Product Data Sheet

Product Name: Tigecycline mesylate
Cat. No.: GC16441

Chemical Properties

Cas. No.	1135871-27-0
Chemical Name	(4S,4aS,5aR,12aS)-9-((Z)-(2-(tert-butylamino)-1-hydroxyethylidene)amino)-4,7-bis(dimethylamino)-3,10,12,12a-tetrahydroxy-1,11-dioxo-1,4,4a,5,5a,6,11,12a-octahydrotetracene-2-carbimidic acid compound with methanesulfonic acid (1:1)
SMILES	CC(C)(NC/C(O)=N/C1=CC(N(C)C)=C(C2=C1O)C[C@@](C(C2=O)=C(O)[C@@]34O)([H])C[C@@]3([H])[C@@](N(C)C)([H])C(O)=C(C(O)=N)C4=O)C.CS(O)(=O)=O

Formula	$C_{30}H_{43}N_5O_{11}S$	M.Wt	681.75
Solubility	Soluble in DMSO	Storage	Store at -20°C

General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.
Structure	Tigecycline mesylate

Background

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]. MIC50 and MIC90 are 1 and 2 mg/L for Acinetobacter baumannii (A. baumannii), respectively[2].

Tigecycline (0.63-30 μM, preincubated for 4 days, treated for 72 h) inhibits AML2 cells and HL-60 cells with IC50s of 4.72±0.54 and 3.06±0.85 μM (freshly prepared). Tigecycline inhibits AML2 cells and HL-60 cells with IC50s of 5.64±0.55 and 4.27±0.45 μM (1 day preincubation). Tigecycline inhibits AML2 cells and HL-60 cells with IC50s of 5.02±0.60 and 4.39±0.44 μM (2 day preincubation). Tigecycline inhibits AML2 cells and

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HL-60 cells with IC50s 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 IC50~5 μM when freshly prepared to IC50>50 μM after 4 days preincubation) as measured by CellTiter Flour assay[1].

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 (Cmax), the terminal half-life (t1/2), 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 (Cmax), the terminal half-life (t1/2), 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].

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.

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