PRODUCT INFORMATION



K858

Item No. 22112

CAS Registry No.: 72926-24-0

N-(4-acetyl-4,5-dihydro-5-methyl-Formal Name:

5-phenyl-1,3,4-thiadiazol-2-yl)-

acetamide

MF: $C_{13}H_{15}N_3O_2S$

277.3 FW: ≥98% **Purity:**

 λ_{max} : 221, 289 nm UV/Vis.: 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.



K858 is supplied as a crystalline solid. A stock solution may be made by dissolving the K858 in the solvent of choice, which should be purged with an inert gas. K858 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of K858 in these solvents is approximately 30 and 25 mg/ml, respectively.

K858 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, K858 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. K858 has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

K858 is an inhibitor of kinesin spindle protein Eg5 (IC $_{50}$ = 1.3 μ M for Eg5 ATPase activity). It inhibits growth (GI $_{50}$ = 0.98 μ M), induces mitotic arrest (EC $_{50}$ = 1.6 μ M), and activates caspase-3 (EC $_{50}$ = 1.6 μ M) in HCT116 cells. It selectively induces mitotic cell death in HCT116 cells over non-cancerous ARPE-19 retinal pigment epithelial cells. K858-treated HCT116 cells that escape mitotic cell death undergo polyploidization and senescence. K858 reduces tumor growth in an A2780 ovarian cancer mouse xenograft model when administered at a dose of 150 mg/kg and increases the number of mitotic cells with monopolar spindles in tumor tissue.

Reference

1. Nakai, R., Iida, S., Takahashi, T., et al. K858, a novel inhibitor of mitotic kinesin Eg5 and antitumor agent, induces cell death in cancer cells. Cancer Res. 69(9), 3901-3909 (2009).

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

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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