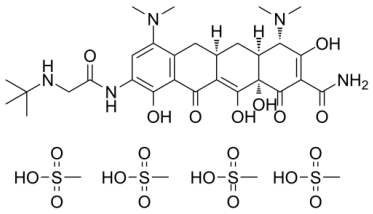
Data Sheet

Product Name:	Tigecycline (tetramesylate)
Cat. No.:	CS-0085031
Molecular Formula:	C33H55N5O20S4
Molecular Weight:	970.07
Target:	Autophagy; Bacterial
Pathway:	Anti-infection; Autophagy
Solubility:	DMSO : 100 mg/mL (103.09 mM; Need ultrasonic); H2O : 50 mg/mL (51.54 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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]. IC50 & 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]. **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.

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.

CAIndexNames:

2-Naphthacenecarboxamide, 4,7-bis(dimethylamino)-9-[[2-[(1,1-dimethylethyl)amino]acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-, (4S,4aS,5aR,12aS)-, methanesulfonate (1:4)

SMILES:

O=S(C)(O)=O.O=S(C)(O)=O.O=S(C)(O)=O.O=C(C(C1=O)=C(O)[C@@H](N(C)C)[C@]2([H])C[C@]3([H])CC4=C(C(C3=C(O)[C@@]21O)=O)C(O)=C(NC(CNC(C)(C)C)=O)C=C4N(C)C)N.O=S(C)(O)=O

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

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