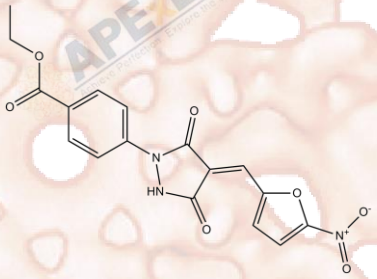
Product Data Sheet

PYR-41



Cat. No.: B1492
CAS No.: 418805-02-4
Formula: C17H13N3O7
M.Wt: 371.3
Synonyms:
Target: Ubiquitination/ Proteasome
Pathway: E1 Activating
Storage: Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾0.57 mg/mL in EtOH with ultrasonic; ⩾18.55 mg/mL in DMSO

Preparing Stock Solutions

Concentration \ Mass \ Solvent	1mg	5mg	10mg
1 mM	2.6932 mL	13.4662 mL	26.9324 mL
5 mM	0.5386 mL	2.6932 mL	5.3865 mL
10 mM	0.2693 mL	1.3466 mL	2.6932 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	inhibitor of Ubiquitin-Activating Enzyme (E1)
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	RPE cells, U2OS cells transfected with GFPu; RAW 264.7 cells
Preparation method:	The solubility of this compound in DMSO is >18.6mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	RPE cells: 50 μmol/L; 30 min; 37°CRAW 264.7 cells: 5, 10, and 20 μM

	Applications:	In RPE cells, PYR-41 markedly reduced Ub~E1 thioesters with IC50 between 10 and 25 μmol/L. PYR-41 also blocked accumulation of ubiquitin conjugates in response to the proteasome inhibitor ALLN. In U2OS cells transfected with GFPu, PYR-41 inhibited both ubiquitylation and proteasomal degradation of GFPu. In RAW 264.7 cells stimulated by LPS, PYR-41 (10 and 20 μM) restored the expression levels of IκB to 89% and 95% of those in the non LPS-stimulated RAW 264.7 cells, respectively. PYR-41 also reduced TNF-α levels.
In Vivo	**Animal experiment**	
	Animal models:	Male C57BL/6 mice with sepsis induced by cecal ligation and puncture (CLP)
	Dosage form:	5 mg/kg; intravenous injection immediately after CLP
	Applications:	In septic mice induced by CLP, PYR-41 significantly reduced serum levels of proinflammatory cytokines TNF-α, IL-1β, and IL-6 by 79%, 77%, and 89%, respectively. PYR-41 also reduced serum levels of organ injury markers AST, ALT, and LDH by 27%, 43%, and 52%, respectively. Treatment with PYR-41 improved the morphologic appearance of lung tissues and showed a 74% reduction in histology injury score.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Lee MJ, Miller Z, et al. "H727 cells are inherently resistant to the proteasome inhibitor carfilzomib, yet require proteasome activity for cell survival and growth." Sci Rep. 2019 Mar 11;9(1):4089.PMID:30858500

2. Susman MW, Karuna EP, et al. "Kinesin superfamily protein Kif26b links Wnt5a-Ror signaling to the control of cell and tissue behaviors in vertebrates." Elife. 2017 Sep 8;6. pii: e26509.PMID:28885975

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References

[1] Yang Y1 Kitagaki J, Dai RM, Tsai YC, Lorick KL, Ludwig RL, Pierre SA, Jensen JP, Davydov IV, Oberoi P, Li CC, Kenten JH, Beutler JA, Vousden KH, Weissman AM. Inhibitors of ubiquitin-activating enzyme (E1), a new class of potential cancer therapeutics. Cancer Res. 2007 Oct 1;67(19):9472-81.

[2]. Matsuo S1, Sharma A, Wang P, et al. PYR-41, A Ubiquitin-Activating Enzyme E1 Inhibitor, Attenuates Lung Injury in Sepsis. Shock. 2017 Jun 28.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

APExBIO Technology

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