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Photochemical Oxidation of 4-Ethoxy-2-methyl-5-morpholino-3(2H)-pyridazinone (Emorfazone) by Pyrimido (5,4-g) pteridine 5-Oxide. An Attempt to Apply a Functional Chemical Model for Biological Oxidations to Drug-metabolism Studies.

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4-Ethoxy-5-(2-hydroxyethylamino)-2-methyl-3(2H)-pyridazinone (1), one of the metabolites of Emorfazone (2), was obtained in the photochemical oxidation of (2) by pyrimido(5,4-g)pteridine 5-oxide (3), together with 5-(2,3-dihydro-1,4-oxazin-4-yl)-4-ethoxy-2-methyl-3(2H)-pyridazinone (4), 4-ethoxycarbonyl-2-methyl-4-morpholino-3(2H)-pyrazolone, and 4,4'-bi(4-ethoxycarbonyl-2-methyl-3(2H)-pyrazolone). The formation of (1) was proved to occur via the autoxidation of (4) produced as a result of photochemical dehydrogenation of (2) by (3).

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Photo-oxidative Cyclisation of 2'-Hydroxychalcones Leading to Flavones Induced by Heterocycle N-oxides:High Efficiency of Pyrimido (5,4-g) pteridine N-oxide for the Photochemical Dehydrogenation.

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Irradiation of 2'-hydroxychalcones (1) with UV-visible light in the presence of heterocycle N-oxides such as pyrimido(5,4-g) pteridine N-oxide (2) resulted in the formation of the corresponding flavones (3) and flavanones (4). The photo-oxidative cyclisation of (1) induced by (2) to give (3) most efficiently occurred among the heterocycle N-oxides examined and could be reasonably explained by considering an initial single-electron oxidation of (1) by (2) under the photochemical conditions and subsequent intramolecular cyclisation. The present result is of interest in connection with the biosynthesis of flavones.

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Ring Transformation of Pyrimidines to Pyridines. Synthesis of 4-Alkylaminopyridin-2-ones by Alkaline Hydrolysis of 6-(2-Dimethylaminovinyl) uracils.

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A novel type of ring transformation of uracils into pyridin-2-ones has been found. Thus, alkaline hydrolysis of 1,3-disubstituted 6-(2-dimethylaminovinyl)uracils afforded 4-alkylaminopyridin-2-ones via ring-opening and ring-closure processes. The 4-methylamino-3-nitropyridin-2-one thus obtained was employed for the synthesis of 3-deazahypoxanthine derivative. 4-Alkylamino-3-cyanopyridin-2-ones, ricinine analogs, were also prepared by the reaction of 4-chloro-3-cyano-1-methylpyridin-2-one with amines.