Tigecycline tetramesylate

Cat. No.: HY-B0117C

Molecular Formula: $C_{33}H_{55}N_5O_{20}S_4$

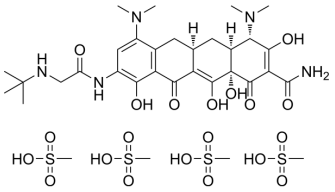
Molecular Weight: 970.07

Target: Bacterial; Autophagy; Antibiotic

Pathway: Anti-infection; Autophagy

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 (103.09 mM; Need ultrasonic)

H_2O : 50 mg/mL (51.54 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.0309 mL	5.1543 mL	10.3085 mL
5 mM	0.2062 mL	1.0309 mL	2.0617 mL
10 mM	0.1031 mL	0.5154 mL	1.0309 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 50 mg/mL (51.54 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (2.58 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (2.58 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tigecycline tetramesylate (GAR-936 tetramesylate) is a broad-spectrum glycylcycline antibiotic. The mean inhibitory concentration (MIC) of Tigecycline for E. coli (MG1655 strain) is approximately 125 ng/mL[1]. MIC_{50} and MIC_{90} are 1 and 2 mg/L for Acinetobacter baumannii (A. baumannii), respectively[2].

IC_{50} & Target

Mean MIC: 125 ng/mL (E. coli)[1]
MIC50: 1 mg/mL (A. baumannii)[2]
MIC90: 2 mg/mL (A. baumannii)[2]

In Vitro

Tigecycline (0.63-30 μM, preincubated for 4 days, treated for 72 h) inhibits AML2 cells and HL-60 cells with IC_{50}s of 4.72±0.54 and 3.06±0.85 μM (freshly prepared). Tigecycline inhibits AML2 cells and HL-60 cells with IC_{50}s of 5.64±0.55 and 4.27±0.45 μM (1 day preincubation). Tigecycline inhibits AML2 cells and HL-60 cells with IC_{50}s of 5.02±0.60 and 4.39±0.44 μM (2 day preincubation). Tigecycline inhibits AML2 cells and HL-60 cells with IC_{50}s of 4.09±0.41 and 3.95±0.39 μM (3 day preincubation). After a 4 day preincubation of Tigecycline in saline, Tigecycline lost its ability to kill TEX human leukemia cells (from IC_{50}~5 μM when freshly prepared to IC_{50}>50 μM after 4 days preincubation) as measured by CellTiter Flour assay [1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	Human leukemic OCI-AML2, HL-60 (ATCC) and TEX cell lines
Concentration:	0.63-30 μM
Incubation Time:	Preincubated for 4 days, treated for 72 hours
Result:	Inhibited AML2 cells and HL-60 cells with IC_{50}s of 4.72±0.54 and 3.06±0.85 μM (freshly prepared).

In Vivo

Tigecycline (50 mg/kg; intraperitoneal injection; twice a day; for 11 days) reduces tumor volume and weight in NOD/SCID mice[1].

The peak plasma concentration (C_{max}), the terminal half-life ($t_{1/2}$), area under the plasma concentration-time curve (AUC), clearance (CL) and volume of distribution (Vz) are 22.8μg/mL, 108.9 min, 1912.2min*μg/mL, 26.1 mL/min/kg, 4109.4 mL/kg for Tigecycline in saline, respectively. The peak plasma concentration (C_{max}), the terminal half-life ($t_{1/2}$), area under the plasma concentration-time curve (AUC), clearance (CL) and volume of distribution (Vz) are15.7μg/mL, 110.3 min, 2036.5 min*μg/mL, 24.6 mL/min/kg, 3906.2 mL/kg for Tigecycline in formulation (60 mg/mL pyruvate, 3 mg/mL ascorbic acid, pH 7 in saline) , respectively.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	NOD/SCID mice with OCI-AML2 acute myeloid leukemia (AML) xenograft model[1]
Dosage:	50 mg/kg
Administration:	Intraperitoneal injection; twice a day; for 11 days
Result:	Reduced tumor volume and weight.

Animal Model:	NOD/SCID mice[1]
Dosage:	50 mg/kg
Administration:	Intraperitoneal injection; 360 minutes
Result:	The peak plasma concentration (C_{max}), the terminal half-life ($t_{1/2}$), area under the plasma concentration-time curve (AUC), clearance (CL) and volume of distribution (Vz) are 22.8 μg/mL, 108.9 min, 1912.2 min*μg/mL, 26.1 mL/min/kg, 4109.4 mL/kg, respectively.

CUSTOMER VALIDATION

- Nat Commun. 2022 Mar 2;13(1):1116.
- Int J Antimicrob Agents. 2018 Aug;52(2):269-271.

- EBioMedicine. 2022 Apr;78:103943.
- Microbiol Spectr. 2023 May 4;e0071823.
- Microbiol Spectr. 2022 Dec 8;e0323822.

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REFERENCES

[1]. Jitkova Y, et al. A novel formulation of tigecycline has enhanced stability and sustained antibacterial and antileukemic activity. PLoS One. 2014 May 28;9(5):e95281.

[2]. Falagas ME, et al. Activity of TP-6076 against carbapenem-resistant Acinetobacter baumannii isolates collected from inpatients in Greek hospitals. Int J Antimicrob Agents. 2018 Aug;52(2):269-271.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA