Decitabine is a potent inhibitor of DNA methylation in different kinds of cells. Decitabine has been reported to cause phosphorylation inhibition of 2'-deoxycytidine in certain cells and the incorporation of 2'-deoxycytidine into DNA.

Experiments have shown that this compound induces changes in the differentiated state of cultured mouse embryo cells and additionally inhibits Dnmt (DNA methyltransferase). DNA methylation has been noted to be important in determining apoptotic susceptibility to histone deacetylase inhibitors, and its prevention can cause gene silencing and transcriptional repression. Other studies suggest that cell exposure to Decitabine causes a change in replication timing, reactivation of repressed genes, and decondensation of heterochromatin.

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