

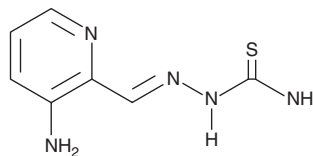
PRODUCT INFORMATION



3-AP

Item No. 15419

CAS Registry No.: 143621-35-6
Formal Name: 2-[(3-amino-2-pyridinyl)methylene]-hydrazinecarbothioamide
Synonyms: 3-Aminopyridine-2-Carboxyaldehyde Thiosemicarbazone, NSC 663249, Triapine
MF: C₇H₉N₅S
FW: 195.2
Purity: ≥95%
UV/Vis.: λ_{max}: 207, 224, 239, 296, 368 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

3-AP is supplied as a crystalline solid. A stock solution may be made by dissolving the 3-AP in the solvent of choice, which should be purged with an inert gas. 3-AP is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of 3-AP in these solvents is approximately 20 and 25 mg/ml, respectively.

3-AP is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, 3-AP should first be dissolved in DMF and then diluted with the aqueous buffer of choice. 3-AP has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Ribonucleotide reductase, the rate-limiting enzyme for *de novo* DNA synthesis, is an excellent target for chemotherapy. Its increased activity in cancer cells is associated with malignant transformation and proliferation.¹ 3-AP is a ribonucleotide reductase inhibitor and iron chelator with antitumor activity.² At 5 μM it can enhance DU145, U251, and PSN1 tumor cell radiosensitivity *in vitro*, inhibiting DNA synthesis and repair.² It destroys the tyrosine free radical in the R2/p53R2 subunits of ribonucleotide reductase by forming a redox active complex with iron, thus producing reactive oxygen species.³ Furthermore, 3-AP has been shown to activate an endoplasmic reticulum stress pathway, leading to the unfolded protein response and apoptosis.⁴

References

1. Szekeres, T., Fritzer, M., Strobl, H., *et al.* Synergistic growth inhibitory and differentiating effects of trimidox and tiazofurin in human promyelocytic leukemia HL-60 cells. *Blood* **84**(12), 4316-4321 (1994).
2. Barker, C.A., Burgan, W.E., Carter, D.J., *et al.* *In vitro* and *in vivo* radiosensitization induced by the ribonucleotide reductase inhibitor triapine (3-aminopyridine-2-carboxyaldehyde-thiosemicarbazone). *Clin. Cancer Res.* **12**(9), 2912-2918 (2006).
3. Chapman, T.R. and Kinsella, T.J. Ribonucleotide reductase inhibitors: A new look at an old target for radiosensitization. *Front. Oncol.* **1**, 56 (2012).
4. Trondl, R., Flocke, L.S., Kowol, C.R., *et al.* Triapine and a more potent dimethyl derivative induce ER stress in cancer cells. *Mol. Pharmacol.* **85**(3), 451-459 (2013).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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