Studies on the Inhibition of Bovine Liver Dihydrofolate Reductase by Pyrimidine Compounds

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Abstract

A series of 6-slky1-2,4-diaminopyrimidines (compounds 1- 5) and 6-methylamino-2,4-diaminopyimidines (copounds 6-12), prepared as nonclassical folate antimetabolites, were subjected to inhibition study on bovine liver dihydrofolate reductase. The 2,4-diaminopyrimidine compounds showed IC50's at 10-7-10-9M, with compound 5 as the most acitve showing comparable activity to that of methotrexate. The triaminopyrimidine analogues 6-12 were much less active, with IC50's ranged between 10-4-10-6 M.