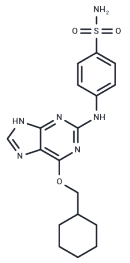
Data Sheet (Cat.No.T28218)

TargetMol

NU6102

Chemical Properties



CAS No. : 444722-95-6

Formula: C18H22N6O3S

Molecular Weight: 402.47

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	NU6102 is a selective and potent ATP-competitive CDK2 inhibitor with antitumor activity against CDK1/cyclinB, CDK2/cyclinA3, CDK1/CDK2, CDK4, DYRK1A , PDK1, and ROCKII, and it can be used to study rectal cancer.
Targets(IC50)	CDK
In vitro	Treatment with NU6102 (0-30 μM; 1-24 hours) induces G2 arrest, inhibition of Rb phosphorylation, and cytotoxicity (LC50 2.6 μM for a 24-hour exposure) in SKUT-1B cells [3]. NU6102 inhibits cell growth and causes cell cycle phase arrest in human breast cancer cell lines, displaying G2/M arrest in asynchronously growing cell lines and G1/S arrest in cells released from serum starvation, and in Xenopus nuclei in a time-dependent manner[3]. Moreover, NU6102 selectively inhibits the growth of CDK2 WT (wild type) compared to KO MEFs (knockout mouse embryo fibroblasts) with a GI50 of 14 μM versus >30 μM[3].
In vivo	The pharmacokinetics of NU6102 are determined in Balb/C mice following i.v. and i.p. administration. Due to the limited solubility of NU6102, the maximum administrable dose is 1 mg/kg i.v. and 10 mg/kg i.p. NU6102 is liberated following either i.p. or i.v. administration of NU6301. After i.v. administration, peak plasma levels of 12 μM NU6102 are observed 5 minutes post-administration, while the peak concentration achieved with the maximum administrable dose of NU6102 i.v. is 0.92 μM. The plasma half-life of NU6102 liberated following NU6301 administration is 42 minutes after i.p. and 10 minutes after i.v. administration[3].

Solubility Information

Solubility	DMSO: 40 mg/mL (99.39 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.97 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4847 mL	12.4233 mL	24.8466 mL
5 mM	0.4969 mL	2.4847 mL	4.9693 mL
10 mM	0.2485 mL	1.2423 mL	2.4847 mL
50 mM	0.0497 mL	0.2485 mL	0.4969 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Ian R Hardcastle,et al. N2-substituted O6-cyclohexylmethylguanine derivatives: potent inhibitors of cyclin-dependent kinases 1 and 2. J Med Chem. 2004 Jul 15;47(15):3710-22.
David J Pratt, et al. Dissecting the determinants of cyclin-dependent kinase 2 and cyclin-dependent kinase 4 inhibitor selectivity. J Med Chem. 2006 Sep 7;49(18):5470-7.
Huw D Thomas , et al. Preclinical in vitro and in vivo evaluation of the potent and specific cyclin-dependent kinase 2 inhibitor NU6102 and a water soluble prodrug NU6301. Eur J Cancer. 2011 Sep;47(13):2052-9.
Moore NL, Edwards DP, Weigel NL. Cyclin A2 and its associated kinase activity are required for optimal induction of progesterone receptor target genes in breast cancer cells. J Steroid Biochem Mol Biol. 2014 Oct;144 Pt B:471-82. doi: 10.1016/j.jsbmb.2014.09.009. PubMed PMID: 25220500; PubMed Central PMCID: PMC4201666.