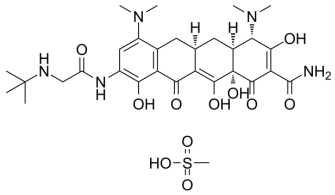
Tigecycline mesylate

Cat. No.:	HY-B0117B
CAS No.:	1135871-27-0
Molecular Formula:	$C_{30}H_{43}N_5O_{11}S$
Molecular Weight:	681.75
Target:	Bacterial; Autophagy; Antibiotic
Pathway:	Anti-infection; Autophagy
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Tigecycline mesylate (GAR-936 mesylate) 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[1].

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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.
- EBioMedicine. 2022 Apr;78:103943.
- Antimicrob Agents Chemother. 2019 May 24;63(6). pii: e00470-19.
- Int J Antimicrob Agents. 2018 Aug;52(2):269-271.
- Infect Drug Resist. 2021 Jun 30;14:2499-2507.

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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.

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

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