# **Product data sheet**



MedKoo Cat#: 406329				
Name: NU2058				
CAS: 161058-83-9				
Chemical Formula: C <sub>12</sub> H <sub>17</sub> N <sub>5</sub> O				
Exact Mass: 247.1433				
Molecular Weight: 247.302				
Product supplied as:	Powder			
Purity (by HPLC):	$\geq 98\%$			
Shipping conditions	Ambient temperature			
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.			
-	In solvent: -80°C 3 months; -20°C 2 weeks.			



#### 1. Product description:

NU2058 is a potent CDK inhibitor that has CDK2 IC(50)=17 microM and CDK1 IC(50)=26 microM.

#### 2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

#### 3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	25.0	101.09
DMSO	32.68	132.16
DMSO:PBS (pH 7.2)	0.12	0.49
(1:7)		
Ethanol	17.49	70.72

#### 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	4.04 mL	20.22 mL	40.44 mL
5 mM	0.81 mL	4.04 mL	8.09 mL
10 mM	0.40 mL	2.02 mL	4.04 mL
50 mM	0.08 mL	0.40 mL	0.81 mL

#### 5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

#### 6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

 Harrison LR, Ottley CJ, Pearson DG, Roche C, Wedge SR, Dolan ME, Newell DR, Tilby MJ. The kinase inhibitor O6cyclohexylmethylguanine (NU2058) potentiates the cytotoxicity of cisplatin by mechanisms that are independent of its effect upon CDK2. Biochem Pharmacol. 2009 May 15;77(10):1586-92. doi: 10.1016/j.bcp.2009.02.018. Epub 2009 Mar 5. PMID: 19426695.
Rigas AC, Robson CN, Curtin NJ. Therapeutic potential of CDK inhibitor NU2058 in androgen-independent prostate cancer. Oncogene. 2007 Dec 6;26(55):7611-9. doi: 10.1038/sj.onc.1210586. Epub 2007 Jun 18. PMID: 17599054.

In vivo study

TBD

#### 7. Bioactivity

#### Biological target:

NU2058 (O6-(Cyclohexylmethyl)guanine) is a potent, competitive and guanine-based CDK inhibitor with IC<sub>50</sub>s of 17  $\mu$ M and 26  $\mu$ M for CDK2 and CDK1.

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In vitro activity

A panel of AIPC cells was found to be resistant to Casodex-induced growth inhibition, but with the exception of PC3 (GI(50)=38 microM) and CWR22Rv1 (GI(50)=46 microM) showed similar sensitivity to NU2058 (GI(50)=10-17 microM) compared to androgen-sensitive LNCaP cells (GI(50)=15 microM). In LNCaP cells and their Casodex-resistant derivative, LNCaP-cdxR, growth inhibition by NU2058 was accompanied by a concentration-dependent increase in p27 levels, reduced CDK2 activity and pRb phosphorylation, a decrease in early gene expression and G1 cell cycle phase arrest in both cell lines.

Reference: Oncogene. 2007 Dec 6;26(55):7611-9. https://pubmed.ncbi.nlm.nih.gov/17599054/

#### In vivo activity

### TBD

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.