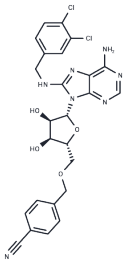
Data Sheet (Cat.No.T7010)

TargetMol

VER-155008

Chemical Properties



CAS No. : 1134156-31-2

Formula: C25H23Cl2N7O4

Molecular Weight: 556.4

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	VER-155008 is a potent Hsp70 family inhibitor with IC50 of 0.5 μM, 2.6 μM, and 2.6 μM in cell-free assays for HSP70, HSC70, and GRP78, respectively, >100-fold selectivity over HSP90.
Targets(IC50)	HSP,Autophagy,GPCR
In vitro	VER-155008 inhibits the proliferation of human breast and colon cancer cell lines BT474, MB-468, HCT116, and HT29 with GI50s in the range 5.3-14.4 μM, and induces Hsp90 client protein degradation in both HCT116 and BT474 cells.[1] In the 8505C and FRO cells, VER-155008 reduces the cell viability and elevates the percentage of dead cells in a time- and dose- dependent manner.[2] VER-155008 causes a dose-dependent inhibition of cytokine-dependent AML cell proliferation.[3] VER-155008?shows an effective inhibition of cell proliferation in A549 and H1975 cells. [4]
In vivo	In HCT116 tumor bearing mice, VER-155008 (25 or 40 mg/kg, i.v.) demonstrates rapid metabolism and clearance, along with tumor levels below the predicted pharmacologically active level.[1]
Kinase Assay	Hsc70, Hsp70 and Grp78 ?uorescence polarisation (FP) assay: The FP assay for Hsp70 is conducted in aqueous buffer consisting of 100 mM Tris pH 7.4, 150 mM KCl and 5 mM CaCl2, in a final assay volume of 100 μl, using 96 well black polystyrene high bind plates with a Fusion plate reader. N6-(6-amino)hexyl-ATP-5-FAM and the in-house protein preparation of GST-HSP70 3-382 have final concentrations in the assay of 20 nM and 400 nM, respectively. Compounds are tested as 10-point IC50s, with a final DMSO concentration of 5%. Assay mixtures are incubated for 3 h prior to reading on the Fusion (ex 485 nm; em 535 nm). The data is fitted using a 4 parameter logistical data model by XLFit 4. The FP assay for Hsc70 and Grp78 is carried out as described for Hsp70 using the same N6 -(6-amino)hexyl-ATP-5-FAM as the FP probe with the following modi?cations. For Hsc70, the protein and probe concentrations are 0.3 μM and 20 nM, respectively with a 30 min incubation at 22°C while for Grp78, the protein and probe concentrations are 2 μM and 10 nM, respectively with a 2 h incubation at 22°C. The KD for the FAM-ATP probe was 0.24 μM for Hsc70 and 2 μM for Grp78.
Cell Research	All cell lines are grown in DMEM/10% FCS with GlutaMAX-I in a humidified atmosphere of 5% CO2 in air. Cell proliferation is determined using the sulforhodamine B (SRB) assay.(Only for Reference)

Solubility Information

Solubility	H2O: <1 mg/mL (Insoluble) DMSO: 55.6 mg/mL (99.93 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7973 mL	8.9863 mL	17.9727 mL
5 mM	0.3595 mL	1.7973 mL	3.5945 mL
10 mM	0.1797 mL	0.8986 mL	1.7973 mL
50 mM	0.0359 mL	0.1797 mL	0.3595 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.

Reference

Massey AJ, et al. Cancer Chemother Pharmacol. 2010, 66(3), 535-545.
Du Y, Chen Z, Yan P, et al. Arginine-Arginine-Leucine Peptide Targeting Heat Shock Protein 70 for Cancer Imaging. Molecular Pharmaceutics. 2021
Wang J, Zhang J, Guo Z, et al.Targeting HSP70 chaperones by rhein sensitizes liver cancer to artemisinin derivatives.Phytomedicine.2023: 155156.
Kim SH, et al. Biochem Biophys Res Commun. 2014, 454(1), 36-41.
Reikvam H, et al. Expert Opin Incestiq Drugs. 2013, 22(5), 551-563.
Yang X, Zhao X, Zhao Z, et al.Genome-wide analysis reveals transcriptional and translational changes during diapause of the Asian corn borer (Ostrinia furnacalis).BMC biology.2024, 22(1): 1-23.
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