

Product Data Sheet

Product Name: DKM 2-93

Cat. No.: GC32814

Chemical Properties

Cas. No. 65836-72-8

SMILES O=C(NCC1=CC=C(OC)C(OC)=C1)CCl

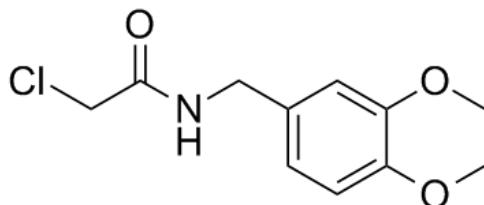
Formula $C_{11}H_{14}ClNO_3$ M.Wt 243.69

Solubility DMSO : ≥ 150 mg/mL (615.54 mM) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

Cell experiment: PaCa2 and Panc1 cells are treated with 0-1000 μM DKM 2-93 for 48 hours. Cell viability is assessed by Hoescht stain[1].

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

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Animal experiment: 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].

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.

Background

DKM 2-93 is a relatively selective inhibitor of UBA5 with an IC₅₀ of 430 μ M.

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 IC₅₀s of 90 and 30 μ M, respectively[1].

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].

[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.

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