Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

1-NM-PP1

Catalog No: tcsc1805

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
221244-14-0

Formula:
$C_{20}H_{21}N_5$

Pathway:
Apoptosis

Target:
PKD

Purity / Grade:
>98%

Solubility:
DMSO : 27.5 mg/mL (82.98 mM; Need ultrasonic and warming)

Alternative Names:
PP1 Analog II

Observed Molecular Weight:
331.41

Product Description

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1-NM-PP1 inhibits **Cdk7** recovered from the mutant, but not the wild-type cells with an IC_{50} of ~50 nM with either substrate.

IC50 & Target: IC50: 0.398 μM[1]

In Vitro: Cdk7 from *Cdk7*$^{as/as}$ or *Cdk7*$^{+/+}$ cells is immunoprecipitated and tested its kinase activity towards both a Pol II CTD-containing fusion protein (GST-CTD) and human Cdk2. Cdk7 recovered from the mutant, but not the wild-type, cells is inhibited by 1-NM-PP1 (1-NMPP1), with an IC_{50} of ~50 nM with either substrate. Replacement of wild-type Cdk7 with *Cdk7*$^{as/as}$ also rendered growth of HCT116 cells sensitive to 1-NM-PP1. In the absence of 1-NM-PP1, the wild-type and*Cdk7*$^{as/as}$ cells had population doubling times of ~17.9 and ~20.2 h, respectively, with similar cell-cycle distributions in asynchronous culture, indicating minimal impairment of Cdk7 function by the F91G mutation per se. The homozygous *Cdk7*$^{as/as}$ cells are sensitive to 1-NM-PP1, however, with an IC_{50} ~100 nM measured by cell viability (MTT) assays performed after 96 h of 1-NM-PP1 exposure. In contrast, wild-type HCT116 cells are resistant to 10 μM 1-NM-PP1. Addition of 10 μM 1-NM-PP1 retards G1/S progression by the mutant but not the wild-type cells. When added simultaneously with serum to the *Cdk7*$^{as/as}$ cells, 1-NM-PP1 prevents any progression into S phase in the next 15 h. After 24 h, there is evidence of progression into S-phase by a fraction of *Cdk7*$^{as/as}$ cells released from serum starvation directly into medium containing 1-NM-PP1, while a fraction remained in G1. The addition of 1-NM-PP1 3 h or 6 h after serum addition delays S-phase entry by ~7 h or by ~3 h, respectively[1].