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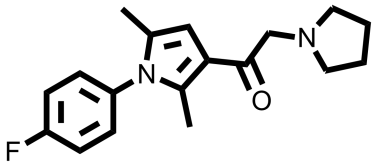
Proteasome USP14 Inhibitor – IU1

Chemical Name: 1-(1-(4-fluorophenyl)-2,5-dimethyl-1H-pyrrol-3-yl)-2-(pyrrolidin-1-yl)ethanone



Molecular Weight:	300.37
Formula:	$C_{18}H_{21}FN_2O$
Purity:	≥98%
CAS#:	314245-33-5
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

IU1 is a cell-permeable, reversible and selective inhibitor of human USP14 with an IC_{50} of 4.7 μM. It selectively stimulates ubiquitin-dependent protein degradation in vitro at 34 μM and in MEF cells at 50 μM. At 75 μM, IU1 reduces accumulation of oxidized proteins in HEK293 cells, alleviating cytotoxicity induced by oxidative stress. Usp14 inhibition accelerated the degradation of oxidized proteins and enhanced resistance to oxidative stress. Enhancement of proteasome activity through inhibition of Usp14 may offer a strategy to reduce the levels of aberrant proteins in cells under proteotoxic stress.

How to Use:

In vitro: IU1 was used at 10-75 μM final concentration in various in vitro assays.

In vivo: n/a

Reference:

1. Byung-Hoon L, et al. Enhancement of Proteasome Activity by a Small-Molecule Inhibitor of Usp14. (2010) Nature, 467(7312), 179-184.