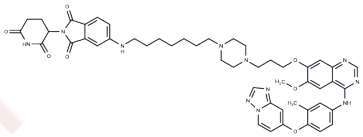
Data Sheet (Cat.No.T211553)

TargetMol

PROTAC HER2 degrader-1

Chemical Properties



CAS No. : 2897640-93-4

Formula: C49H55N11O7

Molecular Weight: 910.03

Storage: Keep away from direct sunlight
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	PROTACHER2 degrader-1 is a highly selective HER2 PROTAC degrader with a DC50 of 69 nM and a Dmax of 96%. It effectively inhibits HER2-positive cell proliferation and tumor growth by inducing sustained HER2 degradation and strongly inhibiting downstream pathways (AKT and ERK). Additionally, PROTACHER2 degrader-1 can induce apoptosis in BT-474 cells and is useful for researching HER2-positive cancers.
Targets(IC50)	Apoptosis,ERK,EGFR,Akt,PROTACs
In vitro	PROTAC HER2 degrader-1 (Compound CH7C4) effectively degrades HER2 in BT-474 cells over a 24-hour period at concentrations between 0.1 to 3 μM, and demonstrates superiority over EGFR degradation in A431 cells. At concentrations up to 10 μM over 72 hours, it exhibits no inhibitory effect on the proliferation of A431 cells. The compound inhibits proliferation in HER2-driven breast cancer cell lines BT-474 and SK-BR-3, as well as in the gastric cancer cell line NCI-N87, with IC50 values of 0.047 nM, 0.098 nM, and 0.137 nM, respectively. In BT-474 cells, PROTAC HER2 degrader-1 (0-100 nM) induces apoptosis and inhibits the G1 phase of the cell cycle. It also induces HER2 degradation in a concentration-dependent manner in BT-474 (DC50 = 69 nM, Dmax = 96%) and NCI-N87 cells (DC50 = 55 nM, Dmax = 94%). Furthermore, at 200 nM over a 0-24 hour duration, the compound facilitates HER2 degradation in BT-474 and NCI-N87 cells, while inhibiting AKT and ERK phosphorylation. Finally, at 200 nM over 24 hours, it promotes ubiquitin-mediated HER2 degradation in BT-474 cells via the ubiquitin proteasome system (UPS).
In vivo	PROTAC HER2 degrader-1 (Compound CH7C4) administered intravenously at doses of 5 mg/kg and 10 mg/kg once daily for 21 days effectively inhibits tumor growth in BALB/c nude mice bearing BT-474 xenograft tumors.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.0989 mL	5.4943 mL	10.9886 mL
5 mM	0.2198 mL	1.0989 mL	2.1977 mL
10 mM	0.1099 mL	0.5494 mL	1.0989 mL
50 mM	0.022 mL	0.1099 mL	0.2198 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.

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481