# Centrinone

**Cat. No.:** HY-18682

**CAS No.:** 1798871-30-3

**Molecular Formula:** $C_{26}H_{25}F_2N_7O_6S_2$

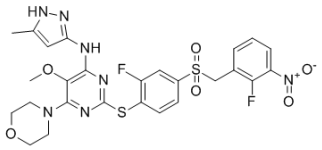
**Molecular Weight:** 633.65

**Target:** Polo-like Kinase (PLK)

**Pathway:** Cell Cycle/DNA Damage

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 6 months |
| | -20°C | 1 month |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : ≥ 31 mg/mL (48.92 mM)

* "≥" means soluble, but saturation unknown.

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 1.5782 mL | 7.8908 mL | 15.7816 mL |
| 5 mM | 0.3156 mL | 1.5782 mL | 3.1563 mL |
| 10 mM | 0.1578 mL | 0.7891 mL | 1.5782 mL |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (3.95 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.95 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Centrinone (LCR-263) is a selective and reversible inhibitor of polo-like kinase 4 (PLK4) with a $K_i$ of 0.16 nM.

**$IC_{50}$ & Target**

| PLK4 | PLK4 (G95L) | Aurora A | Aurora B |
|---|---|---|---|
| 0.16 nM (Ki) | 68.57 nM (Ki) | 171 nM (Ki) | 436.76 nM (Ki) |

**In Vitro**

Centrinone (LCR-263) exhibits more than 1000-fold selectivity for Plk4 over Aurora A/B and does not affect cellular Aurora A or B substrate phosphorylation at concentrations that deplete centrosomes. Centrinone (LCR-263) treatment of HeLa human cervical carcinoma cells leads to a progressive reduction in foci containing centriolar and pericentriolar material markers at each round of cell division, until most cells lack centrioles and centrosomes. Treatment with Centrinone (LCR-

263) reduces centriole number in multiciliated Xenopus epithelial cells, which indicates that Plk4 also controls centriole amplification in differentiated cells. Centrinone (LCR-263) treatment causes centrosome depletion in human and other vertebrate cells. Centrosome loss irreversibly arrests normal cells in a senescence-like G1 state by a p53-dependent mechanism that is independent of DNA damage, stress, Hippo signaling, extends mitotic duration, or segregation errors[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## PROTOCOL

**Kinase Assay** [1]

Purified 6xHis-tagged human Plk4 kinase domain is in 20 mM Tris pH 7.5, 100 mM NaCl, 10% glycerol, 1 mM DTT. 2X reaction buffer consists of 50 mM HEPES pH 8.5, 20 mM $MgCl_2$, 1 mM DTT, 0.2 mg/mL BSA, 16 μM ATP, and 200 μM A-A11 substrate. The Plk4 concentration in the final reaction is 2.5-10 nM with a final pH of 8.0. Inhibitors (Centrinone) array in dose response are added from DMSO stocks. Reactions are allowed to proceed for 4-16 hours at 25°C. Detection is performed using ADP-Glo reagent. Luminescence is measured on an plate reader[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**Cell Assay** [1]

For each condition, cells are seeded in triplicate into 6-well plates at 50,000 cells/well. 125 nM Centrinone (LCR-263) is added to HeLa cells or 300 nM is added to NIH/3T3 cells. At 24-hour intervals, 3 wells are harvested per condition. Cell counting is performed using a TC10 automated cell counter[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## CUSTOMER VALIDATION

- Nat Cell Biol. 2019 Dec;21(12):1544-1552.
- Nat Commun. 2019 Apr 18;10(1):1810.
- EMBO J. 2020 Jan 15;39(2):e102378.
- J Cell Biol. 2019 Nov 4;218(11):3537-3547.
- J Cancer Res Clin Oncol. 2020 Aug 8.

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## REFERENCES

[1]. Wong YL. et al. Cell biology. Reversible centriole depletion with an inhibitor of Polo-like kinase 4. Science. 2015 Jun 5;348(6239):1155-60.



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