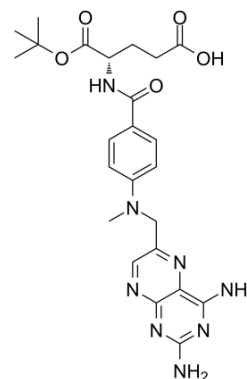


Methotrexate α -tert-butyl ester

Cat. No.:	HY-133887
CAS No.:	79640-70-3
Molecular Formula:	C ₂₄ H ₃₀ N ₈ O ₅
Molecular Weight:	510.55
Target:	Antifolate; DNA/RNA Synthesis
Pathway:	Cell Cycle/DNA Damage
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Methotrexate α -tert-butyl ester, capped by OtBu, significantly reduces tumor growth in HT1080 tumor bearing mice. Methotrexate is an antimetabolite and antifolate agent and is also an immunosuppressant and antineoplastic agent ^{[1][2][3][4]} .
In Vitro	OtBu-MTX-PVG is less HT1080 than MTX-PVG against HT1080 cells. It shows that protection of the α -carboxyl group of MTX results in reduced in vitro activity ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Lys-MTXcleavable- (OtBu) significantly reduces tumor growth in HT1080 tumor bearing mice ^[1] . The protection of the α -carboxyl group of methotrexate may be used to improve the circulatory half-life and reduce the liver accumulation of similar MTX-conjugated dendrimers, while still retaining antitumor activity in vivo ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Model:	Female, Balb/c nu/nu, 6 weeks (bearing HT1080 cells) ^[1]
Dosage:	30 mg/kg
Administration:	Once per week for 2 weeks
Result:	Showed a significant (63%) reduction in tumor size on day 12.

REFERENCES

- [1]. Kaminskas LM, et al. Capping methotrexate α -carboxyl groups enhances systemic exposure and retains the cytotoxicity of drug conjugated PEGylated polylysine dendrimers. *Mol Pharm.* 2011;8(2):338-349.
- [2]. Tian H, et al. Understanding the mechanisms of action of methotrexate: implications for the treatment of rheumatoid arthritis. *Bull NYU Hosp Jt Dis.* 2007;65(3):168-73.
- [3]. Swierkot J, et al. Methotrexate in rheumatoid arthritis. *Pharmacol Rep.* 2006 Jul-Aug;58(4):473-92.
- [4]. Ehab Tousson, et al. The Effect of L-carnitine on Amethopterin-induced Toxicity in Rat Large Intestine.

Caution: Product has not been fully validated for medical applications. For research use only.

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