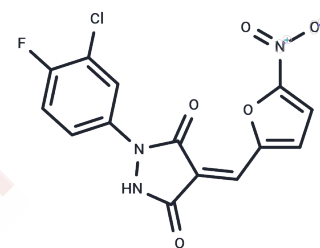


PYZD-4409

Chemical Properties

CAS No. : 423148-78-1
 Formula: C₁₄H₇ClFN₃O₅
 Molecular Weight: 351.67
 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 | PYZD-4409 is a selective UBA1 inhibitor with an IC ₅₀ of 20 μM. PYZD-4409 induces cell death in malignant cells and is preferentially cytotoxic to malignant cells over normal hematopoietic cells. |
| Targets(IC ₅₀) | E1/E2/E3 Enzyme |
| In vitro | PYZD-4409 is preferentially cytotoxic to malignant cells over normal hematopoietic cells. PYZD-4409 increases levels of phospho-JNK and phospho-p38 mitogen-activated protein kinase, which have also been linked to ER stress and the unfolded protein response. PYZD-4409 (50 μM; 4 hours; K562 leukemia cells) treatment blocks the E1-dependent conjugation of ubiquitin to the E2 enzyme cdc34. PYZD-4409 (0-25 μM; 24 hours; K562 leukemia cells) significantly increases both mRNA and protein levels of Grp78 and Hsp70. PYZD-4409 (10-40 μM; 72 hours; myeloma, leukemia, and solid tumor cell lines, primary AML cells and normal hematopoietic cells) induces cell death with an LD ₅₀ less than 10 μM in 5 of 8 leukemia and myeloma cell lines. Solid tumor cell lines were less sensitive with an LD ₅₀ of approximately 15 to 20 μM [1]. |
| In vivo | PYZD-4409 (10 mg/kg; intraperitoneal injection; administered every other day for 16 days; male severe combined immunodeficient mice) reduces tumor weight and volume [1]. |

Solubility Information

| | |
|---------------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Solubility | DMSO: 6 mg/mL (17.06 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble) |
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (2.84 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i> |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|-------|-----------|------------|------------|
| 1 mM | 2.8436 mL | 14.2179 mL | 28.4357 mL |
| 5 mM | 0.5687 mL | 2.8436 mL | 5.6871 mL |
| 10 mM | 0.2844 mL | 1.4218 mL | 2.8436 mL |
| 50 mM | 0.0569 mL | 0.2844 mL | 0.5687 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.

Reference

Xu GW, et al. The ubiquitin-activating enzyme E1 as a therapeutic target for the treatment of leukemia and multiple myeloma. *Blood*. 2010 Mar 18;115(11):2251-9.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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