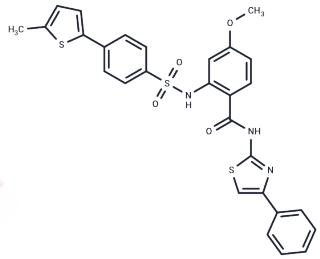
Data Sheet (Cat.No.T86816)

TargetMol

LLK203

Chemical Properties



CAS No. : 2758090-62-7

Formula: C28H23N3O4S3

Molecular Weight: 561.69

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	LLK203 is a potent dual-target inhibitor of USP2/USP8 with IC50 values of 0.89 μM and 0.52 μM, respectively. It promotes ERα degradation and induces apoptosis in breast cancer MCF-7 cells, demonstrating antitumor activity in the 4T1 tumor mice model [1].
Targets(IC50)	Apoptosis,DUB
In vitro	LLK203 exhibits high inhibitory activity on MCF-7 cells (IC50 = 3.4 μM) within the 0-100 μM range over 36 hours, with greater specificity compared to ML364 (IC50 = 9.3 μM). It enhances activity towards USP2 by fourfold and USP8 by ninefold relative to ML364 [1]. At concentrations of 10-50 μM for 24 hours, LLK203 increases the proportion of apoptotic MCF-7 cells, maintaining most cells in the G1 phase [1]. LLK203, at 2-50 μM over 24 hours, facilitates dose-dependent degradation of proteins such as MDM2, Cyclin D1, Her2, and ERα [1]. At a concentration of 10 μM sustained over 7 days, it exhibits strong inhibition of colony formation [1]. In cell cytotoxicity assays, LLK203 demonstrates lower cytotoxicity towards MCF10A cells (IC50 = 20.4 μM) while showing higher inhibitory activity against BC cells (MCF-7; IC50 = 3.4 μM), increases the ratio of apoptotic cells, largely maintains MCF-7 cells in the G1 phase, and degrades various proteins in a dose-dependent manner through Western blot analysis [1].
In vivo	LLK203 administered intraperitoneally at a dose of 20 mg/kg daily for 23 consecutive days, significantly inhibited tumor growth in a 4T1 cell-bearing BALB/c mouse model [1]. Additionally, the pharmacokinetic parameters of LLK203 were assessed in male Sprague-Dawley rats, revealing the following: when administered intravenously (5 mg/kg), the Tmax (h) was 6, Cmax (ng/mL) was 36630, AUC0-t (h*ng/mL) was 60824, T1/2 (h) was 20, and CL (mL/h/kg) was 58, with an F (%) of 2.2%. When administered orally (50 mg/kg), the values were Tmax (h) 6, Cmax (ng/mL) 1572, AUC0-t (h*ng/mL) 19144, and T1/2 (h) 6.14 [1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7803 mL	8.9017 mL	17.8034 mL
5 mM	0.3561 mL	1.7803 mL	3.5607 mL
10 mM	0.178 mL	0.8902 mL	1.7803 mL
50 mM	0.0356 mL	0.178 mL	0.3561 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.