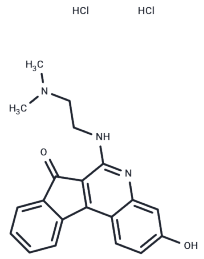
Data Sheet (Cat.No.T7309)

TargetMol

TAS-103 dihydrochloride

Chemical Properties



CAS No. : 174634-09-4

Formula: C20H21Cl2N3O2

Molecular Weight: 406.31

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	TAS-103 dihydrochloride (BMS-247615 dihydrochloride) is a novel anticancer agent targeting both topoisomerase (Topo) I and Topo II.
Targets(IC50)	Topoisomerase
In vitro	The in vitro antitumor effects of TAS-103 were compared with those of other known Topo I and Topo II inhibitors. TAS-103 inhibited DNA synthesis more strongly than RNA and protein synthesis, and induced an increase of cell population in the S-G2/M phase. The cytotoxicity of TAS-103 was strongest against S-phase cells, but its cell cycle phase specificity was not clear, and depended on drug concentration and exposure time. The cytotoxicity of TAS-103 (IC50: 0.0030-0.23 microM) against various tumor cell lines was much stronger than that of VP-16 and comparable to that of SN-38. The cytotoxicity of TAS-103 seemed to be more related to the amount of protein-DNA complexes than to the accumulation of TAS-103 in the cells. P-Glycoprotein (P-gp)-mediated MDR, CDDP-resistant and 5-FU-resistant cell lines did not show cross-resistance to TAS-103. Although PC-7/CPT cells bearing a Topo I gene mutation showed cross-resistance to TAS-103, the sensitivity of P388/CPT, HT-29/CPT and St-4/CPT cells, showing decreased Topo I expression, was not changed. KB/VM4 and HT-29/Etp cells, showing decreased Topo II expression, were slightly cross-resistant to TAS-103. These results suggest that TAS-103 may act as an inhibitor of both Topo I and Topo II at the cellular level[1].

Solubility Information

Solubility	H2O: 50 mg/mL (123.06 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0492 mL	0.2461 mL	0.4922 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Aoyagi Y , Kobunai T , Utsugi T , et al. In vitro Antitumor Activity of TAS-103, a Novel Quinoline Derivative That Targets Topoisomerases I and II[J]. Japanese journal of cancer research: Gann, 1999, 90(5):578-587.
Ishida K , Asao T . Self-association and unique DNA binding properties of the anti-cancer agent TAS-103, a dual inhibitor of topoisomerases I and II[J]. Biochimica et Biophysica Acta, 2002, 1587(2-3):155-163.