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Cytotoxicity and Pharmacokinetics of 1-β-D-Arabinofuranosyl-2-thiocytosine, a 2-Sulphur Substituted Derivative of Cytarabine .

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1-(β-D-Arabinofuranosyl)-2-thiocytosine (araSC), a 2-substituted derivative of cytarabine (araC), has been investigated for its cytotoxicity, enzymatic stability, plasma concentration - time profile in mice, and cytokinetics. This derivative showed strong cytotoxicity in several mammalian cell lines, although activity (IC₅₀s) was weaker than araC. Greater stability to mouse cytidine deaminase was observed; the half-life in the presence of the enzyme was about 4-times longer than that of araC. The plasma concentration-time profile in mice in vivo showed prolonged retention of araSC when compared with araC. Cytokinetic study using flow cytometry indicated a non-S-phase specific effect of this compound.