# Product data sheet

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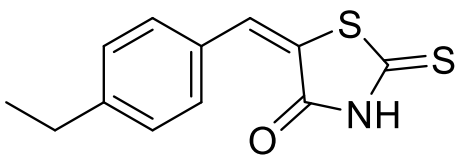
|---|---|
| MedKoo Cat#: 406757<br>Name: 10058-F4<br>CAS#: 403811-55-2<br>Chemical Formula: $C_{12}H_{11}NOS_2$<br>Exact Mass: 249.0282<br>Molecular Weight: 249.346 |  |
| Product supplied as: | Powder |
| Purity (by HPLC): | ≥ 98% |
| Shipping conditions | Ambient temperature |
| Storage conditions: | Powder: -20°C 3 years; 4°C 2 years. |
| | In solvent: -80°C 3 months; -20°C 2 weeks. |

**1. Product description:**
10058-F4 is a potent and selective c-Myc inhibitor, which markedly increases valproic acid-induced cell death in Jurkat and CCRF-CEM T-lymphoblastic leukemia cells. 10058-F4 inhibits proliferation, downregulates human telomerase reverse transcriptase and enhances chemosensitivity in human hepatocellular carcinoma cells. 10058-F4 induces cell-cycle arrest, apoptosis, and myeloid differentiation of human acute myeloid leukemia.

**2. CoA, QC data, SDS, and handling instruction**
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

**3. Solubility data**

| Solvent | Max Conc. mg/mL | Max Conc. mM |
|---|---|---|
| DMSO | 49 | 196.51 |
| Ethanol | 11 | 44.11 |

**4. Stock solution preparation table:**

| Concentration / Solvent Volume / Mass | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 4.01 mL | 20.05 mL | 40.10 mL |
| 5 mM | 0.80 mL | 4.01 mL | 8.02 mL |
| 10 mM | 0.40 mL | 2.01 mL | 4.01 mL |
| 50 mM | 0.08 mL | 0.40 mL | 0.80 mL |

**5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator**
Please refer the product web page under section of "Calculator"

**6. Recommended literature which reported protocols for in vitro and in vivo study**
In vitro study

1. Huang MJ, Cheng YC, Liu CR, Lin S, Liu HE. A small-molecule c-Myc inhibitor, 10058-F4, induces cell-cycle arrest, apoptosis, and myeloid differentiation of human acute myeloid leukemia. Exp Hematol. 2006 Nov;34(11):1480-9. doi: 10.1016/j.exphem.2006.06.019. PMID: 17046567.

2. Lv M, Wang Y, Wu W, Yang S, Zhu H, Hu B, Chen Y, Shi C, Zhang Y, Mu Q, Ouyang G. C-Myc inhibitor 10058-F4 increases the efficacy of dexamethasone on acute lymphoblastic leukaemia cells. Mol Med Rep. 2018 Jul;18(1):421-428. doi: 10.3892/mmr.2018.8935. Epub 2018 Apr 27. PMID: 29749488.

In vivo study

1. Guo J, Parise RA, Joseph E, Egorin MJ, Lazo JS, Prochownik EV, Eiseman JL. Efficacy, pharmacokinetics, tisssue distribution, and metabolism of the Myc-Max disruptor, 10058-F4 [Z,E]-5-[4-ethylbenzylidine]-2-thioxothiazolidin-4-one, in mice. Cancer Chemother Pharmacol. 2009 Mar;63(4):615-25. doi: 10.1007/s00280-008-0774-y. Epub 2008 May 29. PMID: 18509642; PMCID: PMC2752825.

**7. Bioactivity**

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Biological target:

10058-F4 is a c-Myc inhibitor that specificallly inhibits the c-Myc-Max interaction and prevents transactivation of c-Myc target gene expression.

In vitro activity

10058-F4 arrested AML cells at G0/G1 phase, downregulated c-Myc expression and upregulated CDK inhibitors, p21 and p27. Meanwhile, 10058-F4 induced apoptosis through activation of mitochondrial pathway shown by downregulation of Bcl-2, upregulation of Bax, release of cytoplasmic cytochrome C, and cleavage of caspase 3, 7, and 9. Furthermore, 10058-F4 also induced myeloid differentiation, possibly through activation of multiple transcription factors. Similarly, 10058-F4-induced apoptosis and differentiation could also be observed in primary AML cells.

Reference: Exp Hematol. 2006 Nov;34(11):1480-9. https://linkinghub.elsevier.com/retrieve/pii/S0301-472X(06)00428-0

In vivo activity

Peak plasma 10058-F4 concentrations of approximately 300 μM are seen at 5 min and declined to below the detection limit at 360 min following a single iv dose. Plasma concentration versus time data are best approximated by a two-compartment, open, linear model. The highest tissue concentrations of 10058-F4 are found in fat, lung, liver, and kidney. Peak tumor concentrations of 10058-F4 are at least tenfold lower than peak plasma concentrations. Eight metabolites of 10058-F4 are identified in plasma, liver, and kidney. The terminal half-life of 10058-F4 is approximately 1 h, and the volume of distribution is >200 ml/kg. No significant inhibition of tumor growth is seen after i.v. treatment of mice with either 20 or 30 mg/kg 10058-F4.

Reference: Cancer Chemother Pharmacol. 2009 Mar;63(4):615-25. https://www.ncbi.nlm.nih.gov/pmc/articles/pmid/18509642/

*Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.*