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Effectiveness of Dextran Sulfate on Acute Toxicity of Paraquat in Mice and Rats.

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The alleviation of acute toxicity of paraquat dichloride (PQ) by sodium dextran sulfate (DS), which is clinically used for antihyperlipemia was studied in mice and rats. When mice were orally given DS (2000 mg/kg) or sodium polystyrene sulfonate (SPS) (2000 mg/kg) within 1 h after PQ ingestion (200 mg/kg), the effectiveness of DS in alleviating PQ toxicity was greater than that of SPS. In rats treated with DS (2000 mg/kg) or SPS (2000 mg/kg), within 4 h after PQ administration (200 mg/kg), the effectiveness of DS was less than that of SPS. However, the effectiveness of DS in the alleviation of toxicity was similar to that of SPS, when given to mice and rats (200 mg/kg) within a shorter time after PQ ingestion (200 mg/kg).

[Synthesis, 1987, 829]

A Facile Synthesis of 5'-O,6-Cyclo-5,5-dihalogeno-5,6-dihydro-pyrimidine Nucleosides.

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Treatment of 2',3'-O-isopropylidene-pyrimidine nucleosides (uridine and cytidine) with excess *N*-halogenosuccinimides in an aprotic solvent at ambient temperature resulted in the exclusive formation of the corresponding 5'-O, 6-cyclo-5,5-dihalogeno-5,6-dihydro-2', 3'-O-isopropylidene-pyrimidine nucleosides. The reaction involves a novel type of dihalogenation at the 5-position accompanied by intramolecular 5'-O,6-cyclization. Application of this procedure to 2', 3'-O-isopropylidene-protected 5-hydroxyuridine and pseudouridine gave 5'-O, 6-cyclo-5-hydroxy-2', 3'-O-isopropylideneuridine and m5-bromo-5'-O, 6-cyclo-5,6-dihydro-2', 3'-O-isopropylidene-pseudouridine, respectively.

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Reductive Cleavage of the O-C(8) Bond in 5'-O,8-Cycloadenosines. Intramolecular Protection of the 8-Position and the 5'-Hydroxy Group in Adenosines.

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Upon treatment with NaBH₃CN in acetic acid, *N*⁶-acyl-5'-O,8-cycloadenosines with or without carbon functional groups on the 2-position underwent exclusively a reductive O-C(8) bond cleavage to give the corresponding *N*⁶-acyl-adenosines. This conversion was achieved by taking advantage of the prominent substituent effect of the *N*⁶-acyl group and the appropriate reducing capacity of NaBH₃CN under acidic conditions. The present result indicates that 5'-O,8-cyclization can be efficiently utilized as a means of protecting both the 8-position and the 5'-hydroxy group in adenosines.