Tegafur-gimeracil-oteracil Potassium

National Cancer Institute

Source

National Cancer Institute. Tegafur-gimeracil-oteracil Potassium. NCI Thesaurus. Code C1833.

An orally bioavailable fluoropyrimidine antagonist composed of tegafur combined with two modulators of 5-fluorouracil (5-FU) activity, gimeracil and potassium oxonate, in a molar ratio of 1:0.4:1. Tegafur is a prodrug of 5-fluorouracil, an antimetabolite that inhibits thymidylate synthase, DNA synthesis and cell division, and competes with uridine triphosphate, thus inhibiting RNA and protein synthesis. Gimeracil is a reversible inhibitor of dihydropyrimidine dehydrogenase (DPD), the liver enzyme responsible for rapid catabolism of 5-FU into inactive metabolites. Potassium oxonate preferentially localizes in the gut and inhibits the enzyme orotate phosphoribosyl-transferase (OPRT), thereby decreasing activation of 5-FU in the gut and activated 5-FU-related gastrointestinal toxicity.