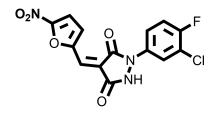


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## Ubiquitin-activating Enzyme (E1) Inhibitor PYZD-4409

Chemical Name: 1-(3-Chloro-4-fluorophenyl)-4-[(5-nitro-2-furanyl)methylene]-3,5-pyrazolidinedione



| Molecular Weight: | 351.67  |
|-------------------|---|
| Formula:          | C <sub>14</sub> H <sub>7</sub> ClFN <sub>3</sub> O <sub>5</sub> |
| Purity:           | ≥98%  |
| CAS#:             | 423148-78-1   |
| Solubility:       | DMSO up to 100 mM   |
| Storage           | Powder: 4 °C 1 year   |
|                   | DMSO: 4 °C 3 months   |
|                   | -20 °C 1 year   |

## **Biological Activity:**

PYZD-4409 is a novel potent, selective and cell permeable inhibitor of ubiquitin-activating enzyme (E1). It induces cell death in hematologic malignant cell lines and primary patient samples preferentially over normal hematopoietic cells. PYZD-4409 blocks degradation of the short-lived proteins p53 and cyclin D3. It inhibits NF-κB activation and induces cell death associated with ER stress. It also displays antitumor effects in a mouse model of leukemia by intraperitoneal administration of the drug.

## **How to Use:**

In vitro: PYZD-4409 was used at 10-30 μM final concentration in various in vitro assays.

**In vivo:** PYZD-4409 was dosed intraperitoneally to the mouse model of leukemia (MDAY-D2 murine leukemia cells) at 10 mg/kg once per day.

## Reference:

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

Products are for research use only. Not for human use.