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5-Aza-4'-thio-2'-deoxycytidine

National Cancer Institute

Source

National Cancer Institute. *5-Aza-4'-thio-2'-deoxycytidine*. NCI Thesaurus. Code C153479.

An orally bioavailable, nucleoside analog and DNA methyltransferase I (DNMT 1) inhibitor, with potential DNA hypomethylating and antineoplastic activities. Upon administration, 5-aza-4'-thio-2'-deoxycytidine (Aza-TdC) gets incorporated into DNA, where it binds to the active site of DNMT 1, a maintenance methyltransferase that contributes to the hypermethylation and silencing of tumor suppressor genes. The formation of covalent DNMT 1-DNA complexes inhibits DNMT 1, prevents DNA methylation of CpG sites, causes CpG demethylation, and results in the re-expression and re-activation of silenced tumor suppressor genes. This inhibits tumor cell proliferation. DNMT 1, overactivated in tumor cells, plays a key role in tumor cell proliferation.