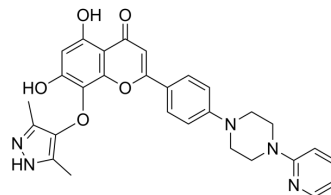


DC-U4106

Cat. No.:	HY-150505
CAS No.:	2410534-62-0
Molecular Formula:	C ₂₉ H ₂₇ N ₅ O ₅
Molecular Weight:	525.56
Target:	Deubiquitinase; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Apoptosis
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

THF : 10 mg/mL (19.03 mM; Need ultrasonic)

	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	1.9027 mL	9.5137 mL	19.0273 mL
	5 mM	0.3805 mL	1.9027 mL	3.8055 mL
	10 mM	0.1903 mL	0.9514 mL	1.9027 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

DC-U4106 is a USP8 targeting inhibitor with the K_d value of 4.7 μM and the IC₅₀ value of 1.2 μM. DC-U4106 can target the ubiquitin pathway and facilitate the degradation of ERα. DC-U4106 inhibits tumor growth with minimal toxicity and has the potential for the research of breast cancer^[1].

IC₅₀ & Target

K_d: 4.7 μM (USP8), IC₅₀: 1.2 μM (USP8)^[1].

In Vitro

DC-U4106 (1.2-45.2 μM) inhibits USP8 and USP2 with the IC₅₀ values of 1.2 μM and 58.4 μM, respectively, and no activity in USP7^[1].

DC-U4106 (0-7 μM, 24 hours) reduces mRNA levels of ERα and PR^[1].

DC-U4106 (0-5 μM, 24 hours) can regulate the RTK pathway related proteins and the expression of ERα and PR proteins^[1].

DC-U4106 (0-5 μM, 12 hours) can induce apoptosis and inhibit cell proliferation^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis^[1]

Cell Line:	USP8-positive cell line MCF-7
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Concentration:	0-5 μ M
Incubation Time:	24 hours
Result:	Reduced the expression of EGFR, ErbB2, and ErbB3 proteins with increasing concentrations and caused degradation of ER α and PR proteins.

RT-PCR^[1]

Cell Line:	USP8-positive cell line MCF-7
Concentration:	0-7 μ M
Incubation Time:	24 hours
Result:	Reduced mRNA levels of ER α and PR.

Cell Proliferation Assay^[1]

Cell Line:	USP8-positive cell line MCF-7
Concentration:	0-5 μ M
Incubation Time:	
Result:	Inhibited cell growth in a dose-dependent manner.

Apoptosis Analysis^[1]

Cell Line:	USP8-positive cell line MCF-7
Concentration:	0-5 μ M
Incubation Time:	12 hours
Result:	Resulted in increasing in the proportion of apoptotic cells with increasing concentrations.

In Vivo

DC-U4106 (intraperitoneal injection, 5 mg/kg or 20 mg/kg, every 2 days, 14 days) inhibits the proliferation of tumors and no significantly effects on body weight, organ morphology and structure in BALB/c nude mice^[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	BALB/c nude mice ^[1]
Dosage:	5 mg/kg , 20 mg/kg
Administration:	Intraperitoneal injection, Every 2 days, 14 days
Result:	Inhibited tumor growth significantly at a concentration of 20 mg/kg.

REFERENCES

[1]. Yucheng Tian, et al. Discovery of Potent Small-Molecule USP8 Inhibitors for the Treatment of Breast Cancer through Regulating ER α Expression. J Med Chem. 2022 Jul 5.

Caution: Product has not been fully validated for medical applications. For research use only.

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