Thioacetazone

National Cancer Institute

Source

National Cancer Institute. Thioacetazone. NCI Thesaurus. Code C85523.

A thiosemicarbazone prodrug with antitubercular activity. Although the exact mechanism by which thioacetazone exerts its effect has yet to be fully elucidated, this agent, upon activation by bacterial monooxygenase EtaA, appears to target and inhibit cyclopropane mycolic acid synthases (CMASs), a family of S-adenosyl-methionine-dependent methyl transferases responsible for cyclopropanation of mycolic acid. By inhibiting mycolic acid synthesis, the bacterial cell wall becomes more permeable and less resistant to injury which eventually leads to cell lysis. Mycolic acids, long-chain fatty acids, are essential mycobacterial cell wall components and play a key role in resistance to cell injury and mycobacterial virulence.