

mechanism-based inhibitors.

Experimental Section

Melting points were determined on a Thomas-Hoover apparatus and are uncorrected. The UV spectra were recorded on a Beckman Model 25 spectrophotometer. All chemicals were reagent grade.

2'-Deoxy-5-ethynyluridine. This compound was synthesized according to the procedure outlined by Barr et al.,⁸ except that SnCl₄ was used for the condensation of silylated 5-ethynyl-Ura with 1-chloro-2-deoxy-3,5-di-*o-p*-toluoyl- α -D-erythro-pentafuranose. Deblocking of the intermediate and separation of the α and β anomers as described⁸ gave 5-ethynyl-dUrd in 50% yield, mp 196–197 °C (lit.⁸ mp 195–197 °C). The anomeric purity of the β isomer was established by NMR.

2'-Deoxy-5-ethynyluridylate (1). To a mixture of freshly distilled phosphoryl chloride (0.041 mL, 0.44 mmol), water (0.005 mL, 0.28 mmol), and pyridine (0.039 mL, 0.48 mmol) in acetonitrile (2 mL) was added 5-ethynyl-dUrd (25.2 mg, 0.1 mmol). After stirring for 4 h at 0 °C, the reaction mixture was poured into ice-water (2 mL), which contained pyridine (1 mL). The reaction mixture was placed on a DEAE-cellulose column (2 × 30 cm), which was washed with water and then eluted with a 0–0.5

M LiCl gradient with 500 mL in each reservoir. The single UV-absorbing peak was collected, and the solvent was evaporated. The white residue was taken up in MeOH, and then acetone was added to precipitate the lithium salt of 1. The compound was homogeneous on two cellulose TLC systems: *i*-PrOH-NH₄OH-H₂O, 7:1:2 (*R_f* 0.2); *n*-BuOH-AcOH-H₂O, 5:2:3 (*R_f* 0.6). The UV spectrum was identical with that of the nucleoside [λ_{\max} (H₂O) 286 nm]. NMR (D₂O) δ 3.9 (s, C≡CH). Anal. Calcd base/phosphorus ratio, 1:1; found, 1:1.05.

dTMP Synthetase Assay. The activity of dTMP synthetase purified to homogeneity from *L. casei* was assayed according to the procedure of Wahba and Friedkin.¹⁰

Incubation of 1 with dTMP Synthetase. Compound 1 (0.1 mM) and dTMP synthetase (2.5 nM) were incubated at 32 °C in 0.1 M Tris buffer, pH 7.5, containing 10 mM β -mercaptoethanol. The UV spectrum was scanned at intervals.

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Additions and Corrections

1980, Volume 23

Daniel F. Heiman, Stephen G. Senderoff, John A. Katzenellenbogen,* and Richard J. Neeley: Estrogen Receptor Based Imaging Agents. 1. Synthesis and Receptor Binding Affinity of Some Aromatic and D-Ring Halogenated Estrogens.

Page 1001. The nuclear magnetic resonance spectra for **13a** and **13b** should read as follows. **16 α -Bromoestradiol-17 β (13a):** ¹H NMR (Me₂SO-*d*₆) δ 0.67 (s, 3, 18-methyl), 3.79 (t, 1, *J* = 5 Hz, 17 α -H), 4.17 (m, 1, 16 β -H), 5.36 (d, 1, *J* = 5 Hz, 17 β -OH), 6.43, 6.52, 7.04 (3), 9.01 (s, 1, 3-OH). **16 α -Bromoestradiol-17 α (13b):** ¹H NMR (Me₂SO-*d*₆) δ 0.72 (s, 3, 18-methyl), 3.50 (t, 1, *J* = 5 Hz, 17 β -H), 4.72 (m, 1, 16 β -H), 5.13 (d, 1, *J* = 6 Hz, 17 α -OH), 6.43, 6.52, 7.03 (3), 9.05 (s, 1, 3-OH).

M. H. Fleysher,* R. J. Bernacki, and G. A. Bullard: Some Short-Chain N⁶-Substituted Adenosine Analogues with Antitumor Properties.

Page 1449. The head for columns 3–10 of Table I and for columns 2 and 3 of Table II should read molar concentration for 50% growth inhibition (ID₅₀), M × 10⁻⁶.

Page 1450. In Table IV, the mean life span of mice treated with N⁶-propargyladenosine (compound 3) should read 55.0 days.

1981, Volume 24

Peter Boehm, Kelvin Cooper, Alan T. Hudson, Jane P. Elphick, and Nicholas McHardy: In Vitro Activity of 2-Alkyl-3-hydroxy-1,4-naphthoquinones against *Theileria parva*.

Page 296. In line 23, 2-chloro-3-(3-cyclopropyl)-1,4-naphthoquinone (**6**) should read 2-chloro-3-(3-cyclohexylpropyl)-1,4-naphthoquinone.