-activity relationships and anti-proliferative activities of some bis-benzimidazole derivatives

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As cancer chemotherapy has not yet reached the desired level, intensive studies are continue to develop more potent, more selective and less toxic novel anticancer drugs. In anticancer drug development studies, the effect of novel compounds on apoptotic and anti-apoptotic gene expressions is very important. In our preliminary studies, a series of 2-substituted benzimidazole derivatives were synthesized and tested for their cytotoxic effect against leukemic cell lines. These compounds were particularly found to be quite selective against the hepatocellular carcinoma cell line. Then, the effect of bis-benzimidazole derivative compounds on apoptosis and their mechanism of action were investigated in hepatocellular carcinoma in rats. In this study, anti-proliferative activities of twelve bis-benzimidazole derivatives was evaluated. The synthesized bis-benzimidazole derivatives was to determine the potency and specificity against five different cancer cells [Human Lung Adenocarcinoma Epithelial Cells (A549), Human Renal Cancer Cells (A498), Human Cervical Cancer cells (HeLa), Human Skin Malignant Melanoma Cells (A375), Human Hepatocellular Carcinoma Cells (HepG2) lines] compared to methotrexate (MTX). In conclusion, bis-benzimidazole derivatives exhibited higher anti-proliferative than 2-substituted benzimidazoles.

Biography

Oztekin Algul has completed his PhD from Gazi University/Turkey in 2000 and Post-doctoral studies from Saarland University/Germany and Connecticut University/USA School of Pharmacy. He has been the Head of Department of Pharmaceutical Chemistry in Mersin University/Turkey since 2002.

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