

Abstract

The Indole Phytoalexin Derivatives Induced a Significant Inhibition on Src Kinase Activity of Human Cancer Cells [†]

Filiz Bakar-Ates

Department of Biochemistry, Faculty of Pharmacy, Ankara University, 06560 Ankara, Turkey; flzbakar@yahoo.com

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Abstract: The Src, a protein kinase, is a family of protein tyrosine kinases (SFKs), and this protein catalyses the phosphorylation of tyrosine. The studies have revealed its key roles in regulating signal transduction from cell surface receptors. The Src kinases act as cytoplasmic signalling machinery through regulating various cellular processes, such as cell growth, differentiation, migration, and survival. The pleiotropic functions of the Src family emphasise the importance of family members which have also been accepted as cellular oncogenes. Indole phytoalexins, which have been identified in various plants, have a structure with indole nucleus with the side chain or a heterocycle containing nitrogen and sulphur atoms. The antiproliferative effects of some phytoalexins have been demonstrated in various cancers. Among the members of phytoalexins, brassinin is known with a dithiocarbamate moiety and S-alkyl piece linked to indole core, and camalexin has an indole structure substituted at position 3 by the 1,3-thiazol-2-yl group. The inhibitory effects of these compounds on cancer cell proliferation have been reported. The aim of this study is to evaluate the effects of compounds on Src kinase activity. Human MCF-7 breast carcinoma and SW480 colorectal carcinoma cells were treated with compounds, and the effects of compounds on Src kinase activity were evaluated by Src-tyrosine kinase assay. The data were also compared with the growth inhibitory potential of compounds. The results have shown that both brassinin and camalexin have significantly inhibited the activity of Src kinase at 10 mM and higher concentrations in MCF-7 and SW480 cell lines ($p < 0.05$). In conclusion, this study is the first to evaluate the role of indole phytoalexins on the Src kinase activity of cancer cells. The data obtained have proven that the indole phytoalexin structure can show anticancer activity as Src mediated. It is thought that existing data will shed light on novel anticancer drug development studies.

Keywords: indole phytoalexin; Src kinase; cancer; proliferation

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