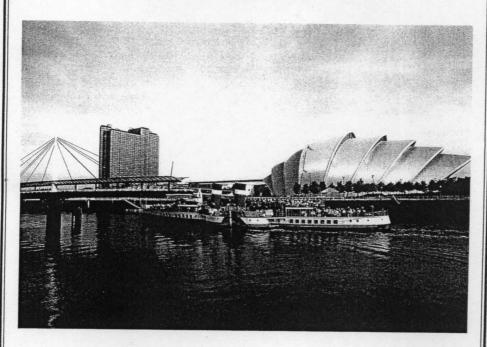
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2-Styryl 4*H*-3,1-Benzoxazin-4-ones and Quinazolin-4(3*H*)-ones – Potential Antioxidants

M. Jure*, I. Mierina

Faculty of Material Science and Applied Chemistry, Riga Technical University, Riga, Latvia

e-mail: mara@ktf.rtu.lv

Several 4*H*-3,1-benzoxazin-4-ones and quinazolin-4(3*H*)-ones are known as natural antioxidants. 2-Styryl-4*H*-3,1-benzoxazin-4-ones (avenalumins) are cyclic analogues of N-cinnamoylanthranilates (avenanthramides) - alkaloids of oats exhibiting antioxidant activity. Quinazolinone alkaloids containing anthranilic acid moiety or styryl fragment (e.g., antioxidant isaindigotone) have been recently described.

This study was focused on the antiradical activity (detected by DPPH method) of benzoxazinones 1, their precursors 2 and quinazolinones 3.

Benzoxazinones 1 were synthesized by two methods: from substituted anthranilic acids 4 by known scheme³ as well as by condensation of 2-methylbenzoxazinones 7 with aromatic aldehydes 6 in the presence of acid catalyst. The quinazolinones 3 were obtained from compounds 1 in reactions with variable primary amines. The advantages of ultrasonic treatment for the realization of these reactions have been examined.

$$R^{\frac{1}{4}} \xrightarrow{NH_{2}} \stackrel{R^{1}}{\underset{COOH}{i}} \xrightarrow{R^{2}} \stackrel{O}{\underset{OH}{ii}} \xrightarrow{R^{2}} \stackrel{CHO}{\underset{COOH}{ii}} \xrightarrow{R^{2}} \stackrel{R^{2}}{\underset{N}{\bigcap}} \stackrel{R^{2}}{\underset{N}{\underset{N}{\bigcap}} \stackrel{R^{2}}{\underset{N}{\bigcap}} \stackrel{R^{2}}{\underset{N}{\longrightarrow}} \stackrel{R^{2}}{\underset{N}{\longrightarrow}} \stackrel{R^{2}$$

(i) Meldrum's acid, toluene; (ii) β -alanine, Py; (iii) Ac₂O or PTSA, or SOCl₂; (iv) PTSA or Lewis acid R¹ = H or OH; R² = OH, OMe or NMe₂; n = 1, 2 or 3

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