Folylpolyglutamate analogs can inhibit casein kinase II from Xenopus laevis

Tellez, Rowena

Allende, Catherine C.

Allende, Jorge E.

Polyglutamate analogs of folate and related compounds were tested as inhibitors of casein kinase II (CK II) obtained from Xenopus laevis. The inhibitory capacity of the pteroyl, 4-amino-10-methyl pteroyl (the methotrexate aromatic moiety), and p-aminobenzoil derivatives increased as the the number of ?-glutamates attached went from 2 to 7. The nature of the aromatic head group was also important since hexa-?-glutamatic acid had no inhibitory activity while the folylhexaglutamate derivatives were strong inhibitors with relative potency of methotrexate > pteroyl > p-aminobenzoic acid. The inhibition of CK II by methotrexate ?-pentaglutamate was competitive with casein and showed an apparent Ki of 90 ?M. © 1992.