Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

P005091

Catalog No: tcsc1445

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
882257-11-6

Formula:
$C_{12}H_7Cl_2NO_3S_2$

Pathway:
Cell Cycle/DNA Damage

Target:
Deubiquitinase

Purity / Grade:
>98%

Solubility:
DMSO : 50 mg/mL (143.59 mM; Need ultrasonic); H2O :

Alternative Names:
P5091

Observed Molecular Weight:
348.22

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Product Description

P005091 is a selective and potent inhibitor of **ubiquitin-specific protease 7 (USP7)** with **EC_{50}** of 4.2 μM.

IC50 & Target: EC50: 4.2 μM (USP7)

In Vitro: P005091 is a trisubstituted thiophene with dichlorophenylthio, nitro, and acetyl substituents mediating anti-USP7 activity. P005091 exhibits potent, specific, and selective deubiquitylating activity against USP7. In contrast, P005091 does not inhibit other DUBs or other families of cysteine proteases tested (EC_{50} > 100 mM). P005091 inhibits the labeling of USP7 with HA-UbVME in a concentration-dependent manner. USP7-mediated cleavage of high molecular weight polyubiquitin chains is inhibited in a dose-dependent manner by P005091. Moreover, P005091 inhibits USP7- but not USP2- or USP8-mediated cleavage of poly K48-linked ubiquitin chains. USP7 inhibition by P005091 induces HDM2 polyubiquitylation and accelerates degradation of HDM2. P005091 inhibits USP7 deubiquitylating activity, without blocking proteasome activity in MM Cells. P005091 inhibits growth in MM cells and overcomes bortezomib-resistance. P005091 induces a dose-dependent decrease in viability of various MM cell lines, including those that are resistant to conventional therapies dexamethasone (Dex) (MM.1R), doxorubicin (Dox-40), or melphalan (LR5) (IC_{50} range 6-14 μM). P005091 overcomes bone marrow stromal cell-induced growth of MM Cells. P005091 decreases HDM2 and HDMX, as well as upregulated p53 and p21 levels. Overall, P005091-induced cytotoxicity is mediated in part via HDM2-p21 signaling axis and although p53 is upregulated in response to P005091 treatment, the cytotoxic activity of P005091 is not dependent on p53[1].

In Vivo: In animal tumor model studies, P005091 is well tolerated, inhibits tumor growth, and prolongs survival. Combining P005091 with lenalidomide, HDAC inhibitor SAHA, or dexamethasone triggers synergistic anti-MM activity[1].