

Product Data Sheet

Product Name: PYZD-4409

Cat. No.: GC17801

Chemical Properties

Cas. No. 423148-78-1

Chemical Name (4E)-1-(3-chloro-4-fluorophenyl)-4-[(5-nitrofuran-2-yl)methylidene]pyrazolidine-3,5-dione

SMILES C1=CC(=C(C=C1N2C(=O)C(=CC3=CC=C(O3)[N+](=O)[O-])C(=O)N2)Cl)F

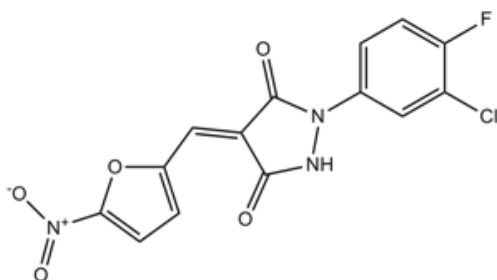
Formula C₁₄H₇ClFN₃O₅ M.Wt 351.67

Solubility Soluble in DMSO Storage Store at -20°C

General For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the tips 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



Background

PYZD-4409 is a novel small molecule inhibitor of the ubiquitin-activating enzyme E1 with IC₅₀ value of 20 μM [1].

The ubiquitin-activating enzyme E1 is involved in the first step of protein degradation. It uses ATP to adenylate and transfers the ubiquitin molecule to the next enzyme E2. It is demonstrated that the ubiquitination pathway is increased in the primary leukemia cells. Thus, inhibition of E1 can be a target of hematologic malignancies treatment. PYZD-4409 is screened out from a chemical library based on the pyrazolidine pharmacophore. The IC₅₀ value (20 μM) of it has been evaluated in a cell-free enzymatic assay. PYZD-4409 can also inhibit E1 in cultured K562 leukemia cells. PYZD-4409

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

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causes cell death in leukemia, myeloma and solid tumor cell lines. In clonogenic growth assays, PYZD-4409 is found to reduce the growth of AML cells over normal hematopoietic cells. As an essential role of protein degradation, the inhibition of E1 results in the increased amounts of cyclin D3 and p53 [1].

References:

[1] G. Wei Xu, Mohsin Ali, Tabitha E. Wood et al. The ubiquitin-activating enzyme E1 as a therapeutic target for the treatment of leukemia and multiple myeloma. *Blood*. 2010, 115(11): 2251-2259.

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