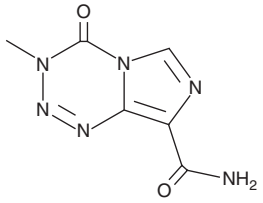
PRODUCT INFORMATION

Temozolomide

Item No. 14163

CAS Registry No.:	85622-93-1
Formal Name:	3,4-dihydro-3-methyl-4-oxo-imidazo[5,1-d]-1,2,3,5-tetrazine-8-carboxamide
Synonyms:	CCRG 81045, MB 39831, Methazolastone, NSC 362856, TMZ
MF:	$C_6H_6N_6O_2$
FW:	194.2
Purity:	≥98%
UV/Vis.:	λ_{max}: 209, 254, 327 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

Temozolomide (TMZ) is supplied as a crystalline solid. A stock solution may be made by dissolving the TMZ in the solvent of choice. TMZ is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of TMZ in these solvents is approximately 5 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of TMZ can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of TMZ in PBS, pH 7.2, is approximately 0.33mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Temozolomide is a prodrug form of the DNA alkylating agent MTIC (Item No. 18863).[1] It is converted to MTIC in a non-enzymatic manner under physiological conditions. Temozolomide is selectively cytotoxic to U87 and D54 glioblastoma cells, which do not express O^6-methylguanine-DNA methyltransferase (MGMT), over MGMT-expressing T98G and U3054MG glioblastoma cells (IC_{50}s = 51, 12, 660, and 370 µM, respectively).[2] It increases survival in a Br23c glioblastoma orthotopic mouse xenograft model when administered at a dose of 15 mg/kg. Temozolomide, in combination with antibodies targeting CD47, decreases tumor volume and increases the number of tumor-infiltrating $CD4^+$ and $CD8^+$ T cells in a GL261 murine glioma model.[3] Formulations containing temozolomide have been used in the treatment of glioblastoma multiforme (GBM) and refractory anaplastic astrocytoma.

References

1. Tsang, L.L.H., Quarterman, C.P., Gescher, A., *et al.* Comparison of the cytotoxicity in vitro of temozolomide and dacarbazine, prodrugs of 3-methyl-(triazen-1-yl)imidazole-4-carboxamide. *Cancer Chemother. Pharmacol.* **27(5)**, 342-346 (1991).
2. Svec, R.L., Furiassi, L., Skibinski, C.G., *et al.* Tunable stability of imidazotetrazines leads to a potent compound for glioblastoma. *ACS Chem. Biol.* **13(11)**, 3206-3216 (2018).
3. von Roemeling, C.A., Wang, Y., Qie, Y., *et al.* Therapeutic modulation of phagocytosis in glioblastoma can activate both innate and adaptive antitumour immunity. *Nat. Commun.* **11(1)**, 1508 (2020).

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