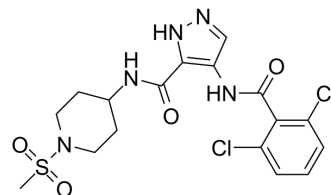


## NVP-LCQ195

<b>Cat. No.:</b>	HY-15241		
<b>CAS No.:</b>	902156-99-4		
<b>Molecular Formula:</b>	C <sub>17</sub> H <sub>19</sub> Cl <sub>2</sub> N <sub>5</sub> O <sub>4</sub> S		
<b>Molecular Weight:</b>	460.33		
<b>Target:</b>	CDK		
<b>Pathway:</b>	Cell Cycle/DNA Damage		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : 66.67 mg/mL (144.83 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.1724 mL	10.8618 mL	21.7235 mL
	5 mM	0.4345 mL	2.1724 mL	4.3447 mL
	10 mM	0.2172 mL	1.0862 mL	2.1724 mL

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

#### In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 2.5 mg/mL (5.43 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 2.5 mg/mL (5.43 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

NVP-LCQ195 (AT9311; LCQ195) is a small molecule heterocyclic inhibitor of CDK1, CDK2, CDK3 and CDK5 with IC<sub>50</sub> of 1-42 nM. IC<sub>50</sub> Value: 1 nM (CDK5/p25 and CDK5/p35); 2 nM (CDK1/cyclinB and CDK2/cyclinA); 5 nM (CDK2/cyclinE); 42 nM (CDK3/cyclinE) Target: CDKs LCQ195 induced cell cycle arrest and eventual apoptotic cell death of MM cells, even at sub-mol/l concentrations, spared non-malignant cells, and overcame the protection conferred to MM cells by stroma or cytokines of the bone marrow milieu. In MM cells, LCQ195 triggered decreased amplitude of transcriptional signatures associated with oncogenesis, drug resistance and stem cell renewal, including signatures of activation of key transcription factors for MM cells e.g. myc, HIF-1a, IRF4. Bortezomib-treated MM patients whose tumours had high baseline expression of genes suppressed by LCQ195 had significantly shorter progression-free and overall survival than those with low levels of these transcripts in their MM cells. These observations provide insight into the biological relevance of multi-targeted CDK inhibition in MM.

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IC <sub>50</sub> & Target	Cdk5/p25 1 nM (IC <sub>50</sub> )	CDK5/p35 1 nM (IC <sub>50</sub> )	Cdk1/cyclin B 2 nM (IC <sub>50</sub> )	cdk2/cyclin A 2 nM (IC <sub>50</sub> )
	CDK2/cyclinE 5 nM (IC <sub>50</sub> )	CDK9/cyclinT1 15 nM (IC <sub>50</sub> )	CDK3/Cyclin E 42 nM (IC <sub>50</sub> )	cdk6/cyclin D3 187 nM (IC <sub>50</sub> )
	CDK7/Cyclin H/MAT1 3564 nM (IC <sub>50</sub> )			

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## REFERENCES

[1]. McMillin DW, Delmore J, Negri J et al. Molecular and cellular effects of multi-targeted cyclin-dependent kinase inhibition in myeloma: biological and clinical implications. Br J Haematol. 2011 Feb;152(4):420-32.

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**Caution: Product has not been fully validated for medical applications. For research use only.**

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