

indications that the procedure will permit the synthesis of boranes containing certain functional groups not compatible with the Grignard reagent. We are continuing to explore the synthesis of these substances.

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6-FURFURYLAMINO-9- β -D-RIBOFURANOSYLPURINE: SYNTHESIS AND DIFFERENTIAL TOXICITY TO MAMMALIAN CELLS *IN VITRO*¹

Sir:

The report^{2,3} that 6-furfurylaminopurine (kinetin) stimulated division of certain plant cells in tissue culture prompted the preparation of 6-furfurylamino-9- β -D-ribofuranosylpurine (I) for inclusion in a current study⁴ of the effects of 6-substituted glycosyl purines on normal and neoplastic mammalian cells.

Condensation of the chloromercuri derivative of 6-methylmercaptapurine⁵ with 2,3,5-tri-O-acetyl-D-ribose chloride followed by deacetylation gave 43% of purified 6-methylmercapto-9- β -D-ribofuranosylpurine (II). The position and configuration of the glycosyl substituent in II was established by deithiolation with Raney nickel, from which 9- β -D-ribofuranosylpurine⁶ was isolated in 65% yield. Reaction of II with furfurylamine, using the method of Hitchings, *et al.*,⁵ for the synthesis of amino substituted adenines, gave I, m.p. 151–152° (from methanol), in 60% yield; $\lambda_{\text{max}}^{\text{EtOH}}$ 267 m μ , $\epsilon = 19,300$; R_f 0.72 and 0.89 in *n*-butanol-water and *n*-butanol-water-acetic acid (5:3:2), respectively, (calcd. for C₁₅H₁₇N₅O₅: C, 51.89; H, 4.93; N, 20.16. Found⁷: C, 51.48; H, 5.05; N, 20.23).

Dr. J. Brug⁸ kindly supplied a sample of a riboside (III) obtained by reaction of the chloromercuri derivative of 6-N-acetyl-furfurylaminopurine with 2,3,5-tri-O-benzoyl-D-ribose chloride. The m.p.s. (alone or admixed), ultraviolet spectra, and paper chromatographic behavior of I and III were identical.

I exhibits an unusual differential toxicity toward fibroblasts *in vitro*.⁴ In semi-synthetic medium,⁹ a 1×10^{-5} M solution killed 99% of the cells of a

strain of adult human fibroblasts in 24 hours but was almost without effect on the rate of cell division or proportion of dead cells in three strains (HeLa, H.Ep.#1 and H.Ep.#2) of human carcinoma cells. Similarly, fibroblasts of embryonic mouse skin, growing in a medium of embryo extract and serum, are more severely damaged by a 1×10^{-5} M solution of I than are embryonic epithelial cells or cells of mouse sarcoma 180. Studies of the usefulness of I for ridding human cancer biopsy cultures of connective tissue cells are in progress.

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THE STEREOCHEMICAL CONTROL OF LEAD TETRAACETATE AND TETRABENZOATE OXIDATIONS OF CYCLOPENTADIENE

Sir:

R. Criegee,¹ some years ago, oxidized conjugated dienes with lead tetracarboxylates obtaining esters of *cis* and *trans*-glycols² in low yield and since then the reaction has seen only limited use.³ Further, it has resisted interpretation. This communication establishes its ionic nature^{4,5} and describes its control.

The interesting isolation,^{1a} in a single instance, of a monoester (3%) of *cis*-3,4-cyclopentenediol which indicated an hydroxyl source led us to the reaction of cyclopentadiene⁶ (CPD) (1.5 equivalents) and lead tetraacetate (1.0 equivalents) in glacial acetic acid containing water⁴ (1.5 equivalents) at 10–20° for one half hour. There was obtained each time a mixture of monoacetates in 75–80% yield, once distilled, b.p. 108–110° at 12 mm., n_D^{25} 1.123 (Anal. Calcd. for C₇H₁₀O₅: C, 59.12; H, 7.10. Found: C, 59.10; H, 6.92). Catalytic hydrogenation^{1a} yielded saturated monoacetates which on *p*-nitrobenzoylation gave *cis*-1-acetoxy-2-*p*-nitrobenzoxycyclopentane in excellent yield, m.p. 96–98°, reported⁷ m.p. 96–97° (Anal. Calcd. for C₁₄H₁₆O₆N: C, 57.33; H, 5.16; N, 4.78. Found: C, 57.53; H, 5.02; N, 4.79). Saponification of the saturated monoacetates and *p*-nitrobenzoylation yielded *cis*-1,2-di-*p*-nitrobenzoxycyclopentane (I), m.p. 116–118°, authentic sample,⁷ m.p. 116–118°, m.m.p. 116–118°. Cleavage with periodic acid indicated 93% *cis*-1,2-cyclopentenediol and yielded glutardialdehyde 2,4-dinitrophenylhydrazone (88%) m.p. 159–160°, authentic sample, m.p.

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