
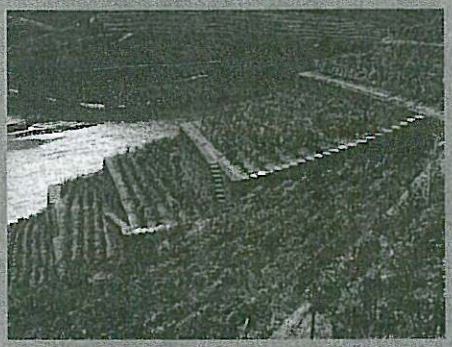


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Program and Abstracts

Antioxidant properties of diarylamines derivatives of benzo[*b*]thiophenes and amino acids

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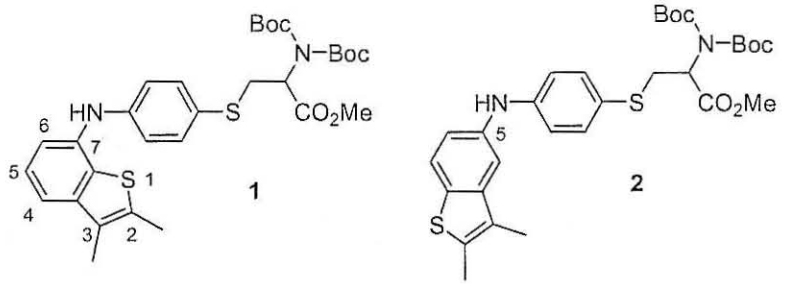
Free radical formation is associated with the normal natural metabolism of aerobic cells. The oxygen consumption inherent to cell growth leads to the generation of a series of oxygen free radicals. The interaction of these species with lipid molecules produces new radicals that may interact with biological systems in a cytotoxic manner. Free radicals and their uncontrolled production are responsible for several pathological processes, such as certain tumours (prostate and colon cancers). The reducing properties of diarylamines make them very important as antioxidants, especially as radical scavengers.[1,2]



In this study the antioxidant properties of diarylamines **1** and **2**, which were obtained by palladium-catalyzed C-N cross-coupling of amino or bromo benzo[*b*]thiophenes with an aromatic bromo or amino group of a beta-substituted alanine derivative,[3] were evaluated through DPPH (2,2-diphenyl-1-picrylhydrazyl) radical scavenging activity, reducing power, inhibition of β-carotene bleaching, inhibition of oxidative hemolysis in erythrocytes, induced by 2,2'-azobis(2-amidinopropane)dihydrochloride (AAPH), and inhibition of lipid peroxidation in pig brain tissue through formation of Thiobarbituric Acid Reactive Substances (TBARS). These assays have been extensively studied as models for the peroxidative damage in biomembranes. For all the methods EC_{50} values were calculated in order to evaluate the antioxidant efficiency of each compound. Diarylamine **1** revealed much better antioxidant properties, presenting much lower EC_{50} values, particularly for lipid peroxidation inhibition in TBARS assay. Despite the great capacity to inhibit lipid peroxidation and ß-carotene bleaching presented by diarylamine **2**, the DPPH radical scavenging activity, reducing power and the protective effect against hemolysis inhibition were only moderate. The NH in position 7 of the benzo[*b*]thiophene moiety may be responsible for the better results obtained for diarylamine **1**. The values for this compound were similar or even better than those obtained for the standards used in each method.

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