# Topotecan

**Cat. No.:** HY-13768

**CAS No.:** 123948-87-8

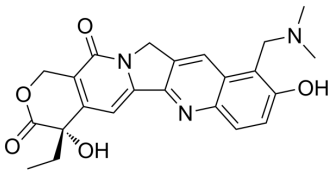
**Molecular Formula:** $C_{23}H_{23}N_3O_5$

**Molecular Weight:** 421.45

**Target:** Topoisomerase; Autophagy; Apoptosis

**Pathway:** Cell Cycle/DNA Damage; Autophagy; Apoptosis

**Storage:** Please store the product under the recommended conditions in the Certificate of Analysis.



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 100 mg/mL (237.28 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.3728 mL | 11.8638 mL | 23.7276 mL |
| | 5 mM | 0.4746 mL | 2.3728 mL | 4.7455 mL |
| | 10 mM | 0.2373 mL | 1.1864 mL | 2.3728 mL |

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

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.5 mg/mL (5.93 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.93 mM); Clear solution; Need ultrasonic

## BIOLOGICAL ACTIVITY

**Description**

Topotecan (SKF 104864A; NSC 609669) is an orally active and potent Topoisomerase I inhibitor. Topotecan induces cell cycle arrest in G0/G1 and S phases and promotes apoptosis. Topotecan shows anticancer activity[1].

**$IC_{50}$ & Target**

Topoisomerase I

**In Vitro**

Topotecan obviously inhibits proliferation of human glioma cells and glioma stem cells (GSCs) in a dose- and time-dependent manner[1].

Topotecan (0-40 μM) obviously inhibits the cell viability compared with the control groups, in a dose-dependent manner[1].

Topotecan shows anti-proliferation activity against U251, U87, GSCs-U251 and GSCs-U87 cells, with $IC_{50}$ values of 2.73±0.25, 2.95±0.23, 5.46±0.41, and 5.95±0.24 μM, respectively[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|---|---|
| **In Vivo** | NUB-7 metastatic model, the animals belonging to all the 4 groups are sacrificed after 14 days treatment. Compared with the control, Low dose metronomic (LDM) Topotecan (TP) and TP+Pazopanib (PZ) liver weights are significantly lower in TP+PZ-treated animals, compared with PZ. Microscopic tumors are visible in the livers of mice belonging to all the groups except TP+PZ confirming the ability of TP+PZ to control liver metastasis[2].<br>Topotecan (0.5, 1.0, and 1.5 mg/kg; Orally, daily) causes greater reduction in microvascular density in an ovarian cancer model, but the mice treated with 1.5 mg/kg daily, oral Topotecan show decreased food intake, and a lesser antitumor effect [2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## PROTOCOL

| | |
|---|---|
| **Cell Assay** [1] | The U251, U87, GSCs-U251 and GSCs-U87 cells are seeded at a density of $2\times10^4$ cells per well in 96-well plates separately, and incubated for 24 h. Cells are administered with Shikonin and Topotecan (0.02, 0.2, 2, 20, 40 μM). After the treatment, 10 μL of cell counting kit-8 (CCK-8) is added into each well for additional 1-hour incubation at 37°C. The optical density (OD) is read with a microplate reader at 450 nm[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **Animal Administration** [2] | Mice[2]<br>For subcutaneous xenograft studies, we used SK-N-BE, SH-SY5Y, KHOS, and RH30. $1\times10^6$ cells are implanted subcutaneously into the inguinal fat pad of each of nonobese diabetic/severe combined immune deficient (NOD/SCID) mice. When tumors reached 0.5 cm in diameter, the animals are randomized into 4 groups and treated daily by oral gavage. The animals are grouped as: Control group, LDM Topotecan group or LDM TP (1 mg/kg Topotecan), Pazopanib group or PZ (150 mg/kg Pazopanib) and combination group or TP+PZ (1 mg/kg Topotecan+150 mg/kg Pazopanib). To compare pulse Topotecan with LDM TP in KHOS osteosarcoma model, PZ is replaced by weekly oral dose of pulse Topotecan (SKF104864) or Pulse TP (15 mg/kg Topotecan (SKF104864)). The criteria for endpoint are tumor sizes exceeding 2.0 cm in diameter or animals showing signs of morbidity. The tumor sizes are measured on a daily basis until the endpoint or sacrifice. The long (D) and short diameters (d) are measured with calipers. Tumor volume ($cm^3$) is calculated as $V=0.5\times D\times d^2$. When the endpoint is reached or at the end of the treatment, the animals are sacrificed by cervical dislocation.<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## CUSTOMER VALIDATION

- Nat Commun. 2019 Aug 21;10(1):3761.
- J Extracell Vesicles. 2022 Apr;11(4):e12206.
- J Exp Clin Cancer Res. 2018 Dec 20;37(1):321.
- Cancer Res. 2023 Nov 21:OF1-OF15.
- Cancer Immunol Res. 2023 Mar 15;CIR-22-0483.

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## REFERENCES

[1]. Zhang FL, et al. PLoS One. 2013 Nov 26;8(11):e81815.Topoisomerase I inhibitors, Shikonin and Topotecan, inhibit growth and induce apoptosis of glioma cells andglioma stem cells.

[2]. Kumar S, et al. Metronomic oral topotecan with pazopanib is an active antiangiogenic regimen in mouse models of aggressive pediatric solid tumor. Clin Cancer Res. 2011 Sep 1;17(17):5656-67.

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

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