# TAS-103 dihydrochloride

**Cat. No.:** HY-13758A

**CAS No.:** 174634-09-4

**Molecular Formula:** $C_{20}H_{21}Cl_2N_3O_2$

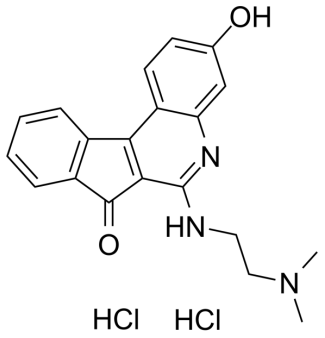
**Molecular Weight:** 406.31

**Target:** Topoisomerase

**Pathway:** Cell Cycle/DNA Damage

**Storage:** 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)




## SOLVENT & SOLUBILITY

**In Vitro**

$H_2O$ : 5 mg/mL (12.31 mM; ultrasonic and warming and heat to 60°C)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.4612 mL | 12.3059 mL | 24.6117 mL |
| | 5 mM | 0.4922 mL | 2.4612 mL | 4.9223 mL |
| | 10 mM | 0.2461 mL | 1.2306 mL | 2.4612 mL |

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

**In Vivo**

1. Add each solvent one by one: PBS
   Solubility: 3.57 mg/mL (8.79 mM); Clear solution; Need ultrasonic and warming and heat to 60°C

## BIOLOGICAL ACTIVITY

**Description**

TAS-103 dihydrochloride is a dual inhibitor of DNA topoisomerase I/II, used for cancer research.

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

Topoisomerase I | Topoisomerase II

**In Vitro**

TAS-103 is a dual inhibitor of DNA topoisomerase I/II. TAS-103 (0.1-10 μM) is active on CCRF-CEM cells, with an $IC_{50}$ value of 5 nM. TAS-103 (0.1 μM) significantly increases levels of topo IIα FITC immunofluorescence in individual CCRF-CEM cells[1]. TAS-103 (0.01-1 μM) is highly cytotoxic to Lewis lung carcinoma (LLC) cells, and Liposomal TAS-103 is almost as active as free TAS-103[2]. TAS-103 inhibits the viability of HeLa cells, with an $IC_{50}$ of 40 nM. TAS-103 (10 μM) disrupts signal recognition particle (SRP) complex formation, and induces destabilization of SRP14 and SRP19 and its eventual degradation[3].

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

**In Vivo**

TAS-103 (30 mg/kg, i.v.) causes significant tumor growth suppression in mice bearing Lewis lung carcinoma (LLC) cells, without obvious body weight loss, and the liposomal TAS-103 is more active than free TAS-103[2].

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

## PROTOCOL

| | |
|---|---|
| **Cell Assay** [1] | CCRF-CEM human acute lymphoblastic leukaemia cells are grown in RPMI-1640 supplemented with 3 mM l-glutamine, 10% foetal bovine serum, 50 U/mL of penicillin, and 40 μg/mL of streptomycin at 37°C in a humidified atmosphere containing 5% $CO_2$. TAS-103, CPT and DACA are dissolved in DMSO. Exponentially growing cells (-5 × $10^5$) are exposed to either of the drugs for 2 hrs. Following drug exposure, cells are washed twice by centrifugation (400 × g, 3 min) in cold phosphate-buffered saline[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **Animal Administration** [2] | Lewislung carcinoma (LLC) cells are diluted with DMEM to obtain 5×$10^6$ cells/mL suspension, and 0.2 mL of the suspension is carefully injected subcutaneously into five-week-old C57BL/6 male mice. Liposomal TAS-103 (0.2 mL/mouse, 30 mg/kg as TAS-103), free TAS-103 or PBS is injected intravenously into a tail vein of the tumor-bearing mice on days 4, 8, and 12 after tumor implantation. Tumor volume of each mouse and the body weight change as an indicator of side effect are monitored daily thereafter. Tumor volume is calculated[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## CUSTOMER VALIDATION

- J Mol Med (Berl). 2019 Aug;97(8):1183-1193.

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## REFERENCES

[1]. Padget K, et al. An investigation into the formation of N- [2-(dimethylamino)ethyl]acridine-4-carboxamide (DACA) and 6-[2-(dimethylamino)ethylamino]- 3-hydroxy-7H-indeno[2, 1-C]quinolin-7-one dihydrochloride (TAS-103) stabilised DNA topoisomerase I and II

[2]. Shimizu K, et al. Cancer chemotherapy by liposomal 6-[12-(dimethylamino)ethyl]aminol-3-hydroxy-7H-indeno[2,1-clquinolin-7-one dihydrochloride (TAS-103), a novel anti-cancer agent. Biol Pharm Bull. 2002 Oct;25(10):1385-7.

[3]. Yoshida M, et al. A new mechanism of 6-((2-(dimethylamino)ethyl)amino)-3-hydroxy-7H-indeno(2,1-c)quinolin-7-one dihydrochloride (TAS-103) action discovered by target screening with drug-immobilized affinity beads. Mol Pharmacol. 2008 Mar;73(3):987-94. Epu



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