

One-Pot Laccase-Catalysed Synthesis of 5,6-Dihydroxylated Benzo[b]furans and Catechol Derivatives, and Their Anticancer Activity

Kevin W. Wellington¹, Tozama Qwebani-Ogunleye¹, Natasha I. Kolesnikova¹, Dean Brady¹, and Charles B. de Koning²

¹CSIR Biosciences, Pretoria, South Africa

²Molecular Sciences Institute, School of Chemistry, University of the Witwatersrand, Wits, South Africa

Abstract

A commercial laccase, Suberase® from Novozymes, was used to catalyse the synthesis of 5,6-dihydroxylated benzo[b]furans and catechol derivatives. The yields were, in some cases, similar to or better than that obtained by other enzymatic, chemical or electrochemical syntheses. The synthesised derivatives were screened against renal (TK10), melanoma (UACC62), breast (MCF7) and cervical (HeLa) cancer cell-lines. GI(sub)50, TGI and LC(sub)50 are reported for the first time. Anticancer screening showed that the cytostatic effects of the 5,6-dihydroxylated benzo[b]furans were most effective against the melanoma (UACC62) cancer cell line with several compounds exhibiting potent growth inhibitory activities (GI₅₀ = 0.77-9.76 (sub μ)M) of which two compounds had better activity than the anticancer agent, etoposide (GI₅₀ = 0.89 (sub μ)M). One compound exhibited potent activity (GI₅₀ = 9.73 (sub μ) M) against the renal (TK10) cancer cell line and two exhibited potent activity (GI₅₀ = 8.79 and 9.30 (sub μ)M) against the breast (MCF7) cancer cell line. These results encourage further studies of the 5,6-dihydroxylated benzo[b]furans for their potential application in anticancer therapy.