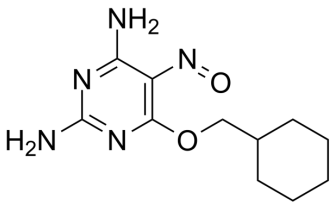
NU6027

Cat. No.:	HY-13816
CAS No.:	220036-08-8
Molecular Formula:	$C_{11}H_{17}N_5O_2$
Molecular Weight:	251.28
Target:	CDK; ATM/ATR
Pathway:	Cell Cycle/DNA Damage; PI3K/Akt/mTOR
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.5 mg/mL (49.75 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.9796 mL	19.8981 mL	39.7962 mL
	5 mM	0.7959 mL	3.9796 mL	7.9592 mL
	10 mM	0.3980 mL	1.9898 mL	3.9796 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: ≥ 1.25 mg/mL (4.97 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (4.97 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

NU6027 is a potent and ATP-competitive inhibitor of both CDK1 and CDK2, with K_is of 2.5 μM and 1.3 μM, respectively.
NU6027 is also a potent inhibitor of ATR and enhances hydroxyurea and cisplatin cytotoxicity in an ATR-dependent manner [1][2].

IC_{50} & Target			
	CDK1 2.5 μM (Ki)	CDK2 1.3 μM (Ki)	ATR

In Vitro

NU6027 (1 nM-100 μM; 48 h) inhibits the growth of human tumor cells with a GI_{50} of 10±6 μM[1].
NU6027 (0.1-25 μM; 24 h) inhibits ATR activity with an IC_{50} of 2.8 μM in GM847KD cells. NU6027 (1-10 μM; 24 h) inhibits ATR activity with an IC_{50} of 6.7±2.3 μM in MCF7 cells[2].
NU6027 (4 or 10 μM; 24 h) attenuates G2/M arrest following DNA damage in MCF7 cells[2].

NU6027 (10 μM; 24 h) significantly reduces RAD51 foci in both control and PF-01367338-treated V-C8 B2 cells[2].
NU6027 (4 μM; 24 h) causes 82% suppression of the increase in RAD51 foci-positive cells treated by PF-01367338[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[2]

Cell Line:	MCF7 cells
Concentration:	0, 1, 5, 10 μM
Incubation Time:	24 h
Result:	Inhibited CDK2-mediated pRb^{T821} by 42±27% compared with 70±12% inhibition of pCHK1 ^{S345} with the concentration of 10 μM.

REFERENCES

[1]. Arris CE, et, al. Identification of novel purine and pyrimidine cyclin-dependent kinase inhibitors with distinct molecular interactions and tumor cell growth inhibition profiles. J Med Chem. 2000 Jul 27; 43(15): 2797-804.

[2]. Peasland A, et, al. Identification and evaluation of a potent novel ATR inhibitor, NU6027, in breast and ovarian cancer cell lines. Br J Cancer. 2011 Jul 26;105(3):372-81.

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