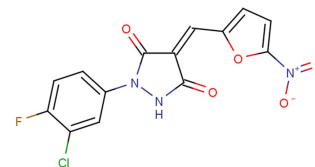


PYZD-4409

Chemical Properties

CAS No.:	423148-78-1
Formula:	C ₁₄ H ₇ ClFN ₃ O ₅
Molecular Weight:	351.67
Appearance:	N/A
Storage:	0-4°C for short term (days to weeks), or -20°C for long term (months).



Biological Description

Description	PYZD-4409 causes cell death in malignant cells and preferentially inhibits the clonogenic growth of primary acute myeloid leukemia cells. PYZD-4409 is a specific inhibitor of the ubiquitin-activating enzyme UBA1 (IC ₅₀ : 20 μM (cell-free enzymatic assay)).
Targets(IC ₅₀)	ubiquitin-activating enzyme UBA1: 20 μM
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; daily on alternate days; for 16 days; male severe combined immunodeficient mice) reduces tumor weight and volume[1].

Solubility Information

Solubility	DMSO: 35 mg/mL (99.53 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)
------------	---

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.844 mL	14.218 mL	28.436 mL
5 mM	0.569 mL	2.844 mL	5.687 mL
10 mM	0.284 mL	1.422 mL	2.844 mL
50 mM	0.057 mL	0.284 mL	0.569 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

1. 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.

[Inhibitors](#) · [Natural Compounds](#) · [Compound Libraries](#)

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use.

Tel:781-999-4286

E-mail:info@targetmol.com

Address:36 Washington Street,Wellesley Hills,MA 02481