

Product Data Sheet

Product Name: Centrinone (LCR-263)

Cat. No.: GC32688

Chemical Properties

Cas. No. 1798871-30-3

SMILES FC(C([N+])([O-])=O)=CC=C1)=C1CS(C2=CC(F)=C(SC3=NC(NC4=NNC(C)=C4)=C(OC)C(N5CCOCC5)=N3)C=C2)(=O)=O

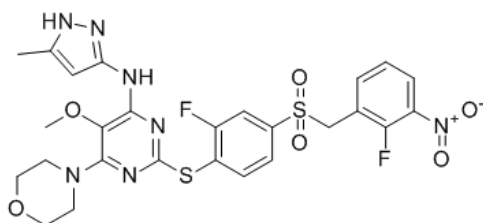
Formula $C_{26}H_{25}F_2N_7O_6S_2$ M.Wt 633.65

Solubility DMSO : ≥ 31 mg/mL (48.92 mM) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines WE-68 cells, SK-ES-1, HeLa cells, A673 cells.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Cells were treated with centrinone (0.5-3 μ M) or CFI-400945 (10-50nM) for 12-Preparation Method 72h. In the respective experiments, cells were pre-exposed to 20 μ M z-VAD-fmk 1h before treatment with centrinone (0.5-3 μ M) or CFI-400945.

Reaction
Conditions 0.5-3 μ M; 12-72h.

Applications Centrinone and CFI-400945 induced cell death in a concentration-dependent manner.

References:

[1]. Kerschner-Morales, Sophie L., et al. "Anticancer effects of the PLK4 inhibitors CFI-400945 and centrinone in Ewing's sarcoma cells." *Journal of Cancer Research and Clinical Oncology* 146.11 (2020): 2871-2883.

Background

Centrinone (LCR-263) is a reversible and selective inhibitor of polo-like kinase 4 (PLK4) with a K_i of 0.16nM and induces reversible centriole depletion in cells^[1].

In vitro, treatment with Centrinone (125nM; 1–4 days) in HeLa human cervical carcinoma cells led to a progressive reduction in foci containing centriolar and pericentriolar material markers during each round of cell division^[1]. Centrinone induced cell death in both p53 wild-type and mutant Ewing's sarcoma cells, accompanied by mitochondrial membrane depolarization, caspase-3/7 activation, PARP1 cleavage, DNA fragmentation, and G2/M cell cycle arrest^[2]. Centrinone (50, 100nM; 48h) significantly inhibited the proliferation of most melanoma cells and induced Annexin V-positive apoptosis and PARP cleavage^[3].

In vivo, injection of Centrinone (1 μ M) into 1-cell-stage zebrafish embryos reduced the survival rate during the first 5 days post fertilization and affected subsequent embryonic development^[4].

References:

[1]. Wong, Yao Liang, et al. "Reversible centriole depletion with an inhibitor of Polo-like kinase 4." *Science* 348.6239 (2015): 1155-1160.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

- [2]. Kerschner-Morales, Sophie L., et al. "Anticancer effects of the PLK4 inhibitors CFI-400945 and centrinone in Ewing's sarcoma cells." *Journal of Cancer Research and Clinical Oncology* 146.11 (2020): 2871-2883.
- [3]. Denu, Ryan A., et al. "Centrosome amplification in cancer disrupts autophagy and sensitizes to autophagy inhibition." *Molecular Cancer Research* 18.1 (2020): 33-45.
- [4]. Rathbun, Lindsay I., et al. "PLK1-and PLK4-mediated asymmetric mitotic centrosome size and positioning in the early zebrafish embryo." *Current biology* 30.22 (2020): 4519-4527.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA