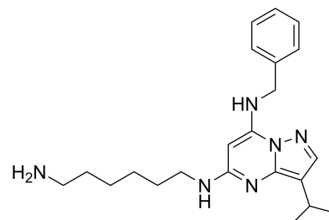


BS-181

Cat. No.:	HY-13266		
CAS No.:	1092443-52-1		
Molecular Formula:	C ₂₂ H ₃₂ N ₆		
Molecular Weight:	380.53		
Target:	CDK; Apoptosis		
Pathway:	Cell Cycle/DNA Damage; Apoptosis		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (131.40 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.6279 mL	13.1396 mL	26.2791 mL
	5 mM	0.5256 mL	2.6279 mL	5.2558 mL
	10 mM	0.2628 mL	1.3140 mL	2.6279 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: ≥ 3 mg/mL (7.88 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 3 mg/mL (7.88 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 3 mg/mL (7.88 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BS-181 is a potent and selective CDK7 inhibitor (IC₅₀=21 nM) than Seliciclib (HY-30237). BS-181 is also against CDK2, CDK5 and CDK9 with IC₅₀ values of 880, 3000 and 4200 nM, respectively (fails to block CDK1, 4 and 6). BS-181 inhibits a panel of cancer cells growth (IC₅₀=11.5 μM-37.3 μM) and induces cell apoptosis. BS-181 has the potential for the research of cancer therapy^{[1][2]}.

IC₅₀ & Target

CDK7/CycH/MAT1

CDK2/Cyc E

CDK5/p35NCK

CDK9/cycT

	0.021 μM (IC ₅₀)	0.88 μM (IC ₅₀)	3 μM (IC ₅₀)	4.2 μM (IC ₅₀)
	CDK1/cycB 8.1 μM (IC ₅₀)	CDK4/Cyc D1 33 μM (IC ₅₀)	CDK6/cycD1 47 μM (IC ₅₀)	

In Vitro	<p>BS-181 (0-40 μM; 72 hours) inhibits cancer cells growth, it is against Breast cancer cell lines growth with IC₅₀ values ranging from 15.1 μM to 20 μM, it is against Colorectal cancer cell lines growth with IC₅₀ values ranging from 11.5 μM to 15.3 μM and is against lung, osteosarcoma, prostate and liver cancer cell lines with IC₅₀ values ranging from 11.5 μM to 37.3 μM, respectively^[1].</p> <p>BS-181 (0-50 μM; 4 hours) shows inhibition of phosphorylation of the RNA polymerase II C-terminal domain (CTD) at serine 5 (P-Ser5). It down-regulates CDK4 and cyclin D1 expression while does not effect other CDKs and cyclins^[1].</p> <p>BS-181 (0-50 μM; 24 hours) shows an increase in cells in G1, accompanied by a reduction in cell numbers in S and G2/M at low concentrations. At higher concentrations, however, cells accumulates in the sub-G1, indicative of apoptosis^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Proliferation Assay^[1]</p>			
	Cell Line:	Breast cancer cell line: MCF-7, MDA-MB-231, T47D, ZR-75-1, etc Colorectal cancer cell line: COLO-205, HCT-116, HCT-116 (p53 ^{-/-}) Lung cancer cell line: A549, NCI-460 Osteosarcoma cancer cell line: U2OS, SaOS2 Prostate cancer cell line: PC3, LNCaP		
	Concentration:	0-50 μM		
	Incubation Time:	4 hours		
	Result:	Had anti-proliferative activities against a panel of cell lines, including breast, lung, prostate and colorectal cancer.		
	Western Blot Analysis ^[1]			
	Cell Line:	MCF-7 cells		
	Concentration:	0-40 μM		
	Incubation Time:	72 hours		
	Result:	Inhibited phosphorylation of CDK7 substrates.		
Apoptosis Analysis ^[1]				
Cell Line:	MCF-7 cells			
Concentration:	0-50 μM			
Incubation Time:	24 hours			
Result:	Led cells to G1 arrest and apoptosis.			

In Vivo	<p>BS-181 (intraperitoneal injection; 5 mg/kg or 10 mg/kg twice daily; total daily doses of 10 mg/kg or 20 mg/kg; 14 days) inhibit tumor growth in a dose-dependent manner. Tumor growth exhibits 25% and 50% reduction compared with the control group, for 10 mg/kg/day and 20 mg/kg/day, respectively^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>			
	Animal Model:	7-week old female nu/nu-BALB/c athymic nude mice with MCF-7 cells ^[1]		

Dosage:	5 mg/kg or 10 mg/kg; 10 mg/kg or 20 mg/kg
Administration:	Intraperitoneal injection; twice daily or once total daily; 14 days
Result:	Inhibited tumor growth significantly.

CUSTOMER VALIDATION

- Theranostics. 2017 Apr 20;7(7):1914-1927.
- Cell Rep. 2017 Dec 5;21(10):2796-2812.
- Biochem Biophys Res Commun. 2019 Jun 11;513(4):967-973.

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REFERENCES

- [1]. Ali S et al. The development of a selective cyclin-dependent kinase inhibitor that shows antitumor activity. *Cancer Res.* 2009 Aug 1;69(15):6208-15.
- [2]. Wang BY, et al. Selective CDK7 inhibition with BS-181 suppresses cell proliferation and induces cell cycle arrest and apoptosis in gastric cancer. *Drug Des Devel Ther.* 2016 Mar 16;10:1181-9.

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

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