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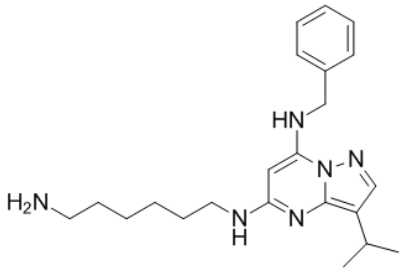
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	BS-181
Cat. No.	:	PC-43445
CAS No.	:	1092443-52-1
Molecular Formula	:	$C_{22}H_{32}N_6$
Molecular Weight	:	380.53
Target	:	Cyclin-dependent Kinase (CDK)
Solubility	:	10 mM in DMSO



Biological Activity

BS-181 is a potent, selective **CDK7** inhibitor with IC50 of 21 nM, 40-fold selectivity over CDK2/cycE and no significant activity against CDK1/cycB, CDK4/cycD1, CDK5/p35NCK, CDK6/cycD1, and CDK9/cycT (IC50>1 uM).
BS-181 promotes cell cycle arrest and inhibits cancer cell growth in a panel of cell lines representing a range of tumor types (IC50=1.5 to 37 uM).
BS-181 inhibits the phosphorylation of CDK7 substrates, inhibits phosphorylation of the RNA polymerase II COOH-terminal domain (CTD) at P-Ser5 (IC50=9 uM), inhibits RB phosphorylation at Ser795 and Ser821 (IC50=15 uM).
BS-181 induces apoptosis in vitro, and shows antitumor effects in vivo.

References

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Kelso TW, et al. ***Mol Cell Biol.*** 2014 Oct 1;34(19):3675-88.

Wang BY, et al. ***Drug Des Devel Ther.*** 2016 Mar 16;10:1181-9.

Li B, et al. ***Cancer Res.*** 2017 Jul 15;77(14):3834-3845.

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