# Tigecycline hydrochloride

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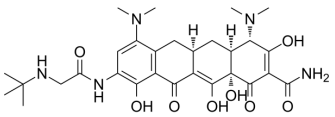
| **Cat. No.:** | HY-B0117A |
| **CAS No.:** | 197654-04-9 |
| **Molecular Formula:** | $C_{29}H_{40}ClN_5O_8$ |
| **Molecular Weight:** | 622 |
| **Target:** | Bacterial; Autophagy; Antibiotic |
| **Pathway:** | Anti-infection; Autophagy |
| **Storage:** | Please store the product under the recommended conditions in the Certificate of Analysis. |




## BIOLOGICAL ACTIVITY

**Description**

Tigecycline hydrochloride (GAR-936 hydrochloride) 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]

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| 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.

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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. |

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| 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. 2024 Jan 30:e0112023.

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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