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[Image PDF (547K)] [References]

Synthesis and Phytotoxic Activities of *N*-Substituted Phenyl Isothiazolone Derivatives

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A series of 3(2*H*)-oxo-*N*-(substituted phenyl)-4,5,6,7-tetrahydro-1,2-benzisothiazoles (**2**) were obtained *via* four reaction steps starting from 2-chlorocyclohexene-1-carboxylic acid. The sulfur atom of 3-oxo-4,5,6,7-tetrahydro-1,2-benzisothiazoles (**2**) was oxidized with an equimolecular amount of 3-chloroperbenzoic acid (3-CPBA) in chloroform to give the corresponding 3-oxo-4,5,6,7-tetrahydro-1,2-benzisothiazole-1-oxides (**3**). Oxidation of **2** with two moles of 3-CPBA afforded 3-oxo-4,5,6,7-tetrahydro-1,2-benzisothiazole-1,1-dioxides (**4**). Phytotoxic activities of the compounds (**2-4**) synthesized were assayed by inhibition of protoporphyrinogen-IX oxidase isolated from corn as well as by growth inhibition, chlorophyll decrease and peroxidative destruction of cell membranes of the green microalga *Scenedesmus acutus*. Among the compounds (**2-4**), **4** showed the strongest activities according to all phytotoxic parameters, exhibiting phytotoxicities characteristic of peroxidizing herbicides. 3(2*H*)-oxo-2-[4-chloro-3-(isopropoxycarbonyl)phenyl]-4,5,6,7-tetrahydro-1,2-benzisothiazole-1,1-dioxide (**4h**) was the strongest of the compounds **4** tested.

Keywords:
3(2*H*)-oxo-*N*-(substituted phenyl)-4,5,6,7-tetrahydro-1,2-benzisothiazoles, 3-oxo-4,5,6,7-tetrahydro-1,2-benzisothiazole-1-oxides, 3-oxo-4,5,6,7-tetrahydro-1,2-benzisothiazole-1,1-dioxides, protoporphyrinogen-IX oxidase, peroxidizing activity

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