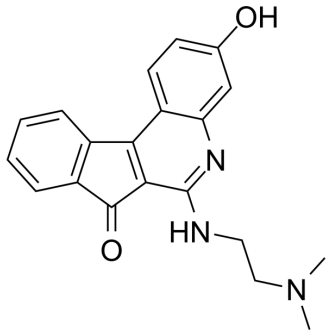
TAS-103

Cat. No.:	HY-13758
CAS No.:	174634-08-3
Molecular Formula:	$C_{20}H_{19}N_3O_2$
Molecular Weight:	333.38
Target:	Topoisomerase
Pathway:	Cell Cycle/DNA Damage
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	TAS-103 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]. 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]. 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 cleavable complexes in human leukaemia cells. Biochem Pharmacol. 2000 Sep 15;60(6):817-21.

[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. Epub 2007 Dec 18.

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