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

FT671

Catalog No: tcsc0031102

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1959551-26-8

Formula:
$C_{24}H_{23}F_4N_7O_3$

Pathway:
Cell Cycle/DNA Damage

Target:
Deubiquitinase

Purity / Grade:
>98%

Solubility:
DMSO

Observed Molecular Weight:
533.48

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Product Description

FT671 is a potent and selective **USP7** inhibitor with an **IC_{50}** of 52 nM and binds to the USP7 catalytic domain with a **K_d** of 65 nM.

IC50 & Target: IC50: 52 nM (USP7)[1]

Kd: 65 nM (USP7)[1]

In Vitro: FT671 binds to the USP7 catalytic domain (USP7CD; residues 208-560) with apparent dissociation constant (K_d) value of 65nM (s.e.m. range: 45–92). FT671 inhibits USP7 with half-maximal inhibitory concentration (IC_{50}) value of 52 (29-94) nM (USP7CD). Cell lines derived from colorectal carcinoma (HCT116) or bone osteosarcoma (U2OS) respond to USP7 knockdown with p53 stabilization and p21 induction, leading to growth arrest and apoptosis. Similarly, FT671 increases p53 protein levels in these cell lines, leading to induction of p53 target genes including BBC3 (which encodes PUMA), CDKN1A (p21), RPS27L (S27L) and MDM2. The increase in p53 correlates with increased MDM2 degradation, which is initially balanced by p53-induced MDM2 expression, but has an effect on MDM2 protein levels after prolonged compound treatment. FT671 leads to the degradation of N-Myc and upregulation of p53 in the neuroblastoma cell line IMR-32. FT671 also stabilizes p53 in the MM.1S multiple myeloma cell line, which correlates with increased MDM2 ubiquitination and leads to expression of p53 target genes. FT671 blocks the proliferation of MM.1S cells, with an IC_{50} value of 33 nM[1].

In Vivo: Treatment of mice with FT671 leads to a significant dose-dependent inhibition of tumour growth. FT671 is well-tolerated even at high doses, and no significant weight loss or cachexia is observed during the study[1].