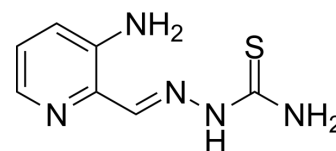


## Triapine

Cat. No.:	HY-10082		
CAS No.:	143621-35-6		
Molecular Formula:	C <sub>7</sub> H <sub>9</sub> N <sub>5</sub> S		
Molecular Weight:	195.24		
Target:	DNA/RNA Synthesis		
Pathway:	Cell Cycle/DNA Damage		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : ≥ 47 mg/mL (240.73 mM)  
 \* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent		Mass		
	Concentration		1 mg	5 mg	10 mg
	1 mM		5.1219 mL	25.6095 mL	51.2190 mL
	5 mM		1.0244 mL	5.1219 mL	10.2438 mL
	10 mM		0.5122 mL	2.5610 mL	5.1219 mL

Please refer to the solubility information to select the appropriate solvent.

#### In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
 Solubility: ≥ 2.5 mg/mL (12.80 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
 Solubility: ≥ 2.5 mg/mL (12.80 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

Triapine (3-AP; PAN-811) is a potent inhibitor of the M2 subunit of ribonucleotide reductase (RR), and is a potent radiosensitizer.

#### IC<sub>50</sub> & Target

Ribonucleotide reductase (RR)<sup>[1]</sup>

#### In Vitro

Triapine (3-AP; PAN-811) is a potent derivative of α-heterocyclic carboxaldehyde thiosemicarbazone (HCT) that inhibits hRRM2 and p53R2 isoforms of the M2 subunit<sup>[1]</sup>. Triapine (3-AP; PAN-811) 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<sub>50</sub>s for Dp44mT growth inhibition are 48±9 nM and 60±12 nM, for K562 and K/VP.5 cells, respectively. The IC<sub>50</sub>s for Triapine growth inhibition are 476±39 nM and 661±69 nM for K562 and K/VP.5 cells, respectively<sup>[2]</sup>. 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<sub>50</sub> that ranged from 0.005 to 0.4 μM. The average IC<sub>50</sub> of Dp44mT over 28 cell types is 0.03±0.01 μM, which is significantly lower than that of Triapine (3-AP; PAN-811; average IC<sub>50</sub>: 1.41±0.37 μM)<sup>[3]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### In Vivo

Triapine (3-AP; PAN-811) 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<sup>[3]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## PROTOCOL

#### Cell Assay <sup>[2]</sup>

An MTT assay is used to determine cell growth inhibition of CHO cells. Human leukemia K562 cells and K/VP.5 cells (a 26-fold etoposide-resistant K562-derived sub-line with decreased levels of topoisomerase IIα mRNA and protein) are maintained as suspension cultures in MEM containing 10% fetal calf serum (FCS). For growth inhibition assays, K562 and K/VP.5 cells are plated at a concentration of 1.5×10<sup>5</sup> cell/mL, and incubated 5 d with various concentrations of Dp44mT, Triapine or vehicle (DMSO) for 48 h, after which cells are counted on a model ZBF Coulter counter. The IC<sub>50</sub> growth inhibitory concentration for each cell line is calculated from a non-linear least-squares fit to a 2-parameter logistic equation<sup>[2]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### Animal Administration <sup>[3]</sup>

Mice<sup>[3]</sup>  
Female BALB/c nu/nu mice are used at 8-10 weeks of age. Tumor cells in culture are harvested, and 10<sup>7</sup> cells are suspended in Matrigel and injected s.c. into the right flanks of mice. After engraftment, tumor size is measured by Vernier calipers. Tumor volumes (in cubic millimeters) are calculated. When tumor volumes reached 120 mm<sup>3</sup>, i.v. treatment began (day 0). Chelators (e.g., Triapine) are dissolved in 15% propylene glycol in 0.9% saline and injected i.v. over 5 consecutive days per week for up to 7 weeks. Control mice are treated with vehicle alone. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## CUSTOMER VALIDATION

- J Mol Med (Berl). 2019 Aug;97(8):1183-1193.
- J Cancer Res Clin Oncol. 2023 Apr 25.
- Research Square Preprint. 2023 Jun 9.

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## REFERENCES

[1]. Martin LK, et al. A dose escalation and pharmacodynamic study of Triapine and radiation in patients with locally advanced pancreas cancer. Int J Radiat Oncol Biol Phys. 2012 Nov 15;84(4):e475-81.

[2]. Yalowich JC, et al. The anticancer thiosemicarbazones Dp44mT and Triapine lack inhibitory effects as catalytic inhibitors or poisons of DNA topoisomerase IIα. Biochem Pharmacol. 2012 Jul 1;84(1):52-8.

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[3]. Whitnall M, et al. A class of iron chelators with a wide spectrum of potent antitumor activity that overcomes resistance to chemotherapeutics. Proc Natl Acad Sci U S A. 2006 Oct 3;103(40):14901-6.

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**Caution: Product has not been fully validated for medical applications. For research use only.**

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