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

CX-5461

Catalog No: tcsc0568

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
1138549-36-6

Formula:
$C_{27}H_{27}N_7O_2S$

Pathway:
Cell Cycle/DNA Damage

Target:
DNA/RNA Synthesis

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
513.61

Product Description

CX-5461 is a potent and orally bioavailable inhibitor of Pol I-mediated **rRNA synthesis**, with **IC_{50}**s of 142 nM in HCT-116, 113 nM in A375, and 54 nM in MIA PaCa-2 cells, and shows little or no effect on Pol II (**IC_{50}** ≥25 μM).

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IC50 & Target: IC50: 54 nM (rRNA synthesis, MIA PaCa-2 cells), 113 nM (rRNA synthesis, A375 cells), 142 nM (rRNA synthesis, HCT-116 cells)[1]

In Vitro: CX-5461 is a potent and orally bioavailable inhibitor of Pol I-mediated rRNA synthesis, with IC_{50}s of 142 nM in HCT-116, 113 nM in A375, and 54 nM in MIA PaCa-2 cells, and shows little or no effect on Pol II (IC_{50}, ≥25 μM). CX-5461 has modest inhibition on DNA replication and protein translation. CX-5461 also exhibits broad antiproliferative activity against a panel of human cancer cell lines, with a mean EC_{50} of 147 nM, but has minimal effect on viability of nontransformed human cells, with EC_{50} values of appr 5000 nM. EC_{50}s of CX-5461 for HCT-116, A375, and MIA PaCa-2 cell lines are 167, 58, and 74 nM, respectively. CX-5461 induces autophagy and senescence in solid tumor cancer cells, rather than apoptosis, through a p53-independent process[1]. Eμ-Myc lymphoma cells from tumor-bearing mice are exquisitely sensitive to CX-5461 with an IC_{50} of 27.3 nM ± 8.1 nM for Pol I transcription after 1 hr and IC_{50} of 5.4 nM ± 2.1 nM for cell death after 16 hr. CX-5461 activates p53 via the nucleolar stress response in Eμ-MycLymphoma Cells[2].

In Vivo: CX-5461 displays antitumor activity against human solid tumors in murine xenograft models. CX-5461 (50 mg/kg, p.o.) shows significant MIA PaCa-2 growth inhibition with TGI equal to 69% on day 31 and 79% TGI on A375 on day 32[1]. CX-5461 (50 mg/kg, p.o.) inhibits the Eμ-Myc tumor cells with 84% repression in Pol I transcription at 1 hr posttreatment in C57BL/6 mice. CX-5461 also induces a rapid reduction in tumor burden in the lymph nodes and a concomitant reduction of spleen size to within the normal range[2].