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产品名称: **TAS-103 (dihydrochloride)**
产品别名: **BMS-247615 dihydrochloride**

生物活性:						
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] .				
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] .				
Solvent&Solubility		In Vitro:				
		H ₂ O : 50 mg/mL (123.06 mM; Need ultrasonic)				
		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			
*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液。一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。						
储备液的保存方式和期限 -80℃, 6 months; -20℃, 1 month。 -80℃ 储存时，请在 6 个月内使用， -20℃ 储存时，请在 1 个月内使用。						
References		[1]. Padgett 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.				
实验参考:						
		CCRF-CEM human acute lymphoblastic leukaemia cells are grown in RPMI-1640 supplemented				



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Cell Assay	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] .
Animal Administration	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] .
References	[1]. Padgett 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. <i>Biochem Pharmacol.</i> 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. <i>Biol Pharm Bull.</i> 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. <i>Mol Pharmacol.</i> 2008 Mar;73(3):987-94. Epub 2007 Dec 18.

源叶生物