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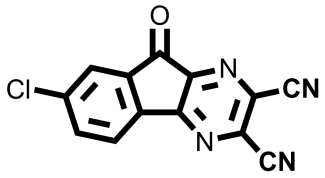
USP7 Inhibitor – HBX41108

Chemical Name: (3E,5E)-1-acryloyl-3,5-bis(4-nitrobenzylidene)piperidin-4-one



Molecular Weight:	266.64
Formula:	$C_{13}H_3ClN_4O$
Purity:	≥98%
CAS#:	924296-39-9
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

HBX41108 is a potent and selective ubiquitin-specific protease 7 (USP7) inhibitor with IC_{50} ~424 nM. Kinetics data indicate it is an uncompetitive reversible inhibition mechanism. It inhibits USP7-mediated p53 deubiquitination (IC_{50} ~0.8 μM). It can also stabilize p53 and activate the transcription of a p53 target gene without inducing genotoxic stress. HBX41108 inhibits cancer cell growth, and induces p53-dependent apoptosis in p53 wild type and null isogenic cancer cell lines.

How to Use:

In vitro: HBX41108 was used at 10 μM final concentration in various in vitro assays.

In vivo: n/a

Reference:

1. Colland F, et al. Small-molecule inhibitor of USP7/HAUSP ubiquitin protease stabilizes and activates p53 in cells. (2009) Mol Cancer Ther. 8(8):2286-95.
2. Colombo M, et al. Synthesis and biological evaluation of 9-oxo-9H-indeno[1,2-b]pyrazine-2,3-dicarbonitrile analogues as potential inhibitors of deubiquitinating enzymes. (2010) ChemMedChem. 5(4):552-8.