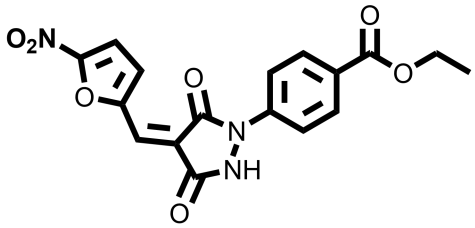
Xcess Biosciences Inc.
7144 N Harlem Ave #169
Chicago, IL 60631 USA

http://www.xcessbio.com
Toll free: 1-866-706-2330
Fax: 1-619- 810-0718
Email: info@xcessbio.com

Ubiquitin-activating Enzyme E1 Inhibitor – PYR-41

Chemical Name: (Z)-ethyl 4-(4-((5-nitrofuran-2-yl)methylene)-3,5-dioxopyrazolidin-1-yl)benzoate



Molecular Weight:	371.30
Formula:	$C_{17}H_{13}N_3O_7$
Purity:	≥98%
CAS#:	418805-02-4
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

PYR-41 is a specific and cell permeable inhibitor of ubiquitin-activating enzyme E1 with an IC_{50} of < 10 uM, with no or little activity against E2. It blocks ubiquitylation and prevents ubiquitin-mediated proteasomal degradation. It inhibits NF-κB activation, blocks degradation of p53, increases p21 levels and induces apoptosis in vitro. PYR-41 can also cause an increase in sumoylation of proteins.

How to Use:

In vitro: PYR-41 was used at 10-50 μM final concentration in various in vitro assays.

In vivo: n/a

Reference:

1. Yang Y, et al. Inhibitors of ubiquitin-activating enzyme (E1), a new class of potential cancer therapeutics. (2007) Cancer Res. 67(19):9472-81.
2. Kapuria V, et al. Protein cross-linking as a novel mechanism of action of a ubiquitin-activating enzyme inhibitor with anti-tumor activity. (2011) Biochem Pharmacol. 82(4):341-9.
3. Ungermannova D, et al. Identification and mechanistic studies of a novel ubiquitin E1 inhibitor. (2012) J Biomol Screen. 17(4):421-34.