

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

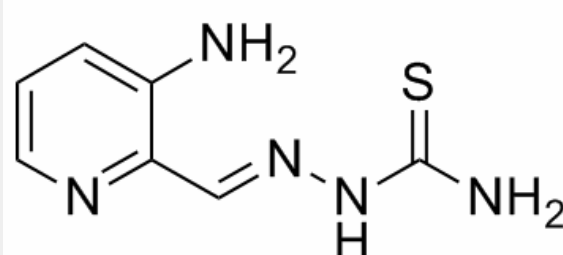
Product Description

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 II α fewer DNA strand breaks will be produced, and thus topoisomerase II poisons will be less inhibitory in the K/VP.5 cell line. The IC₅₀s for Dp44mT growth inhibition are 48 \pm 9 nM and 60 \pm 12 nM, for K562 and K/VP.5 cells, respectively. The IC₅₀s for Triapine growth inhibition are 476 \pm 39 nM and 661 \pm 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 IC₅₀ that ranged from 0.005 to 0.4 μ M. The average IC₅₀ of Dp44mT over 28 cell types is 0.03 \pm 0.01 μ M, which is significantly lower than that of Triapine (average IC₅₀: 1.41 \pm 0.37 μ M)^[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 \pm 0.06%; n=25) compared with control mice (0.6 \pm 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 \pm 0.06%; n=4) compared with control mice (0.5 \pm 0.01%; n=6). A significant decrease in the expression of Ndr1, 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].



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