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Photooxygenation of Polycyclic Aromatic Hydrocarbons by Pyrimido-[5,4-g]pteridine N-Oxide.

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Photooxygenation of naphthalene, phenanthrene, pyrene, and benzo (a) pyrene (2) by pyrimido (5,4-g) pteridine N-oxide (1) was examined in comparison with that of benzene. These polycyclic aromatic hydrocarbons (PAHs) consumed (1) more smoothly than did benzene under irradiation with u.v. light, as envisaged from their low oxidation potentials in comparison with that of benzene. Experimental results led us to conclude that the PAHs are oxygenated via photo-induced single-electron transfer to (1) followed by oxygen-atom transfer between the resulting radical-ion pairs. The photooxygenation of (2) by (1) can be regarded as a simple reaction mimic for one of the metabolic activitation of (2).

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Photochemical Oxygenation of Styrene by Pyrimido [5,4-g] pteridine 10-Oxide as a Chemical Mimic of Hemin-Catalysed Oxygenation.

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Irradiation of styrene (2) in the presence of pyrimido (5,4-g) pteridine 10-oxide (1) with u.v.-visible light resulted in the formation of styrene oxide and phenylacetaldehyde which are proved as primary metabolites of (2) without any accompanying photochemical intramolecular rearrangements of the N-oxide group taking place. Chemical and physico-chemical facts showed that the photo-oxygenation of (2) by (1) involves an initial single-electron transfer followed by oxygen-atom transfer, accommodating the oxygenation mode of cytochrome P-450 and other hemoproteins. Comparative experiments with 3-methylpyridazine 2-oxide and pyridine N-oxide demonstrated the simplicity and the mechanistic characteristic of the photo-oxygenation of (1).

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Synthesis and Anti-human Immunodeficiency Virus (HIV-1) Activity of 3'-Deoxy-3'-(triazol-1-yl)thymidines and 2',3'-Dideoxy-3'-(triazol-1-yl)uridines, and Inhibition of Reverse Transcriptase by Their 5'-Triphosphates.

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3'-Deoxy-3'-(1,2,3-triazol-1-yl) thymidines and 2',3'-dideoxy-3'-(1,2,3-triazol-1-yl) uridines were synthesized as cyclic analogues of 3'-azido-3'-deoxythymidine (AZT) and 3'-azido-2',3'-dideoxyuridine (CS-87) by the cyclization of 5'-trityl derivatives of AZT and CS-87 using α -ketophosphorus ylides and with acetylenic compounds followed by deprotection of the 5'-trityl group. It was hypothesized that the triazole nitrogen atoms could mimic and distorted azido group. However, no significant activity against human immunodeficiency virus type 1 (HIV-1) was observed with any of these compounds. 5'-Triphosphates were inactive against HIV-1 and Rauscher murine leukemia virus (RLV) reverse transcriptases.