Trimetrexate glucuronate

Cat. No.: HY-103396

CAS No.: 82952-64-5

Molecular Formula: $C_{25}H_{33}N_5O_{10}$

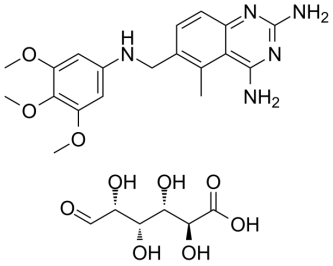
Molecular Weight: 563.56

Target: Antifolate; Bacterial

Pathway: Cell Cycle/DNA Damage; Anti-infection

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (177.44 mM; Need ultrasonic)

Preparing Stock Solutions	Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
	1 mM	1.7744 mL	8.8722 mL	17.7443 mL
	5 mM	0.3549 mL	1.7744 mL	3.5489 mL
	10 mM	0.1774 mL	0.8872 mL	1.7744 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 4 mg/mL (7.10 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 0.5% CMC/saline water
   Solubility: 2.5 mg/mL (4.44 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.5 mg/mL (4.44 mM); Clear solution; Need ultrasonic
4. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.44 mM); Clear solution; Need ultrasonic
5. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (4.44 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Trimetrexate glucuronate (NSC 352122) is a folic acid antagonist. Trimetrexate glucuronate affects DNA and RNA synthesis by inhibiting dihydrofolate reductase and preventing the synthesis of purine nucleotides and thymidylate. Trimetrexate glucuronate has potential antitumour activity and can also be used to inhibit Pneumocystis carinii pneumonia[1][2].

In Vitro

Trimetrexate glucuronate (0.05-1 μM 6 h) results in a decrease in adenosine and guanosine acids and a significant increase in the pyrimidine nucleotide such as CTP, UTP of WI-L2 human lymphoblastoid cells in a dose-dependent manner[1].
Trimetrexate glucuronate (1 μM, 2 h) can reduce the level of dTTP by 29%, also decrease in the dGTP and dATP, while cause extensive inhibition of deoxyuridine incorporation into DNA in L1210 mouse leukemia cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Trimetrexate glucuronate (i.p., 100-225 mg/kg, daily, day 1, 5, 9) has antitumor activity in inbred DBA/2 mice with L1210 leukemia[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Inbred DBA/2 mice with L1210 leukemia[1]
Dosage:	100, 150, 225 mg/kg
Administration:	Intraperitoneal injection; daily; day 1, 5, 9
Result:	Showed the maximum lifespan T/C value of 171%.

Animal Model:	C57BL/6 mice with M5076 tumor[1]
Dosage:	38, 62 mg/kg
Administration:	Intraperitoneal injection; daily; 1-9 days
Result:	Showed toxic (>10% early deaths) at high dose of 62 mg/kg and inactive (Lifespan T/C <130%) at lower dose of 38 mg/kg.

REFERENCES

[1]. R C Jackson, et al. Biochemical pharmacology of the lipophilic antifolate, trimetrexate. Adv Enzyme Regul. 1984;22:187-206.

[2]. P J Harris, et al. Trimetrexate glucuronate associated with anti-Kaposi sarcoma effect. AIDS Patient Care STDS. 1996 Oct;10(5):280-1.

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