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

Triapine

Catalog No: tcsc3106

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Specifications

CAS No:
143621-35-6

Formula:
$C_7H_9N_5S$

Pathway:
Cell Cycle/DNA Damage

Target:
DNA/RNA Synthesis

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 47 mg/mL (240.73 mM)

Alternative Names:
3-AP;PAN-811;OCX191;NSC663249

Observed Molecular Weight:
195.24

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Product Description

Triapine is a novel inhibitor of the M2 subunit of **ribonucleotide reductase** (**RR**), and is a potent radiosensitizer.

IC50 & Target: Ribonucleotide reductase (RR)[1]

In Vitro: Triapine is a potent derivative of α-heterocyclic carboxaldehyde thiosemicarbazone (HCT) that inhibits hRRM2 and p53R2 isoforms of the M2 subunit[1]. Triapine is thought to inhibit ribonucleotide reductase through its preformed iron chelate, rather than directly by removing iron from the active site. In cells containing less topoisomerase IIα fewer DNA strand breaks will be produced, and thus topoisomerase II poisons will be less inhibitory in the K/VP.5 cell line. The IC_{50}s for Dp44mT growth inhibition are 48±9 nM and 60±12 nM, for K562 and K/VP.5 cells, respectively. The IC_{50}s for Triapine growth inhibition are 476±39 nM and 661±69 nM for K562 and K/VP.5 cells, respectively[2]. PKIH and DpT Fe chelators show high antiproliferative activity against a range of tumor cell lines. Dp44mT shows the greatest antitumor efficacy with an IC_{50} that ranged from 0.005 to 0.4 μM. The average IC_{50} of Dp44mT over 28 cell types is 0.03±0.01 μM, which is significantly lower than that of Triapine (average IC_{50}: 1.41±0.37 μM)[3].

In Vivo: Triapine causes a significant increase (1.7-fold) in splenic weight when expressed as a percentage of total body weight (1.02±0.06%; n=25) compared with control mice (0.6±0.03%; n=27). In the long-term group, a significant increase in heart weight is observed after Dp44mT (0.4 mg/kg per day) (0.8±0.06%; n=4) compared with control mice (0.5±0.01%; n=6). A significant decrease in the expression of Ndrg1, TfR1, and VEGF1 in the liver is noted for Dp44mT- and Triapine (12 mg/kg per day)-treated animals. The decreased expression could be related to the increased liver Fe in both Dp44mT- and Triapine-treated mice[3].