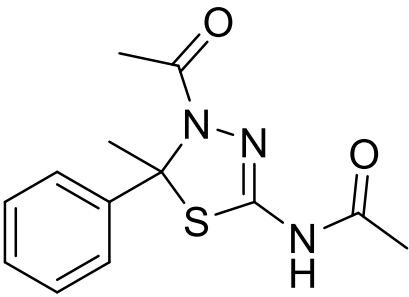


Product data sheet



MedKoo Cat#: 407309 Name: K-858 CAS: 72926-24-0 Chemical Formula: C ₁₃ H ₁₅ N ₃ O ₂ S Exact Mass: 277.0885 Molecular Weight: 277.342		
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:

K-858 is a novel inhibitor of mitotic kinesin Eg5 and antitumor agent, induces cell death in cancer cells. K858 blocked centrosome separation, activated the spindle checkpoint, and induced mitotic arrest in cells accompanied by the formation of monopolar spindles. Long-term continuous treatment of cancer cells with K858 resulted in antiproliferative effects through the induction of mitotic cell death, and polyploidization followed by senescence. K858 exhibited potent antitumor activity in xenograft models of cancer, and induced the accumulation of mitotic cells with monopolar spindles in tumor tissues.

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
DMF	25.0	90.14
DMSO	61.67	222.35
DMSO:PBS (pH 7.2) (1:4)	0.20	0.72
Ethanol	4.0	14.42

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.61 mL	18.03 mL	36.06 mL
5 mM	0.72 mL	3.61 mL	7.21 mL
10 mM	0.36 mL	1.80 mL	3.61 mL
50 mM	0.07 mL	0.36 mL	0.72 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

- Nicolai A, Taurone S, Carradori S, Artico M, Greco A, Costi R, Scarpa S. The kinesin Eg5 inhibitor K858 exerts antiproliferative and proapoptotic effects and attenuates the invasive potential of head and neck squamous carcinoma cells. *Invest New Drugs*. 2022 Jun;40(3):556-564. doi: 10.1007/s10637-022-01238-2. Epub 2022 Mar 21. PMID: 35312942; PMCID: PMC9098576.
- Marconi GD, Carradori S, Ricci A, Guglielmi P, Cataldi A, Zara S. Kinesin Eg5 Targeting Inhibitors as a New Strategy for Gastric Adenocarcinoma Treatment. *Molecules*. 2019 Oct 31;24(21):3948. doi: 10.3390/molecules24213948. PMID: 31683688; PMCID: PMC6864856.

In vivo study

Product data sheet



I. Nakai R, Iida S, Takahashi T, Tsujita T, Okamoto S, Takada C, Akasaka K, Ichikawa S, Ishida H, Kusaka H, Akinaga S, Murakata C, Honda S, Nitta M, Saya H, Yamashita Y. K858, a novel inhibitor of mitotic kinesin Eg5 and antitumor agent, induces cell death in cancer cells. *Cancer Res.* 2009 May 1;69(9):3901-9. doi: 10.1158/0008-5472.CAN-08-4373. Epub 2009 Apr 7. PMID: 19351824.

7. Bioactivity

Biological target:

K858 Racemic is an ATP-uncompetitive inhibitor of kinesin Eg5 with an IC₅₀ of 1.3 μM.

In vitro activity

K858 inhibited cell replication by rendering cells incapable of developing normal bipolar mitotic spindles. At the same time, K858 blocked the cell cycle in the G2 phase and induced the accumulation of cytoplasmic cyclin B and, eventually, apoptosis. Additionally, K858 inhibited cell migration and attenuated the malignant phenotype.

Reference: *Invest New Drugs.* 2022 Jun;40(3):556-564. <https://pubmed.ncbi.nlm.nih.gov/35312942/>

In vivo activity

K858 exhibited potent antitumor activity in xenograft models of cancer, and induced the accumulation of mitotic cells with monopolar spindles in tumor tissues. Importantly, K858, unlike antimicrotubule agents, had no effect on microtubule polymerization in cell-free and cell-based assays, and was not neurotoxic in a motor coordination test in mice. Taken together, the Eg5 inhibitor K858 represents an important compound for further investigation as a novel anticancer therapeutic.

Reference: *Cancer Res.* 2009 May 1;69(9):3901-9. <https://pubmed.ncbi.nlm.nih.gov/19351824/>

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.