Carboxyflavins, Novel Inhibitors of Taq DNA Polymerase.
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Carboxyflavins were found to be potent selective inhibitors of Taq DNA polymerase in a polymerase chain-reaction. The inhibitions were dose-dependent, and complete inhibitions were observed at the concentration of 3.0 μM. Carboxyflavins were much less, or not sensitive to the DNA polymerases such as calf thymus DNA polymerase α, rat DNA polymerase β, human immunodeficiency virus type 1 reverse transcriptase, the Klenow Fragment of E. coli DNA polymerase I, and T4 DNA polymerase. To our knowledge, there is no other report of an agent that selectively inhibits only a thermostable polymerase. Interestingly, the carboxyflavins were able to prevent DNA synthesis in the murine lymphoid leukemia cell line L-1210 in vitro, almost complete inhibitory levels were achieved in the range of less than 10 μM.

Facile Synthesis and NO-Generating Property of 4H-[1,2,5]Oxadiazolo-3,4-d]pyrimidine-5,7-dione 1-Oxides.
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4H-[1,2,5]Oxadiazolo[3,4-d]pyrimidine-5,7-dione 1-oxides are conveniently prepared in high yields by the oxidative intramolecular cyclization of 6-amino-5-nitro-1H-pyrimidine-2,4-diones employing iodosylbenzene diacetate as an oxidant in the presence of lithium hydride. The generation of nitric oxide (NO) and NO-related species from the N-oxides occurs in the presence of thiols such as N-acetylcysteamine, cysteine, and glutathione under physiological conditions. The evidence for the NO-generation derives from mechanistic interpretations for the reaction of the N-oxides with thiols and other chemical observations. It should be noted that no formation of the NO and NO-related species was observed in reactions of the deoxygenated compound of the N-oxide and 6-amino-1,3-dimethyl-5-nitroso (or nitro)-1H-pyrimidine-2,4-dione with N-acetylcysteamine under similar conditions.

The First Synthesis of Monoazaporphyrins Bearing a Nitrogen Atom at the Peripheral Position
Shigeo KAI, Mikio SUZUKI and Yukio MASAKI*

2-Aza-3,7,8,12,13,17,18-heptaalkylporphyrins and their zinc complexes were synthesized by the use of improved ‘3+1’ condensation of 2,5-bis [(5’-carboxy-3’-4’-dialkylypyrrol-5’-yl)methyl]-3,4-diethylpyrroles and 2,5-bis [(N,N,N-trimethylammonio)-methyl]-4-methylimidazole ditriflate under non-acidic conditions in 1.8—6.6% yields.

Remote Asymmetric Induction in Lewis Acid Catalyzed Diels–Alder Reaction of α,β-Unsaturated Enones Having a Chiral Sulfanyl-substituted, 5-Membered Aromatic Heterocycle
YoshitsuGu ARAI,* Tsutomu MASUDA and Yukio MASAKI

Two types of chiral sulfides as Diels–Alder dienophiles were synthesized and high levels of diastereoselectivity were observed in cycloadditions. 2-Furyl and 2-thienyl α,β-enones, bearing a chiral sulfanyl group in the heterocycle, served as efficient dienophiles in Diels–Alder reactions, where the catalytic use of aluminium chloride or a lanthanide triflate effected the cycloaddition with cyclopentadiene affording the endo adduct with high diastereoselectivity, ranging from 91% to 98%.