USP7/USP47 inhibitor

Cat. No.: HY-13487

CAS No.: 1247825-37-1

Molecular Formula: $C_{18}H_{11}Cl_2N_3O_3S_3$

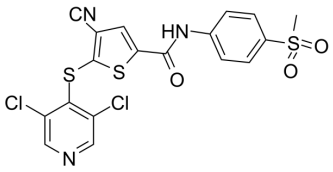
Molecular Weight: 484.4

Target: Deubiquitinase

Pathway: Cell Cycle/DNA Damage

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (103.22 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0644 mL	10.3220 mL	20.6441 mL
	5 mM	0.4129 mL	2.0644 mL	4.1288 mL
	10 mM	0.2064 mL	1.0322 mL	2.0644 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.16 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

USP7/USP47 inhibitor is a selective ubiquitin-specific protease 7/47 (USP7/USP47) inhibitor, with EC_{50}s of 0.42 μM and 1.0 μM, respectively.

IC_{50} & Target

EC50: 0.42 μM (USP7), 1.0 μM (USP47)[1]

In Vitro

USP7/USP47 inhibitor (compound 14) is a selective inhibitor of USP7/USP47 with EC_{50}s of 0.42 μM and 1 μM, respectively. USP7/USP47 inhibitor does not inhibit caspase 3, calpain 1, 20S proteasome, and a panel of representative USPs (USP2, USP5, USP8, USP21, and USP28; EC_{50} > 31.6 μM). USP7/USP47 inhibitor inhibits the growth of HCT-116 cells with an EC_{50} of 7.6 μM[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]

The cloning, expression and purification of USP21 from BL21 (DE3) bacteria are performed using standard molecular biology techniques. USP2, USP5, USP7, USP8, USP28, USP47, Ub-PLA2 (Ub-CHOP) and Ub-EKL (Ub-CHOP2) are generated. Caspase 3 and the caspase 3 substrate DEVD-Rh110 are used. Deubiquitylating enzyme, cathepsin B and 20S proteasome chymotrypsin like protease activities are measured. Caspase 3 activity is determined using a similar protocol. Briefly, dose ranges of compound (including USP7/USP47 inhibitor) are incubated with caspase 3 for 30 minutes before the addition of DEVD-Rh110 and reading on a fluorometric plate reader using excitation and emission maxima of 485 nm and 531 nm respectively. The final concentrations of caspase 3 and DEVD-Rh110 are 2 nM and 100 nM respectively[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Chem Biol. 2021 Apr 27;S2451-9456(21)00213-0.
- J Med Chem. 2022 Oct 11.
- Harvard Medical School LINCS LIBRARY

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REFERENCES

[1]. Weinstock J, et al. Selective Dual Inhibitors of the Cancer-Related Deubiquitylating Proteases USP7 and USP47. ACS Med Chem Lett. 2012 Sep 11;3(10):789-92.

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