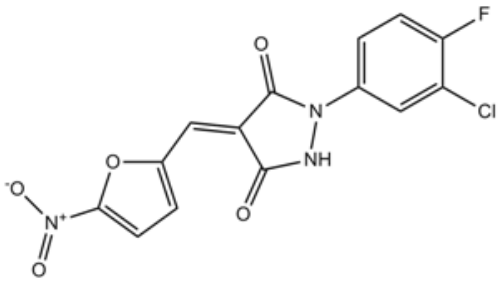
Product Data Sheet

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 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



Background

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

The ubiquitin-activating enzyme E1 is involved in the fist 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(20μM) of it has been evaluated in a cell-free enzymatic assay. PYZD-4409 can also inhibit E1 in cultured K562 leukemia cells. PYZD-4409

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 resluts 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.

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