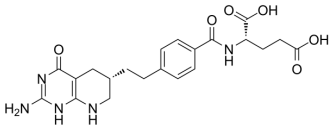
LY243246

Cat. No.:	HY-117058
CAS No.:	106400-18-4
Molecular Formula:	$C_{21}H_{25}N_5O_6$
Molecular Weight:	443.45
Target:	Antifolate
Pathway:	Cell Cycle/DNA Damage
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	LY243246 ((6S)-DDATHF), the 6S diastereomer of DDATHF, is a potent competitive inhibitor of 5'-phosphoribosylglycinamide formyltransferase (GAR transformylase). 6R- and 6S-diastereomers of DDATHF are remarkably similar and equiactive antimetabolites inhibitory to de novo purine synthesis[1].
In Vitro	LY243246 ((6S)-DDATHF) is a growth inhibitor of mouse L1210 leukemia cells (IC50=29 nM). Both diastereomers of DDATHF are found to be efficient substrates for folylpolyglutamate synthetase of both mouse and human origin (6R- and 6S-diastereomers of DDATHF: K_m=7.1 and 9.3 μM for mouse liver folylpolyglutamate synthetase, respectively)[1]. Both the 6R and 6S diastereomers of DDATHF are also cytotoxic to mammalian cells in a stereospecific manner. The cytotoxic potency of (6R)-DDATHF towards different cell lines varied by approximately 14-fold and that of (6S)-DDATHF by as much as 156-fold. Compared to (6R)-DDATHF, (6S)-DDATHF is 6.0- and 7.2-fold more cytotoxic to human WiDr colon adenocarcinoma and Chinese hamster ovary (CHO) cells, respectively, and only 1.5- and 2.0-fold more cytotoxic to human T24 bladder carcinoma and mouse L1210 leukemia cells, respectively. However, compared to (6S)-DDATHF, (6R)-DDATHF was 8.7- and 6.9-fold more cytotoxic to C3H/10T1/2 clone 8 and clone 16 mouse fibroblasts, respectively[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Moran RG, et al. The 6S- and 6R-diastereomers of 5, 10-dideaza-5, 6, 7, 8-tetrahydrofolate are equiactive inhibitors of de novo purine synthesis. J Biol Chem. 1989;264(35):21047-21051.

[2]. Lehman NL. The stereospecific cytotoxic potency of (6R) and (6S)-5,10- dideazatetrahydrofolate correlates with cellular folylpolyglutamate synthetase levels. Biochimie. 1995;77(4):273-278.

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