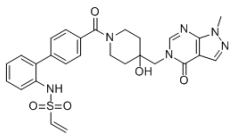
FT827

Cat. No.:	HY-111350
CAS No.:	1959537-86-0
Molecular Formula:	$C_{27}H_{28}N_6O_5S$
Molecular Weight:	548.61
Target:	Deubiquitinase
Pathway:	Cell Cycle/DNA Damage
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (**227.85 mM;** Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8228 mL	9.1139 mL	18.2279 mL
	5 mM	0.3646 mL	1.8228 mL	3.6456 mL
	10 mM	0.1823 mL	0.9114 mL	1.8228 mL

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

In Vivo

1. Add each solvent one by one: **10% DMSO >> 90% (20% SBE-β-CD in saline)**
   Solubility: ≥ 2.08 mg/mL (3.79 mM); Clear solution
2. Add each solvent one by one: **10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline**
   Solubility: ≥ 2.08 mg/mL (3.79 mM); Clear solution
3. Add each solvent one by one: **10% DMSO >> 90% corn oil**
   Solubility: ≥ 2.08 mg/mL (3.79 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

FT827 is a selective and covalent ubiquitin-specific protease 7 (**USP7**) inhibitor with an **IC_{50}** of 52 nM.

IC_{50} & Target

IC50: 52 nM (USP7)[1]

In Vitro

FT827 features a vinylsulfonamide moiety that covalently modifies the catalytic Cys223 of USP7 and inhibits the enzyme with K_i and K_d of 7.8 and 4.2 μM, respectively. FT827 exclusively inhibit USP7 in a panel of 38 deubiquitinases (DUBs) from diverse families. FT827 inhibits USP7 probe reactivity with IC_{50}s of 0.1-2 μM, confirming 10 to 100-fold

higher potency as compared to P22077 in crude cell extracts or with intact MCF7 breast cancer cells, followed by incubation with the ubiquitin active site suicide probe haemagglutinin (HA)-tagged ubiquitin bromoethyl (HA-UbC2Br)[1].

PROTOCOL

Kinase Assay [1]

To determine compound IC_{50}s, **FT827** is diluted in 100% DMSO in three-fold 12- point dilution series from 100 μM. 100 nL of 100-fold concentrated solutions are dispensed into black 384-well plate. 25 nM ubiquitin-rhodamine 110, along with recombinant USP7CD (3 nM), or USP7C-term (30-125 pM, depending on batch activity) are added and the plates incubated at room temperature for 1 h. The reaction is terminated by adding 2.5 μL citric acid to a final concentration of 10 mM prior to measuring fluorescence intensity on a Pherastar with a 485 nm excitation/520 nm emission optic module[1].

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

REFERENCES

[1]. Turnbull AP, et al. Molecular basis of USP7 inhibition by selective small-molecule inhibitors. Nature. 2017 Oct 26;550(7677):481-486.

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