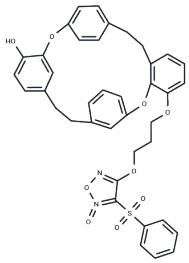
Data Sheet (Cat.No.T206140)

TargetMol

Lysosomal P-gp targeted agent 1

Chemical Properties



CAS No. : 3043797-88-9

Formula: C39H34N2O9S

Molecular Weight: 706.76

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	Lysosomal P-gp targeted agent 1 (Compound 14) is an antitumor drug that targets lysosomal P-glycoprotein (Pgp). It is selectively transported to lysosomes via overexpressed Pgp, releasing nitric oxide that generates reactive oxygen species (ROS), causing lysosomal membrane permeabilization (LMP) and inducing apoptosis. This compound can overcome resistance mediated by P-glycoprotein, leading to cell cycle arrest while maintaining relatively low toxicity to normal cells. It exhibits antitumor activity by significantly inhibiting tumor growth.
Targets(IC50)	Apoptosis,Bcl-2 Family,Reactive Oxygen Species,Caspase,P-gp
In vitro	Lysosomal P-gp targeted agent 1 exhibits potent anti-multidrug resistance (MDR) activity against MCF-7/ADR and A549/Taxol cells over 48 hours. It shows significant antitumor activity against MCF-7/ADR cells with an IC50 of 0.024 μM and PC-3 cells at 3.36 μM. The agent inhibits and is cytotoxic to resistant A549/Taxol cells (IC50 = 1.43 μM) and exhibits toxicity to HepG2 cells (IC50 = 6.57 μM). It displays weak inhibitory activity against MCF-7 (IC50 = 21.20 μM) and low antitumor activity against A549 cells (IC50 = 23.75 μM), while showing minimal cytotoxicity to MCF10A (IC50 = 14.32 μM) and BEAS-2B cells (IC50 = 14.80 μM). At 100 μM, the agent increases nitric oxide levels in MCF-7/ADR cells compared to MCF-7 cells, correlating with its antitumor activity. It is selectively transported into lysosomes by overexpressed P-gp and releases nitric oxide in a time-dependent manner when used at 100 nM in MCF-7/ADR and 5 μM in A549/Taxol over 1 to 3 hours. As a Pgp substrate, it does not alter Pgp expression across various concentrations (25 nM, 50 nM, 100 nM in MCF-7 and MCF-7/ADR, and 1 μM, 2 μM, 4 μM in A549, A549/Taxol for 24 hours). This agent upregulates the pro-apoptotic protein Bax and downregulates anti-apoptotic protein Bcl-2, inducing PARP1 cleavage and caspase-3 expression, thereby inducing apoptosis in MCF-7/ADR cells at 25-50 nM concentrations. It increases the total number of apoptotic cells from 8.6% to 65.9% in a dose-dependent manner over 24 hours at concentrations of 10-50 nM. Long-term efficacy is noted in MCF-7/ADR cells, where colony growth is reduced at 40 and 50 nM concentrations over 12 days. Furthermore, the agent interferes with DNA formation and causes cell cycle arrest at 20-40 nM over 24 hours.
In vivo	Lysosomal P-gp targeted agent 1, administered at 1.25-5 mg/kg via intraperitoneal injection every four days for a total of 21 days, exhibits antitumor activity. It significantly reduces tumor volume and induces tumor cell death, apoptosis, or dormancy, with no detectable histological abnormalities or systemic toxicity.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.4149 mL	7.0745 mL	14.1491 mL
5 mM	0.283 mL	1.4149 mL	2.8298 mL
10 mM	0.1415 mL	0.7075 mL	1.4149 mL
50 mM	0.0283 mL	0.1415 mL	0.283 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.