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# Mercaptopurine

National Cancer Institute

## Source

National Cancer Institute. *Mercaptopurine*. NCI Thesaurus. Code C195.

A thiopurine-derivative antimetabolite with antineoplastic and immunosuppressive activities. Produced through the metabolism of mercaptopurine by hypoxanthine-guanine phosphoribosyltransferase (HGPRT), mercaptopurine metabolites 6-thioguanosine-5'-phosphate (6-thioGMP) and 6-thioinosine monophosphate (T-IMP) inhibit nucleotide interconversions and de novo purine synthesis, thereby blocking the formation of purine nucleotides and inhibiting DNA synthesis. This agent is also incorporated into DNA in the form of deoxythioguanosine, which results in the disruption of DNA replication. In addition, mercaptopurine is converted to 6-methylmercaptopurine ribonucleoside (MMPR) by 6-thiopurine methyltransferase; MMPRs are also potent inhibitors of de novo purine synthesis. (NCI04)