DKM 2-93

Cat. No.: HY-101836 CAS No.: 65836-72-8 Molecular Formula: C₁₁H₁₄ClNO₃ Molecular Weight: 243.69

Target: E1/E2/E3 Enzyme

Pathway: Metabolic Enzyme/Protease

Storage: Powder -20°C 3 years

> $4^{\circ}C$ 2 years

In solvent -80°C 2 years

> -20°C 1 year

Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

DMSO: 125 mg/mL (512.95 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	4.1036 mL	20.5179 mL	41.0357 mL
	5 mM	0.8207 mL	4.1036 mL	8.2071 mL
	10 mM	0.4104 mL	2.0518 mL	4.1036 mL

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

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (8.54 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (8.54 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (8.54 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	DKM 2-93 is a relatively selective inhibitor of UBA5 with an IC $_{50}$ of 430 μ M.		
IC ₅₀ & Target	IC50: 430 μM (UBA5), 90 μM (PaCa2 cells), 30 μM (Panc1 cells) ^[1]		
In Vitro	Ubiquitin-like modifier activating enzyme 5 (UBA5) is a novel pancreatic cancer therapeutic target. DKM 2-93 impairs pancreatic cancer cell survival through covalently modifying the catalytic cysteine of UBA5, thereby inhibiting its activity as a protein that activates the ubiquitin-like protein UFM1 to UFMylate proteins. DKM 2-93 inhibits PaCa2 and Panc1 cells		

	survival with IC $_{50}$ s of 90 and 30 μ M, respectively $^{[1]}$. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	DKM 2-93 daily treatment significantly impairs tumor growth of PaCa2 cells in vivo in tumor xenograft studies in immune-deficient mice without causing any weight loss or overt toxicity ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]

 $Pa Ca2 \ and \ Panc1 \ cells \ are \ treated \ with \ 0-1000 \ \mu M \ DKM \ 2-93 \ for \ 48 \ hours. \ Cell \ viability \ is \ assessed \ by \ Hoescht \ stain^{[1]}.$

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

Animal
Administration [1]

Mice: Mice are subcutaneously injected with PaCa2 cells to initiate the tumor xenograft study and treatments of mice are initiated with vehicle or DKM 2-93 (50 mg/kg ip, once per day) three days after injection of cancer cells $^{[1]}$.

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

CUSTOMER VALIDATION

- Cell Rep. 2019 Apr 16;27(3):971-986.e9.
- Cell Rep. 2019 Apr 16;27(3):971-986.e9.

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REFERENCES

[1]. Roberts AM, et al. Chemoproteomic Screening of Covalent Ligands Reveals UBA5 As a Novel Pancreatic Cancer Target. ACS Chem Biol. 2017 Apr 21;12(4):899-904.

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

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