
Product Data Sheet

Product Name: Triapine (3-AP)

Cat. No.: GC17897

Chemical Properties

Cas. No. 143621-35-6

Chemical Name [(E)-(3-aminopyridin-2-yl)methylideneamino]thiourea

SMILES C1=CC(=C(N=C1)C=NNC(=S)N)NFormula $C_7H_9N_5S$ M.Wt 195.24Solubility $\geq 83.3\text{mg/mL}$ in DMSO Storage Store at -20°C General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure **Protocol****Cell experiment [1]:**

Cell lines Wild-type (KB) and HU-resistant (KB/HU) human KB nasopharyngeal carcinoma cells.

Preparation method The solubility of this compound in DMSO is $> 83.3\text{ mg/mL}$. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.**Caution: Product has not been fully validated for medical applications. For research use only.**

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Reaction Conditions 37oC

Applications Triapine is found to be a much more potent inhibitor of the enzyme than HU regardless of the cellular source of the enzyme, with a comparable inhibition at roughly a 1000-fold lower concentration of Triapine than HU.

Animal experiment [1]:

Animal models Mice xenografts of murine M109 lung carcinoma and the human A2780 ovarian carcinoma.

Dosage form i.p. or i.v. bolus injection (0.01 mL/g)

Preparation method Triapine in 0.9% NaCl

Applications Triapine significantly inhibits the growth in mice of the M109 lung carcinoma, the twice daily schedule produces tumor growth delays of 10 days compared to untreated control animals. Growth of the human A2780 ovarian carcinoma xenograft in nude mice is also significantly inhibited by Triapine, at 8 and 10 mg/kg given on a twice daily schedule.

Other notes Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

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References:

1. Finch RA, Liu M, Grill SP et al. Triapine (3-aminopyridine-2-carboxaldehyde-thiosemicarbazone): A potent inhibitor of ribonucleotide reductase activity with broad spectrum antitumor activity. *Biochem Pharmacol.* 2000 Apr 15;59(8):983-91.

Background

Triapine is a potent inhibitor of ribonucleotide reductase activity with IC50 value of 1.6 μ M for various of tumor cell lines [1].

Triapine has been reported to inhibit ribonucleotide reductase activity. Triapine has shown its antineoplastic activity by inhibiting DNA synthesis and repair. In addition, Triapine has been revealed to inhibit the growth of the murine M109 lung carcinoma and human A2780 ovarian carcinoma xenografts in nude mice. Moreover, Triapine was active against the L1210 leukemia over a broad range of dosages and was curative for the M109 lung carcinoma and human A2780 ovarian carcinoma xenograft mice. [1, 2]

References:

[1]. Jennifer J. Knox, Sebastien J. Hotte, Christian Kollmannsberger, Eric Winquist, Bryn Fisher, Elizabeth A. Eisenhauer .Phase II study of Triapine® in patients with metastatic renal cell carcinoma: a trial of the National Cancer Institute of Canada Clinical Trials Group (NCIC IND.161). *Investigational New Drugs* .October 2007, Volume 25, Issue 5, pp 471-477

[2]Finch RA1, Liu M, Grill SP, Rose WC, Loomis R, Vasquez KM, Cheng Y, Sartorelli AC. Triapine (3-aminopyridine-2-carboxaldehyde- thiosemicarbazone): A potent inhibitor of ribonucleotide reductase activity with broad spectrum antitumor activity. *Biochem Pharmacol.* 2000 Apr 15;59(8):983-91

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