Leucovorin

National Cancer Institute

Source

National Cancer Institute. Leucovorin. NCI Thesaurus. Code C71631.

A derivative of folic acid with chemoprotectant, antidote and synergistic activity. Leucovorin does not require metabolism by dihydrofolate reductase, the molecular target of folate antagonist-type chemotherapeutic drugs, and is converted to a tetrahydrofolate, which is the necessary folate for purine and pyrimidine synthesis. As this agent allows for some purine/pyrimidine synthesis to occur, the toxic effects of folic acid antagonist-type chemotherapeutic drugs are counteracted while still permitting the antitumor activity of the folic acid antagonist through dihydrofolate reductase inhibition. This agent also potentiates the effects of 5-fluorouracil and its derivatives by stabilizing the binding of 5-fluorouracil's converted form fluorodeoxyuridylic acid to its target enzyme thymidylate synthase, thus prolonging drug activity.