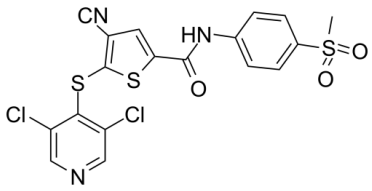
Data Sheet

Product Name:	USP7/USP47 inhibitor
Cat. No.:	CS-2181
CAS No.:	1247825-37-1
Molecular Formula:	C18H11Cl2N3O3S3
Molecular Weight:	484.40
Target:	Deubiquitinase
Pathway:	Cell Cycle/DNA Damage
Solubility:	DMSO : ≥ 44 mg/mL (90.83 mM)



BIOLOGICAL ACTIVITY:

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. IC50 & 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].

PROTOCOL (Extracted from published papers and Only for reference)

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].

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.

CAIndexNames:

2-Thiophenecarboxamide, 4-cyano-5-[(3,5-dichloro-4-pyridinyl)thio]-N-[4-(methylsulfonyl)phenyl]-

SMILES:

ClC1=CN=CC(Cl)=C1SC2=C(C#N)C=C(C(NC3=CC=C(S(C)(=O)=O)C=C3)=O)S2

Caution: Product has not been fully validated for medical applications. For research use only.

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