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# Tezacitabine

National Cancer Institute

## Source

National Cancer Institute. *Tezacitabine*. NCI Thesaurus. Code C1539.

A synthetic pyrimidine nucleoside analogue with potential antineoplastic activity. Phosphorylated by cellular kinases, tezacitabine is converted into its active diphosphate and triphosphate metabolites. Tezacitabine diphosphate binds to and irreversibly inhibits the activity of the enzyme ribonucleotide reductase (RNR), which may result in the inhibition of DNA synthesis in tumor cells and tumor cell apoptosis. Tezacitabine triphosphate acts as a substrate for DNA polymerase, further compromising DNA replication. This agent is relatively resistant to metabolic deactivation by cytidine deaminase. RNR catalyzes the conversion of ribonucleoside 5'-diphosphates to deoxyribonucleoside 5'-diphosphates necessary for DNA synthesis and is overexpressed in many tumor types.