# **PRODUCT** INFORMATION



## Tirapazamine

Item No. 25981

CAS Registry No.:	27314-97-2	
Formal Name:	1,2,4-benzotriazin-3-amine 1,4-dioxide	
Synonyms:	3-Amino-1,2,4-benzotriazine 1,4-Dioxide,	Q-
	SR 259075, SR 4233, WIN 59075	- NH - NH
MF:	C <sub>7</sub> H <sub>6</sub> N <sub>4</sub> O <sub>2</sub>	N <sup>+</sup> NH <sub>2</sub>
FW:	178.2	
Purity:	≥98%	N N
UV/Vis.:	λ <sub>max</sub> : 223, 271 nm	~ N'
Supplied as:	A solid	0-
Storage:	-20°C	
Stability:	≥4 years	
Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis		

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#### Laboratory Procedures

Tirapazamine is supplied as a solid. A stock solution may be made by dissolving the tirapazamine in the solvent of choice, which should be purged with an inert gas. Tirapazamine is soluble in the organic solvent DMSO.

#### Description

Tirapazamine is a hypoxia-activated anticancer agent.<sup>1</sup> It is converted to an oxidizing radical under hypoxic conditions and can induce single- and double-strand breaks in DNA, formation of trapped topoisomerase I- and II-DNA complexes, and other chromosomal aberrations, leading to cytotoxicity.<sup>1-3</sup> Tirapazamine induces hypoxia-enhanced cytotoxicity in DT40 cells (IC<sub>50</sub>s = 1.02 and 4.34  $\mu$ M in hypoxic and normoxic conditions, respectively).<sup>3</sup> It also induces cytotoxicity in HT-1080 and A549 cells in a concentration-dependent manner under hypoxic conditions.<sup>1</sup> In vivo, tirapazamine sensitizes tumors to cisplatin (Item No. 13119) in a mouse RIF-1 fibrosarcoma tumor model.<sup>4</sup> Tirapazamine (0.08 mmol/kg) also sensitizes tumors to fractionated irradiation in a FaDu hypopharyngeal squamous cell carcinoma mouse xenograft model.<sup>5</sup>

#### References

- 1. Brown, J.M. SR 4233 (tirapazamine): A new anticancer drug exploiting hypoxia in solid tumours. Br. J. Cancer. 67(6), 1163-1170 (1993).
- 2. Reddy, S.B. and Williamson, S.K. Tirapazamine: A novel agent targeting hypoxic tumor cells. Expert. Opin. Investig. Drugs 18(1), 77-87 (2009).
- 3 Moriwaki, T., Okamoto, S., Sasanuma, H., et al. Cytotoxicity of tirapazamine (3-amino-1,2,4-benzotriazine-1,4-dioxide)-induced DNA damage in chicken DT40 cells. Chem. Res. Toxicol. 30(2), 699-704 (2017).
- 4 Dorie, M.J. and Brown, J.M. Tumor-specific, schedule-dependent interaction between tirapazamine (SR 4233) and cisplatin. Cancer Res. 53(19), 4633-4636 (1993).
- 5. El-Said, A., Menke, D., Dorie, M.J., et al. Comparison of the effectiveness of tirapazamine and carbogen with nicotinamide in enhancing the response of a human tumor xenograft to fractionated irradiation. Radiat. Oncol. Investig. 7(3), 163-169 (1999).

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

#### SAFFTY 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.

#### WARRANTY AND LIMITATION OF REMEDY

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