# Tigecycline

**Cat. No.:** HY-B0117

**CAS No.:** 220620-09-7

**Molecular Formula:** $C_{29}H_{39}N_5O_8$

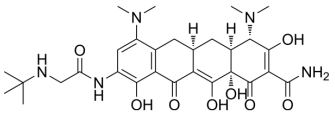
**Molecular Weight:** 585.65

**Target:** Bacterial; Autophagy; Antibiotic

**Pathway:** Anti-infection; Autophagy

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| In solvent | -80°C | 6 months |
| | -20°C | 1 month |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 25 mg/mL (42.69 mM; ultrasonic and warming and heat to 60°C)

$H_2O$ : 8.33 mg/mL (14.22 mM; ultrasonic and warming and heat to 60°C)

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 1.7075 mL | 8.5375 mL | 17.0750 mL |
| 5 mM | 0.3415 mL | 1.7075 mL | 3.4150 mL |
| 10 mM | 0.1708 mL | 0.8538 mL | 1.7075 mL |

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

**In Vivo**

1. Add each solvent one by one: PBS
   Solubility: 36.67 mg/mL (62.61 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 (4.27 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.55 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Tigecycline (GAR-936) 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].

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.
- EBioMedicine. 2022 Apr;78:103943.

- Int J Antimicrob Agents. 2018 Aug;52(2):269-271.
- Biomed Pharmacother. 2023 Nov 8:115856.
- Antimicrob Agents Chemother. 2023 Jan 23;e0145922.

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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.



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